Metabolic Enzyme/Protease

Metabolic pathways are enzyme-mediated biochemical reactions that lead to biosynthesis (anabolism) or breakdown (catabolism) of natural product small molecules within a cell or tissue. In each pathway, enzymes catalyze the conversion of substrates into structurally similar products. Metabolic processes typically transform small molecules, but also include macromolecular processes such as DNA repair and replication, and protein synthesis and degradation. Metabolism maintains the living state of the cells and the organism.

Proteases are used throughout an organism for various metabolic processes. Proteases control a great variety of physiological processes that are critical for life, including the immune response, cell cycle, cell death, wound healing, food digestion, and protein and organelle recycling. On the basis of the type of the key amino acid in the active site of the protease and the mechanism of peptide bond cleavage, proteases can be classified into six groups: cysteine, serine, threonine, glutamic acid, aspartate proteases, as well as matrix metalloproteases. Proteases can not only activate proteins such as cytokines, or inactivate them such as numerous repair proteins during apoptosis, but also expose cryptic sites, such as occurs with β-secretase during amyloid precursor protein processing, shed various transmembrane proteins such as occurs with metalloproteases and cysteine proteases, or convert receptor agonists into antagonists and vice versa such as chemokine conversions carried out by metalloproteases, dipeptidyl peptidase IV and some cathepsins. In addition to the catalytic domains, a great number of proteases contain numerous additional domains or modules that substantially increase the complexity of their functions.

Imbalances in metabolic activities have been found to be critical in a number of pathologies, such as cardiovascular diseases, inflammation, cancer, and neurodegenerative diseases.

References:
## Target List in Metabolic Enzyme/Protease

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15-PGDH (15-Hydroxyprostaglandin dehydrogenase) is a tumor suppressor in breast, colon, liver, lung, and pancreas since decreased expression of this enzyme is associated with increased tumorigenesis. 15-PGDH metabolizes intracellular PGE$_2$ so that this ligand is unable to bind EP receptors, which results in suppression of PGE$_2$ signaling. The tumor suppressor 15-PGDH is the key enzyme in prostaglandin E$_2$ catabolism and is down-regulated in colorectal cancer (CRC) tissue. Canonical Wnt signaling is frequently elevated in colon cancers and has been shown to down-regulate 15-PGDH expression. Inhibition of 15-PGDH, a prostaglandin-degrading enzyme, potentiates tissue regeneration in multiple organs in mice. 15-PGDH acts in vivo as a negative regulator of prostaglandin levels and activity, provides a candidate target.
### 15-PGDH Inhibitors & Modulators

**SW033291**  
**Cat. No.:** HY-16968

<table>
<thead>
<tr>
<th><strong>Bioactivity:</strong></th>
<th>SW033291 is a small-molecule inhibitor of 15-PGDH (Ki=0.1 nM) that increases prostaglandin PGE2 levels in bone marrow and other tissues.</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity:</strong></td>
<td>99.62%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
5 α-reductase (5α-reductases), also known as 3-oxo-5α-steroid 4-dehydrogenases, are enzymes involved in steroid metabolism. They participate in 3 metabolic pathways: bile acid biosynthesis, androgen and estrogen metabolism, and prostate cancer.
## 5 alpha Reductase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Inhibitor</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Alpha-Estradiol</strong></td>
<td>HY-B0141A</td>
<td>Alpha-Estradiol is a weak estrogen and a 5α-reductase inhibitor which is used as a topical medication in the treatment of androgenic alopecia.</td>
<td>99.46%</td>
<td>Launched</td>
<td>100 mg, 200 mg</td>
</tr>
<tr>
<td><strong>CGP-53153</strong></td>
<td>HY-U00125</td>
<td>CGP-53153 is a steroidal inhibitor of 5 alpha reductase with IC\text{50} of 36 and 262 nM in rat and human prostatic tissue, respectively.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td><strong>Dutasteride</strong></td>
<td>HY-13613</td>
<td>Dutasteride (GG745) is a potent inhibitor of both 5 alpha-reductase isozymes. Dutasteride may possess off-target effects on the androgen receptor (AR) due to its structural similarity to DHT.</td>
<td>99.79%</td>
<td>Launched</td>
<td>10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Epristeride</strong></td>
<td>HY-107385</td>
<td>Epristeride is a novel 5α-reductase inhibitor.</td>
<td>99.96%</td>
<td>Launched</td>
<td></td>
</tr>
<tr>
<td><strong>Finasteride</strong></td>
<td>HY-13635</td>
<td>Finasteride is an orally active testosterone 5-alpha-reductase inhibitor (K_{i} = 10 nM).</td>
<td>99.96%</td>
<td>Launched</td>
<td>10 mM x 1 mL in DMSO, 100 mg, 200 mg</td>
</tr>
<tr>
<td><strong>Finasteride acetate</strong></td>
<td>HY-13635A</td>
<td>Finasteride (acetate) is an orally active testosterone 5-alpha-reductase inhibitor.</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>100 mg, 200 mg</td>
</tr>
</tbody>
</table>
5-Lipoxygenase (5-LOX or 5-LO) is an enzyme that in humans is encoded by the ALOX5 gene. 5-lipoxygenase is a member of the lipoxygenase family of enzymes. It transforms EFAs into leukotrienes and is a current target for pharmaceutical intervention in a number of diseases. 5-LO catalyzes oxidation of AA at the 5-position to yield 5-HpETE. 5-LO then converts 5-HpETE to leukotriene A4. Recently, oxidized lipid products of 5-LO have been measured in membranes of neutrophils in the form of esterified-5-HETE phospholipids. These novel products have biological activities including inhibition of neutrophil extracellular traps. 5-LO is a target for pharmaceutical intervention in CAD. Some people with variant alleles for 5-LO are at elevated risk for CAD. 5-LO is expressed in brain cells and may participate in neuropathologic processes.
## 5-Lipoxygenase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Inhibitor</th>
<th>Cat. No.:</th>
<th>Bioactivity</th>
</tr>
</thead>
<tbody>
<tr>
<td>AZD 4407 (ZD 4407)</td>
<td>HY-U00217</td>
<td>AZD 4407 is a potent 5-lipoxygenase inhibitor.</td>
</tr>
<tr>
<td>Caffeic acid</td>
<td>HY-N0172</td>
<td>Caffeic acid is an inhibitor of both TRPV1 ion channel and 5-Lipoxygenase (5-LO).</td>
</tr>
<tr>
<td>CMI-392</td>
<td>HY-19205A</td>
<td>CMI-392 is a dual 5-lipoxygenase inhibitor and platelet-activating factor (PAF) receptor antagonist with IC50 of 100 and 10 nM, respectively.</td>
</tr>
<tr>
<td>CMII977 (LDP977)</td>
<td>HY-U00260</td>
<td>CMII977 is a potent 5-Lipoxygenase (5-LO) inhibitor.</td>
</tr>
<tr>
<td>COX/5-LO-IN-1</td>
<td>HY-U00347</td>
<td>COX/5-LO-IN-1 is an inhibitor of cyclooxygenase and 5-lipoxygenase, used for the research of inflammatory and allergic disease states.</td>
</tr>
<tr>
<td>Enazadrem</td>
<td>HY-U00024</td>
<td>Enazadrem is a 5-lipoxygenase inhibitor with antiinflammatory activities.</td>
</tr>
<tr>
<td>ICI 211965</td>
<td>HY-100148</td>
<td>ICI 211965 is a selective and orally potent 5-Lipoxygenase (5-LPO) inhibitor.</td>
</tr>
<tr>
<td>Indirubin-3'-monoxime (Indirubin-3'-oxime)</td>
<td>HY-19807</td>
<td>Indirubin-3'-monoxime is a potent GSK-3β inhibitor, and a less potent inhibitor of 5-Lipoxygenase, with IC50 of 22 nM and 7.8-10 µM, respectively; also shows inhibitory activities against CDK5/p25 and CDK1/cyclin B, with IC50 of 100 and 180 nM.</td>
</tr>
<tr>
<td>LP117</td>
<td>HY-U00438</td>
<td>LP117 is a novel and potent inhibitor of 5-Lipoxygenase (5-LO) product synthesis with an IC50 of 1.1 µM.</td>
</tr>
<tr>
<td>LY 178002</td>
<td>HY-101579</td>
<td>LY 178002 is a potent inhibitor of cyclooxygenase, 5-lipoxygenase, phospholipase A2 and cellular production of LTB4 by human polymorphonuclear leukocytes, with IC50 of 0.6 µM for 5-lipoxygenase.</td>
</tr>
</tbody>
</table>

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<tr>
<th><strong>Malotilate (NKK 105)</strong></th>
<th><strong>Cat. No.: HY-A0060</strong></th>
</tr>
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<tr>
<td><strong>Bioactivity:</strong> Malotilate is a liver protein metabolism improved compound, which selectively inhibit the 5-lipoxygenase.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.54%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>ML355</strong></th>
<th><strong>Cat. No.: HY-12341</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> ML355 is a potent and selective inhibitors of 12-Lipoxygenase(12-LOX) with IC50 of 0.28 μM; also inhibits 5-lipoxygenase with an IC50 of 1.05 μM.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 95.05%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tr>
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<table>
<thead>
<tr>
<th><strong>Nordihydroguaiaretic acid (NDGA)</strong></th>
<th><strong>Cat. No.: HY-N0198</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Nordihydroguaiaretic acid is a 5-lipoxygenase (SLOX) (IC50=8.1±3 μM) and tyrosine kinase inhibitor.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.31%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 2</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 200 mg</td>
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<table>
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<tr>
<th><strong>PGS-IN-1</strong></th>
<th><strong>Cat. No.: HY-101587</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PGS-IN-1 is a potent inhibitor of prostaglandin synthetase (PGS) with an IC50 of 0.28 μM; also inhibits 5-lipoxygenase with an IC50 of 1.05 μM.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
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<tr>
<td><strong>Size:</strong> 5 mg, 10 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>RS4317 (Lonapalene)</strong></th>
<th><strong>Cat. No.: HY-U00156</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> RS4317 is a topically effective 5-lipoxygenase (5-LO) inhibitor.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 1 mg, 5 mg, 10 mg, 20 mg</td>
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<table>
<thead>
<tr>
<th><strong>RWJ 63556</strong></th>
<th><strong>Cat. No.: HY-U00022</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> RWJ 63556 is an orally active COX-2 selective/5-lipoxygenase inhibitor, with anti-inflammatory activities.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
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<tr>
<td><strong>Size:</strong> 1 mg, 5 mg, 10 mg, 20 mg</td>
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<table>
<thead>
<tr>
<th><strong>S-(+)-Marmesin ((+)-Marmesin; (S)-Marmesin)</strong></th>
<th><strong>Cat. No.: HY-N2176</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> S-(+)-Marmesin is a natural coumarin, exhibiting COX-2/5-LOX dual inhibitory activity.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.04%</td>
<td></td>
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<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
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<tr>
<td><strong>Size:</strong></td>
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</table>

<table>
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<th><strong>S-2474</strong></th>
<th><strong>Cat. No.: HY-19212</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> S-2474 is an inhibitor of COX-2 and 5-lipoxygenase, and used as a nonsteroidal anti-inflammatory drug.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 1 mg, 5 mg, 10 mg, 20 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>U-73122</strong></th>
<th><strong>Cat. No.: HY-13419</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> U-73122 is an inhibitor of phospholipase C (PLC), phospholipase A2, and 5-LO (5-lipoxygenase).</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.17%</td>
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</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>U66858 (Bunaprolast)</strong></th>
<th><strong>Cat. No.: HY-U00170</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> U66858 is a potent inhibitor of LTBA4 production in human whole blood. U66858 also exhibits significant inhibition of lipooxygenase and thromboxane A2 release.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 1 mg, 5 mg, 10 mg, 20 mg</td>
<td></td>
</tr>
<tr>
<td><strong>Zileuton</strong>&lt;br&gt;(A 64077; Abbott 64077)</td>
<td><strong>Zileuton sodium</strong>&lt;br&gt;Cat. No.: HY-14164A</td>
</tr>
<tr>
<td>--------------------------------</td>
<td>---------------------------------</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong> Zileuton is a potent and selective inhibitor of $\text{5-lipoxygenase}$, exhibiting inflammatory activities.</td>
<td><strong>Bioactivity:</strong> Zileuton sodium is a potent and selective inhibitor of $\text{5-lipoxygenase}$, exhibiting inflammatory activities.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.93%</td>
<td><strong>Purity:</strong> $&gt;98%$</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td><strong>Clinical Data:</strong> Launched</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
Acetyl-CoA Carboxylase

Acetyl-CoA carboxylase (ACC) is a biotin carboxylase that catalyzes the ATP-dependent condensation of acetyl-CoA and carbonate to form malonyl-CoA. The malonyl-CoA produced by ACC serves two major physiologic functions. It is an essential and rate-limiting substrate for de novo lipogenesis (DNL), and it acts as an allosteric inhibitor of the enzyme carnitine-palmitoyl transferase I (CPT-1). Acetyl-CoA carboxylase (ACC) inhibitors offer significant potential for the treatment of type 2 diabetes mellitus (T2DM), hepatic steatosis, and cancer.

Acetyl-CoA carboxylase (ACC) in mammals is encoded by two related enzymes ACC1 and ACC2, which catalyze the ATP dependent carboxylation of acetyl-CoA to form malonyl-CoA. ACC1 encodes a cytoplasmic isoform that is thought to be the predominant isoform controlling FASyn, whereas ACC2 is tethered to the mitochondrial outer membrane, where localized malonyl-CoA production blocks CPT-1 function to prevent fatty acids from entering the mitochondria to undergo fatty acid oxidation (FAOxn).
Acetyl-CoA Carboxylase Inhibitors & Modulators

CP-640186

- **Bioactivity**: CP-640186 is an isozyme-nonselective acetyl-CoA carboxylase ( ACCCase) inhibitor with IC₅₀ s of 53 nM and 61 nM for rat liver ACC1 and rat skeletal muscle ACC2 respectively, with improved metabolic stability vs CP-610431.
- **Purity**: > 98%
- **Clinical Data**: No Development Reported
- **Size**: 5 mg, 10 mg, 50 mg, 100 mg

CP-640186 hydrochloride

- **Bioactivity**: CP-640186 Hcl is an isozyme-nonselective acetyl-CoA carboxylase (ACC) inhibitor with IC₅₀ s of 53 nM and 61 nM for rat liver ACC1 and rat skeletal muscle ACC2 respectively, with improved metabolic stability vs CP-610431.
- **Purity**: 99.40%
- **Clinical Data**: No Development Reported
- **Size**: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Gallic acid

- **Bioactivity**: Gallic acid is an antioxidant which can inhibit both COX-2 and acetyl CoA carboxylase (ACC).
- **Purity**: 99.97%
- **Clinical Data**: No Development Reported
- **Size**: 5 mg, 10 mg, 50 mg, 100 mg

ND-630

- **Bioactivity**: ND-630 is an acetyl-CoA carboxylase (ACC) inhibitor; inhibits human ACC1 and ACC2 with IC₅₀ values of 2.1 and 6.1 nM, respectively.
- **Purity**: 98.72%
- **Clinical Data**: No Development Reported
- **Size**: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

ND-646

- **Bioactivity**: ND-646 is an orally bioavailable and steric inhibitor of acetyl-CoA carboxylase (ACC) with IC₅₀ s of 3.5 nM and 4.1 nM for recombinant hACC1 and hACC2, respectively.
- **Purity**: 98.39%
- **Clinical Data**: No Development Reported
- **Size**: 5 mg, 10 mg, 50 mg, 100 mg

Olumacostat glasaretil

- **Bioactivity**: Olumacostat glasaretil is a small molecule inhibitor of acetyl coenzyme A carboxylase (ACC).
- **Purity**: 98.0%
- **Clinical Data**: Phase 3
- **Size**: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

PF-05175157

- **Bioactivity**: PF-05175157 is broad spectrum acetyl-CoA carboxylase (ACC) inhibitor with IC₅₀ s of 27.0, 33.0, 23.5 and 50.4 nM for ACC1 (human), ACC2 (human), ACC1 (rat), ACC2 (rat), respectively.
- **Purity**: 98.74%
- **Clinical Data**: Phase 2
- **Size**: 5 mg, 10 mg, 50 mg, 100 mg

TOFA

- **Bioactivity**: TOFA (RMI14514; MDL14514) is an allosteric inhibitor of acetyl-CoA carboxylase-α (ACCA).
- **Purity**: 98.0%
- **Clinical Data**: Phase 2
- **Size**: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
DGAT (acyl-CoA: diacylglycerol acyltransferase) is a transmembrane enzyme that acts in the final and committed step of triacylglycerides (TAGs) synthesis, and it has been proposed to be the rate-limiting enzyme in plant storage lipid accumulation. DGAT catalyzes the acylation of sn-1,2-diaclylglycerol (DAG) at the sn-3 position using an acyl-CoA substrate. DGAT has been proposed to be the rate-limiting enzyme in plant storage lipid accumulation. DGAT is considered a key enzyme for biotechnological purposes; it might be utilized to increase oil content in oleaginous plant species. DGAT1 and DGAT2 are two of the enzymes that are responsible for the main part of TAG synthesis in most organisms, and they have been studied in many eukaryotic organisms.
# Acyltransferase Inhibitors & Modulators

## A 922500
(DGAT-1 Inhibitor 4a)

- **Cat. No.:** HY-10038
- **Bioactivity:** A 922500 is a potent, selective, and orally bioavailable DGAT-1 inhibitor exhibiting IC\textsubscript{50} values of 9 and 22 nM against human and mouse DGAT-1, respectively.
- **Purity:** 98.50%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

## ABT-046
(DGAT-1 inhibitor)

- **Cat. No.:** HY-15197
- **Bioactivity:** ABT-046 is a potent, selective, and orally bioavailable Diacylglycerol acyltransferase 1 (DGAT-1) inhibitor (IC50= 8 nM).
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg, 10 mg, 50 mg, 100 mg

## ACAT-IN-1 cis isomer

- **Cat. No.:** HY-101648
- **Bioactivity:** ACAT-IN-1 cis isomer is a potent ACAT inhibitor with an IC\textsubscript{50} of 100 nM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg, 10 mg, 20 mg

## Avasimibe
(CI-1011; PD-148515)

- **Cat. No.:** HY-13215
- **Bioactivity:** Avasimibe is a ACAT inhibitor inclucing ACAT-1 and ACAT-2 In vitro: 1) Avasimibe has beneficial on plasma lipids and direct antiatherosclerotic activity, independent of lipid effects, in various animal models of hypercholesterolemia 2) Avasimibe enhances the lipid lowering effect of atorvastatin in subjects with homozygousfam
- **Purity:** 99.25%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

## AZD7687

- **Cat. No.:** HY-15497
- **Bioactivity:** AZD7687 is a potent and selective DGAT1 inhibitor with an IC50 value of 80 nM (hDGAT1).
- **Purity:** 98.09%
- **Clinical Data:** Phase 1
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

## DGAT-1 inhibitor 2
(DGAT-1 inhibitor)

- **Cat. No.:** HY-50670
- **Bioactivity:** DGAT-1 inhibitor 2 is an effective inhibitor of DGAT-1 antiobesity agents.
- **Purity:** 95.02%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

## DGAT1-IN-1

- **Cat. No.:** HY-12425
- **Bioactivity:** DGAT1-IN-1 is a potent DGAT1 inhibitor with IC50 of < 10 nM(cell lysate from Hep3B cells overexpressing human DGAT1).
- **Purity:** 95.14%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

## E-5324

- **Cat. No.:** HY-19183
- **Bioactivity:** E-5324 is potent inhibitor of acyl-CoA:cholesterol acyltransferase (ACAT) with IC\textsubscript{50}\textsuperscript{5} of 44 to 190 nM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:**

## K-604 dihydrochloride

- **Cat. No.:** HY-100400A
- **Bioactivity:** K-604 dihydrochloride is a potent and selective acyl-CoA:cholesterol acyltransferase 1 (ACAT-1) inhibitor with an IC\textsubscript{50} of 0.45±0.06 μM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:**

## LCQ-908
(Pradigastat)

- **Cat. No.:** HY-16278
- **Bioactivity:** LCQ-908 is a new generation of diacylglycerol acyltransferase 1 (DGAT1) inhibitor as anti-obesity and anti-diabetic agents.
- **Purity:** 96.39%
- **Clinical Data:** Phase 3
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

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**MGAT2-IN-1**  
Cat. No.: HY-101857

**Bioactivity:** MGAT2-IN-1 is an orally active inhibitor of monoacylglycerol acyltransferase (MGAT2) with IC<sub>50</sub> of 7.8 and 2.4 nM for human and mouse MGAT2, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

**MGAT2-IN-2**  
Cat. No.: HY-U00430

**Bioactivity:** MGAT2-IN-2 is a potent and selective acyl CoA:monoacylglycerol acyltransferase 2 (MGAT2) inhibitor with an IC<sub>50</sub> of 3.4 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

---

**PF-04620110**  
Cat. No.: HY-13009

**Bioactivity:** PF-04620110 is an orally active, selective and potent diglyceride acyltransferase-1 (DGAT1) inhibitor with IC<sub>50</sub> of 19 nM.

**Purity:** 99.37%

**Clinical Data:** Phase 1

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**RP 70676**  
Cat. No.: HY-101576

**Bioactivity:** RP 70676 is a potent inhibitor of ACAT, with IC<sub>50</sub> of 25 and 44 nM for rat and rabbit ACAT.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

**RP-64477**  
Cat. No.: HY-16437

**Bioactivity:** RP-64477 is a potent inhibitor of the cholesterol esterifying enzyme Acyl-coenzyme A:cholesterol O-acyltransferase (ACAT).

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

---

**T863**  
(DGAT-1 inhibitor)  
Cat. No.: HY-32219

**Bioactivity:** T-863(DGAT-1 inhibitor) is an orally active, selective and potent DGAT1 (Acyl-CoA:diacylglycerol acyltransferase 1) inhibitor that interacts with the acyl-CoA binding site of DGAT1 and inhibits triacylglycerol synthesis in cells.

**Purity:** 99.08%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**Xanthohumol**  
Cat. No.: HY-N1067

**Bioactivity:** Xanthohumol is one of the principal flavonoids isolated from hops, the inhibitor of diacylglycerol acetyltransferase (DGAT), COX-1 and COX-2, and shows anti-cancer and anti-angiogenic activities.

**Purity:** 99.68%

**Clinical Data:** Phase 1

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

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**YM17E**  
Cat. No.: HY-101627

**Bioactivity:** YM17E is an inhibitor of acyl CoA:cholesterol acyltransferase (ACAT), with IC<sub>50</sub> of 44 nM in rabbit liver microsomes in vitro.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg
Adenosine deaminase (ADA) is an enzyme involved in purine metabolism. It is needed for the breakdown of adenosine from food and for the turnover of nucleic acids in tissues. Present in virtually all mammalian cells, its primary function in humans is the development and maintenance of the immune system. Adenosine deaminase is considered one of the key enzymes of purine metabolism. Adenosine deaminase in humans is involved in the development and maintenance of the immune system. However, Adenosine deaminase association has also been observed with epithelial cell differentiation, neurotransmission, and gestation maintenance. It has also been proposed that Adenosine deaminase, in addition to adenosine breakdown, stimulates release of excitatory amino acids and is necessary to the coupling of A1 adenosine receptors and heterotrimeric G proteins.
## Adenosine Deaminase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Cladribine</th>
<th>Pentostatin</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cladribine is an adenosine deaminase inhibitor used to treat hairy cell leukemia and multiple sclerosis.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.89%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**Cladribine**  
(2-Chloro-2'-deoxyadenosine; CldAdo; 2CdA)  
Cat. No.: HY-13599

**Pentostatin**  
(Deoxycoformycin)  
Cat. No.: HY-A0006
Adenosine kinase (AK) is a cytosolic enzyme that catalyzes the conversion of adenosine to AMP. One potential adenosine regulating agent (ARA) target is adenosine kinase. Adenosine kinase activation represents the major clearance route of adenosine and is partly responsible for its extremely short plasma half-life (<1 s). Inhibition of adenosine kinase results in increased intracellular adenosine which passes out of the cell via passive diffusion or via nucleoside transporter(s) to activate nearby cell-surface adenosine receptors. Thus, adenosine kinase inhibition can represent an alternative mechanism for activation of adenosine receptors and production of adenosine-associated pharmacologies.

Adenosine kinase inhibitors (AKIs) represent an alternative strategy, since AKIs may raise local adenosine levels in a more site- and event-specific manner and thereby elicit the desired pharmacology with a greater therapeutic window. Several potent AKIs are shown to exhibit anticonvulsant activity in the rat maximal electric shock (MES) induced seizure assay.
## Adenosine Kinase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>5-Iodotubercidin</th>
<th>Cat. No.: HY-15424</th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity:</td>
<td>5-Iodotubercidin is a potent <em>adenosine kinase</em> inhibitor with <strong>IC$_{50}$</strong> of 26 nM.</td>
</tr>
<tr>
<td>Purity:</td>
<td>98.85%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

Tel: 4008203792    Fax: 021-53700325    Email: sales@MedChemExpress.cn
Aldehyde dehydrogenase 1 (ALDH1), which is a putative marker of breast cancer stem cells (CSCs), in triple negative breast cancer (TNBC) tissues. Mitochondrial aldehyde dehydrogenase (ALDH2) is a member of the 19-strong human aldehyde dehydrogenase family of NADP⁺-dependent enzymes. ALDH2, a mitochondrial enzyme responsible for metabolizing the major lipid peroxidation product, protects against acute ischemia/reperfusion injury and chronic heart failure. Activation of ALDH2, the main enzyme that catalyzes 4-HNE metabolism, is sufficient to protect the heart against acute ischemia-reperfusion injury.

Aldehyde dehydrogenase 1 (ALDH1), which is a putative marker of breast cancer stem cells (CSCs), in triple negative breast cancer (TNBC) tissues. Mitochondrial aldehyde dehydrogenase (ALDH2) is a member of the 19-strong human aldehyde dehydrogenase family of NADP⁺-dependent enzymes. ALDH2, a mitochondrial enzyme responsible for metabolizing the major lipid peroxidation product, protects against acute ischemia/reperfusion injury and chronic heart failure. Activation of ALDH2, the main enzyme that catalyzes 4-HNE metabolism, is sufficient to protect the heart against acute ischemia-reperfusion injury.

ALDH2 is a key detoxifying enzyme. ALDH2 is most commonly associated with its role in ethanol metabolism, catalyzing the oxidation of ethanol-derived acetaldehyde to acetate.
Aldehyde Dehydrogenase (ALDH) Inhibitors & Modulators

**Alda-1**

**Cat. No.: HY-18936**

**Bioactivity:** Alda-1 is a potent ALDH2 agonist, which significantly improves ALDH2 activity.

**Purity:** 99.75%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

![Alda-1 structure](image)

**Disulfiram**

(Tetraethylthiuram disulfide; TETD)

**Cat. No.: HY-B0240**

**Bioactivity:** Disulfiram is a specific inhibitor of aldehyde-dehydrogenase (ALDH), used for the treatment of chronic alcoholism by producing an acute sensitivity to alcohol.

**Purity:** 98.13%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 1 g, 5 g

![Disulfiram structure](image)

**NCT-501**

**Cat. No.: HY-18768**

**Bioactivity:** NCT-501 is a potent and selective theophylline-based inhibitor of aldehyde dehydrogenase 1A1 (ALDH1A1), inhibits hALDH1A1 with IC50 of 40 nM, typically shows better selectivity over other ALDH isoforms and other dehydrogenases (hALDH1B1, hALDH3A1, and hALDH2; IC50 >57 μM)

**Purity:** 99.75%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

![NCT-501 structure](image)
Aldose Reductase

Aldose reductase is an NADPH-dependent oxidoreductase that catalyzes the reduction of a variety of aldehydes and carbonyls, including monosaccharides. It is primarily known for catalyzing the reduction of glucose to sorbitol, the first step in polyol pathway of glucose metabolism. The aldose reductase reaction, in particular the sorbitol produced, is important for the function of various organs in the body. Aldose reductase inhibitors are a class of drugs being studied as a way to prevent eye and nerve damage in people with diabetes.
## Aldose Reductase Inhibitors & Modulators

### Aldose reductase-IN-1
**Cat. No.: HY-18967**

**Bioactivity:** Aldose reductase-IN-1 is a inhibitor of aldose reductase with IC50 of 28.9 pM.

**Purity:** 99.72%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### Alrestatin
**Cat. No.: HY-B1202**

**Bioactivity:** Alrestatin is an inhibitor of aldose reductase, an enzyme involved in the pathogenesis of complications of diabetes mellitus, including diabetic neuropathy.

**Purity:** 99.14%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg

### Alrestatin sodium
**Cat. No.: HY-B1202A**

**Bioactivity:** Alrestatin sodium is an inhibitor of aldose reductase, an enzyme involved in the pathogenesis of complications of diabetes mellitus, including diabetic neuropathy.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg

### IMIRESTAT
**Cat. No.: HY-16255**

**Bioactivity:** IMIRESTAT is an aldose reductase inhibitor, used for the treatment of diabetes.

**Purity:** 99.52%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

### Epalrestat
**Cat. No.: HY-66009**

**Bioactivity:** Epalrestat is an aldose reductase inhibitor for the treatment of diabetic neuropathy.

**Purity:** 98.0%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

### Isoliquiritigenin
**Cat. No.: HY-N0102**

**Bioactivity:** Isoliquiritigenin is an anti-tumor flavonoid from the root of Glycyrrhiza glabra, which inhibits aldose reductase with an IC50 of 320 nM.

**Purity:** 96.65%
**Clinical Data:** Phase 1
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

### Ranirestat
**Cat. No.: HY-15314**

**Bioactivity:** Ranirestat (AS-3201) is an aldose reductase inhibitor being developed for the treatment of diabetic neuropathy.

