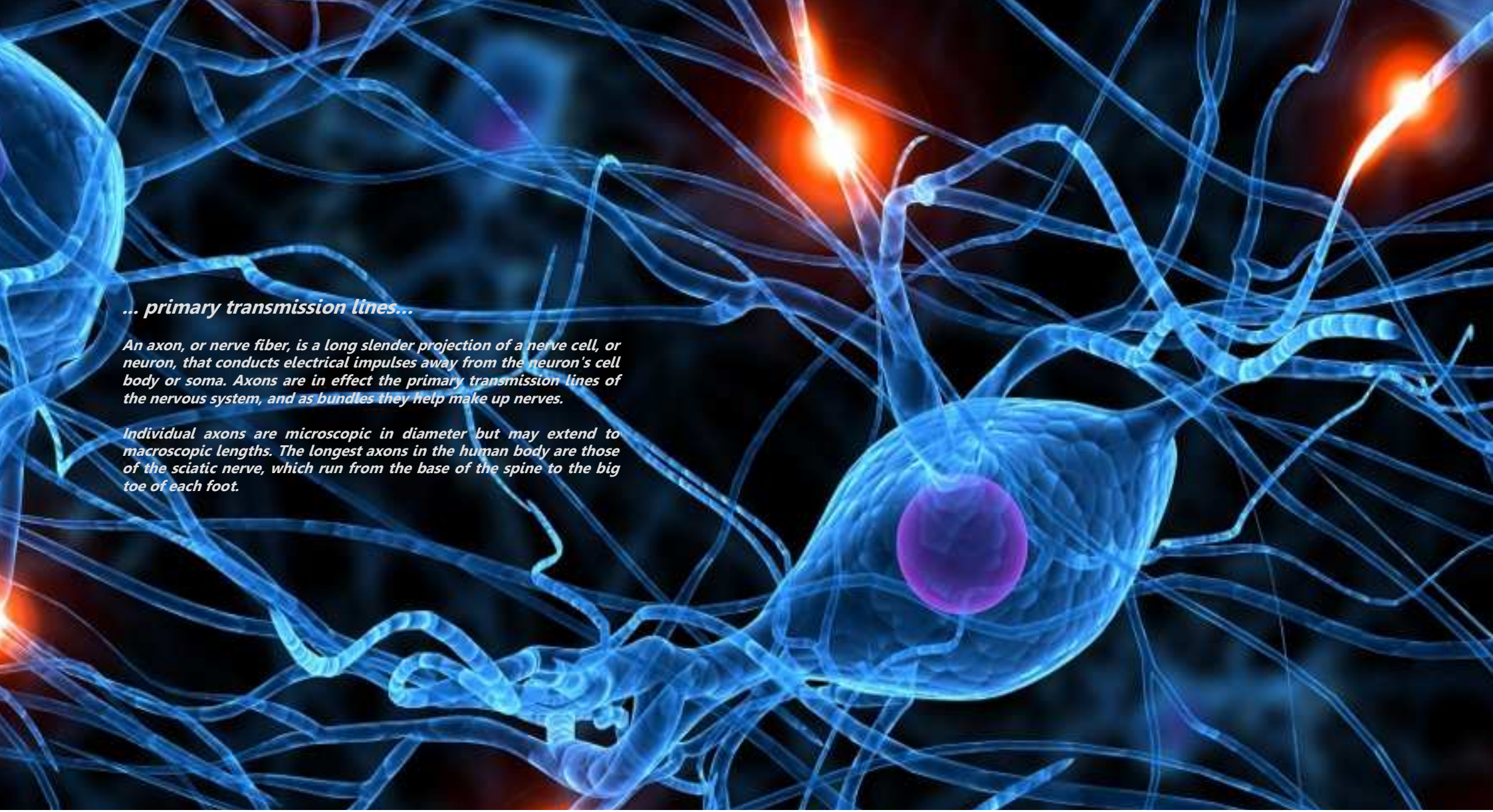

... primary transmission lines...

An axon, or nerve fiber, is a long slender projection of a nerve cell, or neuron, that conducts electrical impulses away from the neuron's cell body or soma. Axons are in effect the primary transmission lines of the nervous system, and as bundles they help make up nerves.

Individual axons are microscopic in diameter but may extend to macroscopic lengths. The longest axons in the human body are those of the sciatic nerve, which run from the base of the spine to the big toe of each foot.

Axon Medchem BV
Hanzeplein 1
9713 GZ Groningen
The Netherlands

Tel: +31 50 3118007
Fax: +31 50 3600390
order@axonmedchem.com

info@axonmedchem.com
www.axonmedchem.com

Axon Medchem LLC
12020 Sunrise Valley Drive, STE100
Reston, VA 20191
United States

Tel: (888) 703-9861 (Toll-free)
Fax: (703) 596-8062
usorders@axonmedchem.com

Axon Ligands™ Catalogue

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Pharmacological Index

Enzymes

A fundamental task of proteins is to act as enzymes: catalysts that increase the rate of virtually all the chemical reactions within cells. They are highly selective, accelerating both the rate and specificity of metabolic reactions, from the digestion of food to the synthesis of DNA. Most enzymes are proteins, although some catalytic RNA molecules have been identified as well. Cells contain thousands of different enzymes, and their activities determine which of the many possible chemical reactions actually take place within the cell. The binding of a substrate to the active site of an enzyme is a very specific interaction. Once a substrate is bound to the active site of an enzyme, multiple mechanisms can accelerate its conversion to the product of the reaction. Examples of enzymatic conversions of substrates include peptide bond formation or cleavage, hydrolysis and condensation, oxidation and reduction, phosphorylation, and many more. In addition to binding their substrates, the active sites of many enzymes bind other ions or small molecules that participate in catalysis. These molecules are called coenzymes and/or cofactors. In contrast to substrates, coenzymes are not irreversibly altered by the reactions in which they are involved. While most enzymes move freely (intra- and extracellular) within the organism, many enzymes are anchored to either membranes or receptors[1].
The Axon Ligands™ that interact with enzymatic processes are arranged into the six major classes of enzymes in line with the rules of classification stated by the Nomenclature Committee of the International Union of Biochemistry and Molecular Biology[2].

[1] The Cell: A Molecular Approach. 2nd edition. Cooper GM. Sunderland (MA): Sinauer Associates; 2000.
[2] Enzyme Nomenclature 1992. Academic Press, San Diego, California, ISBN 0-12-227164-5.

Enzymes (EC 1.) Oxidoreductases

Oxidoreductases comprise the large class of enzymes that catalyze biological oxidation/reduction reactions. They play an important role in both aerobic and anaerobic metabolism. They can be found in glycolysis, TCA cycle, oxidative phosphorylation, and in amino acid metabolism. Subcategories within this class of biological targets are created based the kind of acceptor molecules involved in the redox reaction they catalyze, and on and the nature of their substrates[1].

[1] Enzyme Nomenclature 1992. Academic Press, San Diego, California, ISBN 0-12-227164-5.

Enzymes (EC 1.1.) Dehydrogenases and Reductases, Hydroxides

There are 7 different alcohol dehydrogenase (ADH; EC 1.1.1.1) isozymes in human: three belong to class-I: alpha, beta, and gamma, one to class-II: pi, one to class-III: chi, one to class-IV: ADH7 and one to class-V: ADH6. Members of the ADH7 family metabolize a wide variety of substrates, including ethanol, retinol, other aliphatic alcohols, hydroxysteroids, and lipid peroxidation products. ADH7 is the only ADH not expressed in liver, instead being expressed mainly in the upper gastrointestinal tract. Genome-wide studies have identified significant associations between single-nucleotide polymorphisms in ADH7 with alcoholism, drug dependence and cancer, but the causative variants have not been identified[1].
Atorvastatin (Axon 2043) is probably among the best knows inhibitors of the enzyme HMG-CoA reductase (EC 1.1.1.88), an enzyme found in liver tissue that plays a key role in the mevalonate pathway, the metabolic pathway that produces cholesterol and other isoprenoids. Inhibition of the enzyme decreases de novo cholesterol synthesis, increasing expression of low-density lipoprotein receptors (LDL receptors) on hepatocytes. This increases LDL uptake by the hepatocytes, decreasing the amount of LDL-cholesterol in the blood. Interestingly, like most drugs, degradation of Atorvastatin is initiated by another oxidoreductase enzyme: Cytochrome P450 3A4 (CYP3A4), an enzyme in the class of EC 1.14[2].
The human genome has 5 isocitrate dehydrogenase (IDH; EC 1.1.1.42) genes, coding for 3 distinct IDH enzymes whose activities are dependent on either NADP (NADP+-dependent IDH1 and IDH2) or NAD (NAD+-dependent IDH3). Both IDH2 and IDH3 are localized in the mitochondria and participate in the citric acid cycle for energy production, whereas IDH1 is localized in the cytoplasm and peroxisomes. IDH enzymes catalyze the oxidative decarboxylation of isocitrate to produce α-ketoglutarate (also known as 2-oxoglutarate) and concomitantly produce NADPH from NADP+. IDH enzymes also catalyze the reductive carboxylation of α-ketoglutarate to form isocitrate and concomitantly produce NADP+ from NADPH. Since IDH1 and IDH2 are mutated in 50%–80% of astrocytomas, oligodendrogliomas, oligoastrocytomas, and secondary glioblastomas, Isocitrate dehydrogenase (IDH) enzymes have recently become a focal point for research aimed at understanding the biology of glioma[3].
Two 11β-hydroxysteroid dehydrogenases (11β-HSD; EC 1.1.1.146) catalyze the interconversion between active and inactive glucocorticoids. The enzyme 11β-HSD1 is widely expressed and yields increased local tissue concentration of active glucocorticoid by converting cortisone into cortisol in humans, and 11-dehydrocorticosterone into corticosterone in rodents. In contrast, the enzyme 11β-HSD2 catalyzes the opposite reaction, the inactivation of active glucocorticoid. 11β-HSD1 has been proposed as a new target for type 2 diabetes drugs, since they lower blood glucose levels and improve insulin sensitivity[4].

Aldose reductase (AR; EC 1.1.1.21) is a NADPH-dependent oxidoreductase and considered the key enzyme in the polyol pathway. It catalyzes the reduction of a variety of aldehydes and carbonyls, including monosaccharides, and is primarily known for the conversion of glucose into sorbitol. During states of hyperglycemia, the polyol pathway has increased activity, resulting in elevated sorbitol levels. Increases in sorbitol concentrations result in cellular and organ injury and in the decrease of myo-inositol in the peripheral nerves. When myo-inositol is decreased, there is a resulting decrease in Na+,K+-ATPase activity, which is essential for nerve conduction. Additionally, increased polyol pathway activity and the overutilization of NADPH by AR may affect a number of other homeostatic mechanisms: NADPH depletion results in decreased nitric oxide (NO) and reduced glutathione production. Inhibition of this enzyme causes a decrease in the accumulation of sorbitol in the cells, and may serve possible treatments for diabetic neuropathy[5].

In normal tissues, lactate generation is limited to anaerobic conditions where oxygen levels are low. In contrast, cancer cells preferentially convert glucose into lactate through glycolysis, even under normal oxygen concentrations, a phenomenon termed “aerobic glycolysis” or the Warburg effect. Anti-glycolytic therapeutic approaches against cancer have been (re-)evaluated, in consideration of the dependence that cancer cells have on a high glycolytic rate[6]. In particular, human LDH-A (or LDH-5; EC 1.1.1.27), a key glycolytic enzyme that catalyzes the formation of lactate from pyruvate and is frequently upregulated in clinical tumors, is currently being considered as a strategic target for the blockage of glycolysis. Since humans missing the LDH-A enzyme (as a hereditary disease), are healthy, it has been hypothesized that inhibition of LDH-A as an anticancer strategy should give no significant on-target side effects[7 and 8].

As the cell wall frames and protects mycobacterial cells, its biosynthesis is a fundamental process for the mycobacterial survival. As a consequence, the enzymes involved in this process represent potential drug targets in tuberculosis (TB) treatment. DprE1 (EC 1.1.98.3) is a decaprenylphosphoryl-D-ribose oxidase, involved in the biosynthesis of decaprenylphosphoryl-D-arabinose (DPA), an essential component of the mycobacterial cell wall. In concert with DprE2, it catalyses the epimerization of decaprenylphosphoryl-D-ribose (DPR) to DPA, via the formation of the intermediate decaprenylphosphoryl 2-keto-ribose (DPX)[9].

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[2] Pharmacokinetic-pharmacodynamic drug interactions with HMG-CoA reductase inhibitors. W.D. Feely J. Clin Pharmacokinet 2002, 41, 343-370.
[3] C. Zhang et al. IDH1/2 mutations target a key hallmark of cancer by deregulating cellular metabolism in glioma. Neuro Oncol. 2013, 15, 1114-1126.
[4] P. Alberts et al. Selective inhibition of 11 beta-hydroxysteroid dehydrogenase type 1 improves hepatic insulin sensitivity in hyperglycemic mice strains. Endocrinology. 2003, 144(11), 4755-4762.
[5] K.E. Schemmel et al . Aldose reductase inhibitors in the treatment of diabetic peripheral neuropathy: a review. J. Diabetes Complications. 2010, 24, 354-360.
[6] RA Ward et al. Design and synthesis of novel lactate dehydrogenase A inhibitors by fragment-based lead generation. J Med Chem. 2012 Apr 12;55(7):3285-306.
[7] C Granchi et al. Discovery of N-hydroxyindole-based inhibitors of human lactate dehydrogenase isoform A (LDH-A) as starvation agents against cancer cells. J Med Chem. 2011 Mar 24;54(6):1599-612.
[8] C Granchi et al. Assessing the differential action on cancer cells of LDH-A inhibitors based on the N-hydroxyindole-2-carboxylate (NHI) and malonic (Mal) scaffolds. Org Biomol Chem. 2013 Oct 14;11(38):6588-96.
[9] G Riccardi et al. The DprE1 enzyme, one of the most vulnerable targets of Mycobacterium tuberculosis. Appl Microbiol Biotechnol. 2013 Oct;97(20):8841-8.

Enzymes (EC 1.1.1) Dehydrogenases and Reductases, IDH/MDH

Similar to IDH, malate dehydrogenases (MDH; EC 1.1.1.37) belong to the NAD-dependent dehydrogenases, and catalyze the reversible conversion of malate into oxaloacetate. MDH is a rather ubiquitous enzyme, for which several isoforms have been identified, differing in their subcellular localization and their specifity for the coenzyme NAD or NADP[1]. Malate metabolism plays a key role in mitochondrial respiration and as a mediator of hormone-induced enhancement of mitochondrial respiration. MDH is essential for transamination of glutamate by aspartate aminotransferase. These combined reactions are the mitochondrial part of the malate aspartate shuttle and are of importance in gluconeogenesis and ureogenesis and for the release of insulin by pancreatic islets[2].

[1] P. Minárik et al. Malate dehydrogenases--structure and function. Gen Physiol Biophys. 2002 Sep;21(3):257-65.
[2] L.A.Fahien et al. Regulation of malate dehydrogenase activity by glutamate, citrate, alpha-ketoglutarate, and multienzyme interaction. J Biol Chem. 1988 Aug 5;263(22):10687-97.

Enzymes (EC 1.1.1) Dehydrogenases and Reductases, PHGDH

The NAD+-dependent enzyme 3-phosphoglycerate dehydrogenase (PHGDH; EC 1.1.1.95), which catalyzes the first committed step of serine biosynthesis from glucose via the phosphoserine pathway, is overexpressed in tumors and cancer cell lines via focal amplification and nuclear factor erythroid-2-related factor 2 (NRF2)-mediated up-regulation. Since it was found that proliferation of PHGDH-amplified cancer cell lines, and other lines that overexpress PHGDH without amplification, is inhibited by PHGDH knockdown, PHGDH inhibitors as a targeted therapy for these tumor types represent an exciting clinical opportunity[1].

[1] E Mullarky et al. Identification of a small molecule inhibitor of 3-phosphoglycerate dehydrogenase to target serine biosynthesis in cancers. Proc Natl Acad Sci U S A. 2016 Feb 16;113(7):1778-83.

Enzymes (EC 1.2.) Dehydrogenases and Reductases, Aldehydes

Inhibition of the enzyme S-nitrosoglutathione reductase (GSNOR; EC 1.2.1.46) affects the metabolism of S-nitrosoglutathione and the maintenance of nitric oxide (NO) homeostasis. GSNOR is a zinc-dependent, NAD+- and NADH-dependent, medium chain alcohol dehydrogenase (ADH), but shows only modest affinity towards alcohols. Rather, the enzyme is also known as formaldehyde dehydrogenase, and as such, it targets GSNO in order to reduce its nitroso group into an unstable S-hydroxylaminoglutathione intermediate. Inhibition of GSNOR by N6022 (Axon 1822) and related compounds has shown safety and efficacy in animal models of asthma, chronic obstructive pulmonary disease, and inflammatory bowel disease[1].

The mitochondrial pyruvate dehydrogenase complex (PDC) is a complex of three enzymes that convert pyruvate into acetyl-CoA. Pyruvate dehydrogenase (E1) (PDH; EC 1.2.4.1) is the first component enzyme of PDC that controls glycolysis-derived pyruvate entry into the tricarboxylic acid (TCA) cycle where it can be oxidized to support ATP generation or its carbon diverted to anabolism. The activity of PDH (E1) is rapidly regulated by phosphorylation and dephosphorylation events that are catalyzed by PDH kinases (PDKs 1-4) and PDH phosphatases (PDPs), respectively. Phosphorylation of PDH results in inhibition of activity, whereas, dephosphorylation increases it[2]. Besides a crucial role in patients that suffer from pyruvate dehydrogenase deficiency –one of the most common neurodegenerative disorders associated with abnormal mitochondrial metabolism– PDH is also topic of interest of many studies on cancer. In cancer cells pyruvate is abundantly transformed to lactate, regardless of the presence of oxygen. This phenomenon, known historically as the Warburg effect, is called aerobic glycolysis. The biologic basis of this intensified glycolysis and shift of pyruvate transformation to lactate in cancer cells is thought to be related to HIF1α[3].

[1] Mechanism of inhibition for N6022, a first-in-class drug targeting S-nitrosoglutathione reductase. L.S. Green, L.E. Chun, A.K. Patton, X. Sun, G.J. Rosenthal, J.P. Richards. Biochemistry. 2012, 51,2157-2168.
[2] Z Zachar et al. Non-redox-active lipoate derivates disrupt cancer cell mitochondrial metabolism and are potent anticancer agents in vivo. J. Mol. Med. (Berl). 2011, 89(11), 1137-1148.
[3] M.I. Koukourakis et al. Pyruvate Dehydrogenase and Pyruvate Dehydrogenase Kinase Expression in Non Small Cell Lung Cancer and Tumor-Associated Stroma. Neoplasia. Jan 2005, 7, 1-6.

Enzymes (EC 1.2.1.) Dehydrogenases and Reductases, ALDH

Detoxification of aldehydes generally occurs either via oxidation to the corresponding carboxylic acid or reduction to the alcohol. The aldehyde dehydrogenase (ALDH; EC 1.2.1.36) superfamily catalyzes the NAD(P)+-dependent oxidation of aldehydes to their respective carboxylic acid, only ALDH6A1 generating the CoA thioester product. The human genome encodes for at least 19 distinct ALDH genes. The structure of human ALDHs are similar, functioning as either homodimers or homotetramers, with each monomer comprised of at least three structural domains; a catalytic domain, a cofactor binding domain, and an oligomerization domain. Despite similarities in structure and function, the isoenzymes of the ALDH family of proteins have evolved to recognize different spectrums of aldehyde substrates due to differences in the size and shape of their respective substrate binding sites. These differences have permitted the development of some selective activators and inhibitors for various isoenzymes as therapeutics[1].

[1] C.A. Morgan et al. N,N-diethylaminobenzaldehyde (DEAB) as a substrate and mechanism-based inhibitor for human ALDH isoenzymes. Chem Biol Interact. 2015 Jun 5;234:18-28.

Enzymes (EC 1.3.) Oxidases, Dehydrogenases

Dihydroorotate dehydrogenase (DHODH; EC 1.3.3.1) is a flavin-dependent mitochondrial enzyme that catalyzes the oxidation of dihydroorotate to orotate, the fourth reaction of pyrimidine de-novo synthesis[1]. Pyrimidine bases are essential for cellular metabolism and cell growth, and are considered as important precursors used in DNA (thymine and cytosine), RNA (uracil and cytosine), glycoproteins and phospholipids biosynthesis. Inhibitors of DHODH have proven efficacy for the treatment of malaria, autoimmune diseases, cancer, rheumatoid arthritis and psoriasis. Many of the clinically relevant anti-tumor and immunosuppressive drugs target human dihydroorotate dehydrogenase (hDHODH)[2].
The mitochondrial succinate dehydrogenase (SDH or SQR) complex (consisting of four nuclearly encoded subunits) catalyses the oxidation of succinate to fumarate in the Krebs (TCA) cycle, and feeds electrons to the respiratory chain (RC) ubiquinone (UQ) pool. Contrasting with most dehydrogenases feeding electrons to the RC, SDH is known to be fully activated upon reduction of the RC and in the presence of ATP, due to dissociation of its physiological inhibitor, oxaloacetate, at the active site[3]. Germline mutations of the genes that encode the SDH subunits result in hereditary paraganglioma-pheochromocytoma syndromes. Patients with such mutations also develop gastrointestinal stromal tumors (GISTs) that can be recognized by their distinctive multinodular architecture, predominantly epithelioid morphology, and predilection for lymph node metastasis[4]. Recently, evidence has been accumulated that SDH is target of the anti Leishmanial drug Sitamaquine (Axon 1515), as it targets the respiratory chain in digitonin-permeabilized promastigotes[5].

[1] H. Munier-Lehmann et al. On dihydroorotate dehydrogenases and their inhibitors and uses. J. Med. Chem. 2013, 56, 3148-3167.
[2] V.K. Vyas et al. Recent developments in the medicinal chemistry and therapeutic potential of dihydroorotate dehydrogenase (DHODH) inhibitors. Mini Rev. Med. Chem. 2011, 11, 1039-1055.
[3] P. Rustin et al. Succinate dehydrogenase and human diseases: new insights into a well-known enzyme. Eur J Hum Genet. 2002 May;10(5):289-91.
[4] S.R. Williamson et al. Succinate dehydrogenase-deficient renal cell carcinoma: detailed characterization of 11 tumors defining a unique subtype of renal cell carcinoma. Modern Pathology 2015, 28, 80-94.
[5] L. Carvalho et al. The 8-aminoquinoline analogue sitamaquine causes oxidative stress in Leishmania donovani promastigotes by targeting succinate dehydrogenase. Antimicrob. Agents Chemother. 2011, 55, 4204-4210.

Enzymes (EC 1.4.3.) Oxidases, Mono-amine Oxidases

Mono-amine oxidases play an important role in neurotransmitter metabolism. Inhibitors of this class of enzymes have played a major role in our understanding of the functional roles of dopamine (DA), norepinephrine (NE), and serotonin (5-HT) neurotransmission in the CNS. However, due to their potentially lethal dietary and drug interactions ("cheese effect"), monoamine oxidase inhibitors have historically been reserved as a last-in-line treatment of psychiatric disorders, only to be used when other classes of antidepressant drugs have failed[1].
Semicarbazide-sensitive amine oxidase (SSAO; EC 1.4.3.21) is an enzyme predominantly located in the endothelium and leukocytes. SSAO is unique among other endothelial-expressed adhesins as it is also an ectoenzyme. A soluble form of

SSAO is present in plasma and is known as vascular adhesion protein VAP-1. It is well known to regulate two key inflammatory processes which are integral to progressive renal pathology. Besides causing oxidative stress by its oxidation products, oxidative stress, SSAO mediates the transmigration of intraluminal leukocytes into sites of tissue inflammation, which is initially a protective reparative process, but if persistent, can lead to chronic inflammatory cell accumulation[2]. Activation of VAP-1 has been implicated in several pathologies, such as: atherosclerosis, diabetes, Alzheimer's disease, kidney fibrosis, and pulmonary diseases[3].

[1] http://www.mayoclinic.com/health/depression/DS00175/DSECTION=treatments-and-drugs
[2] M Wong et al. Semicarbazide-sensitive amine oxidase (SSAO) inhibition ameliorates kidney fibrosis in a unilateral ureteral obstruction murine model. Am J Physiol Renal Physiol. 2014 Oct 15;307(8):F908-16.
[3] T Valente et al. SSAO/VAP-1 protein expression during mouse embryonic development. Dev Dyn. 2008 Sep;237(9):2585-93.

Enzymes (EC 1.13.11.) Oxygenases, LOX

12/15-Lipoxygenase (12/15-LO(X); EC 1.13.11.31) is a non-heme, iron-containing enzyme that dioxygenates polyunsaturated fatty acids into bioactive lipid derivatives, more specifically: it can metabolize arachidonic acid to generate corresponding hydroxides such as 12-hydroxyeicosatetraenoic acid (12-HETE) and 15-HETE. 12/15-LOX is widely expressed in the CNS, and has been demonstrated to be involved in the pathogenesis of various neurological diseases. 12/15-LOX exerts a regulatory role in Alzheimer's disease, an inflammatory and neurodegenerative disease[1]. Additionally, human 12/15-LOX (aka 15-LOX-1) is also an attractive therapeutic target for its role in atherogenesis, diabetes, newborn periventricular leukomalacia, breast cancer and stroke[2].

[1] J. Xu et al. Inhibition of 12/15-lipoxygenase by baicalein induces microglia PPARβ/δ: a potential therapeutic role for CNS autoimmune disease. Cell Death Dis. 2013, 4, e569.
[2] G. Rai et al. Potent and selective inhibitors of human reticulocyte 12/15-lipoxygenase as anti-stroke therapies. J. Med. Chem. 2014, 57, 4035-4048.

Enzymes (EC 1.13.11.) Oxygenases, IDO

Indoleamine 2,3-dioxygenase-1 (IDO1; EC 1.13.11.42) is another enzyme in the eukaryotic tryptophan catabolic pathway. It is a heme-containing, monomeric oxidoreductase that specifically catalyzes the degradation of tryptophan to N-formyl-kynurenine, which can be subsequently metabolized through a series of steps to form nicotinamide adenine dinucleotide (NAD+). IDO1 inhibition is proposed to have therapeutic potential in immunodeficiency-associated abnormalities, including cancer. Previous studies suggest that IDO may be an important regulator of the immunosuppressive mechanisms responsible for tumor escape from host immune surveillance. Several groups have demonstrated that blockade of IDO activity can directly increase the ability of tumor-bearing mice to reject tumors[1].

[1] X. Liu et al. Selective inhibition of IDO1 effectively regulates mediators of antitumor immunity. Blood. 2010, 115, 3520-3530.

Enzymes (EC 1.14.) Oxygenases

Cytochrome P450 monooxygenases (P450s; EC 1.14.11) are versatile biocatalysts that catalyze the regio- and stereospecic oxidation of non-activated hydrocarbons under mild conditions. P450s play a role in the synthesis of many molecules including steroid hormones, certain fats (cholesterol and other fatty acids), and acids used to digest fats (bile acids). There are approximately 60 CYP genes in humans. Cytochrome P450 enzymes (CYPs or P450s) are heme b containing monooxygenases. Heme is a prosthetic group consisting of an iron ion coordinated by four nitrogen atoms of porphyrin. Almost all P450s are external monooxygenases that utilize electrons derived from the pyridine cofactors NADH or NADPH. For catalytic activity P450s must be associated with redox partner proteins that transfer electrons from NAD(P)H to the P450 heme center. The ability of P450s to catalyze the regio-, chemo- and stereospecific oxidation of a vast number of substrates reflects their biological roles and makes them important candidates for scientists to study their role in primary and secondary metabolism, and drug degradation[1].
Besides the large family of cytochrome P450-related (CYP) enzymes, this class of oxidoreductases also includes the well-known family of cyclooxygenases (COX, officially known as prostaglandin-endoperoxide synthase (PTGS))[2], but also histone demethylases (JMJD), desaturases and aromatases, and many others.
For example, tyrosinases (EC 1.14.18.1) catalyze the oxidations of both monophenols (cresolase or monophenolase activity) and o-diphenols (catecholase or diphenolase activity) into reactive o-quinones. Tyrosinase is a multifunctional, glycosylated, and copper-containing oxidase, and it is the key protein involved in mammalian melanogenesis and is responsible for enzymatic browning reactions in damaged fruits during post-harvest handling and processing[3]. In view of its role in pigmentation, tyrosinase inhibitors have become increasingly important in the cosmetic and medicinal products used in the prevention of hyperpigmentaion (due to UV radiation)[4].

[1] V.B. Urlacher et al. Cytochrome P450 monooxygenases: an update on perspectives for synthetic application. Trends Biotechnol. 2012, 30, 26-36.
[2] Cyclooxygenase enzymes: regulation and function. F.A. Fitzpatrick. Curr. Pharm. Des. 2004, 10, 577-588.
[3] T.S. Chang. An updated review of tyrosinase inhibitors. Int J Mol Sci. 2009 May 26;10(6):2440-75.
[4] M.T.H. Khan. Molecular design of tyrosinase inhibitors: A critical review of promising novel inhibitors from synthetic origins. Pure Appl. Chem., 2007, 79, 12, 2277-2295.

Enzymes (EC 1.14.11.) Oxygenases, Histone demethylases

Reversible histone lysine methylation is a major mechanism for regulating chromatin dynamics and gene expression. Histone demethylases (EC 1.14.11.) are believed to be involved in tumor-suppressive activities. These are Fe2+- and α-ketoglutarate-dependent oxygenases that are essential components of regulatory transcriptional chromatin complexes. Until recently, the absence of any selective inhibitors hampered the elucidation of the biological relevance of the demethylase activity of JMJ enzymes in regulating cellular responses. The discovery of the selective inhibitors GSK J1 and its ethyl ester prodrug GSK J4 (Axon 1934 and 1933 resp.) has recently shown the importance as critical determinants of pro-inflammatory gene activation in human primary macrophages[1].

[1] A selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response. L.Kruidenier et al. Nature 2012, 488, 404-408.

Enzymes (EC 1.14.11.) Oxygenases, LSD1

The mono- and di-methyl lysine demethylase (LSD1 or KDM1A; EC 1.14.11.27) is a a flavin-bound epigenetic enzyme that oxidatively cleaves methyl groups from monomethyl and dimethyl Lys4 of histone H3 (H3K4Me1, H3K4Me2) and can contribute to gene silencing. Based on its enzymatic mechanism, LSD1 cannot demethylate trimethylated H3K4Me3, but members of the iron-dependent JMJ histone demethylases are known to serve this function. LSD1 is highly expressed in patients with AML, and its overexpression has been implicated in various other tumors. Collectively, these data predicted that the use of small-molecule inhibitors that target LSD1 could result in epigenetic reprogramming that enhanced or facilitated the execution of the ATRA-induced differentiation program in AML cells[12].

[1] P. Prusevich et al. A selective phenelzine analogue inhibitor of histone demethylase LSD1. ACS Chem. Biol. 2014, 9, 1284-1293.
[2] T. Schenk et al. Inhibition of the LSD1 (KDM1A) demethylase reactivates the all-trans-retinoic acid differentiation pathway in acute myeloid leukemia. Nat. Med. 2012, 18, 605-611.

Enzymes (EC 1.14.11.) Oxygenases, HIF-Proline Hydroxylases

The Hypoxia-inducible factor (HIF) transcription complex, which is activated by low oxygen tension, controls a diverse range of cellular processes including angiogenesis, erythropoiesis, bronchodilatation, and cellular metabolism targeted at increasing oxygen delivery to tissues[1]. HIF consists of the subunits HIFα and HIFβ. Whereas the HIFβ subunit is constitutive, HIFα is tightly regulated by oxygen levels through various mechanisms that include protein stability, transcription co-activator recruitment and subcellular localization. The molecular mechanism that controls HIFα protein stability has been characterized in detail. In normoxia, HIFα is ubiquitinated and degraded at the 26S proteasome, while in hypoxia the protein is stabilized. HIFα ubiquitination in normoxia is mediated by the Von Hippel Lindau (VHL) tumor suppressor factor which is the substrate recognition subunit of a multimeric E3 ubiquitin ligase complex. Physical interaction between VHL and HIFα requires hydroxylation of 2 key prolyl residues in the HIFα sequence (P402 and P564 in human HIF-1α), which is catalyzed by the specific prolyl-4-hydroxylases, named PHD1- PHD2 and PHD3 (EC 1.14.11.29). Under hypoxia, PHD hydroxylase activity is reduced; HIFα escapes hydroxylation and proteolysis, leading to HIF nuclear accumulation and transcriptional induction of target genes[2].

[1] S.S. Karuppagounder, R.R. Ratan. Hypoxia-inducible factor prolyl hydroxylase inhibition: robust new target or another big bust for stroke therapeutics? J. Cer. Blood Fl. Met. 2012, 32, 1347-1361.
[2] J.M. Acevedo, L. Centanin, A. Dekanty, P. Wappner. Oxygen Sensing in Drosophila: Multiple Isoforms of the Prolyl Hydroxylase Fatiga Have Different Capacity to Regulate HIFα/Sima. PLoS One. 2010; 5, e12390.

Enzymes (EC 1.14.13.) Oxygenases, NAD(P)H-dependent

Inhibitors of enzymes of this class of oxidoreductases are frequently applied as antifungal agents. Acting on paired donor substrates, and using NADH or NADPH as donor, CYP51A1 is the most evolutionarily conserved member of the cytochrome P450 superfamily, and is involved in the metabolism the steroid lanosterol, a precursor of cholesterol. Azole fungicides are broad spectrum antifungal compounds used in agriculture and in human and veterinary medicine. The mechanism of antifungal action relies on inhibition of CYP51, resulting in inhibition of fungal cell growth[1].

[1] Mouse Knockout of the Cholesterogenic Cytochrome P450 Lanosterol 14α-Demethylase (Cyp51) Resembles Antley-Bixler Syndrome. R. Keber *et al.* Journal of Biological Chemistry 2011, 286, 29086-29097.

Enzymes (EC 1.14.13.) Oxygenases, KMO

Kynurenine 3-monooxygenase (KMO; EC 1.14.13.9) is an enzyme in the eukaryotic tryptophan catabolic pathway (i.e. kynurenine pathway (KP)). KMO is a FAD-dependent monooxygenase, and is located in the outer mitochondrial membrane where it converts L-kynurenine to 3-hydroxykynurenine (3-HK). Inhibition of KMO has shown to cause amelioration of Huntington's disease-relevant phenotypes in yeast, fruit fly, and mouse models, as well as a mouse model of Alzheimer's disease. The effect of KMO inhibition is a shift in the KP toward kynurenine aminotransferase (KAT; EC 2.6.1.7) mediated enhanced kynurenic acid (KYNA) production which, in turn, may cause reduced neuronal vulnerability. Indeed, the most widely used KMO inhibitor, Ro 61-8048 (Axon 2139), is beneficial in rodent models of brain ischemia, cerebral malaria, and trypanosomiasis, and in a primate model of Levodopa-induced dyskinesias[1]. Alterations in the levels of kynurenine pathway metabolites have been linked to the pathogenesis of a spectrum of brain disorders, as well as cancer, and several peripheral inflammatory conditions[2].

[1] D. Zwilling et al. Kynurenine 3-Monooxygenase Inhibition in Blood Ameliorates Neurodegeneration. Cell 2011, 145, 863-874.
[2] M. Amaral et al. Structural basis of kynurenine 3-monooxygenase inhibition. Nature. 2013, 496, 382-385.

Enzymes (EC 1.14.14.) Oxygenases, Monooxygenases

Exemestane (Axon 2045) is an orally active inhibitor of steroidal aromatase (CYP19A1; EC 1.14.14.1), an enzyme involved in the bio-synthesis of estrogen. The drug is used for the treatment of a specific type of breast cancer (ER-type, estrogen receptor positive) in post-monopausal women[1]. Similar to CYP19A1, CYP2B6 (EC 1.14.14.1; biological target of PPP hydrochloride, Axon 1595) belongs to the same class of oxidoreductases that share the common feature of using reduced flavin or flavoprotein as donor in the molecular conversion of substrates. CYP2B6 not only is involved in the metabolism of nicotine, inhibition may also have a significant effect on the efficacy of other drugs in a wide variety of pathologies that depend on CYP2B6 mediated metabolism (a large number of CYP2B6 substrates including clinically used therapeutics, recreational drugs, endogenous chemicals, pesticides and environmental chemicals have been identified)[2].

[1] Exemestane: a review of its use in postmenopausal women with breast cancer. E.D. Deeks, L.J. Scott. Drugs. 2009, 69, 889-918.
[2] CYP2B6: New Insights into a Historically Overlooked Cytochrome P450 Isozyme. H. Wang, L.M. Tompkins. Curr. Drug. Metab. 2008, 9, 598-610.

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Enzymes (EC 1.14.19.) Oxygenases, Desaturases

Long-chain polyunsaturated fatty acids (PUFA) of the ω3- and ω6-series are essential for a number of cellular functions such as maintaining membrane fluidity, providing substrates for eicosanoid signaling, modification of ion channels and regulation of gene expression. In view of that, these fatty acids are involved in several such basic cellular mechanisms, it is not surprising that they influence a number of physiological processes and have been implicated in such diverse conditions as cardiovascular disease, inflammatory diseases, osteoporosis and depression[1]. Both Axon 2091 and Axon 2112 are selective inhibitors of these fatty acid converting enzymes (SCD1 and FADS2 or Δ6-desaturase; EC 1.14.19.1.)

[1] Relationship of Δ6-desaturase and Δ5-desaturase activities with thyroid hormone status in adolescents with eating disorders and weight loss. I. Swenne, B. Vessby. Act. Pædiatrica 2013, 102, 416-418,

Enzymes (EC 1.14.99.) Oxygenases, Cyclooxygenases

Cyclooxygenases (officially: prostaglandin G/H synthase, COX; EC 1.14.99.1) catalyze the first two steps in the biosynthesis of prostaglandins (PGs), being the bis-dioxygenation and subsequent reduction of arachidonic acid (AA) to PGG_2 and PGH_2. The two known isoforms (COX-1 and -2) are the targets of the widely used nonsteroidal anti-inflammatory drugs, indicating a role for these enzymes in pain, fever, inflammation, and tumorigenesis[1]. Due to substrate similarities, inhibitors of this class of enzymes have a lot in common with inhibitors of the class discussed above (EC 1.14.19.1).

[1] Cyclooxygenases: structural and functional insights. C.A. Rouzer, L.J. Marnett. J. Lipid Res. 2009, 50, S29-34.

Enzymes (EC 1.14.99.) Oxygenases, Steroid 17α-monooxygenases

TAK 700 (Axon 2124) Abiraterone (Axon 1873), and its acetylated prodrug (Axon 1874) are targeting the enzyme 17α-hydroxylase/C17,20-lyase, a CYP450 complex (CYP17A1; EC 1.14.99.9) that is involved in testosterone production. This enzyme is expressed in testicular, adrenal, and prostatic tumor tissues and is required for androgen biosynthesis. Both drugs are used for the treatment of castration-resistant prostate cancer (CRPC) with the advantage of the prodrug showing improved bioavailability after oral administration. Alternatively, instead of blocking the enzyme that is responsible for the biosynthesis of testosterone, androgen receptor antagonists like MDV 3100 (Axon 1613) have been studied for the same applications in CRPC[1].

[1] Novel hormonal therapy for castration-resistant prostate cancer. C.N. Sternberg. Ann. Oncol. 2012, 23 (S10), x259-x263.

Enzymes (EC 1.15.1) Dismutases

Dismutation or disproportionation is a type of redox reaction in which a substrate is simultaneously reduced and oxidized to form two different products. As such, the free radical species superoxide is converted into hydrogen peroxide and oxygen by the enzyme Superoxide Dismutase (SOD; EC 1.15.1.1), enzymes responsible for the homeostasis of low levels of reactive oxygen species (ROS). Three forms of superoxide dismutase (SOD1-3) are known to date in mammals, of which SOD1 is located primarily in the cytoplasm, SOD2 in the mitochondria and SOD3 is extracellular. SOD1 and SOD3 are copper/zinc-dependent enzymes, while SOD2 functions by incorporation of manganese in the active site. The harmful species hydrogen peroxide formed by the SOD enzymes can be converted into water (and oxygen) in turn by the enzymes catalase (EC 1.11.1.6) and multiple peroxiredoxins (EC 1.11.1.15), and glutathione[1]. Point mutations of SOD1 are reported to be related to the familial form of amyotrophic lateral sclerosis (ALS), a neurological disease that causes the death of motor neurons with consequent muscular paralysis[2].

[1] I.N. Zelko, T.J. Mariani, R.J. Folz. Superoxide dismutase multigene family: a comparison of the CuZn-SOD (SOD1), Mn-SOD (SOD2), and EC-SOD (SOD3) gene structures, evolution, and expression. Fr. Rad. Biol. Med. 2002, 33, 337-349.
[2] L. Banci et al. SOD1 and amyotrophic lateral sclerosis: mutations and oligomerization. PLoS One. 2008, 3, e1677.

Enzymes (EC 1.17.3.) Oxygenases, Xanthine Oxidases

Xanthine oxidase (XO; EC 1.17.3.2) is an oxidoreductase enzyme that plays an important role in the catabolism of purines in some species, including humans[1]. It catalyzes the oxidation of hypoxanthine to xanthine and can further catalyze the oxidation of xanthine to uric acid. Being capable of reducing the production of uric acid in patients suffering from Gout by means of xanthine oxidase inhibition, Febuxostat (TEI 6720, Axon 1175) and Piraxostat (Y-700, Axon 1174) are two important pharmacological tools for the prophylactic treatment of inflammatory arthritis[2].

[1] Molybdenum-containing hydroxylases. Hille R. Arch. Biochem. Biophys. 2005, 433 (1) 107–16.
[2] Chen LX, Schumacher HR. J Clin Rheumatol. 2008 ,14 (5 Suppl) S55-62.

Enzymes (EC 2.) Transferases

A transferase is an enzyme that catalyzes the transfer of a functional group from one molecule to another. As such, protein kinases are a significant member of this family of enzymes, being capable of transferring phosphorus-containing groups (phosphate) from a donor (usually adenosine triphosphate (ATP)) to specific amino acid residues with a free hydroxyl group of an acceptor in a covalent way[1]. As within the class of oxidoreductases, the class of transferases is divided into subclasses, based on the functional groups the enzymes transfer and the substrate specificity.

[1] The Cell: A Molecular Approach. 2nd edition. Cooper GM. Sunderland (MA): Sinauer Associates; 2000.

Enzymes (EC 2.1.1.) Methyltransferases

Over the recent years, Axon Medchem has significantly expanded its product line in the field of oncology research tools. Among them, the DNA methyltransferase and histone methyltransferase inhibitors comprise a small, yet significant selection of this set of tools. In view of the recent focus in biology on epigenetics, and DNA methylation being the best-known epigenetic marker (see also section: *Axon Ligands™ for Epigenetic Research*), we aim to be up front with these products to serve researchers in their objective to develop new drugs for the treatment of cancers and study the mechanisms involved in gene expression[1].

[1] Epigenetics in Cancer. M. Esteller. N Engl J Med 2008; 358, 1148-1159

Enzymes (EC 2.1.1.) Methyltransferases, DNA

There are many ways that gene expression is controlled in eukaryotes, but methylation of DNA (not to be confused with histone methylation) is a common epigenetic signaling tool that cells use to lock genes in the "off" position. Methylation is an important component in numerous cellular processes, including embryonic development, genomic imprinting, X-chromosome inactivation, and preservation of chromosome stability.
DNA methylation occurs at the cytosine bases of eukaryotic DNA, which are converted to 5-methylcytosine by DNA methyltransferase (DNMT; EC 2.1.1.37) enzymes using S-adenosyl methionine (SAM) as the methyl donor. The altered cytosine residues are usually immediately adjacent to a guanine nucleotide, resulting in two methylated cytosine residues sitting diagonally to each other on opposing DNA strands. Different members of the DNMT family of enzymes act either as de novo DNMTs, putting the initial pattern of methyl groups in place on a DNA sequence, or as maintenance DNMTs, copying the methylation from an existing DNA strand to its new partner after replication. Although patterns of DNA methylation appear to be relatively stable in somatic cells, patterns of histone methylation can change rapidly during the course of the cell cycle. Despite this difference, several studies have indicated that DNA methylation and histone methylation at certain positions are connected[1].
O6-methylguanine lesions, which are widely accepted as the primary cytotoxic lesions induced by methylating agents, are efficiently repaired by the DNA repair enzyme O6-methylguanine DNA methyltransferase (MGMT; EC 2.1.1.63) that removes the methyl adducts from the O6 positions of guanine by transferring it to its internal cysteine residues, resulting in its own inactivation[2]. It is ubiquitously expressed, highly conserved, and vital to the maintenance of DNA integrity. Evidendce has been accumulated that tumors expressing MGMT are remarkably resistant to methylating agents, and this problem might be circumvented by specific inhibitors of MGMT[3].

[1] T. Phillips. The Role of Methylation in Gene Expression. Nat. Edu. 2008, 1, online publ.
[2] Y. Huang et al. MGMT is a molecular determinant for potency of the DNA-EGFR-combi-molecule ZRS1. Mol. Cancer Res. 2011, 9, 320-331.
[3] H.A. Tawbi et al. Inhibition of DNA repair with MGMT pseudosubstrates: phase I study of lomeguatrib in combination with dacarbazine in patients with advanced melanoma and other solid tumours. Br. J. Cancer. 2011, 105, 773-777.

Enzymes (EC 2.1.1.) Methyltransferases, Histone

Covalent modifications of histone tails have fundamental roles in chromatin structure and function. One such modification, lysine methylation, has important functions in many biological processes that include heterochromatin formation, X-chromosome inactivation and transcriptional regulation. The DNA within our cells exists in the form of chromatin. The basic building block of chromatin is the nucleosome, a structure consisting of an octamer of four core histone proteins around which 147 base pairs of DNA is wrapped. Core histones are subject to a large number of covalent modifications (PTMs: Post Translational Modifications) including acetylation, methylation, phosphorylation and ubiquitination. Histone methylation occurs on arginine and lysine residues and is catalyzed by enzymes belonging to three distinct families of proteins: the protein arginine specific methyl transferase (PRMT1) family, the SET-domain-containing protein family, and the non-SET-domain proteins DOT1/DOT1L. Unlike acetylation, which generally correlates with transcriptional activation, histone lysine methylation can signal either activation or repression, depending on the sites of methylation. Similar to the discovery that bromodomains can recognize acetylated lysines, studies on histone methylation identified at least three protein motifs (the Chromo-, the Tudor-, and the WD40-repeat domain) that are capable of forming specific interactions with methylated lysine residues of histone[1,2].G9a HMTase (EHMT2; EC 2.1.1.43), a mammalian Histone methyltransferase, is a key enzyme for histone H3 dimethylation at lysine-9 (H3K9me2), which is an epigenetic mark of gene suppression. EHMT2 is highly expressed in human cancer cells and plays a key role in promoting cancer invasion and metastasis[3].

[1] C. Martin, Y. Zhang. The diverse functions of histone lysine methylation. Nat. Rev. Mol. Cell Biol. 2005, 6, 838-849.
[2] E.L. Greer, Y. Shi . Histone methylation: a dynamic mark in health, disease and inheritance. Nat. Rev. Genetics 2012, 13, 343-357
[3] Z. Lu et al. Histone-lysine methyltransferase EHMT2 is involved in proliferation, apoptosis, cell invasion, and DNA methylation of human neuroblastoma cells. Anti-Cancer Drugs 2013, 24, 484-493.

Enzymes (EC 2.3.) Acyltransferases

The class of acylgroup transferring enzymes includes a multitude of substrate specific enzymes. For example, diacylglycerol O-acyltransferase 1 (DGAT1; EC 2.3.1.20) catalyzes the final step of the synthesis of triglycerides (TG) and plays a critical role in dietary fat absorption in the small intestine. Therefore, it is a potential therapeutic target for treatment of obesity and related metabolic diseases[1]. The co-enzyme Acyl-CoA is the donor substrate of the acetyl group that is transferred to the diglyceride substrates of DGAT1.

RU-SKI 43 hydrochloride (Axon 2035) is a specific inhibitor of the enzyme Hedgehog acyltransferase (HHAT; EC 2.3.1.) and catalyzes the attachment of palmitate to the N-terminal cysteine of Sonic hedgehog (ShhN, a Shh precursor) via an amide bond. Mature Shh is a secreted signaling protein that is essential for proper embryonic development. In adults, aberrant Shh signaling drives initiation and maintenance of medulloblastoma and basal cell carcinoma and has been implicated in the progression of prostate cancer, gastrointestinal tumors and pancreatic cancer[2].

ATP citrate lyase (ACL; EC 2.3.3. 8) is a cytosolic enzyme that catalyzes the synthesis of acetyl-CoA and oxaloacetate using citrate, CoA, and ATP as substrates and Mg^{2+} as a necessary cofactor, and it is expressed in lipogenic tissues such as liver and adipose[3]. In mammals, the formation of acetyl-CoA is an essential step for the de novo synthesis of fatty acid (FA) and cholesterol for converting the carbohydrate carbon energy source into lipids. Hence, it has been thought that ACL inhibition would be beneficial for the treatment of obesity and dyslipidemia through the simultaneous inhibition of endogenous synthesis of FA and cholesterol[4]. Interestingly, it was found that ACL is also required for increases in histone acetylation in response to growth factor stimulation and during differentiation, and that glucose availability can affect histone acetylation in an ACL-dependent manner[5].

[1] Y. Hiramine, T. Tanabe. Characterization of acyl-coenzyme A:diacylglycerol acyltransferase (DGAT) enzyme of human small intestine. J. Physiol. Biochem. 2011, 67, 259-264.
[2] E. Petrova et al. Inhibitors of Hedgehog acyltransferase block Sonic Hedgehog signaling. Nat. Chem. Biol. 2013, 9, 247-249.
[3] JJ Li et al. 2-hydroxy-N-arylbenzenesulfonamides as ATP-citrate lyase inhibitors. Bioorg Med Chem Lett. 2007 Jun 1;17(11):3208-11.
[4] Z Ma et al. A novel direct homogeneous assay for ATP citrate lyase. J Lipid Res. 2009 Oct;50(10):2131-5.
[5] KE Wellen et al. ATP-citrate lyase links cellular metabolism to histone acetylation. Science. 2009 May 22;324(5930):1076-80.

Enzymes (EC 2.3.1.) Acyltransferases, Histone

One member of particular interest in the family of acyltransferases is the group of histone acetyltransferases (HATs; EC 2.3.1.48). Enzymes of this kind acetylate core histones, which results in important regulatory effects on chromatin structure and assembly, and gene transcription. In the nucleus of eukaryotic cells, DNA is highly compacted and organized into chromatin, whose basic unit is the nucleosome, composed by DNA and an octamer of core histones (H2A, H2B, H3, H4). The histones expose their N-terminal tails out of the octamer. These tails can be highly post-translationally modified, leading to the transcription regulation. While histone acetylation is a dynamic reversible process, the balance of histone acetylation is important for proper cellular function.

Based on their catalytic domains, HATs can be grouped into three groups, mainly: the GNATs (Gcn5 N-acetyltransferases), the 60 kDa Tat interactive protein (MYSTs) and the orphan HATs. P300/CBP-associated factor (PCAF), Elp3, Hat1, Hpa2 and Nut1 belong to the first group, with the founding member, GCN5. Morf, Ybp2, Sas2 and Tip60 represent the second group. Not containing a precise consensus HAT domain, the third group is called 'orphan', although these enzymes show an intrinsic HAT activity. p300/CBP, for example, belongs to this group together with Taf1 and several nuclear receptor (NR) co-activators[1].

NAT10 (or human N-acetyltransferase-like protein (hALP); EC 2.3.1.xx) is primarily identified as an activator for up-regulating telomerase activity through stimulation of transcription of hTERT together with histone acetyltransferase activity. This gene also responds to DNA damage, in which the transcriptional activity of the NAT10 promoter may be specifically stimulated, and it thus also serves to enhance cell survival in the presence of genotoxic agents[2]. Additionally, NAT10, that localizes mainly in the nucleolus, can mediate nuclear shape rescue in laminopathic cells via microtubule reorganization (tubulin is a known NAT10 substrate). Down-regulation and mutations of the nuclear-architecture proteins lamin A and C cause misshapen nuclei and altered chromatin organization associated with cancer and laminopathies, including the premature-aging disease Hutchinson-Gilford progeria syndrome (HGPS). Inhibition of NAT10 KAT activity in laminopathic cells reduces microtubule anchorage, thereby releasing an external force on the nuclear envelope, and thus contributes to nuclear shape rescue and global enhancement of cellular fitness[3].

Besides HATs, the cell has evolved enzymes that catalyze the removal of acetyl groups from histone as well, termed histone deacetylases (HDACs, section Enzymes (EC 3.5.1.))[4].

[1] F. Manzo, F. P. Tambaro, A. Mai, L. Altucci. Histone acetyltransferase inhibitors and preclinical studies. Exp. Opin. Ther. Pat. 2009, 19, 761-774.
[2] Q. Shen et al. NAT10, a nucleolar protein, localizes to the midbody and regulates cytokinesis and acetylation of microtubules. Exp. Cell Res. 2009, 315, 1653-1667.
[3] D. Larrieu et al. Chemical inhibition of NAT10 corrects defects of laminopathic cells. Science. 2014, 344, 527-532.
[4] Histone acetyltransferase complexes: one size doesn't fit all. K.K. Lee, J.L. Workman. Nature Reviews Mol. Cell Biol. 2007, 8, 284-295.

Enzymes (EC 2.3.1.) Acyltransferases, Porcupine

Porcupine (PORCN; EC 2.3.1.) is a a multi-pass integral membrane-bound O-acyl transferase (MBOAT) that is required for post-translational modification of all Wnt proteins to enable their transport, secretion, and activity. Since PORCN has no known function beyond its role in the biogenesis of Wnts, it is therefore an attractive therapeutic target in diseases with dysregulated Wnt signaling (e.g. diseases related to stem cell biology, proliferation and angiogenesis)[1]. Compromised Porcn activity commonly results in developmental disorders including focal dermal hypoplasia (Goltz syndrome), whereas hyperactivity of Porcn is associated with cancerous cell growth. Inhibition of PORCN can be an effective strategy for broadly suppressing Wnt signaling and thus hold potential in regenerative medicine and anticancer applications[2].

[1] T.M. Covey et al. PORCN moonlights in a Wnt-independent pathway that regulates cancer cell proliferation. PLoS ONE 2012, 7, e34532.
[2] X. Wang et al. The development of highly potent inhibitors for porcupine. J. Med. Chem. 2013, 56, 2700-2704.

Enzymes (EC 2.3.2.) Aminoacyltransferases

The ribosomal peptidyl transferase center (PTC) resides in the large ribosomal subunit (50S), where two fundamental biological reactions are processed and catalyzed: peptidyl transfer, the formation of a peptide bond during protein synthesis, and peptidyl hydrolysis, the release of the complete protein from the peptidyl tRNA upon completion of translation. Prokaryotic ribosomes consist of two subunits, the large 50S subunit and the smaller 30S subunit; together they form the 70S ribosome, a molecular machine that selects its substrates, aminoacyl-tRNAs (aa-tRNAs), rapidly and accurately and catalyzes the synthesis of peptides from amino acids. The 30S subunit contains the decoding site, where base-pairing interactions between the mRNA codon and the tRNA anticodon determine the selection of the cognate aa-tRNA. The large ribosomal subunit contains the site of catalysis: the peptidyl transferase (PT; EC 2.3.2.12) center, which is responsible for making peptide bonds during protein elongation and for the hydrolysis of peptidyl-tRNA (pept-tRNA) during the termination of protein synthesis[1,2]. The peptidyl transferase center is a major target of many natural and synthetic antibiotics.

[1] E.K.Yun Leung et al. The Mechanism of Peptidyl Transfer Catalysis by the Ribosome. Annu. Rev. Biochem. 2011, 80, 527-555.
[2] N. Polacek, A.S. Mankin. The Ribosomal Peptidyl Transferase Center: Structure, Function, Evolution, Inhibition. Crit. Rev. Biochem. Mol. Biol. 2005, 40, 285-311.

Enzymes (EC 2.4.) Glycosyltransferases

Glycosyltransferases catalyze glycosidic bond formation using sugar donors containing a nucleoside phosphate or a lipid phosphate leaving group. Two structural folds, GT-A and GT-B, have been identified for the nucleotide sugar-dependent enzymes, but other folds are now appearing for the soluble domains of lipid phosphosugar-dependent glycosyl transferases. Donor sugar substrates are most commonly activated in the form of nucleoside diphosphate sugars (e.g., UDP Gal, GDP Man); however, nucleoside monophosphate sugars (e.g., CMP NeuAc), lipid phosphates (e.g., dolichol phosphate oligosaccharides), and unsubstituted phosphate are also used. Nucleotide sugar-dependent glycosyltransferases are often referred to as Leloir enzymes. The acceptor substrates utilized by glycosyltransferases are most commonly other sugars but can also be a lipid, protein, nucleic acid, antibiotic, or another small molecule. Glycosyl transfer most frequently occurs to the nucleophilic oxygen of a hydroxyl substituent of the acceptor. However, it can also occur to nitrogen, sulfur, and carbon nucleophiles[1].

[1] L.L. Lairson et al. Glycosyltransferases: Structures, Functions, and Mechanisms. Ann. Rev. Biochem. 2008, 77, 521-555.

Enzymes (EC 2.4.1.) Glycosyltransferases, GPases

Glycogen phosphorylase (GP; EC 2.4.1.1) is the enzyme responsible for controlling the rate of glycogen degradation, which involves catalyzing the phosphorylytic cleavage of α1-4 glycosidic bonds found within macro-glycogen molecules, thus producing glucose-1-phosphate monomers (Glc-1-P), a process referred to as glycogenolysis. Therefore, both glycogen synthesis and glucose liberation are intimately linked, the relationship being controlled by hormonal stimulation (insulin, glucagon, adrenaline, epinephrine). Allosteric effectors binding in specific and localized sites tightly regulate this catalytic activity[1].
Three isoforms of GP have been identified and are located within metabolically active tissues in the human body; the brain (bGP), liver (lGP) and skeletal muscle (mGP). Activation/deactivation of GP is a controlled process sensitive to intra- and extracellular signals. GP is an archetypal control enzyme and fine regulation is made possible through four major sites present on each monomer: catalytic site (C-site), glycogen site (G-site), nucleotide binding site (adenosine monophosphate (AMP)-site) and phosphorylation site (P-site). Interestingly, important regulation steps are performed outside the catalytic cavity making GP a case of study for allosteric interactions. Two states of GP prevail symbolizing its activity state: inactive T state (Tense state) and active R state (Relaxed state). The binding of specific effectors assures the transition between the two states. The inhibition of GP has been proposed as one method for treating type 2 diabetes[2].

[1] N. Gaboriaud-Kolar, A.L. Skaltsounis. Glycogen phosphorylase inhibitors: a patent review (2008-2012). Exp. Opin. Ther. Pat. 2013, 23, 1017-1032.
[2] D.J. Baker, P.L. Greenhaff, J.A. Timmons. Glycogen phosphorylase inhibition as a therapeutic target: a review of the recent patent literature. Exp. Opin. Ther. Pat. 2006, 16, 459-466.

Enzymes (EC 2.4.2.) Glycosyltransferases, NAMPT

Nicotinamide phosphoribosyltransferase (NAMPT; EC 2.4.2.12), was originally discovered as the cytokine pre-B-cell colony-enhancing factor 1 (PBEF1) or visfatin, and has several suggested functions. It was found to be an important cofactor for stem cell factor– and interleukin (IL)-7-mediated B cell maturation. However, in 2002 the murine homologue of PBEF was found, and this proved to be an enzyme catalyzing the reaction between nicotinamide and 5-phosphoribosyl-1-pyrophosphate yielding nicotinamide mononucleotide (NMN), an intermediate in the biosynthesis of NAD/NADH: central molecules involved in energy metabolism, reductive biosynthesis, and antioxidation, histone deacetylation, cell death, and intracellular calcium release. This widened its potential biological activities. Interestingly, both extracellular (cytokine-like) and intracellular (enzymatic) functions seem to be responsible for its relevance in immunity, metabolism, and stress responses in both physiology and pathophysiology[1].

[1] T.B. Dahl, S. Holm , P. Aukrust, B. Halvorsen. Visfatin/NAMPT: a multifaceted molecule with diverse roles in physiology and pathophysiology. Annu. Rev. Nutr. 2012, 32, 229-243.

Enzymes (EC 2.4.2.) Glycosyltransferases, PARP

Poly (ADP-ribose) polymerase (PARP; EC 2.4.2.12) is found in the cell's nucleus. The main role is to detect and signal single strand DNA breaks, preventing healthy cells from malfunctioning and programmed cell death. Cancer cells may also use PARP to repair DNA damage, thus extending their uncontrolled growth. Such cancers can become resistant to treatment (chemotherapy and/or radiation). PARP inhibitors may be especially helpful for the treatment of tumors due to genetic mutations of BRCA1 and BRCA2[1]. Human tankyrases (TNKS; EC 2.4.2.30), or TRF1-interacting ankyrin-related ADP-ribose polymerases, are specific PARPs that enhance telomerase access to telomeres, and post-translationally modify multiple proteins involved in processes including maintenance of telomere length, sister telomere association, and trafficking of glut4-containing vesicles.[2,3]. Tankyrase 1 and tankyrase 2 are poly(ADP-ribosyl)ases that are distinguishable from other members of the enzyme family by the structural features of the catalytic domain, and the presence of a sterile α-motif multimerization domain and an ankyrin repeat protein-interaction domain[4].

[1] A. Patel, S.H. Kaufmann. Development of PARP Inhibitors: An Unfinished Story. Oncology. 2010, 24, 66-68.
[2] Y.J. Chiang et al. Tankyrase 1 and Tankyrase 2 Are Essential but Redundant for Mouse Embryonic Development. PLoS ONE 2008, 3, e2639.
[3] H. Seimiya. The telomeric PARP, tankyrases, as targets for cancer therapy. Br. J. Cancer. 2006, 94, 341-345.
[4] L. Lehtiö et al. Tankyrases as drug targets. FEBS J. 2013, 280, 3576-3593.

Enzymes (EC 2.5.1.) Prenyltransferases

Farnesyltransferase is one of the three members of the family of prenyltransferases that catalyzes the formation of a thio-ether linkage between the C-1 of an isoprenyl group and a cysteine residue fourth from the C-terminus of the farnesyl protein. In general, substrates of the prenyltransferases include Ras, Rho, Rab, other Ras-related small GTP-binding proteins, gamma-subunits of heterotrimeric G-proteins, nuclear lamins, centromeric proteins and many proteins involved in visual signal transduction. The farnesyltransferase inhibitors, such as LB 42708 (Axon 1794), induce growth arrest and apoptosis in various human cancer cells by inhibiting the posttranslational activation of Ras. As a result, they suppress the release of vascular endothelial growth factor (VEGF) from tumor cells. Subsequently LB 42708 can suppress angiogenesis in vitro and in vivo by blocking the mitogen-activated protein kinase kinase/extracellular signal-regulated kinase/p38 mitogen-activated protein kinase (MAPK) and phosphatidylinositol 3-kinase (PI3K)/Akt/endothelial nitric-oxide synthase pathways in endothelial cells without altering FAK/Src activation[1].
Glutathione S-transferase P1 (GSTP1 or GSTP-π; EC 2.5.1.18) is a member of a super-gene family of phase II metabolic enzymes, which are involved in conjugation reaction in phase II metabolism of xenobiotics. GSTP1 catalyzes the reactions between glutathione and a variety of potentially toxic and carcinogenic electrophilic compounds. Moreover, GSTs also play an important role in modulating the induction of other enzymes and proteins for cellular functions, such as DNA repair[2]. GSTP1 genetic polymorphism is being shown to be an important determinant not only of response to cancer chemotherapy but also of individual susceptibility to cancer[3]. More specifically, analyses of somatic genome alterations in prostatic carcinoma cells have revealed that somatic inactivation of GSTP1, may serve as an initiating genome lesion for prostatic carcinogenesis[4].

[1] The Farnesyltransferase Inhibitor LB42708 Suppresses Vascular Endothelial Growth Factor-Induced Angiogenesis by Inhibiting Ras-dependent Mitogen-Activated Protein Kinase and Phosphatidylinositol 3-Kinase/Akt Signal Pathways. C.K. Kim et al. Mol. Pharmacol. 2010, 78, 142-150.
[2] Z. Mo et al. An updating meta-analysis of the GSTM1, GSTT1, and GSTP1 polymorphisms and prostate cancer: a HuGE review. Prostate. 2009 May 1;69(6):662-88.
[3] H.W. Lo et al. The human glutathione S-transferase P1 protein is phosphorylated and its metabolic function enhanced by the Ser/Thr protein kinases, cAMP-dependent protein kinase and protein kinase C, in glioblastoma cells. Cancer Res. 2004 Dec 15;64(24):9131-8.
[4] W.G. Nelson et al. The molecular pathogenesis of prostate cancer: Implications for prostate cancer prevention. Urology. 2001 Apr;57(4 Suppl 1):39-45.

Enzymes (EC 2.6.1.) Aminotransferases

Kynurenic acid (KYNA) is formed enzymatically by the irreversible transamination of the pivotal kynurenine pathway metabolite l-kynurenine (l-KYN). This reaction is catalyzed by pyridoxal 5′-phosphate (PLP) dependent aminotransferases. At least four aminotransferases can utilize L-KYN as the amino donor of the transamination reaction in the mammalian brain. However, only one of them, kynurenine aminotransferase II (KAT II, E.C. 2.6.1.7), recognizes L-KYN unencumbered by abundant, competing amino acid substrates. This explains why KAT II accounts for the majority of cerebral KYNA synthesis in rat and human brain tissue[1,2].

[1] F. Rossi et al. Crystal structure-based selective targeting of the pyridoxal 5'-phosphate dependent enzyme kynurenine aminotransferase II for cognitive enhancement. J. Med. Chem. 2010, 53, 5684-5689.
[2] L. Amori et al. On the relationship between the two branches of the kynurenine pathway in the rat brain in vivo. J. Neurochem. 2009, 109, 316-325.

Enzymes (EC 2.7.) Phosphorus-containing Group Transferases

The large group of enzymes that are classified according to the Enzyme Commission number EC 2.7. are officially defined as family of transferases that transfer phosphorous-containing groups from one substrate to another, It comprises general kinases and nucleotidyltransferases, among many other transferases. The section is subdivided

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according to the acceptor group. The protein kinases in this section are divided into the sub-subclasses protein-tyrosine kinases (EC 2.7.10), protein-serine/threonine kinases (EC 2.7.11), dual-specificity kinases (EC 2.7.12), protein-histidine kinases (EC 2.7.13) and other protein kinases (EC 2.7.99).

Enzymes (EC 2.7.1.) Kinases, Hydroxide acceptors

When enzymes are capable of transferring phosphate groups to an alcohol moiety of the acceptor substrate, they are categorized as EC 2.7.1. Ceramide kinase (CerK; EC 2.7.1.138) is an enzyme that phosphorylates endogenous ceramides, a family of waxy lipid molecules composed of sphingosine and a fatty acid. Ceramides are found in high concentrations within the cell membrane of cells and are one of the component lipids that make up sphingomyelin, one of the major lipids in the lipid bilayer. CerK was cloned and categorized on the basis of homology as a subclass of the family of diacylglycerol kinase (DAGK), distinct from sphingosine kinases (SPHK). CerK bears a Pleckstrin Homology (PH) domain which is required for membrane binding in vitro, sub-cellular localization at membrane compartments, and enzymatic activity. NVP 231 (Axon 1600) potently and selectively inhibits the binding of ceramide to CerK, resulting in decreased levels of the endogenous bioactive lipid ceramide-1-phosphate (C1P), and increased levels of ceramide and reduced cell growth[1].
Galactokinase (GALK; EC 2.7.1.6) catalyzes the conversion of α-D-galactose to galactose 1-phosphate, the second step in the pathway of the conversion of β-D-galactose, to the more metabolically useful glucose 1-phosphate (Leloir pathway). Defects in the human enzyme can result in the diseased state referred to as galactosemia[2]. Additionally, galactokinase-like molecules have been shown to act as sensors for the intracellular concentration of galactose and, under suitable conditions, to function as transcriptional regulators.
Adenosine (ADO) is an endogenous homeostatic inhibitory neuromodulator that reduces cellular excitability at sites of tissue injury and inflammation. The effects of ADO on cellular excitability are mediated via interactions with different cell surface receptor subtypes (termed P1 receptors: A1, A2A, A2B, and A3 receptor subtypes) and can result in cellular protection during conditions of physiological stress or trauma, including ischemia, seizures, inflammation, and pain[3]. The effects of extracellular ADO are terminated by its reuptake and phosphorylation by ADO kinase (ADK; EC 2.7.1.20) and via deamination by adenosine deaminase (ADA; EC 3.5.4.4). By preventing ADO phosphorylation, ADK inhibition increases intracellular ADO concentrations, altering the equilibrium of the bidirectional transport systems responsible for ADO reuptake with the net effect of increasing the local concentration of ADO in the extracellular compartment. Therefore, ADK inhibitors may have therapeutic potential as analgesic and anti-inflammatory agents[4].

[1] C. Graf et al. Targeting ceramide metabolism with a potent and specific ceramide kinase inhibitor. Mol. Pharmacol. 2008, 74, 925-932.
[2] H.M. Holden et al. Galactokinase: structure, function and role in type II galactosemia. Cell. Mol. Life Sci. 2004, 61, 2471-2484.
[3] M.F. Jarvis et al. ABT-702 (4-amino-5-(3-bromophenyl)-7-(6-morpholinopyridin-3-yl)pyrido[2, 3-d]pyrimidine), a novel orally effective adenosine kinase inhibitor with analgesic and anti-inflammatory... J Pharmacol Exp Ther. 2000 Dec;295(3):1156-64.
[4] C.H. Lee et al. Discovery of 4-amino-5-(3-bromophenyl)-7-(6-morpholino-pyridin-3-yl)pyrido[2,3-d]pyrimidine, an orally active, non-nucleoside adenosine kinase inhibitor. J Med Chem. 2001 Jun 21;44(13):2133-8.

Enzymes (EC 2.7.1.) Kinases, Hydroxide acceptors, GK

The glucose-phosphorylating enzyme glucokinase (GK; EC 2.7.1.2) was identified as an outstanding drug target for developing antidiabetic medicines because it has an exceptionally high impact on glucose homeostasis because of its glucose sensor role in pancreatic β-cells and as a rate-controlling enzyme for hepatic glucose clearance and glycogen synthesis, both processes that are impaired in type 2 diabetes[1]. GK facilitates the phosphorylation of glucose to glucose-6-phosphate (G6P), which is the first step of both glycogen synthesis and glycolysis.

[1] Glucokinase Activators for Diabetes Therapy. Diabetes Care 2011, 34, S236-S243

Enzymes (EC 2.7.1.) Kinases, Hydroxide acceptors, SphK

Sphingosine-1-phosphate (S1P), a lipid metabolite, has been recently demonstrated to be an important signaling mediator for vital cellular and physiological processes, such as cell motility, invasion, proliferation, angiogenesis and apoptosis. S1P is produced from ceramide and sphingosine via phosphorylation by two isoenzymes (EC 2.7.1.91), sphingosine kinase-1 (SphK1) and sphingosine kinase-2 (SphK2). The regulation of the levels of these metabolites, a so called “sphingolipid rheostat”, is complex and a number of enzymes have been demonstrated to be important. Upon production, S1P interacts with a family of G protein-coupled receptors (S1PR1–5) on the cell surface and/or intracellular targets, such as histone deacetylase (HDAC) and TRAF2, to play a plethora of roles in diverse pathophysiological conditions such as inflammation, immunity and cancer. Although SphK1 and SphK2 share a high degree of homology, they differ significantly in size, tissue distribution, and subcellular localization[1].

[1] K. Liu et al. Biological characterization of 3-(2-amino-ethyl)-5-[3-(4-butoxyl-phenyl)-propylidene]-thiazolidine-2,4-dione (K145) as a selective sphingosine kinase-2 inhibitor and anticancer agent. PLoS One. 2013, 8, e56471.

Enzymes (EC 2.7.1.) Kinases, Hydroxide acceptors, PFKFB

Unlike normal cells, cancer cells have been noted to shift their energy metabolism toward glycolysis. This phenomenon, originally termed the Warburg effect, allows cancer cells to satisfy increased biosynthetic requirements for biomass and energy. The HIF-1-induced PFKFB3 (EC 2.7.1.105) plays a key role in this adaptation of cancer cells to adopt glycolysis as the major source of metabolic energy production for fast cell growth. It does so by elevating the concentration of Fru-2,6-BP, the most potent glycolysis stimulator. As this metabolic conversion has been suggested to be a hallmark of cancer, PFKFB3 has emerged as a novel target for cancer chemotherapy[1].

[1] M. Seo, J.D. Kim, D. Neau, I. Sehgal I, Y.H. Lee. Structure-Based Development of Small Molecule PFKFB3 Inhibitors: A Framework for Potential Cancer Therapeutic Agents Targeting the Warburg Effect. PLoS ONE 2011, 6, e24179.

Enzymes (EC 2.7.1.) Kinases, Hydroxide acceptors, PKM

PKM2 (EC 2.7.1.40) is an isoenzyme of the glycolytic enzyme pyruvate kinase. Four isoforms of pyruvate kinase have been characterized: the L (PKL) and R (PKR) isoforms, and the M1 (PKM1) and M2 (PKM2) isoforms. The M2 isoform of catalyzes the final and also a rate-limiting reaction in the glycolytic pathway. The less active form of PKM2 drives glucose through the route of aerobic glycolysis, while active PKM2 directs glucose towards oxidative metabolism. Additionally, PKM2 possesses protein tyrosine kinase activity and plays a role in modulating gene expression and thereby contributing to tumorigenesis. Since all tumor cells exclusively express the embryonic M2 isoform of PK, it is hypothesized that PKM2 is a potential target for cancer therapy. Modulation of PKM2 might also be effective in the treatment of obesity, diabetes, autoimmune conditions, and antiproliferation-dependent diseases[1].

[1] N. Wong et al. PKM2, a Central Point of Regulation in Cancer Metabolism. Int. J. Cell Biol. 2013, 2013, 242513.

Enzymes (EC 2.7.1.) Kinases, Hydroxide acceptors, PI3K

Another significantly large group of kinases that regulate the transfer phosphate groups to hydroxyl groups of substrates is the class of PI3K’s (Phosphatidylinositide 3-kinases, EC 2.7.1.153), a family of enzymes involved in cellular functions

such as cell growth, proliferation, differentiation, motility, survival and intracellular trafficking. The family may be divided into three different classes (I-III), based on primary structure, regulation, and in vitro lipid substrate specificity[1]. Since PI3K’s play a crucial role in the PI3K/AKT/mTOR signaling pathway, Axon Ligands™ interacting with this group of kinases are listed individually in the section for PI3K/AKT/mTOR signaling.

[1] PI3K/Akt/mTOR pathway as a target for cancer therapy. D. Morgensztern, H.L. McLeod Drugs 2005, 16, 797–803

Enzymes (EC 2.7.4.) Phosphotransferases

Thymidylate kinase (aka TMPK; EC 2.7.4.9) is involved in the pathway dTTP biosynthesis, which is part of Pyrimidine metabolism. It phosphorylates thymidine 5′-monophosphate (dTMP) to thymidine 5′-diphosphate (dTDP), and finally by nucleoside-diphosphate kinase (NDK; EC 2.7.4.6) to thymidine triphosphate (dTTP), a building block of DNA. This pathway is unique in that all other dNDPs, including dUDP, are directly produced by ribonucleotide reductase (RNR; EC 1.17.4.1). TMPK has an important function in cell proliferation, and is well recognized as a potential drug target, with the most notable function being in the activation of anti-HIV nucleoside prodrugs. Recent studies have shown that TMPK is a validated target for antibiotic development against grampositive bacteria of M.tuberculosis and S.aureus as well[1], and a modulator that can increase the potential of anticancer agent doxorubicin toward colon cancer cells regardless of p53 status[2]. Mechanistic studies have demonstrated that the lack of TMPK functionality in cancer cells leads to dUTP misincorporation in DNA repair, resulting in cancer cell death[3].

[1] L Song et al. Elaboration of a proprietary thymidylate kinase inhibitor motif towards anti-tuberculosis agents. Bioorg Med Chem. 2016 Nov 1;24(21):5172-5182.
[2] Q Cui et al. Thymidylate kinase: an old topic brings new perspectives. Curr Med Chem. 2013;20(10):1286-305.
[3] CM Hu et al. Tumor cells require thymidylate kinase to prevent dUTP incorporation during DNA repair. Cancer Cell. 2012 Jul 10;22(1):36-50.

Enzymes (EC 2.7.7.) Nucleotidyltransferases

Reverse-transcriptase inhibitors (RTIs) are a class of antiretroviral drugs that inhibit the activity of reverse transcriptase, a viral DNA polymerase that is required for replication of HIV and other retroviruses. Three forms of RTIs are known, of which nucleoside- and nucleotide reverse transcriptase inhibitors (NRTIs and NtRTIs respectively) essentially show similar modes of action, while non-nucleoside reverse-transcriptase inhibitors have a completely different mode of action. NNRTIs block reverse transcriptase by binding at a different site on the enzyme, compared to NRTIs and NtRTIs. NNRTIs are not incorporated into the viral DNA but instead inhibit the movement of protein domains of reverse transcriptase that are needed to carry out the process of DNA synthesis. NNRTIs are therefore classified as non-competitive inhibitors of reverse transcriptase[1].
Telomerase (EC 2.7.7.49), a unique enzyme that contains telomerase reverse transcriptase (TERT) and a template-containing RNA component (TR), facilitates the solution of both chromosome end-related problems: the chromosome end-protection problem and the chromosome end-replication problem. By synthesizing multiple tandem repeats of DNA (called telomeric DNA) encoded by its RNA template, telomerase compensates for the erosion of DNA ends during replication and provides the docking sites for telomeric proteins that bind specifically to the ends of chromosomes to distinguish them from broken DNA ends. The action of telomerase is required for the survival of continuously dividing cells such as those of unicellular eukaryotes[2].
RNA polymerases (RNAP or Pol; EC 2.7.7.6) are highly conserved multisubunit enzyme complexes (14, 12, and 17 subunits for RNAP1-3, respectively) in eukaryotes[3]. By responding to changes in the cellular environment, transcription by RNA polymerase I ultimately determines ribosome production and the potential for cell growth and proliferation. RNAP1 is unique in that in most eukaryotes its sole function is the transcription of genes encoding the large rRNAs. Like Pol II and Pol III, it requires auxiliary factors that mediate promoter recognition, promote transcription elongation, and facilitate transcription termination[4].
HIV-1 integrase (IN; EC 2.7.7.49) is a polynucleotidyltransferase that catalyzes the integration of the DNA copy of the viral genome into the genome of the host cell. During viral infection, IN catalyzes two consecutive reactions, each proceeding by direct transesterification reactions catalyzed at a single active site in the enzyme's core. In the first reaction, IN removes two nucleotides from the from the 3′-end of each strand of the nascent viral DNA, leaving a recessed 3′CA dinucleotide. After migration into the nucleus of the infected cell as part of the nucleoprotein complex, IN covalently attaches each 3′ processed viral end to the host cell DNA, a reaction termed strand transfer[5]. IN also catalyzes an apparent reversal of the strand transfer reaction, a process known as disintegration[6].

[1] L.J. Scott, C.M. Perry. Delavirdine: a review of its use in HIV infection. Drugs. 2000, 60, 1411-1444.
[2] J. Nandakumar et al. Finding the end: recruitment of telomerase to telomeres. Nat. Rev. Mol. Cell Biol. 2013, 14, 69-82.
[3] A. Vannini et al. Conservation between the RNA polymerase I, II, and III transcription initiation machineries. Mol. Cell. 2012, 45, 439-446.
[4] I. Grummt. Life on a planet of its own: regulation of RNA polymerase I transcription in the nucleolus. Genes Dev. 2003, 17, 1691-1702.
[5] N. Sluis-Cremer et al. Modulation of the oligomeric structures of HIV-1 retroviral enzymes by synthetic peptides and small molecules. Eur J Biochem. 2002 Nov;269(21):5103-11.
[6] N. Neamati et al. Diarylsulfones, a novel class of human immunodeficiency virus type 1 integrase inhibitors. Antimicrob Agents Chemother. 1997 Feb; 41(2): 385–393.

Enzymes (EC 2.7.10.) Kinases, Tyrosine specific

Tyrosine specific kinases form a large family of enzymes that are responsible for catalyzing the transfer of ATP to specific tyrosine residues in target proteins. In turn, the phosphorylation of tyrosine residues causes a change in the function of the protein that they are contained in. They function in a variety of processes, signal transduction pathways, and actions, and may be responsible for key events in the body[1]. Axon Ligands™ that interact with tyrosine specific enzymes that are part of the JAK/STAT signaling pathway have been categorized independently in this catalogue (see section below). The remainder of Axon Ligands™ that lack interactions with tyrosine kinases of this particular signaling pathway are listed here, grouped on the basis of their selectivity.
Wee1 (EC 2.7.10.2) is a protein kinase, regulates the G2 checkpoint in response to DNA damage. Preclinical studies have elucidated the role of wee1 in DNA damage repair and the stabilization of replication forks, supporting the validity of wee1 inhibition as a viable therapeutic target in cancer. Wee1 belongs to a family of protein kinases involved in the terminal phosphorylation and inactivation of cyclin-dependent-kinase 1-bound cyclin B. It is the major kinase responsible for the inhibitory phosphorylation of the tyrosine15 residue on Cdk1/Cdc2, near its ATP-binding pocket, and plays a critical role in the proper timing of cell division by controlling the entry into mitosis and DNA replication during S phase. Recent evidence demonstrates that wee1 is also involved in the coordination of DNA replication and the maintenance of stalled replication forks through regulation of cyclin-dependent kinase 2 (Cdk2)[2].
Activated Cdc42 (cell division cycle 42)-associated tyrosine kinase (ACK1; EC 2.7.10.2), also called TNK2 (tyrosine kinase, non-receptor, 2) is activated in response to multiple cellular signals, including cell adhesion, growth factor receptors and heterotrimeric GPCR-signalling. interaction of the SH3 (Src homology 3) domain with the EBD (EGFR-binding domain) in ACK1 forms an auto-inhibition of the kinase activity. Release of this auto-inhibition is a key step for activation of ACK1. Mutation of the SH3 domain caused activation of ACK1, independent of cell adhesion, suggesting that cell adhesion-mediated activation of ACK1 is through releasing the auto-inhibition. ACK is amplified and overexpressed in multiple cancers, and associated with tumour progression through promoting cell growth and migration[3].
Interleukin-2 inducible T-cell kinase (ITK; EC 2.7.10.2) is a member of the TEC-kinase family which encompasses ITK, RLK, BTK, BMX, and Tec. It is expressed mainly in immune cells such as T-cells, mast cells, NK cells, and NKT cells. Recent work suggests that ITK may be a negative regulator in mast cells as responses of mast cells lacking ITK to FcεR1 signaling are not attenuated and can be increased relative to WT mast cells. In contrast, ITK positively regulates T-cell receptor (TCR) signaling and plays a role in numerous T-cell responses. ITK is activated downstream of the T-cell receptor and is strongly upregulated upon activation of naive T cells. As such, it responds to and drives the expression of IL-2 and activates PLCγ1 by phosphorylation. This leads to the production of IP3 and DAG and triggers the release of intracellular calcium and activation of PKC, respectively[4].
Lyn is a member of the Src family of intracellular membrane-associated tyrosine kinases (SFK). Each member has a unique N-terminal region (SH4) encoding a myristoylation site, and may contain one (e.g. Lyn) or two (e.g. Fyn) palmitoylation sites, followed by homologous domains for protein interaction (SH3 and SH2), as well as a kinase (SH1) domain. It has been implicated in cell proliferation and differentiation, apoptosis, migration and metabolism. Intriguingly, Lyn can mediate both positive and negative signaling processes within the same or different cellular contexts. Lyn is an important regulator of autoimmune diseases such as asthma and psoriasis, due to its profound ability to influence immune cell signaling. Lyn has also been found to be important for maintaining the leukemic phenotype of many different liquid cancers including acute myeloid leukaemia (AML), chronic myeloid leukaemia (CML) and B-cell lymphocytic leukaemia (BCLL). Lyn is also expressed in some solid tumors and here too it is establishing itself as a potential therapeutic target for prostate, glioblastoma, colon and more aggressive subtypes of breast cancer[5].
Breast tumor kinase (Brk aka protein tyrosine kinase 6 (PTK6) belongs to the non-receptor tyrosine kinases, distantly related to the c-Src family kinases, with occurrence in the cytoplasma. Brk is activated downstream of multiple growth factor receptors, including MET, EGF receptor, and ErbB2, and confers aggressive breast cancer phenotypes such as growth factor–induced cell migration, anchorage-independent growth, modulation of EMT markers, metastasis, and resistance to targeted therapies[6]. As Brk is aberrantly expressed in both luminal and triple negative breast cancers (TNBC) subtypes, but is not found in the normal mammary tissue, it is an attractive candidate for selective targeting of invasive breast cancer cells[7].

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[3] Q. Lin et al. The activation mechanism of ACK1 (activated Cdc42-associated tyrosine kinase 1). Biochem. J. 2012, 445, 255-264.

[4] C.W. Zapf et al. Covalent inhibitors of interleukin-2 inducible T cell kinase (itk) with nanomolar potency in a whole-blood assay. J. Med. Chem. 2012, 55, 10047-10063.
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[6] TM Regan Anderson et al. Breast Tumor Kinase (Brk/PTK6) Is Induced by HIF, Glucocorticoid Receptor, and PELP1-Mediated Stress Signaling in Triple-Negative Breast Cancer. Cancer Res. 2016 Mar 15;76(6):1653-63.
[7] TM Regan Anderson et al. Breast tumor kinase (Brk/PTK6) is a mediator of hypoxia-associated breast cancer progression. Cancer Res. 2013 Sep 15;73(18):5810-20.

Enzymes (EC 2.7.10.) Kinases, BTK

Bruton's tyrosine kinase (BTK; EC 2.7.10.2) is a non-receptor tyrosine kinase belonging to the Tec family of kinases (TFKs), which form the second largest family of cytoplasmic tyrosine kinases in mammalian cells and include, in addition to BTK, Tec, Itk, Txk (also known as Rlk), and bone marrow tyrosine kinase gene on chromosome X (Bmx). Btk is critical for B-cell development, differentiation, and signaling. Moreover, BTK expression is assumed to be a prerequisite for B-cell proliferation and survival. Btk is the only member of the TFKs reported to be associated with human disease (primary immunodeficiency, named X-linked agammaglobulinemia (XLA) and a milder form: X-linked immunodeficiency (*Xid*))[1].

[1] A.J. Mohamed. Bruton's tyrosine kinase (Btk): function, regulation, and transformation with special emphasis on the PH domain. Immun. Reviews. 2009, 228, 58-73.

Enzymes (EC 2.7.10.) Kinases, FAK

Protein tyrosine kinase 2 (PTK2 a.k.a. Focal Adhesion Kinase (FAK); EC 2.7.10.2) is a cytoplasmic non-receptor tyrosine kinase which is found concentrated in the focal adhesions that form between cells growing in the presence of extracellular matrix constituents. It was originally identified as a substrate for viral Src and as a highly tyrosine-phosphorylated protein that localized to cell adhesion sites known as focal contacts. FAK has been shown to have a key role in both normal and tumor cell migration downstream of growth factor- and integrin- receptors. It is the formation of a FAK–Src signaling complex that is an initial and important event required for maximal FAK activation and cell migration. Activation is involved in modulating 'corrective' cell responses to environmental stimuli, which is provoked by signal-mediated effects on actin polymerization, the assembly or disassembly of focal contacts, and the regulation of protease activation or secretion[1].

[1] S.K. Mitra, D.A. Hanson, D.D. Schlaepfer. Focal adhesion kinase: in command and control of cell motility. Nat. Rev. Mol. Cell Bio. 2005, 6, 56-68

Enzymes (EC 2.7.10.) Kinases, PYK

Proline-rich tyrosine kinase-2 (PYK2; EC 2.7.10.2) is related to focal adhesion kinase (FAK; EC 2.7.10.2) and shares a similar domain structure (FERM, kinase, proline-rich and FAT domains) as well as common phosphorylation sites. Both kinases act as critical mediators for the activation of signaling pathways that regulate cell migration, proliferation, and survival. By coordinating adhesion and cytoskeletal dynamics with survival and growth signaling, FAK and Pyk2 represent molecular therapeutic targets in cancer cells as malignant cells often exhibit defects in these processes. Despite their structural similarity, PYK2 and FAK display a number of significant differences (distribution, activation). Although PYK2 can be activated following integrin mediated adhesion, PYK2 is primarily activated in response to a variety of stimuli that increase intracellular calcium. Upregulation of PYK2 expression has been noted in several human tumors, gliomas, and with advancing WHO grade, and define it a potential target for disease modulation, particularly as it pertains to invasive cancers, osteoporosis, and inflammatory cellular responses[1].

[1] C.A. Lipinski et al. The Pyk2 FERM domain: a Novel Therapeutic Target. Expert Opin. Ther. Targets. 2010, 14, 95-108.

Enzymes (EC 2.7.10.) Kinases, JAK

Cytokines play pivotal roles in immunity and inflammation, and targeting cytokines and their receptors is an effective means of treating such disorders. Type I and II cytokine receptors associate with Janus family kinases (JAKs; EC 2.7.10.2) to effect intracellular signaling[1]. The JAK family in mammals consists of 4 members: JAK1, JAK2, JAK3 and TYK2. The unique structure of the JAK kinases clearly distinguishes them from other members of the protein tyrosine kinase family. The most intriguing feature of these proteins is the presence of two JAK-homology domains (JH1 and JH2), with extensive homology to the tyrosine kinase domains. A second interesting feature is the absence of any Src-homology domains SH2 or SH3. Instead, these proteins encode a group of well-conserved domains termed as JAK homology (JH1-JH7) domains that follow a non-conserved amino terminus of about 30-50 amino acids. Of the dual kinase domains identified, only the JH1 domain appears to be functional[2]. JAK activation occurs upon ligand-mediated receptor multimerization. The activated JAKs subsequently phosphorylate additional targets, including both the receptors and the major substrates, STATs (latent transcription factors that reside in the cytoplasm until activated)[3].

[1] M. Pesu et al. Therapeutic targeting of Janus kinases. Immunol. Rev. 2008, 223, 132-142.
[2] M.M. Seavey, P. Dobrzanski. The many faces of Janus kinase. Biochem. Pharmacol. 2012, 83, 1136-1145.
[3] J.S. Rawlings, K.M. Rosler, D.A. Harrison. The JAK/STAT signaling pathway. J. Cell. Sci. 2004, 117, 1281-1283.

Enzymes (EC 2.7.10.) Kinases, SRC

The Src family of protein tyrosine kinases (SFKs; EC 2.7.10.2) plays key roles in regulating signal transduction by a diverse set of cell surface receptors in the context of multiple cellular environments. The nine members of the Src family include Src, Lck, Hck, Fyn, Blk, Lyn, Fgr, Yes, and Yrk, and all share a very similar domain structure with a high degree of homology in the SH1 (catalytic), linker, SH2 (p-Tyr binding), SH3 (protein-protein interaction) and SH4 (membrane association) domains. In the auto-inhibited, tail-phosphorylated (Tyr^{527}) state, the SH3 and SH2 domains turn inward and make intramolecular interactions that lock the catalytic domain in an inactive conformation. Several lines of evidence indicate that loss of Tyr^{527} phosphorylation by protein tyrosine phosphatases (PTPs) leads to activation of Src catalytic activity[1,2].

[1] S.M. Thomas, J.S. Brugge. Cellular functions regulated by Src family kinases. Annu. Rev. Cell Dev. Biol. 1997, 13, 513-609.
[2] M.P. Playford, M.D. Schaller. The interplay between Src and integrins in normal and tumor biology. Oncogene 2004, 23, 7928-7946.

Enzymes (EC 2.7.10.) Kinases, SYK

SYK (EC 2.7.10.2) is a non-receptor tyrosine kinase that contains two SRC homology 2 (SH2) domains and a kinase domain (Box 1) and is most highly expressed by haematopoietic cells. It is known to have a crucial role in adaptive immune receptor signaling. However, recent reports indicate that SYK also mediates other biological functions, including cellular adhesion, innate immune recognition, osteoclast maturation, platelet activation and vascular development. Recruitment of spleen tyrosine kinase (SYK) to plasma membrane receptors occurs through binding of the tandem SH2 domains of SYK to two phosphorylated tyrosine residues of the immunoreceptor tyrosine-based activation motifs (ITAMs) in the receptor complex. SYK is activated by C-type lectins and integrins, and activates new targets, including the CARD9–BCL-10–MALT1 pathway and the NLRP3 inflammasome[1].

[1] A. Mócsai, J. Ruland, V.L.J. Tybulewicz. The SYK tyrosine kinase: a crucial player in diverse biological functions. Nat. Rev. Immunol. 2010, 10, 387-402.

Enzymes (EC 2.7.10.) Oncogene Fusion Proteins

A small individual group of tyrosine kinase inhibitors is specifically targeting oncogenic fusion proteins. The expression of these proteins is caused by a reciprocal translocation between chromosomes, 9 and 22 in case of the BCR-ABL fusion protein. About 95% of the patients suffering from chronic myelogenous leukaemia show expression of this particular protein, yet it is also found in two other acute forms of leukaemia[1,2]. Our product line includes both the very first drug registered on the market inhibiting this specific tyrosine kinase (Axon 1394: STI 571 or Imatinib (Novartis)), as well as well-known follow-up inhibitors, being more potent and/or more active against the emerging Gleevec/Glivec resistant BCR-ABL clones that originate from point mutations inside the kinase domain of the Bcr-Abl protein and disrupt the binding site of Imatinib on the tyrosine kinase (e.g. Axon 1392 and Axon 1396 (Dasatinib and Nilotinib resp.)[3]
Anaplastic large-cell lymphomas (ALCLs) are a subtype of the high-grade non-Hodgkin's family of lymphomas with distinct morphology, immunophenotype, and prognosis. ALCLs are postulated to arise from T cells and, in rare cases, can also exhibit a B cell phenotype. ALCL presents as a systemic disease afflicting skin, bone, soft tissues, and other organs, with or without the involvement of lymph nodes. ALCL can be subdivided into at least two subtypes, characterized by the presence or absence of chromosomal rearrangements between the anaplastic lymphoma kinase (ALK) gene locus and various fusion partners such as nucleophosmin (NPM). NPM-ALK has constitutive tyrosine kinase activity and has been shown to transform various hematopoietic cell types in vitro and support tumor formation in vivo[4].
A small inversion within chromosome 2p results in the formation of a fusion gene comprising portions of the echinoderm microtubule-associated protein-like 4 (EML4) gene and the anaplastic lymphoma kinase (ALK) gene, and seems to be the cause of non-small-cell lung cancer (NSCLC) cells. The EML4–ALK fusion transcript is detected in approx. 7% of NSCLC patients[5].

[1] The molecular genetics of Philadelphia chromosome-positive leukemias. Kurzrock, R., Gutterman, J. Talpaz, M. N. Engl. J. Med. 1988, 319, 990-998.
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Enzymes (EC 2.7.10.) Kinases involved in JAK/STAT signaling

JAKs (Janus Kinase; EC 2.7.10.2; 4 types identified) and STATs (Signal Transducer and Activator of Transcription; 7 types identified) are critical components of many cytokine receptor systems, regulating growth, survival, differentiation and pathogen resistance. (Cytokine) receptor-bound STATs phosphorylated by JAKs dimerize and translocate into the nucleus to regulate target gene transcription. In most cases, a specific JAK-STAT combination has been paired with a specific member of the cytokine receptor family, and this information translated into cell-type specific patterns of cytokine responsiveness and gene expression. Members of the suppressor of cytokine signaling (SOCS) protein family dampen receptor signaling via homologous or heterologous feedback regulation[12]. Consequently, Janus kinase mutations are major molecular events in human hematological malignancies[3].

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Enzymes (EC 2.7.11.) Kinases, Serine/Threonine specific

The reversible phosphorylation of proteins represents a major post-translational signaling mechanism and regulatory pathway that controls a diverse set of cellular processes. The mode of action of these protein kinases is the reversible hydroxyl-phosphorylation of tyrosine, serine, and/or threonine residues of protein substrates. Since most kinases are specifically targeting tyrosine substrates, or serine/threonine substrates, the Axon Ligands™ in this catalogue targeting kinases have been subdivided into the two corresponding classes.
Moreover, often the protein kinase itself is the substrate for an upstream kinase or undergoes auto-phosphorylation as part of a cascade of protein kinase signaling within the cell. Some representative protein kinase signaling pathways within cells include growth factor signaling and stress-activated signaling responses. Such pathways are highly interconnected and complex and regulate numerous cellular functions such as gene transcription, cell growth, proliferation, and differentiation. Signaling pathways of interest that will be highlighted individually are the DNA-damage response, the PI3K/AKT/mTOR pathway, the MAPK/ERK pathway, the NF-κB pathway, the TGF-β pathway, and the Wnt/β-Catenin pathway. Aberrant protein kinase activity can disrupt the normal control of cellular phosphorylation signaling pathways and lead to tumor formation. Given the critical role that protein kinases have in modulating cellular functions such as tumorigenesis, this class of enzymes has been targeted for the discovery and design of biologics and small-molecule inhibitors as potential therapeutic agents[1].
Clathrin-mediated endocytosis requires the assembly of a protein coat on the membrane in order to induce curvature and form a spherical invagination.Adaptor-associated kinase 1 (AAK1; EC 2.7.11.1) is a regulatory protein in clathrin-coated vesicle endocytic pathway that phosphorylates the μ subunit of the clathrin-adaptor protein complexes. Evidence has accumulated that AAK1 is involved in the regulation of ALS[2], nociception[3], immunology[4], and Notch signaling[5].
CaMKII (Ca^{2+}/calmodulin-dependent protein kinase II; EC 2.7.11.17) is a serine/threonine kinase with a broad range of substrates, and it is found in most tissues, but it is present in especially high concentrations in neurons. In mammals, the kinase is encoded by four genes, α, β, γ, and δ, with the α and β isozymes predominant in the brain. CaMKII monomers assemble into a large holoenzyme. Monomers of different isozymes are able to coassemble, allowing for a large number of possible holoenzyme compositions, existing of 8-12 subunits[6]. CaMKII is involved in many signaling cascades. Neuronal CaM kinase II regulates important neuronal functions, including neurotransmitter synthesis, neurotransmitter release, modulation of ion channel activity, cellular transport, cell morphology and neurite extension, gene expression, and synaptic plasticity. Moreover, its activity is required for induction of long-term potentiation (LTP) in the CA1 region of the hippocampus. Since defects in LTP often accompany impairments in spatial learning, and animals that lack the αCaMKII isozyme do not learn normally in such tasks, CaMKII is frequently referred by as an important mediator in the process of learning and memory. Furthermore, misregulation of CaMKII is linked to Alzheimer's disease, Angelman syndrome, and heart arrhythmia[7].
LIM kinase-1 (LIMK1; EC 2.7.11.1) and LIM kinase-2 (LIMK2) are regulated by several upstream signalling pathways, principally acting downstream of Rho GTPases to influence the architecture of the actin cytoskeleton by regulating the activity of the ADF/cofilin family of actin binding and filament severing proteins cofilin1, cofilin2 and destrin[8]. LIM kinases have a unique organization of signalling domains, with two amino-terminal LIM domains (each containing double zinc finger motifs), adjacent PDZ and proline/serine (P/S)-rich regions, followed by a carboxyl-terminal kinase domain. The LIM domains have been shown to play an important role in regulating kinase activity and likely also contribute to LIMK function by acting as sites of protein-to-protein and possibly protein-to-DNA interactions.
Mitogen- and stress-activated kinase 1 (MSK1; EC 2.7.11.1) and MSK2 are nuclear protein kinases that regulate transcription downstream of the ERK1/2 (extracellular-signal-regulated kinase 1/2) and p38α MAPKs (mitogen-activated protein kinases) via the phosphorylation of CREB (cAMP-response-element-binding protein), ATF1, and histone H3. MSKs are most closely related to the RSK family of kinases and, similar to RSK, they contain two kinase domains in a single polypeptide. Mice lacking MSK1 or MSK2, and also a double knockout of both MSK1 and MSK2, are viable and fertile, but show enhanced inflammation in immune models as well as impairments in some models of memory[9].
MAP kinase-interacting kinases 1 (MNK1; EC 2.7.11.1) and MNK2, two related MAP kinase-activated protein kinases that are able to integrate signals emanating from both MAP kinase pathways and to phosphorylate eIF4E, were identified recently. Both MNK1 and MNK2 bind tightly to the growth factor-regulated MAP kinases, Erk1 and Erk2, and MNK1, but not Mnk2, also binds strongly to the stress-activated kinase, p38[10]. Since MNK1 was found to be a member of the eIF4F complex by binding to the molecular scaffolding protein eIF4G, it represents a likely candidate to be the biological relevant kinase for the cap-binding eukaryotic initiation factor 4E in mitogen- and stress-induced cells[11].
Serum and glucocorticoid-regulated kinase 1 (SGK1; EC 2.7.11.1) belongs to a family of kinases that is under acute transcriptional control by several stimuli, including serum and glucocorticoids. It is involved in the regulation of a wide variety of ion channels, membrane transporters, cellular enzymes, transcription factors, neuronal excitability, cell growth, proliferation, survival, migration and apoptosis. As such, SGK1 plays an important role in cellular stress response. SGK1 is activated by the phosphatidylinositol-3-kinase (PI3-kinase) pathway involving the 3-phosphoinositide (PIP3)-dependent kinase PDK1. Additionally, activation of SGK1 may involve the scaffold protein Na+/H+ exchanger regulating factor 2 (NHERF2), which mediates the assembly of SGK1 and PDK1. Activation of SGK1 by PDK1 may further involve the mammalian target of rapamycin mTOR and the serine/threonine kinase WNK1. SGK1 has been implicated in renal function and salt appetite, hypertension, extracellular volume regulation, obesity and metabolic syndrome, tumor growth, inflammation, and fibrosing disease[12].
Serine-arginine protein kinases (SPRKs; EC 2.7.11.1) constitute a relatively novel subfamily of serine-threonine kinases that specifically phosphorylate serine residues residing in serine-arginine/arginine-serine dipeptide motifs. Originally considered to be devoted to constitutive and alternative mRNA splicing, SRPKs are now known to expand their influence to additional steps of mRNA maturation, as well as to other cellular activities, such as chromatin reorganization in somatic and sperm cells, cell cycle and p53 regulation, and metabolic signalling[13].
Haspin is a serine/threonine kinase that phosphorylates Thr-3 of histone H3 in mitosis. This phosphorylation generates a binding site on H3 for Survivin and thereby positions the Chromosome Passenger Complex at centromeres to regulate chromosome segregation, and it also displaces proteins such as TFIID that normally bind to H3 through methylated Lys-4. Depletion of haspin by RNA interference, or microinjection of H3T3ph antibodies, causes chromosome alignment defects and failure of normal mitosis. Haspin kinase inhibitors are expected to be useful probes for elucidating the cellular roles of this protein and may have therapeutic utility in treating cancer[14].
Cell division cycle 7 kinase (CDC7; EC 2.7.11.1), is important for both the G1/S phase transition and S phase progression and critical for normal cell cycle progression. It has several structure/function relationships with the CDKs, making it an important target for pharmacological inhibition. Two important regulator proteins, Dbf4 and Drf1, bind to and modulate the kinase activity of human CDC7 which phosphorylates several sites on Mcm2 (minichromosome maintenance protein 2), one of the six subunits of the replicative DNA helicase needed for duplication of the genome. Through regulation of both DNA synthesis and DNA damage response, both key functions in the survival of tumour cells, CDC7 becomes an attractive target for pharmacological inhibition. XL 413 (Axon 2268) is such a potent, selective and orally bioavailable CDC7 inhibitor that induces tumor cell apoptosis and inhibition of tumor cell proliferation in CDC7-overexpressing tumor cells[15].
The single branched-chain α-ketoacid dehydrogenase complex (BCKDC) in mitochondria catalyzes the irreversible oxidative decarboxylation of branch-chain α-ketoacids (BCKA), the second common step in the degradation of branched-chain amino acids (BCAA) leucine, isoleucine, and valine. The homeostasis of BCAA is critical in health and disease, participating in the reduction oxidative stress, which in turn promotes survival in rats with advanced liver cirrhosis and supports mitochondrial biogenesis in cardiac and skeletal muscle. In patients with inherited maple syrup urine disease (MSUD), the accumulation of BCAA and BCKA caused by the dysfunction of BCKDC leads to sometimes fatal acidosis, neurological derangement, and mental retardation. Additionally, high blood BCAA concentrations are linked to the development of insulin resistance and are useful metabolic markers in type 2 diabetes risk assessment[16]. Branched-chain alpha-keto acid dehydrogenase kinase (BDK; EC 2.7.11.4)) is one of the regulating enzymes of BCKDC (BDP; EC 3.1.3.16 is the other enzyme), and phosphorylates and inactivates the BCKDC. The inactivation of BCKDC through phosphorylation by BDK results in increased BCAA concentrations in animal tissues. Therefore, modulation of BDK activity constitutes a major mechanism for BCAA homeostasis in vivo[17].
The p21-activated kinases (PAKs 1-6; EC 2.7.11.1) are serine/threonine protein kinases whose activity is stimulated by the binding of active Rac and Cdc42 GTPases, both members of the Rho GTPase family of proteins, which are well established key regulators of cell migration and invasion processes involved in cancer metastasis, and control the formation of lamellipodia and filopodia respectively. The GTPase-activated PAKs function as effectors through their kinase activity, and mediate downstream signalling events that bring about the physiological effects of GTPase signalling[18]. PAK1 acts as an key mediator to control cell proliferation, survival, death and motility. The PAK family members are categorized into two groups (PAK1-3, group I; PAK4-6, group II) based on their structural and biochemical discrepancies. In general, group I PAKs are comprised of two Src homology 3 (SH-3)-binding motifs and a distinctive p21/GTPase binding domain (PBD) overlapped with an auto-inhibitory domain (AID) at the N-terminal region and a conserved non-classical SH3-binding site for the binding of guanine-nucleotide-exchange factor PAK-interacting exchange factor (PIX). The kinase domain can be found at the C-terminal. In contrast, group II PAKs only have a PBD and a kinase domain[19].
Traf2 and NcK interacting kinase (TNIK; EC 2.7.11.1) is a protein with both scaffolding and kinase domains that had been implicated in postsynaptic signalling (glutamate receptor regulation *in vitro*) as well as in regulation of cell proliferation. As a member of the germinal centre kinase family, TNIK can activate the c-Jun N-terminal kinase pathway similar to many germinal center kinases (GCKs). Moreover, the protein has been implicated in Wnt signaling, as it interacts with TCF4 in the proliferative crypts of mouse small intestine, functioning as a transcriptional activator to promoters of Wnt target genes in a β-catenin-dependent manner[20]. As such, TNIK also seems to be an important factor in the growth of colorectal cancer

cells[21]. In the nervous system, phosphorylation of TNIK seems to be regulated by the activation of NMDA receptors, and I has also been implicated in controlling dendritic outgrowth mediated by a ternary complex involving the E3 ubiquitin ligase Nedd4-1, Rap2A and TNiK. Therefore, the kinase could also play a role in cognitive functions through both synaptic and nuclear signalling pathways[22].

Germinal center kinases (GCKs; EC 2.7.11.1) are a family of 'Sterile 20 (STE20) like kinases', that regulate cell proliferation and apoptosis upon extracellular stimuli. They are mitogen-activated protein kinase (MAPK) kinase kinase kinases that are termed MAP4Ks and function as upstream activators of the stress-activated protein kinase/c-Jun N-terminal kinase (SAP/JNK) signaling pathway and to a lesser extend of the p38 MAPKs signaling pathway[23]. MAP4K2 is reported to play an essential role in pathogen-associated molecular pattern signaling and systemic inflammation. Upon pathogen-associated molecular pattern stimulation, MAP4K2 can form a complex with tumor-necrosis factor receptor associated factor 6 and mixed lineage protein kinase 3, which stabilize MAP4K2 to activate JNK/p38 for competent innate immune response[24].

Kinase suppressor of Ras (KSR; EC 2.7.11.25) is a MAPK scaffold that is subject to allosteric regulation through dimerization with RAF. While deregulation of the Ras–mitogen activated protein kinase (MAPK) pathway is an early event in many different cancers and a key driver of resistance to targeted therapies, direct targeting of KSR could have important therapeutic implications for cancer. However, due to its status as a pseudokinase and role as a non-catalytic regulator of core signalling enzymes, pharmacological approaches that target KSR have been lacking. This is in contrast to current drug discovery and development efforts that have focused extensively on direct inhibitors of the Ras effector kinases RAF, MEK, and ERK[25].

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Enzymes (EC 2.7.11.) Kinases, ATM/ATR

Ataxia telangiectasia mutated (ATM; EC 2.7.11.1) kinase recognizes and signals to double-strand breaks (DSB), which are among the most critical lesions in chromosomal DNA[1-2]. ATM is present in the nucleus as an inactive dimer or oligomer, and is activated in response to DSBs in a process that involves autophosphorylation. This causes a dissociation of the dimer to form active monomeric forms, which are able to initiate the phosphorylation of many intermediates, such as p53 and the checkpoint kinase CHK2, which are involved in DNA repair and cell-cycle control[3]. Similar to ATM, the ataxia-telangiectasia and Rad3-related (ATR; EC 2.7.11.1) protein and the DNA-activated protein kinase (DNA-PK) play an important role in responding to agents and extracellular stress that threaten the DNA replication process[4]. Both ATM and ATR kinases lie upstream in the DNA-damage-response signal-transduction network and are central to the entire DNA-damage response; they will be discussed in the corresponding section as well.

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[4] ATM, ATR and DNA-PK: initiators of the cellular genotoxic stress responses. J Yang, Y Yu, H Hamrick, PJ Duerksen-Hughes. Carcinogenesis 2003, 24, 1571-1580.

Enzymes (EC 2.7.11.) Kinases, Aurora

The Aurora kinase family (EC 2.7.11.1) is a collection of highly related serine/threonine kinases that functions as a key regulator of mitosis, essential for accurate and equal segregation of genomic material from parent to daughter cells. As a result, they play a central role in cell cycle regulation[1]. Three related kinases known as Aurora-A, Aurora-B, and Aurora-C have been characterized over the years. Despite significant sequence homology, the localization and functions of these kinases are largely distinct from one another. Given the association of Aurora overexpression and tumorigenesis, these kinases have been targeted for cancer therapy, and a new class of drugs known as Aurora kinase inhibitors has been developed[2,3].

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Enzymes (EC 2.7.11.) Kinases, CHK

Mammalian cells have established highly elaborate surveillance systems to detect DNA damages and other forms of genotoxic stress, which is essential to maintain the genomic integrity and, hence, cellular viability. In normal cells, checkpoint responses are a critical safeguard to prevent tumorigenesis promoted by genetic instability. Two structurally unrelated but functionally similar protein serine/threonine kinases, checkpoint kinase 1 (CHK1; EC 2.7.11.1) and checkpoint kinase 2 (CHK2), have emerged as the major mediators of cell cycle checkpoints in response to genotoxic stress[1]. CHK1 is a checkpoint kinase in mammals and regulates G2–M and S-phase cell-cycle checkpoints. It is expressed in the S and G2 phases of proliferating cells and is absent or expressed at very low levels in quiescent and differentiated cells. CHK1 is activated by phosphorylation in response to various types of DNA damage in mammals, including damage that is induced by IR, ultraviolet (UV) light, hydroxyurea (HU) and topoisomerase inhibitor. Although structurally distinct, CHK2 shares overlapping substrate specificity with CHK1. The observations that CHK2 is rapidly activated following exposure to IR or topotecan, whereas CHK1 is markedly activated by agents that interfere with DNA replication, have led to the idea that cell-cycle progression is blocked by CHK1 when replication is inhibited, and by CHK2 when double-strand breaks (DSBs) are present[2].

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Enzymes (EC 2.7.11.) Kinases, CK

The casein kinase 1 (CK1; EC 2.7.11.1) family of monomeric serine/threonine protein kinases is involved in many diverse and important cellular functions, such as regulation of membrane transport, cell division, DNA repair, circadian rhythms, and nuclear localization. Moreover, multiple CK1 family members have been implicated in both positively and negatively regulating Wnt and Hedgehog (Hh) signaling. No less than seven family members are currently recognized: α, β, γ1, γ2, γ3, δ, and ε. The family members appear to have similar substrate specificity in vitro, and substrate selection is thought to be regulated in vivo via subcellular localization and docking sites in specific substrates[1].
CK1α, CK1δ, and CK1ε are all known to play roles in modulating circadian rhythms. CK1δ and CK1ε phosphorylate PER and trigger its proteosomal degradation; mutations in each affect the clock in vivo[2]. Additionally, CK1δ plays an important role in vesicular trafficking, chromosome segregation, cell cycle progression, cytokinesis, and developmental processes[3]. Indicative of potential roles in microtubule organization, CK1δ mediates neurite outgrowth and the function of brain-specific microtubule-associated proteins[4].
CK2 is a ubiquitous, highly pleiotropic and constitutively active Ser/Thr protein kinase, independent of either second messengers or phosphorylation events. It has been implicated in neoplasia, cell survival, apoptosis, and virus infection. CK2 consists of a tetrameric structure composed of two regulatory β-subunits and two catalytic units (α and α′) in a homomeric or heteromeric conformation. The enzyme is known to operate as phosphorylating agent for more than 300 substrates known to date[5]. Casein kinase 2 activity has been reported to be activated following Wnt signaling pathway activation, hence the Axon Ligands™ discussed in this section will also be listed in the section of the Wnt/β-catenin signaling pathway.

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Enzymes (EC 2.7.11.) Kinases, DNA-PK

DNA-activated protein kinase (DNA-PK; EC 2.7.11.1) plays an important role in responding to agents and extracellular stress that threaten the DNA replication process[1]. It is a nuclear protein serine/threonine kinase that must bind to DNA double-strand breaks (DSB) to be active. The nonhomologous end-joining (NHEJ) pathway is considered the main pathway for DSB repair in mammalian cells, and is initiated by binding of DNA-dependent protein kinase (DNA-PK) regulatory subunits to free DNA ends, followed by recruitment of the DNA-dependent kinase catalytic subunit protein (DNA-PKcs) to DSBs. This assembly results in DNA-PK kinase activation. The DNA-PK complex serves as a platform that holds both DNA ends together and orchestrates DNA processing and ligation[2]. DNA-PK inhibiting Axon Ligands™ are also listed in the section of the DNA-damage response.

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Enzymes (EC 2.7.11.) Kinases, IRE1

Inositol-requiring enzyme 1 (IRE1; EC 2.7.11.1) is an endoplasmatic reticulum (ER) transmembrane sensor that activates the unfolded protein response (UPR) through a cytoplasmic kinase domain and an RNase domain to maintain the ER and cellular function[1]. On ER stress, IRE1 RNase is activated through conformational change, autophosphorylation, and higher-order oligomerization. The active endoribonuclease domain splices XBP1 mRNA to generate a new C-terminus, converting it into a potent unfolded-protein response transcriptional activator and triggering growth arrest and apoptosis[2].

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Enzymes (EC 2.7.11.) Kinases, LRRK

Leucine-rich repeat kinase 2 (LRRK2; EC 2.7.11.1) is a promising therapeutic target for some forms of Parkinson's disease. because of a missense mutation, G2019S, that is frequently found not only in familial but also sporadic Parkinson's disease cases. The LRRK2 G2019S mutation enhances kinase activity, suggesting that small molecule inhibitors may be able to block aberrant LRRK2-dependent signaling in Parkinson's disease[1]. However, the physiological function of LRRK2 kinase as well as its endogenous protein substrates remains poorly understood. There have been several papers describing potential cellular substrates as well as endogenous functions for this complex protein in the mammalian neuron. Potential substrates include ezrin, radixin moesin (ERM) proteins, mitogen-activated protein kinase (MAPK), eukaryotic initiation factor 4E (eIF4E)-binding protein (4E-BP), futsch, autophosphorylation, and 14-3-3 proteins[2].

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Enzymes (EC 2.7.11.) Kinases, mTOR

The mammalian target of rapamycin (mTOR; EC 2.7.11.1), a phosphoinositide 3-kinase-related protein kinase, controls cell growth in response to energy, nutrients, growth factors and other environmental cues, and it figures prominently in cancer. It belongs to the phosphoinositide 3-kinase (PI3K)-related protein kinase (PIKK) family. mTOR assembles into two complexes with distinct inputs and downstream effects. mTOR complex 1 (mTORC1) is defined by its RAPTOR subunit which is replaced by RICTOR in mTOR complex (mTORC2).
mTORC1 regulates cell growth by promoting translation, ribosome biogenesis and autophagy. Its activation requires nutrients and amino acids, which result in the RAPTOR-mediated recruitment of mTORC1 to lysosomes and late endosomes, and co-localization with its activator, the small GTPase RHEB. mTORC1 substrates include the eIF4E-binding protein 1 (4E-BP1) and ribosomal S6 kinases (S6K).
mTORC2 responds primarily to growth factors, promoting cell-cycle entry, cell survival, actin cytoskeleton polarization and anabolic output. Its substrates include the Ser/Thr protein kinases Akt, SGK and PKC, which share the hydrophobic motif phosphorylation site with S6K1.
Noteworthy, rapamycin, which forms a ternary complex with the FK506-binding protein 12 (FKBP12) and the FRB domain of mTOR, is thought to be an allosteric inhibitor. Rapamycin–FKBP12 inhibits mTORC1 to a variable extent that is substrate and phosphorylation-site dependent, while it does not bind to mTORC2[1,2].
Axon Ligands™ that block mTOR activity are also listed in the section for PI3K/AKT/mTOR signaling.

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Enzymes (EC 2.7.11.) Kinases, PERK

Protein kinase RNA-like endoplasmic reticulum kinase (PERK; EC 2.7.11.1) is a type I ER membrane protein and one of three (next to IRE1 and ATF6) primary effectors of the unfolded protein response (UPR), which has a demonstrated role in tumor growth and angiogenesis. Increase in unfolded proteins in the ER causes release of ER chaperones from the stress-sensing domain of PERK, which results in its activation via oligomerization and autophosphorylation at multiple serine, threonine, and tyrosine residues. Upon activation, PERK phosphorylates eukaryotic initiation factor 2α (eIF2α), rendering it an inhibitor of the ribosome translation initiation complex, consequently reducing overall protein synthesis. The reduction in translation reduces the ER burden, providing time for the cell to process or degrade the accumulated unfolded proteins to restore ER homeostasis[1].

[1] J.M. Axten et al. Discovery of 7-methyl-5-(1-{[3-(trifluoromethyl)phenyl]acetyl}-2,3-dihydro-1H-indol-5-yl)-7H-pyrrolo[2,3-d]pyrimidin-4-amine (GSK2606414), a potent and selective first-in-class inhibitor of protein kinase R (PKR)-like endoplasmic reticulum kinase (PERK). J. Med. Chem. 2012, 55, 7193-7207.

Enzymes (EC 2.7.11.) Kinases, Pim

Pim-1, 2 and 3 (EC 2.7.11.1) make up a distinct and highly homologous family of serine/threonine kinases belonging to the Ca2+/calmodulin-dependent protein kinase-related (CAMK) family. Pim proteins are widely expressed with high levels in hematopoietic tissue and are aberrantly expressed in a variety of human malignancies. These proteins are considered to be constitutively active and, therefore, regulated by expression and proteosomal degradation. Gene transcription is initiated by a wide range of cytokines, mitogens and growth factors that transduce signals via the Janus kinase-signal transducers and regulators of transcription (JAK/STAT) pathway, thereby regulating Pim expression[1]. Pim kinases play a major role in cell cycle regulation, anti-apoptotic activity and the homing and migration of receptor tyrosine kinases mediated via the JAK/STAT pathway. The discovery of these kinases being up-regulated in many hematological malignancies and solid tumors affords them therapeutic opportunities in oncology[2].

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Enzymes (EC 2.7.11.) Kinases, PDPK

Among the downstream effectors of PI3Ks (see also section of PI3K/Akt/mTOR signaling), 3-phosphoinositide-dependent protein kinase 1 (PDK1 or PDPK1; EC 2.7.11.1) and protein kinase B (PKB)/Akt have a key role in several cancer types. There is evidence that indicates that alteration of PDK1 is a critical component of oncogenic PI3K signaling in breast cancer, suggesting that inhibition of PDK1 can inhibit breast cancer progression[1]. PDK1 is the protein kinase responsible for regulating the activity of related kinases in the AGC kinase family (including AKT), by phosphorylating a specific threonine or serine residue within the activation loop (T-loop) which is critical for kinase activation. Many of the kinases activated by PDK1 regulate cellular processes such as cell survival, differentiation, growth, and protein expression, in response to second messenger signals. Activation of PI3K by growth factor signaling results in the production of phosphatidylinositol 3,4-bisphosphate and PIP3, which colocalize AKT and PDK1 to the plasma membrane through interaction with their respective pleckstrin homology (PH) domains, thus allowing PDK1 to phosphorylate AKT in a PIP3-dependent manner. Binding of PIP3 to AKT also induces conformational changes that facilitate PDK1 phosphorylation[2].

[1] C. Raimondi, M. Falasca. Targeting PDK1 in cancer. Curr. Med. Chem. 2011, 18, 2763-2769.
[2] J.R. Medina. Selective 3-Phosphoinositide-Dependent Kinase 1 (PDK1) Inhibitors: Dissecting the Function and Pharmacology of PDK1. J. Med. Chem., 2013, 56, 2726-2737.

1390 BX 795 ..... *PDPK1, TBK1 and IKK inhibitor* ..... Page 291
1130 BX 912 ..... *PDPK1 inhibitor* ..... Page 292
2525 OSU 03012 ..... *ATP competitive PDK-1 inhibitor* ..... Page 607
2610 PDK1 inhibitor 2610 ..... *Dual PI3K/PDPK1 inhibitor* ..... Page 622
1870 PHT 427 ..... *Inhibitor of Akt and PDPK1* ..... Page 637
1664 PS 47 ..... *PDPK1 activator (allosteric)* ..... Page 653
1659 PS 48 ..... *PDPK1 activator (allosteric)* ..... Page 653

Enzymes (EC 2.7.11.) Kinases, Raf

Three different Raf (EC 2.7.11.1) isoforms originating from 3 independent genes can be distinguished in mammals: Raf-1/c-Raf, B-Raf, and A-Raf. They are *bona fide* Ras (a membrane-associated guanine nucleotide-binding protein) effectors and upstream activators of the ubiquitous ERK pathway, which has drawn the attention to these proteins as potential targets in cancer therapy. All Raf isoforms share a common modular structure consisting of 3 conserved regions (CR) with distinct functions. CR1 contains a Ras-binding domain (RBD), which is necessary for the interaction with Ras and with membrane phospholipids required for membrane recruitment, and a cysteine-rich domain (CRD), which is a secondary Ras-binding site and also necessary for the interaction of CR1 with the kinase domain for Raf auto-inhibition. CR2 contains important inhibitory phosphorylation sites participating in the negative regulation of Ras binding and Raf activation. CR3 features the kinase domain, including the activation segment, whose phosphorylation is crucial for kinase activation. The common and key step in the activation of all 3 Raf isoforms is membrane recruitment by a Ras family protein. In turn, activated Raf kinases phosphorylate both MEK isoforms MEK1 and MEK2 on 2 residues in the activation loop, which in turn can bind, phosphorylate, and activate ERK[1].
Raf kinase inhibitor protein (RKIP) is a member of the phosphatidylethanolamine-binding protein (PEBP) family that interacts with a number of different proteins and regulates multiple signaling pathways. PEBP was identified as a physiologically relevant inhibitor of Raf-MEK-ERK and renamed RKIP. It binds specifically to the Raf-1 kinase, although it is not a direct substrate of Raf. RKIP inhibits the kinase activity of Raf-1 by dissociating the Raf-1/MEK complex and acting as a competitive inhibitor of MEK phosphorylation. What's more, RKIP can bind to the N-region of the Raf-1 kinase domain therebye inhibiting its activation. Besides its role in the Raf-MEK-ERK signaling cascade, it has been shown that (1) RKIP also antagonizes NF–κB signaling by interacting with several upstream kinases that regulate the IκB protein, (2) has a positive effect on heterotrimeric G protein-dependent and GSK signaling, (3) inhibits the activation phosphorylation of the transcriptional factor STAT3, (4) and activates Nrf2 by destabilizing the BTB domain containing protein Keap1[2].

[1] D. Matallanas et al. Raf family kinases: old dogs have learned new tricks. Genes Cancer. 201, 2, 232-260.
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1545 AZ 628 ..... *B-Raf and C-Raf protein kinase inhibitor* ..... Page 238
3067 Belvarafenib ..... *Orally bioavailable pan-Raf protein kinase inhibitor* ..... Page 265
1459 GDC 0879 ..... *B-Raf protein kinase inhibitor* ..... Page 416

1984 GW 5074 ..... *Brain-permeable inhibitor of c-Raf with in vivo effects* ..... Page 440
2590 Locostatin ..... *Non-toxic Raf kinase inhibitory protein (RKIP) inhibitor* ..... Page 512
1624 PLX 4032 ..... *B-Raf protein kinase inhibitor* ..... Page 643
1474 PLX 4720 ..... *B-Raf protein kinase inhibitor* ..... Page 644
2817 RAF709 ..... *Potent, selective, and efficacious B-Raf and C-Raf protein kinase inhibitor* ..... Page 664
2504 SB 590885 ..... *Selective inhibitor of B-Raf kinase* ..... Page 698
3351 Sorafenib Recent Addition ..... *Protein kinase inhibitor of Raf/MEK/ERK pathway* ..... Page 724
1397 Sorafenib tosylate ..... *Protein kinase inhibitor of Raf/MEK/ERK pathway* ..... Page 724

Enzymes (EC 2.7.11.) Kinases, RIP

Receptor interacting protein (RIP; EC 2.7.11.1) kinases constitute a family of seven members. They are crucial regulators of cell survival and death. Based on sequence similarities, mode of regulation and substrate specificities of their catalytic domain, RIP kinases are closely related to members of the interleukin-1-receptor-associated kinase (IRAK) family. RIP1 and RIP2 (CARDIAK/RICK) also bear a C-terminal domain belonging to the death domain (DD) superfamily, namely, a DD and a caspase recruitment domain (CARD), respectively, allowing recruitment to large protein complexes initiating different signalling pathways. RIP1 is a crucial adaptor kinase on the crossroad of stress-induced signalling pathways (NF-κB, MAPK, Ubiquitin) and a cell's decision to live or die. It is is constitutively expressed in many tissues. However, TNF-α treatment and T-cell activation can also induce RIP1 expression[1].

[1] N. Festjens et al. RIP1, a kinase on the crossroads of a cell's decision to live or die. Cell Death Differ. 2007, 14, 400-410.

2608 GSK481 ..... *Inhibitor of RIP1 kinase and TNF induced inflammation* ..... Page 430
1258 Necrostatin-1 ..... *RIP1 inhibitor* ..... *Page* 571

Enzymes (EC 2.7.11.) Kinases, ROCK

Rho-kinase (EC 2.7.11.1) is a serine/threonine kinase belonging to the AGC family of protein kinases, originally identified as the first downstream effector of the small GTPase Rho. There are two Rho-kinase members, Rho-kinase α/ROCK2/ROKα and Rho-kinase β/ROCK1/ROKβ; both Rho-kinases are composed of an N-terminal catalytic domain, a central coiled-coil domain, and a C-terminal PH domain interrupted by a Cys-rich region. Rho family small GTPases, such as Rho, Rac, and Cdc42, mediate a broad range of cellular responses that involve the actin cytoskeleton. Rho regulates stress fiber formation and cell contraction, whereas Rac and Cdc42 regulate the formation of lamellipodia and filopodia, respectively, and promote protrusive activities. Rho family GTPases also modulate microtubule dynamics and cell polarity. Furthermore, Rho kinase is involved in smooth muscle contraction and actin organization, cell migration, neuronal architecture and neurite elongation, and cytokenesis, among several other functions[1,2].

[1] Rho-kinase/ROCK: A key regulator of the cytoskeleton and cell polarity. M. Amano, M. Nakayama, K. Kaibuchi. Cytoskeleton 2013, 67, 545-554.
[2] Rho Kinase (ROCK) Inhibitors. J.K. Liao, M. Seto, K. Noma. J. Cardiovasc. Pharmacol. 2007, 50, 17-24.

2187 AS 1892802 ..... *Potent, selective, ATP-competitive ROCK inhibitor* ..... Page 227
2166 AT 13148 dihydrochloride ..... *ATP-competitive inhibitor of multi-AGC kinases* ..... Page 232
2753 CCG 232601 ..... *Rho/MRTF/SRF transcriptional pathway inhibitor* ..... Page 301
3092 CCG-203971 ..... *Rho/MRTF/SRF transcriptional pathway inhibitor* ..... Page 302
3069 CCG-222740 ..... *Potent and selective Rho/MRTF/SRF transcriptional pathway inhibitor* ..... Page 302
1167 GSK 269962A ..... *ROCK1 and ROCK2 inhibitor* ..... Page 432
2780 KD025 ..... *Selective ATP-competitive inhibitor of ROCK2* ..... Page 488
2229 RKI 1447 ..... *Potent inhibitor of the Rho-associated ROCK kinases* ..... Page 677
1535 Thiazovivin ..... *ROCK inhibitor and iPSC stimulator; Stem cell related* ..... Page 762
1683 Y 27632 dihydrochloride ..... *ROCK1 and ROCK2 inhibitor* ..... Page 822

Enzymes (EC 2.7.11.) Kinases, RSK

The p70 ribosomal S6 kinases (S6K) and p90 ribosomal S6 kinases (RSK) are distinct families of Ser/Thr kinases (EC 2.7.11.1) that regulate diverse cellular processes by phosphorylation of ribosomal protein S6 (Rps6). They transduces anabolic signals that indicate nutritional status to regulate cell size and growth and metabolism through various mechanisms. These include effects on the translational machinery and on cellular energy levels through the activity of adenosine monophosphate (AMP)-activated protein kinase (AMPK)[1].
RSKs are downstream effectors of the Ras–extracellular signal-regulated kinase (ERK)/mitogen-activated protein kinase (MAPK) signaling cascade, and IGF-1 (IIS) and mTOR signaling pathways[2]. RSK phosphorylates a variety of proteins, including transcription factors, immediate-early gene products, translational regulators, enzymes, and structural proteins, that potentially link it to many biological processes such as cell proliferation, cell differentiation, and survival. The ribosomal protein S6 kinase 1 (S6K1) is one of two mammalian p70rsk proteins, acting as a downstream mediator of mammalian target of rapamycin (mTOR) in the phosphoinositide 3-kinase (PI3K) pathway and/or the Ras-MAPK pathway. It acts to converge growth factor, hormonal, nutrient and energy signals in order to maintain cellular homeostasis[3]. It has been hypothesized that the mTORC1-S6K1 is a master determinant in longevity control[4].

[1] Ribosomal Protein S6 Kinase 1 Signaling Regulates Mammalian Life Span. C. Selman et al. Science 2009, 326, 140-144.
[2] R. Anjum, J. Blenis. The RSK family of kinases: emerging roles in cellular signaling. Nat. Rev. Mol. Cell Biol, 2008, 9, 747-758.
[3] Y. Abe et al. p90 ribosomal S6 kinase and p70 ribosomal S6 kinase link phosphorylation of the eukaryotic chaperonin containing TCP-1 to growth factor, insulin, and nutrient signaling. J. Bio.l Chem. 2009, 284, 14939-14948.
[4] D.C. Bedford. S6K1: reducing the RiSKs of aging. Dis. Model. Mech. 2010, 3, 123-124.

Enzymes (EC 2.7.11.) Kinases, PKB/Akt

Akt/PKB protein kinase (EC 2.7.11.1), also belongs to the cAMP-dependent protein kinase A, -G, and -C (AGC) super family of protein kinases that share structural homology within their catalytic domain and have the similar mechanism of activation[1]. The serine/threonine kinase Akt, also known as protein kinase B (PKB), is a central node in cell signaling downstream of growth factors, cytokines, and other cellular stimuli. The Akt/PKB family comprises three highly homologous members known as PKBα/Akt1, PKBβ/Akt2 and PKBγ/Akt3 in mammalian cells. The enzyme contributes to activation of a wide variety of cellular processes, including cell survival, growth, proliferation, glucose uptake, metabolism, and angiogenesis[2]. Aberrant loss or gain of Akt activation underlies the pathophysiological properties of a variety of diseases, including type-2 diabetes and cancer. As is well known, Akt/PKB also acts a prominent downstream effector of PI3K signaling pathway, and is activated by Class 1A and Class 1B PI3-Kinases.

[1] The activation of Akt/PKB signaling pathway and cell survival. G. Song, G. Ouyang, S. Bao. J. Cell. Mol. Med. 2005, 9, 59-71.
[2] AKT/PKB Signaling: Navigating Downstream. B.D. Manning, L.C. Cantley. Cell 2007, 129, 1261-1274.

Enzymes (EC 2.7.11.) Kinases, IKK

The IKK kinase complex is the core element of the NF-κB cascade (see section of Axon Ligands™ interacting with NF-κB signaling). It is essentially made of two kinases (IKKα (or IKK-1) and IKKβ (or IKK-2); EC 2.7.11.10) and a regulatory subunit, NEMO/IKKγ. NF-κB represents a family of transcription factors that are normally kept inactive in the cytoplasm through interaction with inhibitory molecules of the IκB family. In response to multiple stimuli such as inflammatory cytokines, bacterial or viral products, or various types of stress, the IκB molecules become phosphorylated on two critical serine residues. This modification is recognized by a specific E3 ubiquitin ligase complex and undergoes polyubiquitination, which targets them for rapid degradation by the 26S proteasome[1]. As a consequence, free NF-κB enters the nucleus and activates transcription of a variety of genes participating in the immune and inflammatory response, cell adhesion, growth control, and protection against apoptosis[2].

[1] The IkB kinase IKK and NF- kB: key elements of proinflammatory signaling. M. Karin, M. Delhase. Semin. Immunol. 2000, 12, 85-98.
[2] The IKK Complex, a Central Regulator of NF-κB Activation. A. Israël. Cold Spring Harb Perspect Biol 2010, 2, a000158.

Enzymes (EC 2.7.11.) Kinases, PKC

The protein kinase C (PKC; EC 2.7.11.13) family represents a large group of phospholipid dependent enzymes catalyzing the covalent transfer of phosphate from ATP to serine and threonine residues of proteins, mediating signal transduction for cell proliferation, differentiation, apoptosis and angiogenesis. The PKC family consists of at least twelve members, divided into three subgroups: the classical PKCs (cPKCs: PKCα, PKCβI, PKCβII, and PKCγ), which are Ca^{2+} dependent and activated by both phosphotidylserine (PS) and diacylglycerol (DAG); novel PKCs (nPKCs: PKCδ, PKCε, PKCη, and PKCθ), which are Ca^{2+} independent and regulated by DAG and PS; and atypical PKCs (aPKCs: PKCζ, PKCλ), which are Ca^{2+} independent and do not require DAG for activation, although PS can regulate their activity[1]. Many of these kinases show overlapping substrate specificities in vitro. Consistent with their different biological functions, PKC isoforms differ in their structure, tissue distribution, subcellular localization, mode of activation and substrate specificity. Early observations that PKC isozymes are activated by tumor-promoting phorbol esters suggested a key role for PKC in tumor promotion and progression leading to PKC being considered as a target for cancer therapy[2].

[1] Protein kinase C pharmacology: refining the toolbox. A.X. Wu-Zhang, A.C. Newton. Biochem. J. 2013, 452, 195-209.
[2] Targeting the protein kinase C family: are we there yet? H.J. Mackay, C.J. Twelves. Nat. Rev. Cancer 2007, 7, 554-562.

Enzymes (EC 2.7.11.) Kinases, PKD

Protein kinase D (PKD; EC 2.7.11.13) consists of a small family of three members (PKD1-3) of ubiquitous serine-threonine protein kinases that are involved in the regulation of various functions within the cell, including cell proliferation, apoptosis, adhesion, and cell motility. PKD1 was initially recognized as a member of the protein kinase C (PKC) family and named PKCμ. However, distinct differences in the protein structure, variation in substrate(s) and inhibitor specificity,

and low homology of the kinase domain to other members of the PKC family resulted in its reclassification. PKD1 is now classified as a member of the protein kinase D (PKD) family, a distinct branch under the calcium/calmodulin-dependent protein kinase[1]. Studies revealed that the mechanism of PKD activation is mediated not only by DAG, but also, directly or indirectly, through PKCs[2].

[1] Emerging Roles of Protein Kinase D1 in Cancer. V. Sundram, S.C. Chauhan, M. Jaggi. Mol. Cancer Res. 2011, 9, 985-996.
[2] Protein Kinase D Signaling. E. Rozengurt, O. Rey, R.T. Waldron. J. Biol. Chem. 2005, 280, 13205-13208.

Enzymes (EC 2.7.11.) Kinases, PLK

The Polo-Like Kinase (PLK; EC 2.7.11.21) family of enzymes is localized in the centrosomes or spindle pole bodies and undergo dramatic subcellular relocation during the cell cycle. They mediate G2/M transitions, activation of cdc25 and mitotic processes including centrosome maturation, bipolar spindle formation, activation of the anaphase-promoting complex (APC), chromosome segregation, and actin ring formation (cytokinesis). Deregulated activities of PLKs often result in abnormalities in centrosome duplication, maturation, and/or microtubule dynamics. PLKs also regulate the function of the Golgi complex. Deregulated expression of human PLK1 is strongly correlated with the development of many types of malignancies, and ectopic expression of PLK1 dominant negative protein leads to rapid cell death[1]. PLK3 is a multifunctional stress response protein that responses to signals induced by DNA damage and/or mitotic spindle disruption[2].

[1] Polo-like kinases: a team that plays throughout mitosis. D.M. Glover, I.M. Hagan Á.A.M. Tavares. Genes & Dev. 1998, 12, 3777-3787
[2] Plk3 Functionally Links DNA Damage to Cell Cycle Arrest and Apoptosis at Least in Part via the p53 Pathway. Xie, S; Wu H, Wang Q, Cogswell J P, Husain I, Conn C, Stambrook P, Jhanwar-Uniyal M, Dai W. J. Biol. Chem. 2001, 276, 43305–43312.

Enzymes (EC 2.7.11.) Kinases, CDK

The cell cycle, consisting of four distinct phases (G1, S, G2, and M) is controlled by numerous mechanisms ensuring correct cell division. Cyclin-dependent kinases (CDKs; EC 2.7.11.22) are a family of protein kinases first discovered for their role in regulating the cell cycle[1]. Their kinase activity requires the binding of a regulatory cyclin subunit, upon which CDKs phosphorylate their substrates on serine and threonine residues. Since cyclins are synthesized and destroyed at specific times during the cell cycle, CDK kinase activity is regulated in a timely manner. Tumor associated mutations frequently deregulate certain CDK–cyclin complexes, resulting in either continued proliferation or unscheduled re-entry into the cell cycle, two properties characteristic of most human tumor cells[2,3]. If it is possible to selectively interrupt the cell cycle regulation in cancer cells by interfering with CDK action, the cell will die. Therefore, the development of CDK inhibitors (CKIs) is of great interest.

[1] The cell cycle: a review of regulation, deregulation and therapeutic targets in cancer. K. Vermeulen, D.R. Van Bockstaele , Z.N. Berneman. Cell Prolif. 2003, 36, 131–149.
[2] Cell cycle, CDKs and cancer: a changing paradigm. M.Malumbres, M.Barbacid. Nature Reviews Cancer 2009, 9, 153-166
[3] CDK Inhibitors: Cell Cycle Regulators and Beyond. Develop. Cell 2008, 14, 159-169

Enzymes (EC 2.7.11.) Kinases, ERK

Extracellular-signal-regulated kinases (ERKs; EC 2.7.11.24) are members of the larger family of mitogen-activated protein kinases (MAPKs) that includes ERK5, the c-Jun N-terminal protein kinases (JNKs) and the p38 MAP kinases, and transduce extracellular signals from cell surface receptors to the cell nucleus. The activation of ERK is coupled to stimulation of cell surface receptors via several different upstream signaling pathways, and plays critical roles in the regulation of gene expression and cell proliferation. The canonical ERK MAP kinase cascade (see section of kinases involved in MAPK/ERK signaling) is stimulated upon the binding of extracellular growth factors such as EGF and PDGF to their respective transmembrane receptor tyrosine kinases (RTKs). The subsequent auto-phosphorylation of the cytoplasmic tails of the receptor on tyrosine leads to the recruitment of Grb-2, which binds the guanine exchange factor SOS. Recruitment of SOS to the membrane promotes its interaction with the membrane localized small GTPase Ras and results in GTP loading and activation of Ras. This is followed by the sequential recruitment and activation of the kinases Raf, MEK, and ERK. Upon activation, MEK phosphorylates ERK, leading to dissociation and dimerization of ERK and subsequent translocation into the nucleus. In the nucleus ERK may phosphorylate many substrates including transcription factors[1].

[1] J.W. Ramos. The regulation of extracellular signal-regulated kinase (ERK) in mammalian cells. Int. J. Biochem. Cell Biol. 2008, 40, 2707-2719.

Enzymes (EC 2.7.11.) Kinases, JNK

As discussed in the section of Axon Ligands™ that inhibit extracellular-signal-regulated kinases (ERK), c-Jun N-terminal protein kinases (JNKs; EC 2.7.11.24) are also members of the family of mitogen-activated protein kinases (MAPKs) and integral part of the MAPK/ERK and NF-κB signaling pathways. In mammals, there are 3 different JNK genes (JNK 1-3) encoding for at least 10 alternative splicing forms of 46–55 kDa. JNK1 and JNK2 are ubiquitously expressed, but the expression of JNK3 is mainly restricted to central nervous system (CNS) neurons (high level), cardiac smooth muscle, and testis (low levels). Besides c-Jun, JNK can phosphorylate a variety of substrates, including additional transcription factors and even some non-nuclear proteins. JNK is involved in many physiological processes such as embryonic morphogenesis and naturally occurring programmed cell death, while the unusual activated JNK pathway can cause pathological cell death and different diseases, among which are neurological disorders, type 2 diabetes, inflammatory diseases, and cancer[1].

[1] J. Cui et al. JNK pathway: diseases and therapeutic potential. Acta Pharmacol. Sin. 2007, 28, 601-608.

Enzymes (EC 2.7.11.) Kinases, p38 MAPK

To date, five distinct groups of mitogen activated protein kinases (MAPKs) have been characterized in mammals: extracellular signal-regulated kinases (ERKs) 1 and 2 (ERK1/2), c-Jun amino-terminal kinases (JNKs) 1, 2, and 3, p38 isoforms α, β, γ, and δ , ERKs 3 and 4, and ERK5; all sharing the enzyme commission number EC 2.7.11.24. MAPKs can be activated by a wide variety of different stimuli, but in general, ERK1 and ERK2 are preferentially activated in response to growth factors and phorbol esters, while the JNK and p38 kinases are more responsive to stress stimuli ranging from osmotic shock and ionizing radiation to cytokine stimulation. Although each MAPK has unique characteristics, a number of features are shared by the MAPK pathways studied to date. Each family of MAPKs is composed of a set of three sequentially acting kinases: a MAPK, a MAPK kinase (MAPKK), and a MAPKK kinase (MAPKKK). p38 (also known as CSBP, mHOG1, RK, and SAPK2) is the archetypal member of the second MAPK-related pathway in mammalian cells. The p38 module consists of several MAPKKKs, including MEKKs 1-4, MLK2 and -3, DLK, ASK1, Tpl2 (a.k.a. Cot), and Tak1, the MAPKKs MEK3 and MEK6 (a.k.a. MKK3 and MKK6, resp.), and the four known p38 isoforms (α, β, γ, and δ). In mammalian cells, the p38 isoforms are strongly activated by environmental stresses and inflammatory cytokines but not appreciably by mitogenic stimuli. Most stimuli that activate p38 also activate JNK, but only p38 is inhibited by the anti-inflammatory drug SB203580 (Axon 1363 and Axon 1465 (HCl salt; water soluble), which has been extremely useful in delineating the function of p38[1].

[1] P.P. Roux, J. Blenis. ERK and p38 MAPK-Activated Protein Kinases: a Family of Protein Kinases with Diverse Biological Functions. Microbiol. Mol. Biol. Rev. June 2004, 68, 320-344.

Enzymes (EC 2.7.11.) Kinases, GSK-3

GSK-3 (EC 2.7.11.26), originally identified in 1980, is one of the few signaling mediators that play central roles in a diverse range of signaling pathways, including those activated by Wnts, hedgehog, growth factors, cytokines, and G protein-coupled ligands[1]. It has been implemented in the mechanisms that regulate cellular proliferation, migration, inflammation and immune responses, glucose regulation, and apoptosis. Although the original name suggests the enzyme is involved only in the process of glycogen metabolism, recent findings have revealed over 50 substrates that are phosphorylated by GSK-3, among them the microtubule-associated protein, tau, that is the predominant component of neurofibrillary tangles in Alzheimer's disease. Despite the impressive number of processes the enzyme is involved in, only four key mechanisms have been identified that contribute to regulating the actions of GSK3 in a substrate-specific manner. The phosphatidylinositol 3-kinase (PI3K)/Akt signaling pathway activated in response to insulin and many other growth factors often is a major regulator of GSK3 because Akt phosphorylates GSK3 on these inhibitory serine residues, but several other kinases also can phosphorylate these regulatory serines, such as protein kinase C and protein kinase A[2].

[1] D.Wu, W.Pan. GSK3: a multifaceted kinase in Wnt signaling. Trends Biochem Sci. 2010 Mar;35(3):161-8.
[2] Glycogen synthase kinase-3 (GSK3): inflammation, diseases, and therapeutics. RS Jope, CJ Yuskaitis, E Beurel. Neurochem. Res. 2007, 32, 577-595.

Enzymes (EC 2.7.11.) Kinases, AMPK

AMP-activated protein kinase (AMPK; EC 2.7.11.31) is a heterotrimeric enzyme with a key role in regulating cellular energy metabolism, cell growth and cell polarity. In response to a change in the intracellular AMP:ATP or ADP:ATP ratios it activates energy-producing pathways and inhibits energy-consuming processes. Furthermore, AMPK is regulated by a diverse range of hormones among which leptin, adiponectin, ciliary neurotrophic factor and ghrelin. Of the three subunits α, β, and γ that constitute the protein kinase, the α-subunit hosts the catalytic domain, while the latter two subunits β, and γ fulfill a regulatory function. Activation of AMPK is triggered by phosphorylation of a threonine residue, which lies in the activation segment of the amino-terminal kinase domain of the α-subunit and results in a several-hundred-fold increase in activity. In mammals, calcium/calmodulin-dependent protein kinase kinase-β (CaMKKβ), LKB1, and transforming growth factor-β-activated kinase 1 (TAK1) are the predominant kinases upstream of AMPK[1]. In turn, activated, phosphorylated AMPK can be inactivated by protein phosphatases (PP), e.g. PP2A, PP2Cα and Ppm1E. Currently, AMPK is viewed as an important molecular target since it is believed that novel AMPK modulators may be useful in the therapy of cancer, metabolic, and neurodegenerative diseases, such as type 2 diabetes, Alzheimer's disease, and aging[2,3].
NUAK family SNF1-like kinase-1 [NUAK1, also known as ARK5 (AMPK-related kinase 5); EC 2.7.11.1] and the closely related NUAK2 [SNARK (SNF1/AMPK-related kinase)] are members of the AMPK (AMP-activated protein kinase) family of protein kinases that are activated by the LKB1 (liver kinase B1) tumour suppressor protein kinase[4]. They both contain a ubiquitin-associated domain located next to the C-terminal of their catalytic domains, which is required for LKB1 phosphorylation and activation. Studies on NUAK kinases hint at roles in regulating cell adhesion, cancer cell invasion, embryonic development, senescence, proliferation, neuronal polarity and axon branching[5]. In the field of tumor biology, NUAK family members have been reported to promote tumor progression and metastatic capacity via the upregulation of cell proliferation, inhibition of p53-mediated tumor suppression, and increased matrix metalloproteinases (MMPs) in various cancer types. A key finding showing that NUAK1 operates as an essential survival factor in oncogenic Myc-driven tumours and may play a role in regulating tumor proliferation and survival through metabolic alteration in hepatocarcinoma. Therefore, targeting cellular energy homeostasis through inhibition of NUAK1 could be a valuable strategy to eliminate Myc-deregulated tumor cells[6].

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[2] AMP-activated protein kinase (AMPK) controls the aging process via an integrated signaling network. A. Salminena, K. Kaarnirantac. Ageing Res. Rev. 2012, 11, 230-241.
[3] AMPK: a nutrient and energy sensor that maintains energy homeostasis. D.G. Hardie, F.A. Ross, S.A. Hawley. Nature Rev. Mol. Cell Biol. 2012, 13, 251-262.
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Enzymes (EC 2.7.11.) Kinases, ASK

Being a member of the mitogen-activated protein (MAP) kinase kinase family, the Apoptosis Signal-regulating Kinase 1 (ASK1; EC 2.7.11.35) activates downstream MAP kinases (MAPKs), c-Jun N-terminal kinases (JNKs) and p38 MAPKs, in response to various stresses, such as reactive oxygen species (ROS), endoplasmic reticulum (ER) stress, lipopolysaccharide, and calcium overload. Activation of these pathways induces cellular responses such as apoptosis, differentiation, cell survival, and production of inflammatory cytokines. Evidence is growing that ASK proteins play pivotal roles in the pathogenesis and pathology of a wide range of diseases in which ROS and/or ER stress may be common pathogenic factors, such as cardiovascular, neurodegenerative diseases, and cancers.
At the molecular level, the activation of ASK1 is tightly regulated by phosphorylation of a threonine residue (Thr838 in human ASK1) within the activation loop of the kinase domain, which appears to be a common activation mechanism among the ASK family of proteins, i.e., ASK1, ASK2, NSY-1, and DASK1[1]. ASK1 forms a high molecular mass complex termed the ASK1 signalosome. Within the signalosome, ASK1 is homooligomerized through its C-terminal coiled-coil (CCC) domain, a process that is critical for ASK1 activation. Among the regulatory proteins that are involved in the activation of ASK1, such as TNF-α receptor-associated factor 2 (TRAF2), TRAF6, protein phosphatase 5 (PP5), and USP9X, the redox protein thioredoxin (Trx) plays a pivotal role: the reduced form of Trx binds to the N-terminal region of ASK1 and inhibits its kinase activity. Upon oxidation in response to ROS, Trx dissociates from ASK1, and ASK1 is then activated by the autophosphorylation of the Thr residue in its kinase domain[2].

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Enzymes (EC 2.7.11.) Kinases involved in DNA-damage response

Nuclear DNA is undoubtedly the most precious component of a cell. It is not surprising therefore that any kind of damage that introduces a discontinuity in the DNA double helix elicits a prompt cellular reaction. The DNA damage response (DDR) provides an intrinsic biological barrier against the duplication and partitioning of damaged DNA into daughter cells and impedes the propagation of corrupted genetic information[1]. When maintenance of genome integrity fails, it might lead to programmed cell death (apoptosis), or genomic instability (GIN), which in turn can cause cell transformation and oncogenesis[2]. Among the Serine and Threonine specific kinases, a number of them is involved in the processes that play a significant role in the DDR. For example, Ataxia telangiectasia mutated (ATM) kinase recognizes and signals to double-strand breaks (DSB), which are among the most critical lesions in chromosomal DNA[3-4]. ATM is present in the nucleus as an inactive dimer or oligomer, and is activated in response to DSBs in a process that involves autophosphorylation. This causes a dissociation of the dimer to form active monomeric forms, which are able to initiate the phosphorylation of many intermediates, such as p53 and the checkpoint kinase Chk2, which are involved in DNA repair and cell-cycle control[5]. Similar to ATM, the ataxia-telangiectasia and Rad3-related (ATR) protein and the DNA-activated protein kinase (DNA-PK) play an important role in responding to agents and extracellular stress that threaten the DNA replication process[6]. Interestingly, a normal and robust checkpoint pathway is thought to be a mechanism of resistance to chemotherapy. As a result, ATR-Chk1 pathway components are considered promising therapeutic targets. In particular, inhibition of ATR-Chk1 pathway components could potentially enhance the effectiveness of replication inhibitors[7].

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Enzymes (EC 2.7.11.) Kinases involved in MAPK/ERK signaling

Similar to the PI3K/AKT/mTOR pathway, the MAPK/Erk signaling cascade is activated by a wide variety of receptors involved in growth and differentiation including receptor tyrosine kinases (RTKs), integrins, ion channels, and extracellular stimuli such as heat and stress. The specific components of the cascade vary greatly among different stimuli, but the architecture of the pathway usually includes a set of adaptors (e.g. Shc, GRB2, Crk, etc.) linking the receptor to a guanine nucleotide exchange factor (SOS, C3G, etc.) transducing the signal to small GTP binding proteins (Ras, Rap1), which in turn activate the core unit of the cascade composed of a MAPKKK (Raf), a MAPKK (MEK1/2), and MAPK (Erk: extracellular signal-regulated kinases). An activated Erk dimer can regulate targets in the cytosol and also translocate to the nucleus where it phosphorylates a variety of transcription factors regulating gene expression[1,2]. One example of the most recent additions to this class of compounds is FMK (Axon 1848), a potent, highly specific and irreversible inhibitor of p90 ribosomal protein S6 kinase (RSK) 1 and 2. This drug is capable of inducing significant apoptosis in human FGFR3-expressing, t(4;14)-positive multiple myeloma cells[3].
Actually, MEK enzymes are members of the class of dual specificity mitogen-activated protein kinase kinase (EC 2.7.12.2) and should not be listed within the section of serine/threonine specific kinases (EC 2.7.11.). However, as they are integral members of the group of enzymes involved in MAPK/ERK signaling, and besides having the capability to phosphorylate tyrosine residues, they are also capable of phosphorylating serine/threonine sites of substrates, MEK inhibitors are listed in this section for kinases involved in the MAPK/ERK signaling pathway.

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Enzymes (EC 2.7.11.) Kinases involved in the NF-κB signaling

The NF-κB signaling pathway is involved in a broad range of biological processes including innate and adaptive immunity, inflammation, stress responses, B cell development, and lymphoid organogenesis. A remarkable diversity of stimuli lead to the activation of NF-κB, among which are pro-inflammatory cytokines, LPS, growth factors, and antigen receptors. They activate an IKK complex (IKKβ, IKKα, and NEMO), which phosphorylates IκB proteins. Phosphorylation of IκB leads to its ubiquitination and proteasomal degradation, freeing NF-κB/Rel complexes. Active NF-κB/Rel complexes are further activated by phosphorylation and translocate to the nucleus where, either alone or in combination with other transcription factor families including AP-1, Ets, and Stat, they induce target gene expression[1,2]. The core elements of NF-κB signaling pathways are generally several steps removed from the receptor itself. The intervening steps between receptor and IKK form links to parallel signaling pathways. For example, PKC enzymes play important roles in several signal transduction cascades. In NF-κB signaling, PKC-β connects the B cell receptor to canonical activation of NF-κB through a signaling complex, including Bcl10/MALT1 and NEMO/IKKγ[3].

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[2] Rel/NF-κB Transcription Factors. Gilmore TD. http://www.bu.edu/nf-kb/ 2008
[3] Protein Kinase C-β-Dependent Activation of NF-κB in Stromal Cells Is Indispensable for the Survival of Chronic Lymphocytic Leukemia B Cells In Vivo. G. Lutzny et al. Cancer Cell. 2013, 23, 77-92.

Enzymes (EC 2.7.11.) Kinases involved in PI3K/AKT/mTOR signaling

Within the class Axon Ligands™ targeting Serine/Threonine specific kinases, special interest is offered for those kinases that are part of the PI3K/AKT/mTOR signaling pathway. It is strongly involved in the fundamental cellular processes of protein synthesis and apoptosis, and disturbed activation of this intracellular pathway has been associated with the development of diseases such as cancer, diabetes mellitus, and autoimmunity[1]. Upon activation of phosphatidylinositol (PI)-3-kinase (PI3K) by extracellular growth factors, phosphorylation of the inner membrane phosphoinositides activates AKT (also known as Protein Kinase B, PKB) and PDPK1 (3-phosphoinositide dependent protein kinase-1). In turn, mTOR (mammalian target of rapamycin) is activated downstream, which plays an important role in cell cycle progression[2]. In many cancer cells, this PI3K/AKT/mTOR pathway is highly active, which can be the result of amplification or mutation of the PI3-kinase gene; amplification or mutation of the Akt gene; or loss of function of PTEN (Phosphatase and tensin homolog). The latter normally regulates the removal of phosphate groups of the PI3K mediated phosphorylated membrane phospholipids, one of the regulating mechanisms to prevent over activations of this pathway.

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Enzymes (EC 2.7.11.) Kinases involved in Wnt/β-Catenin signaling

Wnt proteins form a family of highly conserved secreted signaling molecules that regulate cell-to-cell interactions during embryogenesis (embryonic induction, generation of cell polarity, and the specification of cell fate). Mutations in Wnt genes

or Wnt pathway components lead to specific developmental defects, while various human diseases, including cancer, are caused by abnormal Wnt signaling. As currently understood, Wnt proteins bind to receptors of the Frizzled and LRP (low density Lipoprotein Receptor-related Protein) families on the cell surface. The result is alleviation of pathway inhibition caused by GSK-3β, APC, and Axin proteins. This stabilizes β-Catenin and promotes its nuclear translocation where it regulates target gene transcription together with Tcf/Lef proteins. During development, the Wnt/β-catenin pathway integrates signals from many other pathways including Retinoic acid, FGF, TGF-β, and BMP in many different cell-types and tissues. In addition, GSK-3β is also involved in glycogen metabolism and other key pathways, which has made its inhibition relevant to diabetes and neurodegenerative disorders.[1,2].

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Enzymes (EC 2.7.12.) Kinases, Dual specificity

Protein kinases fall into three broad classes, characterised with respect to substrate specificity: Serine/threonine-protein kinases, Tyrosine-protein kinases, and Dual specificity protein kinases (e.g. MEK - phosphorylates both Thr and Tyr on target proteins)[1]. Four distinct MAPK cascades have been identified and named according to their MAPK module. These are extra-cellular signal-regulated kinase (ERK1/2), c-Jun N-terminal kinase (JNK), p38 and ERK5. MEK proteins (EC 2.7.12.1) belong to a family of enzymes that lie upstream to their specific MAPK targets in MAP kinase signaling pathways, and so far 7 MEK enzymes have been identified. MEK1 and MEK2 are closely related. They both participate in the Ras/Raf/MEK/ERK signal transduction cascade. MEK1 (a.k.a. MAPKK-1 or MAP2K1) is the prototype member of MEK family proteins[2].

MPS1 (EC 2.7.12.1), a dual-specificity kinase, is required for the proper functioning of the spindle assembly checkpoint and for the maintenance of chromosomal stability. Deregulation of these processes or uncoupling of its component parts can lead to aneuploidy and chromosomal instability (CIN), which are recognized hallmarks of cancer[3].
The cdc2-like kinases (Clks; EC 2.7.12.1) are CMGC group (cyclin-dependent kinases (CDKs), mitogen-activated protein kinases (MAP kinases), glycogen synthase kinases (GSK) and CDK-like kinases) dual-specificity kinases, capable of autophosphorylation at tyrosine residues while phosphorylating substrates at serine and threonine residues. They make up an essential and typically large group of kinases found in all eukaryotes. Four isoforms, Clk1–Clk4 are known to date, which impact mRNA splicing by phosphorylating the serine- and arginine-rich (SR) family of splicing proteins[4].

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Enzymes (EC 3.) Hydrolases

The group of hydrolases (EC 3.-.-.-) consists of 13 subgroups, as determined by the Nomenclature Committee of the International Union of Biochemistry and Molecular Biology. Only few of them are represented in the Axon Ligands™ catalogue. The enzymes that catalyze the hydrolysis of various bonds are classified by the nature of the chemical bonds they cleave. Examples of enzymes of this group of enzymes are esterases, peptidases, and deacetylases.

Enzymes (EC 3.1.1.) Carboxylesterases

Acetylcholinesterase (AChE; EC 3.1.1.7), a type of serine proteases, is found at mainly neuromuscular junctions and cholinergic brain synapses, where its activity serves to terminate synaptic transmission, thereby playing a fundamental role in acetylcholine mediated neurotransmission. Blockade of AChE results in elevated concentrations of acetylcholine in the synaptic cleft with the potential to cause muscular paralysis, convulsions, bronchial constriction, and death by asphyxiation. The gradual loss of AChE activity is hypothesized to be the main cause of Alzheimer's disease, as AChE in healthy organisms plays a key role in the assembly of amyloid fiber[1]. Additionally, it has been shown that the main active ingredient in cannabis, tetrahydrocannibinol, is a competitive inhibitor of acetylcholinesterase[2].

The hydrolysis of the primary and secondary ester bonds between long chain fatty acids and the glycerol backbone in triacylglycerols (TAG) is called "lipolysis" and depends on specific hydrolases commonly designated lipases. To date, three enzymes have been implicated in the complete hydrolysis of TAG molecules in cellular lipid stores: adipose triglyceride lipase (ATGL; EC 3.1.1.3) selectively performs the first and rate-limiting step hydrolyzing TAGs to generate diacylglycerols (DAGs) and non-esterified fatty acids (NEFAs). Hormone-sensitive lipase (HSL; EC 3.1.1.79) is a multifunctional enzyme capable of hydrolyzing a variety of acylesters including TAG, DAG, and monoacylglycerol (MAG). Within the TAG hydrolysis cascade this enzyme is rate-limiting for DAG catabolism. Finally, monoglyceride lipase (MGL; EC 3.1.1.23) efficiently cleaves MAG into glycerol and NEFAs. ATGL deficiency causes cardiomyopathy and premature death in humans and rodents owing to severe TG accumulation in cardiac muscle[3].

Lipid-modified proteins are involved in important biological events, such as signal transduction, organisation of the cytoskeleton and vesicular transport. Post-translational S-palmitoylation for example directs the trafficking and membrane localization of hundreds of cellular proteins, often involving a coordinated palmitoylation cycle that requires both protein acyl transferases (PATs) and acyl protein thioesterases (APTs; EC 3.1.2.22). APT1 (a.k.a. LYPLA1) was the first characterized cytosolic protein depalmitoylase, yet initially annotated as a lysophospholipase[4]. Since It was shown that peptides that resemble the dual lipidation motifs of Ras or G-protein α subunits are efficiently palmitoylated and localized at the plasma membrane, the APT1 enzyme is of interest for its role in Ras signaling related oncology research, among other fields of interest[5].

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Enzymes (EC 3.1.1.) Carboxylesterases, PLA

Phospholipases and acetylcholinesterases are members of the subclass of esterases. Hydrolyzing phospholipids into a carboxylic acid and a lysophospholipid, the PLA1 and PLA2 (EC 3.1.1.4) esterases differentiate from PLC (EC 3.1.4.11) and PLD (EC 3.1.4.4) which are responsible for cleaving either sites of the phophonate bonds present in phospholipids. Due to the importance of PLA2 in inflammatory responses, regulation of the enzyme is essential. PLA2 is regulated by phosphorylation and calcium concentrations. PLA2 is phosphorylated by a MAPK at Serine-505. When phosphorylation is coupled with an influx of calcium ions, PLA2 becomes stimulated and can translocate to the membrane to begin catalysis.[1]

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Enzymes (EC 3.1.1.) Carboxylesterases, MAGL

Monoacylglycerol lipase (MAGL; EC 3.1.1.23) is the principal enzyme responsible for the in vivo degradation of 2-arachidonoyl glycerol (2-AG), an endogenous ligand of the cannabinoid receptors. Two other enzymes that participate in the breakdown of 2-AG are α/β-hydrolase domain-containing 6 (ABHD6) and α/β-hydrolase domain-containing 12 (ABHD12). It has been hypothesized that inhibition of MAGL may represent a useful and novel approach for the treatment of neuropathic pain, anxiety and inflammatory bowel diseases, vomiting, and nausea, as well as against the proliferation and migration of cancer cells[1].

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Enzymes (EC 3.1.3.) Phosphoprotein phosphatase

The family of phosphoprotein phosphatases includes enzymes that dephosphorylate serine, threonine (EC 3.1.3.16) and tyrosine (EC 3.1.3.48) residues within their substrates. Analogous to kinases, some show certain specificity towards Ser/Thr or Tyr residues, like PPM1D (EC 3.1.3.16). Phosphatases that do not show this selectivity are classified as dual-specificity phosphatases (DUSP).

Protein phosphatase magnesium-dependent 1 δ (PPM1D; EC 3.1.3.16, also known as wip1 (wild type p53 induced protein phosphatase 1)) is a member of the PP2C family of Ser/Thr protein phosphatases, and was initially characterized as a p53-regulated phosphatase responsible for inactivation of p38 MAPK and consequent inactivation of p53. PPM1D also abrogates cell cycle checkpoints by reducing p53 and Chk1 activities through direct dephosphorylation, and it has been shown to be amplified and overexpressed in some human breast and prostate cancers, two steroid hormone-dependent cancers[12].

Protein phosphatase 1 regulatory subunit 15A (PPP1R15A; also known as growth arrest and DNA damage-inducible protein GADD34) is a member of the protein family whose expression is up-regulated by growth arrest and DNA damage. It recruits the serine/threonine-protein phosphatase PP1 to dephosphorylate the translation initiation factor eIF-2A/EIF2S1, thereby reversing the shut-off of protein synthesis initiated by stress-inducible kinases and facilitating recovery of cells from stress[3]. PPP1R15a down-regulates the TGF-β signaling pathway by promoting dephosphorylation of TGFB1 by PP1, and may promote apoptosis by inducing TP53 phosphorylation on Ser-15[4].

DUSPs have been implicated as major modulators of critical signalling pathways that are dysregulated in various diseases. DUSPs can be divided into six subgroups on the basis of sequence similarity. Of these subgroups, a great deal of research has focused on the characterization of the mitogen-activated protein kinase phosphatases (MKPs)[5]. While DUSP1 has been identified as a prototypic MAPK phosphatase (DUSP1), an essential endogenous regulator of the inflammatory response to lipopolysaccharide (LPS)[6], the DUSP6 functions as a feedback regulator of fibroblast growth factor (FGF) signaling to limit the activity of extracellular signal–regulated kinases (ERKs) 1 and 2[7].

Tacrolimus (FK506, Axon 2263) is an immunosuppressive agent that suppresses both the immune response and apoptosis through inhibition of the phosphatase activity of calcineurin (calcium dependent serine/threonine protein phosphatase 2B; EC 3.1.3.16). FKBP12, the best-characterized member of the FKBP family of immunophilins, interacts with calcineurin only in the presence of Tacrolimus[8]. Structural studies have shown that the FKBP12–FK506 complex binds 'snugly' to calcineurin and blocks its interaction with substrates. Calcineurin, that is activated by Ca2+ and calmodulin, is implicated in both signal transduction events and apoptosis[9].

The tumor suppressor phosphatase and tensin homolog deleted on chromosome 10 (PTEN; EC 3.1.3.67), a phosphatidylinositol 3′-phosphatase that converts PtdIns(3,4,5)P3 to phosphatidylinositol 4,5-bisphosphate, is one of the three regulators (besides PI3K and SHIP) of the cellular level of phosphatidylinositol (3,4,5)-trisphosphate [PtdIns(3,4,5)P3], and one of the most important tumor suppressors by down-regulating the PI3K–Akt–mTOR pathway, through its lipid phosphatase activity[10]. Due to its protein phosphatase activity, PTEN plays an important role as one of the key mediators of downstream GPCR signaling. As such, its role is also emerging as an important factor in other diseases, such as diabetes and autism spectrum disorders. For example, depletion of PTEN enhances the sensitivity of neutrophil to chemoattractant stimulation, augments neutrophil recruitment to sites of infection, and prevents neutrophil death. In a neutropenia-related pneumonia model, PTEN-null neutrophils possess an enhanced bacteria-killing capability, and their recruitment to the inflamed lungs is augmented[11].

Protein tyrosine phosphatase, receptor type, C (PTPRC or CD45; EC 3.1.3.48) is expressed at high levels on the surface of all nucleated hematopoietic cells, and known to be a signaling molecule that regulate a variety of cellular processes including cell growth, differentiation, mitosis, and oncogenic transformation[12]. PTPRC is an essential regulator of T- and

B-cell antigen receptor signaling. It functions through either direct interaction with components of the antigen receptor complexes, or by activating various Src family kinases required for the antigen receptor signaling. Throughout the life of a T-cell, PTPRC is differentially glycosylated, and the glycosylation state of PTPRC controls recognition by various binding partners, affects intracellular signaling by the cytoplasmic tyrosine phosphatase domain and modulates the response of the T-cell to antigen[13]. This PTPRC also suppresses JAK kinases, and thus functions as a regulator of cytokine receptor signaling[14].

SHP-2 (EC 3.1.3.48; aka tyrosine-protein phosphatase non-receptor type 11 (PTPN11), protein-tyrosine phosphatase 1D (PTP-1D), or protein-tyrosine phosphatase 2C (PTP-2C)) is a cytoplasmic SH2 (Src-homology 2) domain containing member of the family of protein tyrosine phosphatases (PTP), transducing signal relay from the cell surface to the nucleus[15]. It is ubiquitously expressed and shown to be involved in regulation of cellular development and differentiation, survival, and migration by promoting growth factors-induced activation of PI3K/Akt, the extracellular signal-related kinases (ERKs), NF-κB, JAK2/STAT, and other pathways[16].

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Enzymes (EC 3.1.4.) Phosphodiesterases

No less than eleven sub-types of the enzyme family of phosphodiesterases (PDE; EC 3.1.4.-) are known to date, many of which exist as splice variants[1]. They are essential regulators of cyclic nucleotide signaling with diverse physiological functions. Roughly, the sub-types can be divided into 3 groups: one group of enzymes specifically degrades cyclic adenosine monophosphate (cAMP; PDE4, 7 and 8), another group specifically targets cyclic guanosine monophosphate (cGMP; PDE5, 6, and 9), whereas the third group of enzymes (including PDE1, 2, 3, 10, and 11) are non specific towards either cAMP or cGMP. PDEs influence a vast array of pharmacological processes, including pro-inflammatory mediator production and action, ion channel function, muscle contraction, learning, differentiation, apoptosis, lipogenesis, glycogenolysis and gluconeogenesis. Recent advances in molecular pharmacology of PDE isoenzymes resulted in identification of new potential applications of PDE inhibitors in various therapeutic areas, including dementia, depression and schizophrenia[2].

Phosphatidic acid (PA) is a lipid second messenger located at the intersection of several lipid metabolism and cell signaling events including membrane trafficking, survival, and proliferation. Generation of signaling PA has long been primarily attributed to the activation of phospholipase D (PLD; EC 3.1.4.4). PLD catalyzes the hydrolysis of phosphatidylcholine into PA. A variety of both receptor-tyrosine kinase and G-protein-coupled receptor stimulations have been shown to lead to PLD activation and PA generation[3]

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Enzymes (EC 3.1.22.) Endodeoxyribonucleases

DNA double strand breaks (DSBs) can be repaired by end joining pathways that do not utilize significant homology at the broken ends, or through homologous recombination (HR). When HR is used for repair, in eukaryotes it is promoted by the recombinase RAD51 (EC 3.1.22.4), which binds to 3'-tailed single strands at the end of DSBs in a helical fashion and promotes pairing with homologous DNA sequences as a prelude to strand invasion and repair of the DSBs[1]. Five subtypes of the RAD51 recombinase family are known (RAD51B, RAD51C, RAD51D, XRCC2 and XRCC3), which all act to transduce the DNA damage signal to effector kinases and to promote break repair. RAD51 resides as a small monomeric molecule which assembles into long helical polymers that wrap around the ssDNA tail at the break site. The resulting nucleoprotein filament catalyses pairing with and strand invasion into an intact homologous DNA molecule. Assembly of RAD51 monomers onto ssDNA is a relatively slow process and is facilitated by several mediator proteins. The tumor suppressor protein BRCA2 is the best-characterized loader of RAD51 monomers at DSBs[2]. Overexpression of Rad51 is found in human tumors, and its increase is related to p53 function.

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Enzymes (EC 3.2.1.) Glycosidases

Alpha-Mannosidosis is a lysosomal storage disorder caused by deficient activity of the enzyme alpha-D-mannosidase (EC 3.2.1.24). In humans it is known to be caused by an autosomal recessive genetic mutation. causes sugar build up and impairs cell function. Complete absence of functional enzyme leads to death during early childhood due to deterioration of the central nervous system. Enzyme with low residual activity leads to a milder type of the disease, with symptoms like reduced hearing, mental retardation, susceptibility to bacterial infections and skeletal deformities[1].
Miglitol (Axon 2067), an alpha-glucosidase (EC 3.2.1.20) inhibitor, is an oral antihyperglycaemic agent is indicated for the treatment of patients with type 2 diabetes mellitus[2].

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Enzymes (EC 3.3.2.) Glycosidases

Soluble expoxide hydrolase (sEH; EC 3.3.2.10) is a key enzyme in the metabolism of eicosanoid epoxides, including epoxyeicosatrienoic acids (EETs) and of leukotoxin (LTX). EETs, endothelium-derived hyperpolarizing factors, exhibit potentially beneficial properties, including anti-inflammatory effects and vasodilation. The enzyme is a bifunctional homodimeric complex located in both cytosol and peroxisomes with hydrolase and phosphatase activity[1]. GSK2256294A (Axon 2220) is a potent, selective inhibitor of sEH, and attenuates cigarette smoke-induced inflammation by both inhibiting its initiation and/or maintenance and promoting its resolution. GSK2256294A would be an appropriate agent to evaluate the role of sEH in clinical studies, for example in diseases where cigarette smoke is a risk factor, such as chronic obstructive pulmonary disease (COPD) and cardiovascular disease[2].
Leukotriene A4 hydrolase/aminopeptidase (LTA4H; EC 3.3.2.6) is a ubiquitously expressed bifunctional zinc metalloenzyme with epoxide hydrolase and aminopeptidase activities utilizing the same Zn present active site. It catalyzes biosynthesis of the proinflammatory mediator, LTB4, implicated in chronic inflammatory diseases. Recently, the chemotactic tripeptide Pro-Gly-Pro was identified as the enzyme's endogenous peptidase substrate[3,4].

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[3] S. Thangapandian et al. Molecular dynamics simulation study and hybrid pharmacophore model development in human LTA4H inhibitor design. PLoS One. 2012, 7, e34593.
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Enzymes (EC 3.4.) Peptidases

Proteases, also known as proteolytic enzymes, are enzymes that catalyze the breakdown of proteins by hydrolysis of peptide bonds. By cleaving proteins, proteases are involved in the control of a large number of key physiological processes such as cell-cycle progression, cell proliferation and cell death, DNA replication, tissue remodeling, haemostasis (coagulation), wound healing and the immune response. So far, inappropriate proteolysis has been found to have a major role in cancer as well as cardiovascular, inflammatory, neurodegenerative, bacterial, viral and parasitic diseases. Because excessive proteolysis can be prevented by blocking the appropriate proteases, this area is widely explored by pharmaceutical companies. Their mechanism of action classifies the large family of proteases as either

serine, cysteine or threonine proteases (amino-terminal nucleophile hydrolases), or as aspartic, metallo and glutamic proteases (with glutamic proteases being the only subtype not found in mammals so far)[1]. Interestingly, the serine and cysteine proteases act directly as nucleophiles to attack the substrate (by generating covalent acyl enzyme intermediates). On the other hand, the aspartyl and zinc proteases activate water molecules as the direct attacking species on the peptide bond. Proteases of the different classes can be further grouped into families on the basis of amino acid sequence comparison, and families can be assembled into clans based on similarities in their three-dimensional structures[2].
Proteasomes are protein complexes inside all eukaryotes and archaea, and in some bacteria which main function is to degrade unneeded or damaged proteins by proteolysis. The 26S proteasome is a eukaryotic ATP-dependent protease (EC 3.4.-) that is known to collaborate with the ubiquitin system, the system that tags proteins with polyubiquitin chains as a marker for protein degradation in eukaryotic cells that degrades ubiquitin conjugates[3]. It consists of no less than 31 principal subunits arranged into two subcomplexes, the 20S core protease (CP) and the 19S regulatory particle (RP). The CP is a broad spectrum ATP- and Ubiquitin-independent protease. It is a cylindrical stack created by the assembly of four heptameric rings. The two peripheral rings are composed of seven related α-subunits and the two central rings are composed of seven related β-subunits. The subunits have active sites with various hydrolase cleavage capacities, enabling the 26S proteasome to cleave most, if not all, peptide bonds[4]. Bortezomib (Axon 1810) is a specific inhibitor of 26S proteasome activity with approved application for use in multiple myeloma[5].

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Enzymes (EC 3.4.11.) Amino peptidases

A class of aminopeptidases, widely distributed throughout the animal and plant kingdoms, and found in many subcellular organelles, in cytoplasm, and as membrane components. The aminopeptidase MetAP2 (EC 3.4.11.18) is of particular interest because the enzyme plays a key role in angiogenesis, the growth of new blood vessels, which is necessary for the progression of diseases including solid tumor cancers and rheumatoid arthritis[1].

[1] Methionine aminopeptidase 2 inhibition is an effective treatment strategy for neuroblastoma in preclinical models. M.J. Morowitz et al. Clin. Cancer Res. 2005, 11, 2680-2685.

Enzymes (EC 3.4.14.) Di- and tripeptidyl peptidases

Di- and tripeptidyl peptidases (EC 3.4.14.-) make up an individual class of aminopeptidases. DPP4 (EC 3.4.14.5) is also known as adenosine deaminase complexing protein 2 or CD26 (cluster of differentiation 26). It is an antigenic enzyme expressed on the surface of most cell types and is associated with immune regulation, signal transduction and apoptosis. It is an intrinsic membrane glycoprotein and a serine exopeptidase that cleaves X-proline dipeptides from the N-terminus of polypeptides. Additionally, it has been proven to be implicated in the pathogenesis of type 2 diabetes[1].
Butabindide oxalate (Axon 1228) has proven to inhibit the cholecystokinin-8 (CCK-8)-inactivating peptidase, which is in fact a membrane-bound isoform of tripeptidyl peptidase II (EC 3.4.14.10). CCK-8 in its sulfated form functions as a neurotransmitter. It is released in response to ingestion of food and is involved in the control of food digestion through regulation of gallbladder contraction, pancreatic secretion, and contraction of the pyloric sphincter to delay gastric emptying. Inhibition of the enzyme therefore could be an opportunity to treat obesity related metabolic diseases[2].

[1] Vildagliptin, a dipetidyl peptidase-IV inhibitor, improves model-assessed β-cell function in patients with type 2 diabetes. A. Mari et al. J. Clin. Endocrinol. Metab. 2005, 90, 4888-4894.
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Enzymes (EC 3.4.19.) Omega peptidases

The proper regulation of apoptosis is essential for the survival of multicellular organisms. It has become clear that the post-translational modification of apoptotic proteins by ubiquitination regulates key components in cell death signaling cascades. Ubiquitination, which describes the covalent modification of target proteins with ubiquitin, has a profound bearing on the fate and function of its substrates and requires the enzymic activity of an E1, an E2 and an E3 protein (of which many subtypes are known to date). While ubiquitination, similarly to phosphorylation, is a reversible modification, in mammals, approximately 100 DUBs (EC 3.4.19.12) function to depolymerize and remove ubiquitin adducts as well. USP7 and USP47 are just two examples of deubiquitination enzymes that assist in the highly complex processes that regulate apoptosis[1]. USP7 (or HAUSP) is most popularly known as a direct antagonist of Mdm2, the E3 ubiquitin ligase for the p53 tumor suppressor protein[2]. Similarly, USP47 was recently identified as a novel interactor of the E3 ubiquitin ligase SCFβ-Trcp. However, in contrast with the effects of USP7, USP47 depletion seems not to depend on p53 status[3].
Human ubiquitin-specific protease 1 (USP1), which is associated with UAF1, has been identified as the deubiquitinase (DUB) responsible for deubiquitinating PCNA, FANCD2 and FANCI in the DNA damage response. USP1 is also required for the FANCD2 foci formation in both mouse and human cells. A high level of genomic instability has been linked to deficiency in human ATAD5 (the human ortholog of yeast Elg1), which mediates PCNA deubiquitination by USP1-UAF1. Together, these observations suggest that the DUB activity of USP1-UAF1 is important for the normal cellular response to DNA damage[4].

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[4] Q. Liang et al.A selective USP1-UAF1 inhibitor links deubiquitination to DNA damage responses. Nat Chem. Biol. 2014, 10, 298-304.

Enzymes (EC 3.4.21.) Serine proteases

The large family of serine proteases (almost one-third of all proteases; EC 3.4.21.-) is characterized by its general mechanism of action to cleave peptide bonds in proteins, in which serine serves as the nucleophilic amino acid at the (enzyme's) active site. The members of this family can be divided roughly into four sub-groups based on their structure, being chymotrypsin-like (trypsin-like), subtilisin-like, carboxypeptidase Y-like, and Clp-like[1].
Factor Xa is a serine endopeptidase located at the confluence of the intrinsic and extrinsic pathways of the blood coagulation cascade, and composed of two disulfide-linked subunits that converts prothrombin to thrombin. Factor Xa cleaves after the arginine residue in its preferred cleavage site Ile-(Glu or Asp)-Gly-Arg and it will occasionally cleave at other basic residues. However, it will not cleave at a site followed by proline or arginine. fXa has emerged as an attractive target for developing safer anticoagulant drugs. Inhibition of fXa should prevent production of new thrombin without affecting its basal level, which should ensure primary hemostasis[2].

HCV is a plus-stranded RNA virus, and its genome with a large open reading frame encodes a poly protein precursor of about 3010 amino acid residues having an internal ribosome entry site at 5` untranslated region (UTR), vital for the translation. This poly protein precursor is cleaved to generate at least 10 proteins, among which the HCV NS3-4A protein. The NS3-4A serine protease is a non-covalent, heterodimer complex formed by two HCV-encoded proteins, the N-terminal serine protease domain of NS3 (catalytic subunit) and the NS4A cofactor (activation subunit). The NS3-4A serine protease is responsible for the proteolytic cleavage at four junctions of the HCV polyprotein precursor: NS3/NS4A (self cleavage), NS4A/NS4B, NS4B/NS5A, and NS5A/NS5B[3]. It is hypothesized that development of a specific inhibitors of NS3 protease activity would be an attractive target for new anti-HCV drugs, since the inhibition of NS3/4A protease will interfere with the viral life cycle and restore the pathways of innate immunity[4].
Human leukocyte elastase, which is also referred to as neutrophil elastase (HLE or HNE; EC 3.4.21.47), is a highly cationic, broad-spectrum serine protease (30 kDa) primarily located in the azurophil granules of polymorphonuclear leukocytes in very high concentrations. The serine proteinase is a member of the same family as chymotrypsin and preferentially cleaves substrates C-terminally to small hydrophobic residues[5]. Under normal circumstances, the proteolytic activity of HLE is effectively controlled by its natural inhibitors. However, an imbalance between elastase and its endogenous inhibitors may result in several pathophysiological states such as chronic obstructive pulmonary disease, asthma, emphysema, cystic fibrosis, and chronic inflammatory diseases. It is anticipated that an orally active HLE inhibitor could be useful for the treatment of these diseases[6]. HLE also participates in direct intracellular killing of phagocytosed bacteria in phagolysosomes in combination with myeloperoxidase and reactive oxygen species generated by the NADPH oxidase complex. It exerts its antimicrobial activity on Gram-negative bacteria by cleaving the outer membrane protein A[7].
Heparin cofactor II (HCII; EC 3.4.21.xx) is a serine protease inhibitor (serpin) that inactivates thrombin rapidly in the presence of certain glycosaminoglycans (GAGs; dermatan sulfate, heparan sulfate, or heparin), but does not inhibit other proteases involved in coagulation or fibrinolysis. Heparin cofactor II (HCII) has several biochemical properties that distinguish it from other serpins: it specifically inhibits thrombin, and the mechanism of inhibition involves binding of an acidic domain in HCII to thrombin exosite I. The rate of inhibition increases dramatically (more than 1000-fold) in the presence of heparin, heparan sulfate, or dermatan sulfate. HCII has been proposed to regulate coagulation or to participate in processes such as inflammation, atherosclerosis, and wound repair[8,9].

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Enzymes (EC 3.4.21.) Serine proteases, PAI-1

Plasminogen activator inhibitor (PAI-1; EC 3.4.21.68) a serine protease inhibitor, is involved in numerous processes including thrombosis and fibrosis. Its inhibition may thus yield important cardio- and reno-protective benefits. Studies in

mice overexpressing human PAI-1 also implicate its involvement in broader biological abnormalities, including alopecia, amyloidosis, and polycystic ovarian syndrome[1].

[1] Y. Izuhara et al. A novel inhibitor of plasminogen activator inhibitor-1 provides antithrombotic benefits devoid of bleeding effect in nonhuman primates. J. Cereb. Blood Flow Metab. 2010, 30, 904-912.

Enzymes (EC 3.4.22.) Cysteine proteases

A wide variety of cysteine proteases (CPs) exists, that share the common feature of hydrolyzing substrates by direct nucleophilic attack of a deprotonated cysteine residue at the enzyme's catalytic site. CPs are responsible for many biochemical processes occurring in living organisms and they have been implicated in the development and progression of several diseases that involve abnormal protein turnover. The activity of CPs is regulated among others by their specific inhibitors: cystatins. Mammalian cysteine proteinases fall into two classes: caspases and the papain superfamily comprising the papain family, calpains and bleomycin hydrolases[1].
Mucosa-associated-lymphoid-tissue (MALT1; EC 3.4.22.xx) cleavage activity is linked to the pathogenesis of activated B cell-like diffuse large B cell lymphoma (ABC-DLBCL), a chemoresistant form of DLBCL. The caspase-like domain of MALT1 cleaves substrates following arginine residues, unlike conventional caspase that cleave after aspartate residues. MALT1 cleaves and disables A20 (TNFAIP3) and CYLD, both negative regulators of NF-κB, thereby potentiating NF-κB signaling[2].
Human rhinoviruses (HRVs) comprise over 100 different serotypes and are the predominant cause of the common cold. Although HRV infections are generally mild and self-limiting, they can also be associated with more serious illnesses, specifically, exacerbation of disease in individuals with underlying respiratory disorders. HRVs are a group of small single-stranded positive-sense RNA viruses that translate their genetic information into a polyprotein precursor that is mainly processed by a virally encoded 3C protease (3Cpro; EC 3.4.22.28) to generate functional viral proteins and enzymes. The enzymatic activity of HRV 3Cpro is essential to viral replication, and is distinguished from most other proteases by the fact that it has a cysteine nucleophile but with a chymotrypsin-like serine protease folding. This unique protein structure together with its essential role in viral replication made the 3Cpro an excellent target for antiviral intervention[3].

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Enzymes (EC 3.4.22.) Cysteine proteases, Caspases

Proteases play critical roles in the initiation and execution of apoptosis. The caspases (EC 3.4.22.xx), a family of cysteine-dependent aspartate-directed proteases, are prominent among the death proteases. Caspases are synthesized as relatively inactive zymogens that become activated by scaffold-mediated transactivation or by cleavage via upstream proteases in an intracellular cascade. Regulation of caspase activation and activity occurs at several different levels. Once activated, caspases cleave a variety of intracellular polypeptides, including major structural elements of the cytoplasm and nucleus, components of the DNA repair machinery, and a number of protein kinases. Collectively, these scissions disrupt survival pathways and disassemble important architectural components of the cell, contributing to the stereotypic morphological and biochemical changes that characterize apoptotic cell death[1].

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Enzymes (EC 3.4.22.) Cysteine proteases, CTSK

Cathepsin K (Cat K, CTSK; EC 3.4.22.8) is a member of the CA1 family of lysosomal cysteine proteases and of the papain family. It is considered to be the major enzyme responsible for degradation of the organic bone matrix. It is highly and selectively expressed in osteoclasts and, under acidic conditions, has the unique ability to degrade type I collagen helical regions. Unlike the other cathepsins, Cat K not only degrades type I collagen in the telopeptide regions, but is capable of cleaving the triple helical domains at multiple sites. The protease is an attractive target for inhibition of bone resorption[1].

[1] S.B. Rodan et al. Cathepsin K – A new molecular target for osteoporosis. IBMS BoneKEy 2008, 5, 16-24.

Enzymes (EC 3.4.23.) Aspartic proteases

Five subfamilies of aspartic proteases (EC 3.4.23.-) are classified, all sharing a highly conserved sequence of Asp-Thr-Gly. Compared to the three other types of proteases, serine, cysteine, and metalloproteases, aspartic proteases comprise a relatively small group. The aspartic proteases of many pathogens represent attractive targets for inhibitor design to control the progression of these diseases. The development of effective HIV protease inhibitor drugs for the treatment of HIV infection in AIDS illustrates the importance of this approach. Most of the aspartic proteases belong to a pepsin structural superfamily, having homologous primary and tertiary structures and nearly identical catalytic apparatus[1].

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Enzymes (EC 3.4.23.) Aspartic proteases, Beta-secretase (BACE)

The neurotoxic amyloid β-peptide (Aβ) is a highly hydrophobic peptide, which aggregates to form oligomers. If these oligomers aggregate further, they start forming fibers, which eventually precipitate and accumulate in amyloid plaques, as defined in Alzheimer's disease. Generation of Aβ occurs by processing of the β-amyloid precursor protein (APP) via proteases called secretases. Three secretases are known, α-, β-, and γ-secretase. While β- and γ-secretase mediate the amyloidogenic cleavage events, α-secretase on the contrary prevents Aβ generation by cleaving APP in the middle of the Aβ domain. β-Secretase (EC 3.4.23.46; also called BACE-1 for β-site APP-cleaving enzyme) was identified as a type 1 transmembrane protein containing aspartyl protease activity and belongs to the pepsin family of aspartyl proteases, but defines a novel subgroup of membrane-associated hydrolases. BACE-1 mediates the primary amyloidogenic cleavage of APP and generates a membrane-bound APP C-terminal fragment (APP CTFβ), which is the immediate precursor for the intramembraneous γ-secretase cleavage. In contrast, BACE-2 exhibits an α-secretase-like activity, which cleaves APP in the middle of the Aβ domain at amino acids 19 and 20. Thus, BACE-2 does not contribute to the amyloidogenic processing of APP, which is consistent with the complete lack of Aβ generation in a BACE-1 knockout[1,2].

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[2] BACE1 as a potential biomarker for Alzheimer's disease. B. Decourt, M.N. Sabbagh. J Alzheimers Dis. 2011, 24, Suppl 2, 53-59.

Enzymes (EC 3.4.23.) Aspartic proteases, Gamma-secretase

The γ-secretase enzyme (EC 3.4.23.46) is a multi-subunit enzyme complex that consists of four core components (presenilin, nicastrin, APH-1, and PEN-2). Presenilin is an aspartic protease and the catalytic component of the complex. γ-Secretase has the unusual ability to regulate intramembrane proteolysis (RIP) for a growing list of type 1 integral membrane proteins, including, APP, APP-like proteins (APLPs), E-Cadherin, ErbB4, ephrinB2, CD44, lipoprotein receptor-related protein (LRP), Notch, sterol regulatory element-binding protein (SREBP), interferon response element (IRE1), and activated transcription factor 6 (ATF-6)[1]. Especially for its capability to hydrolyze APP into amyloid-beta (Aβ) peptide whose abnormally folded fibrillar form is the primary component of amyloid plaques, γ-secretase is a well-known pharmacological target in the field of Alzheimer's disease[2].

[1] S. Krishnaswamy et al. The structure and function of Alzheimer's gamma secretase enzyme complex. Crit. Rev. Clin. Lab. Sc. 2009, 46, 282-301.
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Enzymes (EC 3.4.24.) Metalloproteases

Matrix metalloproteinases (MMPs; EC 3.4.24.-), also called matrixins, function in the extracellular environment of cells and degrade both matrix and non-matrix proteins. They play central roles in morphogenesis, wound healing, tissue repair and remodeling in response to injury, e.g. after myocardial infarction, and in progression of diseases such as atheroma, arthritis, cancer and chronic tissue ulcers. The activities of most matrixins are very low or negligible in the normal steady-state tissues, but expression is transcriptionally controlled by inflammatory cytokines, growth factors, hormones, cell–cell and cell–matrix interaction. MMPs are classified as the matrixin subfamily of zinc metalloprotease family (M10)[1].
TNF-α converting enzyme (TACE or ADAM17; EC 3.4.24.86), a pro-inflammatory cytokine, catalyzes the formation of TNF-α from membrane bound TNF-α precursor protein. It is is believed to play pathophysiological roles in inflammation, anorexia, cachexia, septic shock, viral replication and so on. What's more, TNF-α is a key player in inflammation and joint damage in rheumatoid arthritis. To control the level of TNF-α release, inhibition of TACE activity has long been considered as a promising way of treating related inflammatory diseases for which one of the most attractive strategies is the development of low molecular mass inhibitors of TACE[2].
A disintegrin and metalloproteinase with thrombospondin motifs 5 (ADAMTS-5; EC 3.2.24.xx) plays a role in cartilage degradation, arthritis, procollagen processing, degradation of proteoglycans, and cancer, among others. It is a member of the metzincins superfamily of zinc-based proteinases[3], and 1 of the 19 members of a family of secreted metalloproteinases in humans. ADAMTS-5, also termed ADAMTS-11, aggrecanase-2 or implantin, falls under the subfamily of proteoglycanases within the ADAMTS family. All of the members of ADAMTS family have a similar structural organization with an N-terminal metalloproteinase domain followed by various ancillary domains at the C-terminal region[4]. Several members of the ADAMTS family possess some degree of aggrecanolytic activity in vitro, including ADAMTS-5. It cleaves human aggrecan to produce the fragments found in synovial fluid and cartilage[5].
Endothelin-1 (ET-1) is a potent mitogen for a variety of cell types, including vascular smooth muscle cells, fibroblasts and endothelial cells, and is able to coordinate the proliferative effects of other peptide growth factors. The endothelin system has been implicated in the pathobiology of numerous human cancers including those of the prostate, lung, breast, colon and cervix, and plays a role in the aetiology of other pathologies such as hepatic fibrosis and atherosclerosis. ET-1 is generated via processing of inactive big-ET-1 by endothelin-converting enzyme-1 (ECE-1; EC 3.4.24.71). ECE-1 is upregulated in a number of cancers, including prostate cancer, leading to increased levels of ET-1 peptide[6].
The proteolytic degradation of Aβ is a major route of clearance and plays an important role in the pathology of Alzheimer's Disease (AD). A variety of Aβ degrading enzymes have been found. Of these enzymes, neprilysin (NEP or CD10 or common acute lymphoblastic leukemia antigen (CALLA); EC 3.4.24.11) is considered one of the most important for the control of cerebral Aβ levels. Neprilysins (NEPs, neutral endopeptidases) and the neprilysin-like peptidases are typically type II integral membrane proteins with their active sites facing the extracellular environment[7]. They are members of the family of zinc-metalloproteases and have been known to play a central role in the regulatory processes of

cell-cell signalling. Being thermolysin-like metalloendopeptidases, the family of NEPs comprise angiotensin-converting enzymes (ACE), endothelin-converting enzymes (ECE) and thimet oligopeptidases (TOP)[8].

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Enzymes (EC 3.5.) Amidases

Amidases are ubiquitous enzymes and biological functions of these enzymes vary widely. Their proteins structures revealed that aliphatic amidases share the typical a/b hydrolase fold (like nitrilase superfamily) and signature amidases are evolutionary related to aspartic proteinases. They hydrolyze a wide variety of amides (short chain aliphatic amides, mid-chain amides, arylamides, α-aminoamides and α-hydroxyamides) and can be grouped on the basis of their catalytic site and preferred substrate[1].
Termination of the anandamide (arachidonoylethanolamide, an endocannabinoid) signaling in the central nervous system and in peripheral tissues is mediated by the fatty acid amide hydrolase (FAAH; EC 3.5.1.99)[2], an integral membrane serine hydrolase that degrades the fatty acid amide family of signaling lipids. Genetic or pharmacological inactivation of FAAH leads to analgesic and anti-inflammatory phenotypes in rodents without showing the undesirable side effects observed with direct cannabinoid receptor agonists, indicating that FAAH may represent an attractive therapeutic target for the treatment of inflammatory pain and other nervous system disorders[3].
Sulbactam sodium (Axon 2041) is a rather classical, yet weak inhibitor of beta-lactamase (sub-family of cyclic amid hydrolases; EC 3.5.2.6), used to enhance the antibacterial activity of penicillins and cephalosporins against β-lactamase–producing organisms. β-lactamases may be grouped into four classes, of which A, C, and D are serine hydrolases, and B encompasses metallo-β-lactamases. During several decades, not only have the class A and C enzymes become widely disseminated so as to become the most widespread causes of β-lactam antibiotic-resistant Gram-negative infections in Europe and North America, but many mutant forms have also evolved which are capable of hydrolyzing the expanded-spectrum β-lactam antibiotics[4].
Arginases (EC 3.5.3.1) catalyze the divalent cation dependent hydrolysis of L-arginine to produce L-ornithine and urea, the final step of the urea cycle. While arginase I (or liver arginase) is cytosolic, and is the best characterized of the mammalian arginases, arginase II (or kidney arginase), is mitochondrial in location. Due to its generation of L-ornithine, arginase is involved in several important downstream metabolic pathways[5]. Most importantly, the enzyme is crucially involved in various aspects of inflammation. Arginase has been shown to be either responsible for or to participate in, for example, inflammation-triggered immune dysfunction, tumour immune escape, fibrosis, immunosuppression and immunopathology of infectious diseases[6]. Small-molecule arginase inhibitors are currently described as promising therapeutics for the treatment of several diseases, including allergic asthma, inflammatory bowel disease, ulcerative colitis, cardiovascular diseases (atherosclerosis and hypertension), diseases associated with pathogens (e.g., Helicobacter pylori, Trypanosoma cruzi, Leishmania, Mycobacterium tuberculosis and Salmonella), cancer and induced or spontaneous immune disorders[7].

Adenosine deaminase (ADA; EC 3.5.4.4) is a ubiquitous enzyme that catabolizes adenosine and deoxyadenosine to inosine and deoxyinosine. During an ischemic brain event, the extracellular adenosine concentration increases over 10-fold and adenosine is deaminated to inosine by ADA. Congenital ADA deficiency results in severe combined immunodeficiency (SCID), caused by an increase in deoxy-adenosine levels in the serum and tissues. This increases deoxy-ATP levels in the T-cells and causes T-cell apoptosis[8], and primarily affects lymphocyte development, viability, and function when diagnosed in infancy.

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Enzymes (EC 3.5.1.) Amidases, LpxC

Gram-negative bacteria differ from Gram-positive bacteria in that they possess a unique outer membrane, with the outer leaflet of the outer membrane enriched with lipid A, the membrane anchor of lipopolysaccharide (LPS) and the active component of bacterial endotoxin[1]. LpxC (UDP-3-O-(R-3-hydroxymyristoyl)-N-acetylglucosamine deacetylase; EC 3.5.1.108) is a metalloenzyme that catalyzes the first committed step in the biosynthesis of lipid A, an essential component of the outer membrane of Gram-negative bacteria. As such, LpxC is an attractive antibacterial target as there is no human homologue and it is highly conserved in Gram-negative bacteria. The LpxC inhibitors block LPS synthesis by blockade of the sepsis cascade, and show enhanced opsonophagocytic killing of the bacteria[2].

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Enzymes (EC 3.5.1.) Amidases, HDAC

Histone deacetylases (HDACs; EC 3.5.1.98) are a class of enzymes that remove acetyl groups from an ε-N-acetyl lysine amino acid of histones. Inhibitors of this class of enzymes have a long history of use in psychiatry and neurology as mood stabilizers and anti-epileptics. Moreover, Histone deacetylase inhibitors (HDIs) are being studied as an alleviator or treatment for neurodegenerative diseases[1]. Recently, this class of enzymes is emerging as an exciting new class of potential anticancer agents for the treatment of solid and hematological malignancies[2] by inhibiting the proliferation and induction of differentiation and/or apoptosis of tumor cells in culture and in animal models[3]. HDAC inhibition causes acetylated nuclear histones to accumulate in both tumor and normal tissues, providing a surrogate marker for the biological activity of HDAC inhibitors in vivo[4]. HDAC inhibition not only results in acetylation of histones but also transcription factors such as p53, GATA-1 and estrogen receptor-alpha. The functional significance of acetylation of non-histone proteins and the precise mechanisms whereby HDAC inhibitors induce tumor cell growth arrest, differentiation and/or apoptosis are currently the focus of intensive research. Several HDAC inhibitors have shown impressive antitumor activity in vivo with remarkably little toxicity in preclinical studies.
Besides HDACs, multiple sirtuins (NAD+-dependent deacetylase sirtuin, SIRT; EC 3.5.1.98) are known to show deacetylase activity. They are considered class III histone deacetylases that deacetylate histones and transcription factors[5]. In turn, sirtuins can be inhibited by nicotinamide, which binds to a specific receptor site of the enzyme, so it is thought that drugs that interfere with this binding should increase sirtuin activity. Development of new agents that would specifically block the nicotinamide-binding site could provide an avenue for development of newer agents to treat degenerative diseases such as cancer, Alzheimer's, diabetes, atherosclerosis, and gout[6].

SIRT1 is involved in other signaling pathways as well, since it competes with HDAC1 in deacetylation of PTEN, an important phosphatase involved in cell signaling via phosphoinositols and the PI3K/AKT/mTOR signaling pathway. Aiming to keep up with these recent developments in oncology research, Axon Medchem recently added a significant number of HDAC inhibitors to its ever broadening range of products.

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Enzymes (EC 3.6.) Anhydride hydrolases

ATPases belong to the class of acid anhydride hydrolases. The most common ATPases (24 proteins) contain the classical mononucleotide-binding motif, which is known as the P-loop or Walker motif. A second subfamily exists as the GHL ATPase family, including Hsp90, PMS2, MutL and DNA gyrase B, and share the same left-handed β-α-β-fold. Four conserved sequence motifs have been identified in these enzymes. Finally, β-actin, Hsp70 and FtsA contain a more complex nucleotide-binding site and form the third and last subfamily of ATPases. The presence of various types of nucleotide-binding site in ATPases is of interest for drug discovery, as it might allow the design of compounds that specifically target only one type[1].

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Enzymes (EC 3.6.) Anhydride hydrolases, MTH1

MTH1 (EC 3.6.1.56) is an oxidized purine nucleoside triphosphatase of the nudix hydrolase family, that sanitizes oxidized dNTP pools to prevent incorporation of damaged bases during DNA replication, thereby preventing the cytotoxicity and neurotoxicity of oxidized purine nucleotides. In close collaboration with OGG1 (with 8-oxoG DNA glycosylase activity, thus avoiding the accumulation of 8-oxoG in DNA), both MTH1 and OGG1 are expressed in postmitotic neurons as well as in proliferative tissues, and it is localized both in the mitochondria and nucleus. This suggests that MTH1 plays an important role in the prevention of the mutagenicity and cytotoxicity of such oxidized purines as 8-oxoG which are known to accumulate in the cellular genome[1].

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Enzymes (EC 3.6.) Anhydride hydrolases, Hsp

The class of Heat-shock proteins (Hsps; EC 3.6.-.-), the molecular chaperones, comprises five major and broadly conserved families: Hsp100s, Hsp90s, Hsp70s, Hsp60s, and small heat shock proteins (sHsps). The stress proteins are typically named after their molecular size in kilodaltons. They are required for the correct folding and maintenance of client proteins in biologically active conformations, and to stabilize them against heat stress and toxic chemicals (particularly heavy metals). Although Hsps are ubiquitously expressed proteins, increased expression of Hsps in a stressed cell is mediated primarily by so-called heat shock transcription factors (HSFs, 1-4). Hsps bind adenosine triphosphate (ATP), and ATP hydrolysis is required for its function, and is the key driving force for conformational conversions within the chaperone. Although inactive heat shock proteins exhibit weak to nonexistent ATPase activity, the presence of a substrate peptide in the binding domain stimulates the ATPase activity of Hsps, increasing its normally slow rate of ATP hydrolysis[1,2]. In addition, a variety of co-chaperones, immunophilins, and other proteins are involved in the Hsp90-mediated protein folding pathway[3].
Heat shock cognate protein 70 (Hsc70; EC 3.6.4.10) is a constitutively expressed molecular chaperone which belongs to the family of heat shock protein 70 (Hsp70). Hsc70 shares some of the structural and functional similarity with Hsp70. Hsc70 also has different properties compared with Hsp70 and other heat shock family members. Hsc70 and Hsp70 show significant differences in their carboxyl-terminal domain which is involved in mediating substrate specificity and particular biological functions. Additionally, Hsc70 and Hsp70 have different expression patterns. Hsc70 is the constitutively expressed form and only mildly induced during stress situation while Hsp70 is highly inducible during stress. Hsc70 performs its full functions by the cooperation of co-chaperones. It interacts with many other molecules as well and regulates various cellular functions[4].

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Enzymes (EC 3.6.3.) Anhydride hydrolases, ion-pump ATPases

Enzymes in this class are ATPases that are involved in catalyzing transmembrane movement of substances, e.g. the exchange of extracellular potassium (K^+) for cytoplasmic ions, being either Na^+ and/or Ca^{2+} (EC 3.6.3.9) in order to maintain the resting potential avail transport, and regulate cellular volume, or H^+ (EC 3.6.3.10) in order to promote gastric acid secretion. Digoxigenin (Axon 1649) and its active metabolite (Axon 1695) for example, are known to be a unique medication with pharmacological effects resulting in hemodynamic, sympatholytic, and electrophysiologic changes. Their primary mechanism of action is inhibition of the Na^+/K^+ ATPase pump, thereby promoting Na^+/Ca^{2+} exchange, which results in an influx of intracellular Ca^{2+} and increased myocardial contraction[1]. Besides this, recent studies revealed that digoxin also targets the transcription factor Hypoxia inducible factor HIF-1 by potently inhibiting HIF-1α mRNA translation. As a result, Digoxin administration increased latency and decreased growth of tumor xenografts, whereas treatment of established tumors resulted in growth arrest within one week[2].

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Enzymes (EC 3.6.4.) Anhydride hydrolases, ATPases cellular movement

Myosin (EC 3.6.4.1) and p97 (also known as Cdc48 or valosin containing protein (VCP; EC 3.6.4.6)) are both ATPases involved in cellular and subcellular movement. Myosin is an ATPase that converts chemical energy into directed movement via its cyclic interactions with actin filaments in all eukaryotic cells and can be viewed as a molecular motor[1]. Although this protein comes in many shapes and sizes, all known myosin superfamily members show widely conserved regions: the myosin head is commonly subdivided into the motor domain, which is the actin activated ATPase, and the lever arm, which is an extended helix containing a variable number of consensus calmodulin or calmodulin-like light chain binding sites; this is followed by a region of coiled coil in two-headed myosins and may contain sequences that act as elements for protein folding; last is the targeting domain, which binds the myosin to its cellular target. More than 35 classes of myosin have been discovered, 13 of which are represented in humans[2]. CK 1827452 (Axon 1835), is an agent that directly activates myosin, for use in the treatment of heart failure.
Nearly all aspects of RNA metabolism, from transcription and translation to mRNA decay, involve RNA helicases, which are enzymes that use ATP to bind or remodel RNA and DNA and their protein complexes (e.g. ribonucleoprotein (RNP) complexes). RNA helicases are found in all three domains of life, and many viruses also encode one or more of these proteins. Together with the structurally related DNA helicases that function in replication, recombination and repair, the RNA helicases are classified into superfamilies and families, based on sequence and structural features.Herpes Simplex Virus (HSV) encodes seven proteins essential for the initiation and propagation of viral chromosomal replication. These proteins include an origin-binding protein that also contains helicase activity, a heterodimeric DNA polymerase, a single-stranded (ss)DNA-binding protein and a heterotrimeric helicase-primase[3]. BAY 57-1293 (Axon 2266) is a potent helicase-primase inhibitor (HPI) effective against herpes simplex virus (HSV) infections and was found to be superior compared to all compounds currently used to treat HSV infections[4].
VCP/p97 is a member of the large family of ATP-hydrolyzing enzymes involved in the heterotypic fusion of membrane vesicles with target membranes and the homotypic fusion of various membrane compartments. It belongs to the AAA-type (ATPase associated with a variety of cell activities) ATPase superfamily and contains two ATPase domains (D1-2). It can convert the energy of ATP hydrolysis to structurally remodel or unfold client proteins. ATP hydrolysis in D2 seems to generate the main driving force. A globular N-domain that resides at the periphery of D1 is essential for substrate binding. It can stabilize unfolded proteins, may regulate ATP hydrolysis and even couple substrate and adaptor binding to ATP hydrolysis. Although VCP/p97 associates with a large number of interaction partners and protein cofactors, the largest family of cofactors are proteins containing a ubiquitin-X (UBX) domain or UBX-like domain1, and that its key function is to unfold proteins and disassemble protein complexes[5,6].

During mitosis, chromosomes establish connections to mitotic spindle microtubules (MTs) via specialized protein complexes, called kinetochores, and subsequently translocate to the midzone of the bipolar spindle. This process is known as “congression”, and is dynamic in nature: the chromosomes are constantly moving in an oscillatory pattern, with paired chromosomes displaying coordinated movements. Proper mitotic chromosome alignment is highly dependent on the activity of kinesin-8 motors, including Kif18A (EC 3.6.4.4)[7,8]. Although the molecular process is not yet elucidated, proof was found that Kif18A is a motile microtubule depolymerase essential for chromosome congression[9], and controls the persistent movement of chromosomes by both increasing the rate at which they make directional switches and slowing the velocity of their movement. Moreover, it is hypothesized that Kif18A forms a gradient along kinetochore-microtubules (kMTs) that directly regulates their length and dynamics to facilitate chromosome alignment at the spindle equator[10].
Another member of the kinesin superfamily of microtubule-based motors that plays a critical role in the early stages of mitosis as it mediates centrosome separation and bipolar spindle assembly and maintenance, is the Kinesin spindle protein (KSP or Eg5; EC 3.6.4.4). It is a slow, plus end–directed motor of the kinesin-5 subfamily, and forms a homotetrameric structure capable of binding antiparallel microtubules and sliding them apart[11]. Centrosome separation and bipolar spindle assembly are essential for proper segregation of chromosomes. Failure of KSP function, by immunodepletion or knockdown of KSP mRNA by small interfering RNA, leads to cell cycle arrest in mitosis with monoastral microtubule arrays. It is most abundant in proliferating human tissues and is highly expressed in tumors of the breast, colon, lung, ovary, and uterus[12].

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Enzymes (EC 3.6.5.) Anhydride hydrolases, GTPases

The hydrolysis of guanosine triphosphate (GTP) is a key process in numerous vital processes. Intracellular signal transduction, protein synthesis, vesicular and nucleocytoplasmic transport, protein targeting, growth control and differentiation, are all, among other processes, controlled enzymatically by the conversion of GTP into GDP and inorganic phosphate. GTPases are the molecular switches that catalyze this reaction. They cycle between two conformational states: one bound to GTP ('active' state), the other bound to GDP ('inactive' state), and they hydrolyze GTP to GDP and inorganic phosphate. In the 'on' (GTP) state, GTPases recognize target proteins and generate a response until GTP hydrolysis returns the switch to the 'off' state[1]. GTPases can be classified into six families of heterotrimeric G-protein, small monomeric, protein-synthesizing, signal-recognition-particle, dynamin, and tubulin GTPases (EC 3.6.5.1 – EC 3.6.5.6 respectively). GTP-hydrolysis by GTPases is intrinsically very slow but can be accelerated by orders of magnitude upon interaction with GTPase-activating proteins (GAPs)[2]. In order to bring the GTPase back in the GTP bound ‘on’ state, so called guanine nucleotide exchange factors (GEFs), which cause the GDP to dissociate from the GTPase, leading to its association with new GTP.
RAS proteins are small GTPases that act as molecular switches to transduce signals from activated receptors. When in its GTP-bound state, RAS can bind to and activate a range of downstream effector proteins, which may then result in diverse cellular outcomes like cell proliferation, survival, differentiation, and neoplastic transformation. Three RAS genes code for four highly homologous RAS proteins, NRAS, HRAS, and KRAS4B/KRAS4A. These proteins have identical effector binding domains and hence can interact with the same set of downstream effectors. However, RAS isoforms have been shown to differ in their abilities to activate various downstream proteins. Mutations affecting the three prototype Ras oncoproteins, HRAS, NRAS and KRAS, show a high degree of tumor-type specificity[3]. Oncogenic versions of HRAS are better than NRAS or KRAS at transforming fibroblast cells, whereas NRAS is better at transforming hematopoietic cells. Nearly 30% of human cancers, including solid tumors and hematologic malignancies, are associated with mutations in RAS genes[4]. Therapies that target the RAS proteins and the signalling pathways that they control would therefore be very valuable in treating tumours that have activating RAS mutations. However, their potential might be even greater, as many tumours that lack RAS mutations have found other ways to activate the same pathways[5].
Rab7 (EC 3.6.5.2) belongs to the superfamily of Ras small GTPases and is a regulator of intracellular endocytic/membrane trafficking. Additionally, it has been indicated that Rab proteins also regulate cell signalling, cell growth, cell survival and development. Rab proteins and their associated regulators or effectors have been implicated in many diseases, such as cancer, pigmentation disorder, neuropathy and lipid metabolism disorders. Rab7, with one of its effectors, RILP (Rab7-interacting lysosomal protein), recruit the dynein-dynactin motor complex to lysosomes facilitating lysosome trafficking along microtubules towards the cell nucleus. Moreover, in addition to its recognized role in vesicle trafficking, Rab7 has recently garnered attention as a regulator of apoptosis in response to growth factor withdrawal and has been proposed to function as a tumor suppressor protein[6,7].
Two other members of the family of Ras-like small GTPases, RalA and RalB (EC 3.6.5.2), act downstream of Ras in the Ral guanine nucleotide exchange factor (RalGEF)/Ral GTPase pathway, and activate cellular processes through effectors, including Ral-binding protein 1 (RALBP1; also known as RLIP76 and RIP1), the human exocyst subunits SEC5 and EXO84, filamin and phospholipase D1. These effectors mediate regulation of cell adhesion (anchorage independence), membrane trafficking (exocytosis and endocytosis), mitochondrial fission, and transcription. RalA and RalB are important drivers of the proliferation, survival and metastasis of multiple human cancers, including skin, lung, pancreatic, colon, prostate, and bladder cancers[8].
Ras-related C3 botulinum toxin substrate 1 (Rac1; EC 3.6.5.2) is a small (~21 kDa) signaling G protein (more specifically a GTPase), and a member of the Rac subfamily of the small monomeric Ras homolog (Rho) family of GTPases. Members of the Rho family, including Rho, Rac, and Cdc42, control the assembly and organization of the actin cytoskeleton in mammalian cells. They mediate diverse biological processes, including neuronal morphogenesis, tumor invasion, and bone formation, and act in a coordinated manner to modulate cellular functions[9].
Cell division control protein 42 homolog (Cdc42; EC 3.6.5.2) plays important roles in cytoskeleton organization, cell cycle progression, signal transduction, and vesicle trafficking. Overactive Cdc42 has been implicated in the pathology of cancers, immune diseases and neuronal disorders. Therefore, Cdc42 inhibitors would be useful in probing molecular pathways and could have therapeutic potential[10].
The regulator of G protein signaling 4 (RGS4) is a protein of the class of GTPase activating proteins (GAP) and shows no GTPase activity by itself. Instead, RGS proteins are negative regulators of G alpha subunits of heterotrimeric G proteins (Gi, Go, and Gq)[11]. Because its functions is inherently part of the well functioning of these heterotrimeric G proteins (EC 3.6.5.1), inhibitors of RGS4 are listed in this particular section.
The guanine nucleotide-binding protein 1 (GBP1; EC 3.6.5.6) is one of the 7 members of the large GTPase family and most strongly induced by interferons. Members of this family share the ability to undergo oligomerization with a high-turnover GTPase activity. Structural hallmarks of GBP1 are a large globular α/β-domain harboring the GTPase activity, and an elongated C-terminal part organized in an α-helical structure with unique features[12]. GBP1 is highly expressed in endothelial cells, and is activated by inflammatory cytokines *in vitro* and *in vivo*[13]. The functional role of GBP1 has not been fully elucidated to date, but it was shown to inhibit the invasiveness and tube-forming capability of endothelial cells, play a role in cell-autonomous immunity and bacterial infection, and to exhibit antiviral properties. Since GBP1 is also known to interact with βIII-tubulin, where it operates as a crucial element to incorporate pro-survival kinases such as PIM1 and NEK6 into microtubules, and seems to be involved in the drug resistance to paclitaxel, it is of interest for the development of a new class of anticancer agents against Paclitaxel resistant cancer cells[14].

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Enzymes (EC 4.) Lyases

Lyases are enzymes that catatyze the cleavage of C-C, C-O, C-N bonds by other means than by hydrolysis or oxidation. More specifically, these bonds are cleaved by the process of elimination and the resulting product is the formation of a double bond or a new ring. Lyases differ from other enzymes in that two substrates are involved in one reaction direction, but only one substrate is involved in the other direction. To generate either a double bond or a new ring, the enzyme is acted upon the single substrate and a molecule is eliminated. Lyases can be seen in the reactions of the Citric Acid Cycle (Krebs cycle) and in glycolysis.
Cytosolic Phosphoenolpyruvate carboxykinase (cPEPCK; EC 4.1.1.32) is an enzyme in the lyase family used in the metabolic pathway of gluconeogenesis. It converts oxaloacetate into phosphoenolpyruvate and carbon dioxide. cPEPCK has become a virtual marker for hepatic gluconeogenesis, and the level of its gene transcription in the liver is considered an important indicator in the evaluation of type 2 diabetes[1].
The first topical carbonic anhydrase inhibitor for clinical use Dorzolamide HCl (Axon 1517) has been prescribed widely for the treatment of glaucoma and ocular hypertension. It inhibits carbonic anhydrase II (CA-II; EC 4.2.1.1) selectively, which is the main CA iso-enzyme involved in aqueous humor secretion. Inhibition of CA-II in the ciliary processes of the eye decreases aqueous humor secretion, presumably by slowing the formation of bicarbonate ions with subsequent reduction in sodium and fluid transport. Dorzolamide also accumulates in red blood cells as a result of CA-II binding, as CA-II is found predominantly in erythrocytes. However, sufficient CA-II activity remains so that adverse effects due to systemic CA inhibition are not observed[2].
Membrane-associated carbonic anhydrase (CA, EC 4.2.1.1) IX (CA IX) is strongly overexpressed in a broad range of tumor types, and the expression of CA IX negatively correlates with the prognosis of cancer patients. In normal tissues CA IX expression is much more restricted with abundant expression mainly present in the mucosa of the glandular stomach. S4 is a carbonic anhydrase (CA) IX and XII inhibitor (Ki values 7 nM and 2 nM, respectively) and showed a positive response in *in vitro* assays for tumor cell migration and spreading. Moreover, CAIX inhibitor S4 effectively inhibited the spontaneous metastasis formation in MDA-MB-231 xenografts[3].
Soluble guanylate cyclase (sGC; EC 4.6.1.2), a heme-containing heterodimer, is the only proven receptor for the gaseous ligand NO and plays a crucial role in the NO/cGMP signaling pathway and downstream functional effects, e.g., vasorelaxation, platelet aggregation, or neurotransmission. Activation of the enzyme by NO leads to a five coordinated heme–nitrosyl complex, and facilitates conversion of GTP to the intracellular second messenger cGMP. It is this latter molecule cGMP, which mediates the majority of biological actions attributed to NO. sGC is expressed in virtually all

mammalian cells and is important in mediating numerous physiological processes, including vascular and non-vascular smooth muscle relaxation, peripheral and central neurotransmission, platelet reactivity and phototransduction[4].

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Enzymes (EC 4.2.99.) Lyases, APE

Base excision repair (BER) is the predominant system correcting simple DNA base lesions formed by oxidation or other DNA damaging agents. Repair of apurinic/apyrimidinic (AP) sites arising in the genome spontaneously or as intermediates of BER is critical owing to their toxic and mutagenic effects. The mammalian apurinic/apyrimidinic endonuclease Ape1 (EC 4.2.99.18) is a Mg^{2+}-dependent multifunctional protein operating in protection of cells from oxidative stress via its DNA repair, redox, and transcription regulatory activities. The human AP endonuclease Ape1, also called Ref-1 is the major and crucial enzyme for the recognition and processing of AP sites in the base excision repair (BER) of DNA[1]. APE1 operates by incising the DNA phosphodiester backbone 5′ to AP sites, generating a nick with 3′-hydroxyl and 5′-deoxyribose phosphate (dRP) termini. Repair of the resulting nick is completed by DNA polymerase and DNA ligase. In addition to its endonuclease activity, APE1 is known to have 3′-phosphodiesterase and 3′-phosphatase activity and 3′ to 5′ exonuclease activity as well as a role in regulating the redox state of several transcription factors[2].

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Enzymes (EC 4.6.1.) Lyases, P-O

Soluble guanylate cyclase (sGC; EC 4.6.1.2), a heme-containing heterodimer, is the only proven receptor for the gaseous ligand NO and plays a crucial role in the NO/cGMP signaling pathway and downstream functional effects, e.g., vasorelaxation, platelet aggregation, or neurotransmission. Activation of the enzyme by NO leads to a five coordinated heme–nitrosyl complex, and facilitates conversion of GTP to the intracellular second messenger cGMP. It is this latter molecule cGMP, which mediates the majority of biological actions attributed to NO. sGC is expressed in virtually all mammalian cells and is important in mediating numerous physiological processes, including vascular and non-vascular smooth muscle relaxation, peripheral and central neurotransmission, platelet reactivity and phototransduction[1].
Another member of the family of P-O lyases is adenylate cyclase (or adenylyl cyclase; EC 4.6.1.1), an enzyme with key regulatory roles in essentially all cells. At least nine closely related isoforms of adenylate cyclases (ACs), the enzymes responsible for the synthesis of cyclic AMP (cAMP) from ATP, have been cloned and characterized in mammals. In addition to their ability to respond to Gαs and to FSK, the different isoforms can receive signals from a variety of sources, including other G proteins, e.g. Gαi and Gβγ, protein kinases (PKA, PKC, and calmodulin (CaM) kinase), phosphatases (calcineurin), calcium, and Ca2+/CaM, and these isoforms are able to support and integrate differential regulatory pathways through cross-talk with other signal transduction systems. All isoforms are expressed in brain cells, although the expression of any individual isoform is restricted to discrete structures of the central nervous system. In the peripheral tissues, the pattern of AC expression is more specific[2]. Although many drugs inhibit or stimulate AC activity through the respective upstream G-protein coupled receptors, ACs themselves have not been major drug targets. Over the past decade studies on the physiological functions of the different mammalian AC isoforms as well as advances in the development of isoform-selective AC inhibitors and activators suggest that ACs could be useful drug targets[3].

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Enzymes (EC 5.) Isomerases

From a mechanistic point of view, isomerases are enzymes that catalyze the structural rearrangement of isomers. Five subclasses are recognized by the Nomenclature Committee of the International Union of Biochemistry and Molecular Biology on the nomenclature and classification of enzymes. Cyclophilin A (CypA; EC 5.2.1.8) is a member of the peptidyl-prolyl cis-trans isomerase (PPIase) family, which catalyzes the cis-trans isomerization of proline imidic peptide bonds in oligopeptides and accelerates the folding of proteins. They are known to bind to cyclosporine, an immunosuppressant which is usually used to suppress rejection after internal organ transplants. More specifically, the cyclosporin-cyclophilin A complex inhibits a calcium/calmodulin-dependent phosphatase, calcineurin, the inhibition of which is thought to halt the production of the pro-inflammatory molecules interleukin 2 and TNF alpha[1].
Microsomal prostaglandin E (PGE) synthase-1 (mPGES-1; EC 5.3.99.3) is a member of the MAPEG (membrane-associated proteins involved in eicosanoid and glutathione metabolism) superfamily, showing significant homology with other MAPEG superfamily proteins, including microsomal glutathione-S-transferase (GST)-1-like 1 (MGST-1), 5-lipoxygenase (LOX)-activating protein (FLAP) and leukotriene C4 synthase (LTC4). It is a glutathione dependent inducible enzyme that couples with cyclooxygenase-2 (COX-2) for the biosynthesis of Prostaglandin E2 (PGE2); a bioactive lipid that can elicit a wide range of biological effects associated with inflammation and cancer.[2]

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Enzymes (EC 5.99.1.) Isomerases, Topo

Topoisomerases are a family of enzymes that catalyze the unwinding and unknotting of DNA sequences. By introducing transient 'nicks', these enzymes can relieve the topological pile-up of DNA that is caused by processes such as replication and transcription. DNA Topoisomerase I (Topo1; EC. 5.99.1.2) regulates the overwinding or underwinding of DNA in an ATP-independent manner. It binds to single-stranded DNA and cuts the phosphate backbone of the DNA. This intermediate break allows the DNA to be untangled or unwound, and, at the end of these processes, the DNA backbone is resealed again. Since the overall chemical composition and connectivity of the DNA do not change, the tangled and untangled DNAs are chemical isomers, differing only in their global topology[1].
In slight contrast, topoisomerase IV (Topo IV; EC 5.99.1.3) is an essential ATP-dependent type II topoisomerase that transports one segment of DNA through a transient double-strand break in a second segment of DNA. In vivo, Topo IV unlinks catenated chromosomes before cell division and relaxes positive supercoils generated during DNA replication[2].
Topoisomerase inhibitors work by interfering with mammalian-type eukaryotic topoisomerases in cancer cells. This induces breaks in the DNA that ultimately lead to programmed cell death (apoptosis). However, this DNA-damaging effect, outside of its potentially curative properties, may also lead to secondary neoplasms in the patient.

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Enzymes (EC 6.) Ligases

Ligases (EC 6.-.-.-) form a major class of enzymes that catalyze the ligation (i.e. linking together) of two molecules with concomitant hydrolysis of the pyrophosphate bond in adenosine 5'-triphosphate (ATP) or a similar triphosphate, forming C–C , C–O , C–S , P–O or C–N bonds[1]. Originally, biochemical nomenclature distinguished synthetases and synthases. Under the original definition, synthases do not use energy from nucleoside triphosphates (such as ATP, GTP, CTP, TTP, and UTP), whereas synthetases do use nucleoside triphosphates. It is also said that a synthase is a lyase (a lyase is an enzyme that catalyzes the breaking of various chemical bonds by means other than hydrolysis and oxidation, often forming a new double bond or a new ring structure) and does not require any energy, whereas a synthetase is a ligase (a ligase is an enzyme that binds two chemicals or compounds) and thus requires energy. However, the Joint Commission on Biochemical Nomenclature (JCBN) dictates that "synthase" can be used with any enzyme that catalyses synthesis (whether or not it uses nucleoside triphosphates), whereas "synthetase" is to be used synonymously.
DNA ligases together with RNA ligases and mRNA capping enzymes constitute the nucleotidyl transferase superfamily. DNA ligases play a vital role in the diverse processes of DNA replication, recombination and repair, catalyzing the joining of interruptions in the phosphodiester backbone of duplex DNA, thereby utilizing either ATP or NAD+ as nucleotide cofactor. Multiple DNA ligases exist, yet all the eukaryotic ATP-dependent DNA ligases are related in sequence and structure, sharing a common catalytic region comprising a DNA-binding domain, a nucleotidyltransferase (NTase) domain, and an oligonucleotide/oligosaccharide binding (OB)-fold domain[2]. Deficiency in either DNA ligase I, DNA ligase III, or DNA ligase IV causes different phenotypes of mammalian cell lines[3].
DNA ligase IV (EC 6.5.1.1), which is conserved in all eukaryotes, is part of a family of ATP-dependent DNA ligases that are involved in DNA replication, recombination and repair. It is a nuclear enzyme that joins the breaks in the phosphodiester backbone of DNA by the process of non-homologous end joining (NHEJ)[4]. DNA ligases have two common domains: a catalytic domain (CD) that contains several conserved nucleotide-binding motifs, and a conserved non-catalytic domain (NCD). In addition, DNA ligase IV has a long C-terminal extension comprising of two BRCT domains (after the C-terminal domain of a breast cancer susceptibility protein, BRCA1), which are phosphopeptide-binding modules found in many proteins that regulate DNA damage responses (such as BRCA1, MDC1 and BARD1). These BRCT domains are connected to a short linker region that is required for the binding of the XRCC4 protein, which is important for ligase activity[5].

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[4] IV Martin et al. ATP-dependent DNA ligases. Genome Biol. 2002;3(4):REVIEWS3005. Epub 2002 Mar 19.
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Enzymes (EC 6.1.1.) Ligases, MetRS

Methionine- (or methionyl-) tRNA synthethase (MetRS or MRS, EC 6.1.1.10) belongs to the fairly large family of aminoacyl-tRNA synthetases (ARSs) that catalyze the condensation of a specific amino acid with its cognate tRNA in a reaction that is dependent on ATP. This is the first essential step of protein translation using the genetic code to translate genetic information (in the form of messenger RNA) to produce protein, and is also referred to as tRNA charging. There is at least one ARS enzyme designated for each amino acid[1]. MetRS have long been recognized as potential targets for antibacterial agents (gram positive microbes). Inhibition of a tRNA synthetase essentially mimics starvation for amino acids by lowering the ratio of charged to uncharged tRNA within the cell[2].

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[2] Mode of Action and Biochemical Characterization of REP8839, a Novel Inhibitor of Methionyl-tRNA Synthetase. U.A. Ochsner, C.L. Young, K.C. Stone, F.B. Dean, N. Janjic, I.A. Critchley. Antimicrob. Agents Chemother. 2005, 49, 4253-4262.

Enzymes (EC 6.3.2.) Ligases, Ubiquitin

The attachment of ubiquitin and ubiquitin-like polypeptides to intracellular proteins is a key mechanism in regulating many cellular and organismal processes. Assembly of a chain of at least four ubiquitins linked together via their Lys48 residue marks cellular proteins for degradation by the 26S proteasome. In contrast, monoubiquitination or polyubiquitination with

chains linked together via Lys63 serve as nonproteolytic signals in intracellular trafficking, DNA repair, and signal transduction pathways. Ubiquitination of proteins is achieved through an enzymatic cascade involving ubiquitin-activating (E1), ubiquitin-conjugating (E2), and ubiquitin-ligating (E3) enzymes (EC 6.3.2.19). Two major types of E3s exist in eukaryotes, defined by the presence of either a HECT or a RING domain[1]. The SCF (Skp1, Cullins, F-box proteins) multisubunit E3 ubiquitin ligase, also known as CRL (Cullin-RING ubiquitin Ligase) is the largest E3 ubiquitin ligase family that promotes the ubiquitination of various regulatory proteins for targeted degradation, thus regulating many biological processes, including cell cycle progression, signal transduction, and DNA replication[2].

The vast majority of p53-regulated genes are induced in response to various stress signals and are responsible for maintaining genetic stability, DNA repair, regulation of crucial cell-cycle check points, and finally induction of apoptosis. The activity of p53 is tightly controlled by two major negative regulators including murine double minute 2 (MDM2; EC 6.3.2.19) and 4 (MDM4 or MDMX) proteins. Human MDM2 and MDMX are structurally related and contain three well-conserved domains: an N-terminal domain (responsible for p53 binding), a zinc-finger domain (function largely unknown) and a C-terminal RING domain (responsible for formation of homo- and heterodimers). Additionally, the RING domain of MDM2 confers E3 ubiquitin ligase activity. Concentration/activity of p53 is kept at low level in unstressed cells. This is accomplished by three parallel mechanisms mediated by MDM2 and/or MDMX. First, MDM2 and MDMX bind the N-terminal transactivation domain (TAD) of p53, preventing thereby its interaction with the transcription machinery and resulting in the inhibition of p53-responsive gene expression. Second, MDM2/X proteins export p53 outside the nucleus into the cytoplasm where it can no longer activate transcription. Finally, MDM2 marks p53 for proteasomal degradation[3]. Many tumors overproduce MDM2 to impair p53 function. Therefore, restoration of p53 activity by inhibiting the p53–MDM2 binding represents an attractive novel approach to cancer therapy[4].

When directed to the nucleus by TGF-β or BMP signals, Smad proteins undergo cyclin-dependent kinase 8/9 (CDK8/9) and glycogen synthase kinase-3 (GSK3) phosphorylations that mediate the binding of YAP and Pin1 for transcriptional action, and of ubiquitin ligases Smurf1 and Nedd4L for Smad destruction[5]. Smad ubiquitylation regulatory factor-1 (Smurf1; EC 6.3.2.19) has been identified as a HECT type E3, and has been related to multiple biological processes such as cell growth and migration, and explored for several physiological functions in bone formation, embryonic development, and tumorigenesis[6]. Smurf1 was identified as a negative regulator of BMP signaling, as it ubiquitinates Smad1 and Smad5 for proteasomal degradation to prevent the mild BMP signal from bursting into an overwhelming consequence. CDK8-mediated phosphorylation of Smad1/5 facilitates the transcriptional complex in activating its target genes. Furthermore, it promotes GSK3-mediated phosphorylation of Smad1/5, which leads to the capture of Smad1/5 by Smurf1[7].

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Enzymes (EC various) Ubiquitin Proteasome System

The ubiquitin-proteasome system (UPS) targets numerous cellular proteins for degradation. It is a highly complex, temporally controlled, and tightly regulated process that plays major roles in a variety of basic cellular processes[1]. Degradation of a protein via the ubiquitin-proteasome pathway involves two discrete and successive steps: (1) tagging of the substrate by covalent attachment of multiple ubiquitin molecules to synthesize the polyubiquitin chain proteolytic signal and (2) degradation of the tagged protein by the 26S proteasome complex with release of free and reusable ubiquitin catalyzed by ubiquitin-recycling enzymes (DUBs)[2]. Conjugation of ubiquitin to the protein substrate proceeds via a three-step cascade mechanism. Initially, the ubiquitin-activating enzyme E1 activates ubiquitin in an ATP-requiring reaction resulting in a high-energy thiol ester intermediate. Subsequently, this intermediate is transferred to a member of the ubiquitin-carrier proteins family of enzymes, E2 (also known as a ubiquitin-conjugating enzyme [UBC]). Finally, from E2, the activated ubiquitin moiety is attached to the substrate that is specifically bound to an E3, a member of the ubiquitin-protein ligase family of proteins. By successively adding additional activated ubiquitin moieties to internal Lys residues on the previously conjugated ubiquitin molecule, a polyubiquitin chain is synthesized. The degradation signal that is recognized by the 26S proteasome complex is made of a Lys48 polyubiquitin chain. Conjugation to other Lys residues, Lys63 for example, serves nonproteolytic functions of the system, such as activation of transcription[3].

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Ion Channels

Ion channels are pore-forming membrane proteins that act as gated pathways for the movement of ions across cell membranes. They are found in both surface and intracellular membranes, and play essential roles in the physiology of all cell types. Ion channels are especially prominent components of the nervous system as they underlie the nerve impulse and because "transmitter-activated" channels mediate conduction across the synapses of a nerve cell's axon. In addition, ion channels are key components in a wide variety of biological processes that involve rapid changes in cells, such as cardiac, skeletal, and smooth-muscle contraction, epithelial transport of nutrients and ions, T-cell activation and pancreatic beta-cell insulin release. Many human diseases are caused by defects in ion channel function, which can lead to disease in a number of different ways: Gain, or loss, of channel function (channel-pathies), defective regulation of channel activity by intracellular or extracellular ligands or by channel modulators, by autoantibodies binding to ion channel proteins, or even by ion channels that act as lethal agents[1].
Ion channels can be categorized based upon multiple characteristics, e.g. their selectivity in permeability for a certain type of ion, the number of pores, or by their mechanism of activation. The wide range of Axon Ligands™ in this catalogue targeting ion channels has been categorized into ligand gated ion channels and voltage gated ion channels. Both categories are subdivided on the basis of their selectivity towards activating ligand or the type of ion.

[1] Taken from Oxford Textbook of Medicine, fifth edition, 2010. ISBN 9780470987261.

Ion Channels: Ligand-gated

Whereas the voltage-gated ion channels underlying the action potential typically allow only one type of ion to permeate, channels activated by extracellular ligands are usually less selective, allowing two or more types of ions to pass through the channel pore[1]. In most cases, these ligand gated ion channels have allosteric binding sites, and can be regulated by endogenous chemical signals originating from neurotransmitters and/or cytoplasmic modulators. Ligand gated ions channels (LGICs) can be classified in three superfamilies. The superfamily of Cys-loop receptors resembles the structure and mechanism of the nicotinic acetylcholine receptors, and all share a characteristic loop formed by a disulfide bond between two cysteine residues in the N terminal extracellular domain. Most conspicuously, all the receptors of this superfamily possess four hydrophobic amino-acid sequences, which are long enough to span the plasma membrane. Accordingly, they sometimes are termed four-transmembrane (4TM)-sequence receptors. This first class of LGICs includes both anionic receptors (glycine (GlyR), GABAA (GABAAR), as well as cationic receptors (nicotinic acetylcholine (nAChR), Zinc-activated ion channel (ZAC), and one class of serotonin receptors (5-HT3R)).
Secondly, the superfamily of ionotropic glutamate receptors (iGluR) share the feature of being activated by the neurotransmitter glutamate. They form tetramers with each subunit consisting of three domains. The one domain consisting of three transmembrane helices (TMD) actually forms the ions channel. The members of this superfamily are AMPA (GluA), Kainate (GluK), NMDA (GluN), and orphan (GluD) receptors.
Finally, the third superfamily of LGICs is represented by a class of ATP-gated channels. The only members known to date are the P2X receptors (P2X 1-7) which form trimers with only two transmembrane helices per subunit[2].

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Ion Channels (Ligand-gated) Cys-loop, anionic

The Cys-loop class of LGICs forms a superfamily of ionotropic receptors that includes two types of anion-permeable channels, which are represented by receptors for the neurotransmitters GABA (gamma-aminobutyric acid) and glycine, and allow negatively charged chloride ions to migrate through the cell membrane. Activation of these receptors in general leads to rapid inhibitory synaptic transmission[1].
Upon activation, the GABAA receptor selectively conducts Cl- through its pore, resulting in hyperpolarization of the neuron. This causes an inhibitory effect on neurotransmission by diminishing the chance of a successful action potential occurring. Mild inhibition of neuronal firing by drugs acting at the GABAA receptor causes a reduction of anxiety in the patient (an anxiolytic effect) while more pronounced inhibition induces general anesthesia[2].
As a consequence of its high affinity binding to its natural inhibitor, strychnine, the GlyR was the first nicotinicoid receptor isolated from mammalian nervous tissue. Structurally and functionally, the glycine receptor is most closely related to the GABAA receptor. GlyRs are primarily expressed in spinal cord, brain stem, caudal brain, and retina. In adult neurons, the inhibitory chloride influx upon glycine receptor activation stabilizes the resting potential of the cell, rendering them electrically quiescent. Reduced channel expression and/or reduced activity of mutants often result in channelopathies involving muscle tone regulation, such as human startle disease (hyperekplexia)[3].

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Ion Channels (Ligand-gated) Cys-loop, cationic

Nicotinergic acetylcholine receptors are made up of 5 subunits, symmetrically arranged around a central pore (ion channel). It is considered the best-characterized LGIC and a prototypical structure for the class of 4TM receptors. nAChRs are found mainly in postsynaptic membranes of central nervous system synapses and of the neuromuscular endplate. The physiological signal to which nAChR responds is the neurotransmitter acetylcholine, but it is also activated by nicotine. The assembly of combinations of subunits (17 distinct subunits have been identified) results in a large number of different receptors with a high variety of functional diversity as a result[1].
5-HT3 differ from all other 5-HT (serotonin) receptors whose actions are mediated via G proteins. Their structure and function has placed them in the Cys-loop family of cationic ligand-gated ion channels. 5-HT3 receptors are located in both the peripheral (PNS) and central (CNS) nervous systems. In the CNS, 5-HT3 receptors may play roles in a variety of functions including emesis, cognition and anxiety, whereas in the PNS they play a role in a variety of sympathetic, parasympathetic and sensory functions (e.g. signaling in gastrointestinal tract, gut motility and peristalsis)[2].

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Ion Channels (Ligand-gated) Glutamate, ionotropic

L-glutamate is the major excitatory neurotransmitter in the central nervous system. The glutamate system represents an attractive molecular target in the treatment of epilepsy, neurodegenerative diseases (Alzheimer's disease, Parkinson's disease, Huntington's chorea), schizophrenia, ischemia, pain, alcoholism and mood disorders[1].
Alpha-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA) is an artificial glutamate analogue. Its receptor (originally named quisqualate receptor) is a non-NMDA-type ionotropic transmembrane receptor for glutamate that mediates fast synaptic transmission in the central nervous system. Like all ionotropic glutamate receptors, it consists of tetramers of four different types of subunits (GluR1-GluR4). The AMPA receptor GluA2 (GluR2) tetramer was the first and currently only glutamate receptor ion channel to be crystallized[2].
Kainate, a natural product, is an excitotoxic glutamate analogue produced by an algae, while NMDA is N-methyl-d-aspartate. Although all three glutamate receptor subtypes respond to glutamate, they can be distinguished by their response to these artificial agonists. Their distribution in the brain, physiological function, and mechanism and kinetics of activation and regulation are very different[3]. In two ways, the NMDA receptor is distinct from the other LGICs. First, it is both ligand-gated and voltage-dependent. Second, it requires co-activation by two ligands: glutamate and either d-serine or glycine. What's more, the receptor controls a cation channel that is highly permeable to multiple monovalent ions and calcium[4].

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Ion Channels (Ligand-gated) P2X

P2X receptors belong to a larger family of receptors known as the purinergic receptors[1]. Unlike the G-protein coupled P1 and P2Y receptors, the P2X receptors are ATP-gated cation channels with important roles in diverse pathophysiological processes (afferent signaling (including pain), regulation of renal blood flow, vascular endothelium, and inflammatory responses)[2].

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Ion Channels (Ligand-gated) unclassified

Cystic fibrosis transmembrane conductance regulator (CFTR) is an ABC (ATP-binding cassette) transporter-class ion channel that transports chloride and thiocyanate ions across epithelial cell membranes[1]. Like the P2X receptors, the CFTR has an ATP binding domain, and is considered a cAMP-activated ATP-gated anion channel. It is found in the epithelial cells of many organs including the lung, liver, pancreas, digestive tract, reproductive tract, and skin. Chloride transport through the CFTR channel works in concert with sodium transport through epithelial sodium channels (ENaC) to maintain salt, fluid, and pH homeostasis in various epithelial tissues. Mutations of the CFTR gene affect functioning of the chloride ion channels in these cell membranes, leading to cystic fibrosis and congenital absence of the vas deferens[2].

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Ion Channels: Voltage-gated

Ion channels are specialized proteins embedded in the membrane. The ion selectivity of the channel is a property associated with its permeation pathway, normally called the pore. The magnitude of the current across the membrane depends on the density of channels, the conductance of the open channel, and how often the channel spends in its open position or its open probability. The salient feature of channels involved in excitable membranes is that the open probability is regulated by the transmembrane voltage or membrane potential. Changes in the membrane potential can be picked up by a voltage sensor that detects the voltage and transfers its energy to the pore to control its gate[1]. Despite their differences in ion selectivity and gating capabilities, voltage-gated channels in general share a number of structural features. They have a common structure with 24 transmembrane segments and a specialized pore region. Voltage-gated Na+ and Ca2+ channels are composed of a single pore-forming polypeptide (the alpha subunit), plus various auxiliary subunits. The alpha subunits of these channels contain four repeats of a core motif, which consists of six predicted transmembrane regions, S1-S6. Voltage-activated K+ channels are tetramers, with each subunit containing a single core motif. The ion-selective pore of these channels are formed by loops between the S5 and S6 regions, often called the P-regions or P-loops; four of these loops approach close together at the axis of the pore[2].

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Ion Channels (Voltage-gated) Calcium

Calcium channels have long been the target of therapeutic drugs aimed at treating the symptoms of cardiovascular disease and migraine headache. They regulate the permeability of the cell membrane towards calcium. Axon Medchem offers pharmacological standards that interact at each of the 2 main classes within the family of calcium channels, the voltage-gated, and the ligand-gated channels (see also section of non-calcium-selective ligand-gated ion channels)[1].

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Ion Channels (Voltage-gated) Potassium

Potassium channels are a diverse and ubiquitous family of membrane proteins present in both excitable and non-excitable cells. Members of this channel family play critical roles in cellular signaling processes regulating neurotransmitter release, heart rate, insulin secretion, neuronal excitability, epithelial electrolyte transport, smooth muscle contraction, and cell volume regulation. Over 50 human genes encoding various potassium channels have been cloned during the past decade[1]. Based on the structure of the potassium channels, four main classes can be identified. The basis of all channels consists of four subunits that are clustered to form the ion-permeation pathway across the membrane. Each of the four subunits is build up of two transmembrane helices and a short loop between them. Distinct features characterize the four main classes[2]: inwardly rectifying potassium channels (2TM/P channels), voltage and/or ligand gated ion channels (6TM/P channels), hybrid channels made from the two previously mentioned classes (8TM/2P channels), and dimer channels (4TM/2P channels) made from two repeats of the inwardly rectifying channels, and are often referred to as 'leakage channels'. Also the hyperpolarization-activated and cyclic nucleotide-gated (HCN) channels belong to the superfamily of voltage-gated K+ (Kv) and cyclic nucleotide-gated (CNG) channels. They are sometimes referred to as "pacemaker channels" because they help to generate rhythmic activity within groups of heart and brain cells.
Axon Medchem offers a variety of potassium channel openers and blockers, including the racemate and optically pure enantiomers of BMS 204352 (Axon 1112, Axon 1308, and Axon 1309), modulators of the Maxi-K channel (or BK channel, member of 6TM/P channel class), and Zatebradine HCl (Axon 1248, HCN channel blocker).

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Ion Channels (Voltage-gated) Sodium

Voltage-gated sodium channels, which produce the inward membrane current necessary for regenerative action potential production within the mammalian nervous system, are expressed in primary sensory neurons and have emerged as important targets in the study of the molecular pathophysiology of pain and in the search for new pain therapies[1]. Nine members of the family of voltage-gated sodium channels have been identified thus far, consisting of a large alpha subunit that associates with other proteins, such as beta subunits[2]. An alpha subunit, consisting on its own of four repeating trans-membrane domains forming the actual pore, forms the core of the channel and is functional on its own. When accessory proteins assemble with alpha subunits, the resulting complex can display altered voltage dependence and cellular localization. Ligand gated sodium channels (e.g. nicotinic receptors) are activated by endogenous acetylcholine. Activation causes a conformational change of the receptor, leading to the opening of the internal pore, and enabling extra-cellular sodium ions to flow into the cell.
As the quest for new selective molecules targeting sodium channels for the treatment of chronic pain continues, Axon Medchem intends to expand its range of sodium channel modulators accordingly.

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Ion Channels (Voltage-gated) Transient Receptor Potential

The largest group of receptors that function as noxious stimuli detectors in nociceptors is the transient receptor potential (TRP) channel family. TRPs have been subclassified into the C, V, M, A, P, and ML subfamilies. The members are principal transducers of thermal stimuli that depolarize nerve terminals to the action potential threshold. A role of TRP channels specifically in pain and thermosensation was first suggested by the finding that mammalian TRPV1 is activated by both noxious heat and capsaicin, the active ingredient of chilli peppers. Although there is little amino-acid conservation among distant TRP channels, they share a similar architecture of six-transmembrane domains with cytoplasmic amino and carboxy termini. TRP channels are thought to function as tetramers, mostly as homomers. Six of the 28 TRP channels from the three distinct TRP family subtypes are activated by temperature (TRPV1–4, TRPM8 and TRPA1). Three other TRP channels (TRPM2, TRPM4 and TRPM5) are strongly modulated by warm temperatures as well; however, the lack of expression in nociceptor neurons argues against a role in nociception[1].
The Vanilloid receptor (TRPV1), member of the Transient Receptor Potential Channel super family, is an ion channel which is selective for calcium and magnesium over sodium ions. It is believed to be activated through a variety of mechanisms, among which the binding of molecules containing a vanillyl moiety, also present in capsaicin. ABT 102 (Axon 1504) does not show this particular vanillyl moiety, yet is a member of the class of di(arylalkyl)- and aryl(arylakyl)ureas, a class of compounds that also include two SB compounds (SB 705498, and SB 452533), which have entered clinical trials[2].
The transient receptor potential ankyrin-repeat 1 (TRPA1) channel is the sole member of the TRPA branch of the TRP ion channel gene family. TRPA channels resemble TRPN channels that were implicated in mechanotransduction and hearing in Drosophila and zebrafish. However, the ion channel domain of TRPA channels is evolutionarily distant from TRPN channels[3]. TRPA1 channels are required for neuronal excitation, the release of inflammatory neuropeptides, and subsequent pain hypersensitivity[4]. TRPA1 is also activated by the release of inflammatory agents from nonneuronal cells in the area of tissue injury or disease, and by environmental irritants and pungent chemicals, such as cinnamaldehyde and mustard oil. Extracellular Ca^{2+} is a key regulator of TRPA1 activity, both potentiating and subsequently inactivating it[5].
The transient receptor potential, subfamily C (TRPC) channels are ubiquitously expressed among cell types and mediate signals in response to phospholipase C (PLC)-coupled receptors[6]. Among the TRPs, the 6 members of the human TRPC subfamily are unique in that they are not only responsible for agonist-activated nonselective cation currents, but they also participate in the so-called slow sustained mode of Ca2+ signaling, which requires sustained elevations of intracellular Ca2+ ([Ca2+]i)[7].
For all organisms, detection and adaptation to cold temperature is crucial to survival. Cold sensing in the innocuous range of cold (>10-15 °C) in the mammalian peripheral nervous system is thought to rely primarily on transient receptor potential (TRP) ion channels, most notably the menthol receptor, TRPM8. The TRP cation channel, subfamily C member 5 (TRPC5), is found to be highly sensitive to cold in the temperature range 37–25 °C, and is thus hypothesized to play a role in sensing cold[8]. Additionally, the channel may have an important role in the pathogenesis of hypertension[9].

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Ion Channels: Inward rectifier, Potassium

A group of potassium channels with a predicted membrane topology of two TMDs (M1–M2) and a pore (P) domain comprises inward rectifier channels (K_{ir}) and ATP-sensitive (K_{ATP}) channels. Currently, seven subfamilies (Kir1–7) have been identified, the majority of which form K^+ channels with varying degrees of inward rectification when expressed in heterologous expression systems. They can be classified into four functional groups: classical Kir channels (Kir2.x) are constitutively active, G protein-gated Kir channels (K_G or Kir3.x) are regulated by G protein-coupled receptors, ATP-sensitive K^+ channels (Kir6.x) are tightly linked to cellular metabolism, and K^+ transport channels (Kir1.x, Kir4.x, Kir5.x, and Kir7.x) [1].

K_{ATP} channels couple cell metabolism to electrical activity of the plasma membrane by regulating membrane K^+ fluxes. A reduction in metabolism opens K_{ATP} channels, producing K^+ efflux, membrane hyperpolarization, and suppression of electrical activity. Conversely, increased metabolism closes K_{ATP} channels. The consequent membrane depolarization stimulates electrical activity and may thereby trigger cellular responses such as the release of hormones and neurotransmitters, or muscle contraction. Given their critical role in regulating electrical excitability in many cells, it is evident that disruption of K_{ATP} channel function can lead to disease. To date, mutations in K_{ATP} channel genes have been shown to cause neonatal diabetes, hyperinsulinemia, and dilated cardiomyopathy in humans. The K_{ATP} channel is an octameric complex of 4 Kir6.x and 4 SURx subunits[2].

G protein-gated Kir Channels (Kir3.x, a.k.a. K_G channels or GIRKs) are one of the targets of GPCRs, that, upon activation of the GPCR by its ligand (hormone or neurotransmitter) release two intracellular effector molecules (G_α and $G_{\beta\gamma}$) that can effectuate channel opening resulting in hyperpolarization of the cell. The K_G channels can be activated by intracellular GTP (GTPi) in the presence of agonist or by intracellular GTPγS even in the absence of agonist. After a long controversy, it was finally established that K_G channels are activated by $G_{\beta\gamma}$ subunits of PTX-sensitive G proteins. Functional K_G channels are tetrameric assemblies of Kir3 family subunits and can be either homomeric or heteromeric. The composition of subunit of K_G channels varies among different cells and tissues which allows them to play diverse functional roles[1].

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Ion Channels: Calcium-activated, Potassium

Calcium-activated potassium channels are a large family of potassium channels that are found throughout the central nervous system and in many other cell types. These channels are activated by rises in cytosolic calcium largely in response to calcium influx via voltage-gated calcium channels that open during action potentials[1]. The International Union of Pharmacology has put the Ca^{2+} activated K^+ channels into one family which can be subdivided into two functionally but genetically unrelated groups. One group include Small conductance KCa channels (KCa 2.1 (SK1), 2.2 (SK2) and 2.3 (SK3)). These channels are sensitive to block by apamin (100 pM–10 nM), which distinguishes them from all other KCa channels. The group additionally is made up of Intermediate conductance channels (KCa3.1 (IK)). These channels are voltage-insensitive and are activated by low concentrations of internal calcium (less than 1.0 microM). Both IK and SK channels play roles in processes involving calcium-dependent signaling in both electrically excitable and nonexcitable cells. Unless they do not bind calcium directly they detect it by virtue of calmodulin, which is constitutively bound to the C-terminal region. Binding of calcium to this calmodulin results in conformational changes that are in turn responsible for channel gating. The second group of Ca^{2+} activated K^+ channels include Large conductance KCa channels (KCa1.1, also known as BK channel, Slo or Slo1), a voltage-sensitive channel that binds calcium independently of calmodulin but mediated by at least three divalent cation binding sites in the cytoplasmic carboxyl domain of each channel subunit. Other members of this group are KCa4.1 (Slack or Slo2.2), KCa4.2 (Slick or Slo2.1), and KCa5.1 (Slo3)[2].

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Ion Channels: Two-pore-domain, Potassium

TASK-3 (KCNK9 or K_{2P}9.1) is a member of the family of leak or two-pore-domiain potassium channels, which have 4 transmembrane segments and 2 P-domains, and is one of the major determinants of cell membrane potential and input resistance[1]. TASK-3 (TWIK-related acid-sensitive K+ channel) is involved in cortical function and might also be involved in the formation of cortical neural circuits. The ion channel is >50% identical to TASK-1 at the amino acid level, and in whole-cell recordings the two channels have similar physiological properties but different pH sensitivities. TASK-1 and TASK-3 are co-expressed in a number of different cell types, suggesting the possibility that they form heterodimeric channels[2]. TASK-3 is particularly abundant in the hippocampus, cerebellum and cortex, and in specific nuclei including the locus coeruleus, paraventricular nuclei of thalamus and the dorsal raphe. Its activity has been shown to regulate both neurotransmitter release as well as mediating the effects of neurotransmitter activation including the activity of 5-HT-releasing neurons of the dorsal raphe. TASK-3 inhibitors could lead to therapeutic agents against neurological conditions including sleep disorders, neurodegeneration, cognitive impairment, Parkinson's disease, Huntington's disease, or major depressive disorder[3].

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Ion Channels: Voltage-dependent Anion Selective

Not an ion channel in the sense of a gateway for ions to change the transmembrane voltage or membrane potential, but rather the mitochondrial voltage-dependent anion channel (VDAC) controls the transit of adenine nucleotides, Ca2+, and other metabolites both into and out of the mitochondrion in a voltage dependent manner. It is constituent of the mitochondrial permeability transition pore (PTP). Three kinds of VDACs (1-3) are known to date[1].

The assumption has generally been that VDAC is constantly open during metabolism. Recent data, however, suggest that VDAC has the ability to close and inhibit exchange of metabolites within intact cells[2]. In the closed state, ions, but not small molecule metabolites, can penetrate VDAC pores; in the open state, both ions and metabolites pass through VDAC channels. In addition, the closed state is cation-selective, whereas the open state is anion-selective. VDACs are increasingly linked with the control of apoptosis[3,4]. Since VDAC channels close early in the evolution of apoptosis with the consequence that mitochondria cannot release ATP or take up ADP, Pi and respiratory substrates from the cytosol, they induce mitochondrial dysfunction, release of oxidative species and, ultimately, non-apoptotic, oxidative cell death[5]. This process has a degree of selectivity for cells with activated RAS–RAF–MEK signalling.

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Ion Channels: Calcium-activated, Chloride

At least eight families of chloride channels have been identified as membrane or intracellular chloride channels/binding proteins; they include the ligand-gated chloride channels (e.g., GABAA and glycine), cystic fibrosis transmembrane conductance regulator (CFTR), CLC, bestrophins, calcium-activated chloride channel regulator (CLCA), chloride intracellular channel (CLIC), Tweety, and the most recently characterized TMEM16/anoctamin family. Only the latter four of these chloride channel families contain members regulated by calcium[1]. Calcium activated Chloride Channels (CaCCs) are anion-selective channels that are activated by increases in cytosolic Ca^{2+}. They have been implicated in a variety of cellular functions such as fertilization of the oocyte,transepithelial fluid transport, repolarization and action potential duration in cardiac myocytes, olfactory transduction, and regulation of smooth muscle tone. Within the airways, they contribute to epithelial fluid secretion.[2]

TMEM16A (alternative name, anoctamin-1, ANO1) was identified as a CaCC, as its heterologous expression in oocytes and mammalian cells produced outwardly rectifying, Ca2+-sensitive Cl− currents. It is expressed in epithelial cells in airways, salivary gland, intestine, and other tissues, as well as in arterial smooth muscle, intestinal pacemaker cells, sensory neurons, and various tumors. Evidence was found for involvement of ANO1 in chloride secretion in salivary gland and airway epithelia, intestinal and vascular smooth muscle contraction, nociception, and bile formation[3].

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axon MEDCHEM

Receptors

All cells in a multicellular organism are constantly exposed to a variety of extracellular signals that they need to interpret and translate into an appropriate response to their environment. These signals can be soluble factors generated locally (for example, synaptic transmission) or distantly (for example, hormones and growth factors), ligands on the surface of other cells, or the extracellular matrix itself. To achieve this, cells maintain a diversity of receptors on their surface that respond specifically to individual stimuli. These receptors fall into families, based primarily on the way in which they generate the intracellular signals that give rise to the particular functional responses. Moreover, the activity of a given receptor can be modulated by other signalling pathways in a variety of ways, generating the flexibility required of such a complex system. Axon Ligands™ that target receptors are categorized based on the major classification proposed by the IUPAC, incluing G-protein coupled receptors, enzyme linked receptors, (ligand gated) ion channels, nuclear receptors, and cytokine receptors[1].

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Receptors: Cytokine

Cytokines and chemokines are both small proteins made by cells in the immune system. They are important in the production and growth of lymphocytes, and in regulating responses to infection or injury such as inflammation and wound healing. Cytokines are the general category of messenger molecules, while chemokines are a special type of cytokine that direct the migration (chemotaxis) of white blood cells to infected or damaged tissues. Unlike most other cytokines, chemokines regulate their action through interactions with seven-transmembrane, rhodopsin-like G protein-coupled receptors (GPCRs)[1]. Cytokines are secreted in the mammalian immune system, and used as messenger molecules to control the duration and strength of the immune response to foreign microorganisms. Many cytokines produced by T cells direct the immune response of various white blood cells (leukocytes) to a foreign microorganism in the body. Among the important varieties are the interleukin (IL) molecules and interferon alpha and beta. The ILs help regulate inflammation, fever, and wound healing, among other things, while the interferons block the replication of viruses[2,3].

As part of the superfamily of cytokine receptors belongs to the large family of GPCR receptors (GPCR-A1 and A2), among which the CCR and CXC type chemokine receptors, Axon Ligands™ that interact with these receptors have thus been listed in the corresponding sections of the GPCR receptors as well. Additionally, the superfamily of cytokine receptors differentiates tumor necrosis factor (TNF) type receptors and interleukin (IL) type receptors, and a small sub-family of other cytokine receptors that do not fit into the previously lised subfamilies, based on either structure or function.

Colony-stimulating factor 1 (CSF1) and interleukin-34 (IL-34) are functional ligands of the CSF1 receptor (CSF1R) and thus are key regulators of the monocyte/macrophage lineage. CSF1, also known as M-CSF, regulates the survival, proliferation, differentiation, and chemotaxis of cells of the monocyte/macrophage lineage. It is produced by multiple cell types, including monocytes/macrophages, endothelial cells, fibroblasts, and bone marrow stromal cells. The biological effects of CSF1 are mediated by a single CSF1 receptor (CSF1R), which is encoded by the c-fms proto-oncogene. Ligand binding to CSF1R in macrophages triggers multiple signal transduction pathways resulting in activation of AKT and cAMP responsive element–binding protein (CREB) and mitogen-activated protein kinase[4]. Interestingly, high levels of CSF1 have been implicated in the patophysiology of Alzheimers disease[5].

The members of the TNF ligand family exert their biological functions via interaction with their cognate membrane receptors, comprising the TNF receptor (TNF-R) family. The members of the TNF-R family contain one to six cysteine-rich repeats in their extracellular domain, typically each with three cysteine bridges. Two receptors, TNF-R1 (TNF receptor type 1; CD120a; p55/60) and TNF-R2 (TNF receptor type 2; CD120b; p75/80) bind membrane-integrated TNF (memTNF) as well as soluble TNF (sTNF), but also the secreted homotrimeric molecule lymphotoxin-alpha (LTalpha). TNF-R1 is constitutively expressed in most tissues, whereas expression of TNF-R2 is highly regulated and is typically found in cells of the immune system. In the vast majority of cells, TNF-R1 appears to be the key mediator of TNF signalling, whereas in the lymphoid system TNF-R2 seems to play a major role. The cytokine TNF, produced by macrophages/monocytes during acute inflammation may be considered to represent a major proinflammatory mediator, with an optional capacity to induce necrosis and apoptosis[6]. In (patho)physiological situations, TNF shows a remarkable functional duality, being strongly engaged both in tissue regeneration/expansion and destruction[7].

Among cytokine receptors, Glycoprotein 130 (gp130) is the most promiscuous, meaning that it can transduce signals from many different ligands: it is part of the receptor signaling complexes for at least 9 cytokines of the Interleukin (IL)-6 family, a group of functionally and structurally related proteins that utilize gp130 as a common signal transducer within their receptor complex that is required for signaling. Ligand binding induces the association of gp130 with a cytokine-specific receptor-α chain, followed by the activation of downstream signaling cascades including JAK/STAT, RAS/RAF/MAPK, and PI3K/AKT pathways. As a ubiquitously expressed receptor, gp130 is involved in a wide range of important biologic processes including inflammation, immune resonse, cancer, stem cell maintenance, embryonic development, hematopoiesis, cardiovascular action, and neuronal survival[8,9].

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Receptors: Enzyme Linked

Many of the Axon Ligands™ in this class of compounds target receptors of various growth factors, such as EGF, VEGF, and PDGF. These receptors are members of the class of enzyme linked receptors, which, as integral membrane proteins, possess both receptor functionality (extra-cellular) as well as enzymatic catalytic functionality (intracellular)[1,2]. The majority of the enzymatic activity of this class of receptors is characterized by kinase-like activity. Based on this feature, five main classes can be distinguished[3]: Receptor Tyrosine Kinases (RTKs), and Receptor Serine/Threonine Kinases (RSTKs, participating in MAPK and TGF-beta signaling pathways, among others) are well known. Additionally, there are classes of Receptor Guanylyl Cyclases, Histidine Kinase associated Receptors (receptors that associate with proteins that have histidine kinase activity), and finally a class of Tyrosine Kinase associated Receptors (e.g. Cytokine Receptors). In addition, some transmembrane tyrosine phosphatases (Receptor-like) Protein Tyrosine Phosphatases (PTPs)), which remove phosphate from phosphotyrosine side chains of specific proteins, are thought to function as receptors, although for the most part their ligands are unknown. Within each of these main classes, sub-classes exist, based on the specific endogenous ligands. Many of the enzyme linked receptors play a role in the regulation of cell proliferation, programmed cell death (apoptosis), cell differentiation, and embryonic development, and therefore are of great interest as targets for the treatment of cancer[4]. Furthermore, malfunctioning of receptors of this kind is associated with the development of neurodegenerative diseases, such as multiple sclerosis and Alzheimer's disease[5].

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Receptors (Enzyme Linked, RTK class I) ErbB receptor family

The ErbB receptor tyrosine kinase family consists of four cell surface receptors: ErbB1/EGFR/HER1, and ErbB2-4/HER2-4[1]. ERbB receptor tyrosine kinases have important roles in human cancer. The gene symbol, ErbB, is derived from the name of a viral oncogene to which these receptors are homologous: Erythroblastic Leukemia Viral Oncogene. Insufficient ErbB signaling in humans is associated with the development of neurodegenerative diseases, such as multiple sclerosis and Alzheimer's disease.[2] Additionally, research revealed that the expression or activation of epidermal growth factor receptor and ErbB2 are altered in many epithelial tumors, and clinical studies indicate that they have important roles in tumor aetiology and progression[3].

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Receptors (Enzyme Linked, RTK class II) Insulin receptor family

The pleiotropic actions of insulin are mediated by a single receptor tyrosine kinase. A generally accepted paradigm is that insulin receptors, acting through insulin receptor substrates (insulin, and Insulin-like growth factors (IGF) I and II), stimulate the lipid kinase activity of phosphatidylinositol 3-kinase[1]. The rapid rise in Tris-phosphorylated inositol (PIP3) that ensues triggers a cascade of PIP3-dependent serine/threonine kinases. Among the latter, Akt and atypical protein kinase C isoforms are thought to be involved in insulin regulation of glucose transport and oxidation; glycogen, lipid, and protein synthesis; and modulation of gene expression. "Insulin insensitivity", or a decrease in insulin receptor signaling, leads to diabetes mellitus type 2 – the cells are unable to take up glucose, and the result is hyperglycemia (an increase in circulating glucose), and all the sequelae that result from diabetes.

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Receptors (Enzyme Linked, RTK class III) PDGF receptor family

The PDGF family of growth factors consists of five different disulphide-linked dimers built up of four different polypeptide chains encoded by four different genes. These five isoforms act via two receptor tyrosine kinases, PDGF receptors alpha and beta[1]. The PDGFs have a common structure with the typical growth factor domain involved in the dimerization of the two subunits, and in receptor binding and activation. All four PDGF chains contain a highly conserved growth factor domain, denoted the PDGF/VEGF homology domain[2]. Upon activation by their endogenous ligands, these receptors dimerize, and are activated by auto-phosphorylation of several sites on their cytosolic domains, which serve to mediate binding of co-factors and subsequently activate signal transduction, for example, through the PI3K and the MAPK pathways. Both PDGF and VEGF family members are potent mitogenic and angiogenic factors with critical roles in tumor formation as well as embryonic development and wound healing[3].
FLT3 (Fms-liketyrosine kinase 3, aka CD135) is a cytokine receptor which belongs to the class III receptor tyrosine kinase family. It is expressed on the surface of many hematopoietic progenitor cells. Notably, approximately one-third of acute myeloid leukemia (AML) patients have mutations of this gene, and such mutations are one of the most frequently identified types of genetic alterations in AML. The majority of the mutations involve an internal tandem duplication (ITD) in the juxtamembrane (JM) domain of FLT3, which is specifically found in AML[4].
Stem cell factor (SCF, also called Steel factor or Kit ligand) is a dimeric molecule that exerts its biological functions by binding to and activating the receptor tyrosine kinase c-KIT or CD117. It is also classified as cytokine receptor. Activation of c-Kit leads to its autophosphorylation and initiation of signal transduction. Signaling proteins are recruited to activated c-Kit by certain interaction domains (e.g., SH2 and PTB) that specifically bind to phosphorylated tyrosine residues in the intracellular region of c-Kit. It is expressed by fibroblasts and endothelial cells throughout the body, and activation of c-Kit signaling has been found to mediate cell survival, migration, and proliferation depending on the cell type. Signaling from c-Kit is crucial for normal hematopoiesis, pigmentation, fertility, gut movement, and some aspects of the nervous system. Deregulated c-Kit kinase activity has been found in a number of pathological conditions, including cancer and allergy[5].

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Receptors (Enzyme Linked, RTK class IV) VEGF receptor family

VEGF is one of the key regulators of angiogenesis, vasculogenesis, and developmental hematopoiesis. It is a mitogen and survival factor for vascular endothelial cells while also promoting vascular endothelial cell and monocyte motility[1]. Binding of growth factors to the ectodomain of their transmembrane receptors leads to receptor dimerization, protein kinase activation, trans-autophosphorylation, and initiation of signaling pathways. The VEGF family of receptors consists of three protein–tyrosine kinases (VEGFR1-3) and two non-protein kinase co-receptors (neuropilin-1 and 2)[2]. Targeting VEGF receptors proved to be a successful therapeutic approach for disorders with non-physiologic angiogenesis including age-related macular degeneration of the eye, diabetic retinopathy, rheumatoid arthritis, tumor growth and metastasis[1].

[1] Vascular endothelial growth factor (VEGF) signaling during tumor progression. A comprehensive review of the discovery of the VEGF family of ligands and receptors. R. Roskoski Jr. Crit. Rev. Oncol. Hematol. 2007, 62, 179–213.
[2] VEGF receptor protein–tyrosine kinases: Structure and regulation. Mini Review. R. Roskoski Jr. Biochem. Biophys. Res. Com. 2008, 375, 287–291.

Receptors (Enzyme Linked, RTK class V) FGF receptor family

The fibroblast growth factor receptors (FGFRs) include the four highly conserved transmembrane receptor tyrosine kinases FGFR1, FGFR2, FGFR3, and FGFR4. One additional receptor, FGFR5 (FGFRL-1), is devoid of kinase activity but able to bind FGFs and may act as a negative regulator of signaling[1]. The FGF signaling pathway plays a critical role in many physiological processes during embryonal development and maintenance of adult organ systems, including angiogenesis and wound repair, cell proliferation, migration, differentiation, and cell survival. Aberrations in this signaling pathway can give rise to tumor progression and growth of multiple cancer types. In addition, it may serve as a mechanism of resistance to antivascular endothelial growth factor targeted therapy. As such this pathway has emerged as a relevant therapeutic target, and several agents that can inhibit or modulate its signaling are in various stages of development.[2]

[1] Structural and functional diversity in the FGF receptor multigene family. D.E. Johnson, L.T. Williams. Adv Cancer Res. 1993, 60, 1–41
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Receptors (Enzyme Linked, RTK class VII) Trk receptor family

Tropomyosin-related kinases (Trks) are receptor tyrosine kinases normally expressed in neuronal tissue where they play important role in both development and function of the nervous system.[1] The Trk receptor family is composed of three members (A, B, and C) activated by specific ligands called neurotrophins (NTs). Activations upon ligand binding triggers oligomerization of the receptors, phosphorylation of specific tyrosine residues in the kinase domain, and downstream signal transduction pathways, including survival, proliferation, and differentiation in normal and neoplastic neuronal cells. Deregulation of TrkA and TrkB and their cognate ligands has been described in numerous types of cancers including prostate, breast, colorectal, ovarian, lung, pancreas, melanoma, thyroid, and neuroblastoma and occurs mainly through wild type receptor overexpression, activation, amplification, and/or mutation. Importantly, increased Trks activation in tumor tissues correlates with an aggressive phenotype and poor clinical outcome[2].
The recently added PD 90780 (Axon 2174) is an inhibitor of NGFs binding to the P75 NGF-receptor, a.k.a. Low-Affinity Nerve Growth Factor Receptor. In general, mature NTs bind preferentially to Trk and p75NTR, whereas proneurotrophins, which contain an N-terminal domain proteolytically removed in “mature” forms, interact with p75NTR and through their N-terminal domains, with the sorting receptor sortilin. p75NTR interacts with Trks and modulates Trk signaling but is also independently coupled to various prosurvival and proapoptotic signaling systems. Depending on the operative ligands, co-expression of Trk or other receptors, and expression of downstream signaling elements, p75NTR promotes cell survival or death and modulates neurite outgrowth[3].

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Receptors (Enzyme Linked, RTK class X) HGF receptor family

c-Met (MET or MNNG HOS Transforming gene) is a proto-oncogene that encodes a protein known as hepatocyte growth factor receptor (HGFR). It was originally identified as an oncogene activated in vitro after treatment of a human osteogenic sarcoma (HOS) cell line.[1] Currently, c-Met receives great interest for its role of aberrant signaling in tumorigenesis, particularly in the development of the invasive and metastatic phenotypes. Signaling via the Met–HGF/SF pathway has been shown to lead to a wide range of biological activities including proliferation (mitosis), scattering (motility), and branching morphogenesis, embryological development, wound healing, tissue regeneration, angiogenesis, growth, invasion, and morphogenic differentiation.[2]

[1] Molecular cloning of a new transforming gene from a chemically transformed human cell line. C.S. Cooper, M. Park, D.G. Blair et al. Nature 1984, 311, 29–33.
[2] M. Jeffers, L. Schmidt, N. Nakaigawa, et al. Activating mutations for the met tyrosine kinase receptor in human cancer. Proc. Natl. Acad. Sci. USA. 1997, 94, 11445–11450.

Receptors (Enzyme Linked, RTK class XI) TAM receptor family

The protein encoded by this gene is a member of the receptor tyrosine kinase subfamily. Axl belongs to the TAM (Tyro3, Axl, and Mer) RTK family, whose members function as inhibitors of innate inflammatory responses in dendritic cells and are essential to the prevention of lupus-like autoimmunity. Additionally, the members of this family of RTKs have been implicated in the development and metastasis of many cancers, including hematological malignancies and solid tumors of the colon, brain and breast.[1] Although it is similar to other receptor tyrosine kinases, this protein represents a unique structure of the extracellular region that juxtaposes IgL and FNIII repeats. It transduces signals from the extracellular matrix into the cytoplasm by binding growth factors like vitamin K-dependent protein growth-arrest-specific gene 6. It is involved in the stimulation of cell proliferation and can also mediate cell aggregation by homophilic binding[2].

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[2] Identification of Axl as a downstream effector of TGF-β1 during Langerhans cell differentiation and epidermal homeostasis. Bauer T, Zagórska A, Jurkin J, Yasmin N, Köffel R, Richter S, Gesslbauer B, Lemke G, Strobl H. J. Exp. Med. 2012, 209, 2033-2047

Receptors (Enzyme Linked, RTK class XIII) EPH receptor family

The Eph receptor tyrosine kinases and their ephrin ligands have intriguing expression patterns in cancer cells and tumor blood vessels, which suggest important roles for their bidirectional signals in many aspects of cancer development and progression.[1] They have been shown to affect the growth, migration and invasion of cancer cells in culture as well as tumor growth, invasiveness, angiogenesis and metastasis in vivo.

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Receptors (Enzyme Linked, RTK class XIV) RET receptor family

The RET ("rearranged during transfection") proto-oncogene encodes a receptor-type tyrosine kinase with an intracellular domain, a transmembrane domain, and an intracellular tyrosine kinase domain. The ligands for RET have been identified as neurotrophic factors of the glial cell-line derived neurotrophic factor (GDNF) family, including GDNF, neurturin, artemin, and persephin. All these factors activate RET via different glycosyl phosphatidylinositol-linked GFRa receptors.[1] The receptor appears to be essential for the normal development of several kinds of nerve cells, including nerves in the intestine (enteric neurons) and the autonomic nervous system. The RET protein is also necessary for normal kidney development and the production of sperm (spermatogenesis).[2] Mutations in the RET gene have been found in a number of human diseases, including several different cancers of neuroendocrine origin and a gut syndrome characterized by intestinal obstruction known as Hirschsprung's disease[3].

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[2] Signaling by the RET receptor tyrosine kinase and its role in the development of the mammalian enteric nervous system. S. Taraviras, V. Pachnis *et al.* Development 1999, 126, 2785-2797.
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Receptors (Enzyme Linked, RTK class XVI) Collagen receptor family

Discoidin domain receptors 1 and 2 (DDR1 and DDR2) are structurally-related membrane protein tyrosine kinases activated by different types of a major extracellular matrix component, triple-helical collagen. Collagen is probably the most abundant protein in man, with at least 29 families of genes encoding proteins, which undergo splice variation and post-translational processing, and may exist in monomeric or polymeric forms, producing a triple-stranded, twine-like structure. DDRs participate in several processes such as cell adhesion, migration, proliferation, and matrix remodeling. DDR1 is found in highly invasive tumor cells, suggesting its involvement in tumor progression. DDR1 appears to be preferentially expressed in tumor cells (epithelial), whereas DDR2 is expressed in tumor stroma[1,2].

[1] K. Valencia et al. Inhibition of collagen receptor discoidin domain receptor-1 (DDR1) reduces cell survival, homing, and colonization in lung cancer bone metastasis. Clin. Cancer Res. 2012, 18, 969-980.
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Receptors (Enzyme Linked, RTK class XIX) LTK receptor family

As a result of their high degree of similarity, Anaplastic Lymphoma Kinase (ALK) and Leukocyte Tyrosine Kinase (LTK) were originally identified as a member of the ros/insulin receptor subfamily of receptor tyrosine kinases.[1] ALK was first discovered as the constitutively active nucleophosmin (NPM)-ALK oncoprotein in anaplastic large cell lymphomas (ALCL). Full length ALK is abundantly expressed in neural tissue during embryogenesis, but levels fall during early development. Consequently, it has been hypothesized to play a critical role in normal development and differentiation of the central and peripheral nervous system[2]. ALK fusions derived from gene translocations are associated with large cell lymphomas and inflammatory myofibrilastic tumors.

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Receptors (Enzyme Linked, RSTK class I) ALK receptor family

Transforming growth factor-β (TGF-β) family, including TGF-β, activin, Nodal, bone morphogenetic proteins (BMPs) and others, play vital roles in diverse cellular processes, including cell proliferation, differentiation, apoptosis, cell plasticity and migration. The type I receptor serine/threonine kinases (RSTKs) are also known as activin receptor-like kinases (ALKs) for which a systematic nomenclature has been proposed (ALK1-7) Its dysfunctions can result in various kinds of diseases, such as cancer and tissue fibrosis. Ligand binding leads to formation of the receptor heterocomplex, in which TGF-βRII phosphorylates threonine and serine residues of TGF-βRI and thus activates TGF-βRI. The activated TGF-βRI recruits and phosphorylates a subset of SMAD proteins (SMAD 2/3) which are then translocated to the nucleus where they form transcription complexes with DNA binding factors and co-activators/co-repressors to regulate transcription of the target genes[1]. In normal cells, TGF-β, acting through its signaling pathway, stops the cell cycle at the G1 stage to stop proliferation, induce differentiation, or promote apoptosis. When a cell is transformed into a cancer cell, parts of the TGF-β signaling pathway are mutated, and TGF-β no longer controls the cell. These cancer cells proliferate[2].

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Receptors (Enzyme Linked, RSTK class II) Activin receptor family

Activins are dimeric growth and differentiation factors which belong to the transforming growth factor-beta (TGF-beta) superfamily of structurally related signaling proteins. Activins signal through a heteromeric complex of receptor serine kinases which include type I and type II receptors, and transduce signals through Smad-dependent and independent mechanisms. Type I receptors are essential for signaling; and type II receptors are required for binding ligands and for expression of type I receptors. Type I and II receptors form a stable complex after ligand binding, resulting in phosphorylation of type I receptors by type II receptors. Type II receptors are considered to be constitutively active kinases[1,2].

[1] Activin receptor signaling: a potential therapeutic target for osteoporosis. S. Lotinun, R.S.Pearsall, W.C. Horne, R. Baron Curr. Mol. Pharmacol. 2012, 5, 195-204.
[2] Activin receptor antagonists for cancer-related anemia and bone disease. S.Z. Fields et al. Exp. Opinion Invest. Drugs 2013, 22, 87-101.

Receptors: G protein-coupled (GPCRs)

G-protein coupled receptors (GPCRs) are a diverse super-family of proteins located within the plasma membrane of eukaryotic cells which have a common architecture consisting of seven-transmembrane (7-TM) segments, connected by extracellular (ECL) and intracellular (ICL) loops. They differ from other 7-TM proteins in their ability to activate guanine-nucleotide binding proteins or β-arrestin and so initiate a signaling cascade. Therefore, they are among the most important pharmaceutical drug targets[1,2]. GPCRs are activated by a wide variety of stimulants, including light, odorant molecules, peptide and non-peptide neurotransmitters, hormones, growth factors and lipids, and control a wide variety of physiological processes including sensory transduction, cell–cell communication, neuronal transmission, and hormonal signaling[3]. Upon activation by a stimulant (binding or conformational change of ligand), the conformation of the receptor is altered, which can result into two principal signal transduction pathways involving the G protein-coupled receptors: the cAMP signal pathway and the phosphatidylinositol signal pathway[4].
Analysis of the human genome revealed at least 799 unique GPCRs. One widely adopted scheme classify the GPCRs into six families, with the most important and extensively studied being: family A (Rhodopsin-like); family B (Secretin); family C (Metabotropic glutamate/pheromone); family D (Fungal mating hormone); family E (Cyclic AMP); family F (Frizzled/Smoothened)[5].
Besides the increasing number of categorized GPCRs with assigned endogenous ligands and (partially) elucidated signaling pathways, a large number of GPCRs remain whose endogenous ligands are unknown, and are classified as orphan GPCRs. Traditionally this class of GPCRs has been difficult to study and although molecular biological and bioinformatics techniques made the identification of orphan GPCRs amenable, the development of therapeutic compounds targeting these receptors has been extremely slow. Nevertheless, these GPCRs are considered important targets based on their distribution and behavioral phenotype as revealed by animals lacking the receptor[6 and 7]. GPR139 for example (a.k.a. or GPRg1 or GPCR12), is an orphan receptor first identified as a rhodopsin family GPCR with exclusive expression in the central nervous system. Its closest homolog, GPR142, however, is expressed primarily in the pancreas and other peripheral tissues[8]. GPR139 is coupled with Gq signaling and appears to be constitutively active when recombinantly expressed in mammalian cells[9].

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Receptors (GPCR-A1) Chemokine CC

Peptide receptors, in general, are members of the large family of G-protein coupled receptors. Their endogenous ligands are neuropeptides and proteins of various kinds, such as chemokine (subfamily A1), vasopressin (subfamily A6),

neurokinin (subfamily A9), and thrombin (subfamily A15). The diversity of endogenous ligands implies the wide range of biological processes they are involved in: include learning, memory, response to stress, pain, addiction, feeding behavior, sexual behavior, reproduction, the immune response, thermal control, kidney function, cardiovascular function (including blood pressure and heart rate) and many others[1]. The discovery that peptide receptors (somatostatin (subfamily A4) in particular) are over-expressed in most human neuroendocrine tumors has focused the recent interest in peptide receptors as potential targets for the treatment of cancers[2].

The CCR1 receptor is a member of the beta chemokine receptor family. Chemokines and their receptors are critical for the recruitment of effector immune cells to the site of inflammation. The ligands of this receptor include macrophage inflammatory protein 1 alpha (MIP-1 alpha), regulated on activation normal T expressed and secreted protein (RANTES), monocyte chemoattractant protein 3 (MCP-3), and myeloid progenitor inhibitory factor-1 (MPIF-1). Following interaction with their specific chemokine ligands, chemokine receptors trigger a flux in intracellular calcium (Ca2+) ions (calcium signaling). This causes cell responses, including the onset of a process known as chemotaxis that traffics the cell to a desired location within the organism[3].

Fractalkine is a transmembrane protein and chemokine involved in the adhesion and migration of leukocytes. The protein encoded by this gene is a receptor for fractalkine (CX3CR1). CX3CR1 and its ligand help control the migration and recruitment of immune effector cells in numerous inflammatory diseases and may play a role in cancer progression, immune evasion, and metastasis. Increasing evidence indicates that CX3CR1 is required for monocyte homeostasis and differentiation and regulates the fate of monocyte-derived cells in other inflammatory diseases such as cardiovascular disease and liver fibrosis. However, precisely how CX3CR1 regulates tumor-associated macrophages (TAMs) subtypes in the tumor microenvironment remains unknown[4]. Besides, evidence is found that the fractalkine receptor also is a coreceptor for HIV-1, and some variations in this gene lead to increased susceptibility to HIV-1 infection and rapid progression to AIDS[5].

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Receptors (GPCR-A2) Chemokine CXC, Interleukin

CXC chemokine receptors are integral membrane proteins that specifically bind and respond to cytokines of the CXC chemokine family. CXCR1 and CXCR2 (IL8R-α and IL8R–β respectively) are closely related receptors that recognize CXC chemokines that possess an E-L-R amino acid motif immediately adjacent to their CXC motif; they are both expressed on the surface of neutrophils in mammals. While CXCR3 is expressed predominantly on T lymphocytes, the CXCR4 receptor has a wide cellular distribution, with expression on most immature and mature hematopoietic cell types[1].

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Receptors (GPCR-A3) Angiotensin

The angiotensin receptors are activated by the vasoconstricting peptide angiotensin II. They are important for the renin-angiotensin system (RAS) or the renin-angiotensin-aldosterone system (RAAS); a hormone system that regulates blood pressure and water (fluid) balance. Effects mediated by the AT2 receptor are suggested to include inhibition of cell growth, fetal tissue development, modulation of extracellular matrix, neuronal regeneration, apoptosis, cellular differentiation, and maybe vasodilatation and left ventricular hypertrophy[1].

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Receptors (GPCR-A4) Opioid, Somatostatin

Three members of the family of opiod receptors are known to date. The family name originates from the active hallucinating component of *Papaver somniferum* (opium), whereas the first assignment of names of each member was based on the most potent opiate used to study the three subtypes: mu (morphine, OP3), kappa (ketocyclazocine, OP2), and sigma (SKF 10047)[1]. The later discovery of another subtype[2], named delta (named after the species vas deferens used for this study, OP1), and the finding that the sigma receptor was actually a non-opioid receptor[3] resulted in the currently know classification of mu, kappa, and delta receptor subtypes (OP1-OP3)[4]. A fourth opioid receptor subtype (Nociceptin, OP4) has been identified as a result of cloning techniques. This receptor shows a significant degree of homology in the cDNA coding for this and the other subtypes[5]. Opiate receptors are abundantly present in the brain, and present in the spinal cord and digestive tract. Besides the fact that these receptors are well known for their key interactions with opiates mediating hallucinating and analgesic effects, they do interact with endogenous ligands (endorphins) as well. Activation of opioid receptors by endogenous and exogenous ligands results in a multitude of effects, which include analgesia, respiratory depression, euphoria, feeding, the release of hormones, inhibition of gastrointestinal transit, and effects on anxiety.

Neuropeptide somatostatin (SST) is a cyclic neuropeptide containing a disulfide bond and is produced by specialized cells in a large number of human organs and tissues. SST primarily acts as inhibitor of endocrine and exocrine secretion via the activation of five G-protein-coupled receptors (GPCR-A4 subfamily), named SST1-5. SST is ubiquitously expressed in humans, with high concentrations in brain, liver, lungs, pancreas, thyroid, gastrointestinal tract, and adrenal gland mainly acting as an inhibitor of exocrine and endocrine secretions on target organs. SST suppresses GH, prolactin, and TSH production from pituitary gland, insulin, glucagon and exocrine secretions from pancreas, and several gastrointestinal peptides. In the brain, SST acts as neuromodulator, with physiological effects on neuroendocrine, motor, and cognitive functions, and as neurotransmitter, exerting both stimulatory and inhibitory effects[6,7].

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Receptors (GPCR-A5) Neuropeptides, Leukotrienes

Subfamily A5 of the GPCRs consist of seven members of receptors that are activated by either neuropeptides or leukotriene-derivatives (galanin, leukotrienes and cysteinyl leukotrienes, relaxin, melanin, urotensin, and kisspeptin (a.k.a. metastin).
The cysteinyl leukotrienes (cys-LTs) are a family of potent bioactive lipids that act through two structurally divergent GPCRs, termed the CysLT1 and CysLT2. Their endogenous ligands are LTC4, LTD4, and LTE4 are peptide-conjugated lipids that are prominent products of activated eosinophils, basophils, mast cells (MCs), and macrophages. These leukotrienes are commonly recognized as potent inflammatory mediators that initiate and propagate a diverse array of biologic responses. Consequently, clinically efficacious receptor antagonists and inhibitors of cys-LT synthesis have been introduced to treat asthma, immune responses, inflammation, tissue repair, and fibrosis[1].
Melanin concentrating hormone (MCH) is an orexigenic cyclic nonadeca-peptide, predominantly expressed in the lateral hypothalamus and zona incerta, acting at the G-protein coupled receptors (GPCRs) MCHR1 and MCHR2 widely expressed in the brain. MCH is an important mediator of energy homeostasis, stimulating food intake in rats after intra cerebro-ventricular (icv) injection, and increasing body weight[2]. Additionally, an emerging body of literature supports a role for MCH and MCHR1 in the endocrine and behavioral responses to stress, suggesting that drugs interacting at this receptor might have an antidepressant and/or anxiolytic effect[3]

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Receptors (GPCR-A6) Cholecystokinin, Orexin, Vasopressin, GnRH

Cholecystokinin receptors (CCK1 and CCK2, a.k.a. CCK-A and CCK-B respectively) are activated by gastrin as well as by cholecystokins (CCK) CCK-4; CCK-8; and CCK-33. Activation of these receptors evokes secretion of amylase by pancreatic acinar cells, acid and pepsin by stomach mucosal cells, and contraction of the pylorus and galbladder. Several CCK-A (CCK1) antagonists have been developed over the years for the treatment of stomach ulcers (Proglumide, Lorglumide, and Devazepide), but also for their potential as drugs to limit the development of gastrointestinal cancers such as colon cancer[1]. However, by far the main focus of CCK antagonist research has focused on the development of selective CCK-B antagonists as novel medications which have been primarily investigated for the treatment of anxiety and panic attacks, as well as for other roles such as analgesic effects, sexual behavior, learning, and memory[2].
Orexin-A and orexin-B (also known as hypocretin-1 and hypocretin-2, respectively) are neuropeptides that bind to the GPCRs orexin-1 and orexin-2. The orexin system has been implicated in the regulation of functions such as reward seeking, feeding behavior, locomotion and physical activity, and arousal from sleep and the sleep–wake cycle. Upon receptor activation, intracellular calcium levels increase. Orexin deficiency has been linked to narcoleptic symptoms such as sudden sleep attacks and cataplexy[3].
Vasopressin, also known as antidiuretic hormone, is a nonapeptide, and found to be essential for cardiovascular homeostasis. The antidiuretic effect of vasopressin has been exploited clinically for over half a century to treat diabetes insipidus. Three receptor subtypes are known to date (V1A-B, and V2 (original designation) or V1-3 (where V1A=V1 and V1B=V3)). Although all three of these proteins are G-protein coupled receptors (GPCRs), activation of V1A (V1) and V1B (V3) stimulate phospholipase C, while activation of V2 stimulates adenylate cyclase[4].
The gonadotropin-releasing hormone (GnRH) receptor is expressed on the surface of pituitary gonadotrope cells as well as lymphocytes, breast, ovary, and prostate. The fundamental role of hypothalamic GnRH in the reproductive system by stimulation of pituitary gonadotropin secretion has made it a prime drug target for treatment of infertility, sex hormone-dependent diseases and for novel contraception in man[5].
The vertebrate Neuropeptide S (NPSR–NPS) system has been established as an important signaling system in the central nervous system and is involved in physiological processes such as locomotor activity, wakefulness, asthma pathogenesis, anxiety and food intake. The NPSR–NPS system is closely related to the vasopressin-like receptor–vasopressin/oxytocin peptide (VPR–VP/OT) system. Single nucleotide polymorphisms (SNPs) and isoforms in the human NPSR gene are associated with risks of asthma, bronchial hyper-responsiveness, immunological disorders such as rhinoconjunctivitis, respiratory distress syndrome and irritable bowel syndrome. Consequently, potent NPSR antagonists have potential for multiple clinical applications for the treatment of obesity, hypersomia and anxiety disorders[6].

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Receptors (GPCR-A7) Endothelin, Neurotensin, GHS

Endothelins are very potent vasoconstrictors that bind to smooth muscle endothelin receptors, of which there are two subtypes: ETA and ETB receptors. Their activation causes the release of calcium by the sarcoplasmic reticulum (SR) and increased smooth muscle contraction and vasoconstriction. The receptors have been implicated in the pathogenesis of hypertension, coronary vasospasm, and heart failure[1].
Neurotensin (NTS) is a 13 aminio acid peptide that functions as both a neurotransmitter and a hormone through the activation of the three neurotensin receptors known to date (NTSR1-3). NTS shows a wide range of biological activities. In the brain, NTS modulates opioid-independent analgesia, the inhibition of food intake and the activity of dopaminergic systems. Consequently, it plays an important role in Parkinson's disease and the pathogenesis of schizophrenia, the modulation of dopamine neurotransmission, hypothermia, antinociception, and in promoting the growth of cancer cells[2].
Originally thought of as a stomach-derived endocrine peptide acting via its receptors in the central nervous system to stimulate food intake and growth hormone expression, ghrelin and its receptor (growth hormone secretagogue receptor (GHS-R)) are widely expressed in a number of organ systems, including cancer cells. Ghrelin is currently known to be the

most potent endogenous inducer of the growth hormone (GH)/insulin-like growth factor-1 (IGF-1) axis and of food intake in mammals[3]. Endogenous ghrelin also appears to be an important modulator of physiological functions relevant to aging. Since endogenous ghrelin activity declines during aging, it has been hypothesized that GHS-R1a agonists may prove beneficial as interventive agents[4].

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Receptors (GPCR-A8) Mas

The renin-angiotensin-system (RAS) constitutes an important hormonal system in the physiological regulation of blood pressure. The classic RAS can be defined as the ACE-Ang II-AT1R axis that promotes vasoconstriction, sodium retention, and other mechanisms to maintain blood pressure, as well as increased oxidative stress, fibrosis, cellular growth, and inflammation in pathological conditions. In contrast, the non-classical RAS composed of the ACE2-Ang-(1–7)-Mas receptor axis generally opposes the actions of a stimulated Ang II-AT1R axis through an increase in nitric oxide and prostaglandins and mediates vasodilation, inhibition of cell growth, anti-thrombosis and anti-arrhythmogenic effects, natriuresis, diuresis, and oxidative stress[1]. The Mas receptor is expressed in brain, testis, heart, and kidney, and is proven to be a Gq-coupled receptor that in early studies was suggested to be an angiotensin II (ANG II) receptor[2].

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Receptors (GPCR-A9) Neurokinin

The mammalian tachykinins are a group of neuropeptides that include substance P, neurokinin A (NKA; also known as tachykinin precursor 1) and neurokinin B (NKB; also known as tachykinin 3). These tachykinins are widely distributed in the central nervous system (CNS) but they have distinct expression patterns. The biological actions of substance P, NKA and NKB are mediated via the activation of G protein-coupled seven-transmembrane domain receptors designated as tachykinin receptor 1 (TACR1; also known as the NK1 receptor), TACR2 (also known as the NK2 receptor) and TACR3 (also known as the NK3 receptor), respectively. Both NK1 and NK3 receptors are widely distributed in the CNS, whereas the NK2 receptor is found in the smooth muscle of the gastrointestinal, respiratory and urinary tracts, but it has also been located in discrete regions of the rodent CNS. The development of drugs interacting with NK receptors has focused predominantly on the treatment of social anxiety disorders (SAD). Unfortunately, clinical trials with several NK1 antagonists showed inconsistent or no positive results for the treatment of SAD[1].

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Receptors (GPCR-A9) Melatonin

Melatonin is considered an important hormonal output of the circadian system mediating the entrainment of the circadian rhythms of several biological functions[1]. Besides, it is involved in numerous physiological processes including blood pressure regulation, oncogenesis, retinal physiology, seasonal reproduction, ovarian physiology, immune function and most recently in inducing osteoblast differentiation. It interacts at either of the two melatonin receptor subtypes (MT1 and MT2) present in humans and other mammals[2].
The MT1 subtype is present in high concentrations in the pituitary gland and the suprachiasmatic nuclei (SCN) of the hypothalamus, whereas the MT2 subtype is mainly present in the retina.

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Receptors (GPCR-A11) GPR40-related, P2Y purine

Free fatty acids (FFAs) are essential nutrients. An ever-increasing number of studies have demonstrated that FFAs are important signaling molecules as well, contributing to many cellular functions. FFAs have been found to activate several G protein–coupled receptors (GPCRs), which are named free fatty acid receptors (FFARs), including G protein–coupled receptor 40 (GPR40 aka FFAR1), GPR41 (FFAR3), GPR43 (FFAR2), GPR84, GPR119, and GPR120 (FFAR4)[1]. The FFAR's are a critical component of the body's nutrient sensing apparatus, and small molecule agonists and antagonists of these receptors show considerable promise in the management of obesity, dyslipidemia, and diabetes. Unlike the classic 'lock and key' relationship between receptors and their ligands, nutrient receptors are considered to be promiscuous in that they can be activated by a range of ligands[2]. GPR84 is activated by medium-chain FFAs (MCFAs) with 9-14 carbons and classified as A18 GPCR (and not class A11 as other FFARs). It is expressed mainly in the immune-related tissues, such as thymus, spleen, bone marrow, and peripheral blood leukocytes, and is significantly upregulated in monocytes/macrophages upon lipopolysaccharide (LPS) stimulation. In activated T cells, GPR84 has been found to regulate early interleukin 4 (IL-4) gene expression[3].
The potent effects of purines were first reported in 1929. The first purinoceptors were defined in 1978 only, while arguably, they are the most abundant receptors in living organisms and appeared early in evolution. Separate membrane receptors for adenosine (P1 receptors) and ATP (P2 receptors) were recognized in 1978 and, later, P2 receptors were divided into ionotropic P2X and metabotropic P2Y receptors on the basis of mechanism of action, pharmacology and molecular cloning[4]. P2X receptors are classical cationic ligand-operated channels that upon ATP binding open the pore permeable to Na+, K+ and Ca2+. They are trimers formed from individual subunits encoded by seven distinct genes (designated P2X1-7). Based on phylogenetic similarity, presence of amino acids important for ligand binding and selectivity of G-protein coupling, two distinct P2Y subgroups with a high level of sequence divergence are recognized: the P2Y1, P2Y2, P2Y4, P2Y6, and P2Y11 subgroup and the P2Y12, P2Y13, and P2Y14 subgroup. Receptors of the first subgroup principally use Gq/G11 to activate the phospholipase C/inositol triphosphate (InsP3) endoplasmic reticulum Ca2+-release pathway, whereas receptors of the second subgroup almost exclusively couple to Gi/o, which inhibits adenylyl cyclase and modulate ion channels[5]. P2Y receptors can be stimulated by a wider range of nucleotides such as ATP, ADP, UTP, UDP and UDP-glucose.
GPR109A is a Gi/Go protein-coupled receptor of the A11 subfamily of GPCR receptors. It is both a receptor for nicotinate (niacin or vitamine B3) and mediates the lipid-lowering actions of the vitamin, as well as it is a receptor for butyrate in the colon. Nicotinic acid and its derivative, e.g., Acipimox, have been used clinically in the treatment for hyperlipidemia. These substances are known to lower elevated plasma concentration of low-density lipoprotein (LDL), intermediate-density lipoprotein, very low-density lipoprotein (VLDL), triglycerides (TG), and lipoprotein Lp(a), and also increase plasma high density lipoprotein (HDL) concentrations, resulting in an improvement of mortality rate against coronary artery disease[6]. GPR109A expression in colon is induced by gut microbiota and is downregulated in colon cancer. GPR109A in immune cells plays a nonredundant function in niacin-mediated suppression of inflammation and atherosclerosis[7].

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Receptors (GPCR-A12) P2Y purine

The P2Y14 purinergic receptor is activated by the endogenous ligand UDP-glucose and other UDP-sugars. It is probably the most atypical P2Y receptor, which is distributed in the immune system, including in dendritic cells and the central nervous system. It has been implicated in extending the known immune system functions of P2Y receptors by participating in the regulation of the stem cell compartment, and it may also play a role in neuroimmune function[1].

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Receptors (GPCR-A13) Cannabinoid

Cannabinoids, which include the bioactive constituents of the marijuana plant Cannabis sativa, as well as endogenous lipids (endocannabinoids) and synthetic compounds with cannabinoid-like activity, interact with specific receptors to cause their effects on target tissues. To date, three receptors have been identified by molecular cloning; these are the transient receptor potential vanilloid type 1 receptor (TRPV1) ion channel, and the G protein-coupled receptors (GPCRs) CB1 and CB2. At the phylogenetic level, CB1 and CB2 are most related to the family of lipid receptors, formerly EDG receptors, which are activated by the sphingolipids sphingosine-1-phosphate (S1P) and lysophosphatidic acid (LPA). CB1 and CB2 are also lipid receptors, and recognize acylethanolamide analogues, typified by anandamide (arachidonoylethanolamide, AEA), and 2-arachidonoylglycerol (2-AG). TRPV1 is activated by various lipids including acylethanolamides such as AEA. Recently, two orphan GPCRs have emerged as candidate non-CB1/CB2 receptors. These are GPR119, which is reportedly a receptor for OEA, and GPR55, which is reportedly activated by various cannabinoids[1].
Whereas CB1 receptors are predominantly located in the brain, and are therefore responsible for the euphoric and anticonvulsive effects of cannabinoids, CB2 receptors can be found in the immune system and are believed to be responsible for the anti-inflammatory effect of cannabinoids[2]. Besides the general effects of cannabinoids already mentioned, multiple synthetic drugs interacting at CB receptors have proven a functional role for the treatment of obesity (Axon 1220, and analogues Axon 1218, Axon 1219, Axon 1713, and Axon 1714), or as analgesic (Axon 1497, Axon 1498, Axon 1522, and Axon 1523).
As stated earlier, Based on a phylogenetic analysis, the family of cannabinoid receptors should be separated into two different classes of the rhodopsin-like family of GPCRs. While CB1 and CB2 receptors share a group together with lysophospholipid (LPL) and melanocortin receptors (A13), the newly recognized GPR55, GPR119, (and GPR18) receptors are officially member of another group (A15), together with protein activated receptors (PAR) and other LPL receptors[3].

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Receptors (GPCR-A13) Lysophospholipid

Members of the family of Lysophospholipid receptors are GPCRs that are important for lipid signaling[1]. Their endogenous ligands encompass lysophosphatidic acid (LPA) and sphingosine 1-phosphate (S1P). The principal effects of LPA and S1P are growth related, including induction of cellular proliferation, alterations in differentiation and survival, and suppression of apoptosis. LPA and S1P also evoke cellular effector functions, which are dependent on cytoskeletal responses such as contraction, secretion, adhesion, and chemotaxis[2,3]. There are five S1PRs known to date, that activate different intracellular signaling pathways and differentially regulate endothelial cell function. S1PR1 couples to Gi and activates the phosphatidylinositol 3-kinase (PI3K) pathway, Rac, cortical actin assembly, and cell migration. In sharp contrast, S1PR2 antagonizes S1PR1-Gi-PI3K signaling in the endothelium through activation of the G12/13-Rho-Rho kinase (ROCK)-PTEN pathway. This implies that the balance between S1PR1 and S1PR2 signaling in a specific vascular bed will determine the endothelial responses to S1P[4].The classical S1PR1 ligand Fingolimod (FTY 720, Axon 1485) is known for its characteristics as an immunomodulating drug, approved for treating multiple sclerosis. Interestingly, recent studies indicated the ligand could also be a candidate therapeutic drug for the treatment of heart failure and arrhythmias by activation of the P21-activated kinase-1 (Pak1)[5].

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Receptors (GPCR-A14) Eicosanoid

Prostanoids are a subclass of eicosanoids consisting of the prostaglandins, the thromboxanes, and the prostacyclins. They are the cyclooxygenase metabolites of arachidonic acid, and include prostaglandin (PG) D2, PGE2, PGF2α, PGI2, and thromboxane A2[1]. Their activities are related to a diversity of endogenous processes such as inflammation, platelet aggregation, and vasoconstriction/relaxation. Prostanoid receptors belong to the large family of GPCRs, and can be grouped into three categories, based on the type of heterotrimeric G-protein activated by the different receptors, and thus the cellular response evoked (Gs (DP1, EP2), Gq (EP1), and Gi (EP3)).
Prostaglandin D2 (PGD2) is an acidic lipid mediator that is derived from arachidonic acid by the sequential action of cyclooxygenase(s) (COX) and PGD2 synthase(s). Arachidonic acid is converted by COX-1 and COX-2 in a two-step process to first PGG2 and then PGH2. These unstable endoperoxide intermediates are converted to PGD2 by either the haematopoietic or lipocalin PGD2 synthase. PGD2 is produced in the brain where it might be involved in the regulation of sleep and other central nervous system (CNS) activities, including pain perception. In peripheral tissues, the richest cellular source of PGD2 is the mast cell[2]. The CRTH2 receptor is an important mediator of the inflammatory effects of PGD2. Strikingly, it has low homology to the rest of the prostaglandin receptors, but high sequence homology to chemoattractant receptors such as the N-formyl peptide receptors and cysteinyl leukotriene receptors. The receptor is expressed on TH2 cells, but not on TH1 cells, and hence the name chemoattractant receptor-homologous molecule expressed on TH2 cells (CRTH2)[3].
The Prostacyclin (PGI_2) receptor , also termed the prostaglandin I2 receptor or just IP, is coupled to a guanosine nucleotide-binding α-stimulatory protein (Gαs). IP is found on a variety of cell types and exhibits broad physiological effects. PGI_2 regulates both the innate and adaptive immune systems and its effects are, for the most part, thought to be anti-inflammatory or immunosuppressive in nature. For a long time, PGI_2 has been understood to play a role in cardiovascular health, specifically having powerful vasodilatory effects via relaxation of smooth muscle and inhibiting of platelet aggregation[4].

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Receptors (GPCR-A15) Cannabinoid

Based on phylogenetic analysis, the family of cannabinoid receptors is separated into two different classes of the rhodopsin-like family of GPCRs. While CB1 and CB2 receptors share a group together with lysophospholipid (LPL) and melanocortin receptors (A13), the newly recognized GPR55, GPR119, and GPR18 receptors are officially member of another group (A15), together with protein activated receptors (PAR) and other LPL receptors[1].
Two orphan GPCRs have recently been implicated as novel cannabinoid receptors; these are GPR119, which has been proposed as a receptor for oleoylethanolamide, and GPR55 which has been proposed as a receptor activated by multiple different cannabinoid ligands.
GPR55 has been demonstrated to interact with chemically unrelated cannabinoid ligands, in both mammalian and non-mammalian recombinant expression systems, and by independent research groups. Clearly, there is some relationship between the ligand-binding sites of GPR55 and CB1/CB2; however, the endogenous agonist and physiological relevance of GPR55 are not yet clear. Studies have suggested that L-α-lysophosphatidylinositol (LPI), which activates GPR55 but not CB1 or CB2 receptors, could be its endogenous ligand. Conversely, cannabidiol (CBD) is a GPR55 antagonist[2].
GPR119 is strongly implicated in the regulation of energy balance and body weight. However, further corroborating data of the activity of acylethanolamides at GPR119 will be required before it can be regarded unequivocally as a cannabinoid receptor[3].

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Receptors (GPCR-A15) Proteinase activated

Proteinase-activated receptors (PARs), a family of four seven-transmembrane G protein-coupled receptors, act as targets for signaling by various proteolytic enzymes. PARs are characterized by a unique activation mechanism involving the proteolytic unmasking of a tethered ligand that stimulates the receptor. Given the broad spectrum of roles that PARs have in normal and pathological tissue function, these receptors are emerging as potential therapeutic targets for several diseases including arthritis, colitis, asthma, neurodegenerative conditions, tumor invasion and cardiovascular diseases. The proteolytic mechanisms that regulate PAR activity are more complex than initially anticipated. Thus, via a proteinase, a PAR can be: activated by a tethered ligand mechanism; disarmed for further activation by an activating proteinase; or activated via a non-canonical mechanism involving cleavage at a site distinct from the one that reveals the canonical tethered ligand sequence[1].

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Receptors (GPCR-A17) (nor-)Adrenaline

The classification of alpha and beta subtypes of the adrenergic receptor results from the diverse responses towards adrenergic stimulation. Epinephrine and norepinephrine are the primary adrenergic neurotransmitters. The receptors are part of the super family of metabotropic G-protein coupled receptors (GPCRs), and are often referred to as being responsible for the 'flight or fight response'. Activation of the alpha subtype generally results in vasoconstriction, whereas activation of the beta subtype leads to vasodilatation. While beta blockers are generally known for their management of cardiac arrhythmias, cardioprotection after myocardial infarction (heart attack), angina and hypertension (e.g. Axon 1159 (Celiprolol hydrochloride), and Axon 1518 (Timolol maleate))[1], in contrast, drugs interacting at the alpha adrenergic receptors are often used for a variety of medical disorders; e.g. for the treatment of hemorrhagic shock (Axon 1154, B-HT 933 dihydrochloride)[2], as an antidepressant, antidiabetic, or to prevent central neurodegenerative disorders (e.g. Axon 1155, Efaroxan hydrochloride)[3], or for the treatment of narcolepsy and sleep disorders (e.g. Axon 1296, Modafinil)[4].

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[3] Use of efaroxan and derivatives thereof for the treatment of Alzheimer's disease. F. Colpaert et al. US patent US4855385, 1989.
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Receptors (GPCR-A17) Dopamine

Dopamine receptors are widespread in the body of vertebrates, playing major roles in processes of the central nervous system, as well as in the periphery. In the CNS, dopaminergic neurons are critically involved in voluntary movement, memory, learning, sleep, attention, feeding, and rewarding, Well known examples of disorders as a result of malfunction of the central dopaminergic system are Parkinson's disease (loss of striatal dopaminergic innervations in the brain), schizophrenia, depression, ADHD, and addiction (among many others). In the periphery, dopamine plays important physiological roles in the regulation of olfaction, retinal processes, hormonal regulation, cardiovascular functions, sympathetic regulation, immune system, renal functions, and more[1]. Five major classes (D1-D5) have been identified thus far, which can be grouped into two sub classes. The group of D1-like receptors (members D1 and D5; all stimulating the second messenger system adenylate cyclase), and the group of D2-like receptors (members D2, D3 and D4; all inhibiting adenylate cyclase). As widespread and abundant as dopaminergic neurons are in the body of vertebrates, as comprehensive and diverse is the list of Axon Ligands™ interacting at all subtypes of dopaminergic receptors (selectively, or specific combinations).

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Receptors (GPCR-A17) Non Selective Dopamine/Serotonin

Most Axon Ligands™ in this category of compounds are labeled antipsychotic (typical, or atypical), since many of the common drugs to treat this class of mental disorders show affinity for both dopaminergic and serotonergic receptors (among several others). The first generation of antipsychotics (typical), developed in the 1950's consisted of mainly phenothiazines (chlorpromazine)[1], and butyrophenones (haloperidol)[2]. Though still considered benchmark antipsychotics[3], they are known for there unwanted side effects such as dry mouth, extra pyramidal side effects, and tardive dyskinesia[4]. The atypical antipshychotics, or second generation antipsychotics, are less likely to cause the afore mentioned side effects, and improve the quality of live compared to the typical antipsychotics. However, this class of drugs is also far from free of side effects[5]. Among them, many Clozapine (Axon 1146) analogues, Aripiprazole (Axon 1143), and Ziprazidone (Axon 1446).

Based on a phylogenetic analysis, the family of serotonin (5-HT) receptors should be separated into two different classes among the subgroup of biogenic amine receptors of the rhodopsin-like family of GPCRs. The GPCR-A17 class includes all 5-HT2 and 5-HT6 receptors, while 5-HT1, 5-HT4 5HT-5 and 5HT7 receptors form an individual class: GPCR-A19[6].

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Receptors (GPCR-A17) Serotonin

All members of the large family of serotonin receptors (5-HT1 – 5-HT7) are members of the large family of G-protein coupled receptors, except for the 5-HT3 receptor subtype, which is considered a ligand gated ion channel[1]. Serotonin receptors are abundantly present in the CNS, and in the periphery, predominantly in the gastrointestinal tract, and in the blood[2]. They are involved in a wide variety of processes, such as the regulation of mood, sleep, appetite, memory, and learning (CNS), but also in cardiovascular processes and the regulation of intestinal movements (periphery). Probably the best known examples of failure of serotonergic deregulation (low concentrations) in the brain are anxiety[3], schizophrenia[4], and depression, although recent publications put serious question marks near the serotonin hypothesis of depression, since direct proof of serotonin deficiency as the cause of depressions is still lacking[5]. For each member of the family of serotonin receptors, Axon Medchem can offer pharmacological standards with high quality, selectivity, and efficacy.
Based on a phylogenetic analysis, the family of serotonin (5-HT) receptors should be separated into two different classes among the subgroup of biogenic amine receptors of the rhodopsin-like family of GPCRs. The GPCR-A17 class includes all 5-HT2 and 5-HT6 receptors, while 5-HT1, 5-HT4 5HT-5 and 5HT7 receptors form an individual class: GPCR-A19[6].
The 5-HT6R is among the latest identified members of the 5-HT receptor family and is a particularly interesting receptor subtype because of its relatively low level of sequence homology (<50%) compared to other serotonin receptors. They include a short third cytoplasmatic loop and a long C-terminal tail, and one intron located in the middle of the third cytoplasmatic loop, as compared to most other serotonergic receptors. The 5-HT6R has shown enormous expectation as a drug target for the development of cognitive enhancers, based on localization, pharmacology, and behavioral data

accumulated[7]. Since cognition dysfunction is one of the primary manifestations of several neurodegenerative diseases such as Alzheimer's disease (AD), the localization of 5-HT6 receptors in brain areas involved in learning and memory processes has identified this receptor as a putative target for AD[8].
Being among the most recently discovered receptors for serotonin, the 5-HT7R is also one of the least well characterized. A physiological role for the 5-HT7 receptor within the central nervous has been clearly established in circadian rhythm regulation and in thermoregulation. The early finding that several antipsychotics and antidepressants have high affinity for the 5-HT7R, as well as its demonstrated presence in relevant regions of the brain, has prompted several preclinical studies evaluating the possible involvement of the 5-HT7 receptor in psychiatric disorders and other pathological processes of the nervous system. Interesting findings have also been made in studies focusing on learning and memory. Moreover, a role fo the 5-HT7R has also been suggested in neuroendocrine regulation. Possible functions in the periphery are mostly related to the presence of 5-HT7 receptors on smooth muscle cells. Thus, a role for the 5-HT7 receptor has been suggested in irritable bowel syndrome, the control of micturition, and in the reproductive system[9].

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[3] The Functional Anatomy, Neurochemistry, and Pharmacology of Anxiety. P.T. Ninan, J Clin Psychiatry 1999, 60, 12-17.
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[6] P. Joost, A. Methner. Phylogenetic analysis of 277 human G-protein-coupled receptors as a tool for the prediction of orphan receptor ligands. Gen. Biol. 2002, 3, 0063.
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Receptors (GPCR-A17) Trace Amine Associated

Iidentification of the trace amine-associated receptor 1 (TAAR1) provided evidence for a direct biological effect of so-called trace amines (TAs) such as p-tyramine (pTyr), β-phenylethylamine (PEA), octopamine, and tryptamine. These biogenic amines previously denoted as false neurotransmitters, are metabolites of amino acids with structural similarity to classical biogenic amines. Although they are only found at low concentrations in the brain, TAs have been implicated in a wide range of neuropathological disorders, including schizophrenia, major depression, anxiety states, Parkinson's disease, and attention deficit hyperactivity disorder. TAAR1, a member of the TAAR family, is a G protein-coupled receptor that signals through Gs to elevate intracellular cAMP levels in response to TAs. It is expressed throughout the limbic and monoaminergic systems, including the ventral tegmental area (VTA) and dorsal raphe nucleus (DRN) and has been implicated in the negative modulation of monoaminergic neurotransmission[1].

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Receptors (GPCR-A18) Acetylcholine, muscarinic

The class of transmembrane acetylcholine receptors can be divided into two main groups: the muscarinic (metabotropic) and the nicotinergic (ionotropic) receptors. This as a result of the specific binding affinities once determined of the two types of receptors for muscarine and nicotine respectively[1,2]. The latter type is classified as a ligand gated ion channel, since activation of this receptor allows sodium ions to enter a cells interior and potassium and/or calcium ions to exit (section Ion channels (Ligand gated, Cys-loop, cationic)[3]. Unlike the nicotinergic acetylcholine receptor, the muscarinic type is part of the family of G-protein coupled receptors. In general, muscarinic acetylcholine receptors are known to play highly important and diverse roles in many basic physiological processes including gastrointestinal, cardiovascular, motor, attention, learning, memory, pain, sleep, and other functions[4]. Four subtypes have been identified, localized and characterized (M1-M4), whereas a fifth member has been cloned (M5), yet its function has not been revealed completely[5,6]. In order to facilitate the study of this most recent addition to the family of mACh receptors, Axon Medchem offers VU 0238429 (Axon 1786) as a high affinity drug (EC_{50} of approximately 1.16 µM at M5) with >30-fold selectivity versus M1 and M3, and no M2 or M4 potentiator activity.
GPR84 is activated by medium-chain FFAs (MCFAs) with 9-14 carbons and classified as A18 GPCR (and not class A11 as other FFARs). It is expressed mainly in the immune-related tissues, such as thymus, spleen, bone marrow, and peripheral blood leukocytes, and is significantly upregulated in monocytes/macrophages upon lipopolysaccharide (LPS) stimulation. In activated T cells, GPR84 has been found to regulate early interleukin 4 (IL-4) gene expression[7].

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[7] J Wang et al. Medium-chain fatty acids as ligands for orphan G protein-coupled receptor GPR84. J Biol Chem. 2006 Nov 10;281(45):34457-64.

Receptors (GPCR-A18) Adenosine

Four subtypes of adenosine receptors (ARs) have been identified among vertebrates so far (A1, A2A, A2B and A3). These receptors all have a distinctive pharmacological profile, tissue distribution and effector coupling[1].
All four members are coupled to a G-protein (A1 and A3 subtypes to Gi, and A2 subtypes to Gs). As a result, stimulation of A1 and A3 subtypes in general results in neurotransmission through the inhibition of adenylate cyclase and phospholipase C, whereas stimulation of the A2 subtypes leads to enhanced neurotransmission. More specifically, A1 and A2A receptors play a role in regulating myocardial oxygen consumption and coronary blood flow. Besides, stimulation of the A1 receptor has a myocardial depressant effect by decreasing the conduction of electrical impulses and suppressing pacemaker cell function, resulting in a decrease in heart rate.
Recently, clinical evidence was found for the A3 receptor to be involved in rheumatoid arthritis, among other myocardial functions.[2] Interestingly, evidence is growing for a certain role of adenosine receptors in the field of oncology.[3]

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[3] Adenosine receptors and cancer. Gessi S, Merighi S, Sacchetto V, Simioni C, Borea PA. Biochim Biophys Acta. 2011, 1808, 1400-12.

Receptors (GPCR-A18) Histamine

Histamine exerts a range of effects on many physiological and pathological processes and new roles are still being elucidated. The best characterized roles of histamine are those in (allergic) inflammation, gastric acid secretion and as a neurotransmitter[1]. The four histamine receptors known to date (H1-H4) all belong to the large family of G-protein coupled receptors. Activation of the H1 receptor results in elevated levels of inositol phosphate through coupling to the Gq protein. These receptors are expressed on multiple cell types including endothelial cells and smooth muscle cells, where they

mediate vasodilatation and bronchoconstriction. Antagonists of H1 receptors have been used for many years in the treatment of allergic inflammatory responses. H2 receptors activate Gs (actually member of GPCR-A17 family) and increase cyclic AMP formation. They regulate various functions of histamine, including heart contraction, gastric acid secretion, cell proliferation and differentiation, and immune responses[2].
H3 receptors mediate their function through Gi/o proteins, leading to inhibition of cAMP formation, enhancing calcium mobilization and activating mitogen-activated protein kinases (MAPKs) and ion channels. Their activation stimulates the negative feedback mechanism that reduces central histaminergic activity. Besides, this subtype seems to play roles in cognition, sleep-wake status, obesity and (neuro-) inflammation[3].
Activation of the H4 receptor in primary cells appears to be mainly coupled to pertussis-toxin-sensitive Gi/o proteins, which signal through increases in intracellular calcium. Although there is still much work to be done to uncover the function of the H4 receptor, it has been implicated in mast cell, eosinophil and dendritic cell chemotaxis, as well as cytokine production from T cells and dendritic cells. The development of ligands selectively interacting at this receptor, such as JNJ 7777120 (Axon 1306), and JNJ 10191584 (Axon 1307) can play an important role in revealing the biological function of the most recent member of the family of histamine receptors[4].

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Receptors (GPCR-A19) Serotonin

All members of the large family of serotonin receptors (5-HT1 – 5-HT7) are members of the large family of G-protein coupled receptors and belong to a large family of rhodopsin-like biogenic amine receptors, except for the 5-HT3 receptor subtype, which is considered a ligand gated ion channel[1]. Based on a phylogenetic analysis, the family of serotonin (5-HT) receptors should be separated into two different classes among the subgroup of biogenic amine receptors of the rhodopsin-like family of GPCRs. The GPCR-A17 class includes all 5-HT2 and 5-HT6 receptors, while 5-HT1, 5-HT4 5HT-5 and 5HT7 receptors form an individual class: GPCR-A19[2].
Serotonin receptors are abundantly present in the CNS, and in the periphery, predominantly in the gastrointestinal tract, and in the blood[3]. They are involved in a wide variety of processes, such as the regulation of mood, sleep, appetite, memory, and learning (CNS), but also in cardiovascular processes and the regulation of intestinal movements (periphery).

Probably the best known examples of failure of serotonergic deregulation (low concentrations) in the brain are anxiety[4], schizophrenia[5], and depression, although recent publications put serious question marks near the serotonin hypothesis of depression, since direct proof of serotonin deficiency as the cause of depressions is still lacking[6].

[1] Neuronal 5-HT receptors in the perifery. J.R. Fozard. Neuropharmacology 1984, 23, 1473-1486.
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[6] Serotonin and Depression: A Disconnect between the Advertisements and the Scientific Literature. J.R. Lacasse, J. Leo. PLoS Med 2005, 2, e392.

Receptors (GPCR-B1) Calcitocin, CRF, Glucagon-like

The Secretin family is a small family of 15 GPCRs that all have an extracellular hormone-binding domain and bind peptide hormones. The members of this family are the calcitonin and calcitonin-like receptors (CALCR, CALCRL); the corticotropin-releasing hormone receptors (CRHR1, CRHR2); the glucagon receptor (GCGR); the gastric inhibitory polypeptide receptor (GIPR); the glucagon-like peptide receptors (GLP1R, GLP2R); the growth-hormone-releasing hormone receptor (GHRHR); the adenylate cyclase activating polypeptide receptor (PAC1/ADCYAP1R1); the parathyroid hormone receptors (PTHR1, PTHR2); the secretin receptor (SCTR); and the vasoactive intestinal peptide receptors (VIPR1, VIPR2). The Secretin receptors have a large potential as targets for further drug development owing to their importance in central homeostatic functions. GLP1R and GLP2R are particularly interesting because of their role in appetite regulation and in the treatment of type 2 diabetes[1]. The corticotropin releasing hormone receptor (CRF1, or CRHR1) is likely to be involved in mental disorders, and both infection and autoimmune disorders[2].
The calcitonin gene-related peptide (CGRP) is an alternative product of the calcitonin gene and was first described in 1982. It is a potent vasodilator with multiple reported pharmacological activities (e.g. treatment of migraine)[3].

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Receptors (GPCR-C) CaSR, GABA-B

The calcium-sensing receptor (CaSR), a receptor which senses extracellular levels of calcium ion, is also classified as a member of the family of class C GPCRs. The release of parathyroid hormone (PTH) is inhibited in response to elevations in plasma calcium concentrations and activation of the calcium receptor by activating the phospholipase C pathway, presumably through a Gqα type of G protein[1].
A second member of the class C family of GPCRs comprises the GABA-B receptors (GABAB1-3): metabotropic transmembrane receptors for gamma-aminobutyric acid (GABA) that are linked via G-proteins to potassium channels. They can stimulate the opening of K+ channels which brings the neuron closer to the equilibrium potential of K+, hyperpolarizing the neuron. This prevents sodium channels from opening, action potentials from firing, and VDCCs from opening, and so stops neurotransmitter release. Thus GABAB receptors are considered inhibitory receptors[2].

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Receptors (GPCR-C) Glutamate

The first metabotropic glutamate receptor was cloned in 1991 (mGluR1). . Since then, eight different genes encoding for mGlu receptors have been identified[1]. They can be divided into 3 groups, based on there coupling to the second messenger system of G-proteins: group I is coupled to Gq proteins (mGlu1 and mGlu5), group II (mGlu2 and mGlu3) and group III (mGlu4 mGlu6 and mGlu7) are both coupled to Gi proteins (the latter in recombinant systems), yet are activated primarily by different ligands (2R,4R-aminopiperidindicarboxylic acid and 2-amino-4-phosphonobutyrate respectively). Besides the metabotropic glutamate receptors, ionotropic glutamate receptors do exist as well. The receptors are named after a potent agonist for each receptor subtype (NMDA or N-methyl-D-aspartate, AMPA or alpha-amino-3-hydroxy-5-methyl-4-isoxazole-4-propionic acid, and kainate). Both metabotropic and ionotropic glutamate receptors show the ability to modulate the synaptic plasticity/strength in response to activity which seems a fundamental property of the nervous system and may be an essential component of learning and memory[2]. As a result, the malfunctioning of glutamate receptors (often due to excitotoxicity or overstimulation) is often linked to neurodegenerative diseases such as Alzheimer's, Huntington's and multiple sclerosis. Besides classical agonists and antagonists of glutamate receptors (binding to a specific binding site), many positive allosteric modulators (PAM) and negative allosteric modulators (NAM, e.g.: recently added Axon 1972) have been identified to interact at this type of receptors. These compounds are inactive on their own, but potentiate or attenuate respectively the action of orthosteric (inverse) agonists[3]. Recent advances in the research for treatment of Parkinson's disease have implied that stimulation of the metabotropic glutamate receptor 4 (mGluR4) represents a promising new approach to the symptomatic treatment of this neurodegenerative disorder. Our recently added PAMs of the mGluR4 (Axon 1830, Axon 1842, and Axon 1845) may contribute to this research.

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Receptors (GPCR-F) Smoothened

Smoothened (SMO) is a G-protein coupled receptor protein encoded by the SMO gene of the Hedgehog (Hh) pathway. Most often SMO functions during embryonic development, in processes such as digit patterning in the chick limb bud and left–right asymmetry of vertebrate embryos. In addition, Smo function is also fundamental for the maintenance of tissue homeostasis in adults, and deregulated Smo signaling is implicated in tumorogenesis[1]. Hh ligands signal through binding to the membrane receptor Patched (Ptc) to reverse the Ptc-mediated inhibition of signaling by the trans-membrane protein Smoothened (Smo). This allows Smo to activate the intracellular signaling components, resulting in stabilization of down-stream transcriptional activator(s) and activation of target genes[2].

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Receptors: Nuclear

The nuclear receptor superfamily describes a related but diverse array of transcription factors (nuclear hormones). Upon activation by glucocorticoids, mineralocorticoids, sex steroids (estrogen, progesterone, and androgen), thyroid hormones, or vitamin D3, the nuclear receptors can bind a highly specific DNA sequence[1]. As a result, they regulate the expression of adjacent genes, thereby controlling the development, homeostasis, and metabolism of the organism. In the human genome, 48 different nuclear receptors are encoded, which can be classified into 6 evolutionary groups, based on their sequence alignment and phylogenetic tree[2]. All NR proteins exhibit a characteristic modular structure that consists of five to six domains of homology on the basis of regions (A-F) of conserved sequence and function. The DNA-binding domain (DBD, region C), absent in DAX-1 and SHP, and the ligand-binding domain (LBD; region E) are the most highly conserved domains. These two regions are the most important and can function independently.

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[2] Overview of Nomenclature of Nuclear Receptors. P. Germain et al. Pharmacol Rev 2006, 58, 685-704.

Receptors (Nuclear, Class 1) Thyroid Hormone Receptor-like

Retinoic Acid receptors (class 1B, RARs, α, β, γ) are nuclear receptors related to the steroid and thyroid hormone Receptors, a family of proteins that function as ligand-dependent transcription factors. The RARs show spatially restricted distribution patterns during embryogenesis, which have led to speculation on a variety of roles for retinoic acid (RA) in developmental processes. These receptors are retained in the nucleus regardless of the ligand binding status and in addition bind as hetero-dimers (usually with RXR) to DNA. RAR/RXR heterodimers regulate the transcriptional activation of primary RA target genes through binding to DNA-response elements termed RA response elements (RAREs)[1].
A second member of this family of nuclear receptors consists of peroxisome proliferator-activated receptors (class 1C, PPAR, α-δ). They play essential roles in the regulation of cellular differentiation, development, and metabolism (carbohydrate, lipid, protein), and tumorigenesis of higher organisms. The clinical importance of PPARs originates with fibrates and thiazolidinediones (TZDs), which respectively act on PPAR-α and PPAR-γ. They are used to ameliorate hyperlipidemia and hyperglycemia in subjects with type 2 diabetes mellitus. More recently, proof was found that PPARs also contribute to the regulation of certain physiological activities of the prostacyclin (PGI2) system in cardiovascular tissues[2].
The Liver X receptor-like (LXR) family of NRs hosts 3 members, including the Farnesoid X receptor (FXR). High expression of LXRα is restricted to spleen, liver, adipose tissue, intestine, kidney and lung whereas LXRβ is expressed in all tissues examined. Upon ligand-induced activation both isoforms form obligate heterodimers with the retinoid X receptor (RXR) and regulate gene expression through binding to LXR response elements (LXREs) in the promoter regions of the target genes. Identification of oxysterols as endogenous LXR ligands pointed to a role for these receptors in regulating expression of genes involved in cholesterol homeostasis, and lead to the hypothesis that activation of these receptors might have an antiatherosclerotic effect[3]. The FXR, besides a key regulator of cholesterol homeostasis like the LXRs, is also involved in triglyceride synthesis and lipogenesis[4]. Since the recognition that FXR has a significant role in the

regulation of bile acids, numerous efforts have been undertaken to search for and design potent and selective FXR agonists.
Vitamin D is involved in mineral and bone homeostasis, immune responses, anti-inflammation, anti-infection, and cancer prevention. Vitamin D deficiency is a critical factor in the pathology of at least 17 varieties of cancer, as well as autoimmune diseases, diabetes, osteoarthritis, periodontal disease, and more. Vitamin D receptor (VDR) is a nuclear receptor that mediates most biological functions of 1,25(OH)2D3 or vitamin D3, the active form of vitamin D. VDR is highly expressed in metabolic tissues, such as intestine, kidney, skin, and thyroid gland, and moderately expressed in nearly all tissues. Activation of VDR signaling affects many processes, including calcium metabolism, apoptosis, immunity, and autophagy. Upon activation, the VDR binds to vitamin D response elements (VDREs) located in promoter regions of target genes, thereby controlling the transcription of at least 913 genes in human SCC25 cells[5].
Thyroid hormone (TH aka triiodothyronine or T3) exerts a pleiotropic effect on development, differentiation, and metabolism through thyroid hormone receptors (TR or THR)[6]. In part because of associated hypercholesterolemia, hypothyroidism is associated with increased rates of atherosclerosis, while excessive levels of TH can lead to adverse effects, particularly in heart and bone. The beneficial metabolic effects of TH are mediated by the thyroid hormone receptor β isoform (THR-β, the predominant liver TH receptor), while the adverse heart and bone effects are primarily due to the interaction of TH with the THR-α[7].

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Receptors (Nuclear, Class 2) Retinoid X Receptor-like

Vitamin A and its derivatives, retinoids, have profound effects in development, differentiation, homeostasis and various aspects of metabolism. The discovery of retinoid receptors substantially contributed to understanding how these small, lipophilic molecules, most importantly retinoic acid (RA), exert their pleiotropic effects. After the identification of the all-trans retinoic acid receptor (ATRA), another receptor termed retinoid X receptor (RXR) was discovered, that was capable of mediating retinoid signaling pathways. Most importantly, RXR was shown to form heterodimers with many other nuclear receptors, making it unique among the members of the nuclear receptor family. The two families of retinoid receptors (RARs and RXRs) now consist of three isotypes, α, β, and γ, encoded by separate genes and giving rise to numerous alternatively spliced variants[1]. Bexarotene (Axon 1700) is an oral antineoplastic RXR antagonist developed for the treatment of cutaneous T cell lymphoma originally. Recently, it has been reported that bexarotene is also capable of reducing amyloid plaque and improving mental functioning in a small sample of mice engineered to exhibit Alzheimer's-like symptoms. It is hypothesized that this is mediated by Bexarotene-stimulated expression of apolipoprotein E (ApoE), which leads to intracellular clearance of β-amyloid[2].
HNF4 is a member of the nuclear hormone receptor family of transcription factors. It binds DNA as a homodimer and, although initially believed to be an orphan receptor, its activity may be modulated by the binding of fatty acyl-CoA thioesters. The existence of a ligand for HNF4 has been somewhat controversial, but linoleic acid (LA) has been identified as the endogenous ligand of native HNF4 expressed in mouse liver. In addition, HNF4 is acetylated, phosphorylated, and can bind SMADS 3 and 4, suggesting that its activity may be controlled by multiple pathways. HNF4 generally acts as a positive transcriptional regulator of many hepatocyte genes and can rescue the expression of liver genes in dedifferentiated hepatoma cell lines[3].

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Receptors (Nuclear, Class 3) Estrogen Receptor-like

The third class of nuclear receptors includes estrogen and estrogen-related receptors, as well as the 3-ketosteroid receptors (progesterone (PR), androgen (AR), gluco- and mineralocorticoid (GR and MR, respectively) receptors).
Estrogen is a key regulator of growth, differentiation and function in a broad range of target tissues, including the male and female reproductive tracts, mammary gland, bone, brain and the cardiovascular system. The biological effects of estrogen are mediated through estrogen receptors α and β (ERα, β). The classical mechanism of activation of ERs depends on ligand binding to the receptors, after which the receptors dimerize and bind to estrogen response elements

(EREs) located in the promoters of estrogen-responsive genes. ERs may also regulate gene expression in the absence of DNA-binding by modulating the activities of other transcription factors via protein-protein interactions on DNA. This mechanism is referred to as cross-talk and is common for several nuclear receptors[1]. As the name implies, the family of estrogen receptor-related receptors (ERRs) is a subfamily of the orphan nuclear receptors, which is closely related to the estrogen receptor (ER) family, and comprises three subtypes (ERRα-γ). Sequence analyses comparing all the class 3 NRs have shown that both ERs and ERRs together form the same branch of class 3, which recognizes a specific hormone response element (HRE), whereas the other four steroid receptors (PR, AR, GR, and MR) recognize a different, yet specific HRE, thereby forming another branch[2].

The progesterone receptor (PR) is a progestin-activated steroid receptor with two subtypes known to date (A and B). It plays a central role in diverse reproductive events associated with establishment and maintenance of pregnancy, alveolar development in the breast and sexual behavior. PR dysfunction has been associated with cancer (ovarian cancer, breast cancer, endometrial cancer, prostate cancer), metabolic disorders (progesterone resistance, obesity, osteoporosis), cardiovascular defects (aortic aneurysm), neurological defects (migraine, vertigo) and reproductive conditions (endometriosis, infertility)[3]. Noteworthy, Org OD-2 (Axon 2085) is a selective agonist of the membrane bound progesterone receptor (mPR). Although mPRs typically consist of 7-TM domains, mPRs do not belong to the large family of GPCRs, nor do they belong to the family of nuclear PRs, even though they are sharing the same endogenous ligand.[4]

Androgens ((dihydro-) and testosterone) are the male sex hormones that belong to the steroid hormone family. They are mainly produced in testes, ovaries and adrenals. In early life, testicular androgens induce differentiation processes that lead to the development of the male phenotype. During adulthood, androgens remain essential for the maintenance of the male reproductive function, as well as a number of gender-dependent parameters like bone and muscle mass, hair growth and behavior. The androgen receptor (AR), holds a specific position within the group of steroid receptors, since several selective androgen response elements (selAREs) have been described that are not recognized by the other 3-ketosteroid receptors[5].

Corticosteroid receptors include mineralocorticoid (MR) and glucocorticoid (GR) receptors. They play a crucial role in the regulation of a variety of physiological processes, including reproduction, metabolism, salt balance, inflammation, and immunity. Cortisol is the principal glucocorticoid that induces the transcriptional activities of both the GR and MR, whereas the mineralocorticoid aldosterone also activates only MR, but not GR[6].

The MR belongs to the cytosolic receptor family where the ligand diffuses into cells, interacts with the receptor and results in a signal transduction affecting specific gene expression in the nucleus. The GR, however, upon activation may up-regulate the expression of anti-inflammatory proteins in the nucleus or repress the expression of pro-inflammatory proteins in the cytosol by preventing the translocation of other transcription factors from the cytosol into the nucleus. In the absence of hormone, the MR and GR reside in the cytosol complexed with a variety of proteins including heat shock protein 70 and 90 (hsp70, hsp90), high-mobility group box proteins (HMGBs), and FKBP52 (FK506-binding protein 52)[7].

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Receptors (Nuclear, Class 4): Orphan

NR4A family orphan nuclear receptors are an important class of transcription factors for development and homeostasis of dopaminergic neurons that also inhibit expression of inflammatory genes in glial cells[1]. Nur77 (NGIF-B/NR4A1), Nurr1 (NOT/NR4A2), and NOR-1 (MINOR/NR4A3) form a family of orphan nuclear receptors with a highly conserved DNA-binding domain and COOH-terminal ligand-binding domain, but minimal homology in their NH_2-terminal region. Nurr1 is an atypical member of the NR superfamily, which are primarily ligand-activated receptors, which regulate gene expression via recognition of specific DNA-binding sequences. Nurr1 is important for dopaminergic neuron function via regulation of tyrosine hydoxylase expression. Preliminary reports suggest a role for Nurr1 in rheumatoid arthritis and cancer through modulation of apoptosis[2].

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Receptors: Sigma

Based on the ligand selectivity in the receptor binding assay as seen in different tissues, the sigma receptor was found to consist of two subtypes, the sigma-1 and sigma-2 receptors. The incorrect early assumption that the sigma receptors would be members of the family of opioid receptors has been declined since the early 1990's[1]. After many years of

research, now it has been revealed that the sigma-1 receptor is a unique ligand-regulated molecular chaperone in the endoplasmic reticulum of cells[2]. Evidence was found that the most prominent action of sigma-1 receptors in biological systems is the regulation and modulation of voltage-regulated and ligand-gated ion channels, including Ca(2+)-, K(+)-, Na(+), Cl(-), and SK channels, and NMDA and IP3 receptors. Stimulation of the receptor by sigma-1 agonists causes inhibition of all above-mentioned voltage-gated ion channels, while on the other hand it potentiates ligand-gated channels[3]. In contrast, little is known to date of the sigma-2 receptor which is still to be cloned. Activation of the receptor seems to cause apoptosis[4].

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Receptors: Miscellaneous

For example, mPRs are 7-TM proteins expressed on the plasma membranes of cells and bind progestins in a specific, displaceable, high affinity, limited capacity manner, characteristic of steroid membrane receptors and activate G-proteins in several cell types. The mPRs however, do not belong to the GPCR superfamily, nore do they belong to the family of nuclear receptors N3RC like the progesterone receptor (PR). In contrast, mPRs are members of the progestin and adipoQ receptor (PAQR) family. Noteworthy, the Axon ligands™ DiMNF and Stemregenin 1 (1935 and 1865, respectively) modulating the activity of the aryl hydrocarbon receptor (AHR) are listed and discussed in the section of transcription factors as well, since their biological target has been defined as a class 1 transcription factor[1].
Adiponectin is an adipokine with anti-inflammatory and insulin-sensitizing properties. Clinically, circulating levels of adiponectin are reduced in obesity and type II diabetes, whereas weight loss elevates serum adiponectin. Candidate adiponectin receptors, AdipoR1 and AdipoR2, were initially identified by expression cloning and reported to mediate the insulin-sensitizing actions of adiponectin. These proteins are predicted to have seven transmembrane domains with the opposite topology of GPCRs. Both AdipoR1 and AdipoR2 are reported to be ubiquitously expressed with the highest expression in skeletal muscle and liver, respectively. In addition to its metabolic role, adiponectin has been shown to be associated with various clinical cardiovascular disorders including myocardial infarction, peripheral artery disease, and endothelial dysfunction[2].
VLA-4 (very late antigen 4,3 α4β1-integrin, CD49d/CD29) plays a major role in the regulation of immune cell recruitment to inflamed endothelia and sites of inflammation. It is expressed on the cellular surface of mononuclear leucocytes: eosinophils, basophils, lymphocytes and monocytes, and mediates cell–cell adhesion to vascular cell adhesion molecule-1 (VCAM1) on the endothelium[3]. VLA-4 thus participates in antigen presenting cell-lymphocyte interactions, retention and mobilization of immature progenitors in the bone marrow, cancer cell trafficking, metastasis, and other events[4]. In recent years, VLA-4 antagonists have shown great promise in treating inflammatory disorders in a number of animal models[5].
Nucleotide-binding oligomerization domain (NOD)-like receptors (NLRs) are the intracellular pattern recognition receptors (PRRs) that trigger innate immunity and provide protection to the host against invading pathogens. NLRs are divided into three major subgroups: NALP (N-terminal, nucleotide-binding oligomerization domain [NACHT], leucine-rich repeat [LRR], and PYD-containing proteins), NOD (NACHT, LRR, and caspase activation and recruitment domain [CARD]-containing proteins), and NAIP (neuronal apoptosis inhibitor proteins). The NOD subgroup constituted of five receptor proteins, of which NOD1 is a cytosolic signaling host PRR comprising of the CARD at the central NACHT domain and a series of LRR domains at the C-terminal that recognize the pathogen-associated molecular patterns (PAMPs) and activates downstream signaling. Upon ligand recognition and binding, the downstream signaling adaptor molecule receptor-interacting serine/threonine kinase (RICK) is recruited which results in NF-κB phosphorylation and induction of cytokine gene expression[6].
Thrombopoietin (TPO) was shown to be the major regulator of megakaryocytopoiesis and platelet formation. Its receptor (TpoR aka CD110 or c-mpl) is homologous with members of the hematopoietic receptor superfamily, and has two extracellular cytokine receptor domains and two intracellular cytokine receptor box motifs. It can be found found on megakaryocyte precursor cells megakaryocytes, and platelets, as well on stem cells and early bone marrow progenitor cells of all lineage. TPO affects late maturation only of megakaryocytes and platelets but is required to maintain the viability of stem cells and precursors of all lineages[7]. Upon binding to thrombopoietin, the receptor undergoes dimerization that results in a number of signal transduction events (JAK/STAT, and MAPK signaling pathway, among other pathways)

that prevent apoptosis, improve cell viability, promote growth, and possibly increase differentiation. In addition, binding to the receptor provides the major mechanism by which thrombopoietin is removed from the circulation by platelets and possibly megakaryocytes[8].
Mammalian Toll-like receptors (TLRs) comprise a large family consisting of at least 11 members, a class of proteins that play a key role in the innate immune system. The cytoplasmic portion of this family of transmembrane receptors shows homology with the cytoplasmic domains of Drosophila Toll and the IL-1 receptor family, and is termed a Toll/IL-1 receptor (TIR) domain[9]. Despite this similarity, the extracellular portions of both types of receptors are structurally unrelated. TLRs bear leucine-rich repeats (LRRs) in the extracellular domain, critical for recognition of the microbial components derived from pathogens including bacteria, fungi, protozoa and viruses. Specifically, TLR3 is implicated in the recognition of double-stranded RNA (dsRNA) from virus, degraded bacteria, damaged tissues and necrotic cells[10] and results in TRIF-dependent activation of IRF-3 and NF-κB[11].

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Proteins

This section lists all Axon Ligands™ that interact with proteins that do not show enzymatic activity or transduce signals by any signaling pathway mechanism. Among the pharmacological tools listed here are ligands that interact with transporters of any kind, proteins that affect intra- and/or extracellular structures, transcription factors, and proteins that assist enzymes without showing catalytic activity by itself.

Proteins: Auxiliary

Nucleophosmin (NPM, also known as B23, numatrin1 or NO38) is able to bind to many partners in distinct cellular compartments, including nucleolar factors, transcription factors, histones, proteins involved in cell proliferation (for example, DNA polymerase-alpha), mitosis, (for example, NUMA and NEK2A) and the response to oncogenic stress (for example, ARF and p53). NPM also associates with both DNA and RNA, and it has been reported to have endoribonuclease activity to ribosomal RNA (rRNA). Furthermore, it forms complexes with the second messenger PIP3 in the nucleus in response to anti-apoptotic factors. NPM takes part in various cellular processes, such as the regulation of cell growth, proliferation and transformation, the transport of pre-ribosomal particles and ribosome biogenesis, the response to stress stimuli, the maintenance of genomic stability through the control of cellular ploidy and the participation in DNA-repair processes, and the regulation of DNA transcription through modulation of chromatin condensation and decondensation events. NPM is also involved in regulating the activity and stability of crucial tumor suppressors such as p53 and ARF. Its expression rapidly increases in response to mitogenic stimuli, and increased amounts of the protein are detected in highly proliferating and malignant cells. NPM [1].

α-Synuclein (α-Syn) is a 140-amino acid protein, which is encoded by the gene SNCA. α-Syn was first isolated from the cholinergic neurons of *Torpedo californica*. The protein localizes only to synaptic vesicles and portions of the nucleus, hence the name synuclein. Three additional synuclein family members have been identified and are named β-syn, γ-syn, and synoretnin. Only α- and β-syn are expressed in the mammalian brain[2]. α-Synuclein is a typical intrinsically disordered protein, but can adopt a number of different conformational states depending on conditions and cofactors. These include the helical membrane-bound form, a partially-folded state that is a key intermediate in aggregation and fibrillation, various oligomeric species, and fibrillar and amorphous aggregates.Although the normal function (or functions) of α-syn remains unknown, its localization at presynaptic terminals, its association with the distal reserve pool of synaptic vesicles and the deficiencies in synaptic transmissions observed in response to knockdown or overexpression of α-syn suggest that α-syn has a role in the regulation of neurotransmitter release, synaptic function and plasticity[3]. Human genetics has indicated a causal role for the protein α-synuclein in the pathogenesis of familial Parkinson's disease (PD). In both sporadic and dominant familial forms of PD and related neurodegenerative disorders α-Syn is misfolded and deposited within insoluble protein aggregates, which are robustly expressed within Lewy bodies (LBs). This accumulation of misfolded α-synuclein is widely recognized as a hallmark of multiple forms of neural degeneration[4,5].

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Proteins: Matrix

Cellular matrices embed specialized molecular structures that typically provide structural and biochemical support to the surroundings. This section is lists pharmacologically active compounds that influence the formation of e.g. microtubules or affect cell-cell adhesion interactions, among many others. Individual sections are created for Axon Ligands™ that affect the extracellular matrix, and ligands that interfere with molecular structures within cells.

Proteins (Matrix) intracellular

Microtubules are non-covalent cytoskeletal polymers found in all eukaryotic cells that are involved in mitosis, cell motility, intracellular transport, secretion, the maintenance of cell shape and cell polarization. They are polarized structures composed of α- and β-tubulin heterodimer subunits assembled into linear protofilaments. Microtubules typically consist of 12 or 13 protofilaments aligned in parallel with the same polarity [i.e., one end at which there is a rapid assembly of tubulin (plus end) and the opposite end at which slow assembly or even disassembly occurs (minus end)][1]. Most microtubules occur as single tubes and form cellular structures such as the mitotic spindle and the interphase network[2]. The properties of microtubules depend on the tubulin isoforms they are made up of — there are three α-tubulins (α1, α2 and α4) and five beta-tubulins (βI, βII, βIII, βIVa and βIVb) — and on how they have been altered by various forms of

post-translational modification. Post-translational modifications of tubulin subunits mark subpopulations of microtubules and selectively affect their functions[3]. Microtubule associated proteins offer the potential for new targets for anticancer agents, as they have diverse functions including some actions that stabilize the microtubule, others that are involved in tubulin dissociation, and additional proteins that act as motor proteins to transport substances along the microtubule. This class of anti-cancers agents inhibits cell mitosis by binding to the protein tubulin in the mitotic spindle and preventing polymerization or depolymerization into the microtubules[4].
Formins participate in the assembly of the actin and microtubule cytoskeletons in processes like cell division, migration, and development. The mammalian Diaphanous-related (mDia) formin family of Rho-effector proteins generates linear actin filaments (F-actin) and modulates microtubule dynamics to support the establishment and maintenance of polarity in cells. These structural changes occur in response to demands during developmental and immunologic processe. Defects in formin genes are associated with an array of human diseases including inherited deafness, autism, and kidney disease[5]. Diaphanous-related formins (DRF) contain an N-terminal GTPase-binding domain (GBD) and a C-terminal diaphanous autoregulatory domain (DAD). DRFs are regulated by an autoinhibitory interaction of the C-terminal DAD with the DRF N-terminal armadillo repeat-like region in the DID or GBD/FH3 domain. This autoinhibition is released upon competitive binding of an activated Rho GTPase to the GBD. The release of DAD allows the catalytical formin homology 2 (FH2) domain to then nucleate and elongate nonbranched actin filaments[6].

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Proteins (Matrix) extracellular

In order for cells to function, they must be properly supported, having contacts with neighboring cells and/or the extracellular matrix ECM). The ECM provides much of the structural support available to parenchymal cells in tissues. The primary proteins present in the ECM and indeed the entire body are the collagens, a family of proteins with at least 29 members. They share a common structural motif of helical fibrils formed by three protein subunits. Another cytoplasmic protein, β-Catenin, plays essential roles in two different cellular processes: calcium-dependent intercellular adhesion and Wnt-mediated transcriptional activation. For cell–cell adhesion, β-catenin binds the cytoplasmic domain of cadherin adhesion receptors along with the actin binding protein, α-catenin, to bridge the extracellular adhesive activity of cadherins with the underlying actin cytoskeleton. This cadherin-bound pool of β-catenin ultimately form the so-called adherens junctions and serves to link the cytoskeletal networks of adjacent cells, which is considered essential for normal tissue architecture and morphogenesis[1,2].
Aggregation of extracellular amyloid-β (Aβ) is thought to play a major part in the pathogenesis of Alzheimer's disease. Amyloid plaques form when levels of the monomeric, soluble Aβ peptide build up in the extracellular interstitial fluid (ISF) in the brain. Caprospinol (Axon 1442) has the ability to bind Aβ42, prevent β-amyloid aggregation, and block the formation of Aβ42 oligomers, thereby protecting organisms against β-amyloid (Aβ42)-induced neurotoxicity[3].
Aggrecan is a proteoglycan, and it possesses a core protein with covalently attached sulfated glycosaminoglycan (GAG) chains. Within the extracellular matrix aggrecan occurs only in the form of proteoglycan aggregates. The GAG chains provide aggrecan with its high anionic charge whereas aggregation endows it with a large size. Both the charge and size properties are essential for normal aggrecan function and hence articular cartilage function[4]. As a structural proteoglycan, aggrecan appears to be important in mediating chondrocyte-chondrocyte and chondrocyte-matrix interactions[5].
The extracellular matrix of connective tissues represents a complex alloy of variable members of diverse protein families defining structural integrity and various physiological functions. Collagen is the major protein of the extracellular matrix (ECM) and is the most abundant protein found in mammals. It acts as a structural scaffold in tissues. The central feature

of all collagen molecules is their stiff, triple-stranded helical structure. So far, 26 genetically distinct collagen types have been described[6]. Collagen and collagen-derived fragments control many cellular functions, including cell shape and differentiation, migration, the synthesis of a number of proteins, and it is a key component of a healing wound[7].
Lectins are carbohydrate-binding proteins that can recognize various carbohydrates attached to proteins and lipids, known as glycoconjugates, on cell surfaces and extracellular matrices. Galectins are a family of proteins first identified as galactoside-binding lectins in extracts of vertebrate tissue, and all share a common amino acid sequence, the carbohydrate recognition domain (CRD). They are known to perform a high diversity of functions inside the cells and in the extracellular space: they are regulators of cell cycle, inflammation, immune responses, cancer progression, cell adhesion, cell signalling events and so on. Regarding their overall structure galectins are clustered in three families: prototype galectins consisting of one CRD, chimera-type galectins with one CRD and a non-lectin domain (galectin-3), and tandem-repeat galectins which have two different CRDs linked by a short peptide[8]. Different galectins are specific for different oligosaccharides, as they differ in their ability to accommodate certain saccharides attached to galactose[9]. Evidence has accumulated that Galectin-1 and galectin-3 are also implicated in cancer cell proliferation, invasion and tumour angiogenesis. Galectin-1 is overexpressed in tumour cells and tumour-associated endothelial cells. Upregulation has been linked with poor clinical prognosis and metastases development in a wide range of malignancies[10].
Dystrophin or utrophin are associated with integral and peripheral membrane proteins that can be classified as the dystroglycan complex (DGC): a multimeric protein assembly that links the extracellular matrix to the actin cytoskeleton. The DGC mediates three major functions: structural stability of the plasma membrane, ion homeostasis, and transmembrane signaling. The DGC is critical for integrity of muscle fibers by linking the actin cytoskeleton to the extracellular matrix (ECM), and has been studied in the context of muscle dystrophies and cardiomyopathies for this[11]. In patients suffering from Duchenne muscular dystrophy (DMD), the gene encoding the dystrophin protein shows mutations, resulting in the absence or very low levels of this protein. Utrophin shows sequence and structural similarity to dystrophin and can functionally compensate for the lack of dystrophin under these conditions. However, utrophin does not anchor nNOS to the sarcolemma, which is a requirement to regulate blood flow to the muscle and to ensure that all of its metabolic needs are met[12].

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Proteins: Regulator

Although the mechanisms of action of a number of Axon Ligands™ remain ambiguous, they can significantly affect biological processes of various kinds. While interacting with regulator proteins in this section, they may have therapeutic applications as their target proteins play a role in the regulation and/or facilitation of processes within the cell, without having enzymatic or transporting properties by themselves. Additionally, their mode of action may not have been elucidated in great detail, yet evidence has been found for a certain role in, for example: signaling pathways, apoptosis, or stem cell differentiation.

Calmodulin (CaM) is a ubiquitous regulatory protein that communicates the presence of calcium to its molecular targets and correspondingly modulates their function. This key signaling protein is important for controlling the activity of hundreds of membrane channels and transporters[1]. CaM contains two globular domains each containing a pair of helix-loop-helix Ca^{2+}-binding sites (EF-hands). Upon Ca^{2+} binding, conformational transitions in calmodulin are induced that changes its affinity to target proteins[2]. One example of the proteins function being regulated by CaM is the family of auqaporins (AQPs): water channels that facilitate the flux of water molecules across membranes. Regulation of the water permeability by CaM is achieved through a Ca^{2+}-dependent interaction between Ca^{2+}-CaM and the cytoplasmic C-terminal domain of the AQP[3].

Avridine (Axon 2099), for example, is a lipoidal amine with interferon-inducing and adjuvant properties specifically related to Newcastle disease[4]. What's more, although avridine is a synthetic non-immunogenic adjuvant, it is also known to induce arthritis in rats in a predictable and T-cell dependent way. However, the mechanism of action by which this Avridine Induced Arthritis (AvIA) is triggered, or acts as adjuvant of the Newcastle disease antigen has not been elucidated in detail[5].

Shz-1 (Axon 1701) has the ability to mediate stem cell differentiation for the treatment of myocardial infarction and heart failure. It triggers cardiac mRNA and protein expression of the signature gene Nkx2.5, one of the earliest lineage-restricted genes to be expressed in cardiovascular progenitor cells, in a variety of embryonic and adult stem/progenitor cells, including human mobilized peripheral blood mononuclear cells (M-PBMCs)[6]. The exact mechanisms of gene activation and stem cell differentiation remain unclear.

The vertebrate-specific clarin (CLRN) protein family is characterized by four transmembrane-domains, conserved sequence motifs and a single glycosylation consensus site between TM1 and TM2.[7] A mutation in the clarin-1 gene is related to Usher syndrome 3A, which is an autosomal recessive disorder, characterized by progressive loss of hearing and vision.[8]

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Proteins (Regulator) Cell Cycle

B cell-specific Moloney murine leukemia virus integration site 1 (BMI1) is considered a stem cell factor: a regulator protein of the Polycomb Group of multimeric protein complexes that is reported to regulate the proliferation activity of normal, stem, and progenitor cells[1]. BMI1 plays a role in cell cycle, cell immortalization, and senescence, and is associated with a number of human malignancies where its expression is frequently upregulated. Unfortunately, there is an enormous body of evidence suggesting that increased expression of BMI1 could facilitate chemoresistance, and BMI1 is positively correlated with poor prognosis in cancer patients[2]. In healthy cells, BMI1 controls self-renewal and cell cycle by regulating the tumor suppressor proteins p16INK4a and p14ARF in cells. BMI1 contains a conserved ring finger domain in its N terminal end and a central helix-turn-helix-turn-helix-turn motif (H-T-H-T), which is essential for inducing telomerase activity. Additionally, BMI1 contains two nuclear localization signals, KRRR and KRMK[3].

RPA has been referred to by multiple names in the literature including replication protein A, replication factor A (RFA), and human or HeLa single stranded DNA-binding protein (HSSB). It is a protein of heterotrimer composed of three tightly associated subunits of ~70, 32, and 14 kDa (referred as to RPA70, RPA32, and RPA14, respectively) that binds nonspecifically to ssDNA and interacts with and/or modifies the activities of multiple proteins. It is required for multiple processes in eukaryotic DNA metabolism, including DNA replication, DNA repair, and recombination. RPA is found to be potentially involved in cell cycle checkpoints and DNA damage checkpoints, and may have a role in modulating gene expression[4]. In cells, RPA is phosphorylated by DNA-dependent protein kinase when RPA is bound to single-stranded DNA (during S phase and after DNA damage)[5].

The SMN protein is a 294–amino acid polypeptide that is expressed in all metazoans and in all cell types of vertebrates and forms part of a large protein complex, the SMN complex. This complex is composed of the SMN protein and 7 additional proteins, Gemin 2-8, and is essential for the biogenesis of spliceosomal small nuclear ribonucleoproteins and likely functions in the assembly, metabolism, and transport of a diverse number of other ribonucleoproteins, neuronal pathfinding, formation and function of neuromuscular junctions, myoblast fusion and maintenance of muscle architecture. Mechanistically, The SMN complex directly recognizes and binds to both the protein and the RNA components of the ribonucleoproteins and facilitates their interaction, thereby ensuring a strict specificity of the small nuclear ribonucleoprotein assembly process. Mutations in the human survival motor neuron 1 (SMN) gene are the primary cause of spinal muscular atrophy (SMA), a devastating neuromuscular disorder, recognized as the most prevalent genetic cause of early childhood mortality[6,7].

Transforming acidic coiled-coil (TACC), an evolutionally conserved protein family, has been shown to be involved in the process of mitotic spindle is assembly by the coordinated action of centrosomes and kinetochore microtubules, ultimately enhancing microtubule polymerization. TACC3 was originally identified within a translocation breakpoint region that was associated with multiple myelomas, and subsequent studies have indicated that it is aberrantly expressed in various cancers, and thus considered to be a potential molecular target for cancer chemotherapy[8].

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Proteins (Regulator) Growth factors

Midkine (MDK) is a heparin-binding growth factor that is highly expressed in many malignant tumors. MDK activates the PI3K pathway and induces anti-apoptotic activity, in turn enhancing the survival of tumors. Therefore, the inhibition of MDK is considered a potential strategy for cancer therapy. Midkine (MDK) and the related heparin-binding growth associated molecule (HB-GAM)/Pleiotrophin (Ptn) are widely expressed in healthy cells as well, and are involved in a wide range of biological processes. Originally identified as retinoic acid inducible genes, midkines are widely expressed during embryogenesis with particularly high levels in the developing nervous system. During postnatal stages, midkine expression generally ceases but is often up-regulated under disease conditions, most notably those affecting the nervous system. Midkines are known as neurotrophic factors, as they promote neurite outgrowth and neuron survival in cell culture. In addition, several studies reported that MDK and Ptn acted as survival factors for neurons and that this activity was mediated through inhibition of apoptosis by modulating the MAPK pathway. Evidence was found that inhibition of MDK or its putative receptor anaplastic lymphoma kinase (Alk) results in reduced proliferation and induced apoptosis, hence further supporting the role of MDK as survival factor for neurons and a crucial factor for neurogenesis in vivo.[1]

[1] C. Winkler et al. The midkine family of growth factors: diverse roles in nervous system formation and maintenance. Br. J. Pharmacol. 2014, 171, 905-912.

Proteins (Regulator) BCL2

BCL-2 family proteins have been studied intensively for their importance in the regulation of apoptosis, tumorigenesis and cellular responses to anti-cancer therapy. BCL-2 family members have classically been grouped into three classes. One class inhibits apoptosis (BCL-2, BCL-XL, BCL-W, MCL1, BCL-B (also known as BCL-2L10) and A1 (also known as BCL-2A1), whereas a second class promotes apoptosis (BAX, BAK and BOK (also known as MTD)). A third divergent class of BH3-only proteins (BAD, BIK (also known as BLK or NBK), BID, HRK (also known as death protein-5 (DP5)), BIM (also known as BOD), BMF, NOXA and PUMA (also known as BBC3)) has a conserved BH3 domain that can bind and regulate the anti-apoptotic BCL-2 proteins to promote apoptosis. Simultaneous over-expression of the anti-apoptotic Bcl-2 and the proto-oncogene myc may produce aggressive B-cell malignancies including lymphoma[1]. ABT-199 (Axon 2141), is a so-called BH3-mimetic drug designed to block the function of the Bcl-2 protein, on patients with chronic lymphocytic leukemia[2].

[1] J.E. Chipuk et al. The BCL-2 family reunion. Mol Cell. 2010, 37, 299-310.
[2] R.J. Youle, A. Strasser. The BCL-2 protein family: opposing activities that mediate cell death. Nat. Rev. Mol. Cell Biol. 2008, 9, 47-59.

Proteins (Regulator) IAP

An important part of the apoptotic machinery are the inhibitor of apoptosis protein (IAP) family, regulating caspase activity, cell division or cell survival pathways through binding to their baculovirus AIP repeat (BIR) domains and/or by their ubiquitin-ligase RING zinc finger (RZF) activity. IAPs are also involved in immunity, inflammation, cell cycle and migration[1]. The human IAP family consists 8 members known to date: NAIP (neuronal apoptosis inhibitory protein; BIRC1), cIAP1 and cIAP2 (cellular inhibitor of apoptosis 1 and 2; BIRC2 and BIRC3, respectively), XIAP (X-chromosome binding IAP; BIRC4), survivin (BIRC5), BRUCE (Apollon; BIRC6), livin (BIRC7) and Ts-IAP (testis-specific IAP; BIRC8). Increased IAP expression was found in variety of human cancers, including hematological malignancies, such as leukemias and B-cell lymphomas. A correlation between the progression of those diseases and high levels of survivin or XIAP has been reported. Thus, targeting IAPs with small-molecule inhibitors by their antisense approaches or natural IAP antagonist mimetics, may be an attractive strategy of anti-cancer treatment.[2]

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Proteins (Regulator) L3MBTL

Histone lysine methylation has emerged as a key post-translational modification (PTM) implicated in both gene activation and silencing depending on the site and methylation degree of PTM, however the mechanisms involved are complex and not well understood. To date, seven different histone lysine residues have been identified as functionally relevant sites of methylation (K4, K9, K27, K36 and K79 of histone H3, K20 of histone H4 and K26 of histone H1b). Each of these lysine residues can be mono-, di- or tri-methylated, often with functional consequences[1]. L3MBTL3 is a member of the MBT (malignant brain tumor) family of methyl-lysine (Kme) reader proteins, a chromatin-interacting transcriptional repressor that functions as a mediator of protein-to-protein interactions. MBT domains selectively recognize mono- and dimethyllysine versus unmethylated and trimethylated lysine and have been functionally associated with repression of gene expression, and their misregulation has been shown to contribute to various disease phenotypes. Some of the human MBT proteins are known to be part of larger chromatin-remodeling complexes. Recently, a family-wide systematic analysis of MBT-histone interactions was reported, suggesting that some MBT domains recognize Kme histone peptides in a sequence-selective fashion, whereas others, such as L3MBTL3, are more promiscuous[2].

[1] Y. Guo et al. Methylation-state-specific recognition of histones by the MBT repeat protein L3MBTL2. Nucl. Acids Res. 2009, 37, 2204-2210.
[2] L.I. James et al. Discovery of a chemical probe for the L3MBTL3 methyllysine reader domain. Nat. Chem. Biol. 2013, 9, 184-191.

Proteins (Regulator) WDR5

The WD40 protein WDR5 is a core subunit of the human MLL and SET1 (hCOMPASS) histone H3 Lys4 (H3K4) methyltransferase complexes[1]. WDR5 consists of 334 amino acids and contains seven typical WD40 repeat domains, each approximately 40 amino acids, adopting a seven-bladed beta-propeller fold. It has been proposed that WDR5 is the component of the MLL complex that interacts directly with dimethylated H3K4 and is required for transition to trimethylation by the MLL complex. More recently, however, It has been demonstrated that yeast CPS30 and its mammalian homolog, WDR5, are required for complex assembly and that no H3K4 methylation is observed in their absence, indicating that WDR5 is central for complex assembly and activity. WDR5 plays important roles in developmental events, transcriptional regulation, and leukemogenesis[2]. Interestingly, it has been revealed that WDR5 was not only localized in the nucleus, but also abundantly localized in the cytoplasm, and hypothesized to play a role in viral infections[3].

[1] R.C. Trievel et al. WDR5, a complexed protein. Nat Struct Mol Biol. 2009 Jul;16(7):678-80.
[2] M. Wu et al. MLL1/WDR5 complex in leukemogenesis and epigenetic regulation. Chin J Cancer. 2011 Apr; 30(4): 240–246.
[3] Y Y. Wang et al. WDR5 is essential for assembly of the VISA-associated signaling complex and virus-triggered IRF3 and NF-kappaB activation. Proc Natl Acad Sci U S A. 2010 Jan 12; 107(2):815-20.

Proteins (Regulator) BRD

Acetylation of lysine residues is a post-translational modification with broad relevance to cellular signaling and disease biology. Enzymes that 'write' (histone acetyltransferases, HATs) and 'erase' (histone deacetylases, HDACs) acetylation

sites are an area of extensive research in current drug development. The principal readers of ε-N-acetyl lysine (Kac) marks are Bromo and extra terminal (BET) proteins (BRD2, BRD3, BRD4 and BRDT; EC 2.7.11.1), which are in turn transcriptional regulators required for efficient expression of several growth promoting and anti-apoptotic genes as well as for cell cycle progression[1]. Moreover, they have an important role in the targeting of chromatin-modifying enzymes to specific sites. Often they act with other protein-interaction modules to guarantee a high level of targeting specificity for these essential enzymes[2].

[1] PFI-1 - A highly Selective Protein Interaction Inhibitor Targeting BET bromodomains. S. Picaud et al. Cancer Res. 2013, 73, 3336-3346.
[2] Bromodomains as therapeutic targets. S. Muller, P. Filippakopoulos, S. Knapp. Expert Rev. Mol. Med. 2011, 13, e29.

Proteins (Regulator) BRPF1

BRPFs (bromodomain and PHD finger-containing proteins) are multidomain proteins of the Trithorax group (TrxG): regulatory proteins composed of diverse, evolutionary conserved units that form chromatin-associated complexes accounting for epigenetic transcriptional memory. Three BRPFs are known to date, sharing >65% homology in their ~100 amino acid counting sequences, all sharing an acetylated lysine (KAc) recognition site that closely resembles other bromodomains, including those of the BETs[1]. BRPF1 (also known as Br140 and Peregrin) is a component of complexes containing the MOZ/MORF transcriptional coactivators, that links the catalytic HATs to the other subunits ING5 and hEAF6. Furthermore, BRPF1 contains PHD fingers, a bromodomain and a PWWP domain[2]. It has been shown that BRPF1 has a central role during development, since mutations have shown to display anterior transformations of pharyngeal arches due to progressive loss of anterior Hox gene expression. What's more, translocations of MOZ are associated with aggressive subtypes of leukemia, and make BRPF1 an interesting target in oncology related research[3].

[1] E.H. Demont et al. 1,3-Dimethyl Benzimidazolones Are Potent, Selective Inhibitors of the BRPF1 Bromodomain. ACS Med Chem Lett. 2014 Sep 10;5(11):1190-5.
[2] K. Laue et al. The multidomain protein Brpf1 binds histones and is required for Hox gene expression and segmental identity. Development. 2008 Jun;135(11):1935-46.
[3] L. You et al. The chromatin regulator brpf1 regulates embryo development and cell proliferation. J Biol Chem. 2015 May 1;290(18):11349-64.

Proteins (Regulator) TRAIL

Tumor necrosis factor–related apoptosis-inducing ligand (TRAIL) is a member of the TNF family and a powerful inducer of apoptosis in a wide range of human cancer cell lines via proapoptotic death receptor 4 (DR4; TRAIL-R1) and death receptor 5 (DR5; TRAIL-R2). The induction of apoptosis is accomplished via FADD/DISC/caspase-8 signaling in several cell types including neurons and oligodendroglia. This pathway is important in the pathogenesis of adult stroke, trauma, infection and multiple sclerosis (MS), but there is limited information available with respect to the involvement of TRAIL and its receptors in the demise of immature neurons, such as in neonatal Hypoxia-ischemia (HI).
In humans, four membrane bound and one soluble receptor for TRAIL have been identified. On contrast with DR4 and DR5, DcR1 (TRAIL-R3), DcR2 (TRAIL-R4) and the soluble osteoprotegerin (OPG) lack functional death domains and are considered to function as decoy receptors.[1]

[1] A. Kichev et al. Tumor necrosis factor-related apoptosis-inducing ligand (TRAIL) signaling and cell death in the immature central nervous system after hypoxia-ischemia and inflammation. J. Biol. Chem. 2014, 289, 9430-9439.

Proteins (Regulator) Ferroptosis

The oncogenic RAS-selective lethal small molecule erastin triggers a unique iron-dependent form of non-apoptotic cell death termed *ferroptosis.* Ferroptotic death is morphologically, biochemically and genetically distinct from apoptosis, various forms of necrosis, and autophagy. This process is characterized by the overwhelming, iron-dependent accumulation of lethal lipid ROS. Unlike other forms of apoptotic and non-apoptotic death, this requirement for ROS accumulation appears to be universal.
The specific role of iron in ferroptosis is yet unclear. Ferroptosis cannot be explained by a simple increase in H_2O_2-dependent, iron-catalyzed ROS production (i.e. Fenton chemistry), as H_2O_2-induced death is distinct from RSL-induced ferroptosis[1]. Glutathione (GSH) peroxidase 4 (GPX4) is a crucial inhibitor of ferroptosis, and its activity relies on GSH levels. Despite a clear mechanistic overlap between oxytosis and ferroptosis, including the dependence on inhibition of the system X_c^- Cys/Glu antiporter, a decrease in GSH levels and the presence of lipid peroxidation, ferroptosis seems to depend mainly on iron instead of calcium signaling[2].

[1] S.J. Dixon et al. Ferroptosis: an iron-dependent form of nonapoptotic cell death. Cell. 2012, 149, 1060-1072.
[2] T. Vanden Berghe et al. Regulated necrosis: the expanding network of non-apoptotic cell death pathways. Nat. Rev. Mol. Cell Biol. 2014, 15, 135-147.

Proteins: Transcription Factors

Transcription factors (TFs) are key cellular components that control the first step of gene expression, the transcription of DNA into RNA sequences. By ensuring the correct expression of specific genes, the transcriptional regulatory system plays a central part in controlling many biological processes, ranging from cell cycle progression and maintenance of intracellular metabolic and physiological balance, to cellular differentiation and developmental time courses. TFs may be constitutively active or conditionally active. The most common classification of TFs is based on the structure of their DNA-binding domains. Grouping TFs by structural domain has been extremely useful in uncovering how they recognize and bind specific DNA sequences, as well as providing insights into their evolutionary histories. Moreover, in some instances the DNA-binding domain provides clues to their function[1]. A comprehensive classification recognizes four superfamilies with well-defined structural homology: basic domains TFs (1), Zinc-coordinating domains TFs (2), helix-turn-helix domains TFs (3), and beta-scaffold domains with Minor Groove Contacts TFs (4). Additionally, a fifth family of orphan TFs exists for which no superclass assignment can be done yet because of lack of structural information[2].

[1] J.M. Vaquerizas et al. A census of human transcription factors: function, expression and evolution. Nat. Rev. Genetics 2009, 10, 252-263.
[2] P. Stegmaier, A.E. Kel, E. Wingender. Systematic DNA-binding domain classification of transcription factors. Genome Inform. 2004, 15, 276-286.

Proteins (Transcription Factors) class 1

Transcription factors with basic DNA-binding domains, including Leucine zipper (bZIP), Helix-loop-helix (bHLH), hybrid (bHLH-ZIP), NF-1, RF-X and bHSH factors[1].

[1] P. Stegmaier, A.E. Kel, E. Wingender. Systematic DNA-binding domain classification of transcription factors. Genome Inform. 2004, 15, 276-286.

Proteins (Transcription Factors) class 2

Superfamily of transcription factors with Zinc-coordinating DNA-binding domains, including Cys4 zinc finger domain containing TFs, such as the nuclear receptors for steroids and thyroid hormones, Cys2His2 zinc finger domain TFs, Cys6 cysteine-zinc cluster TFs and other zinc finger domain containing TFs[1].

The GLI genes, GLI1 and GLI2, are are zinc finger transcription factors that regulate target genes at the distal end of the canonical Hedgehog (HH) signaling pathway (SHH- > PTCH- > SMO- > GLI). They play a role in normal cellular processes of embryogenesis, tissue patterning, and differentiation. Being oncogenes, both GLI1 and GLI2 can induce transformation and tumorigenesis, and are constitutively activated in many types of human cancers. Oncogenic pathways, including KRAS/BRAF that occur in high frequency in colon cancer, circumvent the canonical HH-GLI axis by converging on and further driving GLI to a higher activating state in tumor cells, promoting cellular proliferation, tumor progression and survival[2].

[1] P. Stegmaier, A.E. Kel, E. Wingender. Systematic DNA-binding domain classification of transcription factors. Genome Inform. 2004, 15, 276-286.
[2] R Zhang et al. Targeting GLI by GANT61 involves mechanisms dependent on inhibition of both transcription and DNA licensing. Oncotarget. 2016 Dec 6;7(49):80190-80207.

Proteins (Transcription Factors) class 3

Transcription factors ot the helix-turn-helix (HTH) superclass constitute a particularly large and heterogeneous family of transcription factors, and comprise 6 subclasses, characterized by the presence of a homeo domain, a paired box, a fork head / winged helix domain, heat shock factors (HSFs), tryptophan clusters, or a transcriptional enhancer factor (TEA) domain[1]. As stated earlier, grouping transcription factors (TFs) by structural domain has been extremely useful. In some instances the DNA-binding domain provides clues to their function. Homeodomain-containing TFs (>250 discovered) are often associated with developmental processes, and those in the interferon regulatory factor family are generally associated with triggering immune responses against viral infections The homeodomain in DNA is defined by a 180 bp homeobox region encoding a helix–turn–helix DNA-binding[2]. Nkx2.5 is an important member of the family of homeobox-containing TFs. This transcription factor functions in heart formation and development. Mutations in this gene cause atrial septal defect with atrioventricular conduction defect, and also tetralogy of Fallot, which are both heart malformation diseases[3].

Transcriptional activation of the heat shock response is orchestrated by heat shock factor 1 (HSF1), which rapidly translocates to *hsp* genes and induces their expression. Vertebrates have evolved a family of four HSF members, HSF1-4. HSF1 is constitutively expressed in most tissues and cell types and appears to be regulated primarily through posttranslational mechanisms. In addition to elevated temperatures or hyperthermia, HSF1 is activated by oxidative stress, heavy metals, and bacterial and viral infections, as well as by small-molecule modulators[4].

The POU domain family of transcription factors (TF class 3.1.10.5) regulates developmental processes ranging from specification of the early embryo to terminal differentiation. About half of these factors display substantial affinity for an 8 bp DNA site termed the octamer motif, and are hence known as Oct proteins[5]. Oct4 (Pou5f1) is a well-known Oct factor, with varied and essential roles in development and a key regulator for ESC pluripotency. Reduced expression of Oct4 results in differentiation of ESCs into trophectodermal cells, and ovexpression of Oct4 leads to differentiation of ESCs along the mesodermal and primitive endodermal lineages[6].

Forkhead box (Fox) proteins are a family of evolutionarily conserved transcriptional regulators defined by a common DNA-binding domain (DBD) termed the forkhead box or winged helix domain[7]. The transcription factor FOXM1 (TF class 3.3.1) specifically binds to sequence-specific motifs on DNA (C/TAAACA) and activates proliferation- and differentiation-associated genes critical to mitotic spindle assembly, chromosome segregation and G2/M transition, with depletion leading to cell cycle arrest. Aberrant upregulation of FOXM1 has been shown to be a key driver of cancer progression and has been proposed as an initiating factor of oncogenesis. Furthermore, FOXM1 overexpression has been implicated in the development of chemotherapeutic resistance in human breast cancer[8].

The tryptophan clusters iwthin the family of HTH containing transcription factors comprise several tryptophan residues with a spacing of 12-21 amino acid residues; the subclass of myb-type DNA-binding domains typically exhibit a spacing of 19-21 amino acid residues. The ETS family (E26 transformation-specific), a group of 29 transcription factors containing tryptophan clusters, can be divided in 12 subfamilies which all share the feature that they bind a central GGA(A/T) DNA sequence. Many ETS-domain transcription factors are known to represent nuclear targets of signalling pathways. In particular, the MAPK pathways have been linked with a diverse series of regulatory events that involve ETS-domain proteins[9]. The closely related TFs ERG and ETS variant 1 (ETV1) are frequently found to be involved in protein fusions causing, or playing a crucial role in, prostate cancer[10], among others, where they are often dysregulated by genomic derangement. ETV1 is an ETS factor gene that undergoes chromosomal translocation in prostate cancers and Ewing's sarcomas amplification in melanomas, and lineage dysregulation in gastrointestinal stromal tumors. ETV1 is phosphorylated downstream of mitogen-activated protein kinase (MAPK) signaling, which enhances its protein stability. In addition, the histone acetyltransferase (HAT) p300 binds and acetylates ETV1 at lysine residues, leading to increased protein half-life and enhanced transcriptional activity[11]. ETV1 targets MMP7, MMP13, FKBP10 and GLYATL2 genes, among several others[12].

The endothelial transcription factor ERG (another member of the ETS family of TFs) drives expression of vascular endothelial (VE)-cadherin and controls junctional integrity in angiogenesis. During mammalian embryogenesis, ERG is first expressed in endothelium and later in the kidney, urogenital tract and hematopoietic cells. The embryonic activation pattern of ERG is relevant to oncogenesis, since ERG transcription is specifically strongly upregulated in prostate cancer epithelial cells, and in prostate endothelial cells as well. This may provide an example of oncogenic reactivation of an embryonic transcription factor[13].

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Proteins (Transcription Factors) class 4

This superfamily of transcription factors with β-scaffold DNA-binding domains with minor groove contacts comprises 11 subclasses: RHR, STAT, p53, MADS box, β-Barrel α-helix transcription factors, TATA binding proteins, HMG-box, Heteromeric CCAAT factors, grainyhead, Cold-shock domain factors, and Runt[1]. Late SV40 Factor (LSF), also known as alpha-globin transcription factor CP2 (TFCP2), functions as part of the SSP (stage selector protein) complex, and binds a variety of cellular and viral promoters including fibrinogen, alpha-globin, SV40 and HIV-1 promoters[2].

Sex-determining Region Y (SRY) box 9 (SOX-9; TF 4.7.1) is a member of a highly conserved family of transcription factors defined by their similarity to the high mobility group DNA-binding domain of SRY (HMG-box family). It is crucial for multiple aspects of development, such as regulating the production of extracellular matrix (ECM) cartilage and cell proliferation, among others[3]. SOX9 is also expressed in a wide range of cancers, where it regulates cell proliferation. Functionally, SOX-9 knockdown impairs cell proliferation in glioma cell lines, induces the cell arrest in G2/M phase of cell cycle and enhances the apoptosis in glioma cells. The inhibition of its activity mediates the impaired cell cycle progression and reduced cell invasion induced by miR-145 tumor suppressor[4].

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Proteins (Transcription Factors) coactivators

Mediating the functional connection between transcription factors and the general transcription apparatus are the coactivators. Coactivator refers to a protein or protein complex that increases the rate of transcription by interacting with transcription factors but does not itself bind to DNA in a sequence-specific manner. Peroxisome proliferator–activated receptor-γ coactivator-1α (PGC-1α). PGC-1s are proteins that enhance the transcriptional activity of transcription factors through direct protein-protein interactions. PGC-1α serves as an inducible coregulator in the control of energy homeostasis, and its expression is induced rapidly by physiological conditions known to increase the demand for mitochondrial ATP production such as cold exposure, exercise, and fasting. It has been shown to regulate adaptive thermogenesis, mitochondrial biogenesis, glucose and fatty acid metabolism, the peripheral circadian clock, fiber-type switching in skeletal muscle, and heart development.[123]

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Proteins: Transporters

Four fundamentally different classes of membrane-bound transport proteins exist in organisms: ion channels; transporters; aquaporins; and ATP-powered pumps. Transport proteins serve the function of moving other materials within an organism. Basically, there are two different types of transport proteins: those that carry molecules to "distant" locations (within a cell or an organism), and those that serve as gateways, carrying molecules across otherwise impermeable membranes[1]. One example of a specific transporter that plays a key role in the metabolism of many organisms is the sodium dependent glucose co-transporter (SGLT), for example. A protein highly abundant in kidneys, that serves renal glucose reabsorption, and therefore is of high interest as a target for the treatment of diabetes[2] (for example SGLT2 inhibitor Remogliflozin (Axon 1634)).
Aquaporins (AQP) are integral membrane proteins that serve as channels in the transfer of water, and in some cases, small solutes across the membrane. Structural analyses of the molecules have revealed the presence of a pore in the center of each aquaporin molecule. In mammalian cells, more than 10 isoforms (AQP0-AQP10) have been identified so far. They are differentially expressed in many types of cells and tissues in the body[3]. Aquaporin 4 (AQP4) has been identified in a wide variety of tissues, including brain, lung, intestine, muscle, and kidney. It is highly expressed in the peri-vascular and subpial endfeet of glial cells, as well as in smaller amounts along the peri-neuronal membranes, and is presumed to play a vital role in maintaining homeostatic water balance across the blood–brain barrier. Furthermore, its

presence as the primary water transporter in the human brain has led to considerable interest in better understanding its roles in human physiology and pathology[4].

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Proteins (Transporters) Neurotransmitters

Intercellular communication in the central nervous system requires the precise control of the duration and the intensity of neurotransmitter action at the specific receptors. After they have been released at the synapse, neurotransmitters activate pre- and/or postsynaptic receptors. To terminate synaptic transmission, neurotransmitters can, in turn, be inactivated by either enzymatic degradation or active transport into neuronal and/or glial cells by neurotransmitter transporters[1]. Reuptake inhibitors of neurotransmitters have a direct effect on extracellular concentrations of neurotransmitters in the synapses, and therefore influence neurotransmission.
Glutamate transporters control the glutamate homeostasis in the central nervous system. Until now, five subtypes of high-affinity glutamate transporters (excitatory amino acid transporters, EAATs 1–5) have been identified that belong to the solute carrier 1 (SLC1) family of transmembrane proteins. These EAATs are secondary-active transporters, taking up glutamate into the cell against a substantial concentration gradient. EAAT1 and EAAT2 are predominantly but not exclusively expressed in glial cells; for example, EAAT2 is also expressed in mammalian retina[2] and the most abundant glutamate transporter found in the brain and, by some estimates, accounts for ~90% of the total glutamate uptake in the brain[3].
The serotonin norepinephrine reuptake inhibitors (SNRIs) are dual action antidepressants that inhibit thereuptake of both serotonin (5-hydroxytryptamine) andnorepinephrine (noradrenaline). SNRIs are a useful alternative to SSRIs and are often used in patients with anxiety disorders, following a partial response or non-response to SSRI treatment[4]. In fact, SNRIs are widely considered to be the first choice for antidepressant therapy: dual-action antidepressants may provide a faster speed of onset and higher rates of remission than the older TCAs and MAOIs while avoiding their intolerable side effects. However, the SNRIs are not side-effect free; venlafaxine is associated with an increased risk of sustained hypertension, especially at high doses[5].

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Proteins (Transporters) ABC

The ATP-binding cassette (ABC) transporter family (ATP-dependent pumps) consist of ubiquitously membrane-bound proteins, present in all prokaryotes, as well as plants, fungi, yeast and animals. These pumps can move substrates in (influx) or out (efflux) of cells, using the favorable chemical energy of ATP hydrolysis to translocate molecules across membranes in a thermodynamically unfavorable direction[1]. In mammals, ABC transporters are expressed predominantly in the liver, intestine, blood-brain barrier, blood-testis barrier, placenta and kidney. Besides, the nucleotide binding domain (NBD or ATP binding cassette), these transporters also contain trans-membrane domains (TMDs), each of which comprises several hydrophobic α-helices. The ABC transporter core unit consists of four domains, two NBDs and two TMDs. The two NBDs together bind and hydrolyze ATP (thereby providing the driving force for transport), while the TMDs participate in substrate recognition and translocation across the lipid membrane[2]. To date, 48 different ABC transporters have been identified in the human genome, divided into seven different classes (A–G; ABC1, MDR/TAP, MRP, ALD, OABP, GCN20, White) based on sequence similarities[3]. The p-glycoprotein (PGP, P-gp) and the breast cancer resistance protein (BCRP) both are members of this large family of transporters.
P-gp is known as the multidrug resistance protein 1 (MDR1), or cluster of differentiation 243 (CD243), and transports neutral and cationic hydrophobic compounds across the cell membrane to the cells exterior. It is expressed in only a limited number of tissues with barrier function, including epithelia of the liver, kidney, small and large intestine and capillary endothelial cells in brain, ovary, and testis. As P-gp is one of the important proteins involved in multidrug resistance of tumors, extensive research has been undertaken to find drugs that can reverse the resistance.

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Proteins (Transporters) Glucose

One specific form of transport that plays a key role in the metabolism of many organisms is that of glucose. It involves membrane bound glucose transporters (GLUT or SLC2A) and sodium-dependent glucose co-transporters (or sodium-glucose linked transporters, SGLT). The latter (SGLT), for example, is a protein highly abundant in kidneys, that serves renal glucose reabsorption, and therefore is of high interest as a target for the treatment of diabetes[1] (for example SGLT2 inhibitor Remogliflozin (Axon 1634)). SGLTs are secondary-active cell-membrane co-transporters, driven by the Na^+/K^+-ATPase pump, which actively extrudes sodium across the basolateral membrane, in conjunction with the inward transfer of specific hexose sugars or some other molecules against their concentration gradient from the small intestine and kidney (SGLT1 and SGL2 respectively). SGLTs should not be confused with facilitated glucose transporters (GLUTs) that mediate passive transfer of glucose across cell membranes down a concentration gradient. However, as in both the intestine and kidney, the two different types of transporters can operate in tandem: SGLTs transfer glucose into the cell across the luminal membrane whereas GLUTs transfer glucose out of the cell across the basolateral membrane[2].

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Proteins (Transporters) Ions

Sodium proton exchangers (NHEs) constitute a large family of polytopic membrane protein transporters found in organisms across all domains of life. They work by exchanging extracellular sodium or lithium ions for intracellular protons. In animal cells, they are linked to a variety of physiological roles with the most important being regulation of intracellular pH and cell volume. To date nine isoforms (NHE1-9) have been identified in the human NHE family. The Na^+/H^+ exchanger isoform 1 (NHE-1) has a multitude of important and specific tasks and its basic role of maintaining intracellular pH and cell volume affect cell growth, proliferation, migration and apoptosis, and plays important roles in heart disease and cancer[1]. The transporter protein consists of 12 transmembrane (TM) segments with the amino and carboxyl termini of the protein both being located on the cytoplasmic side, although recently, there is some controversy on the fundamental structure of the protein[2].
Genepin (Axon 1443) is an excellent natural cross-linker for proteins, collagen, gelatin, and chitosan. Besides, it has been shown to inhibit uncoupling protein 2 (UCP2), is a mitochondrial carrier protein that negatively regulates insuline secretion by inhibiting UCP2 mediated proton leak. As UCP2 is an important mediator of β-cell dysfunction, it has been hypothesized that UCP2 inhibitors lacking adverse side effects could be useful drugs for treatment of β-cell dysfunction and type 2 diabetes[3]. Other functions addressed to UCP2 are the suppression of production of mitochondrial reactive oxygen species (ROS) and the ability to mitigate oxidative stress in drug-resistant cancer cells[4].

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Proteins (Transporters) Synaptic Vesicle Glycoprotein

Synaptic vesicle protein 2 (SV2) is a membrane glycoprotein found only in the secretory vesicles of neural and endocrine cells. Three isoforms of this 90-kDa protein exist: SV2A, SV2B, and SV2C, of which, SV2A is the most widely distributed.

The molecular mechanism by which these proteins regulate secretion is not clear[1]. Based on predicted structure and amino acid sequences, the SV2 proteins belong to the major facilitator superfamily of transporter proteins, with a high degree of homology with glucose transporters (GLUTs) and plasma membrane transporters for neurotransmitters[2]. Other studies demonstrated that SV2A deletion results in reduced action potential-dependent release of the inhibitory neurotransmitter GABA in the hippocampus. These observations have given rise to the hypothesis that SV2A dysfunction is associated with calcium accumulation during repeated action potential generation. The effect, in turn, leads to increased neurotransmitter release and a destabilization of neuronal circuits, facilitated by excitatory transmission and a concurrent attenuation of inhibition. It would explain why SV2A knockout mice have spontaneous seizures from birth and typically die within 3 weeks[3]. Similar studies also revealed that SV2A is the brain binding site of levetiracetam (Axon 1110), an antiepileptic drug with a unique activity profile in animal models of seizure and epilepsy[4].

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1109 Etiracetam ..... *Racemate of Axon 1110 and Axon 1111* ..... Page 391
1110 Levetiracetam ..... *Binds synaptic vesicle protein 2A (SV2A)* ..... Page 507
1111 UCB-L 060 ..... *Least active enantiomer of Axon 1109* ..... Page 782

Proteins (Transporters) Triglycerides

Cholesteryl Ester Transfer Protein (CETP) is a hydrophobic glycoprotein secreted mainly from the liver and circulates in plasma, bound mainly to HDL. It reduces circulating HDL cholesterol levels by promoting the transfer of cholesteryl esters from antiatherogenic HDLs to proatherogenic apolipoprotein B (apoB)–containing lipoproteins, including VLDLs, VLDL remnants, IDLs, and LDLs in exchange for triglyceride[1]. Its activity is associated with conditions linked with accelerated atherosclerosis including diabetes, metabolic syndrome and the dyslipidaemia typically found in myocardial infarction survivors. CETP is a member of a family of proteins expressed in species including man and rabbit, which are susceptible to atherosclerosis, but not in rats, which are resistant to atherogenesis[2]. Dalcetrapib and Torcetrapib (Axon 1962 and 2047 respectively) both inhibit CETP activity, resulting in increased levels of HDL cholesterol, and decreased levels of LDL cholesterol. However, in case of Torcetrapib, not Dalcetrapib[3], the beneficial pharmacological effects are accompanied by an increased risk of cardiovascular events leading to mortality and morbidity[4].
The microsomal triglyceride transfer protein (MTP) plays a crucial role in the assembly of triglycerides (TG), cholesterol esters, and phospholipids into ApoB-containing lipoproteins and is integral in the assembly of very low-density lipoprotein-cholesterol (VLDL-C) in the liver. As a result, inhibition of hepatic MTP could be a promising alternative strategy for the control of circulating levels of LDL-C and TG[5].

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2216 CP 346086 ..... *Microsomal triglyceride transfer protein (MTP) inhibitor* ..... Page 332
1962 Dalcetrapib ..... *Inhibitor of cholesterylester transfer protein (CETP)* ..... Page 349
2286 Evacetrapib ..... *Potent, and selective inhibitor of CETP* ..... Page 392
2917 Lomitapide ..... *Potent microsomal triglyceride transfer protein (MTP) inhibitor* ..... Page 512
2047 Torcetrapib ..... *Inhibitor of cholesterylester transfer protein (CETP)* ..... Page 772

Proteins (Transporters) Phosphatidylglycerides

Inositol lipids have specialized functions in eukaryotic organisms. Not only do they provide a source of second messengers but they are also recognized as signaling molecules. Moreover, inositol lipids are required as substrates for PLC and PI3K activities, as well as having a role in cytoskeletal reorganization and vesicular traffic. The cytosolic protein Phosphatidylinositoltransfer protein (PITP) is a key regulator of the cellular mechanism that can compartmentalize the synthesis of these phosphoinositides[1]. PIPTs mediate the transfer of monomeric phosphatidylinositol (PI) or phosphatidylcholine (PC) molecules between two membrane compartments of a cell. Sec14p is a PIPT found in yeast, and is the prototype for a protein module called the SEC14 domain. SEC14 domains are found in proteins from plants,

yeast, invertebrates, and mammals (named CRAL_TRIO domain), suggesting an ancient evolutionary origin. Many proteins with a SEC14 domain consist only of this module, while others are larger proteins with additional protein–protein interaction or catalytic domains. It appears likely that the SEC14-only proteins are bona fide lipid transport proteins, while the multi-domain SEC14-containing proteins have more complex functions in signal transduction, transport, and organelle biology, where they integrate lipid metabolism with other biochemical processes[2]. Abberant functioning of Sec14, either due to individual deficiencies, genetic mutations or chemical inhibition, impairs cell viability through compromised Phosphatidylinositol (PtdIns) trafficking through the trans-Golgi network (TGN) and endosomal systems, phosphatidylserine decarboxylation to phosphatidylethanolamine, fatty acid metabolism, polarized growth, and fungal dimorphism. Mutations in PITPs, or PITP-like proteins, are also root causes of mammalian neurodegenerative and lipid homeostatic diseases[3].

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2387 SMI 481 ..... *First small-molecule inhibitor (SMI) of the yeast PITP Sec14* .... Page 720

Proteins (Transporters) Other

PDEδ was originally identified as a fourth subunit of rod-specific cGMP PDE. PDEδ is thought to be a specific soluble transport factor for certain prenylated (farnesyl) proteins and Arl2-GTP, a regulator of PDE-mediated transport. PDEδ sustains the spatial organization of KRAS by facilitating its diffusion in the cytoplasm. Conversely, PDEδ down-modulation randomizes RAS distributions to all membranes in the cell and suppresses regulated signalling through wild-type RAS and also constitutive oncogenic RAS signalling in cancer cells.[1,2]
FLI 06 (Axon 2277) is an inhibitor of endoplasmic reticulum (ER) export. This compound has the unique property to inhibit cargo recruitment to ER exit sites (ERESs): it disrupts the Golgi apparatus in a manner distinct from that of brefeldin A and golgicide A. FLI-06 inhibits general secretion at a step before exit from the endoplasmic reticulum (ER), which is accompanied by a tubule-to-sheet morphological transition of the ER, rendering it the first small molecule acting at such an early stage in secretory traffic. As such, it effectuates the accumulation of NotchΔE-eGFP in intracellular membranes[3].
Retromer is a multiprotein complex that acts to sort and traffic cargo from endosomes to the trans-Golgi network or to the cell surface. By mediating the localisation of many membrane proteins, the activity of the retromer complex has been linked to processes such as lysosome biogenesis, and aspects of metazoan development[4]. Additionally, retromer-mediated transport has been implicated in a growing number of neurological diseases, but was first linked to Alzheimer's disease (AD). The neuronal retromer traffics the amyloid-precursor protein (APP) away from endosomes, a site where APP is cleaved into pathogenic fragments in Alzheimer's disease. It has been hypothesized that deficiencies in specific vacuolar protein sorting' (VPS) proteins that build up the retromer complex, are important for mediating the trafficking and pathogenic processing of APP[5].
Uric acid is the end product of purine metabolism in humans. Its synthesis is catalyzed by xanthine oxidoreductase and is mainly produced in liver, muscles, and intestine. Uric acid transporter URAT1, a 12-transmembrane domain-containing protein found in the apical membrane of proximal tubule epithelial cells and transports urate in exchange for Cl^- or organic anions[6], contributes significantly to reabsorption of uric acid in humans to maintain a constant serum uric acid (SUA) level. Alterations of SUA level are linked to various human diseases, such as hypertension, cardiovascular disease, kidney disease, multiple sclerosis, Parkinson's disease, Alzheimer's disease, and optic neuritis[7]. Gout is yet another example of a commonly occurring disease that is triggered by the crystallization of uric acid within the joints; a type of inflammatory arthritis and is often associated with hyperuricemia[8].
XPO1 is the best-characterized nuclear exporter of the karyopherin-β superfamily of nuclear transport proteins, which includes 15 different importin and exportin proteins. XPO1 is involved in transporting approximately 220 proteins and certain RNA species from the nucleus to the cytoplasm through the nuclear pore complex. In the nucleus, XPO1 forms a quaternary complex with one of a diverse array of cargo proteins, Ran-GTP, and Ran-BP3. In the cytoplasm, the complex is dissociated through the combined action of Ran-GAP and Ran-BP1. Selective inhibitors of nuclear export (SINE) have proven to be effective as inhibitors of the replication of various influenza A and B virus strains[9], and as anti-cancer agents[10], for example.

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[8] K Hyon et al. Pathogenesis of Gout. Ann Intern Med. 2005;143:499-516.
[9] O Perwitasari et al. Verdinexor, a novel selective inhibitor of nuclear export, reduces influenza a virus replication in vitro and in vivo. J Virol. 2014 Sep 1;88(17):10228-43.
[10] K Parikh et al. Selective inhibitors of nuclear export (SINE)--a novel class of anti-cancer agents. J Hematol Oncol. 2014 Oct 15;7:78.

Biomarkers and Labeling reagents

Biomarkers include tools and technologies that can aid in understanding the prediction, cause, diagnosis, progression, regression, or outcome of treatment of disease. The application of biomarkers in the diagnosis and management of cardiovascular disease, infections, immunological and genetic disorders, and cancer are well known. Their use in research has grown out of the need to have a more direct measurement of exposures in the causal pathway of disease that is free from recall bias, and that can also have the potential of providing information on the absorption and metabolism of the exposures. Molecular biomarkers will, in the hands of clinical investigators, provide a dynamic and powerful approach to understanding the spectrum of various diseases with obvious applications in analytic epidemiology, clinical trials and disease prevention, diagnosis, and disease management[1].

[1] R. Mayeux. Biomarkers: Potential Uses and Limitations. NeuroRx. 2004, 1, 182-188.

Derivatisation reagents

Analyte derivatization has played an important role in analysis using combined gas chromatography−mass spectrometry (GC/MS). In GC/MS, derivatization is performed to enhance the volatility of the analyte, to alter its ionization characteristics, or to influence its fragmentation behavior. In combined liquid chromatography−MS (LC−MS), however, where soft ionization techniques like electrospray (ESI) and atmospheric pressure chemical ionization (APCI) are applied, derivatization is generally not needed and avoided as much as possible. In LC−MS, derivatization is primarily used to improve ionization characteristics, especially for analytes that are not (efficiently) ionized by ESI or APCI such as aldehydes, sugars, and steroids. Derivatization strategies are then directed at the incorporation of a group with a permanent charge (cationic groups for positive-ion mode and strong acidic functionalities for negative-ion mode) or other groups that enhance ionization (secondary or tertiary amine for positive-ion mode or aromatic nitro groups in negative-ion mode). In addition, derivatization may be directed at improving the fragmentation characteristics in tandem MS (MS/MS)[1].

[1] M. Eggink et al. Development of a selective ESI-MS derivatization reagent: synthesis and optimization for the analysis of aldehydes in biological mixtures. Anal Chem. 2008, 80, 9042-9051.

Protein Labeling reagents

To date, it is nearly impossible to visualize a single protein by its natural fluorescence. Therefore, to see a protein by visible light, a fluorescence probe or a green fluorescence protein (GFP) attached to the target protein is required. Over the last decade, rapid advances have been witnessed in the area and the recognition of this field was awarded with Nobel Prize of Chemistry in 2008. A fluorescent protein or a fluorescent probe can report a wealth of information about the target protein, allowing its location to be tracked, and its interactions with partners or surrounding environment to be recorded[1]. Functionalized phenylboronic acid reagents for example, may be used for palladium-catalyzed oxidative Heck reaction to protein-bound alkenes and Suzuki-Miyaura cross coupling for labeling of protein bound phenylhalides[2].
Another technique, *in-vivo* bioluminescent imaging (BLI), is progressively becoming a widely utilized method for modern biological research. The noninvasive character of this method using light emitted from luciferase-expressing bioreporter cells is applicable to living animals, and has been used to study a wide range of biomolecular functions such as gene function, drug discovery and development, cellular trafficking, protein-protein interactions, and especially tumorigenesis, cancer treatment, and disease progression. Firefly luciferase (FLuc) is the best studied of a large number of luminescent, and catalyzes the oxidation of reduced luciferin in the presence of ATP-Mg^{2+} and oxygen to generate CO_2, AMP, PPi , oxyluciferin, and yellow-green light at a wavelength of 562 nm[3].

[1] W.H. Chang et al. Bio-orthogonal Protein Labeling Methods for Single Molecule FRET. J. Chin. Chem. Soc. 2010, 57, 505-513.
[2] M.E. Ourailidou et al. Aqueous oxidative Heck reaction as a protein-labeling strategy. Chembiochem. 2014, 15, 209-212.
[3] D.M. Close et al. In vivo bioluminescent imaging (BLI): noninvasive visualization and interrogation of biological processes in living animals. Sensors (Basel). 2011;11(1):180-206.

Membrane Labeling reagents

Membrane Labeling reagents, Fluorescents

Among the labeling methods, fluorescent labeling has the upper hand due to its non-destructive nature and the high sensitivity of the fluorescence technique, as well as meeting the requirements of small measurement volume and low concentration of the fluorescent material. Fluorescent labeling is generally accomplished by using a reactive derivative of the fluorophore that selectively binds to a functional group contained in the target biomolecule.
Mostly, the followed fluorescent labeling techniques generally adopted, allow specific labeling with functional groups attached to an amino acid with high selectivity and specificity. The fluorophores are designed with a reacting moiety, which may be bound covalently or non-covalently to the target biomolecules. Fluorescent molecule attachment to the biomolecules can be achieved chemically or biologically[1].
Voltage sensitive dyes offer the opportunity to monitor cell electrical activity, e.g. in neurons. The chromophore is believed to undergo a large electronic charge shift as a result of excitation from the ground to the excited state and this underlies the putative electrochromic mechanism for the sensitivity of these dyes to membrane potential[2].

[1] H Sahoo. Fluorescent labeling techniques in biomolecules: a flashback. RSC Adv. 2012;2:7017-7029.
[2] LM Loew. Potentiometric dyes: Imaging electrical activity of cell membranes. Pure & Appl Chem. 1996;68(7):1405-1409.