**Purity:** 99.14%
**Clinical Data:** Phase 3
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### RISARESTAT
**Cat. No.: HY-16433**

**Bioactivity:** RISARESTAT (CT-112) is an aldose reductase inhibitor, is developed for the treatment of diabetic complications.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

### Tolrestat
**Cat. No.: HY-16500**

**Bioactivity:** Tolrestat is a potent, orally active aldose reductase inhibitor with IC50 of 35 nM.

**Purity:** 98.85%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
Aminopeptidases catalyze the cleavage of amino acids from the amino terminus of protein (N-terminus) or peptide substrates. They are widely distributed throughout the animal and plant kingdoms and are found in many subcellular organelles, in cytoplasm, and as membrane components. Aminopeptidases are used in essential cellular functions. Many, but not all, of these peptidases are zinc Metalloenzymes. Some aminopeptidases are monomeric, and others are assemblies of relatively high mass (50 kDa) subunits. CDNA sequences are available for several aminopeptidases and a crystal structure of the open state of human endoplasmic reticulum Aminopeptidase 1 ERAP1 is presented here. Amino acid sequences determined directly or deduced from cDNAs indicate some amino acid sequence homologies in organisms as diverse as Escherichia coli and mammals, particularly in catalytically important residues or in residues involved in metal ion binding. One important aminopeptidase is a zinc-dependent enzyme produced and secreted by glands of the small intestine. It helps the enzymatic digestion of proteins. Additional digestive enzymes produced by these glands include dipeptidases, maltase, sucrase, lactase, and enterokinase.
## Aminopeptidase Inhibitors & Modulators

### Bestatin (Ubenimex)  
**Cat. No.: HY-B0134**

**Bioactivity:** Bestatin is an inhibitor of **CD13 (Aminopeptidase N)/APN** and **leukotriene A4 hydrolase**, used for cancer treatment.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.00%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Bestatin hydrochloride (Ubenimex hydrochloride)  
**Cat. No.: HY-B0134A**

**Bioactivity:** Bestatin hydrochloride is an inhibitor of **CD13 (Aminopeptidase N)/APN** and **leukotriene A4 hydrolase**, used for cancer treatment.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>Launched</td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Bestatin trifluoroacetate (Ubenimex trifluoroacetate)  
**Cat. No.: HY-B0134B**

**Bioactivity:** Bestatin trifluoroacetate is an inhibitor of **CD13 (Aminopeptidase N)/APN** and **leukotriene A4 hydrolase**, used for cancer treatment.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>Launched</td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### DG051  
**Cat. No.: HY-10825**

**Bioactivity:** DG051 is a potent **leukotriene A4 hydrolase** inhibitor of leukotriene B4 biosynthesis in the enzyme assay with an **IC_{50} = 47 nM**.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.65%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg</td>
</tr>
</tbody>
</table>

### NGR peptide Trifluoroacetate (CNGRCG Trifluoroacetate)  
**Cat. No.: HY-P1043A**

**Bioactivity:** NGR peptide Trifluoroacetate containing the **asparagine-glycine-arginine (NGR) motif** is recognized by **CD13/aminopeptidase N (APN) receptor** isoforms that are selectively overexpressed in tumor neovascularature.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.55%</td>
<td>No Development Reported</td>
<td></td>
</tr>
</tbody>
</table>

### Tosedostat (CHR-2797)  
**Cat. No.: HY-14807**

**Bioactivity:** Tosedostat is an **aminopeptidase** inhibitor.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>Launched</td>
<td></td>
</tr>
</tbody>
</table>

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Tel: 4008203792  Fax: 021-53700325  Email: sales@MedChemExpress.cn
Angiotensin-converting enzyme (ACE) indirectly increases blood pressure by causing blood vessels to constrict. ACE does that by converting angiotensin I to angiotensin II, which constricts the vessels. ACE, angiotensin I and angiotensin II are part of the renin-angiotensin system (RAS), which controls blood pressure by regulating the volume of fluids in the body. ACE is secreted in the lungs and kidneys by cells in the endothelium (inner layer) of blood vessels. It has two primary functions: ACE catalyses the conversion of angiotensin I to angiotensin II, a potent vasoconstrictor in a substrate concentration-dependent manner. ACE degrades bradykinin, a potent vasodilator, and other vasoactive peptides. These two actions make ACE inhibition a goal in the treatment of conditions such as high blood pressure, heart failure, diabetic nephropathy, and type 2 diabetes mellitus. Inhibition of ACE (by ACE inhibitors) results in the decreased formation of angiotensin II and decreased metabolism of bradykinin, leading to systematic dilation of the arteries and veins and a decrease in arterial blood pressure.
# Angiotensin-converting Enzyme (ACE) Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>Angiotensin 1-7</strong></th>
<th><strong>Cat. No.: HY-12403</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Angiotensin (1-7) inhibits purified canine angiotensin converting enzyme (ACE) activity with an IC₅₀ of 0.65 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.62%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in Water, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Benazepril</strong></th>
<th><strong>Cat. No.: HY-B0093</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Benazepril, an angiotensin converting enzyme inhibitor, which is a medication used to treat high blood pressure.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 g, 5 g</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Benazepril hydrochloride</strong></th>
<th><strong>Cat. No.: HY-B0093A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Benazepril hydrochloride, an angiotensin converting enzyme inhibitor, which is a medication used to treat high blood pressure.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.85%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 1 g, 5 g</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Captopril</strong></th>
<th><strong>Cat. No.: HY-B0368</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Captopril is a potent, competitive inhibitor of angiotensin-converting enzyme (ACE).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.05%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Cilazapril</strong></th>
<th><strong>Cat. No.: HY-A0043</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cilazapril is a angiotensin-converting enzyme (ACE) inhibitor used for the treatment of hypertension and congestive heart failure.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Cilazapril monohydrate</strong></th>
<th><strong>Cat. No.: HY-A0043A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cilazapril Monohydrate is a angiotensin-converting enzyme (ACE) inhibitor used for the treatment of hypertension and congestive heart failure.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.63%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Enalapril</strong></th>
<th><strong>Cat. No.: HY-B0331</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Enalapril is an angiotensin converting enzyme (ACE) inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 g, 5 g</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Enalapril D5 maleate</strong></th>
<th><strong>Cat. No.: HY-B0331AS</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Enalapril D5 maleate is deuterium labeled Enalapril, which is an angiotensin converting enzyme (ACE) inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Enalapril maleate</strong></th>
<th><strong>Cat. No.: HY-B0331A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Enalapril Maleate, the active metabolite of enalapril, is an angiotensin-converting enzyme (ACE) inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.96%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 1 g, 5 g</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Enalaprilat D5</strong></th>
<th><strong>Cat. No.: HY-B0231AS</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Enalaprilat D5 is the deuterium labeled Enalaprilat(MK-422), which is an angiotensin-converting enzyme (ACE) inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg</td>
</tr>
<tr>
<td>Product Name</td>
<td>Purity</td>
</tr>
<tr>
<td>------------------------------------</td>
<td>--------</td>
</tr>
<tr>
<td><strong>Enalaprilat D5 Sodium Salt</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Enalaprilat dihydrate</strong></td>
<td>99.0%</td>
</tr>
<tr>
<td><strong>Fosinopril sodium</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td></td>
<td></td>
</tr>
<tr>
<td><strong>Imidapril hydrochloride</strong></td>
<td>99.51%</td>
</tr>
<tr>
<td><strong>Leucylarginylproline</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Lisinopril</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Lisinopril dihydrate</strong></td>
<td>99.34%</td>
</tr>
<tr>
<td><strong>Moexipril hydrochloride</strong></td>
<td>98.49%</td>
</tr>
<tr>
<td><strong>N-Acetyl-Ser-Asp-Lys-Pro</strong></td>
<td>&gt;98%</td>
</tr>
</tbody>
</table>

www.MedChemExpress.cn
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>NCX899</td>
<td>HY-101577</td>
<td>NCX899 is a NO-releasing derivative of enalapril, and shows inhibitory activity against angiotensin-converting enzyme (ACE) activity.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>Omapatrilat</td>
<td>HY-18208</td>
<td>Omapatrilat is a dual inhibitor of the metalloproteases ACE and NEP with $K_i$ values of 0.64 and 0.45 nM, respectively.</td>
<td>97.22%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Perindopril</td>
<td>HY-80130</td>
<td>Perindopril is a long-acting ACE inhibitor of which is used to treat high blood pressure, heart failure or stable coronary artery disease</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>100 mg, 500 mg</td>
</tr>
<tr>
<td>Perindopril erbumine</td>
<td>HY-80130A</td>
<td>Perindopril erbumine is a potent ACE inhibitor of which is used to treat high blood pressure, heart failure or stable coronary artery disease</td>
<td>99.90%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td>Phosphoramidon Disodium</td>
<td>HY-N2021A</td>
<td>Phosphoramidon disodium is a metalloprotease inhibitor. Phosphoramidon inhibits endothelin-converting enzyme (ECE), neutral endopeptidase (NEP), and angiotensin-converting enzyme (ACE) with $IC_{50}$ values of 3.5, 0.034, and 78 μM, respectively.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in Water, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td>Pivalopril</td>
<td>HY-U00041</td>
<td>Pivalopril is a new orally active angiotensin converting enzyme (ACE) inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>Quinapril hydrochloride</td>
<td>HY-B0477</td>
<td>Quinapril hydrochloride is a prodrug that belongs to the angiotensin-converting enzyme (ACE) inhibitor class of medications.</td>
<td>99.46%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td>Ramipril</td>
<td>HY-B0279</td>
<td>Ramipril is an angiotensin-converting enzyme (ACE) inhibitor with $IC_{50}$ of 5 nM.</td>
<td>99.71%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td>Rentiapril racemate</td>
<td>HY-U00074</td>
<td>Rentiapril racemate is the racemate of Rentiapril. Rentiapril is an angiotensin converting enzyme (ACE) inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>Temocapril Hydrochloride</td>
<td>HY-B0384</td>
<td>Temocapril Hydrochloride is a long-acting angiotensin-converting enzyme (ACE) inhibitor, used for the treatment of hypertension.</td>
<td>99.52%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Trandolapril (RU44570)  
Cat. No.: HY-80592  
Bioactivity: Trandolapril (RU44570) is an ACE inhibitor used to treat high blood pressure.

Purity: 98.01%
Clinical Data: Launched
Size: 10 mg, 50 mg, 100 mg

Utibapril (FPL 63547)  
Cat. No.: HY-101681  
Bioactivity: Utibapril is an angiotensin-converting enzyme (ACE) inhibitor with antihypertensive activities.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Zofenopril  
Cat. No.: HY-108321  
Bioactivity: Zofenopril is an angiotensin-converting enzyme (ACE) inhibitor with an IC\textsubscript{50} of 81 \textmu M.

Purity: 98.81%
Clinical Data: Launched
Size:

Zofenopril calcium (SQ26991)  
Cat. No.: HY-80655  
Bioactivity: Zofenopril Calcium (SQ26991) is an antioxidant that acts as an angiotensin-converting enzyme inhibitor.

Purity: 97.91%
Clinical Data: Launched
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
Adipose triglyceride lipase (ATGL) is rate-limiting in the mobilization of fatty acids from cellular triglyceride stores. This central role in lipolysis marks ATGL as interesting pharmacological target since deregulated fatty acid metabolism is closely linked to dyslipidemic and metabolic disorders. Here we report on the development and characterization of a small-molecule inhibitor of ATGL. Atglistatin is selective for ATGL and reduces fatty acid mobilization in vitro and in vivo. ATGL performs the first step in triacylglycerol (TG) catabolism generating diacylglycerol which is subsequently degraded by hormone-sensitive lipase (HSL) and monoglyceride lipase (MGL) into glycerol and fatty acids (FA).

Adipose triglyceride lipase (ATGL) and hormone-sensitive lipase (HSL) are the major enzymes contributing to triacylglycerol (TG) breakdown in in vitro assays and in organ cultures of murine white adipose tissue (WAT).
# ATGL Inhibitors & Modulators

**Atglistatin**

<table>
<thead>
<tr>
<th><strong>Cat. No.:</strong> HY-15859</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Atglistatin is a selective adipose triglyceride lipase (ATGL) inhibitor with IC\textsubscript{50} of 0.7 μM for lipolysis in vitro.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.06%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
ATP-citrate lyase (ACL) is a cytosolic enzyme upstream of HMG-CoA reductase in the lipid biosynthesis pathway that catalyses the cleavage of mitochondrial-derived citrate into oxaloacetate and acetyl-CoA, the latter serving as common substrate for de novo cholesterol and fatty acid synthesis. Although ACL is not rate limiting, its strategic position at the intersection of lipid and carbohydrate metabolism, and its potential to regulate lipoprotein metabolism, attracted early interest as a drug target to treat dyslipidemia. ATP-citrate lyase (ACL) is an extramitochondrial enzyme that is expressed in lipogenic tissues such as liver and adipose. Since ACL is the primary enzyme responsible for the production of cytosolic acetyl-CoA, a precursor required for de novo biosyntheses of cholesterol and fatty acids, inhibition of ACL has the potential to reduce cholesterol and triglyceride levels and possibly exert an impact on obesity via reduction of lipogenic factors. ACL is an important enzyme with significant effects on fatty acid and cholesterol metabolism. It is a cytosolic enzyme highly expressed in lipogenic tissues such as the liver and white adipose tissue and is positioned upstream from HMG-CoA reductase in the mammalian cholesterol biosynthesis pathway. It links energy metabolism from carbohydrates to the production of fatty acids through catalyzing acetyl CoA synthesis, the fundamental substrate for the biosynthesis of both fatty acids and cholesterol.
# ATP Citrate Lyase Inhibitors & Modulators

## BMS-303141

**Cat. No.:** HY-16107

<table>
<thead>
<tr>
<th>Property</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity</strong></td>
<td>BMS-303141 is a potent, cell-permeable ATP-citrate lyase (ACL) inhibitor with an IC$_{50}$ value of 0.13 μM.</td>
</tr>
<tr>
<td><strong>Purity</strong></td>
<td>98.99%</td>
</tr>
<tr>
<td><strong>Clinical Data</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

BMS-303141 is a potent, cell-permeable ATP-citrate lyase (ACL) inhibitor with an IC$_{50}$ value of 0.13 μM.
Carbonic anhydrase is a zinc-containing enzyme that catalyzes the reversible hydration of carbon dioxide: \( \text{CO}_2 + \text{H}_2\text{O} \leftrightarrow \text{HCO}_3^- + \text{H}^+ \). The enzyme is the target for drugs, such as Acetazolamide, Methazolamide, and Dichlorphenamide, for the treatment of glaucoma. There are three evolutionarily unrelated CA families, designated alpha, beta, and gamma. All known CAs from the animal kingdom are of the alpha type. There are seven mammalian CA isozymes with different tissue distributions and intracellular locations, CA I-VII.

Carbonic anhydrase is one of the core enzyme in organism, which involves in osmoregulation, ionic regulation, acid-base regulation and other physiological and biochemical process.
## Carbonic Anhydrase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>2-Aminobenzenesulfonamide (Orthanilamide)</td>
<td>HY-82147</td>
<td>2-Aminobenzenesulfonamide is a <strong>carbonic anhydrase IX</strong> inhibitor.</td>
<td>99.88%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 g, 5 g</td>
</tr>
<tr>
<td>Acetazolamide</td>
<td>HY-80782</td>
<td>Acetazolamide is a potent carbonic anhydrase (CA) inhibitor; best-studied agent for the amelioration of acute mountain sickness (AMS)</td>
<td>99.87%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 1 g, 5 g</td>
</tr>
<tr>
<td>Acetazolamide D3</td>
<td>HY-80782S</td>
<td>Acetazolamide D3 is deuterium labeled Acetazolamide, which is a potent carbonic anhydrase (CA) inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Brinzolamide (AL-4862)</td>
<td>HY-80588</td>
<td>Brinzolamide(AL 4862) is a potent carbonic anhydrase II inhibitor with IC50 of 3.19 nM.</td>
<td>99.78%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Dichlorphenamide (Diclofenamide)</td>
<td>HY-80397</td>
<td>Dichlorphenamide(Diclofenamide) is a carbonic anhydrase inhibitor that is used in the treatment of glaucoma.</td>
<td>98.0%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Dimethylfraxetin (6,7,8-Trimethoxycoumarin; Fraxetin dimethyl ether)</td>
<td>HY-N0085</td>
<td>Dimethylfraxetin is a <strong>carbonic anhydrase</strong> inhibitor, with a $K_i$ value of 0.0097 μM.</td>
<td>99.97%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Dorzolamide (L671152, MK507)</td>
<td>HY-80109</td>
<td>Dorzolamide(L671152, MK507) is an anti-glaucoma agent, which is a carbonic anhydrase inhibitor.</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Dorzolamide hydrochloride (L671152 hydrochloride; MK507 hydrochloride)</td>
<td>HY-80109A</td>
<td>Dorzolamide HCl(L671152 HCl; MK507 HCl) is an anti-glaucoma agent, which is a carbonic anhydrase inhibitor.</td>
<td>99.47%</td>
<td>Launched</td>
<td>10mM x 1mL in Water, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Ethoxzolamide (Redupresin; L-643786; PNU-4191)</td>
<td>HY-81480</td>
<td>Ethoxzolamide is a <strong>carbonic anhydrase</strong> inhibitor with $K_i$ of 1 nM.</td>
<td>98.78%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Methazolamide (L584601)</td>
<td>HY-80553</td>
<td>Methazolamide is a carbonic anhydrase inhibitor used to treat glaucoma.</td>
<td>97.72%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg, 1 g, 5 g</td>
</tr>
</tbody>
</table>
### Sultiame

**Cat. No.:** HY-108316

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>Sultiame is a <strong>carbonic anhydrase</strong> inhibitor, widely used as an antiepileptic drug.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>99.11%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

### Tioxolone

**Cat. No.:** HY-B0483

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>Tioxolone, a metalloenzyme carbonic anhydrase I inhibitor, is an anti-acne preparation.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 1 g</td>
</tr>
</tbody>
</table>

### U-104

*(NSC-213841; MST-104)*

**Cat. No.:** HY-13513

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>U-104 is a potent carbonic anhydrase (CA) inhibitor for CA IX and CA XII with Ki of 45.1 nM and 4.5 nM; low inhibition for CA I and CA II.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>99.50%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Carboxypeptidase is a protease enzyme that hydrolyzes (cleaves) a peptide bond at the carboxy-terminal (C-terminal) end of a protein or peptide. Contrast with an aminopeptidase, which cleaves peptide bonds at the other end of the protein. Humans, animals, and plants contain several types of carboxypeptidases that have diverse functions ranging from catabolism to protein maturation. Carboxypeptidases function involved in the indigestion of food, biosynthesis of neuroendocrine peptides, blood clotting, growth factor production, wound healing, reproduction, and many other processes.
Carboxypeptidase Inhibitors & Modulators

2-PMPA
(2-(Phosphonomethyl)pentanedioic acid) Cat. No.: HY-100788

Bioactivity: 2-PMPA is a potent and selective inhibitor of glutamate carboxypeptidase II (GCPII) with an IC\(_{50}\) of 300 pM.

Purity: 99.67%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Carboxypeptidase G2 (CPG2) Inhibitor
(CPG2 Inhibitor) Cat. No.: HY-70003

Bioactivity: Carboxypeptidase G2 (CPG2) Inhibitor is a novel Carboxypeptidase G2 (CPG2) Inhibitor, Antitumor agents.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg

CPA inhibitor
(carboxypeptidase inhibitor) Cat. No.: HY-70005

Bioactivity: CPA inhibitor is a potent inhibitor for carboxypeptidase A (CPA).

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in Water, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
Cathepsin

Cathepsins are proteases (enzymes that degrade proteins) found in all animals as well as other organisms. The cathepsin family of proteolytic enzymes contains several diverse classes of proteases. Most of the members become activated at the low pH found in lysosomes. The activity of this family lies almost entirely within those organelles. Cathepsins have a vital role in mammalian cellular turnover, e.g. bone resorption. They degrade polypeptides and are distinguished by their substratespecificities. Classification: Cathepsin A, Cathepsin B, Cathepsin C, Cathepsin D, Cathepsin E, Cathepsin F, Cathepsin G, Cathepsin H, Cathepsin K, Cathepsin L1, Cathepsin L2, Cathepsin O, Cathepsin S, Cathepsin W, Cathepsin Z. Most cathepsins are lysosomal and each is involved in cellular metabolism, participating in various events such as peptide biosynthesis and protein degradation. Cathepsins may also cleave some protein precursors, thereby releasing regulatory peptides.
### Cathepsin Inhibitors & Modulators

#### Aloxistatin (E64d; E64c ethyl ester)  
**Cat. No.:** HY-100229  
**Bioactivity:** Aloxistatin (E64d) is a broad-spectrum cell-permeable cysteine protease inhibitor.  
**Purity:** 98.22%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg

#### Balicatib (AAE581)  
**Cat. No.:** HY-15100  
**Bioactivity:** Balicatib (AAE-581) is a potent and selective inhibitor of cathepsin K; 10-100 fold more potent in cell-based enzyme occupancy assays than against cathepsin B, L, and S.  
**Purity:** 97.23%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

#### CA-074  
**Cat. No.:** HY-103350  
**Bioactivity:** CA-074 is a potent inhibitor of cathepsin B with a $K_i$ of 2 to 5 nM.  
**Purity:** 98.92%  
**Clinical Data:** No Development Reported  
**Size:**

#### CA-074 methyl ester (CA-074Me)  
**Cat. No.:** HY-100350  
**Bioactivity:** CA-074 methyl ester is a specific inhibitor of Cathepsin B, which has potent bioactivities such as neuroprotective, anti-cancer, and anti-inflammatory effects.  
**Purity:** 98.40%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in Water, 1 mg, 5 mg, 10 mg, 25 mg

#### E-64  
**Cat. No.:** HY-15282  
**Bioactivity:** E-64 is a potent irreversible inhibitor against general cysteine proteases with $IC_{50}$ of 9 nM for papain.  
**Purity:** 98.45%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

#### Leupeptin hemisulfate  
**Cat. No.:** HY-18234A  
**Bioactivity:** Leupeptin hemisulfate is a reversible, competitive serine/cysteine protease inhibitor, which has been shown to inhibit cathepsins B, H, L, and S, calpain, and trypsin.  
**Purity:** 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in Water, 5 mg, 10 mg

#### LY 3000328  
**Cat. No.:** HY-15533  
**Bioactivity:** LY 3000328 is a potent and selective Cathepsin S (Cat S) inhibitor with $IC_{50}$ of 7.7±5.85 nM and 1.67±1.17 nM for hCat S and mCat S.  
**Purity:** 98.62%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

#### MK-0822  
**Cat. No.:** HY-50887  
**Bioactivity:** MK-0822 is an orally active, selective, and reversible cathepsin K inhibitor, used for treatment of post-menopausal osteoporosis.  
**Purity:** >98%  
**Clinical Data:** Phase 3  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

#### Odanacatib (MK-0822)  
**Cat. No.:** HY-10042  
**Bioactivity:** Odanacatib is a potent, selective, and neutral inhibitor of cathepsin K (human/rabbit) with $IC_{50}$ of 0.2 nM/1 nM, and demonstrates high selectivity versus off-target cathepsin B, L, S.  
**Purity:** 99.80%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

#### VBY-825  
**Cat. No.:** HY-15958  
**Bioactivity:** VBY-825 is a novel, reversible cathepsin inhibitor with high potency against cathepsins B, L, S and V.  
**Purity:** 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**Bioactivity:**  
Aloxistatin (E64d; E64c ethyl ester) is a broad-spectrum cell-permeable cysteine protease inhibitor.  

**Bioactivity:**  
Balicatib (AAE581) is a potent and selective inhibitor of cathepsin K; 10-100 fold more potent in cell-based enzyme occupancy assays than against cathepsin B, L, and S.  

**Bioactivity:**  
CA-074 is a potent inhibitor of cathepsin B with a $K_i$ of 2 to 5 nM.  

**Bioactivity:**  
CA-074 methyl ester is a specific inhibitor of Cathepsin B, which has potent bioactivities such as neuroprotective, anti-cancer, and anti-inflammatory effects.  

**Bioactivity:**  
E-64 is a potent irreversible inhibitor against general cysteine proteases with $IC_{50}$ of 9 nM for papain.  

**Bioactivity:**  
Leupeptin hemisulfate is a reversible, competitive serine/cysteine protease inhibitor, which has been shown to inhibit cathepsins B, H, L, and S, calpain, and trypsin.  

**Bioactivity:**  
LY 3000328 is a potent and selective Cathepsin S (Cat S) inhibitor with $IC_{50}$ of 7.7±5.85 nM and 1.67±1.17 nM for hCat S and mCat S.  

**Bioactivity:**  
MK-0822 is an orally active, selective, and reversible cathepsin K inhibitor, used for treatment of post-menopausal osteoporosis.  

**Bioactivity:**  
Odanacatib is a potent, selective, and neutral inhibitor of cathepsin K (human/rabbit) with $IC_{50}$ of 0.2 nM/1 nM, and demonstrates high selectivity versus off-target cathepsin B, L, S.  

**Bioactivity:**  
VBY-825 is a novel, reversible cathepsin inhibitor with high potency against cathepsins B, L, S and V.
CETP

Cholesteryl ester transfer protein (CETP) is a plasma glycoprotein that promotes reverse cholesterol transport via the exchange of cholesteryl ester (CE) and triglyceride (TG) among lipoproteins. CETP catalyses the exchange of cholesteryl ester and triglyceride between HDL and apoB containing lipoprotein particles. The role of CETP in modulating plasma HDL cholesterol levels in humans is well established and there have been significant efforts to develop CETP inhibitors to increase HDL cholesterol for the treatment of coronary artery disease.
CETP Inhibitors & Modulators

**Anacetrapib**  
(MK-0859)  
Cat. No.: HY-12090

Bioactivity: Anacetrapib is a potent CETP inhibitor, with $IC_{50}$ of 7.9 ± 2.5 nM and 11.8 ± 1.9 nM for rhCETP and C13S CETP mutant, respectively.

Purity: 99.35%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Dalcetrapib**  
(JTT-705; RO-4607381)  
Cat. No.: HY-14950

Bioactivity: Dalcetrapib (JTT-705; RO-4607381) is a rhCETP inhibitor with IC50 of 0.2 μM that increases the plasma HDL cholesterol.

Purity: 99.75%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Evacetrapib**  
(LY2484595)  
Cat. No.: HY-13327

Bioactivity: Evacetrapib is a potent and selective CETP inhibitor, which inhibits human recombinant CETP protein ($IC_{50}$ 5.5 nM) and CETP activity in human plasma ($IC_{50}$ 36 nM) in vitro.

Purity: 98.18%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Torcetrapib**  
(CP-529414)  
Cat. No.: HY-12089

Bioactivity: Torcetrapib (CP-529414) is a CETP inhibitor with IC50 of 37 nM, elevates HDL-C and reduces nonHDL-C in plasma.

Purity: 99.35%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
Catechol O-methyltransferase (COMT) is a ubiquitous bisubstrate magnesium-dependent enzyme found in plants, animals and microorganisms. COMT catalyses the transfer of a methyl group from S-adenosyl-L-methionine (SAM) to one of the hydroxyl oxygen atoms (preferentially the 3-hydroxyl) in a catechol substrate. Physiological substrates of COMT are catecholamine neurotransmitters such as dopamine, noradrenaline, adrenaline and their metabolites. COMT also methylates catecholic steroids such as 2-hydroxyestradiol as well as a range of other catecholic compounds including neuroactive drugs such as L-dopa, α-methylldopa and isoproterenol. COMT inhibition is a means of treating Parkinson’s disease, schizophrenia and depression. There are two isoforms of human COMT: soluble cytoplasmic COMT (S-COMT), which is mainly intracellular, and a membrane-bound form (MB-COMT), which has a single-span helix contained within a 50 amino acid extension at the N-terminus.

COMT is an enzyme that plays a major role in catechol neurotransmitter deactivation. Inhibition of COMT can increase neurotransmitter levels, which provides a means of treatment for Parkinson’s disease, schizophrenia and depression.
COMT Inhibitors & Modulators

**Entacapone**

*Cat. No.: HY-14280*

**Bioactivity:** Entacapone is a specific, potent, peripherally acting catechol-O-methyltransferase (COMT) inhibitor with IC50 of 151 nM for PD treatment.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>99.63%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**Entacapone sodium salt**

*Cat. No.: HY-14280A*

**Bioactivity:** Entacapone is a specific, potent, peripherally acting catechol-O-methyltransferase (COMT) inhibitor with IC50 of 151 nM for PD treatment.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>&gt;98%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**Flopropione**

*Cat. No.: HY-100562*

**Bioactivity:** Flopropione is a 5-HT1A receptor antagonist and also a catechol-o-methyltransferase (COMT) inhibitor.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>98.37%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td></td>
</tr>
</tbody>
</table>

**Opicapone**

*(BIA 9-1067)*

*Cat. No.: HY-14896*

**Bioactivity:** Opicapone is an available catechol-O-methyltransferase (COMT) inhibitor. Opicapone decreases the ATP content of the cells with IC50 values of 98 μM.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>98.80%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

**Serotonin hydrochloride (5-Hydroxytryptamine hydrochloride; 5-HT hydrochloride)**

*Cat. No.: HY-B1473*

**Bioactivity:** Serotonin hydrochloride is a monoamine neurotransmitter in the CNS and an endogenous 5-HT receptor agonist. Serotonin hydrochloride is also a catechol O-methyltransferase (COMT) inhibitor with a Ki of 44 μM.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>99.04%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td></td>
</tr>
</tbody>
</table>

**Tolcapone**

*(Ro 40-7592)*

*Cat. No.: HY-17406*

**Bioactivity:** Tolcapone (Ro 40-7592) is an orally active selective, potent catechol-O-methyltransferase (COMT) inhibitor.

<table>
<thead>
<tr>
<th>Purity:</th>
<th>99.52%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
Cytochrome P450

Cytochrome p450 comprises a superfamily of heme-thiolate proteins named for the spectral absorbance peak of their carbon-monoxide-bound species at 450 nm. Having been found in every class of organism, including Archaea, the p450 superfamily is believed to have originated from an ancestral gene that existed over 3 billion years ago. Repeated gene duplications have subsequently given rise to one of the largest of multigene families. These enzymes are notable both for the diversity of reactions that they catalyze and the range of chemically dissimilar substrates upon which they act. Cytochrome p450s support the oxidative, peroxidative and reductive metabolism of such endogenous and xenobiotic substrates as environmental pollutants, agrochemicals, plant allelochemicals, steroids, prostaglandins and fatty acids. In humans, Cytochrome p450s are best known for their central role in phase I drug metabolism where they are of critical importance to two of the most significant problems in clinical pharmacology: drug interactions and interindividual variability in drug metabolism.
### Cytochrome P450 Inhibitors & Modulators

#### (+)-Ketoconazole
- **Cat. No.:** HY-B0105A
- **Bioactivity:** (+)-Ketoconazole is an imidazole anti-fungal agent, a CYP3A4 inhibitor.
- **Purity:** >98%
- **Clinical Data:** Launched
- **Size:** 1 g, 5 g

#### (-)-Cephaeline dihydrochloride
- **Cat. No.:** HY-N2260
- **Bioactivity:** (-)-Cephaeline dihydrochloride is an enantiomer of Cephaeline dihydrochloride. Cephaeline dihydrochloride is a selective CYP2D6 inhibitor with an IC\textsubscript{50} of 121 μM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:**

#### 1-Aminobenzotriazole
- **Cat. No.:** HY-103389
- **Bioactivity:** 1-Aminobenzotriazole is a nonspecific and irreversible inhibitor of cytochrome P450 (P450).
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:**

#### 1-Ethynylnaphthalene
- **Cat. No.:** HY-111430
- **Bioactivity:** 1-Ethynylnaphthalene is a selective inhibitor of cytochrome P450 1B1.
- **Purity:** 98.76%
- **Clinical Data:** No Development Reported
- **Size:**

#### Abiraterone
- **Cat. No.:** HY-70013
- **Bioactivity:** Abiraterone is a potent, selective, and irreversible CYP17 inhibitor with an IC\textsubscript{50} of 2 to 4 nM.
- **Purity:** 99.61%
- **Clinical Data:** Launched
- **Size:** 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 2 g, 5 g

#### Abiraterone acetate
- **Cat. No.:** HY-75054
- **Bioactivity:** Abiraterone acetate is an oral, potent, selective, and irreversible inhibitor of CYP17.
- **Purity:** 99.92%
- **Clinical Data:** Launched
- **Size:** 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 2 g, 5 g

#### Antihistamine-1
- **Cat. No.:** HY-100238
- **Bioactivity:** Antihistamine-1 is a H\textsubscript{1}-antihistamine (K\textsubscript{i} = 6.9 nM) with acceptable blood-brain barrier penetration and also an inhibitor of CYP2D6 and hERG channel with an IC\textsubscript{50} of 5.4 and 0.8 μM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:**

#### Apigenin
- **Cat. No.:** HY-N1201
- **Bioactivity:** Apigenin is a competitive CYP2C9 inhibitor with a K\textsubscript{i} of 2 μM.
- **Purity:** 98.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

#### Bergapten
- **Cat. No.:** HY-N0370
- **Bioactivity:** Bergapten is a natural anti-inflammatory and anti-tumor agent isolated from bergamot essential oil, other citrus essential oils and grapefruit juice. Bergapten is inhibitory towards mouse and human CYP isoforms.
- **Purity:** >98%
- **Clinical Data:** Phase 3
- **Size:** 10 mM x 1 mL in DMSO, 1 g, 5 g

#### Bergaptol
- **Cat. No.:** HY-76316
- **Bioactivity:** Bergaptol A is a hydroxylated psoralen that acts as a potent inhibitors of debenzylolation activity of CYP3A4 enzyme with an IC\textsubscript{50} value of 24
- **Purity:** 99.28%
- **Clinical Data:** No Development Reported
- **Size:** 500 mg
BI 689648

Bioactivity: BI 689648 is a novel, highly selective aldosterone synthase inhibitor which can inhibit CYP11B1 and CYP11B2 with IC_{50} values of 310 and 2.1 nM, respectively.

Purity: 99.20%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO, 500 μg, 1 mg, 5 mg, 10 mg, 20 mg

BMS-819881

Bioactivity: BMS-819881 is a melaninconcentrating hormone receptor 1 (MCHR1) antagonist, which binds rat MCHR1 with a K_{i} of 7 nM. BMS-819881 also is selective and potent for CYP3A4 activity with an EC_{50} of 13 μM.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Bucolome

(Paramidin; Paramidine)

Bioactivity: Bucolome is a CYP2C9 inhibitor, used as an uricosuric agent or anti-inflammatory agent.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Carbosulfan

Bioactivity: Carbosulfan inhibited relatively potently CYP3A4 and moderately CYP1A1/2 and CYP2C19 in pooled HLM (human livers). Carbosulfan activation is predominantly catalyzed in humans by CYP3A4.

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

CDD3505

Bioactivity: CDD3505 is used for elevating high density lipoprotein cholesterol (HDL) by inducing hepatic cytochrome P450IIIIA (CYP3A) activity.

Purity: 95.0%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

CDD3506

Bioactivity: CDD3506 is used for elevating high density lipoprotein cholesterol (HDL) by inducing hepatic cytochrome P450IIIA (CYP3A) activity.

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Cecropin B

Bioactivity: Cecropin B can inhibit the expression of CYP3A29

Purity: 98.12%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in Water, 500 μg, 1 mg, 5 mg, 10 mg

Chlorzoxazone

Bioactivity: Chlorzoxazone is a centrally acting muscle relaxant used to treat muscle spasm and the resulting pain or discomfort. It acts on the spinal cord by depressing reflexes. Chlorzoxazone is currently being used as a marker substrate in vitro/vivo studies to quantify cytochrome P450 2E1 (CYP2E1) activity in humans.

Purity: 99.39%
Clinical Data: Launched
Size: 10 mM x 1 mL in DMSO, 5 g

Choline Fenofibrate

(ABT-335)

Bioactivity: Choline Fenofibrate (ABT-335) is the choline salt of fenofibric acid under clinical development as a combination therapy with rosuvastatin for the management of dyslipidemia.