NO and HNO donors

Comparisons of the pharmacological effects of nitric oxide (NO) and nitroxyl (HNO) donors have demonstrated that the responses to these redox-related nitrogen oxides are nearly universally dissimilar. These analyses have suggested the existence of mutually exclusive signaling pathways as a result of discrete chemical interactions of HNO and NO with a variety of critical biomolecules. The pharmacological responses to HNO are promising for clinical treatment of cardiovascular diseases such as heart failure, myocardial infarction and stroke[1].

[1] KM Miranda. Donors of HNO. Curr Top Med Chem. 2005;5(7):649-64.

Axon Ligands™ as Cell Cycle Regulators

This class of Axon Ligands™ consists of compounds that affect the processes occurring in eukaryatic cells responsible for cell replication. Cells that are not in a quiescent state exist in either 1 of the 4 known stages of cell duplication: G1, S, G2, or M. The first 3 stages (G1, S, G2) together form the so-called “Interphase” during which the cell increases in size, accumulates required nutrients, and replicates the DNA in the cell nucleus. The correctness of these complex processes is evaluated at checkpoints at the end of each of the individual stages. If all checkpoints are passed successfully, the cell cycle enters the stage of the actual cell division/mitosis[1]. During mitoses, again, 4 distinct phases can be discriminated as pro-, meta-, ana-, and telephase.

[1] J.M. Berg, J.L. Tymoczko, L. Stryer. Biochemistry, 2002, 5th edition. New York. W. H. Freeman.

Axon Ligands™ for Stem Cell Research

Special attention is offered to the class of Axon Ligands™ that finds its application (among other applications in most cases) in stem cell research projects world wide. The medical use of stem cells, cells with the ability to perpetuate themselves through self-renewal and to differentiate into a particular cell type through differentiation, is receiving extensive interest as they might regenerate damaged tissue under the right conditions[1]. This unique capacity could serve patients suffering from organ malfunction, cell deficiency, and/or neurodegenerative diseases such as Alzheimer's and Parkinson's disease by replacing affected/deficient cells with healthy new cells[2]. The pharmacological tools in this category could interact in any way with the complex cell differentiating processes involved in the transformation of an un-programmed stem cell into its destination cell type.

[1] Stem cells, cancer, and cancer stem cells. Tannishtha Reya, Sean J. Morrison, Michael F. Clarke, Irving L. Weissman. Nature, Vol 414, 2001, 105.
[2] Embryonic stem cells in drug discovery. J. McNeish. Nature Rev. Drug Disc. 2004, 3, 70.

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Axon Ligands™ for Epigenetic Research

Epigenetics is typically defined as the study of heritable changes in gene expression that are not due to changes in DNA sequence. Epigenetic modifications of chromatin have been shown to play a major role in cancer onset and development. Acetylation and methylation are the most-studied chromatin marks, having fundamental functions in the epigenetic regulation of gene expression[1,2]. Epigenetic modification of histones is a reversible process. Histone acetyltransferases (HATs) are the enzymes responsible for the introduction of acetyl groups on histones, whereas methyl groups can be introduced both on DNA and histones by DNA methyltransferases (DNMTs) and histone methyltransferases (HMTs)[3]. The removal of methyl and acetyl groups is enzymatically mediated by the action of histone demethylases (HDMs) and histone deacetylases (HDACs), respectively. In turn, epigenetic marks can be recognized by and bound to specific protein domains, such as bromodomain and malignant brain tumor (MBT). These complexes are important intermediates in the epigenetic regulation of gene expression[4].

[1] Epigenetics in Cancer. Manel Esteller. N Engl J Med 2008; 358, 1148-1159.
[2] Epigenetic protein families: a new frontier for drug discovery. CH Arrowsmith et al. Nature 2012, 11, 384-400.
[3] Histone acetyltransferases as emerging drug targets. FJ Dekker and HJ Haisma. Drug Discov. Today 2009, 14(19-20), 942-948.
[4] Histone Recognition and Large-Scale Structural Analysis of the Human Bromodomain Family. Filippakopoulos, P. et al. Cell 2012, 149, 214-231.

Miscellaneous Axon Ligands™

Not targeting a specific enzyme, protein or receptor, or too small in number to form an individual category in our catalogue, the compounds in this category have various applications.
Tenilsetam (Axon 1470), for example, is an inhibitor of protein crosslinking by advanced glycosylation. It acts via covalent attachement to glycated proteins, thus blocking the reactive sites for further polymerization reactions. The beneficial effect of the drug in Alzheimer's disease could come from the interference with AGE-derived crosslinking of amyloid plaques and a decreased inflammatory response by diminished activation of phagocytosing microglia[1]. Other Axon Ligands™ in this category range from antioxidants (e.g. vitamin C esters Axon 1316, and Axon 1317) to an inhibitor of Wiskott-Aldrich syndrome protein (Axon 1804).
Obviously, all compounds in this category meet our high standards of quality control.

[1] G. Münch et al. The cognition-enhancing drug tenilsetam is an inhibitor of protein crosslinking by advanced glycosylation. J. Neural. Transm. Park. Dis. Dement. Sect. 1994, 8, 193-208.

Axon Ligands™ inhibitor Sets

Axon Ligands™ are a unique collection of biological molecules, as world-wide recognized research tools and drug standards in different application fields such as neurological disorders, cardivascular disease, pain and inflammation, and cancer. Featured ligands with our expertise including CNS reagents, ion channel modulators, signal transduction regulators (such as kinase inhibitors) and much more. Besides the wide range of single products, Axon Medchem also offers specific sets of Axon Ligands™ that can be applied for specific research areas. Special attention is offered to the class of Axon Ligands™ that finds its application (among other applications in most cases) in stem cell research projects. The medical use of stem cells, cells with the ability to perpetuate themselves through self-renewal and to differentiate into a particular cell type through differentiation, is receiving extensive interest as they might regenerate damaged tissue under the right conditions[1]. This unique capacity could serve patients suffering from organ malfunction, cell deficiency, and/or neurodegenerative diseases such as Alzheimer's and Parkinson's disease by replacing affected/deficient cells with healthy new cells[2][2]. We have selected several combinations of Axon Ligands™ that have been used frequently as a combined set for epigenetic research. These sets include, for example inhibitors of GSK3, FGFR, MEK, SRC, etc.
Compound libraries of Axon Ligands™ can generally be offered with bulk discount . All Axon Ligands™ in the libraries are individually identified and analyzed to meet the strong requirements to pass our QC for drug standards.

[1] Stem cells, cancer, and cancer stem cells. Tannishtha Reya, Sean J. Morrison, Michael F. Clarke, Irving L. Weissman. Nature, Vol 414, 2001, 105.
[2] Embryonic stem cells in drug discovery. J. McNeish. Nature Rev. Drug Disc. 2004, 3, 70

5011	Naïve Stem Cell 5i inhibitor Set	*Inhibitors for induction&maintenance of naive stem cell pluripotency*
5010	Naïve Stem Cell NHSM inhibitor Set	*Inhibitors for generation, derivatization and stabilization of naive hPSCs*
2128	Stem Cell 2i inhibitor Set	*Set of PD0325901 and CHIR99021*
2129	Stem Cell 3i inhibitor Set	*Set of SU5402, PD184352, and CHIR99021*
5009	Stem Cell 4i inhibitor Set	*Set of Thiazovivin, SB 431542, PD 0325901, CHIR 99021*
5007	Stem Cell 5i inhibitor Set	*Set of five inhibitors for neural differentiation of human PSCs.*
2130	Stem Cell Alternative 2i inhibitor Set	*Set of CGP77675 and CHIR99021*
5006	Stem Cell CSD inhibitor Set	*Set of CHIR 99021, SU5402, and DAPT*
5004	Stem Cell LSB inhibitor Set	*Set of LDN 193189 and SB 431542*
5005	Stem Cell LSC inhibitor Set	*Set of LDN 193189, SB 431542 and CHIR 99021*
5008	Stem Cell RG-BIX inhibitor Set	*Set of RG 108 and BIX 01294*

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Axon Ligands™ in Alphabetical Order

(-)-FTC — **Axon 3305**
See Emtricitabine Recent Addition — Page 383

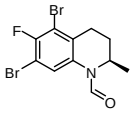
(-)-Tetramisole hydrochloride — **Axon 3242**
See Levamisole hydrochloride Recent Addition — Page 507

(+)-Medetomidine hydrochloride — **Axon 3065**
See Dexmedetomidine hydrochloride — Page 358

(RS)-2-Chloro-5-hydroxyphenylglycine — **Axon 2691**
See CHPG — Page 316

(R)-CE3F4 — **Axon 2830**

[1593478-56-8]
Purity: 99%
98% e.e.
Soluble in DMSO
C11H10Br2FNO MW: 351.01



mg	Price
5	online
25	online

Biological activity
(R)-CE3F4 is an inhibitor of EPAC1 (IC50 value of 5.8 µM) with a 10-fold selectivity for EPAC1 over EPAC2. (R)-CE3F4 prevents EPAC1 activation in vitro and in living cultured cells by inhibiting the GEF activity of EPAC1.

(R)-CR8 — **Axon 3228**
See CDK inhibitor CR8 Recent Addition — Page 306

(S)-(+)-Citalopram oxalate — **Axon 3315**
See Escitalopram oxalate Recent Addition — Page 390

(S)-C33 — **Axon 2825**
See PDE9A inhibitor C33(S) — Page 622

1-β-D-Arabinofuranosylcytosine — **Axon 3238**
See Cytarabine Recent Addition — Page 345

125B11 hydrobromide

Axon 2975

See Fatostatin hydrobromide

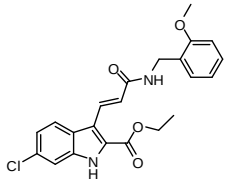
Page 396

15-LOX-1 inhibitor i472

Axon 2989

[N.A.]
Purity: 98%

Soluble in DMSO
C22H21ClN2O4 MW: 412.87



mg	Price
5	online

Biological activity
Inhibitor of 15-lipoxygenase-1 (15-LOX-1) with an IC50 value of 0.19 µM. 15-LOX-1 inhibitor i472 shows an ability to protect RAW 264.7 macrophages from LPS-induced cell death. Furthermore, i472 is shown to provide significant inhibition of NF-κB transcriptional activation upon LPS/INFγ stimulation, to downregulate the expression of the NF-κB related gene iNOS, to provide dose-dependent inhibition of NO production and to reduce lipid peroxidation in RAW macrophages.
**Sold in collaboration with RuG (University of Groningen)* *Sold in collaboration with RuG (University of Groningen)*

2',2'-difluorodeoxycytidine

Axon 3233

See Gemcitabine hydrochloride Recent Addition

Page 418

4-Amino-10-methylfolic acid

Axon 3319

See Methotrexate Recent Addition

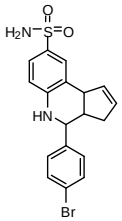
Page 533

4BP-TQS

Axon 2694

[360791-49-7]
Purity: 99%

Soluble in DMSO
C18H17BrN2O2S MW: 405.31



mg	Price
10	online
50	online

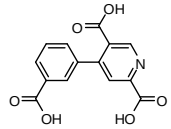
Biological activity
4BP-TQS is an allosteric agonist of α7 nicotinic acetylcholine receptors (nAChR). The agonism was shown to act through a site topographically distinct from the ACh site. 4BP-TQS was a more potent and efficacious agonist of α7 nAChR than ACh (8-fold lower EC50 and 45-fold larger maximal response).
The bioactive enantiomer of 4BP-TQS, GAT107 (Axon 2621), is also available.

4-CPPC Recent Addition

Axon 3189

[29553-70-6]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C14H9NO6 MW: 287.22



mg	Price
5	online
25	online

Biological activity
4-CPPC is the first potent, selective and reversible inhibitor of pro-inflammatory protein macrophage migration inhibitory factor-2 (MIF-2 or D-DT) with a Ki value of 33 µM and an IC50 value of 27 µM. 4-CPPC shows competitive binding with a 13-fold selectivity for human MIF-2 versus human MIF-1.

6-ECDCA

Axon 3174

See Obeticholic acid Recent Addition

Page 600

6748-481

Axon 2387

See SMI 481

Page 720

667 Coumate

Axon 2892

See STX64

Page 740

2,3-Dimethoxy-1,4-naphthoquinone

Axon 3011

See DMNQ

Page 367

3-(2,4-Dimethoxybenzylidene)-anabaseine dihydrochloride

Axon 2860

See GTS 21 dihydrochloride

Page 439

A01

Axon 2426

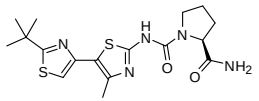
See SMURF1 inhibitor A01

Page 720

A 66

Axon 1831

[1166227-08-2]
Purity: 99%
optically pure
Soluble in DMSO
C17H23N5O2S2 MW: 393.53

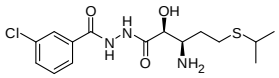


mg	Price
5	online
25	online

Biological activity
Potent and specific PI3K p110α inhibitor (IC50: 32 nM); highly selective for p110α over other PI3Ks and having a high degree of specificity as it does not target other protein kinases tested; highly recommended tool in researching p110α isoform

A 357300

Axon 1666

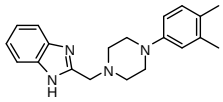


	mg	Price
[369358-07-6]		
Purity: 98%	5	online
optically pure		
Soluble in DMSO	25	online
C15H22ClN3O3S MW: 359.87		

Biological activity
Potent and reversible inhibitor of methionine aminopeptidase-2 (MetAP-2)

A 381393

Axon 2944

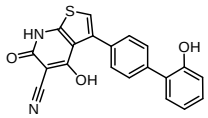


	mg	Price
[726174-00-1]		
Purity: 99%	10	online
Soluble in 0.1N HCl(aq) and DMSO	50	online
C20H24N4 MW: 320.43		

Biological activity
Potent, brain-penetrant, selective antagonist of the dopamine D4 receptor with both in vitro and in vivo activity (Ki value of 1.5 nM). A 381393 lacks any significant intrinsic agonist activity.

A 769662

Axon 1466

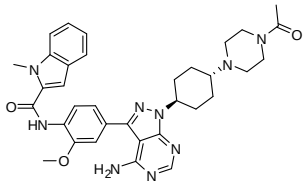


	mg	Price
[844499-71-4]		
Purity: 99%	5	online
Soluble in DMSO	25	online
C20H12N2O3S MW: 360.39		

Biological activity
Potent and selective activator of AMP-activated protein kinase (AMPK) β1 subunit-containing complexes

A 770041

Axon 1698

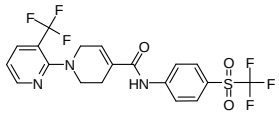


	mg	Price
[869748-10-7]		
Purity: 99%	5	online
Soluble in DMSO	25	online
C34H39N9O3 MW: 621.73		

Biological activity
Selective and orally active Src-family Lck inhibitor; A-770041 is a 147 nM inhibitor of Lck (1 mM ATP) and is 300-fold selective against Fyn, the other Src family kinase involved in T-cell signaling

A 784168

Axon 1816

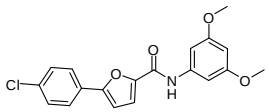


	mg	Price
[824982-41-4]		
Purity: 98%	5	online
Soluble in DMSO	25	online
C19H15F6N3O3S MW: 479.40		

Biological activity
Potent and selective antagonist of transient receptor potential vanilloid 1 (TRPV1) receptors (IC50: 24 nM); displayed potent anti-nociceptive effects in a broad range of animal pain models upon oral dosing.This analgesic activity results from its ability to penetrate the central nervous system

A 803467

Axon 1915

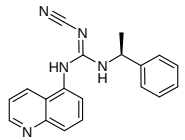


	mg	Price
[944261-79-4]		
Purity: 98%	10	online
Soluble in DMSO	50	online
C19H16ClNO4 MW: 357.79		

Biological activity
Sodium channel blocker, potent and selective at voltage-gated Nav1.8 channel (IC50: 8 nM)

A 804598

Axon 2182

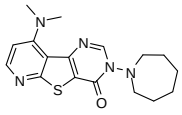


	mg	Price
[1125758-85-1]		
Purity: 99%	10	online
>99% e.e.		
Soluble in DMSO	50	online
C19H17N5 MW: 315.37		

Biological activity
Potent and selective P2X7 antagonist (IC50 values 10 nM, 9 nM, and 11 nM for rat, mouse, and human receptors respectively). A 804598 potently blocked agonist stimulated release of IL-1β and Yo-Pro uptake from differentiated THP-1 cells that natively express human P2X7 receptors. In another study, A 804598 was found to produce a concentration-dependent inhibition of BZ-ATP-stimulated calcium influx (IC50 value 28.71 nM) in cells expressing the rat recombinant P2X7 receptor; a useful tool for autoradiographic localization of P2X7 receptors in the rat brain and spinal cord.

A 841720

Axon 2155



	mg	Price
[869802-58-4]		
Purity: 99%	5	online
Soluble in DMSO	25	online

C17H21N5OS MW: 343.45

Biological activity
Potent and selective non-competitive mGlu1 receptor antagonist (IC50: 10 nM); showing 34-fold selectivity over mGluR5 and no significant activity at other mGluR receptors, neurotransmitter receptors, ion channels, and transporters. A 841720 demonstrated full efficacy in various in vivo animal pain models.

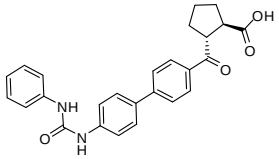
A 861695 dihydrochloride

Axon 2888

See ABT 888 dihydrochloride Page 182

A 922500

Axon 2059



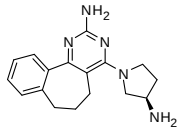
	mg	Price
[959122-11-3]		
Purity: 98%	5	online
97% d.e.		
Soluble in DMSO	25	online

C26H24N2O4 MW: 428.48

Biological activity
Highly potent and selective diacylglycerol acyltransferase (DGAT) isomer 1 (DGAT-1) inhibitor with nanomolar potency

A 943931

Axon 1990



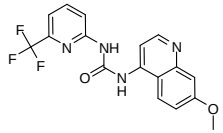
	mg	Price
[1027330-97-7]		
Purity: 99%	2	online
optically pure		
Soluble in DMSO	5	online

C17H21N5 MW: 295.38

Biological activity
Potent and selective histamine H4 receptor antagonist

A 1070722

Axon 1909



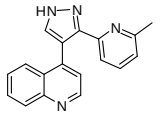
	mg	Price
[1384424-80-9]		
Purity: 99%	5	online
Soluble in DMSO	25	online

C17H13F3N4O2 MW: 362.31

Biological activity
Potent and selective glycogen synthase kinase GSK-3 inhibitor (Ki=6 nM). Brain penetrating and centrally active GSK3 inhibitor for the treatment of psychiatric and neurodegenerative disorders

A 77-01

Axon 1744



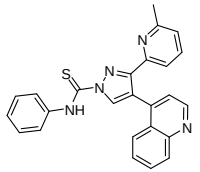
	mg	Price
[607737-87-1]		
Purity: 99%	5	online
Soluble in DMSO	25	online

C18H14N4 MW: 286.33

Biological activity
Potent inhibitor of TGF-β type I receptor superfamily activin-like kinase ALK5 with IC50 of 25 nM. A-77-01 is a close analogue of A-83-01 (Axon 1421) and has a very similar biological profile of A-83-01.A-83-01 is found to decompose to A-77-01 under certain circumstances and A-77-01 is likely an active component or metabolite of its prodrug A-83-01

A 83-01

Axon 1421



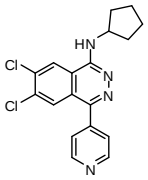
	mg	Price
[909910-43-6]		
Purity: 98%	5	online
Soluble in DMSO	25	online

C25H19N5S MW: 421.52

Biological activity
Potent inhibitor of TGF-β type I receptor superfamily activin-like kinase ALK5 and its relatives ALK4 and ALK7 (IC50 to be 12, 45 and 7.5 nM respectively).A-83-01 inhibits smad signaling and epithelial-to-mesenchymal transition by transforming growth factor-β, but had no effect on BMP signaling; Used to generate rat and human iPS cells towards a mouse ES cell like self-renewal state.

A-196

Axon 2705



mg	Price
10	online
50	online

[1982372-88-2]
Purity: 99%

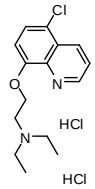
Soluble in 0.1N HCl(aq) and DMSO
C18H16Cl2N4 MW: 359.25

Biological activity
A-196 is a potent and selective inhibitor of SUV420H1 and SUV420H2 ((IC50 values of 25 and 144 nM, respectively). In cells, A-196 induced a global decrease in H4K20me2 and H4K20me3 and a concomitant increase in H4K20me1. A-196 inhibited 53BP1 foci formation upon ionizing radiation and reduced NHEJ-mediated DNA-break repair but did not affect homology-directed repair.

A2764 dihydrochloride

Axon 3019

TRESK inhibitor A2764



mg	Price
10	online
50	online

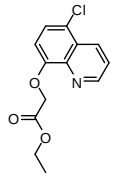
[861038-72-4]
Purity: 99%

Soluble in water and DMSO
C15H19ClN2O.2HCl MW: 351.70

Biological activity
A2764 dihydrochloride is a selective inhibitor of TRESK (KCNK18) with an IC50 value of 11.8 µM. The degree of inhibition by 100 µM A2764 was larger in the activated state of TRESK than in the resting state of the channel. A2764 can inhibit TRESK in native cells, leading to cell depolarization and increased excitability.

A2793

Axon 3060



mg	Price
10	online
50	online

[88349-90-0]
Purity: 98%

Soluble in DMSO
C13H12ClNO3 MW: 265.69

Biological activity
A2793 is an inhibitor of TRESK (IC50 value of 6.8 µM for mTRESK) and TASK-1. A2793 may be considered as a tool to discriminate between the resting and activated channels in heterologous expression systems, and to block TRESK activated by calcineurin in the native cells, which do not express TASK-1.

A-64077

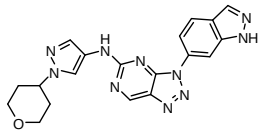
Axon 3256

See Zileuton Recent Addition

Page 830

A-92

Axon 2720



mg	Price
5	online
25	online

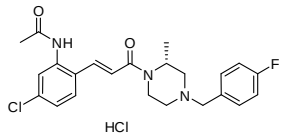
[1448693-69-3]
Purity: 99%

Soluble in DMSO
C19H18N10O MW: 402.41

Biological activity
A-92 inhibits the stress response of general control nonderepressible 2 kinase (GCN2 or EIF2AK4) (IC50 value of <0.3 µM). Possible chemotherapeutic drug for the treatment of cancer.

A1B1 Hydrochloride

Axon 1179



mg	Price
5	online
25	online

[N.A.]
Purity: 99%
>98% ee
Soluble in DMSO
C23H25Cl1FN3O2.HCl MW: 466.38

Biological activity
Potent and orally active chemokine CCR1 antagonist

AA 2414

Axon 1447

See Seratrodast

Page 708

AAE 581

Axon 2154

See Balicatib

Page 254

AB, 3-

Axon 1496

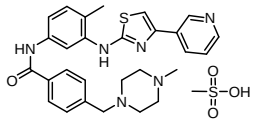
See Aminobenzamide, 3-

Page 203

AB 1010

Axon 1419

Masitinib mesylate



mg	Price
5	online
25	online

[1048007-93-7]
Purity: 99%

Soluble in water and DMSO
C28H30N6O3S.CH4O3S.CH4O3S
MW: 594.75

Biological activity
A potent oral tyrosine kinase inhibitor, targeting c-KIT, PDGFR and FGFR3; oncology drug under clinical trial

ABA, 3-

Axon 1496

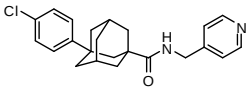
See Aminobenzamide, 3-

Page 203

ABC294640

Axon 2880

Opaganib



	mg	Price
[915385-81-8] Purity: 98%	10	online
Soluble in 0.1N HCl(aq) and DMSO C23H25ClN2O MW: 380.91	50	online

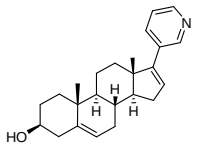
Biological activity

ABC294640 is a selective and orally available Sphingosine kinase 2 inhibitor (SphK2 or SK2) in vitro, acting as a competitive inhibitor with respect to sphingosine with a Ki of 9.8 μM, and attenuates S1P formation in intact cells. In tissue culture, ABC294640 suppresses the proliferation of a broad panel of tumor cell lines, and inhibits tumor cell migration concomitant with loss of microfilaments. ABC294640 shows in vivo SK inhibitory activity in mice. Drug candidate for the treatment of cancer and other diseases.

Abiraterone

Axon 1873

CB 7598



	mg	Price
[154229-19-3] Purity: 100% optically pure Moderately soluble in DMSO C24H31NO MW: 349.51	10	online
	50	online

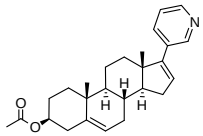
Biological activity

Inhibitor of the steroidal enzyme 17 α-hydroxylase/C17,20 lyase (CYP17A1); a drug used in castration-resistant prostate cancer (CRPC); active component of its formulated prodrug abiraterone acetate (Axon 1874), which is also available

Abiraterone acetate

Axon 1874

CB 7630; JNJ 212082



	mg	Price
[154229-18-2] Purity: 100% optically pure Poorly soluble in DMSO C26H33NO2 MW: 391.55	10	online
	50	online

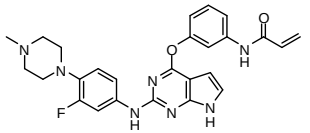
Biological activity

Orally administered prodrug of Abiraterone (Axon 1873); inhibitor of the steroidal enzyme 17 α-hydroxylase/C17,20 lyase (CYP17A1); a drug used in castration-resistant prostate cancer (CRPC)

Abivertinib

Axon 3040

AC 0010; Avitinib



	mg	Price
[1557267-42-1] Purity: 99%	10	online
Soluble in DMSO C26H26FN7O2 MW: 487.53	50	online

Biological activity

Abivertinib is a potent, selective, orally available and irreversible third-generation EGFR inhibitor with an IC50 value of 0.18 nM against EGFR L858R/T790M double mutations.

Abn-CBD

Axon 1235

See Cannabidiol, Abnormal

Page 298

ABR 21261

Axon 2868

See Roquinimex

Page 682

ABR 215062

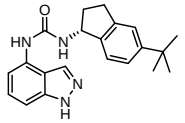
Axon 1970

See Laquinimod

Page 502

ABT 102

Axon 1504



	mg	Price
[808756-71-0] Purity: 99% optically pure Soluble in DMSO C21H24N4O MW: 348.44	5	online
	25	online

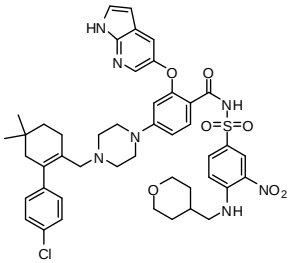
Biological activity

Potent and selective antagonist of transient receptor potential vanilloid 1 (TRPV1) receptors (IC50 values to be 5-7 nM) under clinical trials; TRVP1 receptor antagonism is a promising approach for pain management

ABT 199

Axon 2141

GDC 0199



[1257044-40-8]
Purity: 98%

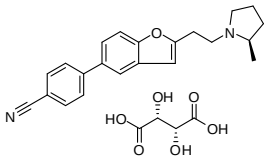
Soluble in DMSO
C45H50ClN7O7S MW: 868.44

mg	Price
5	online
25	online

Biological activity
A highly potent, orally bioavailable BCL-2-selective inhibitor; a new Bcl-2–specific BH3 mimetic efficacious in vivo against mouse lymphomas without provoking thrombocytopenia

ABT 239 tartrate

Axon 1510



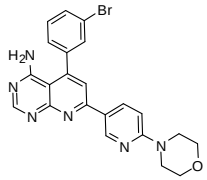
[460748-71-4]
Purity: 99%
>98% ee
Soluble in DMSO
C22H24N2O.C4H6O6 MW: 480.51

mg	Price
5	online
25	online

Biological activity
Potent and selective histamine H3 receptor antagonist or inverse agonist; a highly recommended tool for animal research into H3 antagonist / inverse agonist

ABT 702

Axon 2289



[214697-26-4]
Purity: 99%

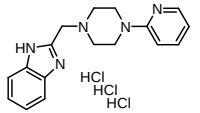
Soluble in 0.1N HCl(aq) and DMSO
C22H19BrN6O MW: 463.33

mg	Price
5	online
25	online

Biological activity
The first, non-nucleoside adenosine kinase (ADK) inhibitor (IC50 value 2 nM and 50 nM in cytosolic and intact cell assays, respectively). ABT702 is orally active to reduce pain and inflammation in animal models, yet found to be clastogenic in an in vitro Chinese Hamster micronucleus assay.

ABT 724 trihydrochloride

Axon 1250



[587870-77-7]
Purity: 99%

Soluble in water
C17H19N5.3HCl MW: 402.75

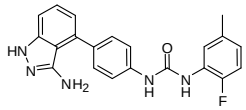
mg	Price
10	online
50	online

Biological activity
Dopamine D4 partial agonist

ABT 869

Axon 1638

Linifanib



[796967-16-3]
Purity: 99%

Soluble in DMSO
C21H18FN5O MW: 375.40

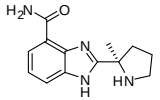
mg	Price
5	online
10	online

Biological activity
A multi-targeted receptor tyrosine kinase (RTK) inhibitor, targeting VEGRFs, PDGFRs, Fms-like tyrosine kinase-3 and c-KIT.In cellular assays ABT869 inhibits RTK phosphorylation (IC50 = 2, 4, and 7 nM for PDGFR-β, KDR, and CSF-1R, respectively) and VEGF-stimulated proliferation (IC50 = 0.2 nM for human endothelial cells)

ABT 888

Axon 1593

Veliparib



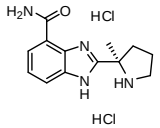
[912444-00-9]
Purity: 99%
>98% ee
Soluble in DMSO
C13H16N4O MW: 244.29

mg	Price
2	online
5	online

Biological activity
Potent and orally bioavailable PARP inhibitor, with Ki values to be 5.2 nM for PARP1 and 2.9 nM for PARP2 respectively; inhibiting DNA repair and potentiating the cytotoxicity of DNA-damaging agents

ABT 888 dihydrochloride

Axon 2888



[912445-05-7]
Purity: 99%
Optically pure
Soluble in water and DMSO
C13H1N4O.2HCl MW: 317.21

mg	Price
10	online
50	online

Biological activity
Potent and orally bioavailable PARP inhibitor, with Ki values to be 5.2 nM for PARP1 and 2.9 nM for PARP2 respectively; inhibiting DNA repair and potentiating the cytotoxicity of DNA-damaging agents

ABT-378

Axon 3138

See Lopinavir

Page 513

ABT-538

Axon 3139

See Ritonavir

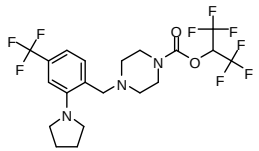
Page 676

ABX-1431

Axon 3000

[1446817-84-0]
Purity: 98%

Soluble in DMSO
C20H22F9N3O2 MW: 507.39



mg	Price
5	online
25	online

Biological activity
ABX-1431 is a highly potent, selective, and orally available, CNS-penetrant MGLL (MAGL) inhibitor with an IC50 value of 0.014 µM. In vivo, ABX-1431 inhibits MGLL activity in rodent brain (ED50 value of 0.5-1.4 mg/kg), increases brain 2-AG concentrations, and suppresses pain behavior in the rat formalin pain model.

AC 0010

Axon 3040

See Abivertinib

Page 180

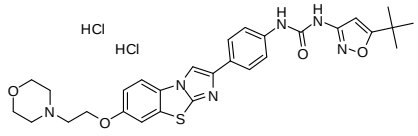
AC 220 dihydrochloride

Axon 1696

Quizartinib dihydrochloride

[1132827-21-4]
Purity: 98%

Soluble in DMSO
C29H32N6O4S.2HCl MW: 633.59



mg	Price
5	online
25	online

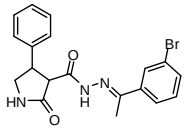
Biological activity
A uniquely potent, selective and efficacious inhibitor of FMS-Like Tyrosine kinase-3 (FLT3) for the treatment of AML; Second-generation FLT3 inhibitor that is highly potent with low nanomolar potency both in vitro and in cellular assays,and has a highly focused and selective interaction pattern across the human protein kinome.

AC 264613

Axon 2898

[1051487-82-1]
Purity: 98%

Soluble in DMSO
C19H18BrN3O2 MW: 400.27



mg	Price
5	online
25	online

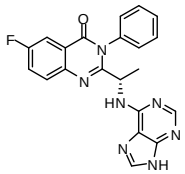
Biological activity
AC 264613 is a potent, selective, and metabolically stable protease activated receptor 2 (PAR2) agonist (pEC50 value of 6.7). The potency of AC 264613 in the cellular proliferation assay was approximately 50 nM, and was virtually the same at wild-type PAR2 receptors. Incubation of macrophages with AC 264613 caused a decrease of IRF5 expression and also significantly reduced p53 protein expression.

Acalisib

Axon 2857

GS 9820; CAL 120

[870281-34-8]
Purity: 99%
Optically pure
Soluble in DMSO
C21H16FN7O MW: 401.40



mg	Price
10	online
50	online

Biological activity
Acalisib is a potent and selective PI3K δ-isoform inhibitor (p110δ: IC50 value of 12.7 nM).

ACC789

Axon 2865

See NVP-ACC789

Page 593

ACP-104

Axon 2846

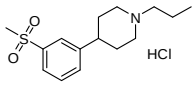
See Clozapine, N-Desmethyl-

Page 324

ACR16 hydrochloride

Axon 1579

Pridopidine hydrochloride



	mg	Price
[882737-42-0] Purity: 99%	5	online
Soluble in water and DMSO C15H23NO2S.HCl MW: 317.87	25	online

Biological activity
Dopaminergic stabilizer (Ki values 17550 nM and 7521 for D2(low) and D2(high), respectively) that state-dependently stabilizes psychomotor activity by the dual actions of functional dopamine D2 receptor antagonism and strengthening of cortical glutamate functions in various settings of perturbed neurotransmission. Useful for ameliorating several neurological and psychiatric disorders, including Huntington's disease. Note: This item is currently suspended due to the concern of the IP right of the developer. You may request a quotation for contract research synthesis. Please contact us for conditions and more detailed information.

ACT 293987

Axon 2605

See Selexipag

Page 707

Active isomer 2

Axon 2300

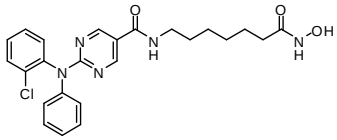
See TIC 10 active isomer

Page 766

ACY-241

Axon 3039

Citarinostat



	mg	Price
[1316215-12-9] Purity: 98%	10	online
Soluble in DMSO C24H26ClN5O3 MW: 467.95		

Biological activity
ACY-241 is a selective, orally available histone deacetylase (HDAC) 6 inhibitor with an IC50 value of 2.6 nM.

AD 5423

Axon 2353

See Blonanserin

Page 277

AD-4833

Axon 3255

See Pioglitazone hydrochloride Recent Addition

Page 639

ADAC

Axon 1188

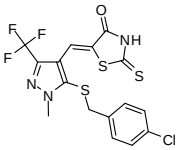
See Adenosine amine congener

Page 186

ADAMTS-5 inhibitor

Axon 2083

Compound 12



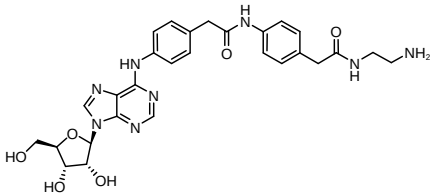
	mg	Price
[929634-33-3] Purity: 100%	5	online
Soluble in 0.1N NaOH(aq) and DMSO C16H11ClF3N3OS3 MW: 449.92	25	online

Biological activity
Inhibitor of ADAMTS-5 (A Disintegrin And Metalloproteinase with ThromboSpondin motifs 5 or aggrecanase-2; IC50 1.1 µM) with >40-fold functional selectivity over ADAMTS-4. ADAMTS-5 is involved in the catabolism of aggrecan and collagen in the articular cartilage matrix during Osteoarthritis (OA).

Adenosine amine congener

Axon 1188

ADAC



	mg	Price
[96760-69-9] Purity: 98%	10	online
Moderately soluble in DMSO C28H32N8O6 MW: 576.60	50	online

Biological activity
Potent A1 adenosine receptor agonist

Adenosine, 2-MeS-

Axon 1192

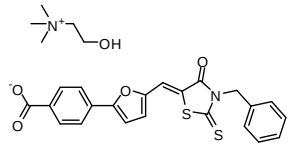
See Methylthioadenosine, 2-

Page 536

ADH-503

Axon 3048

Leukadherin-1 choline salt;
LA1

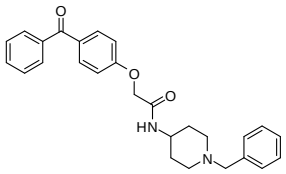


	mg	Price
[2055362-74-6] Purity: 99%	5	online
Soluble in DMSO C22H14NO4S2.C5H14NO MW: 524.65	25	online

Biological activity
ADH-503 is an allosteric agonist of integrin CD11b/CD18 (also known as Mac-1) with an EC50 value of 4 mM. ADH-503 suppresses myeloid cell infiltration into inflamed or infected sites by increasing CD11b-dependent cell adhesion to ICAM-1 on the endothelium, preventing subsequent extravasation. Moreover, partial activation of CD11b by ADH-503 leads to the repolarization of tumor-associated macrophages, reduction in the number of tumor-infiltrating immunosuppressive myeloid cells, and enhanced dendritic cell responses.

AdipoRon

Axon 2275



[924416-43-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C27H28N2O3 MW: 428.52

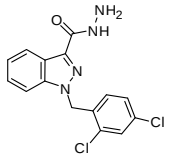
mg	Price
10	online
50	online

Biological activity
Orally active small-molecule AdipoR agonist (Kd values 1.8 and 3.1 μM for AdipoR1 and AdipoR2 respectively) that exerts antidiabetic effects via activation of AMPK and PPAR-α pathways. AdipoRon ameliorated insulin resistance, glucose intolerance, and diabetes of genetically obese rodent model db/db mice, thereby prolonging the shortened lifespan of db/db mice on a high-fat diet.

Adjudin

Axon 2552

AF 2364



[252025-52-8]
Purity: 99%

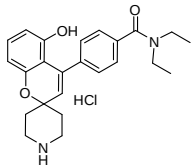
Soluble in DMSO
C15H12Cl2N4O MW: 335.19

mg	Price
10	online
50	online

Biological activity
Non-hormonal male contraceptive that exerts its effect by disrupting Sertoli-germ cell adhesion junctions, most notably apical ectoplasmic specialization (apical ES), by targeting testin and actin filament bundles that disrupt the actin-based cytoskeleton in Sertoli cells. Adjudin is a potent blocker of Cl- channels. Moreover, Adjudin is shown to induce apoptosis in cancer cells through a Caspase-3-dependent pathway, and triggers mitochondrial dysfunction in cancer cells, apparently affecting the mitochondrial mass, inducing the loss of mitochondrial membrane potentia Furthermore, Adjudin posesses anti-inflammation, anti-neurodegeneration, and anti-ototoxicity activities based on studies using different in vitro and in vivo models.

ADL 5859

Axon 1751



[850173-95-4]
Purity: 99%

Soluble in DMSO
C24H28N2O3.HCl MW: 428.95

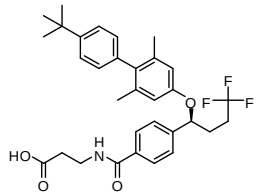
mg	Price
10	online
50	online

Biological activity
Highly potent and selective δ opioid receptor agonist with Ki value to be 0.84 nM and ED50 value to be 20 nM

Adomeglivant

Axon 2388

LY2409021



[1488363-78-5]
Purity: 99%
Optically pure
Soluble in DMSO
C32H36F3NO4 MW: 555.63

mg	Price
5	online
25	online

Biological activity
Adomeglivant is a potent, selective, orally administered, and competitive antagonist of the human glucagon receptor with a Ki value of 6.66 nM and >200-fold selectivity vs related receptors.

ADTN, 5,6-

Axon 1044

See Aminotetraline hydrobromide, 5,6-Dihydroxy-2- Page 203

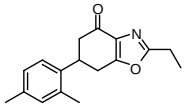
ADTN, 6,7-

Axon 1045

See Aminotetraline hydrobromide, 6,7-Dihydroxy-2- Page 203

ADX71743

Axon 2732



[N.A.]
Purity: 99%

Soluble in DMSO
C17H19NO2 MW: 269.34

mg	Price
10	online
50	online

Biological activity
ADX71743 is a selective mGluR7 negative allosteric modulator.

AEB 071

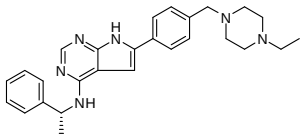
Axon 1635

See Sotrastaurin Page 724

AEE 788

Axon 1653

NVP-AEE 788



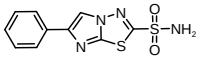
mg	Price
5	online
25	online

[497839-62-0]
Purity: 98%
>98% ee
Soluble in DMSO
C27H32N6 MW: 440.58

Biological activity
A dual family EGFR/ErbB2 and VEGFR kinase inhibitor with antitumor and antiangiogenic activity

AEG 3482

Axon 1291



mg	Price
10	online
50	online

[63735-71-7]
Purity: 99%

Soluble in DMSO
C10H8N4O2S2 MW: 280.33

Biological activity
Inhibitor of JNK signaling

AEGR-733

Axon 2917

See Lomitapide

Page 512

AeroBid

Axon 1429

See Flunisolide

Page 405

AF 2364

Axon 2552

See Adjudin

Page 187

Afatinib

Axon 1544

See BIBW 2992

Page 272

Afuresertib hydrochloride

Axon 2460

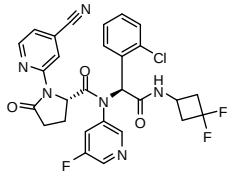
See GSK 2110183 hydrochloride

Page 436

AG-120

Axon 2746

Ivosidenib



mg	Price
10	online
50	online

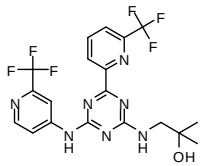
[1448347-49-6]
Purity: 99%
99.9% e.e.
Soluble in DMSO
C28H22ClF3N6O3 MW: 582.96

Biological activity
AG-120 (Ivosidenib) is an oral, selective, first-in-class, potent inhibitor of mutant IDH1. Treatment with AG-120 decreased intracellular 2-HG levels, inhibited growth factor independent proliferation and restored erythropoietin (EPO)-induced differentiation in TF-1 IDH1-R132H cells. Similarly, pharmacological inhibition of mutant IDH1 enzyme with AG-120 in primary human blast cells cultured ex vivo provided an effective way to lower intracellular 2-HG levels and induced myeloid differentiation.

AG-221

Axon 2745

Enasidenib



mg	Price
10	online
50	online

[1446502-11-9]
Purity: 99%

Soluble in DMSO
C19H17F6N7O MW: 473.38

Biological activity
AG-221 (Enasidenib) is an oral, selective, first-in-class inhibitor of the mutant IDH2 enzyme (IC50 value of 100 nM). AG-221 demonstrates excellent pharmaceutical properties, including adequate solubility, low clearance, and good oral bioavailability, and potently inhibits 2HG production by both the IDH2R140Q/WT heterodimer and IDH2R140Q homodimer. AG-221 suppressed 2HG production and induced cellular differentiation in primary human IDH2 mutation–positive acute myeloid leukaemia (AML) cells ex vivo and in xenograft mouse models.

AG 337

Axon 2853

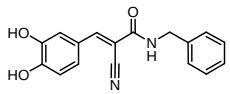
See Nolatrexed dihydrochloride

Page 580

AG 490

Axon 1378

Tyrphostin AG 490; Tyrphostin B42



mg	Price
10	online
50	online

[133550-30-8]
Purity: 99%

Soluble in 0.1N NaOH(aq), DMSO, and Ethanol
C17H14N2O3 MW: 294.30

Biological activity
Janus Kinase 2 (JAK2) inhibitor

AG 1343

Axon 1553

See Nelfinavir mesylate

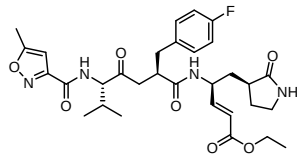
Page 572

AG 7088

Axon 1571

Rupintrivir; Ruprintrivir

[223537-30-2]
Purity: 98%
optically pure
Soluble in DMSO
C31H39FN4O7 MW: 598.66



mg	Price
1	online
5	online

Biological activity
An irreversible human rhinovirus (HRV) 3C protease inhibitor

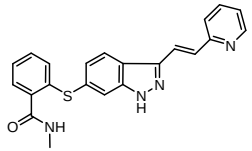
AG 013736

Axon 1414

Axitinib

[319460-85-0]
Purity: 99%

Soluble in DMSO
C22H18N4OS MW: 386.47



mg	Price
5	online
25	online

Biological activity
A tyrosine kinase inhibitor (TKI), targeting VEGFR/PDGFR/c-KIT; orally bioavailable drug exerting an anti-angiogenic effect.

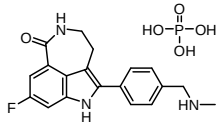
AG 014699

Axon 1529

PF 01367338; Rucaparib

[459868-92-9]
Purity: 98%

Soluble in water and DMSO
C19H18FN3O2.H3O4P MW: 421.36



mg	Price
2	online
5	online

Biological activity
A PARP 1 inhibitor with potential chemosensitizing, radiosensitizing and antineoplastic activities; selectively binds to PARP1 (Ki=1.4 nM) and inhibits PARP1-mediated DNA repair, thereby enhancing the accumulation ofDNA strand breaks and promoting genomic instability and apoptosis. AG-014699 is the phosphate salt of AG 014447 (CAS 283173-50-2) and has improved aqueous solubility

AG-1749

Axon 3244

See Lansoprazole **Recent Addition**

Page 501

AG-EE 623ZW

Axon 3365

See Repaglinide **Recent Addition**

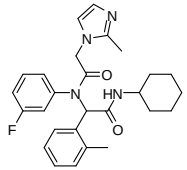
Page 670

AGI 5198

Axon 2122

[1355326-35-0]
Purity: 100%

Soluble in DMSO
C27H31FN4O2 MW: 462.56



mg	Price
2	online
5	online

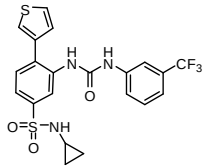
Biological activity
Potent inhibitor of mutant isocitrate dehydrogenase 1 (IDH1); selective for IDH1 R132H and R132C mutants in vitro with IC50 values of 0.07 and 0.16 µM, respectively; it delays growth and promotes differentiation of glioma cells

AGI 6780

Axon 2274

[1432660-47-3]
Purity: 99%

Soluble in DMSO
C21H18F3N3O3S2 MW: 481.51



mg	Price
5	online
25	online

Biological activity
Inhibitor of isocitrate dehydrogenases (IDH) selective for mutant IDH2.
AGI 6780 potently and selectively inhibits the tumor-associated mutant IDH2/R140Q (EC50 value <20 nM for reduction of 2HG levels in cell lines) in an allosteric manner at the dimer interface, and induces differentiation of TF-1 erythroleukemia and primary human acute myelogenous leukemia cells in vitro.

AGN 4204

Axon 2408

See NRX 194204

Page 582

AGN 192403 hydrochloride

Axon 3017

See BRD4780

Page 285

AGN 194204

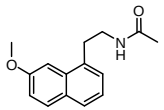
Axon 2408

See NRX 194204

Page 582

Agomelatine

Axon 1492



mg	Price
10	online
50	online

[138112-76-2]
Purity: 99%

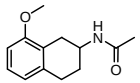
Soluble in DMSO
C15H17NO2 MW: 243.30

Biological activity
Potent melatonin agonist; first melatonin antidepressant; also known as a norepinephrine dopamine disinhibitor (NDDI) due to its antagonism of the 5-HT2C receptor

AH 001

Axon 1335

M-ADOT, 8-



mg	Price
10	online
50	online

[80270-68-4]
Purity: 98%

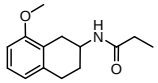
Soluble in DMSO
C13H17NO2 MW: 219.28

Biological activity
Potent melatonin agonist

AH 002

Axon 1336

M-PDOT, 8-



mg	Price
10	online
50	online

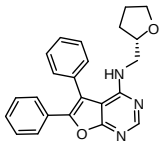
[134865-70-6]
Purity: 98%

Soluble in DMSO
C14H19NO2 MW: 233.31

Biological activity
Melatonin agonist; less potent in comparison with AH-001 (Axon 1335), but more selective on MT2 vs MT1

AIM 100

Axon 2031



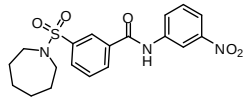
mg	Price
5	online
10	online

[873305-35-2]
Purity: 99%
98% e.e.
Soluble in DMSO
C23H21N3O2 MW: 371.43

Biological activity
Specific inhibitor of Ack1 tyrosine kinase (also known as TNK2); AIM-100 inhibits Ack1 activity and also suppresses androgen receptor (AR) Tyr(267) phosphorylation and its recruitment to the ATM enhancer (Ack1/AR/ATM signaling)

AK 1

Axon 2269



mg	Price
10	online
50	online

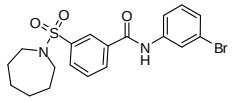
[330461-64-8]
Purity: 100%

Soluble in DMSO
C19H21N3O5S MW: 403.45

Biological activity
Potent inhibitor of SIRT with good selectivity for SIRT2 over SIRT1 and SIRT3 (IC50 values >50 µM, 12.5 µM, and >50 µM for SIRT1, SIRT2, and SIRT3 respectively. Short-term treatment with AK 1 produced large statistically significant changes in RNA expression in untransduced, Htt171-18Q- and Htt171-82Q-expressing neurons and confirm the hypothesis that AK 1-mediated neuroprotection is correlated with the negative regulation of sterol biosynthesis.
AK 1 is among the first brain-permeable SIRT2 inhibitors that mediate neuroprotective reduction of cholesterol biosynthesis in an in vitro Huntington's disease model. More potent in vitro than its analogue AK 7 (Axon 2270).

AK 7

Axon 2270



mg	Price
10	online
50	online

[420831-40-9]
Purity: 100%

Soluble in DMSO
C19H21BrN2O3S MW: 437.35

Biological activity
Potent, brain-permeable and selective inhibitor of SIRT2 (IC50 values >50 µM, 15.5 µM, and >50 µM for SIRT1, SIRT2, and SIRT3 respectively. Treatment with AK 7 showed a SIRT2-dependent nucleo-cytoplasmic trafficking in primary striatal neurons of the master regulator of cholesterol biosynthesis, SREBP-2, and resulted in protection of neurons in an in vitro model of Huntington's disease (HD).
AK 7 is slightly less potent in vitro than its analogue AK 1 (Axon 2269).

AKB6548

Axon 3288

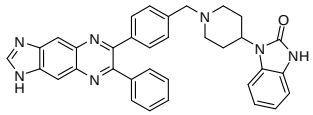
See Vadadustat Recent Addition

Page 791

Akt Inhibitor VIII

Axon 2540

Akti-1/2



mg	Price
5	online
25	online

[612847-09-3]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C34H29N7O MW: 551.64

Biological activity
Non-ATP competitive inhibitor of Akt isoforms 1 and 2 (IC50 values 58 nM and 210 nM for Akt1 and Akt2, respectively). Moreover, the Akt inhibitor efficiently inhibits Ca2+/CaM-dependent protein kinase (CaMKIα) activity (IC50 value 3.99 µM) and prevents TCDD induced nuclear translocation of aryl hydrocarbon receptor (AhR) in MCF-7 cells. Akti-1/2 inhibitory effects towards CaMKIα and TCDD-induced EROD activity in function of Akti-1/2 concentrations were quite similar (IC50 ± SD, 3.99 ± 0.82 µM and 5.86 ± 1.85 µM, respectively).

Akti-1/2

Axon 2540

See Akt Inhibitor VIII

Page 194

AL 3810 dihydrochloride

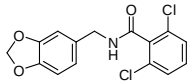
Axon 1942

See E 3810 dihydrochloride

Page 376

Alda 1

Axon 2551



[349438-38-6]
Purity: 99%

Soluble in DMSO
C15H11Cl2NO3 MW: 324.16

mg	Price
10	online
50	online

Biological activity
*Small molecule activator of ALDH2 (EC50 value ca 6 μM for ALDH2 mediated acetaldehyde metabolism) with the ability to activate wild-type ALDH2 and restore near-wild-type activity to ALDH2*2. When administered to rats before an ischemic event, Alda 1 reduced infarct size by 60%, most likely through its inhibitory effect on the formation of cytotoxic aldehydes. Alda-1 was effective in protecting against rotenone-induced apoptotic cell death in both SH-SY5Y cells and primary cultured substantia nigra (SN) dopaminergic neurons, and significantly reduced rotenone- or MPTP-induced death of SN tyrosine hydroxylase*

Alisertib

Axon 2003

See MLN 8237

Page 554

Aliudanexin

Axon 3349

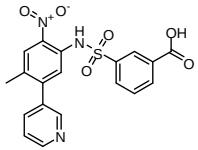
See NMDAR-TRPM4 blocker C19 dihydrochloride Recent Addition

Page 195

Alofanib

Axon 2930

RPT835; ES000835



[1612888-66-0]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C19H15N3O6S MW: 413.40

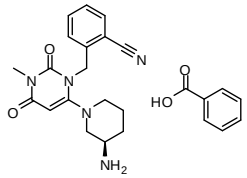
mg	Price
10	online
50	online

Biological activity
Alofanib is an allosteric inhibitor of FGFR2 and significantly inhibited bFGF-induced proliferation of HUVEC cells (IC50 value of 11 nM) and suppressed proliferation of SVEC-4-10 cells (IC50 value of 58 nM). Moreover, Alofanib suppressed the migration activity of endothelial cells, and their ability to form vessel-like structures in vitro. Also, Alofanib significantly decreased the number of microvessels in Matrigel implant and in ovarian cancer (SKOV-3) xenograft in vivo.

Alogliptin benzoate Recent Addition

Axon 3310

SYR-322



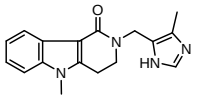
[850649-62-6]
Purity: 99%
Optically pure
Soluble in water and DMSO
C18H21N5O2.C7H6O2 MW: 461.51

mg	Price
10	online
50	online

Biological activity
Alogliptin benzoate is a potent, highly selective and orally active DPP-4 inhibitor with an IC50 value of 6.9 nM.

Alosetron hydrochloride

Axon 1097



[122852-42-0]
Purity: 99%

Soluble in water and DMSO
C17H18N4O MW: 294.35

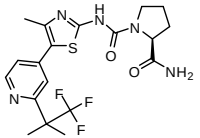
mg	Price
10	online
50	online

Biological activity
Potent and selective 5-HT3 antagonist; a drug for irritable bowel syndrome (IBS) in women

Alpelisib

Axon 2925

NVP-BYL719; ; BYL-719



[1217486-61-7]
Purity: 98%
Optically pure
Soluble in DMSO
C19H22F3N5O2S MW: 441.47

mg	Price
10	online
50	online

Biological activity
Alpelisib is a potent and selective PI3K α-isoform inhibitor with an IC50 value of 5 nM. Moreover, Alpelisib has shown good efficacy in inhibiting the growth of PI3K α-isoform driven tumors in animal xenograft models as well as good tolerability.

Alphagan-P

Axon 1555

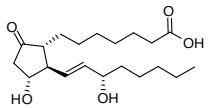
See *Brimonidine tartrate*

Page 287

Alprostadil

Axon 2062

Prostaglandin E1; PGE1



[745-65-3]
Purity: 100%

Soluble in DMSO
C20H34O5 MW: 354.48

mg	Price
10	online
50	online

Biological activity
A prostaglandin drug used in the treatment of erectile dysfunction with vasodilatory properties; Binds EP receptors with Ki values of 36, 10, 1.1 and 2.1 nM for EP1, EP2, EP3 and EP4 respectively and 33 nM for IP receptor
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Alunbrig

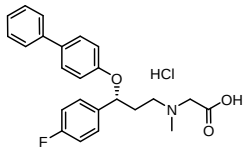
Axon 2978

See Brigatinib Page 286

ALX 5407 hydrochloride

Axon 1238

NFPS



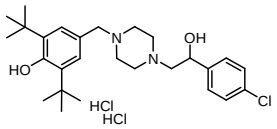
[200006-08-2]
Purity: 99%
98% ee
Soluble in DMSO
C24H24FNO3.HCl MW: 429.91

mg	Price
10	online
50	online

Biological activity
Potent, selective, irreversible hGlyT-1 glycine transporter inhibitor

AM 36 dihydrochloride

Axon 1113



[199467-52-2]
Purity: 98%

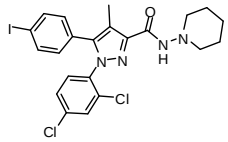
Moderately soluble in water
C27H39ClN2O2.2HCl MW: 531.99

mg	Price
10	online
50	online

Biological activity
Na+ channel blocker; neuroprotective agent

AM 251

Axon 1218



[183232-66-8]
Purity: 99%

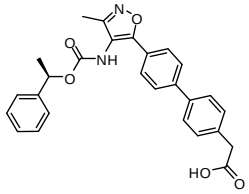
Soluble in DMSO and Ethanol
C22H21Cl2IN4O MW: 555.24

mg	Price
10	online
50	online

Biological activity
Cannabinoids CB1 antagonist, structurally very close to SR 141716A (rimonabant). AM251: Ki 7.5 nM for CB1 receptor vs SR 141716A (Ki value of 11.5 nM).However AM251 is about two-fold more selective for the CB1 receptor when compared to SR 141716A

AM 095 (parent compound)

Axon 2367



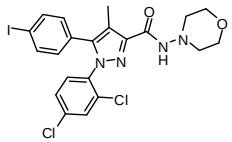
[1228690-36-5]
Purity: 99%
Optically pure
Soluble in 0.1N NaOH(aq) and DMSO
C27H24N2O5 MW: 456.49

mg	Price
10	online
50	online

Biological activity
A novel potent and selective LPA1 antagonist (IC50 values 0.025 μM and 0.023 μM for AM095 antagonism of LPA-induced calcium flux of human or mouse LPA1-transfected CHO cells,respectively). AM 095 attenuates bleomycin-induced dermal fibrosis.

AM 281

Axon 1219



[202463-68-1]
Purity: 99%

Soluble in DMSO
C21H19Cl2IN4O2 MW: 557.21

mg	Price
10	online
50	online

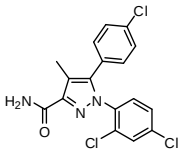
Biological activity
Potent and selective CB1 cannabinoid receptor antagonist/inverse agonist

AM 4113

Axon 2791

[614726-85-1]
Purity: 99%

Soluble in DMSO
C17H12Cl3N3O MW: 380.66



mg	Price
10	online
50	online

Biological activity
Cannabinoid CB1 receptor antagonist. AM 4113 was able to bind with high affinity to CB1 receptors, exhibiting 100-fold selectivity for CB1 vs CB2 receptors (Ki values of 0.89 and 92 nM for CB1 and hCB2, respectively). AM 4113 does not show inverse agonist properties (ie no effects on cyclic-AMP production).

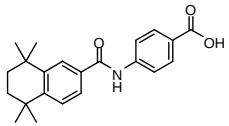
AM 580

Axon 2948

CD336; NSC 608001; RO 40-6055

[102121-60-8]
Purity: 99%

Soluble in DMSO
C22H25NO3 MW: 351.44



mg	Price
10	online
50	online

Biological activity
AM 580 is a RAR-α agonist with EC50 values of 0.3 nM, 8.6 nM and 13.0 nM for RAR-α, RAR-β and RAR-γ, respectively.

AM 630

Axon 1574

See Iodopravadoline
Page 470

AM-1155 hydrochloride

Axon 3171

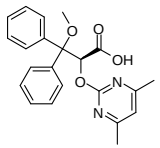
See Gatifloxacin hydrochloride Recent Addition
Page 415

Ambrisentan

Axon 1648

BSF 208075; Letairis; Volibris

[177036-94-1]
Purity: 98%
optically pure
Soluble in DMSO
C22H22N2O4 MW: 378.42



mg	Price
5	online
25	online

Biological activity
Orally active non-peptide endothelin-A (ETA) receptor antagonist; therapeutic agent for the treatment of pulmonary arterial hypertension

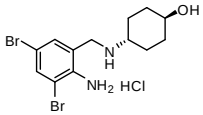
Ambroxol hydrochloride

Recent Addition

Axon 3159

[23828-92-4]
Purity: 99%

Soluble in water and DMSO
C13H18Br2N2O.HCl MW: 414.56



mg	Price
50	online
250	online

Biological activity
Ambroxol hydrochloride is an expectorant and mucokinetic compound. Ambroxol hydrochloride is shown to exert several activities: i) secretolytic activity ii) anti-inflammatory and antioxidant activity; and iii) a local anaesthetic effect through sodium channel blocking at the level of the cell membrane.

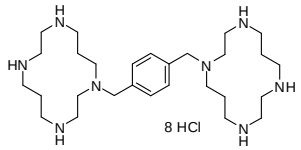
AMD 3100

Axon 1738

Plerixafor; SID 791; JM 3100

[155148-31-5]
Purity: 100%

Soluble in water
C28H54N8.8HCl MW: 794.47



mg	Price
10	online
50	online

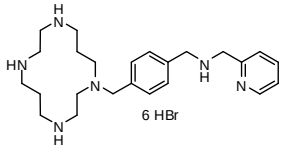
Biological activity
Highly potent and selective chemokine CXCR4 receptor antagonist, with IC50 values to be 0.02-0.13 and >25 μM for CXCR4 and all other chemokine receptors respectively); HIV inhibitor; an immunostimulant used to multiply hematopoietic stem cells in cancer patients

AMD 3465

Axon 1930

[185991-07-5]
Purity: 98%

Soluble in water and DMSO
C24H38N6.6HBr MW: 896.07



mg	Price
5	online
25	online

Biological activity
Potent and selective CXCR4 antagonist; Potent anti-HIV agent that specifically blocks the interaction of HIV gp120 with CXCR4. Compared to AMD 3100 (Axon 1738), AMD3465 was even 10-fold more effective as a CXCR4 antagonist, while showing no interaction whatsoever with CCR5;AMD3465 has the potential to mobilize hematopoietic stem cells

Amethopterin

Axon 3319

See Methotrexate Recent Addition
Page 533

Amfebutamone

Axon 1451

See Bupropion hydrochloride
Page 290

AMG 131

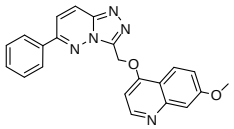
Axon 2019

See INT 131

Page 469

AMG 208

Axon 1916



[1002304-34-8]
Purity: 99%

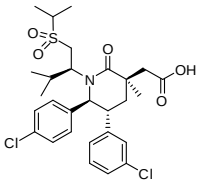
Read COA for solubility
C22H17N5O2 MW: 383.40

mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of c-MET receptor tyrosine kinase (RTK); AMG208 inhibits both ligand-dependent and ligand-independent c-MET activation.Inhibition of c-Met signaling with AMG 208 provides a potential mechanism for blocking tumor growth and survival

AMG 232

Axon 2639



[1352066-68-2]
Purity: 100%
Optically pure
Soluble in 0.1N NaOH(aq) and DMSO
C28H35Cl2NO5S MW: 568.55

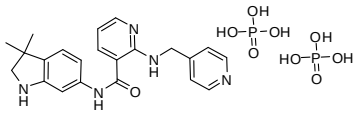
mg	Price
5	online
25	online

Biological activity
Potent, selective, and orally bioavailable MDM2-p53 inhibitor (IC50 value 9.1 nM, Kd 0.045 nM), demonstrating remarkable pharmacokinetic properties and in vivo antitumor activity in the SJSA-1 osteosarcoma xenograft model. Moreover, AMG 232 activates p53 pathway activity in vivo, and potentiates the activity of p53-inducing cytotoxic agents

AMG 706

Axon 1768

Motesanib diphosphate



[857876-30-3]
Purity: 99%

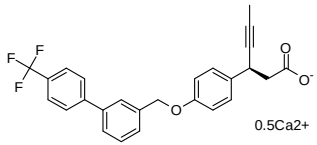
Soluble in water
C22H23N5O.2H3O4P MW: 569.44

mg	Price
5	online
25	online

Biological activity
A potent and orally bioavailable multiple receptor tyrosine kinase inhibitor, targeting VEGFR/PDGFR/c-KIT (IC50: 2, 3, 6, 84, 8 and 59 nm for VEGFR1, VEGFR2, VEGFR3, PDGFR, KIT and Ret receptors respectively);potently inhibits angiogenesis and induces regression in tumor xenografts.

AMG 837

Axon 2405



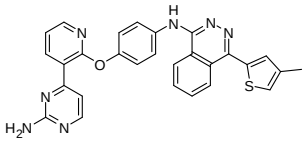
[1291087-14-3]
Purity: 99%
Optically pure
Soluble in DMSO
C26H20F3O3.½Ca MW: 457.47

mg	Price
5	online
25	online

Biological activity
Orally bioavailable partial agonist of the GPR40 (EC50 value 13.5 nM for AMG 837 stimulated Ca2+ flux in CHO cells expressing human GPR40) with a superior pharmacokinetic profile. AMG837 stimulated robust glucose-dependent insulin secretion (EC50 value 142±20 nM) in isolated rodent islets, and lowered post-prandial glucose in normal rats. AMG-837 exhibits a potential utility for the treatment of type 2 diabetes.

AMG 900

Axon 1783



[945595-80-2]
Purity: 98%

Soluble in DMSO
C28H21N7OS MW: 503.58

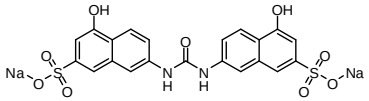
mg	Price
5	online
25	online

Biological activity
Potent and highly selective inhibitor of pan-aurora kinases with activity in taxane-resistant tumor cell lines

AMI-1

Axon 2863

AMI-1 sodium salt



[20324-87-2]
Purity: 99%

Soluble in water and DMSO
C21H14N2Na2O9S2 MW: 548.45

mg	Price
10	online
50	online

Biological activity
AMI-1 specifically inhibits protein arginine N-methyltransferase (PRMT) activity in vitro (IC50 values of 8.81 and 3.04 μM for PRMT1 and Hmt1p, respectively). Furthermore, AMI-1 prevents in vivo arginine methylation of cellular proteins and can modulate nuclear receptor-regulated transcription from estrogen and androgen response elements, thus operating as a brake on certain hormone actions. HIV-1 integrase inhibitor (IC50 value of 4 μM).

AMI-1 sodium salt

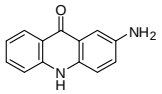
Axon 2863

See AMI-1

Page 202

Aminoacridone, 2-

Axon 1878



[27918-14-5]
Purity: 100%

Soluble in DMSO
C13H10N2O MW: 210.23

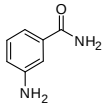
Mg	Price
10	online
50	online

Biological activity
Excellent derivatisation reagent tuned toward the mild labeling of malondialdehyde and subsequent identification by fluorescence. Derivatisation can be carried out in aqueous citrate buffer at 40 C. Also as Fluorescent label for glycans and saccharides

Aminobenzamide, 3-

Axon 1496

3-AB; 3-ABA



[3544-24-9]
Purity: 99%

Soluble in water and DMSO
C7H8N2O MW: 136.15

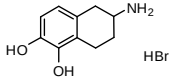
mg	Price
10	online
50	online

Biological activity
A competitive small molecule inhibitor of poly(ADP-ribose) polymerase (PARP)

Aminotetraline hydrobromide, 5,6-Dihydroxy-2-

Axon 1044

ADTN, 5,6-



[37096-30-3]
Purity: 98%

No solubility data
C10H13NO2.HBr MW: 260.13

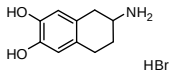
Mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

Aminotetraline hydrobromide, 6,7-Dihydroxy-2-

Axon 1045

ADTN, 6,7-



[13575-86-5]
Purity: 98%

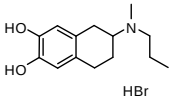
Soluble in water
C10H13NO2.HBr MW: 260.13

mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

Aminotetraline hydrobromide, 6,7-Dihydroxy-N-methyl-N-propyl-

Axon 1021



[1246094-90-5]
Purity: 98%

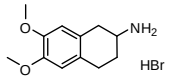
No solubility data
C14H21NO2.HBr MW: 316.23

mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

Aminotetraline hydrobromide, 6,7-Dimethoxy-2-

Axon 1043



[40069-26-9]
Purity: 99%

Soluble in water
C12H17NO2.HBr MW: 288.18

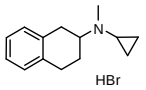
mg	Price
25	online
100	online

Biological activity
Dopamine receptor agonist

Aminotetraline hydrobromide, N-Cyclopropyl-N-methyl-2-

Axon 1066

CMAT



[1246094-80-3]
Purity: 99%

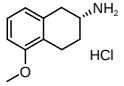
Soluble in water
C14H19N.HBr MW: 282.22

mg	Price
10	online
50	online

Biological activity
MAO inhibitor

Aminotetraline hydrochloride, (R)-(+)-5-Methoxy-2-

Axon 1049



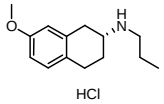
[58349-15-8]
Purity: 98%
>98% ee
No solubility data
C11H15NO.HCl MW: 213.70

mg	Price
100	online
1000	online

Biological activity
Dopamine receptor agonist

Aminotetraline hydrochloride, (R)-(+)-7-Methoxy-N-propyl-2-

Axon 1030



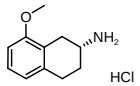
[93503-08-3]
Purity: 98%
>98% ee
No solubility data
C14H21NO.HCl MW: 255.78

mg	Price
100	online
1000	online

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, (R)-(+)-8-Methoxy-2-

Axon 1058



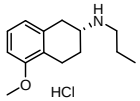
[119363-61-0]
Purity: 98%
>98% ee
No solubility data
C11H15NO.HCl MW: 213.70

mg	Price
100	online
1000	online

Biological activity
Building Block; 5-HT1A agonist

Aminotetraline hydrochloride, (R)-5-Methoxy-N-propyl-2-

Axon 1026



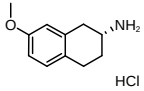
[93601-85-5]
Purity: 98%
>98% ee
No solubility data
C14H21NO.HCl MW: 255.78

mg	Price
100	online
1000	online

Biological activity
Dopamine receptor agonist

Aminotetraline hydrochloride, (R)-7-Methoxy-2-

Axon 1055



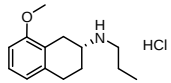
[170638-05-8]
Purity: 98%
>98% ee
No solubility data
C11H15NO.HCl MW: 213.70

mg	Price
5	online
1000	online

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, (R)-8-Methoxy-N-propyl-2-

Axon 1033



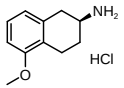
[78095-32-6]
Purity: 98%
>98% ee
Soluble in DMSO
C14H21NO.HCl MW: 255.78

mg	Price
100	online
1000	online

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, (S)-(-)-5-Methoxy-2-

Axon 1050



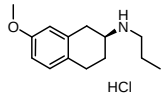
[58349-17-0]
Purity: 98%
>98% ee
Soluble in water and DMSO
C11H15NO.HCl MW: 213.70

mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

Aminotetraline hydrochloride, (S)-(-)-7-Methoxy-N-propyl-2-

Axon 1031



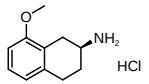
[93503-09-4]
Purity: 98%
>98% ee
No solubility data
C14H21NO.HCl MW: 255.78

mg	Price
100	online
1000	online

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, (S)-(-)-8-Methoxy-2-

Axon 1059



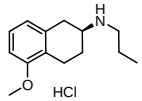
[197446-42-7]
Purity: 98%
>98% ee
No solubility data
C11H15NO.HCl MW: 213.70

mg	Price
100	online
1000	online

Biological activity
Building Block; 5-HT1A agonist

Aminotetraline hydrochloride, (S)-5-Methoxy-N-propyl-2-

Axon 1027



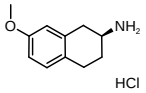
mg	Price
100	online
1000	online

[93601-86-6]
Purity: 98%
>98% ee
No solubility data
C14H21NO.HCl MW: 255.78

Biological activity
Dopamine receptor agonist

Aminotetraline hydrochloride, (S)-7-Methoxy-2-

Axon 1056



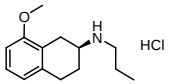
mg	Price
100	online
1000	online

[158223-16-6]
Purity: 98%
>98% ee
No solubility data
C11H15NO.HCl MW: 213.70

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, (S)-8-Methoxy-N-propyl-2-

Axon 1034



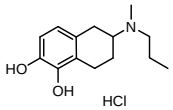
mg	Price
100	online
1000	online

[78095-35-9]
Purity: 98%
>98% ee
Soluble in DMSO
C14H21NO.HCl MW: 255.78

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, 5,6-Dihydroxy-N-methyl-N-propyl-

Axon 1019



mg	Price
10	online
50	online

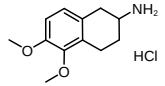
[55218-13-8]
Purity: 98%

No solubility data
C14H21NO2.HCl MW: 271.78

Biological activity
Dopamine receptor agonist

Aminotetraline hydrochloride, 5,6-Dimethoxy-2-

Axon 1042



mg	Price
25	online
100	online

[21489-75-8]
Purity: 98%

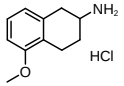
No solubility data
C12H17NO2.HCl MW: 243.73

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, 5-Methoxy-2-

Axon 1048

SKF 87967 hydrochloride



mg	Price
1000	online
5000	online

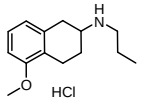
[3880-88-4]
Purity: 98%

No solubility data
C11H15NO.HCl MW: 213.70

Biological activity
Dopamine receptor agonist

Aminotetraline hydrochloride, 5-Methoxy-N-propyl-2-

Axon 1025



mg	Price
1000	online
5000	online

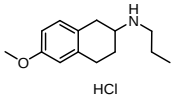
[3904-24-3]
Purity: 98%

No solubility data
C14H21NO.HCl MW: 255.78

Biological activity
Dopamine receptor agonist

Aminotetraline hydrochloride, 6-Methoxy-N-propyl-2-

Axon 1028



mg	Price
100	online
1000	online

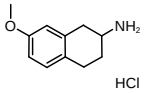
[69788-83-6]
Purity: 98%

No solubility data
C14H21NO.HCl MW: 255.78

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, 7-Methoxy-2-

Axon 1054



[3880-78-2]
Purity: 98%

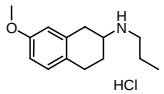
No solubility data
C11H15NO.HCl MW: 213.70

mg	Price
1000	online
5000	online

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, 7-Methoxy-N-propyl-2-

Axon 1029



[93601-93-5]
Purity: 98%

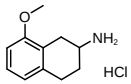
No solubility data
C14H21NO.HCl MW: 255.78

mg	Price
1000	online
5000	online

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, 8-Methoxy-2-

Axon 1057



[3880-76-0]
Purity: 98%

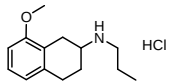
No solubility data
C11H15NO.HCl MW: 213.70

mg	Price
1000	online
5000	online

Biological activity
Building Block; 5-HT1A agonist

Aminotetraline hydrochloride, 8-Methoxy-N-propyl-2-

Axon 1032



[87394-71-6]
Purity: 98%

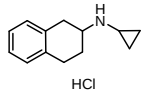
No solubility data
C14H21NO.HCl MW: 255.78

mg	Price
1000	online
5000	online

Biological activity
Building Block; unknown pharmacology

Aminotetraline hydrochloride, N-Cyclopropyl-2-

Axon 1067



[1246094-94-9]
Purity: 98%

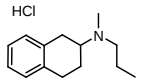
Soluble in water
C13H17N.HCl MW: 223.74

mg	Price
10	online
50	online

Biological activity
MAO inhibitor

Aminotetraline hydrochloride, N-Methyl-N-propyl-2-

Axon 1023



[134467-74-6]
Purity: 98%

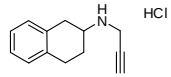
No solubility data
C14H21N.HCl MW: 239.78

mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

Aminotetraline hydrochloride, Prop-2-ynyl-2-

Axon 1064



[134467-59-7]
Purity: 98%

No solubility data
C13H15N.HCl MW: 221.73

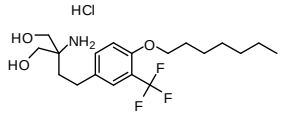
mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

Amiselimod hydrochloride

Axon 3096

MT-1303



[942398-84-7]
Purity: 99%

Soluble in DMSO
C19H31ClF3NO3 MW: 413.90

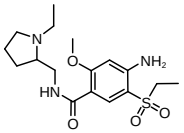
mg	Price
10	online
50	online

Biological activity
Amiselimod hydrochloride is a prodrug sphingosine 1-phosphate (S1P) receptor modulator. Amiselimod is converted to its active metabolite, (S)-amiselimod phosphate (amiselimod-P), by sphingosine kinases. Amiselimod-P showed potent selectivity for S1P1 and high selectivity for S1P5 receptors, with minimal agonist activity for S1P4 and no distinct agonist activity for S1P2 or S1P3 receptors and approximately five-fold weaker GIRK activation than fingolimod-P.

Amisulpride

Axon 1381

DAN 2163



mg	Price
10	online
50	online

[71675-85-9]
Purity: 99%

Soluble in 0.1N HCl(aq)
C17H27N3O4S MW: 369.48

Biological activity
Dopamine D2 and D3 receptor antagonist (Ki 2.8 and 3.2 nM for D2 and D3 respectively); Claimed to be atypical antipsychotic with low incidence of EPS

Amlodipine benzenesulfonate

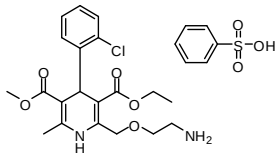
Axon 3015

See Amlodipine besylate
Page 211

Amlodipine besylate

Axon 3015

Amlodipine benzenesulfonate



mg	Price
10	online
50	online

[111470-99-6]
Purity: 99%

Soluble in DMSO
C20H25ClN2O5.C6H6O3S MW: 567.05

Biological activity
Amlodipine besylate is an intrinsically long-acting, vasoselective dihydropyridine calcium antagonist that inhibits calcium ion influx across cell membranes selectively, with a greater effect on vascular smooth muscle cells than on cardiac muscle cells. Amlodipine besylate is indicated for treatment of hypertension and stable angina.

AMN 107

Axon 1396

See Nilotinib
Page 577

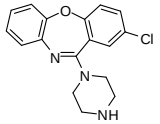
AMN 107 hydrochloride

Axon 3168

See Nilotinib hydrochloride Recent Addition
Page 577

Amoxapine

Axon 1333



mg	Price
50	online
250	online

[14028-44-5]
Purity: 99%

Soluble in DMSO
C17H16ClN3O MW: 313.78

Biological activity
Tricyclic antidepressant; a strong reuptake inhibitor of norepinephrine and weak reuptake inhibitor of serotonin. One of its major metabolites, 7-hydroxyamoxapine, has a dopamine receptor blocking effect.

Ampalex

Axon 3089

See CX516
Page 341

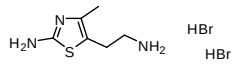
AMR-69

Axon 2647

See Pirfenidone
Page 640

Amthamine dihydrobromide

Axon 1207



mg	Price
10	online
50	online

[142457-00-9]
Purity: 99%

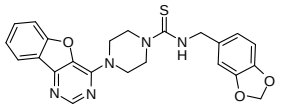
Soluble in water
C6H11N3S.2HBr MW: 319.06

Biological activity
Standard selective histamine H2 agonist

Amuvatinib

Axon 2368

MP 470



mg	Price
10	online
50	online

[850879-09-3]
Purity: 99%

Soluble in DMSO
C23H21N5O3S MW: 447.51

Biological activity
RTK inhibitor which effectively inhibits PDGFR, c-Kit and c-Met (IC50 values low µM range in vivo). Amuvatinib influences various survival and DNA repair related proteins such as pAKT, RAD51 and GSK3β, inhibits cell proliferation, induces cell growth arrest and promotes apoptosis in prostate LNCaP cancer cells with low µM IC50 values. When combined with Erlotinib (Axon 1128), Amuvatinib abolished HER family/PI3K/Akt pathway with associated tumor growth inhibition in prostate cancer.

AN2690

Axon 3170

See Tavaborole Recent Addition
Page 754

AN2728

Axon 3169

See Crisaborole **Recent Addition**

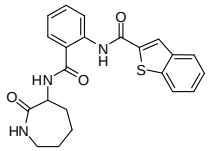
Page 338

ANA 12

Axon 2468

[219766-25-3]
Purity: 100%

Soluble in DMSO
C22H21N3O3S MW: 407.49



mg	Price
5	online
25	online

Biological activity
Selective TrkB antagonist (IC50 value 45.6 ± 8.4 nM for the high-affinity TetOn-rhTrkB) with anxiolytic and antidepressant activity in mice that inhibits processes downstream of TrkB without altering TrkA and TrkC functions. A valuable tool for studying BDNF/TrkB signaling. ANA-12 is also capable of reversing the diminished self-administration of cocaine in male CocSired rats by means of enhanced BDNF expression.

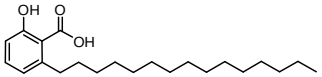
Anacardic acid A

Axon 1490

Pentadecylsalicylic acid, 6-

[16611-84-0]
Purity: 98%

Soluble in DMSO
C22H36O3 MW: 348.52



mg	Price
10	online
50	online

Biological activity
A cell-permeaable, non-competitive inhibitor of histone acetyl transferase (HAT)

Anandron

Axon 3249

See Nilutamide **Recent Addition**

Page 577

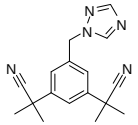
Anastrozole **Recent Addition**

Axon 3316

Arimidex; ZD1033; ICI D1033

[120511-73-1]
Purity: 99%

Soluble in DMSO
C17H19N5 MW: 293.37



mg	Price
10	online
50	online

Biological activity
Anastrozole is a potent, highly selective, and orally active fourth-generation aromatase inhibitor (IC50 value of 15 nM) with no intrinsic hormonal activities.

Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, (6a,11b,16a,17a)-

Axon 1171

See Axon 1171 Page 237

Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, (6a,11b,16a,17a)-

Axon 1170

See Axon 1170 Page 237

Angular TIC 10

Axon 2300

See TIC 10 active isomer

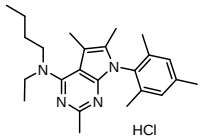
Page 766

Antalarmin hydrochloride

Axon 1321

[220953-69-5]
Purity: 99%

Soluble in DMSO
C24H34N4.HCl MW: 415.01



mg	Price
10	online
50	online

Biological activity
Non-peptide CRF1 corticotropin-releasing factor receptor antagonist

Antisedan

Axon 1371

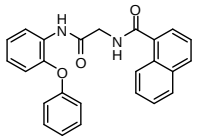
See Atipamezole hydrochloride Page 233

AOH1160

Axon 3008

[2089314-57-6]
Purity: 99%

Soluble in DMSO
C25H20N2O3 MW: 396.44



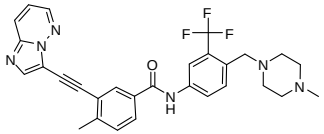
mg	Price
5	online
25	online

Biological activity
First-in-class, potent and orally available PCNA inhibitor which selectively kills a broad range of cancer cells at a below micromolar concentration (IC50 values ranging from 0.11 µM to 0.53 µM), but is not associated with significant toxicity to non-malignant cells.

AP 24534

Axon 1857

Ponatinib



[943319-70-8]
Purity: 98%

Soluble in DMSO
C29H27F3N6O MW: 532.56

mg	Price
5	online
25	online

Biological activity
Potent and orally active tyrosine kinase inhibitor, targeting BCR-ABL and multiple RTK

AP 26113

Axon 2978

See Brigatinib Page 286

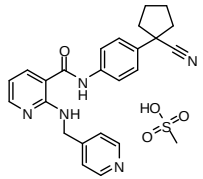
AP32788

Axon 3232

See TAK-788 Recent Addition Page 751

Apatinib

Axon 2849



[1218779-75-9]
Purity: 99%

Soluble in DMSO
C25H27N5O4S MW: 493.58

mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of VEGFR2 tyrosine kinase (IC50 value of 1 nM) and in vivo. Apatinib could also potently suppress the activities of RET, c-KIT and c-Src with IC50 values of 0.13, 0.429 and 0.53 μM, respectively. In vivo, apatinib alone and in combination with chemotherapeutic agents effectively inhibited the growth of several established human tumor xenograft models with little toxicity.

Apatinib mesylate

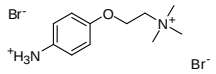
Axon 2849

See Apatinib Page 215

APC, 4-

Axon 1876

VUF 11000



[1076196-38-7]
Purity: 99%

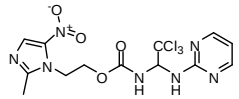
Soluble in DMSO
C11H19BrN2O.HBr MW: 356.10

mg	Price
5	online
25	online

Biological activity
Excellent derivatisation reagent for aldehydes, yielding adducts with LC-MS-tuned identifiers and properties. Very mild derivatisation conditions (NaBH3CN, water, pH 5.7, 4 C).Attractive selectivity profile (including over ketones) and specific fragmentation properties in MS/MS profiling sensitivity and specificity. Sold in collaboration with VU (VU University Amsterdam)*

Apcin Recent Addition

Axon 3194



[300815-04-7]
Purity: 99%

Soluble in DMSO
C13H14Cl3N7O4 MW: 438.65

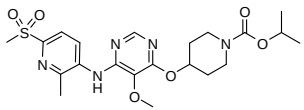
mg	Price
10	online
50	online

Biological activity
Apcin is an inhibitor of APC/C-Cdc20. Apcin binds to Cdc20 and competitively inhibits the ubiquitylation of D-box-containing substrates. Apcin causes either net APC/C inhibition, prolonging mitosis when spindle assembly checkpoint (SAC) activity is low, or net APC/C activation, shortening mitosis when SAC activity is high.

APD 597

Axon 2541

JNJ 38431055



[897732-93-3]
Purity: 99%

Soluble in DMSO
C21H29N5O6S MW: 479.55

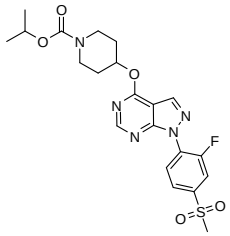
mg	Price
5	online
25	online

Biological activity
Orally bioavailable selective GPR119 agonist (EC50 value 44 nM for hGPR119, IC50 value 13 μM) with a good balance between agonist potency, intrinsic activity, metabolic profile and in particular on its good solubility and reduced drug–drug interaction potential. In clinical trial, JNJ 38431055 was well tolerated and not associated with hypoglycaemia

APD 668

Axon 2380

JNJ 28630368



	mg	Price
[832714-46-2] Purity: 100%	5	online
Soluble in DMSO C21H24FN5O5S MW: 477.51	25	online

Biological activity
Potent and selective, orally active G protein-coupled receptor 119 agonist (EC50 values 2.7 nM and 23 nM for hGPR119 and rGPR119, respectively) with in vivo activity in rodent models of glucose control. APD 668 significantly improved blood glucose handling during glucose challenge in several diabetic and non-diabetic rodent models, showing a clear glucose-dependent effect on insulin release in a hyperglycemic clamp model in the Sprague–Dawley rat. APD 668 is not genotoxic, and shows no significant inhibition of any of the five major CYP isoforms with the exception of CYP2C9 (Ki value 0.1 µM).

APD 811

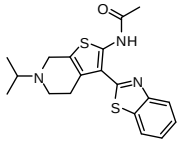
Axon 2874

See Ralinepag

Page 664

APE1 Inhibitor III

Axon 2137



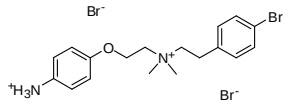
	mg	Price
[524708-03-0] Purity: 99%	10	online
Soluble in DMSO C19H21N3OS2 MW: 371.52	50	online

Biological activity
Cell permeable and competitive inhibitor of apurinic/apyrimidinic (AP) endonuclease 1 (Ape1) activity, exhibiting 2.0 micromolar activity against the purified APE1 enzyme

APEBA, 4-

Axon 1877

VUF 10996



	mg	Price
[1226984-28-6] Purity: 99%	5	online
Soluble in DMSO C18H24Br2N2O.HBr MW: 525.12	25	online

Biological activity
Excellent derivatisation reagent for aldehydes and carboxylic acids. Very mild derivatisation conditions. Selectivity for aldehydes or carboxylic acids is obtained by changing co-reagent.In addition to the retained features of the 1st generation reagent 4-APC, 4-APEBA and its adducts contain additional unique properties (Br-isotope identifier, specific bromophenethyl fragmentation, increased lipophilicity). Sold in collaboration with VU (VU University Amsterdam).*

Apilimod

Axon 1369

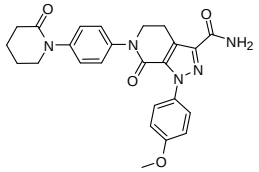
See STA 5326

Page 736

Apixaban

Axon 1754

BMS 562247-01

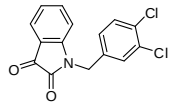


	mg	Price
[503612-47-3] Purity: 98%	10	online
Soluble in DMSO C25H25N5O4 MW: 459.50	50	online

Biological activity
Direct factor Xa inhibitor; being investigated as an anticoagulant

Apoptosis Activator 2

Axon 2006



	mg	Price
[79183-19-0] Purity: 99%	10	online
	50	online
C15H9Cl2NO2 MW: 306.14		

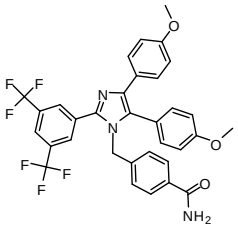
Biological activity
A cell-permeable apoptosis activator; activates caspases in a cytochrome c-dependent manner and induces apoptosis in tumor cells by promoting the oligomerization of Apaf-1 into the mature apoptosome

Apoptozole

Axon 2251

[1054543-47-3]
Purity: 100%

Soluble in DMSO
C33H25F6N3O3 MW: 625.56



mg	Price
10	online
50	online

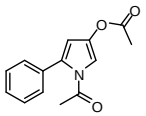
Biological activity
An apoptosis-inducing small molecule that inhibits the ATPase activity of heat shock cognate 70 (Hsc70) and Hsp70 by binding to its ATPase domain (Kd values 0.21 and 0.14 μM for Hsc70 and Hsp70, respectively as determined by surface plasmon resonance (SPR) spectroscopy). Apoptozole has high cellular potency to restore the chloride channel activity of mutant CFTR by promoting its membrane trafficking.

APPA

Axon 2883

[100750-39-8]
Purity: 99%

Soluble in DMSO
C14H13NO3 MW: 243.26



mg	Price
10	online
50	online

Biological activity
APPA is an aldose reductase inhibitor with an IC50 value of 0.0223 μM. APPA could inhibit apoptosis in rat glomerular mesangial cells in vitro. In addition, APPA improved the pathological symptoms of streptozotocin-induced diabetic nephropathy (DN) in rats by affecting antioxidant activities and reducing the levels of TGF-β, collagen IV, and laminin.

Apratastat

Axon 1507

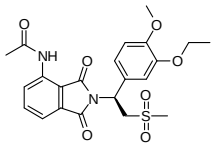
See TMI 005
Page 770

Apremilast

Axon 1957

CC 10004

[608141-41-9]
Purity: 99%
optically pure
Soluble in DMSO
C22H24N2O7S MW: 460.50



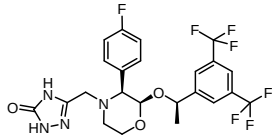
mg	Price
5	online
25	online

Biological activity
Orally active inhibitor of phosphodiesterase-4 (PDE4); an investigational drug for ankylosing spondylitis, psoriasis, and psoriatic arthritis. Apremilast reduces TNFalpha production from human synovial cells and significantly suppresses experimental arthritis

Aprepitant

Axon 1486

[170729-80-3]
Purity: 99%
optically pure
Soluble in DMSO
C23H21F7N4O3 MW: 534.43



mg	Price
5	online
25	online

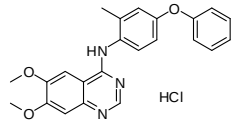
Biological activity
Substance P antagonist (SPA), having effect by blocking the neurokinin 1 (NK1) receptor

APS-2-79

Axon 2611

[2002381-31-7]
Purity: 98%

Soluble in DMSO
C23H21N3O3.HCl MW: 423.89



mg	Price
5	online
25	online

Biological activity
Small molecule that stabilizes the KSR (Kinase suppressor of Ras) inactive state and antagonizes oncogenic Ras signalling (IC50 value 120 nM against ATP-biotin probe-labelling of KSR2). Furthermore, APS-2-79 modulates KSR-dependent MAPK signaling, and increases the potency of several MEK inhibitors, specifically within Ras-mutant cell lines by antagonizing release of negative feedback signaling.

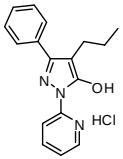
APX-115

Axon 2819

Ewha-18278

[1395946-75-4]
Purity: 99%

Soluble in DMSO
C17H17N3O.HCl MW: 315.80

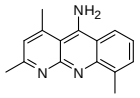


mg	Price
5	online
25	online

Biological activity
APX-115 is a first-in-class pan-NADPH oxidase (Nox) inhibitor with a Ki value of 0.57–1.08 μM for Nox isozymes. Blocking the activity of Nox with APX-115 inhibited the responses of BMMs to RANKL, including reactive oxygen species (ROS) generation, activation of mitogen-activated protein (MAP) kinases and NF-κB, and OC differentiation. Drug candidate for treatment of osteoporosis. Promising therapeutic for diabetic nephropathy.

AR03

Axon 2136



[510721-85-4]
Purity: 99%

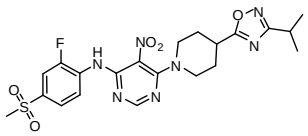
Soluble in 0.1N HCl(aq) and DMSO
C15H15N3 MW: 237.30

mg	Price
10	online
50	online

Biological activity
Specific inhibitor of apurinic/apyrimidinic (AP) endonuclease 1 (Ape1) activity

AR 231453

Axon 1572



[733750-99-7]
Purity: 99%

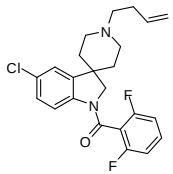
Soluble in DMSO
C21H24FN7O5S MW: 505.52

mg	Price
5	online
25	online

Biological activity
Potent and orally active agonist of cannabinoid receptor GPR119

AR 244555

Axon 2191



[858350-62-6]
Purity: 99%

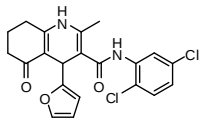
Soluble in 0.1N HCl(aq) and DMSO
C23H23ClF2N2O MW: 416.89

mg	Price
5	online
25	online

Biological activity
Inverse agonist of Mas G-protein signaling (IC50 values 186 and 348 nM in human and rat inositol phosphatase (IP) Gq coupling assays respectively). AR 244555 caused a dose-dependent inhibition of inositol 1,4,5-trisphosphate accumulation in AdMas-infected cells, and attenuated the sarcomeric organization and cell enlargement observed in Mas overexpressing myocytes. AR 244555 caused a modest but significant increase in coronary flow in rat hearts witout causing arrhythmias, and provides protection from ischemia-reperfusion injury if administered either before ischemia or immediately before reperfusion.

AR 420626

Axon 2794



[1798310-55-0]
Purity: 99%

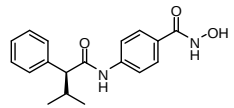
Soluble in DMSO
C21H18Cl2N2O3 MW: 417.29

mg	Price
10	online
50	online

Biological activity
AR 420626 is an allosteric FFA3 (GPR41) receptor agonist (pEC50 value of 5.74) which enhances mucosal defenses and prevents NSAID-induced enteropathy via the GLP-2 pathway in rats.

AR-42

Axon 2394



[935881-37-1]
Purity: 99%
optically pure
Soluble in DMSO
C18H20N2O3 MW: 312.36

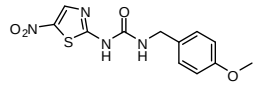
mg	Price
10	online
50	online

Biological activity
AR-42 is a novel HDAC inhibitor (IC50 value of 16 nM) with potent anticancer effects in pancreatic cancer cells at submicromolar concentrations by inducing cell cycle arrest, stimulating apoptosis, and regulating expression of several miRNAs. Also demonstrated anticancer activity in many other cancers, including acute myeloid leukemia, multiple myeloma, prostate cancer, ovarian cancer, human glioma cells, and bladder cancer.

AR-A 014418

Axon 2167

SN 4521



[487021-52-3]
Purity: 99%

Soluble in DMSO
C12H12N4O4S MW: 308.31

mg	Price
5	online
25	online

Biological activity
Specific glycogen synthase kinase GSK-3 inhibitor; ATP-competitive

Ara-C

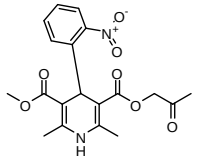
Axon 3238

See Cytarabine **Recent Addition** Page 345

Aranidipine

Axon 3013

MPC-1304; Sapresta



[86780-90-7]
Purity: 98%

Soluble in DMSO
C19H20N2O7 MW: 388.37

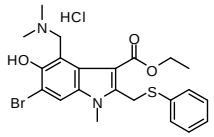
mg	Price
10	online
50	online

Biological activity
Calcium antagonist with potent and long-lasting vasodilating and antihypertensive activities.

Arbidol hydrochloride

Axon 3140

Umifenovir



mg	Price
10	online
50	online

[131707-23-8]
Purity: 99%

Soluble in DMSO
C22H25BrN2O3S.HCl MW: 513.88

Biological activity
Broad-spectrum antiviral agent.

Ariflo

Axon 1592

See SB 207499 Page 694

Arimidex

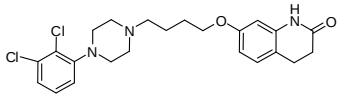
Axon 3316

See Anastrozole Recent Addition Page 213

Aripiprazole

Axon 1143

OPC 14597; OPC 31



mg	Price
10	online
50	online

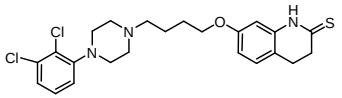
[129722-12-9]
Purity: 99%

Soluble in DMSO
C23H27Cl2N3O2 MW: 448.39

Biological activity
Partial dopamine D2 and 5-HT1A receptor agonist and 5-HT2A receptor antagonist; T1/2 about 46 hrs; oral active; atypical antipsychotic

Aripiprazole, thio-

Axon 1144



mg	Price
5	online
25	online

[573691-04-0]
Purity: 98%

No solubility data
C23H27Cl2N3OS MW: 464.45

Biological activity
Atypical antipsychotic

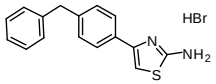
ARL 15896AR

Axon 3335

See AZD6765 dihydrochloride Recent Addition Page 223

ARM1

Axon 2307



mg	Price
10	online
50	online

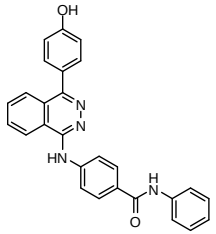
[1049743-03-4]
Purity: 99%

Soluble in DMSO
C16H14N2S.HBr MW: 347.27

Biological activity
Novel type of LTA4H inhibitor (IC50 value of ~0.5 μM in human neutrophils, and Ki value of 2.3 μM for purified LTA4H) that selectively blocks the conversion of LTA4 into proinflammatory mediator LTB4, although leaving the aminopeptidase activity intact for cleavage and inactivation of Pro-Gly-Pro.

ARN 272

Axon 2941



mg	Price
5	online
25	online

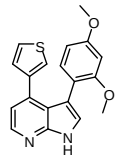
[488793-85-7]
Purity: 98%

Soluble in DMSO
C27H20N4O2 MW: 432.47

Biological activity
ARN 272 is a selective competitive antagonist of the interaction of anandamide with FAAH-like anandamide transporter (IC50 value of 1.8 μM). Moreover, ARN 272 prevents anandamide internalization in vitro, interrupts anandamide deactivation in vivo, and exerts profound analgesic effects in rodent models of nociceptive and inflammatory pain, which are mediated by CB1 cannabinoid receptors.

ARN 3236

Axon 3041



mg	Price
5	online
25	online

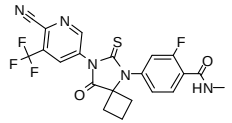
[1613710-01-2]
Purity: 98%

Soluble in DMSO
C19H16N2O2S MW: 336.41

Biological activity
ARN 3236 is a potent, selective, ATP-competitive, and orally available inhibitor of SIK2 (IC50 value of < 1 nM) and inhibits SIK1 and SIK3 with IC50 values of 21.63 and 6.63 nM, respectively. Moreover, ARN 3236 inhibits ovarian cancer cell growth and sensitizes ovarian cancer cells and xenografts to paclitaxel by inhibiting centrosome splitting and AKT/survivin signaling.

ARN 509

Axon 1979



	mg	Price
[956104-40-8] Purity: 99%	5	online
Soluble in DMSO C21H15F4N5O2S MW: 477.43	25	online

Biological activity
A competitive and potent antagonist of androgen receptor (AR); a promising therapeutic in both castration-sensitive and castration-resistant forms of prostate cancer (CSPC & CRPC)

Aromasin

Axon 2045

See Exemestane

Page 393

ARRY 142886

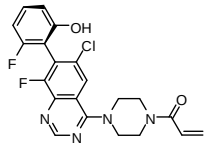
Axon 1516

See AZD 6244

Page 246

ARS-1620

Axon 3084



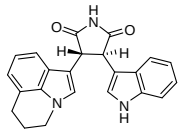
	mg	Price
[1698055-85-4] Purity: 99% 99.2% e.e. Soluble in 0.1N HCl(aq) and DMSO C21H17ClF2N4O2 MW: 430.84	5	online
	25	online

Biological activity
ARS-1620 is a potent, selective, and orally bioavailable covalent KRAS-G12C inhibitor. ARS-1620 inhibits KRAS with high potency in cells and animals. Moreover, ARS-1620 achieves rapid and sustained in vivo target occupancy to induce tumor regression.

ARQ 197

Axon 1838

Tivantinib

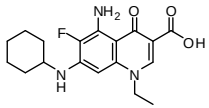


	mg	Price
[905854-02-6] Purity: 98%	5	online
Soluble in DMSO C23H19N3O2 MW: 369.42	25	online

Biological activity
Selective, non-ATP competitive and orally bioavailable inhibitor of c-MET receptor tyrosine kinase (RTK)

AS 1842856

Axon 2839

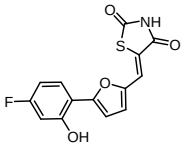


	mg	Price
[836620-48-5] Purity: 99%	10	online
Soluble in DMSO C18H22FN3O3 MW: 347.38	50	online

Biological activity
AS 1842856 is an orally active, potent and selective inhibitor of Forkhead box protein O1 transcription factor (IC50 value of 33 nM). AS 1842856 reduces glucose production through the inhibition of glucose-6 phosphatase and phosphoenolpyruvate carboxykinase mRNA levels in a rat hepatic cell line. Therapeutic drug for treating type 2 diabetes.

AS 252424

Axon 1424



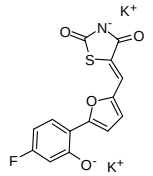
	mg	Price
[900515-16-4] Purity: 99%	5	online
Soluble in 0.1N NaOH(aq) and DMSO C14H8FNO4S MW: 305.28	25	online

Biological activity
Potent and selective PI3K p110γ inhibitor; IC50 values for inhibition of human recombinant PI3Kγ, α, β, and δ are 30, 940, 20,000, and 20,000 nM respectively

AS 252424 bispotassium salt

Axon 1436

AS 252424K



	mg	Price
[900515-16-4] (parent) Purity: 99%	5	online
Soluble in water and DMSO C14H6FNO4S.K2 MW: 381.46	25	online

Biological activity
Potent and selective PI3K p110γ inhibitor; IC50 values for inhibition of human recombinant PI3Kγ, α, β, and δ to be 30, 940, 20,000, and 20,000 nM respectively; water-soluble bispotassium salt form of AS 252424 (Axon 1424).

AS 252424K

Axon 1436

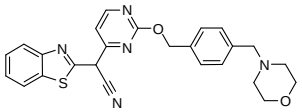
See AS 252424 bispotassium salt

Page 226

AS 602801

Axon 2002

Bentamapimod



mg	Price
5	online
25	online

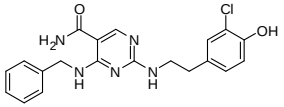
[848344-36-5]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C25H23N5O2S MW: 457.55

Biological activity
Potent, orally active and selective Jun kinase (JNK) inhibitor, which inhibited JNK1, JNK2 and JNK3 with IC50 values of 80, 90 and 230 nM respectively. It blocked T-lymphocyte proliferation and induced apoptosis

AS 1517499

Axon 1992



mg	Price
10	online
50	online

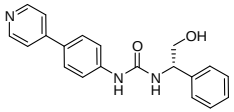
[919486-40-1]
Purity: 99%

Soluble in DMSO
C20H20ClN5O2 MW: 397.86

Biological activity
Potent and selective STAT6 inhibitor (IC50: 21 nM)

AS 1892802

Axon 2187



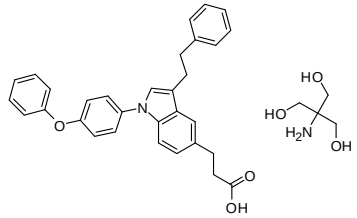
mg	Price
5	online
25	online

[928320-12-1]
Purity: 100%
>99% e.e.
Soluble in DMSO
C20H19N3O2 MW: 333.38

Biological activity
Potent, selective, ATP-competitive, and orally active ROCK inhibitor (in vitro IC50 values 1.69 μM and 0.10 μM for ROCK1 and ROCK2 resp.) that reduces both inflammatory and non-inflammatory pain in rat models. Another group published IC50 values of 122, 52, and 57 nM for human ROCK1, ROCK2, and rat ROCK2 respectively. AS 1892802 dose dependently prevented the formation of tibial cartilage lesions due to MIA induction of osteoarthritis (OA), and completely inhibited IL-1α–induced PGE2 production. Additionally, it potently inhibited the phosphorylation of the ROCK substrate MLC2 in intact human breast cancer cells.

ASB14780

Axon 2578



mg	Price
10	online
50	online

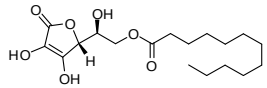
[1069046-00-9]
Purity: 99%

Soluble in DMSO
C31H27NO3.C4H11NO3 MW: 582.69

Biological activity
Potent, orally available inhibitor of cytosolic phospholipase A2α (cPLA2α; IC50 value 0.020 μM in vitro and 0.54 - 0.64 μM in whole blood assay (guinea pig and human, respectively)) with anti-inflammatory efficacy in ear edema and asthma models, and potentially useful for the treatment of nonalcoholic fatty liver diseases, including fatty liver and hepatic fibrosis. ASB14780 markedly attenuated expression of smooth muscle a-actin (a-SMA) protein and the mRNA expression of collagen 1a2, a-SMA, and TGFb1 in the liver, and inhibited the expression of monocyte/macrophage markers. Sold as tromethamine (THAM) salt, as it was used in original publication.

Ascorbyl dodecanoate, L-

Axon 1317



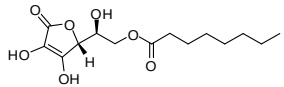
mg	Price
25	online
100	online

[16690-40-7]
Purity: 99%
>98% ee
No solubility data
C18H30O7 MW: 358.43

Biological activity
Fat-soluble Vitamin C ester; antioxidant

Ascorbyl octanoate, L-

Axon 1316



mg	Price
25	online
100	online

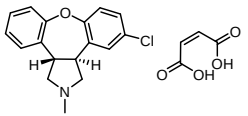
[16690-38-3]
Purity: 99%
>98% ee
No solubility data
C14H22O7 MW: 302.32

Biological activity
Fat-soluble Vitamin C ester; antioxidant

Asenapine maleate

Axon 1503

ORG 5222



[85650-56-2]
Purity: 99%

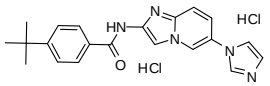
Soluble in DMSO
C17H16ClNO.C4H4O4 MW: 401.84

mg	Price
10	online
50	online

Biological activity
An atypical antipsychotic for the treatment of schizophrenia and acute mania associated with bipolar disorder; Displays high affinity antagonistic activities at many receptors, including dopamine (D) and serotonin (5-HT) receptor subtypes.However, it has much lower affinity (pKi < 5) for the muscarinic acetylcholine receptors

ASK1 Inhibitor 10

Axon 2179



[1005775-56-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C21H21N5O.2HCl MW: 432.35

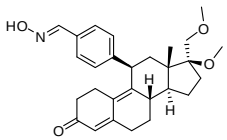
mg	Price
10	online
50	online

Biological activity
Potent, selective, and orally bioavailable ASK1 inhibitor (IC50: 14 nM) with no affinity for a representative panel of kinases (IC50: >10 μM), except for ASK2 (IC50: 0.51 μM). Compound 10 shows a high ligand-lipophilicity efficiency (LLE, pIC50–logD = 4.69) value, which avoids issues of undesirable physical properties and ADME (absorption, distribution, metabolism, and elimination) profiles and interactions with other protein kinases and adverse biological activities.

Asoprisnil

Axon 1675

J 867



[199396-76-4]
Purity: 98%

Soluble in DMSO
C28H35NO4 MW: 449.58

mg	Price
5	online
25	online

Biological activity
A selective progesterone receptor (PR) modulator, tested for treatment of progesterone sensitive myomata

ASP 1517

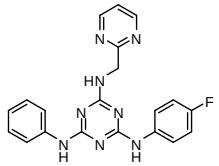
Axon 2588

See FG-4592

Page 401

ASP 2905

Axon 2979



[792184-90-8]
Purity: 98%

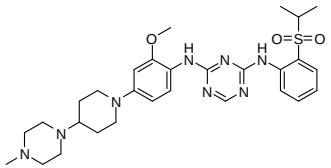
Soluble in DMSO
C20H17FN8 MW: 388.40

mg	Price
10	online
50	online

Biological activity
ASP 2905 is a potent, selective and orally active inhibitor of the potassium channel KCNH3 (Kv12.2) with an IC50 value of 9.0 nM. ASP 2905 may enhance cognitive performance and shows potential in the treatment of attention deficit/hyperactivity disorder.

ASP 3026

Axon 2005



[1097917-15-1]
Purity: 99%

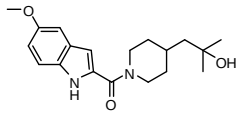
Soluble in 0.1N HCl(aq) and DMSO
C29H40N8O3S MW: 580.74

mg	Price
2	online
5	online

Biological activity
Selective inhibitor of the oncogenic fusion kinase EML4-ALK; ASP3026 has a broad safety margin and inhibitory activity at the gatekeeper mutation; potential agent in EML4-ALK fusion positive NSCLC patients, that have relapsed to Crizotinib (Axon 1660)

ASP 9521

Axon 2787



[1126084-37-4]
Purity: 99%

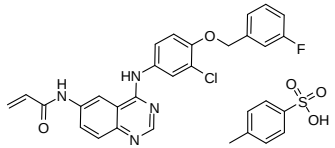
Soluble in DMSO
C19H26N2O3 MW: 330.42

mg	Price
10	online
50	online

Biological activity
ASP 9521 is a novel, selective, orally bioavailable inhibitor of 17β–hydroxysteroid dehydrogenase type 5 (17β-HSD5; AKR1C3) with IC50 values of 11 and 49 nM in recombinant human and cynomolgus monkey AKR1C3, respectively.

AST 1306 tosylate

Axon 1986



[1050500-29-2]
Purity: 98%

Soluble in DMSO
C24H18ClFN4O2.C7H8O3S
MW: 621.08

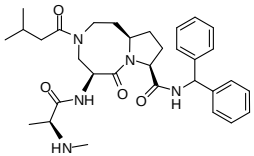
mg	Price
5	online
25	online

Biological activity
A selective, irreversible ErbB2 and EGFR inhibitor whose growth-inhibitory effects are more potent in ErbB2-overexpressing cells; AST1306 potently inhibits wild-type EGFR and ErbB2, as well as EGFR mutant T790M/L858R, in both cell-free and intact cell assays;IC50 values to be 0.5, 3.0, 0.8 and 12 nM for EGFR, ErbB2, ErbB4 and EGFR mutant T790M/L858R, respectively

AT 406

Axon 1985

SM 406



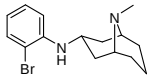
[1071992-99-8]
Purity: 100%
optically pure
Soluble in DMSO
C32H43N5O4 MW: 561.71

mg	Price
5	online
25	online

Biological activity
Potent and orally available antagonist of the inhibitor of apoptosis proteins (IAPs); binds to XIAP, cIAP1, and cIAP2 proteins with Ki of 66.4, 1.9, and 5.1 nM, respectively

AT 1001

Axon 2401



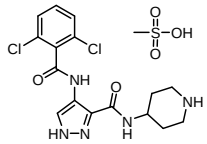
[1314801-63-2]
Purity: 100%
Relative stereochemistry
Soluble in 0.1N HCl(aq) and DMSO
C15H21BrN2 MW: 309.24

mg	Price
10	online
50	online

Biological activity
High affinity and selective α3β4 nAChR ligand (Ki value 2.6 nM at α3β4 nAChR) with both partial agonistic and antagonistic effects, and >90-fold selective over the other major subtypes, the α4β2 and α7 nAChR. AT-1001 potently and dose-dependently blocks nicotine self-administration in rats, without affecting food responding, and shows a mechanism of action very different from varenicline.

AT 7519 mesylate

Axon 1539



[902135-89-1]
Purity: 99%

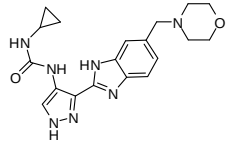
Soluble in water
C16H17Cl2N5O2.CH4O3S
MW: 478.35

mg	Price
5	online
50	online

Biological activity
A small molecule inhibitor of multi-CDK, which inhibits CDK 1, 2 , 4, 5, 6, and 9 in vitro and induces apoptosis in multiple myeloma via GSK-3β activation and RNA polymerase II inhibition

AT 9283

Axon 2219



[896466-04-9]
Purity: 99%

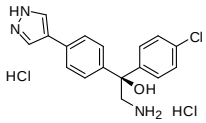
Soluble in water and DMSO
C19H23N7O2 MW: 381.43

mg	Price
5	online
25	online

Biological activity
A multitargeted kinase inhibitor with high affinity for Aurora A and B, JAK2/3, and BCR-Abl(T315I) (IC50 values 3, 3, 1.2, 1.1, and 4 nM respectively). AT 9283 has a potent anti-proliferative activity in a panel of Ba/F3 and human cell lines expressing the BCR-Abl fusion protein or its mutant forms including T315I, and it has the potential to significantly benefit patients with imatinib-resistant CML or with Ph+ ALL.

AT 13148 dihydrochloride

Axon 2166



[1056901-62-2]
Purity: 98%

Soluble in DMSO
C17H16ClN3O.2HCl MW: 386.70

mg	Price
2	online
5	online

Biological activity
An oral, ATP-competitive inhibitor of multi-AGC kinases with potent pharmacodynamic and antitumor activity, which shows a distinct mechanism of action from other AKT inhibitors. AT13148 caused substantial blockade of AKT, p70S6K (S6K1), PKA, ROCK, and SGK substrate phosphorylation and induced apoptosis in cancer cells, with IC50 values of 38, 402, 50, 8, 3, 6, 4, 63 nM for AKT1, AKT2, AKT3, p70S6K, PKA, ROCK1, ROCK2, and SGK3 respectively.

Atazanavir

Axon 1441

See BMS 232632 Page 279

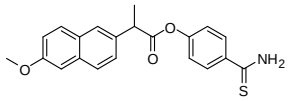
Atazanavir, deuterated

Axon 1753

See Compound 120 Page 232

ATB 346

Axon 2288

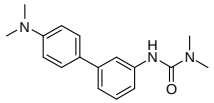


	mg	Price
[1226895-20-0] Purity: 99%	10	online
Soluble in DMSO C21H19NO3S MW: 365.45	50	online

Biological activity
Orally active hydrogen sulfide-releasing cyclooxygenase inhibitor and a derivative of Naproxen (significantly reduced exudate leukocyte and PGE2 levels at 30 µmol/kg oral administration). ATB-346 exhibits anti-inflammatory properties similar to naproxen. In a mouse airpouch model, ATB-346 suppressed cyclooxygenase-2 activity and inhibited leukocyte infiltration more effectively than naproxen but with substantially reduced gastrointestinal toxicity (100-fold safer than naproxen).

Atglistatin

Axon 2276



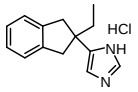
	mg	Price
[1469924-27-3] Purity: 98%	5	online
Soluble in DMSO C17H21N3O MW: 283.37	25	online

Biological activity
Highly potent and selective inhibitor of adipose triglyceride lipase (ATGL; IC50 value 0.7 µM) that reduces fatty acid mobilization in vitro and in vitro.
Atglistatin does not inhibit HSL, monoglyceride lipase, pancreatic lipase, lipoprotein lipase and two lysophospholipases of the patatin-like phospholipase domain–containing protein family (PNPLA) exhibiting homology to ATGL.

Atipamezole hydrochloride

Axon 1371

Antisedan; MPV 1248

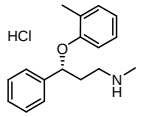


	mg	Price
[104075-48-1] Purity: 99%	5	online
Soluble in water C14H16N2.HCl MW: 248.75	25	online

Biological activity
A competitive α2-adrenergic antagonist; used to antagonize (reverse) the action of the α2 adrenoceptor agonists medetomidine, xylazine and detomidine

Atomoxetine Hydrochloride

Axon 1297



	mg	Price
[82248-59-7] Purity: 99% >98% ee	10	online
Soluble in water C17H21NO.HCl MW: 291.82	50	online

Biological activity
Norepinephrine reuptake inhibitor (NRI), or noradrenaline reuptake inhibitor (NARI)

Atopaxar hydrobromide

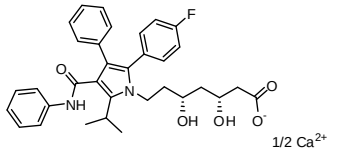
Axon 2030

See E 5555 hydrobromide Page 376

Atorvastatin calcium

Axon 2043

Lipitor



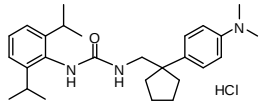
	mg	Price
[134523-03-8] Purity: 99%	10	online
Soluble in DMSO C33H34FN2O5.1/2Ca MW: 597.71	50	online

Biological activity
An inhibitor of HMG-CoA reductase (statin) indicated as an adjunct therapy to diet to lower the LDL ("bad") cholesterol and triglycerides in your blood. It can raise your HDL ("good") cholesterol as well.
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

ATR-101

Axon 2960

PD 132301-2; Nevanimibe hydrochloride



	mg	Price
[133825-81-7] Purity: 98%	10	online
Soluble in DMSO C27H39N3O.HCl MW: 458.08	50	online

Biological activity
ATR-101 is a potent, selective and orally efficacious acyl coenzyme A:cholesterol acyltransferase isoform 1 (ACAT1) inhibitor (IC50 value of 0.009 µM). ATR-101 potently lowers plasma total cholesterol in various animal models of hypercholesterolemia but is an adrenal toxicant. Furthermore, ATR-101 inhibits cholesterol efflux and cortisol secretion by ATP-binding cassette transporters, causing cytotoxic cholesterol accumulation in ACC cells.

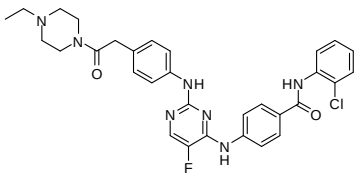
ATRA

Axon 3321

See Retinoic acid Recent Addition Page 671

Aurora A inhibitor I

Axon 1597



[1158838-45-9]
Purity: 99%

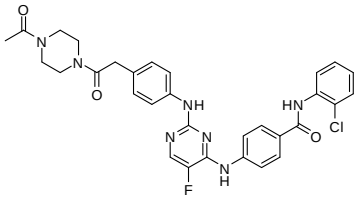
Soluble in DMSO
C31H31ClFN7O2 MW: 588.07

mg	Price
5	online
25	online

Biological activity
Potent and selective inhibitor of Aurora A kinase (AurA), with IC50 values to be 3.4 nM (Aurora A) and unusually high selectivity 1000 fold against Aurora B; a useful tool compound for investigating the cellular role of Aurora A kinases.This ligand has much higher selectivity of Aurora A vs Aurora B than another recently described relatively selective Aurora A inhibitor MLN8054, which shows 43-fold selectivity for Aurora A over Aurora B in enzymatic assays

Aurora A inhibitor II

Axon 1630



[1158838-43-7]
Purity: 99%

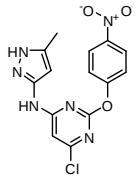
Soluble in DMSO
C31H29ClFN7O3 MW: 602.06

mg	Price
5	online
25	online

Biological activity
Potent and selective inhibitor of Aurora A kinase (AurA), with IC50 values to be 4.3 nM (Aurora A) and unusually high selectivity 860 fold against Aurora B;a useful tool compound for investigating the cellular role of Aurora A kinases

Autophinib

Axon 2748



[1644443-47-9]
Purity: 99%

Soluble in DMSO
C14H11ClN6O3 MW: 346.73

mg	Price
10	online
50	online

Biological activity
Autophinib targets the lipid kinase vacuolar protein sorting 34 (VPS34), which is a promising target for selective autophagy modulation (IC50 value of 0.019 µM). Autophinib inhibits autophagy induced by starvation or rapamycin with IC50 values of 0.04 µM and 0.09 µM, respectively.

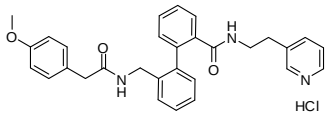
AV 951

Axon 1717

See Tivozanib
Page 768

AVE 0118 hydrochloride

Axon 2243



[498577-53-0 (parent)]
Purity: 99%

Soluble in water and DMSO
C30H29N3O3.HCl MW: 516.03

mg	Price
5	online
25	online

Biological activity
Potassium channel blocker. AVE0118 caused concentration-dependent inhibition of Kv1.5 (IKur), Kv4.3 (Ito), Kir3.4 (IKAch), and IKr currents (IC50 values 6.2 µM, 3.4 µM, 4.5 µM, and 10 µM resp.). A potent and atrium selective antiarrhythmic compound with no apparent effect on ventricular repolarization. Noteworthy, the atrial selective and dose-dependent prolongation of atrial refractoriness (ERP) by AVE 0118 has been claimed to be an inhibitory effect of sodium channel activity in an atrial-selective manner, and may therefor contribute to anti-AF properties of AVE0118.

Avitinib

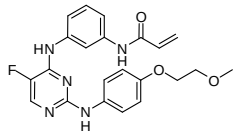
Axon 3040

See Abivertinib
Page 180

AVL 292

Axon 2226

CC 292



[1202757-89-8]
Purity: 98%

Soluble in DMSO
C22H22FN5O3 MW: 423.44

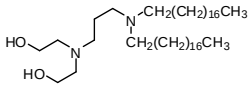
mg	Price
5	online
25	online

Biological activity
A potent, selective, orally bioavailable, covalent Bruton's tyrosine kinase (Btk) inhibitor with potential antineoplastic activity (IC50 value <0.5 nM and >1400 selective over a number of Src family kinases and B cell signaling components in full length recombinant Btk protein assay). More specific for BTK than PCI 32765 (Ibrutinib, Axon 1858) is, and with a shorter half-life. AVL 292 reduces migration of CLL cells towards CXCL12 and CXCL13, and reduces viability as well as markers of BCR activation, such as CCL3 and CCL4 chemokine production, in primary CLL cells cultured with Nurse-like Cells (NLC).

Avridine

Axon 2099

CP 20961



[35607-20-6]
Purity: 99%

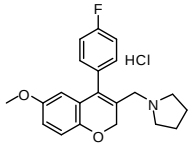
Soluble in DMSO and EtOH
C43H90N2O2 MW: 667.19

mg	Price
10	online
50	online

Biological activity
A lipoidal amine with interferon-inducing and adjuvant properties; an effective adjuvant for Newcastle disease antigen (NDA) in chickens; a potent adjuvant that can induce arthritis in most rat strains; immunomodulator
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

AX-024 hydrochloride

Axon 2692



	mg	Price
[1704801-24-0] Purity: 99%	5	online
Soluble in water and DMSO C21H22FNO2.HCl MW: 375.86	25	online

Biological activity
AX-024 is an orally available inhibitor of the TCR-Nck interaction that selectively inhibits TCR-triggered T cell activation (IC50 value 1 nM). By modulating TCR signaling, the inhibitor prevented the development of psoriasis and asthma and, furthermore, exerted a long-lasting therapeutic effect in a model of autoimmune encephalomyelitis.

Axitinib

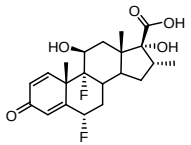
Axon 1414

See AG 013736 Page 191

Axon 1170

Axon 1170

Androsta-1,4-diene-17-carboxylic acid, 6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, (6a,11b,16a,17a)-



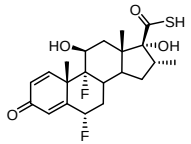
	mg	Price
[28416-82-2] Purity: 98%	500	online
No solubility data C21H26F2O5 MW: 396.42	2000	online

Biological activity
Steroid derivative; precursor for e.g. fluticasone

Axon 1171

Axon 1171

Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, (6a,11b,16a,17a)-

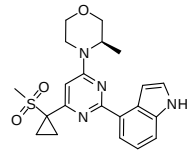


	mg	Price
[80473-92-3] Purity: 98%	500	online
No solubility data C21H26F2O4S MW: 412.49	1000	online

Biological activity
Steroid derivative; precursor for e.g. fluticasone

AZ 20

Axon 2345

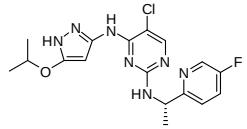


	mg	Price
[1233339-22-4] Purity: 99% Optically pure Soluble in 0.1N HCl(aq) and DMSO C21H24N4O3S MW: 412.51	5 25	online online

Biological activity
Potent, orally active and selective inhibitor of ATR protein kinase with monotherapy in vivo antitumor activity (IC50 value 5 nM). AZ 20 potently inhibits the growth of LoVo colorectal adenocarcinoma tumor cells in vitro, and is a useful compound to explore ATR pharmacology in vivo.

AZ 23

Axon 1610

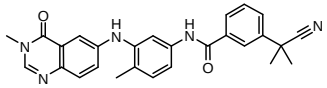


	mg	Price
[915720-21-7] Purity: 99% optically pure Soluble in DMSO C17H19ClFN7O MW: 391.83	2 5	online online

Biological activity
Potent and selective tyrosine kinase (Trk) inhibitor with IC50 to 2 and 8 nM for TrkA and TrkB respectively; AZ-23 showed in vivo TrkA kinase inhibition and efficacy in mice following oral administration;having potential for therapeutic utility in neuroblastoma and multiple other cancer indications

AZ 628

Axon 1545

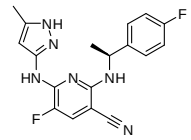


	mg	Price
[878739-06-1] Purity: 99%	5	online
Soluble in DMSO C27H25N5O2 MW: 451.52	25	online

Biological activity
Selective RAF inhibitor, showing strong selectivity for RAF kinases among a panel of 150 tested kinases; IC50 values: ca 30 nM for BRAF V600E and wild-type CRAF and 100 nM for wild-type BRAF

AZ 960

Axon 1778

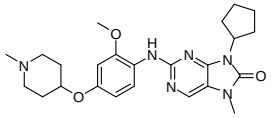


	mg	Price
[905586-69-8] Purity: 98% optically pure Soluble in DMSO C18H16F2N6 MW: 354.36	2 5	online online

Biological activity
Potent, selective and ATP competitive JAK2 inhibitor; AZ960 inhibits JAK2 kinase with a Ki of 0.45 nM in vitro and induces growth arrest and apoptosis in adult T-cell leukemia (ATL) cell

AZ 3146

Axon 1642



mg	Price
5	online
25	online

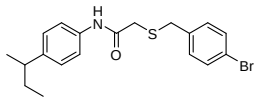
[1124329-14-1]
Purity: 99%

Soluble in DMSO
C24H32N6O3 MW: 452.55

Biological activity
Potent and selective monopolar spindle 1 (Mps1) kinase inhibitor, with IC50 to be 35 nM for human Mps1 and selectivity against 46 out of a panel of 50 other kinases and only four kinases were inhibited by >40%,namely FAK, JNK1, JNK2, and KIT. AZ3146 overrides the spindle checkpoint

AZ 12216052

Axon 1747



mg	Price
10	online
50	online

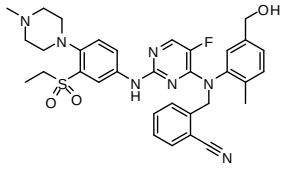
[1290628-31-7]
Purity: 98%

Soluble in DMSO
C19H22BrNOS MW: 392.35

Biological activity
A positive allosteric modulator (PAM) of metabotropic glutamate receptor subtype 8 (mGluR8)

AZ13705339

Axon 2669



mg	Price
5	online
25	online

[2016806-57-6]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C33H36FN7O3S MW: 629.75

Biological activity
AZ13705339 is a potent and selective PAK1 inhibitor (IC50 value of 0.33 nM). In vitro probe compound.

Azafen

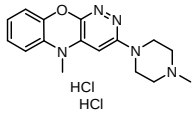
Axon 1462

See Azaphen

Azaphen

Axon 1462

Azafen; Azaphenonxazine dihydrochloride



mg	Price
10	online
50	online

[24853-80-3]
Purity: 99%

Soluble in water
C16H19N5O.2HCl MW: 370.28

Biological activity
An antidepressant having effects on the autonomic nervous system; the drug is especially effective for mild and moderate depressions and a combination of Azaphen and Thymol is applicable for severa depressions.Azaphen improves sleep too

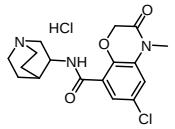
Azaphenonxazine dihydrochloride

Axon 1462

See Azaphen
Page 240

Azasetron hydrochloride

Axon 1096



mg	Price
10	online
50	online

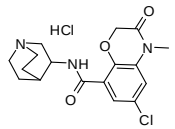
[123040-16-4]
Purity: 98%

No solubility data
C17H20ClN3O3.HCl MW: 386.27

Biological activity
Selective 5-HT3 antagonist

Azasetron hydrochloride, (+)-

Axon 2534



mg	Price
10	online
50	online

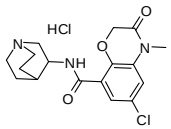
[123040-94-8]
Purity: 100%
Optically pure
Soluble in water and DMSO
C17H20ClN3O3.HCl MW: 386.27

Biological activity
Selective 5-HT3 antagonist. (-)-enantiomer of Axon 1096 (racemic)

Azasetron hydrochloride, (-)-

Axon 2535

[123040-96-0]
Purity: 100%
Optically pure
Soluble in water and DMSO
C17H20ClN3O3.HCl MW: 386.27



mg	Price
10	online
50	online

Biological activity
Selective 5-HT3 antagonist. (-)-enantiomer of Axon 1096 (racemic)

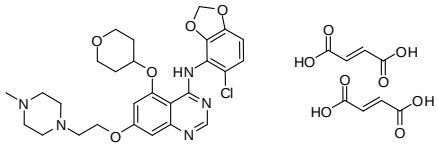
AZD 0530 difumarate

Axon 1456

Saracatinib

[893428-72-3]
Purity: 99%

Soluble in DMSO
C27H32ClN5O5.2C4H4O4
MW: 774.17



mg	Price
5	online
25	online

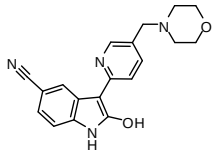
Biological activity
An orally bioavailable tyrosine kinase inhibitor, specifically targeting Src and Abl, those kinases often overexpressed in chronic myeloid leukema cells. Optimal water-soluble form

AZD 1080

Axon 2171

[612487-72-6]
Purity: 98%

Soluble in DMSO
C19H18N4O2 MW: 334.37



mg	Price
5	online
25	online

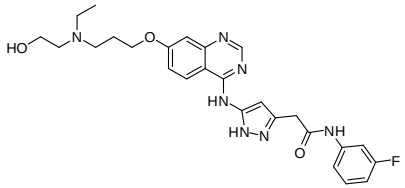
Biological activity
Potent and selective inhibitor of Glycogen synthase kinase 3 (GSK3), with Ki values of 6.9 nM and 31 nM for GSK-3α and GSK-3β respectively. In phase 1 clinical studies, AZD 1080 inhibits tau phosphorylation in cells expressing human tau and in intact rat brain. Interestingly, subchronic but not acute administration with AZD 1080 reverses MK-801-induced deficits, measured by long-term potentiation in hippocampal slices and in a cognitive test in mice.

AZD 1152-HQPA

Axon 1580

[722544-51-6]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C26H30FN7O3 MW: 507.56



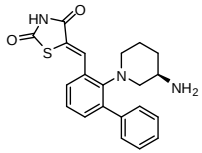
mg	Price
5	online
25	online

Biological activity
AZD 1152-HQPA is a highly potent and selective inhibitor of Aurora B, with Ki values to be 0.36 (Aurora B) and 1369 nM (Aurora A) respectively and has a high specificity versus a panel of 50 other kinases.The dihydrogen phosphate prodrug, AZD 1152 (Barasertib), is converted rapidly to active AZD1152-HQPA in plasma

AZD 1208

Axon 2795

[1204144-28-4]
Purity: 98%
optically pure
Soluble in DMSO
C21H21N3O2S MW: 379.48



mg	Price
5	online
25	online

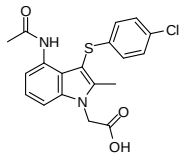
Biological activity
AZD 1208 is a pan-Pim kinase inhibitor (IC50 values of 0.4 nM, 5.0 nM and 1.9 nM for Pim-1, Pim-2 and Pim-3, respectively) which does not inhibit FLT3. AZD 1208 treatment resulted in growth inhibition and cell size reduction in AML cell lines including FLT3-WT (OCI-AML-3, KG-1a, MOLM-16) and FLT3-ITD mutated (MOLM-13, MV-4-11).

AZD 1981

Axon 2145

[802904-66-1]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C19H17ClN2O3S MW: 388.87

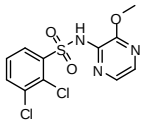


mg	Price
5	online
25	online

Biological activity
Potent, orally bio-available and selective CRTh2 (also known as DP2) antagonist; AZD1981 inhibited PGD2 binding to human CRTh2 with an IC50 of 4 nM

AZD 2098

Axon 2842



mg	Price
10	online
50	online

[566203-88-1]
Purity: 99%

Soluble in DMSO
C11H9Cl2N3O3S MW: 334.18

Biological activity
Potent, selective and bioavailable CCR4 receptor antagonist (pIC50 value of 7.8).

AZD 2171

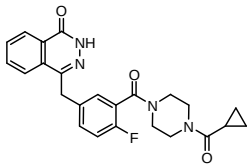
Axon 1461

See Cediranib
Page 307

AZD 2281

Olaparib; KU 0059436

Axon 1464



mg	Price
5	online
25	online

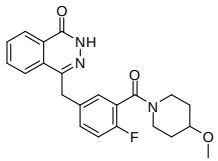
[763113-22-0]
Purity: 99%

Soluble in DMSO
C24H23FN4O3 MW: 434.46

Biological activity
Highly potent, oral and selective inhibitor of poly(ADP-ribose) polymerase (PARP); with IC50 = 5nM (PARP-1) and 1 nM (PARP-2).It blocks enzymes that repair DNA damage caused by cancer treatments such as radiation and drugs

AZD 2461

Axon 2241



mg	Price
10	online
50	online

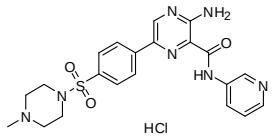
[1174043-16-3]
Purity: 99%

Soluble in DMSO
C22H22FN3O3 MW: 395.43

Biological activity
PARP inhibitor (IC50 value 5 nM) with poor P-glycoprotein substrate qualities. Unlike treatment with AZD 2281 (Olaparib, Axon 1464), AZD 2461 succesfully circumvents drug resistance of Pgp-proficient tumors, and inactivation of p53-binding protein 1 (53BP1) as a causal factor in PARPi resistance.

AZD 2858 hydrochloride

Axon 2194



mg	Price
5	online
25	online

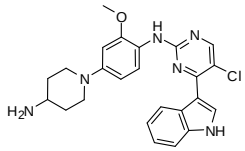
[486424-21-9]
Purity: 98%

Soluble in water and DMSO
C21H23N7O3S.HCl MW: 489.98

Biological activity
Potent and highly selective Glycogen Synthase Kinase-3β (GSK3β; Ki value 4.9 nM) inhibitor for Alzheimer's disease with good BBB permeability in a bovine endothelial cell assay. AZD 2858 inhibits GSK3β-mediated tau phosphorylation (IC50 value 76 nM) in vitro, and shows a good overall selectivity versus a panel of 26 kinases and >100 fold selectivity over CDK2 (Ki value 540 nM). In rats, oral AZD2858 treatment caused a dose-dependent increase in trabecular bone mass by GSK3 mediated inhibition of Wnt canonical signaling, making AZD2858 a possible therapeutic candidate for osteoporosis.

AZD 3463

Axon 2153



mg	Price
5	online
25	online

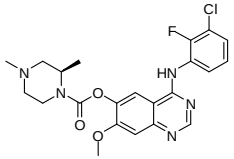
[1356962-20-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C24H25ClN6O MW: 448.95

Biological activity
Potent inhibitor of ALK and IGF1R; AZD3463 is potent in ALK-driven preclinical models and in a variety of crizotinib-resistant models

AZD 3759

Axon 2563



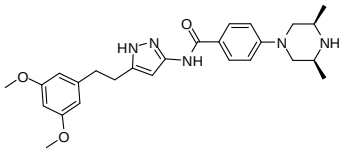
mg	Price
10	online
50	online

[1626387-80-1]
Purity: 98%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C22H23ClFN5O3 MW: 459.90

Biological activity
Potent, orally active, brain-penetrant, EGFR tyrosine kinase inhibitor (IC50 value 7.2 nM for inhibition of cellular phosphorylation on L858R cell lines), that shows tumor regression in the mouse model with brain metastasis. At 1 μM, AZD 3759 showed <50% inhibition against 115 out of a panel of 124 recombinant protein and lipid kinases, and was neither a direct inhibitor nor a time-dependent inhibitor for a series of Cytochrome isoforms.

AZD 4547

Axon 1917



[1035270-39-3]
Purity: 99%

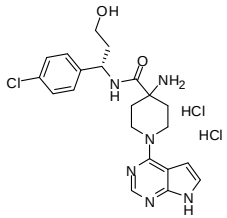
Soluble in DMSO
C26H33N5O3 MW: 463.57

mg	Price
5	online
25	online

Biological activity
Orally available, potent and selective FGFR inhibitor

AZD 5363 dihydrochloride

Axon 1859



[1143532-39-1]
Purity: 98%

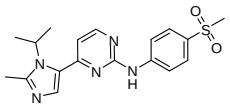
Soluble in water and DMSO
C21H25ClN6O2.2HCl MW: 501.84

mg	Price
5	online
25	online

Biological activity
Orally bioavailable, selective and potent protein kinase B (Akt) inhibitor in low nM potency; AZD5363 dihydrochloride is directly water-soluble

AZD 5438

Axon 1966



[602306-29-6]
Purity: 99%

Soluble in DMSO
C18H21N5O2S MW: 371.46

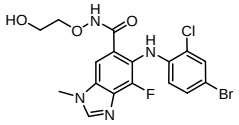
mg	Price
5	online
25	online

Biological activity
Potent and orally bioavailable inhibitor of cyclin-dependent kinase (cdk) 1, 2, and 9 (IC50: 16, 6, and 20 nM, respectively); AZD5438 showed significant antiproliferative activity in human tumor cell lines (IC50: 0.2-1.7 mM)

AZD 6244

Axon 1516

ARRY 142886; Selumetinib



[606143-52-6]
Purity: 99%

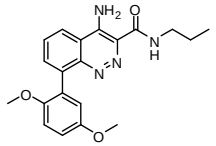
Soluble in DMSO
C17H15BrClFN4O3 MW: 457.68

mg	Price
2	online
25	online

Biological activity
An orally active, highly potent and selective inhibitor of MEK 1/2 that has shown tumor-suppressive activity in a wide range of preclinical models. IC50 value to be 14 nM against purified MEK1

AZD 6280

Axon 3042



[942436-93-3]
Purity: 99%

Soluble in DMSO
C20H22N4O3 MW: 366.41

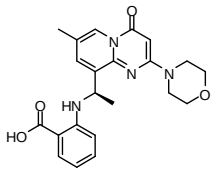
mg	Price
10	online
50	online

Biological activity
AZD 6280 is a selective, orally active, allosteric GABA-A α2/3 receptor modulator with an A2 pKi value of 7.7.

AZD 6482

Axon 2926

KIN-193



[1173900-33-8]
Purity: 99%
Optically pure
Soluble in DMSO
C22H24N4O4 MW: 408.45

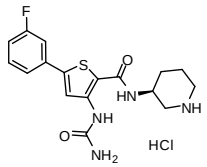
mg	Price
5	online
25	online

Biological activity
AZD 6482 is a potent and selective inhibitor of the p110β isoform of PI3K with an IC50 value of 0.69 nM. In addition, AZD 6482 can inhibit the growth of tumors driven by p110β or PTEN-loss in vivo.

AZD 7762 hydrochloride

Axon 1399

[860352-01-8]
Purity: 99%
>98% ee
Soluble in water and DMSO
C17H19FN4O2S.HCl MW: 398.88



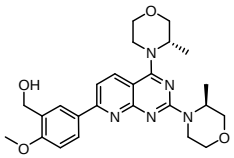
mg	Price
2	online
5	online

Biological activity
Checkpoint kinase (CHK) inhibitor

AZD 8055

Axon 1561

[1009298-09-2]
Purity: 99%
optically pure
Soluble in 0.1N HCl(aq) and DMSO
C25H31N5O4 MW: 465.54



mg	Price
5	online
25	online

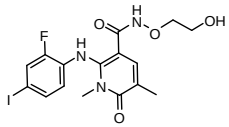
Biological activity
Potent and selective mTOR inhibitor, with IC50 values to be 0.8 nM and selectivity ca 1000-fold against class I PI3K and other PIKKs

AZD 8330

Axon 1999

[869357-68-6]
Purity: 98%

Soluble in DMSO
C16H17FIN3O4 MW: 461.23



mg	Price
5	online
25	online

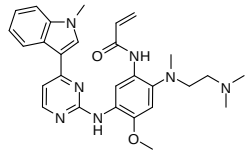
Biological activity
Potent, highly specific non-ATP-competitive MEK inhibitor; AZD8330 specifically inhibits mitogen-activated protein kinase kinase 1 (MEK or MAP/ERK kinase1), resulting in inhibition of growth factor-mediated cell signaling and tumor cell proliferation

AZD 9291

Axon 2342

[1421373-65-0]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C28H33N7O2 MW: 499.61



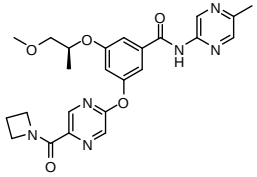
mg	Price
10	online
50	online

Biological activity
A potent oral, third-generation EGFR TKI, that irreversibly and selectively targets both sensitizing and resistant T790M+ mutant EGFR while harboring less activity toward wild-type EGFR (IC50 values 1 nM,12nM, 5 nM, and 184 nM against L858R/T790M-, L858R-, L861Q-mutant, and WT EGFR, respectively).

AZD1656

Axon 3062

[919783-22-5]
Purity: 99%
Optically pure
Soluble in DMSO
C24H26N6O5 MW: 478.50



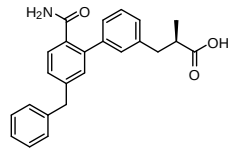
mg	Price
5	online
25	online

Biological activity
AZD1656 is a potent, selective glucokinase (GK) activator that progressed to Phase IIb trials for the treatment of type 2 diabetes.

AZD2716

Axon 2661

[1845753-81-2]
Purity: 99%
98% e.e.
Soluble in 0.1N NaOH (aq) and DMSO
C24H23NO3 MW: 373.44



mg	Price
5	online
25	online

Biological activity
AZD2716 is a novel, potent sPLA2 inhibitor (IC50 values of 10, 40, and 400 nM for sPLA2-IIa, -V, and -X, respectively) with excellent preclinical pharmacokinetic properties across species, clear in vivo efficacy, and minimized safety risk. When incubated with HepG2 cells, AZD2716 effectively inhibited sPLA2 activity (IC50 value of <14 nM) and suppressed production of sPLA2-IIa (IC50 value of 176 nM). AZD2716 also demonstrated significant sPLA2 activity inhibition (IC50 value of 56 nM) in a

AZD6140

Axon 3111

See Ticagrelor

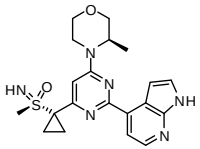
Page 766

AZD6738 Recent Addition

Axon 3134

Ceralasertib

[1352226-88-0]
Purity: 99%
98% e.e.
Soluble in 0.1N HCl(aq) and DMSO
C20H24N6O2S MW: 412.51



mg	Price
5	online
25	online

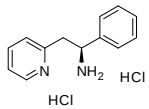
Biological activity
AZD6738 is a potent, selective, orally active and bioavailable ATR kinase inhibitor with an IC50 value of 0.001 µM against the isolated enzyme and 0.074 µM against ATR kinase-dependent CHK1 phosphorylation in cells.

AZD6765 dihydrochloride Recent Addition

Axon 3335

Lanicemine dihydrochloride; FPL 15896AR; ARL 15896AR

[153322-06-6]
Purity: 99%
100% e.e.
Soluble in water and DMSO
C13H14N2.2HCl MW: 271.19



mg	Price
10	online
50	online

Biological activity
AZD6765 dihydrochloride is a noncompetitive N-methyl-D-aspartate (NMDA) receptor antagonist with an IC50 value of 1.3 µM.

Azepexole

Axon 1154

See B-HT 933 dihydrochloride
Page 268

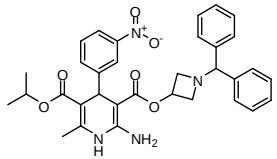
Azelnidipine

Axon 3160

CS-905

[123524-52-7]
Purity: 99%

Soluble in DMSO
C33H34N4O6 MW: 582.65



mg	Price
10	online
50	online

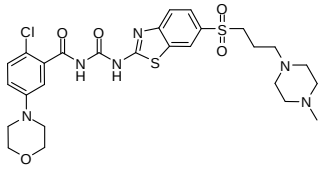
Biological activity
Azelnidipine is a calcium channel blocker that has a gradual and long-lasting antihypertensive action with little tachycardia in vivo (spontaneously hypertensive rat, SHR).

AZ-GHS-22

Axon 2340

[1143020-91-0]
Purity: 98%

Soluble in 0.1N HCl (aq) and DMSO
C27H33ClN6O5S2 MW: 621.17



mg	Price
5	online
25	online

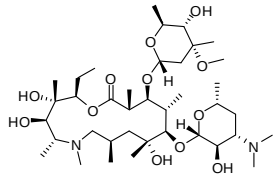
Biological activity
Orally available high affinity Ghrelin receptor (GHS-R1a) inverse agonist (IC50 0.77 nM) with very low CNS exposure.

Azithromycin

Axon 2042

CP 62993; Zithromax

[117772-70-0]
Purity: 98%
Optically pure
Soluble in DMSO
C38H72N2O12 MW: 748.98



mg	Price
10	online
50	online

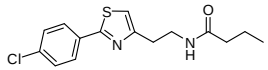
Biological activity
Macrolide antibiotic; inhibits bacterial protein synthesis through binding to the 50S ribosomal subunit
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Azoramide

Axon 2567

[932986-18-0]
Purity: 99%

Soluble in DMSO
C15H17ClN2OS MW: 308.83



mg	Price
10	online
50	online

Biological activity
Small-molecule modulator of the unfolded protein response (UPR) with antidiabetic activity (IC50 value 8.826 µM for azoramide-induced increase of ASGR-Cluc secretion in HuH7 cells). Azoramide is a dual-function ER modulator that improves ER protein-folding ability and activates ER chaperone capacity to protect cells against ER stress. Moreover, Azoramide improves insulin sensitivity and pancreatic β-cell function.

axon MEDCHEM

B 9302-107

Axon 2352

See Roflumilast

Page 680

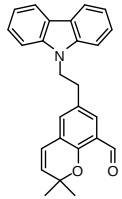
B106

Axon 2981

BJE6-106

[1564249-38-2]
Purity: 98%

Soluble in DMSO
C26H23NO2 MW: 381.47



mg	Price
5	online
25	online

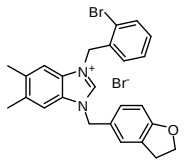
Biological activity
B106 is a potent and selective PKC-δ inhibitor with an IC50 value for PKC-δ of <0.05 µM and targeted selectivity over classical PKC isozymes (a 1000-fold PKC-δ selectivity over PKC-α). B106 efficiently induced apoptosis in several cell lines.

B591

Axon 3055

[1498412-41-1]
Purity: 99%

Soluble in DMSO
C25H24Br2N2O MW: 528.28



mg	Price
10	online
50	online

Biological activity
B591 is a specific pan-PI3K inhibitor with potent inhibitory activity against class I PI3K isoforms (IC50 values of 1.300, 0.364, 0.107 and 1.580 µM for PI3Kα, PI3Kβ, PI3Kβγ, PI3Kδ, respectively), which showed effective inhibition of cellular PI3K/mTOR signaling pathway and robust antitumor activity in a set of cancer cell lines. Cancer stem cells (CSCs) targeting agent.

b-AP15

Axon 2228

See NSC 687852

Page 589

BA-14

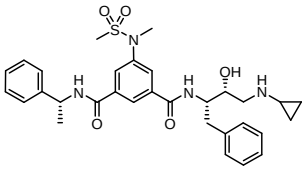
Axon 3088

See BCP, 1-

Page 252

BACE-1 Inhibitor

Axon 1125



[797035-11-1]
Purity: 98%
optically pure
Soluble in DMSO
C31H38N4O5S MW: 578.72

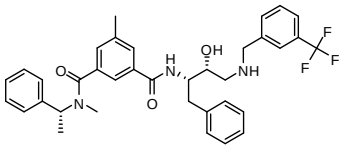
mg	Price
2	online
5	online

Biological activity
Potent and selective cell-permeable inhibitor of human β-secretase (BACE-1)

BACE-2 Inhibitor

Axon 2957

BACE2 Inhibitor 3l



[1676107-08-6]
Purity: 99%
Optically pure
Soluble in DMSO
C36H38F3N3O3 MW: 617.70

mg	Price
5	online
25	online

Biological activity
Potent and highly selective human β-secretase 2 (BACE-2) inhibitor with a Ki value of 1.6 nM and >500-fold selectivity over BACE-1.

BACE2 Inhibitor 3l

Axon 2957

See BACE-2 Inhibitor Page 253

BAF

Axon 2158

See Boc-D-FMK Page 283

Bafetinib

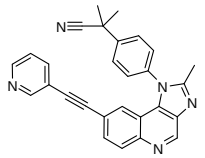
Axon 2121

See INNO 406 Page 253

BAG 956

Axon 1282

NVP-BAG956



[853910-02-8]
Purity: 99%

Soluble in DMSO
C28H21N5 MW: 427.50

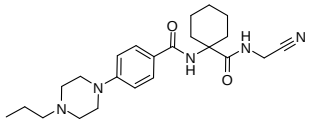
mg	Price
5	online
25	online

Biological activity
Potent, ATP-competitive and selective dual PI3K and PDPK1 inhibitor in vitro and in vivo, with IC50 values to be 56, 444, 34, 117 and 240 nM for PI3K p110 alpha, beta, delta and gamma and PDPK1 kinases, respectively

Balicatib

Axon 2154

AAE 581



[354813-19-7]
Purity: 99%

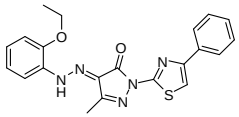
Soluble in DMSO
C23H33N5O2 MW: 411.54

mg	Price
2	online
5	online

Biological activity
Selective inhibitor of the osteoclastic enzyme cathepsin K

BAM 7

Axon 2185



[331244-89-4]
Purity: 98%

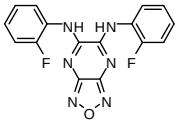
Soluble in DMSO
C21H19N5O2S MW: 405.47

mg	Price
10	online
50	online

Biological activity
Selective small-molecule activator of proapoptotic BAX (IC50 value 3.3 μM) that binds to the BH3 binding domain without interacting with other BH3-binding pockets of antiapoptotic proteins or proapoptotic BAK. BAM 7 triggers in vitro BAX oligomerization, BAX-mediated pore formation and BAX-dependent cell death.

BAM15

Axon 2736



[210302-17-3]
Purity: 99%

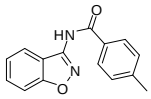
Soluble in DMSO
C16H10F2N6O MW: 340.29

mg	Price
10	online
50	online

Biological activity
BAM15 is a mitochondrial protonophore uncoupler, which does not depolarize the plasma membrane. Compared to FCCP, an uncoupler of equal potency, BAM15 treatment of cultured cells stimulates a higher maximum rate of mitochondrial respiration and is less cytotoxic. Furthermore, BAM15 is bioactive in vivo and dose-dependently protects mice from acute renal ischemic-reperfusion injury.

BAMB-4 Recent Addition

Axon 3357



[891025-25-5]
Purity: 99%

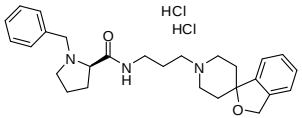
Soluble in DMSO
C15H12N2O2 MW: 252.27

mg	Price
10	online
50	online

Biological activity
BAMB-4 is a specific, membrane permeable inhibitor against the InsP3Kinase activity of inositol-1,4,5-trisphosphate-3-kinase A (ITPKA) with an IC50 value of 20 µM.

BAN ORL 24

Axon 1784



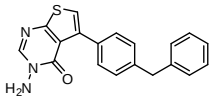
[475150-69-7]
Purity: 99%
optically pure
Soluble in water, DMSO, and Ethanol
C27H35N3O2.2HCl MW: 506.51

mg	Price
5	online
25	online

Biological activity
Highly potent and selective NOP receptor antagonist (IC50: 0.27 nM); more than 2500 fold selective over other opioid receptors

Barbadin

Axon 2774



[356568-70-2]
Purity: 99%

Soluble in DMSO
C19H15N3OS MW: 333.41

mg	Price
10	online
50	online

Biological activity
Selective β-arrestin/β2-adaptin interaction inhibitor (IC50 values of 19.1 and 15.6 µM for β-arrestin1 and β-arrestin2, respectively). Barbadin blocks agonist-promoted endocytosis of the prototypical β2-adrenergic, V2-vasopressin and angiotensin-II type-1 receptors, but does not affect β-arrestin-independent (transferrin) or AP2-independent (endothelin-A) receptor internalization.

Bardoxolone

Axon 1950

See CDDO

Page 304

Bardoxolone methyl

Axon 1772

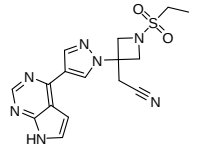
See CDDO-Me

Page 305

Baricitinib

Axon 1955

INCB 028050, LY 3009104



[1187594-09-7]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C16H17N7O2S MW: 371.42

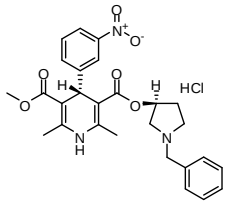
mg	Price
5	online
25	online

Biological activity
Selective and orally bioavailable JAK1/JAK2 inhibitor with nanomolar potency against JAK1 (5.9 nM) and JAK2 (5.7 nM); INCB028050 inhibits intracellular signaling of multiple proinflammatory cytokines including IL-6 and IL-23 at concentrations <50 nM

Barnidipine hydrochloride

Axon 3014

YM 09730-5; Mepirodipine hydrochloride

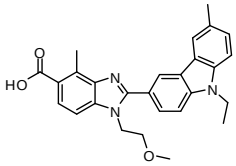


	mg	Price
[104757-53-1]		
Purity: 99%	10	online
Optically pure		
Soluble in DMSO	50	online
C27H29N3O6.HCl MW: 528.00		

Biological activity
Barnidipine hydrochloride is a potent calcium antagonist with a Ki value of 0.205 nM. Barnidipine hydrochloride produces its antihypertensive effect by selective blockade of calcium ion influx via the L-subtype 'voltage-operated' channels in the excitable membranes of vascular smooth muscle cells, as a result of interaction with specific L-type calcium channel receptors.

BAY 1316957

Axon 3073

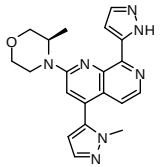


	mg	Price
[1613264-40-6]		
Purity: 98%	5	online
Soluble in DMSO		
C27H27N3O3 MW: 441.52		

Biological activity
BAY 1316957 is a highly potent, specific, and selective hEP4-R antagonist (IC50 value of 15.3 nM) with excellent drug metabolism and pharmacokinetics properties.

BAY 1895344

Axon 2918



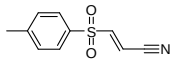
	mg	Price
[1876467-74-1]		
Purity: 99%	5	online
Optically pure		
Soluble in 0.1N HCl(aq) and DMSO	25	online
C20H21N7O MW: 375.47		

Biological activity
BAY 1895344 is a potent, highly selective and orally available ATR inhibitor (IC50 value of 7 nM), which potently inhibits proliferation of a broad spectrum of human tumor cell lines (median IC50 value of 78 nM). BAY 1895344 exhibits strong in vivo anti-tumor efficacy in monotherapy in a variety of xenograft models of different indications that are characterized by DDR deficiencies, inducing stable disease in ovarian and colorectal cancer or even complete tumor remission in mantle cell lymphoma models.

BAY 11-7082

Axon 2132

BAY 11-7821



	mg	Price
[19542-67-7]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C10H9NO2S MW: 207.25		

Biological activity
IKK inhibitor and broad-spectrum inhibitor with anti-inflammatory activity against multiple targets. BAY strongly suppressed the production of nitric oxide, prostaglandin E2, and TNF-α and reduced the translocation of p65, major subunit of nuclear factor-κB, and its upstream signaling events such as phosphorylation of IκBα, IKK, and Akt. In addition, BAY also inhibits the phosphorylation or activation of extracellular signal-related kinase, p38, TANK-binding protein, and JAK-2.

BAY 11-7821

Axon 2132

See BAY 11-7082 Page 257

BAY 12-8039

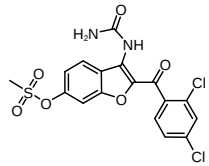
Axon 3306

See Moxifloxacin hydrochloride **Recent Addition** Page 557

BAY 19-8004

Axon 1178

Lirimilast

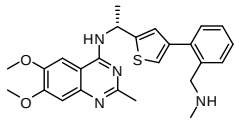


	mg	Price
[329306-27-6]		
Purity: 97.0%	5	online
Soluble in DMSO	25	online
C17H12Cl2N2O6S MW: 443.26		

Biological activity
Selective inhibitor of phosphodiesterase-4 (PDE4)

BAY-293

Axon 3053



	mg	Price
[2244904-70-7]		
Purity: 98%	5	online
100% e.e.		
Soluble in DMSO	25	online
C25H28N4O2S MW: 448.58		

Biological activity
BAY-293 is a potent, selective and cell-active inhibitor of KRAS-SOS1 interaction with an IC50 value of 21 nM. BAY-293 efficiently inhibited pERK levels in K-562 cells after incubation for 60 min without affecting total protein levels of ERK. A synergistic effect is observed between BAY-293 and ARS-853 in a KRASG12C-mutated cancer cell line.

BAY 43-9006

Axon 1397

See Sorafenib tosylate Page 724

BAY 43-9006

Axon 3351

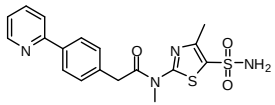
See Sorafenib Recent Addition

Page 724

BAY 57-1293

Axon 2266

Pritelivir; AIC 316



[348086-71-5]
Purity: 99%

Soluble in DMSO
$C_{18}H_{18}N_4O_3S_2$ MW: 402.49

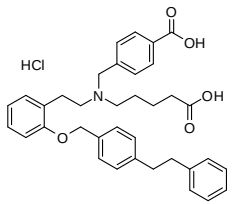
mg	Price
5	online
25	online

Biological activity
Potent helicase-primase inhibitor (HPI) effective against herpes simplex virus (HSV) infections with IC50 value of 20 nM for inhibition of the replication of both HSV-1 and HSV-2 in Vero cells, and ED50 value of 0.5 mg/kg for both HSV-1 and HSV-2 in the murine lethal challenge model of disseminated herpes. BAY 57-1293 in vivo was found to be superior compared to all compounds currently used to treat HSV infections, and is active also against acyclovir-resistant mutant strains which carry mutations in the tk or DNA pol genes.

BAY 58-2667 hydrochloride

Axon 2172

Cinaciguat hydrochloride



[646995-35-9]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
$C_{36}H_{39}NO_5.HCl$ MW: 602.16

mg	Price
5	online
25	online

Biological activity
Potent nitric oxide (NO)-independent soluble guanylyl cyclase (sGC) activator with haemodynamic effect similar to that of nitroglycerin (Ki value 6-8 nM in competition binding studies). Acts specifically on oxidized/haem-free sGC by binding to the enzyme's haem pocket and mimicking the nitric-oxide-bound haem group. BAY 58-2667 is in clinical development for the treatment of acute decompensated heart failure (ADHF).

BAY 59-7939

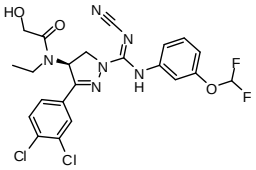
Axon 3175

See Rivaroxaban Recent Addition

Page 676

BAY-598

Axon 2635



[1906919-67-2]
Purity: 98%
99% e.e.
Soluble in DMSO
$C_{22}H_{20}Cl_2F_2N_6O_3$ MW: 525.34

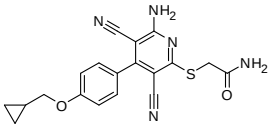
mg	Price
2	online
5	online

Biological activity
BAY-598 is a potent, selective, and cell-active, substrate-competitive inhibitor of SMYD2 (IC50 values of 27 nM and 58 nM for biochemical and cellular activity, respectively). BAY-598 also shows PAR1 antagonism, but there is a greater than 50-fold selectivity for SMYD2 relative to PAR1.

BAY 60-6583

Axon 2317

BR 4887; BAY 60



[910487-58-0]
Purity: 99%

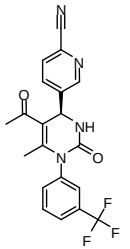
Soluble in DMSO
$C_{19}H_{17}N_5O_2S$ MW: 379.44

mg	Price
10	online
50	online

Biological activity
Potent and highly selective A2BAR (Adenosine) agonist (Ki value 0.33-0.75 nM, species dependent). BAY 60-6583 potently stimulated cAMP production in HEK 293 cells expressing mouse A2BARs (EC50 value 2.83 nM), and BAY 60-6583 produced a biphasic effect on fMLP-stimulated superoxide production.

BAY-678

Axon 2822



[675103-36-3]
Purity: 99%
99% ee
Soluble in DMSO
$C_{20}H_{15}F_3N_4O_2$ MW: 400.35

mg	Price
10	online
50	online

Biological activity
BAY-678 is a potent, selective and orally active human neutrophil elastase (HNE) inhibitor (IC50 value of 20 nM).

BAY 73-4506

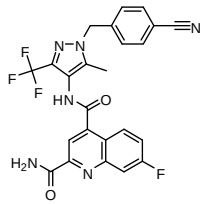
Axon 1678

See Regorafenib

Page 668

BAY-876

Axon 2660



[1799753-63-1]
Purity: 99%

Soluble in DMSO
$C_{24}H_{16}F_4N_6O_2$ MW: 496.42

mg	Price
5	online
25	online

Biological activity
BAY-876 is a higly selective GLUT1 inhibitor (IC50 value 2 nM). In vitro PK data showed that BAY-876 was very stable in liver microsomes and hepatocytes; preliminary in vivo PK studies demonstrated a good oral bioavailability and long terminal half-life.

BAY b 5097

Axon 3163

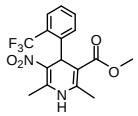
See Clotrimazole Recent Addition

Page 323

BAY K 8644

Axon 1697

BAY K 8644, (±)-



mg	Price
10	online
50	online

[71145-03-4]
Purity: 98%

Soluble in DMSO and Ethanol
C16H15F3N2O4 MW: 356.30

Biological activity
A L-type calcium channel activator that facilitates Ca2+ influx specifically at voltage-gated Ca2+ channels, thereby causing vasoconstrictor and positive inotropic effects.It is used primarily as a research tool. Bay-K8644 in combination of BIX-01294 (Axon 1692) enables reprogramming of Oct4/Klf4-transduced mouse embryonic fibroblasts

BAY K 8644, (±)-

Axon 1697

See BAY K 8644
Page 261

BAY K8644, (-)-

Axon 1759

See *BAY K 8644, (S)-(-)-*
Page 261

BAY K8644, (+)-

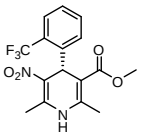
Axon 1758

See *BAY K 8644, (R)-(+)-*
Page 261

BAY K 8644, (R)-(+)-

Axon 1758

BAY K8644, (+)-



mg	Price
5	online
25	online

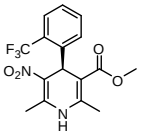
[98791-67-4]
Purity: 100%
99% ee
Soluble in DMSO and Ethanol
C16H15F3N2O4 MW: 356.30

Biological activity
L-type Ca2+-channel blocker with negative inotropic and vasodilatatory effects in vivo; (R)-Enantiomer showing opposite effects to the racemate (±)-Bay K8644 (Axon 1697) and (S)-(-)-Bay K8644 (Axon 1759)

BAY K 8644, (S)-(-)-

Axon 1759

BAY K8644, (-)-



mg	Price
5	online
25	online

[98625-26-4]
Purity: 99%
99% ee
Soluble in DMSO and Ethanol
C16H15F3N2O4 MW: 356.30

Biological activity
L-type Ca2+-channel activator with positive inotropic, vasoconstrictive and behavioral effects in vivo. (S)-Enantiomer of Bay K8644 (Axon 1697)

Bazedoxifene acetate

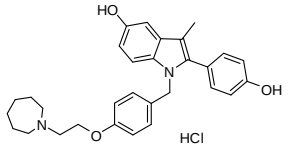
Axon 2051

See TSE 424
Page 775

Bazedoxifene hydrochloride

Axon 1748

WAY 140424; TSE 424 Hydrochloride



mg	Price
5	online
25	online

[198480-56-7]
Purity: 98%

Soluble in DMSO
C30H34N2O3.HCl MW: 507.06

Biological activity
Third generation selective estrogen receptor modulator (SERM)
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc

BBD 130

Axon 1520

See NVP-BBD130
Page 594

BBI 608

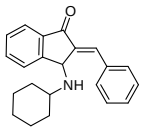
Axon 2517

See Napabucasin
Page 568

BCI

Axon 2178

NSC 150117



mg	Price
10	online
50	online

[1245792-51-1]
Purity: 99%

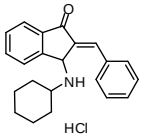
Soluble in DMSO
C22H23NO MW: 317.42

Biological activity
Allosteric inhibitor of dual-specificity phosphatases (DUSP). BCI treatment of Dusp6-Myc–transfected cells blocks DUSP6 or DUSP1 activity, but not Dusp5 (IC50 values 12.3 μM and 11.5 μM for DUSP6 and DUSP1 inhibition, resp.). BCI mediated DUSP6 inhibition can induce expansion of myocardial progenitors that ultimately increases heart size in zebrafish embryos. BCI also inhibits lung cancer and uveal melanoma cells viability (IC50 values ranging from 0.1 to 90 μM).

BCI hydrochloride

Axon 2852

NSC 150117 hydrochloride



mg	Price
10	online
50	online

[95130-23-7]
Purity: 100%

Soluble in DMSO
C22H23NO.HCl MW: 353.89

Biological activity
Allosteric inhibitor of dual-specificity phosphatases (DUSP). BCI treatment of DUSP6-Myc–transfected cells blocks DUSP6 or DUSP1 activity, but not DUSP5 (IC50 values 12.3 μM and 11.5 μM for DUSP6 and DUSP1 inhibition, resp.). BCI mediated DUSP6 inhibition can induce expansion of myocardial progenitors that ultimately

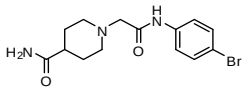
increases heart size in zebrafish embryos. BCI also inhibits lung cancer and uveal melanoma cells viability (IC50 values ranging from 0.1 to 90 μM). The dual-specificity phosphatase 6 (DUSP6) functions a feedback regulator of fibroblast growth factor (FGF) signaling to limit the activity of extracellular signal–regulated kinases (ERKs) 1 and 2.
The free base BCI is also available as Axon 2178.

BCI-121

Axon 2735

[432529-82-3]
Purity: 99%

Soluble in DMSO
C14H18BrN3O2 MW: 340.22



mg	Price
10	online
50	online

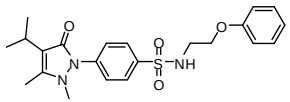
Biological activity
BCI-121 induces a significant reduction in SMYD3 activity both in vitro and in CRC cells, as suggested by the analysis of global H3K4me2/3 and H4K5me levels. Moreover, BCI-121 inhibits chromatin recruitment and is effective in reducing proliferation in various cancer cell types.

BC-LI-0186 Recent Addition

Axon 3108

[695207-56-8]
Purity: 99%

Soluble in DMSO
C22H27N3O4S MW: 429.53



mg	Price
10	online
50	online

Biological activity
BC-LI-0186 is a specific inhibitor of the interaction between Leucyl-tRNA synthetase (LRS) and RagD (IC50 of 46.11 nM). BC-LI-0186 bound to LRS with a Kd value of 42.1 nM. BC-LI-0186 efficiently inhibited leucine-dependent mTORC1 activity and the growth of cancer cells that express drug-resistant MTOR mutations.

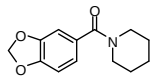
BCP, 1-

Axon 3088

BA-14

[34023-62-6]
Purity: 99%

Soluble in water and DMSO
C13H15NO3 MW: 233.26



mg	Price
10	online
50	online

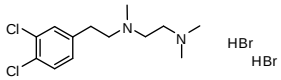
Biological activity
1-BCP is a centrally active modulator of the AMPA receptor. 1-BCP rapidly crosses the blood-brain barrier and enhances monosynaptic responses in the hippocampus of freely moving rats.

BD 1047 dihydrobromide

Axon 1215

[138356-21-5]
Purity: 99%

Soluble in water
C13H20Cl2N2.2HBr MW: 437.04



mg	Price
10	online
50	online

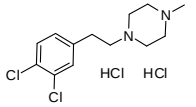
Biological activity
Sigma-1 receptor antagonist

BD 1063 dihydrochloride

Axon 2088

[206996-13-6]
Purity: 100%

Soluble in water and DMSO
C13H18Cl2N2.2HCl MW: 346.12



mg	Price
10	online
50	online

Biological activity
Potent and selective sigma-1 (σ-1) receptor antagonist (Ki=9 nM); about 50-fold more selective for sigma-1 over sigma-2 and >100-fold more selective over 9 other tested neurotransmitter receptors; shown to antagonize cocaine efffects

BDP-12

Axon 3089

See CX516

Page 264

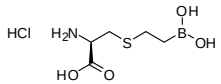
BEC hydrochloride

Axon 2373

S-(2-Boronoethyl)-L-cysteine hydrochloride

[222638-67-7]
Purity: 99%

Soluble in water and DMSO
C5H12BNO4S.HCl MW: 229.49



mg	Price
5	online
25	online

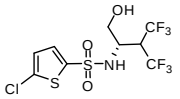
Biological activity
Slow-binding pH-dependent inhibitior of human Arginase I and II (Kd value 270 nM and 220 nM for Arginase I and II, respectively. Ki values 310 nM and 30 nM at pH 7.5 and pH 9.5, respectively for Arginase II). Valuable reagent to probe the physiological relationship between arginase and nitric oxide (NO) synthase in regulating the NO-dependent smooth muscle relaxation in human penile corpus cavernosum tissue. BEC does not inhibit NO synthase, and effectively prevented ACh tolerance in aortic and mesenteric artery preparations.

Begacestat

Axon 2117

GSI 953

[769169-27-9]
Purity: 99%
Optically pure
Soluble in DMSO
C9H8ClF6NO3S2 MW: 391.74



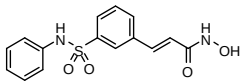
mg	Price
5	online
25	online

Biological activity
Potent and selective γ-secretase inhibitor (gamma secratase inhibitor, GSI); Capable of reducing both Aβ40 and Aβ42 production in a cell line stably expressing human recombinant APP (EC50 values of 14.8 and 12.4 nM for Aβ40 and Aβ40 respectively).Begacestat was found to have >16-fold selectivity in vitro for the inhibition of APP processing over Notch
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Belinostat

Axon 3115

PXD101



	mg	Price
[866323-14-0] Purity: 99%	10	online
Soluble in 0.1N NaOH(aq) and DMSO C15H14N2O4S MW: 318.35	50	online

Biological activity
Belinostat is an inhibitor of histone deacetylase activity that inhibits histone deacetylase activity in HeLa cell extracts with an IC50 value of 27 nM and induces a concentration-dependent (0.2–5 μM) increase in acetylation of histone H4 in tumor cell lines. Belinostat is cytotoxic in vitro in a number of tumor cell lines with IC50 values in the range 0.2–3.4 μM as determined by a clonogenic assay and induces apoptosis.

Belumosudil

Axon 2780

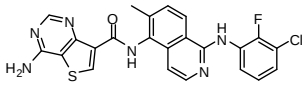
See KD025

Page 488

Belvarafenib

Axon 3067

GDC-5573; HM95573



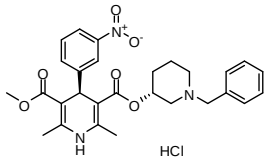
	mg	Price
[1446113-23-0] Purity: 99%	5	online
Soluble in DMSO C23H16ClFN6OS MW: 478.93	25	online

Biological activity
Belvarafenib is an oral type II pan-RAF kinase inhibitor.

Benidipine hydrochloride

Axon 3131

KW-3049



	mg	Price
[91599-74-5] Purity: 99%	50	online
Soluble in DMSO C28H31N3O6.HCl MW: 542.02	250	online

Biological activity
Dihydropyridine vasoselective long acting calcium channel blocker. Antihypertensive agent.

Bentamapimod

Axon 2002

See AS 602801

Page 227

Betanis

Axon 2414

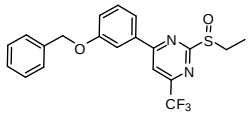
See Mirabegron

Page 539

BETP

Axon 2259

Compound B



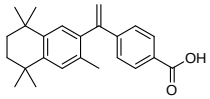
	mg	Price
[1371569-69-5] Purity: 99%	10	online
Soluble in DMSO C20H17F3N2O2S MW: 406.42	50	online

Biological activity
Positive allosteric modulator (PAM) at the glucagon-like peptide 1 receptor (GLP-1; EC50 value 0.66 μM) with good selectivity over GLP-2, GIP, PTH, and glucagon receptors. BETP has a signifficant effect on cAMP accumulation, iCa2+ mobilization, and β-arrestin1 and β-arrestin2 recruitment in Flp-In-CHO cells stably expressing the human GLP-1R (pEC50 values 5.2, 5, 5.0, and 5.0, respectively). BETP induced glucose-dependent insulin secretion in vitro and in vivo, and increased calcium influx in CHO cells expressing GLP-1R.

Bexarotene

Axon 1700

SR 11247; Targretin



	mg	Price
[153559-49-0] Purity: 99%	10	online
Soluble in DMSO C24H28O2 MW: 348.48	50	online

Biological activity
Selective agonist for retinoid X receptors (RXR); An oral antineoplastic agent indicated for cutaneous T cell lymphoma (CTCL)

Bextra

Axon 2106

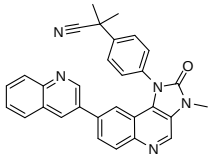
See Valdecoxib

Page 791

BEZ 235

Axon 1281

NVP-BEZ235



	mg	Price
[915019-65-7] Purity: 99%	5	online
Moderately soluble in DMSO C30H23N5O MW: 469.54	10	online

Biological activity
Orally active PI3K tyrosine kinase inhibitor; Dual PI3K/mTOR inhibition; BEZ235 showed high target specificity and demonstrated antiproliferative activity against tumor cell lines in animal models of cancer

BF 5

Axon 2237

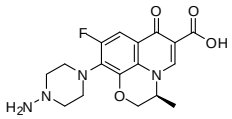
See BFF 122

Page 267

BFF 122

Axon 2237

BF 5



mg	Price
5	online
25	online

[1152314-49-2]
Purity: 99%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C17H19FN4O4 MW: 362.36

Biological activity
Potent and selective inhibitor of kynurenine aminotransferase II (IC50 values ca. 1 µM and >30 µM for KAT II and KAT I respectively). Intrastriatal BFF 122 decreased newly formed KYNA by 66%, without influencing 3-HK or QUIN production in naïve rats.

BGJ 398

Axon 1775

See NVP-BGJ398
Page 594

BGT 226

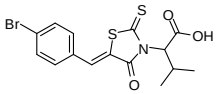
Axon 2029

See NVP-BGT226
Page 595

BH3I 1

Axon 1828

BHI 1



mg	Price
10	online
50	online

[300817-68-9]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C15H14BrNO3S2 MW: 400.31

Biological activity
Cell permeable antitumor agent targeting Bcl-2 family protein, more specifically as Bcl-xL antagonist; apoptosis inducer, inducing a dose- and time-dependent apoptosis in H460 and H1792 cells

BHG 712

Axon 1829

See NVP-BHG712
Page 595

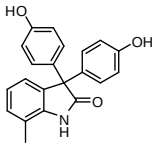
BHI 1

Axon 1828

See BH3I-1
Page 267

BHPI

Axon 2790



mg	Price
10	online
50	online

[56632-39-4]
Purity: 99%

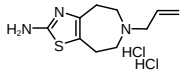
Soluble in 0.1N NaOH(aq) and DMSO
C21H17NO3 MW: 331.36

Biological activity
BHPI is a potent noncompetitive ERα inhibitor that selectively blocks proliferation of drug-resistant ERα-positive breast and ovarian cancer cells (IC50 values of 27 and 15 nM in MDA-468 and T47D cell lines, respectively). Moreover, BHPI induced rapid and substantial tumor regression in a mouse xenograft model of breast cancer.

B-HT 920 dihydrochloride

Axon 1153

Talipexole



mg	Price
10	online
50	online

[36085-73-1]
Purity: 99%

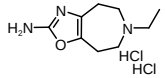
Soluble in water and DMSO
C10H15N3S.2HCl MW: 282.23

Biological activity
Dopamine D2 receptor agonist, α2-adrenoceptor agonist and 5-HT3 receptor antagonist

B-HT 933 dihydrochloride

Axon 1154

Azepexole



mg	Price
10	online
50	online

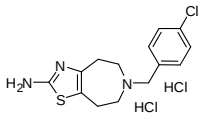
[36067-72-8]
Purity: 98%

Soluble in water and DMSO
C9H15N3O.2HCl MW: 254.16

Biological activity
Selective α2-adrenoceptor agonist

B-HT 958 dihydrochloride

Axon 1337



mg	Price
10	online
50	online

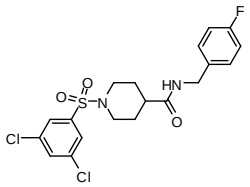
[36085-44-6]
Purity: 99%

Soluble in water
C14H16ClN3S.2HCl MW: 366.74

Biological activity
Dopamine D2 receptor agonist, α2-adrenoceptor partial agonist

BI 01383298

Axon 2976



[2227549-00-8]
Purity: 99%

Soluble in DMSO
C19H19Cl2FN2O3S MW: 445.34

mg	Price
10	online
50	online

Biological activity
BI 01383298 is a potent and selective inhibitor of SLC13A5 with an apparent IC50 value of 56 nM in HEK cells overexpressing SLC13A5 and 24nM in HepG2 cell expressing endogenous SLC13A5. BI 01383298 is more than 1000-fold selective over the closest family members: human SLC13A2/SLC13A3 that share physiological substrates citrate and succinate; chemical probe developed by SGC.

BI 1356

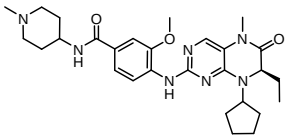
Axon 2354

See Linagliptin

Page 509

BI 2536

Axon 1129



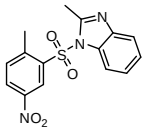
[755038-02-9]
Purity: 99%
>99% ee
Moderately soluble in DMSO
C28H39N7O3 MW: 521.65

mg	Price
2	online
5	online

Biological activity
Potent and selective polo-like kinase (PLK) 1 inhibitor

BI 6015

Axon 1940



[93987-29-2]
Purity: 99%

Soluble in DMSO
C15H13N3O4S MW: 331.35

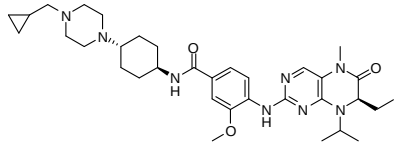
mg	Price
10	online
50	online

Biological activity
Potent hepatocyte nuclear factor 4α (HNF4α) antagonist; found to be selectively cytotoxic to cancer cell lines in vitro and in vivo

BI 6727

Axon 1473

Volasertib



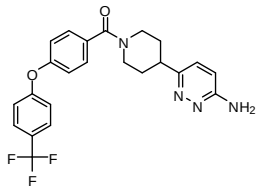
[755038-65-4]
Purity: 99%
optically pure
Moderately soluble in DMSO
C34H50N8O3 MW: 618.81

mg	Price
2	online
5	online
25	online

Biological activity
A highly potent and selective polo-like kinase (PLK) 1 inhibitor (enzyme IC50 = 0.87 nM, EC50 = 11-37 nM on a panel of cancer cell lines), which exhibited significant anti-proliferative in multiple cancer models,including a model of taxane-resistant colorectal cancer. A high volume of distribution, indicating good tissue penetration, and a long terminal half-life have emerged as distinct features of BI 6727,which may have a favorable effect on antitumor efficacy in vivo.

BI 749327

Axon 3036



[2361241-23-6]
Purity: 99%

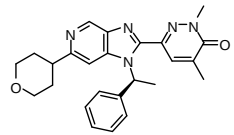
Soluble in DMSO
C23H21F3N4O2 MW: 442.43

mg	Price
5	online
25	online

Biological activity
BI 749327 is a potent, selective and orally bioavailable TRPC6 inhibitor with IC50 values of 13 nM, 19 nM and 15 nM for mouse, human and guinea pig TRPC6, respectively.

BI 894999

Axon 3037



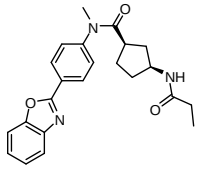
[1660117-38-3]
Purity: 99%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C25H27N5O2 MW: 429.51

mg	Price
5	online
25	online

Biological activity
BI 894999 is a potent, selective and orally active BET inhibitor. BI 894999 inhibits the binding of the BRD4-BD1 and BRD4-BD2 bromodomains to acetylated histones with IC50 values of 5 nM and 41 nM, respectively. Moreover, BI 894999 was highly selective for BRD2/3/4 and BRDT, with at least a 200-fold selectivity vs. BRD4-BD1.

BI 99179

Axon 3181



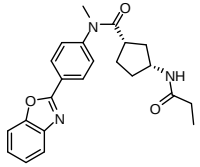
[1291779-76-4]
Purity: 99%
98%ee
Soluble in DMSO
C23H25N3O3 MW: 391.46

mg	Price
5	online
25	online

Biological activity
BI 99179 is a potent and selective inhibitor of type I fatty acid synthase (FAS) with significant exposure (both peripheral and central) upon oral administration in rats.

BI 99990

Axon 3182



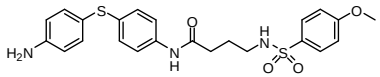
[1338468-86-2]
Purity: 98%
98%ee
Soluble in DMSO
C23H25N3O3 MW: 391.46

mg	Price
5	online
25	online

Biological activity
BI 99990 is a negative control compound of the active enantiomer BI 99179, which is available as Axon 3181.

BI-6C9

Axon 3047



[791835-21-7]
Purity: 99%

Soluble in DMSO
C23H25N3O4S2 MW: 471.59

mg	Price
5	online
25	online

Biological activity
BI-6C9 is an inhibitor of BID, a member of the BH3-only proteins. BI-6C9 is an antiapoptotic molecule targeting Bcl-2 as shown by the ability to inhibit tBID-induced SMAC release, caspase-3 activation, and cell death. BI-6C9 reduces proapoptotic activity of BID in vitro and in cells.

BIBF-1120

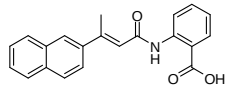
Axon 2648

See Nintedanib

Page 578

BIBR 1532

Axon 2301



[321674-73-1]
Purity: 99%

Soluble in DMSO
C21H17NO3 MW: 331.36

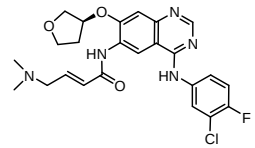
mg	Price
5	online
25	online

Biological activity
Potent and selective inhibitor of native and recombinant human telomerase (IC50 values of ca. 100 nM), capable of inducing senescence in human cancer cells. BIBR1532 is a mixed-type non-competitive inhibitor of the human telomerase reverse transcriptase and human telomerase RNA components with similar potency primarily by interfering with the processivity of the enzyme. BIBR 1532 defines a novel class of mixed-type non-competitive telomerase inhibitor with mechanistic similarities to non-nucleosidic inhibitors of HIV1 reverse transcriptase.

BIBW 2992

Axon 1544

Afatinib



[439081-18-2]
Purity: 99%

Soluble in DMSO
C24H25ClFN5O3 MW: 485.94

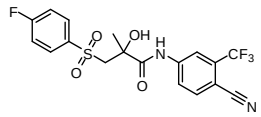
mg	Price
2	online
5	online

Biological activity
Second generation tyrosine kinase inhibitor (TKI) that irreversibly inhibits human epidermal receptor 2 (Her2 or ErbB 2) and EGFR (HER1) kinases.An investigational drug for breast cancer as well as other EGFR and Her2 driven cancers such as NSCLC and Head-and-Neck

Bicalutamide

Recent Addition

Axon 3313



[90357-06-5]
Purity: 99%

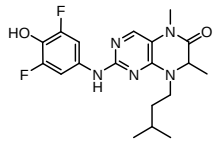
Soluble in DMSO
C18H14F4N2O4S MW: 430.37

mg	Price
50	online

Biological activity
Bicalutamide is an orally active, non-steroidal, peripherally selective antiandrogen.

BI-D1870

Axon 1528



[501437-28-1]
Purity: 99%

Soluble in DMSO and Ethanol
C19H23F2N5O2 MW: 391.42

mg	Price
2	online
5	online

Biological activity
Potent and specific inhibitor of the p90 ribosomal S6 kinase (RSK) isoforms in vitro and in vivo, which inhibits RSK1, RSK2, RSK3 and RSK4 in vitro with an IC50 of 10–30 nM

BIIB 021

Axon 1543

See CNF 2024

Page 325

BIMT 17

Axon 1499

See Flibanserin

Page 405

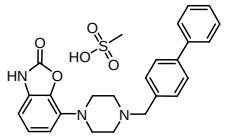
Bifeprunox mesylate

Axon 1508

DU 127090

[350992-13-1]
Purity: 99%

Soluble in DMSO
C25H27N3O5S MW: 481.56



mg	Price
10	online
50	online

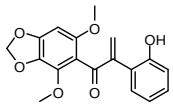
Biological activity
Dopamine D2 and 5-HT1A partial agonist in development as a potential treatment for schizophrenia and other psychotic indications; Pharmacoloy profile makes it an atypical antipsychotic and a new approach for the treatment of schizophrenia

Biliatresone

Axon 2867

[1801433-90-8]
Purity: 98%

Soluble in DMSO
C18H16O6 MW: 328.32



mg	Price
10	online

Biological activity
Reactive natural toxin that causes selective atresia of the extrahepatic biliary tree in zebrafish.

BINA

Axon 1644

See Biphenyl-indanone A

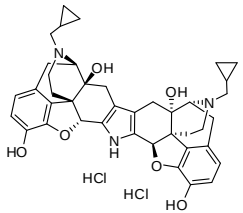
Page 274

Binaltorphimine dihydrochloride, nor-

Axon 1163

[113158-35-3]
Purity: 98%

No solubility data
C40H43N3O6.2HCl MW: 734.71



mg	Price
10	online
50	online

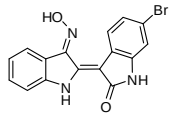
Biological activity
Potent and selective kappa opioid receptor antagonist

BIO

Axon 1693

[667463-62-9]
Purity: 98%

Soluble in DMSO
C16H10BrN3O2 MW: 356.17



mg	Price
10	online
50	online

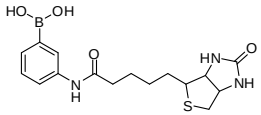
Biological activity
Potent, reversible, ATP-competitive and selective inhibitor of glycogen synthase kinase GSK-3 (IC50: 5 nM); Inhibition of GSK by BIO results in the activation of the Wnt signaling pathway and sustained pluripotency in human and murine embryonic stem cells

Biotinyl-phenylboronic acid

Axon 2256

[N.A.]
Purity: 99%

Soluble in 0.1N NaOH(aq), MeOH and DMSO
C16H22BN3O4S MW: 363.24



mg	Price
5	online
25	online

Biological activity
Biotin functionalized arylboronic acid for the use of palladium-catalyzed oxidative Heck reaction to protein-bound alkenes and Suzuki-Miyaura cross-coupling for labeling of protein bound phenylhalides in high yields and with excellent chemoselectivity. Reagent for bio-orthogonal protein-ligation. Signal enhancement with streptavidin-HRP. Sold in collaboration with RuG (University of Groningen)

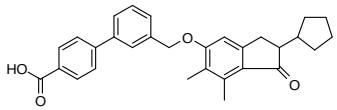
Biphenyl-indanone A

Axon 1644

BINA; LS 193571

[866823-73-6]
Purity: 99%

Soluble in DMSO
C30H30O4 MW: 454.56



mg	Price
5	online
25	online

Biological activity
Potent and selective positive allosteric modulator (PAM) of metabotropic glutamate receptor subtype 2 (mGluR2)

BIBR 277

Axon 3103

See Telmisartan

Page 758

BIBR 1048

Axon 3117

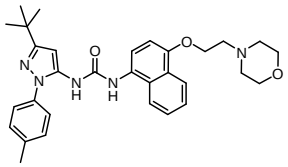
See Dabigatran etexilate Recent Addition

Page 348

BIRB 796

Axon 1358

Doramapimod



mg	Price
5	online
10	online

[285983-48-4]
Purity: 99%

Soluble in water and DMSO
C31H37N5O3 MW: 527.66

Biological activity
Small molecule inhibitor of p38 mitogen-activated protein (MAP) kinase (MAPK); more potent than SB 203580 on p38α and p38β MAPKs; potential agent for the treatment of inflammatory diseases

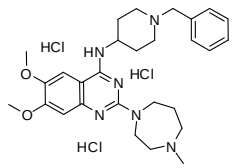
BI-RG-587

Axon 3124

See Nevirapine Page 574

BIX 01294 trihydrochloride hydrate

Axon 1692



mg	Price
10	online
50	online

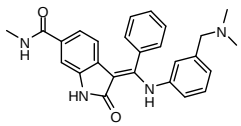
[935693-62-2]
Purity: 99%

Soluble in water and DMSO
C28H38N6O2.3HCl MW: 600.02

Biological activity
G9a-like protein and G9a histone lysine methyltransferase (HMTase) inhibitor; Recently, BIX-01294 and RG108 (Axon 1691) have been reported to enhance the efficiency of iPS cell generation

BIX02188-Me

Axon 1808



mg	Price
5	online
25	online

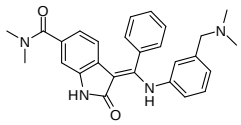
[334951-92-7]
Purity: 99%

Soluble in DMSO
C26H26N4O2 MW: 426.51

Biological activity
BIX02188-Me is a N-methly analogue of BIX02188 and BIX02189. BIX02188-ME is a selective dual MEK5 and ERK kinase inhibitor similar to BIX02188 and BIX02189.

BIX 02189

Axon 1809



mg	Price
5	online
25	online

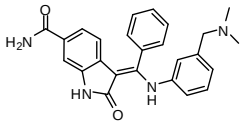
[1094614-85-3]
Purity: 99%

Soluble in DMSO
C27H28N4O2 MW: 440.54

Biological activity
Selective dual MEK5 and ERK5 (or BMK1) kinase inhibitor, with IC50 values of 1.5, 59, 580 and >6200 nM for MEK5, ERK5, TGFbR1 and other closely related kinases respectively

BIX02188 Recent Addition

Axon 3346



mg	Price
5	online
25	online

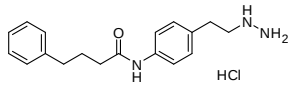
[334949-59-6]
Purity: 98%

Soluble in DMSO
C25H24N4O2 MW: 412.48

Biological activity
Selective and potent MEK5 kinase inhibitor, with IC50 values of 4.3, 810, 1800, and >6300 nM for MEK5, ERK5, TGFbR1 and other closely related kinases respectively.

Bizine

Axon 2306



mg	Price
10	online
50	online

[1591932-50-1] (parent)
Purity: 98%

Soluble in water and DMSO
C18H23N3O.HCl MW: 333.86

Biological activity
Potent LSD1 inhibitor in vitro and selective versus monoamine oxidases A/B and the LSD1 homologue, LSD2 with Ki(inact) values 0.059 μM, 2.6 μM, 6.5 μM, and ca 11 μM for LSD1, MAO-A, MAO-B, and LSD2 respectively. Bizine was found to be effective at modulating bulk histone methylation in cancer cells. Moreover, neurons exposed to oxidative stress were protected by the presence of bizine, suggesting potential applications in neurodegenerative disease.

BJE6-106

Axon 2981

See B106 Page 252

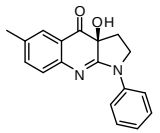
BKM 120

Axon 1797

See NVP-BKM120 Page 596

Blebbistatin, (-)-

Axon 3074



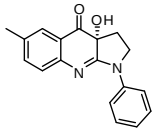
mg	Price
2	online
5	online

[856925-71-8]
Purity: 98%
99.7% e.e.
Soluble in DMSO
C18H16N2O2 MW: 292.33

Biological activity
(-)-Blebbistatin is a selective inhibitor of myosin II ATPase activity (IC50 value of 2.16 μM). Active enantiomer of (±)-Blebbistatin (Axon 2718).

Blebbistatin, (+)-

Axon 3144



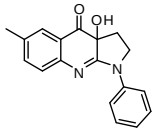
[1177356-70-5]
Purity: 99%
99.5% e.e.
Soluble in DMSO
C18H16N2O2 MW: 292.33

mg	Price
2	online
5	online

Biological activity
(+)-Blebbistatin is the inactive enantiomer of (±)-Blebbistatin (Axon 2718) with an IC50 value of >100 µM for ATPase activity; Negative control for non-muscle myosin II studies.

Blebbistatin, (±)-

Axon 2718



[674289-55-5]
Purity: 99%

Soluble in DMSO
C18H16N2O2 MW: 292.33

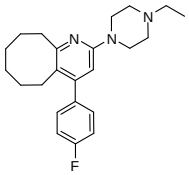
mg	Price
5	online
25	online

Biological activity
Blebbistatin is a potent and specific inhibitor of the motor functions of class II myosins (IC50 values of 6.47 µM, 3.58 µM, 2.30 µM and 1.57 µM for inhibiting actin-activated ATPase activities of SmM, NM2a, NM2b and NM2c, respectively). Blebbistatin inhibited contraction of the cleavage furrow without disrupting mitosis or contractile ring assembly. Moreover, Blebbistatin inhibited both the ATPase and gliding motility activities of human platelet nonmuscle myosin II without inhibiting my

Blonanserin

Axon 2353

AD 5423



[132810-10-7]
Purity: 100%

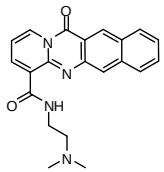
Soluble in 0.1N HCl(aq) and DMSO
C23H30FN3 MW: 367.50

mg	Price
10	online
50	online

Biological activity
Potent dopamine D2 and serotonin 5-HT2 antagonist (Ki values 0.14 nM and 0.81 nM for human D2L and 5-HT2A receptors respectively) with weak adrenaline-α1 and virtually no dopamine D1 affinity.

BMH 21

Axon 2462



[896705-16-1]
Purity: 99%

Soluble in 0.1N HCl (aq)
C21H20N4O2 MW: 360.41

mg	Price
10	online
50	online

Biological activity
RNA polymerase I (RNAP1) inhibitor (IC50 values 0.05 µM and 0.07 µM for degradation of RPA194 and translocation of NCL, respectively). BMH-21 intercalates with GC-rich rDNA, inhibits Pol I, and causes activation of p53 and proteasome-mediated degradation of RPA194. Furthermore, BMH21showed broad and potent anticancer activity in NCI60 cancer cell lines and reduced tumor burden in mouse xenograft assays.

BMN 195

Axon 2481

See SMT C1100 Page 720

BMN 673

Axon 2502

See Talazoparib Page 751

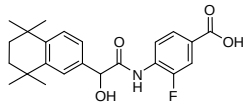
BMS 4

Axon 1949

See LIMK1 inhibitor BMS 4 Page 508

BMS 189961

Axon 1194



[185629-22-5]
Purity: 98%

Soluble in DMSO
C23H26FNO4 MW: 399.46

mg	Price
10	online
50	online

Biological activity
Nuclear retinoic acid receptor (RAR) gamma agonist; its more active (R)-(+)-enantiomer is BMS 270394 (Axon 1173)

BMS 201038

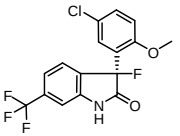
Axon 2917

See Lomitapide Page 512

BMS 204352

Axon 1112

Flindokalner; BMS 204352, (S)-(+)-



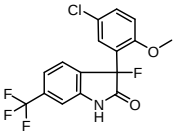
[187523-35-9]
Purity: 99%
99% ee
Soluble in DMSO
C16H10ClF4NO2 MW: 359.70

mg	Price
5	online
25	online

Biological activity
Maxi-K channel opener, potential therapeutic for the treatment of stroke; more active S-(+)-enantiomer in comparison with R-(-)-enantiomer (Axon 1309)

BMS 204352, (±)-

Axon 1308



[183720-28-7]
Purity: 98%

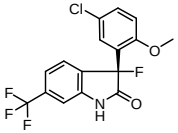
Soluble in DMSO
C16H10ClF4NO2 MW: 359.70

mg	Price
5	online
25	online

Biological activity
Potassium channel opener; racemate of more active S-(+)-enantiomer, BMS-204352 (Flindokalner, Axon 1112), and less active R-(-)-enantiomer (Axon 1309)

BMS 204352, (R)-(-)-

Axon 1309



[187523-36-0]
Purity: 98%
>98% ee
Soluble in DMSO
C16H10ClF4NO2 MW: 359.70

mg	Price
5	online
25	online

Biological activity
Less active opposite R-(-)-enantiomer of S-(+)-enantiomer, BMS-204352 (Flindokalner, Axon 1112), a Maxi-K channel opener

BMS 204352, (S)-(+)-

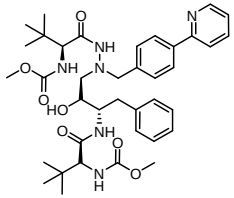
Axon 1112

See BMS 204352 Page 278

BMS 232632

Axon 1441

Atazanavir



[198904-31-3]
Purity: 99%

Soluble in DMSO
C38H52N6O7 MW: 704.86

mg	Price
5	online
25	online

Biological activity
Orally active protease inhibitor (PI); antiretrovirals used to treat infection of human immunodeficiency virus (HIV)

BMS 247615 dihydrochloride

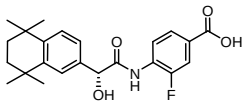
Axon 2914

See TAS-103 dihydrochloride Page 753

BMS 270394

Axon 1173

BMS 270394, (R)-(+)-



[262433-54-5]
Purity: 99%
>98% ee
Soluble in DMSO and Ethanol
C23H26FNO4 MW: 399.46

mg	Price
5	online
25	online

Biological activity
Nuclear retinoic acid receptor (RAR) gamma agonist; more active enantiomer of BMS 189961 (Axon 1194)

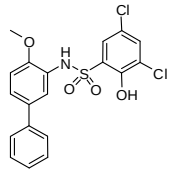
BMS 270394, (R)-(+)-

Axon 1173

See BMS 270394 Page 279

BMS 303141

Axon 2506



[943962-47-8]
Purity: 100%

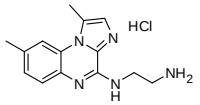
Soluble in DMSO and Ethanol
C19H15Cl2NO4S MW: 424.30

mg	Price
10	online
50	online

Biological activity
Cell-permeable ATP-citrate lyase (ACL) inhibitor (IC50 value 0.13 µM in vitro, and 8 µM for inhibition of total lipid syntheses in HepG2 cells). When administered to mice fed on a high-fat diet, it produced an approximate 20–30% lowering in plasma cholesterol and triglycerides, as well as a 30–50% decrease in fasting plasma glucose, as well as an inhibition of weight gain. BMS 303141 also showed inhibitory effects for other metabolic disease related targets such as ACC1 and ACC2 (IC50 values 6 µM and 12 µM, respectively).