Purity: 99.81%
Clinical Data: Launched
Size: 10 mM x 1 mL in DMSO, 10 mg, 100 mg
<table>
<thead>
<tr>
<th><strong>Clarithromycin</strong></th>
<th><strong>Cat. No.: HY-17508</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Clarithromycin is a macrolide antibiotic and a CYP3A4 inhibitor</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Cobicistat</strong> (GS-9350)</th>
<th><strong>Cat. No.: HY-10493</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cobicistat is a potent, and selective inhibitor of cytochrome P450 3A (CYP3A) enzymes with IC$_{50}$ of 30-285 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>D4-abiraterone (Δ4-Abiraterone; CB-7627; Abiraterone D4a metabolite)</strong></th>
<th><strong>Cat. No.: HY-109619</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>D4-abiraterone is a major metabolite of abiraterone. D4-abiraterone is an inhibitor of CYP17A1. 3b-hydroxysteroid dehydrogenase (3BHSD) and steroid-5a-reductase (SRD5A) and also an antagonist of androgen receptor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.42%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Dafadine-A</strong></th>
<th><strong>Cat. No.: HY-16670</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Dafadine-A, an analog of dafadine, is a novel inhibitor of DAF-9 cytochrome P450 in the nematode Caenorhabditis elegans; also inhibits the mammalian ortholog of DAF-9(CYP27A1).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Dihydromethysticin ((+)-Dihydromethysticin)</strong></th>
<th><strong>Cat. No.: HY-N0921</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Dihydromethysticin is one of the six major kavalactones found in the kava plant; has marked activity on the induction of CYP3A23.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>5 mg, 10 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Diosmetin</strong></th>
<th><strong>Cat. No.: HY-N0125</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Diosmetin is a natural flavonoid which inhibits human CYP1A enzyme activity with an IC$_{50}$ of 40 μM in HepG2 cell.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.45%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Fenofibrate</strong></th>
<th><strong>Cat. No.: HY-17356</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Fenofibrate is a relatively potent inhibitor of CYP2C19 (IC$<em>{50}$=0.2 μM) and CYP2B6 (IC$</em>{50}$=0.7 μM). Fenofibrate is also a well-known PPARα agonist (IC$_{50}$=30 μM).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.92%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 g, 10 g</td>
</tr>
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</table>

<table>
<thead>
<tr>
<th><strong>Furafylline</strong></th>
<th><strong>Cat. No.: HY-107204</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Furafylline is a potent and selective inhibitor of human cytochrome P450IA2 with an IC$_{50}$ of 0.07 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.70%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
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<tr>
<td><strong>Size:</strong></td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Galangin (Norizalpinin; 3,5,7-Trihydroxyflavone)</strong></th>
<th><strong>Cat. No.: HY-N0382</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Galangin is an agonist/antagonist of the arylhydrocarbon receptor, and also shows inhibition of CYP1A1 activity.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.88%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>Gemfibrozil (CI-719)</strong></th>
<th><strong>Cat. No.: HY-B0258</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Gemfibrozil is an activator of PPAR-α, used as a lipid-lowering drug; also a nonselective inhibitor of several P450 isoforms, with K$_{i}$ values for CYP2C9, 2C19, 2C8, and 1A2 of 5.8, 24, 69, and 82 μM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.91%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td><strong>Bioactivity</strong></td>
<td><strong>Cat. No.</strong></td>
</tr>
<tr>
<td>----------------</td>
<td>-------------</td>
</tr>
<tr>
<td>Isavuconazole (BAL-4815; RO-0094815)</td>
<td>HY-14273</td>
</tr>
<tr>
<td>Isavuconazole is a moderate inhibitor of CYP3A4 and a water-soluble triazole with broad-spectrum antifungal activity.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.99%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 3</td>
<td></td>
</tr>
<tr>
<td>Size: 10mM x 1mL in DMSO, 1 mg, 5 mg</td>
<td></td>
</tr>
<tr>
<td><strong>Ketoconazole</strong></td>
<td>HY-80105</td>
</tr>
<tr>
<td>Ketoconazole is an imidazole anti-fungal agent, a CYP3A4 and CYP24A1 inhibitor</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.67%</td>
<td></td>
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<tr>
<td>Clinical Data: Launched</td>
<td></td>
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<tr>
<td>Size: 10mM x 1mL in DMSO, 1 g, 5 g</td>
<td></td>
</tr>
<tr>
<td><strong>Memantine hydrochloride</strong></td>
<td>HY-B0365A</td>
</tr>
<tr>
<td>Memantine, an amantadine derivative with low to moderate-affinity for NMDA receptors, inhibit CYP2B6 and CYP2D6 with Kᵢ of 0</td>
<td></td>
</tr>
<tr>
<td>Purity: 98.0%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Launched</td>
<td></td>
</tr>
<tr>
<td>Size: 10mM x 1mL in DMSO, 1 g</td>
<td></td>
</tr>
<tr>
<td><strong>Metyrapone</strong></td>
<td>HY-81232</td>
</tr>
<tr>
<td>Metyrapone is an inhibitor of cytochrome P450-mediated ω/ω-1 hydroxylase activity and CYP11B1</td>
<td></td>
</tr>
<tr>
<td>Purity: 98.0%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Launched</td>
<td></td>
</tr>
<tr>
<td>Size: 10mM x 1mL in Water, 500 mg</td>
<td></td>
</tr>
<tr>
<td><strong>Orteronel</strong></td>
<td>HY-10505</td>
</tr>
<tr>
<td>Orteronel is a highly selective inhibitor of human 17,20-lyase with IC₅₀ of 38 nM, and exhibits &gt;1000-fold selectivity over other CYPs such as 11-hydroxylase and CYP3A4.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.75%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 3</td>
<td></td>
</tr>
<tr>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>
### Revexepride

**Cat. No.:** HY-U00373

**Bioactivity:** Revexepride is a highly selective 5-HT4 receptor agonist, and a potential inducer of CYP3A4 enzyme, used for the treatment of gastroesophageal reflux disease.

<table>
<thead>
<tr>
<th>Purity</th>
<th>&gt;98%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

### RG-12525

**(NID 525)**

**Cat. No.:** HY-101676

**Bioactivity:** RG-12525 is a specific, competitive and orally effective antagonist of the peptidoleukotrienes, LTC4, LTD4 and LTE4. Also a peroxisome proliferator-activated receptor gamma (PPAR-gamma) agonist with IC50 of appr 60 nM and a potent inhibitor of CYP3A4, with a K<sub>i</sub> > 98%.

<table>
<thead>
<tr>
<th>Purity</th>
<th>&gt;98%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
</tbody>
</table>

### Stiripentol

**(BCX2600)**

**Cat. No.:** HY-103392

**Bioactivity:** Stiripentol (STP) is an anticonvulant agent, which can inhibit N-demethylation of CLB to NCLB mediated by CYP3A4 (noncompetitively) and CYP2C19 (competitively) with K<sub>i</sub> of 1.59±0.07 and 0.516±0.065 μM and IC<sub>50</sub> of 1.58 and 3.29 μM, respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.97%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>Launched</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

### Talarozole

**(R115866)**

**Cat. No.:** HY-14531

**Bioactivity:** Talarozole is a potent inhibitor of both CYP26A1 and CYP26B1, with IC<sub>50</sub> of 0.46 nM and 5.1 nM for CYP26B1 and CYP26A1, respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.54%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Timalozole R enantiomer

((R)-Talarozole)

**Cat. No.:** HY-14802

**Bioactivity:** Timalozole R enantiomer is a potent and selective inhibitor of cytochrome P450 26-mediated breakdown of endogenous all-trans retinoic acid for the treatment of psoriasis and acne.

<table>
<thead>
<tr>
<th>Purity</th>
<th>&gt;98%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

### Tebuconazole

**(RN/124-1; Galeterone; RN 124)**

**Cat. No.:** HY-B0852

**Bioactivity:** Tebuconazole is an agricultural azole fungicide which can also inhibit CYP51 with IC<sub>50</sub> of 0.9 and 1.3 μM for Candida albicans CYP51 (CaCYP51) and truncated Homo sapiens CYP51 (Δ60HsCYP51), respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.38%</th>
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</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
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<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### TMS

((E)-2,3',4,5'-tetramethoxystilbene)

**Cat. No.:** HY-19340

**Bioactivity:** TMS is a very selective and potent competitive inhibitor of P450 1B1 (CYP1A1).

<table>
<thead>
<tr>
<th>Purity</th>
<th>98.67%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### TOK-001

**(VN/124-1; Galeterone; VN 124)**

**Cat. No.:** HY-70006

**Bioactivity:** TOK-001 is a multifunctional antiandrogen and CYP17 inhibitor IC<sub>50</sub> =47 nM) in castration resistant prostate cancer (CRPC).

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.14%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>Phase 3</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Veledimex

**(INXN-1001; RG-115932)**

**Cat. No.:** HY-16785

**Bioactivity:** Veledimex is an oral activator ligand for a proprietary gene therapy promoter system, and a moderate inhibitor of and substrate for CYP3A4/5.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.09%</th>
</tr>
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<tbody>
<tr>
<td>Clinical Data</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

### Veledimex racemate

**(RG-115932 racemate; INXN-1001 racemate)**

**Cat. No.:** HY-16785A

**Bioactivity:** Veledimex racemate is the racemate of veledimex. Veledimex is an orally available, small-molecule activator ligand for the RheoSwitch Therapeutic System.

<table>
<thead>
<tr>
<th>Purity</th>
<th>97.82%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

---

**52** Tel: 4008203792  Fax: 021-53700325  Email: sales@MedChemExpress.cn
**Veledimex S enantiomer**
(INXN-1001 S enantiome; RG-115932 S enantiome)  
Cat. No.: HY-16785B

*Bioactivity:* Veledimex S enantiomer is the S enantiomer of veledimex. Veledimex is an oral activator ligand for a proprietary gene therapy promoter system, and a moderate inhibitor of and substrate for CYP3A4/5.

**Purity:** 99.52%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Veledimex**
(Seviteronel)  
Cat. No.: HY-15996

*Bioactivity:* VT-464 is a potent CYP17 lyase inhibitor(h-Lyase IC50=69 nM) that demonstrated both exceptional in vitro lyase/hydroxylase selectivity (~10-fold) and oral activity in a hamster model of androgen biosynthesis inhibition.

**Purity:** 99.11%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**VT-464 R enantiomer**
(Seviteronel R enantiomer)  
Cat. No.: HY-15996A

*Bioactivity:* VT-464 R enantiomer is the R enantiomer of VT-464, which is a potent CYP17 lyase inhibitor(h-Lyase IC50=69 nM); VT-464 R enantiomer's activity is unknown.

**Purity:** 98.70%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**VT-464 racemate**
(Seviteronel racemate)  
Cat. No.: HY-15996B

*Bioactivity:* VT-464 racemate is the racemate form of VT-464, which is a potent CYP17 lyase inhibitor(h-Lyase IC50=69 nM) inhibition.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
Dipeptidyl Peptidase (DPP) is an antigenic enzyme expressed on the surface of most cell types and is associated with immune regulation, signal transduction and apoptosis. DPP is an intrinsic membrane glycoprotein and a serine exopeptidase that cleaves X-proline dipeptides from the N-terminus of polypeptides. The substrates of DPP are proline-containing peptides and include growth factors, chemokines, neuropeptides, and vasoactive peptides. DPP plays a major role in glucose metabolism. DPP is responsible for the degradation of incretins such as GLP-1. Furthermore, DPP appears to work as a suppressor in the development of cancer and tumors. DPP plays an important role in tumor biology, and is useful as a marker for various cancers, with its levels either on the cell surface or in the serum increased in some neoplasms and decreased in others.
Dipeptidyl Peptidase Inhibitors & Modulators

**Alogliptin** (SYR-322)  
Cat. No.: HY-A0023A

**Bioactivity:** Alogliptin (SYR-322) is a potent, selective inhibitor of DPP-4 with IC50 of <10 nM, exhibits greater than 10,000-fold selectivity over DPP-8 and DPP-9.

**Purity:** >98%
**Clinical Data:** Launched
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

---

**Alogliptin Benzoate** (SYR 322)  
Cat. No.: HY-A0023

**Bioactivity:** Alogliptin benzoate (SYR 322) is a potent, selective inhibitor of DPP-4 with IC50 of <10 nM, exhibits greater than 10,000-fold selectivity over DPP-8 and DPP-9.

**Purity:** 99.93%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Anagliptin** (SK-0403)  
Cat. No.: HY-14877

**Bioactivity:** Anagliptin is a potent and selective DPP-4 inhibitor (IC50 = 3.8 nM); > 10 fold less potent for DPP-8 and DPP-9.

**Purity:** 98.05%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

---

**AZD7986**  
Cat. No.: HY-101056

**Bioactivity:** AZD7986 is a Dipeptidyl peptidase 1 (DPP1) inhibitor with pIC50 of 6.85, 7.6, 7.7, 7.8, and 7.8 in human, mouse, rat, dog and rabbit, respectively.

**Purity:** >98%
**Clinical Data:** Phase 1
**Size:**

---

**DBPR108**  
Cat. No.: HY-12528

**Bioactivity:** DBPR108 is a potent, selective, and orally bioavailable dipeptide-derived inhibitor of DPP4 with IC50 of 15 nM; no inhibition on DDP8 and DPP9.

**Purity:** >98%
**Clinical Data:** Phase 1
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**DPP-IV-IN-2**  
Cat. No.: HY-108319

**Bioactivity:** DPP-IV-IN-2 is an inhibitor of both dipeptidyl peptidase IV (DPIV) and DPB/9 with IC50 of 0.1 and 0.95 μM, respectively.

**Purity:** 98.0%
**Clinical Data:** No Development Reported
**Size:**

---

**Dutogliptin**  
Cat. No.: HY-10286

**Bioactivity:** Dutogliptin is an orally available, potent, and selective dipeptidyl peptidase-4 (DPP4) inhibitor.

**Purity:** >98%
**Clinical Data:** Phase 3
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

**Gosogliptin** (PF-00734200; PF-734200)  
Cat. No.: HY-10287

**Bioactivity:** Gosogliptin is a potent and selective inhibitor of dipeptidyl peptidase-IV (DPP-IV).

**Purity:** >98%
**Clinical Data:** Phase 3
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

**Linagliptin** (BI 1356)  
Cat. No.: HY-10284

**Bioactivity:** Linagliptin is a highly potent, selective DPP-4 inhibitor with IC50 of 1 nM.

**Purity:** 99.62%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 250 mg, 1 g

---

**Omarigliptin** (MK-3102)  
Cat. No.: HY-15981

**Bioactivity:** Omarigliptin (MK-3102) is a potent, selective and long-acting DPP-4 inhibitor with IC50 of 1.6 nM; highly selective over all proteases tested (IC50 > 67 μM).

**Purity:** 99.91%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg
Prodipine hydrochloride (Cat. No.: HY-101605)

Bioactivity: Prodipine, a diphenyl-phosphonate derivative. The IC\textsubscript{50} of Prodipine for purified and plasma Dipeptidyl peptidase IV (DPP IV) from the rabbit are 4.5 μM and 30 μM, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Saxagliptin (BMS-477118) (Cat. No.: HY-10285)

Bioactivity: Saxagliptin is a selective and reversible DPP4 inhibitor with IC50 of 26 nM and Ki of 1.3 nM.

Purity: 98.03%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Saxagliptin hydrate (BMS-477118 hydrate) (Cat. No.: HY-10285A)

Bioactivity: Saxagliptin H2O(BMS477118 H2O) is a selective and reversible DPP4 inhibitor with IC50 of 26 nM and Ki of 1.3 nM.

Purity: >98%
Clinical Data: Launched
Size: 10 mg, 50 mg, 100 mg

Sitagliptin (MK0431) (Cat. No.: HY-13749)

Bioactivity: Sitagliptin is a potent inhibitor of DPP4 with IC\textsubscript{50} of 19 nM in Caco-2 cell extracts.

Purity: 99.72%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg, 200 mg

Sitagliptin phosphate (MK0431 phosphate) (Cat. No.: HY-13749A)

Bioactivity: Sitagliptin phosphate is a potent inhibitor of DPP4 with IC\textsubscript{50} of 19 nM in Caco-2 cell extracts

Purity: >98%
Clinical Data: Launched
Size: 100 mg, 200 mg

Sitagliptin phosphate monohydrate (MK-0431 phosphate monohydrate) (Cat. No.: HY-13749B)

Bioactivity: Sitagliptin phosphate monohydrate is a potent inhibitor of DPP4 with IC\textsubscript{50} of 19 nM in Caco-2 cell extracts

Purity: 99.72%
Clinical Data: Launched
Size: 10mM x 1mL in Water, 100 mg, 200 mg

Talabostat (PT100) (Cat. No.: HY-13233)

Bioactivity: Talabostat (PT100, Val-boroPro) is a potent, nonselective and orally available Dipeptidyl peptidase IV (DPP-IV) inhibitor with a K\textsubscript{i} of 0.18 nM.

Purity: >98%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Teneligliptin (Cat. No.: HY-14806)

Bioactivity: Teneligliptin is a potent chemotype prolylthiazolidine-based DPP-4 inhibitor, which competitively inhibits human plasma, rat plasma, and human recombinant DPP-4 in vitro, with IC\textsubscript{50} of approximately 1 nM.

Purity: 99.82%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 250 mg

Teneligliptin hydrobromide (Teneligliptin) (Cat. No.: HY-14806A)

Bioactivity: Teneligliptin hydrobromide is a potent chemotype prolylthiazolidine-based DPP-4 inhibitor, which competitively inhibits human plasma, rat plasma, and human recombinant DPP-4 in vitro, with IC\textsubscript{50} of approximately 1 nM.

Purity: 99.99%
Clinical Data: Launched
Size: 10mM x 1mL in Water, 10 mg, 50 mg, 100 mg, 250 mg

Bioactivity: Prodipine hydrochloride
Cat. No.: HY-101605

Bioactivity: Saxagliptin hydrate
Cat. No.: HY-10285A

Bioactivity: Sitagliptin phosphate monohydrate
Cat. No.: HY-13749B

Bioactivity: Talabostat mesylate
Cat. No.: HY-13233A

Bioactivity: Teneligliptin hydrobromide
Cat. No.: HY-14806A
Trelagliptin
(SYR-472)
Cat. No.: HY-15408

Bioactivity: Trelagliptin (SYR-472) is a long acting dipeptidyl peptidase-4 (DPP-4) inhibitor that is being developed for the treatment of type 2 diabetes (T2D).

Purity: 99.89%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Trelagliptin succinate
(SYR-472 succinate)
Cat. No.: HY-15408A

Bioactivity: Trelagliptin (SYR-472) is a long acting dipeptidyl peptidase-4 (DPP-4) inhibitor that is being developed for the treatment of type 2 diabetes (T2D).

Purity: 99.89%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

UAMC00039 dihydrochloride
Cat. No.: HY-101769

Bioactivity: UAMC00039 dihydrochloride is a potent, reversible and competitive dipeptidyl peptidase II inhibitor with an IC₅₀ of 0.48 nM.

Purity: 98.44%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Vildagliptin
(LAF237; NVP-LAF 237)
Cat. No.: HY-14291

Bioactivity: Vildagliptin (LAF237; NVP-LAF 237) inhibits DPP-4 with IC₅₀ of 2

Purity: 97.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Vildagliptin dihydrate
(LAF237 dihydrate; NVP-LAF 237 dihydrate)
Cat. No.: HY-14291A

Bioactivity: Vildagliptin (LAF237 dihydrate; NVP-LAF 237 dihydrate) is a dipeptidyl peptidase 4 (DPP4) inhibitor that delays the degradation of glucagon-like peptide-1 (GLP-1).

Purity: >98%
Clinical Data: No Development Reported
Size: No specified size information
Dopamine β-hydroxylase is an enzyme that in humans is encoded by the DBH gene. Dopamine β-monooxygenase catalyzes the chemical reaction with 3 substrates of 3,4-dihydroxyphenethylamine, ascorbate, and O$_2$, whereas its 3 products are noradrenaline, dehydroascorbate, and H$_2$O. Dopamine β-hydroxylase belongs to the family of oxidoreductases, specifically those acting on paired donors, with O$_2$ as oxidant and incorporation or reduction of oxygen. Dopamine β-hydroxylase participates in tyrosine metabolism. Dopamine β-hydroxylase has 3 cofactors: copper, PQQ, and Fumarate. Dopamine β-hydroxylase is in the catecholamine biosynthetic pathway. Dopamine β-hydroxylase has been shown to be associated with decision making and addictive behaviors such as alcohol and smoking, attention deficit hyperactivity disorder, and also with neurological diseases such as Schizophrenia and Alzheimer’s.
Dopamine β-hydroxylase Inhibitors & Modulators

Nepicastat (SYN117; RS-25560-197)

Cat. No.: HY-13289

Bioactivity: Nepicastat (SYN117; RS-25560-197) is a dopamine beta-hydroxylase inhibitor with IC50 of 8.5 ± 0.8 and 9.0 ± 0.8 nM for bovine and human, respectively.

Purity: >98%
Clinical Data: Phase 2
Size: 5 mg, 10 mg, 50 mg

Nepicastat hydrochloride (SYN-117 hydrochloride; RS-25560-197 hydrochloride)

Cat. No.: HY-13289A

Bioactivity: Nepicastat HCl(SYN117 HCl; RS-25560-197 HCl) is a dopamine beta-hydroxylase inhibitor with IC50 of 8.5 ± 0.8 and 9.0 ± 0.8 nM for bovine and human, respectively.

Purity: 99.74%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
Ubiquitin (UB) is a protein modifier that regulates many essential cellular processes. To initiate protein modification by UB, the E1 enzyme activates the C-terminal carboxylate of UB to launch its transfer through the E1-E2-E3 cascade onto target proteins. The E1 enzyme is the activating enzyme, to which ubiquitin is attached in an ATP-dependent reaction by a thioester bond. The E2 enzyme is the conjugating enzyme, to which the ubiquitin is transferred from the E1. The E3 is the ubiquitin ligase, which directly or indirectly catalyzes the transfer of the ubiquitin to the target protein (the substrate), with the formation of an isopeptide bond.
E1/E2/E3 Enzyme Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>CC0651</strong></td>
<td>HY-15301</td>
<td>CC0651 is an allosteric inhibitor of the human Cdc34 ubiquitin-conjugating enzyme. CC0651 potently (IC$_{50}$=1.72 μM) inhibits the ubiquitination of p27^Kip1, as confirmed by dose-response analysis.</td>
<td>99.30%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td><strong>DKM 2-93</strong></td>
<td>HY-101836</td>
<td>DKM 2-93 is a relatively selective inhibitor of UBA5 with an IC$_{50}$ of 430 μM.</td>
<td>98.87%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg</td>
</tr>
<tr>
<td><strong>NSC232003</strong></td>
<td>HY-103236</td>
<td>NSC232003 is a highly potent and cell-permeable UHRF1 inhibitor, which inhibits DNA methylation in vitro and disrupts DNMT1/UHRF1 interactions at a cellular level.</td>
<td>98.09%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>PRT4165</strong></td>
<td>HY-19817</td>
<td>PRT4165 is a potent inhibitor of PRC1 (Polycomb-repressive complex 1)-mediated H2A ubiquitylation.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>PYR-41</strong></td>
<td>HY-13296</td>
<td>PYR-41 is a specific and cell permeable inhibitor of ubiquitin-activating enzyme E1, with an IC$_{50}$ of &lt; 10 μM, with no or little activity at E2.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>SZL P1-41</strong></td>
<td>HY-16661</td>
<td>Skp2 Inhibitor C1 (SKPin C1) is a specific small molecule inhibitor of Skp2-mediated p27 degradation, selectively inhibited Skp2-mediated p27 degradation by reducing p27 binding through key compound-receptor contacts.</td>
<td>98.80%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

Bioactivity:
- **CC122 (Avadomide)**: CC-122 is a novel agent for DLBCL with antitumor and immunomodulatory activity.
- **Ginkgolic Acid** (Ginkgolic acid (15:1); Ginkgolic acid I; Romanicardic acid): Ginkgolic Acid is a natural compound with suspected cytotoxic, allergenic, mutagenic and carcinogenic properties, and it can inhibit protein SUMOylation both in vitro and in vivo without affecting in vivo ubiquitination.
- **PRT4165 (NSC600157)**: PRT4165 is a potent inhibitor of PRC1 (Polycomb-repressive complex 1)-mediated H2A ubiquitylation.
- **PYZD-4409**: PYZD-4409 is a novel small molecule inhibitor of Ubiquitin-activating enzyme UBA1/E1 enzyme with an IC50 of 20 uM (cell-free enzymatic assay).
- **Skp2 Inhibitor C1 (SKPin C1)**: Skp2 Inhibitor C1 is a specific small molecule inhibitor of Skp2-mediated p27 degradation, selectively inhibited Skp2-mediated p27 degradation by reducing p27 binding through key compound-receptor contacts.
- **SZL P1-41**: SZL P1-41 is Skp2 inhibitor, selectively suppresses Skp2 E3 ligase activity, but not activity of other SCF complexes.
### TZ9

**Cat. No.:** HY-18643

<table>
<thead>
<tr>
<th>Property</th>
<th>Details</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity</strong></td>
<td>TZ9 is a novel inhibitor of Rad6 ubiquitin conjugating enzyme (E2 enzyme); inhibits MDA-MB-231 cell proliferation with IC50 of ~6 uM.</td>
</tr>
<tr>
<td><strong>Purity</strong></td>
<td>99.17%</td>
</tr>
<tr>
<td><strong>Clinical Data</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size</strong></td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

Tel: 4008203792  Fax: 021-53700325  Email: sales@MedChemExpress.cn
Elastases are proteinases capable of solubilizing fibrous elastin. Elastases may belong to the class of serine proteinases, cysteine proteinases and metalloproteinases. Mammalian elastases occur mainly in the pancreas and the phagocytes. Among non-mammalian elastases there is a great variety of bacterial metallo and serine elastases. The elastolytic activity varies from one elastase to another and is usually not correlated with the catalytic efficiency of these proteinases. One may measure this activity using native or labelled elastins. With pure elastases one may use synthetic substrates. There is a large number of natural (proteins) and synthetic elastase inhibitors. Elastases play a pathologic role in pulmonary emphysema, cystic fibrosis, infections, inflammation and atherosclerosis.
### Elastase Inhibitors & Modulators

| **Alvelestat**  
| (AZD9668)  
| **Cat. No.**: HY-15651 |
| **Bioactivity**: Alvelestat (AZD9668) is a novel, oral inhibitor of neutrophil elastase (NE) with the pIC50 of 7.9 for Human NE. |
| **Purity**: 99.10%  
| **Clinical Data**: Phase 2  
| **Size**: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **GW331616**  
| **Cat. No.**: HY-15891 |
| **Bioactivity**: GW331616 is a potent, intracellular, orally bioavailable, long duration inhibitor of human neutrophil elastase (HNE) with IC50 of 22 nM, free base form of GW331616A. |
| **Purity**: 99.30%  
| **Clinical Data**: No Development Reported  
| **Size**: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **Lodelaben**  
| (SC-39026; Declaben)  
| **Cat. No.**: HY-100240 |
| **Bioactivity**: Lodelaben is a human neutrophil elastase inhibitor with an \( IC_{50} \) and \( K_i \) of 0.5 and 1.5 \( \mu \)M, respectively. |
| **Purity**: >98%  
| **Clinical Data**: No Development Reported  
| **Size**: |

| **Sivelestat**  
| (EI546; LY544349; ONO5046)  
| **Cat. No.**: HY-17443 |
| **Bioactivity**: Sivelestat (ONOS046; LY544349; EI546) is a competitive inhibitor of human neutrophil elastase (IC50 = 44 nM; Ki=200 nM); also inhibited leukocyte elastase obtained from rabbit, rat, hamster and mouse. |
| **Purity**: 98.54%  
| **Clinical Data**: Launched  
| **Size**: 10 mg, 50 mg |

| **Sivelestat sodium**  
| (ONOS046-Na; Sodium sivelestat; EI546 sodium; LY544349 sodium)  
| **Cat. No.**: HY-17443A |
| **Bioactivity**: Sivelestat sodium (ONOS046; LY544349; EI546) is a competitive inhibitor of human neutrophil elastase (IC50 = 44 nM; Ki=200 nM); also inhibited leukocyte elastase obtained from rabbit, rat, hamster and mouse. |
| **Purity**: >98%  
| **Clinical Data**: Launched  
| **Size**: 10 mg, 50 mg |

| **Sivelestat sodium tetrahydrate**  
| (EI546 sodium tetrahydrate; LY544349 sodium tetrahydrate; ONOS046 sodium tetrahydrate)  
| **Cat. No.**: HY-17443B |
| **Bioactivity**: Sivelestat (ONOS046; LY544349; EI546) is a competitive inhibitor of human neutrophil elastase (IC50 = 44 nM; Ki=200 nM); also inhibited leukocyte elastase obtained from rabbit, rat, hamster and mouse. |
| **Purity**: 98.0%  
| **Clinical Data**: Launched  
| **Size**: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |

| **ZD8321**  
| **Cat. No.**: HY-U00256 |
| **Bioactivity**: ZD8321 is a potent inhibitor of human neutrophil elastase (NE) with a \( K_i \) of 1.3±1.7 nM. |
| **Purity**: >98%  
| **Clinical Data**: No Development Reported  
| **Size**: 1 mg, 5 mg, 10 mg |

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**Tel**: 4008203792  
**Fax**: 021-53700325  
**Email**: sales@MedChemExpress.cn
Enolase (phosphopyruvate hydratase) is a metalloenzyme responsible for the catalysis of the conversion of 2-phosphoglycerate (2-PG) to phosphoenolpyruvate (PEP), the ninth and penultimate step of glycolysis. Enolase belongs to the class Lyase. Enolase can also catalyze the reverse reaction, depending on environmental concentrations of substrates. The optimum pH for this enzyme is 6.5. Enolase is present in all tissues and organisms capable of glycolysis or fermentation. Small-molecule inhibitors of enolase have been synthesized as chemical probes of the catalytic mechanism of the enzyme. The most potent of inhibitors is phosphonoacetohydroxamate, which in its unprotonated form has pM affinity for the enzyme. It has structural similarity to the presumed catalytic intermediate, between PEP and 2-PG. Attempts have been made to use this inhibitor as an anti-trypanosome drug, and more recently, as an anti-cancer agent.
## Enolase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>AP-III-a4</th>
<th>AP-III-a4 hydrochloride</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>(ENOblock)</strong></td>
<td><strong>(ENOblock hydrochloride)</strong></td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>ENOblock(AP-III-a4) is a novel small molecule which is the first, nonsubstrate analogue that directly binds to enolase and inhibits its activity (IC50=0.576 uM); inhibit cancer cell metastasis in vivo.</td>
<td>ENOblock HCl(AP-III-a4 HCl) is a novel small molecule which is the first, nonsubstrate analogue that directly binds to enolase and inhibits its activity (IC50=0.576 uM); inhibit cancer cell metastasis in vivo.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>&gt;98%</td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td>No Development Reported</td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong></td>
</tr>
<tr>
<td>5 mg, 10 mg</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>
FAAH (Fatty acid amide hydrolase) is an integral membrane enzyme that degrades the fatty acid amide family of signaling lipids, including the endocannabinoid anandamide. Genetic or pharmacological inactivation of FAAH leads to analgesic, anti-inflammatory, anxiolytic, and antidepressant 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 treatment of pain, inflammation, and other central nervous system disorders.
## FAAH Inhibitors & Modulators

### BIA 10-2474

**Cat. No.:** HY-19740

**Bioactivity:** BIA 10-2474 is an inhibitor of fatty acid amide hydrolase (FAAH) with *IC*<sub>50</sub> values of 50 to 70mg/kg in various rat brain regions.

**Purity:** 98.82%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### Biochanin A

(4-Methylgenistein; Olmelin)

**Cat. No.:** HY-14595

**Bioactivity:** Biochanin A is a naturally occurring fatty acid amide hydrolase (FAAH) inhibitor, which inhibits FAAH with *IC*<sub>50</sub> values of 1.8, 1.4 and 2.4 μM for mouse, rat, and human FAAH, respectively.

**Purity:** 98.86%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 200 mg, 500 mg

### FAAH inhibitor 1

**Cat. No.:** HY-10862

**Bioactivity:** FAAH inhibitor 1 is a potent fatty acid amide hydrolase (FAAH) inhibitor with an IC<sub>50</sub> of 18±8 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 50 mg, 100 mg

### FAAH-IN-2

**Cat. No.:** HY-79511

**Bioactivity:** FAAH-IN-2 is a potent FAAH (fatty acid amide hydrolase) inhibitor extracted from Patent WO/2008/100977A2.

**Purity:** 96.16%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 g, 5 g

### JNJ-42165279

**Cat. No.:** HY-19636

**Bioactivity:** JNJ-42165279 is a FAAH inhibitor with IC<sub>50</sub> of 70 ± 8 nM and 313 ± 28 nM for hFAAH and rFAAH, respectively.

**Purity:** 99.96%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 1 mg, 10 mg, 50 mg, 100 mg

### JZL195

**Cat. No.:** HY-15250

**Bioactivity:** JZL195 is a selective and efficacious dual FAAH/MAGL inhibitor with IC<sub>50</sub> of 13 nM and 19 nM for mouse brain FAAH and MAGL respectively.

**Purity:** 99.31%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### LY2183240

**Cat. No.:** HY-10865

**Bioactivity:** LY2183240 is a novel and highly potent blocker of anandamide uptake (IC<sub>50</sub> = 270 pM)

**Purity:** 99.74%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

### PF-04457845

**Cat. No.:** HY-14376

**Bioactivity:** PF-04457845 is a highly efficacious and selective FAAH inhibitor with IC<sub>50</sub> values is 7.2±0.63 nM and 7.4±0.62 nM for hFAAH and rFAAH, respectively.

**Purity:** 99.09%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

### PF-3845

**Cat. No.:** HY-14380

**Bioactivity:** PF-3845 is a selective fatty acid amide hydrolase (FAAH) inhibitor (Ki = 0.23 μM); showing negligible activity against FAAH2.

**Purity:** 98.94%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### URB-597

(KDS-4103)

**Cat. No.:** HY-10864

**Bioactivity:** URB597 is a potent, orally bioavailable FAAH inhibitor with IC<sub>50</sub> of 4
Fatty acid-binding proteins (FABPs) are members of the intracellular lipid-binding protein (iLBP) family and are involved in reversibly binding intracellular hydrophobic ligands and trafficking them throughout cellular compartments, including the peroxisomes, mitochondria, endoplasmic reticulum and nucleus. FABPs are small, structurally conserved cytosolic proteins consisting of a water-filled, interior-binding pocket surrounded by ten anti-parallel beta sheets, forming a beta barrel. At the superior surface, two alpha-helices cap the pocket and are thought to regulate binding. FABPs have broad specificity, including the ability to bind long-chain (C16-C20) fatty acids, eicosanoids, bile salts and peroxisome proliferators.

FABPs are ubiquitously expressed throughout tissues that are highly active in FA metabolism and comprise several isoforms. To date, nine FABP protein-coding genes have been identified in the human genome. These include liver (L-FABP), intestine- (I-FABP), heart- (H-FABP), adipocyte- (A-FABP), epidermal- (E-FABP), ileal- (Il-FABP), brain- (B-FABP), myelin- (M-FABP) and testis-FABP (T-FABP).
## FABP Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>BMS-309403</strong></th>
<th><strong>Cat. No.: HY-101903</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BMS-309403 is a potent, selective and cell-permeable inhibitor of fatty acid binding protein 4 (FABP4) with a $K_i$ of less than 2 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>HTS01037</strong></th>
<th><strong>Cat. No.: HY-101503</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>HTS01037 is an inhibitor of fatty acid binding and a competitive antagonist of protein-protein interactions mediated by AFABP/aP2 with a $K_i$ of 0.67 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.01%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
Factor Xa

Factor Xa is the activated form of the coagulation factor thrombokinase, known eponymously as Stuart-Prower factor. Factor X is an enzyme, a serine endopeptidase, which plays a key role at several stages of the coagulation system. Factor X is synthesized in the liver. Factor Xa has emerged as an attractive target for novel anticoagulants for its key position in the coagulation cascade and its limited roles outside of coagulation. The most commonly used anticoagulants in clinical practice, warfarin and the heparin series of anticoagulants and fondaparinux, act to inhibit the action of Factor Xa in various degrees. As a result, the past decade has witnessed an explosion of research into small-molecule, oral, direct Factor Xa inhibitors, and several are now in clinical development.
Factor Xa Inhibitors & Modulators

5-R-Rivaroxaban
(BAY 59-7939)  
Cat. No.: HY-76948

Bioactivity: 5-R-Rivaroxaban is (R)-enantiomer of Rivaroxaban. Rivaroxaban (BAY 59-7939) is a highly potent and selective, direct Factor Xa (FXa) inhibitor, achieving a strong gain in anti-FXa potency ($IC_{50}$ 0.7 nM; $K_i$ 0.4 nM).

Purity: 99.98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 25 mg

Apixaban
(BMS-562247-01)  
Cat. No.: HY-50667

Bioactivity: Apixaban is a highly selective, reversible inhibitor of Factor Xa with $K_{i}$ of 0.08 nM and 0.17 nM in human and rabbit, respectively.

Purity: 99.97%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

Betrixaban  
(PRT054021)  
Cat. No.: HY-10268

Bioactivity: Betrixaban is a highly potent, selective, and orally efficacious factor Xa (FXa) inhibitor with $IC_{50}$ of 1.5 nM.

Purity: 98.85%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Edoxaban
(DU-176)  
Cat. No.: HY-10264

Bioactivity: Edoxaban(DU-176) is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention

Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg

Edoxaban tosylate  
(DU-176b)  
Cat. No.: HY-10266A

Bioactivity: Edoxaban(DU-176) is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention IC50 Value: Target: factor Xa Edoxaban is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention in patients with atrial fibrillation, an elderly population that frequently receives aspirin (ASA) and/...

Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: Edoxaban(DU-176) is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention

Purity: 99.85%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Edoxaban tosylate monohydrate  
Cat. No.: HY-10264B

Bioactivity: Edoxaban(DU-176) is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention

Purity: 99.98%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Fondaparinux sodium  
(Fondaparin sodium; SR-90107A)  
Cat. No.: HY-80597

Bioactivity: Fondaparinux sodium is an antithrombin-dependent factor Xa inhibitor.

Purity: 98.0%
Clinical Data: Launched
Size: 10mM x 1mL in Water, 5 mg, 10 mg, 25 mg, 50 mg

Gabexate mesylate  
(FOY)  
Cat. No.: HY-80385

Bioactivity: Gabexate Mesylate is a Factor X inhibitor.

Purity: 98.51%
Clinical Data: Launched
Size: 10mM x 1mL in Water, 5 mg, 10 mg, 100 mg

Otamixaban  
(FXV673)  
Cat. No.: HY-70035

Bioactivity: Otamixaban(FXV673) is a potent ($K_i$ = 0.5 nM), selective, rapid acting, competitive and reversible fXa inhibitor that effectively inhibits both free and prothrombinase-bound fXa.

Purity: 97.78%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 100 mg

Ozagrel  
(OKY-046)  
Cat. No.: HY-80428

Bioactivity: Ozagrel(OKY-046) is an antiplatelet agent working as a thromboxane A2 synthesis inhibitor

Purity: 99.96%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: Edoxaban(DU-176) is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention IC50 Value: Target: factor Xa Edoxaban is an oral factor Xa (FXa) inhibitor in clinical development for stroke prevention in patients with atrial fibrillation, an elderly population that frequently receives aspirin (ASA) and/...
| **Ozagrel sodium**  
| **(OKY-046 sodium)**  
| **Cat. No.: HY-B0428A**  
| **Bioactivity:** Ozagrel(OKY-046) sodium salt is an antiplatelet agent working as a thromboxane A2 synthesis inhibitor  
| **Purity:** 99.91%  
| **Clinical Data:** Launched  
| **Size:** 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg  

| **Bioactivity:** Ozagrel sodium (OKY-046) sodium salt is an antiplatelet agent working as a thromboxane A2 synthesis inhibitor  
| **Purity:** 99.91%  
| **Clinical Data:** Launched  
| **Size:** 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg  

| **Rivaroxaban**  
| **(BAY 59-7939)**  
| **Cat. No.: HY-50903**  
| **Bioactivity:** Rivaroxaban is a highly potent and selective, direct Factor Xa (FXa) inhibitor, achieving a strong gain in anti-FXa potency (IC$_{50}$ 0.7 nM; K$_{i}$ 0.4 nM).  
| **Purity:** 99.96%  
| **Clinical Data:** Launched  
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg  

| **RWJ-445167**  
| **Cat. No.: HY-19373**  
| **Bioactivity:** RWJ-445167 is a dual inhibitor of thrombin and factor Xa with K$_{i}$ of 4.0 nM and 230 nM, respectively, exhibiting potent antithrombotic activity.  
| **Purity:** >98%  
| **Clinical Data:** No Development Reported  
| **Size:** 1 mg, 5 mg, 10 mg  

| **Bioactivity:** RWJ-445167 is a dual inhibitor of thrombin and factor Xa with K$_{i}$ of 4.0 nM and 230 nM, respectively, exhibiting potent antithrombotic activity.  
| **Purity:** >98%  
| **Clinical Data:** No Development Reported  
| **Size:** 1 mg, 5 mg, 10 mg
Farnesyl Transferase

Farnesyltransferase is one of the three enzymes in the prenyltransferase group. Farnesyltransferase’s targets include members of the Ras superfamily of small GTP-binding proteins critical to cell cycle progression. Farnesyltransferase inhibitors (FTIs) are small-molecule inhibitors that selectively inhibit farnesylation of a number of intracellular substrate proteins such as Ras. Farnesyl transferase inhibitors (FTIs) represent a new class of signaling inhibitors that is emerging in the clinical arena of hematologic malignancies and that may inhibit critical growth and survival signals. FTIs are a class of experimental cancer drugs that target protein farnesyltransferase with the downstream effect of preventing the proper functioning of the Ras (protein), which is commonly abnormally active in cancer.
# Farnesyl Transferase Inhibitors & Modulators

## BMS-214662

**Cat. No.: HY-16111**

**Bioactivity:** BMS-214662 is a potent and selective farnesyl transferase inhibitor with potent antitumor activity with an IC$_{50}$ of 1.35 nM.

**Purity:** 99.69%

**Clinical Data:** Phase 1

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## FTI-277 hydrochloride

**Cat. No.: HY-15872A**

**Bioactivity:** FTI-277 Hcl is an inhibitor of farnesyl transferase (FTase); a highly potent Ras CAAX peptidomimetic which antagonizes both H- and K-Ras oncogenic signaling.

**Purity:** 99.66%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

## L-778123 hydrochloride

**Cat. No.: HY-16273A**

**Bioactivity:** L-778123 hydrochloride is an inhibitor of farnesyltransferase and GGPTase-I with IC$_{50}$ of 2 nM and 98 nM in enzyme inhibition determination.

**Purity:** 99.78%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

## LNK754

**Cat. No.: HY-U00401**

**Bioactivity:** LNK754 is a farnesyltransferase inhibitor, used for the treatment of cancer and Alzheimer’s disease.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

## Prenyl-IN-1

**Cat. No.: HY-U00327**

**Bioactivity:** Prenyl-IN-1 is a protein prenylation inhibitor, especially a geranylgeranyltransferase (GGT) or a farnesyltransferase (FT) inhibitor, exhibiting potent activity against oxidative stress, and particularly in the treatment of Parkinson’s Disease.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

## Lonafarnib

**Cat. No.: HY-15136**

**Bioactivity:** Lonafarnib is an orally bioavailable farnesyl protein transferase (FTase) inhibitor for H-ras, K-ras and N-ras with IC$_{50}$ of 1.9 nM, 5.2 nM and 2.8 nM, respectively.

**Purity:** 99.42%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

## RPR107393 free base

**Cat. No.: HY-100299**

**Bioactivity:** RPR107393 free base is a selective squalene synthase inhibitor, which inhibits rat liver microsomal squalene synthase with an IC$_{50}$ of 0.8±0.2 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

## Tipifarnib

**Cat. No.: HY-10502**

**Bioactivity:** Tipifarnib is a potent and specific farnesyltransferase (FTase) inhibitor with IC$_{50}$ of 0.6 nM, and the anti-proliferative effects are most prominent in H-ras or N-ras mutant cells.

**Purity:** 98.63%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

## Tipifarnib S enantiomer

**Cat. No.: HY-10502A**

**Bioactivity:** Tipifarnib S enantiomer is the S-enantiomer of Tipifarnib. Tipifarnib is a potent and specific farnesyltransferase (FTase) inhibitor with IC$_{50}$ of 0.6 nM.

**Purity:** 99.82%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
### YM-53601 free base

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>YM-53601 free base is a <strong>squalene synthetase</strong> inhibitor which suppresses lipogenic biosynthesis and lipid secretion in rodents.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Cat. No.:</td>
<td>HY-100313</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td></td>
</tr>
</tbody>
</table>
Fatty Acid Synthase (FAS) is a multifunctional homodimeric enzyme protein, and it is the major enzyme required for the anabolic conversion of dietary carbohydrates to fatty acids. Fatty acid synthase catalyzes the conversion of acetyl-CoA and malonyl-CoA, in the presence of NADPH, into long-chain saturated fatty acids. Human fatty acid synthase is a large homodimeric multifunctional enzyme that synthesizes palmitic acid. The unique carboxyl terminal thioesterase domain of fatty acid synthase hydrolyzes the growing fatty acid chain and plays a critical role in regulating the chain length of fatty acid released. Also, the up-regulation of human fatty acid synthase in a variety of cancer makes the thioesterase a candidate target for therapeutic treatment.

Fatty acid synthase of animal tissues is a complex multifunctional enzyme consisting of two identical monomers.
Fatty Acid Synthase (FAS) Inhibitors & Modulators

C75
Cat. No.: HY-12364

Bioactivity: C75 is a synthetic fatty-acid synthase (FASN) inhibitor; inhibits prostate cancer cells PC3 with an IC_{50} of 35 μM.

Purity: 99.86%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

C75 trans
(C 75 trC75 trans-racemic; trans-C75)  Cat. No.: HY-12364A

Bioactivity: C75 trans is an enantiomer of C75. C75 is a synthetic fatty-acid synthase (FASN) inhibitor; inhibits prostate cancer cells PC3 with an IC_{50} of 35 μM.

Purity: 99.71%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg

Cerulenin
Cat. No.: HY-A0210

Bioactivity: Cerulenin, the best known natural inhibitor of fatty acid synthase (FAS), is an epoxide produced by the fungus Cephalosporium caeruleus.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg

FAS-IN-1
Cat. No.: HY-12648

Bioactivity: FAS-IN-1 is a potent inhibitor of Fatty acid synthase (FAS) with IC_{50} of 10 nM, extracted from Patent WO2012/064642Al.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 50 mg

FAS-IN-1 Tosylate
Cat. No.: HY-12648A

Bioactivity: FAS-IN-1 tosylate is a potent inhibitor of fatty acid synthase (FAS) extracted from patent WO 2012064642 A1, compound 29; has an IC_{50} of 10 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 50 mg

Orlistat
(Tetrahydrolipstatin; Ro-18-0647)  Cat. No.: HY-B0218

Bioactivity: Orlistat is a general lipase inhibitor with IC_{50} of 122 ng/ml for PL from human duodenal juice.

Purity: 98.10%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO,
100 mg, 200 mg, 500 mg
Farnesoid X receptor (FXR) is a nuclear hormone receptor critically involved in the regulation of bile acid homeostasis. It is now recognized that bile acids serve as the natural ligands for FXR. Once activated, FXR in turn induces the expression of another nuclear hormone receptor, small heterodimer partner (SHP).

FXR, which is highly expressed in the liver, intestine, kidney, adrenal glands, and adipose tissue, is a master regulator of the synthesis and pleiotropic actions of endogenous bile acids (BAs). FXR activation inhibits BA synthesis and has anti-inflammatory effects in atherosclerosis, inflammatory bowel disease, and experimental cholestasis, whereas TGR5 activation, via cAMP-mediated pathways, reduces proinflammatory cytokine production in macrophages and Kupffer cells.
FXR Inhibitors & Modulators

(-)-PX20606 trans isomer  
((--)-PX-102 trans isomer; (--)PX-104)  
Cat. No.: HY-100443B

Bioactivity:  
(-)-PX20606 trans isomer is a FXR agonist with EC\textsubscript{50} values of 18 and 29 nM for FXR in FRET and M1H assay, respectively.

Purity:  >98%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Androsterone  
(5α-Androstane-3α,17-one)  
Cat. No.: HY-N0933

Bioactivity:  
Androsterone is a metabolic product of testosterone and can activate Farnesoid X Receptor (FXR).

Purity:  98.0%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

BAR502  
Cat. No.: HY-101273

Bioactivity:  
BAR502 is a dual FXR and GPBAR\textsubscript{1} agonist with IC\textsubscript{50} values of 2 μM and 0.4 μM, respectively.

Purity:  98.0%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

Chenodeoxycholic Acid  
(CDCA)  
Cat. No.: HY-76847

Bioactivity:  
Chenodeoxycholic Acid is a hydrophobic primary bile acid that activates nuclear receptors (FXR) involved in cholesterol metabolism.

Purity:  98.0%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 100 mg, 500 mg

Fexaramine  
Cat. No.: HY-10912

Bioactivity:  
Fexaramine is a small molecule farnesoid X receptor (FXR) agonist with 100-fold increased affinity relative to natural compounds.

Purity:  99.47%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

GW 4064  
Cat. No.: HY-50108

Bioactivity:  
GW 4064 is a potent FXR agonist with EC\textsubscript{50} of 65 nM.

Purity:  99.42%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

INT-747  
(Obeticholic acid; 6-ECDCA; 6-Ethylchenodeoxycholic acid)  
Cat. No.: HY-12222

Bioactivity:  
INT-747 is a potent and selective FXR agonist (EC\textsubscript{50}=99 nM) endowed with anticholestatic activity.

Purity:  98.0%
Clinical Data:  Launched
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

INT-767  
Cat. No.: HY-12434

Bioactivity:  
INT-767 is a potent agonist for both FXR (mean EC\textsubscript{50}, 30 nM by PerkinElmer AlphaScreen assay) and TGR\textsubscript{5} (mean EC\textsubscript{50}, 630 nM by time resolved-fluorescence resonance energy transfer).

Purity:  98.0%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

LY2562175  
Cat. No.: HY-103704

Bioactivity:  
LY2562175 is a potent and selective FXR agonist with an EC\textsubscript{50} of 193 nM.

Purity:  >98%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

PX20606 trans racemate  
(PX-102 trans racemate)  
Cat. No.: HY-100443A

Bioactivity:  
PX20606 trans racemate is a FXR agonist with EC\textsubscript{50} of 32 and 34 nM for FXR in FRET and M1H assay, respectively.

Purity:  99.01%
Clinical Data:  No Development Reported
Size:  10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

80 Tel: 4008203792 Fax: 021-53700325 Email: sales@MedChemExpress.cn
| **Bioactivity** | Sevelamer is a phosphate binding drug used to treat hyperphosphatemia in patients with chronic kidney disease; consists of polyallylamine that is crosslinked with epichlorohydrin.

**Purity:** >98%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

| **Bioactivity** | Sevelamer HCl is a phosphate binding drug used to treat hyperphosphatemia in patients with chronic kidney disease; consists of polyallylamine that is crosslinked with epichlorohydrin.

**Purity:** 98.00%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

| **Bioactivity** | T0901317 is a potent and selective agonist for both LXR and FXR, with EC50 of ~50 nM and 5 μM, respectively, inhibits nuclear factor/κB (NF/κB).

**Purity:** 99.64%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

| **Bioactivity** | Tropifexor is a novel and highly potent agonist of FXR with an EC50 of 0.2 nM.

**Purity:** 99.33%

**Clinical Data:** Phase 2

**Size:**

| **Bioactivity** | WAY-362450 is a potent, selective, and orally bioavailable FXR agonist with EC50 of 4 nM.

**Purity:** 99.41%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**Sevelamer**

Cat. No.: HY-13995

**Sevelamer hydrochloride**

Cat. No.: HY-13995A

**T0901317**

Cat. No.: HY-10626

**Tropifexor**

(LJN452)

Cat. No.: HY-107418

**WAY-362450**

(XL335; Tuforexor isopropyl)

Cat. No.: HY-50911

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www.MedChemExpress.cn
Glucokinase is an enzyme that facilitates phosphorylation of glucose to glucose-6-phosphate. Glucokinase occurs in cells in the liver, pancreas, gut, and brain of humans and most other vertebrates. In each of these organs it plays an important role in the regulation of carbohydrate metabolism by acting as a glucose sensor, triggering shifts in metabolism or cell function in response to rising or falling levels of glucose, such as occur after a meal or when fasting. Glucokinase has a lower affinity for glucose than the other hexokinases do, and its activity is localized to a few cell types, leaving the other three hexokinases as more important preparers of glucose for glycolysis and glycogen synthesis for most tissues and organs.

Mutations of the gene for this enzyme can cause unusual forms of diabetes or hypoglycemia.
### Glucokinase Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>AM-2394</strong></th>
<th><strong>AMG-3969</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> AM-2394 is a structurally distinct glucokinase activator (GKA). AM-2394 activates glucokinase (GK) with an $EC_{50}$ of 60 nM.</td>
<td><strong>Bioactivity:</strong> AMG-3969 is a potent glucokinase-glucokinase regulatory protein interaction (GK-GKRP) disruptor with an $IC_{50}$ of 4 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.41%</td>
<td><strong>Purity:</strong> 99.63%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>LY2608204</strong></th>
<th><strong>Palmitelaidic Acid</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> LY2608204 is a activator of glucokinase (GK) with EC50 of 42 nM.</td>
<td><strong>Bioactivity:</strong> Palmitelaidic acid is the trans isomer of palmitoleic acid. Palmitoleic acid is one of the most abundant fatty acids in serum and tissue.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.71%</td>
<td><strong>Purity:</strong> 98.00%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in Ethanol, 10 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PF-04991532</strong></th>
<th><strong>Ro 28-1675</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PF-04991532 is a potent, hepatoselective glucokinase activator with $EC_{50}$ of 80 and 100 nM in human and rat, respectively.</td>
<td><strong>Bioactivity:</strong> Ro 28-1675 (Ro 0281675) is a potent allosteric GK activator with an $SC_{1}$</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Purity:</strong> 99.41%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>
S-Nitrosoglutathione reductase (GSNOR) is a member of the alcohol dehydrogenase family (ADH) that regulates the levels of S-nitrosothiols (SNOs) through catabolism of S-nitrosoglutathione (GSNO).

GSNOR reduces the nitric oxide (NO) adduct S-nitrosoglutathione (GSNO), an essential reservoir for NO bioactivity. In plants, GSNOR has been found to be important in resistance to bacterial and fungal pathogens. GSNOR is ubiquitously expressed and catalyzes denitrosylation of GSNO, thereby downregulating protein S-nitrosylation in β-cells.

GSNOR activity appears to be necessary for normal development and fertility under optimal growth conditions.
## GSNOR Inhibitors & Modulators

<table>
<thead>
<tr>
<th>N6022</th>
<th>Cat. No.: HY-14984</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>N6022 is a potent, selective, reversible, and efficacious S-Nitrosoglutathione reductase (GSNOR) inhibitor with IC$_{50}$ of 8 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.62%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
Gutathione S-transferase

Glutathione S-transferases (GSTs) are a family of Phase II detoxification enzymes that catalyse the conjugation of glutathione (GSH) to a wide variety of endogenous and exogenous electrophilic compounds. GSTs are divided into two distinct super-family members: the membrane-bound microsomal and cytosolic family members. Microsomal GSTs are structurally distinct from the cytosolic in that they homo- and heterotrimerize rather than dimerize to form a single active site. Microsomal GSTs play a key role in the endogenous metabolism of leukotrienes and prostaglandins. Glutathione S-transferases (GSTs) function to protect cellular macromolecules from attack by reactive electrophiles. GSTs may be viable drug targets in disease states unrelated to cancer.
<table>
<thead>
<tr>
<th>Ezatiostat</th>
<th>Ezatiostat hydrochloride</th>
</tr>
</thead>
<tbody>
<tr>
<td>(TER199; TLK199)</td>
<td>(TER199; TLK199)</td>
</tr>
<tr>
<td><strong>Cat. No.: HY-13634A</strong></td>
<td><strong>Cat. No.: HY-13634</strong></td>
</tr>
<tr>
<td><strong>Bioactivity:</strong> Ezatiostat is a glutathione analog inhibitor of <strong>glutathione S-transferase P1-1 (GSTP1-1)</strong>.</td>
<td>Ezatiostat hydrochloride is a glutathione analog inhibitor of <strong>glutathione S-transferase P1-1 (GSTP1-1)</strong>.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 96.84%</td>
<td><strong>Purity:</strong> &gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 2</td>
<td><strong>Clinical Data:</strong> Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
HCV Protease

HCV NS3-4A serine protease is a non-covalent heterodimer consisting of a catalytic subunit (the N-terminal one-third of NS3 protein) and an activating cofactor (NS4A protein), and is responsible for cleavage at four sites of the HCV polyprotein. HCV NS3-4A protease is essential for viral replication in cell culture and in chimpanzees, and has been considered as one of the most attractive targets for developing novel anti-HCV therapies. However, discovery of small-molecule, selective inhibitors against HCV NS3-4A protease as oral drug candidates has been hampered by its shallow substrate-binding groove and the lack of robust, reproducible viral replication models in cell culture or in small animals.
### HCV Protease Inhibitors & Modulators

#### ACH-806  
**Cat. No.:** HY-19512

**Bioactivity:** ACH-806 is an **NS4A** antagonist which can inhibit Hepatitis C Virus (**HCV**) replication with an **EC**<sub>50</sub> of 14 nM.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:**

#### Asunaprevir  
**Cat. No.:** HY-14434

**Bioactivity:** Asunaprevir is a potent **hepatitis C virus (HCV)** **NS3** protease inhibitor, with the **IC**<sub>50</sub> of 0.2 nM-3.5 nM.

**Purity:** 99.27%
**Clinical Data:** Phase 4
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

#### Beclabuvir  
**Cat. No.:** HY-12429

**Bioactivity:** Beclabuvir is an allosteric inhibitor that binds to thumb site 1 of the hepatitis C virus (**HCV**) NS5B RNA-dependent RNA polymerase, and inhibits recombinant NS5B proteins from **HCV** genotypes 1, 3, 4, and 5 with **IC**<sub>50</sub> of < 28 nM.

**Purity:** 99.81%
**Clinical Data:** Phase 3
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Boceprevir  
**Cat. No.:** HY-10237

**Bioactivity:** Boceprevir is a novel, potent, highly selective, orally bioavailable **HCV NS3 protease** inhibitor, with the **IC**<sub>50</sub> of 350 nM in cell-based replicon assay.

**Purity:** 97.36%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

#### Clemizole hydrochloride  
**Cat. No.:** HY-30234A

**Bioactivity:** Clemizole hydrochloride is an **H1 histamine receptor** antagonist, is found to substantially inhibit **HCV** replication. The **IC**<sub>50</sub> of Clemizole for RNA binding by **NS4B** is 24±1 nM, whereas its **EC**<sub>50</sub> for viral replication is 8 µM.

**Purity:** 99.70%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

#### Daclatasvir  
**Cat. No.:** HY-10466

**Bioactivity:** Daclatasvir is a potent **HCV NS5A** protein inhibitor, with mean **EC**<sub>50</sub> values of 50 and 9 pM against genotype 1a and 1b replicons, respectively.

**Purity:** 99.31%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

#### Danoprevir  
**Cat. No.:** HY-10238

**Bioactivity:** Danoprevir is a peptidomimetic inhibitor of the **NS3/4A protease** of **hepatitis C virus (HCV)** with **IC**<sub>50</sub> of 0.2-3.5 nM. The inhibition effect on **HCV** genotypes 1A/1B/4/5/6 is appr 10-fold higher than 2B/3A.

**Purity:** 97.29%
**Clinical Data:** Phase 3
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

#### Elbasvir  
**Cat. No.:** HY-15789

**Bioactivity:** Elbasvir is a small-molecule inhibitor of nonstructural protein 5A (**NS5A**) of hepatitis C virus (**HCV**), being developed as a component of treatment regimens for chronic **HCV** infection.

**Purity:** 98.20%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

#### Glecaprevir  
**Cat. No.:** HY-17634

**Bioactivity:** Glecaprevir is a novel **HCV NS3/4A protease** inhibitor, with **IC**<sub>50</sub> values ranging from 3.5 to 11.3 nM.

**Purity:** 99.65%
**Clinical Data:** Launched
**Size:**
| **Ledipasvir**  
| **(GS-5885)** | **Cat. No.: HY-15602** |
| **Bioactivity:** | Ledipasvir is an inhibitor of the *hepatitis C virus* **NS5A**, with $EC_{50}$ values of 34 pM against GT1a and 4 pM against GT1b replicon. |
| **Purity:** | 98.0% |
| **Clinical Data:** | Launched |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **Ledipasvir acetone**  
| **(GS-5885 acetone)** | **Cat. No.: HY-15602A** |
| **Bioactivity:** | Ledipasvir acetone is the active pharmaceutical ingredient of Ledipasvir. Ledipasvir is an inhibitor of the *hepatitis C virus* **NS5A**, with $EC_{50}$ values of 34 pM against GT1a and 4 pM against GT1b replicon. |
| **Purity:** | 99.98% |
| **Clinical Data:** | Phase 4 |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **Ledipasvir D-tartrate**  
| **(GS-5885 D-tartrate)** | **Cat. No.: HY-15602B** |
| **Bioactivity:** | Ledipasvir D-tartrate is an inhibitor of the *hepatitis C virus* **NS5A**, with $EC_{50}$ values of 34 pM against GT1a and 4 pM against GT1b replicon. |
| **Purity:** | 99.73% |
| **Clinical Data:** | Launched |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **Ledipasvir diacetone**  
| **(GS-5885 diacetone)** | **Cat. No.: HY-15602D** |
| **Bioactivity:** | Ledipasvir diacetone is the active pharmaceutical ingredient of Ledipasvir. Ledipasvir is an inhibitor of the *hepatitis C virus* **NS5A**, with $EC_{50}$ values of 34 pM against GT1a and 4 pM against GT1b replicon. |
| **Purity:** | >98% |
| **Clinical Data:** | Launched |
| **Size:** | 5 mg, 10 mg, 50 mg, 100 mg |

| **MK-5172**  
| **(Grazoprevir)** | **Cat. No.: HY-15298** |
| **Bioactivity:** | MK-5172 is a selective inhibitor of *Hepatitis C virus* **NS3/4A** protease with broad activity across genotypes and resistant variants, with $K_i$ of 0.01 nM (gt1b), 0.03 nM (gt1a), 0.08 nM (gt2a), 0.15 nM (gt2b), 0.30 nM (gt3a), respectively. |
| **Purity:** | 99.21% |
| **Clinical Data:** | Launched |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **MK-5172 hydrate**  
| **(Grazoprevir hydrate)** | **Cat. No.: HY-15298B** |
| **Bioactivity:** | MK-5172 is a novel P2-P4 quinoxaline macrocyclic HCV NS3/4a protease inhibitor currently in clinical development. |
| **Purity:** | 99.58% |
| **Clinical Data:** | Launched |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **MK-5172 potassium salt**  
| **(Grazoprevir potassium salt)** | **Cat. No.: HY-15298A** |
| **Bioactivity:** | MK-5172 is a novel P2-P4 quinoxaline macrocyclic HCV NS3/4a protease inhibitor currently in clinical development. |
| **Purity:** | >98% |
| **Clinical Data:** | Launched |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **MK-5172 sodium salt**  
| **(Grazoprevir sodium salt)** | **Cat. No.: HY-15298C** |
| **Bioactivity:** | MK-5172 is a novel P2-P4 quinoxaline macrocyclic HCV NS3/4a protease inhibitor currently in clinical development. |
| **Purity:** | >98% |
| **Clinical Data:** | Launched |
| **Size:** | 5 mg, 10 mg, 50 mg, 100 mg |

| **Narlaprevir**  
| **(SCH 900518)** | **Cat. No.: HY-10300** |
| **Bioactivity:** | Narlaprevir is a potent, selective, orally bioavailable NS3 protease inhibitor($K_i=6$ nM; $EC_{50}=40$ nM) IC50 Value: 6 nM (K): Target: HCV NS3/4A Protease. HCV Narlaprevir (SCH 900518) is a potent inhibitor of the hepatitis C virus (HCV) nonstructural protein 3 serine protease that is primarily metabolized by the cytochrome P450... |
| **Purity:** | >98% |
| **Clinical Data:** | No Development Reported |
| **Size:** | 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |

| **Ombitasvir**  
| **(ABT-267)** | **Cat. No.: HY-13997** |
| **Bioactivity:** | Ombitasvir is a potent inhibitor of the *hepatitis C virus* **protein NS5A**, with $EC_{50}$ of 0.82 to 19.3 pM against HCV genotypes 1 to 5 and 366 pM against genotype 6a. |
| **Purity:** | 99.89% |
| **Clinical Data:** | Launched |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
Bioactivity: PSI-6130 is a potent and selective inhibitor of HCV NS5B polymerase, and inhibits HCV replication with a mean IC_{50} of 0.6 μM.

Purity: 99.39%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

Bioactivity: R-7128 is a nucleoside inhibitor of the HCV NS5B polymerase that acts as an RNA chain terminator and prevents elongation of RNA transcripts during replication.

Purity: 99.34%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Bioactivity: Simeprevir (TMC435) is a potent HCV NS3/4A protease inhibitor, and inhibits HCV replication with EC_{50} of 8 nM.

Purity: 99.34%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: Telaprevir (VX-950) is a highly selective, reversible, and potent peptidomimetic inhibitor of the HCV NS3-4A protease, the steady-state inhibitory constant (K_{i}) of Telaprevir is 7 nM against a genotype 1 (H strain) NS3 protease domain plus a NS4A cofactor peptide.

Purity: 99.89%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Bioactivity: TMC647055 choline salt is a cell-permeating, selective HCV NS5B inhibitor, eliciting a mean IC_{50} of 34 nM, as assessed in the RdRp primer-dependent transcription assay.

Purity: 99.73%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: Vaniprevir (MK-7009) is a non-covalent competitive inhibitor of the hepatitis C virus (HCV) NS3/4A protease

Purity: 99.60%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

Bioactivity: VCH-916 is a novel nonnucleoside HCV NS5B polymerase inhibitor.

Purity: 99.0%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: Velpatasvir (VEL, GS-5816) is a novel pan-genotypic hepatitis C virus (HCV) nonstructural protein 5A (NS5A) inhibitor with activity against genotype 1 (GT1) to GT6 HCV replicons.

Purity: 99.71%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Bioactivity: VX-222 (VCH-222) is a novel, potent and selective inhibitor of HCV polymerase with IC_{50} of 0.94-1.2 μM, 15.3-fold less effective for mutant M423T, and 108-fold less effective for mutant I482L.

Purity: 99.76%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
Hexokinase

Hexokinases (HK) play a central role in cellular glucose metabolism. Hexokinases catalyse the first obligatory step of glucose metabolism, the ATP-dependent phosphorylation of glucose (Glc) to yield glucose-6-phosphate (Glc-6-P). In addition to maintaining the downhill concentration gradient that permits facilitated glucose entry into cells, this reaction constitutes the first step of all major pathways of glucose utilization, including glycolysis, the pentose phosphate pathway, (PPP) and glycogenesis. As such hexokinases are uniquely positioned to influence the extent and direction of glucose flux within the cell. The PPP represents the principal cellular source of NADPH and plays important roles in redox homeostasis, anabolism and nucleotide synthesis (Rib-5-P, ribulose 5-phosphate). Similarly, glycolysis and glycogenesis play important roles in energy metabolism and storage, respectively. Other important cellular functions, including hexosamine and nucleotide sugar generation for glycosaminoglycan and glycoprotein biosynthesis, also require Glc-6-P as a precursor (UDP-Glc, uridine diphosphate glucose; UDP-GlcNAc, uridine diphosphate N-acetylglucosamine).
# Hexokinase Inhibitors & Modulators

| **2-Deoxy-D-glucose**  
| (2-Deoxy-D-arabino-hexose; D-Arabino-2-deoxyhexose) | **Bioactivity:** 2-Deoxy-D-glucose is a glucose analog that acts as a competitive inhibitor of glucose metabolism, inhibiting glycolysis via its actions on hexokinase.  
| **Purity:** 99.0% | **Clinical Data:** Phase 1  
| **Size:** 10mM x 1mL in DMSO, 1 g, 5 g | **Cat. No.: HY-13966** |

| **3-Bromopyruvic acid**  
| (Bromopyruvic acid; Hexokinase II Inhibitor II, 3-BP) | **Bioactivity:** 3-Bromopyruvic acid is a hexokinase II inhibitor, is an effective antitumor agent on the hepatoma cells.  
| **Purity:** 99.33% | **Clinical Data:** No Development Reported  
| **Size:** 10mM x 1mL in Water, 1 g, 5 g, 10 g, 25 g | **Cat. No.: HY-19992** |

| **Lonidamine**  
| (DICA; Diclondazolic Acid; AF1890) | **Bioactivity:** Lonidamine is an orally administered small molecule hexokinase inactivator.  
| **Purity:** 95.45% | **Clinical Data:** Phase 3  
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg | **Cat. No.: HY-80486** |
HIFs (Hypoxia-inducible factors) are transcription factors that respond to changes in available oxygen in the cellular environment, to be specific, to decreases in oxygen, or hypoxia. The HIF signaling cascade mediates the effects of hypoxia, the state of low oxygen concentration, on the cell. Hypoxia often keeps cells from differentiating. However, hypoxia promotes the formation of blood vessels, and is important for the formation of a vascular system in embryos, and cancer tumors. The hypoxia in wounds also promotes the migration of keratinocytes and the restoration of the epithelium. In general, HIFs are vital to development. In mammals, deletion of the HIF-1 genes results in perinatal death. HIF-1 has been shown to be vital to chondrocyte survival, allowing the cells to adapt to low-oxygen conditions within the growth plates of bones. HIF plays a central role in the regulation of human metabolism. Recently, several drugs that act as selective HIF prolyl-hydroxylase inhibitors have been developed.
HIF/HIF Prolyl-Hydroxylase Inhibitors & Modulators

2-Methoxyestradiol
(2-ME2; NSC-659853)  
Cat. No.: HY-12033

Bioactivity: 2-Methoxyestradiol is a microtubule and HIF-1 inhibitor, binds to tubulin at or near the colchicine site and inhibits the polymerization of tubulin in vitro, works by interfering with normal microtubule function.