BMS 345541

Axon 1731



[547757-23-3]
Purity: 98%

Soluble in water and DMSO
C14H17N5.HCl MW: 291.78

mg	Price
5	online
10	online

Biological activity
A cell-permeable and highly selective IKB kinase (IKK) inhibitor, binds at allosteric site of the enzyme; blocks NF-kB-dependent transcription in mice; Displays ~10-fold greater selectivity at IKK-2 over IKK-1

BMS 354825

Axon 1392

See Dasatinib Page 351

BMS 387032

Axon 1614

See SNS 032 Page 721

BMS 442606 hydrochloride

Axon 1998

See Hydroxybuspirone hydrochloride, (S)-6- Page 455

BMS 442608 hydrochloride

Axon 1997

See Hydroxybuspirone hydrochloride, (R)-6- Page 455

BMS 528215

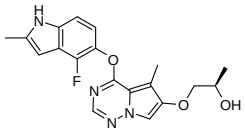
Axon 1996

See Hydroxy-buspirone hydrochloride, 6- Page 454

BMS 540215

Axon 1850

Brivanib



mg	Price
5	online
25	online

[649735-46-6]
Purity: 98%
optically pure
Soluble in DMSO
C19H19FN4O3 MW: 370.38

Biological activity
Potent and ATP-competitive inhibitor of VEGF; it inhibits VEGFR-2, -1 and -3 with IC50 of 25, 380 and 10 nM respectively; also showed good selectivity for FGFR-1, -2, and -3 with IC50 of 148, 125 and 68 nM. BMS 540215 is the active component of its prodrug, Brivalib alaninate (BMS 582664, Axon 1864), which hydrolyzes to BMS 540215 in vivo quickly

BMS 562247-01

Axon 1754

See *Apixaban*
Page 218

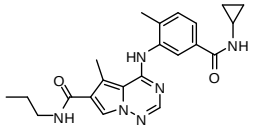
BMS 582664

Axon 1864

See Brivanib alaninate
Page 287

BMS 582949

Axon 2856



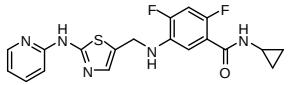
mg	Price
5	online
25	online

[623152-17-0]
Purity: 98%
Soluble in DMSO
C22H26N6O2 MW: 406.48

Biological activity
BMS 582949 is a highly selective p38α MAP kinase inhibitor (IC50 value of 13 nM).

BMS 605541

Axon 2837



mg	Price
5	online
25	online

[639858-32-5]
Purity: 98%
Soluble in DMSO
C19H17F2N5OS MW: 401.43

Biological activity
BMS 605541 is potent, selective, orally active, ATP-competitive inhibitor of VEGFR2 (IC50 value of 23 nm). Orally active in human lung (L2987) and colon (HCT-116) carcinoma xenograft models at multiple dose levels.

BMS 790052 dihydrochloride

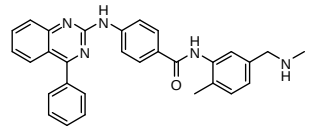
Axon 2093

See Daclatasvir dihydrochloride
Page 348

BMS 833923

Axon 2356

XL 139



mg	Price
5	online
25	online

[1059734-66-5]
Purity: 99%
Soluble in DMSO
C30H27N5O MW: 473.57

Biological activity
Oral, small molecule antagonist of the Hedgehog (Hh) signaling component Smoothened (SMO). Treatment with BMS 833923 leads to a decreased expression of GLI1 and PTCH1 in EGI-1 cells, reduced tumor growth in vitro, and a prolongation of survival in vivo in different human cancers. Additionally, SMO inhibition by BMS 833923 leads to decreased proliferation and induces apoptosis in esophageal adenocarcinoma cells (EACs).

BMS 863233 hydrochloride

Axon 2268

See XL 413 hydrochloride
Page 819

BMS-200475

Axon 3239

See Entecavir **Recent Addition**
Page 385

BMS-512148

Axon 3121

See Dapagliflozin **Recent Addition**
Page 350

BMY 13754

Axon 1102

See Nefazodone hydrochloride
Page 572

BN 80245

Axon 1687

See *Homocamptothecin, (±)-E-*
Page 282

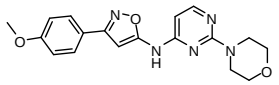
BN83495

Axon 2892

See STX64
Page 740

BO-264 **Recent Addition**

Axon 3327



mg	Price
5	online
25	online

[2408648-20-2]
Purity: 99%
Soluble in 0.1N HCl(aq) and DMSO
C18H19N5O3 MW: 353.38

Biological activity
BO-264 is a highly potent, orally active TACC3 inhibitor with an IC50 value of 188 nM. BO-264 is a potential anti-cancer agent, inducing spindle abnormalities and mitotic cell death.

Boc-Asp(Ome)-fluoromethyl ketone

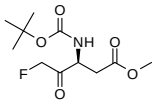
Axon 2158

See Boc-D-FMK
Page 283

Boc-D-FMK

Axon 2158

Boc-Asp(Ome)-fluoromethyl ketone; BAF



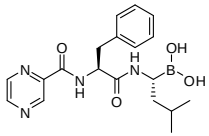
	mg	Price
[187389-53-3]		
Purity: 98%	5	online
optically pure		
Soluble in water and DMSO	25	online
C11H18FNO5 MW: 263.26		

Biological activity
Broad spectrum caspase inhibitor. Causes concentration-dependent inhibition of only TNFα-stimulated apoptosis (IC50 value 39 µM). Boc-D-FMK could significantly promote the survival of spinal motoneurons after root avulsion in neonates, but not in adult rats. Boc-D-FMK treatment reduces acute cell death after traumatic brain injury (TBI) by inhibiting mitochondrial release of cytochrome c, possibly via a mechanism involving initiator caspases-2, and -3-like, but not -8).

Bortezomib

Axon 1810

PS 341



	mg	Price
[179324-69-7]		
Purity: 99%	5	online
optically pure		
Soluble in DMSO	25	online
C19H25BN4O4 MW: 384.24		

Biological activity
Highly selective and reversible inhibitor of the 26S proteasome; a chemotherapy agent used in the treatment of multiple myeloma; shown to have anti-tumor activity in B cell malignancies

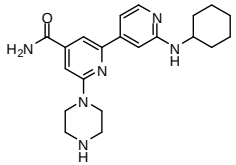
Bosutinib

Axon 1407

See SKI 606 Page 716

BPKDi

Axon 2798

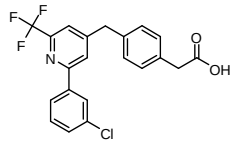


	mg	Price
[1201673-28-0]		
Purity: 99%	5	online
Soluble in 0.1N HCl(aq) and DMSO	25	online
C21H28N6O MW: 380.49		

Biological activity
BPKDi is an inhibitor of protein kinase D (IC50 values of 1, 9, and 1 nM for PKD1, PKD2 and PKD3, respectively). BPKDi blocks signal-dependent phosphorylation and nuclear export of class IIa HDACs in cardiomyocytes and concomitantly suppresses hypertrophy of these cells.

BPN14770

Axon 3148

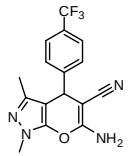


	mg	Price
[1606974-33-7]		
Purity: 99%	5	online
Soluble in 0.1N HCl(aq) and DMSO	25	online
C21H15ClF3NO2 MW: 405.80		

Biological activity
BPN14770 is a potent, selective, allosteric inhibitor of PDE4D with an IC50 value of 7.8 nM (PDE4D7-S129D). BPN14770 showed increased potency in humanized PDE4D mice as compared to wild-type mice. Moreover, BPN14770 increased brain cAMP, increased phosphorylation of CREB, augmented the late phase of hippocampal long-term potentiation (LTP), improved short and long-term memory, and increased production of brain-derived neurotrophic factor (BDNF) in hippocampus.

BQU 57

Axon 2397



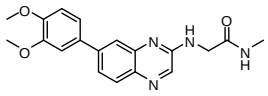
	mg	Price
[1637739-82-2]		
Purity: 99%	5	online
Soluble in DMSO	25	online
C16H13F3N4O MW: 334.30		

Biological activity
Inhibitor of the RAS-like small GTPases RalA and RalB (Kd value 7.7 µM for RalB-GDP; IC50 values 2.0 mM and 1.3 mM for growth inhibition in H358 and H2122 tumor xenografts, respectively). BQU57 shows selectivity for Ral relative to the GTPases Ras and RhoA. Mechanistically, BQU-57 inhibits the binding of Ral proteins in their GDP-bound form to its effector RALBP1, as well as inhibiting Ral-mediated cell spreading of murine embryonic fibroblasts and anchorage-independent growth of human cancer cell lines. Close analogue of RBC 8 (Axon 2396)

BQR695

Axon 2801

NVP-BQR695



	mg	Price
[1513879-21-4]		
Purity: 99%	5	online
Soluble in DMSO	25	online
C19H20N4O3 MW: 352.39		

Biological activity
BQR695 is a PI4K inhibitor which displays potency against both human PI4KIIIβ and Plasmodium falciparum asexual blood stages (IC50 values of 90 nM and 71 nM, respectively). Antimalarial compound.

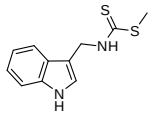
BR 4887

Axon 2317

See BAY 60-6583 Page 260

Brassinin

Axon 2489



mg	Price
10	online
25	online

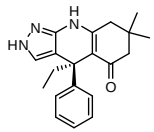
[105748-59-2]
Purity: 98%

Soluble in DMSO
C11H12N2S2 MW: 236.36

Biological activity
Bioavailable dithiocarbamate with affinity for indoleamine 2,3-dioxygenase (IDO; Ki value 28 μM for human IDO) showing antifungal and anticancer activity. Moreover, Brassinin suppressed both constitutive and IL-6-inducible STAT3 activation through modulation of PIAS-3 and SOCS-3, thereby attenuating tumor growth and increasing sensitivity to paclitaxel.

BRD0705

Axon 2931



mg	Price
5	online
25	online

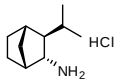
[2056261-41-5]
Purity: 99%
100% e.e.
Soluble in DMSO
C20H23N3O MW: 321.42

Biological activity
BRD0705 is a first-in-class, paralog selective GSK3α inhibitor with an IC50 value of 0.066 μM. BRD0705 induced differentiation, reduced transcriptional programs of stemness and impaired colony formation in AML cell lines and primary patient samples without affecting normal hematopoietic cell growth. Importantly, BRD0705 did not induce β-catenin stabilization or nuclear translocation at concentrations efficacious in multiple mouse models of AML, resulting in leukemia initiation impairment and prolonged survival.
The negative control BRD5648 is available as Axon 3153. The racemic mixture of both enantiomers is available as Axon 3154.

BRD4780

Axon 3017

AGN 192403 hydrochloride



mg	Price
5	online
25	online

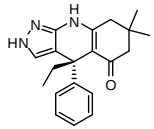
[175521-95-6]
Purity: 99%

Soluble in water and DMSO
C10H19N.HCl MW: 189.73

Biological activity
BRD4780 is a potent and selective imidazoline1 (I1) receptor ligand with a Ki value of 42 nM. Moreover, BRD4780 binds cargo receptor TMED9, releases MUC1-fs, and re-routes it to lysosome.

BRD5648

Axon 3153



mg	Price
5	online
25	online

[2056261-42-6]
Purity: 99%
100% e.e.
Soluble in DMSO
C20H23N3O MW: 321.42

Biological activity
BRD5648 is the inactive enantiomer of rac-BRD0705 (Axon 3154); negative control compound of the active enantiomer BRD0705, which is available as Axon 2931.

BRD 9424

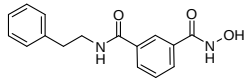
Axon 2355

See FPH 2

Page 410

BRD 73954

Axon 2471



mg	Price
5	online
25	online

[1440209-96-0]
Purity: 99%

Soluble in DMSO
C16H16N2O3 MW: 284.31

Biological activity
First dual HDAC 6/8 inhibitor (IC50 values 9000 nM, >33000 nM, 36 nM, and 120 nM for HDAC2, 4, 6, and 8. respectively) with excellent selectivity over the other class I and II HDACs tested (75- and 130-fold less potent for the next closest isoforms). Simultaneous inhibition of HDAC6 and HDAC8 has many potential therapeutic applications, providing a larger therapeutic window than inhibition of HDAC1–3.

BRD K4477

Axon 2320

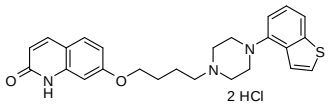
See FH 1

Page 401

Brexpiprazole dihydrochloride

Axon 2335

OPC 34712 dihydrochloride



mg	Price
5	online
25	online

[913612-38-1]
Purity: 98%

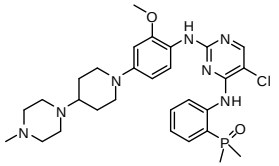
Soluble in DMSO
C25H27N3O2S.2HCl MW: 506.49

Biological activity
Drug candidate in clinical development for psychiatric disorders with high affinity for h5-HT1A (partial agonist), h5-HT2A (antagonist), hD2L (partial agonist), hα1B (antagonist) and hα2C-adrenergic (antagonist) receptors (Ki values <1 nM). Brexpiprazole also shows substantial affinity (Ki <5 nM) for hD3, h5-HT2B, h5-HT7, hα1A and hα1D adrenergic receptors, and moderate affinity for hH1 (Ki =19 nM).

Brigatinib

Axon 2978

AP 26113; Alunbrig



mg	Price
10	online
50	online

[1197953-54-0]
Purity: 99%

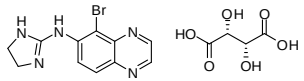
Soluble in 0.1N HCl(aq) and DMSO
C29H39ClN7O2P MW: 584.09

Biological activity
Brigatinib is a potent and selective inhibitor of ALK (IC50 value of 0.6 nM), capable of overcoming mechanisms of resistance associated with crizotinib (Axon 1660). Besides inhibition of ALK, FLT3 and ROS1 were also potently inhibited. Brigatinib was highly active against both sensitive and resistant H3122 cells, decreasing cell growth, suppressing ALK phosphorylation, and inducing apoptosis.

Brimonidine tartrate

Axon 1555

Alphagan-P



mg	Price
10	online
50	online

[70359-46-5]
Purity: 99%

Soluble in water and DMSO
C11H10BrN5.C4H6O6 MW: 442.22

Biological activity
Selective alpha 2-adrenergic receptor agonist, a drug used to treat open-angle glaucoma or ocular hypertension

Brivanib

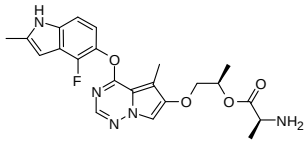
Axon 1850

See BMS 540215
Page 281

Brivanib alaninate

Axon 1864

BMS 582664



mg	Price
2	online
5	online

[649735-63-7]
Purity: 99%
optically pure
Soluble in DMSO
C22H24FN5O4 MW: 441.46

Biological activity
Brivalib alaninate (BMS 582664) is the orally active prodrug of BMS 540215 (Axon 1850). Brivanib alaninate hydrolyzes in vivo quickly to BMS 540215, which is a potent and ATP-competitive VEGFR inhibitor with IC50 of 25, 380 and 10 nM for VEGFR-2, -1 and -3 respectively; also showed good selectivity for FGFR-1, -2, and -3 with IC50 of 148, 125 and 68 nM

BRL 43694

Axon 1449

See Granisetron hydrochloride
Page 429

BRL 49653

Axon 2443

See Rosiglitazone
Page 682

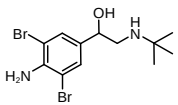
Brobenzoxaldine

Axon 2804

See Broxaldine
Page 288

Bromobuterol

Axon 1157



mg	Price
10	online
50	online

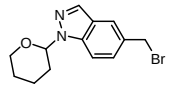
[41937-02-4]
Purity: 98%

Soluble in DMSO and Ethanol
C12H18Br2N2O MW: 366.09

Biological activity
Beta-2 agonist

Bromomethyl-1-(tetrahydro-pyran-2-yl)-1H-indazole, 5-

Axon 1177



mg	Price
1000	online
5000	online

[368426-64-6]
Purity: 97.0%

No solubility data
C13H15BrN2O MW: 295.18

Biological activity
Key precursor for making e.g. non-covalent thrombin inhibitors

Brophenexin

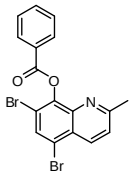
Axon 3348

See NMDAR-TRPM4 blocker C8 dihydrochloride Recent Addition
Page 579

Broxaldine

Axon 2804

Brobenzoxaldine



mg	Price
10	online
50	online

[3684-46-6]
Purity: 99%

Soluble in DMSO
C17H11Br2NO2 MW: 421.08

Biological activity
Broxaldine is an antiprotozoal drug.

BSF 208075

Axon 1648

See Ambrisentan
Page 199

BSI 201

Axon 1566

See Iniparib
Page 469

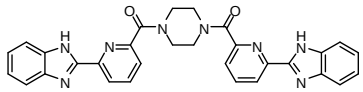
BSK 805

Axon 2792

See NVP-BSK805
Page 596

BT-11

Axon 2749



mg	Price
5	online
25	online

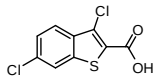
[1912399-75-7]
Purity: 99%

Soluble in DMSO
C30H24N8O2 MW: 528.56

Biological activity
BT-11 is a first-in-class, orally active lanthionine synthetase C-like 2 (LANCL2) binding compound (Kd value of 7.7 μM) for treating inflammatory bowel disease (IBD). Moreover, BT-11 downregulates expression of pro-inflammatory cytokines (e.g., TNF-α or interferon-γ, which are hallmarks of IBD), and promotes IL-10-mediated anti-inflammatory responses in the GI tract.

BT2

Axon 2334



mg	Price
5	online
25	online

[34576-94-8]
Purity: 99%

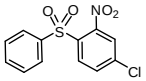
Soluble in DMSO
C9H4Cl2O2S MW: 247.10

Biological activity
Allosteric inhibitor of branched-chain α-ketoacid dehydrogenase (BCKDC) kinase (BDK; IC50 value 3.19 μM). BT 2 binding to BDK results in the dissociation of BDK from the BCKDC accompanied by accelerated degradation of the released kinase in vivo. BT 2 is also known to inhibit the Bcl-2 family member Mcl-1 (Ki value 59 μM).

BTB 1

Axon 2407

NSC 156750; NSC 658180



mg	Price
10	online
50	online

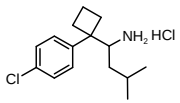
[86030-08-2]
Purity: 99%

Soluble in DMSO and Ethanol
C12H8ClNO4S MW: 297.71

Biological activity
The first small molecule reversible inhibitor of the mitotic motor protein Kif18A (IC50 value 1.69 μM for inhibition of Kif18A ATPase activity) that acts in an ATP-competitive and microtubule (Mt) uncompetitive manner. BTB-1 (aka NSC 156750 or NSC 658180) was previously tested and found to inhibit HIV-1 replication (IC50 value 29.2 μM in cellular anti-HIV-1 assay), yet BTB1 proved to be cytotoxic at low micromolar concentrations.

BTS 54-505

Axon 1257



mg	Price
10	online
50	online

[84484-78-6]
Purity: 98%

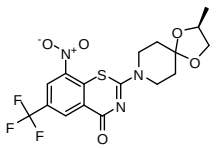
No solubility data
C15H21N.2HCl MW: 288.26

Biological activity
5-HT uptake inhibitor; A major pharmacologically active metabolite of the anti-obesity drug, sibutramine

BTZ043

Axon 2698

BTZ10526043



mg	Price
10	online
50	online

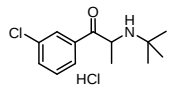
[1161233-85-7]
Purity: 100%
Optically pure
Soluble in DMSO
C17H16F3N3O5S MW: 431.39

Biological activity
Antimycobacterial agent that kills Mycobacterium tuberculosis (MIC values 2.3 nM and 9.2 nM against M. tuberculosis H37Rv and M. smegmatis, respectively) in vitro, ex vivo, and in mouse models of TB through inhibition of decaprenylphosphoryl-b-D-ribose 2'-epimerase (DprE1).

Bupropion hydrochloride

Axon 1451

BW 322U; BVF 033; Amfebutamone



mg	Price
50	online
250	online

[31677-93-7]
Purity: 99%

Soluble in water and DMSO
C13H18ClNO.HCl MW: 276.20

Biological activity
A dopamine and noradrenaline reuptake inhibitor and nicotinic acetylcholine receptor antagonist indicated for the treatment of major depressive disorder (MDD)and for the prevention of seasonal major depressive episodes in patients with seasonal affective disorder (SAD)

Buspar

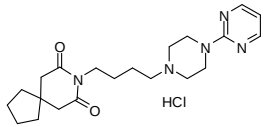
Axon 1995

See Buspirone hydrochloride Page 290

Buspirone hydrochloride

Axon 1995

Buspar



mg	Price
25	online
100	online

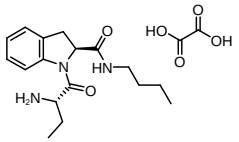
[33386-08-2]
Purity: 100%

Soluble in water and DMSO
C21H31N5O2.HCl MW: 421.96

Biological activity
Serotonin 5-HT1A receptor partial agonist; an anxiolytic psychoactive drug used primarily to treat generalized anxiety disorder (GAD); Suggestive evidence that buspirone reverses SSRI-induced sexual dysfunction

Butabindide oxalate

Axon 1228



mg	Price
10	online
50	online

[185213-03-0]
Purity: 99%
optically pure
Soluble in water
C17H25N3O2.C2H2O4 MW: 393.43

Biological activity
Inhibitor of tripeptidyl peptidase II (TPPII)

Butanoic acid, sodium salt

Axon 2209

See Sodium butyrate Page 722

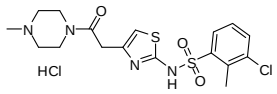
BVF 033

Axon 1451

See Bupropion hydrochloride Page 290

BVT 2733 hydrochloride

Axon 1756



mg	Price
5	online
25	online

[376641-65-5]
Purity: 99%

Soluble in DMSO
C17H21ClN4O3S2.HCl MW: 465.42

Biological activity
Selective inhibitor of 11β-hydroxysteroid dehydrogenase type 1

BW 306U

Axon 1123

See Radafaxine hydrochloride

Page 663

BW 322U

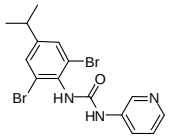
Axon 1451

See Bupropion hydrochloride

Page 290

BX 430

Axon 2523



mg	Price
10	online
50	online

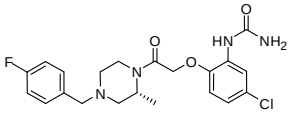
[688309-70-8]
Purity: 99%

Soluble in DMSO
C15H15Br2N3O MW: 413.11

Biological activity
Noncompetitive, allosteric antagonist of human P2X4 receptor channels (IC50 value 0.54 μM as determined by Patch-clamp electrophysiology) with 10-100 fold selectivity over P2X1–P2X3, P2X5, and P2X7. A useful molecular probe to assess the specific role of P2X4 in inflammatory and neuropathic conditions, where ATP signaling has been shown to be dysfunctional. BX430 has no effect on mouse and rat P2X4Rs.

BX 471

Axon 2082



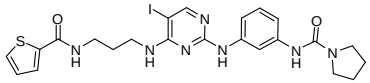
mg	Price
5	online
25	online

[217645-70-0]
Purity: 99%
Optically pure
Soluble in DMSO and EtOH
C21H24ClFN4O3 MW: 434.89

Biological activity
Potent, orally active and selective chemokine receptor CCR1 antagonist

BX 795

Axon 1390



mg	Price
2	online
5	online

[702675-74-9]
Purity: 98%

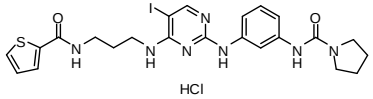
Soluble in DMSO
C23H26IN7O2S MW: 591.47

Biological activity
BX 795 was initially developed as a PDPK1 inhibitor. Recent study highlighted on its bioactivitiy as a potent and relatively specific inhibitor of TBK1 and closely related IKKε,with IC50 values to be 6, 41, and 111 nM for TBK1, IKKε and PDPK1 respectively

BX 795 hydrochloride

Recent Addition

Axon 3350



mg	Price
5	online
25	online

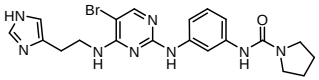
[1472611-45-2]
Purity: 98%

Soluble in DMSO
C23H26IN7O2S.HCl MW: 627.93

Biological activity
The hydrochloride salt form of BX 795 (Axon 1390), which was initially developed as a PDPK1 inhibitor. Recent study highlighted on its bioactivitiy as a potent and relatively specific inhibitor of TBK1 and closely related IKKε, with IC50 values to be 6, 41, and 111 nM for TBK1, IKKε and PDPK1 respectively.
The free base BX 795 is available as Axon 1390.

BX 912

Axon 1130



mg	Price
2	online
5	online

[702674-56-4]
Purity: 99%

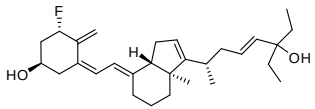
Soluble in 0.1N HCl(aq), DMSO, and Ethanol
C20H23BrN8O MW: 471.35

Biological activity
Inhibitor of 3-Phosphoinositide-dependent Kinase-1 (PDPK1)

BXL 628

Axon 1676

Elocalcitol; RO 26-9228



mg	Price
2	online
5	online

[199798-84-0]
Purity: 99%

Soluble in DMSO and Ethanol
C29H43FO2 MW: 442.65

Biological activity
A vitamin D3 analog having agonistic activities at vitamin D receptor (VDR); BXL-628 inhibits prostate cell growth and RhoA/Rho-kinase signaling, a calcium sensitizing pathway;having anti-proliferative and anti-inflammatory properties in benign prostatic hyperplasia (BPH) treatment

BY 217

Axon 2352

See Roflumilast

Page 680

BYK 20869

Axon 2352

See Roflumilast

Page 680

BYL-719

Axon 2925

See Alpelisib

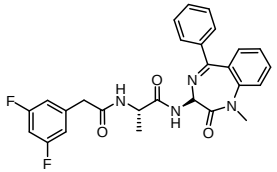
Page 196

BZ, γ-Secretase Inhibitor

Axon 1487

Compound E

[209986-17-4]
Purity: 99%
optically pure
Soluble in DMSO
C27H24F2N4O3 MW: 490.50



mg	Price
1	online
5	online

Biological activity
Very potent and cell-permeable inhibitor of γ-secretase; potently inhibits Notch processing (IC50 values to be 2.2 nM in SupT1 cells); inhibits β-ammyloid production in cell culture with an IC50 of 0.3 nM

C59

Axon 2287

See Wnt-C59

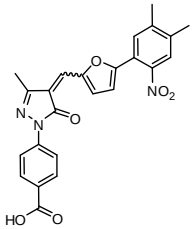
Page 812

C 646

Axon 1781

[328968-36-1]
Purity: 99%

Moderately soluble in DMSO
C24H19N3O6 MW: 445.42



mg	Price
2	online
10	online

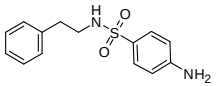
Biological activity
Competitive p300/CBP histone acetyltransferase (HAT) inhibitor with a Ki of 400 nM; Selective versus other acetyltransferases

C 7280948

Axon 2210

[587850-67-7]
Purity: 99%

Soluble in DMSO
C14H16N2O2S MW: 276.35



mg	Price
10	online
50	online

Biological activity
Sulfone inhibitor of PRMT1 (IC50 values 12.75 μM and 26.7 μM for oligopeptide that contains the amino acids 1–21 of human histone H4 and non-histone protein Npl3 as methylation substrates respectively). Useful tool in studying the epigenetic role of PRMT1. PRMT1 has been linked to the activation of estrogen and androgen receptors as well. PRMT1 is a necessary component for oncogenic transformation induced by a mixed lineage leukemia (MLL) complex, and therefore may represent a new treatment option for hormone-dependent cancer.

CA 4

Axon 1233

See Combretastatin-A4

Page 326

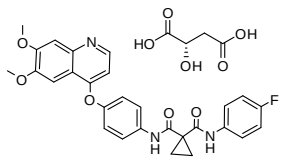
Cabozantinib S-malate

Axon 1819

XL 184

[1140909-48-3]
Purity: 99%

Soluble in DMSO
C28H24FN3O5.C4H6O5
MW: 635.59



mg	Price
10	online
50	online

Biological activity
A orally available and potent inhibitor of multiple receptor tyrosine kinases (RTK), specifically MET and VEGFR2. It also inhibits KIT, FLT3, Tie-2, RET and AXL

CADO

Axon 1190

See Chloroadenosine, 2-

Page 314

CAI

Axon 3185

See L651582

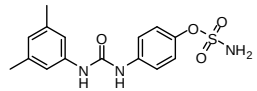
Page 500

CAIX Inhibitor S4

Axon 2662

[1330061-67-0]
Purity: 99%

Soluble in DMSO
C15H17N3O4S MW: 335.38



mg	Price
10	online
50	online

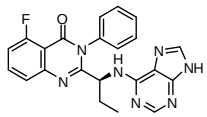
Biological activity
S4 is a carbonic anhydrase (CA) IX and XII inhibitor (Ki values 7 nM and 2 nM, respectively) and showed a positive response in in vitro assays for tumor cell migration and spreading. Moreover, CAIX inhibitor S4 effectively inhibited the spontaneous metastasis formation in MDA-MB-231 xenografts.

CAL 101

Axon 2170

Idelalisib

[870281-82-6]
Purity: 99%
Optically pure
Soluble in DMSO
C22H18FN7O MW: 415.42



mg	Price
5	online
25	online

Biological activity
Orally active and selective inhibitor of PI3K delta-isoform (IC50 p110δ: 2.5nM), displaying clinical activity in chronic lymphocytic leukemia (CLL). Cal 101 is 40- to 300-fold more selective for PI3K-delta (δ) isoform relative vs other PI3K class I enzymes (p110α, p110β, and p110γ IC50 were 820, 565, and 89nM, respectively). It does not promote apoptosis in normal T cells or natural killer cells, nor does it diminish antibody-dependent cellular cytotoxicity.

CAL 120

Axon 2857

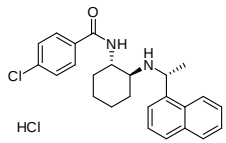
See Acalisib

Page 184

Calhex 231 hydrochloride

Axon 1818

[N.A.]
Purity: 99%
optically pure
Soluble in DMSO
C25H27ClN2O.HCl MW: 443.41



mg	Price
5	online
25	online

Biological activity
Negative allosteric modulator (NAM) of the extracellular Calcium-sensing receptor (CaSR or CaR); inhibit Ca2+-induced accumulation of [3H]inositol phosphates in HEK293 cells (IC50: 0.39 microm)

Calixarene 0118

Axon 2332

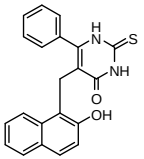
See OTX 008

Page 608

Cambinol

Axon 2803

NSC 112546



[14513-15-6]
Purity: 99%

Soluble in DMSO
C21H16N2O2S MW: 360.43

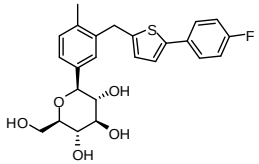
mg	Price
5	online
25	online

Biological activity
Cambinol inhibits NAD-dependent deacetylase activity of human SIRT1 and SIRT2 (IC50 values of 56 and 59 μM, respectively). Consistent with the role of SIRT1 in promoting cell survival during stress, inhibition of SIRT1 activity with cambinol during genotoxic stress leads to hyperacetylation of key stress response proteins and promotes cell cycle arrest. Cambinol exerts antitumor activity in vitro and in mouse xenograft studies. Moreover, cambinol is an uncompetitive nSMase2 inhibitor (Ki value of 7 μM).

Canagliflozin

Axon 3122

JNJ-28431754; TA-7284



[842133-18-0]
Purity: 99%
Optically pure
Soluble in DMSO
C24H25FO5S MW: 444.52

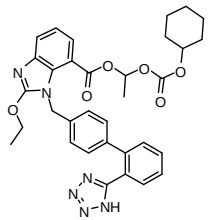
mg	Price
10	online
50	online

Biological activity
Canagliflozin is a highly potent and selective SGLT2 inhibitor with an IC50 value of 2.2 nM (hSGLT2). Canagliflozin showed pronounced anti-hyperglycemic effects in high-fat diet fed KK (HF-KK) mice.

Candesartan cilexetil

Axon 3104

TCV-116



[145040-37-5]
Purity: 99%

Soluble in DMSO
C33H34N6O6 MW: 610.66

mg	Price
50	online
250	online

Biological activity
Candesartan cilexetil is a potent and highly specific angiotensin II receptor antagonist. Prodrug which is metabolized to the active form Candesartan.

Canertinib dihydrochloride

Axon 1433

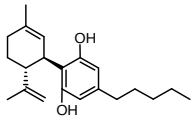
See CI 1033

Page 317

Cannabidiol

Axon 1234

CBD



[13956-29-1]
Purity: 97.0%

Soluble in DMSO
C21H30O2 MW: 314.46

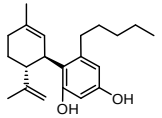
mg	Price
10	online
50	online

Biological activity
Cannabidiol does not bind to CB1 or CB2 receptors but it does block the effects of cannabinoid agonists by an unknown indirect way. Recently it was found to be an antagonist at the putative new cannabinoid receptor,GPR55, a GPCR expressed in the caudate nucleus and putamen; a promising therapeutic agent for the treatment of psychosis, hyperalgesia, seizures, and stroke

Cannabidiol, Abnormal

Axon 1235

Abn-CBD



[22972-55-0]
Purity: 98%

Soluble in DMSO
C21H30O2 MW: 314.46

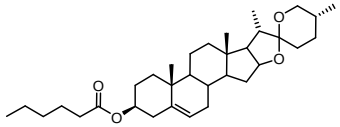
mg	Price
10	online
50	online

Biological activity
A regioisomer of cannabidiol without psychotropic activity; inactive at CB1 or CB2 receptors. However, it activates a third type of non-CB1/CB2 endo-cannabinoid receptor. Mechanism is under study

Caprospinol

Axon 1442

SP 233



[4952-56-1]
Purity: 99%

Moderately soluble in Ethanol
C33H52O4 MW: 512.76

mg	Price
10	online
50	online

Biological activity
An efficacious therapeutic indicated in Alzheimer's disease (AD); clearing beta-amyloid plaque in-vivo; restoring memory in rats

Carboxyamidotriazole

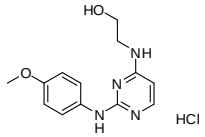
Axon 3185

See L651582

Page 500

Cardiogenol C hydrochloride

Axon 2550



[1049741-55-0]
Purity: 99%

Soluble in water and DMSO
C13H16N4O2.HCl MW: 296.75

mg	Price
5	online
25	online

Biological activity
Selective and efficient inducer of the differentiation of ESCs to cardiomyocytes (EC50 value 0.1 µM for inducing the differentiation of myosin heavy chain (MHC) positive cardiomyocytes from ESCs in P19CL6 cells) Cardiogenol C induces cardiomyogenic function in lineage-committed progenitor cells, and can thus be considered a promising tool to improve cardiac repair by cell therapy.

CAS 997

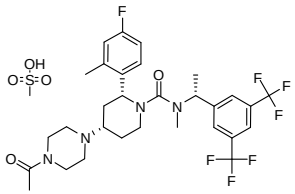
Axon 1470

See Tenilsetam
Page 759

Casopitant mesylate

Axon 1901

GW 679769B



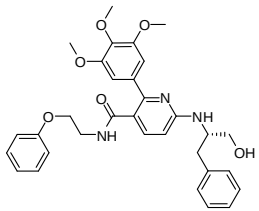
[414910-30-8]
Purity: 100%
optically pure
Soluble in water and DMSO
C30H35F7N4O2.CH4O3S
MW: 712.72

mg	Price
2	online
5	online

Biological activity
Potent, selective and orally active neurokinin 1 (NK1) receptor antagonist

CaSR antagonist 18c

Axon 1732



[802916-30-9]
Purity: 99%
optically pure
Soluble in DMSO
C32H35N3O6 MW: 557.64

mg	Price
5	online
25	online

Biological activity
Calcium-sensing receptor (CaSR) antagonist (IC50: 76 nM); potential anabolic agent for the treatment of osteoporosis

CAY 10683

Axon 2495

See Santacruzamate A
Page 692

CB 7598

Axon 1873

See Abiraterone
Page 179

CB 7630

Axon 1874

See Abiraterone acetate
Page 179

CBD

Axon 1234

See Cannabidiol
Page 298

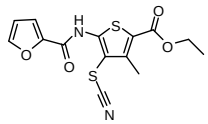
CBLC4H10

Axon 3222

See Reversan Recent Addition
Page 672

CBR 5884

Axon 2585



[681159-27-3]
Purity: 99%

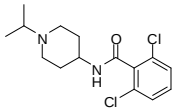
Soluble in DMSO
C14H12N2O4S2 MW: 336.39

mg	Price
10	online
50	online

Biological activity
Noncompetitive inhibitor of 3-phosphoglycerate dehydrogenase (PHGDH; IC50 value 33 µM) with selective toxicity towards cancer cell lines with high serine biosynthetic activity. CBR-5884 shows no inhibitory effect on two other NAD+-dependent dehydrogenases, lactate dehydrogenase (LDH) and MDH1A. A useful tool to study the biology of de novo serine synthesis enabling preclinical evaluation of PHGDH as a target in cancer.

CBS1117 Recent Addition

Axon 3360



[959245-08-0]
Purity: 100%

Soluble in 0.1N HCl(aq) and DMSO
C15H20Cl2N2O MW: 315.24

mg	Price
10	online
50	online

Biological activity
CBS1117 is a virus entry inhibitor with an IC50 value of 0.07 µM and a selectivity index of ~4000 against A/Puerto Rico/8/34 (H1N1) infection in human lung epithelial cell line (A549).

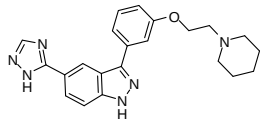
CC 292

Axon 2226

See AVL 292
Page 236

CC 401

Axon 2025



[395104-30-0]
Purity: 99%

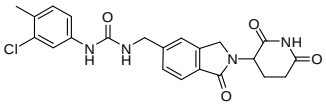
Soluble in DMSO and EtOH
C22H24N6O MW: 388.47

mg	Price
5	online
25	online

Biological activity
A second generation ATP-competitive c-Jun N terminal kinase (JNK) inhibitor with potential antineoplastic activity

CC-885

Axon 2645



mg	Price
5	online
25	online

[1010100-07-8]
Purity: 99%

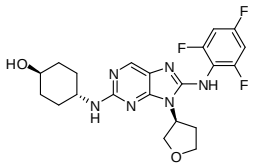
Soluble in DMSO
C22H21ClN4O4 MW: 440.88

Biological activity
Cereblon (CRBN) modulator with potent anti-tumour activity which is mediated through the cereblon-dependent ubiquitination and degradation of the translation termination factor GSPT1. CC-885 exhibits potent anti-proliferative activity in patient-derived acute myeloid leukaemia (AML) tumour cell lines.

CC-930

Axon 2634

Tanzisertib



mg	Price
5	online
25	online

[899805-25-5]
Purity: 99%
Optically pure
Soluble in 0.1N HCl (aq) and DMSO
C21H23F3N6O2 MW: 448.44

Biological activity
Potent, selective, and orally active anti-fibrotic JNK inhibitor (IC50 values 61 nM, 7 nM, 6 nM, 480 nM, and 3400 nM for JNK1, JNK2, JNK3, ERK1, and p38α, respectively) for treatment of idiopathic pulmonary fibrosis (IPF).

CC-4047

Axon 3166

See Pomalidomide Recent Addition

Page 647

CC 5013

Axon 1793

See Lenalidomide

Page **Error! Bookmark not defined.**

CC 10004

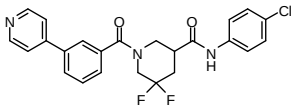
Axon 1957

See Apremilast

Page 219

CCG 232601

Axon 2753



mg	Price
5	online
25	online

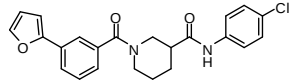
[1922099-21-5]
Purity: 99%

Soluble in DMSO
C24H20ClF2N3O2 MW: 455.88

Biological activity
Inhibitor of the Rho/MRTF/SRF signaling pathway (IC50 value of 0.55 μM (SRE.L assay)) as potential antifibrotic therapeutic for systemic scleroderma. CCG-232601 inhibited the development of bleomycin-induced dermal fibrosis in mice when administered orally.

CCG-203971

Axon 3092



mg	Price
10	online
50	online

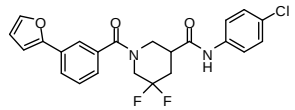
[1443437-74-8]
Purity: 99%

Soluble in DMSO
C23H21ClN2O3 MW: 408.88

Biological activity
CCG-203971 is an inhibitor of the Rho/MKL1/SRF-mediated gene transcription pathway (IC50 value of 4.2 μM).

CCG-222740

Axon 3069



mg	Price
5	online
25	online

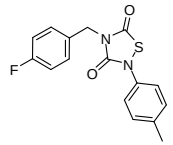
[1922098-69-8]
Purity: 98%

Soluble in DMSO
C23H19ClF2N2O3 MW: 444.86

Biological activity
CCG-222740 is a potent and selective second-generation MRTF/SRF inhibitor with an IC50 value of 5 μM in a fibroblast-mediated collagen contraction assay. CCG-222740 is more potent at preventing alpha-smooth muscle actin protein expression, is less cytotoxic, and effectively prevents scar tissue formation in a preclinical model of fibrosis (vs CCG-203971).

CCG 50014

Axon 1931



mg	Price
10	online
50	online

[883050-24-6]
Purity: 99%

Soluble in DMSO
C16H13FN2O2S MW: 316.35

Biological activity
Potent and selective inhibitor of regulator of G-protein signaling (RGS) proteins. It has an IC50 value of 30 nM for RGS4 and 20 fold selectivity for RGS4 over other RGS proteins

CCI 779

Axon 1699

See Temsirolimus

Page 758

CCRG 81045

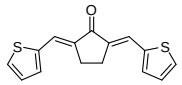
Axon 2326

See Temozolomide

Page 758

CCT 007093

Axon 1821



[176957-55-4]
Purity: 99%

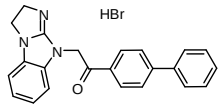
Moderately soluble in DMSO
C15H12OS2 MW: 272.39

mg	Price
10	online
50	online

Biological activity
An effective PPM1D inhibitor that selectively reduces viability of human tumour cell lines; apoptosis inducer

CCT 031374 hydrobromide

Axon 2161



[1219184-91-4]
Purity: 98%

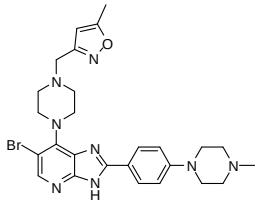
Soluble in DMSO
C23H19N3O.HBr MW: 434.33

mg	Price
2	online
5	online

Biological activity
Inhibitor of TCF-dependent transcription of genes of Wnt signaling pathway with in vivo activity in SW480 colon cancer cells (IC50 of 6.1 μM in a HEK293-based reporter cell line). CCT 031374 acts at the β-catenin level based on the observation that it blocked the nuclear β-catenin/transcription factor (TCF) transcription complex dependent transcription induced by a stabilized form of β-catenin, but not by a constitutively active TCF-VP16 fusion protein.

CCT 137690

Axon 1836



[1095382-05-0]
Purity: 98%

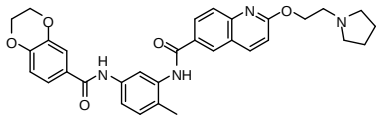
Moderately soluble in DMSO
C26H31BrN8O MW: 551.48

mg	Price
10	online
50	online

Biological activity
Potent and orally bioavailable Aurora kinase inhibitor, with IC50 values to be 15, 25 and 19 nM for Aurora A, B and C kinases respectively

CCT251236

Axon 2699



[1693731-40-6]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C32H32N4O5 MW: 552.62

mg	Price
5	online
25	online

Biological activity
Highly potent, orally bioavailable inhibitor of the HSF1 stress pathway. CCT251236 displayed the desired balance of in vitro properties, while maintaining excellent cellular activity for inhibition of HSF1-mediated HSP72 induction (IC50 value of 19 nM). Moreover, CCT251236 displayed efficacy in a human ovarian carcinoma xenograft model. Promising chemical probe to investigate the role of HSF1 pathway inhibition and pirin binding in vitro and in vivo.

CCX282-B

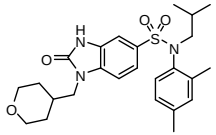
Axon 2685

See Vercirnon

Page 796

CD12681

Axon 2964



[1952239-59-6]
Purity: 99%

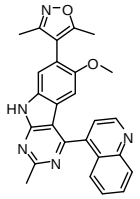
Soluble in DMSO
C25H33N3O4S MW: 471.61

mg	Price
10	online
50	online

Biological activity
CD12681 is a potent RORγ inverse agonist with an IC50 value of 19 nM. CD12681 showed in vivo activity in an IL-23 induced skin inflammation model in mouse. Preclinical candidate for the topical treatment of psoriasis.

CD161

Axon 2776



[1627716-22-6]
Purity: 98%

Soluble in DMSO
C26H21N5O2 MW: 435.48

mg	Price
5	online
25	online

Biological activity
CD161 is a potent, orally active and selective BET bromodomain inhibitor (Ki values of 8.2 nM and 1.4 nM for BRD4 BD1 and BD2, respectively). CD161 inhibits cell growth in acute leukemia cell lines and breast cancer cell lines. Moreover, CD161 has an excellent oral pharmacokinetic profile and, orally administered, effectively inhibits tumor growth in mice.

CD336

Axon 2948

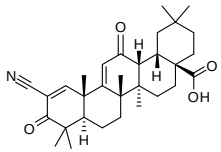
See AM 580

Page 199

CDDO

Axon 1950

Bardoxolone, RTA 401



[218600-44-3]
Purity: 98%

Soluble in DMSO
C31H41NO4 MW: 491.66

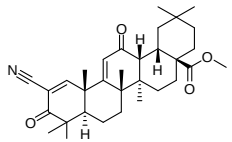
mg	Price
10	online
50	online

Biological activity
A potent multifunctional anti-tumor agent; CDDO induces apoptosis in vitro in malignant cells through both intrinsic and extrinsic pathways, and it controls cellular differentiation, apoptosis, and growth inhibition by serving as a ligand for the transcription factor PPAR gamma; highly active inhibitor of nitric oxide production in mouse macrophages; it shows antiinflammatory activity against thioglycollate-interferon-gamma-induced mouse peritonitis Parent acid of CDDO-Me (Axon 1772)*

CDDO-Me

Axon 1772

Bardoxolone methyl; RTA 402

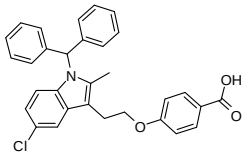


	mg	Price
[218600-53-4] Purity: 98%	5	online
Soluble in DMSO C32H43NO4 MW: 505.69	25	online

Biological activity
Orally-available antioxidant inflammation modulator (AIM), being the most potent known inducer of the Nrf2 pathway;induces apoptosis of human tumor cells by disruption of redox balance and directly blocks IKKβ activity and thereby the NF-κB pathway

CDIBA

Axon 1609



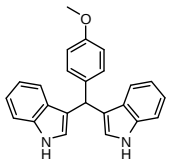
	mg	Price
[479422-22-5] Purity: 100%	5	online
Soluble in DMSO C31H26ClNO3 MW: 496.00	25	online

Biological activity
Potent and selective cytosolic phospholipase A2 (cPLA2) inhibitor

C-DIM5

Axon 2828

DIM-C-pPhOCH3



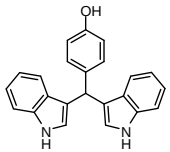
	mg	Price
[33985-68-1] Purity: 99%	10	online
Soluble in DMSO C24H20N2O MW: 352.43	50	online

Biological activity
C-DIM5 is a Nur77 agonist. Activation of the orphan nuclear receptor Nur77 by C-DIM5 is associated with decreased cancer cell survival, induction of apoptosis, induced expression of the apoptosis gene/protein TRAIL, and inhibited tumor growth in vivo. C-DIM5 induces G0-G1–phase to S-phase arrest in Panc1 cells, and this is accompanied by Nur77-dependent induction of the cyclin-dependent kinase inhibitor p21.

C-DIM8

Axon 2827

DIM-C-pPhOH



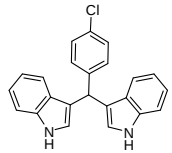
	mg	Price
[151358-47-3] Purity: 98%	10	online
Soluble in DMSO C23H18N2O MW: 338.40	50	online

Biological activity
C-DIM8 is a Nur77 (NR4A1) antagonist. Treatment of pancreatic and colon cancer cells with C-DIM8 mimics the effects of NR4A1 knockdown and decreases β1-integrin expression, β1-integrin regulated genes and responses including migration and adhesion.

C-DIM12

Axon 2575

DIM-C-pPhCl



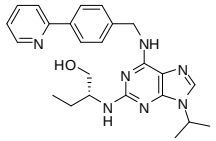
	mg	Price
[178946-89-9] Purity: 99%	10	online
Soluble in DMSO C23H17ClN2 MW: 356.85	50	online

Biological activity
Potent and specific Nurr1 activator that stimulates Nurr1 mediated apoptosis axis in bladder cancer cells and tumors and inhibits NF-κB–dependent gene expression in glial cells by stabilizing nuclear corepressor proteins, which reduces binding of p65 to inflammatory gene promoters. C-DIM12 protects against loss of dopamine neurons in the substantia nigra as well as dopamine terminals in the striatum in MPTP induced mouse models for Parkinson's disease.

CDK inhibitor CR8 *Recent Addition*

Axon 3228

(R)-CR8

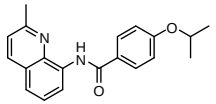


	mg	Price
[294646-77-8] Purity: 99% 100% e.e. Soluble in 0.1N HCl(aq) and DMSO C24H29N7O MW: 431.53	5	online
	25	online

Biological activity
CDK inhibitor CR8 is a potent cyclin-dependent kinase (CDK) inhibitor with IC50 values of 0.09, 0.072, 0.041, 0.11, 1.10 and 0.18 μM for CDK1/cyclin B, CDK2/cyclin A, CDK2/cyclin E, CDK5/p25, CDK7/cyclin H and CDK9/cyclin T, respectively. Moreover, CDK inhibitor CR8 acts as a molecular glue degrader that depletes cyclin K.

CDN1163

Axon 2684

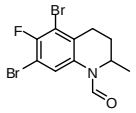


	mg	Price
[892711-75-0] Purity: 100%	10	online
Soluble in DMSO C20H20N2O2 MW: 320.39	50	online

Biological activity
CDN1163 is an allosteric activator of sarco/endoplasmic reticulum Ca2+-ATPase 2b (SERCA2b) and markedly lowered fasting blood glucose, improved glucose tolerance, and ameliorated hepatosteatosis in a genetic model of insulin resistance and type 2 diabetes (ob/ob mice). CDN1163 treatment significantly reduced the hepatic expression of genes involved in gluconeogenesis and lipogenesis, attenuated ER stress response and ER stress-induced apoptosis, and improved mitochondrial biogenesis. Moreover, CDN1163 increased ER calcium content, rescued neurons from ER stress-induced cell death in vitro, and showed significant efficacy in the rat 6-hydroxydopamine (6-OHDA) model of Parkinson's disease.

CE3F4

Axon 2929



mg	Price
10	online
50	online

[143703-25-7]
Purity: 98%

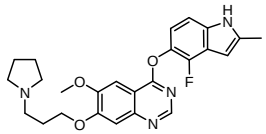
Soluble in DMSO
C11H10Br2FNO MW: 351.01

Biological activity
CE3F4 is an inhibitor of EPAC1 with an IC50 value of 23 µM. CE3F4 blocked EPAC1 guanine nucleotide exchange activity toward its effector Rap1 both in cell-free systems and in intact cells.

Cediranib

Axon 1461

AZD 2171



mg	Price
5	online
25	online

[288383-20-0]
Purity: 99%

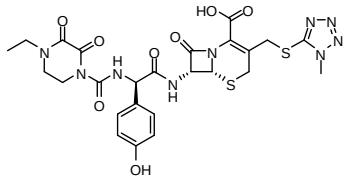
Soluble in DMSO
C25H27FN4O3 MW: 450.51

Biological activity
highly potent and orally available tyrosine kinase inhibitor (TKI), targeting VEGF receptor; thereby blocking VEGF-signaling, angiogenesis, and tumor cell growth

Cefoperazone Recent Addition

Axon 3123

T-1551



mg	Price
50	online
250	online

[62893-19-0]
Purity: 98%
Optically pure
Soluble in DMSO
C25H27N9O8S2 MW: 645.67

Biological activity
Cefoperazone, a semisynthetic cephalosporin, is a broad-spectrum antibiotic. Cefoperazone has a broader spectrum of activity than related cephalosporins, including cefamandole and cefazolin and is significantly active against Pseudomonas aeruginosa, Serratia marcescens, and Enterobacter cloacae.

Celebra

Axon 1919

See Celecoxib
Page 308

Celebrex

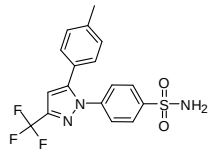
Axon 1919

See Celecoxib
Page 308

Celecoxib

Axon 1919

SC 58635; Celebrex; Celebra



mg	Price
10	online
50	online

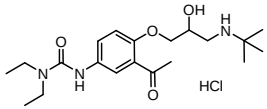
[169590-42-5]
Purity: 99%

Soluble in DMSO
C17H14F3N3O2S MW: 381.37

Biological activity
Selective cyclooxygenase-2 (COX-2) inhibitor (IC50: 15 and 0.04 µM for COX-1 and COX-2 respectively); inhibition of COX-2 inhibits only prostaglandin synthesis without affecting thromboxane (TXA2) and thus offers no cardioprotective effects of NSAIDs, which inhibits both COX-1 and COX-2 non-selectively
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc

Celiprolol hydrochloride

Axon 1159



mg	Price
10	online
50	online

[57470-78-7]
Purity: 98%

Soluble in water and DMSO
C20H33N3O4.HCl MW: 415.95

Biological activity
A ß-blocker possessing strong ß1-adrenoceptor antagonist and mild ß2-agonist properties

CEM 101

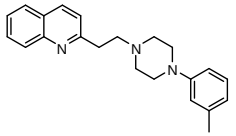
Axon 2606

See Solithromycin
Page 723

Centhaquin

Axon 3156

Centhaquine



mg	Price
10	online
50	online

[57961-90-7]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C22H25N3 MW: 331.45

Biological activity
Centhaquin is a centrally acting hypotensive agent predominantly inhibiting the neuronal norepinephrine release.

Centhaquine

Axon 3156

See Centhaquin
Page 308

Ceralasertib

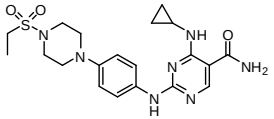
Axon 3134

See AZD6738 Recent Addition
Page 308

Cerdulatinib

Axon 2775

PRT 062070



[1198300-79-6]
Purity: 98%

Soluble in DMSO
C20H27N7O3S MW: 445.54

mg	Price
5	online
25	online

Biological activity
Cerdulatinib is an orally active kinase inhibitor that demonstrates activity against Syk and JAK with IC50 values of 32 nM, 12 nM, 6 nM and 8 nM for Syk, JAK1, JAK2 and JAK3, respectively. Cellular assays demonstrated specific inhibitory activity against signaling pathways that use Syk and JAK1/3. Limited inhibition of JAK2 was observed. Potent antitumor activity was observed in a subset of B-cell lymphoma cell lines.

Ceritinib

Axon 2224

See LDK 378

Page 504

Cerovive

Axon 1752

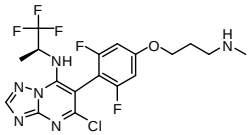
See NXY 059

Page 598

Cevipabulin

Axon 2916

TTI-237



[849550-05-6]
Purity: 98%

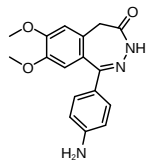
Soluble in 0.1N HCl (aq) and DMSO
C18H18ClF5N6O MW: 464.82

mg	Price
5	online

Biological activity
Cevipabulin is a potent microtubule-active antitumor agent with an IC50 value of 34 nM for cytotoxicity. Cevipabulin inhibits binding of vinblastine at the Vinca alkaloid site of the αβ-tubulin heterodimer. Moreover, Cevipabulin enhances the aggregation of microtubule protein at substoichiometric concentrations and also induces aggregation of highly purified tubulin in the absence of GTP. At low concentrations with cells, Cevipabulin induces mitotic spindle perturbations that do not cause mitotic block but lead to the production of multinuclear G1 cells. Cevipabulin shows good antitumor activity in nude mouse xenograft models of human cancer.

CFM 2

Axon 1217



[178616-26-7]
Purity: 98%

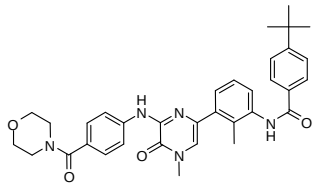
Soluble in DMSO
C17H17N3O3 MW: 311.34

mg	Price
10	online
50	online

Biological activity
Potent and selective AMPA antagonist

CGI 1746

Axon 2018



[910232-84-7]
Purity: 99%

Soluble in DMSO
C34H37N5O4 MW: 579.69

mg	Price
2	online
5	online

Biological activity
Potent and highly selective inhibitor of Bruton's tyrosine kinase (Btk) (IC50: 1.9 nM); CGI1746 inhibits B cell signaling and functional effects

CGP 48933

Axon 3106

See Valsartan

Page 792

CGP 57148B

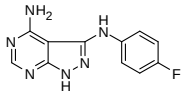
Axon 1394

See Imatinib Mesylate

Page 465

CGP 57380

Axon 1611



[522629-08-9]
Purity: 99%

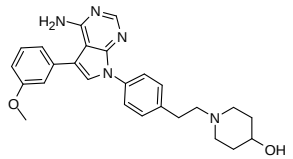
Soluble in DMSO
C11H9FN6 MW: 244.23

mg	Price
5	online
25	online

Biological activity
Inhibitor of MAP-kinase interacting kinase-1 (Mnk1, MKNK1) that displays selectivity over p38, JNK1, ERK1, ERK2, PKC and c-src family kinases

CGP 77675

Axon 2097



[234772-64-6]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C26H29N5O2 MW: 443.54

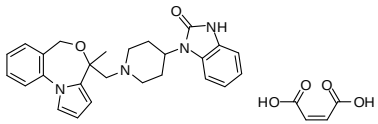
mg	Price
5	online
25	online

Biological activity
Potent and selective Src family kinase (SFK) inhibitor; CGP77675 inhibited phosphorylation of peptide substrates and autophosphorylation of purified Src (IC50: 5-20 and 40 nM, respectively). The dual inhibition of Src and GSK3 signaling by CGP77675 and CHIR99021 (termed alternative 2i) was found to maintain mouse embryonic stem cell (mESC) self-renewal and pluripotency marker expression as efficiently as the dual inhibition of MAPK and GSK3 by PD0325901 and CHIR99021 (conventional 2i). This alternative 2i method provides a versatile tool not only for the maintenance of mESCs in serum-free conditions but also for the derivation of ESCs from mouse embryos

CGS 9343B

Axon 1252

Zaldaride maleate



[109826-27-9]
Purity: 98%

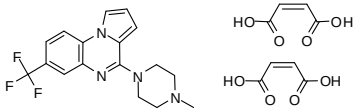
Soluble in DMSO
C26H28N4O2.C4H4O4 MW: 544.60

mg	Price
5	online
25	online

Biological activity
Inhibitor of Calmodulin activity

CGS 12066B

Axon 1206



[109028-10-6]
Purity: 99%

Soluble in DMSO
C17H17F3N4.2C4H4O4
MW: 566.48

mg	Price
10	online
50	online

Biological activity
Selective serotonin 5-HT1B receptor agonist

CGS 20267

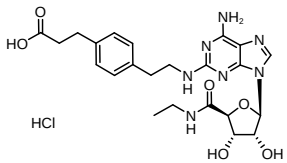
Axon 3257

See Letrozole Recent Addition

Page 507

CGS 21680 hydrochloride

Axon 1319



[124182-57-6]
Purity: 98%

Soluble in DMSO
C23H29N7O6.HCl MW: 535.98

mg	Price
5	online
25	online

Biological activity
Selective A2A adenosine receptor agonist

19-CH2P4

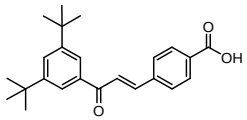
Axon 2085

See Org OD 02-0

Page 605

CH 55

Axon 1241



[110368-33-7]
Purity: 99%

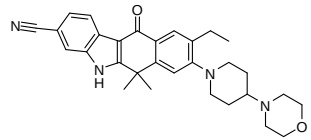
Soluble in DMSO and Ethanol
C24H28O3 MW: 364.48

mg	Price
10	online
50	online

Biological activity
Potent retinoic acid receptor (RAR) agonist

CH 5424802

Axon 1884



[1256580-46-7]
Purity: 99%

Moderately soluble in DMSO
C30H34N4O2 MW: 482.62

mg	Price
5	online
25	online

Biological activity
Potent, orally available and selective anaplastic lymphoma kinase (ALK) inhibitor capable of blocking the resistant gatekeeper mutant

Champix

Axon 2074

See Varenicline tartrate

Page 793

Chantix

Axon 2074

See Varenicline tartrate

Page 793

Chidamide

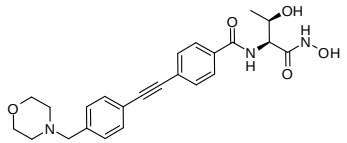
Axon 2893

See Tucidinostat

Page 776

CHIR 090

Axon 2000



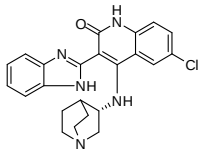
[728865-23-4]
Purity: 99%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C24H27N3O5 MW: 437.49

mg	Price
5	online
25	online

Biological activity
Very potent and selective UDP-3-O-(R-3-hydroxyacyl)-N-acetylglucosamine deacetylase LpxC inhibitor (Ki: 1-2 nM and slow, tight-binding)

CHIR 124

Axon 1636



[405168-58-3]
Purity: 98%
>98% ee
Soluble in 0.1N HCl(aq) and DMSO
C23H22ClN5O MW: 419.91

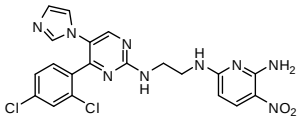
mg	Price
2	online
5	online

Biological activity
Potent, cell permeable and selective Chk1 inhibitor (IC50: 0.32 nM and 697 nM for Chk1 and Chk2 respectively)

CHIR 98014

Axon 1126

CT 98014



mg	Price
5	online
25	online

[252935-94-7]
Purity: 98%

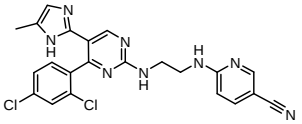
Moderately soluble in DMSO
C20H17Cl2N9O2 MW: 486.31

Biological activity
Very potent, selective, cell-permeable reversible inhibitor of GSK-3; highly recommended tool

CHIR 99021

Axon 1386

CT 99021



mg	Price
2	online
5	online

[252917-06-9]
Purity: 99%

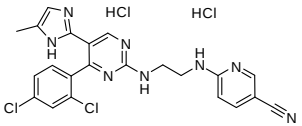
Soluble in DMSO
C22H18Cl2N8 MW: 465.34

Biological activity
Very potent and specific glycogen synthase kinase GSK-3 inhibitor; highly recommended tool

CHIR 99021 dihydrochloride

Axon 2435

CT 99021 dihydrochloride



mg	Price
2	online
10	online

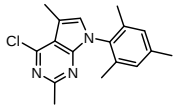
[252917-06-9] (parent)
Purity: 99%

Soluble in water and DMSO
C22H18Cl2N8.2HCl MW: 538.26

Biological activity
Very potent and specific glycogen synthase kinase GSK-3 inhibitor; highly recommended tool.
** CHIR99021 and PD0325901 (Axon 1408) are often used together as 2i in stem cell research.*
Water soluble hydrochloride salt of CHIR 99021 (Axon 1386, parent molecule)

Chloro-2,5-dimethyl-7-(2,4,6-trimethylphenyl)-7H-pyrrolo[2,3-d]pyrimidine, 4-

Axon 1117



mg	Price
1000	online
5000	online

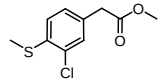
[157286-81-2]
Purity: 98%

No solubility data
C17H18ClN3 MW: 299.80

Biological activity
Building Block

Chloro-4-(methylthio)-benzeneacetic acid methyl ester, 3-

Axon 1295



mg	Price
1000	online
5000	online

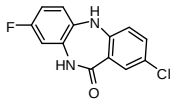
[436141-65-0]
Purity: 98%

No solubility data
C10H11ClO2S MW: 230.71

Biological activity
Building Block

Chloro-8-fluoro-5H-dibenzo[b,e][1,4]diazepin-11(10H)-one, 2-

Axon 2866



mg	Price
50	online
250	online

[N.A.]
Purity: 99%

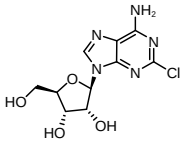
C13H8ClFN2O MW: 262.67

Biological activity
Building block; starting material for preparation of Clozapine (Axon 1146) analogs.

Chloroadenosine, 2-

Axon 1190

CADO



mg	Price
50	online
1000	online

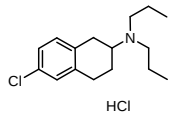
[146-77-0]
Purity: 99%

Soluble in DMSO
C10H12ClN5O4 MW: 301.69

Biological activity
A1 and A2A adenosine receptor agonist. The compound has a potent effect on the peripheral and central nervous system

Chloro-DPAT hydrochloride, 6-

Axon 1068



mg	Price
10	online
50	online

[1246094-87-0]
Purity: 98%

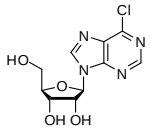
Soluble in water
C16H24ClN.HCl MW: 302.28

Biological activity
Bioactive tetralin derivative

Chloropurine riboside, 6-

Axon 2417

Chloropurine 9-β-D-ribofuranoside; NSC 4910



[5399-87-1]
Purity: 98%
Optically pure
N.A.
C10H11ClN4O4 MW: 286.67

mg	Price
1000	online
5000	online

Biological activity
Useful building block in the synthesis of 6-substituted purine ribosides

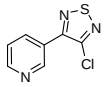
Chloropurine 9-β-D-ribofuranoside

Axon 2417

See Chloropurine riboside, 6- Page 315

3-Chloro-4-(pyridin-3-yl)-1,2,5-thiadiazole

Axon 2592



[131986-28-2]
Purity: 99%

N.A.
C7H4ClN3S MW: 197.64

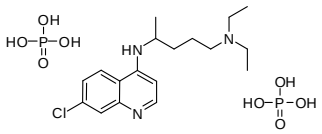
mg	Price
1000	online

Biological activity
Useful building block for the synthesis of FP-TZTP

Chloroquine diphosphate

Axon 2431

NSC 14050



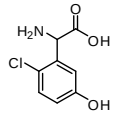
[50-63-5]
Purity: 99%
Racemate
Soluble in water
C18H26ClN3.2H3PO4 MW: 515.86

mg	Price
50	online
250	online

Biological activity
Classical antimalarial drug (CQ) with lysosomotropic effects causing necrosis and apoptosis. Inhibitor of hemozoin (β-hematin) formation in malaria (Plasmodium strains) affected red blood cells. Nowadays, most of the Plasmodium falciparum strains are resistant to this drug. Chloroquine was found to inhibit the human thiamine transporter ThTr-2 (SLC19A3), and to inhibit cell growth and to induce cell death in A549 lung cancer cells.

CHPG

Axon 2691



[170846-74-9]
Purity: 98%

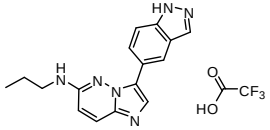
Soluble in DMSO
C8H8ClNO3 MW: 201.61

mg	Price
10	online
50	online

Biological activity
The mGluR5 receptor agonist CHPG selectively activates mGluR5a receptors (EC50 value of 750 μM), compared to mGluR1α receptors, when expressed in CHO cells. CHPG also potentiates NMDA-induced depolarizations in rat hippocampal slices, and is suggested to act directly on mGluR5 in dopaminergic neurons to induce the release of DA. Also CHPG promotes proliferation of human embryonic cortical NSCs with activation of the MAPKs signaling pathway.

CHR 6494 trifluoroacetate

Axon 2250



[1458630-17-5]
Purity: 99%

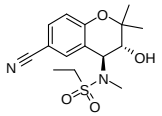
Soluble in DMSO
C16H16N6.C2HF3O2 MW: 406.36

mg	Price
10	online
50	online

Biological activity
Specific, first-in-class inhibitor of histone kinase Haspin, which blocks H3T3 phosphorylation in association with a characteristic spindle and centrosome phenotype (IC50 values are 500 nM, 473 nM and 752 nM for apoptosis induction in HCT-116, HeLa and MDA-MB-231 cells, respectively). CHR 6494 causes arrest in G2/M, induces apoptosis and possesses ex vivo anti-angiogenesis features and antitumoral properties in a nude mice xenograft model. Haspin function is critical in mitosis, favouring chromosome cohesion, metaphase alignment and progression through the cell cycle.

Chromanol 293B

Axon 1294



[163163-23-3]
Purity: 99%

Soluble in DMSO
C15H20N2O4S MW: 324.40

mg	Price
10	online
50	online

Biological activity
Blocker of the slow delayed rectifier K+ current via KCNQ1 channels

CI 945

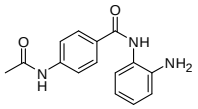
Axon 1301

See Gabapentin Page 414

CI 994

Axon 2014

PD 123654; Tacedinaline



[112522-64-2]
Purity: 98%

Soluble in DMSO
C15H15N3O2 MW: 269.30

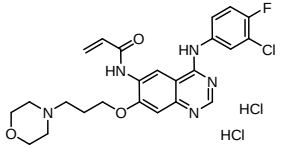
mg	Price
10	online
50	online

Biological activity
Orally bioavailable histone deacetylase (HDAC) inhibitor that causes histone hyperacetylation in living cells. CI-994 inhibited HDAC1 and HDAC2 in a concentration-dependent fashion; mediates G1 cell cycle arrest, inhibits proliferation and induces apoptosis in vitro and in vivo

CI 1033

Axon 1433

Canertinib dihydrochloride



[289499-45-2]
Purity: 99%

Soluble in water and DMSO
C24H25ClFN5O3.2HCl MW: 558.86

mg	Price
5	online
25	online

Biological activity
An orally bioavailable tyrosine kinase inhibitor, targeting EGFR, irreversibly inhibiting their signal transduction functions and resulting in tumor cell apoptosis and suppression of tumor cell proliferation; water-soluble form

CI 1040

Axon 1368

See PD 184352 Page 620

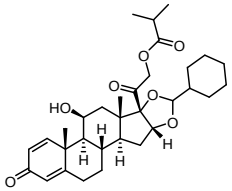
CI 1043

Axon 1594

See Pagoclone, (+)- Page 613

Ciclesonide

Axon 1426



[126544-47-6]
Purity: 99%

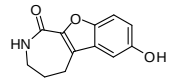
Soluble in DMSO
C32H44O7 MW: 540.69

mg	Price
10	online
50	online

Biological activity
A glucocorticoid used to treat obstructive airway disease

CID 755673

Axon 1627



[521937-07-5]
Purity: 98%

Soluble in DMSO
C12H11NO3 MW: 217.22

mg	Price
10	online
50	online

Biological activity
Selective protein kinase D (PKD) inhibitor

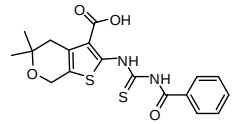
CID 767276

Axon 2703

See ML346 Page 552

CID 1067700

Axon 2184



[314042-01-8]
Purity: 99%

Soluble in DMSO
C18H18N2O4S2 MW: 390.48

mg	Price
10	online
50	online

Biological activity
First inhibitor of Rab7 GTPase exhibiting significant inhibitory potency on Rab7 nucleotide binding with nanomolar inhibitor (Ki) values and an inhibitory response of ≥97% for BODIPY-GTP and BODIPYGDP binding (Ki values 13 nM and 19 nM, and EC50 values 11 and 21 nM respectively). CID 1067700 is a competitive guanine nucleotide binding inhibitor characterized for the Ras-super family of GTPases.

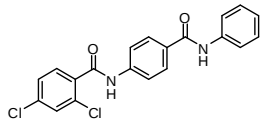
CID 12387471

Axon 2733

See ML329 Page 552

CID 1375606

Axon 2915



[313493-80-0]
Purity: 98%

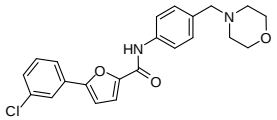
Soluble in DMSO
C20H14Cl2N2O2 MW: 385.24

mg	Price
10	online
50	online

Biological activity
CID 1375606 is a selective surrogate agonist for GPR27 (pEC50 value of 6.34 for GPR27V2).

CID 2011756

Axon 1976



[638156-11-3]
Purity: 99%

Soluble in DMSO
C22H21ClN2O3 MW: 396.87

mg	Price
10	online
50	online

Biological activity
ATP-competitive and cell-permeable protein kinase D (PKD) inhibitor

CID 3111211

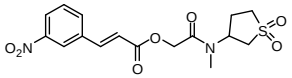
Axon 2747

See ML 213

Page 546

CID 5951923

Axon 1863



[749872-43-3]
Purity: 100%

Soluble in DMSO
C16H18N2O7S MW: 382.39

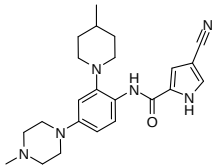
mg	Price
10	online
50	online

Biological activity
Inhibitor of the transcription factor Krüppel-like factor 5 (KLF5); significantly reduces endogenous KLF5 protein levels and decreases viability of colon cancer cells, without affecting the nontransformed intestinal epithelial cells IEC-6

CID 11654378

Axon 2061

FMS inhibitor compound 8; FMS inhibitor compound 1b



[885704-21-2]
Purity: 99%

Soluble in DMSO
C23H30N6O MW: 406.52

mg	Price
5	online
25	online

Biological activity
A highly potent FMS kinase inhibitor (IC50 = 0.8 nM); a proof-of-concept candidate in a collagen-induced model of arthritis in mice

CID 49766530

Axon 2017

See ML 210

Page 545

CID 49843203

Axon 2871

See ML 239

Page 546

CID 73169083

Axon 3230

See ML401 Recent Addition

Page 553

CID 921541

Axon 2995

See ML 367

Page 551

CID2440433

Axon 3028

See ML184

Page 551

Ciforadenant

Axon 3085

See CPI-444

Page 336

Cilomilast

Axon 1592

See SB 207499

Page 694

Cinaciguat hydrochloride

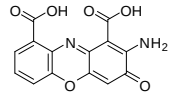
Axon 2172

See BAY 58-2667 hydrochloride

Page 259

Cinnabarinic acid Recent Addition

Axon 3333



[606-59-7]
Purity: 98%

Soluble in 0.1N NaOH and DMSO
C14H8N2O6 MW: 300.22

mg	Price
5	online
25	online

Biological activity
Cinnabarinic acid is an orthosteric mGlu4 receptor agonist. Cinnabarinic acid is a kynurenine metabolite generated by oxidative dimerization of 3-hydroxyanthranilic acid.

Cipralex

Axon 3315

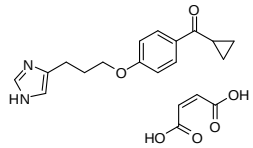
See Escitalopram oxalate Recent Addition

Page 390

Ciproxifan maleate

Axon 1993

FUB 359 maleate



[184025-19-2]
Purity: 99%

Soluble in DMSO
C16H18N2O2.C4H4O4 MW: 386.40

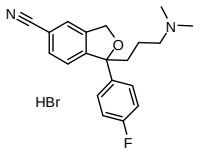
mg	Price
5	online
25	online

Biological activity
Orally bioavailable, extremely potent and selective H3-receptor antagonist (Ki: 0.5-1.9 nM in vitro); a potential therapeutic agent in the treatment of Alzheimer's disease

Citalopram hydrobromide

Axon 1320

ZD 211; LU 10-171



[59729-32-7]
Purity: 98%

Soluble in DMSO
C20H21FN2O.HBr MW: 405.30

mg	Price
10	online
50	online

Biological activity
A very selective serotonin reuptake inhibitor (SSRI); Citalopram is used as an antidepressant drug on the market

Citarinostat

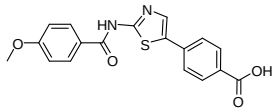
Axon 3039

See ACY-241

Page 185

CK2 inhibitor 10

Axon 2202



[1361229-76-6]
Purity: 99%

Soluble in DMSO
C18H14N2O4S MW: 354.38

mg	Price
10	online
50	online

Biological activity
Potent and ATP-competitive inhibitor of protein kinase (CK2; IC50 values of 32 nM and 46 nM for CK2α and CK2α' respectively). At 0.30 μM, compound 10 exhibited a >50% inhibitory effect against 9 out of 70 other kinases besides CK2α and CK2α', while at 30 nM >75% inhibition was observed for two other kinases (DYRK1B and FLT3) only. It exhibited potent cytotoxicity towards lung cancer cells A549, colorectal cancer cells HCT-116, and breast cancer cells MCF-7.

CK 452

Axon 1835

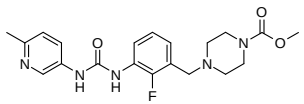
See CK 1827452

Page 321

CK 1827452

Axon 1835

Omecamtiv Mecarbil; CK-452



[873697-71-3]
Purity: 99%

Soluble in DMSO
C20H24FN5O3 MW: 401.43

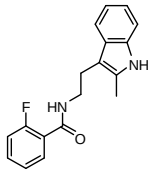
mg	Price
5	online
25	online

Biological activity
Selective cardiac specific myosin activator; clinically tested for its role in the treatment of left ventricular systolic heart failure

CK-666 Recent Addition

Axon 3243

CK-0944666



[442633-00-3]
Purity: 99%

Soluble in DMSO
C18H17FN2O MW: 296.34

mg	Price
10	online
50	online

Biological activity
CK-666 is an Arp2/3 complex inhibitor with an IC50 value of 4 μM for inhibiting the HsArp2/3 complex. CK-666 binds to different sites on Arp2/3 complex and inhibits its ability to nucleate actin filaments.

CK-0944666

Axon 3243

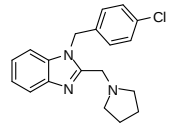
See CK-666 Recent Addition

Page 321

Clemizole

Axon 2458

NSC 46261



[442-52-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C19H20ClN3 MW: 325.84

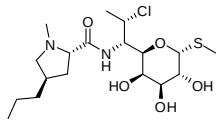
mg	Price
10	online
50	online

Biological activity
Inhibitor of the transient receptor potential channel TRPC5 with selectivity over other TRCP channels (IC50 values 9.1 μM, 6.4 μM, 1-1.3 μM, 11.3 μM, and 26.5 μM for TRPC3, TRPC4, TRPC5, TRPC6, and TRPC7). Only weakly affected TRPM3 and TRPM8, and TRPV1-4 at markedly higher concentrations of Clemizole. Clemizole was originally developed as antihistaminergic drug and found to inhibit monoamine reuptake in the brain. Clemizole was also found to exhibit antiviral activity by inhibition of NS4B binding to RNA in HCV.

Clindamycin

Axon 2063

Dalacine; U 21251



[18323-44-9]
Purity: 100%

Soluble in DMSO
C18H33ClN2O5S MW: 424.98

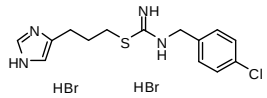
mg	Price
10	online
50	online

Biological activity
A bacterial protein synthesis inhibitor; a Lincosamide antibiotic; stops the growth of bacteria by disrupting their production of proteins; inhibits the ribosomal peptidyltransferase
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Clobenpropit dihydrobromide

Axon 1209

VUF 9153 dihydrobromide



[145231-35-2]
Purity: 99%

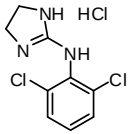
Soluble in water
C14H17ClN4S.2HBr MW: 470.65

mg	Price
10	online
50	online

Biological activity
Potent histamine H3 receptor antagonist

Clonidine hydrochloride

Axon 3044



[4205-91-8]
Purity: 99%

Soluble in water and DMSO
C9H9Cl2N3.HCl MW: 266.55

mg	Price
50	online

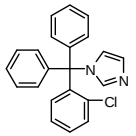
Biological activity
Clonidine hydrochloride is an α2-adrenergic receptor agonist. Antihypertensive agent with a primary site of action in the central nervous system. Also showed analgesic, anxiolytic and cognitive enhancing effects.

Clotrimazole

Recent Addition

Axon 3163

BAY b 5097

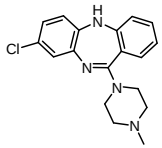


	mg	Price
[23593-75-1] Purity: 98%	50	online
Soluble in DMSO C22H17ClN2 MW: 344.84	250	online

Biological activity
Clotrimazole is an orally applicable antifungal substance with broad-spectrum activity. Clotrimazole inhibits the microsomal cytochrome P450 (CYP450)-dependent event 14-α- lanosterol demethylation, which is a vital step in ergosterol biosynthesis by fungi. Moreover, Clotrimazole is a strong inhibitor of epidermal polycyclic aromatic hydrocarbon (PAH) carcinogen metabolism, of the enzyme-mediated binding of PAH to DNA, and of PAH-induced skin cancer. Also, Clotrimazole is a potent inhibitor of epoxide hydrolase activity in vitro with an IC50 value of 0.1 mM.

Clozapine

Axon 1146

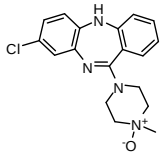


	mg	Price
[5786-21-0] Purity: 99%	10	online
Soluble in DMSO C18H19ClN4 MW: 326.82	50	online

Biological activity
A putative atypical antipsychotic; Clozapine has been shown to be superior in efficacy in treating schizophrenia, however, the drug is not indicated for first-line use because of its association with agranulocytosis and seizures

Clozapine N-oxide

Axon 2796



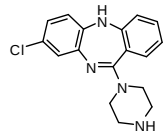
	mg	Price
[34233-69-7] Purity: 99%	10	online
Soluble in water and DMSO C18H19ClN4O MW: 342.82	50	online

Biological activity
Metabolite of the atypical antipsychotic agent Clozapine (Axon 1146). Muscarinic DREADD (designer receptor exclusively activated by a designer drug) agonist.

Clozapine, N-Desmethyl-

Axon 2846

Norclozapine; Normethylclozapine; ACP-104



	mg	Price
[6104-71-8] Purity: 99%	5	online
Soluble in 0.1N HCl(aq) and DMSO C17H17ClN4 MW: 312.80	25	online

Biological activity
Major metabolite of Clozapine (Axon 1146). N-Desmethylclozapine is a relatively potent and efficacious partial agonist at the D2 and D3 dopamine receptors, while showing inverse agonism at the 5-HT2A receptor. Moreover, N-Desmethylclozapine is a potent partial agonist at the muscarinic M1 receptor and a selective agonist at δ opioid receptor.

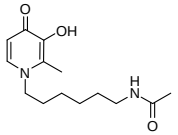
CLT-003

Axon 3149

See TC11 Page 755

CM1

Axon 2479

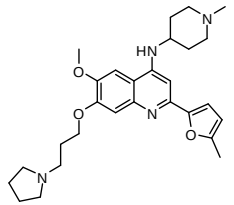


	mg	Price
[1643659-63-5] Purity: 100%	10	online
Soluble in water and DMSO C14H22N2O3 MW: 266.34	50	online

Biological activity
Orally active iron chelator with high affinity and selectivity for iron(III) (relative metal complex stability constants of pFe3+= 20.3; pCu2+= 9.8; pZn2+= 6.2.) and a suit-able partition coefficient to permeate membranes. CM1 is able to penetrate hepatocytes and relatively non toxic. Potential therapeutic for patients suffering from thalassaemia related iron overload. Moreover, CM1 shows an inhibitory effect on the growth of Plasmodium falciparum (malaria parrasite; IC50 value 35 µM).

CM-272

Axon 2812



	mg	Price
[1846570-31-7] Purity: 98%	5	online
Soluble in 0.1N HCl(aq) and DMSO C28H38N4O3 MW: 478.63	25	online

Biological activity
CM-272 is a first-in-class potent, selective and reversible inhibitor of histone methyltransferase G9a and DNA-methyltransferase 1 with IC50 values of 8nM and 382 nM, respectively. CM-272 inhibits cell proliferation and promotes apoptosis, inducing interferon-stimulated genes and immunogenic cell death. Moreover, CM-272 significantly prolongs survival of AML, ALL and DLBCL xenogeneic models.

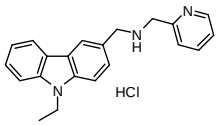
CMAT

Axon 1066

See Aminotetraline hydrobromide, N-Cyclopropyl-N-methyl-2- Page 204

CMP5

Axon 2709



mg	Price
10	online
50	online

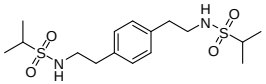
[1030021-40-9]
Purity: 99%

Soluble in water and DMSO
C21H22ClN3 MW: 351.87

Biological activity
CMP5 is a selective PRMT5 inhibitor that blocked EBV-driven B-lymphocyte transformation and survival while leaving normal B cells unaffected. Also CMP5 inhibited Th1 cell proliferation (IC50 value 3.7 μM) more potently than Th2 cell proliferation (IC50 value 9.2 μM). In vivo, PRMT5 blockade efficiently suppressed recall T cell responses and reduced inflammation in delayed-type hypersensitivity and clinical disease in experimental autoimmune encephalomyelitis mouse models.

CMPDA

Axon 2079



mg	Price
5	online
10	online

[380607-77-2]
Purity: 99%

Soluble in DMSO
C16H28N2O4S2 MW: 376.53

Biological activity
Positive allosteric modulator (PAM) of AMPA receptor; more specifically, CMPDA allosterically modulates AMPA subunit GluA2 receptor (GluR2) and its desensitization and deactivation

CN 801

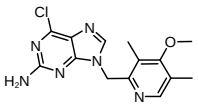
Axon 1296

See Modafinil
Page 556

CNF 2024

BIIB 021

Axon 1543



mg	Price
5	online
25	online

[848695-25-0]
Purity: 99%

Soluble in DMSO
C14H15ClN6O MW: 318.76

Biological activity
Oral inhibitor of heat shock protein 90 (Hsp90) under clinical development

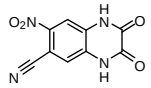
CNO

Axon 2796

See Clozapine N-oxide
Page 323

CNQX

Axon 1200



mg	Price
10	online
50	online

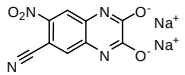
[115066-14-3]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C9H4N4O4 MW: 232.15

Biological activity
A competitive AMPA/kainate receptor antagonist

CNQX disodium salt

Axon 2522



mg	Price
10	online
50	online

[479347-85-8]
Purity: 99%

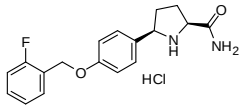
Soluble in water and DMSO
C9H2N4Na2O4 MW: 276.12

Biological activity
A competitive AMPA/kainate receptor antagonist. Water soluble form of CNQX (Axon 1200)

CNV 1014802 hydrochloride

Axon 2548

GSK 1014802 HCl; GSK2 hydrochloride; Raxatrigine hydrochloricde



mg	Price
5	online
25	online

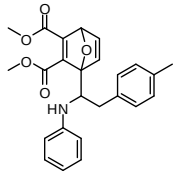
[934240-31-0]
Purity: 99%

Soluble in water and DMSO
C18H19FN2O2.HCl MW: 350.82

Biological activity
Sodium channel blocker with potent anticonvulsant activity; potential for novel treatment for Schizophrenia. CNV 1014802 (GSK2) was tested in clinical trials for treatment of trigeminal neuralgia, and shows selectivity for the Nav1.7 subtype over the other subtypes tested (Nav1.1, Nav1.2, Nav1.3, Nav1.5, Nav1.6 and TTX-R), for both the resting and depolarized st The parent molecule of CNV 1014802 (Axon 1899) is available as well.

COH000

Axon 2935



mg	Price
5	online
25	online

[1534358-79-6]
Purity: 98%

Soluble in DMSO
C25H25NO5 MW: 419.47

Biological activity
COH000 is a first-in-class, highly specific, covalent allosteric inhibitor of the SUMO E1 activating enzyme. COH000 has been demonstrated to induce strong anti-tumor effects in colorectal cancer cells as well as mouse and patient-derived xenograft models.

Coleonol

Axon 2264

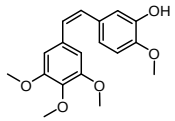
See Forskolin

Page 410

Combretastatin-A4

Axon 1233

CA 4



[117048-59-6]
Purity: 98%

Soluble in DMSO
C18H20O5 MW: 316.35

mg	Price
10	online
50	online

Biological activity
A potent inhibitor of tubulin polymerization and displays strong inhibitory activity on tumor cell growth

Compound 1

Axon 2211

See PRMT3 inhibitor 1

Page 651

Compound 2

Axon 2034

See HIF-2 inhibitor 2

Page 448

Compound 3

Axon 1851

See Rolofylline metabolite M1-trans

Page 682

Compound 4

Axon 1852

See Rolofylline metabolite M1-cis

Page 681

Compound 6c

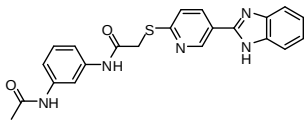
Axon 1800

See CXCR3 Antagonist 6c

Page 343

Compound 10

Axon 3035



[841210-82-0]
Purity: 99%

Soluble in DMSO
C22H19N5O2S MW: 417.48

mg	Price
5	online
25	online

Biological activity
Tool compound targeting the NFAT:AP-1 transcriptional complex on DNA

Compound 12

Axon 2083

See ADAMTS-5 inhibitor

Page 186

Compound 12i

Axon 1867

See Vasopressin antagonist 1867

Page 793

Compound 18a

Axon 2255

See CX3CR1 antagonist 18a

Page 343

Compound 24

Axon 1791

See Nav1.7 blocker 24

Page 569

Compound 52

Axon 1780

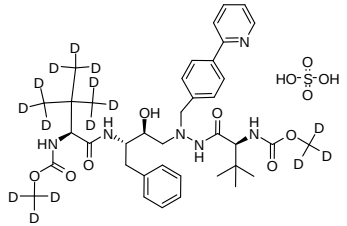
See Nav1.7 blocker 52

Page 569

Compound 120

Axon 1753

Atazanavir, deuterated



[1092540-56-1] (parent)
Purity: 99%
optically pure
Soluble in DMSO
C38H37D15N6O7.H2SO4
MW: 818.03

mg	Price
2	online
5	online

Biological activity
A partially dueterated analog of Atazanavir (Axon 1441), an oral HIV protease inhibitor; A deuterium-containing medicine with improved ADME properties;Compound 120 showed an approximately 50% increase in half life compared with Atazanavir.

Compound 211

Axon 2702

See NQ301

Page 582

Compound B

Axon 2259

See BETP

Page 266

Compound E

Axon 1487

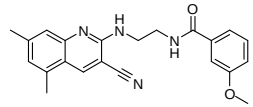
See BZ, γ-Secretase Inhibitor

Page 293

CoPo 22

Axon 1763

Methoxybenzamide, N-{2-[(3-cyano-5,7-dimethyl-2-quinolinyl)amino]ethyl}-3-



[606101-83-1]
Purity: 99%

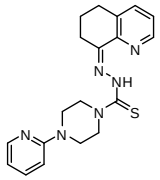
Soluble in DMSO
C22H22N4O2 MW: 374.44

mg	Price
5	online
25	online

Biological activity
Corrector and potentiator (Co-Po) for ΔF508-cystic fibrosis transmembrane conductance regulator (CFTR) chloride channel function in cystic fibrosis, with low micromolar EC50

COTI-2

Axon 2841



mg	Price
10	online
50	online

[1039455-84-9]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C19H22N6S MW: 366.48

Biological activity
COTI-2 is an anti-cancer drug which appears to act both by reactivating mutant p53 and inhibiting the PI3K/AKT/mTOR pathway. Proposed to cause cancer cell death via apoptosis. COTI-2 exhibits potent anti-proliferative activity against a wide variety of human cancer cell lines in vitro (at nanomolar concentrations) and against human tumor xenografts.

CP 20961

Axon 2099

See Avridine
Page 236

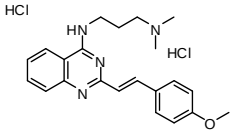
CP 26154

Axon 1941

See MLR 1023
Page 555

CP 31398

Axon 2879



mg	Price
10	online
50	online

[1217195-61-3]
Purity: 98%

Soluble in water and DMSO
C22H28Cl2N4O MW: 435.39

Biological activity
CP 31398 stabilizes the core domain of the tumour suppressor p53 in vitro and is an effective anti-cancer drug by virtue of rescuing destabilized mutants of p53. Moreover, CP 31398 can induce apoptosis of human cancer cells.

CP 45899 sodium

Axon 2041

See Sulbactam sodium
Page 742

CP 62993

Axon 2042

See Azithromycin
Page 250

CP 88059

Axon 1446

See Ziprasidone hydrochloride
Page 831

CP 93393 hydrochloride

Axon 1519

See Sunepitron hydrochloride
Page 743

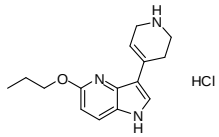
CP 93393-1

Axon 1519

See Sunepitron hydrochloride
Page 743

CP 94253 hydrochloride

Axon 1945



mg	Price
10	online
50	online

[845861-39-4]
Purity: 99%

Soluble in water and DMSO
C15H19N3O.HCl MW: 293.79

Biological activity
Potent and selective serotonin 5-HT1B receptor agonist, with Ki values to be 2 nM for 5-HT1B and 89, 860, 49 and 1600 nM for 5-HT1A, 5-HT1C, 5-HT1D and 5-HT2 receptors respectively

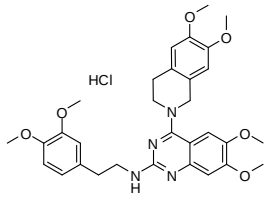
CP 99219 mesylate

Axon 2100

See Trovafloxacin mesylate
Page 775

CP 100356 Hydrochloride

Axon 1654



mg	Price
10	online
50	online

[142715-48-8]
Purity: 98%

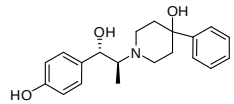
Soluble in DMSO
C31H36N4O6.HCl MW: 597.10

Biological activity
Potent inhibitor of P-glycoprotein (P-gp), with Ki to be 58 and 94 nM for 1a and 1b isomers of P-gp; an in vivo probe to selectively assess MDR1/BCRP-mediated drug efflux

CP 101606

Axon 2254

Traxoprodil



mg	Price
10	online
50	online

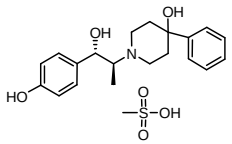
[134234-12-1]
Purity: 99%
98% d.e.
Soluble in water and DMSO
C20H25NO3 MW: 327.42

Biological activity
Potent and NR2B selective antagonist of NMDA glutamate receptors A water soluble form, CP 101606 mesylate (Axon 1406) is readily available as well.

CP 101606 mesylate

Axon 1406

Traxoprodil mesylate



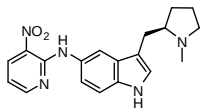
[134234-12-1]
Purity: 99%
optically pure
Soluble in water and DMSO
C20H25NO3.CH4O3S MW: 423.52

mg	Price
5	online
25	online

Biological activity
Potent and NR2B selective antagonist of NMDA glutamate receptors. The parent compound CP 101606 (Axon 2254) is readily available as well.

CP 135807

Axon 2102



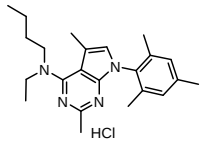
[151272-90-1]
Purity: 99%
Optically pure
Soluble in DMSO
C19H21N5O2 MW: 351.40

mg	Price
5	online
25	online

Biological activity
Selective 5-HT agonist which binds with high affinity to central 5-HT1D receptors. In functional studies CP 135807 produces dose-dependent decreases in extracellular serotonin
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

CP 154526 hydrochloride

Axon 1116



[257639-98-8]
Purity: 99%

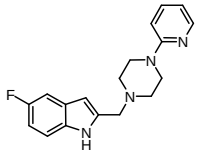
Soluble in DMSO and Ethanol
C23H32N4.HCl MW: 400.99

mg	Price
10	online
50	online

Biological activity
Corticotropin-releasing factor CRF1 antagonist

CP 226269

Axon 1521



[220941-93-5]
Purity: 99%

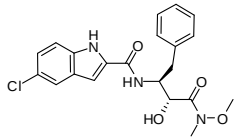
Soluble in DMSO
C18H19FN4 MW: 310.37

mg	Price
5	online
25	online

Biological activity
Selective dopamine D4 agonist; highly recommended tool for researching the role of D4 receptor in the brain

CP 316819

Axon 1847



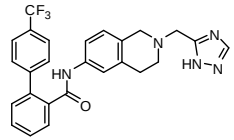
[186392-43-8]
Purity: 99%
optically pure
Soluble in DMSO
C21H22ClN3O4 MW: 415.87

mg	Price
10	online
50	online

Biological activity
Potent glycogen phosphorylase (GPase) inhibitor (IC50: 40 nM against human liver GPα). CP-316819 facilitates glycogen utilization in the brain, prevents neuronal cell death and maintains brain electrical currents

CP 346086

Axon 2216



[186390-48-7]
Purity: 99%

Soluble in DMSO
C26H22F3N5O MW: 477.48

mg	Price
10	online
50	online

Biological activity
Potent microsomal triglyceride transfer protein (MTP, MTTP) inhibitor that inhibits both human and rodent MTP activity (IC50 value 2.0 nM). After a 2 week treatment CP 346086 reduced total and LDL cholesterol and triglycerides by 47%, 72%, and 75%, relative to either individual baselines or placebo, with little change in HDL cholesterol. More potent in MTP inhibition than SLX 4090 and Lomitapide (Juxtapid; IC50 value 8 nM and 5-7 nM respectively).
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

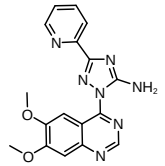
CP 358774

Axon 1128

See Erlotinib hydrochloride Page 389

CP 466722

Axon 1495



[1080622-86-1]
Purity: 99%

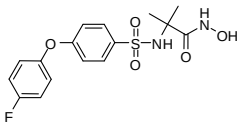
Soluble in DMSO
C17H15N7O2 MW: 349.35

mg	Price
2	online
5	online

Biological activity
Specific ATM inhibitor; no inhibition of PI3K or PI3K-like protein kinases (PIKK) or Abl kinase in cells; does inhibit cellular ATM-dependent phosphorylation events and disruption of ATM function resulted incharacteristic cell cycle checkpoint defects; highly recommended tool to rapidly and reversibly regulate ATM activity

CP 471474

Axon 2104



mg	Price
10	online
50	online

[210755-45-6]
Purity: 0%

Soluble in DMSO
C16H17FN2O5S MW: 368.38

Biological activity
CP 471474 is a matrix metalloprotease inhibitor with sub-nanomolar affinity for MMP-2 and 13 among a broad range of MMPs (IC50: 1170, 0.7, 16, 13, 0.9 for MMP-1, MMP-2, MMP-3, MMP-9, and MMP-13 respectively). It attenuates early left ventricular dilation after experimental myocardial infarction in mice. Similarly, CP-471474 attenuated both the early inflammatory response and the emphysematous lesions induced by chronic exposure to cigarette smoke in guinea pigs.
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

CP 526555-18

Axon 2074

See Varenicline tartrate

Page 793

CP 529414

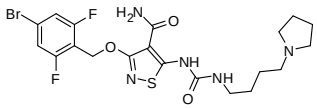
Axon 2047

See Torcetrapib

Page 772

CP 547632

Axon 1662



mg	Price
2	online
5	online

[252003-65-9]
Purity: 98%

Soluble in DMSO
C20H24BrF2N5O3S MW: 532.40

Biological activity
A potent and oral tyrosine kinase inhibitor (TKI), targeting VEGFR-2 and basic FGF kinases (IC50 to be 11 and 9 nM respectively); selective relative to EGFR, PDGF-ß, and other related TKs;inhibits VEGF-stimulated autophosphorylation of VEGFR-2 in a whole cell assay with an IC50 value of 6 nM

CP 597396 hydrochloride

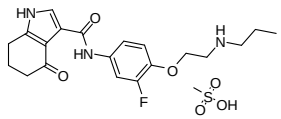
Axon 2022

See Zoniporide hydrochloride

Page 834

CP 615003 mesylate

Axon 1604



mg	Price
5	online
25	online

[1259477-42-3]
Purity: 99%

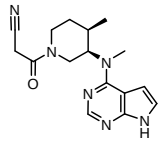
Soluble in water and DMSO
C20H24FN3O3.CH4O3S
MW: 469.53

Biological activity
A potent and subtype selective GABAA receptor partial agonist potentially useful in treating generalized anxiety disorder; the Mdr1 P-glycoprotein (P-gp) substrate

CP 690550

Axon 1338

Tasocitinib; Tofacitinib



mg	Price
2	online
5	online

[477600-75-2]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C16H20N6O MW: 312.37

Biological activity
Janus Kinase 3 (JAK3) inhibitor; an immunosuppressive agent exhibiting potent effects in preclinical transplantation and arthritis models;clinically safe and effective in preventing transplant rejection and improving symptoms of rheumatoid arthritis and psoriasis

CP 690550-10

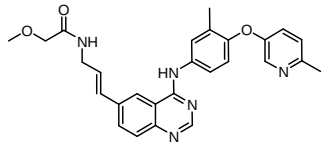
Axon 2072

See Tofacitinib citrate

Page 771

CP 724714

Axon 1537



mg	Price
2	online
5	online

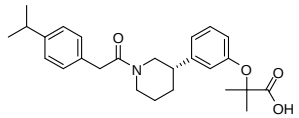
[383432-38-0]
Purity: 98%

Soluble in DMSO
C27H27N5O3 MW: 469.53

Biological activity
An oral, selective and potent ErbB-2 (HER2) kinase inhibitor; reported to inhibit HER2-driven cell line

CP 775146

Axon 2114



mg	Price
5	online
25	online

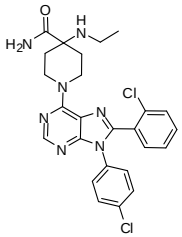
[702680-17-9]
Purity: 99%
Optically pure
Soluble in DMSO
C26H33NO4 MW: 423.54

Biological activity
Potent and selective PPARα agonist (Ki=24.5 nM and >10 µM for PPARβ and PPARγ), supporting robust recruitment of co-activator peptides in vitro. CP775146 markedly potentiates chimeric transcription systems in cell-based assays and strikingly lowers serum triglycerides in vivo
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

CP 945598

Axon 2015

Otenabant



[686344-29-6]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C25H25Cl2N7O MW: 510.42

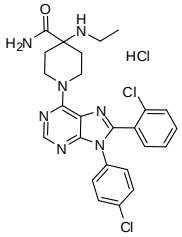
mg	Price
10	online
50	online

Biological activity
Potent and selective cannabinoid CB1 receptor antagonist (Ki values 0.7 nM and 0.2 nM in binding and functional assays, respectively) for the management of obesity. CP945598 exhibits a >10000 fold selectivity over CB2, and lacks significant, or meaningful, activity at a large panel of receptors, enzymes, and ion channels. Available as HCl salt as well (Axon 2119)
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

CP 945598 hydrochloride

Axon 2119

Otenabant hydrochloride



[686347-12-6]
Purity: 99%

Soluble in DMSO
C25H25Cl2N7O.HCl MW: 546.88

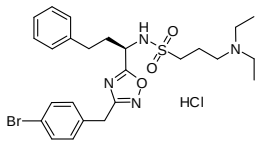
mg	Price
10	online
50	online

Biological activity
Hydrochloride form of the potent and selective cannabinoid CB1 receptor antagonist CP-945,598 (Otenabant HCl; Ki values 0.7 nM and 0.2 nM in binding and functional assays, respectively) for the management of obesity. CP945598 HCl exhibits a >10000 fold selectivity over CB2, and lacks significant, or meaningful, activity at a large panel of receptors, enzymes, and ion channels. Parent molecule available as well (Axon 2015)
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

CpdD hydrochloride

Axon 2147

GhrR antagonist CpdD



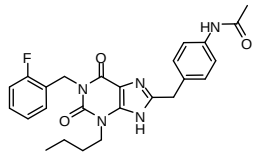
[N.A.]
Purity: 99%
Optically pure
Soluble in water and DMSO
C25H33BrN4O3S.HCl MW: 585.98

mg	Price
5	online
25	online

Biological activity
Selective ghrelin receptor (GhrR aka GHSR-1a) antagonist

cPEPCK inhibitor

Axon 1165



[628279-07-2]
Purity: 98%

Soluble in DMSO
C25H26FN5O3 MW: 463.50

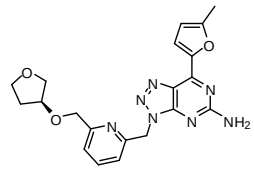
mg	Price
5	online
25	online

Biological activity
The first GTP-competitive inhibitor of human cytosolic phosphoenolpyruvate carboxykinase (PEPCK or cPEPCK) with low submicromolar IC50 values

CPI-444

Axon 3085

Ciforadenant



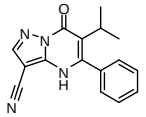
[1202402-40-1]
Purity: 99%
100% e.e.
Soluble in DMSO
C20H21N7O3 MW: 407.43

mg	Price
5	online
25	online

Biological activity
CPI-444 is potent, selective and oral A2A adenosine receptor (A2aR) antagonist inhibitor (Ki value of 3.5 nM) which has demonstrated high selectivity and ability to block A2aR in in vitro studies. CPI-444 dramatically enhances immunologic responses in models of checkpoint therapy and ACT in cancer. Moreover, CPI-444 induces antitumor responses and augments efficacy to anti-PD-(L)1 and anti-CTLA-4 in preclinical models.

CPI 455

Axon 2573



[1628208-23-0]
Purity: 99%

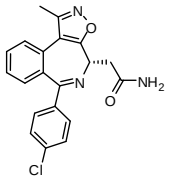
Soluble in DMSO
C16H14N4O MW: 278.31

mg	Price
5	online
25	online

Biological activity
Selective inhibitor of KDM5 demethylases (IC50 value 10 nM for inhibition of full length KDM5A) that specifically alters H3K4 methylation in several cell contexts and reduces survival of drug-tolerant cancer cells. CPI-455 possesses the target specificity required for an in vitro tool compound for exploring KDM5-dependent disease biology, including drug tolerance. Note: CPI 455 can be used in combination with a less potent control compound, by the authors of the 2016 Nature publication referred to as CPI 4203 (Axon 2622).

CPI 0610

Axon 2594



mg	Price
5	online
10	online

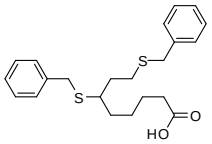
[1380087-89-7]
Purity: 99%

Soluble in DMSO
C20H16ClN3O2 MW: 365.81

Biological activity
Selective and metabolically stable inhibitor of the BET family of bromodomains (BET-BRD; IC50 values 0.12 - 0.17 µM and 0.22 µM for inhibition of BD-1 of BRD2-4 and BRDT, respectively), demonstrating a correlation between BET-driven reduction in MYC gene expression and tumor growth inhibition in a xenograft study. CPI 0610 displays essentially no activity in TR-FRET- or AlphaLisabased assays against the bromodomains of CBP, BRD9, BRPF1, PCAF, BRG1, ATAD2, TRIM24, BRD8 (IC50 value > 15 µM), and no meaningful inhibition in a CEREP express panel of about 50 GPCRs, ion Constellation Pharmaceuticals Inc compound; sold under agreement with Constellation Pharmaceuticals Inc.

CPI 613

Axon 2125



mg	Price
10	online
50	online

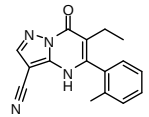
[95809-78-2]
Purity: 100%

Soluble in 0.1N NaOH(aq) and DMSO
C22H28O2S2 MW: 388.59

Biological activity
A small molecular inhibitor of a mitochondrial enzyme pyruvate dehydrogenase (PDH) complex; CPI-613 selectively attacks the regulatory aspects of tumor cell mitochondrial metabolism, activating both apoptotic (programmed cell death) and non-apoptotic (necrosis-like) cell death pathways

CPI 4203

Axon 2622



mg	Price
5	online
25	online

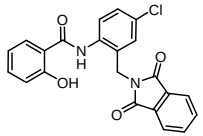
[1628214-07-2]
Purity: 99%

Soluble in DMSO
C16H14N4O MW: 278.31

Biological activity
Selective inhibitor of KDM5 demethylases, structurally related to CPI 455 (Axon 2573) but ~25-fold less potent (IC50 value 250 nM for inhibition of full length KDM5A). Control compound to be used in combination with CPI 455 (Axon 2573)

CPPHA

Axon 1431



mg	Price
5	online
25	online

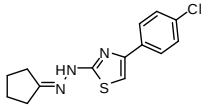
[693288-97-0]
Purity: 99%

Soluble in DMSO
C22H15ClN2O4 MW: 406.82

Biological activity
A positive allosteric modulator (PAM) of metabotropic glutamate receptor subtype 5 (mGluR5)

CPTH2

Axon 2765



mg	Price
10	online
50	online

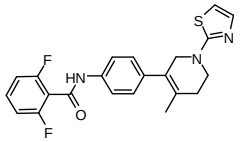
[357649-93-5]
Purity: 100%

Soluble in DMSO
C14H14ClN3S MW: 291.80

Biological activity
CPTH2 is a histone acetyltransferase (HAT) inhibitor modulating Gcn5p network in vitro and in vivo.

CRAC inhibitor 44

Axon 1868



mg	Price
5	online
25	online

[944917-72-0]
Purity: 99%

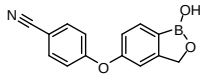
Soluble in DMSO
C22H19F2N3OS MW: 411.47

Biological activity
Potent and selective CRAC ion channel inhibitor (or blocker). The compound inhibits the activity of CRAC ion channels and the production of IL-2, IL-4, IL-5, IL-13, GM-CSF, TNF-α, and IFNγ

Crisaborole Recent Addition

Axon 3169

AN2728



mg	Price
10	online
50	online

[906673-24-3]
Purity: 99%

Soluble in DMSO
C14H10BNO3 MW: 251.05

Biological activity
Crisaborole is a potent inhibitor of PDE4 (IC50 value of 0.49 µM) and inflammation-related cytokine release in vitro and in vivo. Anti-inflammatory agent.

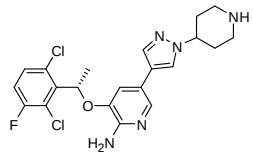
Crizotinib

Axon 1660

See PF 02341066 Page 628

Crizotinib, (S)-

Axon 2296



mg	Price
5	online
25	online

[1374356-45-2]
Purity: 99%
98.5 % e.e.
Soluble in DMSO
C21H22Cl2FN5O MW: 450.34

Biological activity
(S)-Crizotinib is a selective inhibitor of the human mutT homologue MTH1 (also known as NUDT1; IC50 value 72 nM; Kd value 48 nM). MTH1 inhibition by (S)-Crizotinib induced an increase in DNA single-strand breaks, activated DNA repair in human colon carcinoma cells, and effectively suppressed tumor growth in animal models. It is the opposite (S)-enantiomer of (R)-Crizotinib (PF-02341066, Axon 1660), which is a ALK/MET inhibitor.

CRL 40476

Axon 1296

See Modafinil

Page 556

CRT Inhibitor iCRT5

Axon 2133

See iCRT5

Page 463

CRT Inhibitor iCRT14

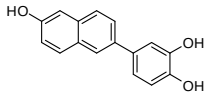
Axon 2135

See iCRT14

Page 464

CS1

Axon 2391



[1448009-94-6]
Purity: 99%

Soluble in DMSO
C16H12O3 MW: 252.26

mg	Price
10	online
50	online

Biological activity
TOPO IIα inhibitor with broad-spectrum in vitro antitumor effects (IC50 values 4.3 μM, 11.5 μM, and 4.6 μM for inhibition of proliferation of breast cancer MDA-MB-231, human lung cancer A549 and human cervical cancer HeLa cell lines, respectively). CS1 functions as a Topo II poison to stabilize Topo II/DNA complex, which leads to DNA damage, cell cycle arrest at G2/M phase and apoptosis, and is 6–10-fold less cytotoxic against HL7702 and HUVEC cells compared with etoposide.

CS 055

Axon 2893

See Tucidinostat

Page 776

CS-905

Axon 3160

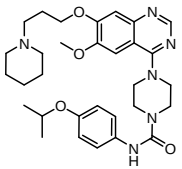
See Azelnidipine

Page 249

CT 53518

Axon 1415

MLN 518; Tandutinib



[387867-13-2]
Purity: 99%

Soluble in DMSO
C31H42N6O4 MW: 562.70

mg	Price
5	online
25	online

Biological activity
An oral tyrosine kinase inhibitor (TKI), targeting FLT3 (FMS-Like Tyrosine kinase-3), c-KIT and PDGFR, thereby inhibiting cellular proliferation and inducing apoptosis.

CT 98014

Axon 1126

See CHIR 98014

Page 313

CT 99021

Axon 1386

See CHIR 99021

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CT 99021 dihydrochloride

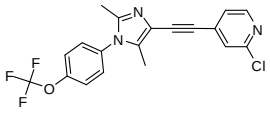
Axon 2435

See CHIR 99021 dihydrochloride

Page 313

CTEP

Axon 1972



[871362-31-1]
Purity: 99%

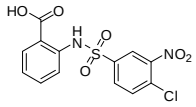
Soluble in DMSO
C19H13ClF3N3O MW: 391.77

mg	Price
5	online
25	online

Biological activity
Potent, orally bioavailable, long lasting and selective mGluR5 allosteric antagonist or negative allosteric modulator; CTEP binds mGluR5 with low nanomolar affinity and shows >1000-fold selectivity against other targets, including all known mGlu receptorsCTEP has considerably improved properties over older mGluR5 antagonists such as MPEP (Axon 1222) and Fenobam (Axon 1345)

CTPI-2 Recent Addition

Axon 3358



[68003-38-3]
Purity: 99%

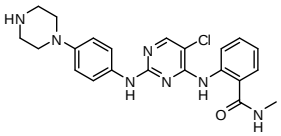
Soluble in 0.1N NaOH(aq) and DMSO
C13H9ClN2O6S MW: 356.74

mg	Price
10	online
50	online

Biological activity
CTPI-2 is a specific inhibitor of the mitochondrial citrate carrier SLC25A1 with a Kd value of 3.5 μM.

CTx-0294885

Axon 2992



[1439934-41-4]
Purity: 99%

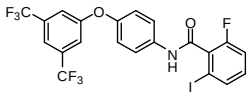
Soluble in 0.1N HCl(aq) and DMSO
C22H24ClN7O MW: 437.93

mg	Price
5	online
25	online

Biological activity
CTx-0294885 is a broad-spectrum kinase inhibitor, exhibiting inhibitory activity against a broad range of kinases in vitro. Powerful reagent for analysis of kinome signaling networks that may facilitate development of targeted therapeutic strategies.

CU-115 Recent Addition

Axon 3155



mg	Price
10	online
50	online

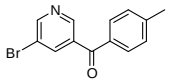
[2471982-20-2]
Purity: 98%

Soluble in DMSO
C21H11F7INO2 MW: 569.21

Biological activity
CU-115 is a selective TLR8 inhibitor (IC50 value of 1.04 μM). Biological evaluation of CU-115 using human monocyte THP-1, RAW264.7, and Hek 293-Blue TLR cells confirmed that CU-115 is active for inhibiting ssRNA-sensing pathways at low concentrations and does not inhibit other non-endosomal TLR and cytosolic NA-sensing pathways (<5 μ M).

Cuspin-1

Axon 2438



mg	Price
10	online
50	online

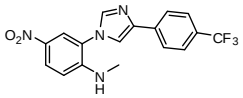
[337932-29-3]
Purity: 99%

Soluble in DMSO
C13H10BrNO MW: 276.13

Biological activity
Small molecule upregulator of the Survival of Motor Neuron protein (SMN; EC50 value 18 μM in SMA patient fibroblast cells); a tool compound that revealed that increasing Ras signaling upregulates SMN protein levels by increased phosphorylation of Erk, an important member of the Ras-Raf-MEK signaling cascade.

CU-T12-9

Axon 2455



mg	Price
10	online
50	online

[1821387-73-8]
Purity: 100%

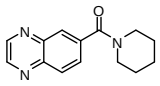
Soluble in DMSO
C17H13F3N4O2 MW: 362.31

Biological activity
Selective TLR1/TLR2 agonist (IC50 value 54.4 nM in a competitive binding assay with Pam3CSK4) that facilitates the TLR1/2 heterodimeric complex formation, but not TLR2/6 complex formation. CU-T12-9 signals through NF-κB and invokes an elevation of the downstream effectors TNF-α, IL-10, and inducible NOS.

CX516

Axon 3089

BDP-12; Ampalex



mg	Price
10	online
50	online

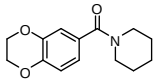
[154235-83-3]
Purity: 99%

Soluble in DMSO
C14H15N3O MW: 241.29

Biological activity
CX516 is a centrally and orally active, positive allosteric modulator (PAM) of the AMPA receptor.

CX546

Axon 3090



mg	Price
10	online
50	online

[215923-54-9]
Purity: 99%

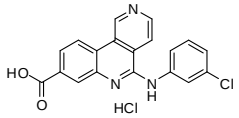
Soluble in DMSO
C14H17NO3 MW: 247.29

Biological activity
CX546 is a positive allosteric modulator (PAM) of the AMPA receptor. CX546 increased agonist affinity threefold on nondesensitizing AMPA receptors by slowing agonist unbinding.

CX 4945 hydrochloride

Axon 1965

Silmitasertib hydrochloride



mg	Price
5	online
25	online

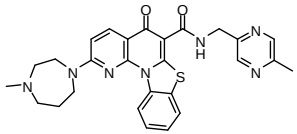
[1009820-21-6 (parent)]
Purity: 98%

Soluble in 0.1N NaOH(aq) and DMSO
C19H12ClN3O2.HCl MW: 386.23

Biological activity
Orally available, potent and selective casein kinase 2 (CK2) inhibitor

CX 5461

Axon 2173



mg	Price
5	online
25	online

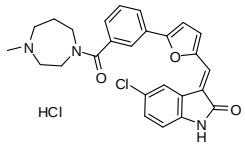
[1138549-36-6]
Purity: 99%

Soluble in 0.1N HCl(aq)
C27H27N7O2S MW: 513.61

Biological activity
First selective inhibitor of RNA Polymerase I (Pol I or RNAP1, IC50 of 0.88 μM) transcription with in vivo activity in tumor growth efficacy models; potent and orally bioavailable. CX 5461 demonstrated approximately 200-fold selectivity against Pol I relative to Pol II. It selectively kills tumor cells by activating p53-dependent apoptosis. It also shows potent antiproliferative capacity in human hematologic tumor cells.

CX 6258 hydrochloride

Axon 2305



mg	Price
5	online
25	online

[1353859-00-3]
Purity: 99%

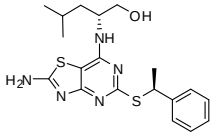
Soluble in DMSO
C26H24ClN3O3.HCl MW: 498.40

Biological activity
Potent, selective, and orally efficacious pan-Pim kinases inhibitor (IC50 values 5 nM, 25 nM, and 16 nM for Pim-1, Pim-2, and Pim-3 respectively) with 5-40 fold selectivity over Flt-3 (IC50 values 0.134 μM). CX 6258 exhibited dose dependent efficacy in a mouse MV-4-11 xenograft study, with a 50 mg/kg dose producing 45% tumor growth inhibition (TGI) and a 100 mg/kg dose producing 75% TGI, and was well tolerated throughout the study.

CX3CR1 antagonist 18a

Axon 2255

Compound 18a



	mg	Price
[911715-90-7]		
Purity: 99%	2	online
Optically pure		
Soluble in DMSO	5	online

C19H25N5OS2 MW: 403.56

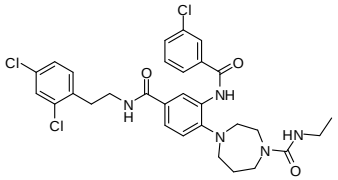
Biological activity

Potent and selective antagonist of the Fractalkine receptor (FKN or CX3CR1; Ki value 3.9 nM) with a 720 fold selectivity over the CXCR2 receptor, a 246-fold selectivity versus hCCR1 and 187-fold versus hCCR2 and no significant antagonism of the CCR4, CCR5, CCR6, CXCR3, and CXCR5 receptors. Compound 18a displayed adequate metabolic stability and solubility and high Caco-2 permeability. Notably, compound 18a exhibited a significant interaction (>50% activity at 10 μM) for the adenosine A1 receptor only, and the selectivity was later determined to be 33-fold.

CXCR3 Antagonist 6c

Axon 1800

Compound 6c



	mg	Price
[870998-13-3]		
Purity: 99%	10	online
Soluble in DMSO	50	online

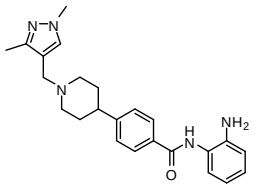
C30H32Cl3N5O3 MW: 616.97

Biological activity

Potent chemokine CXCR3 antagonist, exhibiting IC50 value of 60 nM in a calcium mobilization functinal assay; dose-dependently inhibiting CXCR3 functional response to CXCL11 as measured by T-cell chemotaxis, with a potency of about 100 nM

CXD101

Axon 3038



	mg	Price
[934828-12-3]		
Purity: 99%	5	online
Soluble in DMSO	25	online

C24H29N5O MW: 403.52

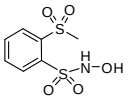
Biological activity

CXD101 is a class 1 selective histone deacetylase inhibitor with IC50 values of 63 nM, 570 nM and 550 nM for HDAC1, HDAC2 and HDAC3, respectively.

CXL-1020

Axon 2653

2-MSPA



	mg	Price
[950834-06-7]		
Purity: 99%	10	online
	50	online

C7H9NO5S2 MW: 251.28

Biological activity

Nitroxyl (HNO) is a reactive nitrogen species that improves myocardial function by direct positive cAMP-independent lusitropic and inotropic effects and by combined venous and arterial dilation. CXL-1020 is an HNO donor which nonenzymatically decomposes to produce pure HNO. HNO donors are potentially useful for the treatment of heart failure.

Cyclopropyl-2-aminotetraline hydrochloride, N-

Axon 1067

See *Aminotetraline hydrochloride, N-Cyclopropyl-2-* Page 210

Cyclopropyl-N-methyl-2-aminotetraline hydrobromide, N-

Axon 1066

See *Aminotetraline hydrobromide, N-Cyclopropyl-N-methyl-2-* Page 204

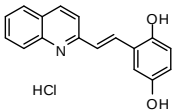
CYP3cide

Axon 2026

See PF 04981517 Page 631

CysLT1 Antagonist Q8

Axon 2738



	mg	Price
[1541762-55-3]		
Purity: 99%	10	online
Soluble in DMSO	50	online

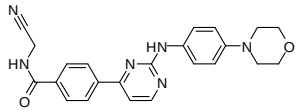
C17H14ClNO2 MW: 299.75

Biological activity

CysLT1 antagonist Q8 inhibits developmental angiogenesis in transgenic fluorescent zebrafish, and inhibits human microvascular endothelial cell (HMEC-1) proliferation, tubule formation, and migration. CysLT1 antagonist Q8 elicits antiangiogenic effects in a VEGF-independent in vitro model of angiogenesis and exerts an additive antiangiogenic response with the anti-VEGF biologic bevacizumab.

CYT 387

Axon 1681



	mg	Price
[1056634-68-4]		
Purity: 99%	5	online
Soluble in DMSO	25	online

C23H22N6O2 MW: 414.46

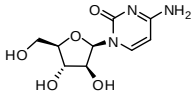
Biological activity

Selective and ATP-competitive Janus Kinase JAK1/JAK2 inhibitor, with IC50 to be 11 and 18 nM for JAK1 and JAK2 respectively and far less activity against other kinases, including JAK3 (IC50=155 nM)

Cytarabine Recent Addition

Axon 3238

1-β-D-Arabinofuranosylcytosine; Ara-C; Cytosine arabinoside



[147-94-4]
Purity: 99%
Optically pure
Soluble in water and DMSO
C9H13N3O5 MW: 243.22

mg	Price
50	online
250	online

Biological activity
Cytarabine is a cytidine-based antimetabolite and an inhibitor of DNA synthesis. Cytarabine undergoes initial phosphorylation by deoxycytidine kinase to monophosphate with subsequent phosphorylations catalyzed by pyrimidine monophosphate and diphosphate kinases. The active form, triphosphorylated Cytarabine, exhibits its anticancer activity via the inhibition of DNA polymerase and/or DNA chain elongation.

Cytosine arabinoside

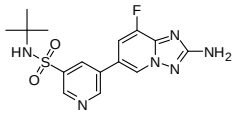
Axon 3238

See Cytarabine Recent Addition

Page 345

CZC 24832

Axon 2039



[1159824-67-5]
Purity: 99%

Soluble in DMSO
C15H17FN6O2S MW: 364.40

mg	Price
5	online
10	online

Biological activity
Potent and selective PI3K p110γ inhibitor, with efficacy in in vitro and in vivo models of inflammation

D 21266

Axon 1663

See Perifosine

Page 624

D 23129

Axon 1525

See Retigabine

Page 671

D 23129 hydrochloride

Axon 2252

See Retigabine dihydrochloride

Page 671

D 9998

Axon 1437

See Flupirtine maleate

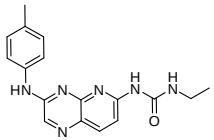
Page 407

D 106669

Axon 1719

[938444-93-0]
Purity: 98%

Soluble in DMSO
C17H18N6O MW: 322.36



mg	Price
2	online
5	online
25	online

Biological activity
Highly potent and selective PI3K inhibitor, selectively inhibiting class I PI3K (PI3Kα IC50 <10 nM, >3 log selectivity against tyrosine or serine/threonine kinases, except ERK1 and 2) and showed some activity in A549(lung cancer) xenografts mouse model at oral dose of 30 mg/kg twice daily

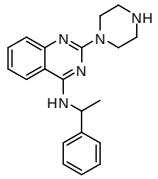
D3-βArr

Axon 2895

NCGC 00379308

[662164-09-2]
Purity: 99%

Soluble in DMSO
C20H23N5 MW: 333.43



mg	Price
10	online
50	online

Biological activity
D3-βArr is a positive allosteric modulator (PAM) of the thyrotropin (TSH) receptor with an EC50 value of 11.6 µM.

Dabigatran etexilate

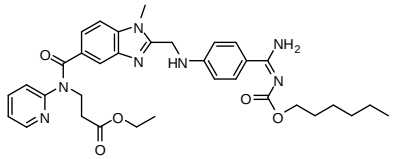
Recent Addition

Axon 3117

BIBR 1048

[211915-06-9]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C34H41N7O5 MW: 627.73



mg	Price
10	online
50	online

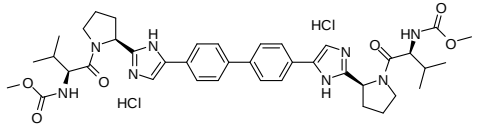
Biological activity
Dabigatran etexilate is a prodrug of Dabigatran, a potent thrombin inhibitor (IC50 value of 0.0093 µM) and anticoagulant in vivo. Dabigatran etexilate exhibited strong and long-lasting anticoagulant effects after oral administration in different animal species.

Daclatasvir dihydrochloride

Axon 2093

BMS 790052 dihydrochloride

[1009119-65-6]
Purity: 99%
Optically pure
Soluble in DMSO
C40H50N8O6.2HCl MW: 811.80



mg	Price
2	online
5	online

Biological activity
Potent hepatitis C virus (HCV) NS5A protein inhibitor with picomolar EC50 value

Dacomitinib

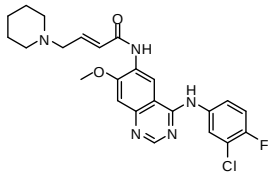
Recent Addition

Axon 3235

PF-00299804

[1110813-31-4]
Purity: 100%

Soluble in 0.1N HCl(aq) and DMSO
C24H25ClFN5O2 MW: 469.94



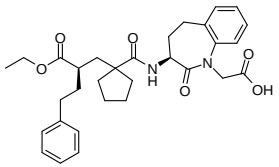
mg	Price
10	online
50	online

Biological activity
Dacomitinib is a potent irreversible pan-ERBB inhibitor with IC50 values of 6 nM, 45.7 nM and 73.7 nM for EGFR, ERBB2 and ERBB4, respectively. Dacomitinib is a potent inhibitor of EGFR-activating mutations as well as the EGFR T790M resistance mutation both in vitro and in vivo. Additionally, Dacomitinib is a highly effective inhibitor of both the wild-type ERBB2 and the gefitinib-resistant oncogenic ERBB2 mutation identified in lung cancers.

Daglutril

Axon 1918

SLV 306



mg	Price
2	online
5	online

[182821-27-8]
Purity: 99%
Optically pure
Soluble in DMSO
C31H38N2O6 MW: 534.64

Biological activity
An orally active, dual endothelin converting enzyme (ECE)/neutral endopeptidase (NEP) inhibitor that reduces proteinuria and urinary albumin excretion in diabetic rats. Simultaneous augmentation of ANP and inhibition of ET-1 production by Daglutril treatment is of potential therapeutic benefit in cardiovascular disease, and for treatment of overt nephropathy and reduction of albuminuria in hypertensive patients with type 2 diabetes.

Dalacine

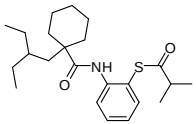
Axon 2063

See Clindamycin
Page 322

Dalcetrapib

Axon 1962

JTT 705



mg	Price
10	online
50	online

[211513-37-0]
Purity: 99%

Soluble in DMSO and Ethanol
C23H35NO2S MW: 389.59

Biological activity
Potent cholesterylester transfer protein (CETP) inhibitor

DAN 2163

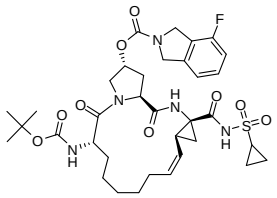
Axon 1381

See Amisulpride
Page 211

Danoprevir

Axon 1669

ITMN 191; RG 7227



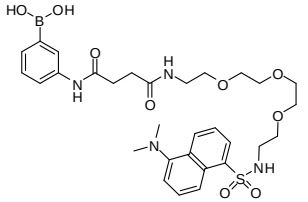
mg	Price
2	online
5	online

[850876-88-9]
Purity: 99%
optically pure
Soluble in DMSO
C35H46FN5O9S MW: 731.83

Biological activity
Potent and orally active inhibitor of hepatitis C virus (HCV) NS3/4A serine protease (replicon IC50: 1.6 nM)

Dansyl-PEG-phenylboronic acid

Axon 2257



mg	Price
5	online
25	online

[N.A.]
Purity: 98%

Soluble in 0.1N HCl(aq), MeOH and DMSO
C30H41BN4O9S MW: 644.54

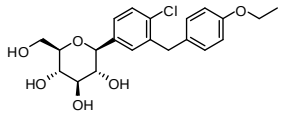
Biological activity
Dansylamide functionalized PEG-phenylboronic acid for the use of palladium-catalyzed oxidative Heck reaction to protein-bound alkenes and Suzuki-Miyaura cross coupling for labeling of protein bound phenylhalides in high yields and with excellent chemoselectivity. Reagent for bio-orthogonal protein-ligation.
Sold in collaboration with RuG (University of Groningen)

Dapagliflozin

Recent Addition

Axon 3121

BMS-512148



mg	Price
10	online
50	online

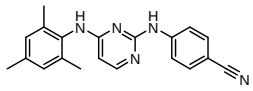
[461432-26-8]
Purity: 100%
Optically pure
Soluble in DMSO
C21H25ClO6 MW: 408.87

Biological activity
Dapagliflozin is a potent and selective hSGLT2 inhibitor (EC50 value of 1.1 nM) which reduced blood glucose levels in a dose-dependent manner by as much as 55% in hyperglycemic streptozotocin (STZ) rats.

Dapivirine

Axon 1534

R 147681; TMC 120



mg	Price
5	online
25	online

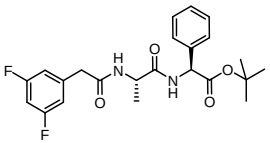
[244767-67-7]
Purity: 99%

Soluble in DMSO
C20H19N5 MW: 329.40

Biological activity
Potent non-nucleoside reverse transcriptase inhibitor (NNRTI); an antiretroviral compound designed to prevent or interrupt HIV replication in human cells; safe and tolerable as potential vaginal microbicide

DAPT

Axon 1484



mg	Price
5	online
25	online

[208255-80-5]
Purity: 99%
optically pure
Soluble in DMSO
C23H26F2N2O4 MW: 432.46

Biological activity
Inhibitor of γ-secretase

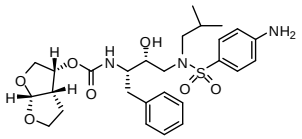
Darunavir

Recent Addition

Axon 3137

UIC-94017; TMC114

[206361-99-1]
Purity: 99%
Optically pure
Soluble in DMSO
C27H37N3O7S MW: 547.66



mg	Price
10	online
50	online

Biological activity
Darunavir is a potent human immunodeficiency virus type 1 (HIV-1) protease inhibitor with an IC50 value of 0.003 μM (HIV-1LAI). Moreover, Darunavir shows potent activity against multi-protease inhibitor-resistant HIV in vitro.

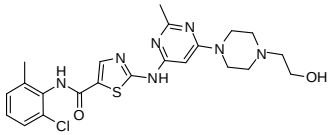
Dasatinib

Axon 1392

BMS 354825; Sprycel

[302962-49-8]
Purity: 99%

Soluble in DMSO
C22H26ClN7O2S MW: 488.01



mg	Price
10	online
50	online

Biological activity
Orally active dual BCR-ABL and Src family tyrosine kinases inhibitor

Daxas

Axon 2352

See Roflumilast

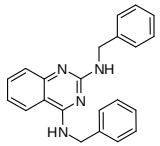
Page 680

DBeQ

Axon 1826

[177355-84-9]
Purity: 99%

Soluble in DMSO
C22H20N4 MW: 340.42



mg	Price
10	online
50	online

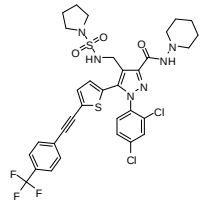
Biological activity
Potent, cell-permeable, selective and reversible p97 ATPase inhibitor; impairs both ubiquitin-dependent and autophagic protein clearance pathways and induces executioner caspases 3 and 7

DBPR211

Axon 3097

[1429239-98-4]
Purity: 98%

Soluble in DMSO
C33H31Cl2F3N6O3S2 MW: 751.67



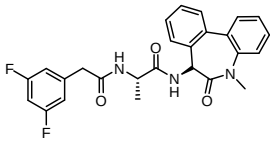
mg	Price
5	online
25	online

Biological activity
DBPR211 is a potent and selective peripherally restricted CB1 antagonist and/or inverse agonist (Ki value of 0.3 nM). DBPR211 shows significant weight-loss efficacy in diet-induced obese mice.

DBZ, γ-Secretase Inhibitor

Axon 1488

[209984-56-5]
Purity: 99%
optically pure
Soluble in DMSO
C26H23F2N3O3 MW: 463.48



mg	Price
1	online
5	online

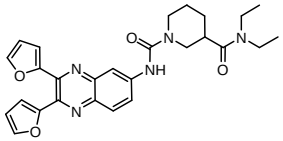
Biological activity
Very potent and cell-permeable inhibitor of γ-secretase; potently inhibits Notch processing (IC50 values to be 1.7 nM in SupT1 cells)

DC 838

Axon 1166

[508186-08-1]
Purity: 99%

Soluble in DMSO
C27H29N5O4 MW: 487.55



mg	Price
10	online
50	online

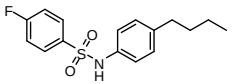
Biological activity
Potent human cyclophilin A (CypA) inhibitor

DC260126

Axon 3057

[346692-04-4]
Purity: 99%

Soluble in DMSO
C16H18FNO2S MW: 307.38



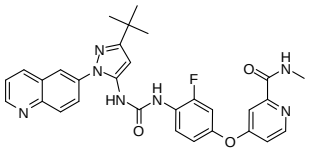
mg	Price
10	online
50	online

Biological activity
DC260126 is a GPR40 antagonist with an IC50 value of 6.58 μM. DC260126 improves insulin tolerance but not glucose tolerance in obese Zucker rats. Although DC260126 could not provide benefit for improving hyperglycemia, it could protect against pancreatic b-cells dysfunction through reducing overload of b-cells, and it increases insulin sensitivity possibly via alleviation of hyperinsulinemia in db/db mice.

DCC 2036

Axon 2123

Rebastinib



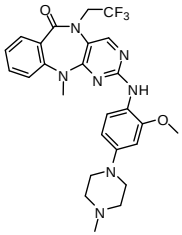
	mg	Price
[1020172-07-9] Purity: 99%	5	online
Soluble in DMSO C30H28FN7O3 MW: 553.59	25	online

Biological activity
An orally active Bcr-ABL inhibitor; being a ABL Switch-control inhibitor that potently inhibits BCR-ABL1 gatekeeper mutant T315I (IC50: 0.8 nM for native ABL1 and 4 nM in a ABL1T3151 kinase assay). DCC-2036 has efficacy in a mouse model of T315I-induced CML and against cells of patients with CML.In addition, DCC-2036 also inhibited the SRC family kinases SRC, LYN, FGR, and HCK, and the receptor TKs KDR, FLT3, and TIE2, but not c-KIT (IC50 of 34 nM, 29 nM, 38 nM, 40 nM, 4 nM, 2 nM, 6 nM, and 481 nM respectively)

DCLK1-IN-1 Recent Addition

Axon 3200

FMF-03-146-1



	mg	Price
[2222635-15-4] Purity: 98%	5	online
Soluble in 0.1N HCl(aq) and DMSO C26H28F3N7O2 MW: 527.54	25	online

Biological activity
DCLK1-IN-1 is a potent, selective and orally bioavailable DCLK1/2 inhibitor. DCLK1-IN-1 exhibits binding assay IC50 values of 9.5 nM and 31 nM for DCLK1 and DLCK2, respectively. Moreover, DCLK1-IN-1 exhibits kinase assay IC50 values of 57.2 nM and 103 nM for DCLK1 and DLCK2, respectively.

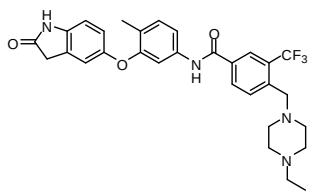
DCN1-UBC12 interaction inhibitor E31

Axon 2984

See WS-383 Page 813

DDR1-IN-1

Axon 2265



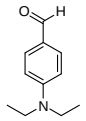
	mg	Price
[1449685-96-4] Purity: 98%	5	online
Soluble in DMSO C30H31F3N4O3 MW: 552.59	25	online

Biological activity
Potent and selective DDR1 receptor tyrosine kinase (RTK) inhibitor (IC50 values 105 and 413 nM for DDR1 and DDR2 respectively); a useful pharmacological probe for DDR1-dependent signal transduction.

DEAB

Axon 2476

NSC 8782

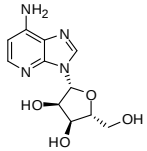


	mg	Price
[120-21-8] Purity: 99%	10	online
Soluble in DMSO C11H15NO MW: 177.24	50	online

Biological activity
Potent inhibitor of cytosolic (class 1) aldehyde dehydrogenase (ALDH) enzymes (IC50 values 0.057 µM, 1.2 µM, 3.0 µM, 1.2 µM, 0.16 µM, and 13 µM for inhibition of ALDH1A1, ALDH1A2, ALDH1A3, ALDH1B1, ALDH2, and ALDH5A1, respectively). DEAB was also found to be an excellent substrate for ALDH3A1, and an irreversible inhibitor of ALDH7A1 (KI value 100 µM). Low turn-over rates and/or covalent bonding of the ALDH substrate DEAB are the cause of its inhibitory effect on the enzymes. At the time of development DEAB was found to be a potent inhibitor of cytosolic ALDH1 but not mitochondrial ALDH2. Commonly used as "selective" inhibitor of ALDH isoenzymes in cancer stem cell biology.

Deazaadenosine, 1-

Axon 2434



	mg	Price
[14432-09-8] Purity: 99% Optically pure Soluble in 0.1N HCl (aq) and DMSO C11H14N4O4 MW: 266.25	5	online
	25	online

Biological activity
Inhibitor of adenosine deaminase (ADA; IC50 value 0.38 µM) 1-Deazaadenosine showed cytostatic activity against multiple cell lines in vitro

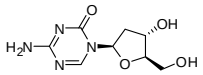
DEC

Axon 3176

See Diethylcarbamazine citrate Recent Addition Page 360

Decitabine

Axon 1590



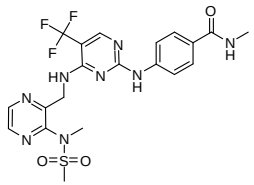
	mg	Price
[2353-33-5] Purity: 99%	10	online
Soluble in water and DMSO C8H12N4O4 MW: 228.21	50	online

Biological activity
DNA methyltransferase inhibitor; a therapeutical agent to treat myelodysplastic syndromes (MDS)

Defactinib

Axon 2574

VS 6063; PF 04554878



[1073154-85-4]
Purity: 98%

Soluble in DMSO
C20H21F3N8O3S MW: 510.49

mg	Price
5	online
25	online

Biological activity
Orally available second-generation inhibitor of focal adhesion kinase (FAK) and proline-rich tyrosine kinase-2 (PYK2) with an acceptable safety profile in clinical trials (IC50 values 0.6 nM for each kinase, and >100-fold greater selectivity for FAK and PYK2 than for other, non-target kinases).

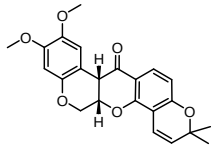
Degrasyn

Axon 1779

See WP 1130 Page 813

Deguelin

Axon 1239



[522-17-8]
Purity: 98%

Soluble in DMSO and Ethanol
C23H22O6 MW: 394.42

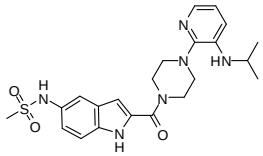
mg	Price
5	online
10	online

Biological activity
Inhibitor of activated Akt. Anticancer, chemopreventive agent

Delavirdine

Axon 1815

U 90152; Rescriptor



[136817-59-9]
Purity: 99%

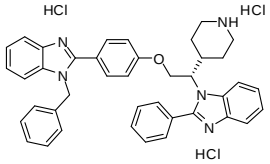
Moderately soluble in DMSO
C22H28N6O3S MW: 456.56

mg	Price
10	online
50	online

Biological activity
Non-nucleoside reverse transcriptase inhibitor (NNRTI) of human immunodeficiency virus type 1 (HIV-1); Selectively inhibits HIV-1 reverse transcriptase (RNA-dependent DNA polymerase) over other cellular polymerases;Inhibitor of cytochrome P450 isozyme CYP3A4; Interacts with many medications

Deltarasin trihydrochloride

Axon 2284



[1440898-82-7]
Purity: 99%
Optically pure
Soluble in water and DMSO
C40H37N5O.3HCl MW: 713.14

mg	Price
5	online
25	online

Biological activity
Small molecule inhibitor of the KRAS–PDEδ interaction that impairs oncogenic KRAS signalling by altering its localization to endomembranes (in cell Kd value 41 nM for deltarasin binding to PDEδ). Deltarasin suppresses in vitro and in vivo MAPK signaling and proliferation of human pancreatic ductal adenocarcinoma (PDAC) cells that are dependent on oncogenic KRAS.

Depocid

Axon 2922

See Sulfaphenazole Page 742

Depotsulfonamide

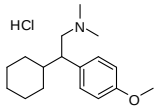
Axon 2922

See Sulfaphenazole Page 742

Deshydroxy Venlafaxine HCl

Axon 1722

Venlafaxine Impurity G



[1076199-92-2 (parent)]
Purity: 98%

Soluble in DMSO
C17H27NO.HCl MW: 297.86

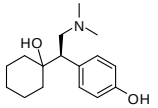
mg	Price
5	online
25	online

Biological activity
Metabolite of Venlafaxine (Axon 1727), a serotonin-norepinephrine reuptake inhibitor (SNRI)

Desmethylvenlafaxine, R-(-)-O-

Axon 1720

R-(-)-O-Desvenlafaxine



[142761-11-3]
Purity: 98%
optically pure
Soluble in DMSO
C16H25NO2 MW: 263.38

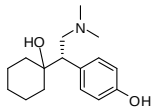
mg	Price
5	online
25	online

Biological activity
Active metabolite of Venlafaxine (Axon 1727), a serotonin-norepinephrine reuptake inhibitor (SNRI)

Desmethylvenlafaxine, S-(+)-O-

Axon 1721

S-(+)-O-Desvenlafaxine



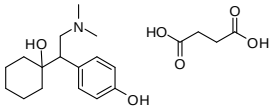
	mg	Price
[142761-12-4]		
Purity: 100%	5	online
optically pure		
Soluble in DMSO	25	online
C16H25NO2 MW: 263.38		

Biological activity
Active metabolite of Venlafaxine (Axon 1727), a serotonin-norepinephrine reuptake inhibitor (SNRI)

Desmethylvenlafaxine succinate, O-

Axon 2116

DVS 233 succinate



	mg	Price
[448904-47-0]		
Purity: 100%	10	online
Soluble in water and DMSO	50	online
C16H25NO2.C4H6O4 MW: 381.46		

Biological activity
Active metabolite of Venlafaxine (Axon 1727), a serotonin-norepinephrine reuptake inhibitor (SNRI). Racemate of Axon 1720 and 1721.
Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Desvenlafaxine, R-(-)-O-

Axon 1720

See Desmethylvenlafaxine, R-(-)-O- Page 356

Desvenlafaxine, S-(+)-O-

Axon 1721

See Desmethylvenlafaxine, S-(+)-O- Page 357

DEV 4

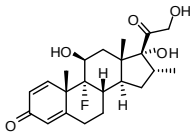
Axon 2871

See ML 239 Page 546

Dexamethasone

Recent Addition

Axon 3258



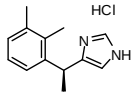
	mg	Price
[50-02-2]		
Purity: 99%	50	online
Optically pure		
Soluble in DMSO	250	online
C22H29FO5 MW: 392.46		

Biological activity
Dexamethasone, an anti-inflammatory steroid, is a glucocorticoid receptor agonist. Dexamethasone was shown to have benefit in treatment of patients which are critically ill with COVID-19.

Dexmedetomidine hydrochloride

Axon 3065

(+)-Medetomidine hydrochloride



	mg	Price
[4205-91-8]		
Purity: 100%	10	online
Optically pure		
Soluble in water and DMSO	50	online
C13H16N2.HCl MW: 236.74		

Biological activity
Dexmedetomidine hydrochloride is a selective α2-adrenergic receptor agonist. Active enantiomer of Medetomidine hydrochloride (Axon 3066).

DFBA

Axon 1428

See Difluprednate Page 361

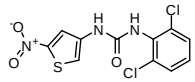
dFdC

Axon 3233

See Gemcitabine hydrochloride Recent Addition Page 418

DFP00173

Axon 2987



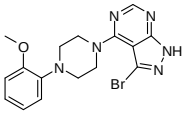
	mg	Price
[672286-03-2]		
Purity: 98%	5	online
Soluble in DMSO	25	online
C11H7Cl2N3O3S MW: 332.16		

Biological activity
DFP00173 is a potent and selective AQP3 inhibitor which inhibited mouse and human AQP3 with an IC50 value of ~0.1-0.4 μM. DFP00173 had low efficacy toward mouse AQP7 and AQP9.

DG2

Axon 1903

S6K1 Inhibitor DG2

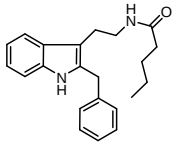


	mg	Price
[871340-88-4]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C16H17BrN6O MW: 389.25		

Biological activity
Potent and selective inhibitor of p70 ribosomal S6 kinase 1 (S6K1) (IC50: 9.1 nM for S6K1); no Akt activity (IC50: 22000 nM); ATP-competitive and cell-permeable

DH 97

Axon 1351



[343263-95-6]
Purity: 99%

Soluble in DMSO
C22H26N2O MW: 334.45

mg	Price
10	online
50	online

Biological activity
Melatonin antagonist; MT2 selective

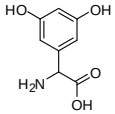
DHF, 7,8-

Axon 2089

See Dihydroxyflavone, 7,8- Page 362

DHPG, (RS)-3,5-

Axon 1739



[146255-66-5]
Purity: 99%

Soluble in water and DMSO
C8H9NO4 MW: 183.16

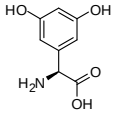
mg	Price
10	online
50	online

Biological activity
Selective group I metabotropic glutamate receptor agonist which activates both mGluR1 and mGluR5. More specifically, the agonist activity is found only in its S-enantiomer, (S)-3,5-DHPG (Axon 1740) .

DHPG, (S)-3,5-

Axon 1740

Dihydroxyphenylglycine, (S)-3,5-



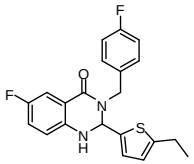
[162870-29-3]
Purity: 99%
>99%
Soluble in water and DMSO
C8H9NO4 MW: 183.16

mg	Price
5	online
10	online

Biological activity
Potent and selective agonist of group I metabotropic glutamate (mGlu) receptors (mGluRs) mGluR1 and mGluR5; having therapeutic effects in the treatment of neuronal injury, cognitive enhancement and Alzheimer's disease. (S)-3,5-DHPG is the active enantiomer of 3,5-DHPG (Axon 1739)*

DHQZ 36

Axon 3141



[1542098-94-1]
Purity: 98%

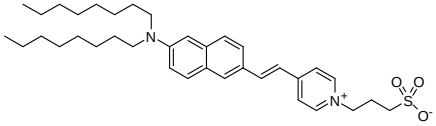
Soluble in DMSO
C21H18F2N2OS MW: 384

mg	Price
10	online
50	online

Biological activity
DHQZ 36 is a potent inhibitor of retrograde trafficking (IC50 values of 8.1 and 24 µM against JCPyV and HPV16 infectivity, respectively). Protects cells from infections by human polyoma- and papillomaviruses.

Di-8-ANEPPS

Axon 2655



[157134-53-7]
Purity: 99%

Poorly soluble in DMSO
C36H52N2O3S MW: 592.87

mg	Price
10	online

Biological activity
Fast-responsive membrane potentiometric fluorescent dye for monitoring the electrical activity, e.g. in neurons and myocytes.

Didesmethyl Venlafaxine, N,N-

Axon 1726

See Dinorvenlafaxine Page 365

Didesmethyl Venlafaxine, N,O-

Axon 1725

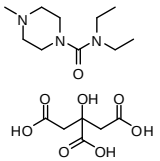
See WY 46689 Page 814

Diethylcarbamazine citrate

Recent Addition

Axon 3176

Hetrazan; DEC



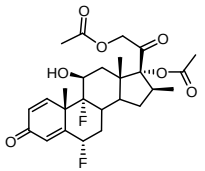
[1642-54-2]
Purity: 99%
N.A.
Soluble in water and DMSO
C16H29N3O8 MW: 391.42

mg	Price
50	online
250	online

Biological activity
Diethylcarbamazine citrate (DEC) is a filaricidal drug. Pharmacological studies showed that DEC interferes with arachidonic acid metabolism, acting as an anti-inflammatory drug. It has been found that DEC blocks a number of steps in both the cyclooxygenase (COX) and lipoxygenase pathways, including the inhibition of leucocyte chemotaxis, granulocyte degranulation, and peripheral vasodilation.

Diflorasone Diacetate

Axon 1427



[33564-31-7]
Purity: 99%

Soluble in DMSO
C26H32F2O7 MW: 494.52

mg	Price
10	online
50	online

Biological activity
A corticosteroid used as anti-inflammatory and anti-itching agent

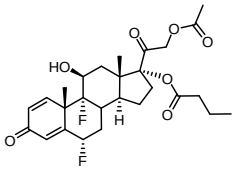
Difluprednate

Axon 1428

DFBA; Durezol

[23674-86-4]
Purity: 99%

Soluble in DMSO
C27H34F2O7 MW: 508.55



mg	Price
10	online
50	online

Biological activity
A corticosteroid used for the treatment of post-operative ocular inflammation and pain

Digitalis

Axon 1649

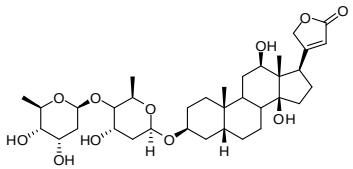
See Digoxin
Page 361

Digoxigenin bis-digitoxiside

Axon 1695

[5297-05-2]
Purity: 98%

Soluble in DMSO
C35H54O11 MW: 650.80



mg	Price
10	online
50	online

Biological activity
A metabolite of Digoxin (Axon 1649). Digoxin is a heart medication. Digoxin is also used as a standard control substance to test for p-glycoprotein inhibition.Recent studies show that digoxin acts as inhibitor of HIF-1α synthesis, reduces protein levels and thus slows tumor growth in mice.

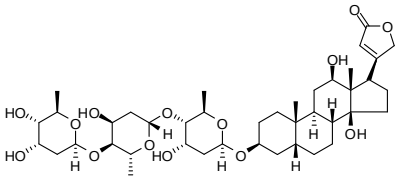
Digoxin

Axon 1649

Digitalis

[20830-75-5]
Purity: 98%

Soluble in DMSO
C41H64O14 MW: 780.94



mg	Price
10	online
50	online

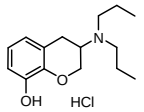
Biological activity
Digoxin is a successful medication in the treatment of irregular heart rhythms, namely atrial fibrillation, atrial flutter and sometimes heart failure that cannot be controlled by other medication. Digoxin is also used as a standard control substance to test for p-glycoprotein inhibition.
Recent studies show that digoxin acts as inhibitor of HIF-1α synthesis, reduces protein levels and thus slows tumor growth in mice

Dihydro-2H-1-benzopyran-8-ol hydrochloride, 3-(Dipropylamino)-3,4-

Axon 1047

[109140-45-6]
Purity: 98%

No solubility data
C15H23NO2.HCl MW: 285.81



mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

Dihydroxy-2-aminotetraline hydrobromide, 5,6-

Axon 1044

See *Aminotetraline hydrobromide, 5,6-Dihydroxy-2-*
Page 203

Dihydroxy-2-aminotetraline hydrobromide, 6,7-

Axon 1045

See *Aminotetraline hydrobromide, 6,7-Dihydroxy-2-*
Page 203

Dihydroxycholecalciferol, 1α,24-

Axon 2516

See Tacalcitol
Page 748

Dihydroxy-N-methyl-N-propyl-aminotetraline hydrobromide, 6,7-

Axon 1021

See *Aminotetraline hydrobromide, 6,7-Dihydroxy-N-methyl-N-propyl-*
Page 204

Dihydroxy-N-methyl-N-propyl-aminotetraline hydrochloride, 5,6-

Axon 1019

See *Aminotetraline hydrochloride, 5,6-Dihydroxy-N-methyl-N-propyl-*
Page 207

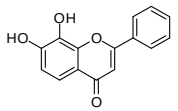
Dihydroxyflavone, 7,8-

Axon 2089

DHF, 7,8-

[38183-03-8]
Purity: 99%

Soluble in DMSO and EtOH
C15H10O4 MW: 254.24



mg	Price
10	online
50	online

Biological activity
Potent and selective tyrosine kinase receptor B (TrkB) agonist. 7,8-Dihydroxyflavone imitates Brain-derived neurotrophic factor (BDNF) and acts as a robust TrkB agonist, providing a powerful therapeutic tool for the treatment of various neurological diseases

Dihydroxyphenylglycine, (S)-3,5-

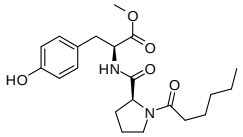
Axon 1740

See DHPG, (S)-3,5-
Page 359

Dilept

Axon 1975

GZR 123

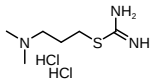


	mg	Price
[200954-39-8] Purity: 99% optically pure Soluble in 0.1N NaOH(aq) and DMSO C21H30N2O5 MW: 390.47	5	online
	25	online

Biological activity
Neurotensin (NT) and dopamine (DA) receptor antagonist; dipeptide neuroleptic of potential efficacy in relieving positive and negative symptoms of schizophrenia

Dimaprit dihydrochloride

Axon 1324



	mg	Price
[23256-33-9] Purity: 98%	10	online
No solubility data C6H15N3S.2HCl MW: 234.19	50	online

Biological activity
Standard histamine H2 receptor agonist

DIM-C-pPhCl

Axon 2575

See C-DIM12 Page 305

DIM-C-pPhOCH3

Axon 2828

See C-DIM5 Page 305

DIM-C-pPhOH

Axon 2827

See C-DIM8 Page 305

Dimebolin hydrochloride

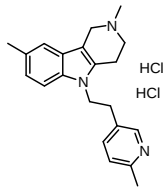
Axon 1445

See Dimebon Page 364

Dimebon

Axon 1445

Dimebolin hydrochloride



	mg	Price
[97657-92-6] Purity: 99%	5	online
Soluble in water C21H25N3.2HCl MW: 392.37	25	online

Biological activity
An antihistamine drug; recent focus on it as potential neuroprotectant and nootropic, hence an Alzheimer's treatment; multiple mechanisms of action, including inhibiting L-type calcium channels,blocking the action of neurotoxic beta-amyloid proteins; and modulating the action of AMPA and NMDA glutamate receptors etc

Dimethoxy-2-aminotetraline hydrobromide, 6,7-

Axon 1043

See *Aminotetraline hydrobromide, 6,7-Dimethoxy-2-* Page 204

Dimethoxy-2-aminotetraline hydrochloride, 5,6-

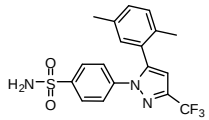
Axon 1042

See *Aminotetraline hydrochloride, 5,6-Dimethoxy-2-* Page 208

Dimethylcelecoxib, 2,5-

Axon 2496

DMC



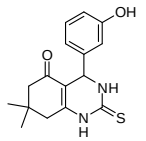
	mg	Price
[457639-26-8] Purity: 100%	10	online
Soluble in DMSO C18H16F3N3O2S MW: 395.40	50	online

Biological activity
Celecoxib analog that lacks COX-2 inhibitory activity but exhibits anti-tumor properties; DMC reduced growth and initiated apoptotic cell death in several MM cell lines. Mechanistically, DMC down-regulates critical components of the cell-cycle machinery (cyclins A and B); blocks the activity of important mitogenic and survival pathways (MEK, NF-κB, STAT3, survivin); and leads to increased caspase activity. Moreover, DMC quite potently mimics the ability of celecoxib to stimulate the endoplasmic reticulum stress response (ESR) and subsequent cell death .

Dimethylenastron

Axon 2439

Eg5 inhibitor III

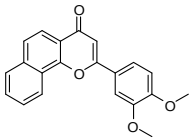


	mg	Price
[863774-58-7] Purity: 98% Racemate Soluble in DMSO C16H18N2O2S MW: 302.39	10	online
	50	online

Biological activity
Specific potent and cell-permeable inhibitor of the mitotic motor Eg5 (a.k.a. kinesin-5 or KSP; IC50 value 200 nM). Dimethylenastron proved to be >100-times more potent than monastrol, both in vitro and with arresting mitosis of cultured cells. Capable of halting cell cycle progression in mitosis and of inducing apoptosis. Dimethylenastron activates the PI3K/Akt pathway, which in turn causes transcriptional up-regulation of Hsp70.

DiMNF

Axon 1935



mg	Price
10	online
50	online

[14756-24-2]
Purity: 99%

Soluble in DMSO
C21H16O4 MW: 332.35

Biological activity
Selective aryl hydrocarbon receptor (AHR) modulator (SAhRM)

Dinaciclib

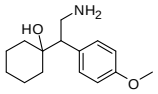
Axon 1776

See SCH 727965
Page 705

Dinorvenlafaxine

Axon 1726

N,N-Didesmethyl Venlafaxine; Venlafaxine Impurity C



mg	Price
5	online
25	online

[93413-77-5]
Purity: 100%

Soluble in DMSO
C15H23NO2 MW: 249.35

Biological activity
Metabolite of Venlafaxine (Axon 1727), a serotonin-norepinephrine reuptake inhibitor (SNRI)

Disufenton sodium

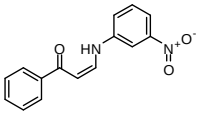
Axon 1752

See NXY 059
Page 598

DJ001

Axon 3018

UCLA 5483071



mg	Price
10	online
50	online

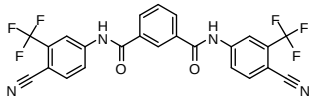
[2161305-12-8]
Purity: 99%

Soluble in DMSO
C15H12N2O3 MW: 268.27

Biological activity
DJ001 is a selective, non-competitive, allosteric inhibitor of PTPσ with an IC50 value of 1.54 µM. DJ001 promotes the regeneration of murine and human HSCs capable of long-term hematopoietic reconstitution.

DJ-V-159

Axon 2942



mg	Price
10	online
50	Online

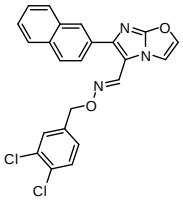
[2253744-53-3]
Purity: 99%

Soluble in DMSO
C24H12F6N4O2 MW: 502.37

Biological activity
DJ-V-159 is a GPRC6A agonist which selectively activates GPRC6A leading to stimulation of insulin secretion in vitro and lowering of serum glucose in mice.

DL5050

Axon 3021



mg	Price
5	online
25	online

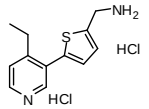
[2259710-64-8]
Purity: 99%

Soluble in DMSO
C23H15Cl2N3O2 MW: 436.29

Biological activity
DL5050 is potent and highly selective human constitutive androstane receptor (hCAR) agonist with an EC50 value of 0.37 µM.

DLCI-1 Recent Addition

Axon 3190



mg	Price
5	online
25	online

[2244569-15-9]
Purity: 98%

Soluble in water and DMSO
C12H14N2S.2HCl MW: 291.24

Biological activity
DLCI-1 is a potent and selective inhibitor of cytochrome P450 2A6 (CYP2A6) with an IC50 value of 0.017 µM. DLCI-1 decreases nicotine self-administration in mice.

DM 3189

Axon 1509

See LDN 193189
Page 504

DMB

Axon 1907

See *GLP-1R agonist DMB*
Page 420

DMC

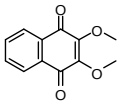
Axon 2496

See Dimethylcelecoxib, 2,5-
Page 364

DMNQ

Axon 3011

2,3-Dimethoxy-1,4-naphthoquinone; NSC 69355



mg	Price
10	online
50	online

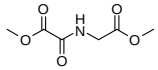
[6956-96-3]
Purity: 99%

Soluble in DMSO
C12H10O4 MW: 218.21

Biological activity
Redox cycling naphthoquinone.

DMOG

Axon 1977



mg	Price
10	online
50	online

[89464-63-1]
Purity: 99%

Soluble in water and DMSO
C6H9NO5 MW: 175.14

Biological activity
Cell-permeable HIF prolyl hydroxylase (PHD) inhibitor that enhances HIF-1α and -2α, vascular endothelial growth factor (VEGF), and platelet-endothelial cell adhesion molecule 1 expression in vitro. Moreover, DMOG combined with butyrate synergistically improved osteoblast differentiation and pro-angiogenic responses. DMOG is also known to delay neuronal cell death caused by trophic factor deprivation, and to ameliorate vasorelaxation after cold isch

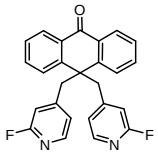
DMP-266

Axon 3125

See Efavirenz Page 379

DMP 543

Axon 1322



mg	Price
10	online
50	online

[160588-45-4]
Purity: 99%

Soluble in DMSO
C26H18F2N2O MW: 412.43

Biological activity
Neurotransmitter release enhancer, K+ channel blocker and acetylcholine release stimulator; potential AD therapeutic

DMXB

Axon 2860

See GTS 21 dihydrochloride Page 439

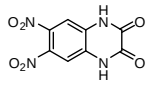
DMXB-A

Axon 2860

See GTS 21 dihydrochloride Page 439

DNQX

Axon 1201



mg	Price
10	online
50	online

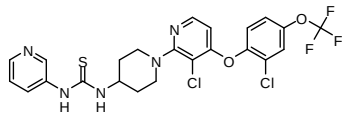
[2379-57-9]
Purity: 99%

No solubility data
C8H4N4O6 MW: 252.14

Biological activity
AMPA/Kainate antagonist

DO264

Axon 2982



mg	Price
5	online
25	online

[2301866-59-9]
Purity: 99%

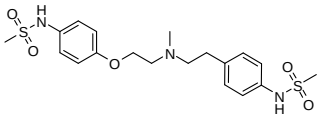
Soluble in DMSO
C23H20Cl2F3N5O2S MW: 558.40

Biological activity
DO264 is a potent, selective, and in vivo active ABHD12 inhibitor with an IC50 value of 11 nM. DO264 augments inflammatory cytokine production from human THP-1 macrophage cells.

Dofetilide

Axon 2103

UK 68798



mg	Price
10	online
50	online

[115256-11-6]
Purity: 99%

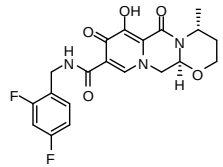
Soluble in 0.1N HCl(aq) and DMSO
C19H27N3O5S2 MW: 441.56

Biological activity
Potent and selective potassium channel blocker, specific on subunit Kv11.1 (hERG) channel; selectively inhibits the rapid delayed-rectifier K+ current (IKr); a class III antiarrhythmic
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Dolutegravir

Axon 2855

GSK 1349572; Soltegravir; Tivicay



mg	Price
5	online
25	online

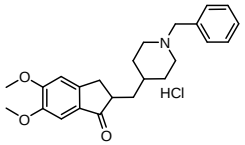
[1051375-16-6]
Purity: 99%

Soluble in DMSO
C20H19F2N3O5 MW: 419.38

Biological activity
GSK 1349572 is an HIV integrase inhibitor with potent in vitro anti-HIV activity (IC50 value of 0.51 nM), an in vitro resistance profile different from those of other integrase inhibitors, and favorable preclinical safety and pharmacokinetics.

Donepezil hydrochloride

Axon 1438



mg	Price
10	online
50	online

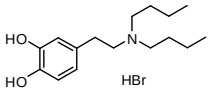
[120011-70-3]
Purity: 99%

Soluble in water and DMSO
C24H29NO3.HCl MW: 415.95

Biological activity
A centrally acting reversible acetylcholinesterase (AChE) inhibitor, with 100% oral bioavailability and easily crossing the blood-brain barrier; therapeutic agent in the treatment of Alzheimer's disease

Dopamine hydrobromide, N,N-dibutyl

Axon 1061



mg	Price
10	online
50	online

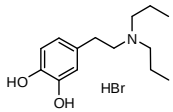
[65273-67-8]
Purity: 99%

Soluble in water and DMSO
C16H27NO2.HBr MW: 346.30

Biological activity
Dopamine receptor agonist

Dopamine hydrobromide, N,N-Dipropyl

Axon 1001



mg	Price
10	online
50	online

[65273-66-7]
Purity: 98%

Soluble in 0.1N HCl(aq)
C14H23NO2.HBr MW: 318.25

Biological activity
Dopamine receptor agonist

Dopazinol

Axon 1071

See PHNO hydrochloride, (+)- Page 636

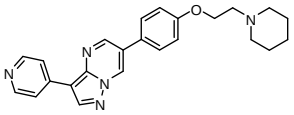
Doramapimod

Axon 1358

See BIRB 796 Page 275

Dorsomorphin

Axon 1708



mg	Price
2	online
5	online

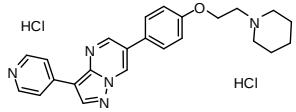
[866405-64-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C24H25N5O MW: 399.49

Biological activity
Selective inhibitor of BMP signaling; functions through inhibition of BMP type I receptors ALK2, ALK3 and ALK6 and thus blocks BMP-mediated SMAD1/5/8 phosphorylation; Also a AMPK inhibitor (Ki= 109 nM)
***Note**: The water-soluble form, Dorsomorphin dihydrochloride (Axon 2150) is also available*

Dorsomorphin dihydrochloride

Axon 2150



mg	Price
2	online
5	online

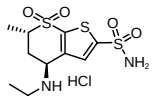
[1219168-18-9]
Purity: 99%

Soluble in water and DMSO
C24H25N5O.2HCl MW: 472.41

Biological activity
Selective inhibitor of BMP signaling; functions through inhibition of BMP type I receptors ALK2, ALK3 and ALK6 and thus blocks BMP-mediated SMAD1/5/8 phosphorylation; Also a AMPK inhibitor (Ki= 109 nM)
***Note**: Dorsomorphin free base (Axon 1708) is also available*

Dorzolamide hydrochloride

Axon 1517



mg	Price
10	online
50	online

[130693-82-2]
Purity: 99%

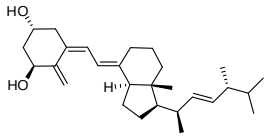
Soluble in water and DMSO
C10H16N2O4S3.HCl MW: 360.90

Biological activity
A carbonic anhydrase inhibitor; antiglaucoma agent, used to lower increased intraocular pressure in open-angle glaucoma and ocular hypertension

Doxercalciferol

Axon 1746

Hectorol; TSA 840



mg	Price
2	online
5	online

[54573-75-0]
Purity: 98%
optically pure
Soluble in DMSO
C28H44O2 MW: 412.65

Biological activity
A vitamin D2 analog having agonistic activities at vitamin D receptor (VDR)

DPAT, (R)-5-OH-

Axon 1007

See Hydroxy-DPAT hydrobromide, (R)-5- Page 456

DPAT, (R)-6-OH-

Axon 1010

See Hydroxy-DPAT hydrobromide, (R)-6- Page 456

DPAT, (R)-7-OH-

Axon 1013

See Hydroxy-DPAT hydrobromide, (R)-(+)-7- Page 455

DPAT, (S)-(-)-8-OH-

Axon 1017

See Hydroxy-DPAT hydrobromide, (S)-(-)-8- Page 457

DPAT, (S)-5-OH-

Axon 1008

See Hydroxy-DPAT hydrobromide, (S)-5-

Page 457

DPAT, (S)-6-OH-

Axon 1011

See Hydroxy-DPAT hydrobromide, (S)-6-

Page 457

DPAT, (S)-7-OH-

Axon 1014

See Hydroxy-DPAT hydrobromide, (S)-(-)-7-

Page 457

DPAT, 5,6-Dihydroxy-

Axon 1004

See TL 102 hydrobromide

Page 768

DPAT, 5-OH-

Axon 1006

See Hydroxy-DPAT hydrobromide, 5-

Page 458

DPAT, 6,7-Dihydroxy-

Axon 1005

See TL 232 hydrobromide

Page 769

DPAT, 6-Chloro-

Axon 1068

See Chloro-DPAT hydrochloride, 6-

Page 314

DPAT, 6-OH-

Axon 1009

See Hydroxy-DPAT hydrobromide, 6-

Page 458

DPAT, 7-OH-

Axon 1012

See Hydroxy-DPAT hydrobromide, 7-

Page 458

DPAT, 8-OH-

Axon 1015

See Hydroxy-DPAT hydrobromide, 8-

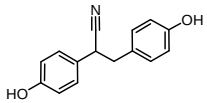
Page 458

DPN

Axon 1232

[1428-67-7]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C15H13NO2 MW: 239.27



mg	Price
10	online
50	online

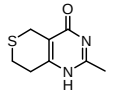
Biological activity
Estrogen ERβ agonist

DR 2313

Axon 1268

[284028-90-6]
Purity: 99%

Soluble in water and DMSO
C8H10N2OS MW: 182.24



mg	Price
10	online
50	online

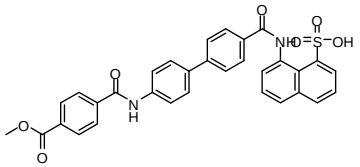
Biological activity
Potent PARP inhibitor; with neuroprotective effects, potentially more useful in treating acute stroke than a free radical scavenger

DRI-C21045

Axon 2887

[2101765-81-3]
Purity: 98%

Soluble in DMSO
C32H24N2O7S MW: 581



mg	Price
10	online
50	online

Biological activity
DRI-C21045 is an inhibitor of the CD40-CD40L costimulatory protein-protein interaction with an IC50 value of 0.17 µM. Moreover, the activity of DRI-C21045 (IC50) in the low micromolar range has been confirmed in cell assays including inhibition of CD40L-induced activation in NF-κB sensor cells, THP-1 myeloid cells, and primary human B cells as well as in murine allogeneic skin transplant and alloantigen-induced T cell expansion in draining lymph node experiments.

Dridol

Axon 1554

See Droperidol

Page 372

Droleptan

Axon 1554

See Droperidol

Page 372

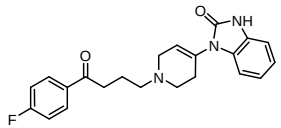
Droperidol

Axon 1554

R 4749; Droleptan; Dridol

[548-73-2]
Purity: 99%

Soluble in DMSO
C22H22FN3O2 MW: 379.43

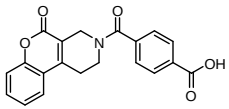


mg	Price
10	online
100	online
500	online

Biological activity
Dopamine D2 receptor antagonist and α1 adrenoceptor antagonist; an antidopaminergic drug used as an antiemetic and antipsychotic; also often used for neuroleptanalgesic anesthesia and sedation in intensive-care treatment

DS44960156

Axon 3020

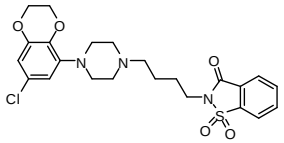


	mg	Price
[2361327-08-2]		
Purity: 99%	5	online
Soluble in 0.1N NaOH(aq) and DMSO	25	online
C20H15NO5 MW: 349.34		

Biological activity
DS44960156 is a MTHFD2 inhibitor with an IC50 value of 1.6 μM and >18 fold selectivity over MTHFD1.

DU125530

Axon 2750



	mg	Price
[161611-99-0]		
Purity: 99%	5	online
Soluble in DMSO	25	online
C23H26ClN3O5S MW: 491.99		

Biological activity
DU125530 is a selective 5-HT1A receptor antagonist (Ki value of 0.7 nM). DU-125530 showed equal (low nM) potency to displace agonist and antagonist binding to pre- and post-synaptic 5-HT1A receptors in rat and human brain.

DU 127090

Axon 1508

See Bifeprunox mesylate
Page 273

DU 28853

Axon 1142

See Eltoprazine hydrochloride
Page 382

DU-176b

Axon 3116

See Edoxaban tosylate
Page 378

DUP 89

Axon 3102

See Losartan
Page 514

Durezol

Axon 1428

See Difluprednate
Page 361

DVS 233 succinate

Axon 2116

See Desmethylvenlafaxine succinate, O-
Page 357

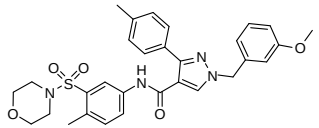
DWAY

Axon 1087

See WAY 100635 trihydrochloride, desmethyl-
Page 808

DY 268

Axon 2561



	mg	Price
[1609564-75-1]		
Purity: 100%	10	online
Soluble in DMSO	50	online
C30H32N4O5S MW: 560.66		

Biological activity
Highly potent FXR antagonist with a promising in vitro profile (IC50 values 7.5 nM and 468.5 nM in FXR binding assay and cell-based FXR antagonistic assay, respectively). DY 268 shows no FXR agonistic activity nor cytotoxicity, making it an excellent chemical tool to elucidate the biological function of FXR.

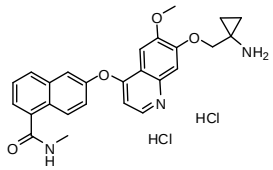
E 3810 dihydrochloride

Axon 1942

AL 3810 dihydrochloride

[N.A.]
Purity: 99%

Soluble in water and DMSO
C26H25N3O4.2HCl MW: 516.42



mg	Price
2	online
5	online

Biological activity
First-in-class dual VEGFR/FGFR tyrosine kinase inhibitor; E-3810 potently and selectively inhibited VEGFR-1, -2, and -3 and FGFR-1 and -2 kinases in the nanomolar range; a potent antiangiogenic small molecule with a favorable pharmacokinetic profile and broad spectrum antitumor activity

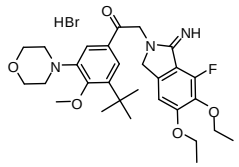
E 5555 hydrobromide

Axon 2030

Atopaxar hydrobromide

[474550-69-1]
Purity: 99%

Soluble in DMSO
C29H38FN3O5.HBr MW: 608.54



mg	Price
2	online
5	online

Biological activity
Potent and orally active thrombin receptor (or protease-activated receptor 1, PAR1) antagonist (IC50: 19 nM); E5555 showed potent inhibitory effects on human platelet aggregation induced by thrombin and TRAP with IC50 values of 64 and 31nM, respectively

E 7050

Axon 1959

See Golvatinib

Page 427

E7080

Axon 3165

See Lenvatinib Recent Addition

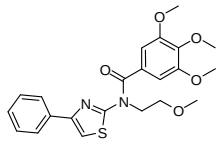
Page 506

Eact

Axon 2576

[461000-66-8]
Purity: 100%

Soluble in DMSO
C22H24N2O5S MW: 428.50

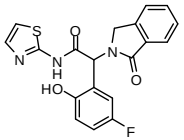


mg	Price
5	online
25	online

Biological activity
Strong activator of TMEM16A (ANO1; calcium activated chloride channel;CaCC) without elevating cytoplasmic Ca2+, producing outwardly rectifying currents (EC50 value 3 µM). Eact increases secretion by submucosal glands, as well as by airway surface cells exposed to a proinflammatory milieu; may be useful for treatment of cystic fibrosis (CF), dry mouth, and dry eye syndromes, and motility disorders of the gastrointestinal tr

EAI045

Axon 2680



mg	Price
5	online
25	online

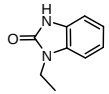
[1942114-09-1]
Purity: 99%

Soluble in DMSO
C19H14FN3O3S MW: 383.40

Biological activity
EAI045 is an allosteric inhibitor that targets drug-resistant L858R/T790M-mutant EGFR tyrosine kinase (IC50 value of 3 nM), and spares the wild-type receptor (~1000-fold selectivity versus wild-type EGFR at 1 mM ATP).

EBIO, 1-

Axon 1313



mg	Price
10	online
50	online

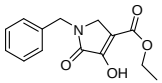
[10045-45-1]
Purity: 99%

Soluble in DMSO and Ethanol
C9H10N2O MW: 162.19

Biological activity
Ca2+-activated K+-channel opener

EBPC

Axon 1204



mg	Price
10	online
50	online

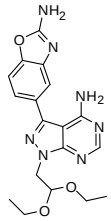
[4450-98-0]
Purity: 99%

Soluble in DMSO and Ethanol
C14H15NO4 MW: 261.27

Biological activity
Potent aldose reductase inhibitor

eCF309

Axon 2630



mg	Price
2	online

[2001571-40-8]
Purity: 98%

Moderately soluble in DMSO
C18H21N7O3 MW: 383.40

Biological activity
*Potent inhibitor of mTOR signalling (IC50 value 10 - 15 nM in vitro and in vivo) with very high selectivity over other kinases, including PI3Ks. The selectivity profile of eCF309 is as good as or even better than that of any other selective mTOR inhibitor reported to date, making it a highly valuable probe for chemical biology and biomedicine. Produced by and sold in collaboration with University of Edinburgh * Sold in collaboration with University of Edinburgh*

EDHS-206

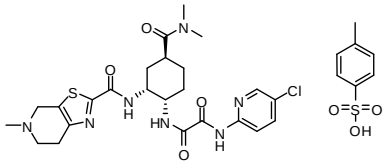
Axon 3282

See Takinib Recent Addition Page 751

Edoxaban tosylate

Axon 3116

DU-176b



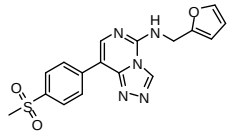
mg	Price
10	online
50	online

[480449-71-6]
Purity: 100%
Optically pure
Soluble in DMSO
C24H30ClN7O4S.C7H8O3S MW: 720.26

Biological activity
Edoxaban tosylate is a potent, selective and orally active factor Xa (FXa) inhibitor with Ki values of 0.561 nM for free FXa, 2.98 nM for prothrombinase, and exhibited >10000-fold selectivity for FXa. Antithrombotic agent.

EED226

Axon 2701



mg	Price
5	online
25	online

[2083627-02-3]
Purity: 99%

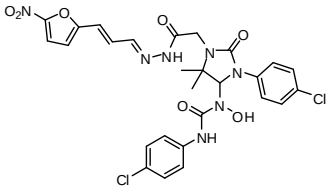
Soluble in DMSO
C17H15N5O3S MW: 369.40

Biological activity
EED226 is a first-in-class, potent, selective and orally bioavailable PRC2 inhibitor (IC50 values of 23.4 nM and 53.5 nM with H3K27me0 peptide and the mononucleosome as the substrates, respectively) that directly binds to the H3K27me3 binding pocket of EED. EED226 effectively induced tumor regression in a mouse xenograft model.

Eeyarestatin I

Axon 1798

ES1; ERAD inhibitor 1; p97 inhibitor 1



mg	Price
2	online
5	online

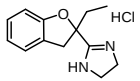
[412960-54-4]
Purity: 98%

Soluble in DMSO
C27H25Cl2N7O7 MW: 630.44

Biological activity
Potent inhibitor of endoplasmic reticulum associated protein degradation (ERAD). Specifically targets the p97-associated deubiquinating process (PAD) and inhibits ataxin-3 (atx3)-dependent deubiquitination

Efaroxan hydrochloride

Axon 1155



[89197-00-2]
Purity: 99%

Soluble in water and DMSO
C13H16N2O.HCl MW: 252.74

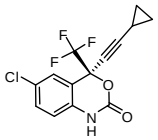
mg	Price
10	online
50	online

Biological activity
Selective α2-adrenoceptor antagonist

Efavirenz

Axon 3125

DMP-266; L-743,726



[154598-52-4]
Purity: 99%
Optically pure
Soluble in DMSO
C14H9ClF3NO2 MW: 315.67

mg	Price
10	online
50	online

Biological activity
Efavirenz is a highly potent, orally bioavailable nonnucleoside inhibitor of the human immunodeficiency virus type 1 (HIV-1) reverse transcriptase (RT). Moreover, Efavirenz inhibited wild-type HIV-1 RT with a Ki value of 2.93 nM, and exhibited a 95% inhibitory concentration of 1.5 nM for the inhibition of HIV-1 replicative spread in cell culture.

EFdA

Axon 3191

See Islatravir
Page 471

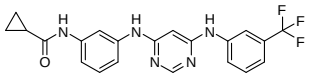
Eg5 inhibitor III

Axon 2439

See Dimethylenastron
Page 364

EGFR Inhibitor 324674

Axon 1760



[879127-07-8]
Purity: 99%

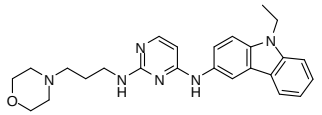
Soluble in DMSO
C21H18F3N5O MW: 413.40

mg	Price
5	online
25	online

Biological activity
A potent, cell permeable, irreversible and highly selective EGFR tyrosine kinase inhibitor with IC50 value in the nM range

EHop 016

Axon 2351



[1380432-32-5]
Purity: 99%

Soluble in DMSO
C25H30N6O MW: 430.55

mg	Price
10	online
50	online

Biological activity
EHop 016 is a Rac GTPase inhibitor (IC50 value 1.1 µM) specific for Rac1 and Rac3 at concentrations of = 5 µM, and inhibits the interaction of Vav2 with Rac1 at physiologically relevant concentrations. Additionally, EHop-016 inhibits the activation of the Rac downstream effector p21-activated kinase (PAK), extension of motile actin-based structures, and cell migration. EHop-016 is ~100 times more potent than NSC 23766 (Axon 1578) and 10–50 times more potent than other currently available Rac inhibitors.

EIDD-2801

Axon 3188

See MK-4482 **Recent Addition**
Page 544

EKB 569

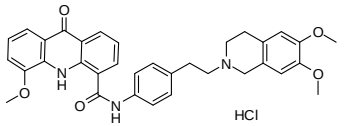
Axon 1665

See Pelitinib
Page 622

Elacridar hydrochloride

Axon 1896

GF 120918A



[143851-98-3]
Purity: 100%

Poorly soluble in DMSO
C34H33N3O5.HCl MW: 600.10

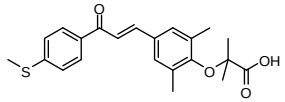
mg	Price
10	online
50	online

Biological activity
P-glycoprotein (P-gp) inhibitor; a third generation ABCB1 modulator, preferentially modulating p-gp in brain capillaries; also an inhibitor of breast cancer resistance protein (BCRP)–mediated drug transport

Elafibranor

Axon 2727

GFT505



[824932-88-9]
Purity: 98%

Soluble in 0.1N NaOH(aq) and DMSO
C22H24O4S MW: 384.49

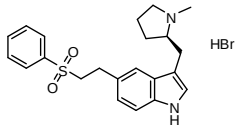
mg	Price
10	online
50	online

Biological activity
The dual PPARα/δ agonist Elafibrinor (GFT505) (EC50 values of 45 nM and 175 nM for PPARα and PPARδ, respectively) is a liver-targeted insulin-sensitizer that is a drug candidate for the treatment of type 2 diabetes, nonalcoholic fatty liver disease (NAFLD) and nonalcoholic steatohepatitis (NAS). In animals, its protective effects are mediated by both PPAR-α-dependent and -independent mechanisms.

Eletriptan hydrobromide

Axon 2050

UK 116044-04



mg	Price
10	online
50	online

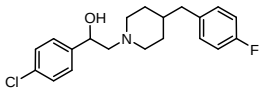
[177834-92-3]
Purity: 99%
Optically pure
Soluble in water and DMSO
C22H26N2O2S.HBr MW: 463.43

Biological activity
Potent and selective 5-HT1B/1D receptor agonist; second generation anti-migraine drug
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Eliprodil

Axon 1246

SL 820715



mg	Price
10	online
50	online

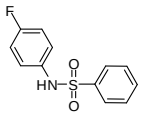
[119431-25-3]
Purity: 99%
Soluble in DMSO
C20H23ClFNO MW: 347.85

Biological activity
Non-competitive NMDA antagonist, selective for NR2B type; Neuroprotective agent

ELN 484228

Axon 2382

NSC 164389



mg	Price
10	online
50	online

[312-63-0]
Purity: 99%
Soluble in DMSO
C12H10FNO2S MW: 251.28

Biological activity
α-Synuclein modulator with substantial biological activity in cellular models of α-synuclein-mediated dysfunction such as Parkinson's Disease. ELN484228 reduced synaptic levels of αSyn in neuronal cultures from both wild type rats and from transgenic mice overexpressing αSyn by not more than two-fold, and ELN 484228 reversed αSyn-induced impairment of phagocytosis and protects dopaminergic neurons against the toxic effects of αSyn A53T over-expression.

Elocalcitol

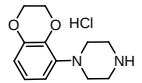
Axon 1676

See *BXL 628*
Page 292

Eltoprazine hydrochloride

Axon 1142

DU 28853



mg	Price
10	online
50	online

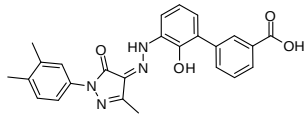
[98206-09-8]
Purity: 98%
Soluble in water and DMSO
C12H16N2O2.HCl MW: 256.73

Biological activity
5-HT1A/1B agonist and 5-HT2C receptor antagonist

Eltrombopag

Axon 1872

SB 497115



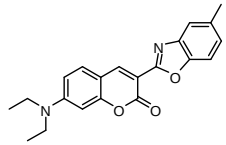
mg	Price
5	online
10	online

[496775-61-2]
Purity: 98%
Soluble in 0.1N NaOH(aq) and DMSO
C25H22N4O4 MW: 442.47

Biological activity
First-in-class, oral, non-peptide thrombopoietin receptor (TpoR or MPL) agonist, which is developed as a treatment for thrombocytopenia of various etiologies. Eltrombopag activates TpoR signaling pathway and induces proliferation and differentiation in mammalian cells and cell lines

EMI48 Recent Addition

Axon 3192



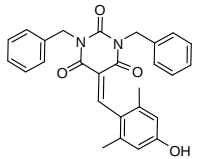
mg	Price
5	online
25	online

[34564-13-1]
Purity: 99%
Soluble in DMSO
C21H20N2O3 MW: 348.40

Biological activity
EMI48 is an inhibitor of EGFR triple mutants. Moreover, EMI48 strongly inhibited total EGFR levels, activation and downstream signaling with effects observed at a 5 μM concentration. EMI48 did not affect interphase microtubules, or have an effect on spindle formation in PC9 EGFR ex19del/T790M/C797S cells.

EML 425

Axon 2568



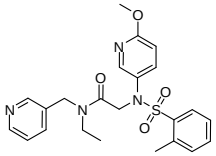
mg	Price
5	online
25	online

[1675821-32-5]
Purity: 99%
Soluble in DMSO
C27H24N2O4 MW: 440.49

Biological activity
Potent, selective and cell permeable reversible dual inhibitor of CBP and p300 (IC50 values 1.1 μM and 2.9 μM, respectively, and practically inactive against the enzymes GCN5 and PCAF), noncompetitive versus both acetyl-CoA and a histone H3 peptide. EML425 induced a marked and time-dependent reduction in the acetylation of lysine H4K5 and H3K9, a marked arrest in the G0/G1 phase and a significant increase in the hypodiploid nuclei percentage in human leukemia U937 cells. EML425's potency is comparable to that of C646 (Axon 1781)

EMPA

Axon 2012



mg	Price
10	online
50	online

[680590-49-2]
Purity: 99%

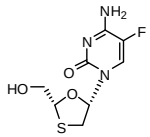
Soluble in DMSO and EtOH
C23H26N4O4S MW: 454.54

Biological activity
Highly potent and selective orexin type 2 (OX2) receptor antagonist, with Ki values of >900 and 1 nM for OX1 and OX2 receptors respectively

Emtricitabine Recent Addition

Axon 3305

(-)-FTC



mg	Price
50	online

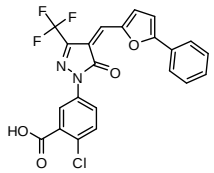
[143491-57-0]
Purity: 100%
Optically pure
Soluble in water and DMSO
C8H10FN3O3S MW: 247.25

Biological activity
Emtricitabine is a potent, orally bioavailable nucleoside reverse transcriptase inhibitor (NRTI) with an apparent IC50 value of 10 nM.

EN460

Axon 2737

ERO1 Inhibitor II



mg	Price
10	online
50	online

[496807-64-8]
Purity: 99%

Soluble in DMSO
C22H12ClF3N2O4 MW: 460.79

Biological activity
EN460, an inhibitor of endoplasmic reticulum oxidation 1 (ERO1), interacts selectively with the reduced, active form of ERO1α and prevents its reoxidation (IC50 value of 1.9 μM). Despite rapid and promiscuous reactivity with thiolates, EN460 exhibits selectivity for ERO1.

EN 1733A

Axon 1101

See Molindone hydrochloride
Page 556

Enasidenib

Axon 2745

See AG-221
Page 190

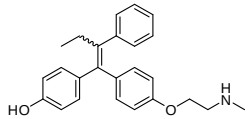
endo-IWR-1

Axon 2510

See IWR-1-endo
Page 474

Endoxifen

Axon 2190



mg	Price
10	online
50	online

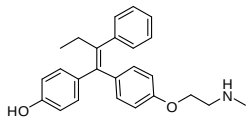
[110025-28-0]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C25H27NO2 MW: 373.49

Biological activity
Metabolite of Tamoxifen and a selective estrogen receptor modulator (SERM); Potently inhibits the growth of estrogen-stimulated BT474 cells (IC50: 54 nM). Approximately 100-fold more potent as an antagonist of the ERα than the parent drug. Drug for the treatment of estrogen receptor (ER) positive breast cancer.

Endoxifen, (Z)-

Axon 2221



mg	Price
5	online
25	online

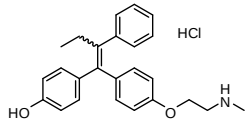
[112093-28-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C25H27NO2 MW: 373.49

Biological activity
The more active (Z)-isomer of (E/Z)-Endoxifen (Axon 2190), an active metabolite of Tamoxifen and a selective estrogen receptor modulator (SERM; IC50 value 0.01–0.10 μM in estrogen-stimulated proliferation assay in MCF-7 cells); Potently inhibits the growth of estrogen-stimulated BT474 cells. Approximately 100-fold more potent as an antagonist of the ERα than the parent drug. Z-Endoxifen may provide a new and better treatment for women with estrogen receptor (ER) positive breast cancer.

Endoxifen hydrochloride

Axon 2707



mg	Price
10	online
50	online

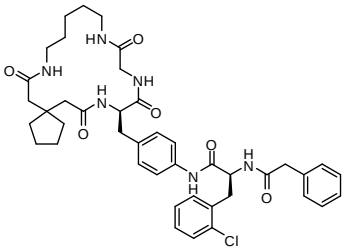
[1197194-41-4]
Purity: 99%

Soluble in water and DMSO
C25H27NO2.HCl MW: 409.95

Biological activity
Metabolite of Tamoxifen and a selective estrogen receptor modulator (SERM); Potently inhibits the growth of estrogen-stimulated BT474 cells (IC50: 54 nM). Approximately 100-fold more potent as an antagonist of the ERα than the parent drug. Drug for the treatment of estrogen receptor (ER) positive breast cancer.

Ensemble Compound 159

Axon 2800



mg	Price
1	online

[1449208-36-9]
Purity: 97%
Optically pure

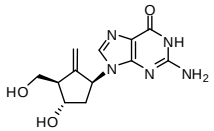
C42H51ClN6O6 MW: 771.34

Biological activity
Ensemble Compound 159 is a peptide inhibitor of IL-17A. Ensemble Compound 159 binds to IL-17A and/or inhibits formation of the IL-17A–IL-17RA complex through an ELISA assay, an HT29-GROα cell based functional assay, a rheumatoid arthritis synovial fibroblast (RASF) assay, and surface plasmon resonance (SPR, Kd < 100 nM) based biophysical binding assessment. Moreover, Ensemble Compound 159 was reported to have efficacy in vivo.

Entecavir Recent Addition

Axon 3239

BMS-200475



mg	Price
10	online
50	online

[142217-69-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C12H15N5O3 MW: 277.28

Biological activity
Entecavir, carbocyclic 2'-deoxyguanosine analogue, is a competitive inhibitor of HBV viral polymerase leading to interference with the elongation of viral chains.

Entinostat

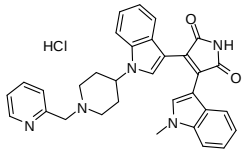
Axon 1803

See MS 275 Page 560

Enzastaurin

Axon 1682

LY 317615



mg	Price
10	online
50	online

[359017-79-1]
Purity: 99%

Soluble in DMSO
C32H29N5O2.HCl MW: 552.07

Biological activity
Selective protein kinase C beta (PKCβ) inhibitor; Enzastaurin inhibits PKCβ, PKCα, PKCγ and PKCε with IC50's of 6, 39, 83 and 110 nM, respectively

EOS200271

Axon 3325

See PF-06840003 Recent Addition Page 633

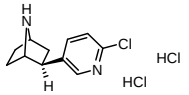
Epacadostat

Axon 1733

See INCB 024360 Page 468

Epibatidine dihydrochloride, (-)-

Axon 1078



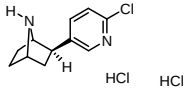
mg	Price
2	online
5	online

[152378-30-8]
Purity: 99%
98% ee
Soluble in water and DMSO
C11H13ClN2.2HCl MW: 281.61

Biological activity
Potent Nicotinic Agonist, Analgesic, Non-Narcotic; (-)-enantiomer of (±)-Epibatidine

Epibatidine dihydrochloride, (+)-

Axon 1077



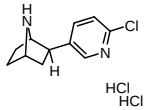
mg	Price
2	online
5	online

[166374-43-2]
Purity: 99%
99% ee
Soluble in water and DMSO
C11H13ClN2.2HCl MW: 281.61

Biological activity
Potent Nicotinic Agonist, Analgesic, Non-Narcotic; (+)-enantiomer of (±)-Epibatidine

Epibatidine dihydrochloride, (±)-

Axon 1076



mg	Price
5	online
25	online

[162885-01-0]
Purity: 99%

Soluble in water and DMSO
C11H13ClN2.2HCl MW: 281.61

Biological activity
Potent Nicotinic Agonist, Analgesic, Non-Narcotic

Epidaza

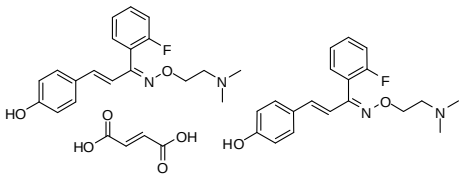
Axon 2893

See Tucidinostat Page 776

Eplivanserin

Axon 1439

SR 46349B



[130580-02-8]
Purity: 99%

Soluble in DMSO
C38H42F2N4O4.C4H4O4
MW: 772.83

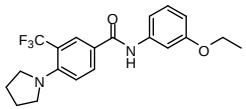
mg	Price
5	online
10	online

Biological activity
Potent, selective and p.o. active 5-HT2A antagonist; functionally also an inverse agonist of 5-HT2A receptor; no affinity to dopamine, histamine and adrenergic receptors; therapeutic agent for the treatment of insomnia

EPPTB

Axon 2419

RO 5212773



[1110781-88-8]
Purity: 100%

Soluble in DMSO
C20H21F3N2O2 MW: 378.39

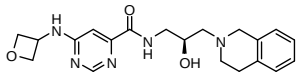
mg	Price
10	online
50	online

Biological activity
The first, highly potent and selective full antagonist of the trace amine-associated receptor 1 (TAAR1; IC50 value 28 nM at mouse TAAR1), A useful pharmacological tool for in vitro and in vivo investigations.to study the role of TAAR1 in psychiatric and neurodegenerative disorders. EPPTB blocks the TAAR1-mediated activation of an inwardly rectifying K+ channels.

EPZ 015666

Axon 2831

GSK 3235025



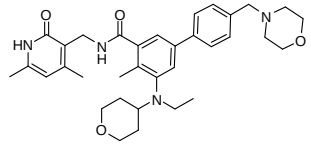
[1616391-65-1]
Purity: 99%
99
Soluble in 0.1N HCl(aq) and DMSO
C20H25N5O3 MW: 383.44

mg	Price
10	online
50	online

Biological activity
EPZ 015666 (GSK 3235025) is a potent, selective and orally available inhibitor of PRMT5 (IC50 value of 22 nM). EPZ 015666 exhibits antiproliferative effects in both in vitro and in vivo models of MCL.

EPZ 6438

Axon 2227



[1403254-99-8]
Purity: 99%

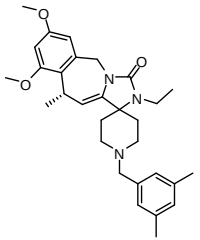
Soluble in 0.1N HCl(aq) and DMSO
C34H44N4O4 MW: 572.74

mg	Price
5	online
25	online

Biological activity
Potent, selective, and orally bioavailable inhibitor of EZH2 enzymatic activity (IC50 values 2-38 nM in EZH2 assays). Induces apoptosis and differentiation specifically in SMARCB1-deleted MRT cells, and dose-dependently leads to regression of malignant rhabdoid tumors (MRTs) with correlative diminution of intratumoral trimethylation levels of H3K27, and prevention of tumor regrowth after dosing cessation.

ER-819762

Axon 2788



[1155773-15-1]
Purity: 99%
>98% ee
Soluble in DMSO
C30H39N3O3 MW: 489.65

mg	Price
5	online

Biological activity
ER-819762 is a highly selective, and orally available antagonist of the prostaglandin EP4 receptor (IC50 value of 70 nM). Oral administration of ER-819762 to DBA/1 mice can effectively suppress disease in collagen-induced arthritis (CIA) or glucose-6-phosphate isomerase (GPI)-induced arthritis models. ER-819762 was also effective in treating chronic inflammatory pain in a rat model.

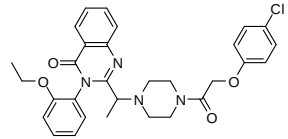
ERAD inhibitor 1

Axon 1798

See Eeyarestatin I Page 377

Erastin

Axon 1825



[571203-78-6]
Purity: 99%

Soluble in DMSO
C30H31ClN4O4 MW: 547.04

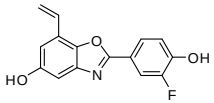
mg	Price
5	online
10	online

Biological activity
An anti-tumor agent with RAS-selective lethality. Erastin binds to mitochondrial voltage-dependent anion channels (VDAC) proteins, more specifically on VDAC2 and alters its gating;induce non-apoptotic cell death selectively in some tumour cells harbouring activating mutations in the RAS-RAF-MEK pathway

ERB 041

Axon 1898

Prinaberel



	mg	Price
[524684-52-4] Purity: 99%	10	online
Soluble in 0.1N NaOH(aq) and DMSO C15H10FNO3 MW: 271.24	50	online

Biological activity
Highly selective estrogen receptor beta (ERβ) agonist, with IC50 value of 5.4 nM for human ERβ which is >200-fold selective over Erα

Erlosamide

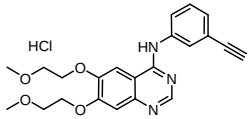
Axon 1444

See Lacosamide
Page 500

Erlotinib hydrochloride

Axon 1128

OSI 774



	mg	Price
[183319-69-9] Purity: 99%	10	online
Soluble in DMSO C22H23N3O4.HCl MW: 429.90	50	online

Biological activity
EGFR inhibitor; Erlotinib inhibits EGFR tyrosine kinase autophosphorylation by inhibition of the intracellular domain. Studies in cell lines and enzyme assays have both shown that erlotinib inhibitsEGFR at concentrations significantly lower than those needed to inhibit c-src and v-abl

Erlotinib, 6-O-Desmethyl-

Axon 1632

See OSI 420
Page 606

ERO1 Inhibitor II

Axon 2737

See EN460
Page 383

ES 1

Axon 1798

See Eeyarestatin I
Page 377

ES000835

Axon 2930

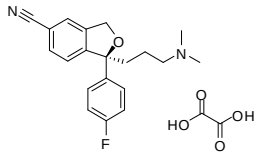
See Alofanib
Page 195

Escitalopram oxalate

Recent Addition

Axon 3315

Cipralex; (S)-(+)-Citalopram oxalate



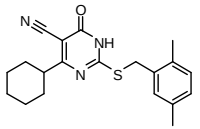
	mg	Price
[219861-08-2] Purity: 99% Optically pure Soluble in water and DMSO C20H21FN2O.C2H2O4 MW: 414.43	10	online
	50	online

Biological activity
Escitalopram oxalate is a selective serotonin reuptake inhibitor (SSRI). Escitalopram is the S-enantiomer of Citalopram (Axon 1320) and, moreover, is the therapeutically active portion of the parent compound and has a proven antidepressant efficacy.

ESI-08

Axon 2847

HJC-1-65

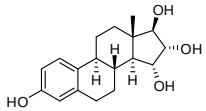


	mg	Price
[301177-43-5] Purity: 99%	10	online
Soluble in DMSO C20H23N3OS MW: 353.48	50	online

Biological activity
ESI-08 is a selective EPAC antagonist, which is capable of completely inhibiting both EPAC1 and EPAC2 activity (IC50 value of 8.4 μM for EPAC2) without inhibition of cAMP-mediated PKA activation.

Estetrol

Axon 1926



	mg	Price
[15183-37-6] Purity: 100% optically pure Soluble in DMSO C18H24O4 MW: 304.38	5	online
	25	online

Biological activity
Estetrol has a relatively moderate affinity for human estrogen α receptor (ERα) and estrogen β receptor (ERβ), with Ki values of 4.9 nmol/l and 19 nmol/l, respectively. Nevertheless, at a concentration of 10 μmol/l, Estetrol shows nearly no affinity for a wide range of >120 other receptors, among them the glucocorticoid, progesterone and testosterone receptors.An estrogen steroid and a metabolite of Estradiol

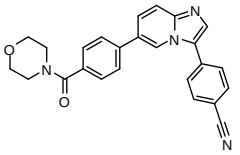
Estybon

Axon 2950

See Rigosertib sodium
Page 674

ETC-206 Recent Addition

Axon 3340



mg	Price
5	online
25	online

[1464151-33-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C25H20N4O2 MW: 408.45

Biological activity
ETC-206 is a potent, selective and orally available MNK1/2 inhibitor with IC50 values of 0.064 μM and 0.086 μM for MNK1 and MNK2, respectively. ETC-206 in combination with dasatinib (Axon 1392) prevents BC-CML LSC self-renewal in vitro and enhances dasatinib antitumor activity in vivo.

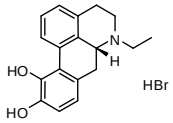
ETH 2120

Axon 2688

See Sodium ionophore III
Page 722

Ethylnorapomorphine hydrobromide, R(-)-N-

Axon 1162



mg	Price
10	online
50	online

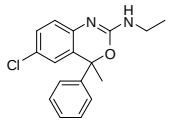
[20382-70-1]
Purity: 99%
>98% ee
No solubility data
C18H19NO2.HBr MW: 362.26

Biological activity
Dopamine D2 receptor agonist; more potent than R(-)-NPA (Axon 1161)

Etifoxine Recent Addition

Axon 3388

HOE36801



mg	Price
10	online
50	online

[21715-46-8]
Purity: 99%

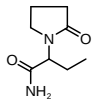
Soluble in DMSO
C17H17ClN2O MW: 300.78

Biological activity
Etifoxine is a PAM of GABAA receptors, a ligand of high-affinity 18-kDa translocator protein (TSPO), and a potent enhancer of neurosteroid synthesis. Anxiolytic and anticonvulsant drug.

Etiracetam

Axon 1109

UCB 6474



mg	Price
10	online
50	online

[33996-58-6]
Purity: 98%

No solubility data
C8H14N2O2 MW: 170.21

Biological activity
Acetylcholine agonist; a nootropic drug of the racetam family; Its more active S-enantiomer is Leveracetam (Axon 1110). in comparison with the opposite R-enantiomer, UCB L-060 (Axon 1111)

Etiracetam, R-(+)-

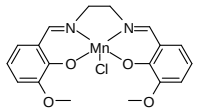
Axon 1111

See UCB-L 060
Page 782

EUK 134

Axon 2292

Salen-Mn



mg	Price
10	online
50	online

[81065-76-1]
Purity: 99%

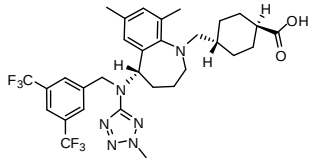
Soluble in 0.1N HCl(aq) and DMSO
C18H18ClMnN2O4 MW: 416.74

Biological activity
A salen manganese complex with superoxide dismutase (SOD) and catalase mimetic characteristics. EUK134 exhibits potent antioxidant activities, and inhibits the formation of β-amyloid and related amyloid fibril (IAPP). Useful pharmacological tool for the development of new compounds for the treatment of Alzheimer's and Parkinson's disease and type 2 diabetes.

Evacetrapib

Axon 2286

LY 2484595



mg	Price
5	online
25	online

[1186486-62-3]
Purity: 98%
Optically pure
Soluble in DMSO
C31H36F6N6O2 MW: 638.65

Biological activity
Potent, and selective inhibitor of cholesteryl ester transfer protein (CETP; IC 50 value 5.5 nM and 26 nM in human recombinant and plasme CETP assays, respectively) that elevates HDL cholesterol without inducing aldosterone or increasing blood pressure.

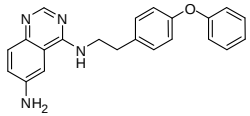
EVP-22

Axon 2980

See ML2-SA1
Page 551

EVP 4593

Axon 2080



mg	Price
10	online
50	online

[545380-34-5]
Purity: 99%

Soluble in DMSO
C22H20N4O MW: 356.42

Biological activity
Potent NF-κB activation inhibitor (EC50: 9 nM); inhibits SOC pathway in HD neurons; exerts neuroprotective effects in transgenic HD flies and transgenic HD mouse neurons. EVP4593 was not active when tested in the IKK kinase assay

Ewha-18278

Axon 2819

See APX-115
Page 220

EX 89

Axon 3102

See Losartan

Page 514

EX 527

Axon 1956

See Selisistat

Page 707

Exel 2880

Axon 1582

See Foretinib

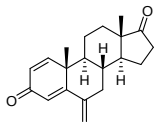
Page 409

Exemestane

Axon 2045

Aromasin; FCE 24304

[107868-30-4]
Purity: 98%
Optically pure
Soluble in DMSO and EtOH
C20H24O2 MW: 296.40



mg	Price
10	online
50	online

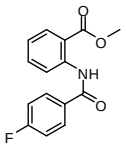
Biological activity
Orally active, irreversible steroidal aromatase inhibitor (IC50 = 20 nM). Destabilizes aromatase and lowers estrogen levels; breast cancer therapy
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Exo1

Axon 2904

[75541-83-2]
Purity: 99%

Soluble in DMSO
C15H12FNO3 MW: 273.26



mg	Price
10	online
50	online

Biological activity
Exo1 is a chemical inhibitor of the exocytic pathway with an IC50 value of 20 µM. Exo1 induces a rapid collapse of the Golgi to the endoplasmic reticulum, thus acutely inhibiting the traffic emanating from the endoplasmic reticulum. Moreover, Exo1 induces the rapid release of ADP-ribosylation factor (ARF) 1 from Golgi membranes but has less effect on the organization of the trans-Golgi network.

EYA2 inhibitor 9987

Axon 3080

See NCGC00249987

Page **Error! Bookmark not defined.**

Ezogabine

Axon 1525

See Retigabine

Page 671

Ezogabine dihydrochloride

Axon 2252

See Retigabine dihydrochloride

Page 671

Ezutromid

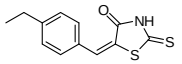
Axon 2481

See SMT C1100

Page 720

10058-F4

Axon 2222



[403811-55-2]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C12H11NOS2 MW: 249.35

mg	Price
10	online
50	online

Biological activity
Small-molecule c-Myc inhibitor that induces cell-cycle arrest at G0/G1 phase in a dose-dependent manner. 10058-F4 targets c-Myc-Max, to disrupt the heterodimer and/or to prevent its formation, and abrogates various c-Myc–dependent functions, and induces myeloid differentiation of human acute myeloid leukemia.

F2695 hydrochloride

Axon 3128

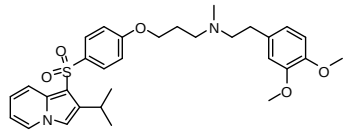
See Levomilnacipran hydrochloride Recent Addition

Page 508

Fantofarone

Axon 2952

SR 33557



[114432-13-2]
Purity: 98%

Soluble in DMSO
C31H38N2O5S MW: 550.71

mg	Price
10	online
50	online

Biological activity
Highly potent and specific calcium channel antagonist.

Fatostatin A hydrobromide

Axon 2975

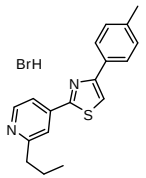
See Fatostatin hydrobromide

Page 396

Fatostatin hydrobromide

Axon 2975

Fatostatin A hydrobromide; 125B11 hydrobromide



[298197-04-3]
Purity: 99%

Soluble in DMSO
C18H18N2S.HBr MW: 375.33

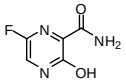
mg	Price
10	online
50	online

Biological activity
Fatostatin hydrobromide is a specific inhibitor of SREBP cleavage-activating protein (SCAP), which is required for SREBP activation. Fatostatin hydrobromide possesses antitumor properties including the inhibition of cancer cell proliferation, invasion, and migration, and it arrests cancer cells in G2/M phase. Fatostatin hydrobromide also inhibits tubulin polymerization, which perturbs mitotic spindle assembly and leads to mitotic catastrophe. Fatostatin hydrobromide has anticancer properties in cell culture and in vivo mouse models of prostate and brain cancers.

Favipiravir

Axon 3135

T-705



mg	Price
10	online
50	online

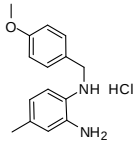
[259793-96-9]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C5H4FN3O2 MW: 157.10

Biological activity
Favipiravir is a potent and selective viral RNA polymerase inhibitor. Favipiravir has been found to have potent inhibitory activity against RNA viruses in vitro, especially influenza A, B, and C viruses (IC50 value of 1.0 μM against influenza A PR/8/34 virus). T-705-4-ribofuranosyl-5'-triphosphate (T-705RTP) is the active form that contributes to anti-influenza virus activity.

FC 99 hydrochloride

Axon 2318



mg	Price
5	online
25	online

[1097810-71-3] (parent)
Purity: 98%

Soluble in water and DMSO
C15H18N2O.xHCl MW: 304.3

Biological activity
Inhibitor of TLR3 expression and inflammatory responses induced by a synthetic dsRNA (poly(I:C)) and by exogenous IFN-α via IRF3. FC-99 suppressed the phosphorylation levels of ERK, JNK, and p38 in varying degrees without altering the total protein The ability of FC-99 to reverse TLR3 expression may account for its marked effect on the model of sepsis.

FCE 24304

Axon 2045

See Exemestane

Page 393

FCF 89

Axon 2868

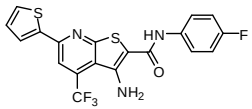
See Roquinimex

Page 682

FDI 6

Axon 2384

NCGC 00099374



mg	Price
10	online
50	online

[313380-27-7]
Purity: 99%

Soluble in DMSO
C19H11F4N3OS2 MW: 437.43

Biological activity
Inhibitor of the Forkhead box protein M1 transcription factor (IC50 value 22.5 μM for inhibiting FOXM1-DNA binding). FDI6 displaces FOXM1 from genomic targets in MCF-7 breast cancer cells, and induces concomitant transcriptional downregulation. FDI-6 is inactive against the proteasome, and concordance between its biophysical IC50 and cellular GI50 values (22.5 μM and 18.0 μM, respectively) suggests it does not suffer from the off-target effects of thiostrepton.

Febuxostat

Axon 1175

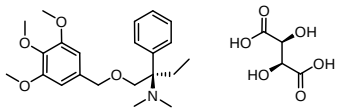
See TEI 6720

Page 757

Fedotozine tartrate

Axon 1140

JO 1196



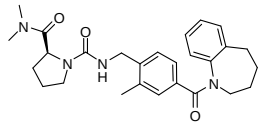
mg	Price
10	online
50	online

[133267-27-3]
Purity: 99%
99% ee
Soluble in water
C22H31NO4C4H6O6 MW: 523.57

Biological activity
kappa(1a) opioid receptor agonist

Fedovapagon

Axon 2146



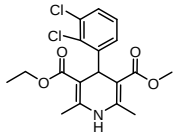
mg	Price
5	online
25	online

[347887-36-9]
Purity: 99%
Optically pure
Soluble in DMSO
C27H34N4O3 MW: 462.58

Biological activity
Potent and selective vasopressin V2 receptor agonist (EC50 of 24 nM); demonstrated positive anti-diuretic effect in nocturia

Felodipine

Axon 1448



mg	Price
10	online
50	online

[72509-76-3]
Purity: 99%

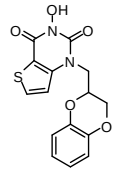
Soluble in DMSO
C18H19Cl2NO4 MW: 384.25

Biological activity
Selective calcium channel blocker, a drug used to control hypertension

FEN1 inhibitor 1

Axon 3027

LNT1



mg	Price
5	online
25	online

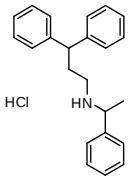
[824983-91-7]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C15H12N2O5S MW: 332.33

Biological activity
FEN1 inhibitor 1 is a potent flap endonuclease-1 (FEN1) inhibitor with an IC50 value of 0.011 μM. FEN1 inhibitor 1 was shown to sensitize bladder carcinoma cells to DNA damage that is normally repaired by the BER pathway.

Fendiline hydrochloride

Axon 2829



[13636-18-5]
Purity: 99%

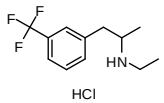
Soluble in DMSO
C23H25N.HCl MW: 351.91

mg	Price
10	online
50	online

Biological activity
Fendiline, an L-type calcium channel blocker (IC50 value of 17 µM), is a specific inhibitor of K-Ras plasma membrane targeting (IC50 value of 9.64 µM) with no detectable effect on the localization of H- and N-Ras. Moreover, Fendiline blocked the proliferation of pancreatic, colon, lung, and endometrial cancer cell lines expressing oncogenic mutant K-Ras.

Fenfluramine hydrochloride

Axon 2850



[404-82-0]
Purity: 99%

Soluble in water and DMSO
C12H16F3N.HCl MW: 267.72

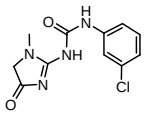
mg	Price
10	online
50	online

Biological activity
5-HT releasing agent.

Fenobam

Axon 1345

MCN 3377-98; NPL 2009



[57653-26-6]
Purity: 99%

Soluble in DMSO
C11H11ClN4O2 MW: 266.68

mg	Price
10	online
50	online

Biological activity
Potent and selective antagonist for metabotropic glutamate receptor subtype 5 (mGluR5)

Fer-1

Axon 2293

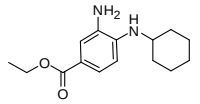
See Ferrostatin 1

Page 400

Ferrostatin 1

Axon 2293

Fer-1



[347174-05-4]
Purity: 99%

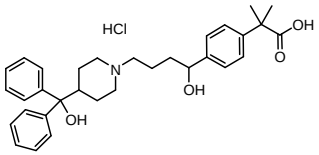
Soluble in DMSO
C15H22N2O2 MW: 262.35

mg	Price
10	online
50	online

Biological activity
Potent inhibitor of erastin-induced ferroptosis, an iron dependent form of cell death morphologically, biochemically and genetically distinct from apoptosis, various forms of necrosis, and autophagy (EC50 value 60 nM in HT-1080 cells). Ferrostatin-1 specifically inhibits cell death induced by RAS-selective lethal compounds (RSLs), but not cell death induced by other oxidative lethal compounds and apoptosis-inducing agents. Ferrostatin-1 does not inhibit ERK phosphorylation or arrest the proliferation of HT-1080 cells, nor does it chelate iron or inhibit protein synthesis. It is capable of blocking the cytotoxic effects of Sorafenib (Axon 1397) in HCC cells.

Fexofenadine hydrochloride

Axon 1453



[153439-40-8]
Purity: 99%

Soluble in DMSO
C32H39NO4.HCl MW: 538.12

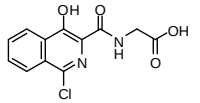
mg	Price
10	online
50	online

Biological activity
Histamine H1 receptor antagonist; antihistamine drug in the treatment of hayfever and similar allergy symptons

FG-2216

Axon 2570

YM 311



[223387-75-5]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C12H9ClN2O4 MW: 280.66

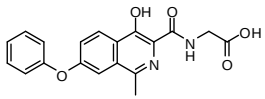
mg	Price
5	online
25	online

Biological activity
Orally active HIF prolyl 4-hydroxylase inhibitor (P4-HI; IC50 value 3.9 µM for PHD2) that increases plasma EPO levels up to 30-fold in hemodialysis (HD) patients, and reduces cardiac remodeling after myocardial infarction in rats independent of a reduction of collagen maturation or altering growth factors.

FG-4592

Axon 2588

Roxadustat; ASP 1517



mg	Price
10	online
50	online

[808118-40-3]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C19H16N2O5 MW: 352.34

Biological activity
New-generation oral HIF-PHD inhibitor (IC50 value 591 nM for inhibition of PHD2 in a fluorescence polarization assay) for the treatment of anemia in patients with chronic kidney disease (CKD) by promoting erythropoiesis. FG 4592 (Roxadustat) treatment significantly inhibited tert-Butyl hydroperoxide (TBHP)-induced apoptosis and increases the survival of neuronal PC-12 cells by stabilization of HIF-1α. FG 4592 is also shown to inhibit Fat Mass and Obesity Associated Protein (FTO; IC50 value 9.8 μM).

FGF 401

Axon 2953

See Roblitinib

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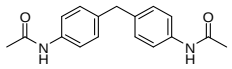
FH 1

Axon 2320

NSC 12407; BRD K4477



mg	Price
10	online
50	online

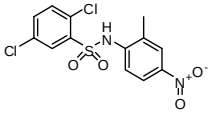
[2719-05-3]
Purity: 99%

Soluble in DMSO
C17H18N2O2 MW: 282.34

Biological activity
Promotes the maturation and differentiation of induced pluripontent stem cells (iPSCs) to hepatocytes. FH 1 treatment augmented albumin levels and the expression levels of ABCB11 in iHEP cells.

FH535

Axon 2686



mg	Price
10	online
50	online

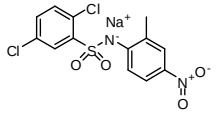
[108409-83-2]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C13H10Cl2N2O4S MW: 361.20

Biological activity
Small-molecule dual inhibitor of peroxisome proliferator-activated receptor (PPAR) and Wnt/β-catenin/TCF/LEF signaling. FH535 inhibits recruitment of the coactivators β-catenin and GRIP1, but not the corepressors NCoR and SMRT. FH535 is selectively toxic to carcinomas expressing the Wnt/β-Catenin pathway, and known to inhibit invasion, migration, and growth in vitro in multiple types of cancer, such as liver cancer and triple negative breast cancer cell lines. The water soluble sodium salt of FH 535 is available as Axon 2706.

FH535 sodium salt

Axon 2706



mg	Price
10	online
50	online

[N.A.]
Purity: 99%

Soluble in water and DMSO
C13H9Cl2N2NaO4S MW: 383.18

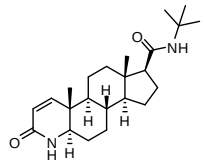
Biological activity
Small-molecule dual inhibitor of peroxisome proliferator-activated receptor (PPAR) and Wnt/β-catenin/TCF/LEF signaling. FH535 inhibits recruitment of the coactivators β-catenin and GRIP1, but not the corepressors NCoR and SMRT. FH535 is selectively toxic to carcinomas expressing the Wnt/β-Catenin pathway, and known to inhibit invasion, migration, and growth in vitro in multiple types of cancer, such as liver cancer and triple negative breast cancer cell lines; water soluble sod

Finasteride

Recent Addition

Axon 3240

MK-906



mg	Price
50	online

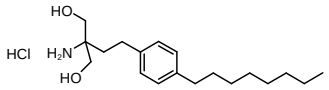
[98319-26-7]
Purity: 99%
Optically pure
Soluble in DMSO
C23H36N2O2 MW: 372.54

Biological activity
Finasteride is a potent, reversible inhibitor of the rat type 1 5α-reductase with a Ki value of 10.2 nM. Finasteride has been shown to reduce the size of human benign prostatic hyperplasia (BPH) by inhibiting the intraprostatic conversion of testosterone to 5 alpha-dihydrotestosterone.

Fingolimod

Axon 1485

FTY 720



mg	Price
10	online
50	online

[162359-56-0]
Purity: 98%

Soluble in DMSO
C19H33NO2.HCl MW: 343.93

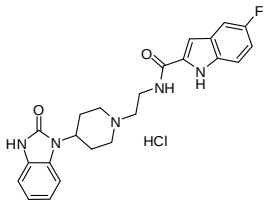
Biological activity
A sphingosine-1-phosphate receptor 1 modulator; immunosuppressant

FIPI hydrochloride

Axon 2281

[N.A.]
Purity: 99%

Soluble in DMSO
C23H24FN5O2.HCl MW: 457.93



mg	Price
5	online
25	online

Biological activity
Phospholipase D (PLD) inhibitor (IC50 values of 20-25 nM for both PLD1 and PLD2) that rapidly blocks in vivo phosphatidic acid (PA) production with subnanomolar potency.
FIPI does inhibit PLD regulation of F-actin cytoskeleton reorganization, PIP2 availability, cell spreading, and chemotaxis, indicating potential utility for it as a therapeutic for autoimmunity and cancer metastasis.

Firefly Luciferin

Axon 2494

See Luciferin, D-

Page 517

FK 506

Axon 2263

See Tacrolimus

Page 749

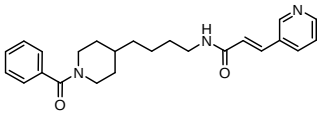
FK 866

Axon 1279

K 22.175

[658084-64-1]
Purity: 99%

Soluble in 0.1N HCl(aq), DMSO, and Ethanol
C24H29N3O2 MW: 391.51



mg	Price
5	online
10	online

Biological activity
Highly specific inhibitor of nicotinamide phosphoribosyltransferase (NAMPT); NAD biosysthesis inhibitor; Tumor cell apoptosis agent (see also Axon 1546)

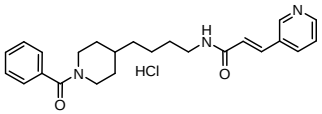
FK 866 hydrochloride

Axon 1546

K 22.175 hydrochloride

[658084-64-1]
Purity: 99%

Soluble in water and DMSO
C24H29N3O2.HCl MW: 427.97



mg	Price
5	online
10	online

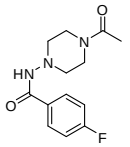
Biological activity
Highly specific inhibitor of nicotinamide phosphoribosyltransferase (NAMPT); NAD biosysthesis inhibitor; Tumor cell apoptosis agent (see also Axon 1279)

FK 960

Axon 1607

[133920-70-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C13H16FN3O2 MW: 265.28



mg	Price
10	online
50	online

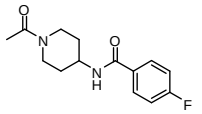
Biological activity
Somatostatin receptor agonist and also a 5-HT agonist; FK960 stimulates both serotonin and somatostatin production as a cognitive enhancer. However, its development for Alzheimer's disease (AD) was terminated in 2003

FK962 Recent Addition

Axon 3198

[283167-06-6]
Purity: 99%

Soluble in water and DMSO
C14H17FN2O2 MW: 264.30



mg	Price
10	online
50	online

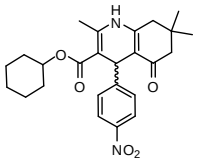
Biological activity
FK962, a derivative of FK960 (Axon 1607), is an enhancer of somatostatin release. FK962 significantly enhanced high K+-evoked somatostatin release from rat hippocampal slices. FK962 also significantly reduced somatostatin-induced inhibition of Ca2+ channels in single rat hippocampal neurons using whole-cell patch-clamp.

FLI 06

Axon 2277

[313967-18-9]
Purity: 100%

Soluble in DMSO
C25H30N2O5 MW: 438.52



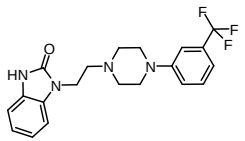
mg	Price
5	online
25	online

Biological activity
Notch signaling inhibitor (EC50 value 2.3 μM) that acts early in the secretory pathway. Although the precise molecular target of FLI 06 remains unclear, it effects a unique property to inhibit cargo recruitment to ER exit sites (ERESs) by changing its curvature. Consequently, it inhibits the membrane traffic of NotchΔE-eGFP at pre-ERES stages without fusion of ER-Golgi. FLI 06 does not inhibit the γ-secretase mediated proteolytical processing of NotchΔE-eGFP to NICD-eGFP at the plasma membrane.

Flibanserin

Axon 1499

BIMT 17



	mg	Price
[167933-07-5]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C20H21F3N4O MW: 390.40		

Biological activity
A 5-HT1A receptor full agonist with 5-HT2A receptor antagonistic activity; It was initially investigated as an potential antidepressant, however, it is currently under clinical trial for the potential treatment of female sexual dysfunction

Flindokalner

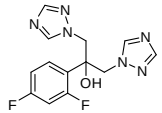
Axon 1112

See BMS 204352 Page 278

Fluconazole

Axon 2105

UK 49858

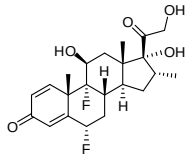


	mg	Price
[86386-73-4]		
Purity: 99%	50	online
Soluble in DMSO	250	online
C13H12F2N6O MW: 306.27		

Biological activity
Antifungal agent that inhibits the fungal cytochrome P450 enzyme 14α-demethylase; marketed under the trade names Diflucan and Trican
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Flumethasone

Axon 1169



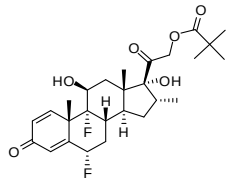
	mg	Price
[2135-17-3]		
Purity: 99%	25	online
Optically pure		
Soluble in DMSO	250	online
C22H28F2O5 MW: 410.45		

Biological activity
Selective and potent topical glucocorticoid receptor agonist (IC50 value 0.26 nM for human GR). For the treatment of various allergic, inflammatory, and autoimmune disorders.

Flumethasone pivalate

Axon 2247

Locorten; NSC 107680



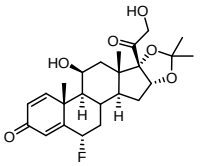
	mg	Price
[2002-29-1]		
Purity: 99%	10	online
Soluble in DMSO and EtOH	50	online
C27H36F2O6 MW: 494.57		

Biological activity
Topical glucocorticoid receptor agonist. Flumethasone pivalate is a moderately potent difluorinated corticosteroid ester with anti-inflammatory, antipruritic and vasoconstrictive properties.

Flunisolide

Axon 1429

AeroBid; Nasalide; Nasarel

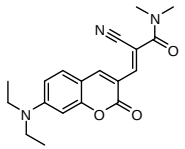


	mg	Price
[3385-03-03]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C24H31FO6 MW: 434.50		

Biological activity
A corticosteroid used for the treatment of allergic rhinitis

Fluorescent probe QG-1

Axon 2756

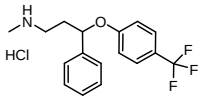


	mg	Price
[2098563-70-1]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C19H21N3O3 MW: 339.39		

Biological activity
Fluorescent probe QG-1 is a reversible fluorescence probe, which is suitable for use in the real-time monitoring and quantification of GSH under physiological conditions (Kd value of 2.59 mM). Moreover, QG-1 exhibits a fast response time (t1/2 = 5.82 sec), displays extremely low cytotoxicity and can be employed to determine the actual GSH variations in HeLa cells.

Fluoxetine Hydrochloride

Axon 1302



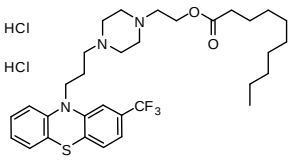
	mg	Price
[56296-78-7]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C17H18F3NO.HCl MW: 345.79		

Biological activity
Selective serotonin reuptake inhibitor (SSRI)

Fluphenazine decanoate dihydrochloride

Axon 2127

Prolixin Decanoate dihydrochloride



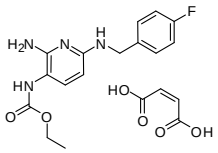
	mg	Price
[2376-65-0] Purity: 99%	10	online
Soluble in DMSO $C_{32}H_{44}F_3N_3O_2S.2HCl$ MW: 664.69	50	online

Biological activity
Fluphenazine (available after slow hydrolysis in vivo of the parent molecule) is a potent antipsychotic (Ki values 0.2 nM, 0.11 nM, and 2.0nM for D2, D3, and 5-HT2 receptors, respectively). Fluphenazine exhibits considerable affintiy for 5-HT6 and 5-HT7 receptors (Ki values 15.8nM and 7.9 nM, respectively) as well.

Flupirtine maleate

Axon 1437

D 9998; Katadolon



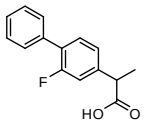
	mg	Price
[75507-68-5] Purity: 99%	10	online
Soluble in DMSO $C_{15}H_{17}FN_4O_2.C_4H_4O_4$ MW: 420.39	50	online

Biological activity
A centrally acting, nonopioid analgesic; flupirtine has a functional profile similar to NMDA-receptor antagonists, but devoid of the typical side effects of these drugs;flupirtine exerts potent cyto- and neuroprotective actions in different in vivo and in vitro models

Flurbiprofen

Recent Addition

Axon 3126



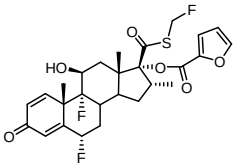
	mg	Price
[5104-49-4] Purity: 98%	50	online
Soluble in DMSO $C_{15}H_{13}FO_2$ MW: 244.26	250	online

Biological activity
Flurbiprofen is a cyclo-oxygenase (COX) inhibitor with IC50 values of 0.1 and 0.4 μM for hCOX-1 and hCOX-2, respectively. Non-steroidal anti-inflammatory drug (NSAID).

Fluticasone furoate

Axon 1172

GW 685698X

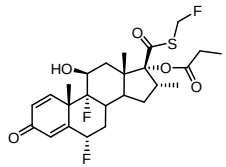


	mg	Price
[397864-44-7] Purity: 98%	10	online
Soluble in DMSO and Ethanol $C_{27}H_{29}F_3O_6S$ MW: 538.58	50	online

Biological activity
Selective high affinity glucocorticoid agonist, MRP4 inhibitor; a Fluticasone derivative as corticosteroid with potent anti-inflammatory activity

Fluticasone propionate

Axon 1404

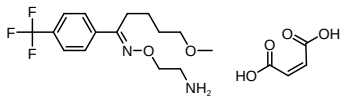


	mg	Price
[80474-14-2] Purity: 99%	10	online
Soluble in DMSO $C_{25}H_{31}F_3O_5S$ MW: 500.57	50	online

Biological activity
High affinity, selective glucocorticoid receptor agonist; corticosteroid derived from fluticasone used to treat asthma and allergic rhinitis

Fluvoxamine maleate

Axon 1556

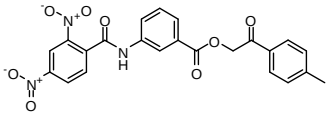


	mg	Price
[61718-82-9] Purity: 99%	10	online
Soluble in DMSO $C_{15}H_{21}F_3N_2O_2.C_4H_4O_6$ MW: 434.41	50	online

Biological activity
Selective serotonin reuptake inhibitor (SSRI), with Ki value to be 1.6 nM

FM19G11

Axon 2959



	mg	Price
[329932-55-0] Purity: 99%	5	online
Soluble in DMSO $C_{23}H_{17}N_3O_8$ MW: 463.40	25	online

Biological activity
FM19G11 is an HIFα inhibitor with an IC50 value of 80 nM. FM19G11 inhibits HIFα proteins that repress target genes of the two α subunits, in various tumor cell lines as well as in adult and embryonic stem cell models from rodents and humans, respectively.

FMF-03-146-1

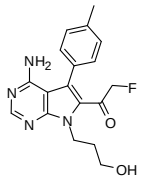
Axon 3200

See DCLK1-IN-1 Recent Addition Page 353

FMK

Axon 1848

RSK inhibitor Fmk



[821794-92-7]
Purity: 99%

Soluble in DMSO
C18H19FN4O2 MW: 342.37

mg	Price
1	online
5	online

Biological activity
Potent, highly specific and irreversible inhibitor of p90 ribosomal protein S6 kinase (RSK) 1 and 2 (RSK1 and RSK2, with in vitro IC50 value of 15 nM for RSK2); Fmk binds in the CTKD ATP-binding site and inhibits RSK autophosphorylation at Ser386.Fmk induces significant apoptosis in human FGFR3-expressing, t(4;14)-positive multiple myeloma cells

FMP-A-01

Axon 3348

See NMDAR-TRPM4 blocker C8 dihydrochloride Recent Addition

Page 579

FMP-A-02

Axon 3349

See NMDAR-TRPM4 blocker C19 dihydrochloride Recent Addition

Page 579

FMS inhibitor compound 1b

Axon 2061

See CID 11654378

Page 319

FMS inhibitor compound 8

Axon 2061

See CID 11654378

Page 319

FNA hydrochloride, beta-

Axon 1213

See Funaltrexamine hydrochloride, beta-

Page 412

FNQ

Axon 2517

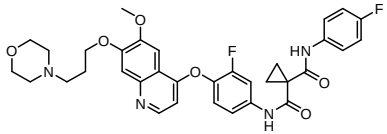
See Napabucasin

Page 568

Foretinib

Axon 1582

GSK 1363089; Exel 2880; XL 880



[849217-64-7]
Purity: 98%

Soluble in DMSO
C34H34F2N4O6 MW: 632.65

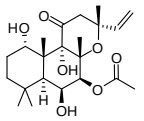
mg	Price
5	online
25	online

Biological activity
An orally available inhibitor targeting c-MET (IC50: 0.4 nM) and VEGFR2

Forskolin

Axon 2264

Coleonol



[66575-29-9]
Purity: 98%
Optically pure
Soluble in DMSO
C22H34O7 MW: 410.50

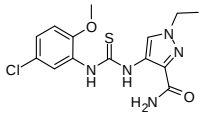
mg	Price
10	online
50	online

Biological activity
Activator of adenylate cyclase (IC50 value of 41 nM). A naturally occurring labdane diterpene that has been used extensively to increase cAMP and to elicit cAMP-dependent physiological responses. Elevation of cAMP levels by Forskolin induced neuronal differentiation of mesenchymal stem cells via activation of extracellular signal-regulated kinase/MAPK.
Anti-hypertensive and vasodilatory agent.

FPH 2

Axon 2355

BRD 9424



[957485-64-2]
Purity: 99%

Soluble in DMSO
C14H16ClN5O2S MW: 353.83

mg	Price
10	online
50	online

Biological activity
Small molecule that concentration dependently induces proliferation and enhances the functions of mature human primary hepatocytes. Over 7 days, FPH 2 induced hepatocyte doublings at a rate that is consistent with reported liver regeneration kinetics in vivo.

FPL 15896AR

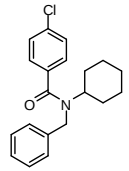
Axon 3335

See AZD6765 dihydrochloride Recent Addition

Page 249

FPS-ZM1

Axon 2858



[945714-67-0]
Purity: 99%

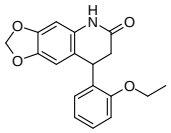
Soluble in DMSO
C20H22ClNO MW: 327.85

mg	Price
10	online
50	online

Biological activity
FPS-ZM1 is a high-affinity RAGE-specific inhibitor (Ki value of 25 nM) which binds specifically to the V domain of RAGE, crosses the BBB, and inhibits Aβ-induced cellular stress in RAGE-expressing cells in vitro and in brain in vivo. FPS-ZM1 was not toxic to cells and mice.

FQI 1

Axon 2157



mg	Price
10	online
50	online

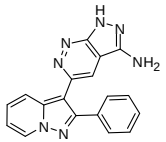
[599151-35-6]
Purity: 99%

Soluble in DMSO
C18H17NO4 MW: 311.33

Biological activity
Antiproliferative small-molecule inhibitor of alpha-globin transcription factor CP2 (a.k.a. LSF; IC50 2.1 µM); cell-permeable, potent, specific, and reversible. FQI 1 induces cell death in LSF-overexpressing cells, including Hepatocellular carcinoma (HCC cells). FQI 1 inhibits LSF DNA-binding activity both in vitro and in vivo and also inhibits HCC tumor growth in a mouse xenograft model.

FR 180204

Axon 1694



mg	Price
5	online
10	online

[865362-74-9]
Purity: 99%

Soluble in DMSO
C18H13N7 MW: 327.34

Biological activity
Selective, cell permeable and ATP-competitive ERK inhibitor; 30-fold selective on ERK over p38α MAPK; FR180204 was shown to inhibit ERK1 (Ki=0.31µM), ERK2 (Ki=0.14 µM) and TGFβ-induced AP-1 activation

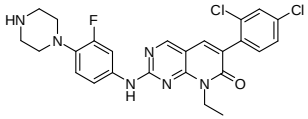
FR 900494

Axon 1730

See *Kifunensine, (+)-* Page 490

FRAX 486

Axon 2331



mg	Price
5	online
25	online

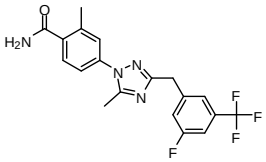
[1232030-35-1]
Purity: 99%

Soluble in DMSO
C25H23Cl2FN6O MW: 513.39

Biological activity
Bioavailable and brain penetrating inhibitor of group I p21-activated kinases (IC50 values 8.25 nM, 39.5 nM, and 55.3 nM for PAK1, PAK2, and PAK3, respectively) with good selectivity over PAK4 (IC50 value 779 nM) FRAX486 rescues the dendritic spine abnormality and audiogenic seizures, and reduces autism-like phenotypes of hyperactivity and restrictive or repetitive behaviors in Fmr1 KO mice. Not only represents FRAX-486 a potential breakthrough in the research for a treatment of Fragile X syndrome (FXS), it was also found to ameliorate schizophrenia-associated dendritic spine deterioration in vitro and in vivo during late adolescence.

FTBMT

Axon 2962



mg	Price
10	online
50	online

[1358575-02-6]
Purity: 99%

Soluble in DMSO
C19H16F4N4O MW: 392.35

Biological activity
FTBMT is a potent, selective and orally available GPR52 agonist (EC50 value of 75 nM) with activity in vitro and in vivo, as demonstrated by the activation of cAMP signaling in striatal neurons. FTBMT exhibits high metabolic stability in several species, and excellent PK in rats.

FTY 720

Axon 1485

See Fingolimod Page 402

FUB 359 maleate

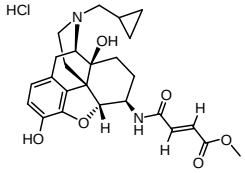
Axon 1993

See Ciproxifan maleate Page 320

Funaltrexamine hydrochloride, beta-

Axon 1213

FNA hydrochloride, beta-



mg	Price
10	online
50	online

[72786-10-8]
Purity: 98%

No solubility data
C25H30N2O6.HCl MW: 490.98

Biological activity
Selective irreversible µ opioid receptor antagonist

Furazosin hydrochloride

Axon 2040

See Prazosin hydrochloride Page 412

FXR 450

Axon 1749

See WAY 362450 Page 809

FXR agonist Cpd 22

Axon 2152

See PX 20350 Page 656

Gabapentin

Axon 1301

GOE 3450; CI 945; GO 3450

[60142-96-3]
Purity: 99%

Soluble in water
C9H17NO2 MW: 171.24

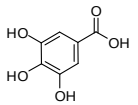
mg	Price
10	online
50	online

Biological activity
Anticonvulsant; mechanism of action still under study; neuroprotective; GABA modulator

Gallic acid

Axon 2208

NSC 674319



[149-91-7]
Purity: 100%

Soluble in water and DMSO
C7H6O5 MW: 170.12

mg	Price
100	online
500	online

Biological activity
Multi-affinity drug. Targets Carbonic Anhydrases, FUT7, P4H, HATs, among many others in an inhibitory modus. Gallic acid is cytotoxic to cancer cells and has anti-inflammatory and antioxidative effects. Gallic acid was found to inhibit the histone acetyltransferase activity of several HATs (IC50 values: 14, 24, 25 and 34 µM for p300, CBP, Tip60 and PCAF respectively). In particular, it inhibited p300/CBP-dependent HAT activities uncompetitively, while being devoid of activity towards other epigenetic enzymes including SIRT1, HDAC, and HMTase. In A549 lung cancer cells, Gallic acid inhibited the acetylation of p65, leading to the downregulation of NF-κB activation in response to diverse inflammatory signals. Gallic acid is also known to inhibit HIV-1 infections through inhibition of HIV-1 reverse transcriptase activity in Lagerstroemia speciosa L.

Gandotinib

Axon 2554

See LY 2784544

Page 523

Ganetespib

Axon 1968

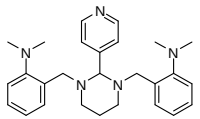
See STA 9090

Page 737

GANT61

Axon 2642

NSC 136476



[500579-04-4]
Purity: 99%

Soluble in DMSO and Ethanol
C27H35N5 MW: 429.60

mg	Price
10	online
50	online

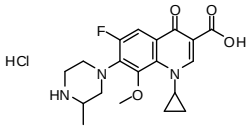
Biological activity
Small molecule capable of reducing GLI-mediated transcription and endogenous Hedgehog (Hh) signaling (IC50 value ca 5 µM). In vivo, GANT61 suppressed human tumor cell growth until no tumor was palpable.

Gatifloxacin hydrochloride

Recent Addition

Axon 3171

AM-1155 hydrochloride



mg	Price
50	online
250	online

[121577-32-0]
Purity: 99%

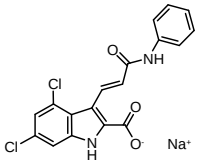
Soluble in water and DMSO
C19H22FN3O4.HCl MW: 411.86

Biological activity
Gatifloxacin hydrochloride is an inhibitor of the bacterial enzymes DNA gyrase and topoisomerase IV. Gatifloxacin hydrochloride is a broad-spectrum quinolone with broader in vitro and in vivo activities than those of ciprofloxacin and improved levels in blood and tissues of mice after oral administration compared with the levels of ciprofloxacin.

Gavestinel

Axon 1262

GV 150526A



mg	Price
10	online
50	online

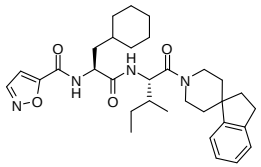
[153436-38-5]
Purity: 99%

Soluble in DMSO
C18H11Cl2N2O3.Na MW: 397.19

Biological activity
In vivo potent and selective antagonist of glycine site of NMDA receptor; orally bioavailable; neuroprotective in animal models of ischaemic stroke

GB 83

Axon 1622



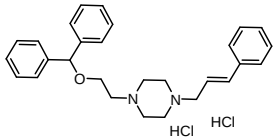
mg	Price
1	online
5	online

[1252806-86-2]
Purity: 99%
optically pure
Soluble in DMSO
C32H44N4O4 MW: 548.72

Biological activity
Selective antagonist of human protease activated receptor 2 (PAR2) (IC50: 2 micromolar); reversibly inhibits PAR2 activation by both proteases and other PAR2 agonists

GBR 12783 dihydrochloride

Axon 1203



mg	Price
10	online
50	online

[67469-75-4]
Purity: 99%

No solubility data
C28H32N2O.2HCl MW: 485.49

Biological activity
Potent and selective inhibitor of dopamine uptake

GDC 0032

Axon 2927

See Taselisib

Page 753

GDC 0199

Axon 2141

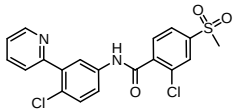
See ABT 199

Page 181

GDC 0449

Axon 1500

Vismodegib; HhAntag 691



mg	Price
5	online
25	online

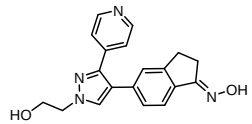
[879085-55-9]
Purity: 99%

Soluble in DMSO
C19H14Cl2N2O3S MW: 421.30

Biological activity
The first-in-class inhibitor of Hedgehog (Hh) signaling pathway; more specifically, an orally bioavailable and potent inhibitor of smoothened homologue (SMO); an investigational anti-cancer drug for Medulloblastoma,advanced basal cell skin cancer etc

GDC 0879

Axon 1459



mg	Price
2	online
5	online

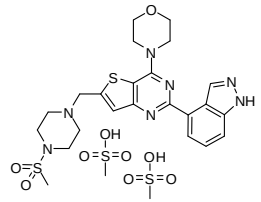
[905281-76-7]
Purity: 99%

Soluble in DMSO
C19H18N4O2 MW: 334.37

Biological activity
Selective inhibitor of protein kinase, targeting B-Raf (V600E)

GDC 0941 bismesylate

Axon 1377



mg	Price
5	online
25	online

[957054-33-0]
Purity: 99%

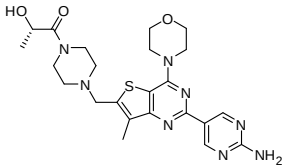
Soluble in water and DMSO
C23H27N7O3S2.2CH4O3S
MW: 705.85

Biological activity
Potent, selective, orally bioavailable inhibitor of class I PI3 kinase (PI3K) under clinical trials, with IC50 values (nM) of 3, 33, 3, 75, 1230 and 580 for p110 α, β, δ and γ isoforms, DNA-PK and mTOR; water-soluble form

GDC 0980

Axon 1782

RG 7422; GNE 390



	mg	Price
[1032754-93-0] Purity: 99%	5	online
Soluble in DMSO C23H30N8O3S MW: 498.60	25	online

Biological activity
Selective, potent, orally bioavailable inhibitor of Class I PI3 kinase and mTOR kinase (TORC1/2) with in vitro IC50 of 5, 27, 7 and 14 nM for p110 α, β, δ and γ isoforms and apparent Ki of 17.3 nM for human mTOR.GDC-0980 has excellent pharmacokinetic and pharmaceutical properties and demonstrates broad activity in xenograft cancer models (breast, ovarian, lung, and prostate)

GDC-5573

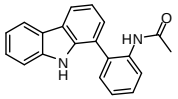
Axon 3067

See Belvarafenib

Page 265

GeA-69

Axon 2885



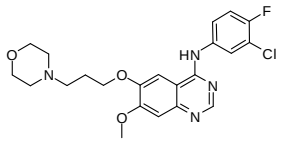
	mg	Price
[2143475-98-1] Purity: 99%	10	online
Soluble in DMSO C20H16N2O MW: 300.35	50	online

Biological activity
GeA-69 is a selective, allosteric and cell-active macrodomain inhibitor targeting macrodomain 2 of PARP14 (IC50 value of 0.71 µM). Moreover, GeA-69 engages PARP14 MD2 in intact cells and prevents its localisation to sites of DNA damage.

Gefitinib

Axon 1393

ZD 1839; Iressa



	mg	Price
[184475-35-2] Purity: 99%	10	online
Soluble in DMSO C22H24ClFN4O3 MW: 446.90	50	online

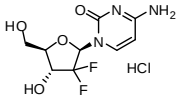
Biological activity
Selective epidermal growth factor receptor (EGFR) tyrosine kinase inhibitor

Gemcitabine hydrochloride

Recent Addition

Axon 3233

dFdC; 2',2'-difluorodeoxycytidine; LY 188011

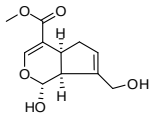


	mg	Price
[122111-03-9] Purity: 99% Optically pure Soluble in water and DMSO	10	online
C9H11F2N3O4.HCl MW: 299.66	50	online

Biological activity
Gemcitabine hydrochloride, a deoxycytidine analogue, is an antimetabolite and a specific inhibitor of DNA synthesis. Gemcitabine hydrochloride exhibits good activity against human leukemic cell lines and murine solid tumors Moreover, Gemcitabine hydrochloride has shown activity against a wide spectrum of human solid tumors including nonsmall cell lung, pancreatic, colon, breast, bladder, ovarian, head and neck, cervical and hepatocellular cancers.

Genipin

Axon 1443

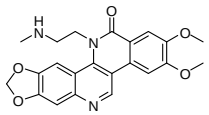


	mg	Price
[6902-77-8] Purity: 99%	10	online
Soluble in DMSO and Ethanol C11H14O5 MW: 226.23	50	online

Biological activity
Active medication to relieve the symptoms of type 2 diabetes. Genipin stimulates insulin secretion in UCP2-dependent manner (Uncoupling protein 2). Genipin is a protein, collagen, gelatin, and chitosan cross-linker

Genz 644282

Axon 2198



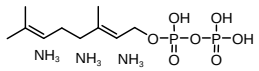
	mg	Price
[529488-28-6] Purity: 99%	5	online
Soluble in 0.1N HCl(aq) and DMSO C22H21N3O5 MW: 407.42	25	online

Biological activity
Topoisomerase I inhibitor (IC50 value 0.4 nM in human bone marrow CFU-GM assay). Cytotoxic agent, with activity toward 8 human tumor cell lines of varied histology and resistance mechanisms by colony formation, with enhanced potency compared to topotecan and SN-38. Contrary to the camptothecins, Genz-644282 is not a substrate for the multidrug resistance gene 1 (MDR1) and breast cancer resistance protein (BCRP) efflux pumps.

Geranyl pyrophosphate ammonium salt

Axon 1489

GPP



	mg	Price
[116057-55-7] Purity: 98%	1	online
Soluble in water C10H20O7P2.3NH3 MW: 365.30	5	online

Biological activity
Substrate for geranyl transferase; an intermediate in the HMG-CoA reductase pathway used by organisms in the biosynthesis of terpenes and terpenoids

GF 120918A

Axon 1896

See Elacridar hydrochloride

Page 380

GFT505

Axon 2727

See Elafibranor

Page 380

GhrR antagonist CpdD

Axon 2147

See CpdD hydrochloride

Page 335

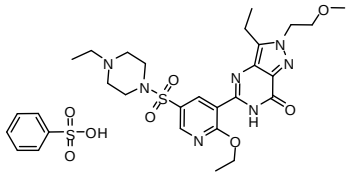
Gisadenafil besylate

Axon 2218

UK 369003; PF 01224715

[334827-98-4]
Purity: 99%

Soluble in water and DMSO
C23H33N7O5S.C6H6O3S
MW: 677.79



mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of cGMP-specific PDE5 (IC50 value 1.23 nM) with improved selectivity over PDE6 (PDE5/6 selectivity value 117 and >3000-fold selectivity over other PDEs). Gisadenafil has the potential for oral bioavailability and dose-proportional pharmacokinetics. Close analogue of Sildenafil (Viagra; Axon 2046)
Source Information*: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

GKT831

Axon 3006

See GKT137831

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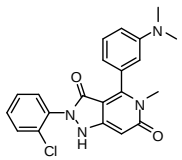
GKT137831

Axon 3006

Setanaxib; GKT831

[1218942-37-0]
Purity: 99%

Soluble in DMSO
C21H19ClN4O2 MW: 394.85



mg	Price
5	online
25	online

Biological activity
GKT137831 is a first-in-class dual Nox1/4 inhibitor with Ki values of 110 nM and 140 nM for human Nox1 and Nox4, respectively. Moreover, GKT137831 is a potent inhibitor of fibrosis and hepatocyte apoptosis.

Gleevec

Axon 1394

See Imatinib Mesylate

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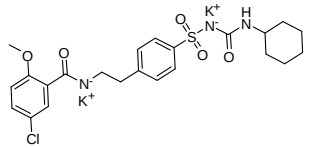
Glibenclamide potassium salt

Axon 2064

Glyburide potassium salt

[23047-14-5]
Purity: 99%

Soluble in water and DMSO
C23H26ClK2N3O5S MW: 570.18



mg	Price
25	online
100	online

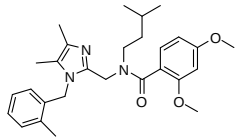
Biological activity
Antidiabetic; KATP channel blocker; the drug inhibits the sulfonylurea receptor 1 (SUR1), the regulatory subunit of the ATP-sensitive potassium channels (KATP) in pancreatic beta cells
Source Information*: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

GLP-1 antagonist

Axon 1132

[475466-57-0]
Purity: 99%

Soluble in DMSO
C28H37N3O3 MW: 463.61



mg	Price
5	online
25	online

Biological activity
Glucagon-like peptide-1 (GLP-1) receptor antagonist

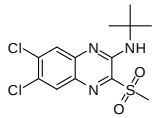
GLP-1R agonist DMB

Axon 1907

DMB

[281209-71-0]
Purity: 99%

Soluble in DMSO
C13H15Cl2N3O2S MW: 348.25



mg	Price
5	online
25	online

Biological activity
Glucagon-like peptide-1 (GLP-1) receptor (GLP-1R) agonist; potential agent for the treatment of type 2 diabetes; a usuful tool for studying the role of GLP-1 in both in vivo and in vitro diabetes and obesity models

GLPG 0778

Axon 2539

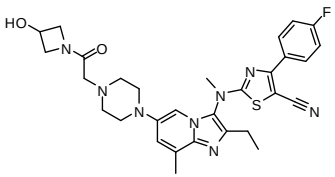
See Solcitinib

Page 723

GLPG1690

Axon 3094

Ziritaxestat



mg	Price
10	online
50	online

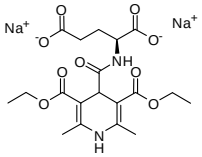
[1628260-79-6]
Purity: 98%

Soluble in DMSO
C30H33FN8O2S MW: 588.70

Biological activity
GLPG1690 is a first-in-class, potent autotaxin (ATX) inhibitor with a Ki value of 15 nM. GLPG1690 demonstrated significant activity in the mouse BLM-induced fibrosis model at doses of 10 and 30 mg/kg twice a day, with an efficacy comparable or superior to that of the reference compound pirfenidone.

Glutapyrone

Axon 1120



mg	Price
10	online
50	online

[92236-42-5]
Purity: 99%
98% ee
Soluble in water
C19H24N2O9.2Na MW: 470.38

Biological activity
DHP amino acid; atypical neuronal non-calcium antagonistic DHP cerebrocrast; a antiarrhythmic, neuroprotective, stress-protective and radioprotective remedy

Glyburide potassium salt

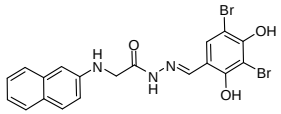
Axon 2064

See Glibenclamide, Potassium

Page 420

GlyH 101

Axon 2572



mg	Price
10	online
50	online

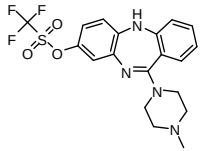
[328541-79-3]
Purity: 98%

Soluble in DMSO
C19H15Br2N3O3 MW: 493.15

Biological activity
Highly potent and selective cystic fibrosis (CF) transmembrane regulator (CFTR) inhibitor (Ki value 4.3 µM in CFTR-expressing FRT cells) targeting mitochondrial functions, independently of chloride channel inhibition. GlyH 101 induces a rapid increase in ROS levels and depolarizes mitochondria in the four cell types, suggesting that these effects are independent of CFTR inhibition. Moreover, intraluminal GlyH 101 (2.5 g) reduced by 80% cholera toxin–induced intestinal fluid secretion in a closed-loop model of cholera.

GMC 1-109

Axon 1289



mg	Price
5	online
25	online

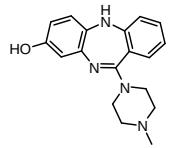
[183140-96-7]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C19H19F3N4O3S MW: 440.44

Biological activity
Analogue of clozapine (Axon 1146); devoid of DA, 5-HT2, H1 and α1 affinities, but with high M1 affinity (IC50 value of 35 nM).

GMC 1-116

Axon 1151



mg	Price
10	online
50	online

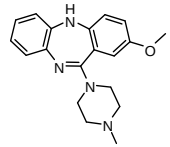
[63687-94-5]
Purity: 98%

No solubility data
C18H20N4O MW: 308.38

Biological activity
Analogue and metabolite of clozapine (Axon 1146); devoid of DA and α1 affinities, with weak 5-HT2 affinities but high M1 affinity (IC50=27 nM)

GMC 1-161

Axon 2851



mg	Price
5	online
25	online

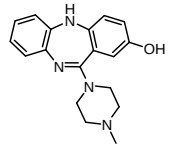
[95316-97-5]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C19H22N4O MW: 322.40

Biological activity
Analogue of clozapine (Axon 1146); devoid of affinity for the DA D1 receptor, but with affinities at 5-HT2A/2C, hM1 and DA D2 receptors.

GMC 1-165

Axon 1152



mg	Price
10	online
50	online

[156632-07-4]
Purity: 99%

No solubility data
C18H20N4O MW: 308.38

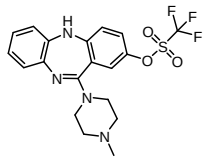
Biological activity
AZD 1152-HQPA is a highly potent and selective inhibitor of Aurora B, with Ki values to be 0.36 (Aurora B) and 1369 nM (Aurora A) respectively and has a high specificity versus a panel of 50 other kinases.The dihydrogen phosphate prodrug, AZD 1152 (Barasertib), is converted rapidly to active AZD1152-HQPA in plasma

GMC 1-169

Axon 1148

[183140-97-8]
Purity: 99%

Soluble in DMSO
C19H19F3N4O3S MW: 440.44



mg	Price
10	online
50	online

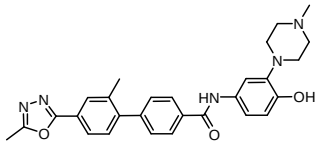
Biological activity
Atypical antipsychotic lack of muscarinic activity

GMC 2-113

Axon 1083

[256227-77-7]
Purity: 98%

No solubility data
C28H29N5O3 MW: 483.56



mg	Price
10	online
50	online

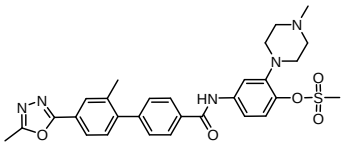
Biological activity
Selective 5-HT1B antagonist; ratio of IC50 affinities for 1B vs 1D up to 63

GMC 2-118

Axon 1084

[256227-78-8]
Purity: 98%

No solubility data
C29H31N5O5S MW: 561.65



mg	Price
10	online
50	online

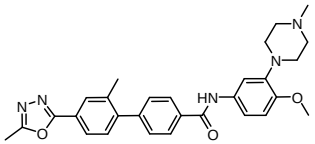
Biological activity
Selective 5-HT1B antagonist, very potent in function assays

GMC 2-29

Axon 1080

[148672-15-5]
Purity: 98%

No solubility data
C29H31N5O3 MW: 497.59



mg	Price
10	online
50	online

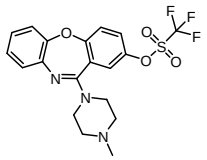
Biological activity
Selective 5-HT1B/1D antagonist; GR 127935-like drug with even greater potency in function assays

GMC 2-83

Axon 1150

[183140-98-9]
Purity: 99%

No solubility data
C19H18F3N3O4S MW: 441.42



mg	Price
10	online
50	online

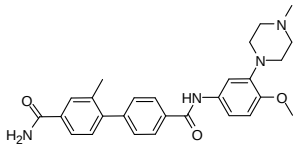
Biological activity
Atypical antipsychotic lack of muscarinic activity

GMC 3-15

Axon 1081

[691846-63-6]
Purity: 99%

Soluble in DMSO
C27H30N4O3 MW: 458.55



mg	Price
10	online
50	online

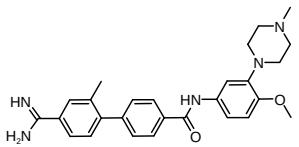
Biological activity
Very potent and selective 5-HT1B/1D antagonist

GMC 15-27

Axon 1082

[256227-71-1]
Purity: 98%

No solubility data
C27H31N5O2 MW: 457.57



mg	Price
10	online
50	online

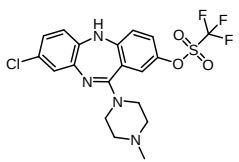
Biological activity
Selective 5-HT1B/1D antagonist; most potent in collection

GMC 61-39

Axon 1149

[234113-94-1]
Purity: 98%

No solubility data
C19H18ClF3N4O3S MW: 474.88

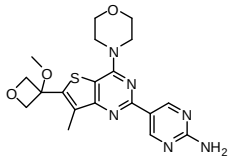


mg	Price
10	online
50	online

Biological activity
Clozapine-like atypical antipsychotic

GNE 317

Axon 2994



mg	Price
5	online
25	online

[1394076-92-6]
Purity: 98%

Soluble in DMSO
C19H22N6O3S MW: 414.48

Biological activity
GNE 317 is a brain-penetrant PI3K α-isoform inhibitor with a Ki value of 2 nM. Besides a low e□ux in vitro, GNE 317 demonstrated pathway inhibition in normal brain tissue, inhibition of tumor growth in the U87 model of glioblastoma, and good potency in a panel of GBM cell lines.

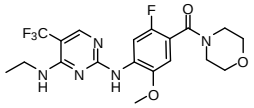
GNE 390

Axon 1782

See GDC 0980
Page 417

GNE 7915

Axon 2348



mg	Price
10	online
50	online

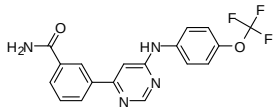
[1351761-44-8]
Purity: 99%

Soluble in DMSO
C19H21F4N5O3 MW: 443.40

Biological activity
Highly potent, selective, metabolically stable, and brain-penetrable LRRK2 inhibitor (IC50 value 9 nM for phospho-LRRK2 in vitro). GNE 7915 is selective across 187 screened kinases, and >3200 and 53 times selective over JAK2 and TTK, respectively. GNE 7915 does not suppress LPS-stimulated TNFα and CXCL10 levels in LPS-treated primary wild-type (WT) or knockout (KO) astrocyte cultures, and is not reported to cause cellular or genetic toxicity.

GNF 2

Axon 1882



mg	Price
10	online
50	online

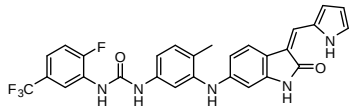
[778270-11-4]
Purity: 99%

Soluble in DMSO
C18H13F3N4O2 MW: 374.32

Biological activity
Selective and allosteric inhibitor of Bcr-Abl tyrosine kinase, with IC50 of 267 nM and inactive at a panel of 63 other kinases, including native c-Abl; A new class of Bcr-Abl inhibitor to treat resistant Chronic myelogenous leukemia (CML)

GNF 5837

Axon 2248



mg	Price
5	online
25	online

[1033769-28-6]
Purity: 99%

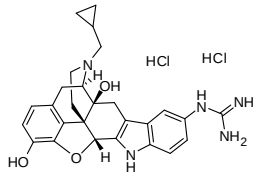
Soluble in DMSO
C28H21F4N5O2 MW: 535.49

Biological activity
Potent, selective, and orally bioavailable tropomyosin receptor kinase (TRK) inhibitor with efficacy in rodent cancer tumor models (IC50 values 11, 9, and 7 nM for TRK A, B and C respectively in cellular Ba/F3 assay). Up to 100% tumor regression was observed in tumor xenografts derived from RIE cells expressing both TRKA and NGF.

GNTI dihydrochloride

Axon 1226

Guanidinonaltrindole dihydrochloride, 6'-



mg	Price
5	online
25	online

[351183-88-5]
Purity: 99%

No solubility data
C27H29N5O3.2HCl MW: 544.47

Biological activity
kappa opioid antagonist

GO 3450

Axon 1301

See Gabapentin
Page 414

GO 6983

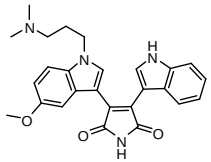
Axon 2466

See Gö 6983
Page

Gö 6983

Axon 2466

GO 6983; Goe 6983



mg	Price
5	online
25	online

[133053-19-7]
Purity: 99%

Soluble in DMSO
C26H26N4O3 MW: 442.51

Biological activity
Broad spectrum PKC inhibitor lacking inhibitory effect for the PKCμ isotype (IC50 values ranging from 7-60 nM for PKCα-PKCζ , and 20000 nM for PKCμ, respectively). Gö6983 significantly enhanced ERK1/2 activity not only in IL-6-stimulated cells, but also the basal ERK1/2 activity in non-stimulated cells; yet, it has no effect on IL-6-triggered B9 cell proliferation, suggesting a crucial role for PKCμ Useful tool for isolation, generation, derivatization and stabilization of naive human pluripotent stem cells in so called NHSM conditions developed at the Weizmann Institute of Science

Goe 6983

Axon 2466

See Gö 6983

Page 426

GOE 3450

Axon 1301

See Gabapentin

Page 414

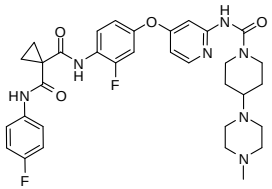
Golvatinib

Axon 1959

E 7050

[928037-13-2]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C33H37F2N7O4 MW: 633.69



mg	Price
10	online
50	online

Biological activity
Potent and orally available inhibitor of c-MET (HGFR) (IC50: 14 nM) and VEGFR-2 (IC50: 16 nM); Golvatinib inhibits the activities of both c-Met and VEGFR-2, which may inhibit tumor cell growth and survival of tumor cells that overexpress these receptor tyrosine kinases

GP-47-680

Axon 3308

See Oxcarbazepine **Recent Addition**

Page 609

GPP

Axon 1489

See Geranyl pyrophosphate ammonium salt

Page 418

GR 43175

Axon 1352

See Sumatriptan succinate

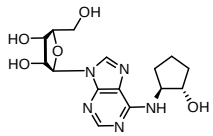
Page 743

GR 79236

Axon 1287

[124555-18-6]
Purity: 99%

Soluble in water, DMSO and Ethanol
C15H21N5O5 MW: 351.36



mg	Price
10	online
50	online

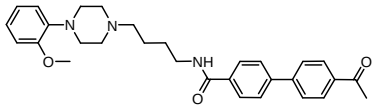
Biological activity
Adenosine A1 receptor agonist

GR 103691

Axon 1347

[162408-66-4]
Purity: 99%

Moderately soluble in DMSO
C30H35N3O3 MW: 485.62



mg	Price
10	online
50	online

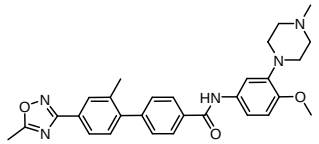
Biological activity
Dopamine D3 receptor antagonist

GR 127935

Axon 1079

[148672-13-3]
Purity: 98%

No solubility data
C29H31N5O3 MW: 497.59



mg	Price
5	online
25	online

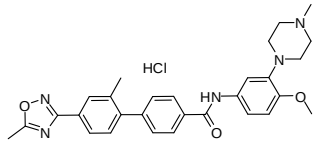
Biological activity
Putative and selective 5-HT1B/1D antagonist

GR 127935 hydrochloride

Axon 1813

[148642-42-6]
Purity: 98%

Soluble in water and DMSO
C29H31N5O3.HCl MW: 534.5



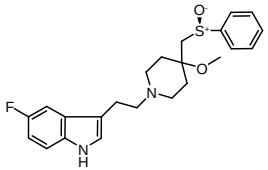
mg	Price
10	online
50	online

Biological activity
Putative and selective 5-HT1B/1D antagonist

GR 159897

Axon 1119

[158848-32-9]
Purity: 99%
98% ee
Soluble in DMSO
C23H27FN2O2S MW: 414.54



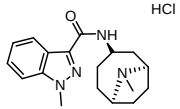
mg	Price
5	online
25	online

Biological activity
Potent and selective non-peptide neurokinin NK2 receptor antagonist

Granisetron hydrochloride

Axon 1449

BRL 43694



[107007-99-8]
Purity: 99%

Soluble in water
C18H24N4O.HCl MW: 348.87

mg	Price
25	online
100	online

Biological activity
Serotonin 5-HT3 receptor antagonist used as an antiemetic to treat nausea and vomiting following chemotherapy; water-soluble form

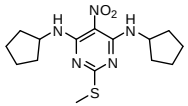
GRL 40476

Axon 1296

See Modafinil Page 556

GS 39783

Axon 1820



[39069-52-8]
Purity: 99%

Moderately soluble in DMSO
C15H23N5O2S MW: 337.44

mg	Price
10	online
50	online

Biological activity
Positive allosteric modulator at GABAB receptor

GS 4104 phosphate

Axon 3136

See Oseltamivir phosphate Page 606

GS 4997

Axon 2956

See Selonsertib Page 707

GS 9820

Axon 2857

See Acalisib Page 184

GS-5734

Axon 3110

See Remdesivir Page 668

GS-5816

Axon 3173

See Velpatasvir Recent Addition Page 794

GS-7340

Axon 3302

See Tenofovir alafenamide Recent Addition Page 759

GS7977

Axon 3301

See Sofosbuvir Recent Addition Page 722

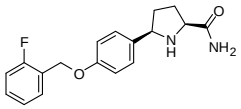
GSI 953

Axon 2117

See Begacestat Page 264

GSK 2

Axon 1899



[934240-30-9]
Purity: 99%

Soluble in DMSO
C18H19FN2O2 MW: 314.35

mg	Price
5	online
25	online

Biological activity
Sodium channel blocker with potent anticonvulsant activity; potential for novel treatment for Schizophrenia

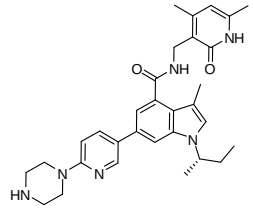
GSK2 HCl

Axon 2548

See CNV 1014802 hydrochloride Page 326

GSK 126

Axon 2140



[1346574-57-9]
Purity: 98%
optically pure
Soluble in DMSO
C31H38N6O2 MW: 526.67

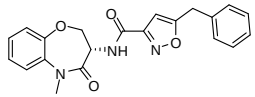
mg	Price
2	online
5	online

Biological activity
Potent, selective, cell-active inhibitor of histone lysine methyltransferase (HMTase or HMT; H3K27 selective) EZH2 (Ki 0.57 nM; IC50 9.9 nM); more than 150-fold selective for EZH2 versus EZH1 (Ki 89 nM) and 20 other human methyltransferases. GSK126 effectively inhibits proliferation of EZH2 mutant DLBCL cell lines and growth of EZH2 mutant DLBCL xenografts in mice.

GSK481

Axon 2608

GSK'481



[1622849-58-4]
Purity: 98%

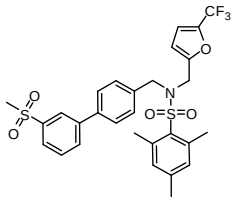
Soluble in DMSO
C21H19N3O4 MW: 377.39

mg	Price
5	online
25	online

Biological activity
Potent inhibitor of Receptor-interacting serine/threonine-protein kinase 1 (RIPK1 or RIP1; IC50 value 2.8 nM for inhibition of S166 phosphorylation of hWT RIP1) exhibiting a remarkable specificity over >450 other kinases. GSK'481 protects against TNF-induced inflammation and lethal shock.

GSK 2033

Axon 2363



[1221277-90-2]
Purity: 100%

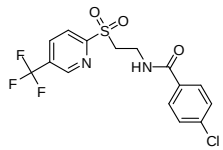
Soluble in DMSO
C29H28F3NO5S2 MW: 591.66

mg	Price
5	online
25	online

Biological activity
The first potent cell-active LXR antagonist (IC50 value 31.6 nM for LXRβ binding). In intact cells stimulated with LXR agonist, GSK 2033 showed a dose-dependent reduction in the expression of the ATP-binding cassette transporter A1 (ABCA1) in THP-1 cells and SREBP-1c in HepG2 cells. A useful chemical probe to explore the cell biology of the LXR receptor.

GSK 3787

Axon 1628



[188591-46-0]
Purity: 99%

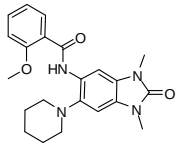
Soluble in DMSO
C15H12ClF3N2O3S MW: 392.78

mg	Price
10	online
50	online

Biological activity
Selective and irreversible peroxisome proliferator-activated receptor (PPAR) delta (PPARδ) antagonist

GSK 5959

Axon 2410



[901245-65-6]
Purity: 99%

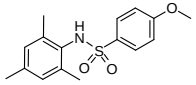
Soluble in DMSO
C22H26N4O3 MW: 394.47

mg	Price
10	online
50	online

Biological activity
Potent, cell permeable inhibitor of BRPF1 bromodomain with excellent selectivity over other bromodomains (pIC50 values 7.1 (BRPF1), 5.1 (BRPF2), and <4.3 (BRD4-BD1).

GSK 137647A

Axon 2582



[349085-82-1]
Purity: 99%

Soluble in DMSO and Ethanol
C16H19NO3S MW: 305.39

mg	Price
10	online
50	online

Biological activity
Potent FFA4/GPR120 agonist (pEC50 value 6.3 nM for hFFA4) with >100-fold selectivity over a panel of 65 targets including FFA1-FFA3. GSK137647A reproduces the secretion of active GLP-1 mediated by long-chain Fas (LCFAs), especially ALA.

GSK 189074

Axon 1634

See Remogliflozin Page 669

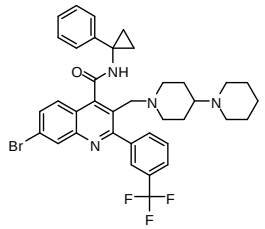
GSK 1349572

Axon 2855

See Dolutegravir Page 368

GSK 2193874

Axon 2742



[1336960-13-4]
Purity: 98%

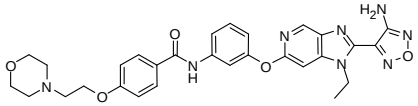
Soluble in DMSO
C37H38BrF3N4O MW: 691.62

mg	Price
10	online
50	online

Biological activity
GSK 2193874 is a potent, selective, and orally active TRPV4 channel blocker (IC50 values of 2 and 40 nM for rTRPV4 and hTRPV4, respectively). TRPV4 blockade with GSK 2193874 provided protection against the development of pulmonary edema and the resulting deficits in arterial oxygenation in heart failure models in vivo.

GSK 269962A

Axon 1167



[850664-21-0]
Purity: 99%

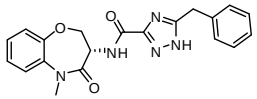
Soluble in DMSO
C29H30N8O5 MW: 570.60

mg	Price
2	online
5	online
25	online

Biological activity
Highly potent and selective inhibitor of Rho-Kinase (ROCK), with IC50 values: 1.6 nM toward ROCK1 and 6 nM toward ROCK2 and high kinase selectivity (>30 fold selective for ROCK compared to other protein kinases tested)and with antihypertensive activity; cardiovascular diseases category. GSK269962A has a much improved potency and selectivity in comparison with Y-27632, which has IC50 values of 140-220 nM for ROCK1 and ROCK2

GSK 2982772

Axon 2713



[1622848-92-3]
Purity: 99%
Optically pure
Soluble in DMSO
C20H19N5O3 MW: 377.40

mg	Price
2	online
5	online

Biological activity
GSK2982772 potently binds to RIP1 with exquisite kinase specificity (IC50 value of 1.0 nM; ADP-Glo activity assay) and has excellent activity in blocking many TNF-dependent cellular responses (IC50 value of 6.3 nM; human monocytic U937 cellular assay). The inhibitor was also able to reduce spontaneous production of cytokines from human ulcerative colitis explants. First-in-class RIP1 inhibitor to enter clinical trials for psoriasis, rheumatoid arthritis, and ulcerative colitis.

GSK 3235025

Axon 2831

See EPZ 015666

Page 387

GSK 424323

Axon 1536

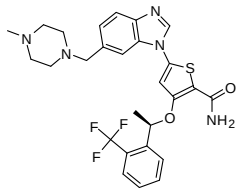
See Odiparcil

Page 601

GSK 461364

Axon 1688

[929095-18-1]
Purity: 99%
99% ee
Soluble in DMSO
C27H28F3N5O2S MW: 543.60



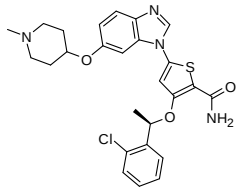
mg	Price
5	online
25	online

Biological activity
Potent and selective Polo-like kinase (PLK) 1 inhibitor, more selective at PLK1 (Ki: 2.2 nM) over PLK2 and PLK3.

GSK 461364 analogue I

Axon 1625

[929095-23-8]
Purity: 99%
optically pure
Soluble in DMSO
C26H27ClN4O3S MW: 511.04



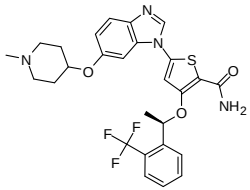
mg	Price
5	online
25	online

Biological activity
Potent and selective Polo-like kinase (PLK) 1 inhibitor, more selective at PLK1 (IC50: 2 nM) over PLK3 (IC50: 630 nM)

GSK 461364 analogue II

Axon 1626

[929095-22-7]
Purity: 99%
optically pure
Soluble in DMSO
C27H27F3N4O3S MW: 544.59



mg	Price
5	online
25	online

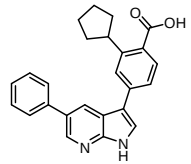
Biological activity
Potent Polo-like kinase (PLK) inhibitor, selective at PLK1 (IC50: 2 nM) over PLK3 (IC50: 270 nM)

GSK 650394

Axon 1570

[890842-28-1]
Purity: 99%

Soluble in DMSO
C25H22N2O2 MW: 382.45



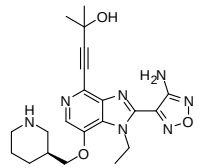
mg	Price
5	online
10	online

Biological activity
Specific inhibitor of serum- and glucocorticoid-regulated kinases 1 (SGK 1), with IC50 values to be 62 and 103 nM for SGK1 and SGK2 respectively

GSK 690693

Axon 1729

[937174-76-0]
Purity: 99%
optically pure
Soluble in DMSO
C21H27N7O3 MW: 425.48



mg	Price
5	online
25	online

Biological activity
Potent and ATP-competitive Akt kinase inhibitor, with IC50 to be 2, 13 and 9 nM for Akt1, Akt2 and Akt3 respectively

GSK 742457

Axon 1382

See SB 742457

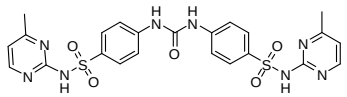
Page 700

GSK837149

Axon 2617

[13616-29-0]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C23H22N8O5S2 MW: 554.60

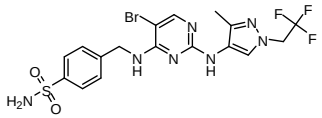


mg	Price
10	online
50	online

Biological activity
Selective inhibitor of human fatty acid synthase (FAS; Ki value 30 nM) that acts by inhibition the β-ketoacyl reductase activity of the enzyme.

GSK 8612

Axon 3007



[2361659-62-1]
Purity: 99%

Soluble in DMSO
C17H17BrF3N7O2S MW: 520.33

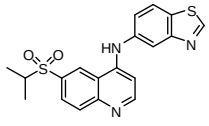
mg	Price
5	online
25	online

Biological activity
GSK 8612 is a potent and highly selective TBK1 inhibitor (pIC50 value of 6.8). In cellular assays, GSK 8612 inhibited toll-like receptor (TLR)3-induced interferon regulatory factor (IRF)3 phosphorylation in Ramos cells and type I interferon (IFN) secretion in primary human mononuclear cells. In THP1 cells, GSK 8612 was able to inhibit secretion of interferon beta (IFNβ) in response to dsDNA and cGAMP, the natural ligand for STING.

GSK'872

Axon 3024

GSK2399872A



[1346546-69-7]
Purity: 98%

Soluble in 0.1N HCl (aq) and DMSO
C19H17N3O2S2 MW: 383.49

mg	Price
10	online
50	online

Biological activity
GSK´872 is a potent and selective RIP3 kinase inhibitor with an IC50 value of 1.8 nM for RIP3 kinase binding and an IC50 value of 1.3 nM for inhibition of kinase activity.

GSK 1014802 HCl

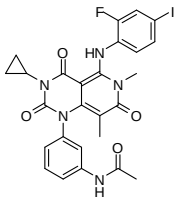
Axon 2548

See CNV 1014802 hydrochloride
Page 326

GSK 1120212

Axon 1761

Trametinib; JTP 74057



[871700-17-3]
Purity: 99%

Soluble in DMSO
C26H23FIN5O4 MW: 615.39

mg	Price
5	online
25	online

Biological activity
Highly potent and selective MEK inhibitor, with IC50 values to be 0.7 and 0.9 nM for MEK1 and MEK2 respectively and with long circulating half-life

GSK 1363089

Axon 1582

See Foretinib
Page 409

GSK 1838705

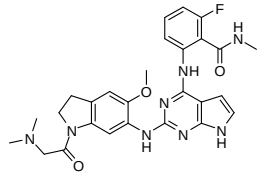
Axon 2267

See GSK 1838705A
Page 436

GSK 1838705A

Axon 2267

GSK 1838705



[1116235-97-2]
Purity: 99%

Soluble in DMSO
C27H29FN8O3 MW: 532.57

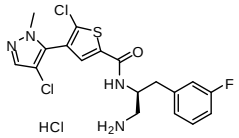
mg	Price
5	online
25	online

Biological activity
Potent and selective insulin-like growth factor-1 receptor (IGF-IR) and insulin receptor (IR) kinase inhibitor with additional affinity for anaplastic lymphoma kinase (ALK) with IC50 values of 2.0 nM, 1.6 nM, and 0.6 nM, for IGF1R, IR, and ALK respectively, and >800-fold selectivity over related kinases, including RSK1, JNK3, and B-Raf V600E GSK 1838705A inhibits the proliferation of cancer cell lines, comprises the growth of human tumor xenografts in vivo, and causes complete regression of ALK-dependent tumors in vivo at well-tolerated doses.

GSK 2110183 hydrochloride

Axon 2460

Afuresertib hydrochloride



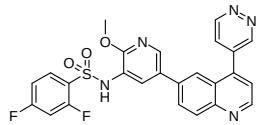
[1047645-82-8]
Purity: 99%
Optically pure
Soluble in water and DMSO
C18H17Cl2FN4OS.HCl MW: 463.78

mg	Price
5	online
25	online

Biological activity
Potent, reversible, selective, and orally bioavailable inhibitor of the Akt kinases (Ki values 0.08 nM, 2 nM, and 2.6 nM for Akt1, Akt2, and Akt3, respectively), with some inhibitory effect on PKA and PKG1a. GSK2110183 preferentially inhibits the proliferation of human cancer cells lines with Akt pathway activation, and various cell lines derived from hematologic malignancies, in an ATP-competitive manner and with a minimal effect on glucose homeostasis.

GSK 2126458

Axon 1596



[1086062-66-9]
Purity: 99%

Soluble in DMSO
C25H17F2N5O3S MW: 505.50

mg	Price
2	online
5	online

Biological activity
Highly potent and orally bioavailable inhibitor of PI3K and mTOR in vitro and in vivo;Ki values to be 0.019, 0.13, 0.024 and 0.06 nM for p110 α, β, δ and γ isoforms and 0.18 and 0.3 nM for mTORC1 and mTORC2 respectively

GSK 2256294

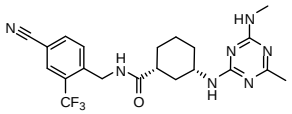
Axon 2220

See GSK 2256294A
Page 436

GSK 2256294A

Axon 2220

GSK 2256294



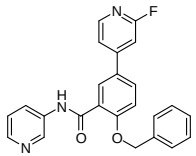
[1142090-23-0]
Purity: 99%
Optically pure (absolute stereochemistry)
Soluble in DMSO
C21H24F3N7O MW: 447.46

mg	Price
2	online
5	online

Biological activity
GSK2256294A is a potent, reversible, tight binding inhibitor of isolated recombinant human sEH (IC50 value 27 pM), and displays potent inhibition against the rat (IC50 = 61 pM) and murine (IC50 = 189 pM) orthologs of sEH. GSK2256294A also displays potent cellular inhibition (IC50 = 0.66 nM) of sEH in a cell line transfected with the human sEH enzyme. The selectivity of the compound has been demonstrated by testing against a large panel of enzymes, receptors and ion channels, including the phosphatase activity of EPHX2.

GSK 2578215A

Axon 2181



[1285515-21-0]
Purity: 99%

Soluble in DMSO
C24H18FN3O2 MW: 399.42

mg	Price
10	online
50	online

Biological activity
Potent and exceptionally highly selective Leucine-rich repeat kinase 2 (LRRK2) inhibitor (IC50 values 10.9 and 8.9 nM for wild-type LRRK2 and LRRK2[G2019S] mutant, respectively.) GSK2578215A possesses good blood-brain barrier (BBB) permeability with a high ratio of brain to plasma distribution in mice.

GSK 2586184

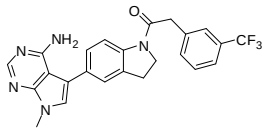
Axon 2539

See Solcitinib

Page 723

GSK 2606414

Axon 2233



[1337531-36-8]
Purity: 99%

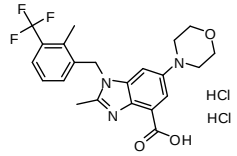
Soluble in DMSO
C24H20F3N5O MW: 451.44

mg	Price
5	online
25	online

Biological activity
Potent and selective first-in-class inhibitor of protein kinase R (PKR)-like endoplasmic reticulum kinase (PERK or EIF2AK3) with IC50 value of 0.4 nM and >1000 fold selectivity over EIF2AK1 (HRI) and EIF2AK2 (PKR). Overall, good selectivity was observed, with only 20 protein kinases inhibited >85% by GSK 2606414 at 10 μM during screening against a panel of 294 kinases. It inhibits the growth of a human tumor xenograft in mice with good oral bioavailability and blood-brain barrier penetration. PERK is a type I ER membrane protein and one of three primary effectors of the unfolded protein response (UPR), which has a demonstrated role in tumor growth and angiogenesis.

GSK 2636771 dihydrochloride

Axon 1912



[1372540-25-4]
Purity: 99%

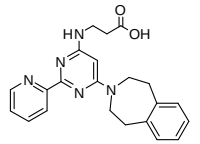
Soluble in 0.1N NaOH(aq) and DMSO
C22H22F3N3O3.2HCl MW: 506.35

mg	Price
5	online
25	online

Biological activity
Potent, orally available and specific PI3K p110β (PI3K beta, PI3Kβ) inhibitor

GSK J1

Axon 1934



[1373422-53-7]
Purity: 99%

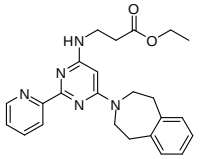
Soluble in 0.1N HCl(aq) and DMSO
C22H23N5O2 MW: 389.45

mg	Price
5	online
25	online

Biological activity
The first selective and potent histone demethylase JMJD3/UTX inhibitor; blocks demethylation of histone H3K27; showed no activity against a panel of JMJ family demethylases and 100 protein kinases.Available also a cell permeable ethyl ester derivative GSK J4 (Axon 1933), which is a prodrug suitable for cell-based studies and will be hydrolyzed to GSK-J1 in cells rapidly

GSK J4

Axon 1933



[1373423-53-0]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C24H27N5O2 MW: 417.50

mg	Price
5	online
25	online

Biological activity
Histone demethylase JMJD3/UTX inhibitor; blocks demethylation of histone H3K27; As a cell permeable ethyl ester derivative of GSK J1 (Axon 1934), it is a suitable prodrug form for cell-based studies.It is rapidly hydrolyzed in cells, generateing pharmacologically relevant intracellular concentrations of GSK-J1

GSK11a

Axon 3064

See HOIPIN 11a

Page 451

GSK-1605786

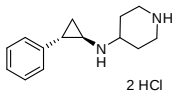
Axon 2685

See Vercirnon

Page 796

GSK-LSD1

Axon 2375



	mg	Price
[N.A.] Purity: 99% mixture of trans-diastereomers Soluble in water and DMSO C14H20N2.2HCl MW: 289.24	10	online
	50	online

Biological activity
Irreversible inhibitor of the KDM1 family histone demethylase LSD1 (IC50 value 16 nM). GSK-LSD1 is >1000 fold selective over closely related FAD utilizing enzymes (i.e. LSD2, MAO-A, MAO-B) and induces gene expression changes in cancer cell lines (average EC50 <5 nM) and inhibits cancer cell line growth (average EC50 <5 nM).

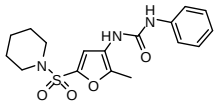
GSK2399872A

Axon 3024

See GSK'872
Page 435

GSK264220A Recent Addition

Axon 3213

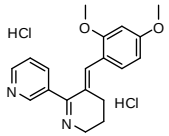


	mg	Price
[685506-42-7] Purity: 99%	5	online
Soluble in DMSO C17H21N3O4S MW: 363.43	25	online

Biological activity
GSK264220A is a potent inhibitor of endothelial lipase (EL) and lipoprotein lipase (LPL) with IC50 values of 0.13 and 0.10 µM, respectively.

GTS 21 dihydrochloride

Axon 2860



	mg	Price
[156223-05-1] Purity: 100%	10	online
Soluble in water and DMSO C19H20N2O2.2HCl MW: 381.30	50	online

Biological activity
Selective α7 nicotinic acetylcholine receptor (nAChR) partial agonist. At significantly higher concentrations GTS 21 behaves as an antagonist of α4β2 nAChRs and related type 3 5-HT receptors.

Guanidinonaltrindole dihydrochloride, 6'-

Axon 1226

See GNTI dihydrochloride
Page 426

GV 150526A

Axon 1262

See Gavestinel
Page 415

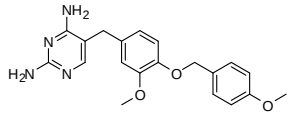
GW 102

Axon 1352

See Sumatriptan succinate
Page 743

GW 2580

Axon 2571



	mg	Price
[870483-87-7] Purity: 99%	10	online
Soluble in DMSO C20H22N4O3 MW: 366.41	50	online

Biological activity
An orally bioavailable inhibitor of cFMS kinase (IC50 value of 0.03 µM in vitro) and the CSF1R receptor (Kd value 1.6 nM) that competitively blocks the ATP binding site of cFMS. GW 2580 was inactive against 26 kinases in vitro and did not inhibit the growth of mouse NS0 lymphoblastoid cells, human fibroblasts, human endothelial cells, and five human tumor cell lines. GW 2580 also interacts with TrkA, TrkB, and TrkC (Kd values 630 nM, 36 nM, and 120 nM, respectively)

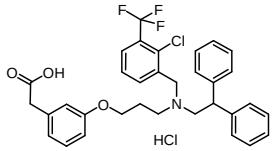
GW 3430

Axon 1569

See GW 803430
Page 443

GW 3965 hydrochloride

Axon 1266

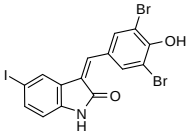


	mg	Price
[405911-17-3] Purity: 99%	10	online
Soluble in DMSO and Ethanol C33H31ClF3NO3.HCl MW: 618.51	50	online

Biological activity
Selective and orally active liver X receptor (LXR) full agonist

GW 5074

Axon 1984

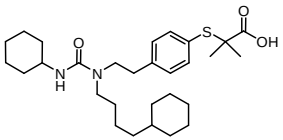


	mg	Price
[220904-83-6] Purity: 99%	5	online
Soluble in 0.1N NaOH(aq) and DMSO MW: 520.94	25	online

Biological activity
Potent, brain-permeable inhibitor of c-Raf (IC50 value 9 nM) when tested in vitro with no effect on the activities of CDK1/2/5/6, JNK1/2/3, c-Src, MEK1, p38 MAP kinase, VEGFR2, and c-fms. In contrast to its effect in vitro, treatment of neurons with GW 5074 causes c-Raf activation (when measured in vitro inthe absence of the drug) and stimulates the Raf-MEK-ERK pathway.

GW 7647

Axon 1237

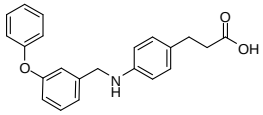


	mg	Price
[265129-71-3] Purity: 99%	5	online
Soluble in DMSO and Ethanol C29H46N2O3S MW: 502.75	10	online

Biological activity
Potent and selective Peroxisome proliferator-activated receptor-α (PPARα) agonist

GW 9508

Axon 2013



[885101-89-3]
Purity: 100%

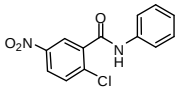
Soluble in 0.1N NaOH(aq) and DMSO
C22H21NO3 MW: 347.41

mg	Price
10	online
50	online

Biological activity
Potent and selective agonist for the free fatty acid receptor FFA1 (GPR40)

GW 9662

Axon 2262



[22978-25-2]
Purity: 100%

Soluble in DMSO and Ethanol
C13H9ClN2O3 MW: 276.68

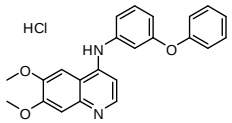
mg	Price
10	online
50	online

Biological activity
Potent PPARγ antagonist (IC50 values 3.3 nM, 32 nM and 2000 nM for PPARγ, PPARα, and PPARδ, respectively) which inhibits growth of breast tumour cells and promotes the anticancer effects of the PPARγ agonist rosiglitazone, independently of PPARγ activation. GW 9662 profoundly improved healing and induced angiogenesis in human mesenchymal stem cells (hMSCs), and reversed the protection of endotoxin (lipopolysaccharide, LPS) in a model of renal ischemia-reperfusion.

GW 284543 hydrochloride

Axon 3059

UNC 10225170 hydrochloride



[179246-08-3]
Purity: 99%

Soluble in DMSO
C23H20N2O3.HCl MW: 408.88

mg	Price
10	online
50	online

Biological activity
GW 284543 hydrochloride is a selective MEK5 inhibitor. GW 284543 treatment dose-dependently inhibited MEK5, as determined by reductions in pERK5, and decreased endogenous MYC protein.

GW 311616 hydrochloride

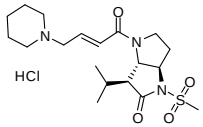
Axon 2364

See GW 311616A
Page

GW 311616A

Axon 2364

GW 311616 hydrochloride



[197890-44-1]
Purity: 99%
>99% ee
Soluble in water and DMSO
C19H31N3O4S.HCl MW: 433.99

mg	Price
2	online
5	online

Biological activity
Potent, selective and orally active human neutrophil elastase (HNE) inhibitor (IC50 value 22 nM). GW311616A is selective over other human serine proteases (IC50 values >100 μM for trypsin, cathepsin G, and plasmin, >3 μM for chymotrypsin and tissue plasminogen activator), and does not inhibit AchE at 100 μM.

GW 353162A

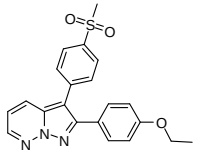
Axon 1123

See Radafaxine hydrochloride
Page 663

GW 406381

Axon 1974

GW 406381X



[221148-46-5]
Purity: 99%

Soluble in DMSO
C21H19N3O3S MW: 393.46

mg	Price
10	online
50	online

Biological activity
Highly selective cyclooxygenase-2 (COX-2) inhibitor that is effective in animal models of central sensitization and of inflammatory pain

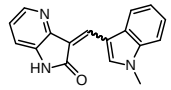
GW 406381X

Axon 1974

See GW 406381
Page 442

GW 441756

Axon 1251



[504433-23-2]
Purity: 99%

Moderately soluble in DMSO
C17H13N3O MW: 275.30

mg	Price
5	online
10	online

Biological activity
Potent and orally active TrkA kinase inhibitor (IC50= 2nM)

GW 572016

Axon 1395

See Lapatinib ditosylate
Page 501

GW 679769B

Axon 1901

See Casopitant mesylate
Page 299

GW 685698X

Axon 1172

See Fluticasone furoate

Page 407

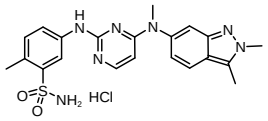
GW 786034

Axon 1420

Pazopanib hydrochloride

[635702-64-6]
Purity: 98%

Soluble in DMSO
C21H23N7O2S.HCl MW: 473.98



mg	Price
5	online
25	online

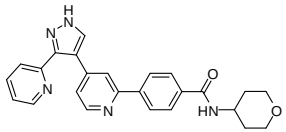
Biological activity
A potent and selective inhibitor of tyrosine kinases, targeting VEGFR/c-KIT/PDGFR, blocking angiogenesis; as an oral antineoplastic agent

GW 788388

Axon 1832

[452342-67-5]
Purity: 99%

Soluble in DMSO
C25H23N5O2 MW: 425.48



mg	Price
2	online
10	online

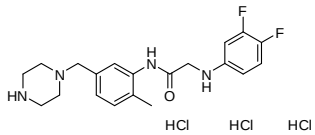
Biological activity
Potent, orally active and selective inhibitor of transforming growth factor beta receptor I (TGF-βR1) (activin receptor-like kinase 5, ALK5)

GW 791343 hydrochloride

Axon 1967

[309712-55-8]
Purity: 98%

Soluble in water and DMSO
C20H24F2N4O.3HCl MW: 483.81



mg	Price
5	online
25	online

Biological activity
P2X7 receptor antagonist; GW791343 was a negative allosteric modulator of the human P2X(7) receptor but at the rat P2X(7) receptor its predominant effect was positive allosteric modulation

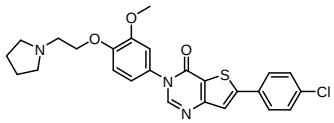
GW 803430

Axon 1569

GW 3430

[515141-51-2]
Purity: 99%

Soluble in DMSO
C25H24ClN3O3S MW: 481.99



mg	Price
5	online
25	online

Biological activity
Selective, non-peptide antagonist at the melanin concentrating hormone receptor 1 (MCH1 aka MCH R1). In animal studies it has anxiolytic, antidepressant and anorectic effects

GW 823296B

Axon 1618

See Orvepitant maleate

Page 605

GW 823296X maleate

Axon 1618

See Orvepitant maleate

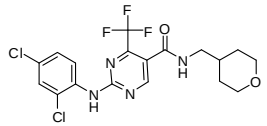
Page 605

GW 842166X

Axon 1925

[666260-75-9]
Purity: 98%

Soluble in DMSO
C18H17Cl2F3N4O2 MW: 449.25



mg	Price
10	online
50	online

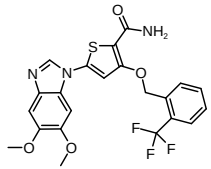
Biological activity
Potent and selective cannabinoid CB2 receptor agonist; with an oral ED50 of 0.1 mg/kg in the rat FCA model of inflammatory pain; clinical candidate

GW 843682X

Axon 1131

[660868-91-7]
Purity: 99%

Soluble in DMSO
C22H18F3N3O4S MW: 477.46



mg	Price
5	online
10	online

Biological activity
Polo-like kinase (PLK) inhibitor; selective at PLK1 (IC50: 2 nM) and PLK3 (IC50: 9 nM)

GW4869 trifluoroacetate

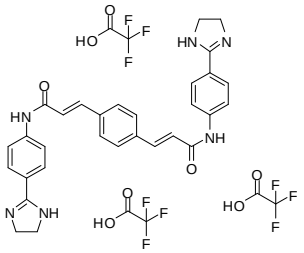
Recent Addition

Axon 3289

GW554869 TFA

[475570-61-7 (parent)]
Purity: 97%

Soluble in DMSO
C30H28N6O2.2C2HF3O2 MW: 732.63



mg	Price
2	online
5	online

Biological activity
GW4869 is a noncompetitive inhibitor of neutral, magnesium-dependent sphingomyelinase (N-SMase) with an IC50 value of 1 μM. GW4869 did not inhibit acid SMase at up to at least 150 μM.
**GW4869 has a bad solubility in DMSO and/or other solvents. GW4869 is usually formulated as a suspension. GW4869 (TFA) has a better solubility and bioavailability than GW4869 (HCl).*

GW554869 TFA

Axon 3289

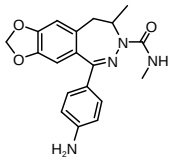
See GW4869 trifluoroacetate Recent Addition

Page 444

GYKI 53655

Axon 1374

LY 300168



[143692-18-6]
Purity: 99%

Soluble in DMSO and Ethanol
$C_{19}H_{20}N_4O_3$ MW: 352.39

mg	Price
2	online
5	online

Biological activity
Selective AMPA receptor antagonist; a more useful tool than NBQX for the study of AMPA receptor-mediated processes in vivo

GZR 123

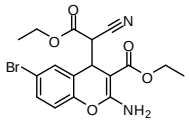
Axon 1975

See Dilept

Page 363

HA 14-1

Axon 2007



[65673-63-4]
Purity: 98%

Soluble in DMSO and EtOH
C17H17BrN2O5 MW: 409.23

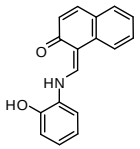
mg	Price
10	online
50	online

Biological activity
Bcl-2 antagonist and apoptosis inducer of tumor cells; HA14-1 induces the activation of Apaf-1 and caspases.

HAMNO

Axon 2390

NSC 111847



[138736-73-9]
Purity: 99%

Soluble in DMSO
C17H13NO2 MW: 263.29

mg	Price
10	online
50	online

Biological activity
Novel protein interaction inhibitor of replication protein A (RPA), a protein involved in the ATR/Chk1 pathway. HAMNO selectively binds the N-terminal DBD-F domain of RPA70, effectively inhibiting critical RPA protein interactions that rely on this domain. HAMNO inhibits both ATR autophosphorylation and phosphorylation of RPA32 Ser33 by ATR. Candidate therapeutic for cancer treatment, as it enhances the constitutive and oncogene-induced replication stress in cancer cells.

HBI-8000

Axon 2893

See Tucidinostat Page 776

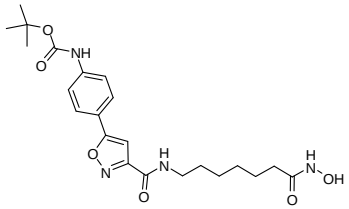
hCPT, dl-

Axon 1687

See *Homocamptothecin, (±)-E-* Page 452

HDAC6 inhibitor ISOX

Axon 1645



[1045792-66-2]
Purity: 99%

Soluble in DMSO
C22H30N4O6 MW: 446.50

mg	Price
5	online
25	online

Biological activity
*Potent and selective histone deacetylase 6 (HDAC6) inhibitor, with IC50 to be 2.4 nM (HDAC6) and 71 nM (HDAC1). (*2010 revised affinities)*

Hectorol

Axon 1746

See Doxercalciferol Page 370

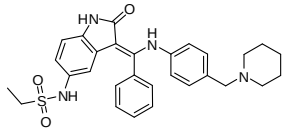
HePC

Axon 3247

See Miltefosine Recent Addition Page 539

Hesperadin

Axon 2096



[422513-13-1]
Purity: 99%

Soluble in DMSO
C29H32N4O3S MW: 516.65

mg	Price
5	online
25	online

Biological activity
A rapid, reversible and ATP-competitive inhibitor of Aurora B

Hetrazan

Axon 3176

See Diethylcarbamazine citrate Recent Addition Page 360

Hexadecylphosphocholine

Axon 3247

See Miltefosine Recent Addition Page 539

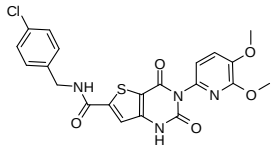
HhAntag 691

Axon 1500

See GDC 0449 Page 416

HIF Phd Inhibitor 4

Axon 1948



[1227946-51-1]
Purity: 98%

Soluble in DMSO
C21H17ClN4O5S MW: 472.90

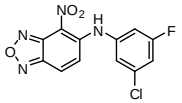
mg	Price
5	online
25	online

Biological activity
Inhibitor of the Hypoxia Inducible Factor (HIF) Prolyl-Hydroxylases (PHD)

HIF-2 inhibitor 2

Axon 2034

Compound 2



[1422955-31-4]
Purity: 100%

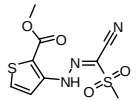
Soluble in DMSO
C12H6ClFN4O3 MW: 308.65

mg	Price
5	online
25	online

Biological activity
Allosteric inhibitor of HIF-2, which selectively antagonizes HIF-2 heterodimerization and DNA-binding activity in vitro and in cultured cells, reducing HIF-2 target gene expression

HIF-2a Translation Inhibitor 76

Axon 2614



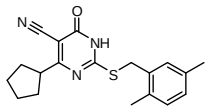
	mg	Price
[882268-69-1]		
Purity: 99%	5	online
Soluble in DMSO	25	online

C9H9N3O4S2 MW: 287.32

Biological activity
HIF-2a translation inhibitor (IC50 value 5 µM); Decreases HIF-2a protein and HIF-2a target gene expression in normoxia and hypoxia independent of HIF-2a mRNA expression or HIF-2a protein stability, and independent of mTOR activity. Moreover, the translation inhibitor 76 enhances binding of IRP1 to the HIF-2a IRE

HJC0197 Recent Addition

Axon 3326



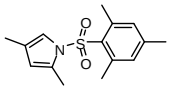
	mg	Price
[1383539-73-8]		
Purity: 99%	5	online
Soluble in DMSO	25	online

C19H21N3OS MW: 339.45

Biological activity
HJC0197 is a potent EPAC antagonist (IC50 value of 5.9 µM for EPAC2).

HJC0350

Axon 2730



	mg	Price
[885434-70-8]		
Purity: 99%	10	online
Soluble in DMSO	50	online

C15H19NO2S MW: 277.38

Biological activity
HJC0350 is a highly potent and selective EPAC2 antagonist (IC50 value of 0.3 µM for competing with 8-NBD-cAMP binding of EPAC2). Moreover, HJC0350 is about 133-fold more potent than cAMP. Valuable pharmacological tool to explore physiological and pathological processes related to signaling pathways that are regulated by EPAC proteins.

HJC-1-65

Axon 2847

See ESI-08

Page 390

HKI 272

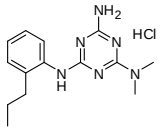
Axon 1526

See Neratinib

Page 573

HL 010183

Axon 2021



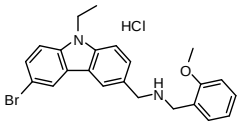
	mg	Price
[N.A.]		
Purity: 99%	10	online
Soluble in DMSO	50	online

C14H20N6.HCl MW: 308.81

Biological activity
A metformin derivative exerting a potent anti-tumor effect; HL010183 inhibits proliferation and invasion of Hs578T triple-negative (TN) breast cancer cells; 100 fold more potent than metformin

HLCL65 hydrochloride

Axon 2710



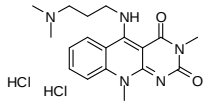
	mg	Price
[N.A.]		
Purity: 99%	10	online
Soluble in DMSO	50	online

C23H23BrN2O.HCl MW: 459.81

Biological activity
HLCL65 is a highly selective small molecule PRMT5 inhibitor. HLCL65 inhibited Th1 cell proliferation (IC50 value 1.1 µM) more potently than Th2 cell proliferation (IC50 value 4 µM). In vivo, PRMT5 blockade efficiently suppressed recall T cell responses and reduced inflammation in delayed-type hypersensitivity and clinical disease in experimental autoimmune encephalomyelitis mouse models. HLCL65 is a more potent and bioavailable derivative of CMP5 (Axon 2709).

HLI 373

Axon 1643



	mg	Price
[N.A.]		
Purity: 98%	5	online
Soluble in water and DMSO	25	online

C18H23N5O2.2HCl MW: 414.33

Biological activity
A water soluble and potent Hdm2 inhibitor that inhibits the ubiquitin ligase activity of Hdm2, stabilizes p53 and activates p53-dependent transcription, and induces cell death;HLI 373 is effective in inducing apoptosis of several tumor cells lines that are sensitive to DNA-damaging agents

HM781-36B

Axon 2920

See Poziotinib

Page 647

HM95573

Axon 3067

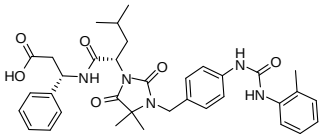
See Belvarafenib

Page 265

HMR 1031

Axon 1616

[479203-71-9]
Purity: 98%
optically pure
Soluble in DMSO and Ethanol
C35H41N5O6 MW: 627.73



mg	Price
2	online
5	online

Biological activity
Potent and specific intrigen α4β1 or very late antigen 4 (VLA-4) receptor antagonist binding to vascular cell adhesion molecule-1 (VCAM-1) and fibronectin; HMR1031 is a potential inhaled drug for the treatment of asthma

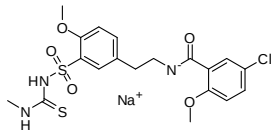
HMR 1098

Axon 1757

HMR 1883 sodium salt

[261717-22-0]
Purity: 98%

Soluble in water
C19H21ClN3O5S2.Na MW: 493.96



mg	Price
5	online
25	online

Biological activity
KATP channel blocker; HMR 1098 acts by inactivating the ATP-sensitive potassium channels (KATP) responsible for potassium efflux. HMR 1098 is an inhibitor of Kir6.2/SUR1-composed K(ATP) channels

HMR 1883 sodium salt

Axon 1757

See HMR 1098 Page 451

HOE36801

Axon 3388

See Etifoxine Recent Addition Page 391

HOIP inhibitor 11a

Axon 3064

See HOIPIN 11a Page 451

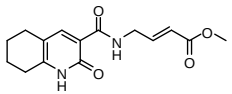
HOIPIN 11a

Axon 3064

GSK11a

[1610800-91-3]
Purity: 99%

Soluble in DMSO
C15H18N2O4 MW: 290.31



mg	Price
5	online
25	online

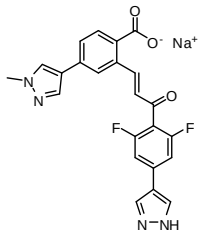
Biological activity
Selective, cell-permeable and covalent inhibitor of the RBR E3 ubiquitin ligase HOIP. Biochemical characterization of HOIPIN 11a demonstrated that this compound labels HOIP with promising proteome-wide selectivity and effectively inhibits linear polyubiquitin chain formation in vitro and in a cellular environment. Treating HEK293T cells overexpressing full length HOIP, HOIL-1L, and SHARPIN with compound 11a overnight led to inhibition of NF-κB activation in a concentration-dependent manner, with an estimated IC50 value of 37 μM.

HOIPIN-8

Axon 2972

[N.A.]
Purity: 98%

Soluble in DMSO
C23H15F2N4NaO3 MW: 456.38



mg	Price
2	online
5	online

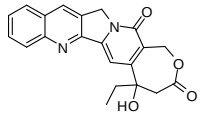
Biological activity
HOIPIN-8 is a potent inhibitor of LUBAC and NF-κB signaling without cytotoxicity (IC50 value of 11 nM). Powerful tool to explore the physiological functions of LUBAC.

Homocamptothecin, (±)-E-

Axon 1687

dl-hCPT; BN 80245

[186668-40-6]
Purity: 99%
racemate
Moderately soluble in DMSO
C21H18N2O4 MW: 362.38



mg	Price
5	online
25	online

Biological activity
A potent topoisomerase I (Topo 1) inhibitor; Homocamptothecin (hCPT) is an E-ring modified analogue of camptothecin (CPT), with enhanced stability and potent Topo-1 mediated activity; apoptosis agent

HPC

Axon 3247

See Miltefosine Recent Addition Page 539

HR 029

Axon 1470

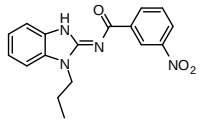
See Tenilsetam Page 759

HS-243 Recent Addition

Axon 3217

[848249-10-5]
Purity: 100%

Soluble in DMSO
C17H16N4O3 MW: 324.33

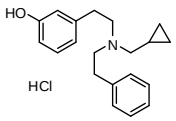


mg	Price
5	online
25	online

Biological activity
HS-243 is a highly potent and selective inhibitor of interleukin-1 receptor-associated kinases 1/4 (IRAK-1/4) with IC50 values of 24 and 20 nM for IRAK-1 and IRAK-4, respectively. HS-243 specifically inhibits intracellular IRAKs without TAK1 inhibition.

HS666 hydrochloride

Axon 2781



[1409931-99-2]
Purity: 99%

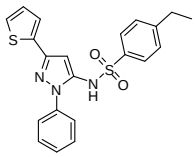
Soluble in DMSO
C20H25NO.HCl MW: 331.88

mg	Price
5	online
25	online

Biological activity
HS666 is a selective κ opioid receptor partial agonist (Ki value of 5.9 nM) which activates central κ receptors to produce potent antinociception. Moreover, HS666 displays pharmacological characteristics of a κ receptor analgesic with reduced liability for aversive effects correlating with its low efficacy in the β-arrestin2 signalling pathway.

HSF1A

Axon 1890



[1196723-93-9]
Purity: 99%

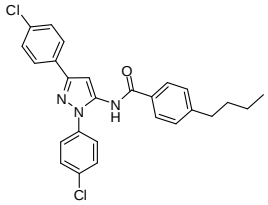
Soluble in DMSO
C21H19N3O2S2 MW: 409.52

mg	Price
5	online
25	online

Biological activity
Human heat shock factor protein (HSF1) activator

HSF1B

Axon 2101



[1196723-95-1]
Purity: 99%

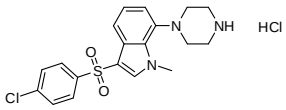
Soluble in DMSO
C26H23Cl2N3O MW: 464.39

mg	Price
5	online
25	online

Biological activity
Human heat shock factor protein (HSF1) activator; close analogue of HSF1A (Axon 1890).

5-HT6 antagonist 29

Axon 1575



[497963-70-9]
Purity: 99%

Soluble in DMSO
C19H20ClN3O2S.HCl MW: 426.36

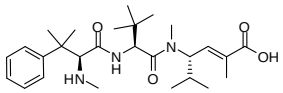
mg	Price
5	online
25	online

Biological activity
Selective brain penetrant 5-HT6 receptor antagonist (pKi value 8.6). Close regio-isomer of SB 699929 with brain–blood ratio of 2.6:1 and ED50 value of 5 mg/kg (po), and thus twice as potent as SB 271046 (Axon 1099).

HTI 286

Axon 1650

SPA 110; Taltobulin



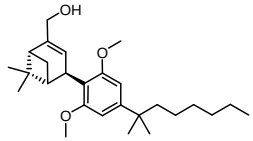
[228266-40-8]
Purity: 99%
optically pure
Soluble in DMSO
C27H43N3O4 MW: 473.65

mg	Price
5	online
25	online

Biological activity
Potent tubulin inhibitor; a synthetic hemiasterlin analogue, which is a potent inhibitor of cell growth with an additional advantage of circumventing the P-glycoprotein-mediated resistance

HU 308

Axon 1440



[256934-39-1]
Purity: 98%

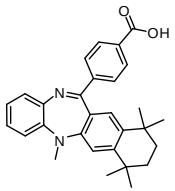
Soluble in DMSO
C27H42O3 MW: 414.62

mg	Price
5	online
25	online

Biological activity
Potent cannabinoid agonist specific at CB2. It has analgesic effects, promotes proliferation of neural stem cells, and protects both liver and blood vessel tissues against oxidative stress via inhibition of TNF-α

HX600

Axon 3003



[172705-89-4]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C29H30N2O2 MW: 438.56

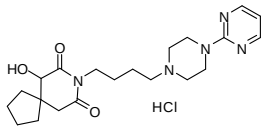
mg	Price
5	online
25	online

Biological activity
HX600 is a synthetic agonist for RXR-Nurr1 heterodimer complex and prevents ischemia-induced neuronal damage.

Hydroxybuspirone hydrochloride, 6-

Axon 1996

BMS 528215; 6OHB; 6-OH-Bu



[125481-61-0]
Purity: 98%

Soluble in water and DMSO
C21H31N5O3.HCl MW: 437.96

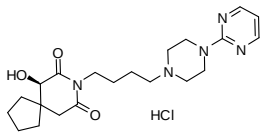
mg	Price
5	online
25	online

Biological activity
A major active metabolite of Buspirone (Axon 1995), a 5-HT1A partial agonist; with improved bioavailability (19%) compared with that for buspirone (1.4%); contributes significantly to the clinical efficacy of buspirone as an anxiolytic agent

Hydroxybuspirone hydrochloride, (R)-6-

Axon 1997

BMS 442608 hydrochloride



[N.A.]
Purity: 99%

Soluble in water and DMSO
C21H31N5O3.HCl MW: 437.96

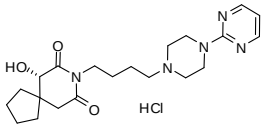
mg	Price
1	online
5	online

Biological activity
Optically pure (R)-enantiomer of 6-hydroxybuspirone (Axon 1996), a major active metabolite of Buspirone (Axon 1995); 5-HT1A partial agonist.(R)-Enantiomer showed higher affinity and selectivity for the 5HT1A receptor compared to the (S)-enantiomer; while (S)-Enantiomer has advantage of being cleared more slowly from blood compared to the (R)-enantiomer

Hydroxybuspirone hydrochloride, (S)-6-

Axon 1998

BMS 442606 hydrochloride



[N.A.]
Purity: 99%

Soluble in water and DMSO
C21H31N5O3.HCl MW: 437.96

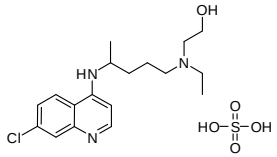
mg	Price
1	online
5	online

Biological activity
Optically pure (S)-enantiomer of 6-hydroxybuspirone (Axon 1996), a major active metabolite of Buspirone (Axon 1995); 5-HT1A partial agonist.(S)-Enantiomer has advantage of being cleared more slowly from blood compared to the (R)-enantiomer; while (R)-Enantiomer showed higher affinity and selectivity for the 5HT1A receptor compared to the (S)-enantiomer

Hydroxychloroquine sulfate

Axon 2432

NSC 4375



[747-36-4]
Purity: 99%
Racemate
Soluble in water
C18H26ClN3O.H2SO4 MW: 433.95

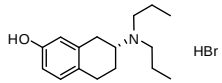
mg	Price
50	online
250	online

Biological activity
Antimalarial drug (HCQ) and immunosuppressive lysosomotropic amine, also used as a slow-acting anti-rheumatic drug and for treatment of lupus erythematosus. HCQ is also shown to act as an antagonist for Toll-like receptors (TLR-7 and TLR-9) in plasmacytoid dendritic cells (pDCs).

Hydroxy-DPAT hydrobromide, (R)-(+)-7-

Axon 1013

DPAT, (R)-7-OH-



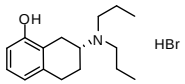
[1021878-34-1]
Purity: 98%
98% ee
Soluble in DMSO
C16H25NO.HBr MW: 328.29

mg	Price
5	online
25	online

Biological activity
A Putative D3 dopamine receptor agonist (D3>D2>>D4 and D1); more active enantiomer of 7-OH-DPAT (Axon 1012), in comparison with (S)-(-)-7-OH-DPAT (Axon 1014)

Hydroxy-DPAT hydrobromide, (R)-(+)-8-

Axon 1016



[78095-19-9]
Purity: 98%
98% ee
Soluble in DMSO
C16H25NO.HBr MW: 328.29

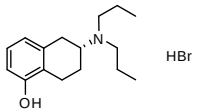
mg	Price
10	online
50	online

Biological activity
Full 5-HT1A receptor agonist, more active enantiomer of (±)-8-hydroxy-DPAT (Axon 1015)

Hydroxy-DPAT hydrobromide, (R)-5-

Axon 1007

DPAT, (R)-5-OH-



[182210-73-7]
Purity: 98%
>98% ee
Soluble in DMSO
C16H25NO.HBr MW: 328.29

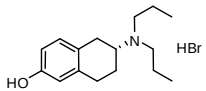
mg	Price
5	online
25	online

Biological activity
While racemic 5-OH-DPAT (Axon 1006) is a potent and selective dopamine (DA) D2-receptor agonist, its R-enantiomer, (R)-5-OH DPAT is a weakly potent DA D2-receptor antagonist

Hydroxy-DPAT hydrobromide, (R)-6-

Axon 1010

DPAT, (R)-6-OH-



[502508-84-1]
Purity: 98%
>98% ee
No solubility data
C16H25NO.HBr MW: 328.29

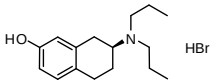
mg	Price
5	online
25	online

Biological activity
Dopamine receptor agonist

Hydroxy-DPAT hydrobromide, (S)-(-)-7-

Axon 1014

DPAT, (S)-7-OH-



[82730-73-2]
Purity: 98%
98% ee
Soluble in DMSO
C16H25NO.HBr MW: 328.29

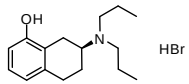
mg	Price
5	online
25	online

Biological activity
D3 dopamine receptor agonist; less active enantiomer of 7-OH-DPAT (Axon 1012), in comparison with (R)-(+)-7-OH-DPAT (Axon 1013)

Hydroxy-DPAT hydrobromide, (S)-(-)-8-

Axon 1017

DPAT, (S)-(-)-8-OH-



[78095-20-2]
Purity: 98%
98% ee
Soluble in DMSO
C16H25NO.HBr MW: 328.29

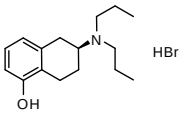
mg	Price
10	online
50	online

Biological activity
5-HT1A receptor partial agonist, less active enantiomer of (±)-8-OH-DPAT (Axon 1015), in comparison with R-(+)-8-hydroxy-DPAT (Axon 1016) as a full 5-HT1A agonist

Hydroxy-DPAT hydrobromide, (S)-5-

Axon 1008

DPAT, (S)-5-OH-



[182210-74-8]
Purity: 98%
>98% ee
Soluble in DMSO
C16H25NO.HBr MW: 328.29

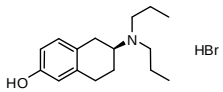
mg	Price
5	online
25	online

Biological activity
Potent and selective dopamine (DA) D2-receptor agonist; more active (S)- enantiomer of 5-OH-DPAT (Axon 1006); its opposite enantiomer, R-5-OH-DPAT (Axon 1007), is a weakly potent D2 antagonist

Hydroxy-DPAT hydrobromide, (S)-6-

Axon 1011

DPAT, (S)-6-OH-



[162992-70-3]
Purity: 98%
>98% ee
No solubility data
C16H25NO.HBr MW: 328.29

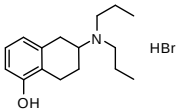
mg	Price
5	online
25	online

Biological activity
Dopamine receptor agonist

Hydroxy-DPAT hydrobromide, 5-

Axon 1006

DPAT, 5-OH-



[71787-83-2]
Purity: 98%

No solubility data
C16H25NO.HBr MW: 328.29

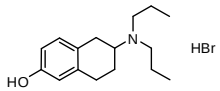
mg	Price
5	online
25	online

Biological activity
Potent and selective dopamine (DA) D2-receptor agonist; [11C]5-OH-DPAT is being developed as a PET agent for the high-affinity state of D2/3 receptors

Hydroxy-DPAT hydrobromide, 6-

Axon 1009

DPAT, 6-OH-



[76135-29-0]
Purity: 99%

Soluble in water
C16H25NO.HBr MW: 328.29

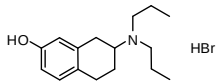
mg	Price
10	online
50	online

Biological activity
Weak dopamine receptor agonist

Hydroxy-DPAT hydrobromide, 7-

Axon 1012

DPAT, 7-OH-



[76135-30-3]
Purity: 98%

Soluble in DMSO
C16H25NO.HBr MW: 328.29

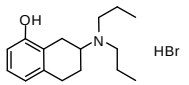
mg	Price
10	online
50	online

Biological activity
D3 dopamine receptor agonist (D3>D2>>D4 and D1)

Hydroxy-DPAT hydrobromide, 8-

Axon 1015

DPAT, 8-OH-



[76135-31-4]
Purity: 98%

Soluble in DMSO
C16H25NO.HBr MW: 328.29

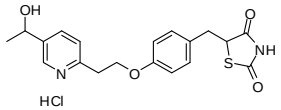
mg	Price
10	online
50	online

Biological activity
Standard selective 5-HT1A receptor agonist

Hydroxypioglitazone

Axon 2533

M-IV



	mg	Price
[146062-46-6] Purity: 100%	5	online
Soluble in DMSO C19H20N2O4S.HCl MW: 408.90	25	online

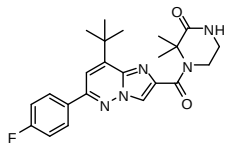
Biological activity

Active metabolite of Pioglitazone (M-IV), a PPARγ agonist used for the treatment of diabetes mellitus type 2. Showed modest antihyperglycemic activity compared to Pioglitazone. Moreover, Hydroxypioglitazone is more efficient than Pioglitazone in stimulating lipid synthesis at a 3 µM dose in a 3T3-L1 cell assay.

I-191

Axon 3043

PAR2 antagonist I-191

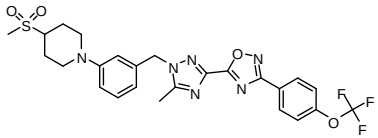


	mg	Price
[1690172-25-8] Purity: 98%	5	online
Soluble in DMSO C23H26FN5O2 MW: 423.48	25	online

Biological activity
I-191 is a potent antagonist of protease activated receptor 2 (PAR2) with a pIC50 value of 7.1 in HT-29 cells. I-191 potently attenuated multiple PAR2-mediated intracellular signaling pathways leading to Ca2+ release, ERK1/2 phosphorylation, RhoA activation and inhibition of forskolin-induced cAMP accumulation.

IACS-010759

Axon 2909



	mg	Price
[1570496-34-2] Purity: 99%	5	online
Soluble in DMSO C25H25F3N6O4S MW: 562.56	25	online

Biological activity
IACS-010759 is an orally bioavailable, potent inhibitor of complex I of oxidative phosphorylation (OXPHOS). IACS-010759 was active in mouse, rat and cynomolgus monkey with IC50 values of 5.6 nM, 12.2 nM and 8.7 nM, respectively. Treatment with IACS-010759 robustly inhibited proliferation and induced apoptosis in models of brain cancer and acute myeloid leukemia (AML) reliant on OXPHOS, likely owing to a combination of energy depletion and reduced aspartate production that leads to impaired nucleotide biosynthesis.

IACS-10759

Axon 2909

See IACS-010759

Page 461

IBA-6

Axon 2965

See PNR-7-02

Page 645

Ibipinabant

Axon 1713

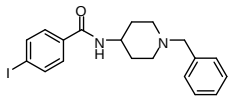
See SLV 319

Page 718

IBP, 4-

Axon 2919

NSC 667672



	mg	Price
[155798-08-6] Purity: 98%	10	online
Soluble in DMSO C19H21IN2O MW: 420.29	50	online

Biological activity
4-IBP is a selective sigma-1 (σ-1) agonist with Ki values of 1.70 nM and 25.2 nM for σ-1 and σ-2 receptor subtypes, respectively. Activating the σ-1 receptor with noncytotoxic doses of 4-IBP decreases the migration levels of various types of cancer cells, including C32 melanoma, U373-MG glioblastoma, A549 NSCLC, and PC3 prostate cancer cells. Moreover, 4-IBP sensitizes these cancer cells in vitro and in vivo to cytotoxic insults of proapoptotic and proautophagic drugs.

Ibrutinib

Axon 1858

See PCI 32765

Page 616

Ibutamoren mesylate

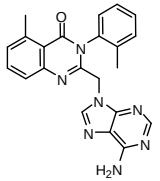
Axon 1376

See MK 677

Page 541

IC 87114

Axon 2168

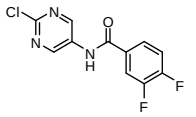


	mg	Price
[371242-69-2] Purity: 98%	5	online
Soluble in DMSO C22H19N7O MW: 397.43	25	online

Biological activity
Potent and highly selective small molecule inhibitor of the PI3K p110δ isoform (IC50 values for PI3Kα, β, γ, and δ are >100, 75, 29, and 0.5 μM respectively). IC87114 potently inhibited PIP3 biosynthesis in neutrophils by 60–65% and at 1 μM, it inhibited neutrophil migration by 75% as compared with the control. IC 87114 reduced the infiltration of inflammatory cells into the pancreatic islets and, accordingly, delayed and reduced the loss of glucose homeostasis.

ICA-069673

Axon 2724

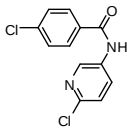


	mg	Price
[582323-16-8] Purity: 99%	10	online
Soluble in DMSO C11H6ClF2N3O MW: 269.63	50	online

Biological activity
ICA-069673, a KV7.2/KV7.3 (KCNQ2/Q3) channel opener (EC50 value 0.69 μM), demonstrated 20-fold greater selectivity for heteromeric KV7.2/KV7.3 channels over KV7.3/KV7.5. Moreover, compared to retigabine (Axon 1525), ICA-069673 exhibits much stronger effects on KCNQ2 channels, including a large hyperpolarizing shift of the voltage-dependence of activation, an ~2-fold enhancement of peak current and pronounced subtype specificity for KCNQ2 over KCNQ3. Orally active in several animal models of epilepsy.

ICA-110381

Axon 3091

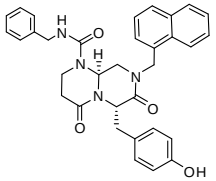


	mg	Price
[325457-99-6] Purity: 99%	10	online
Soluble in DMSO C12H8Cl2N2O MW: 267.11	50	online

Biological activity
ICA-110381 is a Kv7.2/Kv7.3 (KCNQ2/Q3) potassium channel opener with an EC50 value of 0.38 μM. ICA-110381 predominantly acts on KCNQ2-containing channels, shapes resonance and network oscillations in vitro and show anticonvulsant potential in vivo without affecting spontaneous synaptic transmission in the rat hippocampus in vitro.

ICG 001

Axon 1766



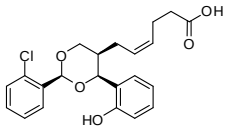
[847591-62-2]
Purity: 99%
optically pure
Soluble in DMSO
C33H32N4O4 MW: 548.63

mg	Price
5	online
25	online

Biological activity
Specific inhibitor of Wnt/β-catenin signaling pathway; inhibiting β-catenin/cyclic AMP response element-binding (CREB) protein transcription. ICG-001 selectively induces apoptosis in transformed cells but not in normal colon cells,reduces in vitro growth of colon carcinoma cells, and is efficacious in the Min mouse and nude mouse xenograft models of colon cancer

ICI 192605

Axon 1210



[117621-64-4]
Purity: 98%
optically pure
Soluble in DMSO and Ethanol
C22H23ClO5 MW: 402.87

mg	Price
10	online
50	online

Biological activity
A potent and selective, orally active thromboxane A2 (TP) receptor antagonist

ICI 204636

Axon 1354

See Quetiapine fumarate

Page 658

ICI D1033

Axon 3316

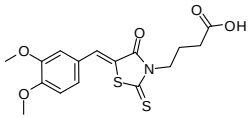
See Anastrozole Recent Addition

Page 213

iCRT5

Axon 2133

CRT Inhibitor iCRT5



[18623-44-4]
Purity: 99%

Soluble in DMSO
C16H17NO5S2 MW: 367.44

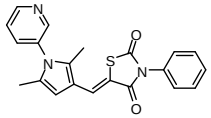
mg	Price
10	online
50	online

Biological activity
Potent and cell-permeable β-catenin-responsive transcription (CRT) inhibitor, with IC50 value of 18 nM for Wnt responsive STF16 luciferase (STF16-Luc). iCRT5 acts by disrupting the interaction between β-catenin and TCF4, possibly by direct binding to β-catenin,while displaying minimal or less prominent effect on non-canonical Wnt signaling and other pathways such as Hh, JAK/STAT, and Notch signaling

iCRT14

Axon 2135

CRT Inhibitor iCRT14



[677331-12-3]
Purity: 98%

Soluble in DMSO
C21H17N3O2S MW: 375.44

mg	Price
10	online
50	online

Biological activity
Small-molecule inhibitor of the Wnt/wingless signaling pathway (IC50 value 40 nM in a Wnt responsive STF16-luc reporter assay) that antagonizes the transcriptional function of nuclear β-catenin, and inhibitis direct interactions between β-cat and TCF4. iCRT14 exhibits specific cytotoxicity towards human colon tumor biopsy cultures as well as colon cancer cell lines that exhibit deregulated Wnt signaling. Similar mode of action as iCRT5 (Axon 2133)

Idalopirdine HCl

Axon 2144

See Lu AE58054 hydrochloride

Page 517

Idelalisib

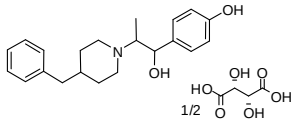
Axon 2170

See CAL 101

Page 296

Ifenprodil L-(+)-tartrate

Axon 1156



[23210-56-2] (parent)
Purity: 99%

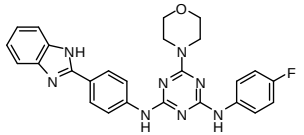
Soluble in water and DMSO
C21H27NO2.½C4H6O6
MW: 400.49

mg	Price
10	online
50	online

Biological activity
NMDA antagonist; selectively blocks NMDA receptors containing the NR2B subunit; neuroprotective agent

IITZ-01

Axon 2933



[1807988-47-1]
Purity: 99%

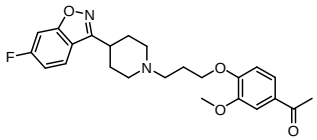
Soluble in DMSO
C26H23FN8O MW: 482.51

mg	Price
10	online
50	online

Biological activity
IITZ-01 is a potent lysosomotropic autophagy inhibitor which has single-agent antitumor efficacy in triple-negative breast cancer in vitro and in vivo. Screening against the growth of cancer cell lines MCF-7, MDAMB-231, PC-3, DU-145, HT-29 and HGC-27 gave IC50 values of 1.0 μM, 1.5 μM, 0.8 μM, 1.0 μM, 1.1 μM, 0.8 μM, respectively.

Iloperidone

Axon 1493

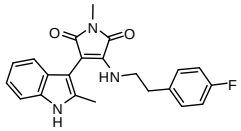


	mg	Price
[133454-47-4] Purity: 99%	10	online
Soluble in DMSO C24H27FN2O4 MW: 426.48	50	online

Biological activity
An atypical antipsychotic for the treatment of schizophrenia, acting upon and antagonizing specific neurotransmitters, particularly multiple dopamine and serotonin receptor subtypes

IM 12

Axon 2511



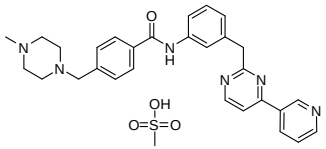
	mg	Price
[1129669-05-1] Purity: 99%	10	online
Soluble in DMSO C22H20FN3O2 MW: 377.41	50	online

Biological activity
GSK-3β inhibitor (IC50 value 53 nM) showing a bell-shaped dose-response relationship. IM12 enhances canonical Wnt signalling, and attenuates cell proliferation and neuronal differentiation of human neural progenitor cells with similar potency as SB 216763 (Axon 1903).

Imatinib Mesylate

Axon 1394

CGP 57148B; STI 571; Gleevec

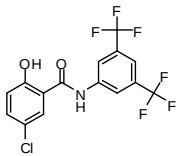


	mg	Price
[220127-57-1] Purity: 99%	10	online
Soluble in DMSO C29H30N6O.CH4O3S MW: 574.69	50	online

Biological activity
Protein kinase inhibitor, targeting Bcr-Abl/c-kit/PDGF-R

IMD-0354

Axon 2725

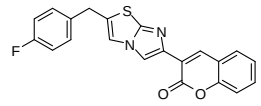


	mg	Price
[978-62-1] Purity: 99%	10	online
Soluble in 0.1N NaOH(aq) and DMSO C15H8ClF6NO2 MW: 383.67	50	online

Biological activity
The IκB kinase-β (IKKβ or IKK-2) inhibitor IMD-0354 inhibited nuclear translocation of NF-κB induced by TNF-α; this attenuated myocardial reperfusion injury and preserved cardiac function after myocardial infarction. TNF-α-induced production of interleukin-1β and monocyte chemoattractant protein-1 was reduced significantly by IMD-0354. IMD-0354 restrained proliferation of mast cells with c-kit mutations and suppressed the growth of human breast cancer cells by arresting cell cycle at the G0-G1 phase and inducing apoptosis. May effectively prevent restenosis.

iMDK

Axon 2258

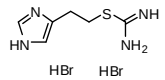


	mg	Price
[881970-80-5] Purity: 99%	5	online
Soluble in DMSO C21H13FN2O2S MW: 376.40	25	online

Biological activity
MDK expression inhibitor; iMDK inhibits specifically and dose-dependently the expression of Midkine (MDK) in H441 lung adenocarcinoma cells, but does not inhibit PTN (Pleiotrophin), which has considerable homology to MDK. iMDK induces apoptotosis in MDK-expressing H441 lung adenocarcinoma cells by suppression of the PI3K/Akt pathway but not the MAPK pathway. iMDK does not inhibit another growth factor VEGF.

Imetit dihydrobromide

Axon 1325



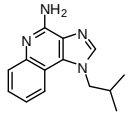
	mg	Price
[32385-58-3] Purity: 98%	10	online
Soluble in water C6H10N4S.2HBr MW: 332.06	50	online

Biological activity
Potent and specific histamine H3 receptor agonist

Imiquimod

Axon 3107

R-837; S26308

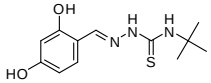


	mg	Price
[99011-02-6] Purity: 99%	50	online
Soluble in 0.1N HCl(aq) and DMSO C14H16N4 MW: 240.30	250	online

Biological activity
Imiquimod is a TLR7/TLR8 agonist with immunomodulatory activity.

IMM 01

Axon 2406

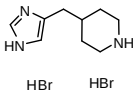


	mg	Price
[218795-74-5] Purity: 99%	10	online
Soluble in DMSO C12H17N3O2S MW: 267.35	50	online

Biological activity
Small-molecule agonist of mammalian Diaphanous (mDia)-related formins that inhibited DID–DAD binding (IC50 value 140 nM). IMM-01 induced filopodia-like structures similar to those observed in cells expressing constitutively active mDia1 or mDia2. Moreover, IMM01 triggered actin assembly and microtubule stabilization consistent with formin activation in NIH 3T3 cells.

Immepip dihydrobromide

Axon 1326



[164391-47-3]
Purity: 98%

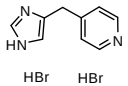
No solubility data
C9H15N3.2HBr MW: 327.06

mg	Price
10	online
50	online

Biological activity
Potent histamine H3 receptor agonist; also with affinity at histamine H4 receptor

Immethridine dihydrobromide

Axon 1327



[699020-93-4]
Purity: 98%

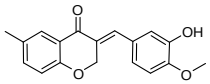
Soluble in water and DMSO
C9H9N3.2HBr MW: 321.01

mg	Price
5	online
25	online

Biological activity
Potent and selective histamine H3 receptor agonist

IMS 2186

Axon 1827



[1031206-36-6]
Purity: 99%

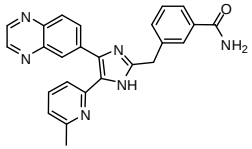
Soluble in DMSO
C18H16O4 MW: 296.32

mg	Price
10	online
50	online

Biological activity
Apoptosis inducer blocking the cell cycle at G2 and inhibiting the production of PGE2/TNF-α; a long-acting anti-proliferative and anti-angiogenic agent; a small molecule developed as an anti-choroidal neovascularization (anti-CNV) drug

IN 1130

Axon 2236



[868612-83-3]
Purity: 99%

Soluble in DMSO
C25H20N6O MW: 420.47

mg	Price
5	online
25	online

Biological activity
Highly selective small molecule ALK5 inhibitor (IC50 value of 5.3 nM for inhibition of ALK5-mediated Smad3 phosphorylation) with >100 fold selectivity over p38α and a panel of 26 other serine/threonine and tyrosine kinases. Suppressor of fibrogenic process of unilateral ureteral obstruction in rats underscoring the potential clinical benefits in the treatment of renal fibrosis. By inhibition of TGF-β signaling, IN1130 ameliorated experimental autoimmune encephalomyelitis, lessened tunical fibrosis and corrected penile curvature in rats, inhibited cancer metastasis in MMTV/c-Neu breast cancer mice, and enhanced CTL response in cancer mice.

INCB 018424 phosphate

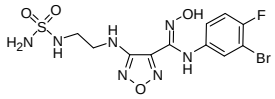
Axon 1598

See Ruxolitinib
Page 687

INCB 024360

Axon 1733

Epacadostat



[1204669-58-8]
Purity: 98%

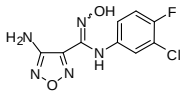
Soluble in DMSO
C11H13BrFN7O4S MW: 438.23

mg	Price
5	online
25	online

Biological activity
Potent competitive inhibitor of indoleamine 2,3-dioxygenase (IDO1, IC50 value 72 nM in vitro) with in vivo pharmacodynamic activity and efficacy in a mouse melanoma model; INCB024360 (Epacadostat) decreased kynurenine levels by >50% in plasma and inhibited B16-GM-CSF tumor growth in a dose dependent fashion.

INCB 024360-analog

Axon 2215



[914471-09-3]
Purity: 99%

Soluble in DMSO
C9H7ClFN5O2 MW: 271.64

mg	Price
5	online
25	online

Biological activity
Potent competitive inhibitor of indoleamine 2,3-dioxygenase (IDO1, IC50 value 67 nM) with in vivo pharmacodynamic activity and efficacy in a mouse melanoma model; This INCB 024360-analog decreased kynurenine levels by >50% in plasma and inhibited B16-GM-CSF tumor growth in a dose dependent fashion.

INCB 028050

Axon 1955

See Baricitinib
Page 256

Indiplon

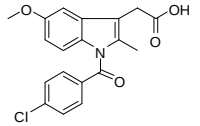
Axon 1121

See NBI 34060
Page 569

Indomethacin

Recent Addition

Axon 3318



[53-86-1]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C19H16ClNO4 MW: 357.79

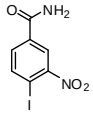
mg	Price
50	online

Biological activity
Indomethacin is a potent, time-dependent, nonselective inhibitor of the cyclooxygenase enzymes (COX-1 and COX-2). Indomethacin is a nonsteroidal anti-inflammatory drug with potent antipyretic, analgesic, and anti-inflammatory activity.

Iniparib

Axon 1566

BSI 201



[160003-66-7]
Purity: 99%

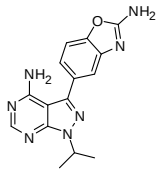
Soluble in DMSO
C7H5IN2O3 MW: 292.03

mg	Price
10	online
50	online

Biological activity
An irreversible inhibitor of poly(ADP-ribose) polymerase-1 (PARP 1); it inhibits PARP1, a nuclear enzyme that promotes DNA repair through the base-excision repair pathway;potential therapeutic undergoing clinical trials for treatment of some types of breast cancer

INK 128

Axon 2142



[1224844-38-5]
Purity: 99%

C15H15N7O MW: 309.33

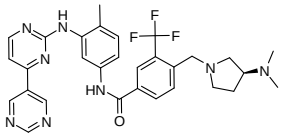
mg	Price
5	online
25	online

Biological activity
Potent and selective mTOR inhibitor

INNO 406

Axon 2121

Bafetinib



[859212-16-1]
Purity: 99%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C30H31F3N8O MW: 576.62

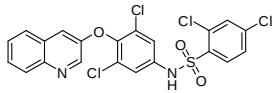
mg	Price
2	online
5	online

Biological activity
Orally bioavailable dual Bcr-Abl and Lyn kinase inhibitor with anti-CML efficacy; orally bioavailable; more potent (>10 times) than Imatinib; highly recommended Abl inhibitor in treating chronic myeloid leukaemia (CML)

INT 131

Axon 2019

AMG 131



[315224-26-1]
Purity: 99%

Soluble in DMSO
C21H12Cl4N2O3S MW: 514.21

mg	Price
5	online
25	online

Biological activity
Highly potent, non-TZD, selective peroxisome proliferator-activated receptor gamma (PPAR-γ) modulator (SPPARM); INT131 is a PPAR-γ partial agonist and potential therapeutic agent for the treatment of type 2 diabetes

INT-747

Axon 3174

See Obeticholic acid Recent Addition

Page 600

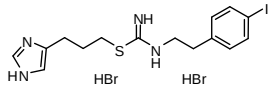
Iobenguane sulfate

Axon 1750

See MIBG
Page 538

Iodophenpropit dihydrobromide

Axon 1328



[145196-87-8]
Purity: 98%

No solubility data
C15H19IN4S.2HBr MW: 576.13

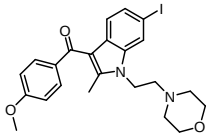
mg	Price
10	online
50	online

Biological activity
Potent and selective histamine H3 receptor antagonist

Iodopravadoline

Axon 1574

AM 630



[164178-33-0]
Purity: 99%

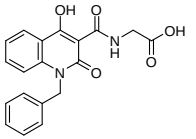
Soluble in DMSO
C23H25IN2O3 MW: 504.36

mg	Price
5	online
25	online

Biological activity
Selective cannabinoid (CB) receptor antagonist

IOX2

Axon 1921



[931398-72-0]
Purity: 100%

Soluble in DMSO
C19H16N2O5 MW: 352.34

mg	Price
5	online
25	online

Biological activity
A selective inhibitor of the Hypoxia Inducible Factor (HIF) Prolyl-Hydroxylases (PHD); active in cells with IC50 value of 21 nM for PHD2/ELGN-1 and no inhibition at FIH (20μM)

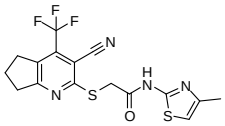
Iressa

Axon 1393

See Gefitinib
Page 417

Irestatin 9389

Axon 1656



mg	Price
10	online
50	online

[626221-47-4]
Purity: 99%

Soluble in DMSO
C16H13F3N4OS2 MW: 398.43

Biological activity
A potent inhibitor of the endonuclease IRE1 (IC50 = 6.3 nM) and unfolded protein response (UPR)

Irosustat

Axon 2892

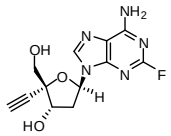
See STX64

Page 740

Islatravir

Axon 3191

EFdA; MK-8591



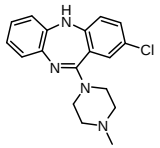
mg	Price
2	online
5	online

[865363-93-5]
Purity: 99%
Optically pure
Soluble in water and DMSO
C12H12FN5O3 MW: 293.25

Biological activity
The nucleoside reverse transcriptase inhibitor (NRTI) Islatravir is a potent and long-acting anti-human immunodeficiency virus type 1 (HIV-1) agent. Islatravir exhibits potent activity against wild-type and multidrug-resistant HIV-1 strains.

Isoclozapine

Axon 1147



mg	Price
10	online
50	online

[1977-08-8]
Purity: 98%

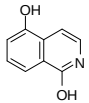
Soluble in DMSO
C18H19ClN4 MW: 326.82

Biological activity
Typical antipsychotic; 2-Cl analogue of clozapine, atypical antipsychotic. Useful tool compound in comparison with clozapine; distinctly typical vs atypical profile

Isoquinolinediol, 1,5-

Axon 2537

NSC 65585



mg	Price
10	online
50	online

[5154-02-9]
Purity: 100%

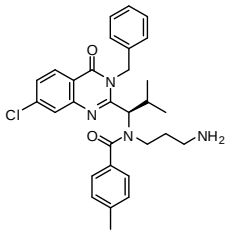
Soluble in 0.1N NaOH(aq) and DMSO
C9H7NO2 MW: 161.16

Biological activity
PARP1-specific inhibitor (IC50 value 0.39 - 1.00 µM) and neuroprotective agent, that leads to an increase up to 8-fold in the absolute frequency of gene targeting in the correction of the mutation at the stable integrated HSV tk gene in mouse Ltk cells. Treatment of 1,5-Isoquinolinediol significantly blocked mitochondrial membrane potential loss and AIF (apoptosis inducing factor) and cytochrome c release from the mitochondria. 1,5-Isoquinolinediol did not suppress pristimerin-induced JNK activation.

Ispinesib

Axon 2446

SB 715992



mg	Price
5	online
25	online

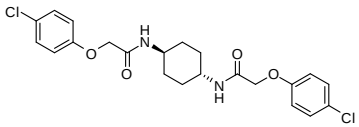
[336113-53-2]
Purity: 99%
Optically pure
Soluble in DMSO and EtOH
C30H33ClN4O2 MW: 517.06

Biological activity
The first potent, highly specific small-molecule inhibitor of the human kinesin spindle protein (KSP or KIF11 or Eg5), that induces mitosis-phase (M-phase) arrest followed by apoptosis in either the M-phase (via mitotic catastrophe) or G1-phase of the cell-cycle. Ispinesib alters the ability of KSP to bind to microtubules and inhibits its movement by preventing the release of ADP without preventing the release of the KSP−ADP complex from the microtubule

ISRIB

Axon 2278

trans-ISRIB



mg	Price
10	online
50	online

[1597403-47-8]
Purity: 99%
Relative stereochemistry
Soluble in DMSO and DCM-MeOH
C22H24Cl2N2O4 MW: 451.34

Biological activity
First reported, potent and selective inhibitor of the 'integrated stress response' (ISR) and a potent inhibitor of PERK signaling. ISRIB potently reverses the effects of eIF2α phosphorylation (IC50 value of 5 nM for inhibition of ATF4-luciferase reporter). Trans-ISRIB reduces the viability of cells subjected to PERK-activation by chronic endoplasmic reticulum stress, and proved to be 100-fold more potent than cis-ISRIB, indicating that the compound's interaction with its cellular target is stereospecific.

Istradefylline

Axon 1423

See KW 6002

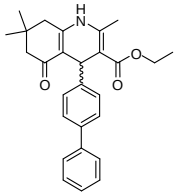
Page 497

ITD 1

Axon 2323

[1099644-42-4]
Purity: 98%

Soluble in DMSO
C27H29NO3 MW: 415.52



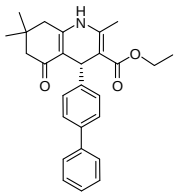
mg	Price
10	online
50	online

Biological activity
Selective inhibitor of TGFβ/Smad signaling (IC50 value 0.85 μM; 83% TGF-β inhibiton at 2.5 μM) that acts by clearing the type II TGFβ receptor from the cell surface. ITD 1 stimulates the differentiation of cardiomyocytes and promote cardiogenesis in murine embryonic stem cell (mESCs). TGFβ inhibition by the (+)-eneatiomer is approximately 15-fold more effective than by its (−)-enantiomer.

ITD-1, (+)-

Axon 2467

[1409968-46-2]
Purity: 99%
Optically pure
Soluble in DMSO
C27H29NO3 MW: 415.52



mg	Price
2	online

Biological activity
More active (+)-enantiomer of ITD 1 (Axon 2323), a selective inhibitor of TGFβ/Smad signaling (IC50 values 0.46 μM and 6.90 μM for (+)-ITD 1 and (−)-ITD 1, respectively for TGF-β inhibition) that acts by clearing the type II TGFβ receptor from the cell surface. ITD 1 stimulates the differentiation of cardiomyocytes and promote cardiogenesis in murine embryonic stem cell (mESCs). TGFβ inhibition by the (+)-enantiomer is approximately 15-fold more effective than by its (−)-enantiomer.

ITMN 191

Axon 1669

See Danoprevir
Page 349

Ivacaftor

Axon 2503

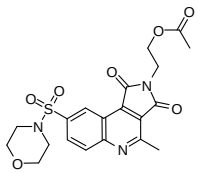
See VX 770
Page 805

Ivachtin

Axon 1375

[745046-84-8]
Purity: 98%

Moderately soluble in DMSO
C20H21N3O7S MW: 447.46



mg	Price
1	online
5	online

Biological activity
A potent caspase-3 inhibitor

Ivosidenib

Axon 2746

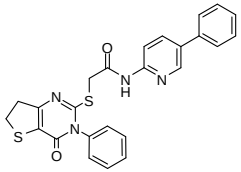
See AG-120
Page 190

IWP L6

Axon 2212

[1427782-89-5]
Purity: 99%

Soluble in DMSO
C25H20N4O2S2 MW: 472.58



mg	Price
10	online
50	online

Biological activity
Highly potent porcupine inhibitor (Porcn; EC50 value 0.5 nM), a membrane-bound O-acyltransferase (MBOAT); Wnt signaling inhibitor; 60-times more potent than IWP-2. IWP-L6 effectively inhibits posterior axis formation and resected tailfin regeneration in juvenile zebrafish at low micromolar concentrations. IWP L6 specifically and reversibly blocks Wnt signaling and Wnt mediated branching morphogenesis in cultured mouse embryonic kidneys. Stable in human plasma over 24 h.

IWR-1

Axon 2510

See IWR-1-endo
Page 474

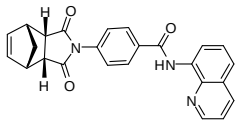
IWR-1-endo

Axon 2510

IWR-1; endo-IWR-1

[1127442-82-3]
Purity: 99%

Soluble in DMSO
C25H19N3O3 MW: 409.44



mg	Price
10	online
50	online

Biological activity
Small-molecule inhibitor of the Wnt/β-catenin pathway (IC50 value 0.18 μM), strongly inhibiting TNKS1 and TNKS2 in biochemical assays, and targeting the acyltransferase Porcupine (Porcn) without inducing Porcn destruction or mislocalization. IWR-1-endo significantly stabilized endogenous TNKS1, TNKS2 and axin 2 by inhibition of auto-PARsylation of TNKS in vivo and independent of the PARsylation activity of PARP1/2. Furthermore, IWR-1 increased expression of genes commonly expressed in cardiac mesoderm/progenitor cell and significantly improved cardiac differentiation when introduced after the application of BMP-4.

Ixazomib

Axon 2556

See MLN 2238
Page 554

Ixazomib citrate

Axon 2557

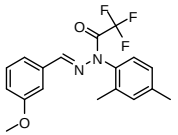
See MLN 9708
Page 555

J147

Axon 2859

[1146963-51-0]
Purity: 100%

Soluble in DMSO
C18H17F3N2O2 MW: 350.33



mg	Price
10	online
50	online

Biological activity
J147 is a potent and orally active neurotrophic drug that facilitates memory in normal rodents. Moreover, J147 prevents the loss of synaptic proteins and cognitive decline in a transgenic AD mouse model. Neuroprotectant.

J 867

Axon 1675

See Asoprisnil

Page 229

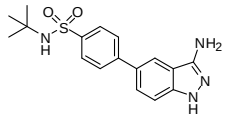
JAK2 inhibitor 13

Axon 1843

Sulfonamide 13

[1110502-30-1]
Purity: 99%

Soluble in DMSO
C17H20N4O2S MW: 344.43



mg	Price
5	online
25	online

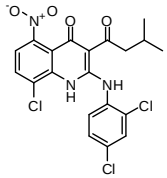
Biological activity
Potent and selective Janus Kinase 2 (JAK2) inhibitor; inhibits the activity of both the wild-type JAK2 and the V617F mutant (IC50 = 78 and 206 nM, respectively),with >35-fold selectivity versus JAK3 (IC50 = 2.93 µM)

JH-RE-06

Axon 3002

[1361227-90-8]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C20H16Cl3N3O4 MW: 468.72



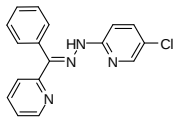
mg	Price
5	online
25	online

Biological activity
JH-RE-06 is a compound disrupting REV1-POL ζ-mediated mutagenic translesion synthesis (TLS). Binding of JH-RE-06 induces REV1 dimerization, which blocks the REV1-REV7 interaction (IC50 value of 0.78 µM) and POL ζ recruitment. JH-RE-06 sensitizes tumors to cisplatin and reduces mutagenesis in vitro. Moreover, JH-RE-06 suppresses tumor progression in mice and prolongs animal survival.

JIB 04

Axon 2160

NSC 693627

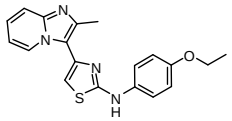


	mg	Price
[199596-05-9] Purity: 99%	10	online
Soluble in 0.1N HCl(aq) and DMSO C17H13ClN4 MW: 308.76	50	online

Biological activity
Potent, cell permeable and selective Jumonji histone demethylase inhibitor in vitro and in vivo; JIB-04 is not a competitive inhibitor of α-ketoglutarate and it modulates transcription in cancer-selective manner

JK184

Axon 2654



	mg	Price
[315703-52-7] Purity: 100%	10	online
Soluble in DMSO and Ethanol C19H18N4OS MW: 350.44	50	online

Biological activity
Antagonist of Hedgehog (Hh) signaling (IC50 value of 30 nM for inhibition of Gli-dependent transcriptional activity) and a potent inhibitor of microtubule assembly that exhibits good antiproliferative activity both in vitro and in vivo. JK184 appears to act by inhibition of Adh7 (Kd value 210 nM in a assay for enzymatic oxidation of retinol).

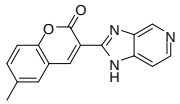
JM 3100

Axon 1738

See AMD 3100 Page 200

JMJD6 inhibitor WL12 *Recent Addition*

Axon 3180



	mg	Price
[899548-78-8] Purity: 99%	5	online
Soluble in DMSO C16H11N3O2 MW: 277.28	25	online

Biological activity
JMJD6 inhibitor WL12 is a first-in-class JMJD6 inhibitor with an IC50 value of 0.22 μM. JMJD6 inhibitor WL12 was shown to be able to suppress JMJD6-dependent cancer cell proliferation including cervical and liver cancer cells. Specifically, the IC50 values for JMJD6 inhibitor WL12 in HeLa and SMCC7721 cells were 2.44 and 10.18 μM, respectively.

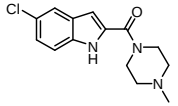
JNJ 212082

Axon 1874

See Abiraterone acetate Page 179

JNJ 7777120

Axon 1306

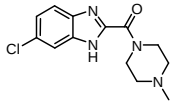


	mg	Price
[459168-41-3] Purity: 99%	10	online
Soluble in DMSO and Ethanol C14H16ClN3O MW: 277.75	50	online

Biological activity
First potent and selective non-imidazole histamine H4 antagonist

JNJ 10191584

Axon 1307



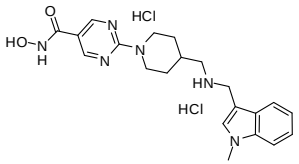
	mg	Price
[73903-17-0] Purity: 99%	10	online
Soluble in DMSO C13H15ClN4O MW: 278.74	50	online

Biological activity
Selective silent histamine H4 receptor antagonist, orally active

JNJ 26481585 dihydrochloride

Axon 2529

Quisinostat dihydrochloride



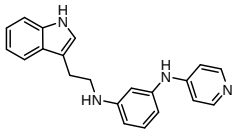
	mg	Price
[875320-31-3] Purity: 99%	5	online
Soluble in DMSO C21H26N6O2.2HCl MW: 467.39	25	online

Biological activity
Potent, orally available second-generation pan-HDAC inhibitor (highest IC50 value 0.11 nM for HDAC1, and sub-nanomolar for HDAC2, HDAC4, HDAC10, and HDAC11 in vitro) with activity in human leukemia. JNJ-26481585 induces continuous acetylation of histone H3, activation of the caspase cascade, and upregulation of p21, resulting in apoptosis and cell cycle arrest in the myeloma cells at low nanomolar concentrations. JNJ-26481585 also potently induced tubulin acetylation.

JNJ 26854165

Axon 1538

Serdemetan



	mg	Price
[881202-45-5] Purity: 99%	5	online
Soluble in 0.1N HCl(aq) and DMSO C21H20N4 MW: 328.41	25	online

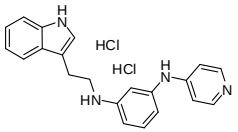
Biological activity
Oral HDM2 inhibitor (or antagonist), which showed potent activity against multiple myeloma (MM) cells in vitro and ex vivo; potential agent to restore p53 function and to potentially impact other HDM2 dependent pathways

JNJ 26854165 dihydrochloride

Axon 1586

[881202-16-0]
Purity: 99%

Soluble in water and DMSO
C21H20N4.2HCl MW: 401.33



mg	Price
5	online
25	online

Biological activity
Oral HDM2 inhibitor (or antagonist), which showed potent activity against multiple myeloma (MM) cells in vitro and ex vivo; potential agent to restore p53 function and to potentially impact other HDM2 dependent pathways
Note: JNJ26854165 dihydrochloride is a directly water-soluble form of JNJ 26854165 (Axon 1538)

JNJ 28630368

Axon 2380

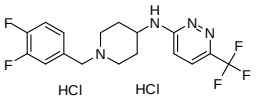
See APD 668
Page 217

JNJ 37822681 dihydrochloride

Axon 1802

[935776-74-2]
Purity: 98%

Soluble in water and DMSO
C17H17F5N4.2HCl MW: 445.26



mg	Price
10	online
50	online

Biological activity
Potent and selective dopamine D2 receptor antagonist; centrally acting and fast-dissociating ligand; potentially an antipsychotic agent

JNJ 38431055

Axon 2541

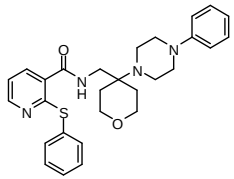
See APD 597
Page 216

JNJ 47965567

Axon 2890

[1428327-31-4]
Purity: 99%

Soluble in DMSO
C28H32N4O2S MW: 488.64



mg	Price
10	online
50	online

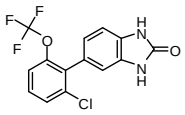
Biological activity
Highly potent and brain penetrant P2X7 antagonist in human, rat, and mouse cell lines (pKi values of 7.9, 7.9 and 6.9 for human, rat, and human whole blood P2X7). Probe compound for the preclinical assessment of P2X7 blockade in animal models of neuro-inflammation. DMPK properties suitable for preclinical pharmacodynamics studies.

JNJ 55511118

Axon 2793

[2036081-86-2]
Purity: 98%

Soluble in DMSO
C14H8ClF3N2O2 MW: 328.67



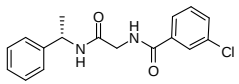
mg	Price
10	online
50	online

Biological activity
Potent negative modulator of AMPA receptor containing TARP-γ8 (Ki value of 26 nM). JNJ 55511118 exhibits excellent pharmacokinetic properties and achieved high receptor occupancy following oral administration. Tool for reversible AMPA receptor inhibition, particularly within the hippocampus, with potential therapeutic utility as an anticonvulsant or neuroprotectant.

JNJ 63533054

Axon 2569

[1802326-66-4]
Purity: 99%
Optically pure
Soluble in DMSO
C17H17ClN2O2 MW: 316.78



mg	Price
10	online
50	online

Biological activity
Potent, brain-penetrant, orally active, and selective agonist of hGPR139 (EC50 value 16 nM; 138% of max) with no inhibitory effect on CYP450. A useful tool for exploring GPR139 pharmacology.

JNJ-28431754

Axon 3122

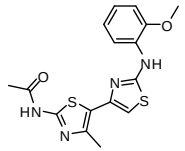
See Canagliflozin
Page 297

JNJ0966

Axon 3030

[315705-75-0]
Purity: 99%

Soluble in DMSO
C16H16N4O2S2 MW: 360.45

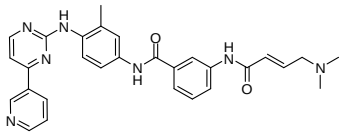


mg	Price
5	online
25	online

Biological activity
JNJ0966 is a highly selective compound that inhibited activation of MMP-9 zymogen and subsequent generation of catalytically active enzyme (IC50 value of 440 nM). JNJ0966 had no effect on MMP-1, MMP-2, MMP-3, MMP-9, or MMP-14 catalytic activity and did not inhibit activation of the highly related MMP-2 zymogen.

JNK-IN-8

Axon 2361



mg	Price
5	online
25	online

[1410880-22-6]
Purity: 98%

Soluble in DMSO
C29H29N7O2 MW: 507.59

Biological activity
Remarkably potent and selective covalent inhibitor of JNK (IC50 values 4.67 nM, 18.7 nM, and 0.98nM for JNK1/2/3, respectively). JNK-IN-8 inhibits phosphorylation of c-Jun, a direct substrate of JNK, in cells exposed to submicromolar drug in a manner that depends on covalent modification of the conserved cysteine residue (EC50 values 486 nM and 338 nM for inhibition of c-Jun phosphorylation in HeLa and A375 cells, respectively). Useful as a pharmacological probe of JNK-dependent signal transduction

JNK inhibitor compound 6o

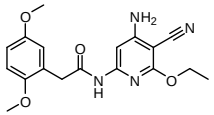
Axon 2949

See JNK inhibitor VIII

Page 481

JNK inhibitor VIII

Axon 2949



mg	Price
5	online
25	online

[894804-07-0]
Purity: 99%

Soluble in DMSO
C18H20N4O4 MW: 356.38

Biological activity
JNK inhibitor VIII is a selective, ATP-competitive, and cell-permeable JNK inhibitor with Ki values of 2 nM, 4 nM, and 52 nM for JNK1, JNK2, JNK3, respectively.

JO 1196

Axon 1140

See Fedotozine tartrate

Page 398

JQ1

Axon 1989

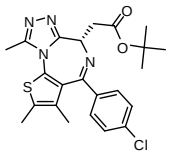
See JQ-1, (+)-

Page 481

JQ-1, (+)-

Axon 1989

JQ1



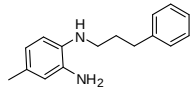
mg	Price
2	online
5	online

[1268524-70-4]
Purity: 99%
99% ee
Soluble in DMSO
C23H25ClN4O2S MW: 456.99

Biological activity
Potent and selective BET bromodomain inhibitor

JSH 23

Axon 2349



mg	Price
10	online
50	online

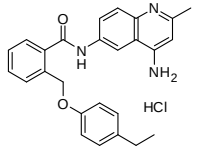
[749886-87-1]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C16H20N2 MW: 240.34

Biological activity
Inhibitor of NF-κB transcription and nuclear translocation of p65 (IC50 value 7.1 μM for inhibition of LPS-induced NF-κB transcriptional activity) without affecting IκBα degradation, which is a very rare mode of action. JSH 23 inhibited not only LPS-induced expressions of tumor necrosis factor-α (TNF-α), interleukin (IL)-1β, IL-6 and inducible nitric oxide synthase and cyclooxygenase-2 but also LPS-induced apoptosis of the RAW 264.7 cells. JSF 23 also inhibits NO production in LPS-stimulated macrophages RAW 264.7 (IC50 value 14.4 μM).

JTC 801

Axon 1805



mg	Price
5	online
25	online

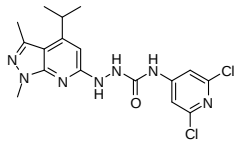
[244218-51-7]
Purity: 98%

Soluble in DMSO
C26H25N3O2.HCl MW: 447.96

Biological activity
Potent and selective NOP receptor antagonist (Ki: 8.2 nM)

JTE 013

Axon 1866



mg	Price
10	online
50	online

[383150-41-2]
Purity: 99%

Soluble in DMSO
C17H19Cl2N7O MW: 408.29

Biological activity
Potent and selective sphingosine-1-phosphate (S1P) receptor 2 (S1P2) antagonist (IC50: 17.6 nM).
Deleted CAS number [547756-93-4]

JTP 74057

Axon 1761

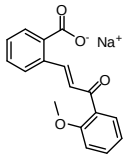
See GSK 1120212

Page 435

JTP 0819958

Axon 2939

HOIPIN-1



[N.A.]
Purity: 99%

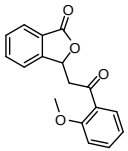
Soluble in water and DMSO
C17H13NaO4 MW: 304.27

mg	Price
10	online
50	online

Biological activity
JTP-0819958 is a selective linear ubiquitin chain assembly complex (LUBAC) inhibitor. JTP-0819958 exhibited IC50 values of 4.4, 3.5 and 3.7 μM for inhibition of linear polyubiquitination activity by the HOIL-1L/HOIP complex, the HOIL-1L/HOIP/SHARPIN complex and the HOIP/SHARPIN complex, respectively.
The prodrug JTP 1048196 is also available as Axon 2947.

JTP 1048196

Axon 2947



[55377-56-5]
Purity: 99%

Soluble in DMSO
C17H14O4 MW: 282.29

mg	Price
10	online
50	online

Biological activity
JTP 1048196 is a selective linear ubiquitin chain assembly complex (LUBAC) inhibitor with an IC50 value of 16.1 μM for inhibition of linear polyubiquitination activity by the HOIL-1L/HOIP complex. The lactone structure of JTP 1048196 was transformed to the reactive α,β-unsaturated carbonyl moiety JTP 0819958 (Axon 2939) which reacts with the cysteine residue of LUBAC, leading to its covalent inhibition in vitro and cellular levels.

JTT 705

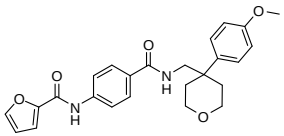
Axon 1962

See Dalcetrapib

Page 349

JW 55

Axon 1922



[664993-53-7]
Purity: 99%

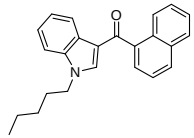
Soluble in DMSO
C25H26N2O5 MW: 434.48

mg	Price
10	online
50	online

Biological activity
A tankyrase (TNKS) inhibitor, inhibiting PARP domain of TNKS1 and TNKS2; JW55 inhibits canonical Wnt signaling in colon carcinoma cells and reduces tumor growth in conditional APC mutant mice

JWH 018

Axon 1498



[209414-07-3]
Purity: 99%

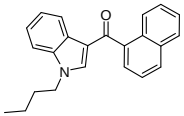
Soluble in DMSO and Ethanol
C24H23NO MW: 341.45

mg	Price
5	online
25	online

Biological activity
An analgesic chemical acts as a cannabinoid agonist at both the CB1 and CB2 receptors, with affinity at CB2 subtype approximately 3x the affinity at CB1 (Ki values are 2.94 and 9.0 nM for CB2 and CB1 receptors respectively)

JWH 073

Axon 1497



[208987-48-8]
Purity: 98%

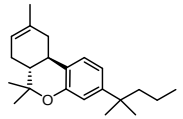
Soluble in DMSO
C23H21NO MW: 327.42

mg	Price
5	online
25	online

Biological activity
An analgesic chemical acts as a cannabinoid agonist at both the CB1 and CB2 receptors, with affinity at CB2 subtype approximately 5x the affinity at CB1

JWH 133

Axon 1418



[259869-55-1]
Purity: 99%

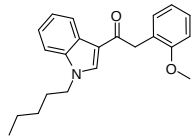
Soluble in DMSO and Ethanol
C22H32O MW: 312.49

mg	Price
5	online
25	online

Biological activity
Potent selective CB2 agonist

JWH 250

Axon 1522



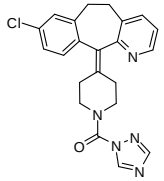
[864445-43-2]
Purity: 99%

Soluble in DMSO and Ethanol
C22H25NO2 MW: 335.44

mg	Price
10	online
50	online

Biological activity
An analgesic agent, which acts as a cannabinoid agonist at both the CB1 and CB2 receptors, with a Ki of 11 nM at CB1 and 33 nM at CB2

JZP 361



[1680193-80-9]
Purity: 99%

Soluble in DMSO
C22H20ClN5O MW: 405.88

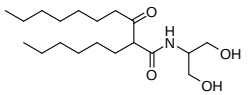
Axon 2486

mg	Price
5	online
25	online

Biological activity
Selective reversible inhibitor of monoacylglycerol lipase (MAGL; IC50 value 46 nM) with 35-fold higher selectivity over human α/β-hydrolase-6 (ABHD6) and 150-fold higher selectivity over human FAAH. The Loratidine analog JZP 361 fully retained H1 antagonistic activity as well (pA2 value 6.81) and is devoid of cannabinoid receptor (CB) affinity.

K6PC-5

Axon 2484



mg	Price
10	online
50	online

[756875-51-1]
Purity: 98%

Soluble in DMSO
C19H37NO4 MW: 343.50

Biological activity
Sphingosine kinase 1 (SphK1 or SK1) activator that increases sphingosine-1-phosphate (S1P) production, induces Akt phosphorylation in cultured osteoblasts, and protects them from Dex-induced apoptosis and necrosis. K6PC-5 acts to regulate both differentiation and proliferation of keratinocytes via [Ca2+]i responses through S1P production, which may represent a novel approach for treatment of skin disorders characterized by abnormal differentiation and proliferation, Furthermore, a useful tool in animal or clinical studies for its antiglucocorticoids-associated osteonecrosis potential.

K 22.175

Axon 1279

See FK 866
Page 403

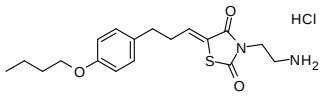
K 22.175 hydrochloride

Axon 1546

See FK 866 hydrochloride
Page 403

K 145 hydrochloride

Axon 2235



mg	Price
10	online
50	online

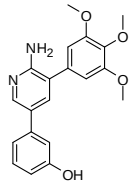
[1449240-68-9]
Purity: 99%

Soluble in water and DMSO
C18H24N2O3S.HCl MW: 384.92

Biological activity
Selective, substrate competitive Sphingosine Kinase-2 inhibitor (SphK2; IC50 value 4.30 µM) and anticancer agent. K145 suppressed the S1P level, and significantly inhibited the growth of U937 tumors in nude mice by both intraperitoneal and oral administration. K145 significantly inhibited the phosphorylation of FTY720, ERK and Akt upon treatment of U937 cells, but does not interfere with CERK and/or ceramide synthase.

K 02288

Axon 2189



mg	Price
5	online
25	online

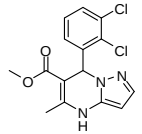
[1431985-92-0]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C20H20N2O4 MW: 352.38

Biological activity
Potent and highly selective inhibitor of BMP signaling, with low nanomolar IC50 values of 1.8, 1.1, 6.4 nM for ALK1, ALK2 and ALK6 respectively and IC50s of 34.4, 302, 321 and 220 nM for other ALKs (3, 4, 5) and ActRIIA respectively. K02288 specifically inhibited the BMP-induced Smad pathway without affecting TGF-β signaling and induced dorsalization of zebrafish embryos. K02288 provides a useful tool to investigate BMP signaling and to research into stem cell biology and disease models of anemia, musculoskeletal dysplasia and cancer.

K+ Channel inhibitor 1734

Axon 1734



mg	Price
10	online
50	online

[343240-54-0]
Purity: 97%
racemate
Soluble in DMSO and Ethanol
C15H13Cl2N3O2 MW: 338.19

Biological activity
Building block for synthesis of dihydropyrazolopyrimidine inhibitors of Kv1.5 (IKur). The ethylester analog of inhibitor 1734 is a modest inhibitor itself (IC50 value 1.1 µM for human Kv1.5), but exhibiting encouraging KV1.5 versus L-type calcium channel selectivity.

Kalydeco

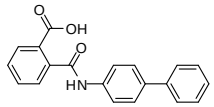
Axon 2503

See VX 770
Page 805

Kartogenin

Axon 2378

KGN



mg	Price
10	online
50	online

[4727-31-5]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C20H15NO3 MW: 317.34

Biological activity
Small molecule promoting robust chondrocyte differentiation from primary human mesenchymal stem cells (MSCs; EC50 value 100 nM)). Kartogenin (KGN) treatment of bone marrow stromal cells (BMSCs) induced the expresion of both Col. II and aggrecan in a dose-dependent manner, and upregulates Sox-9 gene expression. KGN does not alter either MMP-3, MMP-13, or aggrecanase expression in primary chondrocytes and MSCs. KGN may be used to enhance tendon/bone interface healing through the direct, local delivery of KGN injections into the gap between the tendon graft and the bone surface during ACL reconstruction.

Katadolon

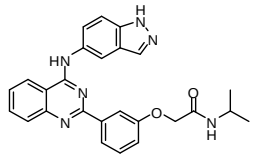
Axon 1437

See Flupirtine maleate
Page 407

KD025

Axon 2780

SLx-2119



mg	Price
5	online
25	Online

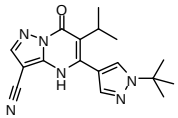
[911417-87-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C26H24N6O2 MW: 452.51

Biological activity
KD025 is a selective, ATP-competitive inhibitor of human ROCK2 (IC50 value of 105 nM) with minimal effects on human ROCK1 (IC50 value of 24 µM).

KDM5 inhibitor compound 48

Axon 2809



mg	Price
5	online
25	online

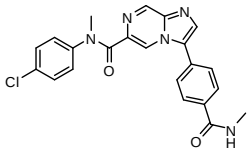
[1628210-26-3]
Purity: 99%

Soluble in DMSO
C17H20N6O MW: 324.38

Biological activity
Potent, selective and orally bioavailable KDM5 inhibitor (IC50 value of 15.1 nM for KDM5A) with improved cell potency (EC50 value of 0.34 µM, H3K4Me3 level in PC9 cells). Chemical probe suitable for studying KDM5 biological functions in vivo.

KDU691

Axon 2845



mg	Price
5	online
25	online

[1513879-19-0]
Purity: 99%

Soluble in DMSO
C22H18ClN5O2 MW: 419.86

Biological activity
KDU691 is a plasmodium PI4K inhibitor (IC50 values of 0.18 µM and 0.061 µM against hypnozoite forms and liver schizontsm, respectively) which selectively inhibits dihydroartemisinin-pretreated Plasmodium falciparum ring-stage parasites. Moreover, KDU691 was fully protective when administered in vivo as causal prophylactic and radical-cure agents for Plasmodium cynomolgi sporozoite-infected rhesus macaques.

Keppra

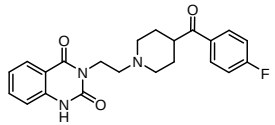
Axon 1110

See Levetiracetam
Page 507

Ketanserin

Axon 1450

R 41468



mg	Price
10	online
50	online

[74050-98-9]
Purity: 99%

Soluble in water and DMSO
C22H22FN3O3 MW: 395.43

Biological activity
5-HT2A receptor antagonist; an antihypertensive; with tritium (3H) radioactively labeled ketanserin is used as a radioligand for the serotonin 5-HT2A receptor, e.g. in receptor binding assays and autoradiography

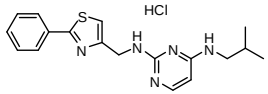
KGN

Axon 2378

See Kartogenin
Page 488

KHS101 hydrochloride

Axon 2901



mg	Price
5	online
25	online

[1784282-12-7]
Purity: 99%

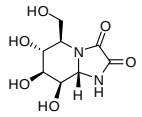
Soluble in water and DMSO
C18H21N5S.HCl MW: 375.92

Biological activity
KHS101 hydrochloride is a brain-penetrable TACC3 inhibitor known to enhance neuronal differentiation (EC50 value of 1 µM in cultured rat NPCs) and inhibit cell cycle progression and proliferation. KHS101 hydrochloride works indirectly on HIF complex formation by destabilizing both TACC3 and the HIF component HIF-1α. KHS101 hydrochloride suppresses proliferation, migration, and invasive capabilities of breast cancer cells, EMT process, and mammosphere forming capability, alters cell cycle progression, and induces apoptosis.

Kifunensine, (+)-

Axon 1730

FR 900494



mg	Price
2	online

[109944-15-2]
Purity: 99%

Soluble in water and DMSO
C8H12N2O6 MW: 232.19

Biological activity
A potent class I α-mannosidase inhibitor that inhibits the glycoprotein biosynthesis; inhibits both human endoplasmic reticulum α-mannosidase I and Golgi class I mannosidase with Ki value of 130 and 23 nM respectively

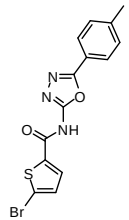
KIN-193

Axon 2926

See AZD 6482
Page 246

KKL-10

Axon 2802



mg	Price
5	online
25	online

[952849-76-2]
Purity: 99%

Soluble in DMSO
C14H10BrN3O2S MW: 364.22

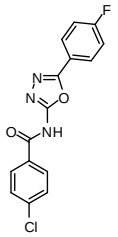
Biological activity
KKL-10 is a ribosome rescue (trans-translation) inhibitor which exhibited exceptional antimicrobial activity against both attenuated (MIC value of 0.12 µg/ml) and fully virulent strains of Francisella tularensis (MIC value of 0.48 µg/ml) in vitro and during ex vivo infection.

KKL-35

Axon 2997

[865285-29-6]
Purity: 99%

Soluble in DMSO
C15H9ClFN3O2 MW: 317.70



mg	Price
10	online
50	online

Biological activity
KKL-35 is ribosome rescue (trans-translation) inhibitor with an IC50 value of 0.9 µM. KKL-35 exhibits broad-spectrum antibiotic activity.

KMD-3213

Axon 3112

See Silodosin

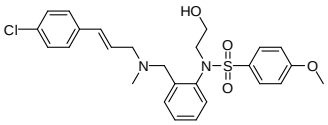
Page 713

KN 93

Axon 2566

[1188890-40-5]
Purity: 99%

Soluble in DMSO
C26H29ClN2O4S MW: 501.04



mg	Price
5	online
25	online

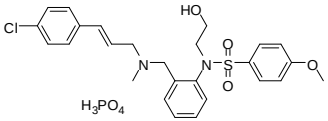
Biological activity
Inhibitor of multifunctional Ca2+/Calmodulin-dependent protein kinase (CaMKII; Ki value 0.37 µM for inhibition of CaMKII phosphorylating activity). In addition, KN93 also affects CaV1.3 and CaV1.2 calcium channels in a CaMKII-independent manner. The water soluble phosphate salt of KN 93 (Axon 2555) is available as well.

KN 93 phosphate

Axon 2555

[1188890-41-6]
Purity: 99%

Soluble in water and DMSO
C26H29ClN2O4S.H3PO4 MW: 599.03



mg	Price
5	online
25	online

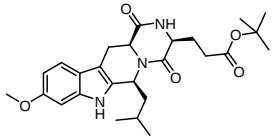
Biological activity
Inhibitor of multifunctional Ca2+/Calmodulin-dependent protein kinase (CaMKII; Ki value 0.37 µM for inhibition of CaMKII phosphorylating activity). In addition, KN93 also affects CaV1.3 and CaV1.2 calcium channels in a CaMKII-independent manner. The parent molecule KN 93 (Axon 2566) is available as well.

KO 143

Axon 1409

[461054-93-3]
Purity: 99%

Soluble in DMSO and Ethanol
C26H35N3O5 MW: 469.57



mg	Price
2	online
5	online

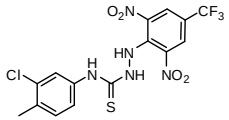
Biological activity
Potent and selective inhibitor of breast cancer resistance protein (BCRP) multidrug transporter

Kobe 0065

Axon 2302

[436133-68-5]
Purity: 99%

Soluble in DMSO
C15H11ClF3N5O4S MW: 449.79



mg	Price
10	online
50	online

Biological activity
Orally active RAS inhibitor with selectivity for HRAS (Ki value of 46 ± 13 µM) that effectively inhibits both anchorage-dependent and -independent growth and induces apoptosis of H-rasG12V–transformed NIH 3T3 cells. This results in down-regulation of downstream molecules such as MEK/ERK, Akt, and RalA as well as an upstream molecule, Son of sevenless. Kobe 0065 exhibits antitumor activity on a xenograft of human colon carcinoma SW480 cells carrying the K-rasG12V gene by oral administration.

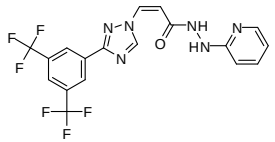
KPT 335

Axon 2597

Verdinexor

[1392136-43-4]
Purity: 99%

Soluble in DMSO
C18H12F6N6O MW: 442.32

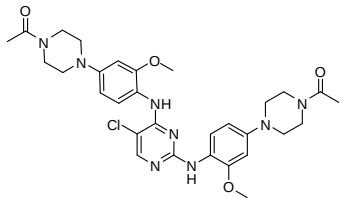


mg	Price
5	online
25	online

Biological activity
Orally bioavailable selective inhibitor of nuclear export (SINE; Exportin-1 or XPO1 inhibitor; IC50 values 2.1 nM, 41.8 nM, and 8.5 nM, for inhibition of the viability of OCI-Ly3, OCI-Ly10, and CLBL1, respectively). Verdinexor (KPT 335) potently and selectively inhibits vRNP export and effectively inhibits the replication of various influenza virus A and B strains in vitro and in vivo. KPT 335 induced apoptosis in CLBL1 cells and primary canine DLBCL cells indicating

KRCA 0008

Axon 2294



[1472795-20-2]
Purity: 100%

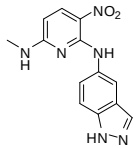
Soluble in DMSO
C30H37ClN8O4 MW: 609.12

mg	Price
5	online
25	online

Biological activity
Potent and selective dual ALK (anaplastic lymphoma kinase) and ACK1 inhibitor (IC50 values 12 nM and 4 nM for ALK and Ack1, respectively) with good drug-like properties: good water-solubility with moderate plasma protein binding and low brain exposure. It has good liver microsomal stability and little to no CYP inhibition. KRCA0008 also shows promising pharmacokinetic parameters in both mice and rat (oral bioavailability = 66-94.5%) and a modest tumor growth inhibition in vivo activity in H3122 human lung cancer bearing mice model comparable to Crizotinib (Axon 1660) without significant body weight change.

KRIBB11

Axon 2538



[342639-96-7]
Purity: 99%

Soluble in DMSO
C13H12N6O2 MW: 284.27

mg	Price
10	online
50	online

Biological activity
HSF1 inhibitor (IC50 value 1.2 µM for inhibition of heat shock-induced luciferase activity). KRIBB11 blocks the induction of HSF1 downstream target proteins such as HSP27 and HSP70, and induces growth arrest and apoptosis in HCT-116 cells. KRIBB11 inhibits HSF1-dependent recruitment of positive transcription elongation factor b (p-TEFb) to the hsp70 promoter, and tumor growth is inhibited without body weight loss upon intraperitoneal treatment of nude mice with KRIBB11. KRIBB11 enhances the cytotoxicity of nocodazole and parbendazole.

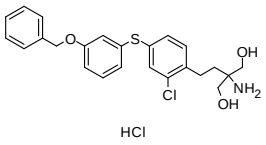
KRN 951

Axon 1717

See Tivozanib Page 768

KRP 203

Axon 1615



[509088-69-1]
Purity: 98%

Soluble in DMSO
C24H26ClNO3S.HCl MW: 480.45

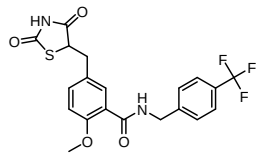
mg	Price
5	online
25	online

Biological activity
Selective sphingosine-1-phosphate (S1P) receptor 1 agonist; immunosuppressant

KRP 297

Axon 1567

MK 767



[213252-19-8]
Purity: 98%

Soluble in DMSO
C20H17F3N2O4S MW: 438.42

mg	Price
5	online
25	online

Biological activity
Peroxisome proliferator-activated receptor (PPAR) alpha and gamma (PPARα and PPARγ) agonist

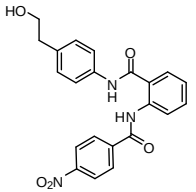
KRX 0401

Axon 1663

See Perifosine Page 624

KS 176

Axon 2508



[1253452-78-6]
Purity: 98%

Soluble in DMSO
C22H19N3O5 MW: 405.40

mg	Price
10	online
50	online

Biological activity
Inhibitor of the ABC-transporter Breast Cancer Resistance Protein (BCRP or ABCG2; IC50 value of 1.39 µM). KS 176 is ca 50-fold more potent than Novobiocin (IC50 value 65 µM), and nearly equipotent compared to KO 143 (Axon 1409), and does not show inhibition of P-gp and MRP1.

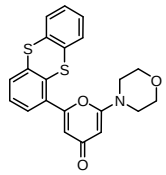
KU 47788

Axon 1463

See NU 7441 Page 591

KU 55933

Axon 1367



[587871-26-9]
Purity: 99%

Soluble in DMSO
C21H17NO3S2 MW: 395.49

mg	Price
10	online
50	online

Biological activity
Potent, ATP-competitive and selective ATM inhibitor (Ki = 2.2 nM, IC50 = 13 nM)

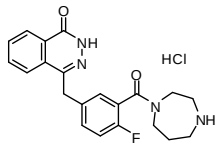
KU 63794

Axon 1472

See KU 0063794 Page 496

KU 0058948 hydrochloride

Axon 2001



[763111-49-5] (parent)
Purity: 99%

Soluble in water and DMSO
C21H21FN4O2.HCl MW: 416.88

mg	Price
10	online
50	online

Biological activity
Potent and specific PARP inhibitor (IC50: 3.4 nM for PARP1); KU-0058948 activates transfected extracellular signal-regulated kinase 8 (ERK8) in cells and induces cell cycle arrest and apoptosis of primary myeloid leukemic cells and myeloid leukemic cell lines in vitro

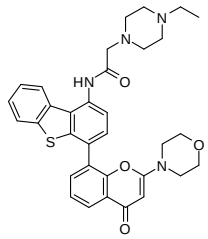
KU 0059436

Axon 1464

See AZD 2281 Page 243

KU 0060648

Axon 2604



[881375-00-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C33H34N4O4S MW: 582.71

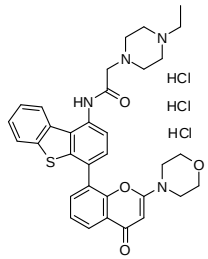
mg	Price
5	online
25	online

Biological activity
Potent and selective inhibitor of DNA-dependent protein kinase (DNA-PK), (IC50 = 8.6 nM); with 20-1000 fold selectivity for DNA-PK over other PIKKs and a panel of 60 kinases.

** The water soluble 3HCl salt of KU 0060648 (Axon 1584) is available as well*

KU 0060648 trihydrochloride

Axon 1584



[881375-00-4]
Purity: 99%

Soluble in water
C33H34N4O4S.3HCl MW: 692.10

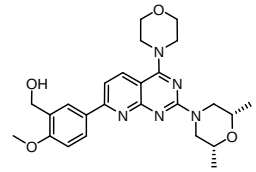
mg	Price
2	online
5	online

Biological activity
Potent and selective inhibitor of DNA-dependent protein kinase (DNA-PK), (IC50 = 8.6 nM); with 20-1000 fold selectivity for DNA-PK over other PIKKs and a panel of 60 kinases

KU 0063794

Axon 1472

KU 63794



[938440-64-3]
Purity: 99%

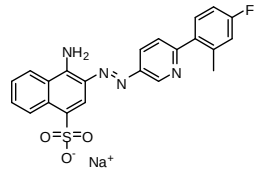
Soluble in 0.1N HCl(aq) and DMSO
C25H31N5O4 MW: 465.54

mg	Price
2	online
5	online

Biological activity
Potent and selective mTOR inhibitor, with IC50 values to be about 10 nM for mTORC1 and mTORC2

KUS121

Axon 3143



[1357164-52-3]
Purity: 98%

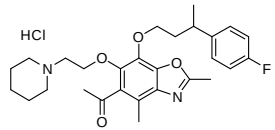
Soluble in water and DMSO
C22H16FN4NaO3S MW: 458.44

mg	Price
10	online
50	online

Biological activity
KUS121 is an ATPase inhibitor of valosin-containing protein (VCP) with an IC50 value of 330 nM; Neuroprotectant.

Kv1.3 Channel blocker 42

Axon 1735



[N.A.]
Purity: 99%

Soluble in water
C28H35FN2O4.HCl MW: 519.05

mg	Price
5	online
25	online

Biological activity
Potassium channel blocker, selective in blocking the Kv1.3 current (IC50: <50 nM); Selectivity: 25-fold over Kv1.1 and 24-fold over Kv1.5

KW 3902

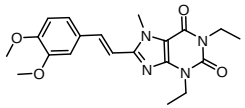
Axon 1603

See Rolofylline Page 681

KW 6002

Axon 1423

Istradefylline



mg	Price
5	online
25	online

[155270-99-8]
Purity: 99%

Soluble in DMSO
C20H24N4O4 MW: 384.43

Biological activity
Very potent, selective and orally active adenosine A2A receptor antagonist in experimental models of Parkinson's disease

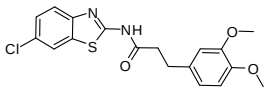
KW-3049

Axon 3131

See Benidipine hydrochloride Page 265

KY 02111

Axon 2036



mg	Price
10	online
50	online

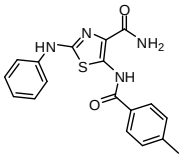
[1118807-13-8]
Purity: 98%

Soluble in DMSO
C18H17ClN2O3S MW: 376.86

Biological activity
A canonical Wnt signaling pathway inhibitor that promotes differentiation of human pluripotent stem cells (hPSCs), including ESCs and iPSCs, to cardiomyocytes. KY02111 acts downstream of APC and GSK3β to inhibit WNT signaling;KY02111 and WNT inhibitors, such as XAV939 (Axon 1527), cooperatively enhance hPSC cardiomyogenesis; KY02111 and WNT modulators, CHIR99021 (Axon 1386) and/or BIO (Axon 1693) permit cytokine and xeno-free hPSC cardiomyogenesis

KY 05009

Axon 2395



mg	Price
10	online
50	online

[1228280-29-2]
Purity: 99%

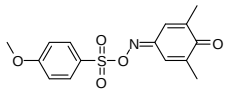
Soluble in DMSO
C18H16N4O2S MW: 352.41

Biological activity
Inhibitor of Traf2- and Nck-Interacting Kinase (TNIK; Ki value 100 nM in ATP competitive assay) that attenuates TGF-β1-mediated Wnt and Smad signaling and epithelial-to-mesenchymal transition (EMT) in human lung adenocarcinoma A549 cells. Additionally, KY05009 inhibits TGF-β1-induced phosphorylation of JNK1/2, FAK, Src, and paxillin.

L 002

Axon 2319

NSC 764414



[321695-57-2]
Purity: 99%

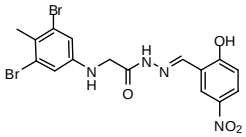
Soluble in DMSO
C15H15NO5S MW: 321.35

mg	Price
10	online
50	online

Biological activity
Inhibitor of p300 histone acyltransferase (a.k.a KAT3B; IC50 value 1.98 µM in vitro) that also inhibited acetylation of histones and p53, and suppresses STAT3 activation in cell-based assays. In vivo, L 002 potently suppressed tumor growth of TNBC cell line MDA-MB-468 xenografts.

L67

Axon 2549



[325970-71-6]
Purity: 99%

Soluble in DMSO
C16H14Br2N4O4 MW: 486.11

mg	Price
5	online
25	online

Biological activity
Cytotoxic inhibitor of DNA ligase I and III (IC50 values 10 µM each) that binds to the DBD of hLigI, hence leading to inhibition of DNA binding and ligation and specifically sensitizes cancer cells to DNA damage. Breast cancer cell lines with acquired resistance to antiestrogen therapeutics are hypersensitive to a combination of L67 and PARP inhibitor ABT 888 (Axon 1593).

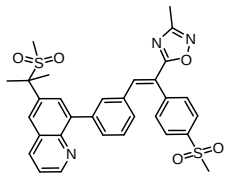
L 163191

Axon 1376

See MK 677 Page 541

L 454560

Axon 1127



[346629-30-9]
Purity: 98%

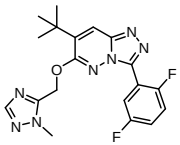
Soluble in DMSO
C31H29N3O5S2 MW: 587.71

mg	Price
5	online
25	online

Biological activity
Potent and selective PDE4 inhibitor

L 838417

Axon 1196



[286456-42-6]
Purity: 99%

Soluble in DMSO
C19H19F2N7O MW: 399.40

mg	Price
5	online
25	online

Biological activity
Partial agonist at non-a1 GABAA and antagonist at GABAA-a1 receptor

L-3-Amino-3,4-dihydro-1-hydroxycarbstyril hydrochloride

Axon 2924

See PF 04859989 hydrochloride Page 630

L-743,726

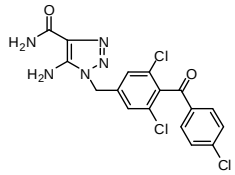
Axon 3125

See Efavirenz Page 379

L651582

Axon 3185

Carboxyamidotriazole; CAI; NSC-609974



[99519-84-3]
Purity: 99%

Soluble in DMSO
C17H12Cl3N5O2 MW: 424.67

mg	Price
10	online
50	online

Biological activity
Calcium channel blocker. L651582 inhibits M5 muscarinic receptor-mediated calcium influx and release of arachidonic acid, but has little effect on inositol phosphate or cAMP generation. Antiproliferative and antimetastatic agent.

LA1

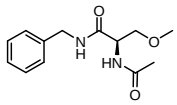
Axon 3048

See ADH-503 Page 186

Lacosamide

Axon 1444

SPM 927; Erlosamide



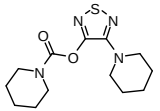
[175481-36-4]
Purity: 99%
>98% ee
Soluble in water and DMSO
C13H18N2O3 MW: 250.29

mg	Price
10	online
50	online

Biological activity
Lacosamide acts by enhancing slow inactivation of voltage gated sodium channels; a medication for the adjunctive treatment of partial-onset seizures and diabetic neuropathic pain

Lalistat 2

Axon 2797



[1234569-09-5]
Purity: 99%

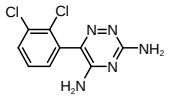
Soluble in DMSO
C13H20N4O2S MW: 296.39

mg	Price
10	online
50	online

Biological activity
Lalistat 2 is a potent and selective inhibitor of lysosomal acid lipase (LAL) with an IC50 value of 152 nM. Lalistat 2 did not exhibit inhibition of human pancreatic lipase or bovine milk lipoprotein lipase.

Lamotrigine

Axon 1353



[84057-84-1]
Purity: 99%

Soluble in DMSO
C9H7Cl2N5 MW: 256.09

mg	Price
10	online
50	online

Biological activity
Glutamate antagonist and sodium channel blocker; an anticonvulsant drug

Lanicemine dihydrochloride

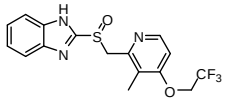
Axon 3335

See AZD6765 dihydrochloride **Recent Addition** Page 249

Lansoprazole **Recent Addition**

Axon 3244

AG-1749



[103577-45-3]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C16H14F3N3O2S MW: 369.36

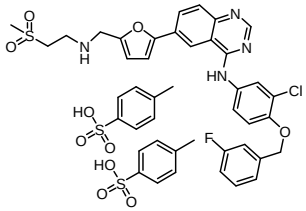
mg	Price
50	online
250	online

Biological activity
Lansoprazole is an H+/K+ ATPase inhibitor with an IC50 value of 6.3 μM.