Purity: 99.54%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Acriflavine
Cat. No.: HY-100575

Bioactivity: Acriflavine is a fluorescent dye for labeling high molecular weight RNA. It is also a topical antiseptic.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 100 mg

AKBA
(Acetyl-11-keto-β-boswellic acid)  
Cat. No.: HY-N0892

Bioactivity: Acetyl-11-Keto-β-Boswellic Acid (AKBA) is an active triterpenoid compound from the extract of Boswellia serrata; a novel Nrf2 activator.

Purity: 98.70%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

BAY 87-2243
Cat. No.: HY-15836

Bioactivity: BAY 87-2243 is a highly potent and selective hypoxia-inducible factor-1 (HIF-1) inhibitor.

Purity: 99.41%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Chlorogenic acid
(3-O-Caffeoylquinic acid; Heriguard; NSC-407296)  
Cat. No.: HY-N0055

Bioactivity: Chlorogenic acid(NSC-407296; 3-O-Caffeoylquinic acid) is one of the most abundant polyphenols in the human diet, has been reported to inhibit cancer cell growth and a major anti-inflammatory constituent of lonicerae flos extract.

Purity: 98.96%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 500 mg

Daprodustat
(GSK1278863)  
Cat. No.: HY-17608

Bioactivity: Daprodustat is an orally active hypoxia-inducible factor prolyl hydroxylase inhibitor being developed for treatment of anemia associated with chronic kidney disease.

Purity: 99.95%
Clinical Data: Phase 3
Size: 5 mg, 10 mg, 50 mg, 100 mg

DASA-58
Cat. No.: HY-19330

Bioactivity: DASA-58 is a highly specific small molecule PKM2 activator. DASA-58 inhibits LPS-induced Hif-1a and IL-1b, as well as the expression of a range of other Hif-1a-dependent genes.

Purity: 98.01%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Dencichin
(Dencichine; L-Dencichin; ODAP)  
Cat. No.: HY-N1477

Bioactivity: Dencichin is a non-protein amino acid originally extracted from Panax notoginseng, and can inhibit HIF-prolyl hydroxylase-2 (PHD-2) activity.

Purity: >98%
Clinical Data: No Development Reported
Size: No Development Reported

Desidustat
Cat. No.: HY-103227

Bioactivity: Desidustat is an inhibitor of HIF hydroxylase extracted from patent WO 2014102818 A1, compound example 2.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg, 500 mg

DMOG
(Dimethyloxallyl Glycine)  
Cat. No.: HY-15893

Bioactivity: DMOG is an inhibitor of HIF prolyl hydroxylase and an antagonist of α-ketoglutarate cofactor.

Purity: 99.15%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg, 500 mg
Bioactivity: EL102 is an inhibitor of HIF1α, which can inhibit tubulin polymerisation and decreased microtubule stability.

Purity: 99.15%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: ENMD-119 (ENMD 1198; IRC 110160) is a 2-methoxyestradiol analogue with antiproliferative and antiangiogenic activity, and is suitable for inhibiting HIF-1α and STAT3 in human HCC cells.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Bioactivity: FG-2216 (YM-311) is a potent HIF-prolyl hydroxylase inhibitor with IC50 of 3.9 uM for PDH2 enzyme; orally bioavailable and induced significant and reversible Epo induction in vivo.

Purity: 99.40%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity: FG-4592 (Roxadustat) is an oral hypoxia-inducible factor (HIF) prolyl hydroxylase inhibitor, currently used for the treatment of anemia.

Purity: 99.91%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

Bioactivity: Glucosamine hydrochloride (D-(+)-Glucosamine hydrochloride; Chitosamine hydrochloride) is a natural product

Purity: >98%
Clinical Data: Launched
Size: 10mM x 1mL in Water, 50 mg

Bioactivity: Hydralazine hydrochloride is a direct-acting vasodilator that is used as an antihypertensive agent.

Purity: 99.12%
Clinical Data: Launched
Size: 10mM x 1mL in Water, 100 mg, 500 mg

Bioactivity: IDF-11774 is a novel hypoxia-inducible factor (HIF)-1 inhibitor with an IC50 of 3.65μM. IDF-11774 has been approved as a clinical candidate for a phase I study.

Purity: >98%
Clinical Data: No Development Reported
Size:

Bioactivity: IOX2 is a specific prolyl hydroxylase-2 (PHD2) inhibitor with IC50 of 22 nM.

Purity: 98.41%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Bioactivity: JNJ-42041935 is a potent, competitive and selective inhibitor of prolyl hydroxylase PHD, inhibits PHD1, PHD2, and PHD3 with pK1 values of 7.91±0.04, 7.29 ±0.05, and 7.65±0.09, respectively.

Purity: 99.93%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
</tr>
</thead>
<tbody>
<tr>
<td>KC7F2</td>
<td>HY-18777</td>
<td>KC7F2 is a potent HIF-1 pathway inhibitor and that its potential as a cancer therapy agent warrants further study.</td>
</tr>
<tr>
<td>Purity:</td>
<td>99.38%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

| LW6        | HY-13671 | LW6 is a novel HIF-1 inhibitor with an IC50 of 4.4 μM.                        |
| Purity:    | 98.0%    |
| Clinical Data: | No Development Reported |
| Size:      | 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| MK-8617    | HY-101023 | MK-8617 is an orally active pan-inhibitor of hypoxia-inducible factor prolyl hydroxylase 1-3 (HIF PHD1-3) with an IC50 of 1 nM for PHD2. |
| Purity:    | >98%      |
| Clinical Data: | No Development Reported |
| Size:      | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| ML228      | HY-12754 | ML228(CID-46742353) is an activator of the Hypoxia Inducible Factor (HIF) pathway; potently activate HIF in vitro as well as its downstream target VEGF. |
| Purity:    | 98.0%    |
| Clinical Data: | No Development Reported |
| Size:      | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| Molidustat  | HY-12654 | Molidustat (BAY 85-3934) is a novel inhibitor of hypoxia-inducible factor prolyl hydroxylase (HIF-PH) with mean IC50 values of 480 nM for PHD1, 280 nM for PHD2, and 450 nM for PHD3. |
| Purity:    | 98.33%   |
| Clinical Data: | Launched |
| Size:      | 5 mg, 10 mg, 50 mg, 100 mg |

| Oltipraz   | HY-12519 | Oltipraz has an inhibitory effect on HIF-1α activation by insulin in a time-dependent manner, completely abrogating HIF-1α induction at ≥10 μM concentrations, the IC50 of Oltipraz for HIF-1α inhibition is 10 μM. |
| Purity:    | 99.15%   |
| Clinical Data: | Phase 3 |
| Size:      | 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg |

| Oroxylin A | HY-N0560 | Oroxylin A is a natural active flavonoid with strong anticancer effects |
| Purity:    | 99.97%   |
| Clinical Data: | No Development Reported |
| Size:      | 10mM x 1mL in DMSO, 5 mg, 10 mg |

| Paeoniflorin | HY-N0293 | Paeoniflorin is a herbal constituent extracted from the root of Paeonia albiflora Pall |
| Purity:      | 98.0%    |
| Clinical Data: | Phase 3 |
| Size:        | 10mM x 1mL in DMSO, 100 mg, 200 mg |

| PT-2385     | HY-12867 | PT-2385 is a novel and selective HIF-2α antagonist with ITC Binding Affinity (Kd) of <50 nM. |
| Purity:     | 99.48%   |
| Clinical Data: | No Development Reported |
| Size:       | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| PX-478      | HY-10231 | PX-478 is an inhibitor of hypoxia-inducible factor-1α (HIF-1α), and is cytotoxic to a variety of cancer cell lines under normoxia and hypoxia in vitro with IC50 of 20-30 μM. |
| Purity:     | 98.0%    |
| Clinical Data: | Phase 1 |
| Size:       | 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg |
**SYP-5**

*Bioactivity:* SYP-5 is a novel HIF-1 inhibitor, suppresses tumor cells invasion and angiogenesis.

*Purity:* 98.02%
*Clinical Data:* No Development Reported
*Size:* 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

---

**THS-044**

*Bioactivity:* THS-044 binding stabilizes the HIF2α PAS-B folded state, for regulating HIF2 activity in endogenous and clinical settings.

*Purity:* 98.48%
*Clinical Data:* No Development Reported
*Size:* 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

---

**Tilorone dihydrochloride**

*Bioactivity:* Tilorone dihydrochloride is the first recognized synthetic, small molecular weight compound that is an orally active interferon inducer, used as an antiviral drug.

*Purity:* 99.80%
*Clinical Data:* Launched
*Size:* 10mM x 1mL in Water, 100 mg, 500 mg

---

**Vadadustat**

*Bioactivity:* Vadadustat is a novel, titratable, oral hypoxia-inducible factor prolyl hydroxylase (HIF-PH) inhibitor in development for the treatment of anemia.

*Purity:* 98.02%
*Clinical Data:* Launched
*Size:* 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg
HIV Integrase is an enzyme produced by a HIV that enables its genetic material to be integrated into the DNA of the infected cell. IN is a key component in the retroviral pre-integration complex (PIC). All retroviral integrase proteins contain three canonical domains, connected by flexible linkers: an N-terminal HH-CC zinc-binding domain, a catalytic core domain and a C-terminal DNA-binding domain. Integration occurs following production of the double-stranded viral DNA by the viral RNA/DNA-dependent DNA polymerase reverse transcriptase. The main function of IN is to insert the viral DNA into the host chromosomal DNA, a step that is essential for HIV replication. Integration is a point of no return for the cell, which becomes a permanent carrier of the viral genome (provirus). Integration is in part responsible for the persistence of retroviral infections.
## HIV Integrase Inhibitors & Modulators

### (±)-BI-D

**Cat. No.: HY-18601**

**Bioactivity:** (±)-BI-D is a potent ALLNI (An allosteric IN inhibitor) that binds integrase at the LEDGF/p75 binding site.

**Purity:** 95.66%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### BI 224436

**Cat. No.: HY-18595**

**Bioactivity:** BI 224436 is a novel HIV-1 noncatalytic site integrase inhibitor with EC\(_{50}\) values of less than 15 nM against different HIV-1 laboratory strains.

**Purity:** 98.17%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

### Bictegravir

*(GS-9883)*

**Cat. No.: HY-17605**

**Bioactivity:** Bictegravir is a novel, potent inhibitor of HIV-1 integrase with an IC\(_{50}\) of 7.5 nM.

**Purity:** 98.27%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

### BMS-538203

**Cat. No.: HY-11019**

**Bioactivity:** BMS-538203 is a highly efficient HIV integrase inhibitor and antiviral agent.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

### BMS-707035

**Cat. No.: HY-13269**

**Bioactivity:** BMS-707035 is an HIV-1 integrase (IN) inhibitor with an IC\(_{50}\) value of 15 nM.

**Purity:** 99.97%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

### Cabotegravir

*(GSK-1265744; S/GSK1265744)*

**Cat. No.: HY-15592**

**Bioactivity:** Cabotegravir is a potent HIV integrase inhibitor as an oral lead-in tablet and long-acting injectable for the treatment and prevention of HIV infection. Cabotegravir is an inhibitor of OAT1 (IC\(_{50}\) 0.81 μM) and OAT3 (IC\(_{50}\) 0.41 μM).

**Purity:** 99.93%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

### Dolutegravir

*(S/GSK1349572; GSK1349572)*

**Cat. No.: HY-13238**

**Bioactivity:** Dolutegravir is an inhibitor of HIV-1 integrase-catalyzed strand transfer with IC\(_{50}\) of 2.7 nM.

**Purity:** 99.54%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

### Dolutegravir sodium

*(GSK-1349572A)*

**Cat. No.: HY-13238A**

**Bioactivity:** Dolutegravir sodium is an inhibitor of HIV-1 integrase-catalyzed strand transfer with IC\(_{50}\) of 2.7 nM.

**Purity:** 97.02%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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### Elvitegravir

*(GS-9137; JTK-303; EVG; D06677)*

**Cat. No.: HY-14740**

**Bioactivity:** Elvitegravir is an HIV integrase inhibitor for HIV-1\(_{\text{HIV-1}}\), HIV-2\(_{\text{HIV-2}}\), and HIV-2\(_{\text{ROD}}\) with IC\(_{50}\) of 0.7 nM, 2.8 nM and 1.4 nM, respectively.

**Purity:** 99.92%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

---

### HIV-1 integrase inhibitor ((Z)-4-(3-(azidomethyl)phenyl)-2-hydroxy-4-oxobut-2-enoic acid)

**Cat. No.: HY-13025**

**Bioactivity:** HIV-1 integrase inhibitor ((Z)-4-(3-(azidomethyl)phenyl)-2-hydroxy-4-oxobut-2-enoic acid) is useful for anti-HIV.

**Purity:** 98.64%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>HIV-1 integrase inhibitor 2</td>
<td>HY-10522</td>
<td>HIV-1 integrase inhibitor, in the treatment of human immunodeficiency virus (HIV) infection.</td>
<td>99.41%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>HIV-1 integrase inhibitor 3</td>
<td>HY-108817</td>
<td>HIV-1 integrase inhibitor 3 is a <strong>HIV-1 integrase strand transfer (INST)</strong> inhibitor with an $IC_{50}$ of 2.7 nM.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>HIV-1 integrase inhibitor 4</td>
<td>HY-108820</td>
<td>HIV-1 integrase inhibitor 4 is a <strong>HIV-1 integrase strand transfer (INST)</strong> inhibitor with an $IC_{50}$ of 3.7 nM.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>MK-2048</td>
<td>HY-13305</td>
<td>MK-2048 is a potent inhibitor of integrase and INR263K with $IC_{50}$ of 2.6 nM and 1.5 nM, respectively.</td>
<td>&gt;98%</td>
<td>Phase 1</td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Raltegravir (MK-0518)</td>
<td>HY-10353</td>
<td>Raltegravir is a potent <strong>integrase (IN)</strong> inhibitor, used to treat HIV infection.</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Raltegravir potassium salt (MK 0518 potassium salt)</td>
<td>HY-10353A</td>
<td>Raltegravir (potassium salt) is a potent <strong>integrase (IN)</strong> inhibitor, used to treat HIV infection.</td>
<td>99.65%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Salicylanilide (2-Hydroxybenzanilide)</td>
<td>HY-81408</td>
<td>Salicylanilide demonstrates a wide range of biological activities including antiviral potency which can inhibit HIV virus by targeting <strong>HIV-1 integrase</strong> or <strong>reverse transcriptase</strong>.</td>
<td>99.60%</td>
<td>No Development Reported</td>
<td></td>
</tr>
</tbody>
</table>

**Bioactivity:**
- HIV-1 integrase inhibitor 2: HIV-1 integrase inhibitor, in the treatment of human immunodeficiency virus (HIV) infection.
- HIV-1 integrase inhibitor 3: HIV-1 integrase inhibitor 3 is a **HIV-1 integrase strand transfer (INST)** inhibitor with an $IC_{50}$ of 2.7 nM.
- HIV-1 integrase inhibitor 4: HIV-1 integrase inhibitor 4 is a **HIV-1 integrase strand transfer (INST)** inhibitor with an $IC_{50}$ of 3.7 nM.
- MK-2048: MK-2048 is a potent inhibitor of integrase and INR263K with $IC_{50}$ of 2.6 nM and 1.5 nM, respectively.
- Raltegravir (MK-0518): Raltegravir is a potent **integrase (IN)** inhibitor, used to treat HIV infection.
- Raltegravir potassium salt (MK 0518 potassium salt): Raltegravir (potassium salt) is a potent **integrase (IN)** inhibitor, used to treat HIV infection.
- Salicylanilide (2-Hydroxybenzanilide): Salicylanilide demonstrates a wide range of biological activities including antiviral potency which can inhibit HIV virus by targeting **HIV-1 integrase** or **reverse transcriptase**.
HIV Protease

HIV Protease is a retroviral aspartyl protease that is essential for the life-cycle of HIV, the retrovirus that causes AIDS. HIV protease cleaves newly synthesized polyproteins at the appropriate places to create the mature protein components of an infectious HIV virion. Without effective HIV protease, HIV virions remain uninfectious. Thus, mutation of HIV protease’s active site or inhibition of its activity disrupts HIV’s ability to replicate and infect additional cells, making HIV protease inhibition the subject of considerable pharmaceutical research. Mutations enable HIV to avoid treatments that involve only one drug, so there is growing use of multiple-drug therapies in which both a protease inhibitor AND a reverse transcript inhibitor are combined.
## HIV Protease Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Compound</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Amprenavir</td>
<td>Amprenavir (Agenerase) is a HIV protease inhibitor (Ki=0.6 nM) used to treat HIV infection.</td>
<td>&gt;98%</td>
<td>Phase 4</td>
<td>10mM x 1mL in DMSO, 5 mg, 50 mg</td>
</tr>
<tr>
<td>Atazanavir</td>
<td>Atazanavir (BMS-232632) is an highly potent HIV-1 protease inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Atazanavir sulfate</td>
<td>Atazanavir sulfate is a sulfate salt form of atazanavir that is an highly potent HIV-1 protease inhibitor</td>
<td>99.64%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Darunavir</td>
<td>Darunavir (TMC114) is a HIV protease inhibitor.</td>
<td>99.39%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Darunavir Ethanolate</td>
<td>Darunavir ethanoate (TMC114 ethanoate) is a potent HIV protease inhibitor used to treat and prevent HIV/AIDS. Darunavir has a Ki of 1 nM for wild type HIV-1 protease.</td>
<td>99.73%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Fosamprenavir Calcium Salt</td>
<td>GW433908 is a phosphate ester produg of the antiretroviral protease inhibitor amprnavir, with improved solubility over the parent molecule and a potential for reduced pill burden on current dosing regimens; GW433908G is the calcium salt of the produg</td>
<td>99.19%</td>
<td>Launched</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Indinavir</td>
<td>Indinavir (MK-639; L735524) is a potent and specific HIV protease inhibitor that appears to have good oral bioavailability</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Indinavir sulfate</td>
<td>Indinavir sulfate (MK-639 sulfate; L735524 sulfate) is a potent and specific HIV protease inhibitor that appears to have good oral bioavailability</td>
<td>99.50%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 50 mg, 100 mg</td>
</tr>
<tr>
<td>DPC-681</td>
<td>DPC-681 is a potent and selective inhibitor of HIV protease with IC90s for wild-type HIV-1 of 4 to 40 nM.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td>L-689502</td>
<td>L-689502 is a potent inhibitor of HIV-I protease with an IC50 of 1 nM.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>
### Lopinavir  
**Bioactivity:** Lopinavir is a potent HIV protease inhibitor with Ki of 1.3 pM.

- **Purity:** 99.58%
- **Clinical Data:** Launched
- **Size:** 10mM x 1mL in DMSO, 50 mg, 100 mg, 250 mg

### Nelfinavir  
**Bioactivity:** Nelfinavir(AG-1341) is a potent and orally bioavailable human immunodeficiency virus HIV-1 protease inhibitor (Ki=2 nM) and is widely prescribed in combination with HIV reverse transcriptase inhibitors for the treatment of HIV infection.

- **Purity:** 99.16%
- **Clinical Data:** Launched
- **Size:**

### Nelfinavir Mesylate  
**Bioactivity:** Nelfinavir(AG-1341) is a potent and orally bioavailable human immunodeficiency virus HIV-1 protease inhibitor (Ki=2 nM) and is widely prescribed in combination with HIV reverse transcriptase inhibitors for the treatment of HIV infection.

- **Purity:** 98.45%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

### Pepstatin  
**Bioactivity:** Pepstatin is a specific aspartic proteases inhibitor produced by actinomycetes, and also inhibits HIV protease.

- **Purity:** 99.11%
- **Clinical Data:** No Development Reported
- **Size:**

### Pepstatin Trifluoroacetate  
**Bioactivity:** Pepstatin Trifluoroacetate is a specific aspartic proteases inhibitor produced by actinomycetes, and also inhibits HIV protease.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:**

### PNU-103017  
**Bioactivity:** PNU-103017 is an HIV protease inhibitor.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:**

### Ritonavir  
**Bioactivity:** Ritonavir is an inhibitor of HIV protease used to treat HIV infection and AIDS.

- **Purity:** 99.68%
- **Clinical Data:** Launched
- **Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 500 mg

### Saquinavir  
**Bioactivity:** Saquinavir(Ro 31-8959) is an HIV Protease inhibitor used in antiretroviral therapy.

- **Purity:** 99.91%
- **Clinical Data:** Launched
- **Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

### Saquinavir Mesylate  
**Bioactivity:** Saquinavir mesylate is an HIV Protease Inhibitor used in antiretroviral therapy.

- **Purity:** 99.79%
- **Clinical Data:** Launched
- **Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

### Tipranavir  
**Bioactivity:** Tipranavir inhibits the enzymatic activity and dimerization of HIV-1 protease, exerts potent activity against multi-protease inhibitor (PI)-resistant HIV-1 isolates with IC_{50} of 66-410 nM.

- **Purity:** 99.54%
- **Clinical Data:** Launched
- **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg
HMG-CoA Reductase (HMGCR)

HMG-CoA Reductase (HMGCR) is the rate-controlling enzyme of the mevalonate pathway, the metabolic pathway that produces cholesterol and other isoprenoids. Normally in mammalian cells this enzyme is suppressed by cholesterol derived from the internalization and degradation of low density lipoprotein (LDL) via the LDL receptor as well as oxidized species of cholesterol. Competitive inhibitors of the reductase induce the expression of LDL receptors in the liver, which in turn increases the catabolism of plasma LDL and lowers the plasma concentration of cholesterol, an important determinant of atherosclerosis. HMG-CoA reductase is thus the target of the widely available cholesterol-lowering drugs known collectively as the statins.

HMG-CoA reductase is anchored in the membrane of the endoplasmic reticulum, and was long regarded as having seven transmembrane domains, with the active site located in a long carboxyl terminal domain in the cytosol.
## HMG-CoA Reductase (HMGCR) Inhibitors & Modulators

### Atorvastatin

**Cat. No.:** HY-80589

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Atorvastatin is an inhibitor of HMG-CoA reductase used as a cholesterol-lowering medication that blocks the production of cholesterol.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Launched</td>
</tr>
<tr>
<td>Size</td>
<td>10 mg, 50 mg</td>
</tr>
</tbody>
</table>

### Atorvastatin hemicalcium salt (Atorvastatin hemicalcium)

**Cat. No.:** HY-17379

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Atorvastatin (hemicalcium salt) is a potent HMG-CoA reductase inhibitor with the IC&lt;sub&gt;50&lt;/sub&gt; value of 8 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.13%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Launched</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

### Clinofibrate (S-8527)

**Cat. No.:** HY-13528

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Clinofibrate (S-8527) is a hypelipidemic agent and a HMG-CoA reductase inhibitor.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.0%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Launched</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

### Fluvastatin

**Cat. No.:** HY-14664

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Fluvastatin (Leschol) inhibits HMG-CoA reductase activity with IC&lt;sub&gt;50&lt;/sub&gt; of 8 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Launched</td>
</tr>
<tr>
<td>Size</td>
<td>50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Fluvastatin D6 sodium

**Cat. No.:** HY-14664AS

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Fluvastatin D6 sodium is deuterium labeled Fluvastatin sodium, which is a competitive inhibitor of hydroxymethylglutaryl-coenzyme A reductase (HMGCR).</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

### Fluvastatin sodium

**Cat. No.:** HY-14664A

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Fluvastatin sodium is a competitive inhibitor of hydroxymethylglutaryl-coenzyme A reductase (HMGCR), used to treat hypercholesterolemia and to prevent cardiovascular disease</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.0%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Launched</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Lovastatin (Mevinolin)

**Cat. No.:** HY-N0504

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Lovastatin, a HMG-CoA reductase inhibitor, is a cholesterol-lowering drug.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.47%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Launched</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

### Mevinolin

**Cat. No.:** HY-17408

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Lovastatin (Mevinolin) is a HMG-CoA reductase inhibitor, is a cholesterol-lowering drug.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.45%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

### Meglulol (Dicrotalic acid; 3-Hydroxy-3-methylglutaric acid)

**Cat. No.:** HY-B1189

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Meglulol is an antilipemic agent which lowers cholesterol, triglycerides, serum beta-lipoproteins and phospholipids, and inhibits the activity of hydroxymethylglutaryl CoA reductases, which is the rate limiting enzyme in the biosynthesis of cholesterol.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>100 mg</td>
</tr>
</tbody>
</table>

### Nicodicosapent

**Cat. No.:** HY-17640

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Nicodicosapent is a fatty acid niacin conjugate that is also an inhibitor of the sterol regulatory element binding protein (SREBP), a key regulator of cholesterol metabolism proteins such as PCSK9, HMG-CoA reductase, ATP citrate lyase, and NPC1L1.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.19%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>No Development Reported</td>
</tr>
</tbody>
</table>
**Pitavastatin (NK-104)**  
Cat. No.: HY-B0144A

- **Bioactivity:** Pitavastatin (NK-104) is a potent HMG-CoA reductase inhibitor. Pitavastatin inhibited cholesterol synthesis from acetic acid with an IC50 of 5.8 nM in a human liver cancer cell line (HepG2).
- **Purity:** >98%
- **Clinical Data:** Launched
- **Size:** 10 mg, 50 mg, 100 mg

---

**Pitavastatin D4 (NK-104 D4)**  
Cat. No.: HY-B0144AS

- **Bioactivity:** Pitavastatin D4 is deuterium labeled Pitavastatin, which is a potent HMG-CoA reductase inhibitor.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg

---

**Pravastatin sodium**  
Cat. No.: HY-B0165A

- **Bioactivity:** Pravastatin sodium is an HMG-CoA reductase inhibitor against sterol synthesis with IC50 of 5.6 μM.
- **Purity:** 99.11%
- **Clinical Data:** Launched
- **Size:** 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

---

**Rosuvastatin Calcium**  
(Rosuvastatin hemicalcium; ZD 4522 Calcium)  
Cat. No.: HY-17504

- **Bioactivity:** Rosuvastatin Calcium is a competitive inhibitor of HMG-CoA reductase with IC50 of 11 nM.
- **Purity:** 99.96%
- **Clinical Data:** Launched
- **Size:** 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

---

**Rosuvastatin D3 Sodium**  
Cat. No.: HY-17504BS

- **Bioactivity:** Rosuvastatin D3 Sodium is deuterium labeled Rosuvastatin, which is a competitive inhibitor of HMG-CoA reductase with IC50 of 11 nM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg

---

**Rosuvastatin D6 Calcium**  
Cat. No.: HY-17504S

- **Bioactivity:** Rosuvastatin D6 Calcium is deuterium labeled Rosuvastatin, which is a competitive inhibitor of HMG-CoA reductase with IC50 of 11 nM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg

---

**Rosuvastatin D6 Sodium**  
Cat. No.: HY-17504BS1

- **Bioactivity:** Rosuvastatin D6 Sodium is deuterium labeled Rosuvastatin, which is a competitive inhibitor of HMG-CoA reductase with IC50 of 11 nM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg

---

**Bioactivity:** Pitavastatin Calcium is a competitive inhibitor of the enzyme HMGCR (HMG-CoA reductase) resulting in a reduction in LDL cholesterol synthesis. Target: HMG-CoA reductase. Pitavastatin (usually as a calcium salt) is a member of the blood cholesterol lowering medication class of statins, marketed in the United States under the trade name Lipitor.

- **Purity:** 99.86%
- **Clinical Data:** Launched
- **Size:** 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg

---

**Bioactivity:** Pravastatin is an HMG-CoA reductase inhibitor against sterol synthesis with IC50 of 5.6 μM.

- **Purity:** >98%
- **Clinical Data:** Launched
- **Size:** 10 mg, 50 mg, 100 mg

---

**Bioactivity:** Pitavastatin (NK-104) is a potent HMG-CoA reductase inhibitor, Pitavastatin inhibited cholesterol synthesis from acetic acid with an IC50 of 5.8 nM in a human liver cancer cell line (HepG2).
| **Simvastatin**  
(MK 733) | **SR12813**  
(GW 485801) |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Simvastatin is a competitive inhibitor of <strong>HMG-CoA reductase</strong> with $K_d$ of 0.1-0.2 nM.</td>
<td><strong>Bioactivity:</strong> SR12813 is an inhibitor of <strong>3-hydroxy-3-methylglutaryl-coenzyme A (HMG-CoA) reductase</strong>, with an IC$_{50}$ value of 0.85 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.0%</td>
<td><strong>Purity:</strong> 99.04%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg, 500 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>
HSP (Heat shock proteins) are a group of proteins induced by heat shock, the most prominent members of this group are a class of functionally related proteins involved in the folding and unfolding of other proteins. HSP expression is increased when cells are exposed to elevated temperatures or other stress. This increase in expression is transcriptionally regulated. The dramatic upregulation of the heat shock proteins is a key part of the heat shock response and is induced primarily by heat shock factor (HSF). HSPs are found in virtually all living organisms, from bacteria to humans. Heat shock proteins appear to serve a significant cardiovascular role. Hsp90, Hsp84, Hsp70, Hsp27, Hsp20 and alpha B crystallin all have been reported as having roles in the cardiovascular.
HSP Inhibitors & Modulators

17-AAG
(Tanespimycin; NSC 330507; CP 127374) Cat. No.: HY-10211

Bioactivity: 17-AAG is a potent HSP90 inhibitor with IC_{50} of 5 nM, having a 100-fold higher binding affinity for HSP90 derived from tumour cells than HSP90 from normal cells.

Purity: 99.03%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 25 mg, 100 mg, 200 mg

17-AAG Hydrochloride
(Tanespimycin Hydrochloride; NSC 330507 Hydrochloride; CP 127374 Hydrochloride) Cat. No.: HY-10211A

Bioactivity: 17-AAG Hydrochloride is a potent HSP90 inhibitor with IC_{50} of 5 nM, having a 100-fold higher binding affinity for HSP90 derived from tumour cells than HSP90 from normal cells.

Purity: 98.23%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 25 mg, 100 mg, 200 mg

Alvespimycin
(17-DMAG; NSC 707545) Cat. No.: HY-10389

Bioactivity: Alvespimycin is a potent inhibitor of Hsp90, binding to Hsp90 with an EC_{50} of 62 ± 29 nM.

Purity: >98%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 10 mg, 25 mg, 100 mg, 200 mg, 500 mg

Alvespimycin hydrochloride
(17-DMAG hydrochloride; KOS-1022; BMS 826476) Cat. No.: HY-12024

Bioactivity: Alvespimycin hydrochloride is a potent inhibitor of Hsp90, binding to Hsp90 with EC_{50} of 62±29 nM.

Purity: 99.32%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 10 mg, 25 mg, 100 mg, 200 mg, 500 mg

Apoptozole
Cat. No.: HY-15098

Bioactivity: Apoptozole is an inhibitor of the ATPase domain of Hsc70 and Hsp70, with K_{d} of 0.21 and 0.14 μM, respectively, and can induce apoptosis.

Purity: 99.57%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

AT13387
(Onalespib) Cat. No.: HY-14463

Bioactivity: AT13387 is a potent inhibitor of Hsp90, with K_{d} of 0.71 nM.

Purity: 99.64%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

BIIB021
(CNF2024) Cat. No.: HY-10212

Bioactivity: BIIB021 is an orally available, fully synthetic inhibitor of HSP90 with K_{i} and EC_{50} of 1.7 nM and 38 nM, respectively.

Purity: 99.62%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Bimoclomol
(N-[2-Hydroxy-3-(1-piperidinyl)propoxy]-3-pyridinecarboximidoyl chloride) Cat. No.: HY-U00398

Bioactivity: Bimoclomol is a heat shock protein (HSP) coinducer, used for treatment of cardiovascular diseases.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

CCT251236
(CUDC-305) Cat. No.: HY-101026

Bioactivity: CCT251236 is an orally available pirin ligand from a heat shock transcription factor 1 (hsf1) phenotypic screen with an IC_{50} of 19 nM for inhibition of HSF1-mediated HSP72 induction.

Purity: 99.03%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Debio 0932
(CUDC-305) Cat. No.: HY-13469

Bioactivity: Debio 0932 is an orally active HSP90 inhibitor, with IC_{50} of 100 and 103 nM for HSP90α and HSP90β, respectively.

Purity: 99.44%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg
<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th><strong>Purity</strong></th>
<th><strong>Clinical Data</strong></th>
<th><strong>Size</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Ethoxyquin is an antioxidant which has been used in animal feed for many years and also an inhibitor of heat shock protein 90 (Hsp90).</td>
<td>98.05%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Purity: 99.04%</td>
<td>Clinical Data: No Development Reported</td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
<tr>
<td>HSP70-IN-1 is a heat shock protein (HSP) inhibitor; inhibits the growth of Kasumi-1 cells with an IC&lt;sub&gt;50&lt;/sub&gt; of 2.3 μM.</td>
<td>98.05%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>KNK437 is a HSP inhibitor, and inhibits the induction of HSP105, HSP70, and HSP40.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>MKT-077 is a rhodacyanine dye and also a heat shock protein 70 (Hsp70) inhibitor which exhibits significant antitumor activity.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>ML346 is an activator of heat shock protein 70 (Hsp70), with an EC&lt;sub&gt;50&lt;/sub&gt; of 4600 nM in HeLa cells.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**Bioactivity:** Ethoxyquin is an antioxidant which has been used in animal feed for many years and also an inhibitor of heat shock protein 90 (Hsp90).

**Purity:** 98.05%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

---

**Bioactivity:** Ganetespib is a unique non-geldanamycin heat shock protein 90 (HSP90) inhibitor, with IC<sub>50</sub> of 4 nM in OSA 8 cells.