Lapatinib ditosylate

Axon 1395

GW 572016



[388082-77-7]
Purity: 99%

Soluble in DMSO
C29H26ClFN4O4S.2C7H8O3S
MW: 925.46

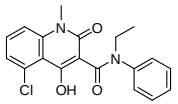
mg	Price
10	online
50	online

Biological activity
An ATP-competitive epidermal growth factor receptor (EGFR) and HER2/neu (ErbB-2) dual tyrosine kinase inhibitor

Laquinimod

Axon 1970

ABR 215062



[248281-84-7]
Purity: 99%

Soluble in DMSO
C19H17ClN2O3 MW: 356.80

mg	Price
10	online
50	online

Biological activity
A selective autoimmune suppressant investigated as an oral treatment for multiple sclerosis (MS) and other autoimmune diseases; Immunomodulator

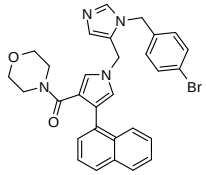
Laropiprant

Axon 1480

See MK 0524 sodium salt Page 542

LB 42708

Axon 1794



[226929-39-1]
Purity: 99%

Soluble in DMSO
C30H27BrN4O2 MW: 555.46

mg	Price
5	online
25	online

Biological activity
Selective and orally available inhibitor of farnesyltransferase (FTase), with IC50 values of 0.8 nM in vitro and 8 nM in cultured cells against p21-ras farnesylation

LB-1

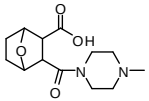
Axon 2820

See LB-100 Page 502

LB-100

Axon 2820

LB-1



[1632032-53-1]
Purity: 99%

Soluble in water and DMSO
C13H20N2O4 MW: 268.31

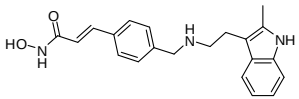
mg	Price
10	online
50	online

Biological activity
LB-100 is a specific competitive inhibitor of PP2A. In a mouse xenograft model of human pancreatic cancer, LB-100 produced significant radiosensitization with minimal weight loss. Furthermore, LB-100 decreased cell viability through caspase activation and G2/M cell-cycle arrest. LB100 enhanced daunorubicin cytotoxicity resulting in decreased xenograft volumes and improved overall survival.

LBH 589

Axon 1548

NVP-LBH 589; Panobinostat



	mg	Price
[404950-80-7] Purity: 98%	10	online
Soluble in DMSO C21H23N3O2 MW: 349.43	50	online

Biological activity
Highly potent and oral inhibitor of histone deacetylase (HDAC) with IC50 of HDAC1 to be 0.23 nM; an investigational drug against human pancreatic cancer, T cell lymphoma and other types of malignant diseases.In vitro LBH 589 induces cell cycle arrest and apoptosis through both caspase dependent and caspase independent pathways in various tumor cell types at nanomolar concentrations.In vivo LBH 589 inhibits tumor angiogenesis as evidenced by blocking new blood vessel formation in human prostate carcinoma cell PC 3 xenografts

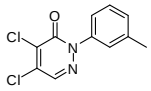
LC-1

Axon 2807

See SPA70 Page 726

LCS 1

Axon 2176



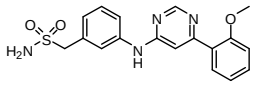
	mg	Price
[41931-13-9] Purity: 99%	10	online
Soluble in DMSO C11H8Cl2N2O MW: 255.10	50	online

Biological activity
Inhibitor of superoxide dismutase 1 (SOD1). Inhibits SOD1 enzymatic activity in vitro (IC50: 0.19 μM for cell growth inhibition in KRAS mutated H358 cell lines). Conversely, (over-) expression of SOD1 cDNA showed about a threefold reduction in sensitivity to LCS-1 and increased proliferation of H358 cells. Additionally, LCS-1 can prevent serum-induced activation of the ERK and PI 3-kinase/AKT signaling pathways.

LDC000067

Axon 3029

LDC067



	mg	Price
[1073485-20-7] Purity: 99%	10	online
Soluble in DMSO C18H18N4O3S MW: 370.43	50	online

Biological activity
LDC000067 is a potent, highly specific, ATP-competitive CDK9 inhibitor with an IC50 value of 44 nM.

LDC067

Axon 3029

See LDC000067 Page 503

LDE 225

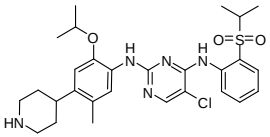
Axon 1619

See NVP-LDE225 Page 597

LDK 378

Axon 2224

Ceritinib



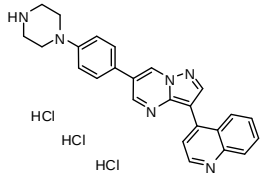
	mg	Price
[1032900-25-6] Purity: 99%	5	online
Soluble in 0.1N HCl(aq) and DMSO C28H36ClN5O3S MW: 558.14	25	online

Biological activity
Potent, bioavailable, and selective anaplastic lymphoma kinase (ALK) inhibitor (IC50 value 0.2 nM) with >35 fold selectivity over InsR, IGF-1R. LDK 378 induced a dose-dependent tumor growth inhibition and tumor regression in multiple rat xenograft models.

LDN 193189 hydrochloride

Axon 1509

DM 3189

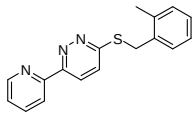


	mg	Price
[1062368-24-4] (parent) Purity: 99%	2	online
Soluble in water and DMSO C25H22N6.3HCl MW: 515.87	5	online

Biological activity
A highly potent small molecule BMP inhibitor; inhibiting BMP type I receptors ALK2 (IC50: 5 nM), ALK3 (IC50: 30 nM) and ALK6 (TGFβ1/BMP signaling) and subsequent SMAD phosphorylation; useful tool in stem cell biology

LDN 212320

Axon 2260

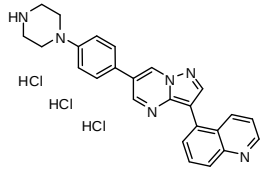


	mg	Price
[894002-50-7] Purity: 100%	10	online
Soluble in DMSO C17H15N3S MW: 293.39	50	online

Biological activity
LDN 212320 is an activator of excitatory amino acid transporter 2 (EAAT2) translation and has significant neuroprotective effects in vivo.

LDN 212854 trihydrochloride

Axon 2201



	mg	Price
[1432597-26-6] (parent) Purity: 99%	5	online
Soluble in water and DMSO C25H22N6.3HCl MW: 515.87	25	online

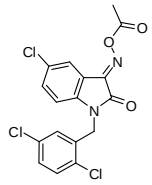
Biological activity
Potent ALK2-biased BMP type I receptor kinase inhibitor (IC50 values 2.4 nM, 1.3 nM, and 85.8 nM for ALK1, 2, and 3 resp.), showing nearly 4 orders of selectivity for BMP versus the closely related TGF-β and Activin type I receptors. Closely related to LDN 193189 (Axon 1509).

LDN 57444

Axon 2449

[668467-91-2]
Purity: 99%

Soluble in DMSO
C17H11Cl3N2O3 MW: 397.64



mg	Price
10	online
50	online

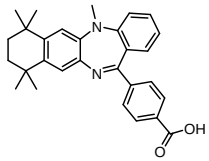
Biological activity
Reversible, competitive inhibitor of UCH-L1 (Ki value 0.40 µM; IC50 values 0.88 µM and 25 µM for UCH-L1 and UCH-L3 inhibition, respectively), that promotes proliferation of H1299 NSCLC cells and SH-SY5Y neuroblastoma cells. A usefull tool to study the role of UCH-L1 in Parkinson's disease, cancer, and neuropathic pain.

LE 135

Axon 1242

[155877-83-1]
Purity: 98%

Soluble in DMSO
C29H30N2O2 MW: 438.56



mg	Price
10	online
50	online

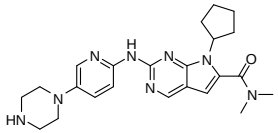
Biological activity
Retinoid antagonist, beta type selective

LEE 011

Axon 2273

[1211441-98-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C23H30N8O MW: 434.54



mg	Price
5	online
25	online

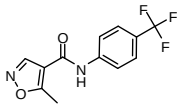
Biological activity
Orally bioavailable and highly selective small-molecule inhibitor of CDK4/6 (mean IC50 value 307 nM in sensitive neuroblastoma-derived cell lines). LEE011 caused cell-cycle arrest and cellular senescence that was attributed to dose-dependent decreases in phosphorylated RB and FOXM1, respectively.
LEE 011 treatment of BE2C and IMR5 neuroblastoma cell lines with demonstrated sensitivity to CDK4/6 inhibition resulted in a dose-dependent accumulation of cells in the G0/G1 phase of the cell cycle.

Leflunomide Recent Addition

Axon 3164

[75706-12-6]
Purity: 99%

Soluble in DMSO
C12H9F3N2O2 MW: 270.21



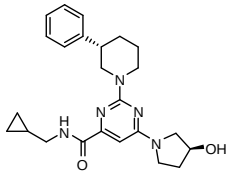
mg	Price
50	online
250	online

Biological activity
Leflunomide is a selective inhibitor of de novo pyrimidine synthesis. The active metabolite of Leflunomide, A77 1726, at low, therapeutically applicable doses, reversibly inhibits dihydroorotate dehydrogenase (DHODH), the rate limiting step in the de novo synthesis of pyrimidines. Leflunomide is a disease modifying antirheumatic drug (DMARD).

LEI-401 Recent Addition

Axon 3202

[2393840-15-6]
Purity: 99%
99.9% e.e.
Soluble in DMSO
C24H31N5O2 MW: 421.54



mg	Price
5	online
25	online

Biological activity
LEI-401 is a first-in-class, potent, selective and CNS-active N-acylphosphatidylethanolamine phospholipase D (NAPE-PLD) inhibitor (Ki value of 0.027 µM). LEI-401 blocks NAE biosynthesis in the brain of freely moving mice, thereby revealing a possible endogenous tone of this lipid family in emotional behavior. Moreover, LEI-401 activated the hypothalamus-pituitary-adrenal (HPA) axis and impaired extinction of an aversive memory in mice, thereby mimicking the effects of cannabinoid CB1 receptor antagonism.

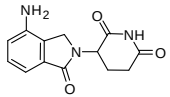
Lenalidomide

Axon 1793

CC 5013; Revimid

[191732-72-6]
Purity: 100%

Soluble in DMSO
C13H13N3O3 MW: 259.26



mg	Price
10	online
50	online

Biological activity
Anti-angiogenesis agent; immunomodulator; a FDA approved drug to treat mantle cell lymphoma, multiple myeloma, and anemia caused by a certain type of myelodysplastic syndrome (MDS).It is being studied in the treatment of other conditions and types of cancer. Lenalidomide may help the immune system kill abnormal blood cells or cancer cells. It may also prevent the growth of new blood vessels that tumors need to grow

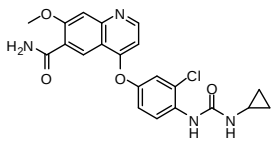
Lenvatinib Recent Addition

Axon 3165

E7080

[379231-04-6]
Purity: 98%

Soluble in DMSO
C21H19ClN4O4 MW: 426.85



mg	Price
10	online
50	online

Biological activity
Lenvatinib is an orally active inhibitor of multiple receptor tyrosine kinases including VEGF, FGF and SCF receptors. Lenvatinib inhibited Flt-1 (VEGFR1), KDR (VEGFR2) and Flt-4 (VEGFR3) with IC50 values of 22, 4.0 and 5.2 nM, respectively. Lenvatinib has potent antitumor activity against human H146, a SCLC cell line in mice based on angiogenesis inhibition via both KDR and KIT signaling, compared to single inhibition of either KDR or KIT signaling.

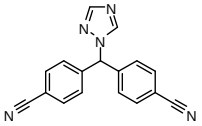
Letairis

Axon 1648

See Ambrisentan
Page 199

Letrozole Recent Addition — Axon 3257

CGS 20267



	mg	Price
[112809-51-5] Purity: 99%	50	online

Soluble in DMSO
C17H11N5 MW: 285.30

Biological activity
Letrozole is a potent, highly selective, non-steroidal aromatase inhibitor in vitro (IC50 value of 11.5 nM) and in vivo.

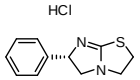
Leukadherin-1 choline salt — Axon 3048

See ADH-503

Page 186

Levamisole hydrochloride Recent Addition — Axon 3242

(-)-Tetramisole hydrochloride



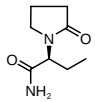
	mg	Price
[16595-80-5] Purity: 100% Optically pure Soluble in water and DMSO	50	online

C11H12N2S.HCl MW: 240.75

Biological activity
Levamisole hydrochloride is a highly active anthelmintic agent.

Levetiracetam — Axon 1110

UCB-L 059; Keppra

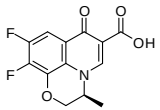


	mg	Price
[102767-28-2] Purity: 99% 99% ee Soluble in water and DMSO	20	online
	100	online

C8H14N2O2 MW: 170.21

Biological activity
Acetylcholine agonist; "Second generation" nootropic; an anticonvulsant medication used to treat epilepsy; more active enantiomer of Etiracetam (Axon 1109), in comparison with the opposite (R)-enantiomer, UCB L-060 (Axon 1111)

Levofloxacin Q-acid — Axon 2242



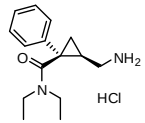
	mg	Price
[100986-89-8] Purity: 99% Optically pure Soluble in 0.1N NaOH(aq) and DMSO	50	online
	1000	online

C13H9F2NO4 MW: 281.21

Biological activity
Inhibitor of bacterial DNA gyrase and topoisomerase IV. Levofloxacin has a minimum inhibitory concentration (MIC) of 0.75 µg/mL against penicillin-resistant Streptococcus pneumoniae. Analogue of Trovafloxacin (Axon 2100).

Levomilnacipran hydrochloride Recent Addition — Axon 3128

F2695 hydrochloride

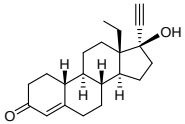


	mg	Price
[175131-60-9] Purity: 99% Optically pure Soluble in water and DMSO	10	online
	50	online

C15H22N2O.HCl MW: 282.81

Biological activity
Levomilnacipran hydrochloride is a serotonin and norepinephrine reuptake inhibitor (SNRI). Antidepressant drug.

Levonorgestrel — Axon 2065

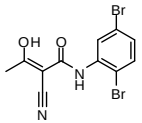


	mg	Price
[797-63-7] Purity: 99%	25	online
Soluble in DMSO	100	online

C21H28O2 MW: 312.45

Biological activity
A second generation synthetic progestogen used as an active ingredient in some hormonal contraceptives; binds to the progesterone receptor (PR) as an agonist; a synthetic progesterone steroid that displays potent progestional and androgenic effects but it lacks estrogen-like activity
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

LFM-A13 — Axon 2862



	mg	Price
[244240-24-2] Purity: 99%	10	online
Soluble in DMSO	50	online

C11H8Br2N2O2 MW: 360.00

Biological activity
LFM-A13 is a potent and specific inhibitor of BTK (IC50 value of 2.5 µM). LFM-A13 did not affect the enzymatic activity of other protein tyrosine kinases, including Janus kinases JAK1 and JAK2, Src family kinase HCK, and receptor family tyrosine kinases E.

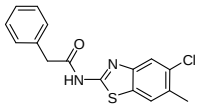
LH601A — Axon 2641

See ML334

Page 549

LH 846

Axon 2297



[639052-78-1]
Purity: 99%

Soluble in DMSO
C16H13ClN2OS MW: 316.81

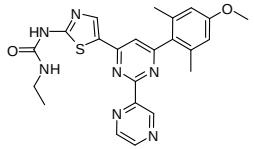
mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of CK1δ (IC50 values of 2.5 mM, 290 nM, and 1.3 mM for CK1α, CK1δ, and CK1ε, respectively) that showed no inhibitory effect on CK2. LH 846 modulates circadian rhythms through phosphorylation of the period protein with a significant effect on circadian period length (10 h) with minimal effect on the amplitude of both Per2-dLuc and Bmal1-dLuc rhythms in U2OS cells.

LIMK1 inhibitor BMS 4

Axon 1949

BMS 4



[905298-84-2]
Purity: 99%

Soluble in DMSO
C23H23N7O2S MW: 461.54

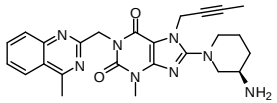
mg	Price
2	online
5	online

Biological activity
A potent LIM kinase (LIMK) 1 (LIMK1) inhibitor

Linagliptin

Axon 2354

BI 1356



[668270-12-0]
Purity: 99%
Optically pure
Soluble in DMSO
C25H28N8O2 MW: 472.54

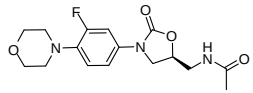
mg	Price
10	online
50	online

Biological activity
Competitive and highly selective dipeptidyl peptidase (DPP)-4 inhibitor (IC50 value ca. 1 nM in vitro) with superior potency and long duration of action in vivo. Linagliptin is ≥10,000-fold more selective for DPP-4 than DPP-8, DPP-9, amino-peptidases N and P, prolyloligopeptidase, trypsin, plasmin, and thrombin and is 90-fold more selective than for fibroblast activation protein in vitro. Approved drug for treatment of type 2 diabetes with long-lasting effects on glucose tolerance through control of GLP-1 and insulin.

Linezolid

Axon 2048

Zyvox; PNU 100766; U 100766



[165800-03-3]
Purity: 99%
Optically pure
Soluble in DMSO
C16H20FN3O4 MW: 337.35

mg	Price
10	online
50	online

Biological activity
Protein synthesis inhibitor; antibiotic; stops the growth of bacteria by disrupting their production of proteins; inhibits the ribosomal peptidyltransferase; antibacterial agent for the treatment of multidrug-resistant gram-positive bacterial infections
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Linifanib

Axon 1638

See ABT 869 Page 182

Linomide

Axon 2868

See Roquinimex Page 682

Linsitinib

Axon 1702

See OSI 906 Page 607

Lintitript

Axon 1245

See SR 27897 Page 731

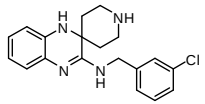
Lipitor

Axon 2043

See Atorvastatin calcium Page 234

Liproxstatin-1

Axon 2990



[950455-15-9]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C19H21ClN4 MW: 340.85

mg	Price
5	online
25	online

Biological activity
Liproxstatin-1 is a potent ferroptosis inhibitor (IC50 value of 22 nM) which is able to suppress ferroptosis in cells, in Gpx4(-/-) mice, and in a pre-clinical model of ischaemia/reperfusion-induced hepatic damage.

Lirimilast

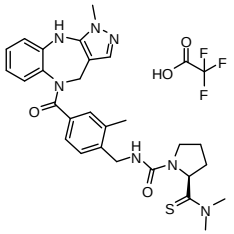
Axon 1178

See BAY 19-8004 Page 258

LIT-001

Axon 3071

LIT-001 trifluoroacetate



mg	Price
5	online
25	online

[2245072-21-1]
Purity: 98%

Soluble in DMSO
C28H33N7O2S.1.5C2HF3O2 MW: 702.71

Biological activity
LIT-001 is a non-peptide, potent and specific agonist of the oxytocin receptor (EC50 value of 25 nM at human OTR). First nonpeptide OT receptor agonist active in an animal model of autism spectrum disorders (ASD) after peripheral ip administration.

LIT-001 trifluoroacetate

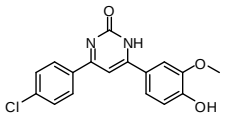
Axon 3071

See LIT-001

Page

LIT-927

Axon 2921



mg	Price
10	online
50	online

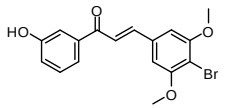
[2172879-52-4]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C17H13ClN2O3 MW: 328.75

Biological activity
LIT-927 is a selective, locally and orally active CXCL12 neutraligand (Ki value of 267 nM). Moreover, LIT-927 shows an anti-inflammatory effect in a murine model of allergic airway hypereosinophilia.

Lj-1-60 Recent Addition

Axon 3270



mg	Price
10	online
50	online

[2414269-68-2]
Purity: 99%

Soluble in DMSO
C17H15BrO4 MW: 363.20

Biological activity
Lj-1-60 is an ATP-competitive inhibitor targeting Fyn protein kinase. Lj-1-60 inhibited melanoma proliferation and induced cell cycle arrest into the G2/M phase and apoptosis by targeting Fyn/Stat3 pathway. Lj-1-60 markedly reduced cell viability in a time- and dose-dependent manner, with IC50 values of 1.65 μM (Sk-Mel-5) and 1.36 μM (Sk-Mel-28), respectively. Also, the IC50 value of Lj-1-60 in melanocyte cells PIG1 was 3.9 μM.

LNT1

Axon 3027

See FEN1 inhibitor 1

Page 398

Locorten

Axon 2247

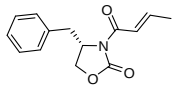
See Flumethasone pivalate

Page 406

Locostatin

Axon 2590

UIC 1005



mg	Price
10	online
50	online

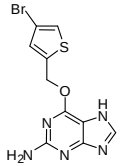
[90719-30-5]
Purity: 100%
Optically pure
Soluble in DMSO and Ethanol
C14H15NO3 MW: 245.27

Biological activity
Non-toxic Raf kinase inhibitory protein (RKIP) inhibitor; disrupts the interaction of RKIP, not only with Raf-1 kinase, but also with GRK2; Locostatin is an inhibitor of cell sheet migration and cell growth in an epithelial cell proliferation assay, and it induced T cell anergy by blocking cytokine production after Ag recall. Other evidence suggested that Locostatin's effects on cytoskeletal structure and migration are caused through mechanisms independent of its binding to RKIP and Raf/MAP kinase signaling.

Lomeguatrib

Axon 2223

PaTrin 2



mg	Price
10	online
50	online

[192441-08-0]
Purity: 99%

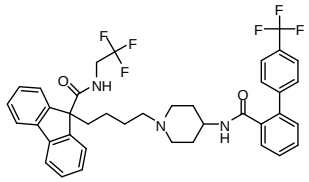
Soluble in DMSO
C10H8BrN5OS MW: 326.17

Biological activity
Potent, orally active inhibitor of O6-methylguanine-DNA-methyltransferase (MGMT; IC50 value 5 nM). Lomeguatrib effectively inactivated MGMT in MCF-7 cells and in xenografts there was complete inactivation of MGMT within 2 h of dosing (20 mg/kg i.p.) and only slight recovery by 24 h. Oral administration of Lomeguatrib substantially increases the haematological toxicity of Dacarbazine, the only approved chemotherapeutic agent for the treatment of metastatic melanoma. In combination with Temozolomide, Lomeguatrib produced a substantial tumour growth delay in MCF-7 xenografts.

Lomitapide

Axon 2917

BMS 201038; AEGR-733



mg	Price
10	online
50	online

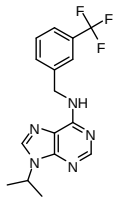
[182431-12-5]
Purity: 98%

Soluble in DMSO
C39H37F6N3O2 MW: 693.72

Biological activity
Lomitapide is a highly potent microsomal triglyceride transfer protein (MTP) inhibitor with an IC50 value of 0.5 nM. Moreover, lomitapide inhibited the production of lipoprotein particles in rodent models and normalized plasma lipoprotein levels in Watanabe-heritable hyperlipidemic (WHHL) rabbits, which are a model for human homozygous familial hypercholesterolemia.

Longdaysin

Axon 2998



mg	Price
10	online
50	online

[1353867-91-0]
Purity: 99%

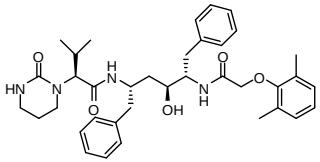
Soluble in DMSO
C16H16F3N5 MW: 335.33

Biological activity
Longdaysin is a potent inhibitor of protein kinases CK1δ, CK1α, ERK2, and CDK7 with IC50 values of 8.8, 5.6, 52, and 29 μM, respectively. Furthermore, Longdaysin is a small molecule that potently lengthens the circadian period in a dose-dependent manner.

Lopinavir

Axon 3138

ABT-378



mg	Price
50	online
200	online

[192725-17-0]
Purity: 99%
Optically pure
DMSO
C37H48N4O5 MW: 628.80

Biological activity
Lopinavir is a human immunodeficiency virus type 1 (HIV-1) protease inhibitor.
Lopinavir in combination with ritonavir (Axon 3139) in a 4 to 1 ratio (dosage information) is marketed as Kaletra.

Loprinone hydrochloride

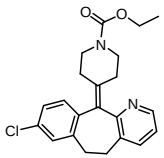
Axon 1168

See Olprinone hydrochloride
Page 602

Loratadine

Axon 1299

SCH 29851



mg	Price
10	online
50	online

[79794-75-5]
Purity: 99%

Soluble in Ethanol
C22H23ClN2O2 MW: 382.88

Biological activity
Histamine H1 receptor antagonist; non-sedating antihistamine, used as a drug to treat allergies

Lorlatinib

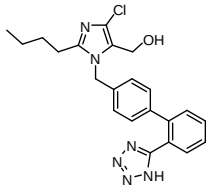
Axon 2600

See PF 06463922
Page 633

Losartan

Axon 3102

EX 89; DUP 89



mg	Price
50	online
250	online

[114798-26-4]
Purity: 99%

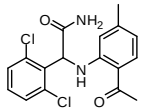
Soluble in 0.1N NaOH(aq) and DMSO
C22H23ClN6O MW: 422.91

Biological activity
Losartan is a potent, orally active nonpeptide angiotensin II receptor antagonist which inhibited the specific binding of labeled angiotensin II to its receptor sites in rat adrenal cortical membranes and in cultured rat smooth muscle cells with IC50 values of 19 nM and 20 nM, respectively. Antihypertensive agent.

Loviride Recent Addition

Axon 3334

R 89439



mg	Price
5	online
25	online

[147362-57-0]
Purity: 98%

Soluble in DMSO
C17H16Cl2N2O2 MW: 351.23

Biological activity
Loviride is a potent and highly selective HIV-1 reverse transcriptase inhibitor with an IC50 value of 0.3 μM.

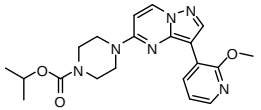
LOXO-292

Axon 3195

See Selpercatinib
Page 707

LP-935509

Axon 2638



mg	Price
10	online
50	online

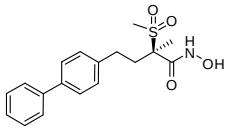
[1454555-29-3]
Purity: 99%

Soluble in DMSO
C20H24N6O3 MW: 396.44

Biological activity
Potent, brain penetrant inhibitor of adapter protein-2 associated kinase 1 (AAK1; IC50 value 3.3 nM and 14 nM and 320 nM for the closely related BIKE and GAK enzymes, repsectively). LP-935509 is antinociceptive in multiple rat models of neuropathic pain, but not acute pain. The AAK1 inhibitor-induced antinociception and inhibition of spontaneous neural activity can be blocked by α2 adrenergic antagonists.

LpxC inhibitor 1a

Axon 1939



mg	Price
5	online
25	online

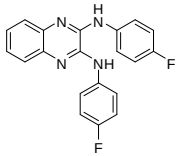
[1289620-49-0]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C18H21NO4S MW: 347.43

Biological activity
Potent antibacterial LpxC inhibitor (IC50: 1.37 nm) for the treatment of gram-negative infections

LQZ-7I *Recent Addition*

Axon 3344



mg	Price
5	online
25	online

[195822-23-2]
Purity: 99%

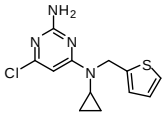
Soluble in DMSO
C20H14F2N4 MW: 348.35

Biological activity
LQZ-7I inhibits survivin dimerization, induces survivin degradation in proteasome, and induces apoptosis of prostate cancer cells (IC50 values of 3.1 µM and 4.8 µM against C4-2 cells and PC-3 cells, respectively). LQZ-7I given orally effectively inhibits xenograft tumor growth and induces survivin loss in tumors.

LRE1

Axon 2664

RU-0204277



mg	Price
10	online
50	online

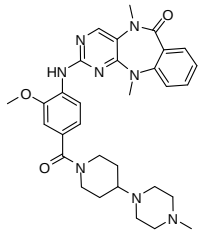
[1252362-53-0]
Purity: 98%

Soluble in DMSO
C12H13ClN4S MW: 280.78

Biological activity
LRE1 is an allosteric soluble adenylyl cyclase (sAC)-specific inhibitor (IC50 value ≤ 10µM). Inhibition occurs by occupying the binding site of the physiological activator HCO3-, preventing sAC-dependent processes in cellular and physiological systems. LRE1 also inhibited cAMP accumulation in 4-4 cells (IC50 value 11 µM). Overall, this sAC inhibitor combines high potency and selectivity with stability, solubility and lack of cytotoxicity.

LRRK2-IN-1

Axon 2493



mg	Price
5	online
25	online

[1234480-84-2]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C31H38N8O3 MW: 570.69

Biological activity
Potent, ATP-competitive and selective inhibitor of the Parkinson's disease kinase LRRK2 (IC50 value 13 nM and 6 nM for inhibitions of WT and G2019S mutant LRRK2 kinase activity, respectively). LRRK2IN1 is neuroprotective in vitro and inhibits the activity of LRRK2 in kidney and spleen when administered in vivo. However, LRRK 2-IN-1 is not able to cross the BBB.

LS 2616

Axon 2868

See Roquinimex Page 682

LS 193571

Axon 1644

See Biphenyl-indanone A Page 274

LT 00673

Axon 2502

See Talazoparib Page 751

LU 10-171

Axon 1320

See Citalopram hydrobromide Page 320

LU 23-174

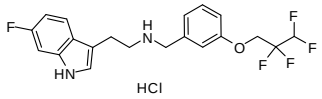
Axon 1141

See Sertindole Page 708

Lu AE58054 hydrochloride

Axon 2144

Idalopirdine HCl



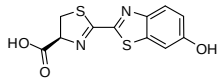
	mg	Price
[467458-02-2] Purity: 99%	5	online
Soluble in water and DMSO C20H19F5N2O.HCl MW: 434.83	25	online

Biological activity
5-HT6 receptor antagonist (Ki value 0.83 nM for h5-HT6) demonstrating >50-fold selectivity for more than 70 targets examined, with good oral bioavailability and robust efficacy in a rat model of cognitive impairment in schizophrenia. Idalopirdine (Lu AE58054) potentiates the effects of Donepezil (Axon 1438) on two pharmacodynamic biomarkers associated with cognition, i.e. neuronal oscillations and extracellular ACh levels in the hippocampus. Note: This item is currently suspended due to the concern of the IP right of the developer. You might request a quotation for contract research synthesis. Please contact us for conditions and more detailed information.

Luciferin, D-

Axon 2494

Firefly Luciferin

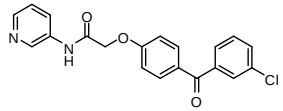


	mg	Price
[2591-17-5] Purity: 98% Optically pure Soluble in 0.1N NaOH(aq) and DMSO C11H8N2O3S2 MW: 280.32	10	online
	50	online

Biological activity
Substrate of firefly luciferase. Bioluminescent compound that may be used for in vivo bioluminescence imaging (BLI)

LUF7244

Axon 3032



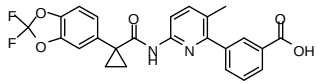
	mg	Price
[1821638-43-0] Purity: 98%	10	online
Soluble in DMSO C20H15ClN2O3 MW: 366.80	50	online

Biological activity
LUF7244 is a potent negative allosteric modulator of Kv 11.1 (hERG) channels with an IC50 value of 3.9 µM. Moreover, LUF7244 was found to be a negative allosteric modulator of dofetilide (Axon 2103) binding to the Kv11.1 channel with the strongest effect at 10 µmol/L.

Lumacaftor Recent Addition

Axon 3234

VX-809



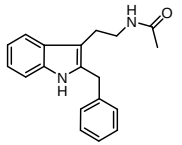
	mg	Price
[936727-05-8] Purity: 99%	10	online
Soluble in 0.1N NaOH(aq) and DMSO C24H18F2N2O5 MW: 452.41	50	online

Biological activity
Lumacaftor is an efficacious and selective CFTR corrector. Lumacaftor was orally bioavailable in rats and achieved in vivo plasma levels significantly above concentrations required for in vitro efficacy.

Luzindole

Axon 1350

N 0774

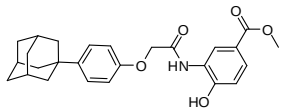


	mg	Price
[117946-91-5] Purity: 99%	10	online
Soluble in DMSO C19H20N2O MW: 292.37	50	online

Biological activity
A putative melatonin antagonist

LW 6

Axon 2480

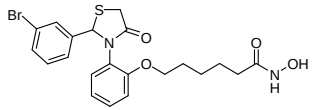


	mg	Price
[934593-90-5] Purity: 99%	10	online
Soluble in DMSO C26H29NO5 MW: 435.51	50	online

Biological activity
Novel HIF-1 inhibitor (IC50 value 2.44 µM) that promotes proteasomal degradation of HIF-1α via upregulation of von-Hippel-Lindau (VHL), without affecting the activity of prolyl hydroxylase (PHD). Evidence was found that identified MDH2 as a target protein of LW-6. Moreover, LW6 suppresses angiogenesis by inhibition of HIF-1α stability via direct binding with calcineurin b homologous protein 1 (CHP1) in a Ca2+ dependent manner.

LW 479

Axon 2430

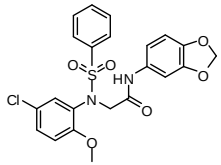


	mg	Price
[1688677-89-5] Purity: 98%	10	online
Soluble in DMSO C21H23BrN2O4S MW: 479.39	50	online

Biological activity
HDAC inhibitor that shows marked cytotoxicity and induces apoptosis as well as cell cycle arrest in a panel of breast cancer cell lines. LW479 silences EGFR expression in breast cancer cells through disrupting Sp1 and HDAC1 binding to EGFR promoter, and blocks EGF/EGFR signalling pathway and EGF-stimulated motility. Moreover, LW-479 attenuates breast cancer metastasis to the lung.

LX2343

Axon 2869



	mg	Price
[333745-53-2] Purity: 99%	10	online
Soluble in DMSO C22H19ClN2O6S MW: 474.91	50	online

Biological activity
Inhibitor of human β-secretase (BACE-1) and PI3K (non-ATP competitive) with IC50 values of 11 µM and 16 µM, respectively. Moreover, LX2343 ameliorates cognitive dysfunction in APP/PS1 transgenic mice via both Aβ production inhibition and clearance promotion. Potentially effective in the treatment of Alzheimer's disease.

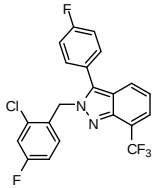
LXR 623

Axon 2357

WAY 252623

[875787-07-8]
Purity: 99%

Soluble in DMSO
C21H12ClF5N2 MW: 422.78



mg	Price
10	online
50	online

Biological activity
Partial agonist of Liver X Receptor (LXR; IC50 value 179 nM and 24 nM for LXRα- and LXRβ-binding, respectively. EC50 values 6.66 µM and 3.67 µM for Huh-7 human hepatoma cell based Gal4 LXRα and LXRβ transactivation essays respectively). Despite its partial agonism in transactivation essays, LXR 623 exhibits full agonism in THP-1 cells with respect to increasing ABCA1 gene expression and on cholesterol efflux in THP-1 foam cells. In vivo, LXR 623 lowers LDL cholesterol in primates, is lipid neutral in hamster, and reduces atherosclerosis in mouse.

LY 170053

Axon 1298

See Olanzapine

Page 602

LY 188011

Axon 3233

See Gemcitabine hydrochloride Recent Addition

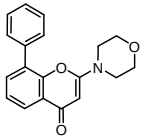
Page 418

LY 294002

Axon 1366

[154447-36-6]
Purity: 99%

Soluble in DMSO
C19H17NO3 MW: 307.34



mg	Price
5	online
25	online

Biological activity
Potent and specific PI3K inhibitor

LY 300168

Axon 1374

See GYKI 53655

Page 445

LY 317615

Axon 1682

See Enzastaurin

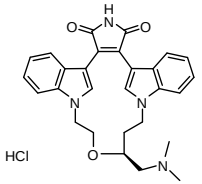
Page 385

LY 333531 hydrochloride

Axon 2362

Ruboxistaurin

[169939-93-9]
Purity: 99%
Optically pure
Soluble in DMSO
C28H28N4O3.HCl MW: 505.01



mg	Price
1	online
2	online

Biological activity
Orally active protein kinase C β (PKC-β) specific inhibitor; the water soluble mesylate salt of LY 333531 (Axon 1401) is available as well.

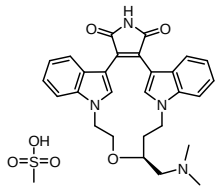
LY 333531 mesylate

Axon 1401

Ruboxistaurin

[192050-59-2]
Purity: 99%

Soluble in DMSO
C28H28N4O3.CH4O3S
MW: 564.65



mg	Price
1	online
2	online

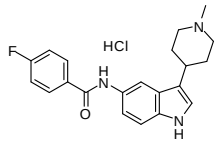
Biological activity
Orally active protein kinase C beta (PKCβ) specific inhibitor; the optimal salt form and five times more water-soluble than its hydrochloride salt

LY 334370 hydrochloride

Axon 1612

[199673-74-0]
Purity: 99%

Soluble in water and DMSO
C21H22FN3O.HCl MW: 387.88



mg	Price
10	online
50	online

Biological activity
Selective 5-HT1F receptor agonist with Ki value to be 1.87 nM

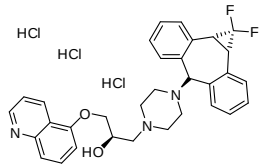
LY 335979

Axon 1839

Zosuquidar trihydrochloride

[167465-36-3]
Purity: 99%

Soluble in DMSO
C32H31F2N3O2.3HCl MW: 636.99

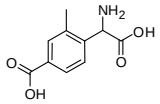


mg	Price
5	online
25	online

Biological activity
High-affinity and selective inhibitor of P-glycoprotein (P-gp) (Ki: 59 nM)

LY 367385, (±)-

Axon 1224

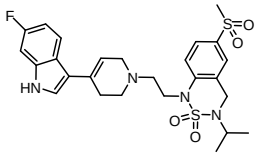


	mg	Price
[198419-90-8] Purity: 98%	10	online
Soluble in water C10H11NO4 MW: 209.20	50	online

Biological activity
LY367385 [198419-91-9] is a selective mGlu1a antagonist

LY 393558

Axon 1139



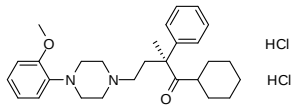
	mg	Price
[271780-64-4] Purity: 99%	10	online
Moderately soluble in DMSO and Ethanol C26H31FN4O4S2 MW: 546.68	50	online

Biological activity
Serotonin reuptake inhibitor and 5-HT1B/1D antagonist

LY 426965 dihydrochloride

Axon 1094

(S)-(+)-LY 426965 dihydrochloride



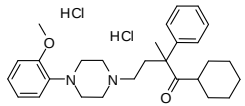
	mg	Price
[228418-82-4] (parent) Purity: 98% 98% ee Soluble in DMSO C28H38N2O2.2HCl MW: 507.54	5	online
	25	online

Biological activity
Selective, potent, orally bioavailable full 5-HT1A antagonist; more active S-(+)-enantiomer of (±)-LY426965 (Axon 1093), in comparison with its opposite enantiomer, (R)-(-)-LY 426965 (Axon 1095)

LY 426965 dihydrochloride, (±)-

Axon 1093

rac-LY 426965 dihydrochloride

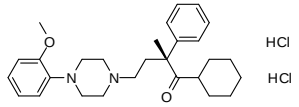


	mg	Price
[228418-81-3] Purity: 99%	10	online
Soluble in DMSO C28H38N2O2.2HCl MW: 507.54	50	online

Biological activity
Selective 5-HT1A antagonist; its (S)-(+)-enantiomer, LY 426965 (Axon 1094), is more active in comparison with (R)-(-)-LY 426965 (Axon 1095)

LY 426965 dihydrochloride, (R)-(-)-

Axon 1095



	mg	Price
[228418-85-7] Purity: 99% 99% ee Soluble in DMSO C28H38N2O2.2HCl MW: 507.54	5	online
	25	online

Biological activity
Selective 5-HT1A antagonist; less active R-(-)-enantiomer of (±)-LY426965 (Axon 1093), in comparison with its opposite (S)-(+)-enantiomer, LY 426965 (Axon 1094)

LY 426965 dihydrochloride, (S)-(+)-

Axon 1094

See LY 426965 dihydrochloride Page 521

LY 426965 dihydrochloride, rac-

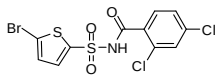
Axon 1093

See LY 426965 dihydrochloride, (±)- Page 521

LY 573636

Axon 1963

Tasisulam

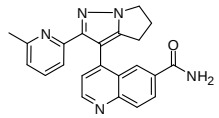


	mg	Price
[519055-62-0] Purity: 98%	10	online
Soluble in DMSO C11H6BrCl2NO3S2 MW: 415.11	50	online

Biological activity
Anti-tumor agent, which causes growth arrest and apoptosis of a variety of human solid tumors in vitro and in vivo; LY573636 is selectively toxic towards tumor cells over their normal counterparts

LY 2157299

Axon 1491

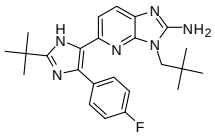


	mg	Price
[700874-72-2] Purity: 99%	2	online
Soluble in 0.1N HCl(aq) and DMSO C22H19N5O MW: 369.42	5	online

Biological activity
Orally active transforming growth factor beta receptor (TGF-βR) kinase inhibitor under clinical development; IC50 values to be 86 nm (TβR1) and 2 nM (TβR2) respectively

LY 2228820

Axon 1895



mg	Price
5	online
25	online

[862505-00-8]
Purity: 99%

Soluble in DMSO
C24H29FN6 MW: 420.53

Biological activity
Potent p38 MAPK inhibitor (IC50: 7 and 3 nM for p38α and p38β MAPKs respectively); antitumor agent for the treatment of multiple myeloma (MM) patients by reducing skeletal events and enhancing cytotoxicity of bortezomib(Axon 1810)

LY 2484595

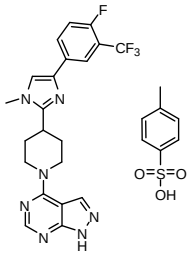
Axon 2286

See Evacetrapib

Page 392

LY 2584702 tosylate

Axon 2464



mg	Price
10	online
50	online

[1082949-68-5]
Purity: 98%

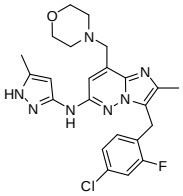
Soluble in DMSO
C21H19F4N7.C7H8O3S MW: 617.62

Biological activity
Oral, selective ATP competitive inhibitor of p70 S6 kinase (S6K1; IC50 value 4 nM) with significant synergistic activity with erlotinib (Axon 1128) and everolimus. LY2584702 is selective against 83 other kinases as determined by a ubiquitin kinase panel, and 45 cell surface markers as determined by a CEREP mini panel.

LY 2784544

Axon 2554

Gandotinib



mg	Price
5	online
25	online

[1229236-86-5]
Purity: 99%

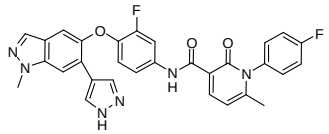
Soluble in 0.1N HCl(aq) and DMSO
C23H25ClFN7O MW: 469.94

Biological activity
Potent, selective and ATP-competitive inhibitor of janus kinase 2 (JAK2) tyrosine kinase (IC50 value 20 and 55 nM for inhibition of JAK2V617F-driven signaling and cell proliferation in Ba/F3 cells, respectively), with no significant inhibitory effect on IL-3 stimulated wild-type JAK2-mediated signaling and cell proliferation (IC50 values >1180 nM) A selective tool for suppression of JAK2V617F-induced myeloproliferative neoplasm (MPN) pathogenesis while minimizing effects on hematopoietic progenitor cells. Moreover, LY2784544 induced apoptosis in inflammatory breast cancer spheres through targeting IL-6-JAK-STAT3 pathway; tested positive in Phase I study in patients with myelofibrosis (MF), polycythemia vera (PV), and essential thrombocythemia (ET).

LY 2801653

Axon 2553

Merestinib



mg	Price
5	online
25	online

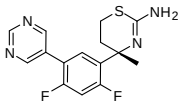
[1206799-15-6]
Purity: 99%

Soluble in DMSO
C30H22F2N6O3 MW: 552.53

Biological activity
Orally bioavailable multi-kinase inhibitor with potent activity against MET (IC50 values 35 - 53 nM for cell-based activity), and several other receptor tyrosine oncokinases including MST1R, FLT3, AXL, MERTK, TEK, ROS1, DDR1, DDR2 and against the serine/threonine kinases MKNK1 and MKNK2 (IC50 values 11, 7, 2, 10, 63, 23, 0.1, 7, 7, and 7 nM, respectively) In classic and orthotopic mouse xenograft models of lung cancer, LY2801653 decreased tumor growth, dramatically inhibiting mitotic events and angiogenesis.

LY 2811376

Axon 2225



mg	Price
5	online
25	online

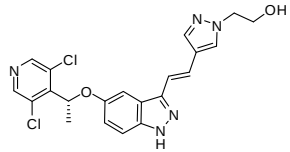
[1194044-20-6]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C15H14F2N4S MW: 320.36

Biological activity
The first orally available non-peptidic BACE1 inhibitor (IC50 value ranges from 239-249 nM in vitro) that produces profound Aβ-lowering effects in animals. LY2811376 demonstrated ~10-fold selectivity toward BACE1 over BACE2, and >50-fold selectivity over cathepsin D, pepsin, or renin. Clinical development of LY 2811376 was terminated as a result of toxicology findings identified in longer-term preclinical studies.

LY 2874455

Axon 1981



mg	Price
2	online
5	online

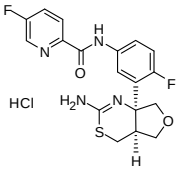
[1254473-64-7]
Purity: 99%

Soluble in DMSO
C21H19Cl2N5O2 MW: 444.31

Biological activity
Potent and selective FGFR inhibitor; LY2874455 inhibits autophosphorylation of FGFR-1, FGFR-2, FGFR-3, and FGFR-4 (with in vitro IC50 values of 2.8, 2.6, 6.4, and 6 nM, respectively), which is required for activation of FGF-induced downstream signaling

LY 2886721 hydrochloride

Axon 1964



mg	Price
5	online
25	online

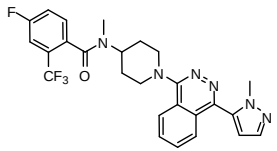
[1262036-49-6]
Purity: 99%
optically pure
Soluble in DMSO
C18H16F2N4O2S.HCl MW: 426.87

Biological activity
Orally active cell-permeable inhibitor of human β-secretase (BACE-1); potential agent to treat Alzheimer's Disease

LY 2940680

Axon 2196

Taladegib



mg	Price
5	online
25	online

[1258861-20-9]
Purity: 99%

Soluble in DMSO
C26H24F4N6O MW: 512.50

Biological activity
Small-molecule antagonist of the Smoothened (SMO) receptor (IC50 value 2.4 nM), a key signal transducer in the hedgehog signalling pathway, responsible for the maintenance of normal embryonic development and implicated in carcinogenesis. LY 2940680 lacks an undesired inhibitory effect on CYP3A4.

LY139481

Axon 3250

See Raloxifene Recent Addition Page 664

LY231514 disodium

Axon 3162

See Pemetrexed disodium Recent Addition Page 623

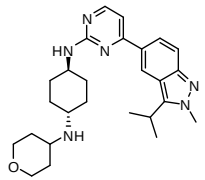
LY2409021

Axon 2388

See Adomeglivant Page 188

LY2857785 Recent Addition

Axon 3283



mg	Price
5	online
25	online

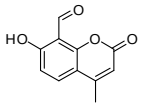
[1619903-54-6]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C26H36N6O MW: 448.60

Biological activity
LY2857785 is a highly potent and selective type I reversible and competitive ATP kinase CDK9 inhibitor with an IC50 value of 0.011 µM. LY2857785 significantly reduces RNAP II CTD phosphorylation and dramatically decreases MCL1 protein levels to result in apoptosis in a variety of leukemia and solid tumor cell lines.

4µ8C

Axon 1902



mg	Price
10	online
50	online

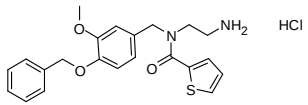
[14003-96-4]
Purity: 99%

Soluble in DMSO
C11H8O4 MW: 204.18

Biological activity
Potent and selective IRE1 alpha inhibitor (IC50: 60 nM). 4µ8C blocks substrate access to the active site of IRE1 and selectively inactivates both Xbp1 splicing and IRE1-mediated mRNA degradation

M8-B hydrochloride

Axon 2423



mg	Price
10	online
50	online

[883976-12-3]
Purity: 99%

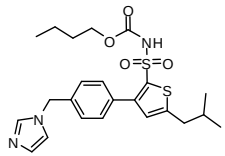
Soluble in water and DMSO
C22H24N2O3S.HCl MW: 432.96

Biological activity
Selective and potent antagonist of the transient receptor potential melastatin-8 (TRPM8) channel with in vitro and in vivo activity. M8-B blocked cold-induced and TRPM8-agonist-induced activation of TRPM8 channels (IC50 values 7.8 nM, 26.9 nM, and 64.3 nM following activation by cold, icilin or menthol, respectively), and decreased deep body temperature in mice and rats in vivo. M8-B did not block other TRP channels (IC50 > 20 μM).

M 24

Axon 1969

M024/C21



mg	Price
5	online
25	online

[477775-14-7]
Purity: 98%

Soluble in DMSO
C23H29N3O4S2 MW: 475.62

Biological activity
First reported non-peptide selective AT2 receptor agonist (Ki 0.4nM and 10.000 nM for AT2 and AT1 respectively). M 24 shows a bioavailability of 20-30% after oral administration and a half-life estimated to 4 h in rat.

M024/C21

Axon 1969

See M 24
Page 527

M-ADOT, 8-

Axon 1335

See AH 001
Page 193

M-PDOT, 8-

Axon 1336

See AH 002
Page 193

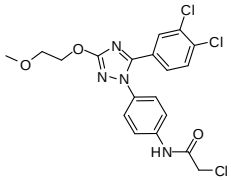
MA-5

Axon 3197

See Mitochonic acid 5 Recent Addition
Page 540

MALT1 inhibitor MI-2

Axon 2054



mg	Price
5	online
25	online

[1047953-91-2]
Purity: 100%

Soluble in DMSO
C19H17Cl3N4O3 MW: 455.72

Biological activity
Highly potent and selective MALT1 inhibitor; MI-2 binds directly to MALT1 and suppresses activated B cell-like diffuse large B cell lymphoma (ABC-DLBCL) in vitro and in vivo. MI-2 is notably nontoxic to mice

Masitinib mesylate

Axon 1419

See AB 1010
Page 178

Mavacamten

Axon 2683

See MYK-461
Page 562

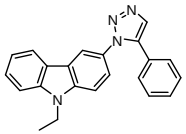
MBCQ derivative C43

Axon 2512

See Spautin 1
Page 726

MBQ-167

Axon 2777



mg	Price
10	online
50	online

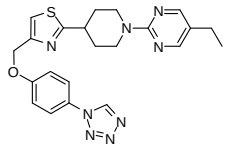
[2097938-73-1]
Purity: 98%

Soluble in DMSO
C22H18N4 MW: 338.41

Biological activity
MBQ-167 is an effective Rac and Cdc42 inhibitor with IC50 values of 78 nM and 103 nM, respectively. MBQ-167 significantly decreases Rac and Cdc42 downstream effector p21-activated kinase (PAK) signaling and the activity of STAT3, without affecting Rho, MAPK, or Akt activities. MBQ-167 also inhibits breast cancer cell migration, viability, and mammosphere formation.

MBX 2982

Axon 2092



mg	Price
5	online
25	online

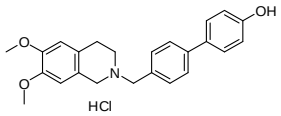
[1037792-44-1]
Purity: 98%

Soluble in DMSO
C22H24N8OS MW: 448.54

Biological activity
Potent and selective agonist of G-protein coupled receptor 119 (GPR119); an orally active agent to treat type 2 diabetes; MBX 2982 acts directly on the beta cell to increase insulin secretion. In addition, it stimulates release of the incretin GLP-1 from the gut

MC70 hydrochloride

Axon 2591



[N.A.]
Purity: 98%

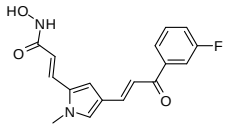
Soluble in DMSO
C24H25NO3.HCl MW: 411.92

mg	Price
10	online
50	online

Biological activity
Potent P-gp inhibitor with good selectivity towards BCRP pump (EC50 values 0.05 µM, 0.69 µM, 9.3 µM, and 73 µM for Caco-2, MDR1, MRP1, and BCRP inhibition, respectively), with potential as novel anticancer agent with both cytostatic and cytotoxic characteristics. MC70, as an inhibitor of the ABC transporter ABCB1 (aka MDR1), potentiates Doxorubicin efficacy in colon and breast cancer in vitro treatment.

MC 1568

Axon 1707



[852475-26-4]
Purity: 98%

Soluble in DMSO
C17H15FN2O3 MW: 314.31

mg	Price
5	online
25	online

Biological activity
Potent and selective class II (IIa) histone deacetylase (HDAC) inhibitor

MCN 3377-98

Axon 1345

See Fenobam
Page 399

MD 69276

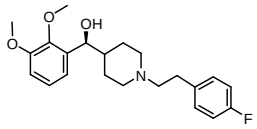
Axon 2977

See Toloxatone
Page 771

MDL 100009

Axon 1105

MDL 100907, (S)-(-)-



[175673-57-1]
Purity: 99%
98% ee
Soluble in DMSO and Ethanol
C22H28FNO3 MW: 373.46

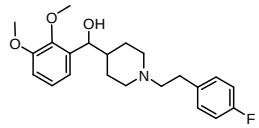
mg	Price
5	online
25	online

Biological activity
S-enantiomer of MDL 100151 (Axon 1103); opposite enantiomer of MDL 100907 (Axon 1104), selective 5-HT2A antagonist

MDL 100151

Axon 1103

MDL 100907, (±)-



[139290-69-0]
Purity: 99%

Soluble in DMSO and Ethanol
C22H28FNO3 MW: 373.46

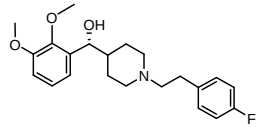
mg	Price
10	online
50	online

Biological activity
Selective 5-HT2A antagonist; rac-M 100907, its more active enantiomer is (+)-MDL 100907 (Axon 1104); Reference standard for [11C]MDL100907 in PET/SPECT study

MDL 100907

Axon 1104

Volinanserin; MDL 100907, (R)-(+)-



[139290-65-6]
Purity: 99%
98% ee
Soluble in DMSO and Ethanol
C22H28FNO3 MW: 373.46

mg	Price
5	online
25	online

Biological activity
A highly selective 5-HT2A antagonist, more active enantiomer of MDL 100151 (Axon 1103) in comparison with S-(-)-enantiomer (Axon 1105); a highly recommended tool compound in researching into 5-HT2A receptors

MDL 100907, (±)-

Axon 1103

See MDL 100151
Page 530

MDL 100907, (R)-(+)-

Axon 1104

See MDL 100907
Page 530

MDL 100907, (S)-(-)-

Axon 1105

See MDL 100009
Page 529

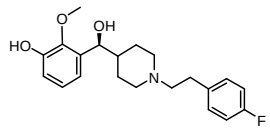
MDL 105725

Axon 1107

See MDL 105725, (+)-
Page 531

MDL 105725, (-)-

Axon 1108



[311348-81-9]
Purity: 99%
98% ee
Soluble in DMSO
C21H26FNO3 MW: 359.43

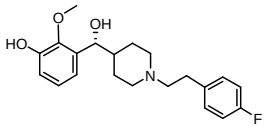
mg	Price
5	online
25	online

Biological activity
Less active metabolite of MDL 100907 (Axon 1105), a selective 5-HT2A antagonist; Precursor for [11C]MDL100907

MDL 105725, (+)-

Axon 1107

MDL 105725



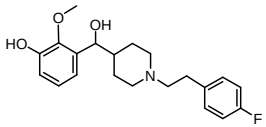
[189192-18-5]
Purity: 99%
98% ee
Soluble in DMSO
C21H26FNO3 MW: 359.43

mg	Price
1	online
5	online

Biological activity
Active metabolite of MDL 100907 (Axon 1104), a selective 5-HT2A antagonist; Precursor for [11C]MDL100907

MDL 105725, (±)-

Axon 1106



[1018473-89-6]
Purity: 99%

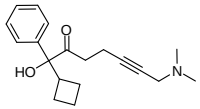
Soluble in DMSO
C21H26FNO3 MW: 359.43

mg	Price
10	online
50	online

Biological activity
Active metabolite of M100907

MDL 201012

Axon 1679



[136722-45-7]
Purity: 98%

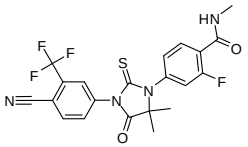
Soluble in DMSO
C19H25NO2 MW: 299.41

mg	Price
10	online
50	online

Biological activity
Selective M3 muscarinic receptor antagonist; orally active antimuscarinic agent

MDV 3100

Axon 1613



[915087-33-1]
Purity: 98%

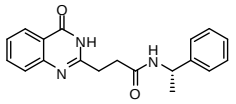
Soluble in DMSO
C21H16F4N4O2S MW: 464.44

mg	Price
5	online
25	online

Biological activity
An orally active and very potent antagonist of androgen receptor (AR); Second-generation of antiandrogen for the treatment of advanced prostate cancer; highly recommended tool in AR research

ME0328

Axon 2759



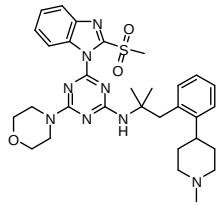
[1445251-22-8]
Purity: 99%
Optically pure
Soluble in DMSO
C19H19N3O2 MW: 321.37

mg	Price
10	online
50	online

Biological activity
ME0328 is a potent, cell-permeable, selective inhibitor of PARP3/ARTD3 (IC50 value of 0.9 µM).

ME-401

Axon 3098



[1595129-71-7]
Purity: 98%

Soluble in DMSO
C31H40N8O3S MW: 604.77

mg	Price
5	online
25	online

Biological activity
ME-401 is an oral, potent and selective inhibitor of phosphatidylinositol 3 kinase p110δ (PI3Kδ) with an IC50 value of 0.6 nM (cellular assay).

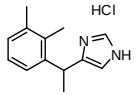
Meclinertant

Axon 1164

See SR 48692 Page 731

Medetomidine hydrochloride

Axon 3066



[86347-15-1]
Purity: 99%

Soluble in water and DMSO
C13H16N2.HCl MW: 236.74

mg	Price
10	online
50	online

Biological activity
Medetomidine hydrochloride is a potent and selective α2-adrenergic receptor agonist with Ki values of 1750 nM and 1.08 nM for the α1- and α2-adrenergic receptors, respectively. The active enantiomer, Dexmedetomidine hydrochloride (Axon 3065), is also available.

Mepirodipine hydrochloride

Axon 3014

See Barnidipine hydrochloride Page 257

Merestinib

Axon 2553

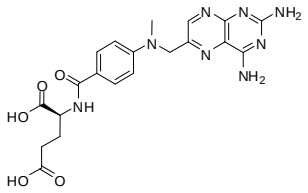
See LY 2801653 Page 524

Methotrexate Recent Addition

Axon 3319

Amethopterin; MTX; 4-Amino-10-methylfolic acid

[59-05-2]
Purity: 99%
Optically pure
Soluble in DMSO
C20H22N8O5 MW: 454.44



mg	Price
50	online

Biological activity
Methotrexate is a potent, competitive inhibitor of dihydrofolate reductase (DHFR), and reduces metabolically active intracellular folates decreasing the de novo synthesis of purines and pyrimidines (precursors of DNA and RNA) required for cellular proliferation. Disease-modifying anti-rheumatic drug (DMARD).

Methoxybenzamide, N-{2-[(3-cyano-5,7-dimethyl-2-quinolinyl)amino]ethyl}-3-

Axon 1763

See CoPo 22 Page 328

Methoxy-2-aminotetraline hydrochloride, (R)-(+)-5-

Axon 1049

See *Aminotetraline hydrochloride, (R)-(+)-5-Methoxy-2-* Page 204

Methoxy-2-aminotetraline hydrochloride, (R)-(+)-8-

Axon 1058

See *Aminotetraline hydrochloride, (R)-(+)-8-Methoxy-2-* Page 205

Methoxy-2-aminotetraline hydrochloride, (R)-7-

Axon 1055

See *Aminotetraline hydrochloride, (R)-7-Methoxy-2-* Page 205

Methoxy-2-aminotetraline hydrochloride, (S)-(-)-5-

Axon 1050

See *Aminotetraline hydrochloride, (S)-(-)-5-Methoxy-2-* Page 206

Methoxy-2-aminotetraline hydrochloride, (S)-(-)-8-

Axon 1059

See *Aminotetraline hydrochloride, (S)-(-)-8-Methoxy-2-* Page 206

Methoxy-2-aminotetraline hydrochloride, (S)-7-

Axon 1056

See *Aminotetraline hydrochloride, (S)-7-Methoxy-2-* Page 207

Methoxy-2-aminotetraline hydrochloride, 5-

Axon 1048

See *Aminotetraline hydrochloride, 5-Methoxy-2-* Page 208

Methoxy-2-aminotetraline hydrochloride, 7-

Axon 1054

See *Aminotetraline hydrochloride, 7-Methoxy-2-* Page 209

Methoxy-2-aminotetraline hydrochloride, 8-

Axon 1057

See *Aminotetraline hydrochloride, 8-Methoxy-2-* Page 209

Methoxy-N-propyl-2-aminotetraline hydrochloride, (R)-(+)-7-

Axon 1030

See *Aminotetraline hydrochloride, (R)-(+)-7-Methoxy-N-propyl-2-* Page 205

Methoxy-N-propyl-2-aminotetraline hydrochloride, (R)-5-

Axon 1026

See *Aminotetraline hydrochloride, (R)-5-Methoxy-N-propyl-2-* Page 205

Methoxy-N-propyl-2-aminotetraline hydrochloride, (R)-8-

Axon 1033

See *Aminotetraline hydrochloride, (R)-8-Methoxy-N-propyl-2-* Page 206

Methoxy-N-propyl-2-aminotetraline hydrochloride, (S)-(-)-7-

Axon 1031

See *Aminotetraline hydrochloride, (S)-(-)-7-Methoxy-N-propyl-2-* Page 206

Methoxy-N-propyl-2-aminotetraline hydrochloride, (S)-5-

Axon 1027

See *Aminotetraline hydrochloride, (S)-5-Methoxy-N-propyl-2-* Page 207

Methoxy-N-propyl-2-aminotetraline hydrochloride, (S)-8-

Axon 1034

See *Aminotetraline hydrochloride, (S)-8-Methoxy-N-propyl-2-* Page 207

Methoxy-N-propyl-2-aminotetraline hydrochloride, 5-

Axon 1025

See *Aminotetraline hydrochloride, 5-Methoxy-N-propyl-2-* Page 208

Methoxy-N-propyl-2-aminotetraline hydrochloride, 6-

Axon 1028

See *Aminotetraline hydrochloride, 6-Methoxy-N-propyl-2-* Page 208

Methoxy-N-propyl-2-aminotetraline hydrochloride, 7-

Axon 1029

See *Aminotetraline hydrochloride, 7-Methoxy-N-propyl-2-* Page 209

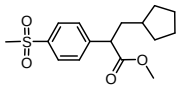
Methoxy-N-propyl-2-aminotetraline hydrochloride, 8-

Axon 1032

See *Aminotetraline hydrochloride, 8-Methoxy-N-propyl-2-* Page 209

Methyl-3-cyclopentyl-2-(4-methylsulfonylphenyl)propionate

Axon 1135



	mg	Price
[300355-19-5] Purity: 97.0%	1000	online
No solubility data C16H22O4S MW: 310.41	5000	online

Biological activity
Building Block

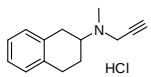
Methyl-N-propyl-2-aminotetraline hydrochloride, N-

Axon 1023

See *Aminotetraline hydrochloride, N-Methyl-N-propyl-2-* Page 210

Methyl-prop-2-ynyl-(1,2,3,4-tetrahydro-naphthalen-2-yl)-amine hydrochloride, (-)-enantiomer

Axon 1063

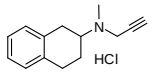


	mg	Price
[98640-73-4] Purity: 99% >98% ee Soluble in water C14H17N.HCl MW: 235.75	5	online
	25	online

Biological activity
Dopamine receptor agonist

Methyl-prop-2-ynyl-(1,2,3,4-tetrahydro-naphthalen-2-yl)-amine hydrochloride, (+)-enantiomer

Axon 1062

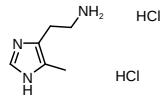


	mg	Price
[98640-74-5] Purity: 99% >98% ee Soluble in water C14H17N.HCl MW: 235.75	5	online
	25	online

Biological activity
Dopamine receptor agonist

Methylhistamine dihydrochloride, 4-

Axon 1261

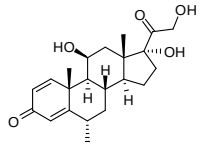


	mg	Price
[36376-47-3] Purity: 98%	10	online
Soluble in water and DMSO C6H11N3.2HCl MW: 198.09	50	online

Biological activity
Potent and selective histamine H4 agonist

Methylprednisolone

Axon 2066



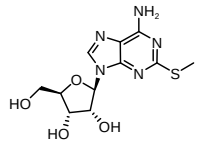
	mg	Price
[83-43-2] Purity: 99%	50	online
Soluble in DMSO and EtOH C22H30O5 MW: 374.47	250	online

Biological activity
A synthetic glucocorticoid or corticosteroid drug; anti-inflammatory
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Methylthioadenosine, 2-

Axon 1192

Adenosine, 2-MeS-; NSC 36900

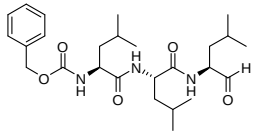


	mg	Price
[4105-39-9] Purity: 97.0%	10	online
No solubility data C11H15N5O4S MW: 313.33	50	online

Biological activity
Adenosine precursor for 2-MeS-ATP or 2-MeS-ADP or 2-MeS-AMP

MG 132

Axon 1869

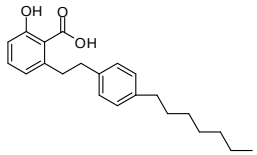


	mg	Price
[133407-82-6] Purity: 98% Optically pure Soluble in DMSO C26H41N3O5 MW: 475.62	10	online
	50	online

Biological activity
Specific, potent, reversible, and cell-permeable proteasome inhibitor (Ki = 4 nM). MG132 inhibits NF-κB activation with an IC50 of 3 μM and prevents β-secretase cleavage. MG132 also activates c-Jun N-terminal kinase (JNK1), which initiates apoptosis.

MG 149

Axon 1785



	mg	Price
[1243583-85-8] Purity: 100%	5	online
Soluble in DMSO C22H28O3 MW: 340.46	25	online

Biological activity
A novel anacardic acid analog; potent and selective inhibitor of the MYST family (Tip60 and MOZ) of histone acetyltransferase (HAT). In addition, it effectively inhibits acetyltransferase activity of HeLa cells nuclear extracts

MG 0103

Axon 2505

See Mocetinostat Page 556

MGCD 0103

Axon 2505

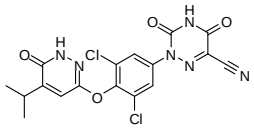
See Mocetinostat

Page 556

MGL-3196

Axon 2657

VIA-3196



[920509-32-6]
Purity: 99%

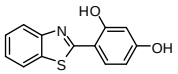
Soluble in DMSO
C17H12Cl2N6O4 MW: 435.22

mg	Price
5	online
25	online

Biological activity
Oral, liver-targeted, selective thyroid hormone receptor β-agonist (EC50 value 0.21 μM for THR-β) that is being developed for the treatment of dyslipidemia. MGL-3196 is 28-fold selective for THR-β over THR-α in an in vitro functional coactivator recruitment assay.

MHY 553

Axon 2814



[6265-56-1]
Purity: 98%

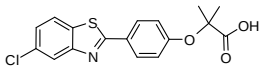
Soluble in DMSO
C13H9NO2S MW: 243

mg	Price
10	online
50	online

Biological activity
MHY 553 is a PPARα agonist that improved aged-induced hepatic steatosis, in part by increasing β-oxidation signaling and decreasing inflammation in the liver. Potential pharmaceutical agent for treating hepatic steatosis in aging.

MHY 908

Axon 2402



[1393371-39-5]
Purity: 99%

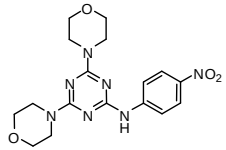
Soluble in 0.1N NaOH(aq) and DMSO
C17H14ClNO3S MW: 347.82

mg	Price
5	online
25	online

Biological activity
Dual PPARα/γ agonist, and potent inhibitor of melanogenesis by inhibition of mushroom tyrosinase activity (IC50 value 8.19 μM). MHY908 more potently activated PPARα and PPARγ than fenofibrate and rosiglitazone, respectively. MHY-908 enhanced the binding and transcriptional activity of PPARα and -γ in AC2F cells, and it reduced serum glucose, triglyceride, and insulin levels, however increased adiponectin levels without body weight gain. In addition, MHY 908 significantly improved hepatic steatosis by enhancing CPT-1 levels. Remarkably, MHY-908 reduced endoplasmic reticulum (ER) stress and c-Jun N-terminal kinase (JNK) activation, and subsequently reduced insulin resistance.

MHY 1485

Axon 2425



[326914-06-1]
Purity: 99%

Soluble in DMSO
C17H21N7O4 MW: 387.39

mg	Price
10	online
50	online

Biological activity
mTOR activator with an inhibitory effect on autophagy. MHY1485 markedly increased the LC3II/LC3I ratio dose-dependently and time-dependently by inhibition of the fusion between autophagosomes and lysosomes, and without increasing the autophagic flux. At 2 μM, MHY1485 did not show any cell death during longer treatment, supporting that MHY1485 had less toxicity than other well-known inhibitors of autophagy. MHY1485 was also tested and found moderately active as antimalarial agent (MIC value of ca. 26 μM against P. Falciparum).

MI-77301

Axon 2741

See SAR405838

Page 692

Mianserin, 6-Aza-

Axon 1138

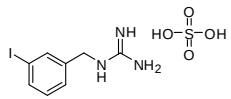
See Mirtazapine

Page 539

MIBG

Axon 1750

Iobenguane sulfate



[103346-16-3]
Purity: 98%

Soluble in DMSO
C8H10IN3.H2O4S MW: 373.17

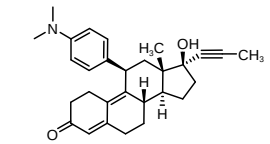
mg	Price
10	online
50	online

Biological activity
MIBG standard; Radioiodinated iobenguane (or MIBG) is a radiopharmaceutical, used in a scintigraphy method called MIBG scan. Radioiodinated iobenguane is used to treat certain kinds of cancer of the adrenal glands

Mifepristone

Axon 1502

RU 38486; RU 486



[84371-65-3]
Purity: 99%

Soluble in DMSO
C29H35NO2 MW: 429.59

mg	Price
10	online
50	online

Biological activity
A progesterone receptor (PR) antagonist, used as an abortifacient in the first two months of pregnancy, and in smaller doses as an emergency contraceptive

Mifepristone, Hydroxy-

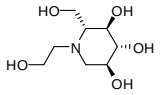
Axon 1558

See RU 42698

Page 685

Miglitol

Axon 2067



[72432-03-2]
Purity: 98%
Optically pure
Soluble in water and DMSO
C8H17NO5 MW: 207.22

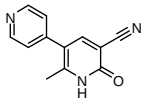
mg	Price
10	online
50	online

Biological activity
Alpha-glucosidase inhibitor; an oral anti-diabetic drug
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Milrinone *Recent Addition*

Axon 3314

WIN 47203



[78415-72-2]
Purity: 100%

Soluble in DMSO
C12H9N3O MW: 211.22

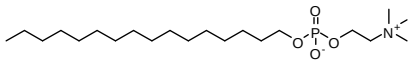
mg	Price
10	online
50	online

Biological activity
Milrinone is a positive inotropic phosphodiesterase III inhibitor and vasodilator agent. Milrinone inhibits the intracellular hydrolysis of cyclic AMP, thereby promoting cyclic AMP-catalysed phosphorylation of sarcolemmal calcium channels and activating the calcium pump.

Miltefosine *Recent Addition*

Axon 3247

Hexadecylphosphocholine; HPC; HePC



[58066-85-6]
Purity: 98%

Soluble in water
C21H46NO4P MW: 407.57

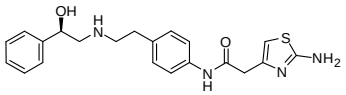
mg	Price
50	online
250	online

Biological activity
Miltefosine is an inhibitor of the PI3K-Akt/PKB survival pathway with ED50 values of 17.2 and 8.1 μM in the human epithelial carcinoma cell lines A431 and HeLa, respectively.

Mirabegron

Axon 2414

YM 178; Betanis



[223673-61-8]
Purity: 99%
Optically pure
Soluble in DMSO
C21H24N4O2S MW: 396.51

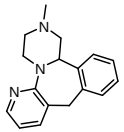
mg	Price
10	online
50	online

Biological activity
Highly selective and orally active agonist of the human β3-adrenoceptor (EC50 value 22.4 nM) with >440 fold selectivity over β1, and β2. FDA approved therapeutic drug for the treatment of symptoms of overactive bladder such as urinary frequency, urgency, and urge incontinence. YM178 (Mirabegron) does not affect the amplitude of rhythmic bladder contractions at doses at which it reduces contraction frequency.

Mirtazapine

Axon 1138

Mianserin, 6-Aza-; ORG 3770



[85650-52-8]
Purity: 99%

No solubility data
C17H19N3 MW: 265.35

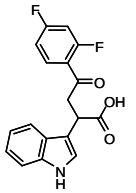
mg	Price
10	online
50	online

Biological activity
A noradrenergic and specific serotonergic antidepressant (NaSSA); antagonizes selective adrenergic and serotonergic receptors so that both NE release and 5-HT1A mediated serotonergic signaling are increased

Mitochonic acid 5 *Recent Addition*

Axon 3197

MA-5



[1354707-41-7]
Purity: 98%

Soluble in 0.1N NaOH(aq) and DMSO
C18H13F2NO3 MW: 329.30

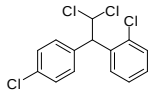
mg	Price
5	online
25	online

Biological activity
Mitochonic acid 5 (MA-5) is a mitochondrial drug. MA-5 acts via the MAPK-ERK-Yap signaling pathway, which increases Bnip3-related mitophagy, leading to suppressed apoptotic signaling following the inflammatory response. MA-5 can protect mitochondrial function by regulating energy metabolism and reducing mitochondrial oxidative stress. Mitochonic acid 5 increased ATP, rescued mitochondrial disease fibroblasts and prolonged the life span of the disease model "Mitomouse".

Mitotane *Recent Addition*

Axon 3248

o,p'-DDD



[53-19-0]
Purity: 100%

Soluble in DMSO
C14H10Cl4 MW: 320.04

mg	Price
50	online
250	online

Biological activity
Mitotane, a dichloro-diphenyl-trichloro-ethane (DDT) derivative, is an adrenocytolytic drug used for the treatment of adrenocortical carcinoma (ACC).

M-IV

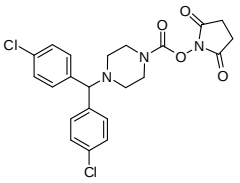
Axon 2533

See Hydroxypioglitazone

Page 459

MJN110

Axon 2580



[1438416-21-7]
Purity: 98%

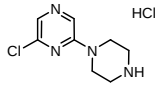
Soluble in DMSO
C22H21Cl2N3O4 MW: 462.33

mg	Price
5	online
25	online

Biological activity
Potent, selective, and in-vivo-active MAGL inhibitor (IC50 values 9.5 nM and 260 nM for inhibition of mouse MAGL and ABHD6, respectively) displaying strong antihyperalgesic activity (mechanical allodynia) in a rat model of diabetic neuropathy. MJN110 exhibits therapeutic potential in the treatment of acute nausea and vomiting as well as anticipatory nausea by elevation of endogenous cannabinoid 2-arachidonoylglycerol (2-AG) levels in the brain.

MK 212 hydrochloride

Axon 1214



[67250-10-6]
Purity: 99%

No solubility data
C8H11ClN4.HCl MW: 235.11

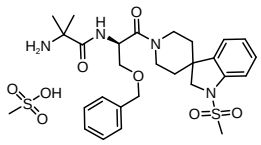
mg	Price
10	online
50	online

Biological activity
5-HT2C receptor agonist

MK 677

Axon 1376

Ibutamoren mesylate; L 163191



[159752-10-0]
Purity: 99%
optically pure
Soluble in water
C27H36N4O5S.CH4O3S MW: 624.77

mg	Price
5	online
10	online

Biological activity
Potent and orally active growth hormone (GH) secretagogue

MK 767

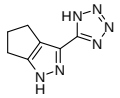
Axon 1567

See KRP 297

Page 494

MK 0354

Axon 1576



[851776-28-8]
Purity: 99%

Soluble in DMSO
C7H8N6 MW: 176.18

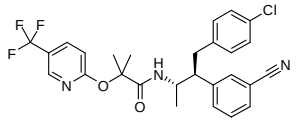
mg	Price
10	online
50	online

Biological activity
Partial agonist of Niacin receptor, G-protein coupled receptor 109a

MK 0364

Axon 1550

Taranabant



[701977-09-5]
Purity: 98%
optically pure
Soluble in DMSO
C27H25ClF3N3O2 MW: 515.95

mg	Price
2	online
5	online
25	online

Biological activity
Potent and selective cannabinoid receptor type 1 (CB1) antagonist and/or inverse agonist

MK 0457

Axon 1540

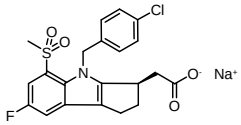
See VX 680

Page 804

MK 0524 sodium salt

Axon 1480

Laropiprant



[572874-50-1]
Purity: 99%
optically pure
Soluble in water and Ethanol
C21H18ClFNNaO4S MW: 457.88

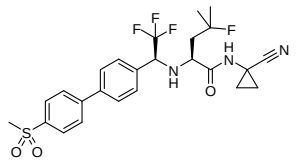
mg	Price
5	online
25	online

Biological activity
Potent and selective prostaglandin D2 (PGD2) receptor 1 (DP1) antagonist; Ki values to be 0.57 nM and 750 nM for DP1 and DP2 receptors respectively

MK 0822

Axon 1771

Odanacatib



[603139-19-1]
Purity: 99%
optically pure
Soluble in DMSO
C25H27F4N3O3S MW: 525.56

mg	Price
2	online
5	online
25	online

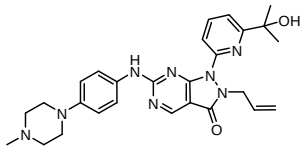
Biological activity
Potent and selective inhibitor of cathepsin K (CTSK or Cat K)

MK 1775

Axon 1494

[955365-80-7]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C27H32N8O2 MW: 500.60



mg	Price
5	online
25	online

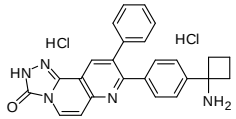
Biological activity
A potent and selective Wee1 kinase inhibitor in vitro and in vivo. MK 1775 abolishes cyclin-dependent kinase 1 (CDC2) activity by phosphorylation of the Tyr15 residue. It abrogates a DNA damage checkpoint (G2-phase),leading to apoptosis in combination with several DNA-damaging agents selectively in p53-deficient tumor cell lines. It is under clinical trial for advanced solid tumors

MK 2206

Axon 1684

[1032350-13-2]
Purity: 99%

Soluble in water and DMSO
C25H21N5O.2HCl MW: 480.39



mg	Price
5	online
25	online

Biological activity
An orally potent and highly selective non-ATP competitive allosteric Akt inhibitor that has nanomolar IC50 values and broad preclinical anti-tumor activities

MK 4827

Axon 2928

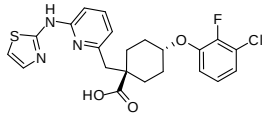
See Niraparib Page 578

MK 5108

Axon 1961

VX 689

[1010085-13-8]
Purity: 98%
optically pure
Soluble in DMSO
C22H21ClFN3O3S MW: 461.94



mg	Price
5	online
25	online

Biological activity
Highly potent and selective inhibitor of Aurora A kinase (AurA); MK5108 exhibits marked effects on the growth of tumor cells in vitro and in vivo; also enhances the antitumoractivity of Docetaxel without exacerbrating the toxicity in vivo

MK 5348

Axon 1755

See SCH 530348 Page 704

MK-0476

Axon 3236

See Montelukast sodium Recent Addition Page 557

MK-0518

Axon 3120

See Raltegravir Page 664

MK-0683

Axon 3114

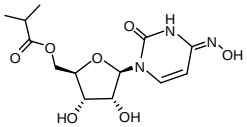
See Vorinostat Page 799

MK-4482 Recent Addition

Axon 3188

EIDD-2801

[2349386-89-4]
Purity: 99%
Optically pure
Soluble in water and DMSO
C13H19N3O7 MW: 329.31



mg	Price
5	online
25	online

Biological activity
MK-4482 (EIDD-2801) is a potent and orally bioavailable broad-spectrum antiviral drug under investigation. It is a modified version of its active nucleoside analog EIDD-1931 with an improved drug profile. In mice infected with SARS-CoV or MERS-CoV, both prophylactic and therapeutic administration of MK-4482 improved pulmonary function and reduced virus titer and body weight loss.

MK-8591

Axon 3191

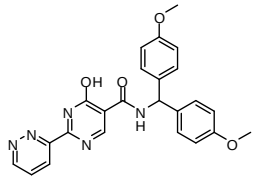
See Islatravir Page 471

MK-8617

Axon 3095

[1187990-87-9]
Purity: 99%

Soluble in DMSO
C24H21N5O4 MW: 443.45



mg	Price
5	online
25	online

Biological activity
MK-8617 is a potent, orally active pan-inhibitor of HIF-PHD with IC50 values of 1 nM, 1 nM, and 14 nM for PHD1, PHD2 and PHD3, respectively. MK-8617 advanced to human clinical studies as an oral treatment for anemia.

MK-906

Axon 3240

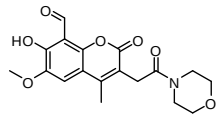
See Finasteride Recent Addition Page 402

MKC8866 Recent Addition

Axon 3223

[1338934-59-0]
Purity: 99%

Soluble in DMSO
C18H19NO7 MW: 361.35

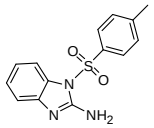


mg	Price
5	online
25	online

Biological activity
MKC8866 is a potent IRE1α RNase-specific inhibitor with an IC50 value of 0.29 μM. In MM1 myeloma cells, MKC8866 strongly inhibited DTT-induced XBP1s expression with an EC50 of 0.52 μM.

ML 130

Axon 1888



[799264-47-4]
Purity: 99%

Soluble in DMSO
C14H13N3O2S MW: 287.34

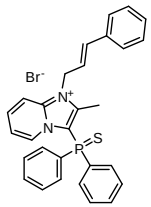
mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of NOD1

ML 154

Axon 2321

NCGC 00185684; NCGC 84



[1345964-89-7]
Purity: 99%

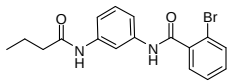
Soluble in DMSO
C29H26N2PS.Br MW: 545.47

mg	Price
5	online
25	online

Biological activity
Competitive, selective, and brain penetrant NPS receptor antagonist that preferentially blocks NPS-induced ERK phosphorylation over intracellular Ca2+ or cAMP responses (IC50 values 22.1 nM, 36.5 nM, and 5.0 nM, in Ca2+, cAMP, and binding assays, respectively). NCGC84 decreases alcohol self-administration in vivo, and does not inhibit the vasopressin V1b or the endogenous purinergic receptor at concentrations up to 10 µM.

ML 161

Axon 1928



[423735-93-7]
Purity: 98%

Soluble in DMSO and Ethanol
C17H17BrN2O2 MW: 361.23

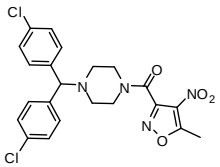
mg	Price
10	online
50	online

Biological activity
Allosteric inhibitor of protease-activated receptor 1 (PAR1); ML161 inhibits PAR1-mediated platelet activation with nanomolar potentcy

ML 210

Axon 2017

CID 49766530



[1360705-96-9]
Purity: 100%

Soluble in DMSO
C22H20Cl2N4O4 MW: 475.32

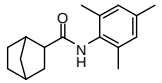
mg	Price
10	online
50	online

Biological activity
Chemical probe that selectively kills cells induced to express mutant RAS; more specifically, ML210 is a HRAS synthetic lethal compound with nanomolar potencies against two HRASG12V expressing cell lines and 4-fold selectivity against two control cell lines not expressing HRASG12V

ML 213

Axon 2747

CID 3111211



[489402-47-3]
Purity: 99%

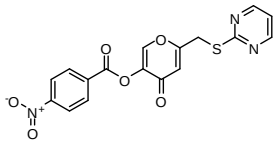
Soluble in DMSO
C17H23NO MW: 257.37

mg	Price
10	online
50	online

Biological activity
ML 213 is a potent, selective, and brain penetrant KCNQ2 (Kv7.2) and KCNQ4 (Kv7.4) channel opener (EC50 values of 230 nM and 510 nM, respectively). Valuable tool compound for understanding KCNQ2 and KCNQ4 channels in regulating neuronal activity.

ML 221

Axon 2870



[877636-42-5]
Purity: 99%

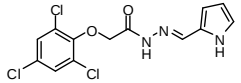
Soluble in DMSO
C17H11N3O6S MW: 385.35

mg	Price
10	online
50	online

Biological activity
Potent apelin (APJ) receptor functional antagonist in cell-based assays (IC50 value is 1.75 µM). ML 221 is >37-fold selective over the closely related angiotensin II type 1 (AT-1) receptor.

ML 239

Axon 2871



[1378872-36-6]
Purity: 98%

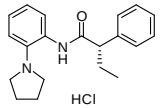
Soluble in DMSO
C13H10Cl3N3O2 MW: 346.60

mg	Price
10	online
50	online

Biological activity
ML 239 is a selective inhibitor of breast cancer stem cells (IC50 value of 1.16 µM). Displayed greater than 23-fold selective inhibition of the breast cancer stem cells-like cell line over the isogenic control cell line.

ML252

Axon 2615



[N.A.]
Purity: 99%

Soluble in DMSO
C20H24N2O.HCl MW: 344.88

mg	Price
10	online
50	online

Biological activity
Potent, selective and brain penetrant KCNQ2 inhibitor (Kv7.2; IC50 value 69 nM, and >40-fold selective over KCNQ1). A useful in vivo tool molecule to study KCNQ2 pharmocology.

ML 265

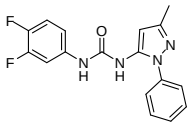
Axon 2240

See TEPP 46
Page 760

ML 297

Axon 2436

VU 0456810



[1443246-62-5]
Purity: 99%

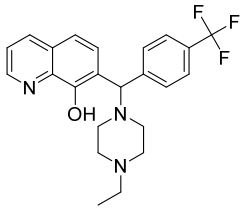
Soluble in DMSO
C17H14F2N4O MW: 328.32

mg	Price
10	online
50	online

Biological activity
First potent and selective activator of the GIRK potassium channel (EC50 value 0.16 µM for GIRK1/2 activation) with selectivity for GIRK1-containing GIRKs, exhibiting antiepileptic properties in vivo. ML297 showed equal or greater efficacy compared to a clinically active anti-seizure medication,sodium valproate, regardless of whether epilepsy was initiated chemically with PTZ or via electroshock.

ML 311

Axon 2823



[315698-17-0]
Purity: 99%

Soluble in DMSO
C23H24F3N3O MW: 415.45

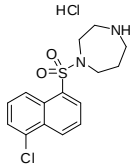
mg	Price
5	online
25	online

Biological activity
Potent and selective inhibitor of the protein-protein interaction of Mcl-1 and Bim with an IC50 value of 0.31 µM for Mcl-1. ML 311 displayed significant activity in a number of cell lines, with EC50 values in the range of 0.3–15 M. Useful tool for studying lymphoid tumorigenesis and to demonstrate the potential for using this strategy in therapies intended to bypass apoptosis resistance pathways that are activated in drug-resistant tumors.

ML-9 hydrochloride

Recent Addition

Axon 3343



[105637-50-1]
Purity: 99%

Soluble in water and DMSO
C15H17ClN2O2S.HCl MW: 361.29

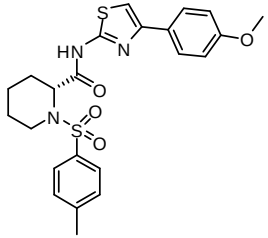
mg	Price
10	online
50 mg	online

Biological activity
ML-9 hydrochloride is a selective inhibitor of myosin light chain kinase (MLCK). Moreover, ML-9 hydrochloride is an inhibitor of Akt kinase and stromal interaction molecule 1 (STIM1).

ML277

Recent Addition

Axon 3196



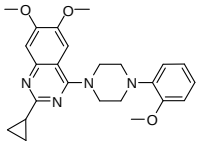
[1401242-74-7]
Purity: 99%
Optically pure
Soluble in DMSO
C23H25N3O4S2 MW: 471.59

mg	Price
5	online
25	online

Biological activity
ML277 is a potent and selective Kv7.1 (KCNQ1) potassium channel activator with an EC50 value of 260 nM. ML277 was shown to be highly selective against other KCNQ channels (>100-fold selectivity versus KCNQ2 and KCNQ4) as well as against the distantly related hERG potassium channel.

ML314

Axon 2632



[1448895-09-7]
Purity: 100%

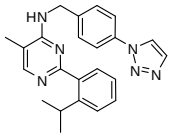
Soluble in 0.1N HCl(aq) and DMSO
C24H28N4O3 MW: 420.50

mg	Price
5	online
25	online

Biological activity
Brain penetrant nonpeptidic β-Arrestin biased full agonist of the neurotensin NTR1 receptor (EC50 values 2.0 µM and >80 µM for NTR1 and NTR2, respectively). Unlike peptide-based NTR1 agonists, ML314 has no significant response in a Ca2+ mobilization assay. ML314 is a viable, preclinical lead for methamphetamine abuse treatment.

ML 323

Axon 2309



[1572414-83-5]
Purity: 100%

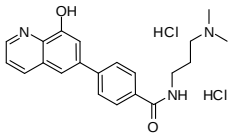
Soluble in DMSO
C23H24N6 MW: 384.48

mg	Price
5	online
25	online

Biological activity
Selective, reversible and highly potent inhibitor of the USP1–UAF1 deubiquitinase complex that links deubiquitination to DNA damage responses (IC50 values of 76 nM in a ubiquitin-rhodamine (Ub-Rho) assay and 174 nM and 820 nM in orthogonal gel-based assays using K63-linked diubiquitin (di-Ub) and monoubiquitinated PCNA (Ub-PCNA) as substrates, respectively). ML 323 effectively sensitized cisplatin-resistant NSCLC H596 cells and U2OS osteosarcoma cells to cisplatin since it simultaneously targets two major DNA damage response pathways (TLS and FA) by inhibiting a common deubiquitinase.

ML 324 dihydrochloride

Axon 2081



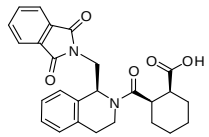
	mg	Price
[1222800-79-4] (parent) Purity: 100%	5	online
Soluble in water and DMSO C21H23N3O2.2HCl MW: 422.35	25	online

Biological activity
Inhibitor of Jumonji domain-containing protein 2 (JMJD2, an "eraser") histone demethylase; effectively blocked herpes simplex virus (HSV) IE gene expression and prevented viral reactivation from latency; >75 fold more efficient than DMOG

ML334

Axon 2641

LH601A

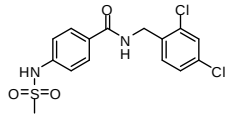


	mg	Price
[1432500-66-7] Purity: 99% Optically pure Soluble in DMSO C26H26N2O5 MW: 446.50	5 25	online online

Biological activity
Activator of NRF2 signaling by inhibition of Keap1-NRF2 protein-protein interaction (PPI; IC50 value 1.6 - 2.3 µM in a fluorescence polarisation assay using Keap1 Kelch domain/NRF2-ETGE peptide; Kd value 1 µM to Keap1 Kelch domain). ML 334 stimulates NRF2 expression and nuclear translocation, and induces antioxidant response elements (ARE) and transcription of HO-1 and TRX1 proteins.

ML 335

Axon 2872

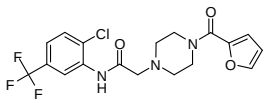


	mg	Price
[825658-06-8] Purity: 99%	10	online
Soluble in DMSO C15H14Cl2N2O3S MW: 373.25	50	online

Biological activity
ML 335 is a selective K2P2.1 (TREK-1; KCNK2) and K2P10.1 (TREK-2; KCNK10) activator with EC50 values of 14.3 µM and 5.2 µM, respectively.

ML348

Axon 2646

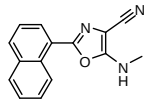


	mg	Price
[899713-86-1] Purity: 99%	10	online
Soluble in DMSO C18H17ClF3N3O3 MW: 415.79	50	online

Biological activity
Selective and in vivo active inhibitor for acyl-protein thioesterase APT1 (IC50 values 0.84 µM and >10 µM for APT1 and APT2, respectively; Ki values 280 nM and >10000 nM for WT APT1 and APT2, respectively). A useful tool to studie LYPLA/APT mediated protein S-palmitoylation and related pharmacology. In some of the literature, APT1 and APT2 are also identified as lysophospholipases LYPLA1 and LYPLA2 as they were first discovered due to their ability to hydrolyze various lysophospholipids.

ML 351

Axon 2312



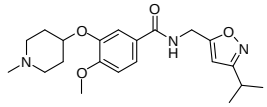
	mg	Price
[847163-28-4] Purity: 98%	5	online
Soluble in DMSO C15H11N3O MW: 249.27	25	online

Biological activity
Potent and selective inhibitor of 12/15-lipoxygenase (IC50 value 200 nM against human 12/15-LOX) with >250-fold selectivity versus related LOX isozymes. ML 351 is protective against oxidative glutamate toxicity in mouse neuronal HT22 cells and does not reduce the active-site ferric ion. ML 351 significantly reduced infarct size following permanent focal ischemia in a mouse model of ischemic stroke

ML352

Axon 2587

VU0476201

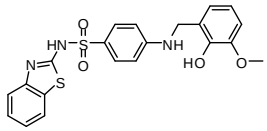


	mg	Price
[1649450-12-3] Purity: 98%	5	online
Soluble in 0.1N HCl (aq) and DMSO C21H29N3O4 MW: 387.47	25	online

Biological activity
Potent and selective inhibitor of the presynaptic choline transporter (CHT; Ki value 92 nM). ML352 exhibited no inhibition of acetylcholinesterase (AChE) or cholineacetyltransferase (ChAT) and also lacked activity at dopamine, serotonin, and norepinephrine transporters, as well as many receptors and ion channels.

ML 355

Axon 2873

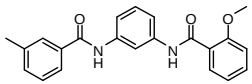


	mg	Price
[1532593-30-8] Purity: 98%	5	online
Soluble in DMSO C21H19N3O4S2 MW: 441.52	25	online

Biological activity
Potent inhibitor of 12-lipoxygenase (12-LOX) (IC50 value of 0.34 µM) which shows excellent selectivity against related enzymes (15-LOX-1, 5-LOX, 15-LOX-2, COX-1/-2). Besides, ML 355 exhibits a favourable in vitro ADME and in vivo PK profile with activity in disease relevant cell-based systems, such thrombosis (platelet aggregation and calcium mobilization), and diabetes (12-HETE reducing in β -cells).

ML 365

Axon 2840



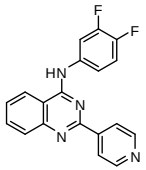
	mg	Price
[947914-18-3] Purity: 99%	10	online
Soluble in DMSO C22H20N2O3 MW: 360.41	50	online

Biological activity
Potent and selective inhibitor of the TASK-1 (KCNK3) potassium channel (IC50 value of 4 nM) with 62-fold selectivity over TASK-3 in an orthogonal electrophysiology assay.

ML 367

Axon 2995

CID 921541



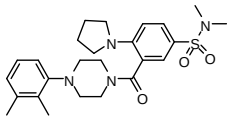
	mg	Price
[381168-77-0] Purity: 99%	10	online
Soluble in DMSO C19H12F2N4 MW: 334.32	50	online

Biological activity
ML 367 is an inhibitor of ATAD5 stabilization with an IC50 value of 1.2 µM. ML 367 was found to block general DNA damage responses including RPA32-hosphorylation and CHK1-phosphorylation in response to UV irradiation. In this regard, the probe molecule could block DNA repair pathways that function upstream of ATAD5.

ML184

Axon 3028

CID2440433



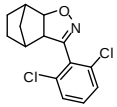
	mg	Price
[794572-10-4] Purity: 99%	10	online
Soluble in DMSO C25H34N4O3S MW: 470.63	50	online

Biological activity
ML184 is a potent and selective agonists for GPR55 with an EC50 value of 263 nM potency for GPR55 and >120-fold, 83-fold, and 57-fold selectivity against GPR35, CB1 and CB2 as antagonist.

ML2-SA1

Axon 2980

EVP-22



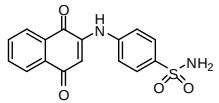
	mg	Price
[N.A.] Purity: 100%	10	online
Soluble in DMSO C14H13Cl2NO MW: 282.17	50	online

Biological activity
ML2-SA1 is a potent, selective and efficacious activator of TRPML2 with EC50 values of 1.24 µM and 2.38 µM for human and mouse TRPML2, respectively. ML2-SA1 shows high selectivity over h/mTRPML1 and h/mTRPML3 in both calcium imaging and endolysosomal patch-clamp experiments and it does not activate TPC1 nor TPC2.

ML329

Axon 2733

CID 12387471



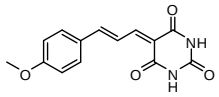
	mg	Price
[19992-50-8] Purity: 99%	10	online
Soluble in DMSO C16H12N2O4S MW: 328.34	50	online

Biological activity
ML329 is an inhibitor of the MITF molecular pathway (IC50 value of 1.2 µM; TRPM-1 promoter activity) and showed specific activity against MITF-dependent cells (IC50 values of 0.1 and 0.7 µM in SK-MEL-5 and MALME-3M cell lines, respectively). ML329 also reduced the expression of the cell cycle regulator CDK2, and showed CDK1 inhibition (IC50 value of 0.5 µM).

ML346

Axon 2703

CID 767276

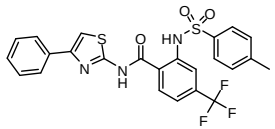


	mg	Price
[100872-83-1] Purity: 100%	10	online
Soluble in DMSO C14H12N2O4 MW: 272.26	50	online

Biological activity
ML346 is an activator of Hsp70 (EC50 value of 4.6 µM; HeLa cell toxicity assay). ML346 induces HSF-1-dependent chaperone expression and restores protein folding in conformational disease models. These effects are mediated by novel mechanisms involving FOXO, HSF-1, and Nfr-2. ML346 has good chemical stability, is not reactive with excess glutathione, and is cell permeable.

ML364

Axon 2678

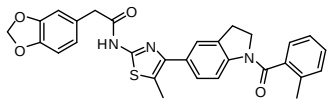


	mg	Price
[1991986-30-1] Purity: 99%	10	online
Soluble in DMSO C24H18F3N3O3S2 MW: 517.54	50	online

Biological activity
ML364, a small molecule inhibitor of the deubiquitinase USP2 (IC50 value of 1.1 µM), induced an increase in cellular cyclin D1 degradation and caused cell cycle arrest. Consistent with the role of cyclin D1 in DNA damage response, ML364 also caused a decrease in homologous recombination-mediated DNA repair. These effects by a small molecule inhibitor support a key role for USP2 as a regulator of cell cycle, DNA repair, and tumor cell growth.

ML385

Axon 2671



[846557-71-9]
Purity: 99%

Soluble in DMSO
C29H25N3O4S MW: 511.59

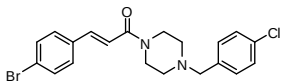
mg	Price
10	online
50	online

Biological activity
ML385 is an inhibitor of nuclear factor erythroid 2-related factor 2 (NRF2) (IC50 value 1.9 μM), blocks NRF2 transcriptional activity, and enhances the efficacy of carboplatin and other chemotherapeutic drugs in lung cancer cells (NSCLC). Specifically, ML385 binds to Neh1, the Cap 'N' Collar Basic Leucine Zipper (CNC-bZIP) domain of NRF2, and interferes with the binding of the V-Maf Avian Musculoaponeurotic Fibrosarcoma Oncogene Homologue G (MAFG)-NRF2 protein complex to regulatory DNA binding sequences. ML385 shows specificity and selectivity for NSCLC cells with KEAP1 mutation.

ML401 Recent Addition

Axon 3230

CID 73169083



[1597489-14-9]
Purity: 99%

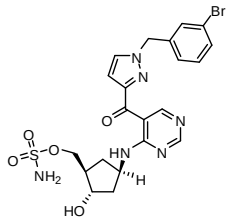
Soluble in DMSO
C20H20BrClN2O MW: 419.74

mg	Price
5	online
25	online

Biological activity
ML401 is a potent functional antagonist of EBI-2 (IC50 value of ~1 nM) which displays activity in a chemotaxis assay (IC50 value of ~6 nM), and has a clean profile in a Eurofins/Ricerca panel as well as excellent rodent pharmacokinetics.

ML-792

Axon 3109



[1644342-14-2]
Purity: 99%
Optically pure
Soluble in 0.1N NaOH(aq), 0.1N HCl(aq) and DMSO
C21H23BrN6O5S MW: 551.41

mg	Price
5	online
25	online

Biological activity
ML-792 is a potent and selective SUMO-activating enzyme (SAE) inhibitor with IC50 values of 0.003 μM and 0.011 μM when SUMO1 or SUMO2 was used as the ubiquitin-like protein (UBL), respectively. ML-792 selectively blocks total SUMOylation, thus decreasing cancer cell proliferation.

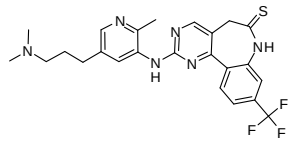
MLN 518

Axon 1415

See CT 53518
Page 339

MLN 0905

Axon 1910



[1228960-69-7]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C24H25F3N6S MW: 486.56

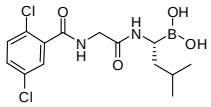
mg	Price
2	online
5	online

Biological activity
Potent, orally available and selective polo-like kinase (PLK) 1 inhibitor

MLN 2238

Axon 2556

Ixazomib



[1072833-77-2]
Purity: 98%

Solubble in DMSO
C14H19BCl2N2O4 MW: 361.03

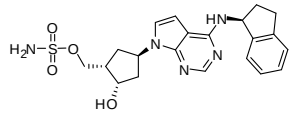
mg	Price
5	online
25	online

Biological activity
Selective and reversible inhibitor of the β5 subunit sites of the 20S proteasome with antitumor activity in various malignancies; the biologically active form of MLN 9708 (Axon 2557). MLN 2238 exhibits improved pharmacodynamics and antitumor activity compared with bortezomib in various B-cell lymphoma models, due to a greater tumor to blood ratio of proteasome inhibition that ultimately translates into improved tumor pharmacodynamic re Approved by the FDA in November 2015 for multiple myeloma treatment. Also available as the more stable citrate prodrug (Axon 2557)

MLN 4924

Axon 2038

Pevonedistat



[905579-51-3]
Purity: 99%
Optically pure
Soluble in DMSO
C21H25N5O4S MW: 443.52

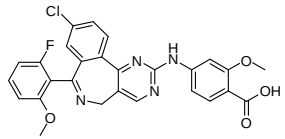
mg	Price
1	online
5	online

Biological activity
First-in-class inhibitor of NEDD8 Activating Enzyme (NAE) with potent antitumor activity in animal models; cell permeable; MLN4924 inactivates Cullin-RING E3 ubiquitin Ligases (CRLs) by blocking cullin neddylation

MLN 8237

Axon 2003

Alisertib



[1028486-01-2]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C27H20ClFN4O4 MW: 518.92

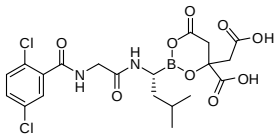
mg	Price
5	online
25	online

Biological activity
Second generation, orally bioavailable, potent and highly selective aurora A inhibitor

MLN 9708

Axon 2557

Ixazomib citrate



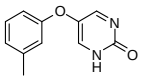
	mg	Price
[1201902-80-8] Purity: 99%	5	online
Soluble in DMSO C20H23BCl2N2O9 MW: 517.12	25	online

Biological activity
Citrate prodrug of MLN 2238 (Ixazomib, Axon 2556), a selective and reversible inhibitor of the β5 subunit sites of the 20S proteasome with antitumor activity in various malignancies. MLN 9708 exhibits improved pharmacodynamics and antitumor activity compared with bortezomib in various B-cell lymphoma models, due to a greater tumor to blood ratio of proteasome inhibition that ultimately translates into improved tumor pharmacodynamic re Approved by the FDA in November 2015 for multiple myeloma treatment.

MLR 1023

Axon 1941

Tolimidone, CP 26154



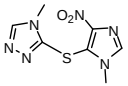
	mg	Price
[41964-07-2] Purity: 99%	10	online
Soluble in DMSO C11H10N2O2 MW: 202.21	50	online

Biological activity
Selective allosteric activator of Lyn kinase (EC50: 63 nM); no significant activity against all other Src family kinases and a range of 47 other kinases; Next generation insulin sensitizer that does not have PPAR activity

MNITMT

Axon 1267

NSC 631156



	mg	Price
[177653-76-8] Purity: 99%	10	online
Soluble in Ethanol C7H8N6O2S MW: 240.24	50	online

Biological activity
Immunosuppressant

Mobocertinib

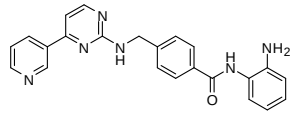
Axon 3232

See TAK-788 Recent Addition Page 751

Mocetinostat

Axon 2505

MGCD 0103; MG 0103



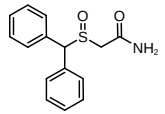
	mg	Price
[726169-73-9] Purity: 99%	5	online
Soluble in 0.1N HCl (aq) and DMSO C23H20N6O MW: 396.44	25	online

Biological activity
Class I selective HDAC inhibitor (sub-micromolar IC50 values for HDAC1, HDAC2, and HDAC11, ca 2 μM for HDAC3, and >10 μM for HDAC4-8) with broad spectrum antitumor activity in vitro and in vivo. MGCD 0103 induced hyperacetylation of histones, selectively induced apoptosis, caused cell cycle blockade, and exhibited potent and selective antiproliferative activities against a broad spectrum of human cancer cell lines in vitro.

Modafinil

Axon 1296

CRL 40476; GRL 40476; CN 801



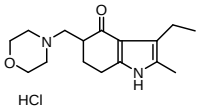
	mg	Price
[68693-11-8] Purity: 99%	10	online
Soluble in DMSO and Ethanol C15H15NO2S MW: 273.35	50	online

Biological activity
α1 Adrenergic receptor agonist; psychoanaleptic agent with central nervous stimulant properties; a eugeroic drug generally prescribed to treat narcolepsy

Molindone hydrochloride

Axon 1101

EN 1733A



	mg	Price
[15622-65-8] Purity: 99%	10	online
Soluble in DMSO C16H24N2O2.HCl MW: 312.83	50	online

Biological activity
D2 dopamine receptor antagonist; MAO inhibitor; a therapeutic antipsychotic, used in the treatment of schizophrenia; Reduction of body weight reported. Terminal plasma half-life after oral administration about 6½ hours

Molnupiravir

Axon 3188

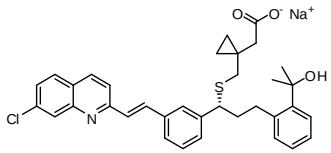
See MK-4482 Recent Addition Page 544

Montelukast sodium

Recent Addition

Axon 3236

MK-0476



mg	Price
50	online

[151767-02-1]
Purity: 99%
Optically pure
Soluble in water and DMSO
C35H35ClNNaO3S MW: 608.17

Biological activity
Montelukast sodium is a potent and selective leukotriene D4 receptor antagonist with excellent in vivo activity. Montelukast sodium shows Ki values for [3H]leukotriene D4 specific binding of 0.18 nM, 4 nM and 0.52 nM in guinea pig lung, sheep lung and dimethylsulfoxide-differentiated U937 cell plasma membrane preparations, respectively.

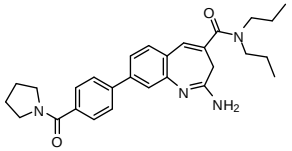
Motesanib diphosphate

Axon 1768

See AMG 706 Page 201

Motolimod

Axon 2783



mg	Price
5	online

[926927-61-9]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C28H34N4O2 MW: 458.60

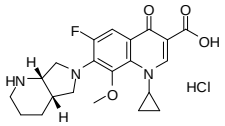
Biological activity
Motolimod is a highly potent and selective TLR8 agonist (EC50 value of 100 nM). Motolimod directly activates myeloid dendritic cells, monocytes, and NK cells, resulting in the production of high levels of mediators including: TNFα, IL-12, and IFNγ, known to orchestrate adaptive antitumor responses.

Moxifloxacin hydrochloride

Recent Addition

Axon 3306

BAY 12-8039



mg	Price
50	online
On request	online

[186826-86-8]
Purity: 100%
Optically pure
Soluble in water and DMSO

C21H24FN3O4.HCl MW: 437.89

Biological activity
Moxifloxacin hydrochloride is a broad-spectrum antibiotic.

MP 470

Axon 2368

See Amuvatinib Page 212

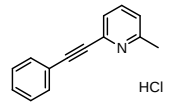
MPC-1304

Axon 3013

See Aranidipine Page 222

MPEP hydrochloride

Axon 1222



mg	Price
10	online
50	online

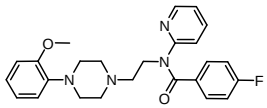
[219911-35-0]
Purity: 99%

Soluble in water, DMSO, and Ethanol
C14H11N.HCl MW: 229.70

Biological activity
Potent and selective antagonist for metabotropic glutamate receptor subtype 5 (mGluR5); Systemically active in vivo

MPPF, p-

Axon 1090



mg	Price
10	online
50	online

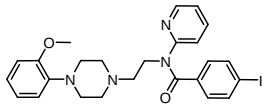
[155204-26-5]
Purity: 98%

Soluble in water
C25H27FN4O2 MW: 434.51

Biological activity
Selective 5-HT1A antagonist, more potent than p-MPPI (Axon 1091)

MPPI, p-

Axon 1091



mg	Price
10	online
50	online

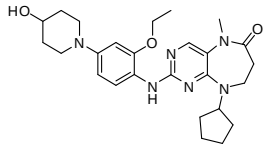
[155204-23-2]
Purity: 98%

Soluble in water
C25H27IN4O2 MW: 542.41

Biological activity
Selective 5-HT1A antagonist; unlabelled standard in radiochemistry

Mps1-IN-2

Axon 2358



mg	Price
5	online
25	online

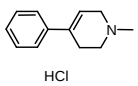
[1228817-38-6]
Purity: 98%

Soluble in DMSO
C26H36N6O3 MW: 480.60

Biological activity
Small-molecule inhibitor of Mps1 kinase (IC50 values 145 nM) with greater than 1000-fold selectivity relative to the 352-member kinase panel, with the major exceptions of Gak and Plk1 (Ambit essay Kd values 12 nM, 140 nM, and 61 nM for Mps1, Gak, and Plk1, respectively). Mps1-IN-2 induces bypass of a checkpoint-mediated mitotic arrest and provides a unique tool to investigate the combined inhibition of Plk1 and Mps1.

MPTP hydrochloride

Axon 1075



mg	Price
10	online
50	online

[23007-85-4]
Purity: 99%

No solubility data
C12H15N.HCl MW: 209.72

Biological activity
A dopaminergic neurotoxin that causes permanent symptoms of Parkinson's disease by killing certain neurons in the substantia nigra of the brain.
Remarks: For health reasons to you and others, don't pursue MPTP from ordinary chemical supplier! Axon is one professional source, providing non-lipophilic MPTP hydrochloride with user's instruction

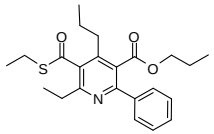
MPV 1248

Axon 1371

See Atipamezole hydrochloride
Page 233

MRS 1523

Axon 2076



mg	Price
5	online
10	online

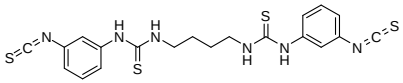
[212329-37-8]
Purity: 98%

Soluble in DMSO
C23H29NO3S MW: 399.55

Biological activity
Potent and highly selective adenosine A3 receptor antagonist (Ki= 18.9 nM for human A3R)

MRS 2578

Axon 1862



mg	Price
10	online
50	online

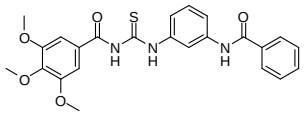
[711019-86-2]
Purity: 99%

Soluble in DMSO
C20H20N6S4 MW: 472.67

Biological activity
Potent and selective P2Y6 nucleotide receptor antagonist

MRT 10

Axon 1938



mg	Price
10	online
50	online

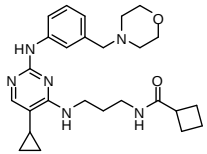
[330829-30-6]
Purity: 99%

Soluble in DMSO
C24H23N3O5S MW: 465.52

Biological activity
Smoothened (SMO) receptor antagonist

MRT 67307

Axon 3046



mg	Price
10	online
50	online

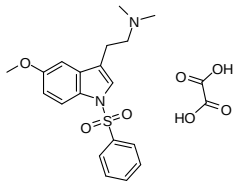
[1190378-57-4]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C26H36N6O2 MW: 464.60

Biological activity
MRT 67307 is an inhibitor of IKKε and TBK1 with IC50 values of 160 nM and 19 nM, respectively. MRT 67307 also inhibited the MARK, NUAK, and SIK isoforms in vitro with comparable potency to the IKK-related kinases.

MS 245 oxalate

Axon 1849



mg	Price
10	online
50	online

[275363-58-1]
Purity: 99%

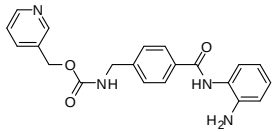
Soluble in DMSO
C19H22N2O3S.C2H2O4
MW: 448.49

Biological activity
Selective and high affinity 5-HT6 antagonist (Ki = 2.1 nM)

MS 275

Axon 1803

Entinostat; SNDX 275



mg	Price
10	online
50	online

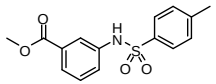
[209783-80-2]
Purity: 99%

Soluble in DMSO
C21H20N4O3 MW: 376.41

Biological activity
Potent and long-lasting histone deacetylase (HDAC) inhibitor undergoing clinical trials for treatment of various cancers; Entinostat inhibits class I HDAC1 and HDAC3 with IC50 of 0.51 μM and 1.7 μM, respectively

MSAB Recent Addition

Axon 3342



mg	Price
10	online
50	online

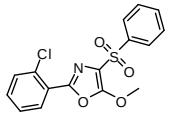
[173436-66-3]
Purity: 99%

Soluble in DMSO
C15H15NO4S MW: 305.35

Biological activity
MSAB is a potent and selective inhibitor of the Wnt/β-catenin signaling pathway. MSAB shows potent anti-tumor effects selectively on Wnt-dependent cancer cells in vitro and in mouse cancer models. MSAB binds to β-catenin promoting its degradation, and specifically downregulates Wnt/β-catenin target genes.

MSL-7

Axon 2932



mg	Price
10	online
50	online

[2172949-70-9]
Purity: 99%

Soluble in DMSO
C16H12ClNO4S MW: 349.70

Biological activity
MSL-7 is an autophagy enhancer with increased microsomal stability, which improved the glucose profile of ob/ob mice and mice with diet-induced obesity. Drug candidate for diabetes or metabolic syndrome with lipid overload.

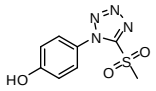
2-MSPA

Axon 2653

See CXL-1020
Page 344

MSTP

Axon 2876



mg	Price
10	online
50	online

[2125668-23-5]
Purity: 99%

Soluble in DMSO
C8H8N4O3S MW: 240.24

Biological activity
MSTP is a selective and highly reactive thiol blocking reagent compatible with a variety of experimental setups in biological research.

MT-1303

Axon 3096

See Amiselimod hydrochloride
Page 210

MTX

Axon 3319

See Methotrexate Recent Addition
Page 533

Mubritinib

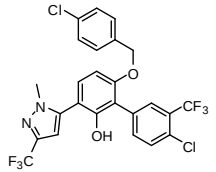
Axon 2053

See TAK 165
Page 749

MYCi975 Recent Addition

Axon 3229

NUCC-0200975



mg	Price
5	online
25	online

[2289691-01-4]
Purity: 99%

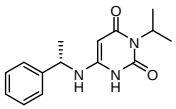
Soluble in DMSO
C25H16Cl2F6N2O2 MW: 561.30

Biological activity
MYCi975 is a MYC inhibitor which disrupts MYC/MAX interaction while also decreasing MYC protein stability. This dual mechanism of action leads to significant inhibition of MYC-dependent cancer-cell proliferation in vitro with suppression of global MYC target gene expression and inhibition of tumor growth in vivo. Moreover, MYCi975 showed an excellent pharmacokinetic profile, with a long terminal half-life, high peak plasma concentration, and tumor penetration, as demonstrated by pharmacodynamic markers, such as MYC T58 phosphorylation. MYCi975 enhanced immunotherapy.

MYK-461

Axon 2683

Mavacamten; SAR439152



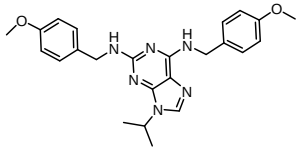
mg	Price
10	online
50	online

[1642288-47-8]
Purity: 100%
Optically pure
Soluble in 0.1 N NaOH(aq) and DMSO
C15H19N3O2 MW: 273.33

Biological activity
MYK-461 is an inhibitor of sarcomere contraction by decreasing the ATPase activity of the cardiac myosin heavy chain (IC50 value 0.3 µM in mouse cardiac myofibrils). Inhibitors of sarcomere contraction may be a valuable therapeutic approach for hypertrophic cardiomyopathy (HCM). Acute reduction in contractility with MYK-461 is sufficient to relieve left ventricular outflow tract (LVOT) obstruction in feline HCM.

Myoseverin

Axon 1310



mg	Price
10	online
50	online

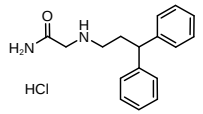
[267402-71-1]
Purity: 99%

No solubility data
C24H28N6O2 MW: 432.52

Biological activity
A microtuble-binding molecule and reversible inhibitor of tubulin polymerization; potential angiogenesis inhibitor

N 20C hydrochloride

Axon 1249



[928313-94-4]
Purity: 98%

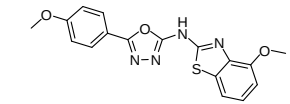
No solubility data
C17H19N2O.HCl MW: 304.81

mg	Price
10	online
50	online

Biological activity
Non-competitive NMDA glutamate receptor antagonist

N106

Axon 2565



[862974-25-2]
Purity: 99%

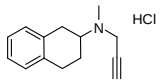
Soluble in DMSO
C17H14N4O3S MW: 354.38

mg	Price
5	online
25	online

Biological activity
First-in-class small-molecule activator targeting E1 ligase mediated SERCA2a SUMOylation. N106 treatment increases contractile properties of cultured rat cardiomyocytes and significantly improves ventricular function in mice with heart failure.

N 0425 hydrochloride

Axon 1022



[78621-26-8]
Purity: 99%

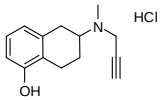
Soluble in water and DMSO
C14H17N.HCl MW: 235.75

mg	Price
10	online
50	online

Biological activity
Potent monoamine oxidase (MAO) inhibitor

N 0426 hydrochloride

Axon 1065



[150542-92-0]
Purity: 98%

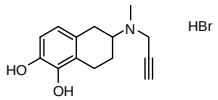
No solubility data
C14H17NO.HCl MW: 251.75

mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

N 0430 hydrobromide

Axon 1018



[96333-04-9]
Purity: 99%

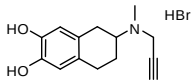
Soluble in water
C14H17NO2.HBr MW: 312.20

mg	Price
5	online
25	online

Biological activity
Monoamine oxidase (MAO) inhibitor, dopamine agonist

N 0432 hydrobromide

Axon 1020



[96333-05-0]
Purity: 98%

No solubility data
C14H17NO2.HBr MW: 312.20

mg	Price
5	online
25	online

Biological activity
Monoamine oxidase (MAO) inhibitor, dopamine agonist

N 0434

Axon 1035

See PPHT hydrochloride

Page 648

N 0434, (R)-

Axon 1036

See PPHT hydrochloride, (R)-

Page 648

N 0434, (S)-

Axon 1037

See PPHT hydrochloride, (S)-

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N 0437

Axon 1038

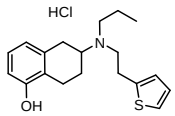
See N 0437 hydrochloride

Page 565

N 0437 hydrochloride

Axon 1038

N 0437



[102120-99-0]
Purity: 99%

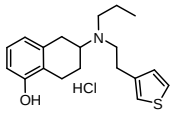
Soluble in DMSO
C19H25NOS.HCl MW: 351.93

mg	Price
10	online
50	online

Biological activity
Potent and selective dopamine receptor agonist as anti-Parkinson drug; its S-(-)-enantiomer (Axon 1040) is more active vs (R)-(+)-enantiomer (Axon 1039)

N 0734 hydrochloride

Axon 1041



[102121-01-7]
Purity: 99%

Moderately soluble in water
C19H25NOS.HCl MW: 351.93

mg	Price
5	online
25	online

Biological activity
*Potent and selective dopamine receptor agonist; derivative of Rotigotine (N-0437, Axon 1038); * N-0734, N-0434 ((±)-PPHT, Axon 1035) and N-0437 are potent and selective DA agonists that lack significant alpha 2 activity*

N 0774

Axon 1350

See Luzindole

Page 518

N 0923

Axon 1040

See Rotigotine

Page 683

N 0924

Axon 1039

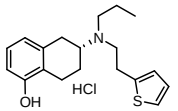
See N 0924 hydrochloride

Page 566

N 0924 hydrochloride

Axon 1039

N 0924



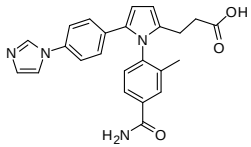
[125572-92-1]
Purity: 98%
98% ee
Soluble in water and DMSO
C19H25NOS.HCl MW: 351.93

mg	Price
5	online
25	online

Biological activity
Dopamine receptor agonist; less active enantiomer of N-0437 (Axon 1038) vs opposite (S)-(-)-enantiomer, Rotigotine (Axon 1040)

N 6022

Axon 1822



[1208315-24-5]
Purity: 99%

Soluble in DMSO
C24H22N4O3 MW: 414.46

mg	Price
5	online
25	online

Biological activity
Potent, specific, and fully reversible inhibitor of S-nitrosoglutathione reductase (GSNOR) with an IC50 of 8 nM and a Ki of 2.5 nM

NAC1 inhibitor NIC3

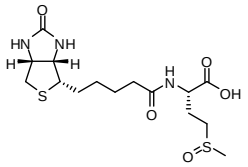
Axon 3031

See NIC3

Page 576

N-Biotinyl methionine sulfoxide

Axon 3072



[N.A.]
Purity: 98%

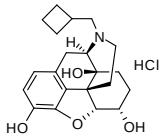
Soluble in water and DMSO
C15H25N3O5S2 MW: 391.51

mg	Price
5	online

Biological activity

Nalbuphine hydrochloride

Axon 1577



[23277-43-2]
Purity: 99%

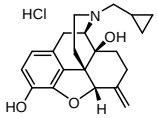
Soluble in water
C21H27NO4.HCl MW: 393.90

mg	Price
10	online
50	online

Biological activity
A narcotic used as a pain medication. Nalbuphine appears to be an agonist at κ-opioid receptors and an antagonist or partial agonist at μ-opioid receptors (IC50 of 36 nM and 11 nM resp).

Nalmefene hydrochloride

Axon 1573



[58895-64-0]
Purity: 99%

Soluble in water and DMSO
C21H25NO3.HCl MW: 375.89

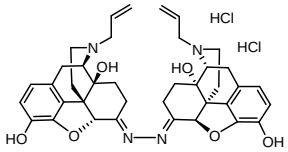
mg	Price
10	online
50	online

Biological activity
Non selective opioid receptor antagonist; it acts by blocking a mechanism in the brain that can cause a continuing and uncontrolled intake of alcohol. This helps to control and reduce alcohol intake

Naloxonazine dihydrochloride

Axon 1205

NSC 612113



[880759-65-9]
Purity: 98%

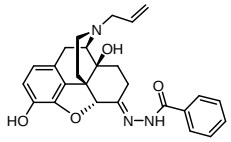
Soluble in water
C38H42N4O6.2HCl MW: 723.69

mg	Price
10	online
50	online

Biological activity
Opioid receptor antagonist

Naloxone Benzoylhydrazone

Axon 1230



[119630-94-3]
Purity: 98%

Soluble in DMSO
C26H27N3O4 MW: 445.51

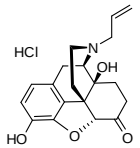
mg	Price
10	online
50	online

Biological activity
Agonist for κ3 opioid receptors; antagonist for ORL1 and μ opioid receptors

Naloxone hydrochloride

Axon 2415

NIH 7890; Narcan



[357-08-4]
Purity: 99%
Optically pure
Soluble in water and DMSO
C19H21NO4.HCl MW: 363.84

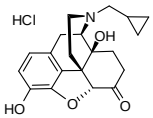
mg	Price
50	online
500	online

Biological activity
Neutral opioid antagonist (Ki values 0.81 nM and 1.80 nM for μ- and δ-opioid, respectively)

Naltrexone hydrochloride

Axon 2416

NIH 8503



[16676-29-2]
Purity: 100%
Optically pure
Soluble in water and DMSO
C20H23NO4.HCl MW: 377.86

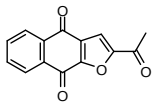
mg	Price
50	online
500	online

Biological activity
Competitive opioid antagonist with preference for μ- and κ-receptors over δ-receptor (Ki values 1.55 nM, 7.84 nM, and 0.71 nM for μ-, δ-, and κ-receptors, respectively)

Napabucasin

Axon 2517

BBI 608; FNQ



[83280-65-3]
Purity: 99%

Soluble in DMSO
C14H8O4 MW: 240.21

mg	Price
10	online
50	online

Biological activity
Oral first-in-class cancer stemness (CSCs) inhibitor that works by targeting Stat3. Napabucasin (or BBI608) is a naturally occurring drug with enhanced toxicity versus glucose-starved tumor cells, and found to induce Mcl-1 cleavage and sustained phosphorylation of c-Jun-N-terminal kinase. Effectively blocks cancer relapse and metastasis in xenografted human cancers

Narcan

Axon 2415

See Naloxone hydrochloride Page 568

Nasalide

Axon 1429

See Flunisolide

Page 405

Nasarel

Axon 1429

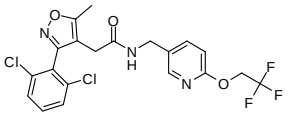
See Flunisolide

Page 405

Nav1.7 blocker 24

Axon 1791

Compound 24



[1315451-25-2]
Purity: 99%

Soluble in DMSO
C20H16Cl2F3N3O3 MW: 474.26

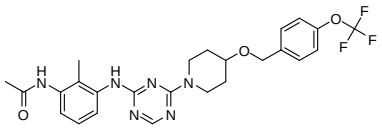
mg	Price
10	online
50	online

Biological activity
Sodium channel blocker, potent and selective at voltage-gated Nav1.7 (SCN9A); with Nav1.7 pIC50 6.75 and Nav1.5 pIC50 <4.48

Nav1.7 blocker 52

Axon 1780

Compound 52



[1211866-85-1]
Purity: 99%

Soluble in DMSO
C25H27F3N6O3 MW: 516.52

mg	Price
10	online
50	online

Biological activity
Potent and state-dependent sodium channel blocker, selective at voltage-gated Nav1.7 (SCN9A); Selectivity over many ion channels and GPCRs, including some selectivity within the sodium channel family

Naxagolide

Axon 1071

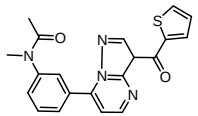
See PHNO hydrochloride, (+)-

Page 636

NBI 34060

Axon 1121

Indiplon



[325715-02-4]
Purity: 99%

Soluble in DMSO
C20H17N4O2S MW: 377.44

mg	Price
10	online
50	online

Biological activity
A high-affinity positive allosteric modulator with selectivity for alpha1 subunit-containing GABAA receptors; NBI 34060 modulates specific GABAA receptor subtypes at the benzodiazepine site; nonbenzodiazepine hypnotic

NBOH-2C-CN hydrochloride

Axon 2811

See NBOH hydrochloride, 25CN-

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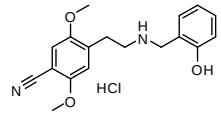
NBOH hydrochloride, 25CN-

Axon 2811

NBOH-2C-CN hydrochloride



[1539266-32-4]
Purity: 98%

Soluble in water and DMSO
C18H20N2O3.HCl MW: 348.82

mg	Price
10	online
50	online

Biological activity
25CN-NBOH is a highly selective and brain penetrant 5-HT2A receptor agonist (Ki value of 1.3 nM; EC50 value of 2.1 nM). Moreover, 25CN-NBOH was behaviorally active in two mouse models of hallucinogenic effects.

NCA

Axon 2603

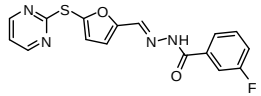
See Nitrosocyclohexyl acetate, 1-

Page 578

NCGC00249987

Axon 3080

EYA2 inhibitor 9987



[1384864-80-5]
Purity: 98%

Soluble in DMSO
C16H11FN4O2S MW: 342.35

mg	Price
10	online
50	online

Biological activity
NCGC00249987 is a specific, allosteric EYA2 phosphatase inhibitor with an IC50 value of 3.0 μM.

NCGC 00379308

Axon 2895

See D3-βArr

Page 347

NCGC 84

Axon 2321

See ML 154

Page 545

NCGC 00099374

Axon 2384

See FDI 6

Page 397

NCGC 00185684

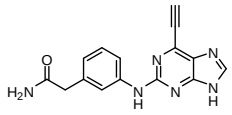
Axon 2321

See ML 154

Page 545

NCL-00017509

Axon 2728



[1507367-00-1]
Purity: 100%

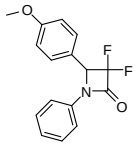
Soluble in DMSO
C15H12N6O MW: 292.30

mg	Price
2	online
5	online

Biological activity
NCL-00017509 is a potent kinase-selective irreversible Nek2 inhibitor (IC50 value of 56 nM) with promising drug-like properties.

NCRW0005-F05

Axon 2609



[342779-66-2]
Purity: 99%

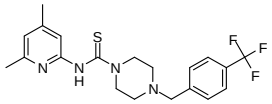
Soluble in DMSO
C16H13F2NO2 MW: 289.28

mg	Price
10	online
50	online

Biological activity
First antagonist for GPR139 (IC50 value 0.21 µM); a useful tool to study GPR139 pharmacology.

NCT-503

Axon 2623



[1916571-90-8]
Purity: 98%

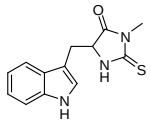
Soluble in 0.1N HCl (aq) and DMSO
C20H23F3N4S MW: 408.48

mg	Price
10	online
50	online

Biological activity
Non-competitive PHGDH inhibitor (IC50 value 2.5 µM) that reduces the production of glucose-derived serine in cells and suppresses the growth of PHGDH-dependent cancer cells in culture and in orthotopic xenograft tumors.

Necrostatin-1

Axon 1258



[4311-88-0]
Purity: 99%

Soluble in DMSO
C13H13N3OS MW: 259.33

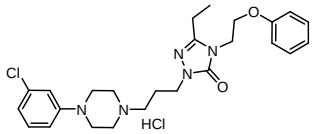
mg	Price
25	online
100	online

Biological activity
A cell-permeable, potent, and selective inhibitor of necroptosis; Acts as a selective and ATP-competitive inhibitor of RIP1 kinase with negligible effect of RIP2 kinase activity

Nefazodone hydrochloride

Axon 1102

BMY 13754



[82752-99-6]
Purity: 99%

Soluble in DMSO
C25H32ClN5O2.HCl MW: 506.47

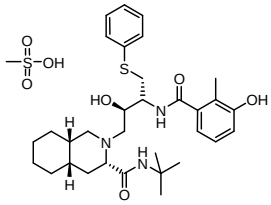
mg	Price
10	online
50	online

Biological activity
Antidepressant; It operates by blocking post-synaptic 5-HT2A receptors and, to a lesser extent, by inhibiting pre-synaptic serotonin and norepinephrine (noradrenaline) reuptake.Nefazodone is also a relatively potent alpha-1 adrenoceptor antagonist

Nelfinavir mesylate

Axon 1553

AG 1343



[159989-65-8]
Purity: 99%

Soluble in DMSO
C32H45N3O4S.CH4O3S
MW: 663.89

mg	Price
10	online
50	online

Biological activity
Orally active HIV protease inhibitor, with KI values to be 2nM (HIV-1)

Nelivaptan

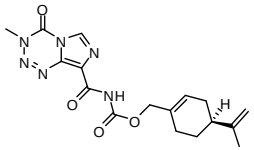
Axon 1114

See SSR 149415 Page 734

NEO 212

Axon 2327

TMZ-POH



[1361198-79-9]
Purity: 99%
Optically pure
Soluble in DMSO
C17H20N6O4 MW: 372.38

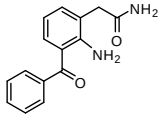
mg	Price
5	online
25	online

Biological activity
Novel DNA alkylating agent exhibiting superior activity against breast cancer cells in vitro and intracranial triple-negative tumor growth in vivo (IC50 values 5-50 µM for cytotoxicity on glioma cell lines). NEO 212 causes DNA damage and cell death much more efficiently than TMZ, because linkage with POH increased its biological half-life and thus provided greater opportunity for placement of cytotoxic DNA lesions. NEO212 is a conjugate of temozolomide (TMZ, Axon 2326) with the natural product perillyl alcohol (POH) and circumvents TMZ-resistance in multiple cancer cell lines and gliomas.

Nepafenac

Recent Addition

Axon 3374



[78281-72-8]
Purity: 99%

Soluble in DMSO
C15H14N2O2 MW: 254.28

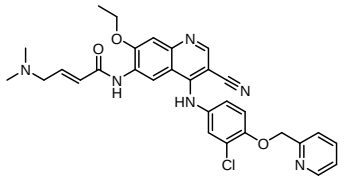
mg	Price
10	online
50	online

Biological activity
Nepafenac is a prodrug of Amfenac. Nepafenac exhibited only weak COX-1 inhibitory activity (IC50 value of 64.3 µM). However, Amfenac was a potent inhibitor of both COX-1 (IC50 value 0.25 µM) and COX-2 activity (IC50 value of 0.15 µM). NSAID.

Neratinib

Axon 1526

HKI 272



[698387-09-6]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C30H29ClN6O3 MW: 557.04

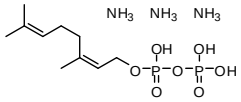
mg	Price
5	online
25	online

Biological activity
An irreversible tyrosine kinase inhibitor with activity against HER2 and EGFR kinases; a therapeutic agent under investigation for the treatment breast cancer and other solid tumours

Neryl pyrophosphate ammonium salt

Axon 2940

NPP



[N.A.]
Purity: 98%

Soluble in water
C10H20O7P2.3NH3 MW: 365.14

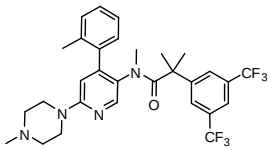
mg	Price
5	online
0	online

Biological activity
Neryl pyrophosphate, the cis isomer of geranyl pyrophosphate (Axon 1489), is a suitable alternative substrate for monoterpene synthases.

Netupitant

Axon 2499

Ro 67-31898



[290297-26-6]
Purity: 99%

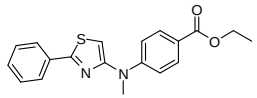
Soluble in 0.1N HCl(aq) and DMSO
C30H32F6N4O MW: 578.59

mg	Price
10	online
50	online

Biological activity
Highly selective NK 1 receptor antagonist. Approved drug in combination with palonosetron (clinically and pharmacologically distinct 5-HT3 receptor antagonist) indicated for the prevention of chemotherapy-induced nausea and vomiting (CINV).

Neuropathiazol

Axon 2322



[880090-88-0]
Purity: 99%

Soluble in DMSO
C19H18N2O2S MW: 338.42

mg	Price
10	online
50	online

Biological activity
Selective inducer of neural differentiation of adult hippocampal neural progenitor cells (NPCs). Neuropathiazole competitively suppresses astrogliogenesis by LIF/BMP2/FBS in a dose-dependent manner. Useful tool for studying the molecular mechanisms that determine cell fate with the ultimate goal of stem-cell therapy.

Nevanimibe hydrochloride

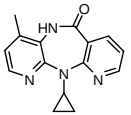
Axon 2960

See ATR-101 Page 234

Nevirapine

Axon 3124

BI-RG-587



[129618-40-2]
Purity: 99%

Soluble in DMSO
C15H14N4O MW: 266.30

mg	Price
10	online
50	online

Biological activity
Nevirapine is a potent and selective non-nucleoside inhibitor of HIV-1 reverse transcriptase with an IC50 value of 84 nM.

Nexavar

Axon 1397

See Sorafenib tosylate Page 724

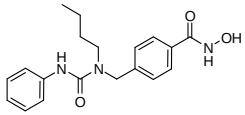
Nexavar

Axon 3351

See Sorafenib Recent Addition Page 724

Nexturastat A

Axon 2359



[1403783-31-2]
Purity: 99%

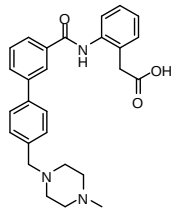
Soluble in DMSO
C19H23N3O3 MW: 341.40

mg	Price
5	online
25	online

Biological activity
Potent HDAC6 inhibitor with >600 fold and >190 fold selectivity over HDAC1 and HDAC8, respectively (IC50 values 5 nM, 3 µM, 1 µM for HDAC6, HDAC1, and HDAC8, respectively). Nexturastat A, was found to be capable of increasing acetylated α-tubulin levels and it inhibited the growth of B16 melanoma cells, albeit with lower potency than LBH 589 (Axon 1548).

NF-56-EJ40

Axon 3056



mg	Price
5	online
25	online

[2380230-73-7]
Purity: 98%

Soluble in 0.1N NaOH(aq), 0.1N HCl(aq) and DMSO
C27H29N3O3 MW: 443.54

Biological activity
NF-56-EJ40 is a high-affinity, human-selective SUCNR1 (GPR91) antagonist with a Ki value of 17.4 nM and an IC50 value of 0.025 μM.

NFPS

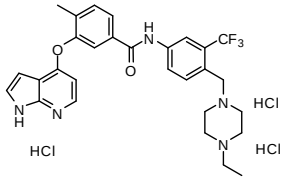
Axon 1238

See ALX 5407 hydrochloride

Page 197

NG 25 trihydrochloride

Axon 2366



mg	Price
2	online
10	online

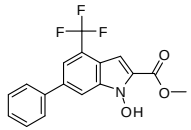
[1315355-93-1] (parent)
Purity: 98%

Soluble in water and DMSO
C29H30F3N5O2.3HCl MW: 646.96

Biological activity
Type II inhibitor of TAK1 (MAP3K7) and MAP4K2 (GCK) with nanomolar potency for a wider range of kinases (IC50 values 13 nM, 22 nM, 56 nM, 75 nM, 82 nM, 102 nM, 113 nM, and 149 nM for LYN, MAP4K2, CSK, Abl, FER, p38α, SRC, and TAK1, repectively). At 0.1 μM NG 25 shows strong inhibition of TAK1, Lck, MAP4K2, p38α, Abl, YES1, and OSR1. NG 25 potently inhibited the activation of IKKβ by TLR7 and TLR9 agonists and prevented the secretion of type 1 IFNs induced by these ligands in Gen2.2 cells.

NHI 2

Axon 2450



mg	Price
5	online
25	online

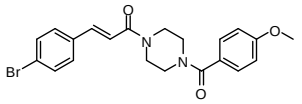
[1269802-97-2]
Purity: 99%

Soluble in DMSO
C17H12F3NO3 MW: 335.28

Biological activity
Selective cell membrane permeable inhibitor of human lactate dehydrogenase isoform A (LDH-A; IC50 values 14.7 μM and 55.8 μM in a NADH competition assay for LDH-A and LDH-B, respectively). NHI 2 caused 87% LDH-A inhibition at 125 μM (with minimal activity (11%) on LDH-B at the same concentration, exhibiting anti-proliferative activity in cancer cells NHI 2 synergistically enhanced the activity of Gemcitabine in multiple cancer cell lines.

NIBR189 Recent Addition

Axon 3231



mg	Price
10	online
50	online

[1599432-08-2]
Purity: 99%

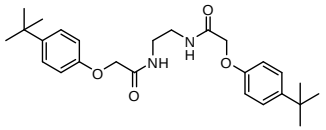
Soluble in DMSO
C21H21BrN2O3 MW: 429.31

Biological activity
NIBR189 is a potent and selective EBI2 antagonist with IC50 values of 11 nM and 15 nM for hEBI2 and mEBI2, respectively. Moreover, NIBR189 exhibits pharmacokinetic properties which should allow use for in vitro and in vivo experiments.

NIC3

Axon 3031

NAC1 inhibitor NIC3



mg	Price
10	online
50	online

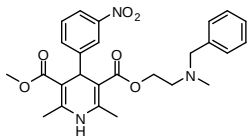
[494830-67-0]
Purity: 99%

Soluble in DMSO
C26H36N2O4 MW: 440.58

Biological activity
NIC3 is an inhibitor of nucleus accumbens–associated protein-1 (NAC1) homodimerization. Specifically, NIC3 has the ability to selectively bind with the conserved Leu90 of NAC1 and to inhibit NAC1 dimerization, resulting in proteasomal degradation of the NAC1 protein. NIC3 shows potent effects on sensitizing drug-resistant tumor cells to chemotherapy and reinforcing the antimetastatic efficacy of the antiangiogenic agent bevacizumab.

Nicardipine Recent Addition

Axon 3254



mg	Price
50	online
250	online

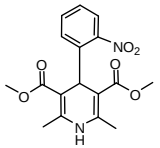
[55985-32-5]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C26H29N3O6 MW: 479.52

Biological activity
Nicardipine is a calcium antagonist. Nicardipine is a potent cerebral and coronary vasodilator with hypotensive activity.

Nifedipine

Axon 2068



mg	Price
50	online
250	online

[21829-25-4]
Purity: 99%

Soluble in DMSO
C17H18N2O6 MW: 346.33

Biological activity
A dihydropyridine calcium channel blocker (L-type), a drug used as an anti-anginal and anti-hypertensive
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

NIH 7890

Axon 2415

See Naloxone hydrochloride

Page 568

NIH 8503

Axon 2416

See Naltrexone hydrochloride

Page 568

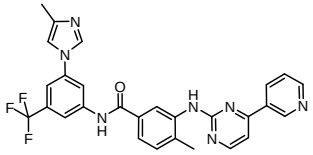
Nilotinib

Axon 1396

AMN 107; Tasigna

[641571-10-0]
Purity: 99%

Soluble in DMSO
C28H22F3N7O MW: 529.52



mg	Price
5	online
10	online

Biological activity
A highly selective inhibitor of Bcr-Abl, the definitive cause of Ph+ CML, and its mutations

Nilotinib hydrochloride

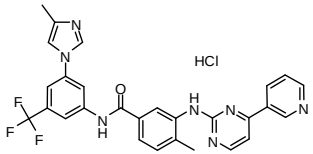
Recent Addition

Axon 3168

AMN 107 hydrochloride

[923288-95-3]
Purity: 99%

Soluble in DMSO
C28H22F3N7O.HCl MW: 565.98



mg	Price
10	online
50	online

Biological activity
A highly selective inhibitor of Bcr-Abl, the definitive cause of Ph+ CML, and its mutations.

Nilutamide

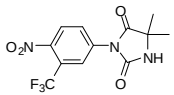
Recent Addition

Axon 3249

RU-23908; Anandron

[63612-50-0]
Purity: 99%

Soluble in DMSO
C12H10F3N3O4 MW: 317.22



mg	Price
50	online

Biological activity
Nilutamide is a nonsteroidal anti-androgen that competitively inhibits the effects of testosterone at the receptor level.

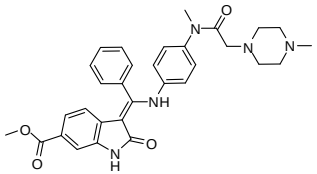
Nintedanib

Axon 2648

BIBF-1120

[656247-17-5]
Purity: 100%

Soluble in 0.1N HCl(aq) and DMSO
C31H33N5O4 MW: 539.62



mg	Price
10	online
50	online

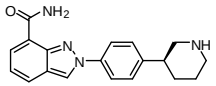
Biological activity
Orally bioavailable, indolinone-derived, receptor tyrosine kinase (RTK) inhibitor with potential antiangiogenic and antineoplastic activities that simultaneously targets VEGFR1-3 (IC50 values 34 nM, 21 nM, and 13 nM, resp.), PDGFRα and β (IC50 values 59 and 65 nM), and FGFR1-3 (IC50 values 69 nM, 37 nM, and 108 nM, resp). In addition, BIBF1120 also inhibits members of the Src family of tyrosine kinases, including Src, Lck, Lyn, and FLT-3 (IC50 values 156 nM, 16 nM, 195 nM, and 26 nM, resp.).

Niraparib

Axon 2928

MK 4827

[1038915-60-4]
Purity: 100%
Optically pure
Soluble in DMSO
C19H20N4O MW: 320.39



mg	Price
10	online
50	online

Biological activity
Niraparib is a potent, selective and orally available PARP 1/2 inhibitor with IC50 values of 3.8 and 2.1 nM, respectively. Moreover, in a whole cell assay, Niraparib inhibited PARP activity with an EC50 value of 4 nM and inhibited proliferation of cancer cells with mutant BRCA-1 and BRCA-2 (CC50 value of 10−100 nM). Niraparib was well tolerated in vivo and demonstrated efficacy as a single agent in a xenograft model of BRCA-1 deficient cancer.

Nitrosocyclohexyl acetate, 1-

Axon 2603

NCA

[10259-08-2]
Purity: 98%

Soluble in DMSO
C8H13NO3 MW: 171.19

mg	Price
50	online

Biological activity
1-Nitrosocyclohexyl acetate (NCA) is a long acting HNO donor which increased contractile force in normal and β-adrenergically desensitized ventricular myocytes as well as in isolated mouse hearts.

NM 702

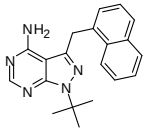
Axon 1482

See Parogrelil

Page 615

NM-PP1, 1-

Axon 1892



[221244-14-0]
Purity: 99%

Soluble in DMSO
C20H21N5 MW: 331.41

mg	Price
5	online
25	online

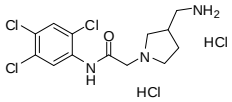
Biological activity
A potent tyrosine kinase inhibitor (TKI) of multiple targets, such as v-Src (IC50: 1 µM), c-Fyn (IC50: 0.6 µM), c-Abl (IC50: 0.6 µM), CDK2 (IC50: 18 µM), and CaMK II (IC50: 22 µM). Addiitonally, 1-NM-PP1 is reported to be a potent and specific inhibitor of TrkB-F616A and TrkA-F592A signaling (IC50 values approx 3 nM).

NMDAR-TRPM4 blocker C19 dihydrochloride

Recent Addition

Axon 3349

FMP-A-02; Aliudanexin



[2241128-93-6]
Purity: 99%

Soluble in water and DMSO
C13H16Cl3N3O.2HCl MW: 409.57

mg	Price
10	online
50	online

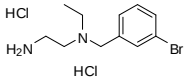
Biological activity
C19 is an NMDAR/TRPM4 (N/T) interaction interface inhibitor with an IC50 value of 1.1 µM for NMDA-induced cell death in hippocampal neurons. This inhibitor strongly reduced NMDA-triggered toxicity and mitochondrial dysfunction, abolished cyclic adenosine monophosphate-responsive element-binding protein (CREB) shutoff, boosted gene induction, and reduced neuronal loss in mouse models of stroke and retinal degeneration. Potent neuroprotectant.
NMDAR-TRPM4 blocker C8 is available as Axon 3348.

NMDAR-TRPM4 blocker C8 dihydrochloride

Recent Addition

Axon 3348

FMP-A-01; Brophenexin



[2243506-33-2]
Purity: 99%

Soluble in water and DMSO
C11H17BrN2.2HCl MW: 330.09

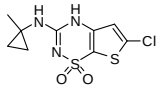
mg	Price
10	online
50	online

Biological activity
C8 is an NMDAR/TRPM4 (N/T) interaction interface inhibitor with an IC50 value of 2.1 µM for NMDA-induced cell death in hippocampal neurons. This inhibitor strongly reduced NMDA-triggered toxicity and mitochondrial dysfunction, abolished cyclic adenosine monophosphate-responsive element-binding protein (CREB) shutoff, boosted gene induction, and reduced neuronal loss in mouse models of stroke and retinal degeneration. Potent neuroprotectant.
NMDAR-TRPM4 blocker C19 is available as Axon 3349.

NN 414

Axon 1647

Tifenazoxide



[279215-43-9]
Purity: 99%

Soluble in DMSO
C9H10ClN3O2S2 MW: 291.78

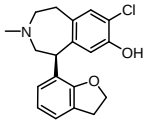
mg	Price
5	online
25	online

Biological activity
A potent and Kir6.2/SUR1 selective K(ATP) channels opener, which inhibits glucose stimulated insulin release in vitro and in vivo and has beneficial effects on glucose homeostasis in preclinical and clinical studies.Unfortunately, its clinical development was recently suspended due to elevated liver enzymes

NNC 756

Axon 1405

Odapipam



[131796-63-9]
Purity: 99%
99% ee
Soluble in DMSO
C19H20ClNO2 MW: 329.82

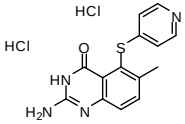
mg	Price
5	online
25	online

Biological activity
Very potent dopamine D1 antagonist.

Nolatrexed dihydrochloride

Axon 2853

AG 337; Thymitaq



[152946-68-4]
Purity: 98%

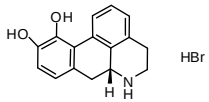
Soluble in DMSO and water
C14H12N4OS.2HCl MW: 357.26

mg	Price
10	online
50	online

Biological activity
Nolatrexed dihydrochloride is a water soluble, lipophilic inhibitor of thymidylate synthase (Ki value of 11 nM). Nolatrexed dihydrochloride displayed non-competitive inhibition kinetics and was shown to inhibit cell growth in a panel of cell lines of murine and human origin (IC50 values between 0.39 and 6.6 µM).

Norapomorphine hydrobromide, R(-)-

Axon 1160



[115017-61-3]
Purity: 98%
>98% ee
Soluble in 0.1N HCl(aq) and DMSO
C16H15NO2.HBr MW: 334.21

mg	Price
5	online
25	online

Biological activity
Potent dopamine receptor agonist

Norclozapine

Axon 2846

See Clozapine, N-Desmethyl- Page 324

Normethylclozapine

Axon 2846

See Clozapine, N-Desmethyl-

Page 324

Norvasc

Axon 3015

See Amlodipine besylate

Page 211

Noxafil

Axon 1557

See Posaconazole

Page 647

NPA

Axon 1161

See Propylnorapomorphine hydrochloride, R(-)-N-

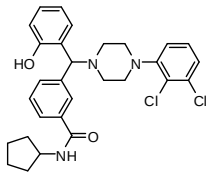
Page 652

NPB

Axon 3079

[2247491-97-8]
Purity: 99%

Soluble in DMSO
C29H31Cl2N3O2 MW: 524.48



mg	Price
10	online
50	online

Biological activity
NPB is a potent, site-specific inhibitor of Bcl-2-associated death promoter (BAD) phosphorylation with efficacy in tumor models. NPB reduced phosphorylation of BAD-Ser99 and enhanced caspase 3/7 activity with associated loss of cell viability in various human cancer cell lines derived from mammary, endometrial, ovarian, hepatocellular, colon, prostatic, and pancreatic carcinoma.

NPL 2009

Axon 1345

See Fenobam

Page 399

NPP

Axon 2940

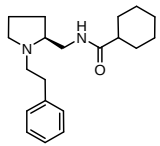
See Neryl pyrophosphate ammonium salt

Page 573

NPPCC, (-)-

Axon 1092

[265644-16-4]
Purity: 98%
>98% ee
No solubility data
C20H30N2O MW: 314.47



mg	Price
10	online
50	online

Biological activity
Potent and selective 5-HT1A receptor agonist

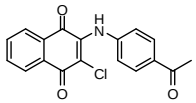
NQ301

Axon 2702

Compound 211

[130089-98-4]
Purity: 99%

Soluble in DMSO
C18H12ClNO3 MW: 325.75



mg	Price
10	online
50	online

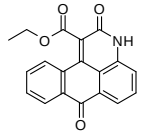
Biological activity
NQ301 is an allosteric noncompetitive selective CD45 inhibitor (IC50 value 200 nM). Antithrombotic agent.

NQDI 1

Axon 1814

[175026-96-7]
Purity: 99%

Moderately soluble in DMSO
C19H13NO4 MW: 319.31



mg	Price
10	online
50	online

Biological activity
Selective inhibitor of apoptosis signal-regulating kinase 1 (ASK1, MAP3K5) (KI: 500 nM)

NRX 4204

Axon 2408

See NRX 194204

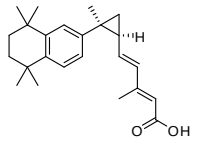
Page 582

NRX 194204

Axon 2408

NRX 4204; VTP 194204; AGN 194204

[220619-73-8]
Purity: 99%
Optically pure
Soluble in DMSO
C24H32O2 MW: 352.51



mg	Price
1	online
5	online

Biological activity
Highly potent and specific RXR agonist (Kd values 0.4 nM, 3.6 nM, and 3.8 nM for RXRα, RXRβ, and RXRγ, respectively) devoid of any RAR activity (Kd values >30 µM for RARα, RARβ, and RARγ). NRX 194204 blocked the ability of lipopolysaccharide and TNFα to induce the release of nitric oxide and IL6 and the degradation of IKBα in RAW264.7 macrophage-like cells. NRX194204 prevents carcinogenesis in both the lung and mammary gland, and enhances the ability of ligands for PPARs or cytotoxic drugs, including cisplatin and 5-flurouracil, to inhibit proliferation and induce apoptosis in breast and pancreatic cancer ce

NS 304

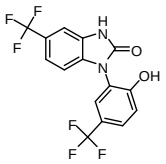
Axon 2605

See Selexipag

Page 707

NS 1619

Axon 2854



[153587-01-0]
Purity: 98%

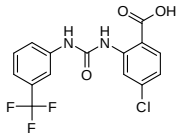
Soluble in DMSO
C15H8F6N2O2 MW: 362.23

mg	Price
10	online
50	online

Biological activity
NS 1619 is a selective large-conductance Ca2+-activated K+ channel (BK channel) activator which decreased the mitochondrial membrane potential with an EC50 value of 3.6 µM. Besides induction of apoptosis, NS 1619 inhibits both mitochondrial function in the glioma cell line LN229, as well as proliferation of A2780 cells (IC50 value of 31.1 µM). These anticancer activities are associated with increased expression of p53, p21, and Bax.

NS 3694

Axon 1883



[426834-38-0]
Purity: 99%

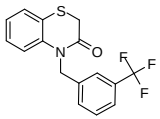
Soluble in 0.1N NaOH(aq) and DMSO
C15H10ClF3N2O3 MW: 358.70

mg	Price
10	online
50	online

Biological activity
Apoptosis inhibitor; NS3694 inhibits the formation of the apoptosome Apaf-1 by blocking the activation of the initiator caspase 9; NS2694 exhibits no effect on apoptosome-independent caspase activation and enzymatic activity of caspases

NS 6180

Axon 2094



[353262-04-1]
Purity: 99%

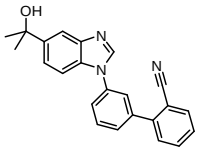
Soluble in DMSO and EtOH
C16H12F3NOS MW: 323.33

mg	Price
10	online
50	online

Biological activity
Potent KCa3.1 channel blocker with nanomolar potency

NS 11394

Axon 1457



[693288-97-0]
Purity: 99%

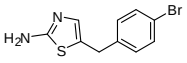
Soluble in DMSO
C23H19N3O MW: 353.42

mg	Price
5	online
25	online

Biological activity
A unique subtype-selective GABAA receptor positive allosteric modulator (PAM); with a subtype selectivity profile at GABAA receptors of α5 > α3 > α2 > α1. Compared with other subtype-selective ligands,NS11394 is unique in having superior efficacy at GABAA-α3 receptors while maintaining low efficacy at GABAA-α1 receptors, which might be attributed for its significantly reduced side effect profile in rat

NS 19504

Axon 2329



[327062-46-4]
Purity: 99%

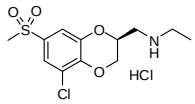
Soluble in DMSO
C10H9BrN2S MW: 269.16

mg	Price
10	online
50	online

Biological activity
Potent activator of large-conductance Ca2+-activated potassium channels (BK, KCa1.1, MaxiK; EC50 value 11 µM in a Tl+ assay) with a favorable selectivity profile in a screen of 68 receptors and by functional tests on Nav, Cav, SK, and IK channels. NS19504 potently inhibits urinary bladder spontaneous phasic contractions (SPCs) while having only a modest effect on contractions evoked by electrical field stimulation (EFS) and no effect on high K+-induced contractions. At a concentration of 10 µM, NS19504 was also found to inhbit the σ1 receptor, two transporters of neurotransmiters (DA and Norepinephrine), and soluble epoxide hydrolase (sEH).

NS 30678 hydrochloride

Axon 1742



[1193707-19-5]
Purity: 99%
Optically pure
Soluble in water and DMSO
C12H16ClNO4S.HCl MW: 342.24

mg	Price
2	online
5	online

Biological activity
Dopamine D2 receptor ligand with surmountable/competitive-like D2 antagonist properties (Ki and IC50 values of value 9.7 nM and 7 nM, repectively in HEK-hD2L-Gαqi5 cells), equipotent to Haloperidol and Risperidone (Axon 1454). NS30678 shows rapid recovery and dopamine responsiveness within 5 min after administration.

NSC 4375

Axon 2432

See Hydroxychloroquine sulfate

Page 455

NSC 4910

Axon 2417

See Chloropurine riboside, 6-

Page 315

NSC 8782

Axon 2476

See DEAB

Page 354

NSC 12407

Axon 2320

See FH 1

Page 401

NSC 14050

Axon 2431

See Chloroquine diphosphate

Page 315

NSC 14613

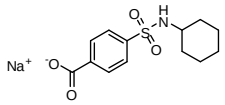
Axon 2091

See PluriSIn #1

Page 643

NSC 23005 sodium

Axon 2695



mg	Price
10	online
50	online

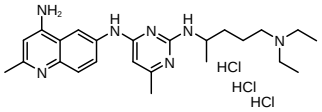
[1796596-46-7]
Purity: 100%

Soluble in water and DMSO
C13H16NNaO4S MW: 305.33

Biological activity
Novel small molecule inhibitor of INK4C (p18(INK4C) or p18) that promotes expansion of both murine and human HSCs (ED50 value 5.21 nM). This p18SMI shows no significant cytotoxicity toward 32D cells or HSCs, nor does it augment leukemia cell proliferation.

NSC 23766

Axon 1578



mg	Price
10	online
50	online

[1177865-17-6]
Purity: 98%

Soluble in water and DMSO
C24H35N7.3HCl MW: 530.96

Biological activity
A cell-permeable, reversible, and selective Rac1 inhibitor; inhibiting Rac1 activation by the Rac-specific GEFs TrioN and Tiam 1 (IC50 = 50 µM) without affecting the closely related GTPases, Cdc42, and RhoA activation;a useful tool for studying the Rac-mediated cellular functions and for modulating pathological conditions in which Rac-deregulation may play a role

NSC 33005

Axon 2814

See MHY 553

Page 537

NSC 36900

Axon 1192

See Methylthioadenosine, 2-

Page 536

NSC 55712

Axon 2303

See R 55

Page 661

NSC 65390

Axon 2524

See Sephin 1

Page 708

NSC 65585

Axon 2537

See Isoquinolinediol, 1,5-

Page 472

NSC 69355

Axon 3011

See DMNQ

Page 367

NSC 74859

Axon 2313

See S3I 201

Page 689

NSC 75890

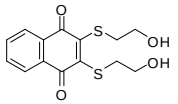
Axon 2519

See SP 600125

Page 725

NSC 95397 Recent Addition

Axon 3086



mg	Price
5	online
25	online

[93718-83-3]
Purity: 98%

Soluble in DMSO
C14H14O4S2 MW: 310.39

Biological activity
NSC 95397 is a potent and selective Cdc25 dual specificity phosphatase (DUSP) inhibitor with in vitro Ki values of 32, 96, and 40 nM for Cdc25A, -B, and -C, respectively. NSC 95397 was 125- to 180-fold more selective for Cdc25A than VH1-related dual-specificity phosphatase or protein tyrosine phosphatase 1b, respectively. Moreover, NSC 95397 showed significant growth inhibition against human and murine carcinoma cells and blocked G(2)/M phase transition.

NSC 107680

Axon 2247

See Flumethasone pivalate

Page 406

NSC 111847

Axon 2390

See HAMNO

Page 447

NSC 112546

Axon 2803

See Cambinol

Page 297

NSC 136476

Axon 2642

See GANT61

Page 414

NSC 150117

Axon 2178

See BCI

Page 262

NSC 150117 hydrochloride

Axon 2852

See BCI hydrochloride

Page 262

NSC 156750

Axon 2407

See BTB 1

Page 289

NSC 164389

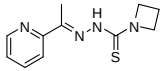
Axon 2382

See ELN 484228

Page 381

NSC 319726

Axon 2016



mg	Price
5	online
25	online

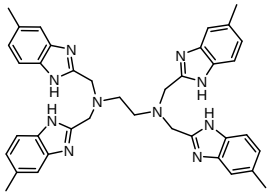
[71555-25-4]
Purity: 99%

Soluble in DMSO
C11H14N4S MW: 234.32

Biological activity
Reactivator of the p53 mutant $p53^{R175}$; NSC319726 selectively kills cancer cells with a $p53^{R175}$ mutations; it restores the transcriptional functions of $p53^{R175}$

NSC 348884

Axon 1402



mg	Price
10	online
50	online

[81624-55-7]
Purity: 100%

Moderately soluble in DMSO
C38H40N10 MW: 636.79

Biological activity
A putative small molecule inhibitor of nucleophosmin (NPM). NSC 348884 inhibits NPM oligomer formation, up-regulates p53, induces apoptosis and synergizes with chemotherapy

NSC 362856

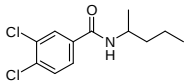
Axon 2326

See Temozolomide

Page 758

NSC 405020

Axon 2162



mg	Price
10	online
50	online

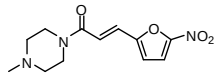
[7497-07-6]
Purity: 99%

Soluble in DMSO
C12H15Cl2NO MW: 260.16

Biological activity
MT1-MMP inhibitor (IC50>100 μM that specifically targets the hemopexin (PEX) domain. NSC 405020 shows significant antitumor efficacy in in vivo tests after intratumoral injections (0.5 mg/kg), and causes a fibrotic tumor phenotype and increases the level of COL-I.

NSC 59984

Axon 2564



mg	Price
10	online
50	online

[803647-40-7]
Purity: 100%

Soluble in 0.1N HCl(aq) and DMSO
C12H15N3O4 MW: 265.27

Biological activity
Activator of p53 that restores wild-type p53 signaling via p73 activation, specifically in mutant p53-expressing colorectal cancer cells, inducing cell death in colorectal cancer cells with minimal genotoxicity and without evident toxicity toward normal cells. Remarkebly, NSC 59984 induces degradation of several p53 mutants through MDM2-mediated ubiquitination.

NSC 600157

Axon 1953

See PRT 4165

Page 652

NSC 608001

Axon 2948

See AM 580

Page 199

NSC 612113

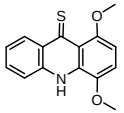
Axon 1205

See Naloxonazine dihydrochloride

Page 567

NSC 625987

Axon 1243



mg	Price
10	online
50	online

[141992-47-4]
Purity: 98%

Soluble in DMSO
C15H13NO2S MW: 271.33

Biological activity
Selective and potent cyclin-dependent kinase (CDK) 4 inhibitor

NSC 631156

Axon 1267

See MNITMT

Page 555

NSC 652287

Axon 2009

See RITA

Page 675

NSC 658180

Axon 2407

See BTB 1

Page 289

NSC 667672

Axon 2919

See IBP, 4-

Page 461

NSC 674319

Axon 2208

See Gallic acid

Page 414

NSC 679828

Axon 1223

See PD 98059

Page 617

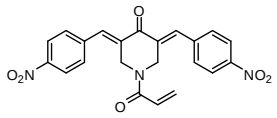
NSC 687852

Axon 2228

b-AP15

[1009817-63-3]
Purity: 98%

Soluble in DMSO
C22H17N3O6 MW: 419.39



mg	Price
10	online
50	online

Biological activity
Inhibitor of two 19S regulatory-particle–associated deubiquitinases (DUBs), ubiquitin C-terminal hydrolase 5 (UCHL5) and ubiquitin-specific peptidase 14 (USP14) showing tumor growth inhibition in vivo. NSC 687852 shows IC50 values of 0.5 μM and 2.1 μM in cathepsin-dependent caspase-cleavage and in purified 19S proteasome Ub-AMC cleavage assays respectively. NSC 687852 induced tumor cell apoptosis that was insensitive to TP53 status and overexpression of the apoptosis inhibitor BCL2. UNC 687852 does not inhibit the non proteasomeal DUBs UCHL-1/3, USP-2/7/8 and BAP1.

NSC 693627

Axon 2160

See JIB 04

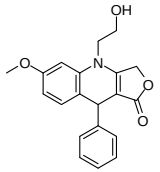
Page 477

NSC 756093

Axon 2393

[1629908-92-4]
Purity: 99%

Soluble in DMSO
C20H19NO4 MW: 337.37



mg	Price
5	online
25	online

Biological activity
Potent in vitro inhibitor of GBP1:PIM1 interaction (65% inhibition of interaction at 100 nM) with activity in paclitaxel resistant cells.

NSC 764414

Axon 2319

See L 002

Page 499

NSC-609974

Axon 3185

See L651582

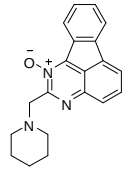
Page 500

NSC194598 *Recent Addition*

Axon 3277

[5358-76-9]
Purity: 98%

Soluble in 0.1 HCl(aq) and DMSO
C20H19N3O MW: 317.38



mg	Price
5	online
25	online

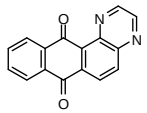
Biological activity
NSC194598 is a p53 DNA-binding inhibitor with an in vitro IC50 value of 180 nM. NSC194598 selectively inhibited DNA binding by p53 and homologs p63/p73, but did not affect E2F1, TCF1, and c-Myc. Furthermore, NSC194598 suppressed p53 transcriptional output after DNA damage in culture and increased the survival of mice after irradiation.

NSC745887

Axon 2966

[54490-26-5]
Purity: 99%

Soluble in DMSO
C16H8N2O2 MW: 260.25



mg	Price
10	online
50	online

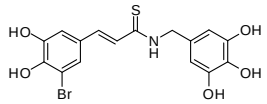
Biological activity
NSC745887 is a DcR3 inhibitor which reduced the cell survival rate and increased the sub-G1 population in dose- and time-dependent manners in glioblastoma multiforme (GBM) cells. Moreover, NSC745887 inhibits the proliferation of various cancers by trapping DNA-topoisomerase cleavage.

NT 157

Axon 2238

[1384426-12-3]
Purity: 99%

Soluble in DMSO
C16H14BrNO5S MW: 412.26



mg	Price
2	online
5	online

Biological activity
Unique allosteric inhibitor of IGF1R. NT 157 promotes ERK-MAPK dependent inhibitory Ser-phosphorylation and degradation of insulin receptor substrate 1 and 2 (IRS1/2) by shifting IGF1R complexation from IRS1/2 to Shc, which results in long-term inhibition of IGF1R signaling and powerful inhibition of tumor cell growth.

NT 702, free base

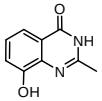
Axon 1482

See Parogrelil

Page 615

NU 1025

Axon 1370



[90417-38-2]
Purity: 99%

Soluble in 0.1N NaOH(aq), DMSO, and Ethanol
C9H8N2O2 MW: 176.17

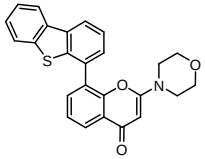
mg	Price
10	online
50	online

Biological activity
Potent inhibitor of poly(ADP-ribose) polymerase (PARP); reported to have neuroprotective effects

NU 7441

Axon 1463

KU 47788



[503468-95-9]
Purity: 99%

Soluble in DMSO
C25H19NO3S MW: 413.49

mg	Price
2	online
5	online

Biological activity
Potent, selective and ATP-competitive inhibitor of DNA-dependent protein kinase (DNA-PK), with IC50 value to be 13 nM for in vitro DNA-PK inhibition; selectivity >100 fold for DNA-PK over related kinases

NUCC-0200975

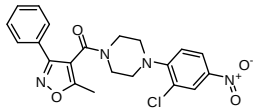
Axon 3229

See MYCi975 Recent Addition

Page 562

Nucleozin

Axon 2907



[341001-38-5]
Purity: 98%

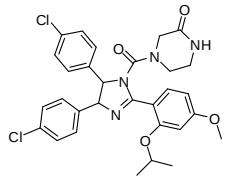
Soluble in DMSO
C21H19ClN4O4 MW: 426.85

mg	Price
10	online
50	online

Biological activity
Nucleozin triggers the aggregation of influenza A nucleoprotein and inhibits its nuclear accumulation. Nucleozin inhibited infection of MDCK cells by the viruses influenza A/WSN/33, H3N2 (clinical isolate) and Vietnam/1194/04 (H5N1) with EC50 values of 0.069 μM, 0.16 μM and 0.33 μM in plaque reduction assays, respectively. Also, nucleozin protected mice challenged with lethal doses of avian influenza A H5N1.

Nutlin-3

Axon 1585



[548472-68-0]
Purity: 99%

Soluble in DMSO and Ethanol
C30H30Cl2N4O4 MW: 581.49

mg	Price
5	online
25	online

Biological activity
*MDM2 antagonist, which binds MDM2 in the p53-binding pocket and activates the p53 pathway in cancer cells, leading to cell cycle arrest, apoptosis, and growth inhibition of human tumor xenografts in nude mice*Its two enantiomers, more active (-)-enantiomer Nutlin-3a (Axon 1880) and less active (+)-enantiomer Nutlin-3b (Axon 1881), are also available*

Nutlin-3, (-)-

Axon 1880

See Nutlin-3a Page 592

Nutlin-3, (+)-

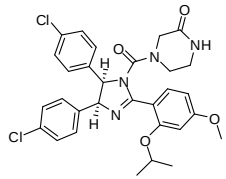
Axon 1881

See Nutlin-3b Page 593

Nutlin-3a

Axon 1880

Nutlin-3, (-)-



[675576-98-4]
Purity: 99%
optically pure
Soluble in DMSO
C30H30Cl2N4O4 MW: 581.49

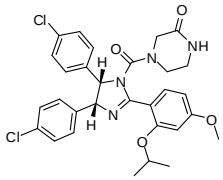
mg	Price
2	online
5	online

Biological activity
*Nutlin-3a is an antagonist or inhibitor of MDM2 (human homolog of murine double minute 2), which disrupts its interaction with p53, leading to the stabilization and activation of p53.*Nutlin-3a (Axon 1880) is the 150-fold more potent (-)-enantiomer of Nutlin-3 (Axon 1585), in comparision with the opposite (+)-enantiomer Nutlin-3b (Axon 1881).Presently, much attention has been given to Nutlin-3a and its absolute stereo-assignment is now known*

Nutlin-3b

Axon 1881

Nutlin-3, (+)-



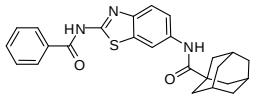
mg	Price
2	online
5	online

[675576-97-3]
Purity: 99%
optically pure
Soluble in DMSO
C30H30Cl2N4O4 MW: 581.49

Biological activity
Nutlin-3b is a 150-fold less potent (+)-enantiomer of Nutlin-3 (Axon 1585) as p53 MDM2 antagonist or inhibitor, in comparison with more potent opposite (-)-enantiomer Nutlin-3a (Axon 1880); useful as a negative control for non-Mdm2 related cellular activi

NVP 231

Axon 1600



mg	Price
5	online
25	online

[362003-83-6]
Purity: 99%

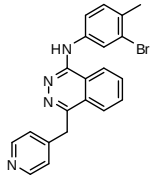
Soluble in DMSO and Ethanol
C25H25N3O2S MW: 431.55

Biological activity
Potent, specific and reversible ceramide kinase (CerK) inhibitor with activity in low nanomolar range

NVP-ACC789

Axon 2865

ACC789; ZK 202650



mg	Price
10	online
50	online

[300842-64-2]
Purity: 99%

Soluble in DMSO
C21H17BrN4 MW: 405.29

Biological activity
NVP-ACC789 is a VEGFR2 inhibitor (IC50 value of 0.02 µM) moderately active against VEGFR1 and VEGFR3, but has little activity against PDGFR-β tyrosine kinases. Blocks angiogenesis induced by VEGF in vivo and in vitro.

NVP-AEB 071

Axon 1635

See Sotrastaurin

Page 724

NVP-AEE 788

Axon 1653

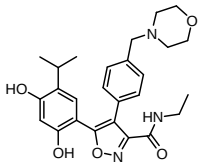
See AEE 788

Page 189

NVP-AUY922

Axon 1542

VER 52296



mg	Price
5	online
25	online

[747412-49-3]
Purity: 98%

Soluble in DMSO
C26H31N3O5 MW: 465.54

Biological activity
Highly potent and oral inhibitor of heat shock protein 90 (Hsp90) in vitro and in vivo, with IC50=21 nM in Hsp90 FP binding assay; inhibits proliferation of various human cancer cell lines in vitro, with GI50 average 9 nM

NVP-BAG 956

Axon 1282

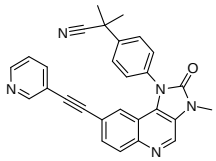
See BAG 956

Page 254

NVP-BBD130

Axon 1520

BBD 130



mg	Price
5	online
25	online

[853910-61-9]
Purity: 99%

Moderately soluble in DMSO
C28H21N5O MW: 443.50

Biological activity
Orally potent and selective dual PI3K/mTOR inhibitor; IC50 values to be 72, 2336, 201 and 382 nM for PI3K p110 alpha, beta, delta and gamma isoforms, respectively; inhibition of PI3K/mTOR pathway like NVP-BEZ235,BBD130 efficiently attenuates growth and proliferation of melanoma primary tumors and metastasis

NVP-BEZ 235

Axon 1281

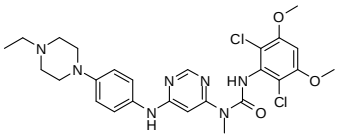
See BEZ 235

Page 266

NVP-BGJ398

Axon 1775

BGJ 398



mg	Price
5	online
25	online

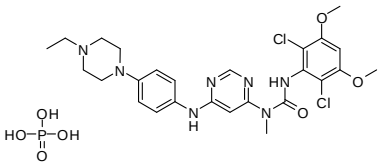
[872511-34-7]
Purity: 99%

Soluble in DMSO
C26H31Cl2N7O3 MW: 560.48

Biological activity
Potent and selective inhibitor of fibroblast growth factor receptor (FGFR) tyrosine kinases 1, 2, 3 and 4 (with IC50 values of 0.9, 1.4, 1.0 and 60 nM for FGFR1, FGFR2, FGFR3, and FGFR4 respectively);it showed siginificant antitumor activity in RT112 bladder cancer xenografts models overexpressing wild-type FGFR3

NVP-BGJ398 Phosphate

Axon 1944



[1310746-10-1]
Purity: 99%

Soluble in DMSO
C26H34Cl2N7O7P MW: 658.47

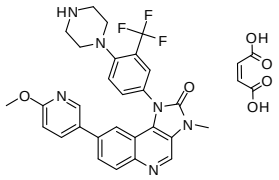
mg	Price
5	online
25	online

Biological activity
Potent and selective inhibitor of fibroblast growth factor receptor (FGFR) tyrosine kinases 1, 2, 3 and 4 (with IC50 values of 0.9, 1.4, 1.0 and 60 nM for FGFR1, FGFR2, FGFR3, and FGFR4 respectively); it showed siginificant antitumor activity in RT112 bladder cancer xenografts models overexpressing wild-type FGFR3. Phosphate salt of Axon 1775

NVP-BGT226

Axon 2029

BGT 226



[1245537-68-1]
Purity: 99%

Soluble in DMSO
C28H25F3N6O2.C4H4O4
MW: 650.60

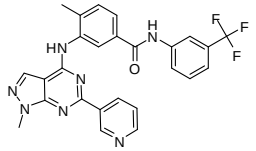
mg	Price
5	online
25	online

Biological activity
Orally active dual PI3K/mTOR inhibitor; induces cell cycle arrest and regulates survivin gene expression in human pancreatic cancer cell lines; inhibits growth in common myeloma cell lines and primary myeloma cells at nanomolar concentrations in a time-dependent and dose-dependent manner

NVP-BHG712

Axon 1829

BHG 712



[940310-85-0]
Purity: 98%

Soluble in DMSO
C26H20F3N7O MW: 503.48

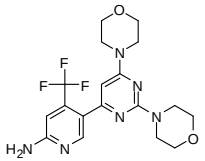
mg	Price
2	online
5	online

Biological activity
Potent and specific inhibitor of EphB4 kinase

NVP-BKM120

Axon 1797

BKM 120



[944396-07-0]
Purity: 98%

Soluble in DMSO
C18H21F3N6O2 MW: 410.39

mg	Price
5	online
25	online

Biological activity
Potent, selective, orally bioavailable class I PI3K inhibitor

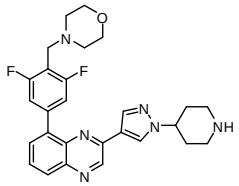
NVP-BQR695

Axon 2801

See BQR695 Page 284

NVP-BSK805

Axon 2792



[1092499-93-8]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C27H28F2N6O MW: 490.55

mg	Price
5	online
25	online

Biological activity
NVP-BSK805 is a potent, selective and orally bioavailable JAK2 inhibitor (IC50 value of 7.3 nM) with very good solubility and cellular potency. Moreover, NVP-BSK805 potently suppressed recombinant human erythropoietin-induced polycythemia and extramedullary erythropoiesis in mice and rats.

NVP-BYL719

Axon 2925

See Alpelisib Page 196

NVP-FGF401

Axon 2953

See Roblitinib Page 680

NVP-LAF 237

Axon 1631

See Vildagliptin Page 798

NVP-LBH 589

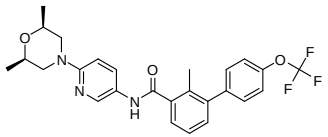
Axon 1548

See LBH 589 Page 503

NVP-LDE225

Axon 1619

LDE 225



mg	Price
5	online
25	online

[956697-53-3]
Purity: 98%

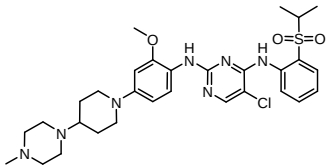
Soluble in DMSO
C26H26F3N3O3 MW: 485.50

Biological activity
Potent, selective and orally bioavailable Smoothened (SMO) antagonist (IC50: 50 nM); it inhibits hedgehog (Hh) signaling pathway via antagonism of the Smoothened receptor (SMO)

NVP-TAE684

Axon 1416

TAE 684



mg	Price
2	online
5	online

[761439-42-3]
Purity: 99%

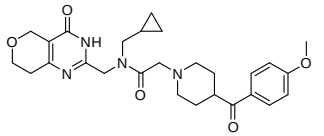
Soluble in DMSO
C30H40ClN7O3S MW: 614.20

Biological activity
Potent, selective and efficacious inhibitor of NPM-ALK

NVP-TNKS656

Axon 2599

TNKS 656



mg	Price
2	online
5	online

[1419949-20-4]
Purity: 99%

Soluble in DMSO
C27H34N4O5 MW: 494.58

Biological activity
Highly potent, selective and orally active tankyrase inhibitor and antagonist of Wnt pathway activity in the MMTV-Wnt1 mouse xenograft model (IC50 values 0.0155 µM and 0.0060 µM for TNKS1 and TNKS2, respectively and >5000-fold selectivity over PARP1 and PARP2).

NVP-XAV 939

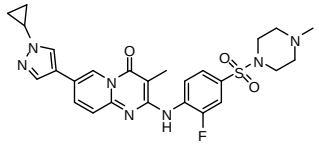
Axon 1527

See XAV 939 Page 817

NVS-BPTF-1

Recent Addition

Axon 3186



mg	Price
5	online
25	online

[N.A.]
Purity: 99%

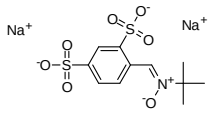
Soluble in 0.1N HCl(aq) and DMSO
C26H28FN7O3S MW: 537.61

Biological activity
NVS-BPTF-1 is a potent, selective and cell active chemical probe for BPTF (IC50 value of 56 nM and Kd value of 71 nM).

NXY 059

Axon 1752

Disufenton sodium; Cerovive



mg	Price
10	online
50	online

[168021-79-2]
Purity: 99%

Soluble in water
C11H13NO7S2.2Na MW: 381.33

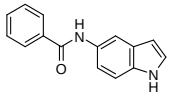
Biological activity
Free radical scavenger, having neuroprotective potential for acute stroke

OAC2

Axon 2651

[6019-39-2]
Purity: 100%

Soluble in DMSO
C15H12N2O MW: 236.27



mg	Price
10	online
50	online

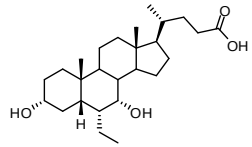
Biological activity
Oct4- and Nanog-activating compound that enhances 4F-induced reprogramming efficiency and considerably accelerates the generation of iPSC formation. OAC2 is one component of a mix of compounds (9C) that can be used to generate cardiomyocyte-like cells from human fibroblasts.

Obeticholic acid Recent Addition

Axon 3174

6-ECDCA; INT-747

[459789-99-2]
Purity: 98%
Opically pure
Soluble in 0.1N NaOH(aq) and DMSO
C26H44O4 MW: 420.63



mg	Price
10	online
50	online

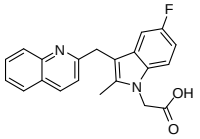
Biological activity
Obeticholic acid is a very potent and selective FXR agonist (EC50 value of 99 nM) and is shown to be endowed with anticholeretic activity in an in vivo rat model of cholestasis.

OC 000459

Axon 1913

[851723-84-7]
Purity: 98%

Soluble in 0.1N NaOH(aq) and DMSO
C21H17FN2O2 MW: 348.37



mg	Price
5	online
25	online

Biological activity
Potent, oral and selective CRTH2 (also known as DP2) antagonist; under clinic development

Odanacatib

Axon 1771

See MK 0822 Page 542

Odapipam

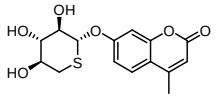
Axon 1405

See NNC 756 Page 580

Odiparcil

Axon 1536

SB 424323; GSK 424323



mg	Price
10	online
50	online

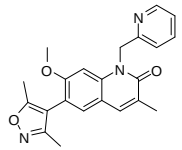
[137215-12-4]
Purity: 99%

Soluble in DMSO
C15H16O6S MW: 324.35

Biological activity
Orally active anti-thrombotic agent under clinical development, with limited hemorrhagic risk and a unique mechanism of action involving the induction of glycosaminoglycans (GAGs) biosynthesis;indirect thrombin inhibitor (via. Heparin cofactor II)

ODM-207 Recent Addition

Axon 3329



mg	Price
5	online
25	online

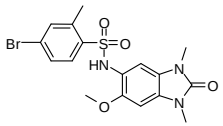
[1801503-93-4]
Purity: 99%

Soluble in DMSO
C22H21N3O3 MW: 375.42

Biological activity
ODM-207 is a highly potent, selective and orally active pan-BET inhibitor that has shown preclinical evidence of tumour growth inhibition in breast cancer and in leukaemia and prostate cancer xenograft models.

OF-1

Axon 2442



mg	Price
5	online
25	online

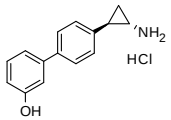
[919973-83-4]
Purity: 99%

Soluble in DMSO
C17H18BrN3O4S MW: 440.31

Biological activity
Potent Bromodomain inhibitor with selectivity for BRPF1 and BRPF2 (Kd values 100 nM, 500 nM, and 2.4 µM for BRPF1B, BRPF2, and BRPF3, respectively). Selectivity against other bromodomains is very good, in general >100-fold. The closest off-target effects are against BRD4 (39-fold selectivity) and TIF1a (50% inhibition at 20 µM. OF-1 increases thermal stability in the cellular thermal shift assay (CETSA) of full length BRPF1B at 1 µM and also demonstrates accelerated FRAP recovery at 5 µM in the BRPF2 FRAP assay.

OG-L002 hydrochloride

Axon 2077



mg	Price
5	online
25	online

[1357298-75-9]
Purity: 100%

Soluble in water and DMSO
C15H15NO.HCl MW: 261.75

Biological activity
Highly specific inhibitor of lysine specific demethylase 1 (LSD1, also known as KDM1A, or H3K9 demethylase) (IC50, ~0.02 µM). OG-L002 potently repressed herpes simplex virus (HSV) IE gene expression, genome replication, and reactivation from latency. It suppressed primary lytic infection of HSV in vivo in a mouse model.This highlights the potential for drugs that inhibit a virus' ability to modify chromatin for treating or even preventing viral diseases like herpes, chicken pox, and shingles.

OHB, 6

Axon 1996

See Hydroxy-buspirone hydrochloride, 6- Page 454

OH-Bu, 6-

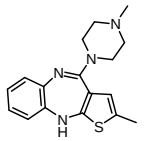
Axon 1996

See Hydroxy-buspirone hydrochloride, 6- Page 454

Olanzapine

Axon 1298

LY 170053



mg	Price
10	online
50	online

[132539-06-1]
Purity: 99%

Soluble in DMSO
C17H20N4S MW: 312.43

Biological activity
Atypical antipsychotic; Higher affinity for 5-HT2 receptors than D2 receptors. D1/D2/D4/5-HT2C antagonist. Also nanomolar affinity for 5-HT6/5-HT7 receptors.Weak activity on dopamine sites, appears to bind to M3 and M4 receptor sites. Anticholinergic and anxiolytic properties

Olaparib

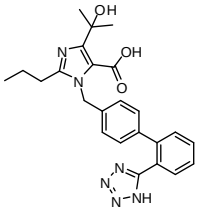
Axon 1464

See AZD 2281 Page 243

Olmesartan Recent Addition

Axon 3105

RNH-6270



mg	Price
50	online

[144689-24-7]
Purity: 98%

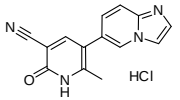
Soluble in 0.1N NaOH(aq) and DMSO
C24H26N6O3 MW: 446.50

Biological activity
Olmesartan is a potent and selective nonpeptide antagonist at the angiotensin II AT1-receptor subtype with an IC50 value of 6.7 nM.

Olprinone hydrochloride

Axon 1168

Loprinone hydrochloride



mg	Price
10	online
50	online

[119615-63-3]
Purity: 99%

Soluble in water and DMSO
C14H10N4O.HCl MW: 286.72

Biological activity
Selective PDE III (PDE3) inhibitor; cardiotonic agent; with positive inotropic and vasodilating effects; as a therapeutic agent for acute heart failure

Omaveloxolone

Axon 2497

See RTA 408

Page 685

Omecamtiv Mecarbil

Axon 1835

See CK 1827452

Page 321

ON01910 sodium

Axon 2950

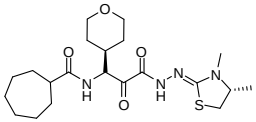
See Rigosertib sodium

Page 674

ONO 5334

Axon 2156

[868273-90-9]
Purity: 98%
Optically pure
Soluble in DMSO
C21H34N4O4S MW: 438.58



mg	Price
2	online
5	online

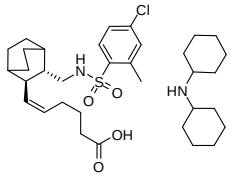
Biological activity
Potent and orally available inhibitor of cathepsin K (Ki values of 0.1 nM, 0.049 nM and 0.85 nM for human, rabbit and rat respectively). ONO 5334 dose depedently suppresses human osteoclast-mediated bone resorption in vitro, and reduced PTHrP-induced increase in plasma calcium with significant effect (86% reduction) after oral administration in TPTX pretreated rats.

ONO 8711 dicyclohexyl amine salt

Axon 1512

[216158-34-8]
Purity: 98%

Soluble in DMSO
C22H30ClNO4S.C12H23N
MW: 621.31



mg	Price
5	online
25	online

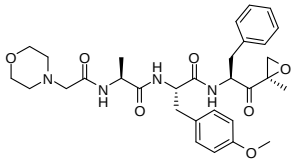
Biological activity
A selective prostaglandin E (PGE) receptor EP1 antagonist with chemopreventive effects

ONX 0914

Axon 2199

PR 957

[960374-59-8]
Purity: 98%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C31H40N4O7 MW: 580.67



mg	Price
2	online
5	online

Biological activity
Selective inhibitor of LMP7, the chymotrypsin-like subunit of the immunoproteasome. ONX 0914 blocks the production of cytokines IL-23, TNF-α, and IL-6 in a NF-κB independent manner, and attenuates progression of experimental arthritis in mouse models. ONX 0914 induces an anti-inflammatory response at doses less than one tenth of the maximum tolerated dose, in contrast to nonselective inhibitors, such as bortezomib (Axon 1810) and carfilzomib.

OP 1068

Axon 2606

See Solithromycin

Page 723

Opaganib

Axon 2880

See ABC294640

Page 179

OPC 31

Axon 1143

See Aripiprazole

Page 223

OPC 14597

Axon 1143

See Aripiprazole

Page 223

OPC 34712 dihydrochloride

Axon 2335

See Brexpiprazole dihydrochloride

Page 286

OPC 41061

Axon 1591

See Tolvaptan

Page 771

o,p'-DDD

Axon 3248

See Mitotane *Recent Addition*

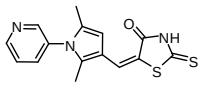
Page 540

Optovin

Axon 2374

[348575-88-2]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C15H13N3OS2 MW: 315.41



mg	Price
5	online
25	online

Biological activity
Reversible photoactivated TRPA1 agonist (EC50 value 2 μM) that specifically activates TRPA1, but not TRPV1 or TRPM8. Optovin activates human TRPA1 via structure-dependent photochemical reactions with redox-sensitive cysteine residues, and enables control of motor activity in paralyzed extremities by localized illumination in animals. Optovin-treated animals respond to 387-nm (violet) stimuli but not to 485-nm (blue), 560-nm (green) or longer wavelengths.

Orantinib

Axon 1891

See *SU 6668*

Page 741

ORG 3770

Axon 1138

See Mirtazapine

Page 539

ORG 5222

Axon 1503

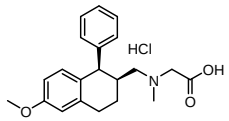
See Asenapine maleate

Page 229

ORG 25935

Axon 1563

SCH 900435



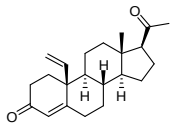
	mg	Price
[949588-40-3] Purity: 99%	5	online
Soluble in DMSO C21H25NO3.HCl MW: 375.89	25	online

Biological activity
Potent and selective GlyT-1 glycine transporter or reuptake inhibitor; a therapeutic intended for the treatment of neurological disorders

Org OD 02-0

Axon 2085

19-CH2P4



	mg	Price
[13258-85-0] Purity: 100% Optically pure Soluble in DMSO C22H30O2 MW: 326.47	2 5	online online

Biological activity
Selective agonist of membrane progesterone receptor (mPR; IC50 value 33.9 nM). Org OD 02-0 mimics the protective effects of progestin hormones on serum starvation-induced cell death and apoptosis in both granulosa and breast cancer cells without altering caspase 3 activity. Org OD 02-0 significantly increased mitochondrial membrane potential (MMP) in serum starved MB468 cells.

Orteronel

Axon 2124

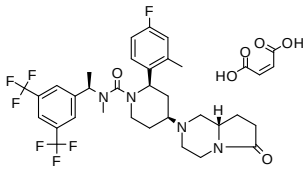
See TAK 700

Page 750

Orvepitant maleate

Axon 1618

GW 823296B; GW 823296X maleate



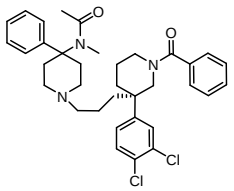
	mg	Price
[579475-24-4] Purity: 99% >98% ee Soluble in water and DMSO C31H35F7N4O2.C4H4O4 MW: 744.70	2 5	online online

Biological activity
Neurokinin-1 (NK1) receptor antagonist; potential therapeutic for the treatment of depression and anxiety diseases

Osanetant

Axon 1533

SR 142801



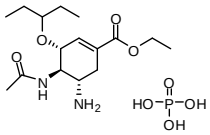
	mg	Price
[160492-56-8] Purity: 98% optically pure Soluble in DMSO C35H41Cl2N3O2 MW: 606.62	5 25	online online

Biological activity
Potent non-peptide neurokinin 3 (NK3) receptor antagonist

Oseltamivir phosphate

Axon 3136

GS 4104 phosphate; Tamiflu



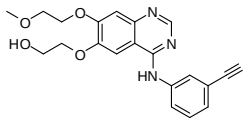
	mg	Price
[204255-11-8] Purity: 99% Optically pure Soluble in water and DMSO C16H28N2O4.H3PO4 MW: 410.40	50	online
	250	online

Biological activity
Oseltamivir phosphate is an orally available prodrug of GS 4071, a selective inhibitor of influenza virus neuraminidases. Moreover, Oseltamivir phosphate is an antiviral agent with the potential to be effective for the prophylaxis and treatment of influenza A and B virus infections in humans.

OSI 420

Axon 1632

Erlotinib, 6-O-Desmethyl-



	mg	Price
[183321-86-0] Purity: 98%	2	online
Soluble in DMSO C21H21N3O4 MW: 379.41	5	online

Biological activity
An active O-desmethyl metabolite of Erlotinib (Axon 1128), which inhibits EGFR tyrosine kinase; precursor of [11C]-labelled Erlotinib for PET study

OSI 774

Axon 1128

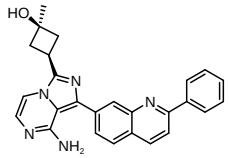
See Erlotinib hydrochloride

Page 389

OSI 906

Axon 1702

Linsitinib



[867160-71-2]
Purity: 99%

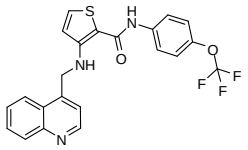
Soluble in DMSO
C26H23N5O MW: 421.49

mg	Price
2	online
5	online

Biological activity
Highly potent, orally efficacious and highly selective, dual ATP-competitive tyrosine kinase inhibitor of insulin-like growth factor-1 receptor (IGF-1R) (IC50: 35 nM) and insulin receptor (IR) (IC50: 75 nM)

OSI 930

Axon 1547



[728033-96-3]
Purity: 99%

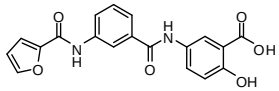
Soluble in DMSO
C22H16F3N3O2S MW: 443.44

mg	Price
5	online
25	online

Biological activity
A potent and orally active inhibitor of tyrosine kinases, targeting c-KIT and VEGFR-2; OSI-930 is designed to target both cancer cell proliferation and blood vessel growth (angiogenesis) in selected tumors

OSS-128167

Axon 2843



[887686-02-4]
Purity: 99%

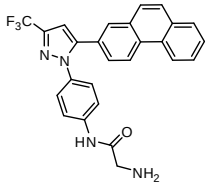
Soluble in 0.1N NaOH(aq) and DMSO
C19H14N2O6 MW: 366.32

mg	Price
10	online
50	online

Biological activity
OSS-128167 is a selective SIRT6 inhibitor (IC50 value of 89 µM).

OSU 03012

Axon 2525



[742112-33-0]
Purity: 99%

Soluble in DMSO
C26H19F3N4O MW: 460.45

mg	Price
10	online
50	online

Biological activity
ATP competitive PDK-1 inhibitor (IC50 value 5 µM for both PDK-1/PDPK1) that inhibits the growth of thyroid, prostate and breast cancer xenografts in vivo. A Celecoxib derivative that inhibits PAK phosphorylation and cell proliferation with reduced Akt phosphorylation by PDK1, without inhibition of cycloogygenases. Moreover, overexpression of constitutively active forms of PDK-1 and Akt partially protected OSU-03012-induced apoptosis.

Otenabant

Axon 2015

See CP 945598

Page 335

Otenabant hydrochloride

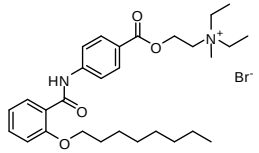
Axon 2119

See CP 945598 hydrochloride

Page 335

Otilonium bromide

Axon 3158



[26095-59-0]
Purity: 99%

Soluble in DMSO
C29H43BrN2O4 MW: 563.57

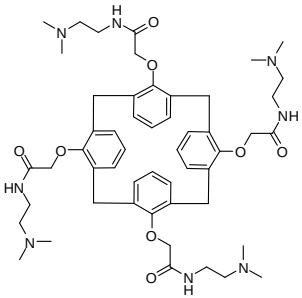
mg	Price
10	online
50	online

Biological activity
Otilonium bromide is a Ca2+ channel blocker. The main action consists in the blockade of Ca2+ entry through L-type Ca2+ channels and interference with intracytoplasmatic Ca2+ mobilization necessary for smooth muscle cell (SMC) contraction, thus preventing excessive bowel contractions and abdominal cramps. Further, Otilonium bromide blocks the T-type Ca2+ channels and interferes with the muscarinic responses; it interacts, directly or indirectly, with the tachykinin receptors on SMC and on primary afferent neurons whose combined effects may result in the reduction of motility and abdominal pain.

OTX 008

Axon 2332

Calixarene 0118; PTX 008



[286936-40-1]
Purity: 99%

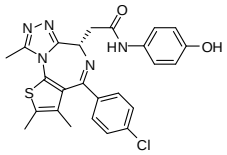
Soluble in DMSO and Ethanol
C52H72N8O8 MW: 937.18

mg	Price
5	online
25	online

Biological activity
Selective allosteric inhibitor of galectin-1, downregulates cancer cell proliferation, invasion and tumor angiogenesis. OTX008 inhibited galectin-1 expression and ERK1/2 and Akt-dependent survival pathways, and induced G2/M cell cycle arrest through CDK1.

OTX 015

Axon 2530



[202590-98-5]
Purity: 99%
Optically pure
Soluble in DMSO and ethanol
C25H22ClN5O2S MW: 491.99

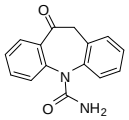
mg	Price
5	online
25	online

Biological activity
Potent inhibitor of BRD2, BRD3, and BRD4 (Ki values ranging from 4 to 17 nM), with clear anti-proliferative activity on a large number of diffuse large B-cell lymphoma (DLBCL) cell lines. OTX 015 inhibits the growth of hematologic malignances through directly regulating MYC expression and activity

Oxcarbazepine *Recent Addition*

Axon 3308

GP-47-680



[28721-07-5]
Purity: 99%

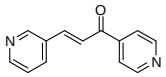
Soluble in DMSO
C15H12N2O2 MW: 252.27

mg	Price
10	online
50	online

Biological activity
Oxcarbazepine is an anticonvulsant.

3PO

Axon 2175

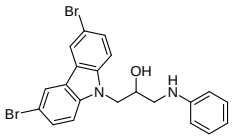


	mg	Price
[18550-98-6] Purity: 99%	10	online
Soluble in DMSO C13H10N2O MW: 210.23	50	online

Biological activity
Inhibitor of HIF-1-induced PFKFB3, an enzyme with a key role in glycolysis. Potential application as suppressor of tumor glucose metabolism and growth of non-small cell lung cancer cells. The PFKFB3 family member has the highest kinase:bisphosphatase ratio (740:1) of the four known PFKFB family members. 3PO markedly attenuated the stimulation of F2,6BP synthesis, 2-[1-14C]-deoxy-D-glucose uptake, lactate secretion, TNF-α secretion and T cell aggregation and proliferation.

P7C3

Axon 2602



	mg	Price
[301353-96-8] Purity: 99%	10	online
Soluble in DMSO C21H18Br2N2O MW: 474.19	50	online

Biological activity
Orally bioavailable and brain penetrant proneurogenic and neuroprotective compound that activates NAMPT (nicotinamide phosphoribosyltransferase). P7C3 exerts antidepressant efficacy in mice by increasing hippocampal neurogenesis, and improves cognitive function in aged rats and increases neuronal survival in mouse models of Parkinson's disease (PD) and amyotrophic lateral sclerosis (ALS).

p97 inhibitor 1

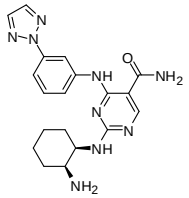
Axon 1798

See Eeyarestatin I

Page 377

P 505-15

Axon 1936



	mg	Price
[1370261-96-3] Purity: 99% optically pure Soluble in DMSO C19H23N9O MW: 393.45	5	online
	25	online

Biological activity
Highly specific and potent inhibitor of spleen tyrosine kinase (Syk) (IC50: 1-2 nM)

P 5091

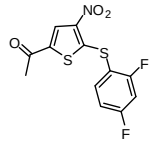
Axon 2011

See P 005091

Page 612

P 22077

Axon 1906



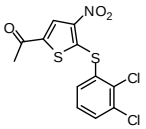
	mg	Price
[1247819-59-5] Purity: 99%	10	online
Soluble in DMSO C12H7F2NO3S2 MW: 315.32	50	online

Biological activity
Small molecule inhibitor of deubiquitinase (DUB), specific on ubiquitin-specific protease 7 (USP7) and the closely related USP47; Inhibits USP7-mediated p53 deubiquitination

P 005091

Axon 2011

P 5091

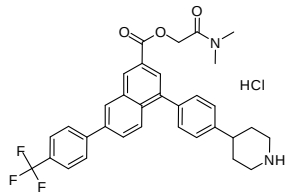


	mg	Price
[882257-11-6] Purity: 99%	10	online
Soluble in DMSO C12H7Cl2NO3S2 MW: 348.22	50	online

Biological activity
Selective and potent inhibitor of ubiquitin-specific protease 7 (USP7) and the closely related USP47; inhibits USP7-mediated p53 deubiquitination; enhances the degradation of the USP7 substrate HDM2 in tumor cells; induces apoptosis in MM cells resistant to conventional and bortezomib (Axon 1810) therapies

P2Y14 Antagonist Prodrug 7j hydrochloride

Axon 1958



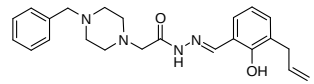
	mg	Price
[1315308-19-0] Purity: 98%	5	online
Soluble in water and DMSO C33H31F3N2O3.HCl MW: 597.07	25	online

Biological activity
Prodrug of P2Y14 receptor antagonist; highly bioavailable

PAC 1

Axon 1743

Procaspase activating compound 1



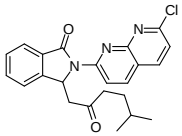
	mg	Price
[315183-21-2] Purity: 100%	10	online
Soluble in DMSO C23H28N4O2 MW: 392.49	50	online

Biological activity
A procaspase activating compound activates procaspase-3 to produce caspase-3; induces apoptosis in cancerous cells

Pagoclone, (+)-

Axon 1594

CI 1043



[133737-32-3]
Purity: 99%
optically pure
Soluble in DMSO
C23H22ClN3O2 MW: 407.89

mg	Price
5	online
25	online

Biological activity
Subtype selective partial agonist at GABAA receptor, which binds primarily to the α2/α3 subtypes which are responsible for the anti-anxiety effects of these kind of drugs,but has relatively little efficacy at the α1 subtype which produces the sedative and memory loss effects; nonbenzodiazepine anxiolytic

PAI 039

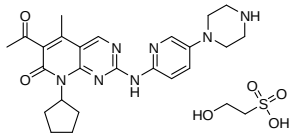
Axon 1383

See Tiplaxtinin
Page 767

Palbociclib isethionate

Axon 2052

PD 0332991 isethionate



[827022-33-3]
Purity: 99%

Soluble in water and DMSO
C24H29N7O2.C2H6O4S MW: 573.66

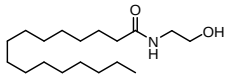
mg	Price
10	online
50	online

Biological activity
An orally active cyclin-dependent kinase (CDK) inhibitor, specifically targeting on CDK4 and CDK6; a potential agent for the treatment of breast cancer.

Another salt form, PD 0332991 hydrochloride (Axon 1505) is also available. Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Palmitoylethanolamide

Axon 1211



[544-31-0]
Purity: 98%

Soluble in Ethanol
C18H37NO2 MW: 299.49

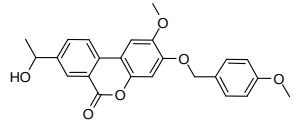
mg	Price
20	online
100	online

Biological activity
A putative endocannabinoid; selective GPR55 agonist

Palomid 529

Axon 1718

SG 00529



[914913-88-5]
Purity: 98%

Soluble in DMSO
C24H22O6 MW: 406.43

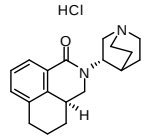
mg	Price
5	online
25	online

Biological activity
Small molecule tyrosine kinase inhibitor, targeting Akt/mTOR; Dual TORC1/2 inhibitor of the PI3K/Akt//mTOR pathway having broad activity in angiogenesis and cellular proliferation; P529 inhibits cell growth of a broad spectrum of cancer cell lines

Palonosetron hydrochloride

Axon 3101

RS 25259-197



[135729-62-3]
Purity: 99%
Optically pure
Soluble in water and DMSO
C19H24N2O.HCl MW: 332.87

mg	Price
50	online

Biological activity
Palonosetron hydrochloride is a highly potent, selective and orally active 5-HT3 receptor antagonist.

Panobinostat

Axon 1548

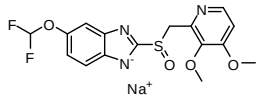
See LBH 589
Page 503

Pantoprazole sodium

Recent Addition

Axon 3161

Protonix



[138786-67-1]
Purity: 99%

Soluble in water and DMSO
C16H14F2N3NaO4S MW: 405.35

mg	Price
50	online
250	online

Biological activity
Pantoprazole sodium is a proton pump inhibitor (PPI). Pantoprazole sodium exerts its pharmacodynamic actions by binding to the proton pump (H+,K+ -adenosine triphosphatase) in the parietal cells, but, compared with other PPIs, its binding may be more specific for the proton pump.

PAR2 antagonist I-191

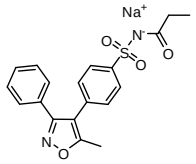
Axon 3043

See I-191
Page 461

Parecoxib sodium Recent Addition

Axon 3311

SC-69124A



[198470-85-8]
Purity: 99%

Soluble in water and DMSO
C19H17N2NaO4S MW: 392.40

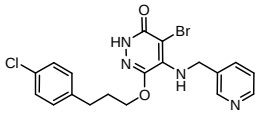
mg	Price
10	online
50	online

Biological activity
Parecoxib sodium is an injectable prodrug of Valdecoxib (Axon 2106), a potent and selective inhibitor of COX-2.

Parogrelil

Axon 1482

NT 702, free base; NM 702



[139145-27-0]
Purity: 99%

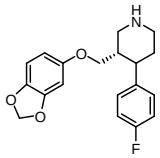
Soluble in DMSO
C19H18BrClN4O2 MW: 449.73

mg	Price
5	online
25	online

Biological activity
Selective and potent PDE III (PDE3) inhibitor; a new type of agent with both a bronchodilating and an anti-inflammatory effect

Paroxetine hydrochloride

Axon 1452



[61869-08-7]
Purity: 99%
>98% ee
Soluble in water and DMSO
C19H20FNO3 MW: 329.37

mg	Price
10	online
50	online

Biological activity
Selective serotonin reuptake inhibitor (SSRI); Paroxetine is used to treat major depression, obsessive-compulsive, panic, social anxiety, and generalised anxiety disorders in adult outpatients

PAS 997

Axon 1470

See Tenilsetam Page 759

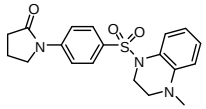
PaTrin 2

Axon 2223

See Lomeguatrib Page 511

PAWI-2 Recent Addition

Axon 3152



[1448427-02-8]
Purity: 99%

Soluble in DMSO
C19H21N3O3S MW: 371.45

mg	Price
5	online
25	online

Biological activity
PAWI-2 is an inhibitor which targets both Wnt signaling (IC50 value of 11 nM) and ATM/p53 (EC50 value of 1.9 nM for p53). PAWI-2 binds tubulin and potently activates mitotic stress signaling to stabilize p53 and inhibit Wnt/β-catenin transactivation of downstream genes in colorectal cancer cells. Moreover, PAWI-2 inhibits cellular proliferation of androgen-sensitive and androgen-insensitive cells (LNCaP and PC-3, respectively).

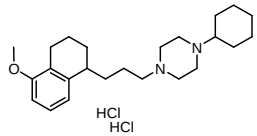
Pazopanib hydrochloride

Axon 1420

See GW 786034 Page 443

PB 28 dihydrochloride

Axon 1272



[172907-03-8]
Purity: 99%

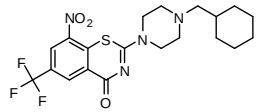
Soluble in water
C24H38N2O.2HCl MW: 443.49

mg	Price
10	online
50	online

Biological activity
High affinity sigma-2 agonist

PBTZ169

Axon 2626



[1377239-83-2]
Purity: 100%

Soluble in DMSO and Ethanol
C20H23F3N4O3S MW: 456.48

mg	Price
5	online
25	online

Biological activity
Potent irreversible DprE1 inhibitor (MIC value <0.19 ng/mL and <0.004 µM against M. tuberculosis H37Ra) with improved stability against nitroreductase metabolism, and good efficacy at lower concentrations in the murine model of chronic tuberculosis (TB); an attractive drug candidate to treat TB in humans. The combination of PBTZ169, BDQ and pyrazinamide was found to be more efficacious than the standard three drug treatment in a murine model of chronic disease.

PCG

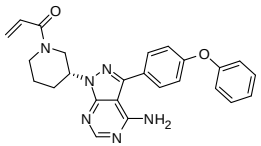
Axon 2444

See SB 706504 Page 700

PCI 32765

Axon 1858

Ibrutinib



mg	Price
5	online
25	online

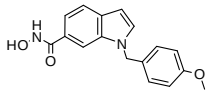
[936563-96-1]
Purity: 99%

Soluble in DMSO
C25H24N6O2 MW: 440.50

Biological activity
Orally bioavailable and highly selective inhibitor of Bruton's tyrosine kinase (BTK) with potential antineoplastic activity; inhibiting BTK activity, B-cell-mediated signaling and the growth of malignant B cells that overexpress BTK;an experimental drug candidate for chronic lymphocytic leukemia (CLL), mantle cell lymphoma, diffuse large B-cell lymphoma, and multiple myeloma etcBTK, a member of the BTK/Tec family of non-recetor cytoplasmic tyrosine kinases, is required for B cell receptor (BCR) signaling, plays a key role in B-cell maturation, and is overexpressed in a number of B-cell malignancies

PCI 34051

Axon 1853



mg	Price
10	online
50	online

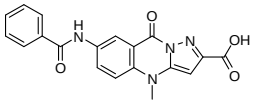
[950762-95-5]
Purity: 98%

Soluble in DMSO
C17H16N2O3 MW: 296.32

Biological activity
Specific and potent histone deacetylase 8 (HDAC8) inhibitor, with >200-fold selectivity over the other HDAC isoforms. PCI-34051 induces caspase-dependent apoptosis in cell lines derived from T-cell lymphomas or leukemias, but not in other hematopoietic or solid tumor lines

PD 90780

Axon 2174



mg	Price
5	online
25	online

[77422-99-2]
Purity: 99%

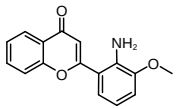
Soluble in DMSO
C19H14N4O4 MW: 362.34

Biological activity
A nonpeptide inhibitor of nerve growth factor's binding to the P75 NGF receptor; It binds to NGF, not to the P75 receptor. Differential activity of PD90780 suggests altered NGF-p75NTR interactions in the presence of TrkA.

PD 98059

Axon 1223

NSC 679828



mg	Price
10	online
50	online

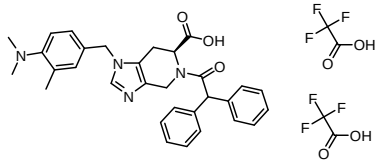
[167869-21-8]
Purity: 99%

Soluble in DMSO
C16H13NO3 MW: 267.28

Biological activity
Potent and cell-permeable inhibitor of mitogen-activated protein (MAP) kinase kinase (also known as MAPK/ERK kinase or MEK)

PD 123319 ditrifluoroacetate

Axon 1276



mg	Price
5	online
25	online

[136676-91-0]
Purity: 99%
optically pure
Soluble in water and DMSO
C31H32N4O3.2C2HF302
MW: 736.66

Biological activity
Angiotensin II (AT-2) antagonist

PD 123654

Axon 2014

See CI 994

Page 317

PD 125530

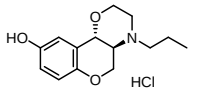
Axon 1072

See PD 128907 hydrochloride, (±)-

Page 618

PD 128907 hydrochloride, (-)-

Axon 1074



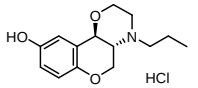
mg	Price
5	online
25	online

[112960-16-4]
Purity: 99%
99% ee
No solubility data
C14H19NO3.HCl MW: 285.77

Biological activity
PD 128907 is a selective D3 dopamine receptor agonist; (-)-enantiomer is less active in comparison with (+)-enantiomer (Axon 1073)

PD 128907 hydrochloride, (+)-

Axon 1073



mg	Price
5	online
25	online

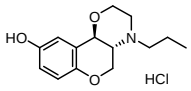
[300576-59-4]
Purity: 99%
99% ee
Moderately soluble in water
C14H19NO3.HCl MW: 285.77

Biological activity
Standard selective D3 dopamine receptor agonist, more active enantiomer of trans-(±)-PD 128907 (Axon 1072)

PD 128907 hydrochloride, (±)-

Axon 1072

PD 125530



[123594-64-9]
Purity: 99%

Soluble in DMSO
C14H19NO3.HCl MW: 285.77

mg	Price
5	online
25	online

Biological activity
Selective D3 dopamine receptor agonist. Its more active enantiomer is trans-(+)-PD-128907 (Axon 1073) and less active enantiomer is (-)-PD 128907 (Axon 1074)

PD 132301-2

Axon 2960

See ATR-101

Page 234

PD 144723

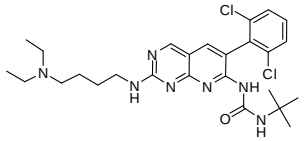
Axon 1823

See Pregabalin

Page 650

PD 161570

Axon 2098



[192705-80-9]
Purity: 99%

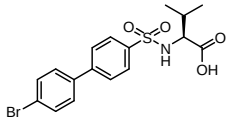
Soluble in 0.1N HCl(aq) and DMSO
C26H35Cl2N7O MW: 532.51

mg	Price
5	online
25	online

Biological activity
Selective FGFR inhibitor; with IC50 values to be 40, 262 and 3700 nM for FGFR1, PDGFR and EGFR tyrosine kinases, respectively. PD 161570 suppressed constitutive phosphorylation of FGFR1 in both human ovarian carcinoma cells (A121(p)) and Sf9 insect cells overexpressing the human FGFR1 and blocked the growth of A121(p) cells in culture
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

PD 166793

Axon 1271



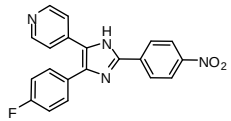
[199850-67-4]
Purity: 99%
>98% ee
Soluble in 0.1N NaOH(aq), DMSO, and Ethanol
C17H18BrNO4S MW: 412.30

mg	Price
10	online
50	online

Biological activity
Matrix metalloproteinase (MMP) inhibitor

PD 169316

Axon 1365



[152121-53-4]
Purity: 99%

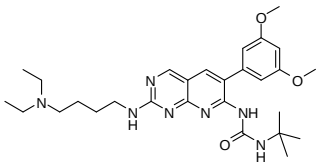
Soluble in DMSO
C20H13FN4O2 MW: 360.34

mg	Price
2	online
10	online

Biological activity
Potent, cell-permeable and selective inhibitor of p38 MAP kinase (MAPK); it blocks apoptosis induced by trophic factor withdrawal in non-neuronal and neuronal cell lines

PD 173074

Axon 1673



[219580-11-7]
Purity: 99%

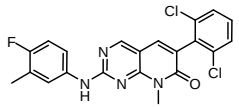
Soluble in DMSO and Ethanol
C28H41N7O3 MW: 523.67

mg	Price
5	online
25	online

Biological activity
Potent and selective FGFR inhibitor with IC50 to be 21.5 and 5 nM for FGRF1 and FGFR3 inhibition respectively

PD 180970

Axon 1137



[287204-45-9]
Purity: 99%

Soluble in DMSO
C21H15Cl2FN4O MW: 429.27

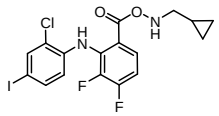
mg	Price
10	online
50	online

Biological activity
PD180970 inhibits p210(Bcr-Abl) tyrosine kinase and induces apoptosis in Bcr-Abl-expressing leukemic cells

PD 184352

Axon 1368

CI 1040



[212631-79-3]
Purity: 99%

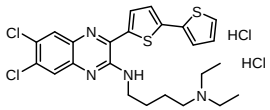
Soluble in DMSO
C17H14ClF2IN2O2 MW: 478.66

mg	Price
5	online
25	online

Biological activity
Potent, selective and non-competitive inhibitor of MEK 1 (also called MKK 1) and its activation; highly recommended tool to inhibit MKK1 or MKK1 plus MKK5.

PD 0220245

Axon 1501



[640736-79-4]
Purity: 99%

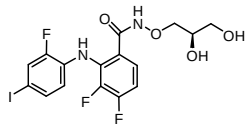
Soluble in water and DMSO
C24H26Cl2N4S2.2HCl MW: 578.45

mg	Price
5	online
25	online

Biological activity
Potent and non-peptide interleukine 8 (IL-8) receptor antagonist

PD 0325901

Axon 1408



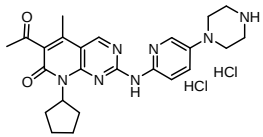
[391210-10-9]
Purity: 99%
>98% ee
Soluble in DMSO
C16H14F3IN2O4 MW: 482.19

mg	Price
2	online
5	online

Biological activity
Potent, highly specific non-ATP-competitive inhibitor of MEK (aka MKK) 1/2; a derivative of CI-1040 (Axon 1368) to improve bioavailability; clinical candidate and highly recommended tool to inhibit MKK1 or MKK1 plus MKK5 in cells

PD 0332991 hydrochloride

Axon 1505



[571189-11-2]
Purity: 99%

Soluble in water
C24H29N7O2.2HCl MW: 520.45

mg	Price
2	online
5	online

Biological activity
An orally active cyclin-dependent kinase (CDK) inhibitor, specifically targeting on CDK4 and CDK6; a potential agent for the treatment of breast cancer

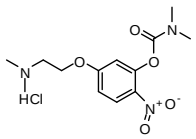
PD 0332991 isethionate

Axon 2052

See Palbociclib isethionate Page 613

PD-1 inhibitor compound 9

Axon 2875



[2227556-18-3]
Purity: 98%

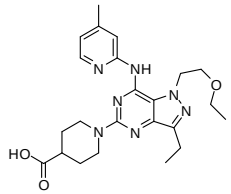
Soluble in water and DMSO
C13H19N3O5.HCl MW: 333.77

mg	Price
10	online
50	online

Biological activity
Inhibitor of the programmed death-1 (PD-1) protein. PD-1 inhibitor compound 9 blocked the interaction between PD-1 and its ligand PD-L1 with an inhibitory percentage of 43.0% at a concentration of 500 μM.

PDE5 inhibitor 42

Axon 1709



[936449-28-4]
Purity: 98%

Soluble in DMSO
C23H31N7O3 MW: 453.54

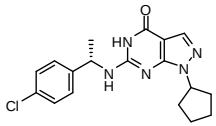
mg	Price
5	online
25	online

Biological activity
Potent and selective phosphodiesterase type 5 (PDE5) inhibitor (IC50: 0.04 nM); PDE6/PDE5 and PDE11/PDE5 ratios to be 100x and 530x respectively; a second generation PDE5 inhibitor under investigation

PDE9A inhibitor C33(S)

Axon 2825

(S)-C33



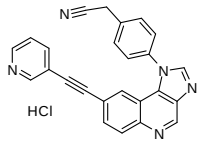
[2066488-39-7]
Purity: 98%
Optically pure
Soluble in DMSO
C18H20ClN5O MW: 357.84

mg	Price
10	online
50	online

Biological activity
(S)-C33 is a potent and selective PDE9A inhibitor with an IC50 value of 11 nM.

PDK1 inhibitor 2610

Axon 2610



[N.A.]
Purity: 99%

Soluble in water and DMSO
C25H15N5.HCl MW: 421.88

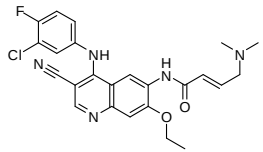
mg	Price
5	online
25	online

Biological activity
Potent, ATP-competitive and selective dual PI3K and PDPK1 inhibitor (IC50 values 34 nM and 94 nM for PDK1 and p-T308-PKB inhibition, respectively. Also inhibits PI3K p110α, p110β, p110δ, and p110γ (IC50 values 64 nM, 432 nM , 98 nM, and 67 nM, respectively) Clsoe analogue of NVP-BAG956 (Axon 1282)

Pelitinib

Axon 1665

EKB 569



[257933-82-7]
Purity: 99%

Soluble in DMSO
C24H23ClFN5O2 MW: 467.92

mg	Price
5	online
25	online

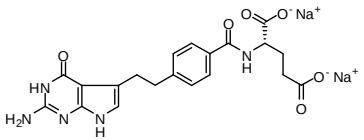
Biological activity
An irreversible inhibitor of EGFR tyrosine kinase with potential antineoplastic activity. Pelitinib irreversibly binds covalently to EGFR ErbB-1, -2 and -4, thereby inhibiting receptor phosphorylationand signal transduction and resulting in apoptosis and suppression of proliferation in tumor cells that overexpress these receptors

Pemetrexed disodium

Recent Addition

Axon 3162

LY231514 disodium



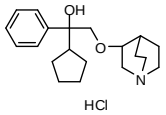
	mg	Price
[137281-23-3] Purity: 99% Optically pure Soluble in water C20H19N5Na2O6 MW: 471.37	50	online
	0	online

Biological activity
Pemetrexed disodium is a antifolate antimetabolite which potently inhibits dihydrofolate reductase (DHFR), thymidylate synthase (TS), and glycinamide ribonucleotide formyltransferase (GARFT) with Ki values of 7.0 nM, 109 nM and 9,300 nM, respectively. Pemetrexed disodium is active as an inhibitor of tumor growth in vitro and in vivo.

Penehyclidine hydrochloride

Recent Addition

Axon 3286



	mg	Price
[151937-76-7] Purity: 99%	10	online
Soluble in water and DMSO C20H29NO2.HCl MW: 351.91	50	online

Biological activity
Penehyclidine hydrochloride is an anticholinergic drug. Penehyclidine hydrochloride had both antimuscarinic and antinicotinic activities and retained potent central and peripheral anticholinerigic activities. The receptor binding assay showed that this compound had far greater selectivity to M3 over M1 receptor subtype, which makes it have potential use in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD).

Pentadecylsalicylic acid, 6-

Axon 1490

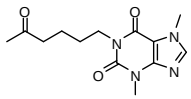
See Anacardic acid A

Page 213

Pentoxifylline

Recent Addition

Axon 3179



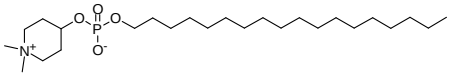
	mg	Price
[6493-05-6] Purity: 100%	50	online
Soluble in DMSO C13H18N4O3 MW: 278.31	250	online

Biological activity
Pentoxifylline is a non-specific inhibitor of cAMP phosphodiesterases.

Perifosine

Axon 1663

KRX 0401; D 21266



	mg	Price
[157716-52-4] Purity: 98%	5	online
Soluble in water C25H52NO4P MW: 461.66	25	online

Biological activity
Orally available Akt inhibitor that inhibits Akt activation in the PI3K pathway

Pevonedistat

Axon 2038

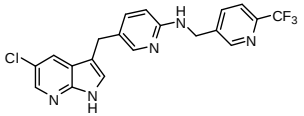
See MLN 4924

Page 554

Pexidartinib

Axon 2501

PLX 3397

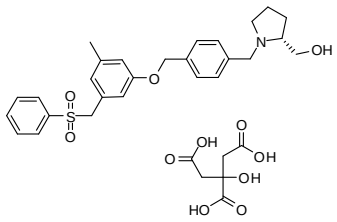


	mg	Price
[1029044-16-3] Purity: 99%	10	online
Soluble in DMSO C20H15ClF3N5 MW: 417.81	50	online

Biological activity
Multi-targeted receptor tyrosine kinase inhibitor of CSF1R, c-Kit, and FLT3 (IC50 values 13 nM, 27 nM, and 11 nM, respectively) Administration of PLX3397 reduced CIBP, induced substantial intratumoral fibrosis, and was also highly efficacious in reducing tumor cell growth, formation of new tumor colonies in bone, and pathological tumor-induced bone remodeling. PLX3397 is superior to imatinib in the treatment of malignant peripheral nerve sheath tumor (MPNST), and the combination of PLX3397 with a TORC1 inhibitor could provide a new therapeutic approach for the treatment of this disease.

PF 543 citrate

Axon 2350

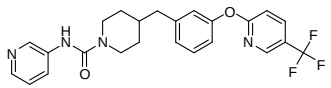


	mg	Price
[1415562-83-2] Purity: 98% Optically pure Soluble in water and DMSO C27H31NO4S.C6H8O7 MW: 657.73	5	online
	25	online

Biological activity
Cell-permeant reversible inhibitor of SphK1 (IC50 value 2.0 nM; Ki value 3.6 nM). PF-543 is sphingosine-competitive and is more than 100-fold selective for SphK1 over the SphK2 isoform.
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

PF 3845

Axon 1711



[1196109-52-0]
Purity: 99%

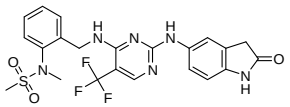
Soluble in 0.1N HCl(aq) and DMSO
C24H23F3N4O2 MW: 456.46

mg	Price
10	online
50	online

Biological activity
Highly selective and irreversible fatty acid amide hydrolase (FAAH) inhibitor

PF 431396

Axon 2107



[717906-29-1]
Purity: 99%

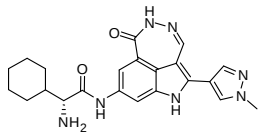
Soluble in DMSO
C22H21F3N6O3S MW: 506.50

mg	Price
5	online
25	online

Biological activity
Dual focal adhesion kinase (FAK) and proline-rich tyrosine kinase 2 (PYK2) inhibitor, with high affinity IC50 values of 1.5 nM and 11 nM for FAK and PYK2 respectively; PF 431396 increases bone formation and protects against bone loss in ovariectomized rats
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

PF 477736

Axon 1379



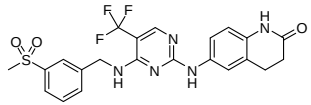
[952021-60-2]
Purity: 98%
99% ee
Soluble in DMSO
C22H25N7O2 MW: 419.48

mg	Price
2	online
5	online

Biological activity
Chk1 inhibitor with Ki values of 0.49 and 47 nM for Chk1 and Chk2 respectively. A proprietary compound targeting cell cycle checkpoint kinase 1 (chk1) with potential chemopotentiation activity
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 573228

Axon 1623



[869288-64-2]
Purity: 99%

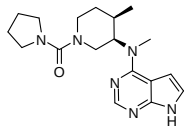
Soluble in DMSO
C22H20F3N5O3S MW: 491.49

mg	Price
5	online
25	online

Biological activity
Potent and selective inhibitor of focal adhesion kinase (FAK) with IC50 of 4 nM; Displays 50-250-fold selectivity over other protein kinases;a useful tool in functional study of non-receptor tyrosine inhibitor FAK in integrin-dependent signaling pathways in normal and cancer cells
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 956980

Axon 2217



[1262832-74-5]
Purity: 98%
optically pure
Soluble in 0.1N HCl(aq) and DMSO
C18H26N6O MW: 342.44

mg	Price
5	online
25	online

Biological activity
JAK3 inhibitor and close analogue of CP 690550 (Tofacitinib; Axon 1338 and 2072). Useful tool compound to study JAK3 inhibition in the treatment of various diseases, particularly asthma and COPD, and rheumatoid arthritis.
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

PF 1005023

Axon 2805

See UK 5099

Page 782

PF 2341066

Axon 1660

See PF 02341066

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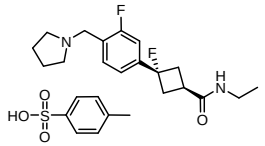
PF 3654746

Axon 1458

PF3654746



[1039399-17-1]
Purity: 99%

Soluble in water and DMSO
C18H24F2N2O.C7H8O3S
MW: 494.59

mg	Price
2	online
5	online

Biological activity
Histamine H3 receptor antagonist; investigational therapeutic for attention-deficit hyperactivity disorder (ADHD)
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 4447943

Axon 2148

See PF 04447943

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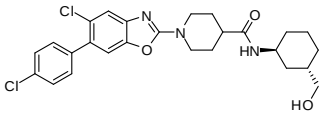
PF 4693627

Axon 2020

PF 04693627



[1312815-93-2]
Purity: 100%
d.e. >98%
Soluble in DMSO
C26H29Cl2N3O3 MW: 502.43

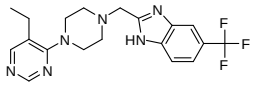
mg	Price
5	online
25	online

Biological activity
Potent, selective and orally bioavailable inhibitor of microsomal prostaglandin E2 synthase-1 (mPGES-1) for the potential treatment of inflammation (IC50 value 3 nM and 109 nM in enzyme assay and WHB assay respectively). PF 4693627 shows excellent in vitro and in vivo properties and is selective against relevant human enzymes COX-2, TXAS, PGDS, 5-LOX, 15-LOX and 12-LOX. About mPGES-1. Microsomal prostaglandin E (PGE) synthase-1 (mPGES-1) is a glutathione dependent inducible enzyme that couples with cyclooxygenase-2 (COX-2) for the biosynthesis of PGE2.
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 4708671

Axon 1602

PF 04708671



[1255517-76-0]
Purity: 99%

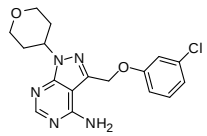
Soluble in DMSO
C19H21F3N6 MW: 390.41

mg	Price
10	online
50	online

Biological activity
Highly specific and cell-permeable p70 ribosomal S6 kinase (S6K1) inhibitor with Ki of 20 nM and IC50 of 160 nM; having no effect on the closely related RSK and MSK kinases;Useful tool for delineating S6K1-specific roles downstream of mTOR
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 4800567

Axon 1792



[1188296-52-7]
Purity: 98%

Soluble in DMSO
C17H18ClN5O2 MW: 359.81

mg	Price
5	online
25	online

Biological activity
Potent and selective casein kinase 1 epsilon (Csnk1e or CK-1ε) inhibitor (IC50: 32 nM) with >20-fold selectivity over CK 1delta
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 4981517

Axon 2026

See PF 04981517
Page 631

PF 5081090

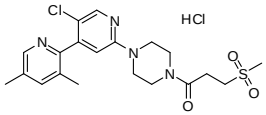
Axon 2113

See PF 05081090
Page 631

PF 5274857 hydrochloride

Axon 2027

PF 05274857 hydrochloride



[1373615-35-0]
Purity: 99%

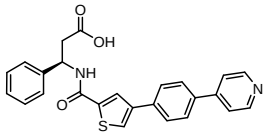
Soluble in water and DMSO
C20H26Cl2N4O3S MW: 473.42

mg	Price
5	online
25	online

Biological activity
Potent and selective smoothened (SMO) antagonist with Ki value of 4.6 nM; a potentially attractive clinical candidate for the treatment of tumor types including brain tumors and brain metastasis driven by an activated Hh pathway
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 00356231

Axon 1181



[766536-21-4]
Purity: 98%
>98% ee
Moderately soluble in DMSO
C25H20N2O3S MW: 428.50

mg	Price
5	online
25	online

Biological activity
MMP-12 inhibitor, more active enantiomer
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 01224715

Axon 2218

See Gisadenafil besylate
Page 419

PF 01367338

Axon 1529

See AG 014699
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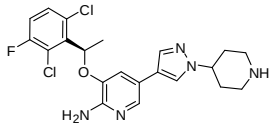
PF 02341066

Axon 1660

Crizotinib; PF 2341066



[877399-52-5]
Purity: 99%
optically pure
Soluble in DMSO
C21H22Cl2FN5O MW: 450.34

mg	Price
10	online
50	online

Biological activity
Potent, selective and ATP-competitive inhibitor of c-Met/HGF receptor and the nucleophosmin-anaplastic lymphoma kinase (NPM-ALK), with IC50 values to be 4 and 25 nM for C-Met and ALK respectively
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

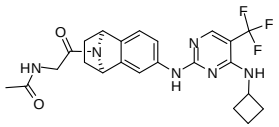
PF 02341272

Axon 1762

See PNU 100480
Page 646

PF 03814735

Axon 2023



[942487-16-3]
Purity: 98%
Optically pure
Soluble in DMSO
C23H25F3N6O2 MW: 474.48

mg	Price
5	online
25	online

Biological activity
Potent, orally bioavailable, ATP-competitive and reversible inhibitor of aurora kinase A (IC50: 0.8 nM) and aurora kinase B (IC50: 5 nM) with potential antineoplastic activity; PF 03814735 also inhibits Flt1, FAK and TrkA with IC50 values of 10, 22, 30 nM respectively; clinical candidate
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 03890101

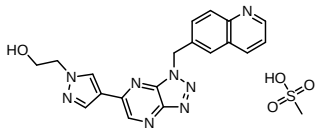
Axon 2111

See UK 356618

Page 783

PF 04217903 mesylate

Axon 1583



[956906-93-7]
Purity: 99%

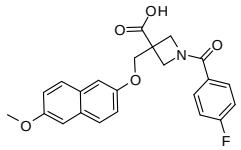
Soluble in 0.1N HCl(aq)
C19H16N8O.CH4O3S MW: 468.49

mg	Price
5	online
25	online

Biological activity
An orally bioavailabe tyrosine kinase inhibitor, targeting MET (or c-MET); it selectively binds to and inhibits mesenchymal epithelial transition(low nM Ki values and >1000 fold selective relative to 208 kinases) with potential antineoplastic activity
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 04418948

Axon 2024



[1078166-57-0]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C23H20FNO5 MW: 409.41

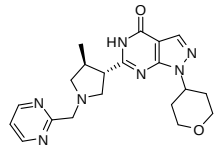
mg	Price
5	online
25	online

Biological activity
An orally active, potent and selective prostaglandin EP2 receptor antagonist
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 04447943

Axon 2148

PF 4447943



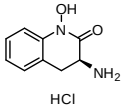
[1082744-20-4]
Purity: 99%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C20H25N7O2 MW: 395.46

mg	Price
2	online
5	online
25	online

Biological activity
Selective, brain penetrant PDE9A inhibitor for the treatment of cognitive disorders that exhibit a disrupted P50-gating response (IC50 values 8.3 nM and 1394 nM for PDE9A and PDE1C respectively). PF 04447943 elevates cGMP in multiple brain regions and in cerebral spinal fluid (CSF), and shows an impact on hippocampal synaptic plasticity.
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 04859989 hydrochloride

Axon 2924



[177943-33-8]
Purity: 99%
Optically pure
Soluble in water and DMSO
C9H10N2O2.HCl MW: 215

mg	Price
10	online
50	online

Biological activity
PF 04859989 hydrochloride is a potent, selective, brain-penetrant, irreversible kynurenine aminotransferase II (KAT II) inhibitor with an IC50 value of 23 nM.

PF 04554878

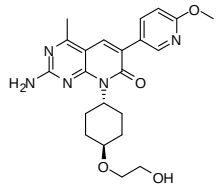
Axon 2574

See Defactinib

Page 355

PF 04691502

Axon 1855



[1013101-36-4]
Purity: 99%

Soluble in DMSO
C22H27N5O4 MW: 425.48

mg	Price
5	online
25	online

Biological activity
Potent, selective, oral and ATP-competitive inhibitor of class I PI3K (Ki: 1-2 nM) and mTOR kinases (Ki: 16 nM); it inhibits PI3K/mTOR signaling and induces cell cycle arrest in cancer cells
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 04693627

Axon 2020

See PF 4693627

Page 627

PF 04708671

Axon 1602

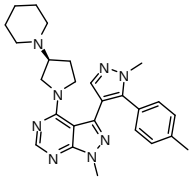
See PF 4708671

Page 627

PF 04981517

Axon 2026

CYP3cide; PF 4981517



[1390637-82-7]
Purity: 98%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C26H32N8 MW: 456.59

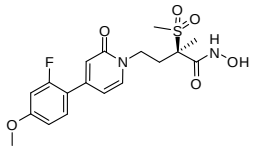
mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of Cytochrome P450 3A4 (CYP3A4) with IC50 value of 30 nM; inactivates human CYP3A4 in an efficient and time-dependent manner
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

PF 05081090

Axon 2113

PF 5081090



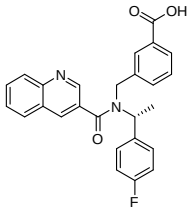
[1312473-63-4]
Purity: 98%
Optically pure
Soluble in DMSO
C18H21FN2O6S MW: 412.43

mg	Price
5	online
25	online

Biological activity
Very potent antibacterial LpxC inhibitor for the treatment of serious gram-negative infections (Pseudomonas aeruginosa (Pae) enzyme potency (Pae IC50) of 1.1 nM)
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

PF 05105679

Axon 2483



[1398583-31-7]
Purity: 99%
Optically pure
Soluble in DMSO
C26H21FN2O3 MW: 428.45

mg	Price
5	online
25	online

Biological activity
TRPM8 inhibitor (IC50 value 0.1 μM for TRPM8 in single cell patch clamp electrophysiology (Ephys) studies), showing >100-fold selectivity across a range of different receptors, ion channels, and enzymes including the closely related TRPV1 and TRPA1 channels. Although PF-05105679 shows no effect on core body temperature in humans, it proofs to be a useful tool to study in vitro effects of TRPM8 on thermoregulation and for the treatment of pain in humans.
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

PF 05212384

Axon 1807

See PKI 587
Page 642

PF 05274857 hydrochloride

Axon 2027

See PF 5274857 hydrochloride
Page 627

PF 06341724

Axon 2112

See SC 26196
Page 632

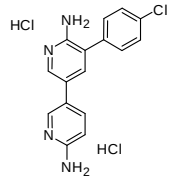
PF 06405761

Axon 1887

See PFI-1
Page 634

PF 06260933 dihydrochloride

Axon 2545



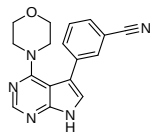
[N.A.]
Purity: 99%
Soluble in water and DMSO
C16H13ClN4.2HCl MW: 369.68

mg	Price
5	online
25	online

Biological activity
Potent and selective MAP4K4 inhibitor (IC50 value 3.7 nM for MAP4K4 a.k.a. HGK or ZC1) with suitable PK properties in mouse to be used as a tool in an in vivo model of diabetes, vascular inflammation and atherosclerosis. Robustly prevented TNF-α-mediated endothelial permeability in vitro, similar to MAP4K4 knockdown, and without alteration of plasma lipid content.
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

PF 06447475

Axon 2546



[1527473-33-1]
Purity: 99%
Soluble in DMSO
C17H15N5O MW: 305.33

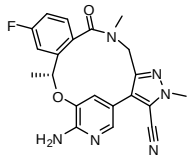
mg	Price
5	online
25	online

Biological activity
Highly potent, selective, brain penetrant, and in vivo active LRRK2 kinase inhibitor (IC50 value 3 nM); an exceptional tool compound to study the function of LRRK2 PF 06447475 mitigates both neurodegeneration and neuroinflammation associated with G2019S-LRRK2 expression by LRRK2 kinase inhibition in rats.
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

PF 06463922

Axon 2600

Lorlatinib

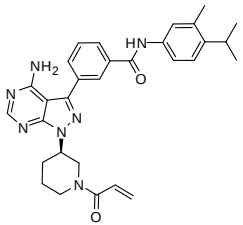


	mg	Price
[1454846-35-5]		
Purity: 99%	5	online
Optically pure		
Soluble in DMSO	25	online
C21H19FN6O2 MW: 406.41		

Biological activity
Potent, orally available and brain-penetrant ALK/ROS1 selective inhibitor (mean Ki value of <0.07 nM for inhibition of recombinant human wild-type ALK) displaying superior potency against all known clinically acquired ALK mutations (all displaying sub-nanomolar Ki values), including the highly resistant G1202R mutant. PF 06463922 (Lorlatinib) is capable of blocking Crizotinib-resistant ROS1 mutations and treatment with PF 06463922 led to superior regression of EML4-ALK-driven brain metastases compared with other clinically available ALK inhibitors.

PF 06465469

Axon 2110



	mg	Price
[1407966-77-1]		
Purity: 99%	5	online
Optically pure		
Soluble in DMSO	25	online
C30H33N7O2 MW: 523.63		

Biological activity
Potent, covalent inhibitor of interleukin-2 inducible T cell kinase (ITK) with nanomolar potency
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

PF-00299804

Axon 3235

See Dacomitinib Recent Addition

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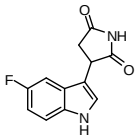
PF-06840003 Recent Addition

Axon 3325

EOS200271



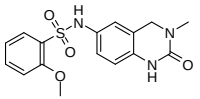
	mg	Price
[198474-05-4]		
Purity: 98%	10	online
Soluble in 0.1N NaOH(aq) and DMSO	50	online
C12H9FN2O2 MW: 232.21		

Biological activity
PF-06840003 is a selective, brain penetrant, and orally bioavailable IDO-1 inhibitor. Although PF-06840003 has moderate hIDO1 enzyme inhibition (IC50 value of 0.41 μM), it is a highly efficient compound (LE 0.53, LipE 5.1), driven by its tight packing within the enzyme, as well as the high density of hydrogen bonds it forms with hIDO-1 despite its small size.

PFI-1

Axon 1887

PF 06405761

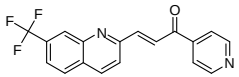


	mg	Price
[1403764-72-6]		
Purity: 98%	5	online
Soluble in DMSO	25	online
C16H17N3O4S MW: 347.39		

Biological activity
BET bromodomain inhibitor; chemical probe developed by SGC and Pfizer
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc

PFK 158

Axon 2542

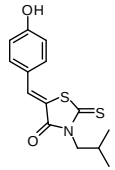


	mg	Price
[1462249-75-7]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C18H11F3N2O MW: 328.29		

Biological activity
Nanomolar small molecule inhibitor of PFKFB3 (IC50 value 137 nM) that is selectively cytotoxic to cancer cells and displays broad anti-tumor activity causing significant growth inhibition in preclinical models of breast, lung, glioblastoma, ovarian, pancreatic, melanoma and colon cancer. PFK158 is well tolerated in rats and dogs resulting in an acceptable pre-clinical therapeutic index.

PFM01

Axon 2821



	mg	Price
[1558598-41-6]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C14H15NO2S2 MW: 293.40		

Biological activity
PFM01 is an inhibitor of MRE11 endonuclease which forms the core of the MRE11-RAD50-NBS1 (MRN) complex. The MRN complex has essential roles in detecting, signaling, protecting and repairing DNA double strand breaks.

PFT-α

Axon 1871

See Pifithrin-α Hydrobromide

Page 638

PFT-β

Axon 3051

See Pifithrin-β

Page 638

PG-1016548

Axon 3288

See Vadadustat Recent Addition

Page 791

PGE1

Axon 2062

See Alprostadil

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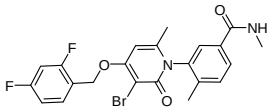
PH 797804

Axon 1837



[586379-66-0]
Purity: 99%

Soluble in DMSO
C22H19BrF2N2O3 MW: 477.30

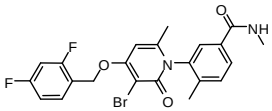
mg	Price
2	online
5	online

Biological activity
*Highly potent, selective and metabolically stable inhibitor of p38 MAPK (p38α cascade IC50: 2.3 nM); an ATP-competitive, readily reversible inhibitor of the α isoform of human p38 MAP kinase, exhibiting a Ki of 5.8 nM; clinical candidate.*PH 797804 is an (-)-atropisomer, which is 100-fold more potent than its (+)-atropisomer. Be careful that racemate of PH797804 is wrongly provided by other supplier as drug PH797804 itself.Less potent (+)-rotating atropisomer (Axon 1886) is also available. Be right about your drug*

PH 797804, (±)-

Axon 2786

rac-PH 797804



[586379-66-0]
Purity: 99%

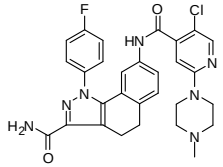
Soluble in DMSO and ethanol
C22H19BrF2N2O3 MW: 477.30

mg	Price
10	online
50	online

Biological activity
Similar to the (-)-atropisomer PH 797804 (Axon 1837), racemic (±)-PH 797804 is a potent, selective and metabolically stable inhibitor of p38 MAPK (IC50 values of 2.5 and 15 nM in p38α cascade and hPBMC TNF assays, respectively).

PHA 408

Axon 1651



[503555-55-3]
Purity: 99%

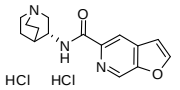
Soluble in DMSO
C29H27ClFN7O2 MW: 560.02

mg	Price
5	online
25	online

Biological activity
Potent, highly selective and ATP-competitive IKB kinase-2 (IKK-2) inhibitor (IC50: 40 nM), which binds IKK-2 tightly with a relatively slow off rate;highly recommended tool to investigate the mechanisms by which IKK-2 regulates NF-KB signaling

PHA 543613 dihydrochloride

Axon 2109



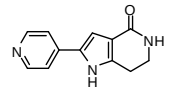
[478148-58-2]
Purity: 99%
Optically pure
Soluble in water and DMSO
C15H17N3O2.2HCl MW: 344.24

mg	Price
5	online
10	online

Biological activity
Potent and selective α7 nicotinic acetylcholine receptor (nAChR) agonist, which is characterized by rapid brain penetration and high oral bioavailability; a potential treatment of cognitive deficits in schizophrenia
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

PHA-767491

Axon 2690



[845714-00-3]
Purity: 99%

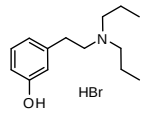
Soluble in 0.1N HCl(aq) and DMSO
C12H11N3O MW: 213.24

mg	Price
10	online
50	online

Biological activity
PHA-767491 is an ATP mimetic dual CDC7/CDK9 kinase inhibitor (IC50 values of 10 nM and 34 nM for CDC7 and CDK9, respectively). Treatment with PHA-767491 results in apoptotic cell death in multiple cancer cell types and tumor growth inhibition in preclinical cancer models. PHA-767491 is also a potent kinase inhibitor of MAPKAP-K2 (or MK-2) (IC50 value of 171 nM).

Phenol hydrobromide, 3-[2-(Diproplyamino)ethyl]

Axon 1002



[64656-40-2]
Purity: 99%

Soluble in water
C14H23NO.HBr MW: 302.25

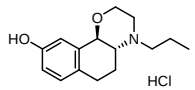
mg	Price
10	online
50	online

Biological activity
Dopamine receptor agonist

PHNO hydrochloride, (+)-

Axon 1071

Naxagolide; Dopazinol



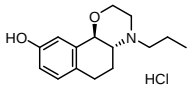
[99705-65-4]
Purity: 98%
>98% ee
Soluble in water and DMSO
C15H21NO2.HCl MW: 283.79

mg	Price
2	online
5	online

Biological activity
Very potent and selective D2 agonist, more active enantiomer. Note: Appropriate chiral precursor(s) for making D2 radiotracer, [11C]-(+)-PHNO, can be provided upOn request

PHNO hydrochloride, (±)-

Axon 1070



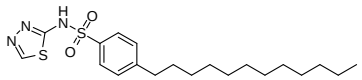
mg	Price
5	online
25	online

[100935-99-7]
Purity: 98%
racemic
No solubility data
C15H21NO2.HCl MW: 283.79

Biological activity
Potent and selective D2 agonist, racemate of PHNO, its more active enantiomer is (+)-PHNO (Axon 1071)

PHT 427

Axon 1870



mg	Price
10	online
50	online

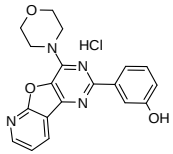
[1191951-57-1]
Purity: 99%

Soluble in DMSO
C20H31N3O2S2 MW: 409.61

Biological activity
Inhibitor of AKT and phosphoinositide-dependent protein kinase 1 (PDPK1 or PDK1). PH 427 binds to the pleckstrin homology (PH) domain of Akt and PDPK1 signaling with significant in vivo antitumor activity and minimal toxicity

PI 103 hydrochloride

Axon 1380



mg	Price
2	online
5	online

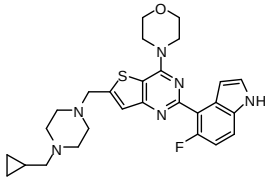
[371935-79-4]
Purity: 99%

Soluble in DMSO
C19H17ClN4O3 MW: 384.82

Biological activity
A selective class I PI3K inhibitor; it inhibits PI3K p110 isoforms, mTOC1 and also DNA-PK; a valuable tool compound

PI 3065

Axon 3045



mg	Price
5	online
25	online

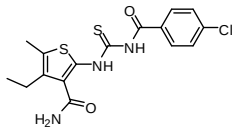
[955977-50-1]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C27H31FN6OS MW: 506.64

Biological activity
PI 3065 is a p110δ-selective PI3K inhibitor with Ki and IC50 values of 1.5 nM and 5 nM, respectively. Administration of PI 3065 suppressed 4T1 tumour growth and metastasis, to a similar extent as genetic inactivation of p110δ, marked by initial tumour progression, followed by tumour regression.

PI-273

Axon 3034



mg	Price
5	online
25	online

[925069-34-7]
Purity: 98%

Soluble in DMSO
C16H16ClN3O2S2 MW: 381.90

Biological activity
PI-273 is substrate-competitive, subtype-specific inhibitor of PI4KIIα with an IC50 value of 0.47 µM.

PI3K inhibitor B591

Axon 3055

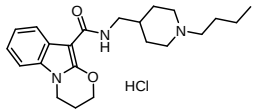
See B591

Page 252

Pibeserod hydrochloride

Axon 1098

SB 207266A



mg	Price
10	online
50	online

[178273-87-5]
Purity: 99%

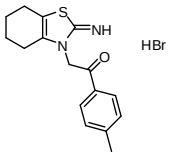
Soluble in water
C22H31N3O2.HCl MW: 405.96

Biological activity
Selective 5-HT4 antagonist

Pifithrin-α Hydrobromide

Axon 1871

PFT-α



mg	Price
10	online
50	online

[63208-82-2]
Purity: 99%

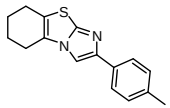
Soluble in DMSO
C16H18N2OS.HBr MW: 367.30

Biological activity
Pifithrin-α is an inhibitor of p53 protein; reversibly blocks p53-dependent transcriptional activation and apoptosis; protects against DNA damage-induced apoptosis downstream of mitochondria independent of p53

Pifithrin-β

Axon 3051

PFT-β; Z-2-035II



mg	Price
10	online
50	online

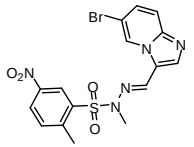
[60477-34-1]
Purity: 99%

Soluble in DMSO
C16H16N2S MW: 268.38

Biological activity
Pifithrin-β is the condensation product of the p53 protein inhibitor Pifithrin-α (Axon 1871). Pifithrin-α is unstable in vitro and is rapidly converted to Pifithrin-β.

PIK 75 hydrochloride

Axon 1334



[945619-31-8]
Purity: 99%

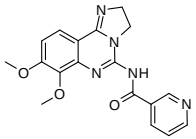
Moderately soluble in DMSO
C16H14BrN5O4S MW: 452.28

mg	Price
5	online
25	online

Biological activity
PI3K p110α specific inhibitor; IC50 values (nM): 7.8 (p110α), 343 (p110β), 907 (p110δ) (Chaussade et al reported)

PIK 90

Axon 1362



[677338-12-4]
Purity: 99%

Moderately soluble in DMSO with 0.1N HCl(aq)
C18H17N5O3 MW: 351.36

mg	Price
5	online
25	online

Biological activity
Potent and cell permeable PI3K inhibitor, with IC50 values (nM) of 11, 350, 18, and 58 for p110 α, β, γ and δ isoforms, low mTOR activity

Pim inhibitor 4a

Axon 1923

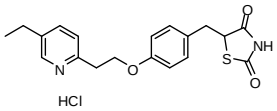
See SMI 4a Page 719

Pioglitazone hydrochloride

Recent Addition

Axon 3255

AD-4833



[112529-15-4]
Purity: 99%

Soluble in DMSO
C19H20N2O3S.HCl MW: 392.90

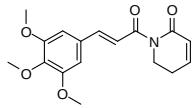
mg	Price
10	online
50	online

Biological activity
Pioglitazone hydrochloride is a PPARγ agonist. Antidiabetic drug.

Piperlongumine

Axon 2488

Piplartine



[20069-09-4]
Purity: 99%

Soluble in DMSO
C17H19NO5 MW: 317.34

mg	Price
5	online
25	online

Biological activity
Natural alkaloid with potent cytotoxic activity which has been related to an increased reactive oxygen species (ROS) generation in cancer cells (through direct GSTP1 interaction), down-regulation of nuclear factor-kB (NF-kB) activation and induction of rapid depletion of the androgen receptor (AR) in prostate cancer cells. Moreover, Piperlongumine was found to induce apoptosis and autophagy through modulation of the PI3K/Akt/mTOR pathway in human lung cancer cells, and potently inhibited ligand-stimulated STAT3 nuclear translocation

Piplartine

Axon 2488

See Piperlongumine Page 640

Piraxostat

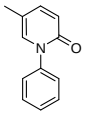
Axon 1174

See Y 700 Page 822

Pirfenidone

Axon 2647

AMR-69



[53179-13-8]
Purity: 100%

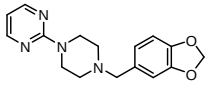
Soluble in DMSO
C12H11NO MW: 185.22

mg	Price
10	online
50	online

Biological activity
Orally available agent with therapeutic potential for idiopathic pulmonary fibrosis (IPF; IC50 value 14.44 mM for inhibition of cell proliferation against MRC-5 cells) that has combined anti-inflammatory, antioxidant and antifibrotic effects in experimental models of pulmonary fibrosis. Mechanistically, Pirfenidone inhibits not only TGF-β-induced Smad3, p38 and Akt phosphorylation in human lung fibroblasts (HLFs), but also significantly increased RGS2 mRNA and protein expression in fibroblasts.

Piribedil

Axon 1198



[3605-01-4]
Purity: 99%

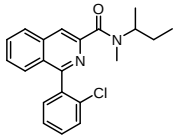
No solubility data
C16H18N4O2 MW: 298.34

mg	Price
10	online
50	online

Biological activity
Direct dopamine agonist, with affinity for subtypes: D3 > D2 >> D1; an anti-parkinson drug marketed as Trivastal retard 50

PK 11195

Axon 1208



mg	Price
10	online
50	online

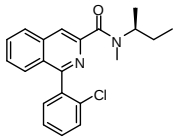
[85532-75-8]
Purity: 99%

No solubility data
C21H21ClN2O MW: 352.86

Biological activity
Peripheral benzodiazepine antagonist

PK 11195, (R)-(-)-

Axon 2785



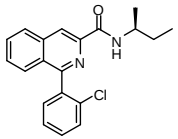
mg	Price
5	online
25	online

[205934-46-9]
Purity: 99%
98% ee
Soluble in DMSO
C21H21ClN2O MW: 352.86

Biological activity
(R)-enantiomer of PK 11195 (Axon 1208), a peripheral benzodiazepine antagonist. It is a drug reference standard of [11C](R)-PK 11195 that is used for PET study.
Radioligand precursors N-Desmethyl-PK 11195 (Axon 2833) and (R)-(-)-N-Desmethyl-PK 11195 (Axon 2784) are also available.

PK 11195, (R)-(-)-N-Desmethyl-

Axon 2784



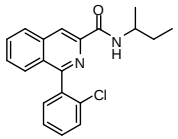
mg	Price
5	online
25	online

[157809-85-3]
Purity: 99%
99% ee
Soluble in DMSO
C20H19ClN2O MW: 338.83

Biological activity
Precursor for (R)-[N-methyl-11C]PK 11195 for PET studies. Radioligand precursor of the peripheral benzodiazepine antagonist (R)-[N-methyl-11C]PK 11195. Racemic N-desmethyl-PK 11195 is available as Axon 2833.
Both peripheral benzodiazepine antagonists PK 11195 (Axon 1208) and R-(-)-PK 11195 (Axon 2785) are available from stock as well.

PK 11195, N-Desmethyl-

Axon 2833



mg	Price
10	online
50	online

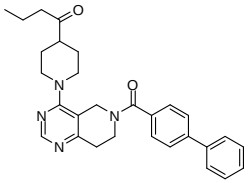
[124236-61-9]
Purity: 99%

Soluble in DMSO
C20H19ClN2O MW: 338.83

Biological activity
Precursor for [N-methyl-11C]PK 11195 for PET studies. Radioligand precursor of the peripheral benzodiazepine antagonist PK 11195 (Axon 1208).
R-enantiomer precursor (R)-(-)-N-Desmethyl-PK 11195 is available as Axon 2784 and the R-enantiomer of PK 11195 is available as Axon 2785.

PK-THPP

Axon 2403



mg	Price
10	online
50	online

[1332454-07-5]
Purity: 99%

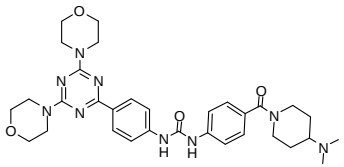
Soluble in DMSO
C29H32N4O2 MW: 468.59

Biological activity
Potent TASK-3 (KCNK9) antagonist (IC50 value 303 nM and 35nM for TASK-1 and TASK-3, respectively) with >140 fold selectivity over a wider range of potassium channels. PK-THPP produced a significant increase in active wake with a concurrent decrease in both REM and delta sleep immediately following administration to wild-type (WT) mice, and stimulated breathing by increasing tidal volume and breathing rate in isoflurane-anesthetized rats. PK-THPP induced a respiratory alkalosis and increased oxygenation.

PKI 587

Axon 1807

PF 05212384



mg	Price
5	online
25	online

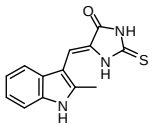
[1197160-78-3]
Purity: 99%

Moderately soluble in DMSO
C32H41N9O4 MW: 615.73

Biological activity
Highly potent PI3K/mTOR kinase inhibitor; PKI-587 inhibits PI3K-alpha, beta, gamma, delta isoforms and mTOR with IC50 of 0.4, 6.0, 5.4, 6.0 and 1.6 nM respectively.PKI-587 inhibits mTOR TOC1 kinase activity in human MDA-MB-361 cells assessed as surppression of 4EBP1 phosphorylation at <30 nM; PKI-587 inhibits Akt S473 phosphorylation in human MDA-MB-361 cells and Akt T308 phosphorylation in human MDA-MB-361 cells with IC50 of 8nM and 10 nM

PKG drug G1

Axon 2232



mg	Price
10	online
50	online

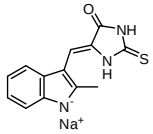
[374703-78-3]
Purity: 99%

Soluble in DMSO
C13H11N3OS MW: 257.31

Biological activity
PKG drug G1 has been shown to induce the oxidative activation of protein kinase G Iα, which in vivo results in dilation of blood vessel and blood pressure lowering; an antihypertensive.
The sodium salt of Axon 2905 is also available.

PKG drug G1 sodium salt

Axon 2905



[N.A.]
Purity: 98%

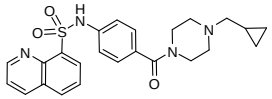
Soluble in water and DMSO
C13H10N3NaOS MW: 279.29

mg	Price
10	online
50	online

Biological activity
PKG drug G1 sodium salt has been shown to induce the oxidative activation of protein kinase G Iα, which in vivo results in dilation of blood vessel and blood pressure lowering; an antihypertensive.
The parent molecule is also available as Axon 2232.

PKM2 activator 1020

Axon 2149



[1260075-17-9]
Purity: 99%

Soluble in DMSO
C24H26N4O3S MW: 450.55

mg	Price
5	online
25	online

Biological activity
Activator of pyruvate kinase isoenzyme M2 (PKM2), an enzyme involved in glycolysis. Since all tumor cells exclusively express the embryonic M2 isoform of PK, it is hypothesized that PKM2 is a potential target for cancer therapy. Modulation of PKM2 might also be effective in the treatment of obesity, diabetes, autoimmune conditions, and antiproliferation-dependent diseases.

Plerixafor

Axon 1738

See *AMD 3100* Page 200

Plisulfan

Axon 2922

See Sulfaphenazole Page 742

Pluripotent cell-specific inhibitor #1

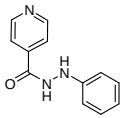
Axon 2091

See PluriSIn #1 Page 643

PluriSIn #1

Axon 2091

NSC 14613; Pluripotent cell-specific inhibitor #1



[91396-88-2]
Purity: 99%

Soluble in DMSO and EtOH
C12H11N3O MW: 213.24

mg	Price
10	online
50	online

Biological activity
An inhibitor of stearoyl-coA desaturase (SCD1), the key enzyme in oleic acid biosynthesis; a pluripotent cell-specific inhibitor (PluriSIn) used to selectively eliminate undifferentiated human pluripotent stem cells (hPSCs)

PLX 3397

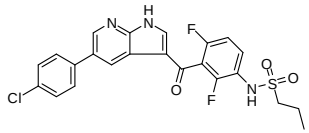
Axon 2501

See Pexidartinib Page 624

PLX 4032

Axon 1624

RG 7204; Vemurafenib; RO 5185426



[918504-65-1]
Purity: 98%

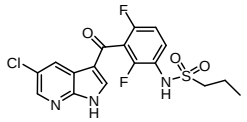
Soluble in DMSO
C23H18ClF2N3O3S MW: 489.92

mg	Price
5	online
25	online

Biological activity
Selective inhibitor of protein kinase, targeting B-Raf (V600E) (IC50: 44 nM); PLX4032 has been shown to cause programmed cell death in melanoma cell lines; a potential anti-tumor agent under clinical trials

PLX 4720

Axon 1474



[918505-84-7]
Purity: 99%

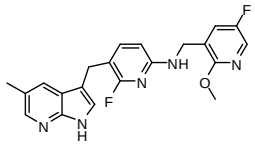
Soluble in DMSO
C17H14ClF2N3O3S MW: 413.83

mg	Price
2	online
5	online
25	online

Biological activity
Selective inhibitor of protein kinase, targeting B-Raf (V600E)

PLX5622

Axon 3054



[1303420-67-8]
Purity: 98%

Soluble in DMSO
C21H19F2N5O MW: 395.41

mg	Price
5	online
25	online

Biological activity
PLX5622 is potent, specific, orally bioavailable, and brain-penetrant CSF1R inhibitor with an IC50 value of 0.016 μM. PLX5622 allowed for extended and specific microglial elimination, preceding and during Alzheimer's disease (AD) pathology development.

PMPA

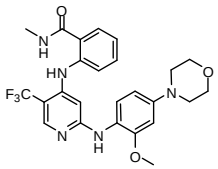
Axon 3157

See Tenofovir **Recent Addition** Page 759

PND 1186

Axon 2459

SR 2516; VS 4718



[1061353-68-1]
Purity: 100%

Soluble in DMSO
C25H26F3N5O3 MW: 501.50

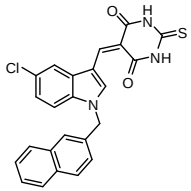
mg	Price
5	online
25	online

Biological activity
Orally active dual FAK/PYK2 inhibitor (IC50 value 1.5 nM and ~100 nM in vitro and in vivo, respectively) that blocks FAK and p130Cas (130 kDa Crk-associated substrate) tyrosine phosphorylation, promotes caspase-3 activation, and selectively triggered cell apoptosis in tumor cells in 3D environments. PND1186 inhibitory effects differ from Dasatinib (Axon 1392; as it does not affect c-Src activity), and prevents spontaneous breast to lung metastasis in pre-clinical models. PND-1186 inhibits cell growth in multiple myeloma (MM) cells both in vitro and in vivo by inhibition of PYK2 (IC50 and EC50 values 85 nM and 20 nM, respectively).

PNR-7-02

Axon 2965

IBA-6



[1633660-76-0]
Purity: 98%

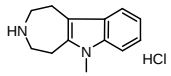
Soluble in DMSO
C24H16ClN3O2S MW: 445.92

mg	Price
10	online
50	online

Biological activity
PNR-7-02 is a potent inhibitor of human DNA polymerase η (hpol η) with an IC50 value of 8 μM and exhibited 5-10-fold specificity for hpol η over replicative pols.

PNU 22394 hydrochloride

Axon 1247



[15923-42-9]
Purity: 99%

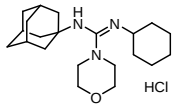
Soluble in DMSO
C13H16N2.HCl MW: 236.74

mg	Price
10	online
50	online

Biological activity
5-HT2C agonist

PNU 37883 hydrochloride

Axon 1274



[57568-80-6]
Purity: 99%

Soluble in DMSO and Ethanol
C21H35N3O.HCl MW: 381.98

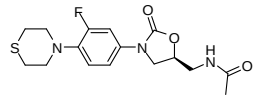
mg	Price
10	online
50	online

Biological activity
Vascular KATP channel blocker

PNU 100480

Axon 1762

PF 02341272; U 100480



[168828-58-8]
Purity: 99%

Soluble in DMSO
C16H20FN3O3S MW: 353.41

mg	Price
5	online
25	online

Biological activity
Antituberculosis (anti-TB) agent under clinical development; potent inhibitor of bacterial protein biosynthesis by interfering with the binding of initiator fMet-tRNA(i)(Met) to the ribosomal peptidyltransferase P-site

PNU 100766

Axon 2048

See Linezolid Page 509

PNU 101387

Axon 2115

See Sonepiprazole hydrochloride Page 722

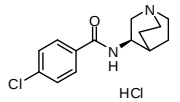
PNU 200583E

Axon 2049

See Tolterodine L-tartrate Page 771

PNU 282987 hydrochloride

Axon 2908



[123464-89-1]
Purity: 99%
Optically pure
Soluble in water and DMSO
C14H17ClN2O.HCl MW: 301.21

mg	Price
10	online
50	online

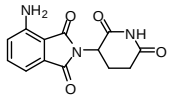
Biological activity
PNU 282987 hydrochloride is an α7 nicotinic acetylcholine receptor (nAChR) agonist with Ki (α7 nAChR) and EC50 (α7-5HT3 chimera) values of 27 nm and 154 nM, respectively. PNU 282987 hydrochloride was also shown to open native α7 nAChRs in cultured rat neurons and to reverse an amphetamine-induced gating deficit in rats.

Pomalidomide

Recent Addition

Axon 3166

CC-4047



	mg	Price
[19171-19-8] Purity: 99%	10	online
Soluble in DMSO C13H11N3O4 MW: 273.24	50	online

Biological activity
Pomalidomide is a potent inhibitor of TNFα with an IC50 value of 13 nM (LPS stimulated human PBMC). Moreover, Pomalidomide appears to be a remarkable agent in the care of myelofibrosis and multiple myeloma. Immunomodulator.

Ponatinib

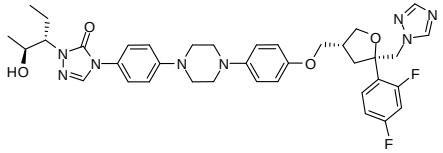
Axon 1857

See AP 24534 Page 215

Posaconazole

Axon 1557

SCH 56592; Noxafil



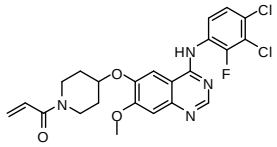
	mg	Price
[171228-49-2] Purity: 99% optically pure Soluble in DMSO C37H42F2N8O4 MW: 700.78	5	online
	25	online

Biological activity
A triazole antifungal drug

Poziotinib

Axon 2920

HM781-36B



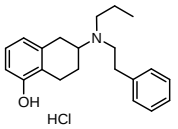
	mg	Price
[1092364-38-9] Purity: 99%	10	online
Soluble in DMSO C23H21Cl2FN4O3 MW: 491.34	50	online

Biological activity
Poziotinib is an irreversible pan-HER inhibitor with IC50 values of 0.0032 µM, 0.0053 µM and 0.0235 µM for HER1, HER2 and HER4, respectively. Poziotinib inhibited phosphorylation of HER family and downstream signaling molecules, and induced apoptosis and G1 arrest. Moreover, poziotinib demonstrated potent antitumor activity in HER2 amplified gastric cancer cells, HER2-amplified breast cancer cells, and erlotinib-resistant NSCLC.

PPHT hydrochloride

Axon 1035

N 0434



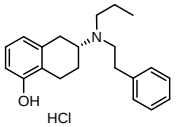
	mg	Price
[71787-90-1] Purity: 98%	10	online
Soluble in DMSO C21H27NO.HCl MW: 345.91	50	online

Biological activity
Very Potent and specific D2 agonist

PPHT hydrochloride, (R)-

Axon 1036

N 0434, (R)-



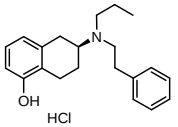
	mg	Price
[161757-96-6] Purity: 98% >98% ee No solubility data C21H27NO.HCl MW: 345.91	5	online
	25	online

Biological activity
(R)-enantiomer of PPHT (Axon 1035), a very potent and specific D2 agonist

PPHT hydrochloride, (S)-

Axon 1037

N 0434, (S)-

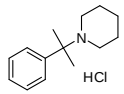


	mg	Price
[159795-62-7] Purity: 98% >98% ee No solubility data C21H27NO.HCl MW: 345.91	5	online
	25	online

Biological activity
(S)-enantiomer of PPHT (Axon 1035), a very potent and specific D2 agonist

PPP Hydrochloride

Axon 1595

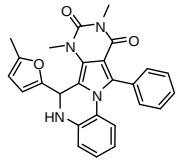


	mg	Price
[21602-56-2] Purity: 99%	10	online
Soluble in water C14H21N.HCl MW: 239.78	50	online

Biological activity
Selective inactivator of human cytochrome P450 2B6 (CYP2B6)

PPQ 102

Axon 2295



[931706-15-9]
Purity: 99%

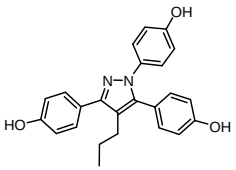
Soluble in DMSO
C26H22N4O3 MW: 438.48

mg	Price
5	online
25	online

Biological activity
Potent CFTR inhibitor (IC50 value ca 90 nM in CFTR chloride conductance essay). PPQ 102 acts by a mechanism involving stabilization of the channel closed-state. Prevented cyst expansion and reduced the size of preformed cysts in an embryonic kidney organ culture model of Polycystic kidney disease (PKD).

PPT

Axon 1231



[263717-53-9]
Purity: 99%

Soluble in DMSO
C24H22N2O3 MW: 386.44

mg	Price
10	online
50	online

Biological activity
Specific estrogen receptor α (ERα) agonist

PR 957

Axon 2199

See ONX 0914

Page 603

Pracinostat

Axon 1777

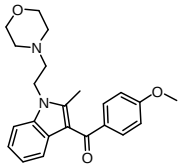
See SB 939

Page 693

Pravadoline

Axon 1523

WIN 48098



[92623-83-1]
Purity: 99%

Soluble in DMSO
C23H26N2O3 MW: 378.46

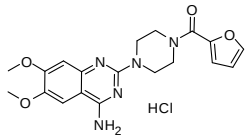
mg	Price
10	online
50	online

Biological activity
COX inhibitor and cannabinoid CB agonist, an antiinflammatory and analgesic agent

Prazosin hydrochloride

Axon 2040

Furazosin hydrochloride



[19237-84-4]
Purity: 99%

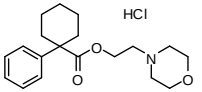
Soluble in DMSO
C19H21N5O4.HCl MW: 419.86

mg	Price
10	online
50	online

Biological activity
Peripherally acting α1 adrenergic receptor antagonist; an antihypertensive
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc

PRE-084 hydrochloride

Axon 3063



[75136-54-8]
Purity: 99%

Soluble in water and DMSO
C19H27NO3.HCl MW: 353.88

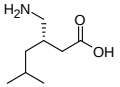
mg	Price
10	online
50	online

Biological activity
PRE-084 hydrochloride is a highly selective sigma-1 (σ-1) agonist with a Ki value of 2.2 nM.

Pregabalin

Axon 1823

PD 144723



[148553-50-8]
Purity: 98%
optically pure
Soluble in water
C8H17NO2 MW: 159.23

mg	Price
10	online
50	online

Biological activity
An analogue of γ-amino butyric acid (GABA) but inactive at GABA receptors. Pregabalin binds to the alpha-2-delta (α2δ) protein, an auxiliary protein associated with voltage-gated calcium channels in the central nervous system. Pregabalin reduces the synaptic release of several neurotransmitters by binding to α2δ subunits, possibly accounting for its actions in vivo to reduce neuronal excitability and seizures. Antiepileptic and analgesic.

PRI 2191

Axon 2516

See Tacalcitol

Page 748

Pridopidine hydrochloride

Axon 1579

See ACR16 hydrochloride

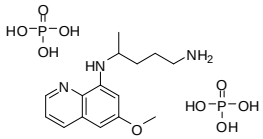
Page 185

Primaquine diphosphate

Recent Addition

Axon 3177

SN13272 diphosphate



	mg	Price
[63-45-6] Purity: 98%	50	online
Soluble in water and DMSO C15H21N3O.2H3PO4 MW: 455.34		

Biological activity
Primaquine diphosphate is a transmission-blocking anti-malarial clinically available, displaying a marked activity against gametocytes of all species of human malaria, including multi-resistant Plasmodium falciparum strains.

Prinaberel

Axon 1898

See ERB 041

Page 389

Pritelivir

Axon 2266

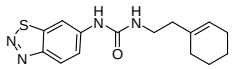
See BAY 57-1293

Page 259

PRMT3 inhibitor 1

Axon 2211

Compound 1



	mg	Price
[1340875-03-7] Purity: 99%	5	online
Soluble in DMSO	25	online
C15H18N4OS MW: 302.39		

Biological activity
Allosteric inhibitor of protein arginine methyltransferase 3 (PRMT3; IC50 value of 1.6 μM for inhibition of full length PRMT3 in a radioactivity-based assay). PRMT3 inhibitor 1 showed no inhibitory activity on any of the PKMTs G9a, EHMT1, SUV39H2, SETD7, and SETD8, and PRMTs PRMT1, PRMT4, PRMT5, and PRMT8. The allosteric binding site of compound 1 was localized by site-directed mutagenesis of PRMT3 and X-ray crystallography.

Procaspase activating compound 1

Axon 1743

See *PAC 1*

Page 612

Prolixin Decanoate dihydrochloride

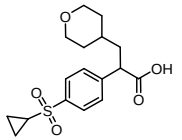
Axon 2127

See Fluphenazine decanoate dihydrochloride

Page 407

Propionic acid, 2-[4-(Cyclopropylsulfonyl)phenyl]-3-(tetrahydropyran-4-yl)

Axon 1284



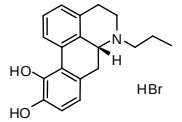
	mg	Price
[745052-93-1] Purity: 98%	1000	online
No solubility data C17H22O5S MW: 338.42	5000	online

Biological activity
Building Block; Unknown pharmacology

Propylnorapomorphine hydrochloride, R(-)-N-

Axon 1161

NPA



	mg	Price
[85199-01-5] Purity: 99% >98% ee Soluble in 0.1N HCl(aq) and DMSO C19H21NO2.HBr MW: 376.29	10	online
	50	online

Biological activity
Highly potent and selective dopamine D2 receptor agonist

Prop-2-ynyl-2-aminotetraline hydrochloride

Axon 1064

See *Aminotetraline hydrochloride, Prop-2-ynyl-2-*

Page 210

Prostaglandin E1

Axon 2062

See Alprostadil

Page 197

Protonix

Axon 3161

See Pantoprazole sodium Recent Addition

Page 614

PRT 062070

Axon 2775

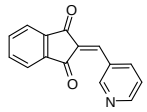
See Cerdulatinib

Page 309

PRT 4165

Axon 1953

NSC 600157

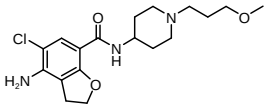


	mg	Price
[31083-55-3] Purity: 99%	10	online
Soluble in 0.1N HCl(aq) and DMSO C15H9NO2 MW: 235.24	50	online

Biological activity
E3 Ubiquitin ligase Bmi1/Ring1A inhibitor

Prucalopride

Axon 1479



[179474-81-8]
Purity: 99%

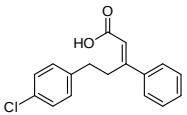
Soluble in DMSO
C18H26ClN3O3 MW: 367.87

mg	Price
10	online
50	online

Biological activity
Selective 5-HT4 receptor agonist; a gastroprokinetic agent for the treatment of constipation and irritable bowel syndrome; showed memory-enhancing effects in mice through modulation of cholinergic pathways;hence an indication of potential as Alzheimer's disease therapy

PS 47

Axon 1664



[1180676-33-8]
Purity: 99%

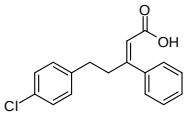
Soluble in DMSO
C17H15ClO2 MW: 286.75

mg	Price
10	online
50	online

Biological activity
Allosteric activator of phosphoinositide-dependent protein kinase 1 (PDPK1). PS48 has a Z-configuration in comparison with its E-isomer PS47 (Axon 1664)

PS 48

Axon 1659



[1180676-32-7]
Purity: 99%

Soluble in DMSO and Ethanol
C17H15ClO2 MW: 286.75

mg	Price
10	online
50	online

Biological activity
Allosteric activator of phosphoinositide-dependent protein kinase 1 (PDPK1). PS48 has a Z-configuration in comparison with its E-isomer PS47 (Axon 1664)

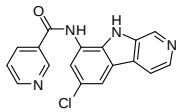
PS 341

Axon 1810

See Bortezomib
Page 282

PS 1145

Axon 1568



[431898-65-6]
Purity: 99%

Soluble in DMSO
C17H11ClN4O MW: 322.75

mg	Price
5	online
25	online

Biological activity
A highly specific IKB kinase (IKK) inhibitor; efficiently inhibits both basal and induced NF-kB activity in PC cells

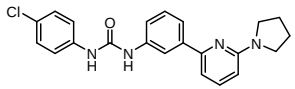
PSI7977

Axon 3301

See Sofosbuvir Recent Addition
Page 722

PSNCBAM 1

Axon 1565



[877202-74-9]
Purity: 99%

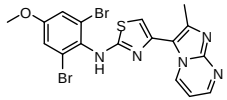
Soluble in DMSO
C22H21ClN4O MW: 392.88

mg	Price
5	online
25	online

Biological activity
An allosteric CB1 receptor antagonist, potentially an anti-obesity agents

PTC 209

Axon 2420



[315704-66-6]
Purity: 99%

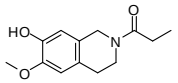
Soluble in DMSO
C17H13Br2N5OS MW: 495.19

mg	Price
10	online
50	online

Biological activity
Inhibitor of the canonical self-renewal regulator BMI-1, a vital part of the polycomb repressive complex 1 (PRC1; IC50 value 0.5 µM for inhibition of UTR-mediated luciferase BMI-1 reporter expression). Treatment of primary colorectal cancer xenografts with PTC209 resulted in colorectal CIC loss with long-term and irreversible impairment of tumor growth. PTC-209 preferentially inhibits the proliferation of human lymphoma U937 and HT1080 tumor cells, and is less effective in primary human peripheral blood mononuclear cells and human hematopoietic stem cells.

PTIQ

Axon 2328



[1032822-42-6]
Purity: 99%

Soluble in 0.1N NaOH(aq), DMSO, and Ethanol
C13H17NO3 MW: 235.28

mg	Price
10	online
50	online

Biological activity
Brain penetrating neuroprotectant that attenuates MPTP induced motor deficits, prevents neurodegeneration and suppresses microglial activation in the substantia nigra. Mechanistically, PTIQ effectively suppresses expression of MMP-3 (IC50 value 60 nM in stressed dopaminergic cells), and NO production (IC50 value <100 µM in LPS stimulated BV-2 cells). PTIQ also inhibits IL-1β, TNF-α (IC50 value 6.5 µM) and COX-2 (IC50 value 9.3 µM) and blocked nuclear translocation of NF-κB, yet it shows no inhibition of hERG channels or CYP isozyme activities.

PTK 787

Axon 1637

See Vatalanib
Page 793

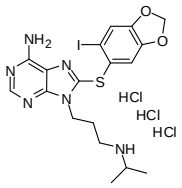
PTX 008

Axon 2332

See OTX 008
Page 608

PU-H71 trihydrochloride

Axon 1856



mg	Price
5	online
25	online

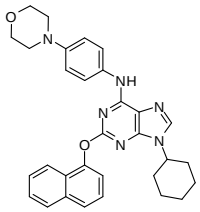
[873436-91-0] (parent)
Purity: 99%

Soluble in water
C18H21IN6O2S.3HCl MW: 621.75

Biological activity
Potent inhibitor of heat shock protein 90 (Hsp90) with IC50 of 51 nM; inhibits cell growth in a range of breast cancer cell lines; inhibit cell proliferation and induce apoptosis in triple-negative breast cancer (TNBC) cells

Purmorphamine

Axon 1690



mg	Price
5	online
25	online

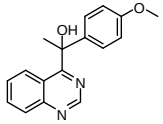
[483367-10-8]
Purity: 99%

Soluble in DMSO
C31H32N6O2 MW: 520.62

Biological activity
Hedgehog (Hh) agonist that directly targets Smoothened (SMO) transmembrane protein. Purmorphamine up-regulates gene expression of mediators of Hh pathway, SMO, PTCH1, GLI1, and GLI2, and induces osteoblast differentiation of multipotent mesenchymal progenitor cells and lineage-committed preosteoblasts.

PVHD121

Axon 3083



mg	Price
10	online
50	online

[187336-16-9]
Purity: 98%

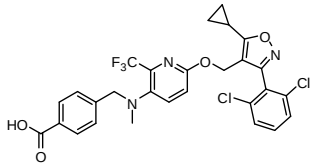
Soluble in DMSO
C17H16N2O2 MW: 280.32

Biological activity
PVHD121 is an antimitotic agent that selectively disturbs microtubule formation at centrosomes during mitosis. PVHD121 was shown to have strong antiproliferative activity against various tumor-derived cell lines, including A549 (lung), NCI-H460 (lung), HCT116 (colon), MCF7 (breast), PC3 (prostate), and HeLa (cervical) cells with IC50 values from 0.1 to 0.3 μM. Potential tool for studying the molecular biology of mitosis.

PX 20350

Axon 2152

FXR agonist Cpd 22



mg	Price
5	online
25	online

[1198085-23-2]
Purity: 99%

Soluble in DMSO
C28H22Cl2F3N3O4 MW: 592.39

Biological activity
Potent farnesoid X receptor (FXR) agonist with enhanced affinity and efficacy (12 nM and 109% (compared to GW 4064)) in FXR FRET assay and full length FXR direct reporter (DR) assay (6 nM vs 30 nM for GW 4064). Cpd 22 showed a linear dose-dependent reduction in total plasma triglycerides and total plasma cholesterol.

PXD101

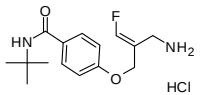
Axon 3115

See Belinostat Page 265

PXS 4728A

Axon 2583

PXS 4728 HCl



mg	Price
5	online
25	online

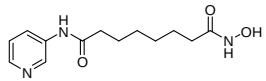
[1478364-68-9]
Purity: 98%

Soluble in water and DMSO
C15H21FN2O2.HCl MW: 316.80

Biological activity
Potent and orally available inhibitor of VAP-1 (aka SSAO/AOC3; IC50 value 5 nM) inhibiting neutrophil rolling and tethering in the mouse cremaster model, and showing >500-fold selectivity for VAP-1/SSAO over all the related human amine oxidases. PXS 4728 diminishes lung inflammation in a variety of models indicating proof of concept for a novel therapeutic approach in respiratory diseases that are characterized by neutrophilic pattern of inflammation. PXS 4728 is in clinical trials for the treatment of cardiometabolic diseases like the liver-related disease Nonalcoholic Steatohepatitis (NASH).

Pyroxamide

Axon 1801



mg	Price
10	online
50	online

[382180-17-8]
Purity: 98%

Soluble in DMSO
C13H19N3O3 MW: 265.31

Biological activity
Histone deacetylase (HDAC) inhibitor; a potent inhibitor of affinity-purified HDAC1 (IC50: 100 nM); an inducer of differentiation and/or apoptosis in transformed cells

Q525-1

Axon 3226

See RET agonist Q525 **Recent Addition**

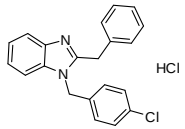
Page 670

Q94 hydrochloride

Axon 2055

[1052076-77-3]
Purity: 99%

Soluble in DMSO
C21H17ClN2.HCl MW: 369.29



mg	Price
10	online
50	online

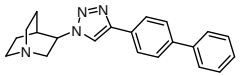
Biological activity
PAR1/Gαq-specific allosteric inhibitor or negative allosteric modulator (NAM); Q94 selectively blocks PAR1/Gαq interaction and signaling

QND7 **Recent Addition**

Axon 3151

[1779540-13-4]
Purity: 99%

Soluble in DMSO
C21H22N4 MW: 330.43



mg	Price
10	online
50	online

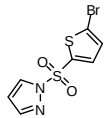
Biological activity
QND7 is a α7-nicotinic acetylcholine receptor antagonist (Ka value of 6.7 μM). QND7 suppresses non-small cell lung cancer cell proliferation and migration via inhibition of Akt/mTOR signaling

QStatin

Axon 3012

[902688-24-8]
Purity: 99%

Soluble in DMSO
C7H5BrN2O2S2 MW: 293.16



mg	Price
10	online
50	online

Biological activity
QStatin is a potent and selective Vibrio Quorum Sensing (QS) inhibitor which affects Vibrio harveyi LuxR homologues, the well-conserved master transcriptional regulators for QS in Vibrio species. QStatin is a potent SmcR inhibitor in V. vulnificus (EC50 value of 208.9 nM), and may be a sustainable antivibriosis agent useful in aquacultures.

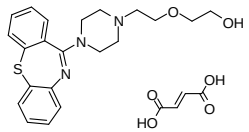
Quetiapine fumarate

Axon 1354

ICI 204636; ZD 5077; ZM 204636

[111974-72-2]
Purity: 99%

Soluble in DMSO
C42H50N6O4S2.½C4H4O4
MW: 441.54

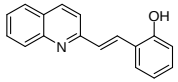


mg	Price
10	online
50	online

Biological activity
Atypical antipsychotic; Quetiapine is a moderate 5-HT2, weak dopamine D2 and α2 receptor antagonist

Quininib

Axon 2620



[143816-42-6]
Purity: 99%

Soluble in DMSO
C17H13NO MW: 247.29

mg	Price
10	online
50	online

Biological activity
Antagonist of the cysteinyl leukotriene receptor 1 and 2 (CysLT1-2; IC50 values 1.4 μM and 38.5 μM, respectively). Quininib robustly inhibits developmental angiogenesis in zebrafish (at 4-10 μM), and significantly inhibits angiogenic tubule formation in HMEC-1 cells, angiogenic sprouting in aortic ring explants and retinal revascularisation in OIR mice, independently Using ex vivo human CRC explants, Quininib significantly reduced the secretions of angiogenic growth factors and inflammatory cytokines IL-6, IL-8, VEGF, ENA-78, GRO-α, TNF, IL-1β and MCP-1.

Quisinostat dihydrochloride

Axon 2529

See JNJ 26481585 dihydrochloride

Page 478

Quizartinib dihydrochloride

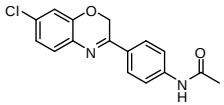
Axon 1696

See *AC 220 dihydrochloride*

Page 183

QX77

Axon 2902



[1798331-92-6]
Purity: 98%

Soluble in DMSO
C16H13ClN2O2 MW: 300.74

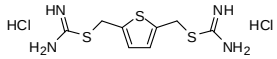
mg	Price
10	online
50	online

Biological activity
Chaperone-mediated autophagy (CMA) activator. QX77 operates through the release of the endogenous inhibition of the retinoic receptor-α signaling pathway over the regulation of multiple mechanisms that modulate CMA.

R 55

Axon 2303

NSC 55712; TPT 260 dihydrochloride

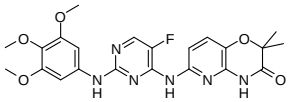


	mg	Price
[2076-91-7] Purity: 98%	10	online
Soluble in water and DMSO C8H14Cl2N4S3 MW: 333.32	50	online

Biological activity
Retromer stabilizing pharmacological chaperone (Kd value ~5 µM) that binds at the Vps29 and Vps35 interface, and reduces Aβ peptide accumulation (IC50 value ~12 µM) and the pathogenic pathway of APP; useful pharmacological tool for research on Alzheimer's disease. Thiophene derivative R55 was originally tested and proved active for its anticancer activity against Yoshida sarcoma.

R 406

Axon 1674

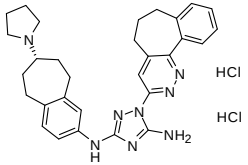


	mg	Price
[841290-80-0] Purity: 98%	5	online
Soluble in DMSO C22H23FN6O5 MW: 470.45	25	online

Biological activity
Orally bioavailable and selective inhibitor of spleen tyrosine kinase (Syk) (Ki=30 nM). Active component of its prodrug R788 or R935788 (Fostamatinib)

R 428 dihydrochloride

Axon 1946

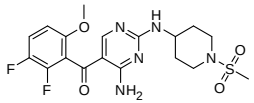


	mg	Price
[N.A.] Purity: 99%	1	online
Soluble in DMSO C30H34N8.2HCl MW: 579.57	2	online
	5	online

Biological activity
Potent and selective inhibitor of Axl receptor tyrosine kinases (IC50: 14 nM); R428 inhibits Axl kinase and blocks Axl-dependent events, including Akt phosphorylation, breast cancer cell invasion, and proinflammatory cytokine production.Note: The hydrochloride salt of R428 has an improved solubility in comparison with its free base

R 547

Axon 1983



	mg	Price
[741713-40-6] Purity: 99%	5	online
Soluble in DMSO C18H21F2N5O4S MW: 441.45	25	online

Biological activity
Potent and selective CDK inhibitor with Ki values to be 1, 3, 1 nM for CDK1, CDK2, and CDK4 respectively; inactive (Ki >5000 nM) against a panel of >120 unrelated kinases

R 4749

Axon 1554

See Droperidol Page 372

R 7227

Axon 1669

See Danoprevir Page 349

R 41468

Axon 1450

See Ketanserin Page 489

R 64766

Axon 1454

See Risperidone Page 675

R 89439

Axon 3334

See Loviride Recent Addition Page 514

R 147681

Axon 1534

See Dapivirine Page 350

R-837

Axon 3107

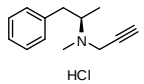
See Imiquimod Page 466

R-(-)-Deprenyl hydrochloride

Recent Addition

Axon 3332

Selegiline hydrochloride



	mg	Price
[14611-52-0] Purity: 99% Optically pure Soluble in water and DMSO C13H17N.HCl MW: 223.74	50	online

Biological activity
R(-)-Deprenyl hydrochloride is a highly selective inhibitor of MAO-B.

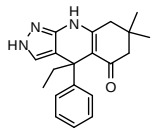
R-SLV319

Axon 1714

See *SLV 319, (R)-(+)-* Page 718

rac-BRD0705

Axon 3154



[1597440-03-3]
Purity: 99%

Soluble in DMSO
C20H23N3O MW: 321.42

mg	Price
5	online
25	online

Biological activity
GSK3α inhibitor. Racemic mixture of BRD0705 (Axon 2931), the active enantiomer and its negative control BRD5648 (Axon 3153).

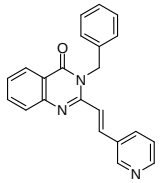
rac-PH 797804

Axon 2786

See PH 797804, (±)-
Page 635

RAD51 inhibitor B02

Axon 1911



[1290541-46-6]
Purity: 98%

Soluble in DMSO
C22H17N3O MW: 339.39

mg	Price
10	online
50	online

Biological activity
Specific and cell-permeable RAD51 inhibitor; BO2 specifically inhibits the DNA strand exchange activity of human RAD51 (IC50 = 27.4 μM). It disrupts RAD51 binding to DNA, increasing cell sensitivity to DNA damage

RAD51-Stimulatory Compound-1

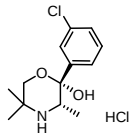
Axon 2584

See RS-1
Page 684

Radafaxine hydrochloride

Axon 1123

BW 306U; GW 353162A



[106083-71-0]
Purity: 99%
optically pure
Soluble in water
C13H18ClNO2.HCl MW: 292.20

mg	Price
5	online
25	online

Biological activity
A norepinephrine-dopamine reuptake inhibitor (NDRI); a potent metabolite of bupropion; radafaxine is a (+)-isomer of hydroxybupropion

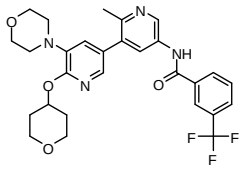
Radiprodil

Axon 1434

See RGH 896
Page 673

RAF709

Axon 2817



[1628838-42-5]
Purity: 99%

Soluble in DMSO
C28H29F3N4O4 MW: 542.55

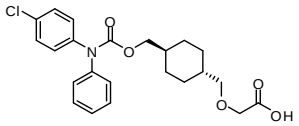
mg	Price
10	online
50	online

Biological activity
RAF709 is a potent, selective, and efficacious B/C RAF inhibitor with IC50 values of 0.4 nM and 0.5 nM for BRAF and CRAF, respectively. RAF709 was cellularly potent in a KRAS mutant cell line (Calu-6) and was well-tolerated and efficacious in KRAS mutant xenograft models.

Ralinepag

Axon 2874

APD 811



[1187856-49-0]
Purity: 99%

Soluble in DMSO
C23H26ClNO5 MW: 431.91

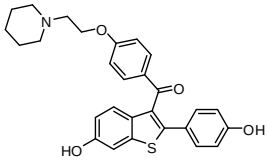
mg	Price
5	online
25	online

Biological activity
Ralinepag is an orally bioavailable, non-prostanoid IP receptor agonist (EC50 value of 8.5 nM, human IP receptor assay) that is e□cacious in the rat MCT model of pulmonary arterial hypertension. It has good selectivity in both binding and functional assays with respect to most members of the prostanoid receptor family, but a more modest 30-50-fold selectivity over the EP3 receptor.

Raloxifene Recent Addition

Axon 3250

LY139481



[84449-90-1]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C28H27NO4S MW: 473.58

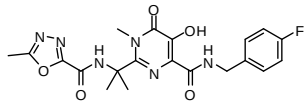
mg	Price
10	online
50	online

Biological activity
Raloxifene is a selective estrogen receptor modulator (SERM).

Raltegravir

Axon 3120

MK-0518



[518048-05-0]
Purity: 99%

Soluble in DMSO
C20H21FN6O5 MW: 444.42

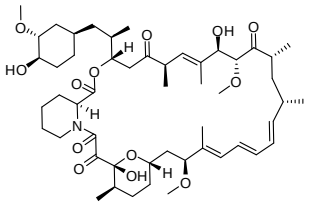
mg	Price
10	online
50	online

Biological activity
Raltegravir is a potent, selective and orally bioavailable HIV-integrase inhibitor with an IC50 value of 0.015 μM for inhibition of strand transfer. Also, Raltegravir showed potency in a cell based assay with CIC95 values of 0.019 and 0.031 μM in 10% FBS and 50% NHS, respectively.

Rapamycin

Axon 2069

Sirolimus



[53123-88-9]
Purity: 98%

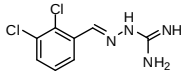
Soluble in DMSO
C51H79NO13 MW: 914.17

mg	Price
2	online
5	online

Biological activity
Specific inhibitor of mTOR (mammalian target of Rapamycin); it binds the cytosolic protein FKBP12 and inhibits mTOR pathway by directly binding the mTORC1. Rapamycin selectively inhibits interleukin-2 (IL-2) activation of p70 S6 kinase. It prevents activation of T cells and B cells by inhibiting their response to IL-2. An immunosuppressant drug used to prevent rejection in organ transplantation, especially useful in kidney transplants; also used as a coronary stent coating
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Raphin1

Axon 3004



[2022961-17-5]
Purity: 98%

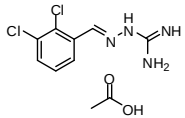
Soluble in DMSO
C8H8Cl2N4 MW: 231.08

mg	Price
10	online
50	online

Biological activity
Raphin1 is a selective inhibitor of PPP1R15B (R15B) and bound strongly (Kd value of 0.033 µM) to the R15B-PP1c holophosphatase. Moreover, Raphin1 was ~30-fold selective in binding R15B-PP1c over R15A-PP1c and did not bind to PP1c. In cells, Raphin1 caused a rapid and transient accumulation of its phosphorylated substrate, resulting in a transient attenuation of protein synthesis. In vitro, Raphin1 inhibits the recombinant R15B-PP1c holoenzyme, but not the closely related R15A-PP1c, by interfering with substrate recruitment. Raphin1 was orally bioavailable, crossed the blood-brain barrier, and demonstrated efficacy in a mouse model of Huntington's disease. This product is also available as its acetate salt (Axon 2983)

Raphin1 acetate

Axon 2983



[2242616-04-0]
Purity: 99%

Soluble in DMSO
C8H8Cl2N4.C2H4O2 MW: 291.13

mg	Price
10	online
50	online

Biological activity
Raphin1 acetate is a selective inhibitor of PPP1R15B (R15B) and bound strongly (Kd value of 0.033 µM) to the R15B-PP1c holophosphatase. Moreover, Raphin1 acetate was ~30-fold selective in binding R15B-PP1c over R15A-PP1c and did not bind to PP1c. In cells, Raphin1 acetate caused a rapid and transient accumulation of its phosphorylated substrate, resulting in a transient attenuation of protein synthesis. In vitro, Raphin1 acetate inhibits the recombinant R15B-PP1c holoenzyme, but not the closely related R15A-PP1c, by interfering with substrate recruitment. Raphin1 acetate was orally bioavailable, crossed the blood-brain barrier, and demonstrated efficacy in a mouse model of Huntington's disease. This product is also available as the free base (Axon 3004)

Raxatrigine HCl

Axon 2548

See CNV 1014802 hydrochloride

Page 326

Raziosulfa

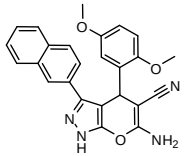
Axon 2922

See Sulfaphenazole

Page 742

RBC 8

Axon 2396



[361185-42-4]
Purity: 99%

Soluble in DMSO
C25H20N4O3 MW: 424.45

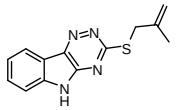
mg	Price
5	online
25	online

Biological activity
Inhibitor of the RAS-like small GTPases RalA and RalB (IC50 values 3.5 mM and 3.4 mM for growth inhibition in H358 and H2122 tumor xenografts, respectively). RBC8 shows selectivity for Ral relative to the GTPases Ras and RhoA. Mechanistically, RBC8 inhibits the binding of Ral proteins in their GDP-bound form to its effector RALBP1, as well as inhibiting Ral-mediated cell spreading of murine embryonic fibroblasts and anchorage-independent growth of human cancer cell lines. Close analogue of BQU 57 (Axon 2397)

Rbin-1

Axon 2663

Ribozinoindole-1



[328023-11-6]
Purity: 99%

Soluble in DMSO
C13H12N4S MW: 256.33

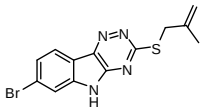
mg	Price
10	online
50	online

Biological activity
Rbin-1 (ribozinoindole-1) is a potent, reversible, and specific inhibitor of Midasin (Mdn1), an enzyme belonging to the AAA+ (ATPases associated with diverse cellular activities) protein family (GI50 value 136 nM in wild-type cells). Rbin-1 is a chemical probe for the eukaryotic ribosome assembly.

Rbin-2

Axon 2712

Ribozinoindole-2



[2032282-97-4]
Purity: 98%

Soluble in DMSO
C13H11BrN4S MW: 335.22

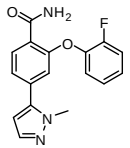
mg	Price
10	online
50	online

Biological activity
Rbin-2 (ribozinoindole-2) is a potent, reversible, and specific inhibitor of Midasin (Mdn1), an enzyme belonging to the AAA+ (ATPases associated with diverse cellular activities) protein family (GI50 value 14 nM in wild-type cells). Rbin-2 is a chemical probe for the eukaryotic ribosome assembly. Also Midasin inhibitor Rbin-1 (Axon 2663) is available.

RBPJ inhibitor RIN1

Axon 3061

RIN1

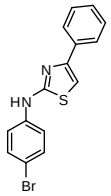


	mg	Price
[N.A.] Purity: 99%	5	online
Soluble in DMSO C17H14FN3O2 MW: 311.31	25	online

Biological activity
RBPJ inhibitor RIN1 is a potent, selective, first-in-class inhibitor of the transcription factor RBPJ. RBPJ inhibitor RIN1 inhibits RBPJ in both its activating (NOTCH) and inhibiting (SHARP) complexes. Consistent with disruption of NOTCH signaling, RBPJ inhibitor RIN1 inhibited the proliferation of hematologic cancer cell lines and promoted skeletal muscle differentiation from C2C12 myoblasts.

RCGD 423

Axon 2999

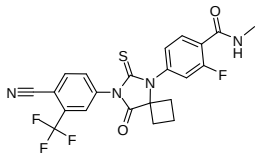


	mg	Price
[108237-91-8] Purity: 99%	10	online
Soluble in DMSO C15H11BrN2S MW: 331.23	50	online

Biological activity
RCGD 423 is a modulator of gp130 signalling and demonstrates prominent disease-modifying activity in two rat models of cartilage injury or/and degeneration. Moreover, RCGD423 induced levels of both c-Myc and n-Myc as well as lactate dehydrogenase (Ldha), consistent with activation of Stat3 signaling leading to induction of Myc and Ldha protein expression.

RD 162

Axon 1532



	mg	Price
[915087-27-3] Purity: 98%	5	online
Soluble in DMSO C22H16F4N4O2S MW: 476.45	25	online

Biological activity
An orally active and very potent antagonist of androgen receptor (AR); Second-generation of antiandrogen for the treatment of advanced prostate cancer; highly recommended tool in AR research

Rebastinib

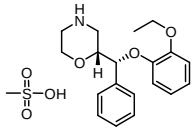
Axon 2123

See DCC 2036

Page 353

Reboxetine mesylate

Axon 1240



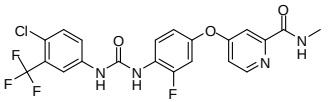
	mg	Price
[98769-84-7] Purity: 99% >98% ee Soluble in DMSO C19H23NO3.CH4O3S MW: 409.50	10	online
	50	online

Biological activity
Selective noradrenaline uptake inhibitor (NARI); orally active

Regorafenib

Axon 1678

BAY 73-4506



	mg	Price
[755037-03-7] Purity: 98%	5	online
Soluble in DMSO C21H15ClF4N4O3 MW: 482.82	10	online

Biological activity
An oral multi-kinase inhibitor which targets angiogenic, stromal and oncogenic receptor tyrosine kinases (RTK). Regorafenib shows anti-angiogenic activity due to its dual targeted VEGFR2-TIE2 tyrosine kinase inhibition

Relcovaptan

Axon 1256

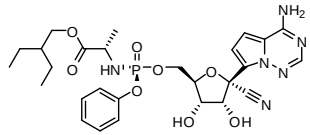
See SR 49059

Page 731

Remdesivir

Axon 3110

GS-5734

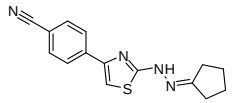


	mg	Price
[1809249-37-3] Purity: 99% Optically pure Soluble in 0.1N HCl(aq) and DMSO C27H35N6O8P MW: 602.58	5	online
	25	online

Biological activity
Remdesivir is a potent and selective inhibitor of Ebola virus (EBOV) in multiple relevant permissive cell types. Remdesivir inhibits EBOV replication in multiple relevant human cell types including primary macrophages and human endothelial cells with EC50 values of 0.06 to 0.14 μM. The broad-spectrum antiviral activity of Remdesivir in vitro against other pathogenic RNA viruses, including filoviruses, arenaviruses, and coronaviruses, suggests the potential for wider medical use.

Remodelin

Axon 2299



[949912-58-7]
Purity: 99%

Soluble in DMSO
C15H14N4S MW: 282.36

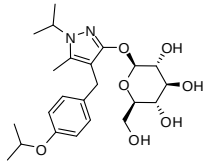
mg	Price
5	online
25	online

Biological activity
Potent Acetyl-transferase NAT 10 inhibitor that mediates nuclear shape rescue in laminopathic (LMNA-depleted) cells via microtubule reorganization. Remodelin markedly reduced the prevalence of misshapen nuclei in HGPS cells as well as in primary MRC5 fibroblasts aged in culture. In contrast, Remodelin had no effect on nonlaminopathic Werner syndrome cells

Remogliflozin

Axon 1634

GSK 189074



[329045-45-6]
Purity: 99%

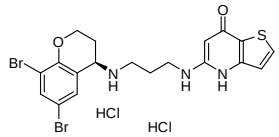
Soluble in water and DMSO
C23H34N2O7 MW: 450.53

mg	Price
5	online
25	online

Biological activity
Remogliflozin inhibits the sodium-glucose transport (SGLT2) proteins, which are responsible for glucose reabsorption in the kidney.Blocking this transporter causes blood glucose to be eliminated through the urine. Its prodrug is Remoglifozin etabonate (GSK 189075), investigated as a treatment of anti diabetes type II

REP 3123 dihydrochloride

Axon 1705



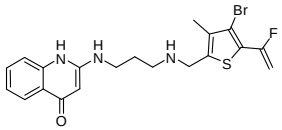
[1013915-99-5]
Purity: 99%
>98% ee
Soluble in DMSO
C19H19Br2N3O2S.2HCl
MW: 586.17

mg	Price
10	online
50	online

Biological activity
Selective inhibitor of methionyl-tRNA synthetase (MetRS); agent to treat Clostridium difficile infection (CDI); Antibiotic

REP 8839

Axon 1704



[757942-43-1]
Purity: 99%

Soluble in DMSO
C20H21BrFN3OS MW: 450.37

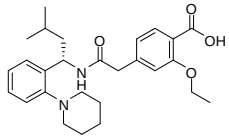
mg	Price
10	online
50	online

Biological activity
Selective inhibitor of methionyl-tRNA synthetase (MetRS) with antibacterial activity against a variety of gram-positive organisms; Antibiotic

Repaglinide Recent Addition

Axon 3365

AG-EE 623ZW



[135062-02-1]
Purity: 100%
Optically pure
Soluble in 0.1N NaOH(aq) and DMSO
C27H36N2O4 MW: 452.59

mg	Price
50	online

Biological activity
Antidiabetic; KATP channel blocker. Repaglinide was found to bind with low affinity (Kd of 59 nM) to SUR1 alone, but with high affinity (increased approximately 150-fold) when SUR1 was co-expressed with Kir6.2 (Kd value of 0.42 nM).

Rescriptor

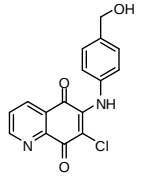
Axon 1815

See Delavirdine Page 355

RET agonist Q525 Recent Addition

Axon 3226

Q525-1



[N.A.]
Purity: 98%

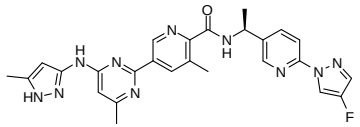
Soluble in DMSO
C16H11ClN2O3 MW: 314.72

mg	Price
5	online
25	online

Biological activity
RET agonist Q525 is a highly selective RET agonist which afforded sustained RET activation and prevented photoreceptor neuron loss in the retina. RET agonist Q525 was active in MG87 RET/GFRa1 cells across a broad range of concentrations and generated large and significant increases in pAkt/pErk. RET agonist Q525 maintained a high degree of selectivity for RET, because no significant increases in pAkt and pErk were observed in MG87 TrkA cells.

RET Inhibitor 2667

Axon 2667



[1980023-80-0]
Purity: 99%
o.p.
Soluble in DMSO
C26H25FN10O MW: 512.54

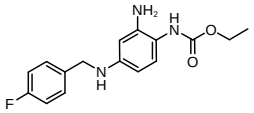
mg	Price
2	online

Biological activity
Potent RET inhibitor (IC50 value <10 nM) with activity against wild-type RET and its mutants

Retigabine

Axon 1525

D 23129; Ezogabine



mg	Price
10	online
50	online

[150812-12-7]
Purity: 99%

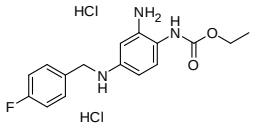
Soluble in DMSO
C16H18FN3O2 MW: 303.33

Biological activity
Selective neuronal KCNQ/Kv7 potassium channel opener; an anticonvulsant in development for the potential oral treatment of complex partial seizures and post-herpetic neuralgia (PHN)

Retigabine dihydrochloride

Axon 2252

D 23129 hydrochloride; Ezogabine dihydrochloride



mg	Price
10	online
50	online

[150812-13-8]
Purity: 99%

Soluble in water and DMSO
C16H18FN3O2.2HCl MW: 376.25

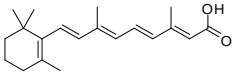
Biological activity
Selective neuronal KCNQ/Kv7 potassium channel opener; an anticonvulsant in development for the potential oral treatment of complex partial seizures and post-herpetic neuralgia (PHN) The parent compound, Retigabine (Axon 1525), is available as well.

Retinoic acid

Recent Addition

Axon 3321

Vitamin A acid; ATRA; Tretinoin



mg	Price
50	online

[302-79-4]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C20H28O2 MW: 300.44

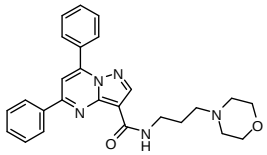
Biological activity
Retinoic acid, a derivative of retinol (vitamin A), is a RAR ligand with an IC50 value of 14 nM for RARα, RARβ and RARγ receptor subtypes. Retinoic acid is known to have profound effects on cell growth and differentiation and to be essential for normal embryonic development.

Reversan

Recent Addition

Axon 3222

CBLC4H10



mg	Price
5	online
25	online

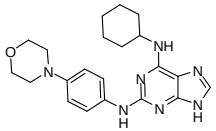
[313397-13-6]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C26H27N5O2 MW: 441.52

Biological activity
Reversan is a potent, selective and non-toxic multidrug resistance-associated protein (MRP1) inhibitor. Reversan gives a 3.8, 14.6 and 11.6 fold sensitization of MCF7/VP cells to the MRP1 substrates doxorubicin, vincristine and etoposide, respectively. May be clinically useful in the treatment of neuroblastoma and other cancers associated with aberrant MRP1/Pgp expression. MRP1 reversal agent.

Reversine

Axon 1629



mg	Price
5	online
25	online

[656820-32-5]
Purity: 99%

Soluble in DMSO
C21H27N7O MW: 393.49

Biological activity
Reversine induces differentiated myogenic-lineage committed cells to become multipotent mesenchymal progenitor cells; it is a potent mitotic inhibitor of MPS1 kinase, which inhibits the spindle assembly checkpointin a dose-dependent manner; also acts as A3 adenosine receptor antagonist (Ki: 660 nM) or aurora kinases inhibitor (IC50: 400-500 nM for Aurora A/B/C respectively)

Revimid

Axon 1793

See Lenalidomide

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Rezult

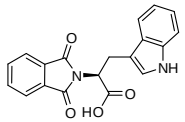
Axon 2443

See Rosiglitazone

Page 682

RG 108

Axon 1691



mg	Price
10	online
50	online

[48208-26-0]
Purity: 99%
>98% ee
Soluble in DMSO
C19H14N2O4 MW: 334.33

Biological activity
DNA methyltransferase inhibitor; Inhibits DNA methylation in human cancer cell lines in vitro without detectable toxicity; Demethylates and reactivates epigenetically silenced tumor suppressor genes;Recently, BIX01294 and RG108 have been reported to enhance the efficiency of induced pluripotent stem cell (iPS) generation

RG 7204

Axon 1624

See PLX 4032

Page 643

RG 7227

Axon 1669

See Danoprevir

Page 349

RG 7422

Axon 1782

See GDC 0980

Page 417

RG 7604

Axon 2927

See Taselisib

Page 753

RG7916

Axon 3093

See Risdiplam

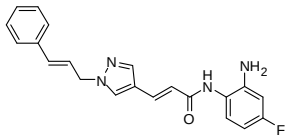
Page 675

RGFP 966

Axon 2195

[1396841-57-8]
Purity: 99%

Soluble in DMSO
C21H19FN4O MW: 362.40



mg	Price
5	online
25	online

Biological activity
HDAC3 specific inhibitor (IC50 value 0.08 µM) lacking affinity for any other HDAC at concentrations up to 15 µM. RGFP 966 enhances long term object memory acquisition/consolidation, and facilitates extinction of cocaine-seeking behavior in male C57BL/6J mice.

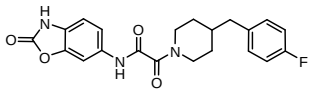
RGH 896

Axon 1434

Radiprodil

[496054-87-6]
Purity: 99%

Soluble in DMSO
C21H20FN3O4 MW: 397.40



mg	Price
5	online
10	online

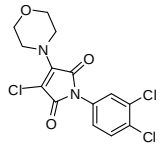
Biological activity
Orally active and selective NMDA NR2B antagonist; a potential therapeutic agent in treatment of neuropathic pain and possibly other chronic pain conditions.It blocks pain signaling without interacting with other NMDA receptor subtypes thus potentially improving therapeutic index and side effect profile

RI-1

Axon 1885

[415713-60-9]
Purity: 99%

Soluble in DMSO
C14H11Cl3N2O3 MW: 361.61



mg	Price
10	online
50	online

Biological activity
Specific inhibitor of the central recombination protein RAD51; a useful tool for investigations on mechanisms of DNA repair

Ribozinoindole-1

Axon 2663

See Rbin-1

Page 666

Ribozinoindole-2

Axon 2712

See Rbin-2

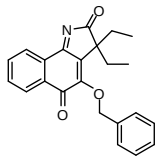
Page 666

RIG012 Recent Addition

Axon 3215

[N.A.]
Purity: 99%

Soluble in DMSO
C23H21NO3 MW: 359.42



mg	Price
5	online
25	online

Biological activity
RIG012 is a potent antagonist of the RIG-I innate immune receptor (IC50 value of 0.71 µM) and inhibits RIG-I signaling and interferon response in living cells.

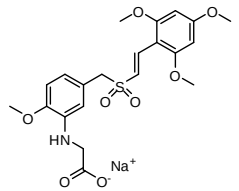
Rigosertib sodium

Axon 2950

ON01910 sodium; Estybon

[592542-60-4]
Purity: 99%

Soluble in water and DMSO
C21H24NNaO8S MW: 473.47



mg	Price
5	online
25	online

Biological activity
Rigosertib sodium is a non-ATP-competitive inhibitor of PLK1 (IC50 value of 9–10 nM) which induces mitotic arrest of tumor cells characterized by spindle abnormalities leading to their apoptosis. In vitro studies with Rigosertib sodium showed that incubation of human leukemic cells with this compound results in the inhibition of PI3K/AKT pathway, down regulation of cyclin D1, induction of NOXA and BIM and activation of JNK pathway. In vivo, Rigosertib sodium did not exhibit hematotoxicity, liver damage, or neurotoxicity, and was a potent inhibitor of tumor growth in a variety of xenograft nude mouse models.

Rimonanbant

Axon 1220

See SR 141716A

Page 732

RIN1

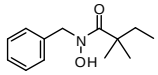
Axon 3061

See RBPJ inhibitor RIN1

Page 667

RIPA-56

Axon 2677



[1956370-21-0]
Purity: 99%

Soluble in DMSO
C13H19NO2 MW: 221.30

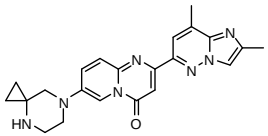
mg	Price
10	online
50	online

Biological activity
Highly potent, selective, and metabolically stable inhibitor of receptor-interacting protein 1 (RIP1; IC50 value 13 nM) for the treatment of systemic inflammatory response syndrome (SIRS). RIPA-56 efficiently reduced TNFα-induced mortality and multiorgan damage.

Risdiplam

Axon 3093

RG7916; RO7034067



[1825352-65-5]
Purity: 99%

Soluble in 0.1N HCl (aq)
C22H23N7O MW: 401.46

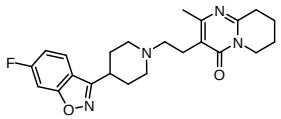
mg	Price
5	online
25	online

Biological activity
Risdiplam is a selective survival of motor neuron-2 (SMN2) gene splicing modifier (EC1.5x value of 4 nM).

Risperidone

Axon 1454

R 64766



[106266-06-2]
Purity: 99%

Soluble in DMSO
C23H27FN4O2 MW: 410.48

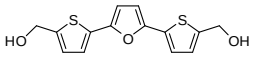
mg	Price
10	online
50	online

Biological activity
5-HT2 and dopamine D2 antagonist with high affinity for 5-HT7 receptors; an atypical antipsychotic in the treatment of psychotic disorders with negative and positive symptomatology

RITA

Axon 2009

NSC 652287



[213261-59-7]
Purity: 98%

Soluble in DMSO
C14H12O3S2 MW: 292.37

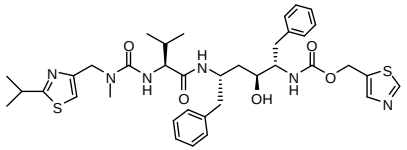
mg	Price
10	online
50	online

Biological activity
Small molecule p53 activator; MDM2 inhibitor

Ritonavir

Axon 3139

ABT-538



[155213-67-5]
Purity: 99%
Optically pure
Soluble in DMSO
C37H48N6O5S2 MW: 720.94

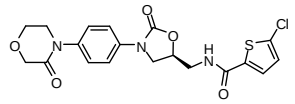
mg	Price
10	online
50	online

Biological activity
Ritonavir is an inhibitor of HIV-1 protease.
Ritonavir in combination with Lopinavir (Axon 3138) in a 1 to 4 ratio (dosage information) is marketed as Kaletra.

Rivaroxaban Recent Addition

Axon 3175

BAY 59-7939



[366789-02-8]
Purity: 99%
Optically pure
Soluble in DMSO
C19H18ClN3O5S MW: 435.88

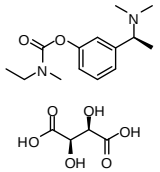
mg	Price
10	online
50	online

Biological activity
Rivaroxaban is a highly potent, selective and oral direct FXa inhibitor with an excellent in vitro (IC50 value of 0.7 nM) and in vivo efficacy and a good pharmacokinetic profile. Antithrombotic agent.

Rivastigmine tartrate Recent Addition

Axon 3167

SDZ ENA 713



[129101-54-8]
Purity: 99%
Optically pure
Soluble in water and DMSO
C14H22N2O6.C4H6O6 MW: 400.42

mg	Price
50	online
250	online

Biological activity
Rivastigmine tartrate is a centrally selective acetylcholinesterase inhibitor (Ki value of 1-2 μM).

Rivoceranib mesylate

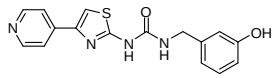
Axon 2849

See Apatinib

Page 215

RKI 1447

Axon 2229



mg	Price
10	online
50	online

[1342278-01-6]
Purity: 99%

Soluble in DMSO
C16H14N4O2S MW: 326.37

Biological activity
Potent inhibitor of the Rho-associated ROCK kinases with anti-invasive and antitumor activities in breast cancer (IC50 values 14.5 and 6.2 nM for ROCK 1 and 2 respectively). RKI 1447 is a Type 1 inhibitor that binds both the hinge region and the DFG motif of the ROCK ATP binding site.

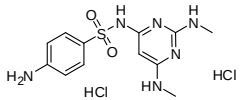
RNH-6270

Axon 3105

See Olmesartan Recent Addition Page 602

RO 04-6790 hydrochloride

Axon 1330



mg	Price
10	online
50	online

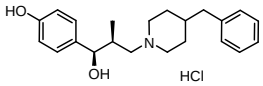
[1197333-95-1]
Purity: 98%

Soluble in 0.1N HCl(aq)
C12H16N6O2S.2HCl MW: 381.28

Biological activity
Potent and selective serotonin 5-HT6 receptor antagonist

RO 25-6981 hydrochloride

Axon 1314



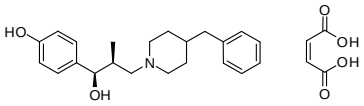
mg	Price
10	online
50	online

[919289-58-0]
Purity: 99%
99% ee
Soluble in water and DMSO
C22H29NO2.HCl MW: 375.93

Biological activity
Potent and selective antagonist of NMDA glutamate receptors containing the NR2B subunit; Optimal salt form, which is more water soluble than its maleate

RO 25-6981 maleate

Axon 2601



mg	Price
10	online
50	online

[1312991-76-6]
Purity: 99%
99.5% de
Soluble in water and DMSO
C22H29NO2.C4H4O4 MW: 455.54

Biological activity
Potent and selective antagonist of NMDA glutamate receptors containing the NR2B subunit; The HCl salt of RO 25-6981 is available as well (Axon 1314)

RO 26-9228

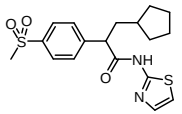
Axon 1676

See *BXL 628* Page 292

RO 28-0450

Axon 1134

RO 28-1675, (±)-



mg	Price
10	online
50	online

[300352-96-9]
Purity: 98%

Soluble in DMSO
C18H22N2O3S2 MW: 378.51

Biological activity
Glucokinase GK activator; its more active (R)-enantiomer is RO-28-1675 (Axon 1356)

RO 28-0450, (R)-

Axon 1356

See RO 28-1675 Page 678

RO 28-0450, (S)-

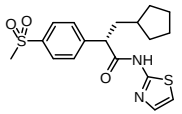
Axon 1355

See RO 28-1674 Page 678

RO 28-1674

Axon 1355

RO 28-0450, (S)-



mg	Price
5	online
25	online

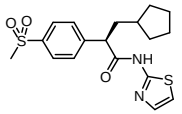
[599164-57-5]
Purity: 99%
99% ee
No solubility data
C18H22N2O3S2 MW: 378.51

Biological activity
Glucokinase GK activator; less active S-enantiomer of RO-28-0450 (Axon 1134), in comparison with R-enantiomer, RO 28-1675 (Axon 1356)

RO 28-1675

Axon 1356

RO 28-0450, (R)-



mg	Price
2	online
5	online

[300353-13-3]
Purity: 99%
99% ee
Soluble in DMSO
C18H22N2O3S2 MW: 378.51

Biological activity
Glucokinase GK activator; more active R-enantiomer of RO-28-0450 (Axon 1134) in comparison with S-enantiomer, RO 28-1674 (Axon 1355)

RO 28-1675, (±)-

Axon 1134

See RO 28-0450 Page 678

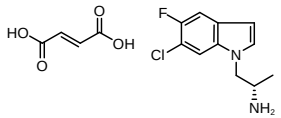
RO 40-6055

Axon 2948

See AM 580 Page 199

RO 60-0175

Axon 1118



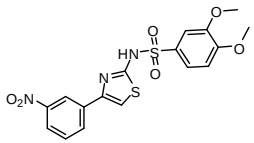
[169675-09-6]
Purity: 99%
>98% ee
Soluble in DMSO
C11H12ClFN2.C4H4O4
MW: 342.75

mg	Price
10	online
50	online

Biological activity
Putative 5-HT2C agonist; selectivity on 2C is under argument

RO 61-8048

Axon 2139



[199666-03-0]
Purity: 99%

Soluble in DMSO
C17H15N3O6S2 MW: 421.45

mg	Price
10	online
50	online

Biological activity
Potent, selective and reversible inhibitor of kynurenine-3-monooxygenase (KMO, or kynurenine hydroxylase) activity (IC50: 37 nM); cell-permeable and competitive

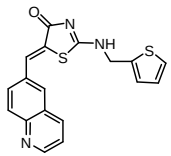
Ro 67-31898

Axon 2499

See Netupitant Page 573

RO 3306

Axon 1530



[872573-93-8]
Purity: 99%

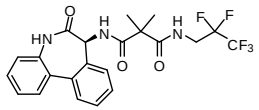
Soluble in DMSO
C18H13N3OS2 MW: 351.45

mg	Price
5	online
25	online

Biological activity
Selective CDK 1 inhibitor (Ki = 35 nM and 110 nM for Cdk1/B1 and Cdk1/A, respectively), which induces cell cycle arrest and actively enhances downstream p53 signaling to promote apoptosis in AML cell lines

RO 4929097

Axon 2521



[847925-91-1]
Purity: 98%
Optically pure
Soluble in DMSO
C22H20F5N3O3 MW: 469.40

mg	Price
5	online
25	online

Biological activity
Potent γ-secretase inhibitor (GSI; IC50 value 4 nM) targeting Notch signaling with in vivo efficacy in various tumor cells, showing >100-fold selectivity with respect to 75 other proteins of various types (receptors, ion channels, and enzymes). Treatment of HEK293 cells with RO4929097 caused a dose-dependent decrease in the amount of Aβ peptides secreted into the culture medium (EC50 value 14 nM), and a strong dose-dependent inhibition of Notch processing in a Notch cell-based reporter assay (EC

RO 5185426

Axon 1624

See PLX 4032 Page 643

RO 5212773

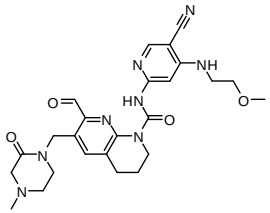
Axon 2419

See EPPTB Page 387

Roblitinib

Axon 2953

FGF 401; NVP-FGF401



[1708971-55-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C25H30N8O4 MW: 506.56

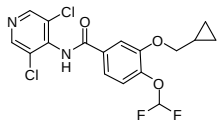
mg	Price
5	online
25	online

Biological activity
Roblitinib is a first-in-class, highly selective and potent FGFR4 inhibitor with an IC50 value of 1.1 nM. Roblitinib binds in a reversible covalent manner to the FGFR4 kinase domain. Developed for hepatocellular carcinoma and currently undergoing clinical evaluation for the treatment of FGFR4 and β-klotho positive solid tumors.

Roflumilast

Axon 2352

Daxas; BY 217; BYK 20869; B 9302-107



[162401-32-3]
Purity: 100%

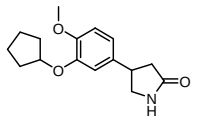
Soluble in DMSO
C17H14Cl2F2N2O3 MW: 403.21

mg	Price
10	online
50	online

Biological activity
First specific PDE4 inhibitor (IC50 value 0.2 - 4.3 nM for inhibition of PDE4 subtypes) licensed for the treatment of COPD.

Rolipram

Axon 1212



[61413-54-5]
Purity: 98%

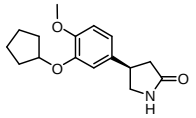
Soluble in DMSO and Ethanol
C16H21NO3 MW: 275.34

mg	Price
10	online
50	online

Biological activity
PDE4 inhibitor, as an anti-inflammatory drug; also with rich CNS profile, such as antidepressive, antipsychotic effects and/or neuroprotection

Rolipram, (R)-(-)-

Axon 1229



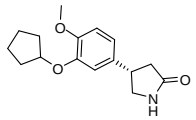
[85416-75-7]
Purity: 99%
>98% ee
Soluble in DMSO and Ethanol
C16H21NO3 MW: 275.34

mg	Price
10	online
50	online

Biological activity
PDE4 inhibitor, more active R-enantiomer of Rolipram (Axon 1212) in comparison with (S)-(+)-Rolipram (Axon 1432). Rolipram is an anti-inflammatory drug; also with rich CNS profile, such as antidepressive, antipsychotic effects and/or neuroprotection

Rolipram, (S)-(+)-

Axon 1432



[85416-73-5]
Purity: 99%

Soluble in DMSO and Ethanol
C16H21NO3 MW: 275.34

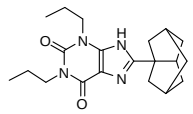
mg	Price
10	online
50	online

Biological activity
PDE4 inhibitor, less active S-enantiomer of Rolipram (Axon 1212) in comparison with the opposite (R)-(-)-Rolipram (Axon 1229)

Rolofylline

Axon 1603

KW 3902



[136199-02-5]
Purity: 99%

Soluble in DMSO
C20H28N4O2 MW: 356.46

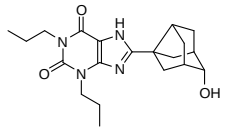
mg	Price
5	online
25	online

Biological activity
Potent and selective adenosine A1 receptor antagonist, with Ki values to be 0.19 nM and 170 nM for A1 and A2 receptors respectively

Rolofylline metabolite M1-cis

Axon 1852

Compound 4



[161167-65-3]
Purity: 98%

Soluble in DMSO and Ethanol
C20H28N4O3 MW: 372.46

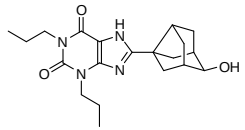
mg	Price
2	online
5	online

Biological activity
Active metabolite of Rolofylline (Axon 1603), a potent and selective adenosine A1 receptor antagonist

Rolofylline metabolite M1-trans

Axon 1851

Compound 3



[160943-06-6]
Purity: 99%

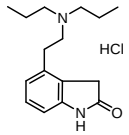
Soluble in DMSO
C20H28N4O3 MW: 372.46

mg	Price
2	online
5	online

Biological activity
Active metabolite of Rolofylline (Axon 1603), a potent and selective adenosine A1 receptor antagonist

Ropinirole hydrochloride

Axon 1514



[91374-20-8]
Purity: 98%

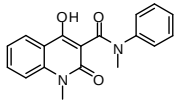
Soluble in water and DMSO
C16H24N2O.HCl MW: 296.84

mg	Price
10	online
50	online

Biological activity
A non-ergoline D2, D3, and D4 dopamine receptor agonist with highest affinity for D3; with moderate in vitro affinity for the opioid receptors

Roquinimex

Axon 2868



[84088-42-6]
Purity: 98%

Soluble in 0.1N NaOH(aq) and DMSO
C18H16N2O3 MW: 308.33

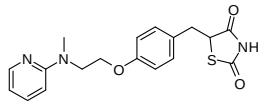
mg	Price
10	online
50	online

Biological activity
Roquinimex has been demonstrated to have immunomodulating activity and antitumor effects. Effective stimulator of NK cells. Antiangiogenic.

Rosiglitazone

Axon 2443

BRL 49653; Rezult; Rosiglizole; TDZ 01



[122320-73-4]
Purity: 98%

Soluble in DMSO
C18H19N3O3S MW: 357.43

mg	Price
10	online
50	online

Biological activity
High affinity PPARγ agonist (Kd value 7 nM). BRL 49653 is an antidiabetic drug and insulin sensitizer that also promotes differentiation of C3H10T1/2 stem cells to adipocytes.

Rosiglizole

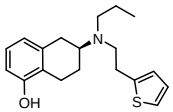
Axon 2443

See Rosiglitazone Page 682

Rotigotine

Axon 1040

N 0923



mg	Price
10	online
50	online

[99755-59-6]
Purity: 99%
99% ee
Soluble in DMSO
C19H25NOS MW: 315.47

Biological activity
Dopamine receptor D2 and D3 agonist, more active enantiomer of N-0437 (Axon 1038) vs opposite (R)-enantiomer N-0924 (Axon 1039)

Roxadustat

Axon 2588

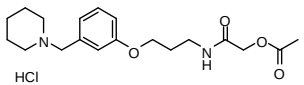
See FG-4592 Page 401

Roxatidine acetate hydrochloride

Recent Addition

Axon 3129

TZU-0460; HOE 760



mg	Price
50	online
250	online

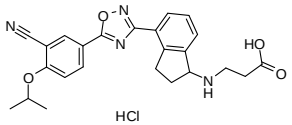
[93793-83-0]
Purity: 99%

Soluble in water and DMSO
C19H29ClN2O4 MW: 384.90

Biological activity
Roxatidine acetate hydrochloride is a histamine H2 receptor antagonist.

RP 001 hydrochloride

Axon 1947



mg	Price
5	online
25	online

[N.A.]
Purity: 99%

Soluble in DMSO
C24H24N4O4.HCl MW: 468.93

Biological activity
A picomolar short-acting sphingosine-1-phosphate 1 (S1P1) receptor selective agonist (EC50: 9 pM)

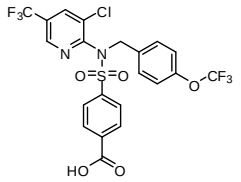
RPT835

Axon 2930

See Alofanib Page 195

RQ 00203078

Axon 2498



mg	Price
10	online
50	online

[1254205-52-1]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C21H13ClF6N2O5S MW: 554.85

Biological activity
Selective, potent, and orally active TRPM8 antagonist (IC50 value 8.3 nM) that demonstrated excellent in vivo activity in a dose dependent manner with an ED50 value of 0.65 mg/kg in the icilin-induced wet-dog shakes model in rats after oral administration.

RS 25259-197

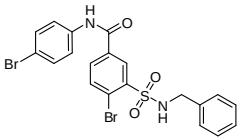
Axon 3101

See Palonosetron hydrochloride Page 614

RS-1

Axon 2584

RAD51-Stimulatory Compound-1



mg	Price
10	online
50	online

[312756-74-4]
Purity: 99%

Soluble in DMSO
C20H16Br2N2O3S MW: 524.23

Biological activity
Enhancer of CRISPR-based genome editing and homology-directed repair (HDR; RAD51). RS-1 can enhance filament stability, and stimulated hRAD51-mediated homologous strand assimilation (D-loop) activity by at least 5- to 11-fold. RS-1 acts as an allosteric regulator that locks hRAD51 in an active conformation and does so without influencing the active site for ATP hydrolysis. Treatment with RS-1 promoted significant antitumor responses in a mouse model.

RSK inhibitor Fmk

Axon 1848

See *FMK* Page 409

RTA 401

Axon 1950

See CDDO Page 304

RTA 402

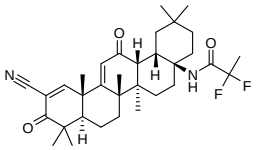
Axon 1772

See CDDO-Me Page 305

RTA 408

Axon 2497

Omaveloxolone



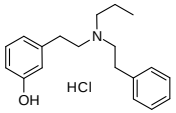
[1474034-05-3]
Purity: 98%
Optically pure
Soluble in DMSO
C33H44F2N2O3 MW: 554.71

mg	Price
2	online
5	online

Biological activity
Synthetic triterpenoid that potently activates the antioxidative transcription factor Nrf2 (nuclear factor erythroid 2-related factor 2) and inhibits the proinflammatory transcription factor NF-κB at low concentrations. RTA 408 dose-dependently reduced NO concentrations (IC50 value 4.4 Nm). At higher concentrations, RTA 408 inhibited tumor cell growth (GI50 value 260 nM) and increased caspase activity in tumor cell lines, but not in normal primary human cells. RTA 408 is a highly effective mitigator of steady state hematopoiesis and shows normalization of the frequency of hematopoietic stem and progenitor cells in mice after administration of lethal, myeloablative doses of whole-body irradiation.

RU 24213

Axon 1003



[67383-44-2]
Purity: 98%

No solubility data
C19H25NO.HCl MW: 319.87

mg	Price
10	online
50	online

Biological activity
Dopamine D2 receptor agonist; also kappa opioid receptor antagonist

RU 38486

Axon 1502

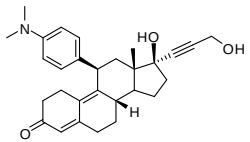
See Mifepristone

Page 538

RU 42698

Axon 1558

Mifepristone, Hydroxy-



[105012-15-5]
Purity: 99%
optically pure
Soluble in DMSO
C29H35NO3 MW: 445.59

mg	Price
2	online
5	online

Biological activity
Metabolite of Mifepristone (Axon 1502); a useful tool in researching mifepristone action

RU 486

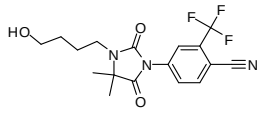
Axon 1502

See Mifepristone

Page 538

RU 58841

Axon 1680



[154992-24-2]
Purity: 99%

Soluble in DMSO
C17H18F3N3O3 MW: 369.34

mg	Price
5	online
25	online

Biological activity
A specific androgen receptor antagonist or anti-androgen; RU 58841 has a dramatic effect on hair regrowth

RU-0204277

Axon 2664

See LRE1

Page 515

RU-23908

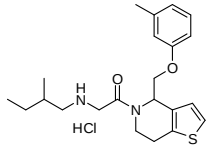
Axon 3249

See Nilutamide Recent Addition

Page 577

RU-SKI 43 hydrochloride

Axon 2035



[1043797-53-0] (parent)
Purity: 99%

Soluble in DMSO
C22H30N2O2S.HCl MW: 423.01

mg	Price
5	online
25	online

Biological activity
Hedgehog acyltransferase (HHAT) inhibitor in vitro and in cells; it blocks sonic hedgehog (Shh) signaling significantly

Ruboxistaurin

Axon 2362

See LY 333531 hydrochloride

Page 520

Ruboxistaurin

Axon 1401

See LY 333531 mesylate

Page 519

Rucaparib

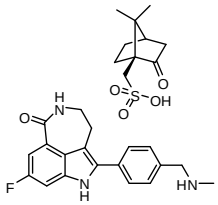
Axon 1529

See AG 014699

Page 191

Rucaparib camsylate

Axon 3113



[1859053-21-6]
Purity: 99%

Soluble in DMSO
C19H18FN3O.C10H16O4S MW: 555.66

mg	Price
10	online
50	online

Biological activity
A PARP 1 inhibitor with potential chemosensitizing, radiosensitizing and antineoplastic activities; selectively binds to PARP1 (Ki=1.4 nM) and inhibits PARP1-mediated DNA repair, thereby enhancing the accumulation of DNA strand breaks and promoting genomic instability and apoptosis. Also available as the phosphate salt AG 014699 (Axon 1529).

Ruprintrivir

Axon 1571

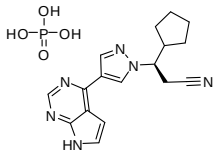
See AG 7088

Page 191

Ruxolitinib

Axon 1598

INCB 018424 phosphate



[1092939-17-7]
Purity: 99%
98% ee
Soluble in DMSO and Ethanol
C17H18N6.H3O4P MW: 404.36

mg	Price
2	online
5	online

Biological activity
An orally bioavailable, potent and selective inhibitor of Janus-associated kinase (JAK) 1 and 2, with IC50 to be 2.7, 4.5 and 332 nM for JAK1, JAK2 and JAK3 respectively;selectivity >100 fold for a wide range of other kinases. It acts by blocking the JAK/STAT pathway

RVX 000222

Axon 2245

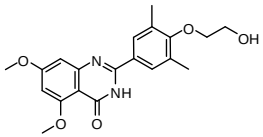
See RVX 208

Page 687

RVX 208

Axon 2245

RVX 000222



[1044870-39-4]
Purity: 100%

Soluble in DMSO
C20H22N2O5 MW: 370.40

mg	Price
2	online
10	online

Biological activity
BET bromodomain inhibitor specific for second bromodomains (BD2s), currently in phase I/II clinical trials for the treatment of cardiovascular diseases (IC50 values of 87 and 0.51 µM derived from the AlphaScreen data on BRD3 BD1 and BD2 resp.). RVX 208 preferentially binds to the second bromodomain found on BET proteins, exhibiting selectivity over BD1 of up to 23-fold with a KD of 195 nM against BD2 and 4 µM against BD1 of BRD3. RVX 208 binds to the acetyl-lysine binding pocket in a peptide-competitive manner, and leads to an increase of plasma levels of the high-density lipid protein ApoA1, wich has emerged as a promising approach for the treatment of atherosclerosis.

4SC-101

Axon 2377

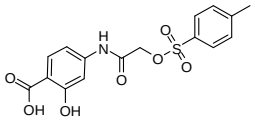
See Vidofludimus

Page 797

S3I 201

Axon 2313

NSC 74859



[501919-59-1]
Purity: 99%

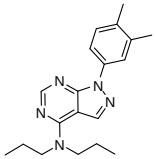
Soluble in 0.1N NaOH(aq) and DMSO
C16H15NO7S MW: 365.36

mg	Price
10	online
50	online

Biological activity
Potent, cellular STAT3 inhibitor that inhibits Stat3 Stat3 complex formation and Stat3 DNA-binding and transcriptional activities (IC50 value 86 µm for in vitro Stat3–Stat3:DNA disruption). S3I 201 inhibits growth and induces apoptosis preferentially in tumor cells that contain persistently activated Stat3. Additionally, S3I 201 inhibits the expression of the Stat3-regulated genes encoding cyclin D1, Bcl-xL, and survivin and inhibits the growth of human breast tumors in vivo. S3I 201 showed cytotoxic activity against a wide variety of cancer cell lines (IC50 values ranging from 37.9 to 82.6 µm) through inhibition of the reductases P5, protein disulfide isomerase (PDI), thiol-disulfide oxidoreductase ERp57, and/or Trx.

S3QEL 2

Axon 2544



[890888-12-7]
Purity: 99%

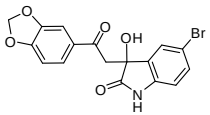
Soluble in DMSO
C19H25N5 MW: 323.44

mg	Price
10	online
50	online

Biological activity
Suppressor of superoxide production from mitochondrial complex III (IC50 value 1.7 µM against superoxide production mediated by the outer Q-binding site of complex III (site IIIQo)). S3QEL-2 protects against ROS-induced, JNK-mediated cell stress in pancreatic β-cells, and strongly mitigates the oxidative stress-induced apoptosis that limits the yield of functional β-cells from intact islets. S3QEL-2 modulates HIF-1α activation without directly affecting metabolism.

S 12

Axon 2165



[258264-62-9]
Purity: 99%

Soluble in DMSO
C17H12BrNO5 MW: 390.18

mg	Price
10	online
50	online

Biological activity
Survivin inhibitor. Alters spindle formation, causing mitotic arrest (by disrupting metaphase at the G2/M stage) and cell death. S 12 inhibits tumor growth in vitro and in vivo, and effectively inhibits cell proliferation and tumor growth independently of p53 status.

S 1027 dihydrochloride

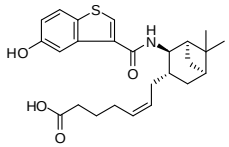
Axon 2347

See SGI 1027 dihydrochloride

Page 710

S 5751

Axon 1605



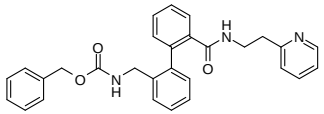
[209268-36-0]
Purity: 99%
optically pure
Soluble in 0.1N NaOH(aq) and DMSO
C25H31NO4S MW: 441.58

mg	Price
2	online
5	online

Biological activity
Potent, selective and orally active prostaglandin D2 (PGD2) receptor DP antagonist, Ki values to be 1.6 and 24.2 nM for human DP and TP receptors

S 9947

Axon 1657



[332378-43-5]
Purity: 99%

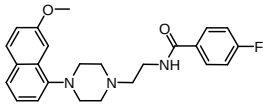
Soluble in DMSO
C29H27N3O3 MW: 465.54

mg	Price
10	online
50	online

Biological activity
Kv1.5 or IKur channel blocker, which suppresses both cloned (Kv1.5) and native (IKur) cardiac potassium current

S 14506

Axon 1088



[135722-25-7]
Purity: 98%

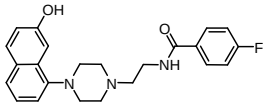
Soluble in DMSO and Ethanol
C24H26FN3O2 MW: 407.48

mg	Price
5	online
10	online

Biological activity
Very potent and selective 5-HT1A agonist

S 14506, desmethyl-

Axon 1089



[135722-26-8]
Purity: 98%

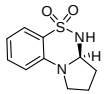
No solubility data
C23H24FN3O2 MW: 393.45

mg	Price
10	online
50	online

Biological activity
Precursor for labeling the 5-HT1A agonist, S14506, for PET study

S 18986

Axon 1788



[175340-20-2]
Purity: 99%
>99% ee
Soluble in DMSO
C10H12N2O2S MW: 224.28

mg	Price
5	online
25	online

Biological activity
Positive allosteric modulator of AMPA receptor with cognitive-enhancing effects; neuroprotective; long-acting and with good oral availability

S-(2-Boronoethyl)-L-cysteine hydrochloride

Axon 2373

See BEC hydrochloride Page 264

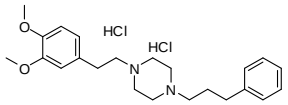
S26308

Axon 3107

See Imiquimod Page 466

SA 4503

Axon 1767



[165377-44-6]
Purity: 99%

Soluble in water
C23H32N2O2.2HCl MW: 441.43

mg	Price
10	online
50	online

Biological activity
Potent and selective sigma-1 receptor agonist; showing high affinity (IC50=17.4 nM) for sigma-1 and 100 fold less affinity for sigma-2

SAHA

Axon 3114

See Vorinostat Page 799

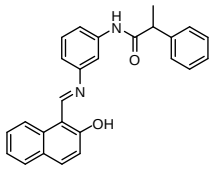
Salen-Mn

Axon 2292

See EUK 134 Page 392

Salermide

Axon 2704



[1105698-15-4]
Purity: 99%

Soluble in DMSO
C26H22N2O2 MW: 394.47

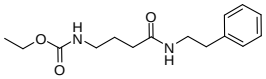
mg	Price
10	online
50	online

Biological activity
Salermide is a reverse amide with a potent in vitro inhibitory effect on Sirt1 and Sirt2. Salermide was well tolerated by mice at concentrations up to 100 µM and prompted tumour-specific cell death in a wide range of human cancer cell lines. It induces massive apoptosis in cancer but not in non-transformed cultured cells. The apoptotic effect of Salermide is in part because of the reactivation of proapoptotic genes that are epigenetically repressed by Sirt1 exclusively in cancer cells.

Santacruzamate A

Axon 2495

CAY 10683



[1477949-42-0]
Purity: 99%

Soluble in DMSO
C15H22N2O3 MW: 278.35

mg	Price
10	online
50	online

Biological activity
Picomolar level Class I HDAC2 inhibitor (IC50 value 0.11 nM) with relatively little inhibition of HDAC4 or HDAC6 (IC50 values >1000 nM and 433 nM, respectively). Cytotoxin with several structural features in common with Vorinostat, a clinically approved HDAC inhibitor used to treat refractory cutaneous T-cell lymphoma. Note: Potency of synthetic Santacruzamate A is questioned due to lack of cytotoxicity tested in two cancer cell lines

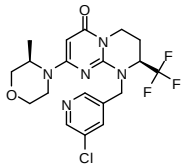
Sapresta

Axon 3013

See Aranidipine Page 222

SAR405

Axon 2716



[1523406-39-4]
Purity: 98%
>99% ee
Soluble in DMSO
C19H21ClF3N5O2 MW: 443.85

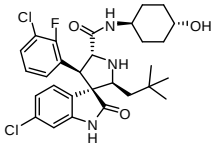
mg	Price
2	online
5	online

Biological activity
SAR405 is a potent kinase inhibitor of PI3K class III (PIK3C3), highly specific on VPS34 (with IC50 value of 1 nM and Kd value of 1.5 nM for PIK3C3/VPS34). This compound has an exquisite protein and lipid kinase selectivity profile that is explained by its unique binding mode and molecular interactions within the ATP binding cleft of human Vps34. Inhibition of Vps34 kinase activity by SAR405 affects both late endosome-lysosome compartments and prevents autophagy. Concomitant inhibition of Vps34 and mTOR, with SAR405 and mTOR inhibitor everolimus, results in synergistic antiproliferative activity in renal tumor cell lines.

SAR405838

Axon 2741

MI-77301



[1303607-60-4]
Purity: 98%
Optically pure
Soluble in DMSO
C29H34Cl2FN3O3 MW: 562.50

mg	Price
5	online
25	online

Biological activity
SAR405838 is an inhibitor of the MDM2-p53 interaction with high specificity over other proteins (Ki value of 0.88 nM). SAR405838 effectively activates wild-type p53 in vitro and in xenograft tumor tissue of leukemia and solid tumors, leading to p53-dependent cell-cycle arrest and/or apoptosis. At well-tolerated dose schedules, SAR405838 achieves either durable tumor regression or complete tumor growth inhibition in mouse xenograft models of SJSA-1 osteosarcoma, RS4;11 acute leukemia, LNCaP prostate cancer, and HCT-116 colon cancer.

SAR439152

Axon 2683

See MYK-461 Page 562

Saracatinib

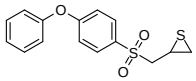
Axon 1456

See AZD 0530 difumarate

Page 240

SB-3CT

Axon 2370



[292605-14-2]
Purity: 99%

Soluble in DMSO
C15H14O3S2 MW: 306.40

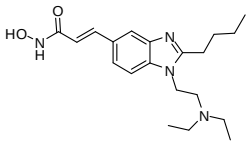
mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of Gelatinases MMP-2 and MMP-9 (Ki values 13.9 nM and 600 nM, respectively). In contrast, the Ki values of SB-3CT against other MMPs (MMP-1, MMP-3, and MMP-7) are in the micromolar range. SB-3CT protects against brain damage and ameliorates neurological outcome after transient focal cerebral ischemia in mice

SB 939

Pracinostat

Axon 1777



[929016-96-6]
Purity: 99%

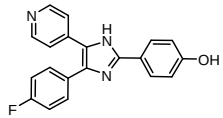
Soluble in DMSO
C20H30N4O2 MW: 358.48

mg	Price
5	online
25	online

Biological activity
Potent and oral inhibitor of histone deacetylase (HDAC), selective for class I, II and IV HDACs. SB939 shows significant antiproliferative activity against a wide variety of tumor cell lines,with high tumor exposure and efficacy in mouse models of colorectal cancer

SB 202190

Axon 1364



[152121-30-7]
Purity: 99%

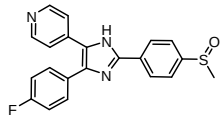
Soluble in DMSO
C20H14FN3O MW: 331.34

mg	Price
10	online
50	online

Biological activity
Potent, cell-permeable and selective inhibitor of p38 MAP kinase (MAPK)

SB 203580

Axon 1363



[152121-47-6]
Purity: 99%

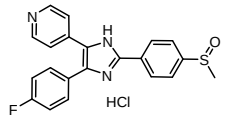
Soluble in 0.1N HCl(aq) and DMSO
C21H16FN3OS MW: 377.43

mg	Price
10	online
50	online

Biological activity
Potent, cell-permeable and selective inhibitor of p38 MAP kinase (MAPK). Also available as its water-soluble form (Axon 1465)

SB 203580 hydrochloride

Axon 1465



[869185-85-3]
Purity: 99%

Soluble in water
C21H16FN3OS.HCl MW: 413.90

mg	Price
5	online
25	online

Biological activity
Potent, cell-permeable and selective inhibitor of p38 MAP kinase (MAPK); water-soluble salt of SB 203580 (Axon 1363)

SB 207266A

Axon 1098

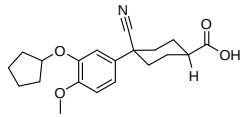
See Pibeserod hydrochloride

Page 638

SB 207499

Cilomilast; Ariflo

Axon 1592



[153259-65-5]
Purity: 99%

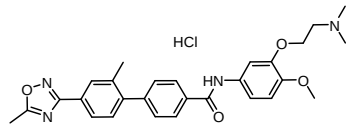
Soluble in 0.1N NaOH(aq) and DMSO
C20H25NO4 MW: 343.42

mg	Price
5	online
25	online

Biological activity
Selective and orally active inhibitor of phosphodiesterase-4 (PDE4); a potential agent for the treatment of respiratory disorders such as asthma and Chronic Obstructive Pulmonary Disease (COPD);a second generation PDE4 inhibitor, reduces tumor necrosis factor α and interleukin-4 production in vivo

SB 216641 hydrochloride

Axon 1085



[193611-67-5]
Purity: 99%

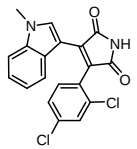
Soluble in water
C28H30N4O4.HCl MW: 523.02

mg	Price
10	online
50	online

Biological activity
Selective human 5-HT1B antagonist

SB 216763

Axon 1303



[280744-09-4]
Purity: 99%

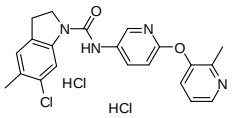
Soluble in DMSO
C19H12Cl2N2O2 MW: 371.22

mg	Price
10	online
50	online

Biological activity
Potent and selective glycogen synthase kinase-3 (GSK-3) inhibitor

SB 242084 dihydrochloride

Axon 1745



[1049747-87-6]
Purity: 99%

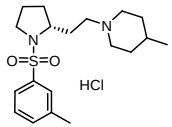
Soluble in water
C21H19ClN4O2.2HCl MW: 467.78

mg	Price
5	online
25	online

Biological activity
Selective and brain penetrant 5-HT2C receptor antagonist

SB 258741 hydrochloride

Axon 1100



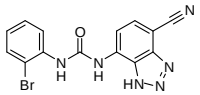
[201038-58-6]
Purity: 99%
>98% ee
Soluble in water
C19H30N2O2S.HCl MW: 386.98

mg	Price
5	online
25	online

Biological activity
Serotonin 5-HT7 antagonist

SB 265610

Axon 1559



[211096-49-0]
Purity: 99%

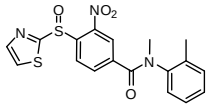
Soluble in DMSO
C14H9BrN6O MW: 357.16

mg	Price
5	online
25	online

Biological activity
Potent chemokine CXCR2 receptor antagonist

SB 268262

Axon 1145



[217438-17-0]
Purity: 99%

Soluble in DMSO
C18H15N3O4S2 MW: 401.46

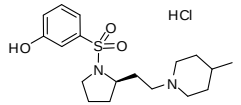
mg	Price
10	online
50	online

Biological activity
Selective non-peptide CGRP1 antagonist; racemate of (+)-SB-273779

SB 269970 hydrochloride

Axon 2183

SB 269970A



[261901-57-9]
Purity: 99%
optically pure
Soluble in water and DMSO
C18H28N2O3S.HCl MW: 388.95

mg	Price
10	online
50	online

Biological activity
Potent and selective 5-HT7 antagonist (pKi value 8.9 for 5-HT7a) with >50 fold selectivity over a wide range of serotonergic, dopaminergic and adrenergic receptors. Analogue of SB 258741 hydrochloride (Axon 1100). SB-269970 significantly blocked amphetamine and ketamine-induced hyperactivity and reversed amphetamine-induced but not ketamine-induced prepulsed inhibiton (PPI) deficits, without changing spontaneous locomotor activity and startle amplitude.

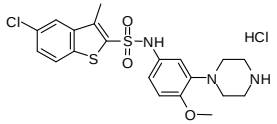
SB 269970A

Axon 2183

See SB 269970 hydrochloride Page 696

SB 271046 hydrochloride

Axon 1099



[209481-24-3]
Purity: 99%

Soluble in DMSO
C20H22ClN3O3S2.HCl MW: 488.45

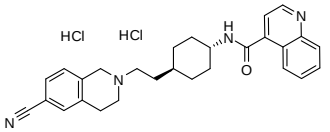
mg	Price
10	online
50	online

Biological activity
Putative 5-HT6 antagonist

SB 277011A

Axon 1920

SB 277011 dihydrochloride



[1226917-67-4]
Purity: 99%

Soluble in water and DMSO
C28H30N4O.2HCl MW: 511.49

mg	Price
5	online
25	online

Biological activity
Potent, selective and brain penetrating D3 dopamine receptor antagonist; with high affinity for the hD3 receptor (pKi = 7.95) and 100-fold selectivity over the hD2 receptor and over 66 other receptors

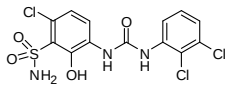
SB 277011 dihydrochloride

Axon 1920

See *SB 277011A* Page 696

SB 332235

Axon 2593

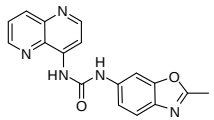


	mg	Price
[276702-15-9] Purity: 98%	5	online
Soluble in 0.1N NaOH(aq) and DMSO C13H10Cl3N3O4S MW: 410.66	25	online

Biological activity
Selective nonpeptide CXCR2 antagonist (IC50 values 9.3 nM and 9.6 µM for CXCR2 and CXCR1, respectively) exhibiting significant anti-inflammatory effects in acute and chronic models of arthritis in the rabbit. SB-332235 significantly reduced levels of proinflammatory mediators in the synovial fluid, including TNF-α, IL-8, PGE2, LTB4, and LTC4. SB-332235 was also found to abolish the GRO/CINC-1 mediated inhibition of C2-ceramide-induced cytochrome c release frommitochondria.

SB 334867

Axon 2095



	mg	Price
[792173-99-0] Purity: 99%	5	online
Soluble in DMSO C17H13N5O2 MW: 319.32	10	online

Biological activity
First selective orexin type 1 (OX1) receptor antagonist; Its affinity for OX1R is ~50-fold higher than for OX2R

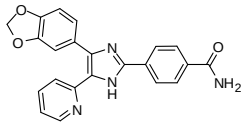
SB 424323

Axon 1536

See Odiparcil Page 601

SB 431542

Axon 1661



	mg	Price
[301836-41-9] Purity: 99%	5	online
Soluble in DMSO C22H16N4O3 MW: 384.39	10	online

Biological activity
Potent and selective inhibitor of TGF-β1 superfamily activin receptor-like kinase (ALK), specifically at ALK5 (IC50: 94 nM) and its relatives ALK4 (IC50: 140 nM) and ALK7;SB431542 inhibits endogenous activin and TGF-β signaling, but has no effect on BMP signaling; a useful tool for studing the role of TGF-β, activin and many cellular processes

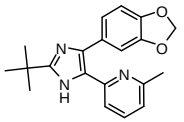
SB 497115

Axon 1872

See Eltrombopag Page 382

SB 505124

Axon 2197

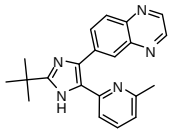


	mg	Price
[694433-59-5] Purity: 100%	5	online
Soluble in 0.1N HCl(aq) and DMSO C20H21N3O2 MW: 335.40	25	online

Biological activity
Selective inhibitor of TGF-β type I receptors ALK4 and ALK5 (IC50 values 129 nM and 47 nM, respectively). SB 505124 also inhibits the closely related ALK7 receptor, but not the BMP activated receptors (ALK1, 2, 3, and 6). It inhibits downstream TGF-β and Activin induced signaling of Smad2, but not BMP induced signaling of Smad1, -5, or -8. Pretreatment of the cells with SB-505124 blocked TGFβ–induced cell death but had no effect on TNFα–induced toxicity. Additionally, SB-505124 blocks activation of TGFβ induced MAPK pathways but is ineffective when these pathways are induced by EGF.

SB 525334

Axon 2285

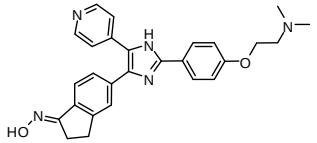


	mg	Price
[356559-20-1] Purity: 99%	10	online
Soluble in DMSO C21H21N5 MW: 343.42	50	online

Biological activity
Potent and selective inhibitor of the ALK5 receptor (IC50 value 14.3 nM). SB 525334 is approximately 4-fold less potent as an inhibitor of ALK4, and inactive as an inhibitor of ALK2, ALK3, and ALK6.
In cell-based assays, SB 525334 blocked TGF-β1-induced phosphorylation and nuclear translocation of Smad2/3 in renal proximal tubule cells and inhibited TGF-β1-induced increases in plasminogen activator inhibitor-1 (PAI-1) and procollagen alpha1(I) mRNA expression in renal epithelial carcinoma cells.

SB 590885

Axon 2504

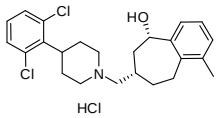


	mg	Price
[405554-55-4] Purity: 99%	5	online
Soluble in 0.1N HCl(aq) and DMSO C27H27N5O2 MW: 453.54	25	online

Biological activity
Potent and selective inhibitor of B-Raf kinase (Kd value 0.3 nM for BRAF), devoid of significant activity against a wide panel of enzymes, including p38α, GSK3β, and Lck. SB590885 maintains OCT4-ΔPE-GFP reporter activity and pluripotency gene expression in human ESCs after removal of exogenous KLF2 and NANOG expression, preserving the best colony morphology and proliferation. SB 590885 is frequently used in a combination of five compounds, including inhibitors of MEK, GSK3, BRAF, ROCK, and SRC, which supports the expansion of viable OCT4-ΔPE-GFP+ human pluripotent cells after exogenous transcription factor expression has been removed.

SB 612111 hydrochloride

Axon 1413



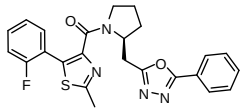
[371980-98-2]
Purity: 99%
optically pure
Soluble in DMSO
C24H29Cl2NO.HCl MW: 454.86

mg	Price
2	online
5	online
25	online

Biological activity
Selective NOP receptor antagonist

SB 674042

Axon 2192



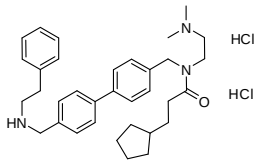
[483313-22-0]
Purity: 99%
>99% ee
Soluble in DMSO and Ethanol
C24H21FN4O2S MW: 448.51

mg	Price
5	online
25	online

Biological activity
Nonpeptide OX1 selective antagonist (Kd value 3.76 nM) with >100 fold selectivity over the OX2 receptor. SB 674042 displays no significant affinity for a range of serotonergic, dopaminergic, adrenergic and purinergic receptors at concentrations up to 10 µM.
SB 674042 was also shown to be a competitive, functional antagonist of the OX1 receptor in the calcium mobilisation assay using CHO-DG44_OX1 cell lines.

SB 699551A

Axon 1469



[791789-61-2]
Purity: 99%

Soluble in DMSO
C34H45N3O.2HCl MW: 584.66

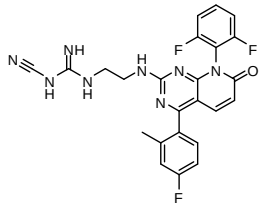
mg	Price
5	online
25	online

Biological activity
Selective 5-ht5A receptor antagonist

SB 706504

Axon 2444

PCG



[911110-38-8]
Purity: 98%

Soluble in DMSO
C24H19F3N8O MW: 492.46

mg	Price
5	online
25	online

Biological activity
Selective p38 MAPK inhibitor that targets a subset of inflammatory macrophage genes (IC50 value 2.5 nM for p38α, and no IC50 values <5 µM, except for JNK1 (5 µM)). When used with dexamethasone, SB 706504 causes effective suppression of these genes without affecting transcription of a subset of LPS-regulated genes, including IL-1β, IL-18, and CCL5 (genes involved in the pathogenesis of COPD). Furthermore, SB 706504 reduces TNFα, GM-CSF, and IL-6 production from LPS-stimulated COPD macrophages, with less effect on IL-8 production.

SB 715992

Axon 2446

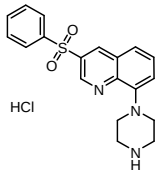
See Ispinesib

Page

SB 742457

Axon 1382

GSK 742457



[607742-55-2]
Purity: 99%

Soluble in DMSO
C19H19N3O2S.HCl MW: 389.90

mg	Price
5	online
25	online

Biological activity
Selective 5-HT6 antagonist; a potential agent added to stabilize donepezil (Axon 1438) treatment in subjects with mild-to-moderate Alzheimer's disease

SB 747651 tetrahydrochloride

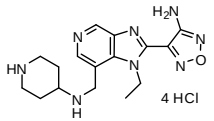
Axon 1897

See *SB 747651A* Page 700

SB 747651A

Axon 1897

SB 747651 tetrahydrochloride



[N.A.]
Purity: 98%

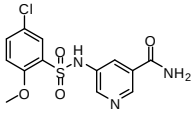
Soluble in water and DMSO
C16H22N8O.4HCl MW: 488.24

mg	Price
5	online
25	online

Biological activity
Potent MSK inhibitor; In vitro, SB-747651A inhibits MSK1 with an IC50 value of 11 nM; In cells, SB-747651A fully inhibited MSK activity at 5-10 µM.SB-747651A exhibited improved selectivity over H89 and Ro 31-8220 and therefore represents a useful tool to study MSK function in cells

SBI-425

Axon 2963



[1451272-71-1]
Purity: 99%

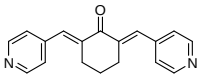
Soluble in 0.1N HCl(aq) and DMSO
C13H12ClN3O4S MW: 341.77

mg	Price
10	online
50	online

Biological activity
SBI-425 is a potent, selective and oral bioavailable inhibitor of tissue-nonspecific alkaline phosphatase (TNAP) with an IC50 value of 0.016 µM. SBI-425 robustly inhibits TNAP in vivo after oral dosing. Furthermore, SBI-425 demonstrated activity in blocking calcification in patient derived fibroblasts as well as in rodent models of GACI and PXE.

SC 66

Axon 1790



[871361-88-5]
Purity: 99%

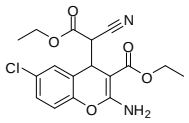
Soluble in DMSO and Ethanol
C18H16N2O MW: 276.33

mg	Price
10	online
50	online

Biological activity
An allosteric Akt inhibitor, targeting pleckstrin homology domain and facilitating Akt ubiquitination

SC 79

Axon 2507



[305834-79-1]
Purity: 98%

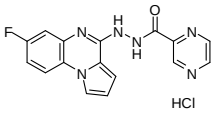
Soluble in DMSO
C17H17ClN2O5 MW: 364.78

mg	Price
5	online
25	online

Biological activity
Unique specific activator of cytosolic Akt (PKB) with the potention to recapitulate the primary cellular function of Akt signaling in a hippocampal neuronal culture system and a mouse model for ischemic stroke, resulting in augmented neuronal survival. Paradoxically, SC 79 suppressed PH-Akt-GFP plasma membrane translocation Close analogue of HA 14-1 (Axon 2007), a Bcl-2 antagonist (IC50 value 9 µM).

SC 144 hydrochloride

Axon 2324



[917497-70-2]
Purity: 99%

Soluble in DMSO
C16H11FN6O.HCl MW: 358.76

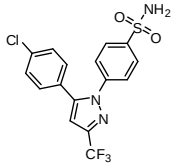
mg	Price
10	online
50	online

Biological activity
The first-in-class small-molecule gp130 inhibitor with oral activity in ovarian cancer (IC50 values 0.43-0.95 µM for a range of human ovarian cancer cell lines). SC144 binds gp130, induces gp130 phosphorylation and deglycosylation, abrogates Stat3 phosphorylation and nuclear translocation, and further inhibits the expression of downstream target genes.

SC 236

Axon 2108

SC 58236



[170569-86-5]
Purity: 99%

Soluble in DMSO
C16H11ClF3N3O2S MW: 401.79

mg	Price
10	online
50	online

Biological activity
Selective COX-2 inhibitor, which showed an impressive selectivity for COX-2 over COX-1 (IC50 0.01 µM vs. 17.8 µM respectively); NSAID and early lead compound during the discovery of Celecoxib (Axon 1919).However, SC236 showed an extremely long plasma half-life, not preferred for further development as potential therapeutic
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

SC 12267

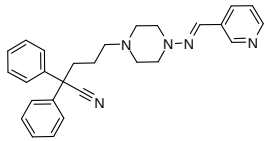
Axon 2377

See Vidofludimus Page 797

SC 26196

Axon 2112

PF 06341724



[218136-59-5]
Purity: 99%

Soluble in DMSO
C27H29N5 MW: 423.55

mg	Price
5	online
25	online

Biological activity
Selective Δ6-desaturase inhibitor (IC50 = 0.2 µM in vitro; >100 fold selective over Δ5- and Δ9-desaturases), an enzyme essential for the synthesis of arachidonic acid. It showed anti-inflammatory effects to the same extent as indomethacin or essential fatty acid deficiency in established mouse models
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

SC 58236

Axon 2108

See SC 236 Page 701

SC 58635

Axon 1919

See Celecoxib Page 308

SC 65872

Axon 2106

See Valdecoxib Page 791

SC-69124A

Axon 3311

See Parecoxib sodium Recent Addition Page 615

SCH 29851

Axon 1299

See Loratadine Page 513

SCH 52365

Axon 2326

See Temozolomide

Page 758

SCH 56592

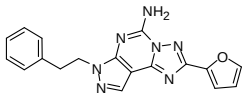
Axon 1557

See Posaconazole

Page 647

SCH 58261

Axon 1253



[160098-96-4]
Purity: 99%

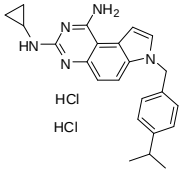
Soluble in DMSO
C18H15N7O MW: 345.36

mg	Price
5	online
25	online

Biological activity
Highly selective and potent A2A adenosine receptor antagonist

SCH 79797 hydrochloride

Axon 1275



[1216720-69-2]
Purity: 99%

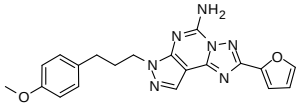
Soluble in DMSO and Ethanol
C23H25N5.2HCl MW: 444.40

mg	Price
5	online
25	online

Biological activity
Potent and selective non-peptide PAR1 antagonist

SCH 442416

Axon 1264



[316173-57-6]
Purity: 99%

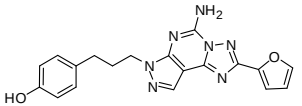
Soluble in DMSO
C20H19N7O2 MW: 389.41

mg	Price
5	online
25	online

Biological activity
Highly selective and potent A2A adenosine receptor antagonist

SCH 442416, Desmethyl

Axon 2283



[188112-92-7]
Purity: 95%

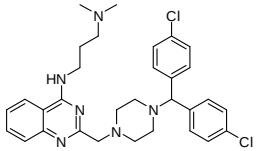
Soluble in DMSO
C19H17N7O2 MW: 375.38

mg	Price
10	online
25	online

Biological activity
Precursor for [11C]SCH442416 for PET studies; Radioligand precursor of the highly selective and potent A2A adenosine receptor antagonist SCH 442416 (Axon 1264). Desmethyl SCH 442416 is less potent and less selective in binding the A2A receptor than SCH 445416 (Ki values 44 nM, 48 nM, and 34 nM for A1, A2A and A3 respectively).

SCH 529074

Axon 2244



[922150-11-6]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C31H36Cl2N6 MW: 563.56

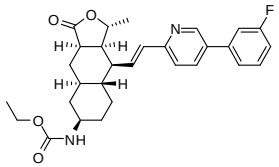
mg	Price
5	online
25	online

Biological activity
Small molecule activator of mutant p53 which binds p53 DNA binding domain (DBD; Kd value 1-2 µM). SCH 529074 restores growth-suppressive function to mutant p53 (R273H and the structural mutant R249S) by acting as a chaperone and interrupts HDM2-mediated ubiquitination of wild type p53.

SCH 530348

Axon 1755

Vorapaxar; MK 5348



[618385-01-6]
Purity: 99%
optically pure
Soluble in DMSO
C29H33FN2O4 MW: 492.58

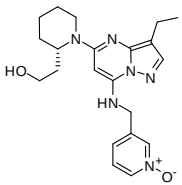
mg	Price
5	online
25	online

Biological activity
Potent and orally active thrombin receptor (or protease-activated receptor 1, PAR-1) antagonist (Ki: 8.1 nM) that inhibits thrombin-induced platelet activation

SCH 727965

Axon 1776

Dinaciclib



mg	Price
5	online
25	online

[779353-01-4]
Purity: 99%
optically pure
Soluble in 0.1N HCl(aq) and DMSO
C21H28N6O2 MW: 396.49

Biological activity
Potent and selective cyclin-dependent kinase (CDK) inhibitor, selectively inhibiting CDK1, CDK2, CDK5 and CDK9 with IC50 values of 3, 1, 1 and 4 nM respectively; a potential antineoplastic agent

SCH 900435

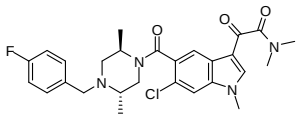
Axon 1563

See ORG 25935 Page 605

SCIO 469

Axon 1671

Talmapimod



mg	Price
5	online
25	online

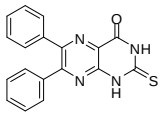
[309913-83-5]
Purity: 98%

Soluble in DMSO
C27H30ClFN4O3 MW: 513.00

Biological activity
Orally available and selective inhibitor of p38 mitogen-activated protein (MAP) kinase (MAPK), with a 10-fold selectivity for p38α over p38β and 2000-fold over 20 other kinases;potential agent with immunomodulating, anti-inflammatory and antineoplastic activities

SCR7 pyrazine

Axon 2531



mg	Price
2	online
10	online

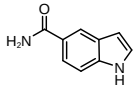
[14892-97-8]
Purity: 99%

Soluble in DMSO
C18H12N4OS MW: 332.38

Biological activity
DNA ligase IV mediated inhibitor of NHEJ (non-homologous end joining) that increases the efficiency of homology-directed repair for CRISPR-Cas9-induced precise gene editing in mammalian cells up to 19-fold. Note: Axon Medchem confirmed that the active chemical entity of SCR7, as described by Srivastava and others, is actually SCR7 pyrazine (Axon 2531).

SD 169

Axon 1357



mg	Price
5	online
25	online

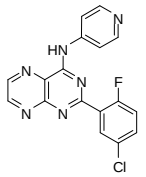
[1670-87-7]
Purity: 99%

Soluble in DMSO and Ethanol
C9H8N2O MW: 160.17

Biological activity
ATP competitive, orally active inhibitor of p38α MAP kinase (IC50 = 3.2 nM); being 38 fold selective vs against p38β MAP kinase (IC50 = 122 nM) and no inhibitory activity against a panel of other kinasesincluding p38γ MAP kinase, ERK2, JNK-1 and MAPKAPK-2

SD 208

Axon 1387



mg	Price
2	online
10	online

[627536-09-8]
Purity: 99%

Soluble in DMSO
C17H10ClFN6 MW: 352.75

Biological activity
Transforming growth factor beta receptor I (TGF-βR I) kinase inhibitor

SDZ ENA 713

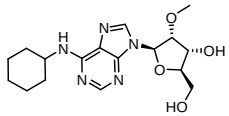
Axon 3167

See Rivastigmine tartrate **Recent Addition** Page 676

SDZ-WAG 994

Axon 1265

WAG 994



mg	Price
10	online
50	online

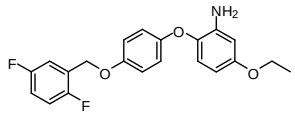
[130714-47-5]
Purity: 98%

Soluble in DMSO and Ethanol
C17H25N5O4 MW: 363.41

Biological activity
Potent, selective and orally active A1 adenosine receptor agonist

SEA0400

Axon 2751



mg	Price
10	online
50	online

[223104-29-8]
Purity: 99%

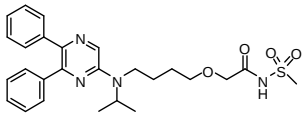
Soluble in DMSO
C21H19F2NO3 MW: 371.38

Biological activity
SEA0400 is a potent and selective inhibitor of the Na+-Ca2+ exchanger (NCX). IC50 values of SEA0400 were 33, 5.0, 8.3, 90 and 92 nM in cultured neurons, astrocytes, microglia, dog sarcolemmal vesicles and cultured rat myocytes, respectively. SEA0400 protects astrocytes against Ca2+ paradox-like injury and reduces cerebral ischemic damage in rats with a transient middle cerebral artery occlusion.

Selexipag

Axon 2605

NS 304; ACT 293987; Uptravi



[475086-01-2]
Purity: 100%

Soluble in DMSO
C26H32N4O4S MW: 496.62

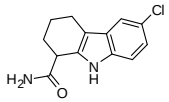
mg	Price
5	online
25	online

Biological activity
Orally available and long-acting prodrug of MRE 269, a potent and highly selective IP receptor agonist (Ki values 20 nM and 260 nM for inhibition of [3H]iloprost binding to human IP receptor by MRE 269 and Selexipag, respectively). Capable of ameliorating vascular endothelial dysfunction, pulmonary arterial wall hypertrophy, and right ventricular hypertrophy. Furthermore, Selexipag (NS 304) elevated right ventricular systolic pressure and improved survival in a rat model of pulmonar

Selisistat

Axon 1956

EX 527



[49843-98-3]
Purity: 99%

Soluble in DMSO
C13H13ClN2O MW: 248.71

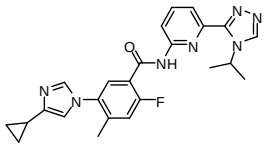
mg	Price
10	online
50	online

Biological activity
Potent and selective deacetylase sirtin 1 (SIRT1) inhibitor; a useful tool for studying the relationship between SIRT1 and cell regulation; a potential agent the treatment of Huntington's Disease (HD)

Selonsertib

Axon 2956

GS 4997



[1448428-04-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C24H24FN7O MW: 445.49

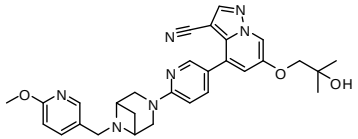
mg	Price
10	online
50	online

Biological activity
Selonsertib is a potent, highly selective, orally available, and ATP-competitive ASK1 inhibitor with a pIC50 value of 8.3.

Selpercatinib

Axon 3195

LOXO-292



[2361241-23-6]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C29H31N7O3 MW: 525.60

mg	Price
10	online
50	online

Biological activity
Selpercatinib is a potent, highly selective, ATP-competitive RET inhibitor with an IC50 value of 4 nM (KIF5B-RET). Selpercatinib demonstrated potent and selective anti-RET activity preclinically against human cancer cell lines harboring endogenous RET gene alterations.

Selumetinib

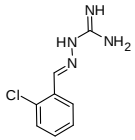
Axon 1516

See AZD 6244 Page 246

Sephin 1

Axon 2524

NSC 65390



[951441-04-6]
Purity: 99%

Soluble in DMSO
C8H9ClN4 MW: 196.64

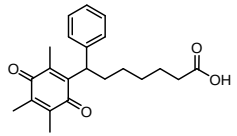
mg	Price
10	online
50	online

Biological activity
Selective PPP1R15A inhibitor devoid of PPP1R15B and α2-adrenergic activity. In cells, Sephin1 selectively disrupted the PPP1R15A-PP1c complex, therebye prolonging eIF2α phosphorylation after stress, delaying translation recovery, and consequently, attenuated expression of stress genes such as the pro-apoptotic protein CHOP. The cytoprotectant prevents protein misfolding, motor deficits, motor neuron loss, and the molecular defects in SOD1 mutant mice.

Seratrodast

Axon 1447

AA 2414



[112665-43-7]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C22H26O4 MW: 354.44

mg	Price
10	online
50	online

Biological activity
Thromboxane A2 (TP) receptor antagonist used in the treatment of asthma

Serdemetan

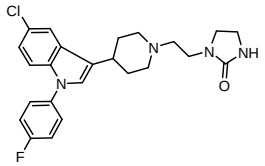
Axon 1538

See JNJ 26854165 Page 478

Sertindole

Axon 1141

LU 23-174



[106516-24-9]
Purity: 99%

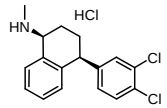
Soluble in DMSO
C24H26ClFN4O MW: 440.94

mg	Price
10	online
50	online

Biological activity
5-HT2, D2 and α1 antagonist; Sertindole is an atypical antipsychotic with prominent selectivity for the brain limbic area and long lasting

Sertraline Hydrochloride

Axon 1300



	mg	Price
[79559-97-0] Purity: 99%	10	online
Soluble in DMSO C17H17Cl2N.HCl MW: 342.69	50	online

Biological activity
Selective serotonin reuptake inhibitor (SSRI); antidepressant

Setanaxib

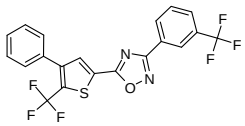
Axon 3006

See GKT137831

Page 419

SEW 2871

Axon 1672

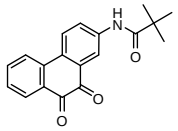


	mg	Price
[256414-75-2] Purity: 100%	10	online
Soluble in DMSO C20H10F6N2OS MW: 440.36	50	online

Biological activity
Potent, cell-permeable and selective sphingosine-1-phosphate 1 (S1P1) receptor agonist (EC50: 13 nM); Does not activate S1P2, S1P3, S1P4 or S1P5 receptors at concentrations up to 10 µM

SF 1670

Axon 2186



	mg	Price
[345630-40-2] Purity: 98%	10	online
Soluble in DMSO C19H17NO3 MW: 307.34	50	online

Biological activity
Inhibitor of phosphatase and tensin homologue deleted on chromosome 10 (PTEN, IC50 value 2 µM), an important regulator of insulin-dependent signaling, that augments the efficacy of granulocyte transfusion in a clinically relevant mouse model. SF 1670 enhances neutrophil functions and fMLP-induced PtdIns(3,4,5)P3 signaling in neutrophils. SF 1670 is also a potent inhibitor of protein tyrosine phosphatase (PTP) CD45 (aka PTPRC; IC50 values 0.2 µM and 0.1 µM for CD45 induced pNPP hydrolysis and T-cell proliferation, respectively) and of galactokinase (GALK, IC50 value 0.7 µM).

SG 00529

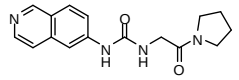
Axon 1718

See *Palomid 529*

Page 614

SGC707

Axon 2945

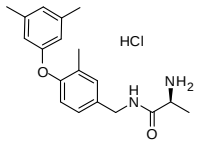


	mg	Price
[1687736-54-4] Purity: 99%	10	online
Soluble in DMSO C16H18N4O2 MW: 298.34	50	online

Biological activity
SGC707 is a first-in-class, potent, selective and cell-active allosteric inhibitor of protein arginine methyltransferase 3 (PRMT3) with an IC50 value of 31 nM. SGC707 is bioavailable and suitable for animal studies.

SGC2085

Axon 2625



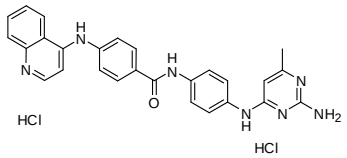
	mg	Price
[1821908-49-9] Purity: 100%	5	online
Soluble in water and DMSO C19H25ClN2O2 MW: 348.87	25	online

Biological activity
Potent and selective Coactivator Associated Arginine Methyltransferase 1 (CARM1 or PRMT4) inhibitor (IC50 value 50 nM and >100-fold selectivity over other PRMTs). Unfortunately, no cellular activity was observed for SGC2085 when tested up to 10 µM due to due to poor cell permeability (in HEK293 cells)

SGI 1027 dihydrochloride

Axon 2347

S 1027 dihydrochloride

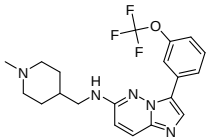


	mg	Price
[1020149-73-8] (parent) Purity: 99%	10	online
Soluble in DMSO C27H25Cl2N7O MW: 534.44	50	online

Biological activity
SGI 1027 inhibits DNMT activity (IC50 values 35 µM and 10 µM for DNMT1 and DNMT3A2/3L, respectively) in colon cancer cell lines, and was shown to degrade the enzymes. Prolonged treatment of RKO cells with SGI 1027 led to demethylation and reexpression of the silenced tumor suppressor genes (TSGs) P16, MLH1, and TIMP3 and did not exhibit significant toxicity in a rat hepatoma (H4IIE) cell line. SGI 1027 shows moderate affinity (IC 50 value 65 µM) for G9a-like protein (GLP), another AdoMet-dependent enzyme, as well.

SGI 1776 free base

Axon 1633



[1025065-69-3]
Purity: 98%

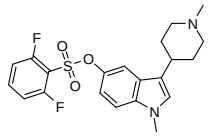
Soluble in 0.1N HCl(aq) and DMSO
C20H22F3N5O MW: 405.42

mg	Price
5	online
25	online

Biological activity
A potent and selective inhibitor of Pim kinases, inducing apoptosis and cell cycle arrest, thereby causing a reduction in phospho-BAD levels and enhancement of mTOR inhibition in vitro.Most notably, SGI-1776 induced significant tumor regression in MV-4-11 (AML) and MOLM-13 (AML) xenograft models

SGS 518

Axon 1927



[445441-26-9]
Purity: 99%

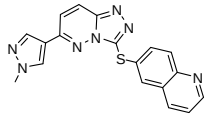
Soluble in DMSO
C21H22F2N2O3S MW: 420.47

mg	Price
5	online
25	online

Biological activity
Selective 5-HT6 antagonist, being developed as a treatment for Cognitive Impairment Associated with Schizophrenia (CIAS)

SGX 523

Axon 1914



[1022150-57-7]
Purity: 99%

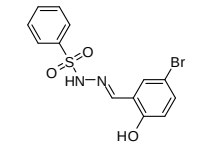
Soluble in DMSO
C18H13N7S MW: 359.41

mg	Price
10	online
50	online

Biological activity
ATP-competitive kinase inhibitor remarkable for its exquisite selectivity for MET (IC50: 4 nM)

Shz-1

Axon 1701



[326886-05-9]
Purity: 99%

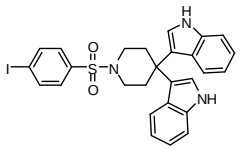
Soluble in DMSO
C13H11BrN2O3S MW: 355.21

mg	Price
10	online
50	online

Biological activity
Stem cell differentiating agent that induce differentiation of stem cells into cells of cardiac fate; Cardiogenic small molecule that enhance myocardial repair by stem cells; Potently induces Nkx2.5 and a subset of other cardiac markers

SIC5-6

Axon 3082



[2410846-16-9]
Purity: 99%

Soluble in DMSO
C27H24IN3O2S MW: 581.47

mg	Price
10	online
50	online

Biological activity
SIC5-6 is a specific, noncovalent inhibitor of separase with bioactivity in tumor tissue culture cells.

SID 791

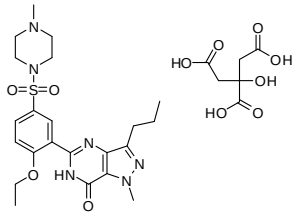
Axon 1738

See *AMD 3100* Page 200

Sildenafil citrate

Axon 2046

Viagra; UK 92480



[171599-83-0]
Purity: 100%

Soluble in DMSO
C22H30N6O4S.C6H8O7
MW: 666.70

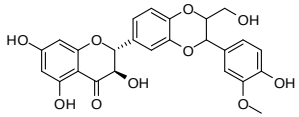
mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of cyclic guanosine monophosphate (cGMP)-specific phosphodiesterase type 5 (PDE5) with IC50 value of 4 nM; Enhances nitric oxide (NO)-dependent relaxation of human corpus cavernosum in vitro; an oral therapy for erectile dysfunction (ED)
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Silibinin

Axon 2487

Silybin; Silymarin I



[802918-57-6]
Purity: 99%

Soluble in DMSO
C25H22O10 MW: 482.44

mg	Price
10	online
50	online

Biological activity
Natural flavonolignan, antihepatotoxic agent and antioxidant, exhibiting potent antitumor activities against various types of cancers. Interferes with many signaling pathways, such as notch, NF-κB, EGFR, SIRT1, PI3K/Akt and many others Mix of Silybin A and B

Silmitasertib hydrochloride

Axon 1965

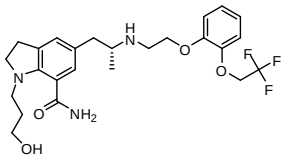
See CX 4945 hydrochloride Page 341

Silodosin

Axon 3112

KMD-3213

[160970-54-7]
Purity: 99%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C25H32F3N3O4 MW: 495.53



mg	Price
10	online
50	online

Biological activity
Silodosin is a selective α1A adrenoceptor antagonist with pKi values of 10.4, 8.1 and 8.6 for α1a-, α1b- and α1d-AR, respectively.

Silybin

Axon 2487

See Silibinin Page 712

Silymarin I

Axon 2487

See Silibinin Page 712

Sirolimus

Axon 2069

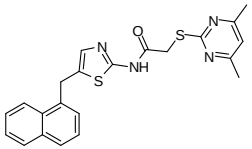
See Rapamycin Page 665

SirReal 2

Axon 2453

[709002-46-0]
Purity: 98%

Soluble in DMSO
C22H20N4OS2 MW: 420.55



mg	Price
5	online
25	online

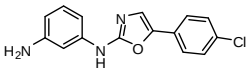
Biological activity
SIRT2 inhibitor (IC50 value 0.14 μM) with in vivo activity, showing >1000 fold selectivity over other Class-I sirtuins SIRT1 and SIRT3. Application of SirReal2 leads to tubulin hyperacetylation in HeLa cells and induces destabilization of the checkpoint protein BubR1.

SIRT7 inhibitor 97491

Axon 2968

[1807758-81-1]
Purity: 99%

Soluble in DMSO
C15H12ClN3O MW: 285.73



mg	Price
10	online
50	online

Biological activity
SIRT7 inhibitor 97491 decreased SIRT7 activity in a dose-dependent manner (IC50 value of 0.325 μM). SIRT7 inhibitor 97491 induced expression of p53 and its acetylation by inhibited SIRT7. Moreover, SIRT7 inhibitor upregulated apoptotic effects through the caspase related proteins and inhibited cancer growth in vivo.

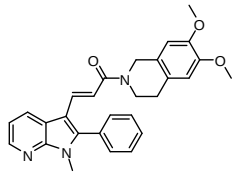
SIS3

Axon 2764

Smad3 inhibitor SIS3

[521985-36-4]
Purity: 98%

Soluble in DMSO
C28H27N3O3 MW: 453.53



mg	Price
5	online
25	online

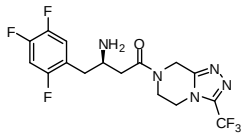
Biological activity
SIS3 is a potent and selective inhibitor of Smad3 and TGF-β signaling. Inhibition by SIS3 leads to abrogation of the TGF-β1-induced production of extracellular matrix proteins in normal fibroblasts and scleroderma fibroblasts. Moreover, Smad3 inhibition attenuates resistance to anti-HER2 drugs in HER2-positive breast cancer cells.

Sitagliptin

Recent Addition

Axon 3251

[486460-32-6]
Purity: 100%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C16H15F6N5O MW: 407.31



mg	Price
50	online
250	online

Biological activity
Sitagliptin is a potent, selective and orally active DPP-4 inhibitor (IC50 value of 18 nM) with excellent selectivity over other proline-selective peptidases, oral bioavailability in preclinical species, and in vivo efficacy in animal models.

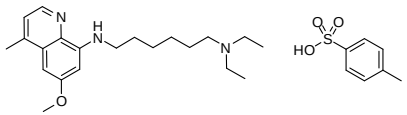
Sitamaquine

Axon 1515

WR 6026 tosylate

[1019640-33-5]
Purity: 99%

Soluble in DMSO
C21H33N3O.C7H8O3S
MW: 515.71

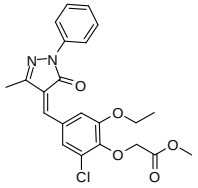


mg	Price
10	online
50	online

Biological activity
A potential agent as an oral treatment of life-threatening visceral leishmaniasis (VL) caused by Leishmania donovani, with an IC50 of 29.2 μM against the promastigote form in vitro

SJ 172550

Axon 2164



mg	Price
5	online
25	online

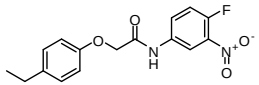
[431979-47-4]
Purity: 99%

Soluble in DMSO
C22H21ClN2O5 MW: 428.87

Biological activity
First small molecule inhibitor of MDMX with 10-fold selectivity over closely related MDM2 (EC50 2.3 µM vs 26.0 µM) which effectively kills MDMX-amplified retinoblastoma cells. SJ 172550 reversibly binds the p53-binding pocket of MDM, disrupts the MDMX-p53 interaction, and thereby frees p53 to induce apoptosis. The effect of SJ 172550 is additive when combined with an MDM2 inhibitor Nutlin 3a (Axon 1880). It may be useful for treating tumors that express wild-type p53

SJ000291942

Axon 2903



mg	Price
10	online
50	online

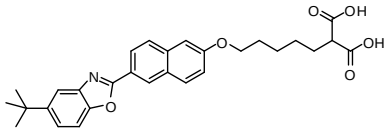
[425613-09-8]
Purity: 99%

Soluble in DMSO
C16H15FN2O4 MW: 318.30

Biological activity
SJ000291942 is an activator of the canonical bone morphogenetic protein (BMP) signaling pathway.

SK-216

Axon 2838



mg	Price
5	online
25	online

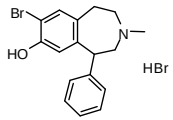
[654080-02-1]
Purity: 98%

Soluble in 0.1N NaOH(aq) and DMSO
C29H31NO6 MW: 489.56

Biological activity
SK-216 is a specific inhibitor of PAI-1 and limits tumor progression and angiogenesis. SK-216 could suppress PAI-1 expression in rat colon cancer cells as well as intestinal polyp formation in a Min mouse. Furthermore, SK-216 could inhibit lung metastasis of human lung cancer cells and mouse melanoma cells in an intravenously-injected mouse mode. Potential novel anti-metastasis agent for human osteosarcoma.

SKF 83566 hydrobromide

Axon 1236



mg	Price
10	online
50	online

[108179-91-5]
Purity: 99%

Soluble in water and DMSO
C17H18BrNO.HBr MW: 413.15

Biological activity
Selective dopamine D1-like receptor antagonist

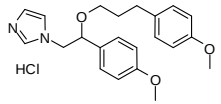
SKF 87967 hydrochloride

Axon 1048

See Aminotetraline hydrochloride, 5-Methoxy-2- Page 208

SKF 96365 hydrochloride

Axon 1221



mg	Price
10	online
50	online

[130495-35-1]
Purity: 99%

Soluble in water and DMSO
C22H26N2O3.HCl MW: 402.91

Biological activity
Receptor-operated calcium channel blocker

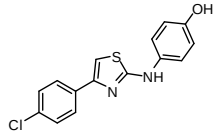
SKI 2

Axon 2782

See SKI II Page 716

SKI II

Axon 2782



mg	Price
10	online
50	online

[312636-16-1]
Purity: 99%

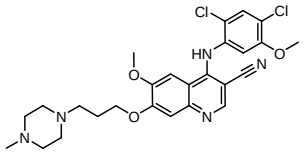
Soluble in DMSO
C15H11ClN2OS MW: 302.78

Biological activity
SKI II is an orally bioavailable sphingosine kinase (SK or SphK) inhibitor (IC50 value of 0.5 µM) without competition at the ATP-binding site of SK. Moreover, SKI II showed no inhibition on a small panel of human protein kinases (ERK2 and PKC-α) and a lipid kinase (PI3K). SKI II inhibited cancer cell proliferation, induced apoptosis and inhibited tumor growth in mice.

SKI 606

Axon 1407

Bosutinib



mg	Price
5	online
25	online

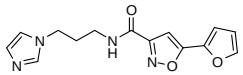
[380843-75-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C26H29Cl2N5O3 MW: 530.45

Biological activity
A tyrosine kinase inhibitor (TKI), targeting dual Bcr-Abl and Src; effective drug for chronic myelogenous leukemia (CML) or acute lymphoid leukemia (ALL)

SKL 2001

Axon 2084



[909089-13-0]
Purity: 99%

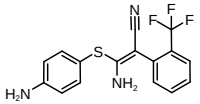
Soluble in DMSO
C14H14N4O3 MW: 286.29

mg	Price
10	online
50	online

Biological activity
Wnt/β-catenin signaling pathway agonist or activator, having effects of regulating the differentiation of mesenchumal stem cells

SL 327

Axon 1122



[305350-87-2]
Purity: 99%

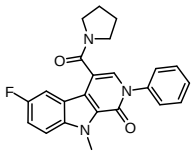
Soluble in DMSO and Ethanol
C16H12F3N3S MW: 335.35

mg	Price
10	online
50	online

Biological activity
Selective MEK1 and MEK2 inhibitor; brain penetrant in vivo

SL 651498

Axon 1195



[205881-86-3]
Purity: 99%

Soluble in DMSO
C23H20FN3O2 MW: 389.42

mg	Price
5	online
10	online

Biological activity
GABAA agonist subtype a2 selective

SL 820715

Axon 1246

See Eliprodil
Page 381

SLV 306

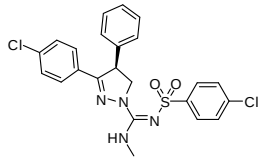
Axon 1918

See Daglutril
Page 349

SLV 319

Axon 1713

Ibipinabant; SLV 319, (S)-(-)-



[464213-10-3]
Purity: 100%
>98% ee
Soluble in DMSO
C23H20Cl2N4O2S MW: 487.40

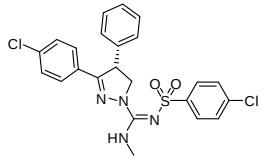
mg	Price
2	online
5	online

Biological activity
Potent and highly selective CB1 antagonist (Ki= 7.8 and 7943 nM for CB1 and peripheral cannabinoid CB2, respectively); more potent (100-fold) S-(-)-enantiomer in comparison with opposite R(+)-SLV319 (Axon 1714)

SLV 319, (R)-(+)-

Axon 1714

R-SLV319



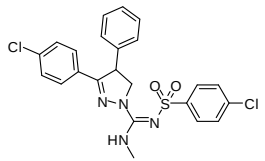
[656827-86-0]
Purity: 99%
>98% ee
Soluble in DMSO
C23H20Cl2N4O2S MW: 487.40

mg	Price
2	online
5	online

Biological activity
Less active (ca 100-fold) enantiomer of SLV 319 (Axon 1713) that is a potent and selective CB1 receptor antagonist

SLV 319, rac-(±)-

Axon 1712



[362519-49-1]
Purity: 99%

Soluble in DMSO
C23H20Cl2N4O2S MW: 487.40

mg	Price
5	online
25	online

Biological activity
Racemate of the potent and highly selective CB1 antagonist Ibipinabant (Axon 1713, Ki value 25 nM and >1000 nM for CB1 and CB2, respectively).

SLx-2119

Axon 2780

See KD025
Page 488

SM 406

Axon 1985

See AT 406
Page 231

SM-3997

Axon 3130

See Tandospirone citrate
Page 752

Smad3 inhibitor SIS3

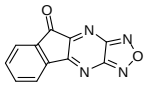
Axon 2764

See SIS3

Page 714

SMER 3

Axon 1904

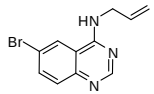


	mg	Price
[67200-34-4] Purity: 99%	10	online
Soluble in DMSO C11H4N4O2 MW: 224.18	50	online

Biological activity
Specific inhibitor of an SCF family E3 Ubiquitin ligase, directly targeting the Met30 subunit of the SCF family E3 ubiquitin ligase complex; Small molecule enhancer of rapamycin (SMER)

SMER 28

Axon 2627



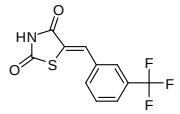
	mg	Price
[307538-42-7] Purity: 99%	10	online
Soluble in 0.1N HCl(aq) and DMSO C11H10BrN3 MW: 264.12	50	online

Biological activity
Small molecule enhancer of rapamycin that enhances the clearance of mutant aggregate-prone proteins by autophagy in mammalian cell models of Huntington's and Parkinson's disease, independent of mTOR and Atg5 pathways. SMER28 also promotes reprogramming of fibroblasts (the conversion efficiency for adult tail-tip fibroblasts in particular) into neural stem cells, if combined with RG108 (Axon 1691) and Parnate.

SMI 4a

Axon 1923

Pim inhibitor 4a



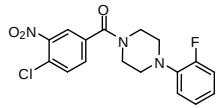
	mg	Price
[438190-29-5] Purity: 98%	10	online
Soluble in DMSO C11H6F3NO2S MW: 273.23	50	online

Biological activity
ATP-competitive and selective inhibitor of Pim kinases, with IC50 values of 24 and 100 nM for Pim-1 and Pim-2 respectively.SMI-4a inhibits prostate cancer cell growth and induce G1 phase cell-cycle arrest in precursor T-cell lymphoblastic leukemia/lymphoma cell lines

SMI 481

Axon 2387

6748-481



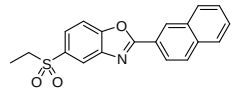
	mg	Price
[432020-20-7] Purity: 99%	10	online
Soluble in DMSO C17H15ClFN3O3 MW: 363.77	50	online

Biological activity
Small-molecule inhibitor (SMI) of the yeast PITP Sec14 (IC50 values of 211 nM and 2.87 µM for Sec14 mediated [3H]PtdIns transfer in vitro, and Sec14 dependent cell growth inhibition of WT (CTY182, gray) strains, respectively). SMI481 (aka 6748-481) is a water-soluble bioactive compound exhibiting exquisite pathway selectivity in inhibiting phosphoinositide signaling in cells with >200-fold selectivity over other yeast Sec14-like transfer activities. PITP-directed SMIs offer new and generally applicable avenues for intervening with phosphoinositide signaling pathways with selectivities superior to those afforded by contemporary lipid kinase-directed strategies.

SMT C1100

Axon 2481

Ezutromid; BMN-195



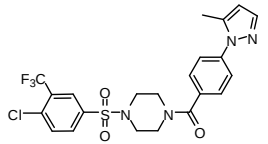
	mg	Price
[945531-77-1] Purity: 99%	10	online
Soluble in DMSO C19H15NO3S MW: 337.39	50	online

Biological activity
Orally active, non-toxic upregulator of utrophin production (EC50 value 0.91 µM in a utrophin A promoter H2K cell-based assay with a luciferase reporter readout) for the treatment of Duchenne muscular dystrophy (DMD). What's more, SMT C1100 significantly reduces dystrophin-deficient muscle pathology in vivo.