**Purity:** 99.56%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

---

**Bioactivity:** HSF1A is a cell-permeable activator of heat shock transcription factor 1 (HSF1).

**Purity:** 99.33%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

---

**Bioactivity:** KIN1148, a small-molecule IRF3 agonist, is a novel influenza vaccine adjuvant found to enhance flu vaccine efficacy.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

---

**Bioactivity:** KNK437 is a HSP inhibitor, and inhibits the induction of HSP105, HSP70, and HSP40.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

---

**Bioactivity:** KRIBB11 is an inhibitor of Heat shock factor (HSF), with IC<sub>50</sub> of 1.2 μM.

**Purity:** 99.04%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

---

**Bioactivity:** ML346 is an activator of heat shock protein 70 (Hsp70), with an EC<sub>50</sub> of 4600 nM in HeLa cells.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th><strong>NVP-AUY922</strong>  (Luminespib; AUY922; VER-52296)</th>
<th><strong>NVP-BEP800</strong>  (VER-82576)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> NVP-AUY922 is a highly potent HSP90 inhibitor with $IC_{50}$ of 13 nM/21 nM for HSP90α/β, respectively, and has weaker potency against the HSP90 family members GRP94 and TRAP-1.</td>
<td><strong>Bioactivity:</strong> NVP-BEP800 is a potent, orally available and selective Hsp90 inhibitor, with an $IC_{50}$ of 58 nM, also slightly blocks Grp94 and Trap-1, with $IC_{50}$'s of 4.1 and 5.5 μM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.14%</td>
<td><strong>Purity:</strong> 99.48%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 2</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 100 mg, 200 mg, 500 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>NVP-HSP990</strong>  (HSP-990)</th>
<th><strong>PF-04929113</strong>  (SNX-5422)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> NVP-HSP990 is a potent and selective Hsp90 inhibitor, with $IC_{50}$ values of 0.6, 0.8, and 8.5 nM for Hsp90α, Hsp90β, and Grp94, respectively.</td>
<td><strong>Bioactivity:</strong> PF-04929113, a prodrug of SNX-2112, is an orally active Hsp90 inhibitor, with a $K_d$ of 41 nM, and also induces Her-2 degradation, with an $IC_{50}$ of 37nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.59%</td>
<td><strong>Purity:</strong> 97.65%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
<td><strong>Size:</strong></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PF-04929113 Mesylate</strong>  (SNX-5422 Mesylate)</th>
<th><strong>PU-H71</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PF-04929113 Mesylate, a prodrug of SNX-2112, is an orally active Hsp90 inhibitor, with a $K_d$ of 41 nM, and also induces Her-2 degradation, with an $IC_{50}$ of 37nM.</td>
<td><strong>Bioactivity:</strong> PU-H71 is a potent Hsp90 inhibitor with IC50 of 50 nM</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Purity:</strong> 98.85%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 2</td>
<td><strong>Clinical Data:</strong> Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong> 5 mg, 10 mg, 50 mg</td>
<td><strong>Size:</strong></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PU-H71 hydrochloride</strong></th>
<th><strong>PU-WS13</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PU-H71 HCl is a potent Hsp90 inhibitor with IC50 of 50 nM</td>
<td><strong>Bioactivity:</strong> PU-WS13 is a selective Grp94 inhibitor, with an $EC_{50}$ of 0.22 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Purity:</strong> 98.06%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 1</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Retaspimycin</strong>  (IPI-504)</th>
<th><strong>Retaspimycin Hydrochloride</strong>  (IPI-504)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Retaspimycin is a potent and water-soluble inhibitor of Hsp90, with an $EC_{50}$ of 63 nM.</td>
<td><strong>Bioactivity:</strong> Retaspimycin hydrochloride is a novel and highly soluble inhibitor of the Hsp90 ATPase activity.</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Purity:</strong> 95.0%</td>
</tr>
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<td><strong>Clinical Data:</strong> Phase 3</td>
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<td>HY-15984</td>
</tr>
<tr>
<td>XL888</td>
<td>HY-13313</td>
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Indoleamine 2,3-Dioxygenase (IDO)

Indoleamine 2,3-dioxygenase (IDO) is an intracellular enzyme that catalyzes the transformation of L-tryptophan to N-formylkynurenine, which is the first and rate-controlling step in the kynurenine pathway. IDO is a rate-limiting enzyme that catalyzes the degradation of tryptophan into kynurenine and is recognized to exert a tolerizing effect on T cells that require tryptophan to proliferate. IDO also plays an important role in the activation and regulation of functionally quiescent regulatory T cells. IDO is a heme-containing enzyme that catalyzes the oxidative cleavage of 2,3 double bond of indole ring. IDO has the ability to inhibit T-cell activation by tryptophan starvation, whereas T-cell survival and proliferation are regulated by $O_2^{-}$ free radicals and kynurenine derivatives. IDO plays a crucial role in autoimmunity, infections and malignancies. Indoleamine-2,3-dioxygenase (IDO) one of the most important immunoregulator enzyme responsible for metabolism of tryptophan as part of Kynurenin pathway. Tryptophan is catabolized in the tumor tissue by the rate-limiting enzyme IDO expressed in tumor cells or antigen presenting cells.
## Indoleamine 2,3-Dioxygenase (IDO) Inhibitors & Modulators

**8-Nitrotryptanthrin**

**Bioactivity:** 8-Nitrotryptanthrin is a potent human indoleamine 2,3-dioxygenase (IDO) inhibitor which significantly reduces IDO2 activity with a $K_i$ of 0.97 μM.

**Purity:** 99.21%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

---

**BMS-986205**

**Bioactivity:** BMS-986205 is a selective indoleamine 2,3-dioxygenase 1 (IDO1) inhibitor.

**Purity:** 98.70%

**Clinical Data:** No Development Reported

**Size:**

---

**Coptisine (Coptisin)**

**Bioactivity:** Coptisine is an alkaloid from Chinese goldthread, and acts as an efficient uncompetitive IDO inhibitor with a $K_i$ value of 5.8 μM and an $IC_{50}$ value of 6.3 μM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10 mg, 50 mg

---

**Coptisine chloride**

**Bioactivity:** Coptisine chloride is an alkaloid from Chinese goldthread, and acts as an efficient uncompetitive IDO inhibitor with a $K_i$ value of 5.8 μM and an $IC_{50}$ value of 6.3 μM.

**Purity:** 98.04%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**IDO-IN-1**

**Bioactivity:** IDO-IN-1 is a potent indoleamine 2,3-dioxygenase (IDO) inhibitor with an $IC_{50}$ of 59 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

---

**IDO-IN-11**

**Bioactivity:** IDO-IN-11 is an indoleamine-2,3-dioxygenase (IDO) inhibitor with $IC_{50}$ of 0.18 μM (Kinase) and 0.014 μM (Hela Cell), extracted from patent WO 2016041489 A1, compound 13.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

---

**IDO-IN-12**

**Bioactivity:** IDO-IN-12 is an indoleamine 2,3-dioxygenase (IDO) inhibitor extracted from patent WO 2017181849 A1.

**Purity:** 99.22%

**Clinical Data:** No Development Reported

**Size:**

---

**IDO-IN-2**

**Bioactivity:** IDO-IN-2 is an IDO inhibitor extracted from patent WO/2015031295 A1, compound example 1, has $IC_{50}$ values of 0.068 μM in HeLa cell and 0.16 μM in HEK293 cell.

**Purity:** 98.54%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

---

**IDO-IN-3**

**Bioactivity:** IDO-IN-3 is a potent indoleamine 2,3-dioxygenase (IDO) inhibitor with an $IC_{50}$ of 290 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

---

**IDO-IN-4**

**Bioactivity:** IDO-IN-4 is an indoleamine 2,3-dioxygenase 1 (IDO-1) inhibitor, extracted from patent WO2014150677A1, Compound example 1 enantiomer 1.

**Purity:** 98.90%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg
Bioactivity: IDO-IN-5 (NLG-1489) is an indoleamine 2,3-dioxygenase (IDO) inhibitor extracted from patent WO 2012142237A1, compound 1489, has an IC_{50} of 1-10 μM.

Purity: 99.90%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

Bioactivity: IDO-IN-6 (NLG-1486) is an indoleamine 2,3-dioxygenase (IDO) inhibitor extracted from patent WO 2012142237A1, Compound 1486, has an IC_{50} of <1 μM.

Purity: 99.90%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

Bioactivity: IDO-IN-7 (NLG-919 analogue) is a potent IDO1 inhibitor (IC_{50} = 38 nM).

Purity: 99.92%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Bioactivity: IDO-IN-8 (NLG-1487) is an indoleamine 2,3-dioxygenase (IDO) inhibitor extracted from patent WO 2012142237A1, compound 1487, has an IC_{50} of 1-10 μM.

Purity: 99.99%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

Bioactivity: IDO-IN-9 is an indoleamine 2,3-dioxygenase (IDO) inhibitor with IC_{50} of 0.011 μM (Kinase) and 0.0018 μM (Hela Cell), extracted from patent WO 2016041489 A1, compound 6.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Bioactivity: INCB 024360 is a potent and selective IDO1 inhibitor with IC_{50} of 71.8 nM±17.5 nM.

Purity: 99.65%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Bioactivity: Indoximod (D-1MT, NLG8189) is an indoleamine 2,3-dioxygenase (IDO) pathway inhibitor with a K_{i} of 19 μM.

Purity: 98.98%
Clinical Data: Phase 3
Size: 250 mg

Bioactivity: Navoximod (NLG919) is a potent IDO (indoleamine-(2,3)-dioxygenase) pathway inhibitor with K_{i}/EC_{50} of 7 nM/75 nM.

Purity: 99.99%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

Bioactivity: PF-06840003 is a highly selective orally bioavailable IDO-1 inhibitor.

Purity: 99.80%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg
Isocitrate dehydrogenase (IDH) is an enzyme that catalyzes the oxidative decarboxylation of isocitrate, producing alpha-ketoglutarate (α-ketoglutarate) and CO$_2$. This is a two-step process, which involves oxidation of isocitrate (a secondary alcohol) to oxalosuccinate (a ketone), followed by the decarboxylation of the carboxyl group beta to the ketone, forming alpha-ketoglutarate. In humans, IDH exists in three isoforms: IDH3 catalyzes the third step of the citric acid cycle while converting NAD$^+$ to NADH in the mitochondria. The isoforms IDH1 and IDH2 catalyze the same reaction outside the context of the citric acid cycle and use NADP$^+$ as a cofactor instead of NAD$^+$. They localize to the cytosol as well as the mitochondrion and peroxisome.
Isocitrate Dehydrogenase (IDH) Inhibitors & Modulators

(R,S)-Ivosidenib
((R,S)-AG-120)  
**Cat. No.:** HY-18767A

**Bioactivity:** (R,S)-Ivosidenib is a inhibitor of Isocitrate Dehydrogenase (IDH1).

**Purity:** 99.40%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

AGI-5198
(IDH-C35)  
**Cat. No.:** HY-18082

**Bioactivity:** AGI-5198 is a novel R132H-IDH1 inhibitor, used for cancer treatment.

**Purity:** 99.65%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

AGI-6780  
**Cat. No.:** HY-15734

**Bioactivity:** AGI-6780 that potently and selectively inhibits the tumor-associated mutant IDH2[R140Q] with IC₅₀ of 23±1.7 nM. AGI-6780 is less potent against IDH2[WT] with IC₅₀ of 190±8.1 nM.

**Purity:** 98.55%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

BAY-1436032  
**Cat. No.:** HY-100020

**Bioactivity:** BAY-1436032 is a novel pan-mutant isocitrate dehydrogenase 1 (IDH1) inhibitor.

**Purity:** 98.94%

**Clinical Data:** No Development Reported

**Size:**

Enasidenib
(AG-221)  
**Cat. No.:** HY-18690

**Bioactivity:** Enasidenib is a first-in-class, oral, potent, reversible, selective inhibitor of the IDH2 mutant enzymes.

**Purity:** 99.91%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Enasidenib mesylate
(AG-221 mesylate)  
**Cat. No.:** HY-18690A

**Bioactivity:** Enasidenib mesylate is a first-in-class, oral, potent, reversible, selective inhibitor of the IDH2 mutant enzymes.

**Purity:** >98%

**Clinical Data:** Launched

**Size:**

GSK864  
**Cat. No.:** HY-19540

**Bioactivity:** GSK864 is an isocitrate dehydrogenase 1 (IDH1) mutant inhibitor; inhibits IDH1 mutants R132C, R132H, and R132G with IC₅₀ values of 8.8, 15.2 and 16.6 nM.

**Purity:** 99.36%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IDH-305  
**Cat. No.:** HY-104036

**Bioactivity:** IDH-305 is an inhibitor of isocitrate dehydrogenase (IDH).

**Purity:** 98.01%

**Clinical Data:** No Development Reported

**Size:**

Ivosidenib
(AG-120; AG120; AG120)  
**Cat. No.:** HY-18767

**Bioactivity:** Ivosidenib is a inhibitor of IDH1. The detailed information please refer to WO2015127172A1 and WO2015138839A1.

**Purity:** 99.05%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Mutant IDH1 inhibitor  
**Cat. No.:** HY-13972

**Bioactivity:** Mutant IDH1 inhibitor is a potent mutant IDH1 R132H inhibitor with IC₅₀ of < 72 nM.

**Purity:** 98.03%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Tel: 4008203792  Fax: 021-53700325  Email: sales@MedChemExpress.cn
<table>
<thead>
<tr>
<th><strong>Mutant IDH1-IN-1</strong></th>
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<td><strong>Bioactivity</strong>:</td>
<td>Mutant IDH1-IN-1 is a mutant-selective IDH1 inhibitor with with IC\textsubscript{50} \n of 4, 42, 80 and 143 nM against mutant IDH1 R132C/R132C, IDH1 R132H/R132H, IDH1 R132H/WT and wild type IDH1, respectively.</td>
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<tr>
<td><strong>Purity</strong>:</td>
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<td><strong>Bioactivity</strong>:</td>
<td>Mutant IDH1-IN-2 is a inhibitor of mutant Isocitrate dehydrogenase (IDH) proteins, with IC50 of 16.6 nM in Fluorescence biochemical assay.</td>
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<td><strong>Purity</strong>:</td>
<td>&gt;98%</td>
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<td><strong>Clinical Data</strong>:</td>
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<td><strong>Size</strong>:</td>
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<td><strong>Bioactivity</strong>:</td>
<td>Vorasidenib is a pan isocitrate dehydrogenase (IDH) inhibitor.</td>
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<td><strong>Clinical Data</strong>:</td>
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www.MedChemExpress.cn
Lactate Dehydrogenase (LDH), which catalyzes the inter-conversion of pyruvate and lactate.

Mammalian lactate dehydrogenase (LDH) comprises three major families of conserved enzymes that catalyse the reversible interconversion of pyruvate and lactate, a key metabolic step in glycolysis and other metabolic pathways. At least five LDH tetrameric isozymes are reported in somatic mammalian tissues, comprising LDHA and LDHB subunits, whereas LDHC₄ is found only in mature testis and spermatozoa, where it is required for male fertility. Lactate dehydrogenase catalyzes the interconversion of pyruvate and lactate with concomitant interconversion of NADH and NAD⁺. At high concentrations of lactate, the enzyme exhibits feedback inhibition, and the rate of conversion of pyruvate to lactate is decreased.
Lactate Dehydrogenase Inhibitors & Modulators

(R)-GNE-140
(Cat. No.: HY-100742A)

Bioactivity: (R)-GNE-140 is a potent lactate dehydrogenase A (LDHA) inhibitor, with IC\textsubscript{50} values of 3 nM and 5 nM for LDHA and LDHB, respectively. (R)-GNE-140 is 18-fold more potent than its enantiomer.

Purity: 98.50%
Clinical Data: No Development Reported
Size: 2 mg, 5 mg

(S)-GNE-140
(Cat. No.: HY-100742B)

Bioactivity: (S)-GNE-140 is the less active enantiomer of GNE-140 which can inhibit Lactate dehydrogenase A (LDHA).

Purity: 97.79%
Clinical Data: No Development Reported
Size: 

GNE-140 racemate
(GNE 140 racemate; GNE140 racemate)
(Cat. No.: HY-100742)

Bioactivity: GNE-140 racemate is a LDHA inhibitor

Purity: 99.53%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

GSK2837808A
(Cat. No.: HY-100681)

Bioactivity: GSK2837808A is a potent and selective lactate dehydrogenase A (LDHA) inhibitor with IC\textsubscript{50} values of 1.9 and 14 nM for LDHA and LDHB, respectively.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 2 mg, 5 mg
LXR (Liver X receptor) is a nuclear receptor that acts as a ligand-activated transcription factor. LXR activation causes the upregulation of genes involved in reverse cholesterol transport (RCT), including ABCA1 and ABCG1 transporters, in macrophage and intestine. LXRα/β are ligand-activated transcription factors of the nuclear hormone receptor superfamily that stimulate transcription of several genes, including ABCA1 and apoE. LXRα and LXRβ respond to the same oxysterol ligands and activate transcription as obligate heterodimeric complexes with retinoid X receptors. Synthetic LXR agonists activate both LXRα and LXRβ, cross the blood-brain barrier, and efficiently induce expression of LXR target genes including ABCA1 and apoE.
## LXR Inhibitors & Modulators

**LXR Inhibitors & Modulators**

### (20S)-Protopanaxatriol

(20(S)-APPT; g-PPT)  
**Cat. No.: HY-N0835**

**Bioactivity:** (20S)-Protopanaxatriol is a metabolite of ginsenoside, works through the glucocorticoid receptor (GR) and oestrogen receptor (ER), and is also a LXR\(\alpha\) inhibitor and a PPAR\(\gamma\) activator.

**Purity:** 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### 24-Hydroxycholesterol

**Cat. No.: HY-N2370**

**Bioactivity:** 24-Hydroxycholesterol is a natural sterol, which serves as a positive allosteric modulator of N-Methyl-d-Aspartate (NMDA) receptors, and a potent activator of the transcription factors LXR.

**Purity:** 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 2 mg, 5 mg

### 27-Hydroxycholesterol

**Cat. No.: HY-N2371**

**Bioactivity:** 27-Hydroxycholesterol is a selective estrogen receptor modulator and an agonist of the liver X receptor.

**Purity:** 99.20%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### AZ876

**Cat. No.: HY-18282**

**Bioactivity:** AZ876 is a novel high-affinity LXR agonist.

**Purity:** 99.76%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### BMS-779788

(EXELO4286652; XL-652; BMS-788)  
**Cat. No.: HY-19919**

**Bioactivity:** BMS-779788 is a LXR partial agonist with IC\(_{50}\) values of 68 nM for LXR\(\alpha\) and 14 nM for LXR\(\beta\).

**Purity:** 98.0%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### BMS-852927

(XL041)  
**Cat. No.: HY-101973**

**Bioactivity:** BMS-852927 is an LXR\(\beta\)-selective agonist.

**Purity:** 99.11%  
**Clinical Data:** Phase 1  
**Size:**

### GSK2033

**Cat. No.: HY-108688**

**Bioactivity:** GSK2033 is a LXR antagonist with pIC\(_{50}\) of 7 and 7.4 for LXR\(\alpha\) or LXR\(\beta\), respectively.

**Purity:** 98.83%  
**Clinical Data:** No Development Reported  
**Size:**

### GW3965

**Cat. No.: HY-10627**

**Bioactivity:** GW3965 is a potent, selective LXR agonist for hLXR\(\alpha\) and hLXR\(\beta\) with EC\(_{50}\) of 190 and 30 nM, respectively.

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

### GW3965 hydrochloride

**Cat. No.: HY-10627A**

**Bioactivity:** GW3965 hydrochloride is a potent, selective LXR agonist for hLXR\(\alpha\) and hLXR\(\beta\) with EC\(_{50}\) of 190 and 30 nM, respectively.

**Purity:** 96.05%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### LXR-623

(WAY 252623)  
**Cat. No.: HY-10629**

**Bioactivity:** LXR-623 is a highly brain-penetrant LXR\(\alpha\)-partial/LXR\(\beta\)-full agonist, with IC\(_{50}\) of 179 and 24 nM for LXR-\(\beta\) and the LXR-\(\alpha\) subtype, respectively.

**Purity:** 98.93%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

---

LXR Inhibitors & Modulators

**Bioactivity:**

- **(20S)-Protopanaxatriol** is a metabolite of ginsenoside, works through the glucocorticoid receptor (GR) and oestrogen receptor (ER), and is also a LXR\(\alpha\) inhibitor and a PPAR\(\gamma\) activator.

- **24-Hydroxycholesterol** is a natural sterol, which serves as a positive allosteric modulator of N-Methyl-d-Aspartate (NMDA) receptors, and a potent activator of the transcription factors LXR.

- **27-Hydroxycholesterol** is a selective estrogen receptor modulator and an agonist of the liver X receptor.

- **AZ876** is a novel high-affinity LXR agonist.

- **BMS-779788** is a LXR partial agonist with IC\(_{50}\) values of 68 nM for LXR\(\alpha\) and 14 nM for LXR\(\beta\).

- **BMS-852927** is an LXR\(\beta\)-selective agonist.

- **GSK2033** is a LXR antagonist with pIC\(_{50}\) of 7 and 7.4 for LXR\(\alpha\) or LXR\(\beta\), respectively.

- **GW3965** is a potent, selective LXR agonist for hLXR\(\alpha\) and hLXR\(\beta\) with EC\(_{50}\) of 190 and 30 nM, respectively.

- **GW3965 hydrochloride** is a potent, selective LXR agonist for hLXR\(\alpha\) and hLXR\(\beta\) with EC\(_{50}\) of 190 and 30 nM, respectively.

- **LXR-623** is a highly brain-penetrant LXR\(\alpha\)-partial/LXR\(\beta\)-full agonist, with IC\(_{50}\) of 179 and 24 nM for LXR-\(\beta\) and the LXR-\(\alpha\) subtype, respectively.
SR9238  
Cat. No.: HY-101442  

Bioactivity: SR9238 is a synthetic LXR antagonist with $IC_{50}$ of 214 nM and 43 nM for LXRα and LXRβ, respectively.

Purity: 99.53%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

SR9243  
Cat. No.: HY-16972  

Bioactivity: SR9243 is a liver-X-receptor (LXR) inverse agonist that induces LXR-corepressor interaction.

Purity: 98.99%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

T0901317  
Cat. No.: HY-10626  

Bioactivity: T0901317 is a potent and selective agonist for both LXR and FXR, with EC50 of ~50 nM and 5 μM, respectively, inhibits nuclear factor/NFkB.

Purity: 99.64%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg
Monoacylglycerol lipase (MGL) is a 33 kDa serine hydrolase that catalyses the hydrolysis of monoacylglycerols to their corresponding fatty acids. The enzyme is found both in the brain and in peripheral tissues such as the kidney, ovary, testis, adrenal gland, adipose tissue and heart. The three-dimensional structure of MGL has been elucidated by X-ray crystallography, and the enzyme has been shown to be a dimeric molecule with amphitropic properties, that is, it can exist both in a soluble form and associated with the membrane lipid bilayers. Mutagenesis studies have demonstrated the importance of the catalytic triad of ser122, asp239 and his269 that the enzyme shares with other enzymes in the α/β hydrolase superfamily. The substrate is recruited via a wide hydrophobic tunnel, where it can then interact with the catalytic triad at the end of the tunnel. MGL inhibitors have lagged behind, but have a potential advantage over FAAH inhibitors in terms of eCB specificity of action given that the N-acylethanolamines are a class of compounds with multiple biological actions.
## MAGL Inhibitors & Modulators

### JJKK 048  
**Cat. No.:** HY-108613

**Bioactivity:** JJKK 048 is an ultrapotent and highly selective inhibitor of **Monoacylglycerol lipase (MAGL).**

**Purity:** >98%
**Clinical Data:** No Development Reported  
**Size:**
- 10mM x 1mL in DMSO
- 5 mg, 10 mg, 50 mg, 100 mg

### JW 642  
**Cat. No.:** HY-12332

**Bioactivity:** JW 642 is a potent inhibitor of monoacylglycerol lipase (MAGL) that displays IC50 values of 7.6, 14, and 3.7 nM for inhibition of MAGL in mouse, rat, and human brain membranes, respectively.

**Purity:** >98%
**Clinical Data:** No Development Reported  
**Size:**
- 5 mg, 10 mg, 50 mg, 100 mg

### JZL 184  
**Cat. No.:** HY-15249

**Bioactivity:** JZL 184 is a potent and selective inhibitor of MAGL with IC50 of 8 nM and 4 μM for inhibition of MAGL and FAAH in mouse brain membranes respectively.

**Purity:** 97.73%
**Clinical Data:** No Development Reported  
**Size:**
- 10mM x 1mL in DMSO
- 10 mg, 50 mg, 100 mg

### JZL195  
**Cat. No.:** HY-15250

**Bioactivity:** JZL195 is a selective and efficacious dual FAAH/MAGL inhibitor with IC50 of 13 nM and 19 nM for mouse brain FAAH and MAGL respectively.

**Purity:** 99.31%
**Clinical Data:** No Development Reported  
**Size:**
- 10mM x 1mL in DMSO
- 5 mg, 10 mg, 50 mg, 100 mg

### KML29  
**Cat. No.:** HY-18977

**Bioactivity:** KML29 is a potent and selective MAGL inhibitor with IC50 = 5.9, 15, and 43 nM in human, mouse, and rat brain proteomes, respectively.

**Purity:** 99.83%
**Clinical Data:** No Development Reported  
**Size:**
- 10mM x 1mL in DMSO
- 5 mg, 10 mg, 50 mg, 100 mg

### WWL70  
**Cat. No.:** HY-100337

**Bioactivity:** WWL70 is a selective **alpha/beta hydrolase domain 6 (ABHD6)** inhibitor with an IC50 of 70 nM.

**Purity:** 98.88%
**Clinical Data:** No Development Reported  
**Size:**
Mineralocorticoid Receptor

Mineralocorticoid receptor (MR) is a member of the nuclear receptor superfamily and is essential for controlling sodium transport in epithelial tissues such as the kidney and colon. Mineralocorticoid receptor (MR) is a nuclear receptor (NR) that is critical for controlling sodium and potassium transport in epithelial cells, most notably in the kidney and colon. It also plays important roles in non-epithelial tissues, such as cardiac myocytes, blood vessels, the hippocampus and adipose tissue. The MR is capable of binding multiple classes of steroids with high affinity, including the mineralocorticoids, aldosterone and deoxycorticosterone, the glucocorticoids (GR), cortisol (in humans) or corticosterone (in rodents), and progesterone (PR). While aldosterone is considered the primary physiological MR ligand in humans, in some tissues cortisol may be the primary ligand for MR, whereas PR behaves as a predominant antagonist.
Deoxycorticosterone acetate (11-Deoxycorticosterone acetate; DOC acetate; Cortexone acetate)  
Cat. No.: HY-B1472

Bioactivity: Deoxycorticosterone acetate is a steroid hormone produced by the adrenal gland that possesses mineralocorticoid activity and acts as a precursor to aldosterone.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 100 mg

Eplerenone  
(Epoxymexrenone)  
Cat. No.: HY-B0251

Bioactivity: Eplerenone is an aldosterone antagonist with an IC50 of 0.36 μM.

Purity: 99.62%
Clinical Data: Launched
Size: 10 mg, 50 mg, 100 mg

Esaxerenone  
(CS-3150; XL-550)  
Cat. No.: HY-100471

Bioactivity: Esaxerenone is a novel, highly potent and selective non-steroidal mineralocorticoid receptor antagonist.

Purity: 99.43%
Clinical Data: Phase 3
Size: 

Fludrocortisone acetate (9α-Fludrocortisone acetate; 9α-Fluorocortisol)  
Cat. No.: HY-B1203A

Bioactivity: Fludrocortisone Acetate is a synthetic mineralocorticoid, used to control the amount of sodium and fluids in your body.

Purity: 99.40%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg

Fludrocortisone  
(9α-Fludrocortisone; 9α-Fluorocortisol)  
Cat. No.: HY-B1203

Bioactivity: Fludrocortisone, a synthetic mineralocorticoid with anti-inflammatory activity.

Purity: >98%
Clinical Data: Launched
Size: 10 mg, 50 mg

Osilodrostat  
(LCI699)  
Cat. No.: HY-16276

Bioactivity: Osilodrostat (LCI699) is a potent inhibitor of human 11β-hydroxylase and aldosterone synthase with IC50 values of 2.5 and 0.7 nM, respectively.

Purity: 98.0%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

SC26304  
(Dicirenone)  
Cat. No.: HY-U00200

Bioactivity: SC26304 inhibits the effects of Aldosterone on urinary K+/Na+ ratios and the binding of [3H]Aldosterone to renal cytoplasmic and nuclear receptors.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg
Mitochondrial Metabolism

Mitochondria use multiple carbon fuels to produce ATP and metabolites, including pyruvate, which is generated from glycolysis; amino acids such as glutamine; and fatty acids. These carbon fuels feed into the TCA cycle in the mitochondrial matrix to generate the reducing equivalents NADH and FADH₂, which deliver their electrons to the electron transport chain. Mitochondria are complex organelles that play an important role in many facets of cellular function, from metabolism to immune regulation and cell death. Mitochondria are actively involved in a wide variety of cellular processes and molecular interactions, such as calcium buffering, lipid flux, and intracellular signaling. It is increasingly recognized that mitochondrial dysfunction is a hallmark of many diseases such as obesity/diabetes, cancer, cardiovascular and neurodegenerative diseases. Mitochondrial metabolism is a key determinant of tumor progression by impacting on functions such as epithelial-to-mesenchymal transition. Mitochondrial metabolism and derived oncometabolites shape the epigenetic landscape to alter aggressiveness features of cancer cells. Changes in mitochondrial metabolism are relevant for the survival of tumors in response to therapy.
### Mitochondrial Metabolism Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Adjudin</strong> (AF-2364)</td>
<td>HY-18996</td>
<td>Adjudin is an extensively studied male contraceptive with a superior <strong>mitochondria</strong>-inhibitory effect. Adjudin is also a potent Cl⁻ channel blocker.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Daidzin</strong> (Daidzoside; NPI-031D; Daidzein 7-O-glucoside)</td>
<td>HY-N0018</td>
<td>Daidzin is an isoflavone that has anti-oxidant, anti-carcinogenic, and anti-atherosclerotic activities; directly inhibits mitochondrial aldehyde dehydrogenase 2 (IC₅₀ = 80 nM) and is an effective anti-dipsotropic isoflavone.</td>
<td>99.04%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Elamipretide</strong> (MTP-131; RX-31; SS-31)</td>
<td>HY-P0125</td>
<td>Elamipretide is a cardiolipin peroxidase inhibitor and mitochondria-targeting peptide. Improves Left Ventricular and Mitochondrial Function.</td>
<td>99.53%</td>
<td>Phase 3</td>
<td></td>
</tr>
<tr>
<td><strong>ER-000444793</strong></td>
<td>HY-100852</td>
<td>ER-000444793 is a potent inhibitor of mitochondrial permeability transition pore (mPTP) opening. ER-000444793 inhibits mPTP with an IC₅₀ of 2.8µM.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>FCCP</strong> (Carbonyl cyanide 4-(trifluoromethoxy)phenylhydrazone)</td>
<td>HY-100410</td>
<td>FCCP is a mitochondrial uncoupling agent, used in cancer research.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Imeglimin</strong> (EMD 387008)</td>
<td>HY-14771</td>
<td>Imeglimin is the first antidiabetic compound that induces an increase in mitochondrial phospholipid composition, contributing to improvements in hepatic mitochondrial function.</td>
<td>&gt;98%</td>
<td>Phase 2</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Imeglimin hydrochloride</strong> (EMD 387008 hydrochloride)</td>
<td>HY-14771A</td>
<td>Imeglimin hydrochloride is the first antidiabetic compound that induces an increase in mitochondrial phospholipid composition, contributing to improvements in hepatic mitochondrial function.</td>
<td>98.0%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>L-Hisidine</strong></td>
<td>HY-N0832</td>
<td>L-Hisidine is an essential amino acid for infants. L-Hisidine is an inhibitor of mitochondrial glutamine transport.</td>
<td>99.94%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Lipoic acid</strong> ((R)-(+)-α-Lipoic acid; R-(+)-Thioctic acid)</td>
<td>HY-18733</td>
<td>Lipoic acid ((R)-(+)-α-Lipoic acid) is an antioxidant, which is an essential cofactor of mitochondrial enzyme complex. (R)-(+)-α-Lipoic acid is more effective than racemic Lipoic acid.</td>
<td>&gt;98%</td>
<td>Phase 4</td>
<td>10mM x 1mL in DMSO, 500 mg</td>
</tr>
<tr>
<td><strong>NIM811</strong> (SDZ NIM811)</td>
<td>HY-P0025</td>
<td>NIM811 (SDZ NIM811) is a potent mitochondrial permeability transition inhibitor.</td>
<td>99.55%</td>
<td>Phase 2</td>
<td></td>
</tr>
<tr>
<td><strong>Rotenone</strong></td>
<td><strong>Speract (Gly-Phe-Asp-Leu-Asn-Gly-Gly-Gly-Val-Gly; GFDLNGGGVG)</strong></td>
<td></td>
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</tr>
<tr>
<td>Cat. No.: HY-B1756</td>
<td>Cat. No.: HY-P0245</td>
<td></td>
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</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Rotenone is an inhibitor of mitochondrial electron transport at NADH:ubiquinone oxidoreductase, and is used to induce a Parkinson-like syndrome as an experimental model in rats.</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.03%</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 g, 5 g</td>
<td></td>
<td></td>
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</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Thiabendazole</strong></th>
<th><strong>TRO 19622 (Olesoxime; NSC 21311)</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>(2-(4-Thiazolyl)benzimidazole)</td>
<td>Cat. No.: HY-B0263</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Thiabendazole inhibits the mitochondrial helminth-specific enzyme, fumarate reductase, with antihelminthic property</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.84%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 g, 5 g</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>α-Lipoic Acid ((±)-α-Lipoic acid; DL-α-Lipoic acid; Thioctic acid)</strong></th>
<th><strong>Bioactivity:</strong> TRO 19622 is a mitochondrial-targeted neuroprotective compound with mean EC₅₀ value for increasing cell survival is 3.2±0.2 µM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-N0492</td>
<td>Cat. No.: HY-14796</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>α-Lipoic Acid is an antioxidant, which is an essential cofactor of mitochondrial enzyme complexes. α-Lipoic Acid inhibits NF-κB -dependent HIV-1 LTR activation.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.03%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 500 mg</td>
</tr>
</tbody>
</table>
MMPs (Matrix metalloproteinases) are zinc-dependent endopeptidases. The MMPs belong to a larger family of proteases known as the metzincin superfamily. MMPs are capable of degrading all kinds of extracellular matrix proteins, but also can process a number of bioactive molecules. They are known to be involved in the cleavage of cell surface receptors, the release of apoptotic ligands and chemokine/cytokine inactivation. MMPs are also thought to play a major role on cell behaviors such as cell proliferation, migration, differentiation, angiogenesis, apoptosis, and host defense. MMP-2 and MMP-9 are thought to be important in metastasis. MMP-1 is thought to be important in rheumatoid arthritis and osteoarthritis.