SMURF1 inhibitor A01

Axon 2426

A01



	mg	Price
[1007647-73-5] Purity: 99%	10	online
Soluble in DMSO C22H20ClF3N4O3S MW: 512.93	50	online

Biological activity
SMAD ubiquitination regulatory factor-1 (SMURF1) E3 ubiquitin-protein ligase inhbitor (Kd value 3.7 nM), that strongly inhibits Smad1/5 ubiquitination under rhBMP-2 stimulation. A01 enhances BMP signaling responsiveness in C2C12 cells, and potentiates BMP-2 induced osteoblastic activity.

SN 308

Axon 1352

See Sumatriptan succinate

Page 743

SN13272 diphosphate

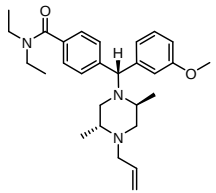
Axon 3177

See Primaquine diphosphate **Recent Addition**

Page 651

SNC 80

Axon 1412



mg	Price
5	online
25	online

[156727-74-1]
Purity: 99%
optically pure
Soluble in 0.1N HCl(aq)
C28H39N3O2 MW: 449.63

Biological activity
Selective and potent δ opioid receptor agonist

SNDX 275

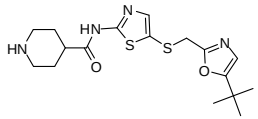
Axon 1803

See MS 275
Page 560

SNS 032

Axon 1614

BMS 387032



mg	Price
5	online
25	online

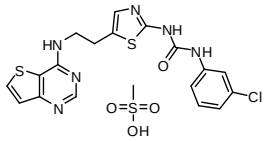
[345627-80-7]
Purity: 99%

Soluble in DMSO
C17H24N4O2S2 MW: 380.53

Biological activity
Specific and potent inhibitor of cyclin-dependent kinases (CDK) 2, 7 and 9 (IC50 values to be 38, 4 and 62 nM for cdk2, cdk7 and cdk9 respectively and no activity against 190 additional kinases);SNS 032 induces cell cycle arrest and apoptosis in tumor cell lines

SNS 314 mesylate

Axon 2906



mg	Price
5	online
25	online

[1146618-41-8]
Purity: 99%

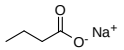
Soluble in DMSO
C18H15ClN6OS2.CH4O3S MW: 527.04

Biological activity
SNS 314 mesylate is a potent and selective Aurora kinase inhibitor with IC50 values of 9 nM, 31 nM and 3 nM for Aurora A, Aurora B and Aurora C, respectively. Moreover, SNS 314 mesylate displays significant activity in pre-clinical in vivo models.

Sodium butyrate

Axon 2209

Butanoic acid, sodium salt



mg	Price
100	online
500	online

[156-54-7]
Purity: 98%

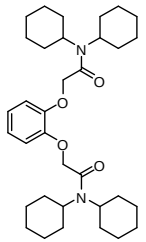
Soluble in water and DMSO
C4H7NaO2 MW: 110.09

Biological activity
Noncompetitive inhibitor of histone deacetylase (HDAC; IC50 value 0.80 mM). Butyrate inhibits most HDACs, except class III HDAC and class II HDAC6 and HDAC10. Among the fatty acids, butyrate is the most effective in inhibiting HDAC activity and arresting cell proliferation, and stimulating or repressing the expression of specific genes.

Sodium ionophore III

Axon 2688

ETH 2120



mg	Price
10	online
50	online

[81686-22-8]
Purity: 98%

Soluble in DMSO
C34H52N2O4 MW: 552.79

Biological activity
Sodium ionophore III is suitable for the assay of sodium activity in blood, plasma, serum, etc. with a solvent polymeric membrane electrode.

Sodium valproate

Axon 3127

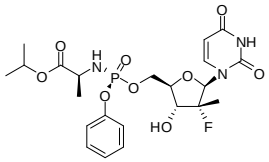
See Valproic acid sodium salt **Recent Addition**

Page 792

Sofosbuvir **Recent Addition**

Axon 3301

PSI7977; GS7977



mg	Price
10	online
50	online

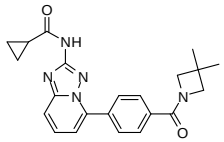
[1190307-88-0]
Purity: 100%
Optically pure
Soluble in DMSO
C22H29FN3O9P MW: 529.45

Biological activity
Sofosbuvir, a methyluridine nucleotide prodrug, is a potent and selective inhibitor of the HCV NS5B polymerase.

Solcitinib

Axon 2539

GSK 2586184; GLPG 0778



[1206163-45-2]
Purity: 98%

Soluble in DMSO
C22H23N5O2 MW: 389.45

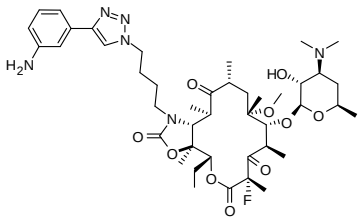
mg	Price
5	online
25	online

Biological activity
Selective JAK1 inhibitor originally developed for the treatment of systemic lupus erythematosus, psoriasis and ulcerative colitis.

Solithromycin

Axon 2606

CEM 101; OP 1068



[760981-83-7]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C43H65FN6O10 MW: 845.01

mg	Price
5	online
25	online

Biological activity
Fluoroketolide antibiotic with reported high potency against diverse groups of Gram-positive and Gram-negative bacteria (MIC50 values 0.015 µg/mL and 4 µg/mL, respectively). Solithromycin (CEM-101 or OP-1068) binds to multiple sites of the bacterial large ribosomal subunit (23S rRNA) near the ribosomal exit tunnel.

Soltegravir

Axon 2855

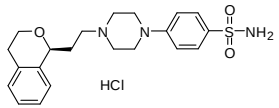
See Dolutegravir

Page 368

Sonepiprazole hydrochloride

Axon 2115

PNU 101387



[170857-36-0]
Purity: 98%
Optically pure
Soluble in DMSO
C21H27N3O3S.HCl MW: 437.98

mg	Price
5	online
25	online

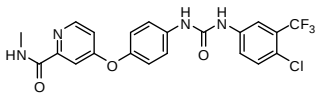
Biological activity
Selective dopamine D4 antagonist; Displayed high affinity (Ki = 10 nM) and selectivity for the D4 receptor expressed in clonal cell lines, lacking measurable affinity for other dopamine receptors, and noradrenalin, serotonin and histamine receptor families (Ki > 2000 nM)
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Sorafenib

Recent Addition

Axon 3351

BAY 43-9006; Nexavar



[284461-73-0]
Purity: 99%

Soluble in DMSO
C21H16ClF3N4O3 MW: 464.82

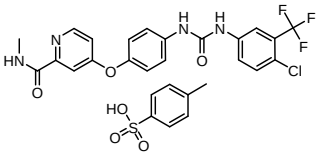
mg	Price
10	online
50	online

Biological activity
Small molecule inhibitor of protein kinase, targeting the Raf/Mek/Erk pathway.

Sorafenib tosylate

Axon 1397

BAY 43-9006; Nexavar



[475207-59-1]
Purity: 99%

Soluble in DMSO
C21H16ClF3N4O3.C7H8O3S
MW: 637.03

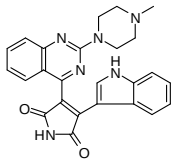
mg	Price
2	online
10	online

Biological activity
Small molecule inhibitor of protein kinase, targeting the Raf/Mek/Erk pathway

Sotrastaurin

Axon 1635

AEB 071; NVP-AEB 071



[425637-18-9]
Purity: 99%

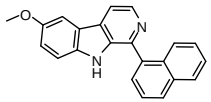
Soluble in 0.1N HCl(aq) and DMSO
C25H22N6O2 MW: 438.48

mg	Price
2	online
5	online
25	

Biological activity
Potent and specific inhibitor of protein kinase C (PKC) with Ki at subnanomolar to low nanomolar range for a variety of PKC isotypes while selective for >200 other kinases;an immunosuppressant that blocks early T-lymphocyte (T-cell) activation via protein kinase C inhibition

SP 141

Axon 2437



[1253491-42-7]
Purity: 99%

Soluble in DMSO
C15H11N3O MW: 249.27

mg	Price
10	online
50	online

Biological activity
Specific MDM2 inhibitor (Ki value 28 nM in a FP-based MDM2 binding assay) with potent therapeutic effects in breast cancer models, regardless of p53 status. SP141 directly binds to MDM2, inhibits MDM2 expression and induces its autoubiquitination and proteasomal degradation.

SP 233

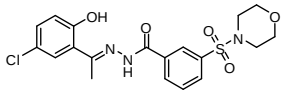
Axon 1442

See Caprospinol

Page 298

SP 2509

Axon 2864



[1423715-09-6]
Purity: 98%

Soluble in DMSO
C19H20ClN3O5S MW: 437.90

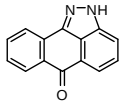
mg	Price
5	online
25	online

Biological activity
SP 2509 is a potent, reversible, and specific LSD1 inhibitor with an IC50 value of 0.013 µM (Ki value of 31 nM). Moreover, SP 2509 inhibits proliferation and survival in several cancer cell lines, including breast and colorectal cancer.

SP 600125

Axon 2519

NSC 75890



[129-56-6]
Purity: 98%

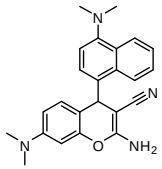
Soluble in DMSO
C14H8N2O MW: 220.23

mg	Price
10	Online
50	Online

Biological activity
Reversible ATP-competitive JNK inhibitor (IC50 values 40 nM, 40 nM, and 90 nM for JNK1, JNK2 and JNK3, respectively) with >20-fold selectivity vs. a range of kinases and enzymes tested. SP600125 caused G2/M cell cycle arrest and elevation of cyclin B1 and p27(kip), thereby inhibiting cell proliferation and increasing apoptosis in multiple cell lines. SP600125 dose dependently inhibits phosphorylation of c-Jun, the expression of inflammatory genes COX-2, IL-2, IFN-γ, TNF-α, and prevents activation and differentiation of primary human CD4 cell cultures. Useful tool for isolation, generation, derivatization and stabilization of naive human pluripotent stem cells in so called NHSM conditions developed at the Weizmann Institute of Science.

SP-6-27

Axon 2815



[1384170-58-4]
Purity: 99%

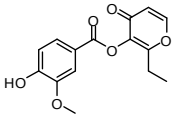
Soluble in 0.1N HCl(aq) and DMSO
C24H24N4O MW: 384.47

mg	Price
10	online
50	online

Biological activity
The microtubule inhibitor SP-6-27 inhibits angiogenesis and induces apoptosis in ovarian cancer cells. Moreover, SP-6-27 is active against four human glioma cell lines (T98, U87, LN18, A172) and particularly against the A172 glioma cell line (IC50 value of 7.4 nM).

SP-8008 Recent Addition

Axon 3150



[2088247-61-2]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C15H14O6 MW: 290.27

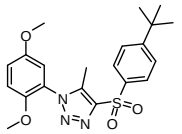
mg	Price
10	online
50	online

Biological activity
SP-8008 is a potent and selective inhibitor of SIPA with an IC50 value of 1.44 µM. Moreover, SP-8008 is a modulator of vWF-GP Ib interactions. Importantly, SP-8008 exerted significant antithrombotic effects in vivo in both shear stress-specific and arterial thrombosis, without prolonging bleeding time.

SPA70

Axon 2807

LC-1; Specific PXR antagonist 70



[931314-31-7]
Purity: 99%

Soluble in DMSO
C21H25N3O4S MW: 415.51

mg	Price
5	online
25	online

Biological activity
SPA70 is a potent and selective human pregnane X receptor (hPXR) antagonist with IC50 values of 510 nM (cell-based hPXR antagonistic assay) and 540 nM (cell-free competitive hPXR TR-FRET-binding assay). SPA70 inhibits hPXR in human hepatocytes and humanized mouse models and enhances the chemosensitivity of cancer cells, consistent with the role of hPXR in drug resistance.

SPA 110

Axon 1650

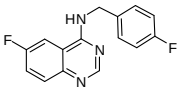
See HTI 286

Page 454

Spautin 1

Axon 2512

MBCQ derivative C43



[1262888-28-7]
Purity: 99%

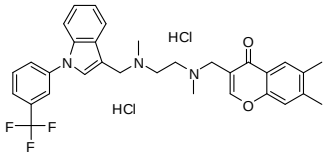
Soluble in DMSO
C15H11F2N3 MW: 271.26

mg	Price
10	online
50	online

Biological activity
Inhibitor of USP10 and USP13, that target the Beclin1 subunit of Vps34 complexes, thereby promoting the degradation of Vps34 PI3 kinase complexes. Beclin1 is a tumor suppressor and regulating deubiquitination activity of USP10 and USP13 by Beclin1 provides a mechanism for Beclin1 to control the levels of p53. Moreover, Spautin 1 inhibits autophagy which enhances imatinib-induced apoptosis in chronic myeloid leukemia. The pro-apoptotic activity of Spautin-1 was also associated with activation of GSK-3β, an important downstream effector of PI3K/AKT.

SPD 304

Axon 2143



[869998-49-2]
Purity: 99%

Soluble in water and DMSO
C32H32F3N3O2.2HCl MW: 620.53

mg	Price
5	online
25	online

Biological activity
A cell permeable inhibitor of tumor necrosis factor-α (TNFα, IC50: 22 μM); inhibits TNF-α induced IkB-α depletion in HeLa cells (IC50: 4.6 μM)

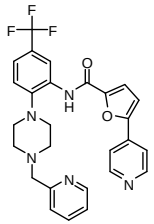
Specific PXR antagonist 70

Axon 2807

See SPA70 Page 726

SPHINX31

Axon 2714



[1818389-84-2]
Purity: 99%

Soluble in DMSO
C27H24F3N5O2 MW: 507.51

mg	Price
10	online
50	online

Biological activity
SPHINX31 is a highly potent, selective, and cell active SRPK1 inhibitor (IC50 value of 6 nM). Treatment with this inhibitor inhibited SRPK1 activity and phosphorylation of serine/arginine splicing factor 1 (SRSF1), resulting in alternative splicing of VEGF-A from pro-angiogenic to antiangiogenic isoforms. This property resulted in potent inhibition of blood vessel growth in models of choroidal angiogenesis in vivo.

Spindlactone B

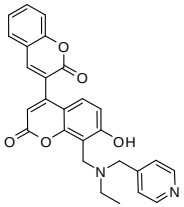
Axon 2474

See SPL-B Page 727

SPL-B

Axon 2474

Spindlactone B



[1465248-60-5]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C27H22N2O5 MW: 454.47

mg	Price
5	online
25	online

Biological activity
Orally active inhibitor of transforming acidic coiled-coil protein (TACC3) that selectively inhibits the nucleation of centrosome microtubules in ovarian cancer cells, without affecting spindle assembly in normal cells. SPL significantly inhibits mitosis in cancer cells and suppresses in vivo tumor growth

SPM 927

Axon 1444

See Lacosamide Page 500

SPRC

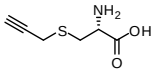
Axon 2666

See S-Propargyl-Cysteine Page 728

S-Propargyl-Cysteine

Axon 2666

SPRC; ZYZ-802



[3262-64-4]
Purity: 98%
Optically pure
Soluble in water
C6H9NO2S MW: 159.21

mg	Price
10	online
50	online

Biological activity
S-Propargyl-cysteine (SPRC), a substrate of cystathionine γ-lyase (CSE), is a water-soluble modulator of endogenous hydrogen disulfide (H2S). SPRC is a potential agent for the treatment of Alzheimer's disease (TNF signalling, the NF-κB pathway and the ERK1/2 pathway), anemia of inflammation (IL-6/JAK2/STAT3 pathway), ischemic heart disease (H2S/VEGFR2/STAT3 pathway) and myocardial infarction.

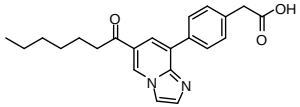
Sprycel

Axon 1392

See Dasatinib Page 351

SPT Imidazopyridine 1

Axon 2835



[1933533-18-6]
Purity: 98%

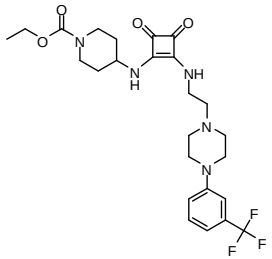
Soluble in 0.1 N NaOH(aq) and DMSO
C22H24N2O3 MW: 364.44

mg	Price
10	online
50	online

Biological activity
Potent and efficacious serine palmitoyl transferase (SPT) inhibitor (IC50 value of 5 nM) with a good in vitro profile and ADME characteristics. SPT Imidazopyridine 1 reduces plasma ceramides in rodents, has a slight trend toward enhanced insulin sensitization in DIO mice, and reduces triglycerides and raises HDL in cholesterol/cholic acid fed rats.

Squarunkin A

Axon 2778



mg	Price
10	online
50	online

[2101958-02-3]
Purity: 99%

Soluble in DMSO
C25H32F3N5O4 MW: 523.55

Biological activity
Squarunkin A selectively inhibits the binding of a myristoylated peptide representing the N-terminus of Src kinase to UNC119A with an IC50 value of 10 nM. It binds to UNC119 proteins in cell lysate and interferes with the activation of Src kinase.

SR1

Axon 1865

See Stemregenin 1
Page 738

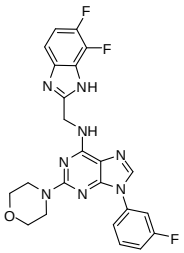
SR 2516

Axon 2459

See PND 1186
Page 645

SR 3029

Axon 2547



mg	Price
5	online
25	online

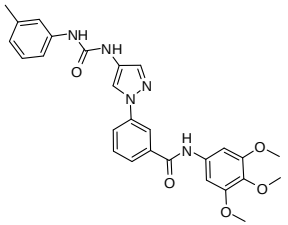
[1454585-06-8]
Purity: 99%

Soluble in DMSO
C23H19F3N8O MW: 480.45

Biological activity
A potent, highly specific CK1δ/CK1ε inhibitor (IC50 values 44 nM and 260 nM for CK1δ, and CK1ε, respectively), that selectively inhibits breast cancer cell growth and survival. SR-3029 exhibits in vitro and in vivo PK properties suitable for use in xenograft studies of human cancers, including brain cancers.

SR 3576

Axon 2365



mg	Price
10	online
50	online

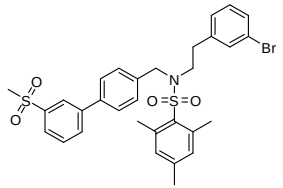
[1164153-22-3]
Purity: 99%

Soluble in DMSO
C27H27N5O5 MW: 501.53

Biological activity
Very potent JNK3 inhibitor (IC50 value 7 nM) with >2800-fold selectivity over p38 (p38 IC50 value >20 µm) and a cell-based potency of ca. 1 µM.

SR 9243

Axon 2598



mg	Price
10	online
50	online

[1613028-81-1]
Purity: 99%

Soluble in DMSO
C31H32BrNO4S2 MW: 626.62

Biological activity
LXR inverse agonist that induces LXR-corepressor interaction inhibiting the Warburg effect and lipogenesis in cancer cells by reducing glycolytic and lipogenic gene expression. SR 9243 induced apoptosis in tumors without inducing weight loss, hepatotoxicity, or inflammation. Moreover, SR 9243 may mediate tumor ''unmasking'' via downregulation of the immune-suppressive effects of LXR ligands within the tumor microenvironment. Close analogue of GSK 2033 (Axon 2363)

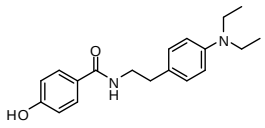
SR 11247

Axon 1700

See Bexarotene
Page 265

SR 19881

Axon 2967



mg	Price
10	online
50	online

[2213490-89-0]
Purity: 99%

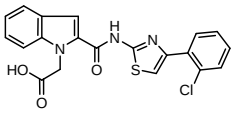
Soluble in DMSO
C19H24N2O2 MW: 312.41

Biological activity
SR 19881 is a potent full agonist of ERRγ with an EC50 value of 0.39 µM in a binding assay and an EC50 value of 4.7 µM in a cell-based assay. SR 19881 was also equipotent on ERRβ with an EC50 value of 0.63 µM making it an equipotent dual agonist of ERRβ/γ.

SR 27897

Axon 1245

Lintitript



mg	Price
10	online
50	online

[136381-85-6]
Purity: 99%

Soluble in DMSO
C20H14ClN3O3S MW: 411.86

Biological activity
Potent and selective CCK1 antagonist

SR 33557

Axon 2952

See Fantofarone Page 396

SR 46349B

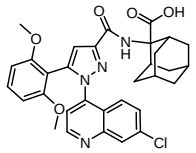
Axon 1439

See Eplivanserin Page 387

SR 48692

Axon 1164

Meclinertant



mg	Price
2	online
5	online

[146362-70-1]
Purity: 99%

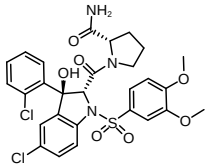
Low solubility in organic solvents
C32H31ClN4O5 MW: 587.07

Biological activity
An orally active, non-peptide, high affinity neurotensin (NT1 or NTS1) receptor antagonist

SR 49059

Axon 1256

Relcovaptan



mg	Price
5	online
25	online

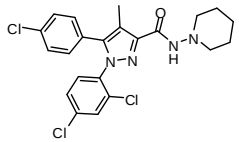
[150375-75-0]
Purity: 98%
optically pure
Soluble in DMSO
C28H27Cl2N3O7S MW: 620.50

Biological activity
Highly potent and selective vasopressin V1A receptor antagonist

SR 141716A

Axon 1220

Rimonanbant



mg	Price
10	online
50	online

[168273-06-1]
Purity: 99%

Soluble in DMSO and Ethanol
C22H21Cl3N4O MW: 463.79

Biological activity
CB1 antagonist

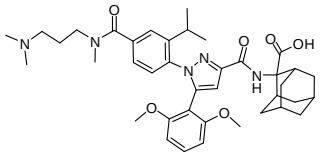
SR 142801

Axon 1533

See Osanetant Page 606

SR 142948

Axon 1255



mg	Price
5	online
25	online

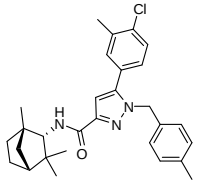
[184162-64-9]
Purity: 98%

Soluble in water
C39H51N5O6 MW: 685.85

Biological activity
Neurotensin (NT) receptor antagonist; orally active in vivo

SR 144528

Axon 1924



mg	Price
5	online
25	online

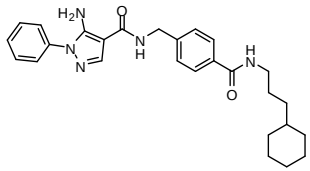
[192703-06-3]
Purity: 99%

Soluble in DMSO
C29H34ClN3O MW: 476.05

Biological activity
Potent and highly selective CB2 receptor antagonist and/or an inverse agonist, with a Ki of 0.6 nM at CB2 and 400 nM at the related CB1 receptor; Useful chemical probe in researching CB2 receptor

SR-318 Recent Addition

Axon 3183



mg	Price
5	online
25	online

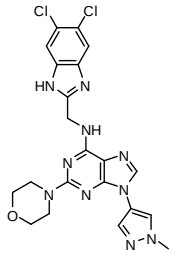
[2413286-32-3]
Purity: 99%

Soluble in DMSO
C27H33N5O2 MW: 459.58

Biological activity
SR-318 is a highly potent and selective type-II p38 α/β inhibitor with IC50 values of 3.7 and 10 nM for p38α and p38β, respectively. SR-318 also potently inhibited the TNF-α release in whole blood.

SR-4835 Recent Addition

Axon 3184



[2387704-62-1]
Purity: 99%

Soluble in DMSO
C21H20Cl2N10O MW: 499.36

mg	Price
5	online
25	online

Biological activity
SR-4835 is a potent, highly selective and orally bioavailable dual inhibitor of CDK12 and CDK13 (IC50 value of 99 nM for CDK12; Kd values of 98 and 4.9 nM for CDK12 and CDK13, respectively). SR-4835 has potent cell-based and in vivo anti-triple-negative breast cancer (TNBC) activity and augments the anti-cancer activity of cisplatin, irinotecan, and olaparib, which are standard-of-care therapeutics for TNBC.

SRF

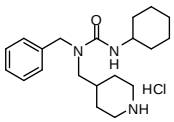
Axon 2398

See Suprafenacine

Page 744

SRI-011381 hydrochloride

Axon 2943



[2070014-88-7]
Purity: 98%

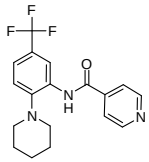
Soluble in water and DMSO
C20H31N3O.HCl MW: 365.94

mg	Price
10	online
50	online

Biological activity
SRI-011381 hydrochloride is a TGF-β signaling agonist.

SRPIN 340

Axon 2200



[218156-96-8]
Purity: 99%

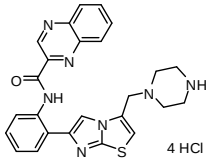
Soluble in DMSO
C18H18F3N3O MW: 349.35

mg	Price
10	online
50	online

Biological activity
Selective ATP competitive inhibitor of SRPK kinase activity (Ki 0.89 μM for SRPK1; 99.2% inhibition of RS-repeat peptide substrate phosphorylation at 10μM). SRPIN340 does not inhibit other classes of Serine-Arginine-Rich Protein Kinases (SRPKs) significantly, including Clk1 and Clk4 and >140 other SR kinases. SRPIN 340 promotes SRp75 degradation, and dose dependently suppressed HCV 1b and 2a replication (EC50 values of 4.7 μM and 15.8 μM resp.) and propagation of Sindbis and HCV-JFH1 viruses in cell culture.

SRT 1720 tetrahydrochloride

Axon 1875



[1001645-58-4]
Purity: 99%

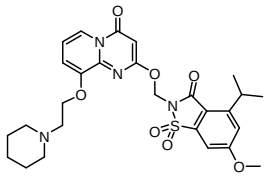
Soluble in DMSO and water
C25H23N7OS.4HCl MW: 615.41

mg	Price
5	online
25	online

Biological activity
A small-molecule activator of the sirtuin subtype SIRT1; 1000x more potent than resveratrol. In animal studies it was found to improve insulin sensitivity and lower plasma glucose levels in fat, muscle and liver tissue, and increased mitochondrial and met

SSR 69071

Axon 1269



[344930-95-6]
Purity: 99%

Soluble in DMSO and Ethanol
C27H32N4O7S MW: 556.63

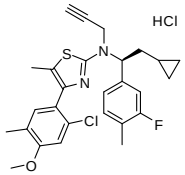
mg	Price
10	online
50	online

Biological activity
A highly potent human leukocyte elastase (HLE) inhibitor (0.02 nM)

SSR 125543A

Axon 1799

SSR 125543 hydrochloride



[321839-75-2]
Purity: 98%
Optically pure
Soluble in DMSO
C27H28ClFN2OS.HCl MW: 519.50

mg	Price
5	online
25	online

Biological activity
Potent, selective, and orally active corticotropin-releasing factor 1 receptor (CRF1) antagonist (pKi values of 8.73 and 9.08 for human cloned or native CRF1 receptors, respectively) with antidepressant-like and anxiolytic-like effects in the Flinders Sensitive Line rats. SSR 125543A shows a 1000-fold selectivity for CRF1 versus CRF2α receptor and CRF binding protein, has a long duration of action, and readily crosses the blood-brain barrier.

SSR 125543 hydrochloride

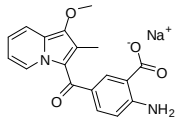
Axon 1799

See SSR 125543A

Page 734

SSR 128129E

Axon 2234



[848318-25-2]
Purity: 99%

Soluble in water and DMSO
C18H15N2O4.Na MW: 346.31

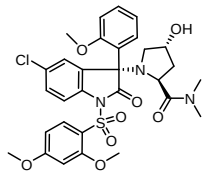
mg	Price
10	online
50	online

Biological activity
Extracellularly acting, small-molecule, allosteric inhibitor of FGF receptor signaling with oral bioavailability. SSR 128129E inhibits responses mediated by FGFR1-4 (IC50 values 15-28 nM for FGF2 induced FGFR stimulation), but not by other related RTKs. SSR 128129E does not inhibit all FGFR signaling pathways indiscriminatively but selectively blocks particular signaling pathways, dependent on the cellular context. Capable of inhibiting angiogenesis, inflammation, and bone resorption in arthritis, and delays tumor growth and metastasis.

SSR 149415

Axon 1114

Nelivaptan



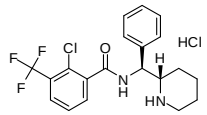
[439687-69-1]
Purity: 99%
>98% ee
Soluble in DMSO
C30H32ClN3O8S MW: 630.11

mg	Price
5	online
25	online

Biological activity
Selective and orally active non-peptide antagonist of vasopressin V(1b) receptor, potential drug for treatment of anxiety and depression

SSR 504734

Axon 1549



[615571-23-8]
Purity: 99%
>98% ee
Soluble in water and DMSO
C20H20ClF3N2O.HCl MW: 433.29

mg	Price
2	online
5	online

Biological activity
A potent, selective and orally active GlyT-1 inhibitor, blocked the ex vivo uptake of glycine rapidly, reversibly, and for a long duration; exhibiting activity in animal models of schizophrenia, anxiety and depression

SSZ

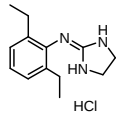
Axon 2070

See Sulfasalazine

Page 743

ST 91

Axon 1290



[4749-61-5]
Purity: 99%

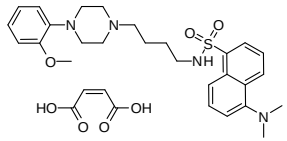
Soluble in water, DMSO and Ethanol
C13H19N3.HCl MW: 253.77

mg	Price
10	online
50	online

Biological activity
α2 Adrenoceptor agonist

ST 148

Axon 1342



[390803-40-4]
Purity: 99%

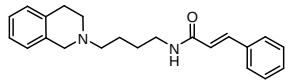
Soluble in DMSO and Ethanol
C27H36N4O3S.C4H4O4
MW: 612.74

mg	Price
10	online
50	online

Biological activity
Dopamine D2 receptor antagonist; with improved selectivity for hD2L receptors

ST 198

Axon 1343



[854924-64-4]
Purity: 99%

No solubility data
C22H26N2O MW: 334.45

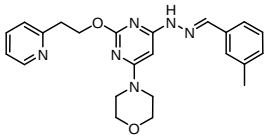
mg	Price
10	online
50	online

Biological activity
Dopamine D3 receptor antagonist

STA 5326

Axon 1369

Apilimod



[541550-19-0]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C23H26N6O2 MW: 418.49

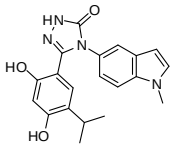
mg	Price
5	online
25	online

Biological activity
Potent and orally active inhibitor of the cytokines interleukin-12 (IL-12), and interleukin-23 (IL-23) production; potential regulators of certain autoimmune and inflammatory diseases

STA 9090

Axon 1968

Ganetespib



mg	Price
5	online
25	online

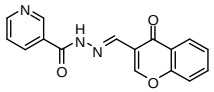
[888216-25-9]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C20H20N4O3 MW: 364.40

Biological activity
Hsp90 inhibitor; exhibits potent antitumor activity and a superior safety profile for cancer therapy; with potent in vitro and in vivo activity in tumor cells harboring constitutively active JAK/STAT signaling

STAT5 Inhibitor 1 [285986-31-4]

Axon 2731



mg	Price
10	online
50	online

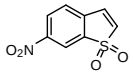
[285986-31-4]
Purity: 98%

Soluble in DMSO
C16H11N3O3 MW: 293.28

Biological activity
STAT5 Inhibitor 1 [285986-31-4] is a first nonpeptidic small-molecule which selectively inhibits the function of the STAT5b domain (IC50 value of 47 µM), STAT5 DNA binding in vitro, and activation of STAT5 in a cancer cell line. Also selective impairment of STAT5 phosphorylation with STAT5 Inhibitor 1 markedly reduced iTregs.

Stattic

Axon 2314



mg	Price
10	online
50	online

[19983-44-9]
Purity: 99%

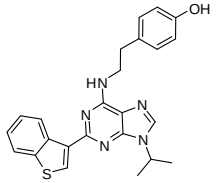
Soluble in DMSO
C8H5NO4S MW: 211.19

Biological activity
The first nonpeptidic small-molecule inhibitor of STAT3 activation, dimerization, and nuclear translocation (IC50 value 5.1 µM for inhibition of the binding of a phosphotyrosine-containing peptide derived from the gp130 receptor to the STAT3 SH2 domain). Stattic demonstrates good selectivity for STAT3 inhibition over STAT1, and increases the apoptotic rate of STAT3-dependent breast cancer cell lines.

Stemregenin 1

Axon 1865

SR1



mg	Price
10	online
50	online

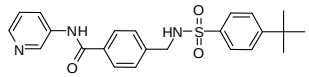
[1227633-49-9]
Purity: 99%

Soluble in DMSO
C24H23N5OS MW: 429.54

Biological activity
Aryl hydrocarbon receptor (AHR) antagonist that promotes the self-renewal of human hematopoietic stem cells (HSC) in culture. SR1 promotes the ex vivo expansion of CD34+ cells.Culture of HSCs with SR1 led to a 50-fold increase in cells expressing CD34 and a 17-fold increase in cells that retain the ability to engraft immunodeficient mice

STF 31

Axon 1905



mg	Price
10	online
50	online

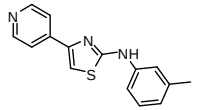
[724741-75-7]
Purity: 99%

Soluble in DMSO
C23H25N3O3S MW: 423.53

Biological activity
Inhibitor of glucose transporter 1 (GLUT1)

STF 62247

Axon 2894



mg	Price
10	online
50	online

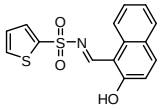
[315702-99-9]
Purity: 99%

Soluble in DMSO
C15H13N3S MW: 267.35

Biological activity
STF 62247 selectively targets VHL-deficient renal cell carcinoma (RCC) cells (IC50 value of 0.625 µM in RCC4). STF 62247-stimulated toxicity occurs in a HIF-independent manner through autophagy. Moreover, STF 62247 induced apoptotic and autophagic cell death in leukemic cells.

STF 083010

Axon 1670



mg	Price
10	online
50	online

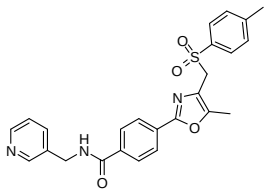
[307543-71-1]
Purity: 99%

Soluble in DMSO
C15H11NO3S2 MW: 317.38

Biological activity
Specific IRE1 alpha endonuclease inhibitor without affecting its kinase activity; shows significant antimyeloma activity in human MM xenografts

STF 118804

Axon 2253



mg	Price
10	online
50	online

[894187-61-2]
Purity: 98%

Soluble in DMSO
C25H23N3O4S MW: 461.53

Biological activity
Highly specific, next-generation NAMPT inhibitor, that reduces the viability of most B-ALL cell lines with high potency demonstrating IC50 values in the low nanomolar range, and improves survival in an orthotopic xenotransplant model of high-risk acute lymphoblastic leukemia. Additionally, STF 118804 induces leukemia cell apoptosis without antecedent cell cycle arrest, and targets leukemia stem cells.

STI 571

Axon 1394

See Imatinib Mesylate
Page 465

STING Inhibitor 1

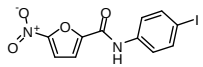
Axon 2923

See STING inhibitor C-176
Page 739

STING inhibitor C-176

Axon 2923

STING Inhibitor 1



mg	Price
10	online
50	online

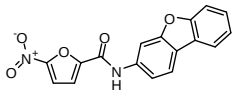
[314054-00-7]
Purity: 99%

Soluble in DMSO
C11H7IN2O4 MW: 358.09

Biological activity
C-176 is a highly potent and selective small-molecule antagonist of the stimulator of interferon genes (STING) protein. Moreover, C-176 attenuates pathological features of autoinflammatory disease in mice. Also, C-176 is an activator of CHOP expression and exhibits antitumor activity in TNBC cells.

STING inhibitor C-178

Axon 3058



mg	Price
10	online
50	online

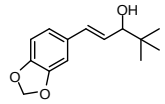
[329198-87-0]
Purity: 99%

Soluble in DMSO
C17H10N2O5 MW: 322.27

Biological activity
C-178 is a highly potent and selective small-molecule antagonist of the stimulator of interferon genes (STING) protein.

Stiripentol

Axon 3119



mg	Price
10	online
50	online

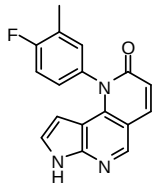
[49763-96-4]
Purity: 99%

Soluble in DMSO
C14H18O3 MW: 234.29

Biological activity
Stiripentol is a positive allosteric modulator of the GABAA receptor. Antiepileptic drug.

STK16-IN-1

Axon 2743



mg	Price
10	online
50	online

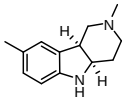
[1223001-53-3]
Purity: 99%

Soluble in DMSO
C17H12FN3O MW: 293.30

Biological activity
STK16-IN-1 is a highly selective ATP-competitive inhibitor which exhibits potent inhibitory activity against STK16 kinase (IC50 value of 0.295 µM). In MCF-7 cells, treatment with STK16-IN-1 results in a reduction in cell number and accumulation of binucleated cells, which can be recapitulated by RNAi knockdown of STK16. Co-treatment of STK16-IN-1 with chemotherapeutics results in a slight potentiation of the antiproliferative effects of the chemotherapeutics.

Stobadine

Axon 1467



mg	Price
5	online
25	online

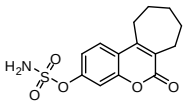
[85202-17-1]
Purity: 99%

Soluble in 0.1N HCl(aq)
C13H18N2 MW: 202.30

Biological activity
Antioxidant; antiarrhythmic, cardiovascular drug

STX64

Axon 2892



mg	Price
5	online
25	online

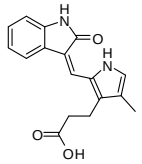
[288628-05-7]
Purity: 99%

Soluble in DMSO
C14H15NO5S MW: 309.34

Biological activity
Potent steroid sulfatase (STS) inhibitor with an IC50 value of 8 nM. First STS inhibitor to enter diverse clinical trials for patients with advanced hormone-dependent cancer.

SU 5402

Axon 1667



[215543-92-3]
Purity: 99%

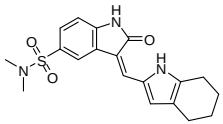
Soluble in 0.1N NaOH(aq) and DMSO
C17H16N2O3 MW: 296.32

mg	Price
1	online
2	online
5	online

Biological activity
Fibroblast growth factor receptor (FGFR) inhibitor

SU 6656

Axon 1136



[330161-87-0]
Purity: 99%

Soluble in DMSO
C19H21N3O3S MW: 371.45

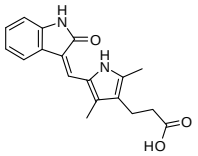
mg	Price
10	online
50	online

Biological activity
A selective Src family kinase inhibitor

SU 6668

Axon 1891

TSU 68; Orantinib



[252916-29-3]
Purity: 98%

Soluble in 0.1N NaOH(aq) and DMSO
C18H18N2O3 MW: 310.35

mg	Price
10	online
50	online

Biological activity
An ATP-competitive, orally bioavailable receptor tyrosine kinase (RTK) inhibitor targeting PDGFR, VEGF and FGFR (IC50 values are 0.06, 2.43, 3.04 and >100 μM at PDGFRβ, VEGFR2, FGFR1 and EGFR respectively)

SU 11248

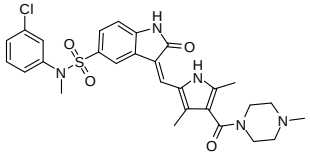
Axon 1398

See Sunitinib malate

Page 743

SU 11274

Axon 1581



[658084-23-2]
Purity: 99%

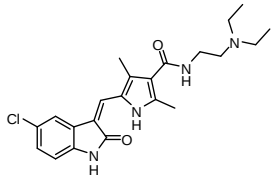
Soluble in DMSO
C28H30ClN5O4S MW: 568.09

mg	Price
5	online
25	online

Biological activity
ATP-competitive and selective MET inhibitor; inhibition of the Met kinase activity by SU11274 led to time- and dose-dependent reduced cell growth and induced G1 cell cycle arrest and apoptosis

SU11652

Axon 2767



[326914-10-7]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C22H27ClN4O2 MW: 414.93

mg	Price
10	online
50	online

Biological activity
SU11652 is a sunitinib-like RTK inhibitor of PDGFR-β, VEGFR2, FGFR1 and FLT3, with IC50 values of 3, 27, 170 and 1.5 nM, respectively. Moreover, SU11652 inhibits cKit, acid sphingomyelinase, destabilizes lysosomes, and inhibits multidrug resistance.

Suberanilohydroxamic acid

Axon 3114

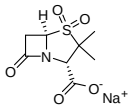
See Vorinostat

Page 799

Sulbactam sodium

Axon 2041

CP 45899 sodium



[69388-84-7]
Purity: 98%

Soluble in water and DMSO
C8H10NNaO5S MW: 255.22

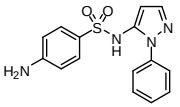
mg	Price
25	online
100	online

Biological activity
An irreversible inhibitor of β-lactamase; it binds the enzyme and does not allow it to interact with the antibiotic
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Sulfaphenazole

Axon 2922

Depocid; Depotsulfonamide; Plisulfan; Raziosulfa



[526-08-9]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C15H14N4O2S MW: 314.36

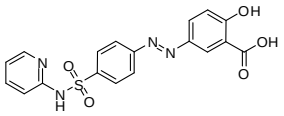
mg	Price
50	online

Biological activity
Sulfaphenazole is a potent and very selective inhibitor for CYP2C9 with a Ki value between 0.11 and 0.7 μM. Antibiotic.

Sulfasalazine

Axon 2070

SSZ



	mg	Price
[599-79-1] Purity: 99%	25	online
Soluble in 0.1N NaOK(aq) and DMSO C18H14N4O5S MW: 398.39	100	online

Biological activity

An old drug with new applications

A Sulfa drug developed in 1950s and used in the treatment of inflammatory bowel disease and rheumatoid arthritis. This old drug was found in recent study to reverse severe liver disease.Sulfasalazine (SSZ) is a potent and selective inhibitor of NF-κB activation via its ability to block the activity of the inhibitor of κB (IκB) kinases α and β (IKKα and IKKβ). Sulfasalazine stimulates apoptosis of activated hepatic stellate cells and recovery from CCl4-induced fibrosis

Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Sulfonamide 13

Axon 1843

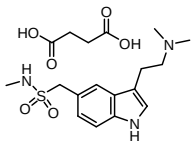
See JAK2 inhibitor 13

Page 476

Sumatriptan succinate

Axon 1352

GR 43175; GW 102; SN 308



	mg	Price
[103628-48-4] Purity: 99%	10	online
Soluble in DMSO C14H21N3O2S.C4H6O4 MW: 413.49	50	online

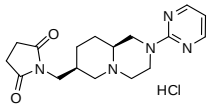
Biological activity

Selective 5-HT1B/1D receptor agonist indicated for the treatment of migraine headaches

Sunepitron hydrochloride

Axon 1519

CP 93393 hydrochloride; CP 93393-1



	mg	Price
[148408-65-5] Purity: 99% Optically pure Soluble in water and DMSO C17H23N5O2.HCl MW: 365.86	5	online
	25	online

Biological activity

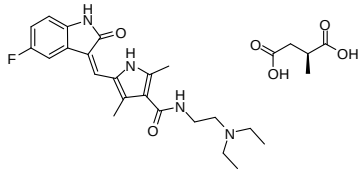
Sunepitron is a selective serotonin 5-HT1A autoreceptor agonist, α2-adrenergic antagonist, and dopamine D2 agonist. Anxiolytic, antidepressant.

Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Sunitinib malate

Axon 1398

SU 11248; Sutent



	mg	Price
[341031-54-7] Purity: 99%	10	online
Soluble in DMSO C23H29FN4O.C4H6O5 MW: 530.59	50	online

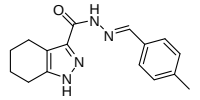
Biological activity

Small molecule multi-targeted receptor tyrosine kinase (RTK) inhibitor. Sunitinib inhibits cellular signaling by targeting multiple RTKs, including PDGF-R/VEGF-R

Suprafenacine

Axon 2398

SRF



	mg	Price
[1477482-50-0] Purity: 99%	10	online
Soluble in DMSO C16H18N4O MW: 282.34	50	online

Biological activity

Destabilizer of microtubules (IC50 value 0.38 μM for microtubule polymerization inhibition) that causes cell cycle arrest in the G2/M phase and cell death by apoptosis. Suprafenacine (SRF) was found to selectively inhibit cancer cell proliferation (IC50 values 83 - 381 nM in various cancer cell lines) and was effective against drug-resistant cancer cells by virtue of its ability to bypass the multidrug resistance transpo

Sutent

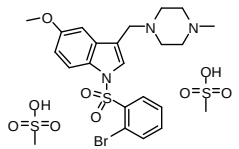
Axon 1398

See Sunitinib malate

Page 743

SUVN-502

Axon 2715



	mg	Price
[1791396-46-7] Purity: 99%	10	online
Soluble in water and DMSO C23H32BrN3O9S3 MW: 670.71	50	online

Biological activity

SUVN-502 is a potent, selective and orally active serotonin 6 (5-HT6) receptor antagonist (Ki value of 2.04 nM) with selectivity over 100 target sites which include receptors, enzymes, peptides, growth factors, ion channels, steroids, immunological factors, second messengers, and prostaglandins. Moreover, it has high selectivity over 5-HT2A receptor. SUVN-502 is brain penetrant and a clinical candidate for potential treatment of cognitive disorders.

SYR-322

Axon 3310

See Alogliptin benzoate Recent Addition

Page 196

SYR 472

Axon 2470

See Trelagliptin succinate

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SYR 111472 succinate

See Trelagliptin succinate

Axon 2470

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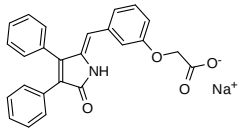
axon MEDCHEM

T 1776Na

Axon 1769



[1202075-60-2]
Purity: 99%

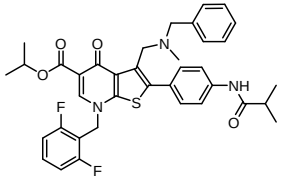
Poorly soluble in DMSO
C25H18NO4.Na MW: 419.40

mg	Price
10	online
50	online

Biological activity
Inhibitor of plasminogen activator inhibitor-1 (PAI-1)

T 98475

Axon 1270



[199119-18-1]
Purity: 98%

No solubility data
C37H37F2N3O4S MW: 657.77

mg	Price
2	online
5	online

Biological activity
Potent and orally active antagonist of Gonadotropin releasing hormone (GnRH), also known as luteinising hormone releasing hormone (LHRH)

T5601640

Axon 2721

See T56-LIMKi

Page 748

T-705

Axon 3135

See Favipiravir

Page 397

T-1551

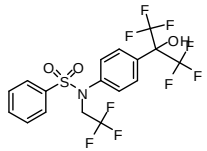
Axon 3123

See Cefoperazone Recent Addition

Page 307

T0901317

Axon 2754



[293754-55-9]
Purity: 98%

Soluble in DMSO
C17H12F9NO3S MW: 481.33

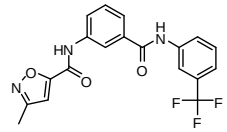
mg	Price
10	online
50	online

Biological activity
T0901317 is selective liver X receptor (LXR) agonist (EC50 value of 20 nM). Oral administration of T0901317 to mice and hamsters showed that LXR activated the coordinate expression of major fatty acid biosynthetic genes (lipogenesis) and increased plasma triglyceride and phospholipid levels in both species. Complementary studies in cell culture and animals suggested that the increase in plasma lipids occurs via LXR-mediated induction of the sterol regulatory element-binding protein 1 (SREBP-1) lipogenic program.

T56-LIMKi

Axon 2721

T5601640



[924473-59-6]
Purity: 99%

Soluble in DMSO
C19H14F3N3O3 MW: 389.33

mg	Price
10	online
50	online

Biological activity
T56-LIMKi is an inhibitor of LIMK2. T56-LIMKi efficiently inhibited the growth of NF1-/- MEF, ST88-14, U87, and Panc-1 cells with IC50 values of 30 μM, 18 μM, 7 μM, and 35 μM, respectively. Moreover, T56-LIMKi reduced tumor size and p-cofilin levels in the Panc-1 tumors in vivo. Potential drug for pancreatic cancer, glioma and schwannoma cells.

TA-7284

Axon 3122

See Canagliflozin

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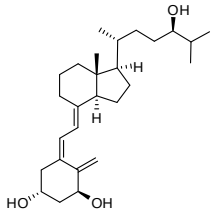
Tacalcitol

Axon 2516

PRI 2191; 1α,24-Dihydroxycholecalciferol



[57333-96-7]
Purity: 98%

Soluble in DMSO
C27H44O3 MW: 416.64

mg	Price
2	online
5	online

Biological activity
Vitamine D receptor agonist (EC50 value 7 nM for VDR) and metabolite of vitamin D3 with a higher antitumor and lower calcemic activity as well as lower toxicity than Calcitriol. Tacalcitol inhibits proliferation and induces differentiation of keratinocytes. Tacalcitol promotes normal bone formation, and is a well-known inhibitor of chemical mediated inflammatory changes including dermal cellular infiltration and epidermal hyperplasia, used to treat T cell-mediated inflammatory skin diseases such a Tacalcitol enhances the antiproliferative effect of Imatinib (Axon 1394) on HL-60 cells.
Note: Axon 2516 is the stable monohydrate formulation of Tacalcitol

Tacedinaline

Axon 2014

See CI 994

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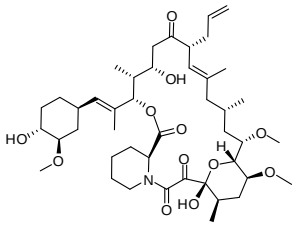
Tacrolimus

Axon 2263

FK 506



	mg	Price
[104987-11-3] Purity: 99% Optically pure Soluble in DMSO C44H69NO12 MW: 804.02	10	online
	50	online

Biological activity
Potent Calcineurin inhibitor (calcium dependent serine/threonine protein phosphatase 2B). The mechanism of action of FK 506 (Tacrolimus) involves the formation of a molecular complex with the the immunophilin FKBP12 (IC50 value 3 nM), to reduce its peptidyl-prolyl isomerase activity. Tacrolimus potently inhibits T-cell activation-induced TNF-α, IL-1β, IL-2, IL-3, IL-4, and IL-6 production, but does not affect LPS-induced cytokine production and proliferation of normal cells, such as bone marrow cells.
Immunosuppressant, neuroprotectant and anticonvulsant.

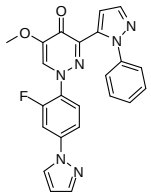
TAE 684

Axon 1416

See NVP-TAE684 Page 597

TAK 063

Axon 2399



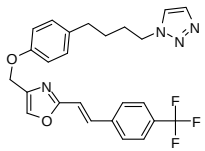
	mg	Price
[1238697-26-1] Purity: 99%	5	online
Soluble in DMSO C23H17FN6O2 MW: 428.42	25	online

Biological activity
Highly potent, and orally active PDE10A inhibitor (IC50 value 0.30 nM) with excellent selectivity (>15000-fold selective over other PDEs). TAK-063 represents a promising drug for the treatment of schizophrenia with potential for superior safety and tolerability profiles.

TAK 165

Axon 2053

Mubritinib

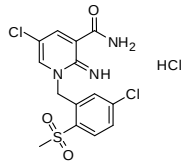


	mg	Price
[366017-09-6] Purity: 99%	5	online
Soluble in DMSO C25H23F3N4O2 MW: 468.47	10	online

Biological activity
Highly selective, potent and irreversible human epidermal growth factor receptor 2 (HER2 aka ErbB2) antagonist (IC50: 6 nM); recommended tool compound for HER2 selective inhibition

TAK 259

Axon 2579

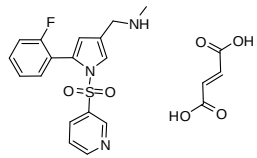


	mg	Price
[1192347-42-4] Purity: 99%	10	online
Soluble in water and DMSO C14H13Cl2N3O3S.HCl MW: 410.70	50	online

Biological activity
Novel, selective, and orally active α1D adrenoceptor antagonist (Ki value 1.1 nM, and 200-800 fold selective over α1A and α1B, respectively) with anti-urinary frequency effects: reducing human Ether−a−go−go−Related Gene (hERG) liabilities Clinical candidate, and a promising novel therapeutic agent for the treatment of OAB (overactive bladder) symptoms.

TAK 438

Axon 1971



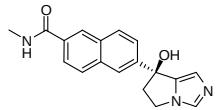
	mg	Price
[881681-01-2] Purity: 98%	5	online
Soluble in DMSO C17H16FN3O2S.C4H4O4 MW: 461.46	25	online

Biological activity
Potassium-competitive acid blocker (P-CAB); reversibly inhibits gastric H+, K+-ATPase; TAK-438 exerts a longer and more potent antisecretory effect than lansoprazole as a result of its high accumulation and slow clearance from the gastric glands

TAK 700

Axon 2124

Orteronel



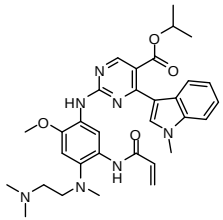
	mg	Price
[566939-85-3] Purity: 99% Optically pure Soluble in DMSO C18H17N3O2 MW: 307.35	5	online
	25	online

Biological activity
Potent, orally available, and highly selective inhibitor of 17,20-lyase (CYP17A1; IC50 value 19 nM and 48 nM for human and rat respectively) and of correlated androgen synthesis. TAK 700 exhibits no affinity for CYP11B 1and CYP3A4 (IC50 values >1000 nM and >10000 nM resp.), nor for other isoforms of the human CYP enzyme (IC50 values >14000 nM). When given orally to monkeys at a dose of 1 mg/kg, TAK 700 markedly reduced serum testosterone and DHEA at 5 h after administration.
TAK 700 was selected for evaluation in patients in phase III clinical trials for the potential treatment of prostate canc

TAK-788 Recent Addition

Axon 3232

Mobocertinib; AP32788



mg	Price
10	online
50	online

[1847461-43-1]
Purity: 99%

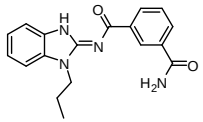
Soluble in 0.1N HCl(aq) and DMSO
C32H39N7O4 MW: 585.70

Biological activity
TAK-788 is a potent and selective dual EGFR/HER2 tyrosine kinase inhibitor. Specifically, TAK-788 inhibited all 14 mutant variants of EGFR (IC50 values between 2.4 and 22 nM), and all 6 mutant variants of HER2 (IC50 values between 2.4 and 26 nM), more potently than it inhibited WT EGFR (IC50 value of 35 nM), including all 8 variants with exon 20 activating insertions.

Takinib Recent Addition

Axon 3282

EDHS-206



mg	Price
10	online
50	online

[1111556-37-6]
Purity: 99%

Soluble in DMSO
C18H18N4O2 MW: 391.46

Biological activity
Takinib is a potent and selective TAK1 inhibitor (IC50 value of 0.0095 µM) that induces apoptosis following TNFα stimulation in cell models of rheumatoid arthritis and metastatic breast cancer.

Taladegib

Axon 2196

See LY 2940680

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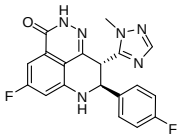
Talazoparib

Axon 2502

BMN 673; LT 00673



mg	Price
2	online
5	online

[1207456-01-6]
Purity: 99%
Optically pure
Soluble in DMSO
C19H14F2N6O MW: 380.35

Biological activity
Potent, selective, and orally available PARP1/2 inhibitor (IC50 value 0.57 nM for PARP1) that shows antitumor cytotoxicity with 20- to more than 200-fold greater potency than earlier-generation PARP1/2 inhibitors and with selectivity for tumor cells with BRCA1, BRCA2, or PTEN gene defects.

Talipexole

Axon 1153

See B-HT 920 dihydrochloride

Page 268

Talmapimod

Axon 1671

See SCIO 469

Page 705

Taltobulin

Axon 1650

See HTI 286

Page 454

Tamiflu

Axon 3136

See Oseltamivir phosphate

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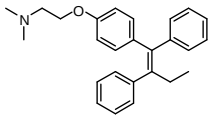
Tamoxifen Recent Addition

Axon 3252



mg	Price
50	online
250	online

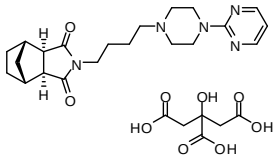
[10540-29-1]
Purity: 99%
N.A.
Soluble in 0.1N HCl(aq) and DMSO
C26H29NO MW: 371.51

Biological activity
Tamoxifen is a first-generation selective estrogen receptor modulator (SERM).

Tandospirone citrate

Axon 3130

SM-3997



mg	Price
10	online
50	online

[112457-95-1]
Purity: 99%

Soluble in DMSO
C21H29N5O2.C6H8O7 MW: 575.61

Biological activity
Tandospirone citrate is a potent 5-HT1A partial agonist with a Ki value of 27 nM. Tandospirone citrate is approximately two to three orders of magnitude less potent at 5-HT2, 5-HT1C, alpha 1-adrenergic, alpha 2-adrenergic, and dopamine D1 and D2 receptors (Ki values ranging from 1300 to 41000 nM). Anxiolytic agent.

Tandutinib

Axon 1415

See CT 53518

Page 339

Tanzisertib

Axon 2634

See CC-930

Page 301

Taranabant

Axon 1550

See MK 0364

Page 542

Targretin

Axon 1700

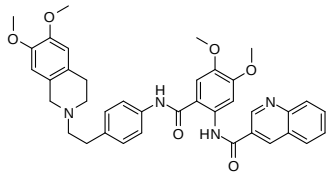
See Bexarotene

Page 265

Tariquidar

Axon 1960

XR 9576



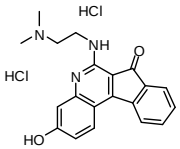
	mg	Price
[206873-63-4] Purity: 98%	10	online
Soluble in DMSO C38H38N4O6 MW: 646.73	50	online

Biological activity
Potent and specific inhibitor of P-glycoprotein (P-gp, ABCB1); also a substrate and an inhibitor for breast cancer resistance protein (BCRP/ABCG2)

TAS-103 dihydrochloride

Axon 2914

BMS 247615 dihydrochloride



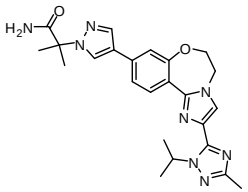
	mg	Price
[174634-09-4] Purity: 99%	5	online
Soluble in water and DMSO C20H19N3O2.2HCl MW: 406.31	25	online

Biological activity
TAS-103 dihydrochloride is an anticancer agent targeting topoisomerases I and II with IC50 values of 2 µM and 6.5 µM, respectively. Moreover, TAS-103 dihydrochloride has a strong cytotoxic effect on P388 and KB cells with IC50 values of 0.0011 µM and 0.0096 µM, respectively. Also, TAS-103 has strong inhibitory effects on the growth of various mouse and human solid tumors in vivo, as well as high antitumor activity against lung metastatic cancer.

Taselisib

Axon 2927

GDC 0032; RG 7604



	mg	Price
[1282512-48-4] Purity: 99%	5	online
Soluble in DMSO C24H28N8O2 MW: 460.53	25	online

Biological activity
Taselisib is a β-sparing PI3K inhibitor with Ki values of 0.29, 0.12 and 0.97 nM for PI3Kα, PI3Kδ and PI3Kγ, respectively. Moreover, Taselisib showed improved unbound drug exposure and effectively suppressed growth of tumors in a mouse xenograft model at low drug dose levels.

Tasigna

Axon 1396

See Nilotinib
Page 577

Tasisulam

Axon 1963

See LY 573636
Page 522

Tasocitinib

Axon 1338

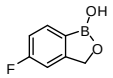
See CP 690550
Page 334

Tavaborole

Recent Addition

Axon 3170

AN2690

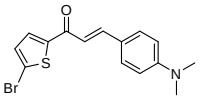


	mg	Price
[174671-46-6] Purity: 99%	10	online
Soluble in DMSO C7H6BFO2 MW: 151.93	50	online

Biological activity
Tavaborole is a broad-spectrum antifungal agent. Tavaborole was the most active against fungi and especially against the dermatophytes T. rubrum and T. mentagrophytes, the primary fungal pathogens causing onychomycosis.

TB5

Axon 2629

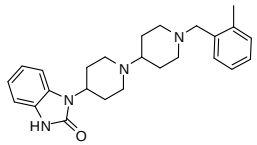


	mg	Price
[948841-07-4] Purity: 100%	10	online
Soluble in DMSO C15H14BrNOS MW: 336.25	50	online

Biological activity
Competitive and reversible MAO-B inhibitor (Ki values 1.45 µM and 0.11 µM for hMAO-A and hMAO-B, respectively) capable of crossing the BBB. Valuble tool for development of drugs for neurodegenerative disorders such as Parkinson's and Alzheimer's diseases.

TBPB

Axon 2463

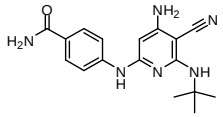


	mg	Price
[634616-95-8] Purity: 100%	10	online
Soluble in DMSO C25H32N4O MW: 404.55	50	online

Biological activity
Selective allosteric activator of the M1 muscarinic acetylcholine receptor (EC50 value 20 nM at hM1-WT) devoid of M2-M5 activity. TBPB increases non-amyloidogenic APP processing and produces antipsychotic-like effects in rodent models predictive of antipsychotic-like activity.

TC Mps1 12

Axon 2755



[1206170-62-8]
Purity: 99%

Soluble in DMSO
C17H20N6O MW: 324.38

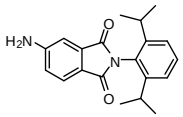
mg	Price
5	online
25	online

Biological activity
Potent and selective Mps1 (TTK) kinase inhibitor (IC50 value of 6.4 nM) with good cellular activity, pharmacokinetic properties and efficacy in the A549 lung cancer xenograft model. Additionally, TC Mps1 12 suppressed the growth of hepatocellular carcinoma cells via the accumulation of chromosomal instability.

TC11

Axon 3149

CLT-003



[100823-03-8]
Purity: 98%

Soluble in DMSO
C20H22N2O2 MW: 322.40

mg	Price
10	online
50	online

Biological activity
TC11 is a potent inhibitor of tumor cell proliferation and an inducer of apoptosis via activation of caspase-3, 8 and 9. TC11 also showed in vivo activity against multiple myeloma cell line KMS34 tumor xenografts in ICR/SCID mice. Nucleophosmin 1 (NPM/B23) was identified as a target of TC11 for inducing apoptosis of tumor cells. Moreover, TC11 induces disruption of tubulin polymerization leading to mitotic arrest and promotes degradation of anti-apoptotic protein, MCL1, by sustained CDK1 activation.

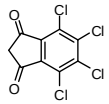
TC-N 1752

Axon 1780

See Nav1.7 blocker 52
Page 569

TCID

Axon 2333



[30675-13-9]
Purity: 99%

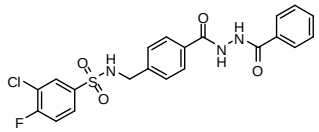
Soluble in DMSO
C9H2Cl4O2 MW: 283.92

mg	Price
10	online
50	online

Biological activity
Potent, cell permeant inhibitor of UCHL3 (IC50 value 0.6 µM) with 125-fold selectivity over UCHL1. Specific inhibition of UCHL3 with TCID diminished GlyT2 ubiquitination in brainstem and spinal cord primary neurons and may be beneficial in several human disorders, including neuromotor deficiencies (startle disease, myoclonus), pain and epilepsy.

TCN-201

Axon 2708



[852918-02-6]
Purity: 99%

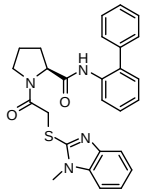
Soluble in 0.1N NaOH(aq) and DMSO
C21H17ClFN3O4S MW: 461.89

mg	Price
10	online
50	online

Biological activity
NMDA receptor antagonist selective for NR2A- over NR2B-containing receptors (pIC50 values of 6.8 and 4.3, respectively). The degree of inhibition produced by TCN 201 is dependent on the concentration of the GluN1-site co-agonist, glycine (or D-serine), and is independent of the glutamate concentration. TCN-201 is a negative allosteric modulator of glycine binding.

TCS1102

Axon 2744



[916141-36-1]
Purity: 98%
Optically pure
Soluble in DMSO
C27H26N4O2S MW: 470.59

mg	Price
10	online
50	online

Biological activity
TCS1102 is a potent and selective dual orexin receptor antagonist (Ki values of 3 and 0.2 nM for hOX1R and hOX2R, respectively). Moreover, TCS1102 demonstrated in vivo central activity when dosed peripherally in a pharmacodynamic model of orexin activity.

TCV-116

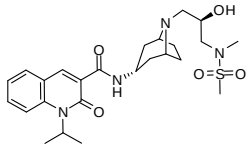
Axon 3104

See Candesartan cilexetil
Page 297

TD 5108

Axon 2060

Velusetrag



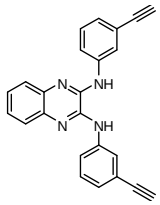
[866933-46-2]
Purity: 99%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C25H36N4O5S MW: 504.64

mg	Price
5	online
25	online

Biological activity
Potent and selective 5-HT4 receptor agonist with high intrinsic activity; drug candidate for the treatment of chronic constipation and irritable bowel syndrome

TD52

Axon 2700



mg	Price
10	online
50	online

[1798328-24-1]
Purity: 99%

Soluble in DMSO
C24H16N4 MW: 360.41

Biological activity
The CIP2A inhibitor TD52 had more potent apoptotic effects than erlotinib (Axon 1128) in HCC cells (IC50 values of 0.9, 0.9, 0.8 and 1.2 μM in HA22T, Hep3B, PLC5 and Sk-Hep1 cell lines, respectively). Also, CIP2A-dependent p-Akt downregulation mediates TD52-induced apoptosis in TNBC. TD52-induced tumor inhibition was associated with reactivation of PP2A and downregulation of CIP2A and p-Akt in vivo.

TDZ 01

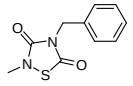
Axon 2443

See Rosiglitazone

Page 682

TDZD 8

Axon 2010



mg	Price
10	online
50	online

[327036-89-5]
Purity: 98%

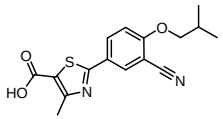
Soluble in DMSO
C10H10N2O2S MW: 222.26

Biological activity
Selective and non-ATP competitive inhibitor of glycogen synthase kinase-3 beta (GSK-3β); potential agent for the treatment of Alzheimer's disease

TEI 6720

Axon 1175

Febuxostat



mg	Price
10	online
50	online

[144060-53-7]
Purity: 98%

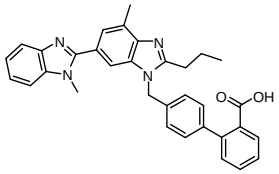
Soluble in DMSO
C16H16N2O3S MW: 316.37

Biological activity
A non-purine selective xanthine oxidase (XO) inhibitor

Telmisartan

Axon 3103

BIBR 277



mg	Price
50	online
250	online

[144701-48-4]
Purity: 99%

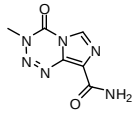
Soluble in 0.1N NaOH(aq) and DMSO
C33H30N4O2 MW: 514.62

Biological activity
Telmisartan is a highly potent and selective nonpeptide AT1 receptor antagonist (Ki value of 3.7 nM for rat AT1 receptors).

Temozolomide

Axon 2326

TMZ; NSC 362856; SCH 52365; CCRG 81045



mg	Price
10	online
50	online

[85622-93-1]
Purity: 100%

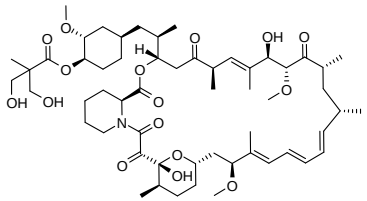
Soluble in 0.1N HCl(aq) and DMSO
C6H6N6O2 MW: 194.15

Biological activity
Chemotherapeutic apoptosis inducer. An orally active alkylating agent prodrug, delivering a methyl group to purine bases of DNA (O6-guanine; N7-guanine and N3-adenine). Temozolomide has demonstrated efficacy in the treatment of a variety of solid tumors, primary malignant brain tumors and metastatic melanoma (IC50 value 5 μM for cytotoxicity against mouse TLX5 lymphoma cells). The primary cytotoxic lesion, O6-methylguanine (O6-MeG) can be removed by methylguanine methyltransferase (MGMT; direct repair) in tumours expressing this protein, or tolerated in mismatch repair-deficient (MMR-) tumours.

Temsirolimus

Axon 1699

CCI 779; Torisel



mg	Price
5	online
25	online

[162635-04-3]
Purity: 99%

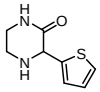
Soluble in DMSO
C56H87NO16 MW: 1030.29

Biological activity
Specific mTOR inhibitor; a signaling protein that regulates cell growth and angiogenesis; a therapeutic for the treatment of advanced renal cell carcinoma (RCC), kidney cancer and other cancer types.

Tenilsetam

Axon 1470

PAS 997; CAS 997; HR 029



	mg	Price
[86696-86-8]		
Purity: 99%	10	online
Soluble in 0.1N HCl(aq) and DMSO	50	online

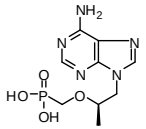
C8H10N2OS MW: 182.24

Biological activity
Endonuclease modulator; a nootropic agent and advanced glycation end product (AGE) inhibitor having potential for Alzheimer's disease (AD) treatment.Preclinical studies on diabetic rats suggested that tenilsetam may be beneficial in the inhibition of diabetic retinopathy, without amelioration of pericyte loss

Tenofovir Recent Addition

Axon 3157

PMPA



	mg	Price
[147127-20-6]		
Purity: 98%	10	online
Optically pure		
Soluble in water and 0.1N NaOH(aq)	50	online

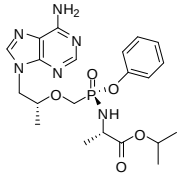
C9H14N5O4P MW: 287.21

Biological activity
Tenofovir is a selective inhibitor of HIV-1 reverse transcriptase.

Tenofovir alafenamide Recent Addition

Axon 3302

GS-7340



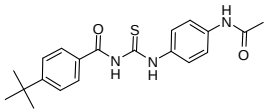
	mg	Price
[379270-37-8]		
Purity: 99%	10	online
Optically pure		
Soluble in DMSO	50	online

C21H29N6O5P MW: 476.47

Biological activity
Tenofovir alafenamide is an orally bioavailable, intracellular prodrug of Tenofovir (Axon 3157), which is a selective inhibitor of HIV-1 reverse transcriptase.

Tenovin 1

Axon 2008



	mg	Price
[380315-80-0]		
Purity: 99%	10	online
Soluble in DMSO	50	online

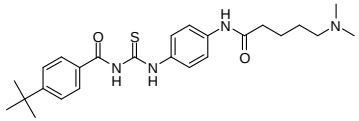
C20H23N3O2S MW: 369.48

Biological activity
p53 activator that has the potential to decrease tumor growth; Tenovin 1 acts through inhibition of the protein-deacetylating activities of SIRT1 and SIRT2, two important members of the sirtuin family

Tenovin 6

Axon 2249

Tnv 6



	mg	Price
[1011557-82-6]		
Purity: 99%	5	online
Soluble in 0.1N HCl(aq) and DMSO	25	online

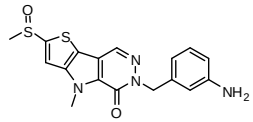
C25H34N4O2S MW: 454.63

Biological activity
Small molecule, water soluble p53 activator and SIRT inhibitor (IC50 values of 21 µM, 10 µM, and 67 µM for purified human SIRT1, 2, and 3, respectively, in a peptide deacetylase assay). Tenovin 6 reduces chronic lymphocytic leukaemia (CLL) cell viability with dysregulation of autophagy, without increasing p53-pathway activity. It induces p53-dependent apoptosis in many malignant cells.

TEPP 46

Axon 2240

ML 265



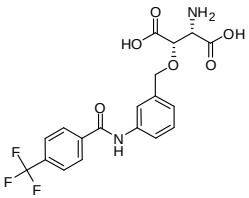
	mg	Price
[1221186-53-3]		
Purity: 99%	5	online
Soluble in DMSO	25	online

C17H16N4O2S2 MW: 372.46

Biological activity
Potent and selective activator of recombinant pyruvate kinase M2 (PKM2) with half-maximum activating concentration (AC50 value) of 92 nM, and little or no activity versus PKM1, PKL and PKR. Continuous dosing of mice with TEPP 46 decreased the development of human cancer cell xenografts, suggesting that increased pyruvate kinase activity can impair tumorigenesis. TEPP 46 can mimic the enzymatic properties of PKM1 in PKM2-expressing cells, alter cell metabolism, and induces changes in the kinetic properties of PKM2 that are identical to those induced by the endogenous PKM2 activator FBP.

TFB-TBOA

Axon 2640



	mg	Price
[480439-73-4]		
Purity: 100%	5	online
>97% d.e.		
Soluble in 0.1N NaOH(aq) and DMSO	25	online

C19H17F3N2O6 MW: 426.34

Biological activity
Very potent blocker for the human excitatory amino acid transporters (IC50 values 22 nM, 17 nM, and 300 nM, for EAAT1, EAAT2, and EAAT3, respectively). TFB-BOA is more potent at inhibiting EAAT1 and EAAT2 compared with L-TBOA (Axon 2427), and induced spontaneous epileptiform discharges and convulsive behaviors in mice. An important tool for elucidation of the physiological roles of EAATs and their contribution to the etiology of neuronal disorders.

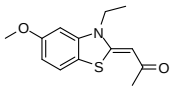
TFMO 2

Axon 2180

See TMP 195 Page 770

TG 003

Axon 1765



[719277-26-6]
Purity: 98%

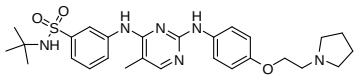
Soluble in DMSO
C13H15NO2S MW: 249.33

mg	Price
10	online
50	online

Biological activity
Potent and specific inhibitor of Cdc2-like kinase (Clk) family (Ki = 10 nM for mClk1/Sty; IC50 = 15 nM, 20 nM, 200 nM, and > 10 mM for mClk4, mClk1, mClk2, and mClk3, respectively);a valuable tool to dissect the regulatory mechanisms involving serine/arginine-rich protein phosphorylation signaling pathways in vivo, and potential for the therapeutic manipulation of abnormal splicing

TG 101348

Axon 1588



[936091-26-8]
Purity: 99%

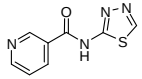
Soluble in DMSO
C27H36N6O3S MW: 524.68

mg	Price
5	online
25	online

Biological activity
An orally bioavailable, ATP-competitive and selective inhibitor of Janus-associated kinase 2 (JAK2, IC50: ca 3 nM) with potential antineoplastic activity

TGN 020

Axon 2422



[51987-99-6]
Purity: 100%

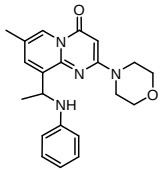
Soluble in DMSO
C8H6N4OS MW: 206.22

mg	Price
10	online
50	online

Biological activity
Aquaporin 4 (AQP4) inhibitor (IC50 value 3.1 µM). Useful pharmacological tool to study the biological function of aquaporins and their roles in human physiology and pathology. Also reported to be active as bactericide and fungicide, esp. active against Xanthomonas oryzae.

TGX 221

Axon 1417



[663619-89-4]
Purity: 99%

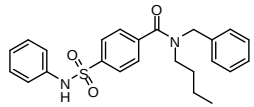
Soluble in DMSO
C21H24N4O2 MW: 364.44

mg	Price
2	online
5	online
25	online

Biological activity
Potent and specific PI3K p110β inhibitor

TH 257

Axon 2973



[2244678-29-1]
Purity: 99%

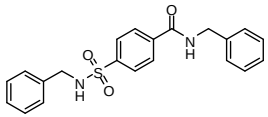
Soluble in DMSO
C24H26N2O3S MW: 422.54

mg	Price
10	online
50	online

Biological activity
TH 257 is a selective allosteric inhibitor of LIMK1 and LIMK2 with IC50 values of 84 nM and 39 nM, respectively. A negative control is also available: TH 263 (Axon 2974)

TH 263

Axon 2974



[313520-94-4]
Purity: 99%

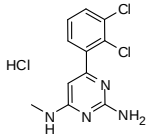
Soluble in DMSO
C21H20N2O3S MW: 380.46

mg	Price
10	online
50	online

Biological activity
A chemically related negative control compound for TH 257 (Axon 2973), a selective allosteric inhibitor of LIMK1/2.

TH 287 hydrochloride

Axon 2271



[N.A.]
Purity: 98%

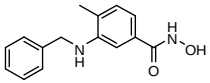
Soluble in DMSO
C11H10Cl2N4.HCl MW: 305.59

mg	Price
5	online
25	online

Biological activity
First-in-class MTH1 inhibitor (IC50 value 0.8 nM) that selectively and effectively kills U2OS and other cancer cell lines, with considerably less toxicity towards several primary or immortalized cells.
TH 287 shows no relevant inhibitory effect for any of the other tested nudix hydrolase protein family members MTH2, NUDT5, NUDT12, NUDT14, and NUDT16, nor for other proteins with known nucleoside triphosphate pyrophosphatase activity (dCTPase, dUTPase and ITPA).

TH 34

Axon 2996



[2196203-96-8]
Purity: 98%

Soluble in DMSO
C15H16N2O2 MW: 256.30

mg	Price
10	online
50	online

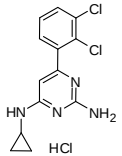
Biological activity
TH 34 is a selective inhibitor of HDAC6, HDAC8, and HDAC10 with IC50 values of 4.6 µM, 1.9 µM, and 7.7 µM, respectively. TH 34 effectively and selectively eliminates high-grade neuroblastoma cells while sparing non-transformed human cells. In neuroblastoma cell lines as well as primary neuroblastoma cells, it markedly induces DNA damage, followed by differentiation and G2/M phase cell cycle arrest at later timepoints, eventually leading to cell death.

TH 588 hydrochloride

Axon 2272

[1609960-31-7] (parent)
Purity: 99%

Soluble in DMSO
C13H12ClN4.HCl MW: 331.63



mg	Price
5	online
25	online

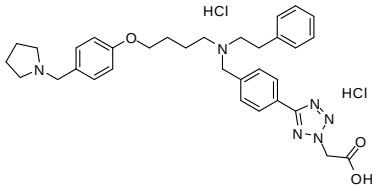
Biological activity
First-in-class MTH1 inhibitor (IC50 value 5.0 nM) that selectively and effectively kills U2OS and other cancer cell lines, with considerably less toxicity towards several primary or immortalized cells. Similar to TH 287 (Axon 2271), TH 588 shows no relevant inhibitory effect for any of the other tested nudix hydrolase protein family members MTH2, NUDT5, NUDT12, NUDT14, and NUDT16, nor for other proteins with known nucleoside triphosphate pyrophosphatase activity (dCTPase, dUTPase and ITPA). Replacement of the methyl group by a cyclopropyl substituent in TH 588 (compared to TH 287, Axon 2271) improved metabolic stability both in vitro and in vivo, while maintaining MTH1 potency.

TH 1834

Axon 2339

[N.A.]
Purity: 99%

Soluble in water and DMSO
C33H40N6O3.2HCl MW: 641.63



mg	Price
5	online
25	online

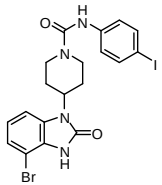
Biological activity
Tip60 histone acetyltransferase inhibitor. Treating cells with TH1834 results in apoptosis and increased unrepaired DNA damage (following ionizing radiation treatment) in breast cancer but not control cell lines. Furthermore, TH 1834 did not affect the activity of related HAT MOF, as indicated by H4K16Ac, demonstrating specificity.

TH 5487

Axon 2934

[2304947-71-3]
Purity: 98%

Soluble in DMSO
C19H18BrIN4O2 MW: 541.18



mg	Price
10	online
50	online

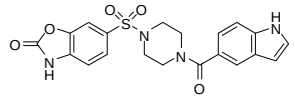
Biological activity
TH 5487 is a potent and selective active-site inhibitor of 8-oxoguanine DNA glycosylase 1 (OGG1) with an IC50 of 342 nM. TH 5487 inhibited DNA repair and modified OGG1 chromatin dynamics, which resulted in the inhibition of proinflammatory pathway genes. Furthermore, TH 5487 was well tolerated by mice and suppressed lipopolysaccharide- and tumor necrosis factor–α–mediated neutrophilic inflammation in the lungs.

TH1760 Recent Addition

Axon 3285

[2567914-01-4]
Purity: 98%

Soluble in DMSO
C20H18N4O5S MW: 426.45



mg	Price
5	online
25	online

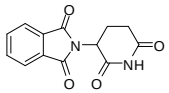
Biological activity
TH1760 is a first-in-class, potent, selective and cell-active NUDT15 (MTH2) inhibitor with an IC50 value of 25 nM.

Thalidomide Recent Addition

Axon 3324

[50-35-1]
Purity: 99%

Soluble in DMSO
C13H10N2O4 MW: 258.23



mg	Price
50	online

Biological activity
Thalidomide was initially promoted as a sedative with anti-emetic properties. Later, Thalidomide was shown to have immunomodulatory and anti-inflammatory properties in erythema nodosum leprosum (ENL). Moreover, Thalidomide was found to inhibit fibroblast growth factor (bFGF)-induced formation of new blood vessels. Thalidomide targets the CUL4-RBX1-DDB1-CRBN (CRL4CRBN) E3 ubiquitin ligase and promotes the ubiquitination of Ikaros/Aiolos transcription factors by CRL4CRBN.

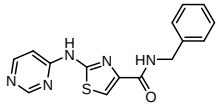
Thiazovivin

Axon 1535

TZV

[1226056-71-8]
Purity: 99%

Soluble in DMSO
C15H13N5OS MW: 311.36



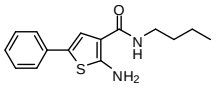
mg	Price
5	online
25	online

Biological activity
A small molecule that enhances the survival of human embryonic stem cells (hESCs) after trypsinzation; ROCK inhibitor; Thiazovivin dramatically improves (200-fold) the efficiency of iPSC generation from human fibroblasts, when used in combination with ALK5 inhibitor SB 431542 (Axon 1661) and MEK inhibitor PD 0325091 (Axon 1408)

ThioLox

Axon 2844

Thiophene A9



	mg	Price
[1202193-89-2] Purity: 99%	5	online
Soluble in DMSO C15H18N2OS MW: 274.38	25	online

Biological activity
Inhibitor of 15-lipoxygenase-1 (15-LOX-1) with both anti-inflammatory and neuroprotective properties (IC50 value of 12 μM). Ex vivo biological evaluation in precision-cut lung slices (PCLS) showed inhibition of pro-inflammatory gene expression and in vitro studies on neuronal HT-22 cells showed a strong protection against glutamate toxicity for this 15-LOX-1 inhibitor.
**Sold in collaboration with RuG (University of Groningen)* *Sold in collaboration with RuG (University of Groningen)*

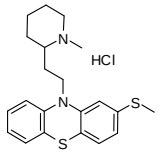
Thiophene A9

Axon 2844

See ThioLox Page 765

Thioridazine hydrochloride

Axon 2193



	mg	Price
[130-61-0] Purity: 99%	10	online
Soluble in water and DMSO C21H26N2S2.HCl MW: 407.04	50	online

Biological activity
Antipsychotic with (sub-) nanomolar affinity for dopamine and alpha-adrenergic receptors (Ki of 0.4 nM, 1.5 nM, 1.5 nM, 3.2 nM, 2.4 nM for D2, D3, D4, α1A, and α1B resp.). Recently, Thioridazine was found to inhibit full length recombinant MALT1 (IC50 3.43 μM). It inhibits anti-apoptotic NF-κB signaling and elicits toxic effects selectively on MALT1-dependent ABC-DLBCL cells. Additionally, it suppresses tumor growth activity by targeting the PI3K/Akt/mTOR/p70S6K signaling pathway.

Thymitaq

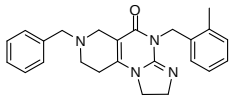
Axon 2853

See Nolatrexed dihydrochloride Page 580

TIC 10 active isomer

Axon 2300

Angular TIC 10; Active isomer 2



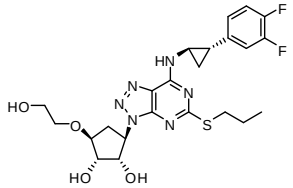
	mg	Price
[1616632-77-9] Purity: 99%	10	online
Sokuble in DMSO C24H26N4O MW: 386.49	50	online

Biological activity
Potent, orally active, and stable small molecule that transcriptionally induces TRAIL in a p53-independent manner. TIC10 inactivates kinases Akt and extracellular signal–regulated kinase (ERK), leading to the translocation of Foxo3a into the nucleus, where it binds to the TRAIL promoter to up-regulate gene transcription. Efficacious antitumor therapeutic agent
**Prime Source Information: Axon 2300 is the confirmed 'angular' bio-active regio-isomer '2' as identified in the recently published issue of Angew. Chem. 2014, 126, 6746 –6749! About TRAIL: Tumor necrosis factor–related apoptosis-inducing ligand (TRAIL) is a powerful inducer of apoptosis in a wide range of human cancer cell lines via proapoptotic death receptor 4 (DR4; TRAIL-R1) and death receptor 5 (DR5; TRAIL-R2).*

Ticagrelor

Axon 3111

AZD6140



	mg	Price
[274693-27-5] Purity: 99% Optically pure Soluble in DMSO C23H28F2N6O4S MW: 522.57	25	online
	0	online

Biological activity
Ticagrelor is a selective, reversible, direct, and orally available P2Y12 antagonist.

Ticrynafan

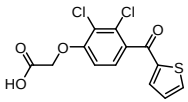
Axon 1564

See Tienilic Acid Page 766

Tienilic Acid

Axon 1564

Ticrynafan

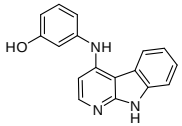


	mg	Price
[40180-04-9] Purity: 99%	5	online
Soluble in DMSO C13H8Cl2O4S MW: 331.17	25	online

Biological activity
Tienilic acid was found to act as a suicide substrate at the cytochrome P450 enzymes involved in drug metabolism. It is a good mechanism based inhibitor of CYP2C9 and seems to inactivate it stoichiometrically

Tilfrinib

Axon 2560



mg	Price
5	online
25	online

[1600515-49-8]
Purity: 98%

Soluble in DMSO and Ethanol
C17H13N3O MW: 275.30

Biological activity
Potent Brk inhibitor (breast tumor kinase; IC50 values 3.15 nM) with antiproliferative activity in various breast tumor cancer cell lines. Brk is also known as protein tyrosine kinase 6 (PTK6)

Tifenazoxide

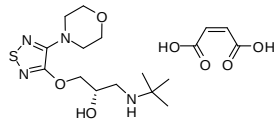
Axon 1647

See NN 414

Page 580

Timolol maleate

Axon 1518



mg	Price
10	online
50	online

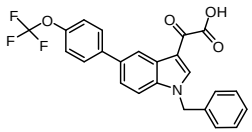
[26921-17-5]
Purity: 99%
>98% ee
Soluble in water and DMSO
C13H24N4O3S.C4H4O4
MW: 432.49

Biological activity
A beta-adrenergic receptor blocker

Tiplaxtinin

PAI 039

Axon 1383



mg	Price
5	online
25	online

[393105-53-8]
Purity: 99%

Soluble in DMSO
C24H16F3NO4 MW: 439.38

Biological activity
Inhibitor of plasminogen activator inhibitor-1 (PAI-1)

Tivantinib

Axon 1838

See ARQ 197

Page 225

Tivicay

Axon 2855

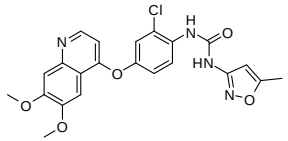
See Dolutegravir

Page 368

Tivozanib

AV 951; KRN 951

Axon 1717



mg	Price
5	online
25	online

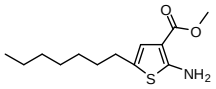
[475108-18-0]
Purity: 98%

Moderately soluble in DMSO
C22H19ClN4O5 MW: 454.86

Biological activity
A highly potent and orally available tyrosine kinase inhibitor (TKI), targeting VEGFR-1, 2 and 3, c-KIT and PDGFR (IC50: 0.21, 0.16, 0.24, 1.63 and 1.72 nM, respectively)

TJ191

Axon 3076



mg	Price
10	online
50	online

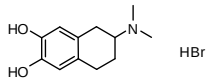
[1522415-97-9]
Purity: 100%

Soluble in DMSO
C13H21NO2S MW: 255.38

Biological activity
TJ191 is a potent and selective anti-cancer molecule with pronounced activity against human malignant T-cells expressing low levels of TβRIII. TJ191 selectively inhibits the proliferation of, and induces apoptosis in, various T-cell-derived hematological malignant cell lines. TJ191 selectively targets certain cancer cells without affecting the proliferation of other cancer cells or normal fibroblasts or immune cells (over 600-fold selectivity).

TL 99 hydrobromide

Axon 1060



mg	Price
5	online
25	online

[62421-56-1]
Purity: 98%

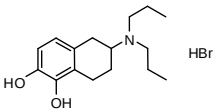
Soluble in water and DMSO
C12H17NO2.HBr MW: 288.18

Biological activity
A putative dopamine autoreceptor agonist

TL 102 hydrobromide

DPAT, 5,6-Dihydroxy-

Axon 1004



mg	Price
5	online
25	online

[62421-54-9]
Purity: 98%

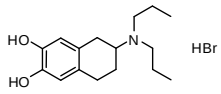
Soluble in water
C16H25NO2.HBr MW: 344.29

Biological activity
Dopamine receptor agonist

TL 232 hydrobromide

Axon 1005

DPAT, 6,7-Dihydroxy-



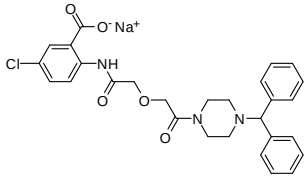
	mg	Price
[62421-17-4] Purity: 98%	5	online
Soluble in water	25	online

C16H25NO2.HBr MW: 344.29

Biological activity
Dopamine receptor agonist

TM 5275

Axon 2344



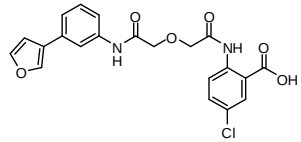
	mg	Price
[1103926-82-4] Purity: 99%	5	online
Soluble in DMSO	25	online

C28H27ClN3NaO5 MW: 543.97

Biological activity
Selective, orally active inhibitor of plasminogen activator inhibitor-1 (PAI-1; IC50 value 6.95 µM in tissue plasminogen activator-dependent peptide hydrolysis essay) with antithrombotic benefits devoid of bleeding effect in rodents and nonhuman primates, and with impressive bioavailability. TM 5275 prolongs tPA retention and enhances plasmin generation on the vascular endothelial cell (VEC) surface as a result of PAI-1 inhibition. Additionally, TM 5275 represents a novel class of anti-inflammatory agents targeting macrophage migration by the inhibition of the interaction of PAI-1 with low-density lipoprotein receptor–related protein (IC50 values 3.13 µM and 3.02 µM for LRP1 protein

TM 5441

Axon 2734



	mg	Price
[1190221-43-2] Purity: 99%	5	online
Soluble in 0.1N NaOH(aq) and DMSO	25	online

C21H17ClN2O6 MW: 428.82

Biological activity
TM5441 is an orally active PAI-1 inhibitor, which protects mice against L-NAME–induced vascular pathologies, including hypertension, fibrosis, and vascular senescence. TM5441 is a derivative of PAI-1 inhibitor TM5275 (Axon 2344), however showed better pharmacokinetics and volume of distribution.

TMC114

Axon 3137

See Darunavir Recent Addition

Page 351

TMC 120

Axon 1534

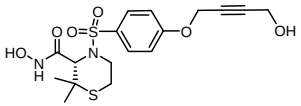
See Dapivirine

Page 350

TMI 005

Axon 1507

Apratastat



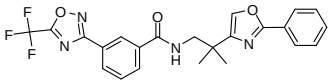
	mg	Price
[287405-51-0] Purity: 99% >98% ee	5	online
Soluble in DMSO	25	online

C17H22N2O6S2 MW: 414.50

Biological activity
Novel, oral TACE/MMP inhibitor for rheumatoid arthritis; Apratastat (TMI-005) blocks secretion of soluble TNF-α and down regulates multiple MMPs, which have been implicated in cartilage destruction and bone erosions of RA

TMP 195

Axon 2180



	mg	Price
[1314891-22-9] Purity: 99%	5	online
Soluble in DMSO	25	online

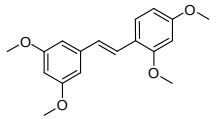
C23H19F3N4O3 MW: 456.42

Biological activity
Selective and cell-active class IIa histone deacetylase (HDAC) inhibitor, with IC50 values of 111, 106, 46, 9 nM for HDAC4, HDAC5, HDAC7 and HDAC9 respectively; >100 fold more selective vs other HDACs (IC50: >10 µM). The trifluoromethyloxadiazole (TFMO) moiety in TMP 195 as a new metal binding group circumvents the selectivity and pharmacologic liabilities of hydroxamates groups used in other metalloenzyme inhibitors. TMP 195 has a restraint impact on gene expression, and lacks overt cytotoxicity.

TMS

Axon 2628

Trans-2,3',4,5'-tetramethoxystilbene



	mg	Price
[24144-92-1] Purity: 99%	10	online
Soluble in DMSO	50	online

C18H20O4 MW: 300.35

Biological activity
CYP1B1 inhibitor (IC50 values 6 nM, 300 nM, and 3100 nM for inhibition of CYP1B1, CYP1A1, and CYP1A2, resp.), that potentiates the inhibition of cell growth and induces apoptosis in human cancer cells. Moreover, TMS is a useful compound for characterizing the enzymatic properties of CYP1B1 and its contribution to hypertension and associated pathophysiology.

TMZ

Axon 2326

See Temozolomide

Page 758

TMZ-POH

Axon 2327

See NEO 212

Page 572

TNKS 656

Axon 2599

See NVP-TNKS656

Page 597

Tnv 6

Axon 2249

See Tenovin 6

Page 760

Tofacitinib

Axon 1338

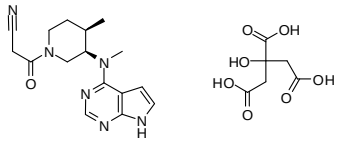
See CP 690550

Page 334

Tofacitinib citrate

Axon 2072

CP 690550-10



mg	Price
10	online
50	online

[540737-29-9]
Purity: 99%

Soluble in DMSO
$C_{16}H_{20}N_6O.C_6H_8O_7$ MW: 504.49

Biological activity
Potent Janus Kinase 3 (JAK3) inhibitor; an immunosuppressive agent exhibiting potent effects in preclinical transplantation and arthritis models; clinically safe and effective in preventing transplant rejection and improving symptoms of rheumatoid arthritis and psoriasis;the citrate salt form of CP 690550 - Tofacitinib (Axon 1338)
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Tolimidone

Axon 1941

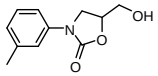
See MLR 1023

Page 555

Toloxatone

Axon 2977

MD 69276



mg	Price
10	online
50	online

[29218-27-7]
Purity: 99%

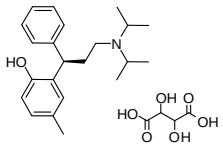
Soluble in DMSO
$C_{11}H_{13}NO_3$ MW: 207.23

Biological activity
Toloxatone acts as a reversible monoamine oxidase A (MAO-A) inhibitor in vivo and in vitro. Antidepressant.

Tolterodine L-tartrate

Axon 2049

PNU 200583E



mg	Price
10	online
50	online

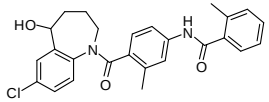
[124937-52-6]
Purity: 100%
Optically pure
Soluble in water and DMSO
$C_{22}H_{31}NO.C_4H_6O_6$ MW: 475.57

Biological activity
Potent and selective muscarinic receptor (mAChR) antagonist (Ki = 3.3 nM; non-selective for subtypes M1-M5); an antimuscarinic drug
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Tolvaptan

Axon 1591

OPC 41061



mg	Price
10	online
50	online

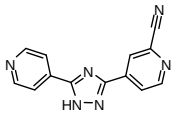
[150683-30-0]
Purity: 99%

Soluble in DMSO
$C_{26}H_{25}ClN_2O_3$ MW: 448.94

Biological activity
A highly potent, oral and selective antagonist of vasopressin V2 receptor

Topiroxostat Recent Addition

Axon 3178



mg	Price
10	online
50	online

[577778-58-6]
Purity: 99%

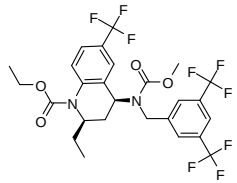
Soluble in DMSO
$C_{13}H_8N_6$ MW: 248.24

Biological activity
Topiroxostat is a potent xanthine oxidoreductase (XOR) inhibitor with xanthine as a substrate. In the absence of xanthine, however, FYX-051 itself is very slowly hydroxylated by the enzyme.

Torcetrapib

Axon 2047

CP 529414



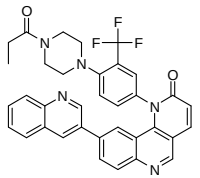
mg	Price
10	online
50	online

[262352-17-0]
Purity: 99%
Optically pure
Soluble in DMSO
$C_{26}H_{25}F_9N_2O_4$ MW: 600.47

Biological activity
Cholesteryl ester transfer protein (CETP) inhibitor; a drug being developed to treat hypercholesterolemia (elevated cholesterol levels) and prevent cardiovascular disease
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Torin 1

Axon 1833



mg	Price
5	online
25	online

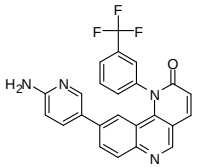
[1222998-36-8]
Purity: 98%

Poorly soluble in DMSO
$C_{35}H_{28}F_3N_5O_2$ MW: 607.62

Biological activity
Highly potent, selective and ATP-competitive mTOR inhibitor, with IC50 to be 2 and 10 nM for mTORC1 and mTORC2 respectively;Torin1 exhibits 1000-fold selectivity for mTOR over PI3K (EC50 = 1800 nM) and exhibits 100-fold binding selectivity relative to 450 other protein kinases

Torin 2

Axon 1834



[1223001-51-1]
Purity: 99%

Soluble in DMSO
C24H15F3N4O MW: 432.40

mg	Price
5	online
25	online

Biological activity
Potent, selective, orally available and ATP-competitive mTOR inhibitor (IC50: 2.1 nM for mTORC1), which possesses an EC50 of 0.25 nM for inhibiting cellular mTOR activity and exhibited 800-fold selectivity over PI3K(EC50: 200 nM) and over 100-fold binding selectivity relative to 440 other protein kinases

Torisel

Axon 1699

See Temsirolimus
Page 758

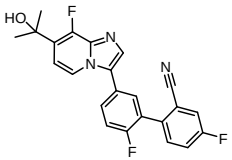
Tozasertib

Axon 1540

See VX 680
Page 804

TP 003

Axon 1422



[628690-75-5]
Purity: 99%

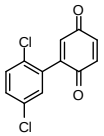
Soluble in DMSO and Ethanol
C23H16F3N3O MW: 407.39

mg	Price
2	online
5	online

Biological activity
Subtype selective partial agonist at GABAA receptor, showing significant efficacy at α3; nonbenzodiazepine anxiolytic

TPI-1

Axon 2723



[79756-69-7]
Purity: 99%

Soluble in DMSO
C12H6Cl2O2 MW: 253.08

mg	Price
5	online
25	online

Biological activity
SHP1 inhibitor TPI-1 (IC50 value 40 nM) selectively increased SHP1 phospho-substrates (pLck-pY394, pZap70 and pSlp76) in Jurkat T cells but had little effects on pERK1/2 or pLck-pY505 regulated by phosphatases SHP2 or CD45, respectively. TPI-1 was shown to be more effective than sodium stibogluconate in SHP1 inhibition, immune cell activation and anti-tumor action.

TPT 260 dihydrochloride

Axon 2303

See R 55
Page 661

Traficet-EN

Axon 2685

See Vercirnon
Page 796

Trametinib

Axon 1761

See GSK 1120212
Page 435

trans-ISRIB

Axon 2278

See ISRIB
Page 472

Trans-2,3',4,5'-tetramethoxystilbene

Axon 2628

See TMS
Page 770

Traxoprodil

Axon 2254

See CP 101606
Page 330

Traxoprodil mesylate

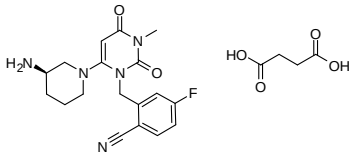
Axon 1406

See CP 101606 mesylate
Page 331

Trelagliptin succinate

Axon 2470

SYR 111472 succinate; SYR 472



[1029877-94-8]
Purity: 100%
Optically pure
Soluble in water and DMSO
C18H20FN5O2.C4H6O4 MW: 475.47

mg	Price
10	online
50	online

Biological activity
Orally active DPP-4 inhibitor that produces clinically and statistically significant improvements in glycaemic control in patients with type 2 diabetes. SYR472 has a long duration of action and is well tolerated in clinical studies.

TRESK inhibitor A2764

Axon 3019

See A2764 dihydrochloride
Page 177

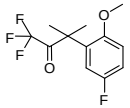
Tretinoin

Axon 3321

See Retinoic acid **Recent Addition**
Page 671

Trifluoro-3-(5-fluoro-2-methoxy-phenyl)-3-methyl-butan-2-one, 1,1,1-

Axon 1176



mg	Price
10	online
50	online

[N.A.]
Purity: 98%

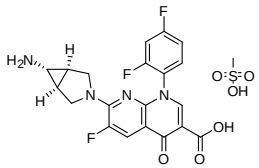
No solubility data
C12H12F4O2 MW: 264.22

Biological activity
Glucocorticoid receptor modulator

Trovafloxacin mesylate

Axon 2100

CP 99219 mesylate



mg	Price
10	online
50	online

[147059-75-4]
Purity: 100%

Soluble in water and DMSO
C20H15F3N4O3.CH4O3S
MW: 512.46

Biological activity
Antibiotic. Inhibits bacterial DNA gyrase and topoisomerase IV and DNA gyrase; DNA synthesis inhibitor
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

TSA 840

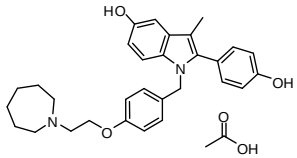
Axon 1746

See Doxercalciferol
Page 370

TSE 424

Axon 2051

Bazedoxifene acetate; Viviant



mg	Price
5	online
25	online

[198481-33-3]
Purity: 99%

Soluble in DMSO
C30H34N2O3.C2H4O2 MW: 530.65

Biological activity
Third generation selective estrogen receptor modulator (SERM).
Another drug form, Bazedoxifene hydrochloride (Axon 1748), is also available
Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

TSE 424 Hydrochloride

Axon 1748

See *Bazedoxifene Hydrochloride*
Page 262

TSU 68

Axon 1891

See *SU 6668*
Page 741

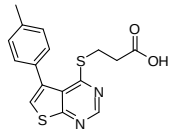
TTI-237

Axon 2916

See Cevipabulin
Page 309

TTP 22

Axon 1854



mg	Price
10	online
50	online

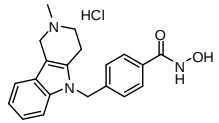
[329907-28-0]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C16H14N2O2S2 MW: 330.42

Biological activity
Potent and ATP-competitive casein kinase 2 (CK2) inhibitor (IC50 = 0.1 µM, Ki = 40 nM)

Tubastatin A hydrochloride

Axon 2004



mg	Price
10	online
50	online

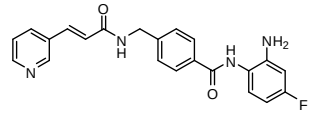
[1310693-92-5]
Purity: 99%

Soluble in DMSO
C20H21N3O2.HCl MW: 371.86

Biological activity
Tubastatin A is a potent and selective HDAC6 inhibitor (IC50 value of 0.015 µM), which did not display neuronal toxicity, thus forecasting the potential application of this agent to neurodegenerative conditions.

Tucidinostat

Axon 2893



mg	Price
10	online
50	online

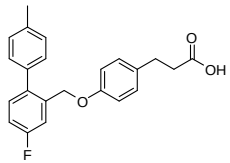
[1616493-44-7]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C22H19FN4O2 MW: 390.41

Biological activity
Tucidinostat (Chidamide) is an orally bioavailable inhibitor of HDAC1, HDAC2, HDAC3, and HDAC10 with IC50 values of 0.095, 0.160, 0.067, 0.078 µM, respectively. Tucidinostat exhibits a significant and broad spectrum in vitro and in vivo antitumor activity, including a wide therapeutic index.

TUG 891

Axon 2075



mg	Price
10	online
50	online

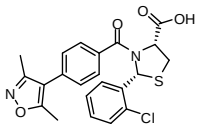
[1374516-07-0]
Purity: 99%

Soluble in DMSO
C23H21FO3 MW: 364.41

Biological activity
Potent and selective agonist for G-protein coupled receptor 120 (GPR120), also known as the free fatty acid receptor FFA4 (EC50: 44 and 17 nM for human GPR120 and mouse GPR120 respectively

TUG-1375

Axon 3078



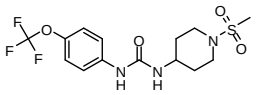
mg	Price
5	online
25	online

[2247372-59-2]
Purity: 98%
98% e.e.
Soluble in 0.1N NaOH (aq) and DMSO
C22H19ClN2O4S MW: 442.92

Biological activity
TUG-1375 is a potent free fatty acid receptor 2 (FFA2/GPR43) agonist with a pKi value of 6.69. TUG-1375 has high solubility, high chemical, microsomal, and hepatocyte stability, and favorable pharmacokinetic properties and was confirmed to induce human neutrophil mobilization and to inhibit lipolysis in murine adipocytes.

TUPS

Axon 3022



mg	Price
10	online
50	online

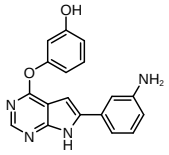
[950184-27-7]
Purity: 100%

Soluble in DMSO
C14H18F3N3O4S MW: 381.37

Biological activity
TUPS is a soluble epoxide hydrolase (sEH) inhibitor with an IC50 value of 3 nM for recombinant human sEH. TUPS prevents isoproterenol (ISO)-induced cardiac hypertrophy.

TWS 119

Axon 1562



mg	Price
2	online
5	online

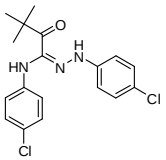
[601514-19-6]
Purity: 99%

Soluble in DMSO
C18H14N4O2 MW: 318.33

Biological activity
Potent and selective inhibitor of GSK-3 beta subtype (GSK-3β) (IC50: 30 nM); Neurogenesis inducer in murine ESC and thus a useful tool to regulate stem cell self-renewal and differentiation

TY 52156

Axon 2404



mg	Price
10	online
50	online

[934369-14-9]
Purity: 99%

Soluble in DMSO
C18H19Cl2N3O MW: 364.27

Biological activity
Selective, competitive, and orally active S1P3 antagonist that restores S1P reduced coronary blood flow, and inhibits Rho dependent activation and calcium signaling. TY52156 inhibited FTY720-induced S1P3 receptor-mediated bradycardia in vivo.

Tyrphostin AG 490

Axon 1378

See AG 490

Tyrphostin B42

Axon 1378

See AG 490
Page 190

TZU-0460

Axon 3129

See Roxatidine acetate hydrochloride **Recent Addition**
Page 683

TZV

Axon 1535

See Thiazovivin
Page 762

U 126

Axon 2520

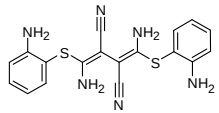
See U 0126

Page 780

U 0126

Axon 2520

U 126



[109511-58-2]
Purity: 99%

Soluble in DMSO and Ethanol
C18H16N6S2 MW: 380.49

mg	Price
10	online
50	online

Biological activity
Non-competitive inhibitor of the dual specificity kinase MEK (IC50 values 0.07 µM and 0.06 µM for MEK1 and MEK2, respectively) that protects the brain against damage resulting from ischemic stroke in mice. U0126 is frequently used in combination with PD 98059 (Axon 1223), and both are found to accelerate differentiation of murine RAW264.7 cells into osteoclast-like cells.

U 21251

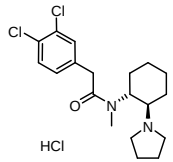
Axon 2063

See Clindamycin

Page 322

U 50488 hydrochloride

Axon 1202



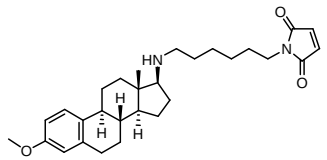
[109620-49-7]
Purity: 99%
>98% ee
Soluble in water
C19H26Cl2N2O.HCl MW: 405.79

mg	Price
10	online
50	online

Biological activity
Selective nonpeptide kappa-Opioid receptor agonist, which has been found to stimulate the release of adrenocorticotropin (acth) via the release of hypothalmic arginine vasopressin and corticotropin releasing factor

U 73122

Axon 1225



[112648-68-7]
Purity: 99%

Moderately soluble in DMSO
C29H40N2O3 MW: 464.64

mg	Price
10	online
50	online

Biological activity
Phospholipase C (PLC) inhibitor

U 90152

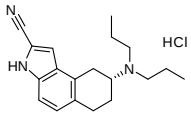
Axon 1815

See Delavirdine

Page 355

U 92016A

Axon 1285



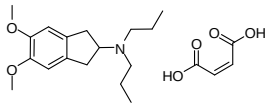
mg	Price
5	online
25	online

[149654-41-1]
Purity: 99%
99% ee
Soluble in DMSO
C19H25N3.HCl MW: 331.88

Biological activity
Selective orally active 5-HT1A full agonist with high intrinsic activity

U 99194 maleate

Axon 1069



mg	Price
10	online
50	online

[234757-41-6]
Purity: 98%

No solubility data
C17H27NO2.C4H4O4 MW: 393.47

Biological activity
Selective and potent D3 antagonist with a 30-fold preference for the dopamine D3 vs D2 receptor

U 100480

Axon 1762

See PNU 100480

Page 646

U 100766

Axon 2048

See Linezolid

Page 509

UCB 6474

Axon 1109

See Etiracetam

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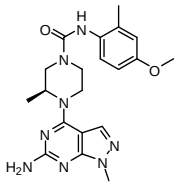
UCB9608 Recent Addition

Axon 3005



mg	Price
5	online
25	online

[1616413-96-7]
Purity: 99%
99% e.e.
Soluble in 0.1N HCl(aq) and DMSO
C20H26N8O2 MW: 410.47

Biological activity
UCB9608 is a potent and orally bioavailable PI4KIIIβ inhibitor (IC50 value of 11 nM) that inhibits the HuMLR response with an IC50 value of 37 nM. The potency and excellent ADME properties of UCB9608 make it an ideal compound for future use as an in vitro and in vivo probe to elucidate the emerging role of PI4KIII β inhibition in immune processes.

UCB-L 059

Axon 1110

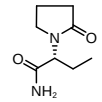
See Levetiracetam

Page 507

UCB-L 060

Axon 1111

Etiracetam, R-(+)-



mg	Price
10	online
50	online

[103765-01-1]
Purity: 99%
98% ee
Soluble in DMSO
C8H14N2O2 MW: 170.21

Biological activity
Acetylcholine agonist; less active enantiomer of Etiracetam (Axon 1109), in comparison with (S)-(-)-enantiomer, Levetiracetam (Axon 1110)

UCLA 5483071

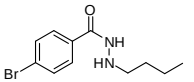
Axon 3018

See DJ001

Page 365

UF 010

Axon 2518



mg	Price
10	online
50	online

[537672-41-6]
Purity: 98%

Soluble in DMSO and Ethanol
C11H15BrN2O MW: 271.10

Biological activity
Class I selective HDAC inhibitor (IC50 values 0.5 μM, 0.1 μM, 0.06 μM, and 1.5 μM for HDAC1, HDAC2, HDAC3, and HDAC8 respectively) that inhibits cancer cell proliferation. Consistently induced the accumulation of acetylated histones (H2B, H3, and H4 but no effect on H2A) and p53 in vitro, without affecting α-tubulin.

UIC 1005

Axon 2590

See Locostatin

Page 512

UIC-94017

Axon 3137

See Darunavir Recent Addition

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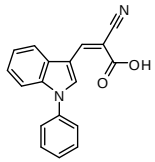
UK 5099

Axon 2805

PF 1005023



mg	Price
10	online
50	online

[56396-35-1]
Purity: 99%

Soluble in DMSO
C18H12N2O2 MW: 288.30

Biological activity
UK 5099 is an inhibitor of mitochondrial pyruvate carrier (MPC). Moreover, UK 5099 inhibits the plasma membrane monocarboxylate transporters (MCTs), but with Ki values some two or three orders of magnitude higher than those for the inhibition of the MPC. Thiolox

UK 49858

Axon 2105

See Fluconazole

Page 405

UK 68798

Axon 2103

See Dofetilide

Page 368

UK 76654

Axon 1273

See Zamifenacin fumarate

Page 828

UK 92480

Axon 2046

See Sildenafil citrate

Page 712

UK 109496

Axon 2044

See Voriconazole

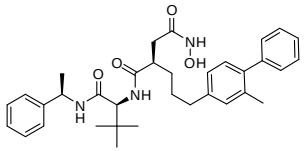
Page 799

UK 356618

Axon 2111

PF 03890101

[230961-08-7]
Purity: 98%
Optically pure
Soluble in DMSO
C34H43N3O4 MW: 557.72



mg	Price
5	online
25	online

Biological activity
Potent and selective matrix metalloprotease-3 (MMP-3 aka stromelysin-1) inhibitor (IC50=5.9 nM); >140-fold selective over MMP-1, MMP-2, MMP-9 and MMP-14
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

UK 369003

Axon 2218

See Gisadenafil besylate

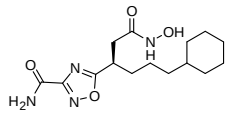
Page 419

UK 383367

Axon 2073

[348622-88-8]
Purity: 99%

Soluble in DMSO
C15H24N4O4 MW: 324.38



mg	Price
5	online
25	online

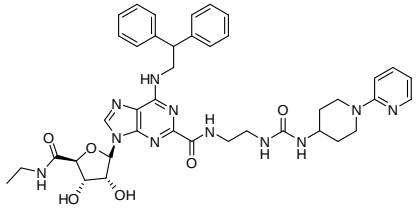
Biological activity
Potent and selective inhibitor of bone morphogenetic protein 1 (BMP-1; also known as procollagen C proteinase, PCP) with IC50 values of 44 nM for BMP-1 and >10.000 nM for a range of other proteolytic matrix metalloproteinases MMP-1, 2, 3, 9, and 14.
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

UK 432097

Axon 1193

[380221-63-6]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C40H47N11O6 MW: 777.87



mg	Price
1	online
5	online

Biological activity
A2A-adenosine receptor agonist; agent for chronic obstructive pulmonary disease (category Allergy/Respiratory)

UK 116044-04

Axon 2050

See Eletriptan hydrobromide

Page 381

UL-FS 49

Axon 1248

See Zatebradine hydrochloride

Page 828

Umifenovir

Axon 3140

See Arbidol hydrochloride

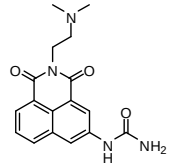
Page 223

UNBS5162

Axon 2993

[956590-23-1]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C17H18N4O3 MW: 326.35



mg	Price
5	online
25	online

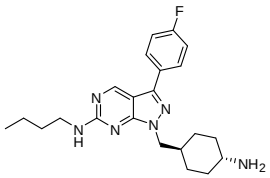
Biological activity
UNBS5162 is a pan-antagonist of CXCL chemokine expression, displaying antitumor effects in experimental models of human refractory prostate cancer.

UNC 569

Axon 2086

[1350547-65-7]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C22H29FN6 MW: 396.50

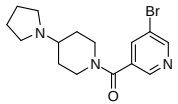


mg	Price
5	online
25	online

Biological activity
Potent, reversible and ATP-competitive inhibitor of Mer receptor tyrosine kinase (RTK) (IC50: 2.9 nM).
UNC 569 inhibits Mer activation and downstream signaling through ERK1/2 and AKT and was capable of inducing >50% reduction in tumor burden compared to references. Potential therapeutic for acute lymphoblastic leukemia (ALL) and atypical teratoid/rhabdoid tumors (ATRT).

UNC 669

Axon 2163



[1314241-44-5]
Purity: 99%

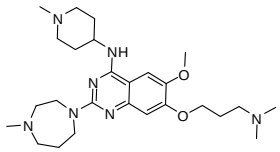
Soluble in water and DMSO
C15H20BrN3O MW: 338.24

mg	Price
10	online
50	online

Biological activity
Small-molecule antagonist of methyl-lysine (KMe) reader protein with selectivity for L3MBTL1 and L3MBTL3 (IC50 of 4.2μM and 3.1μM resp.). Note: UNC 669 was initially reported to show a 5-fold selectivity of L3MBTL1 over L3MBTL3 (IC50 of 6μM and 35μM respectively, reported previously by same authors)

UNC 0224

Axon 1789



[1197196-48-7]
Purity: 99%

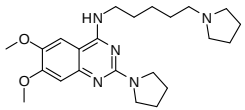
Soluble in 0.1N HCl(aq) and DMSO
C26H43N7O2 MW: 485.67

mg	Price
5	online
25	online

Biological activity
Potent and selective inhibitor of G9a histone lysine methyltransferase (HMTase) (IC50: 15 nM)

UNC 0379

Axon 2418



[1620401-82-2]
Purity: 98%

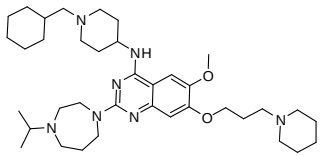
Soluble in DMSO
C23H35N5O2 MW: 413.56

mg	Price
10	online
50	online

Biological activity
Substrate competitive inhibitor of the H4K20 HMTase SETD8 (IC50 value 7.3 μM) with selectivity over 15 other methyltransferases including G9a and GLP. MOA studies revealed that UNC0379 is noncompetitive with the cofactor S-adenosyl-Lmethionine (SAM).

UNC 0631

Axon 1841



[1320288-19-4]
Purity: 98%

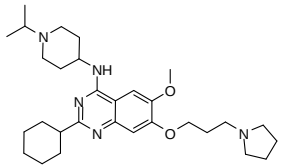
Soluble in DMSO
C37H61N7O2 MW: 635.93

mg	Price
5	online
25	online

Biological activity
Very potent and selective G9a/GLP protein lysine methyltransferase inhibitor (G9a IC50: 6 nM; GLP IC50: 15 nM); with excellent potency in a variety of cell lines and excellent separation of functional potency versus cell toxicity

UNC 0638

Axon 1889



[1255580-76-7]
Purity: 98%

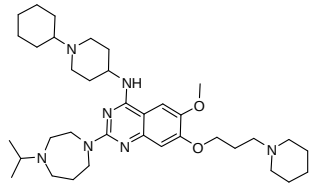
Soluble in DMSO
C30H47N5O2 MW: 509.73

mg	Price
2	online
5	online

Biological activity
Potent and selective G9a (EHMT2)/GLP (EHMT1) inhibitor (G9a IC50: <15 nM; GLP IC50: 19 nM); chemical probe for G9a and GLP methyltransferase inhibition in cells

UNC 0646

Axon 1840



[1320288-17-2]
Purity: 99%

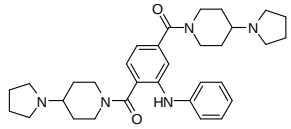
Soluble in DMSO
C36H59N7O2 MW: 621.90

mg	Price
5	online
25	online

Biological activity
Very potent and selective G9a/GLP protein lysine methyltransferase inhibitor (G9a IC50: 6 nM; GLP IC50: 15 nM); with excellent potency in a variety of cell lines and excellent separation of functional potency versus cell toxicity

UNC 1215

Axon 1994



[1415800-43-9]
Purity: 99%

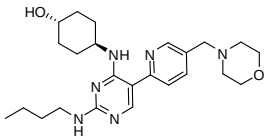
Soluble in DMSO
C32H43N5O2 MW: 529.72

mg	Price
5	online
25	online

Biological activity
Potent and selective antagonist of L3MBTL3 methyllysine reader domain with cellular activity; a powerful tool to investigate the function of malignant brain tumor (MBT) domain proteins in biology and disease; first in class chemical probe for a Kme-binding protein

UNC 2250

Axon 2346



[1493694-70-4]
Purity: 99%

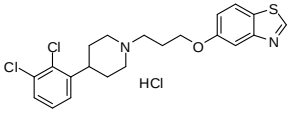
Soluble in 0.1N HCl(aq) and DMSO
C24H36N6O2 MW: 440.58

mg	Price
5	online
25	online

Biological activity
Potent Mer kinase inhibitor (in vitro IC50 values 1.7 nM, 270 nM, and 100 nM for Mer, Axl, and Tyro3 RTKs, respectively) with promising selectivity and PK properties. UNC 2250 efficiently inhibited both steady state and ligand-stimulated phosphorylation of Mer, and confirmed functional antitumor activity by exhibiting potential to reduced colony-forming in both rhabdoid tumor cells and NSCLC cells.

UNC 9994 hydrochloride

Axon 2562



	mg	Price
[N.A.] Purity: 99%	2	online
Soluble in DMSO C21H22Cl2N2OS.HCl MW: 457.84	5	online

Biological activity
Unique, β-arrestin-biased functionally selective dopamine D2 receptor (D2R) agonist (Ki value 30 nM; EC50 value 50 nM in β-arrestin-2 recruitment assay) that exhibits antipsychotic activity in vivo. UNC9994 markedly inhibited PCP-induced hyperlocomotion in wild-type mice, which effect was completely abolished in β-arrestin-2 knockout mice.

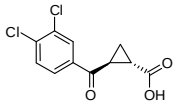
UNC 10225170 hydrochloride

Axon 3059

See GW 284543 hydrochloride Page 441

UPF 648

Axon 2118

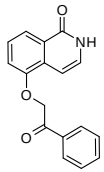


	mg	Price
[213400-34-1] Purity: 99% optically pure Soluble in 0.1N NaOH(aq) and DMSO C11H8Cl2O3 MW: 259.09	2	online
	5	online

Biological activity
Potent and selective inhibitor of kynurenine-3-monooxygenase (KMO, or kynurenine hydroxylase) activity (IC50: 20 nM); Active (+)-(1S,2S)-enantiomer; Useful tool for research on cognitive enhancement and neuroprotection in the brain.

UPF 1069

Axon 2369



	mg	Price
[1048371-03-4] Purity: 99%	10	online
Soluble in DMSO C17H13NO3 MW: 279.29	50	online

Biological activity
PARP-2 inhibitor with >26 fold selectivity over PARP1 (IC50 values 8.0 μM and 0.3 μM for PARP1 and PARP2, respectively) that exacerbates oxygen-glucose deprivation (OGD) injury in the hippocampus, but significantly attenuates OGD damage in mixed cortical cell cultures at concentrations high enough to inhibit both PARP1 and PARP2. UPF 1069 is a valuable tool to explore the function of PARP-2 in biological systems and to examine the different roles of PARP isoenzymes in the mechanisms of cell death and survival.

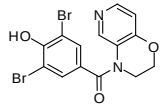
Uptravi

Axon 2605

See Selexipag Page 707

UR 1102

Axon 2581

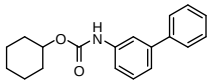


	mg	Price
[1198153-15-9] Purity: 98%	5	online
Soluble in DMSO C14H10Br2N2O3 MW: 414.05	25	online

Biological activity
Inhibitor of the renal urate transporter URAT1 with high selectivity to URAT1 over OAT1 and OAT3 in vitro (Ki values 0.057 μM, 7.2 μM, and 2.4 μM, respectively), capable of increasing the fractional excretion of urinary uric acid, and reducing plasma uric acid more effectively than Benzbromarone. A potential novel therapeutic option with an enhanced pharmacokinetic profile for patients with gout or hyperuricemia.

URB602

Axon 2696

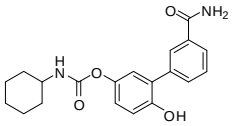


	mg	Price
[565460-15-3] Purity: 99%	10	online
Soluble in DMSO C19H21NO2 MW: 295.38	50	online

Biological activity
Non-competitive inhibitor of MAGL (monoacylglycerol lipase; IC50 values 25 μM and 17 μM for inhibition of hydrolysis of 2-oleoylglycerol (2-OG) and anandamide (AEA), respectively), lacking affinity for FAAH, diacylglycerol lipase or COX-2. URB602 blocks 2-AG hydrolysis in rat brain slices and enhances non-opioid stress-induced analgesia. Furthermore, URB602 reduced xenograft tumor volume, this effect being associated to down-regulation of VEGF and FGF-2, reduction in the number of vessels and down-regulation of cyclin D1.

URB937 *Recent Addition*

Axon 3359



	mg	Price
[1357160-72-5] Purity: 99%	5	online
Soluble in DMSO C20H22N2O4 MW: 354.40	25	online

Biological activity
URB937 is a potent, orally available, and peripherally restricted FAAH inhibitor with an IC50 value of 26.8 nM. URB937 exerts profound analgesic effects in animal models.

USP7/47 inhibitor compound 14

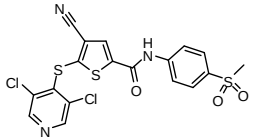
Axon 2991

See USP7-USP47 inhibitor Page 789

USP7-USP47 inhibitor

Axon 2991

USP7/47 inhibitor compound 14



	mg	Price
[1247825-37-1] Purity: 98%	5	online
Soluble in DMSO C18H11Cl2N3O3S3 MW: 484.40	25	online

Biological activity

USP7-USP47 inhibitor is a selective dual inhibitor of the cancer-related deubiquitylating proteases USP7 and USP47 with IC50 values of 0.42 µM and 1.0 µM for USP7 and USP47, respectively. USP7-USP47 inhibitor exhibited enhanced potency against HCT-116 cells and modestly accelerated the degradation of polβ protein in HeLa cells.

V 81444

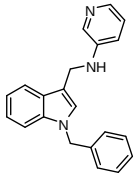
Axon 3085

See CPI-444

Page 336

VA012

Axon 2889



[885898-58-8]
Purity: 99%

Soluble in DMSO
C21H19N3 MW: 313.40

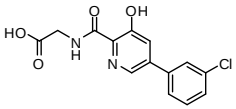
mg	Price
10	online
50	online

Biological activity
VA012 is a positive allosteric modulator of the serotonin 5-HT2C receptor with an EC50 value of 16 nM. VA012 exhibits enhanced efficacy dose-dependently, no significant off-target activities, and low competition with the endogenous agonist or other orthosteric ligands.

Vadadustat Recent Addition

Axon 3288

AKB6548; PG-1016548



[1000025-07-9]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C14H11ClN2O4 MW: 306.70

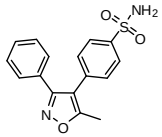
mg	Price
10	online
50	online

Biological activity
Vadadustat is a titratable, oral HIF prolyl-4-hydroxylase (HIF-PH) inhibitor and HIF stabilizer.

Valdecoxib

Axon 2106

SC 65872



[181695-72-7]
Purity: 99%

Soluble in DMSO
C16H14N2O3S MW: 314.36

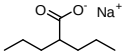
mg	Price
10	online
50	online

Biological activity
NSAID. Highly selective and potent inhibitor of COX-2 in human whole blood and against the recombinant human enzyme, showing exceptional potency after oral administration. Valdecoxib showed weak inhibitory activity against COX-1 (IC50 = 140 µM), and potent activity against COX-2 (IC50 = 0.005 µM)
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Valproic acid sodium salt Recent Addition

Axon 3127

Sodium valproate



[1069-66-5]
Purity: 98%

Soluble in water and DMSO
C8H15NaO2 MW: 166.19

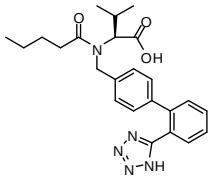
mg	Price
100	online
0	online

Biological activity
Valproic acid is an anticonvulsant and effective agent for control of both absence and primarily generalized tonic-clonic seizures.

Valsartan

Axon 3106

CGP 48933



[137862-53-4]
Purity: 99%
Optically pure
Soluble in DMSO
C24H29N5O3 MW: 435.52

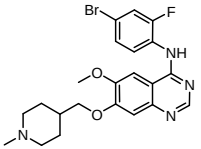
mg	Price
25	online
100	online

Biological activity
Valsartan is a potent, highly selective, and orally active antagonist at the angiotensin II AT1-receptor subtype with a Ki value of 2.38 nM.

Vandetanib

Axon 1411

ZD 6474



[443913-73-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C22H24BrFN4O2 MW: 475.35

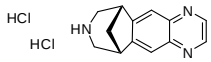
mg	Price
5	online
25	online

Biological activity
An orally bioavailable tyrosine kinase inhibitor (TKI), targeting VEGFR and EGFR; a potential medication for non-small-cell lung cancer

Varenicline dihydrochloride

Axon 1384

Chantix; Champix (as tartrate)



[866823-63-4]
Purity: 99%

Soluble in water
C13H13N3.2HCl MW: 284.18

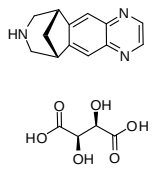
mg	Price
10	online
50	online

Biological activity
Selective α4β2 nicotinic acetylcholine receptor partial agonist; Smoking cessation drug
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Varenicline tartrate

Axon 2074

Chantix; Champix; CP 526555-18



[375815-87-5]
Purity: 100%
Optically pure
Soluble in water and DMSO
C17H19N3O6 MW: 361.35

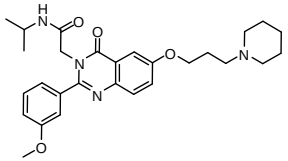
mg	Price
10	online
50	online

Biological activity
Selective α4β2 nicotinic acetylcholine receptor (nAChR) partial agonist; Smoking cessation drug. Available also another drug form, Varenicline di-HCl (Axon 1384).
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Vasopressin antagonist 1867

Axon 1867

Compound 12i



[909391-88-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C28H36N4O4 MW: 492.61

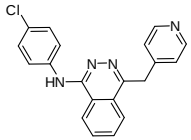
mg	Price
5	online
25	online

Biological activity
Orally available and selective V1b receptor antagonist (IC50 value 3 nM for hV1b inhibition, exhibiting >1000-fold selectivity over hV1a, hV2, and hOT). Useful tool to study Vasopressin 1B receptor pharmacology.

Vatalanib

Axon 1637

PTK 787



[212141-54-3]
Purity: 98%

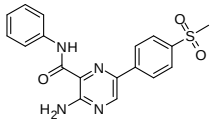
Soluble in DMSO
C20H15ClN4 MW: 346.81

mg	Price
10	online
50	online

Biological activity
A potent and selective inhibitor of tyrosine kinases, targeting VEGFR, with IC50 to be 77 nM (VEGFR-1), 37 nM (VEGFR-2), 580 nM (PDGFR-beta), 730 nM (c-KIT), 660 nM (FLT-4) and 1.4 μM (c-FMS) respectively;not active against EGFR, SRC-ABL and PKC etc

VE 821

Axon 1893



[1232410-49-9]
Purity: 98%

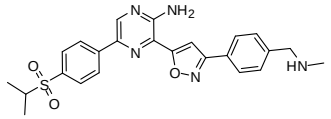
Soluble in DMSO
C18H16N4O3S MW: 368.41

mg	Price
10	online
50	online

Biological activity
Potent and selective inhibitor of the DNA damage response (DDR) kinase ATR. which sensitises tumour cells to DNA damage induced by radiation or chemotoxic drugs, by disrupting the DNA damage checkpoint and inhibiting DNA repair

VE 822

Axon 2452



[1232416-25-9]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C24H25N5O3S MW: 463.55

mg	Price
10	online
50	online

Biological activity
Selective ATR inhibitor (IC50 values 0.019 μM, 2.6 μM, and 18.1 μM for ATR, ATM, and DNA-PK, respectively) with in vitro and in vivo activity that decreases maintenance of cell-cycle checkpoints and homologous recombination in irradiated cancer cells, and increases persistent DNA damage. VE822 decreased survival of pancreatic cancer cells but not normal cells in response to XRT or gemcitabine.

Veliparib

Axon 1593

See ABT 888
Page 182

Veliparib dihydrochloride

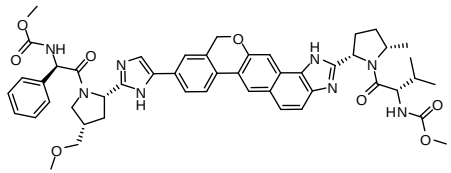
Axon 2888

See ABT 888 dihydrochloride
Page 182

Velpatasvir Recent Addition

Axon 3173

GS-5816



[1377049-84-7]
Purity: 99%
Optically pure
Soluble in DMSO
C49H54N8O8 MW: 883.00

mg	Price
10	online
50	online

Biological activity
Velpatasvir is a second generation hepatitis C virus NS5A inhibitor.

Velusetrag

Axon 2060

See TD 5108
Page 755

Vemurafenib

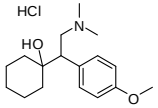
Axon 1624

See PLX 4032
Page 643

Venlafaxine hydrochloride

Axon 1727

Venlift; Vexor; WY 45030



	mg	Price
[99300-78-4] Purity: 99%	10	online
Soluble in water and DMSO C17H27NO2.HCl MW: 313.86	50	online

Biological activity
Serotonin-norepinephrine reuptake inhibitor (SNRI); an antidepressant for the treatment of major depressive disorder (MDD) etc

Venlafaxine Impurity C

Axon 1726

See Dinorvenlafaxine
Page 365

Venlafaxine Impurity D

Axon 1724

See WY 45494 hydrochloride
Page 814

Venlafaxine Impurity F

Axon 1723

See WY 45960 hydrochloride
Page 814

Venlafaxine Impurity G

Axon 1722

See Deshydroxy Venlafaxine HCl
Page 356

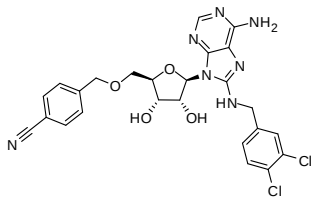
Venlift

Axon 1727

See *Venlafaxine hydrochloride*
Page 795

VER 155008

Axon 1608



	mg	Price
[1134156-31-2] Purity: 99%	5	online
Soluble in DMSO C25H23Cl2N7O4 MW: 556.40	25	online

Biological activity
Inhibitor of Heat Shock Protein 70 (Hsp70)

VER 52296

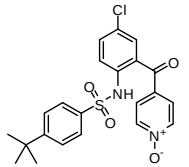
Axon 1542

See NVP-AUY922
Page 594

Vercirnon

Axon 2685

GSK-1605786; CCX282-B; Traficet-EN



	mg	Price
[698394-73-9] Purity: 100%	5	online
Soluble in DMSO #NAME? MW: 444.93	25	online

Biological activity
Vercirnon is an orally bioavailable selective antagonist of the CCR9 chemokine receptor (IC50 values 5.4 nM and 3.4 nM for CCR9-mediated Ca2+ mobilization and chemotaxis on Molt-4 cells, respectively). Based on studies of the crystal structure, vercirnon binds to the intracellular side of the receptor, exerting allosteric antagonism and preventing G-protein coupling. Vercirnon was developed for treatment of inflammatory bowel disease, including Crohn's disease and celiac disease.

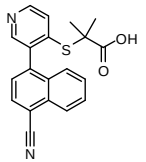
Verdinexor

Axon 2597

See KPT 335
Page 492

Verinurad

Axon 2938



	mg	Price
[1352792-74-5] Purity: 98%	10	online
Soluble in 0.1N NaOH(aq) and DMSO C20H16N2O2S MW: 348.42	50	online

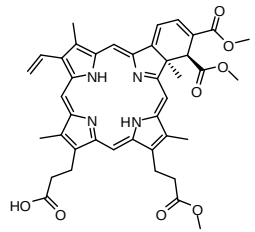
Biological activity
Verinurad is a highly potent and specific URAT1 inhibitor (IC50 value of 25 nM) with greater than 100-fold potency for URAT1 compared to other transporters. Under evaluation for the treatment of gout and asymptomatic hyperuricemia.

Verteporfin

Recent Addition

Axon 3354

Visudyne



	mg	Price
[129497-78-5] Purity: 99%	5	online
Soluble in DMSO C41H42N4O8 MW: 718.79	25	online

Biological activity
Verteporfin is an inhibitor of TEAD–YAP association and YAP-induced liver overgrowth. Moreover, Verteporfin treatment inhibited gastric carcinomas tumor growth in vivo. Also, Verteporfin is used clinically as a photosensitizer in photodynamic therapy for neovascular macular degeneration, where it is activated by a special wavelength laser light to generate reactive oxygen radicals that eliminate the abnormal blood vessels.

Vexor

Axon 1727

See *Venlafaxine hydrochloride*

Page 795

Vfend

Axon 2044

See Voriconazole

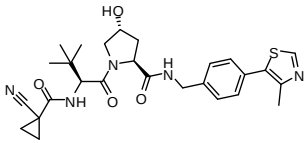
Page 799

VH298

Axon 2810

[2097381-85-4]
Purity: 98%

Soluble in DMSO
C27H33N5O4S MW: 523.65



mg	Price
10	online
50	online

Biological activity
VH298 is a potent and selective VHL inhibitor (Kd value of 80-90 nM) that stabilizes HIF-α and elicits a hypoxic response via the blockade of the VHL:HIF-α protein–protein interaction downstream of HIF-α hydroxylation by PHD enzymes.

VIA-3196

Axon 2657

See MGL-3196

Page 537

Viagra

Axon 2046

See Sildenafil citrate

Page 712

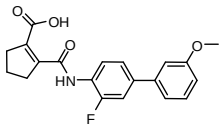
Vidofludimus

Axon 2377

4SC-101; SC12267

[717824-30-1]
Purity: 99%

Soluble in DMSO
C20H18FNO4 MW: 355.36



mg	Price
5	online
25	online

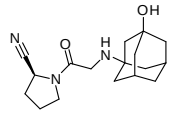
Biological activity
Oral immunomodulatory drug that inhibits dihydroorotate dehydrogenase (DHODH; IC50 value 134 nM for human DHODH mediated DCIP reduction) and lymphocyte proliferation in vitro. Vidofludimus inhibits the proliferation of human peripheral blood mononuclear cells (PBMCs) stimulated with Phytohemagglutinin-L, and interleukin (IL)-17 secretion from human peripheral blood mononuclear cells in a dose-related fashion (IC50 of 6 µM approx.) and independently of lymphocyte proliferation. May be applied for treatment of rheumatoid arthritis and inflammatory bowel disease, and as immunosuppressant after renal transplantation.

Vildagliptin

Axon 1631

NVP-LAF 237

[274901-16-5]
Purity: 99%
optically pure
Soluble in water
C17H25N3O2 MW: 303.40



mg	Price
5	online
25	online

Biological activity
Highly potent, selective and orally bioavailable inhibitor of dipeptidyl peptidase-4 (DPP4), with IC50 to be 2.3 and 2.7 nM for rat and human plasma DPP4

Vismodegib

Axon 1500

See GDC 0449

Page 416

Visudyne

Axon 3354

See Verteporfin **Recent Addition**

Page 796

Vitamin A acid

Axon 3321

See Retinoic acid **Recent Addition**

Page 671

Viviant

Axon 2051

See TSE 424

Page 775

Volasertib

Axon 1473

See *BI 6727*

Page 270

Volibris

Axon 1648

See Ambrisentan

Page 199

Volinanserin

Axon 1104

See MDL 100907

Page 530

Vorapaxar

Axon 1755

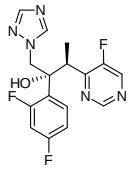
See SCH 530348

Page 704

Voriconazole

Axon 2044

Vfend; UK 109496



mg	Price
10	online
50	online

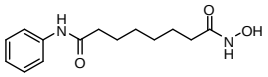
[137234-62-9]
Purity: 99%
Optically pure
Soluble in DMSO
C16H14F3N5O MW: 349.31

Biological activity
Orally bioavailable CYP51 inhibitor; Antifungal agent; Voriconazole binds and inhibits ergosterol synthesis by inhibiting CYP450-dependent 14-alpha sterol demethylase (CYP51, P450-DM), resulting in a depletion of ergosterol in fungal cell membrane
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.*

Vorinostat

Axon 3114

SAHA; Suberanilohydroxamic acid; MK-0683



mg	Price
10	online
50	online

[149647-78-9]
Purity: 100%
Soluble in DMSO
C14H20N2O3 MW: 264.32

Biological activity
Vorinostat is an histone deacetylase (HDAC) inhibitor.

VS 4718

Axon 2459

See PND 1186 Page 645

VS 6063

Axon 2574

See Defactinib Page 355

VTP 194204

Axon 2408

See NRX 194204 Page 582

VTX-378

Axon 2783

See Motolimod Page 557

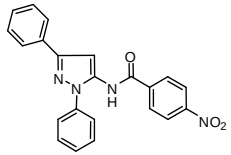
VTX-2337

Axon 2783

See Motolimod Page 557

VU 29

Axon 1425



mg	Price
10	online
50	online

[890764-36-0]
Purity: 99%
Soluble in DMSO
C22H16N4O3 MW: 384.39

Biological activity
A Positive Allosteric Modulator (PAM) of metabotropic glutamate receptor subtype 5 (mGluR5)

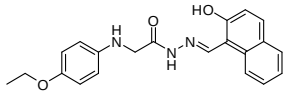
VU 152100

Axon 1483

See VU 0152100 Page 800

VU 0029767

Axon 1988



mg	Price
10	online
50	online

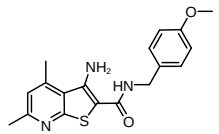
[326001-01-8]
Purity: 98%
Soluble in DMSO
C21H21N3O3 MW: 363.41

Biological activity
Positive allosteric modulator of M1. VU 0029767 potentiates the agonistic effect of ACh for M1 (Ki value shifted by VU0029767 (3, 10 and 30 M) and shifted the ACh competition curve by 1.7 0.8-, 4.9 2.0-, and 8.8 1.9-fold, respectively, compared to control (DMSO; Ki value 8.7 µM). VU0029767 potentiates ACh-mediated intracellular calcium mobilization, but not phospholipase D activation.

VU 0152100

Axon 1483

VU 152100



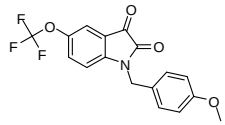
mg	Price
5	online
25	online

[409351-28-6]
Purity: 99%
Soluble in DMSO
C18H19N3O2S MW: 341.43

Biological activity
Positive allosteric modulator of M4 muscarinic acetylcholine receptor (mAChR)

VU 0238429

Axon 1786



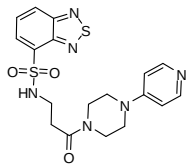
mg	Price
10	online
50	online

[1160247-92-6]
Purity: 99%
Soluble in DMSO and Ethanol
C17H12F3NO4 MW: 351.28

Biological activity
Highly selective positive allosteric modulator (PAM) of M5 muscarinic acetylcholine receptor (mAChR)

VU 0255035

Axon 1787



[1135243-19-4]
Purity: 99%

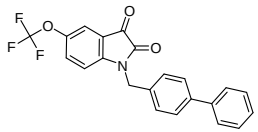
Soluble in DMSO
C18H20N6O3S2 MW: 432.52

mg	Price
10	online
50	online

Biological activity
Highly selective antagonist of M1 muscarinic acetylcholine receptor (mAChR) (Ki=14.87 nM)

VU 0365114

Axon 1943



[1208222-39-2]
Purity: 99%

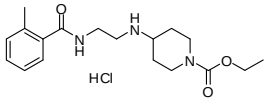
Soluble in DMSO and Ethanol
C22H14F3NO3 MW: 397.35

mg	Price
10	online
50	online

Biological activity
Selective positive allosteric modulator (PAM) of M5 muscarinic acetylcholine receptor (mAChR), with EC50 = 2.7 µM for M5 and >30 µM for M1–M4 subtypes

VU 0357017 hydrochloride

Axon 1703



[1135242-13-5]
Purity: 100%

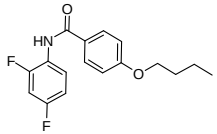
Soluble in water and DMSO
C18H27N3O3.HCl MW: 369.89

mg	Price
10	online
50	online

Biological activity
Highly selective positive allosteric modulator (PAM) of M1 muscarinic acetylcholine receptor (mAChR)

VU 0357121

Axon 1894



[433967-28-3]
Purity: 99%

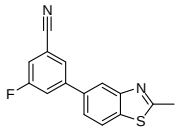
Soluble in DMSO
C17H17F2NO2 MW: 305.32

mg	Price
10	online
50	online

Biological activity
Potent positive allosteric modulator (PAM) of metabotropic glutamate receptor subtype 5 (mGluR5) (EC50: 33 nM). Binds to a site distinct from that bound by MPEP (Axon 1222)

VU 0360223

Axon 1795



[1274859-33-4]
Purity: 99%

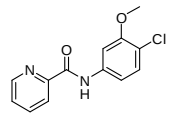
Soluble in DMSO
C15H9FN2S MW: 268.31

mg	Price
10	online
50	online

Biological activity
Potent and selective metabotropic glutamate receptor subtype 5 (mGluR5) antagonist or negative allosteric modulator (NAM) (IC50: 61 nM)

VU 0361737

Axon 1842



[1161205-04-4]
Purity: 99%

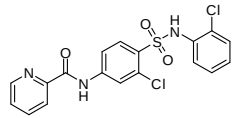
Soluble in DMSO
C13H11ClN2O2 MW: 262.69

mg	Price
10	online
50	online

Biological activity
Centrally penetrant and selective positive allosteric modulator (PAM) of metabotropic glutamate receptor subtype 4 (mGluR4); displayed submicromolar potency at both human and rat mGluR4

VU 0364439

Axon 1830



[1246086-78-1]
Purity: 99%

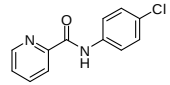
Soluble in DMSO
C18H13Cl2N3O3S MW: 422.29

mg	Price
10	online
50	online

Biological activity
Very potent positive allosteric modulator (PAM) of metabotropic glutamate receptor subtype 4 (mGluR4) (EC50: 19.8 nM)

VU 0364770

Axon 1845



[61350-00-3]
Purity: 99%

Soluble in DMSO
C12H9ClN2O MW: 232.67

mg	Price
10	online
50	online

Biological activity
A systemically active positive allosteric modulator (PAM) of metabotropic glutamate receptor subtype 4 (mGluR4) (EC50: 290 nM);showed efficacy alone or when administered in combination with L-DOPA or an adenosine 2A (A2A) receptor antagonist, in several rodent PD models

VU 0456810

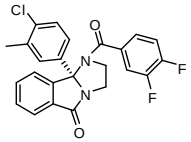
Axon 2436

See ML 297

Page 547

VU 6008667

Axon 2739



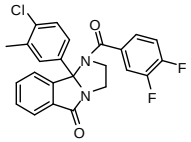
[2092923-21-0]
Purity: 99%
98% e.e.
Soluble in DMSO and Ethanol
C24H17ClF2N2O2 MW: 438.85

mg	Price
2	online
5	online

Biological activity
VU6008667 is a selective negative allosteric modulator (NAM) of M5 muscarinic acetylcholine receptor (mAChR) with IC50 values of 1.2 and 1.6 μM in human and rat M5, respectively. Moreover, VU6008667 has high CNS penetration and shows a desired short half-life in rat (t1/2 = 2.3 h) useful for addiction studies.

VU 6008667, rac-(±)

Axon 2832



[2092923-21-0]
Purity: 99%

C24H17ClF2N2O2 MW: 438.85

mg	Price
5	online

Biological activity

VU0476201

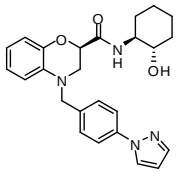
Axon 2587

See ML352

Page 550

VU0486846 Recent Addition

Axon 3271



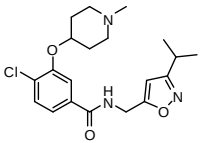
[1788055-11-7]
Purity: 99%
100% e.e.
Soluble in DMSO
C25H28N4O3 MW: 432.51

mg	Price
5	online
25	online

Biological activity
VU0486846 is a potent and highly selective M1 PAM (EC50 value of 0.31 μM, 85%), devoid of agonist activity in the PFC, as well as cholinergic or other adverse effects in mice, rats and NHP, which results in robust procognitive activity in rodent models.

VU6001221

Axon 2670



[2002495-17-0]
Purity: 98%

Soluble in DMSO
C20H26ClN3O3 MW: 391.89

mg	Price
5	online
25	online

Biological activity
VU6001221 is a choline transporter (CHT) inhibitor (IC50 value of 270 nM) with comparable potency for choline uptake inhibition as ML352 (Axon 2587), yet improved PK and CNS penetration. For the first time, VU6001221 allowed evaluation of a CHT inhibitor in a standard preclinical rodent cognition model, namely novel object recognition (NOR).

VUF 9153 dihydrobromide

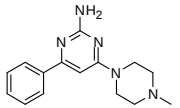
Axon 1209

See Clobenpropit dihydrobromide

Page 322

VUF 10460

Axon 2126



[1028327-66-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C15H19N5 MW: 269.34

mg	Price
10	online
50	online

Biological activity
Selective histamine H4 receptor agonist.

VUF 10996

Axon 1877

See APEBA, 4-

Page 218

VUF 11000

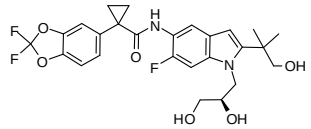
Axon 1876

See APC, 4-

Page 216

VX 661

Axon 2169



[1152311-62-0]
Purity: 99%
Optically pure
Soluble in DMSO
C26H27F3N2O6 MW: 520.50

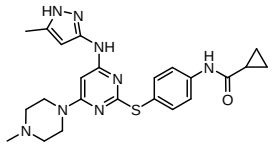
mg	Price
5	online
25	online

Biological activity
Corrector of the cystic fibrosis transmembrane conductance regulator (CFTR), hypothesized to restore F508del mutation processing and plasma membrane localization of CFTR protein, thereby effectively increasing functional surface CFTR ion channels. VX 661 is the second CFTR corrector in line with VX 809 (first), and VX 983 (third), and is believed to help CFTR protein reach the cell surface. Tested in phase 2 to evaluate safety, efficacy, pharmacokinetics, and pharmacodynamics in subjects with cystic fibrosis suffering from the F508del-CFTR mutation.

VX 680

Axon 1540

MK 0457; Tozasertib



[639089-54-6]
Purity: 98%

Soluble in DMSO
C23H28N8OS MW: 464.59

mg	Price
10	online
50	online

Biological activity
Potent inhibitor of aurora kinases with Ki values to be 0.6, 18 and 4.6 nM for aurora A, B and C isotypes respectively; inhibiting also ABL (Ki=30 nM) and FLT3 (Ki=30 nM) kinases

VX 689

Axon 1961

See MK 5108

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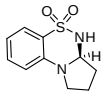
VX 745

Axon 1811



[209410-46-8]
Purity: 99%

Soluble in DMSO
C19H9Cl2F2N3OS MW: 436.26

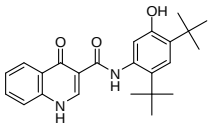
mg	Price
5	online
25	online

Biological activity
Highly potent and selective inhibitor of p38α MAP kinase (IC50: 10 nM); being 1000 fold selective over closely related kinases

VX 770

Axon 2503

Ivacaftor; Kalydeco



[873054-44-5]
Purity: 99%

Soluble in DMSO
C24H28N2O3 MW: 392.49

mg	Price
10	online
50	online

Biological activity
Orally bioavailable CFTR potentiator; FDA-approved drug for clinical application to patients with cystic fibrosis (CF). VX770 enhances spontaneous, ATP-independent activity of WT-CFTR to a similar magnitude as its effects on G551D channels.

VX-809

Axon 3234

See Lumacaftor Recent Addition

Page 517

WAG 994

Axon 1265

See SDZ-WAG 994

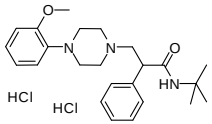
Page 706

WAY 100135 dihydrochloride

Axon 1360

[149055-79-8]
Purity: 99%

Soluble in water
C24H33N3O2.2HCl MW: 468.46



mg	Price
10	online
50	online

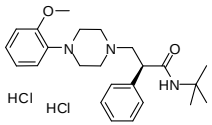
Biological activity
Selective 5-HT1A antagonist

WAY 100135 dihydrochloride, (S)-

Axon 1341

WAY 100135 dihydrochloride, (+)-

[149007-54-5]
Purity: 99%
99% ee
Soluble in water
C24H33N3O2.2HCl MW: 468.46



mg	Price
5	online
25	online

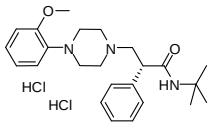
Biological activity
Highly selective serotonin 5-HT1A antagonist; S-enantiomer of WAY-100135 (Axon 1360). Its opposite enantiomer, (R)-WAY100135 (Axon 1359) is also available

WAY 100135 dihydrochloride, (-)-

Axon 1359

(R)-WAY 100135 dihydrochloride

[149007-53-4]
Purity: 99%
99% ee
Soluble in water
C24H33N3O2.2HCl MW: 468.46



mg	Price
5	online
25	online

Biological activity
R-enantiomer of WAY-100135 (Axon 1360), a highly selective serotonin 5-HT1A antagonist. Its opposite enantiomer, (S)-WAY100135 (Axon 1341) is also available

WAY 100135 dihydrochloride, (R)-

Axon 1359

See *WAY 100135 dihydrochloride, (-)-*

Page 807

WAY 100135 dihydrochloride, (S)-

Axon 1341

See WAY 100135 dihydrochloride, (+)-

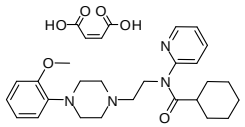
Page 807

WAY 100635 maleate

Axon 2424

[1092679-51-0]
Purity: 98%

Soluble in water and DMSO
C25H34N4O2.C4H4O4 MW: 538.64



mg	Price
10	online
50	online

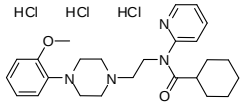
Biological activity
Prototypical 5-HT1A receptor antagonist with D4 agonist activity (Ki values 2.2 nM, 6260 nM, 24 nM, >10,000 nM, 20 nM, 322 nM, and 16 nM for 5-HT1A, 5-HT2A, 5-HT2B, 5-HT7, α1A, α1B, and D4, respectively). The HCl salt of WAY 100635 is available as well (Axon 1086)

WAY 100635 trihydrochloride

Axon 1086

[146714-97-8]
Purity: 99%

Soluble in water and DMSO
C25H34N4O2.3HCl MW: 531.95



mg	Price
10	online
50	online

Biological activity
Highly selective 5-HT1A receptor antagonist

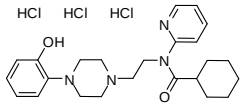
WAY 100635 trihydrochloride, desmethyl-

Axon 1087

DWAY

[146715-34-6]
Purity: 98%

Soluble in water and DMSO
C24H32N4O2.3HCl MW: 517.92



mg	Price
10	online
50	online

Biological activity
Precursor for labeling the 5-HT1A antagonist, WAY100635; PET radioligand

WAY 140424

Axon 1748

See *Bazedoxifene Hydrochloride*

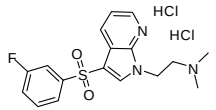
Page 262

WAY 208466 dihydrochloride

Axon 1710

[1207064-61-6]
Purity: 99%

Soluble in water
C17H18FN3O2S.2HCl MW: 420.33



mg	Price
10	online
50	online

Biological activity
Potent and highly selective serotonin 5-HT6 receptor agonist (EC50: 7.3 nM); increases GABA levels in the cerebral cortex; produces antidepressant and anxiolytic effects in rodents; useful in the treatment of obsessive-compulsive disorder (OCD)

WAY 252623

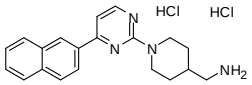
Axon 2357

See LXR 623

Page 519

WAY 262611 dihydrochloride

Axon 2188



[N.A.]
Purity: 99%

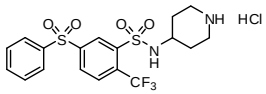
Soluble in water and DMSO
C20H22N4.2HCl MW: 391.34

mg	Price
10	online
50	online

Biological activity
Inhibitor of Dickkopf-1 (DKK1); WAY 262611 is a wingless Wnt/β-Catenin signaling agonist with an inhibitory effect on DKK1, displaying an EC50 value of 0.63 μM for DKK1-mediated TCF-Luciferase, no affinity for GSK-3β (IC50 value >100 μM) and enhancing the bone formation rate in ovariectomized (OVX) rats following oral adminstration. Dickkopf-1 (DKK1) is a soluble inhibitor of Wnt-3a mediated Wnt/β-catenin signaling required for embryonic head development. It regulates Wnt signaling by binding to the Wnt coreceptor lipoprotein-related protein-5 (LRP5)/Arrow, and Kremen2 (Kr2) simultaneously.

WAY 316606 hydrochloride

Axon 2325



[915759-45-4 (parent)]
Purity: 99%

Soluble in DMSO
C18H19F3N2O4S2.HCl MW: 484.94

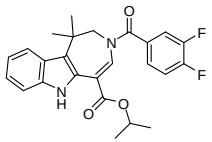
mg	Price
2	online
5	online

Biological activity
Potent and water soluble inhibitor of secreted Frizzled-Related Protein I (sFRP-1; Kd value 0.08 μM and EC50 value 0.65 μM for Wnt-luciferase activity from U2-OS cells) that stimulates the Wnt/β-catenin canonical signaling pathway. WAY316606 increased total bone area in a murine calvarial organ culture assay at concentrations as low as 0.0001 μM. WAY-316606 also bound to sFRP-2, albeit over 10 times weaker (Kd value 1.0 μM) and shows moderate to low inhibition of cytochrome p450 isozymes (3A4, 2D6, 2C9) and good stability in rat and human liver microsomes (t1/2 > 60 min in each species).

WAY 362450

Axon 1749

FXR 450; XL 335



[629664-81-9]
Purity: 99%

Soluble in DMSO
C25H24F2N2O3 MW: 438.47

mg	Price
5	online
25	online

Biological activity
A highly potent, selective, and orally bioavailable farnesoid X receptor (FXR) agonist (EC50: 4 nM, eff=149%); potently induces luciferase reporter expression with an EC50 value of 16 nMpotently induces luciferase reporter expression with an EC50 value of 16 nM

WAY-00005

Axon 2697

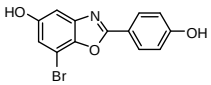
See WAY-200070

Page 810

WAY-200070

Axon 2697

WAY-00005



[440122-66-7]
Purity: 99%

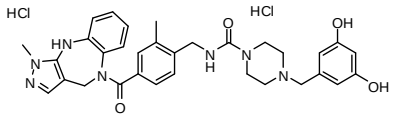
Soluble in 0.1N NaOH(aq) and DMSO
C13H8BrNO3 MW: 306.11

mg	Price
10	online
50	online

Biological activity
Brain penetrant ERβ-selective agonist (IC50 values 155 nM and 2 nM for ERα and ERβ, respectively) that increases key synaptic proteins in vivo, including PSD-95, synaptophysin and the AMPA-receptor subunit GluR1 and increases dendritic branching and spine number. WAY 20070 regulates hippocampal synaptic plasticity and improve hippocampus-dependent cognition, and shows antidepressant and anxiolytic-like effects in vivo. Potential novel therapeutic agent for the prevention and treatment of photoaging.

WAY-267464 dihydrochloride

Axon 2711



[1432043-31-6]
Purity: 98%

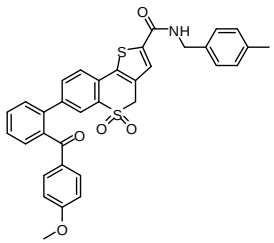
Soluble in water and DMSO
C32H35N7O4.2HCl MW: 654.59

mg	Price
2	online
5	online

Biological activity
WAY-267464 is a non-peptide high-affinity, potent, and selective agonist of the oxytocin receptor (Ki value of 58.4 nM at human OTR).

WEHI-9625

Axon 3068



[N.A.]
Purity: 99%

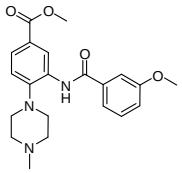
Soluble in DMSO
C34H27NO5S2 MW: 593.71

mg	Price
5	online
25	online

Biological activity
WEHI-9625 is a first-in-class, potent, and selective mBAK-mediated apoptosis inhibitor (EC50 value of 69 nM) which binds to VDAC2. In contrast to caspase inhibitors, WEHI-9625 blocks apoptosis before mitochondrial damage, preserving cellular function and long-term clonogenic potential.

WDR5-0103

Axon 2411



mg	Price
10	online
50	online

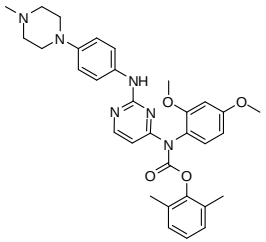
[890190-22-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C21H25N3O4 MW: 383.44

Biological activity
Inhibitor of WD40 repeat protein 5 (WDR5) and associated activity of H3K4 HMTase MLL (Kd value 0.45 µM for WDR5 binding, and IC50 value of 39 µM for inhibition of methyltransferase activity of MLL complex). Potential therapeutic for treatment of MLL-rearranged leukemias or other cancers.

WH-4-023

Axon 2381



mg	Price
5	online
25	online

[837422-57-8]
Purity: 99%

Soluble in DMSO
C32H36N6O4 MW: 568.67

Biological activity
Orally active inhibitor of Lck and Src (IC50 values 2 nM and 6 nM for Lck and Src, respectively) with an >300-fold selectivity over p38α and KDR.

WIN 47203

Axon 3314

See Milrinone Recent Addition

Page 539

WIN 48098

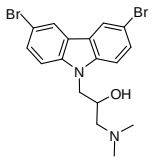
Axon 1523

See Pravadoline

Page 649

Wiskostatin

Axon 1804



mg	Price
10	online
50	online

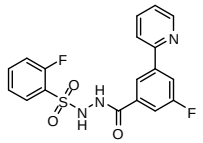
[253449-04-6]
Purity: 99%

Soluble in DMSO
C17H18Br2N2O MW: 426.15

Biological activity
Selective, reversible inhibitor of neural Wiskott-Aldrich syndrome protein (N-WASP) that inhibits Arp2/3 (actin-related protein 2/3) activation; belongs to be an actin inhibitor for actin-dependent cellular functions

WM-1119

Axon 2969



mg	Price
10	online
50	online

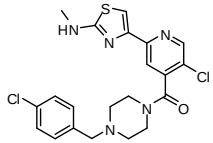
[2055397-28-7]
Purity: 99%

Soluble in DMSO
C18H13F2N3O3S MW: 389.38

Biological activity
WM-1119 is a highly potent, selective KAT6A inhibitor with Kd and IC50 values of 0.002 µM and 0.25 µM, respectively. WM-1119 induces cell cycle exit, cellular senescence without causing DNA damage, and arrests the progression of lymphoma in mice.

WNK Inhibitor 11

Axon 2896



mg	Price
5	online
25	online

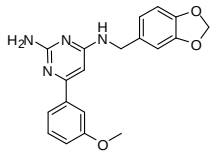
[2123489-30-3]
Purity: 98%

Soluble in DMSO
C21H21Cl2N5OS MW: 462.40

Biological activity
Selective allosteric WNK1 inhibitor (IC50 value of 0.004 µM) with nearly 1000-fold selectivity for WNK1 vs WNK4 and 57-fold selectivity for WNK1 vs WNK2.

Wnt agonist 1

Axon 2120



mg	Price
5	online
25	online

[853220-52-7]
Purity: 99%

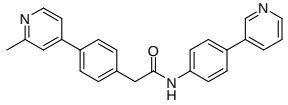
Soluble in DMSO and EtOH
C19H18N4O3 MW: 350.37

Biological activity
A cell permeable and selective Wnt signaling pathway agonist or activator. It mimics the effects of a Wnt ligand in a Xenopus model and may be a useful tool in the study of physiol. processes that involve the Wnt pathway;it induces in vitro β-catenin and transcription factor (TCF) dependent transcriptional activity in 293T cells in a dose dependent manner with an EC50 of 0.7 mM

Wnt-C59

Axon 2287

C59



mg	Price
2	online
5	online

[1243243-89-1]
Purity: 98%

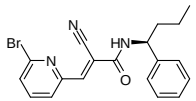
Soluble in DMSO
C25H21N3O MW: 379.45

Biological activity
Nanomolar and orally available inhibitor of mammalian PORCN acyltransferase activity (IC50 value of 74 pM) that blocks activation of all evaluated human Wnts (Wnt palmitoylation, Wnt interaction with the carrier protein Wntless/WLS, Wnt secretion, and Wnt activation of β-catenin reporter activity). The tumor growth inhibition of Wnt-C59 in MMTV-WNT1 transgenic mice is associated with decreased Wnt/β-catenin signaling in tumors.

WP 1130

Axon 1779

Degrasyn

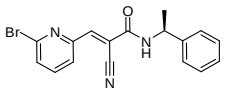


	mg	Price
[856243-80-6]		
Purity: 99%	5	online
optically pure		
Soluble in DMSO	25	online
C19H18BrN3O MW: 384.27		

Biological activity
Small molecule inhibitor of deubiquitinase (DUB)

WP 1066

Axon 2316



	mg	Price
[857064-38-1]		
Purity: 99%	10	online
Optically pure		
Soluble in DMSO and EtOH	50	online
C17H14BrN3O MW: 356.22		

Biological activity
Potent inhibitor of JAK2 and STAT3 activity (IC50 values 2.3 µM and 5.6 µM, respectively) that showed selective cytotoxicity toward malignant glioma U87-MG and U373-MG cells at much lower doses than its analogue AG 490 (Axon 1378). Furthermore, WP1066 selectively induces apoptosis in malignant glioma cells by downregulating antiapoptotic proteins (Bcl-XL, Mcl-1 and c-myc) and activating Bax, and significantly inhibited the growth of subcutaneous tumors generated from U87-MG cells in mice.

WR 6026 tosylate

Axon 1515

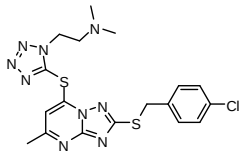
See Sitamaquine

Page 713

WS-383

Axon 2984

DCN1-UBC12 interaction inhibitor E31



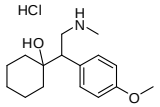
	mg	Price
[2247543-65-1]		
Purity: 99%	5	online
Soluble in DMSO	25	online
C18H20ClN9S2 MW: 461.99		

Biological activity
WS-383 effectively blocks interaction between DCN1 and UBC12 (IC50 value of 11 nM), causes selective Cul3/1 neddylation inhibition over other cullins, and induces accumulation of p21, p27, and NRF2.

WY 45494 hydrochloride

Axon 1724

Venlafaxine Impurity D



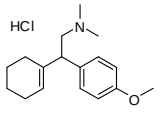
	mg	Price
[93413-90-2]		
Purity: 99%	5	online
Soluble in DMSO	25	online
C16H25NO2.HCl MW: 299.84		

Biological activity
Metabolite of Venlafaxine (Axon 1727), a serotonin-norepinephrine reuptake inhibitor (SNRI)

WY 45960 hydrochloride

Axon 1723

Venlafaxine Impurity F



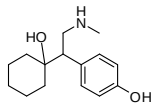
	mg	Price
[93413-79-7]		
Purity: 100%	5	online
Soluble in DMSO	25	online
C17H25NO.HCl MW: 295.85		

Biological activity
Metabolite of Venlafaxine (Axon 1727), a serotonin-norepinephrine reuptake inhibitor (SNRI)

WY 46689

Axon 1725

N,O-Didesmethyl Venlafaxine

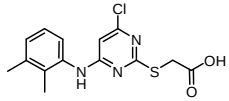


	mg	Price
[135308-74-6]		
Purity: 100%	5	online
Soluble in DMSO	25	online
C15H23NO2 MW: 249.35		

Biological activity
Metabolite of Venlafaxine (Axon 1727), a serotonin-norepinephrine reuptake inhibitor (SNRI)

WY 14643

Axon 1227



	mg	Price
[50892-23-4]		
Purity: 98%	10	online
Soluble in DMSO	50	online
C14H14ClN3O2S MW: 323.80		

Biological activity
Selective PPARα agonist

WY 45030

Axon 1727

See *Venlafaxine hydrochloride*

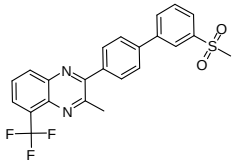
Page 795

WYE 672

Axon 1991

[1221265-37-7]
Purity: 99%

Soluble in DMSO
C23H17F3N2O2S MW: 442.45



mg	Price
10	online
50	online

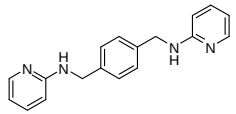
Biological activity
A tissue selective liver X receptor (LXR) agonist; WYE672 showed potent binding affinity to LXRβ (IC50 = 53 nM), it had little binding affinity for LXRα (IC50 >1.0 μM)

WZ 811

Axon 1620

[55778-02-4]
Purity: 99%

Soluble in DMSO
C18H18N4 MW: 290.36



mg	Price
10	online
50	online

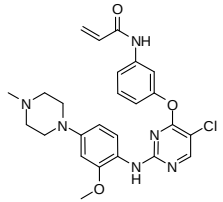
Biological activity
Potent chemokine CXCR4 receptor antagonist (EC50: 0.3 nM)

WZ 4002

Axon 1506

[1213269-23-8]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C25H27ClN6O3 MW: 494.97



mg	Price
5	online
25	online

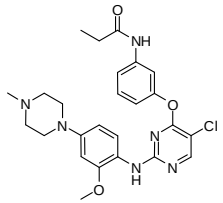
Biological activity
A mutant-selective EGFR kinase inhibitor against EGFR T790M; a potential agent for some drug-resistant non-small cell lung cancers

WZ 4003

Axon 2385

[1214265-58-3]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C25H29ClN6O3 MW: 496.99



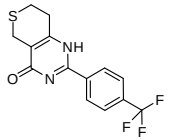
mg	Price
5	online
25	online

Biological activity
Specific dual inhibitor of NUAK1 (aka ARK5) and NUAK2 (aka SNARK; IC50 values 20 nM and 100 nM, respectively) with no significant inhibitory effect on a panel of 139 other kinases tested, including ten other AMPK-related kinases. WZ4003 suppressed MYPT1 phosphorylation in a dose-dependent manner, and inhibited cell proliferation, invasion and migration in vivo. Although WZ 4003 is a close analogue of WZ 4002 (Axon 1506), it shows no affinity for the EGFR (Kd value 14 μM)

XAV 939

Axon 1527

NVP-XAV 939



mg	Price
10	online
25	online

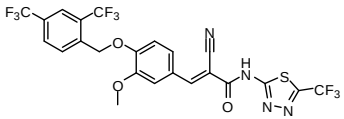
[284028-89-3]
Purity: 99%

Soluble in DMSO
C14H11F3N2OS MW: 312.31

Biological activity
Tankyrase (TNKS) inhibitor, with IC50 values to be 11 and 4 nM for TNKS1 and TNKS2 respectively; inhibiting Wnt / β-catenin signaling

XCT 790

Axon 2337



mg	Price
10	online
50	online

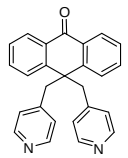
[725247-18-7]
Purity: 99%

Soluble in DMSO
C23H13F9N4O3S MW: 596.42

Biological activity
Estrogen-related receptor α (ERRα) inverse agonist (IC50 value of ~300–500 nM) and potent mitochondrial uncoupler that induces cell death in chemotherapeutic resistant cancer cells by causing mitochondrial dysfunction. XCT790 was found to potently activate AMPK in a dose-dependent and ERRα-independent manner at concentrations more than 25-fold below those typically used to perturb ERRα. Measurements of mitochondrial membrane potential, oxygen consumption, and extracellu XCT790 modulates the activity of ERRα and reduces the proliferation of various cell lines by blocking the G1/S transition of the cell cycle in an ERRα-dependent manner. XCT790 showed no activity towards a wide range of (GAL4-chimeric) receptors.

XE 991

Axon 1987



mg	Price
10	online
50	online

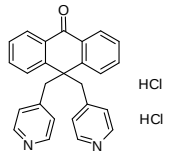
[122955-42-4]
Purity: 99%

Soluble in DMSO
C26H20N2O MW: 376.45

Biological activity
KCNQ channel and M-current blocker; potential AD therapeutic. The hydrochloride salt of XE 991 (Axon 1305) is available as well.

XE 991 dihydrochloride

Axon 1305



mg	Price
10	online
50	online

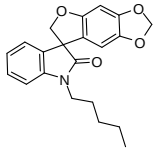
[122955-13-9]
Purity: 99%

Soluble in DMSO
C26H20N2O.2HCl MW: 449.37

Biological activity
KCNQ channel and M-current blocker; potential AD therapeutic

XEN 907

Axon 2056



mg	Price
5	online
25	online

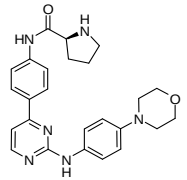
[912656-34-9]
Purity: 99%

Soluble in DMSO
C21H21NO4 MW: 351.40

Biological activity
Sodium channel blocker, potent and selective at voltage-gated Nav1.7 (SCN9A)

XL 019

Axon 2231



mg	Price
5	online
25	online

[945755-56-6]
Purity: 99%
Optically pure
Soluble in 0.1N HCl(aq) and DMSO
C25H28N6O2 MW: 444.53

Biological activity
Potent, selective, and orally active JAK2 inhibitor (IC50 values 2.2 nM and 214.2 nM for JAK2 and JAK3 respectively), showing a significant dose-dependent pharmacodynamic and antitumor effect in a mouse xenograft model. XL 019 significantly inhibits downstream markers pSTAT1 and pSTAT3 (ED50 values 42 mg/kg pSTAT1, and 210 mg/kg pSTAT3).

XL 139

Axon 2356

See BMS 833923

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XL 184

Axon 1819

See Cabozantinib S-malate

Page 295

XL 335

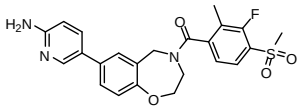
Axon 1749

See WAY 362450

Page 809

XL 388

Axon 2951



mg	Price
5	online
25	online

[1251156-08-7]
Purity: 99%

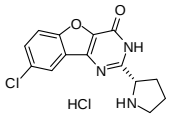
Soluble in 0.1N HCl(aq) and DMSO
C23H22FN3O4S MW: 455.50

Biological activity
Highly potent, selective, ATP-competitive, and orally bioavailable inhibitor of the mammalian target of rapamycin (mTOR) with an IC50 value of 9.9 nM. Moreover, XL 388 is an mTORC1/2 dual inhibitor which displayed good pharmacokinetics and oral exposure in multiple species with moderate bioavailability. XL 388 showed anti-cancer activity in preclinical osteosarcoma models and inhibited survival and proliferation of RCC cell lines and primary human RCC cells.

XL 413 hydrochloride

Axon 2268

BMS 863233 hydrochloride



mg	Price
5	online
25	online

[1169562-71-3]
Purity: 99%

Soluble in water and DMSO
C14H12ClN3O2.HCl MW: 326.18

Biological activity
Potent, selective and orally bioavailable CDC7 inhibitor (IC50 value of 3.4 nM) that shows >60-fold selectivity against CK2, >10-fold selectivity against PIM1, and >300-fold selectivity against a panel of over 100 protein kinases. XL 413 induces tumor cell apoptosis and inhibition of tumor cell proliferation in CDC7-overexpressing tumor cells. Further development of XL 413 was terminated due to an unfavorable pharmacological profile observed in phase 1 clinical evaluation.

XL 880

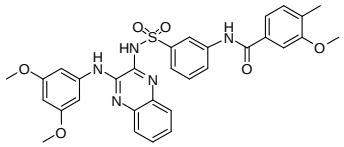
Axon 1582

See Foretinib

Page 409

XL PI3K/mTOR inhibitor

Axon 1706



mg	Price
5	online
25	online

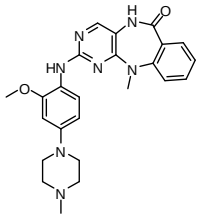
[934529-30-3]
Purity: 99%

Moderately soluble in DMSO
C31H29N5O6S MW: 599.66

Biological activity
Orally active PI3K/mTOR tyrosine kinase inhibitor; matching the profile of XL 765. Note: XL765 has a registered CAS [1123889-87-1] but no structure has been displayed in Sci-finder database

XMD 8-87

Axon 2762



mg	Price
5	online
25	online

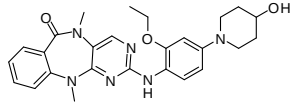
[1234480-46-6]
Purity: 98%

Soluble in 0.1N HCl(aq) and DMSO
C24H27N7O2 MW: 445.52

Biological activity
XMD 8-87 is a potent and selective inhibitor of Ack1 (activated CDC42-associated kinase) also known as tyrosine kinase nonreceptor 2 (TNK2). XMD8-87 has IC50 values of 38 and 113 nM for the D163E and R806Q mutations, respectively.

XMD 8-92

Axon 1846



mg	Price
2	online
5	online

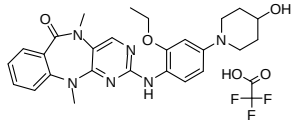
[1234480-50-2]
Purity: 99%

Soluble in DMSO
C26H30N6O3 MW: 474.55

Biological activity
Potent and selective inhibitor of big MAP kinase 1 (BMK1/ERK5)

XMD 8-92 trifluoroacetate

Axon 1621



mg	Price
2	online
5	online

[1234480-50-2]
Purity: 99%

Soluble in water and DMSO
C26H30N6O3.C2HF3O2
MW: 588.58

Biological activity
Potent and selective inhibitor of big MAP kinase 1 (BMK1/ERK5). Note: Free base form of XMD8-92 (Axon 1846) is also available

XR 9576

Axon 1960

See Tariquidar

Page 753

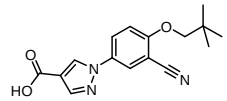
Y 700

Axon 1174

Piraxostat

[206884-98-2]
Purity: 99%

Soluble in DMSO
C16H17N3O3 MW: 299.32



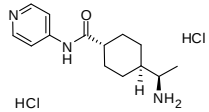
mg	Price
5	online
25	online

Biological activity
Xanthine oxidase (XO) inhibitor

Y 27632 dihydrochloride

Axon 1683

[129830-38-2]
Purity: 99%
>98% ee
Soluble in water and DMSO
C14H21N3O.2HCl MW: 320.26



mg	Price
2	online
5	online
25	online

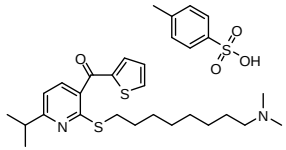
Biological activity
Selective inhibitor of Rho-Kinase (ROCK), with IC50 values of 140-220 nM for ROCK1 and ROCK2. Y-27632 was found to increase human embryonic stem cell (hESC) survival

Y 29794 tosylate

Axon 2911

[143984-17-2]
Purity: 99%

Soluble in DMSO
C23H34N2OS2.C7H8O3S MW: 590.86



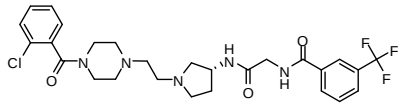
mg	Price
10	online
50	online

Biological activity
Y 29794 tosylate is an orally active, potent and specific prolyl endopeptidase (PPCE) inhibitor that is easily penetrable into the brain. Y-29794 tosylate selectively and competitively inhibited rat brain PPCE in a reversible manner with a Ki value of 0.95 nM. Moreover, Y 29794 tosylate exhibited potent inhibitory activity with an IC50 value of 3.0 nM for both brain crude extract and partially purified enzyme fraction.

YJC-10592

Axon 2636

[1226894-87-6]
Purity: 98%
Optically pure
Soluble in DMSO
C27H31ClF3N5O3 MW: 566.01

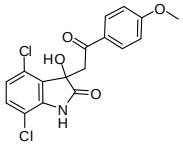


mg	Price
5	online
25	online

Biological activity
YJC-10592 is a CC chemokine receptor 2 (CCR2) antagonist (IC50 value 1.12 μM), which also showed excellent inhibitory activity in the calcium assay (IC50 value 1.7 nM), and good potency in the chemotaxis assay (IC50 value 23 nM). In rats, YJC-10592 showed dose-dependent pharmacokinetics and low F value due to slower elimination and incomplete absorption.

YK 4-279

Axon 2469



mg	Price
10	online
50	online

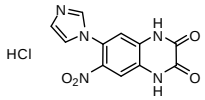
[1037184-44-3]
Purity: 99%

Soluble in DMSO
C17H13Cl2NO4 MW: 366.20

Biological activity
Inhibitor of interactions between the fusion protein EWS-FLI1 and RNA helicase A (RHA; Kd value 9.48 µM) with a detrimental effect on ESFT cells both in vitro and in vivo. YK-4-279 is also found to potently inhibit biological activity of ERG (Kd value 11.7 µM) and ETV1 (Kd value 17.4 µM) resulting in suppression of both primary tumor growth and metastasis of fusion positive prostate cancer xenografts. ETV1 is a member of the translocated erythroblastosis virus E26 transforming sequence (ETS) family of transcription factors) and targets MMP7, MMP13, FKBP10 and GLYATL2 genes, among several others.

YM 90K hydrochloride

Axon 1312



mg	Price
5	online
25	online

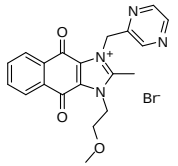
[154164-30-4]
Purity: 98%

Moderately soluble in DMSO
C11H7N5O4.HCl MW: 309.67

Biological activity
AMPA antagonist with neuro-protective effect

YM 155

Axon 1639



mg	Price
5	online
25	online

[781661-94-7]
Purity: 98%

Soluble in DMSO
C20H19N4O3.Br MW: 443.29

Biological activity
Small molecule survivin suppresant or inhibitor; YM155 suppressed expression of survivin and induced apoptosis in p53-deficient human HRPC cell lines at 10 nmol/L

YM 178

Axon 2414

See Mirabegron

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YM 311

Axon 2570

See FG-2216

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YM 09730-5

Axon 3014

See Barnidipine hydrochloride

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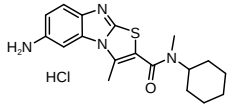
YM 298198 hydrochloride

Axon 1260



mg	Price
10	online
50	online

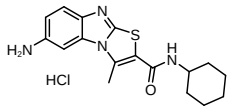
[299901-50-1]
Purity: 99%

Soluble in water and DMSO
C18H22N4OS.HCl MW: 378.92

Biological activity
Selective mGlu1 antagonist

YM 298198 hydrochloride, desmethyl

Axon 1259



mg	Price
10	online
50	online

[299901-57-8]
Purity: 98%

Soluble in water
C17H20N4OS.HCl MW: 364.89

Biological activity
Derivative of YM-298198 (Axon 1260), a selective and noncompetitive mGluR1 antagonist

YN968D1

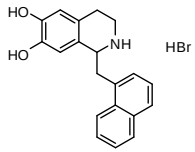
Axon 2849

See Apatinib

Page 215

YS 49

Axon 1685



mg	Price
10	online
50	online

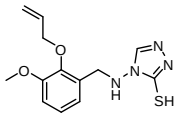
[132836-42-1]
Purity: 98%

Soluble in DMSO
C20H19NO2.HBr MW: 386.28

Biological activity
Anti-inflammatory agent; Antithrombotic; Antiplatelet; YS-49 protects cells from oxidant injury; induces heme oxygenase (HO-1) in endothelial cells and protects cells from oxidant injury; activator of PI3K/Akt signaling

YUKA1

Axon 2674



mg	Price
5	online
25	online

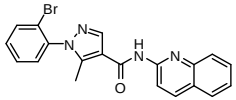
[708991-09-7]
Purity: 99%

Soluble in DMSO
C13H16N4O2S MW: 292.36

Biological activity
YUKA1 is a cell-permeable selective inhibitor of lysine demethylase 5A (KDM5A/RBP2/JARID1A) (IC50 value 2.66 µM). YUKA1 blocks drug resistance and cancer cell growth in HeLa cervical cancer cells and ZR-75-1 breast cancer cells. YUKA1 was able to increase H3K4me3 levels in human cells and selectively inhibited the proliferation of cancer cells whose growth depends on KDM5A.

YW2065 *Recent Addition*

Axon 3206



[2131223-85-1]
Purity: 99%

Soluble in DMSO
C20H15BrN4O MW: 407.26

mg	Price
5	online
25	online

Biological activity
The dual-functional compound YW2065 is a potent inhibitor of the Wnt/β-catenin signaling pathway (IC50 value of 2.3 nM) and an AMPK activator. YW2065 may achieve its dual activities through the mechanism of Axin-1 stabilization. YW2065 demonstrated favorable PK properties and suppressed tumor growth in a xenograft mouse model.

Z-2-035II

Axon 3051

See Pifithrin-β

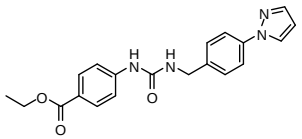
Page 638

Z433927330

Axon 2988

[1005883-72-6]
Purity: 99%

Soluble in DMSO
C20H20N4O3 MW: 364.40



mg	Price
10	online
50	online

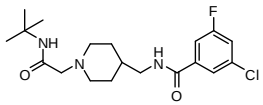
Biological activity
Z433927330, a partial AQP3 inhibitor (IC50 value of ~0.7-0.9 μM), is a potent and efficacious inhibitor of mouse AQP7 water permeability (IC50 value of ~0.2 μM).

Z944

Axon 3025

[1199236-64-0]
Purity: 98%

Soluble in 0.1N HCl (aq) and DMSO
C19H27ClFN3O2 MW: 383.89



mg	Price
10	online
50	online

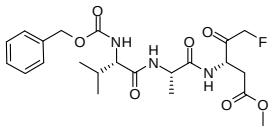
Biological activity
Z944 is a highly selective, orally available T-type Ca2+ channel blocker with IC50 values of 50 to 160 nM for hCa V 3.1, hCa V 3.2, and hCa V 3.3 T types. Upon administration to GAERS animals, Z944 potently suppressed absence seizures by 85 to 90% via a mechanism distinct from the effects of ethosuximide and valproate.

Z-VAD-FMK

Axon 2159

Z-VAD(OMe)-FMK

[187389-52-2]
Purity: 98%
Optically pure
Soluble in DMSO
C22H30FN3O7 MW: 467.49



mg	Price
2	online
5	online

Biological activity
Pan-caspase inhibitor with in vivo activity (Ki values 18.4 μM, 0.45 μM, and 17.1 μM for Caspase-3, -8, and -9 resp). Z-VAD-FMK inhibits apoptosis by blocking the processing of CPP32, and in pyrogallol-treated lung cancer Calu-6 cells via the prevention of GSH depletion. Z-VAD-FMK is a key compound for studies on apoptosis.

Z-VAD(OMe)-FMK

Axon 2159

See Z-VAD-FMK

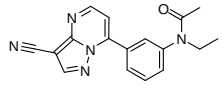
Page 827

Zaleplon

Axon 1646

[151319-34-5]
Purity: 99%

Soluble in DMSO
C17H15N5O MW: 305.33



mg	Price
10	online
50	online

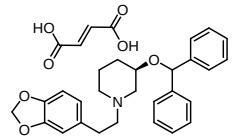
Biological activity
Zaleplon selectively binds with high efficacy to the benzodiazepine site (ω1) on the α1 containing GABAA receptors; a nonbenzodiazepine hypnotic agent used in the treatment of insomnia

Zamifenacin fumarate

Axon 1273

UK 76654

[127308-98-9]
Purity: 99%
>98% ee
Soluble in DMSO and Ethanol
C27H29NO3.C4H4O4 MW: 531.60



mg	Price
10	online
50	online

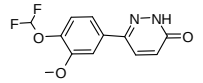
Biological activity
Selective M3 muscarinic receptor antagonist

Zardaverine

Axon 1216

[101975-10-4]
Purity: 99%

Soluble in DMSO
C12H10F2N2O3 MW: 268.22



mg	Price
10	online
50	online

Biological activity
Selective phosphodiesterase III/IV inhibitor (PDE3/4)

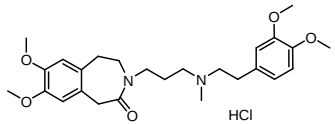
Zatebradine hydrochloride

Axon 1248

UL-FS 49

[91940-87-3]
Purity: 98%

No solubility data
C26H36N2O5.HCl MW: 493.04



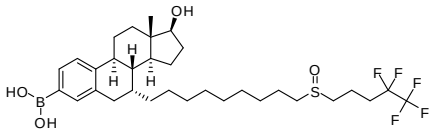
mg	Price
10	online
50	online

Biological activity
HCN channel blocker: blocker of neuronal Ih, related cardiac If channels and ATP-sensitive Kir channels; specific bradycardic agent

ZB716

Axon 2652

[1853279-29-4]
Purity: 99%
Optically pure
Soluble in DMSO
C32H48BF5O4S MW: 634.59



mg	Price
2	online
5	online

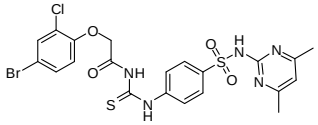
Biological activity
ZB716 is a steroidal, orally bioavailable SERD (selective estrogen receptor downregulator) that binds to ER with high affinity and exerts its antiestrogenic effect on ER-expressing breast cancer cells. In both tamoxifen-naive and tamoxifen-resistant breast cancer cells, ZB716 potently inhibits cell proliferation and effectively degrades the hormone receptor in a dose-dependent manner. ZB716 is shown to have far superior oral bioavailability in mice when compared to fulvestrant.

ZCL 278

Axon 2138

[587841-73-4]
Purity: 98%

Soluble in DMSO
C21H19BrClN5O4S2 MW: 584.89



mg	Price
10	online
50	online

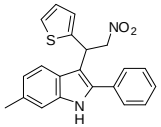
Biological activity
Selective Cdc42 GTPase inhibitor. ZCL278 specifically targets Cdc42–ITSN interaction and inhibits Cdc42-mediated cellular processes, thus providing a powerful tool for research of Cdc42 subclass of Rho GTPases in human pathogenesis.ZCL278 reduces the perinuclear accumulation of active Cdc42 in contrast to NSC23766 (Axon 1578), a selective Rac inhibitor.

ZCZ 011

Axon 2543

[1998197-39-9]
Purity: 99%

Soluble in DMSO
C21H18N2O2S MW: 362.44



mg	Price
5	online
25	online

Biological activity
Brain penetrant cannabinoid CB1 receptor positive allosteric modulator (PAM) which augments the in vitro and in vivo pharmacological actions of the CB1 orthosteric agonists CP55940 (pEC50 value 6.90) and N-arachidonoylethanolamine (AEA) and reduces neuropathic pain in the mouse with no psychoactive effects.

ZD 211

Axon 1320

See Citalopram hydrobromide
Page 320

ZD1033

Axon 3316

See Anastrozole Recent Addition
Page 213

ZD 1839

Axon 1393

See Gefitinib
Page 417

ZD 5077

Axon 1354

See Quetiapine fumarate
Page 658

ZD 6474

Axon 1411

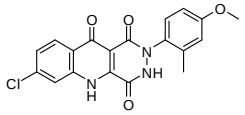
See Vandetanib
Page 786

ZD 9379

Axon 2261

[170142-20-8]
Purity: 100%

Soluble in 0.1N NaOH(aq) and DMSO
C19H14ClN3O4 MW: 383.79



mg	Price
5	online
25	online

Biological activity
Selective antagonist of the glycine site on the NMDA receptor complex. ZD9379 crosses the blood-brain barrier and is neuroprotective. In vivo studies demonstrated reduced infarct size and less spreading depressions after treatment with ZD 9379.

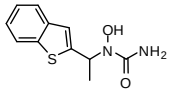
Zileuton Recent Addition

Axon 3256

A-64077

[111406-87-2]
Purity: 99%

Soluble in 0.1N NaOH(aq) and DMSO
C11H12N2O2S MW: 236.29



mg	Price
10	online
50	online

Biological activity
Zileuton is a potent and orally-active 5-LOX inhibitor.

Ziritaxestat

Axon 3094

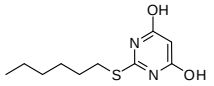
See GLPG1690
Page 421

ZQ-16

Axon 2616

[376616-73-8]
Purity: 99%

Soluble in DMSO and Ethanol
C10H16N2O2S MW: 228.31

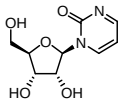


mg	Price
10	online
50	online

Biological activity
Potent and selective small-molecule GPR84 agonist (EC50 value 0.139 μM in a calcium mobilization assay). ZQ-16 induced phosphorylation of ERK1/2, and a dose-dependent reduction of forskolin-stimulated cAMP accumulation in HEK293 cells expressing GPR84.

Zebularine

Axon 1254



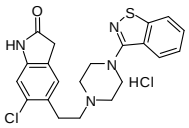
	mg	Price
[3690-10-6]		
Purity: 99%	10	online
Soluble in water	50	online
C9H12N2O5 MW: 228.20		

Biological activity
DNA methyltransferase inhibitor, aka DNA methylation inhibitor, anticancer drug

Ziprasidone hydrochloride

Axon 1446

CP 88059



	mg	Price
[122883-93-6]		
Purity: 99%	10	online
Soluble in DMSO	25	online
C21H21ClN4OS.HCl MW: 449.40		

Biological activity
A 5-HT2A/5-HT2C and dopamine D2 antagonist, 5-HT1A agonist; an atypical antipsychotic for the treatment of schizophrenia and acute bipolar disorder including manic and mixed episodes;Oral bioavailability 59%; Antipsychotic effects at oral doses of 20 mg
Source Information: *Pfizer compound; Sold for research purposes under agreement from Pfizer Inc*

Zithromax

Axon 2042

See Azithromycin Page 250

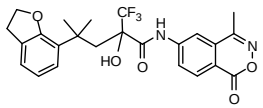
ZK 202650

Axon 2865

See NVP-ACC789 Page 593

ZK 216348, (+)-

Axon 2239

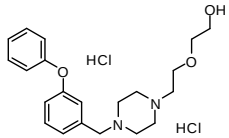


	mg	Price
[669073-68-1]		
Purity: 99%	2	online
Optically pure		
Soluble in DMSO	5	online
C24H23F3N2O5 MW: 476.45		

Biological activity
Selective nonsteroidal glucocorticoid receptor (GR) agonist for the treatment of experimental colitis with IC50 values of 20, 20, and 80 nM for GR, Progesterone (PR) and Mineralocorticoid (MR) receptors respectively. (+)-ZK 216348 shows antiinflammatory activity comparable to prednisolone for both systemic and topical application, with a significant dissociation between transrepression and transactivation of antiinflammatory effects both in vitro and in vivo. (+)-ZK 216348 showed no negative effects on intestinal epithelial migration or proliferation. (-)-ZK 216348 is completely inactive (IC50 >1000 nM for GR, PR, and MR.

ZK 756326 dihydrochloride

Axon 2861

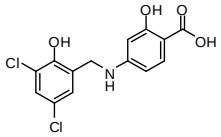


	mg	Price
[1780259-94-0]		
Purity: 98%	10	online
Soluble in water and DMSO	50	online
C21H28N2O3.2HCl MW: 429.38		

Biological activity
ZK 756326 dihydrochloride is a nonpeptide chemokine CCR8 receptor agonist (IC50 value of 1.8 μM). This compound may be useful in evaluating the physiological role of CCR8 in HIV infection, as well as in the general study of CCR8 biology without the constraints inherent to the use of protein agonists such as its natural ligand.

ZL006

Axon 2878

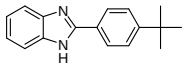


	mg	Price
[1181226-02-7]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C14H11Cl2NO4 MW: 328.15		

Biological activity
ZL006 blocked the ischemia-induced nNOS–PSD-95 association selectively, had potent neuroprotective activity in vitro and ameliorated focal cerebral ischemic damage in mice and rats subjected to middle cerebral artery occlusion (MCAO) and reperfusion. Moreover, it readily crossed the blood-brain barrier, did not inhibit NMDAR function, catalytic activity of nNOS or spatial memory, and had no effect on aggressive behaviors.

ZLN 005

Axon 2379

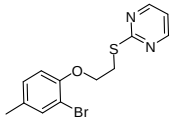


	mg	Price
[49671-76-3]		
Purity: 99%	10	online
Soluble in DMSO	50	online
C17H18N2 MW: 250.34		

Biological activity
Selective transcriptional regulator of peroxisome proliferator–activated receptor-γ coactivator-1α (PGC-1α). ZLN005 selectively stimulated the expression of PGC-1α and downstream genes in skeletal muscle cells, and led to changes in glucose uptake, and fatty acid oxidation in L6 myotubes in a AMPK dependent manner. Since ZLN 005 did not increase the expression of the PGC-1α gene in rat primary hepatocytes, it is hypothesized that expression of PGC-1α was regulated in a cell type–specific manner. ZLN005 exerts promising therapeutic effects for treating type 2 diabetes, as PGC-1α is a powerful transcriptional coregulator of GLUT4 and mitochondrial genes, a crucial player in the field of glucose uptake in skeletal muscle.

ZLN 024

Axon 2445



mg	Price
10	online
50	online

[723249-01-2]
Purity: 100%

Soluble in DMSO
C13H13BrN2OS MW: 325.22

Biological activity
Allosteric activator of AMP-activated protein kinase (AMPK; EC50 values 0.42 µM and 0.95 µM for increasing the activity of activated heterotrimers α1β1γ1 and α2β1γ1, respectively) that has no effect on mitochondrial function or the ADP/ATP ratio, and which exerts beneficial metabolic effects in vitro and in vivo. ZLN024 reduced glucose intolerance and fatty liver characteristics in diabetic db/db mice and provides a promising therapeutic approach for type 2 diabetes mellitus and metabolic syndrome.

ZM 204636

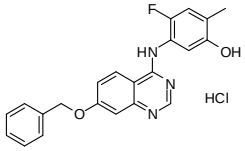
Axon 1354

See Quetiapine fumarate

Page 658

ZM 323881 Hydrochloride

Axon 1978



mg	Price
10	online
50	online

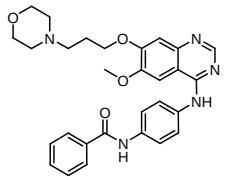
[193000-39-4]
Purity: 99%

Soluble in DMSO
C22H18FN3O2.HCl MW: 411.86

Biological activity
Potent and selective inhibitor of VEGFR-2 (IC50: 2 nM for VEGFR-2 vs >50 mM for VEGFR-1 respectively)

ZM 447439

Axon 1541



mg	Price
5	online
25	online

[331771-20-1]
Purity: 99%

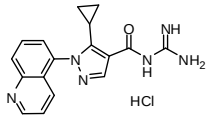
Soluble in DMSO
C29H31N5O4 MW: 513.59

Biological activity
Selective and ATP-competitive inhibitor of Aurora B kinase in vitro, with IC50 values to be 50, 250 and 1000 nM for Aurora B, C and A kinases respectively

Zoniporide hydrochloride

Axon 2022

CP 597396 hydrochloride



mg	Price
5	online
25	online

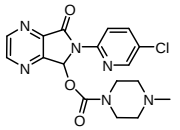
[241800-97-5]
Purity: 99%

Soluble in water and DMSO
C17H16N6O.HCl MW: 356.81

Biological activity
Potent and selective inhibitor of Na+/H+ exchanger isoform 1 (NHE-1); Zoniporide inhibits NHE1-dependent Na+ uptake (IC50: 14 nM) and provides cardioprotection from myocardial ischemic injury in vivo (EC50: 0.25 nM)
Source Information: Pfizer compound; Sold for research purposes under agreement from Pfizer Inc.

Zopiclone

Axon 1197



mg	Price
10	online
50	online

[43200-80-2]
Purity: 99%

No solubility data
C17H17ClN6O3 MW: 388.81

Biological activity
Benzodiazepine receptor BZR agonist; hypnotic agent used in the treatment of insomnia

Zosuquidar trihydrochloride

Axon 1839

See LY 335979

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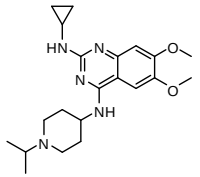
ZT-12-037-01

Axon 2937



mg	Price
5	Online
25	Online

[2328073-61-4]
Purity: 99%

Soluble in 0.1N HCl(aq) and DMSO
C21H31N5O2 MW: 385.50

Biological activity
ZT-12-037-01 is a specific STK19 inhibitor (IC50 value of 24 nM) which showed to effectively block oncogenic NRAS-driven melanocyte malignant transformation and melanoma growth in vitro and in vivo.

Zyvox

Axon 2048

See Linezolid

Page 509

ZYZ-802

Axon 2666

See S-Propargyl-Cysteine

Page 728

α-Amino-2-chloro-5-hydroxybenzeneacetic acid

Axon 2691

See CHPG

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axon MEDCHEM

Alphabetical Shortlist