Recent data suggests active role of MMPs in the pathogenesis of Aortic Aneurysm. Excess MMPs degrade the structural proteins of the aortic wall. Disregulation of the balance between MMPs and TIMPs is also a characteristic of acute and chronic cardiovascular diseases.
**MMP Inhibitors & Modulators**

**(-)-Epigallocatechin (EGC; Epigallocatechin; l-Epigallocatechin; epi-Gallocatechin)**

*Cat. No.: HY-N0225*

**Bioactivity:** (-)-Epigallocatechin is the most abundant flavonoid in green tea, can bind to unfolded native polypeptides and prevent conversion to amyloid fibrils.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg

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**Arctigenin**

*Cat. No.: HY-N0035*

**Bioactivity:** Arctigenin is a lignan found in certain plants of the Asteraceae; it has shown antiviral and anticancer effects in glass; it is the aglycone of arctiin.

**Purity:** 98.46%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

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**Astragaloside IV**

*Cat. No.: HY-N0431*

**Bioactivity:** Astragaloside IV, an active component isolated from Astragalus membranaceus, suppresses the activation of ERK1/2 and JNK, and downregulates matrix metalloproteases (MMP)-2, (MMP)-9 in MDA-MB-231 breast cancer cells.

**Purity:** 99.15%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

---

**Batimastat (BB94)**

*Cat. No.: HY-135564*

**Bioactivity:** Batimastat is a potent broad spectrum MMP inhibitor with IC_{50} of 3, 4, 4, 6, and 20 nM for MMP-1, MMP-2, MMP-9, MMP-7 and MMP-3, respectively.

**Purity:** 95.15%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg

---

**Batimastat sodium salt (BB-94 sodium salt)**

*Cat. No.: HY-13564A*

**Bioactivity:** Batimastat sodium salt is a potent broad spectrum MMP inhibitor with IC_{50} of 3, 4, 4, 6, and 20 nM for MMP-1, MMP-2, MMP-9, MMP-7 and MMP-3, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg

---

**Chondroitin sulfate**

*Cat. No.: HY-B2162*

**Bioactivity:** Chondroitin sulfate, one of five classes of glycosaminoglycans, has been widely used in the treatment of osteoarthritis. Chondroitin sulfate reduces inflammation mediators and the apoptotic process and is able to reduce protein production of inflammatory cytokines, iNOS and MMPs.

**Purity:** 95.40%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in Water, 250 mg, 1 g

---

**CTS-1027**

*Cat. No.: HY-10398*

**Bioactivity:** CTS-1027 is a potent small molecule inhibitor of MMPs, with IC_{50} of 0.3 nM, 0.5 nM for MMP2, MMP13, respectively, and has > 1,000 fold selectivity over MMP1.

**Purity:** 98.72%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

---

**Cynaropicrin**

*Cat. No.: HY-N2350*

**Bioactivity:** Cynaropicrin is a sesquiterpene lactone which can inhibit tumor necrosis factor (TNF-α) release with IC_{50} of 8.24 and 3.18 μM for murine and human macrophage cells, respectively. Cynaropicrin also inhibits the increase of cartilage degradation factor (MMP13) and suppresses NF-κB.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

---

**Doxycycline hyclate**

*Cat. No.: HY-N05658*

**Bioactivity:** Doxycycline (hyclate) is a tetracycline antibiotic and broad-spectrum metalloproteinase (MMP) inhibitor.

**Purity:** 98.42%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in Water, 1 g, 5 g, 10 g
| **Doxycycline hydrochloride** | **Edaravone**  
(MCI-186) |
|-----------------------------|----------------------------------|
| **Bioactivity:** | Doxycycline hydrochloride is a tetracycline antibiotic and broad-spectrum metalloproteinase (MMP) inhibitor.  
| | Edaravone is a strong novel free radical scavenger, and inhibits MMP-9-related brain hemorrhage in rats treated with tissue plasminogen activator. |
| **Purity:** | >98%  
**Clinical Data:** Launched  
**Size:** 1 g, 5 g |
| | 99.90%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 g |

| **GI254023X**  
(G14023; SR028594) | **Ginkgolide C**  
(BN-52022; Ginkgolide-C) |
|-----------------------------|----------------------------------|
| **Bioactivity:** | GI254023X is a potent MMP9 and ADAM10 inhibitor with IC₅₀ values of 2.5 and 5.3 nM, respectively.  
| | Ginkgolide C is a flavone isolated from Ginkgo biloba leaves, possessing multiple biological functions, such as decreasing platelet aggregation and ameliorating Alzheimer disease. |
| **Purity:** | 99.67%  
**Clinical Data:** No Development Reported  
**Size:** |
| | 98.0%  
**Clinical Data:** No Development Reported  
**Size:** |

| **GM6001**  
(Galarin; Iomastat) | **Histatin 5**  
|-----------------------------|----------------------------------|
| **Bioactivity:** | GM6001 is a broad spectrum matrix metalloprotease (MMP) inhibitor, with Kᵢ values of 0.4 nM, 0.5 nM, 27 nM, 3.7 nM, 0.1 nM, 0.2 nM, 3.6 nM, 13.4 nM, 0.36 nM for MMP-1/2/3/7/8/9/12/14/26, respectively.  
| | Histatin 5 inhibits the activity of the host matrix metalloproteinases MMP-2 and MMP-9 with IC₅₀ of 0.57 and 0.25 μM, respectively. |
| **Purity:** | 98.23%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |
| | >98%  
**Clinical Data:** No Development Reported  
**Size:** 500μg, 1 mg, 5 mg, 10 mg |

| **Incyclinide**  
(CMT-3, COL-3) | **Isoginkgetin** |
|-----------------------------|----------------------------------|
| **Bioactivity:** | Incyclinide (CMT-3, COL-3) is a matrix metalloproteinase (MMP) inhibitor, thereby inducing extracellular matrix degradation, and inhibiting angiogenesis, tumor growth and invasion, and metastasis.  
| | Isoginkgetin is a MMP-9 inhibitor, also a Pre-mRNA Splicing Inhibitor with IC 50 of 30 μM |
| **Purity:** | 98.26%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg |
| | 99.06%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg |

| **JNJ0966** | **Marimastat**  
(BB2516; TA2516) |
|-----------------------------|----------------------------------|
| **Bioactivity:** | JNJ0966 is a highly selective MMP-9 zymogen inhibitor with an IC₅₀ of 440 nM.  
| | Marimastat is a broad spectrum inhibitor of MMPs with IC₅₀ values of 3, 5, 6, 9 and 13 nM for MMP-9, MMP-1, MMP-2, MMP-14 and MMP-7, respectively. |
| **Purity:** | 98.01%  
**Clinical Data:** No Development Reported  
**Size:** |
| | 95.65%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg |
<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>HY-15827</td>
<td><strong>NSC 405020</strong>&lt;br&gt;NSC-405020 is a novel small molecule inhibitor of MT1-MMP that specifically targets PEX domain rather than the catalytic domain of MT1-MMP with IC50 &gt; 100 μM and does not inhibit the catalytic activity of MT1-MMP or MMP-2.</td>
<td>99.01%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
<tr>
<td>HY-19422</td>
<td><strong>PNU-248686A</strong>&lt;br&gt;PNU-248686A is a novel matrix metalloproteinase (MMP) inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>HY-12170</td>
<td><strong>Prinomastat (AG3340; KB-R9896)</strong>&lt;br&gt;Prinomastat is a broad spectrum MMP inhibitor with IC50s of 79, 6.3 and 5.0 nM for MMP-1, MMP-3 and MMP-9, respectively.</td>
<td>95.03%</td>
<td>Phase 3</td>
<td></td>
</tr>
<tr>
<td>HY-106992</td>
<td><strong>S 3304</strong>&lt;br&gt;S-3304 is a novel matrix metalloproteinases (MMP) inhibitor specific for MMP-2 and MMP-9.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>HY-101671</td>
<td><strong>S-methyl-KE-298 (M-2)</strong>&lt;br&gt;S-methyl-KE-298 is an active metabolite of KE-298. KE-298 inhibits matrix metalloproteinase (MMP-1) production from rheumatoid arthritis (RA) synovial cells.</td>
<td>98.0%</td>
<td>Phase 2</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>HY-12354</td>
<td><strong>SB-3CT</strong>&lt;br&gt;SB-3CT is a potent and selective inhibitor of matrix metalloproteinase (MMP)-2 and -9.</td>
<td>99.32%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>HY-N0131</td>
<td><strong>Stigmasterol (Stigmasterin)</strong>&lt;br&gt;Stigmasterol is a plant sterol which has been focused on the cholesterol-lowering activity and is valued as an anti-stiffness factor in the therapy of rheumatic diseases.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>HY-12270</td>
<td><strong>T-5224</strong>&lt;br&gt;T-5224 is a selective inhibitor of c-Fos/activator protein (AP)-1 for rheumatoid arthritis therapy, and inhibits MMP activity with IC50s of 10 nM for both MMP-3 and MMP-13.</td>
<td>98.41%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-16657</td>
<td><strong>TAPI-1</strong>&lt;br&gt;TAPI-1 is a specific TACE(TNF-α-converting enzyme) inhibitor.</td>
<td>99.89%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>HY-100211</td>
<td><strong>TAPI-2</strong>&lt;br&gt;TAPI-2 is a broad-spectrum inhibitor of matrix metalloprotease (MMP), tumour necrosis factor-converting enzyme (TACE) and a disintegrin and metalloproteinase (ADAM), with an IC50 of 20±10 μM for MMP.</td>
<td>95.93%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>
Nampt (Nicotinamide phosphoribosyl transferase) has been reported to be a cytokine that promotes B cell maturation and inhibits neutrophil apoptosis. NAmPRTase catalyzes the condensation of nicotinamide with 5-phosphoribosyl-1-pyrophosphate to yield nicotinamide mononucleotide, one step in the biosynthesis of nicotinamide adenine dinucleotide. The protein is an adipokine that is localized to the bloodstream and has various functions, including the promotion of vascular smooth muscle cell maturation and inhibition of neutrophil apoptosis. It also activates insulin receptor and has insulin-mimetic effects, lowering blood glucose and improving insulin sensitivity. The protein is highly expressed in visceral fat and serum levels of the protein correlate with obesity.
### Nampt Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Name</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>CB 300919</strong></td>
<td>HY-14375</td>
<td>CB 300919 is a water-soluble analogue of CB30865; has a continuous exposure (96 h) growth inhibition IC50 value of 2 nM in human CH1 ovarian tumor xenograft.</td>
<td>99.01%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>CB30865 (ZM 242421)</strong></td>
<td>HY-14373</td>
<td>CB30865(ZM 242421) is a potent inhibitor of Nampt, an enzyme present in the NAD biosynthetic pathway.</td>
<td>98.33%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg 100 mg</td>
</tr>
<tr>
<td><strong>FK866 (Daporinad; APO866)</strong></td>
<td>HY-50876</td>
<td>FK866 is an effective inhibitor of nicotinamide phosphoribosyltransferase (NMPRTase) with IC50 of 0.09 nM.</td>
<td>99.91%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg 100 mg</td>
</tr>
<tr>
<td><strong>GMX1778</strong></td>
<td>HY-10079</td>
<td>GMX1778(CHS-828) is a potent inhibitor of NAD+ biosynthesis enzyme Nampt with IC50 &lt;25 nM.</td>
<td>99.65%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>GNE-617</strong></td>
<td>HY-15766</td>
<td>GNE-617 is a specific NAMPT inhibitor that inhibits the biochemical activity of NAMPT with an IC50 of 5 nM and exhibits efficacy in xenograft models of cancer.</td>
<td>99.46%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg 100 mg</td>
</tr>
<tr>
<td><strong>GNE-617 hydrochloride</strong></td>
<td>HY-15766A</td>
<td>GNE-617 hydrochloride is a specific NAMPT inhibitor that inhibits the biochemical activity of NAMPT with an IC50 of 5 nM and exhibits efficacy in xenograft models of cancer.</td>
<td>98.83%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg 100 mg</td>
</tr>
<tr>
<td><strong>Nampt-IN-1 (LSN3154567)</strong></td>
<td>HY-12971</td>
<td>Nampt-IN-1 (LSN3154567) is a potent and selective NAMPT inhibitor. Nampt-IN-1 inhibits purified NAMPT with an IC50 of 3.1 nM.</td>
<td>98.99%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg 100 mg</td>
</tr>
<tr>
<td><strong>P7C3</strong></td>
<td>HY-15976</td>
<td>P7C3 is a NAMPT activator.</td>
<td>98.00%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg 100 mg</td>
</tr>
<tr>
<td><strong>STF-118804</strong></td>
<td>HY-12808</td>
<td>STF-118804 is a highly specific NAMPT inhibitor; reduces the viability of most B-ALL cell lines with IC50 ~10 nM.</td>
<td>98.55%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg 100 mg</td>
</tr>
</tbody>
</table>
NEDD8-activating Enzyme (NAE) is an essential component of the NEDD8 conjugation pathway that controls the activity of the cullin-RING subtype of ubiquitin ligases, thereby regulating the turnover of a subset of proteins upstream of the proteasome. Substrates of cullin-RING ligases have important roles in cellular processes associated with cancer cell growth and survival pathways. NEDD8 (neural precursor cell expressed developmentally downregulated protein 8) is the ubiquitin-like protein most homologous to ubiquitin. The covalent binding of NEDD8 to substrate proteins is called “neddylation”, and includes the following steps: mature NEDD8 is activated by NEDD8-activating enzyme E1 (NAE), transferred by NEDD8-conjugating enzyme E2, and conjugated to the substrate protein by a NEDD8-E3 ligase. NAE is a critical regulator of the neddylation pathway. Inhibition of NAE can inhibit the activity of the cullin-RING ligases (CRLs) and result in accumulation of CRL substrate proteins.
# NEDD8-activating Enzyme Inhibitors & Modulators

**MLN4924**  
(Pevonedistat)  
Cat. No.: HY-70062

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>MLN4924 is a potent and selective NEDD8-activating enzyme (NAE) inhibitor with IC$_{50}$ of 4.7 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>98.00%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Phase 3</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

**MLN4924 hydrochloride**  
(Pevonedistat hydrochloride)  
Cat. No.: HY-10484

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>MLN4924 (hydrochloride) is a potent and selective NEDD8-activating enzyme (NAE) inhibitor, with IC$_{50}$ of 4.7 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>96.05%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Phase 3</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

www.MedChemExpress.cn
Neprilysin (NEP) is a type II membrane metalloendopeptidase composed of 750 residues with an active site containing a zinc-binding motif (HEXXH) at the extracellular carboxyl terminal domain. Neprilysin is capable of degrading the monomeric and the oligomeric forms of Aβ peptide. Neprilysin is the dominant Aβ peptide-degrading enzyme in the brain; Neprilysin becomes inactivated and down-regulated during both the early stages of Alzheimer’s disease (AD) and aging. Neprilysin is a neutral endopeptidase and its inhibition increases bioavailability of natriuretic peptides, bradykinin, and substance P, resulting in natriuretic, vasodilatory, and anti-proliferative effects.
Neprilysin Inhibitors & Modulators

**AHU-377** (Sacubitril)  
**Cat. No.: HY-15407**

**Bioactivity:** AHU-377 is a potent NEP inhibitor with an IC\(_{50}\) of 5 nM. AHU-377 is a component of the heart failure medicine LCZ696.

**Purity:** 99.14%

**Clinical Data:** Phase 4

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**AHU-377 hemicalcium salt** (Sacubitril hemicalcium salt)  
**Cat. No.: HY-15407A**

**Bioactivity:** AHU-377 hemicalcium salt is a potent NEP inhibitor with an IC\(_{50}\) of 5 nM. AHU-377 is a component of the heart failure medicine LCZ696.

**Purity:** 99.61%

**Clinical Data:** Phase 4

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg, 1 g

**LCZ696** (Valsartan/sacubitril)  
**Cat. No.: HY-18204A**

**Bioactivity:** LCZ696 is a dual angiotensin II receptor and neprilysin inhibitor.

**Purity:** 99.96%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**Phosphoramidon Disodium**  
**Cat. No.: HY-N2021A**

**Bioactivity:** Phosphoramidon disodium is a metalloprotease inhibitor. Phosphoramidon inhibits endothelin-converting enzyme (ECE), neutral endopeptidase (NEP), and angiotensin-converting enzyme (ACE) with IC\(_{50}\) values of 3.5, 0.034, and 78 μM, respectively.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in Water, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

**Racecadotril** (Acetorphan)  
**Cat. No.: HY-17399**

**Bioactivity:** Racecadotril (acetorphan), a potent enkephalinase inhibitor (IC\(_{50}\) = 4 nM).

**Purity:** 98.0%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 200 mg, 1 g

**Sacubitrilat** (LBQ-657)  
**Cat. No.: HY-17620**

**Bioactivity:** Sacubitrilat is an active neprilysin (NEP) inhibitor.

**Purity:** 99.88%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

**SQ28603** (SQ28,603; Squibb 28603)  
**Cat. No.: HY-U00171**

**Bioactivity:** SQ28603 is a potent and selective inhibitor of neutral endopeptidase 3.4.24.11 (NEP), an enzyme that degrades atrial natriuretic peptide (ANP).

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg
Plasminogen activator inhibitor-1 (PAI-1) is the primary inhibitor of tissue-type plasminogen activator (tPA) and urokinase-type plasminogen activator (uPA), and functionally serves to suppress tissue and plasma fibrinolysis. PAI-1 is a member of the serpin family of proteins and exists in multiple conformations of which a minor component, the “active” form, exhibits inhibitory effects against tPA and uPA. PAI-1 is an endogenous inhibitor of urokinase-type plasminogen activator (uPA), and its expression is regulated by a number of intrinsic factors (e.g., cytokines and growth factors) and extrinsic factors (e.g., cellular stress).

PAI-1 seems to play a pivotal role in tumor growth and may represent a potential therapeutic target for bladder cancer.
## PAI-1 Inhibitors & Modulators

### Loureirin B

**Cat. No.:** HY-N1504

**Bioactivity:** Loureirin B, a flavonoid extracted from *Dracaena cochinchinensis*, is an inhibitor of plasminogen activator inhibitor-1 (PAI-1) with an IC<sub>50</sub> of 26.10 μM; also inhibits K<sub>ATP</sub> the phosphorylation of ERK and JNK, and has anti-diabetic activity.

**Purity:** > 98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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### Tiplaxtinin

(PAI-039; Tiplasinin)

**Cat. No.:** HY-15253

**Bioactivity:** Tiplaxtinin is a selective and orally efficacious inhibitor of plasminogen activator inhibitor-1 (PAI-1) with IC<sub>50</sub> of 2.7 μM.

**Purity:** 97.60%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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### TM5275 sodium

**Cat. No.:** HY-100447

**Bioactivity:** TM5275 sodium is a plasminogen activator inhibitor (PAI-1) with an IC<sub>50</sub> of 6.95 μM.

**Purity:** 99.05%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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### TM5441

**Cat. No.:** HY-101761

**Bioactivity:** TM5441 is a plasminogen activator inhibitor-1 (PAI-1) inhibitor; inhibits several tumor cell lines with IC<sub>50</sub> values between 9.7 and 60.3 μM.

**Purity:** 98.34%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
PDHK

Pyruvate dehydrogenase (PDH) is a mitochondrial multienzyme complex that catalyzes the oxidative decarboxylation of pyruvate and is one of the major enzymes responsible for the regulation of homeostasis of carbohydrate fuels in mammals. The enzymatic activity is regulated by a phosphorylation/dephosphorylation cycle. Phosphorylation of PDH by a specific pyruvate dehydrogenase kinase (PDH kinase; PDHK; PDK) results in inactivation. Multiple alternatively spliced transcript variants have been found for this gene. PDH catalyzes the conversion of pyruvate to acetyl-coenzyme A, which enters into the Krebs cycle, providing ATP to the cell. PDH activity is under the control of pyruvate dehydrogenase kinases (PDHKs).
## PDHK Inhibitors & Modulators

<table>
<thead>
<tr>
<th>AZD7545</th>
<th>Cat. No.: HY-16082</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AZD7545 is a novel, selective small-molecule inhibitor of PDHK2 (PDH kinase2) with an IC50 of 36.8 nM and 6.4 nM for PDHK1 and PDHK2 respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.80%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
PGC-1α

Peroxisome proliferator-activated receptor-γ coactivator-1α (PGC-1α) serves as an inducible coregulator in the control of energy homeostasis. PGC-1α is a powerful transcriptional coregulator of GLUT4 and mitochondrial genes, including components of the electron transport system. PGC-1α is expressed abundantly in tissues with high energy demand, including brown adipose tissue, heart, skeletal muscle, kidney, and brain. PGC-1α 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. PGC-1α, a critical booster of mitochondrial function, is an excellent candidate for preventing insulin resistance and metabolic syndromes secondary to mitochondrial dysfunction. PGC-1α has been shown to influence energy metabolism.
## PGC-1α Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>ZLN005</strong></th>
<th><strong>Cat. No.: HY-17538</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>ZLN005 is a novel transcriptional regulator of peroxisome proliferator-activated receptor-γ coactivator-1α (PGC-1α).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Phosphatase

Phosphatases are enzyme that remove a phosphate group from a protein. Protein tyrosine phosphatases (PTPs) comprise a diverse family of transmembrane and cytoplasmic enzymes. PTPs play an important role in regulating the proliferative activity of cells and the integrity of cell-cell and cell-matrix contacts. Protein tyrosine phosphatase 1B (PTP1B) is a non-receptor PTP frequently associated with the endoplasmic reticulum and vesicles subjacent to the plasma membrane. PTP1B as a key negative regulator of both insulin and leptin receptor pathways has been an attractive therapeutic target for the treatment of type 2 diabetes mellitus and obesity. Four major serine/threonine-specific protein phosphatase catalytic subunits are present in the cytoplasm of animal cells. Three of these enzymes, PP1, PP2A, and PP2B, are members of the same gene family, while PP2C appears to be distinct. The alkaline phosphatases comprise a heterogeneous group of enzymes that are widely distributed in mammalian cells. Acid phosphatase enzymes catalyze the hydrolysis of phosphate monoesters following the general equation.
# Phosphatase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Compound</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>(-)-p-Bromotetramisole oxalate (L-p-Bromotetramisole oxalate; 6-Bromolevamisole oxalate; (-)-p-Bromolevamisole)</td>
<td>98.39%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td>3α-Aminocholestane</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Benzophenonetetracarboxylic acid</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in Water, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Calyculin A ((-)-Calyculin A)</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10μg, 25μg, 100μg</td>
</tr>
<tr>
<td>CPDA</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>F1063-0967</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>LB-100</td>
<td>98.0%</td>
<td>Phase 1</td>
<td>10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>LTV-1</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## Bioactivity

**(-)-p-Bromotetramisole Oxalate**: Is a potent and non-specific alkaline phosphatase inhibitor.

**3α-Aminocholestane**: Is a selective SH2 domain-containing inositol-5-phosphatase 1 (SHIP1) inhibitor with an IC₅₀ of ~2.5 μM.

**Benzophenonetetracarboxylic acid**: Can improve activity and stability of alkaline phosphatases from psychrophilic and mesophilic organisms.

**CPDA**: Is a novel potent SH2 domain-containing inositol phosphatase 2 (SHIP2) inhibitor that can effectively ameliorate insulin resistance in 3T3-L1 adipocytes.

**Calyculin A**: Is a potent inhibitor of the catalytic subunit of type-2A phosphatase, with IC₅₀ values of 0.

**F1063-0967**: Is a Dual-specificity phosphatase 26 (DUSP26) inhibitor with an IC₅₀ of 11.62 μM.

**GSK 2830371**: Is a highly selective Wip1 phosphatase inhibitor with IC₅₀ of 6 nM.

**LB-100**: Is a water soluble protein phosphatase 2A (PP2A) inhibitor, with IC₅₀ of 0.85 μM and 3.87 μM in BuPc-3 and Panc-1 cells.

**LTV-1**: Is a highly potent, cell-permeable and reversible inhibitor of lymphoid tyrosine phosphatase (LYP) (IC₅₀ = 508 nM).
### Microcystin-LR (Cyanoginosin-LR; MC-LR; Toxin T 17 (Microcystis aeruginosa))

<table>
<thead>
<tr>
<th>Purity:</th>
<th>98.0%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>50u g, 100u g</td>
</tr>
</tbody>
</table>

Bioactivity: Microcystin-LR inhibits protein phosphatase type 1 and type 2A (PP1 and PP2A) activities in the cytoplasm of liver cells.

### MSI-1436 (Trodusquemine; Aminosterol-1436)

<table>
<thead>
<tr>
<th>Purity:</th>
<th>95.0%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>Phase 1</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

Bioactivity: MSI-1436 is a selective, non-competitive inhibitor of the enzyme protein tyrosine phosphatase 1B (PTB-1B), with an IC\textsubscript{50} of 1 μM, 200-fold preference over TC-PTP (IC\textsubscript{50} of 224 μM).

### MSI-1436 lactate (Trodusquemine lactate; Aminosterol-1436 lactate)

<table>
<thead>
<tr>
<th>Purity:</th>
<th>95.0%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>Phase 1</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

Bioactivity: MSI-1436 lactate is a selective, non-competitive inhibitor of the enzyme protein tyrosine phosphatase 1B (PTB-1B), with an IC\textsubscript{50} of 1 μM, 200-fold preference over TC-PTP (IC\textsubscript{50} of 224 μM).

### NSC 663284 (DA-3003-1)

<table>
<thead>
<tr>
<th>Purity:</th>
<th>95.0%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>50u g, 100u g</td>
</tr>
</tbody>
</table>

Bioactivity: NSC 663284 is a Cdc25 dual specificity phosphatases inhibitor with an IC\textsubscript{50} of 0.21 μM.

### PTP1B-IN-1 (PTP1B inhibitor)

<table>
<thead>
<tr>
<th>Purity:</th>
<th>99.58%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

Bioactivity: PTP1B-IN-1 is a potent protein tyrosine phosphatase-1B (PTP1B) inhibitor with IC\textsubscript{50} of 1 μM.

### PTP1B-IN-2

<table>
<thead>
<tr>
<th>Purity:</th>
<th>99.63%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

Bioactivity: PTP1B-IN-2 is a potent protein tyrosine phosphatase 1B (PTP1B) inhibitor with an IC\textsubscript{50} of 50 nM.

### Rosiptor (AQX-1125)

<table>
<thead>
<tr>
<th>Purity:</th>
<th>98.0%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

Bioactivity: Rosiptor is an activator of SH2-containing inositol-5'-phosphatase 1 (SHIP1).

### Sal003

<table>
<thead>
<tr>
<th>Purity:</th>
<th>99.83%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

Bioactivity: Sal003 is a potent cell-permeable analog of the eIF2α phosphatase inhibitor Salubrinal with enhanced aqueous solubility.

### Salubrinal

<table>
<thead>
<tr>
<th>Purity:</th>
<th>99.58%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

Bioactivity: Salubrinal is an inhibitor of phosphatases (PP1) that act on the eukaryotic translation initiation factor 2 subunit (eIF2α), with IC\textsubscript{50} of 1.7 μM for blocking PP1 activity.

### SF1670

<table>
<thead>
<tr>
<th>Purity:</th>
<th>98.0%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

Bioactivity: SF1670 is a potent and specific phosphatase and tensin homolog deleted on chromosome 10 (PTEN) inhibitor.
| **Sodium orthovanadate**  
(Sodium vanadate) | **Cat. No.:** HY-D0852 |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong>  Sodium orthovanadate is an inhibitor of protein tyrosine phosphatases, alkaline phosphatases and a number of ATPases, most likely acting as a phosphate analogue.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.0%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in Water, 5 g</td>
<td></td>
</tr>
</tbody>
</table>

| **Stibogluconate sodium**  
(Sodium stibogluconate) | **Cat. No.:** HY-100595 |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Stibogluconate sodium is a potent inhibitor of protein tyrosine phosphatase. Stibogluconate sodium inhibits 99% of SHP-1, SHP-2 and PTP1B activity at 10, 100, 100 μg/mL, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.0%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in Water, 500 mg, 1 g</td>
<td></td>
</tr>
</tbody>
</table>

| **Tartaric acid disodium dihydrate**  
(Sodium tartrate dibasic dihydrate; Sodium tartrate dihydrate) | **Cat. No.:** HY-D0850 |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Tartaric acid disodium dihydrate is a Acid phosphatase inhibitor, is a sodium salt used in buffers for molecular biology and cell culture applications.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.0%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 1</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in Water, 5 g</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>TCS 401</strong></th>
<th><strong>Cat. No.:</strong> HY-12312</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> TCS 401 is a selective inhibitor of protein tyrosine phosphatase 1B (PTP1B).</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.0%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

| **Tetramisole hydrochloride**  
((±)-Tetramisole hydrochloride; DL-Tetramisole hydrochloride; R-829) | **Cat. No.:** HY-B1194 |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Tetramisole hydrochloride is an inhibitor of alkaline phosphatases, is a high purity antiparasitic</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.45%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 2 g</td>
<td></td>
</tr>
</tbody>
</table>
Phosphodiesterase (PDE) is any enzyme that breaks a phosphodiester bond. Usually, people speaking of phosphodiesterase are referring to cyclic nucleotide phosphodiesterases, which have great clinical significance and are described below. However, there are many other families of phosphodiesterases, including phospholipases C and D, autotaxin, sphingomyelin phosphodiesterase, DNases, RNases, and restriction endonucleases, as well as numerous less-well-characterized small-molecule phosphodiesterases. The cyclic nucleotide phosphodiesterases comprise a group of enzymes that degrade the phosphodiester bond in the second messenger molecules cAMP and cGMP. They regulate the localization, duration, and amplitude of cyclic nucleotide signaling within subcellular domains. PDEs are therefore important regulators of signal transduction mediated by these second messenger molecules.
<table>
<thead>
<tr>
<th><strong>Phosphodiesterase (PDE) Inhibitors &amp; Modulators</strong></th>
</tr>
</thead>
</table>
| **(R)-(−)-Rolipram**  
((R)-Rolipram; (−)-Rolipram)  
Cat. No.: HY-16900A |
| **Bioactivity:**  
(R)-(−)-Rolipram is the R-enantiomer of Rolipram. Rolipram is a selective inhibitor of phosphodiesterases PDE4 with an IC₅₀ of 3 nM, 130 nM and 240 nM for PDE4A, PDE4B, and PDE4D, respectively. |
| **Purity:** 99.85%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg |
| **(S)+(+) Rolipram**  
((+) Rolipram; (S)-Rolipram)  
Cat. No.: HY-80392 |
| **Bioactivity:**  
(S)+(+) Rolipram is a PDE4-inhibitor and an anti-inflammatory agent, less potent than its R enantiomer. |
| **Purity:** 99.85%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |
| **Aminophylline**  
Cat. No.: HY-80140 |
| **Bioactivity:**  
Aminophylline is a competitive nonselective phosphodiesterase inhibitor that is used to treat airway obstruction from asthma or COPD. |
| **Purity:** 99.56%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg |
| **AN-2728**  
(Crisaborole)  
Cat. No.: HY-10978 |
| **Bioactivity:**  
AN-2728 is a potent inhibitor of PDE4 and cytokine release; inhibit PDE4 with an IC₅₀ of 0.49 μM. |
| **Purity:** 99.95%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
| **AN3199**  
Cat. No.: HY-19830 |
| **Bioactivity:**  
AN3199 is a PDE4 inhibitor with IC₅₀ of 94.5 nM. |
| **Purity:** 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg |
| **Anagrelide hydrochloride**  
(BL4162A)  
Cat. No.: HY-80523A |
| **Bioactivity:**  
Anagrelide Hydrochloride(BL4162A) is a drug used for the treatment of essential thrombocytosis. |
| **Purity:** 99.75%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |
| **Apremilast**  
(CC-10004)  
Cat. No.: HY-12085 |
| **Bioactivity:**  
Apremilast is a novel phosphodiesterase 4 (PDE4) inhibitor, regulates inflammation through multiple cAMP downstream effectors. Apremilast inhibits PDE4 with an IC₅₀ of 74 nM using 1 μM cAMP as substrate. |
| **Purity:** 99.87%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |
| **Avanafil**  
(TA-1790)  
Cat. No.: HY-18252 |
| **Bioactivity:**  
Avanafil(TA-1790) is a potent and highly selective phosphodiesterase-5(PDE-5) inhibitor(IC₅₀=5.2 nM) for erectile dysfunction; lower selectivity against PDE1, PDE6, and PDE11. |
| **Purity:** 98.28%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
| **Bay 60-7550**  
(BAY 607550)  
Cat. No.: HY-14992 |
| **Bioactivity:**  
Bay 60-7550 is a selective inhibitor of PDE2 with Kᵢ of 3.8±0.2 nM, also is a modulator of NO. |
| **Purity:** 98.12%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 100 mg |
| **BAY 73-6691**  
((R)-BAY 73-6691)  
Cat. No.: HY-104028 |
| **Bioactivity:**  
BAY 73-6691 is a potent, selective brain penetrant PDE9A inhibitor. |
| **Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 100 mg |

www.MedChemExpress.cn
**BAY 73-6691 racemate**  
**Cat. No.:** HY-104028A  
**Bioactivity:** BAY 73-6691 racemate is a phosphodiesterase 9 inhibitor extracted from patent WO 2017070293 A1.

**Purity:** 99.84%

**Clinical Data:** No Development Reported

**Size:**

![Structure](image)

**BRL-50481**  
**Cat. No.:** HY-109586  
**Bioactivity:** BRL-50481 is a novel and selective inhibitor of PDE7 with IC$_{50}$ of 0.15, 12.1, 62 and 490 μM for PDE7A, PDE7B, PDE4 and PDE3, respectively.

**Purity:** 99.87%

**Clinical Data:** No Development Reported

**Size:**

![Structure](image)

**BW-A 78U**  
**Cat. No.:** HY-100118  
**Bioactivity:** BW-A 78U is a PDE4 inhibitor with an IC$_{50}$ of 3 μM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

![Structure](image)

**CDC801**  
**Cat. No.:** HY-U00179  
**Bioactivity:** CDC801 is a potent and orally active phosphodiesterase 4 (PDE4) and tumor necrosis factor-α (TNF-α) inhibitor with IC$_{50}$ of 1.1 μM and 2.5 μM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

1 mg, 5 mg, 10 mg, 20 mg

![Structure](image)

**CI-1044**  
**(PD-189659)**  
**Cat. No.:** HY-100246  
**Bioactivity:** CI-1044 is an orally active PDE4 inhibitor with IC$_{50}$ of 0.29, 0.08, 0.56, 0.09 μM for PDE4A5, PDE4B2, PDE4C2 and PDE4D3, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

![Structure](image)

**Cilomilast**  
**(SB-207499)**  
**Cat. No.:** HY-10790  
**Bioactivity:** Cilomilast(SB 207499; Ariflo) is a potent PDE4 inhibitor with IC50 of about 110 nM, has anti-inflammatory activity and low central nervous system activity.

**Purity:** 98.81%

**Clinical Data:** Phase 3

**Size:**

10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

![Structure](image)

**Cilostazol**  
**(OPC 13013; OPC 21)**  
**Cat. No.:** HY-17464  
**Bioactivity:** Cilostazol(13013; OPC 21) is a potent inhibitor of PDE3A, the isoform of PDE 3 in the cardiovascular system (IC50=0

**Purity:** 99.34%

**Clinical Data:** Launched

**Size:**

10mM x 1mL in DMSO, 50 mg, 100 mg

![Structure](image)

**CP671305**  
**Cat. No.:** HY-101803  
**Bioactivity:** CP671305 is a potent, orally active, selective inhibitor of phosphodiesterase-4-D, and possesses high activities.

**Purity:** 99.79%

**Clinical Data:** No Development Reported

**Size:**

1 mg, 5 mg, 10 mg, 20 mg

![Structure](image)

**Deltarasin**  
**Cat. No.:** HY-15747  
**Bioactivity:** Deltarasin is an inhibitor of KRAS-PDEδ interaction with K$_d$ of 38 nM for binding to purified PDEδ.

**Purity:** 95.95%

**Clinical Data:** No Development Reported

**Size:**

10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

![Structure](image)

**Deltarasin hydrochloride**  
**Cat. No.:** HY-15747A  
**Bioactivity:** Deltarasin hydrochloride is an inhibitor of KRAS-PDEδ interaction with K$_d$ of 38 nM for binding to purified PDEδ.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

![Structure](image)
<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th><strong>Cat. No.: HY-80128</strong></th>
<th><strong>Bioactivity</strong></th>
<th><strong>Cat. No.: HY-80312</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Diphylline acts as an adenosine receptor antagonist and phosphodiesterase inhibitor, which is used in the treatment of respiratory disorders.</td>
<td>99.28%</td>
<td>Diprydamole (Persantine) is a phosphodiesterase inhibitor that blocks uptake and metabolism of adenosine by erythrocytes and vascular endothelial cells.</td>
<td>98.02%</td>
</tr>
</tbody>
</table>

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<thead>
<tr>
<th><strong>Clinical Data:</strong></th>
<th>10mM x 1mL in DMSO, 100 mg, 500 mg</th>
<th><strong>Clinical Data:</strong></th>
<th>10mM x 1mL in DMSO, 100 mg, 500 mg</th>
</tr>
</thead>
</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity:</strong></th>
<th>Doxofylline is an antagonist of adenosine A1 receptor which also inhibits phosphodiesterase IV.</th>
<th><strong>Bioactivity:</strong></th>
<th>ER21355 is an inhibitor of phosphodiesterase 5 (PDE5), used for treatment of prostatic diseases.</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>99.88%</td>
<td></td>
<td>&gt;98%</td>
</tr>
</tbody>
</table>

<table>
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<tr>
<th><strong>Clinical Data:</strong></th>
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<th><strong>Clinical Data:</strong></th>
<th>No Development Reported</th>
</tr>
</thead>
</table>

<table>
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<tr>
<th><strong>Bioactivity:</strong></th>
<th>GLPG1690 is a first-in-class autotaxin (ATX) inhibitor, with IC50 of 131 nM and Kᵢ of 15 nM.</th>
<th><strong>Bioactivity:</strong></th>
<th>GSK256066 is a selective PDE4B(equal affinity to isoforms A-D) inhibitor with IC50 of 3.2 pM, &gt;380,000-fold selectivity versus PDE1/2/3/5/6 and &gt;2500-fold selectivity against PDE4B versus PDE7.</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>98.39%</td>
<td></td>
<td>98.11%</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Clinical Data:</strong></th>
<th>No Development Reported</th>
<th><strong>Clinical Data:</strong></th>
<th>Phase 2</th>
</tr>
</thead>
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<tr>
<th><strong>Bioactivity:</strong></th>
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<th><strong>Bioactivity:</strong></th>
<th>HA130 is a selective ATX (autotaxin) inhibitor with IC50 of 28 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>98.94%</td>
<td></td>
<td>98.09%</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Clinical Data:</strong></th>
<th>Phase 2</th>
<th><strong>Clinical Data:</strong></th>
<th>Phase 3</th>
</tr>
</thead>
</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity:</strong></th>
<th>IBMX is a broad-spectrum phosphodiesterase (PDE) inhibitor, with IC50 of 6.5±1.2, 26.3±3.9 and 31.7±5.3 μM for PDE3, PDE4 and PDE5, respectively.</th>
<th><strong>Bioactivity:</strong></th>
<th>Ibudilast(KC-404;AV-411;MN-166) is a relatively nonselective phosphodiesterase inhibitor which has been marketed for treating asthma.</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>99.93%</td>
<td></td>
<td>99.89%</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Clinical Data:</strong></th>
<th>Phase 2</th>
<th><strong>Clinical Data:</strong></th>
<th>Launched</th>
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</table>

<table>
<thead>
<tr>
<th><strong>Clinical Data:</strong></th>
<th>Phase 2</th>
<th><strong>Clinical Data:</strong></th>
<th>Launched</th>
</tr>
</thead>
<tbody>
<tr>
<td>Compound</td>
<td>Cat. No.</td>
<td>Bioactivity</td>
<td>Clinical Data</td>
</tr>
<tr>
<td>----------</td>
<td>---------</td>
<td>-------------</td>
<td>---------------</td>
</tr>
<tr>
<td>Icariin</td>
<td>HY-N0014</td>
<td>Icariin is a flavon glycoside. Icariin inhibits PDE5 and PDE4 activities with IC₅₀ of 432 nM and 73.50 µM, respectively. Icariin also is a PPARα activator.</td>
<td>Phase 3</td>
</tr>
<tr>
<td>Irsogladine</td>
<td>HY-B0327</td>
<td>Irsogladine is a PDE4 inhibitor and muscarinic acetylcholine receptor binder.</td>
<td>Launched</td>
</tr>
<tr>
<td>ITI-214</td>
<td>HY-12501A</td>
<td>ITI-214 is a picomolar PDE1 inhibitor with excellent selectivity against other PDE family members and against a panel of enzymes, receptors, transporters, and ion channels, exhibits potent PDE1 inhibitory activity (Ki = 58 pM).</td>
<td>Phase 1</td>
</tr>
<tr>
<td>K134</td>
<td>HY-U00186</td>
<td>K134 is a phosphodiesterase 3 (PDE3) inhibitor. The IC₅₀ of K134 toward PDE3A, PDE3B, PDE5, PDE2 and PDE4 are 0.1, 0.28, 12.1, &gt;300 and &gt;300 µM, respectively.</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>LAS-31180</td>
<td>HY-101811</td>
<td>LAS-31180 is an inhibitor of phosphodiesterase 3, with positive inotropic and vasodilator properties.</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>ICI 153110</td>
<td>HY-100239</td>
<td>ICI 153110 is an orally active phosphodiesterase inhibitor with both vasodilating and inotropic properties which is designed for the treatment of congestive cardiac failure.</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Irsogladine maleate</td>
<td>HY-B0327A</td>
<td>Irsogladine is a PDE4 inhibitor and muscarinic acetylcholine receptor binder.</td>
<td>Launched</td>
</tr>
<tr>
<td>ITI-214 free base</td>
<td>HY-12501</td>
<td>ITI-214 (free base) is a picomolar PDE1 inhibitor with excellent selectivity against other PDE family members and against a panel of enzymes, receptors, transporters, and ion channels, exhibits potent PDE1 inhibitory activity (Ki = 58 pM).</td>
<td>Phase 1</td>
</tr>
<tr>
<td>L791943</td>
<td>HY-U00254</td>
<td>L791943 is a potent, selective Phosphodiesterase-4 (PDE4) inhibitor with an IC₅₀ of 4.2 nM.</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Milrinone</td>
<td>HY-14252</td>
<td>Milrinone is a PDE3 inhibitor, and also an inotrope and vasodilator.</td>
<td>Launched</td>
</tr>
</tbody>
</table>
### Mirodenafil (SK3530)

**Cat. No.:** HY-14930

**Bioactivity:** Mirodenafil (SK3530) is a phosphodiesterase type 5 (PDE-5) inhibitor developed for the treatment of erectile dysfunction.

**Purity:** >98%

**Clinical Data:** Launched

**Size:** 5 mg, 10 mg, 50 mg, 100 mg

---

### Mirodenafil dihydrochloride (SK-3530 dihydrochloride)

**Cat. No.:** HY-14930A

**Bioactivity:** Mirodenafil 2Hcl (SK3530 2Hcl) is a phosphodiesterase type 5 (PDE-5) inhibitor developed for the treatment of erectile dysfunction.

**Purity:** 99.97%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

### ML-030

**Cat. No.:** HY-103050

**Bioactivity:** ML-030 is a potent PDE4 inhibitor, with IC$_{50}$ of 6.7 nM, 12.9 nM, 48.2 nM, 37.2 nM, 452 nM and 49.2 nM for PDE4A, PDE4A1, PDE4B1, PDE4B2, PDE4C1, and PDE4D2, respectively.

**Purity:** 99.32%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

### Nortadalafil (Demethyl Tadalafil)

**Cat. No.:** HY-90009

**Bioactivity:** Nortadalafil is demethyl Tadalafil, which is a PDE5 inhibitor, currently marketed in pill form for treating erectile dysfunction (ED) under the name Cialis; and under the name Adcirca for the treatment of pulmonary arterial hypertension.

**Purity:** 99.60%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

---

### NSP-805

**Cat. No.:** HY-19102

**Bioactivity:** NSP-805 is a potent and selective inhibitor of guinea pig cardiac phosphodiesterase 3 (PDE3), and a cardiotonic agent with vasoconstrictor properties.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

### Oglemilast (GRC 3886)

**Cat. No.:** HY-15178

**Bioactivity:** Oglemilast (GRC3886) is a potent PDE4 inhibitor, under clinical studies in the treatment of allergen-induced asthma.

**Purity:** 96.83%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

---

### Olprinone (Loprinone)

**Cat. No.:** HY-14254A

**Bioactivity:** Olprinone (Loprinone) is a selective phosphodiesterase 3 (PDE3) inhibitor.

**Purity:** >98%

**Clinical Data:** Launched

**Size:** 10 mg, 50 mg

---

### Olprinone Hydrochloride (Loprinone (Hydrochloride))

**Cat. No.:** HY-14254

**Bioactivity:** Olprinone Hcl (Loprinone Hcl) is a selective phosphodiesterase 3 (PDE3) inhibitor.

**Purity:** 99.77%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

---

### Papaverine

**Cat. No.:** HY-18077

**Bioactivity:** Papaverine, an alkaloid found in opium, is a phosphodiesterase inhibitor.

**Purity:** 99.48%

**Clinical Data:** Phase 3

**Size:**

---

### Papaverine hydrochloride

**Cat. No.:** HY-18077A

**Bioactivity:** Papaverine hydrochloride is a selective phosphodiesterase inhibitor for the PDE10A subtype found mainly in the striatum of the brain.

**Purity:** 99.86%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 1 g

---
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>PDE IV-IN-1</td>
<td>HY-U00352</td>
<td>PDE IV-IN-1 is an inhibitor of phosphodiesterase IV, used for the research of asthma, COPD or other inflammatory diseases.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>PDE-9 inhibitor</td>
<td>HY-50865</td>
<td>PDE-9 inhibitor is useful for neurodegenerative diseases.</td>
<td>99.29%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>PDE1-IN-2</td>
<td>HY-101490</td>
<td>PDE1-IN-2 is an inhibitor of PDE1 extracted from patent WO2016/55618 A1, example 31; has IC\textsubscript{50} values of 6, 140 and 164 nM for PDE1C, PDE1B and PDE1A, respectively.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>PDE10-IN-1</td>
<td>HY-12813</td>
<td>PDE10-IN-1 is a potent PDE10-IN-1 inhibitor extracted from Patent WO 2013192273 A1, for treating CNS and metabolic disorders.</td>
<td>97.82%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>PDE2/PDE10-IN-1</td>
<td>HY-U00427</td>
<td>PDE2/PDE10-IN-1 is a phosphodiesterase 2 (PDE2) and PDE10 inhibitor with IC\textsubscript{50} values of 29 and 480 nM, respectively.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Pentoxifylline</td>
<td>HY-B0715</td>
<td>Pentoxifylline is a competitive nonselective phosphodiesterase inhibitor</td>
<td>98.0%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 1 g</td>
</tr>
<tr>
<td>PF-04447943</td>
<td>HY-15441</td>
<td>PF-04447943 is a potent inhibitor of human recombinant PDE9A (IC\textsubscript{50}=12 nM) with &gt;78-fold selectivity, respectively, over other PDE family members (IC\textsubscript{50}&gt;1000 nM).</td>
<td>99.77%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
<tr>
<td>PF-04957325</td>
<td>HY-15426</td>
<td>PF-04957325 is a highly potent and selective PDE8 inhibitor, with IC\textsubscript{50} values of 0.7 nM for PDE8A and less than 0.3 nM for PDE8B.</td>
<td>98.48%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>PF-2545920</td>
<td>HY-50098</td>
<td>PF-2545920 is a potent and selective PDE10A inhibitor with IC\textsubscript{50} of 0.37 nM, with &gt;1000-fold selectivity over the PDE.</td>
<td>99.07%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>PF-2545920 hydrochloride</td>
<td>HY-50098A</td>
<td>PF-2545920 is a potent and selective PDE10A inhibitor with IC\textsubscript{50} of 0.37 nM, with &gt;1000-fold selectivity over the PDE.</td>
<td>95.00%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
PF-8380

Bioactivity: PF-8380 is a potent autotaxin inhibitor with an IC\textsubscript{50} of 2.8 nM in isolated enzyme assay and 101 nM in human whole blood.

Purity: 98.49%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

PF-8380 hydrochloride

Bioactivity: PF-8380 hydrochloride is a potent autotaxin inhibitor with an IC\textsubscript{50} of 2.8 nM in isolated enzyme assay and 101 nM in human whole blood.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Pimobendan (pimobendane)

Bioactivity: Pimobendan is a selective inhibitor of PDE3 with IC\textsubscript{50} of 0.32 μM.

Purity: 99.51%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Pimobendan hydrochloride (pimobendane hydrochloride)

Bioactivity: Pimobendan hydrochloride is a selective inhibitor of PDE3 with IC\textsubscript{50} of 0.32 μM.

Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg

R 80123

Bioactivity: R 80123 is the Z-isomer of R 79595, is also a highly selective phosphodiesterase inhibitor.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Revizinone (R80122)

Bioactivity: Revizinone is a novel selective phosphodiesterase (PDE) inhibitor with IC\textsubscript{50} values on this enzyme to 0

Purity: 98.10%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

RGW2938 (Prinoxodan)

Bioactivity: RGW2938 is a phosphodiesterase inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Ro-15-2041

Bioactivity: Ro 15-2041 is a selective platelet phosphodiesterase inhibitor with antithrombotic properties.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Roflumilast

Bioactivity: Roflumilast is a selective PDE4 inhibitor with IC\textsubscript{50} of 0.7, 0.9, 0.7, and 0.2 nM for PDE4A1, PDE4A4, PDEB1, and PDEB2, respectively, without affecting PDE1, PDE2, PDE3 or PDE5 isoenzymes from various cells.

Purity: 99.97%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Roflumilast Impurity E

Bioactivity: Roflumilast Impurity E is the impurity of Roflumilast. Roflumilast(Daliresp) is a drug which acts as a selective and long-acting inhibitor of the enzyme PDE-4 with an IC\textsubscript{50} value of 0.8 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

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<table>
<thead>
<tr>
<th><strong>Roflumilast N-oxide</strong></th>
<th><strong>Cat. No.: HY-100639</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Roflumilast N-oxide is a PDE type 4 inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.69%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td></td>
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<table>
<thead>
<tr>
<th><strong>Rolipram</strong></th>
<th><strong>Cat. No.: HY-16900</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Rolipram is a selective inhibitor of phosphodiesterases PDE4 with IC(_{50}) of 3 nM, 130 nM and 240 nM for PDE4A, PDE4B, and PDE4D, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.56%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>RVT-501</strong></th>
<th><strong>Cat. No.: HY-12740</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>RVT-501 (E6005) is a selective phosphodiesterase 4 (PDE4) inhibitor with an IC(_{50}) of 2.8 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>Saterinone hydrochloride</strong></th>
<th><strong>Cat. No.: HY-101644A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Saterinone hydrochloride is a phosphodiesterase III (PDE III) inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
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<table>
<thead>
<tr>
<th><strong>Sch59498</strong></th>
<th><strong>Cat. No.: HY-U00374</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Sch59498 is a potent inhibitor of phosphodiesterase 1c (PDE1c).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>Sildenafil</strong></th>
<th><strong>Cat. No.: HY-15025</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Sildenafil is a potent phosphodiesterase type 5 (PDE5) inhibitor with IC(_{50}) of 5.22 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.79%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>Sophoflavescenol</strong></th>
<th><strong>Cat. No.: HY-N2284</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Sophoflavescenol is a prenylated flavonol, which shows great inhibitory activity with IC(<em>{50}) of 0.013 μM against Phosphodiesterase 5 (PDE5), and also inhibits RLAR, HRAR, AGE, BACE1, AChE and BChE with IC(</em>{50}) of 0.30μM, 0.17μM, 17.89μg/mL, 10.98μM, 8.37 μM and 8.21μM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Sildenafil citrate</strong></th>
<th><strong>Cat. No.: HY-15025A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Sildenafil citrate is a potent phosphodiesterase type 5 (PDE5) inhibitor with IC(_{50}) of 5.22 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.84%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Sophoflavescenol</strong></th>
<th><strong>Cat. No.: HY-N2284</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Sophoflavescenol is a prenylated flavonol, which shows great inhibitory activity with IC(<em>{50}) of 0.013 μM against Phosphodiesterase 5 (PDE5), and also inhibits RLAR, HRAR, AGE, BACE1, AChE and BChE with IC(</em>{50}) of 0.30μM, 0.17μM, 17.89μg/mL, 10.98μM, 8.37 μM and 8.21μM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Tadalafil</strong></th>
<th><strong>Cat. No.: HY-90009A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Tadalafil is a PDE5 inhibitor with an IC(_{50}) of 1.8 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.93%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 50 mg, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

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Tel: 4008203792    Fax: 021-53700325    Email: sales@MedChemExpress.cn
**TAK-063** (Balipodect)  
**Cat. No.:** HY-12472  
**Bioactivity:** TAK-063 is a highly potent, selective and orally active PDE10A inhibitor with IC50 of 0

**Purity:** 98.04%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Theodrenaline**  
((±)-Theodrenaline)  
**Cat. No.:** HY-U00344  
**Bioactivity:** Theodrenaline is a cardiac stimulant, also acts as an anti-hypotensive agent together with cafedrine.

**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

**Theophylline**  
(1,3-Dimethylxanthine; Theo-24)  
**Cat. No.:** HY-80809  
**Bioactivity:** Theophylline is a methylated xanthine derivative; competitive nonselective phosphodiesterase inhibitor and nonselective adenosine receptor antagonist

**Purity:** 99.94%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 g

---

**Tibenelast sodium**  
(LY 186655)  
**Cat. No.:** HY-101705  
**Bioactivity:** Tibenelast sodium is a phosphodiesterase inhibitor.

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

**TP-10**  
**Cat. No.:** HY-14550  
**Bioactivity:** TP-10 is a PDE10A inhibitor with IC50 of 0.8 nM.

**Purity:** 98.54%  
**Clinical Data:** Phase 2  
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

---

**Udenafil**  
(DA8159)  
**Cat. No.:** HY-18253  
**Bioactivity:** Udenafil(DA8159) is a PDE5 inhibitor used in urology to treat erectile dysfunction.

**Purity:** 99.07%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Vardenafil**  
**Cat. No.:** HY-80442  
**Bioactivity:** Vardenafil is a PDE5 inhibitor used for treating erectile dysfunction.

**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 100 mg, 200 mg

---

**Vardenafil hydrochloride**  
**Cat. No.:** HY-80442A  
**Bioactivity:** Vardenafil HCl is a PDE5 inhibitor used for treating erectile dysfunction.

**Purity:** 98.62%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 100 mg, 200 mg

---

**Vesnarinone**  
(OPC-8212)  
**Cat. No.:** HY-15297  
**Bioactivity:** Vesnarinone is a quinolinone derivative, and its pharmacodynamic effects include inhibition of phosphodiesterase III (PDE3) activity, increases in calcium flux and decreases in potassium flux.

**Purity:** 98.43%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Vinpocetine**  
(Ethyl apovincaminate)  
**Cat. No.:** HY-13295  
**Bioactivity:** Vinpocetine(Evinton; Ethyl apovincaminate) is a selective for PDE1 (IC50 = 21 μM). Also blocks voltage-gated Na+ channels.

**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 100 mg, 200 mg, 500 mg
**WAY127093B racemate**  
Cat. No.: HY-101749  

**Bioactivity:** WAY127093B racemate is the racemate of WAY127093B. WAY127093B is a novel, orally active phosphodiesterase IV inhibitor in guinea pigs and rats.  

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

**Win 58237**  
Cat. No.: HY-101661  

**Bioactivity:** Win 58237 is a cyclic nucleotide phosphodiesterase (PDE) inhibitor, with $K_i$ of 170 nM for PDE V, possessing vasorelaxant activity.  

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

**Win-62005**  
Cat. No.: HY-U00136  

**Bioactivity:** Win-62005 is a cyclic AMP phosphodiesterase III (PDE III) inhibitor with $K_i$ of 25 and 26 nM for rat heart and canine aorta, respectively.  

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg

**Zardaverine**  
Cat. No.: HY-15485  

**Bioactivity:** Zardaverine is a newly developed dual-selective PDE3/4 inhibitor with IC50 values of 0.5 uM and 0.8 uM respectively.  

**Purity:** 98.17%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM x 1 mL in DMSO, 5 mg, 10 mg
Phospholipase

Phospholipase is a member of a very complex group of enzymes that break down phospholipids into fatty acids and other compounds. Phospholipases are defined by the enzymatic reaction they catalyze. The classes are phospholipase A, which has members A1 and A2; phospholipase B, which can carry out the reactions of both A1 and A2; phospholipase C; and phospholipase D. Phospholipase A$_2$ (PLA$_2$) catalyses the hydrolysis of the sn-2 position of glycerophospholipids to yield fatty acids and lysophospholipids. Phospholipase C (PLC) converts phosphatidylinositol 4,5-bisphosphate (PIP$_2$) to inositol 1,4,5-trisphosphate (IP$_3$) and diacylegycerol (DAG). DAG and IP$_3$ each control diverse cellular processes and are also substrates for synthesis of other important signaling molecules. PLC is thus central to many important interlocking regulatory networks. Phospholipase D (PLD) is an essential enzyme responsible for the production of the lipid second messenger phosphatidic acid (PA), which is involved in fundamental cellular processes, including membrane trafficking, actin cytoskeleton remodeling, cell proliferation and cell survival.
Phospholipase Inhibitors & Modulators

1-Linoleoyl Glycerol  
(1-Linoleoyl-rac-glycerol; 1-Monolinolein)  
Cat. No.: HY-111346

Bioactivity: 1-Linoleoyl glycerol (1-LG) is a fatty acid glycerol that has been isolated from S. chinensis roots.

Purity: >98%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 1 mg, 10 mg, 50 mg, 100 mg

2-(E-2-decenoylamino)ethyl 2-(cyclohexylethyl) sulfide  
Cat. No.: HY-100287

Bioactivity: 2-(E-2-decenoylamino)ethyl 2-(cyclohexylethyl) sulfide is a compound that inhibits stress-induced ulcer and low toxicity, and can maintain the content of phospholipase A2 and prostaglandin E2 in ulcerated rats induced by water immersed restrained stress.

Purity: >98%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 1 mg, 10 mg, 50 mg, 100 mg

AA26-9  
Cat. No.: HY-18522

Bioactivity: AA26-9 is a potent and broad spectrum serine hydrolase inhibitor.

Purity: 99.78%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

CAY10650  
Cat. No.: HY-10801

Bioactivity: CAY10650 is a highly potent cytosolic phospholipase A2α (cPLA2α) inhibitor with an IC 50 value of 12 nM.

Purity: 98.0%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg

D609  
Cat. No.: HY-70072

Bioactivity: D609 is a selective competitive inhibitor of phosphatidyl choline-specific phospholipase C (PC-PLC), with Kᵢ of 6.4 μM, used for antiviral and antitumor research.

Purity: 98.0%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Darapladib  
(SB-480848)  
Cat. No.: HY-10521

Bioactivity: Darapladib is a potent inhibitor of lipoprotein-associated phospholipase A2 (Lp-PLA₂) with IC₅₀ of 0.25 nM.

Purity: 99.95%  
Clinical Data: Phase 3  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Ecopladib  
(PLA 725)  
Cat. No.: HY-U00037

Bioactivity: Ecopladib is a sub-micromolar inhibitor of cytosolic phospholipase A2α (cPLA2α), with IC₅₀ of 0.15 μM and 0.11 μM in the GLU micelle and rat whole blood assays, respectively.

Purity: >98%  
Clinical Data: No Development Reported  
Size: 1 mg, 5 mg, 10 mg, 20 mg

FIPI  
(5-Fluoro-2-indolyl deschlorohalopemide)  
Cat. No.: HY-12807

Bioactivity: FIPI is a derivative of halopemide which potently inhibits both PLD1 and PLD2 with IC₅₀ of 25 nM and 20 nM, respectively.

Purity: 99.53%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Levobupivacaine hydrochloride  
((S)-(−)-Bupivacaine monohydrochloride)  
Cat. No.: HY-B0653A

Bioactivity: Levobupivacaine HCl is a local anaesthetic compound belonging to the amino amide group; long-acting local anesthetic.

Purity: 98.53%  
Clinical Data: Launched  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Lp-PLA₂ -IN-1  
Cat. No.: HY-19757

Bioactivity: inhibit Lp-PLA₂ activity, processes for their preparation, to compositions containing them and to their use in the treatment of diseases associated with the activity of Lp-PLA₂, for example atherosclerosis, Alzheimer’s disease.

Purity: 99.38%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg
**LY 178002**

**Cat. No.: HY-101579**

**Bioactivity:** LY 178002 is a potent inhibitor of cyclooxygenase, 5-1ipoxygenase, phospholipase A2 and cellular production of LTB4 by human polymorphonuclear leukocytes, with IC\textsubscript{50} of 0.6 μM for 5-1ipoxygenase.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg, 20 mg

---

**Melittin**  (Gly-Ile-Gly-Ala-Leu-Lys-Val-Leu-Thr-Gly-Leu-P)

**Cat. No.: HY-P0233**

**Bioactivity:** Melittin is a PLA\textsubscript{2} activator, stimulates the activity of the low molecular weight PLA\textsubscript{2}, while it does not increase the activity of the high molecular weight PLA\textsubscript{2}.

**Purity:** 96.73%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg

---

**ML348**

**Cat. No.: HY-100736**

**Bioactivity:** ML348 is a selective and reversible lysophospholipase 1 (LYPLA1) inhibitor (IC\textsubscript{50} = 210 nM), Exhibits 14-fold selectivity for LYPLA1 over LYPLA2, Also selective over a panel of ~30 other serine hydrolases.

**Purity:** 99.59%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

---

**ML349**

**Cat. No.: HY-100737**

**Bioactivity:** ML349 is a potent and specific acyl protein thioesterase 2 (APT-2) inhibitor with a K\textsubscript{i} of 120 nM. ML349 is also an inhibitor of LYPLA2 with an IC\textsubscript{50} of 144 nM.

**Purity:** 98.90%

**Clinical Data:** No Development Reported

**Size:**

---

**Quinacrine dihydrochloride**  
(Mepacrine dihydrochloride; SN-390)

**Cat. No.: HY-13735A**

**Bioactivity:** Quinacrine is a fluorescent probe for the conformational transitions of the cholinergic receptor protein

**Purity:** 98.00%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

---

**SPK-601**  
(LMV-601)

**Cat. No.: HY-70083**

**Bioactivity:** SPK-601(LMV-601) is a potent phosphatidylcholine-specific phospholipase C (PC-PLC) inhibitor; SPK-601 is useful antimicrobial agent.

**Purity:** 97.80%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

---

**Tanshinone I**  
(Tanshinone A)

**Cat. No.: HY-N0134**

**Bioactivity:** Tanshinone I is an inhibitor of type IIA human recombinant sPLA\textsubscript{2} (IC\textsubscript{50} = 11 μM) and rabbit recombinant cPLA\textsubscript{2} (IC\textsubscript{50} = 82 μM).

**Purity:** 98.0%

**Clinical Data:** Phase 4

**Size:** 5 mg, 10 mg, 25 mg, 50 mg

---

**U-73122**

**Cat. No.: HY-13419**

**Bioactivity:** U-73122 is an inhibitor of phospholipase C (PLC), phospholipase A2, and 5-LO (5-lipoxygenase).

**Purity:** 98.17%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 50 mg

---

**Varespladib**  
(LY315920)

**Cat. No.: HY-13402**

**Bioactivity:** LY315920 (Varespladib) is a potent and selective human non-pancreatic secretory phospholipase A2 (sPLA) inhibitor with IC\textsubscript{50} of 7 nM

**Purity:** 98.75%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Varespladib methyl**  
(A-002; LY333013; S-3013)

**Cat. No.: HY-17448**

**Bioactivity:** Varespladib methyl is a selective inhibitor of group II secretory phospholipase A2 (PLA2).

**Purity:** >98%

**Clinical Data:** Phase 3

**Size:**
Procollagen C Proteinase

Procollagen C proteinase (PCP) and its enhancer protein (PCPE) are key to collagen fibril-assembly and extracellular matrix formation. PCP cleaves the carboxyl-propeptides of procollagens types I, II, and III and this initiates the self-assembly of collagen fibrils. PCP can also process pro-lysyl oxidase and laminin 5, and it may cleave the type V procollagen N-propeptides. Procollagen processing by PCP is stimulated by PCPE, a glycoprotein that binds to the C-propeptide of type I procollagen through its N-terminal CUB domains. PCP/BMP-1 related proteases can activate TGF-β-like growth factors. PCPs have important biological functions in addition to their role in collagen fibril assembly.
**UK-383367**

<table>
<thead>
<tr>
<th>Property</th>
<th>Details</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity</strong></td>
<td>UK-383367 (UK 383367) is a potent and selective inhibitor of BMP-1 (procollagen C-proteinase) with IC50 of 44 nM; Selective for BMP-1 over MMPs 1, 2, 3, 9 and 14 (IC50 values are &gt;10,000 nM for listed MMPs)</td>
</tr>
<tr>
<td><strong>Purity</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size</strong></td>
<td></td>
</tr>
</tbody>
</table>

Cat. No.: HY-13102
Proteasomes are very large protein complexes inside all eukaryotes and archaea, and in some bacteria. In eukaryotes, they are located in the nucleus and the cytoplasm. The main function of the proteasome is to degrade unneeded or damaged proteins by proteolysis, a chemical reaction that breaks peptide bonds. Enzymes that carry out such reactions are called proteases. Proteasomes are part of a major mechanism by which cells regulate the concentration of particular proteins and degrade misfolded proteins. The degradation process yields peptides of about seven to eight amino acids long, which can then be further degraded into amino acids and used in synthesizing new proteins. Proteins are tagged for degradation with a small protein called ubiquitin. The tagging reaction is catalyzed by enzymes called ubiquitin ligases. Once a protein is tagged with a single ubiquitin molecule, this is a signal to other ligases to attach additional ubiquitin molecules. The result is a polyubiquitin chain that is bound by the proteasome, allowing it to degrade the tagged protein.
# Proteasome Inhibitors & Modulators

## 18α-Glycyrrhetinic acid

**Cat. No.: HY-N0375**

**Bioactivity:** 18α-Glycyrrhetinic acid is an inhibitor of **NF-κB** and an activator of **proteasome**.

**Purity:** 99.19%

**Clinical Data:** No Development Reported

**Size:**
- 10mM x 1mL in Water,
- 10 mg, 100 mg

---

## Bortezomib (PS-341)

**Cat. No.: HY-10227**

**Bioactivity:** Bortezomib is a potent **20S proteasome** inhibitor with $K_i$ of 0.6 nM.

**Purity:** 99.71%

**Clinical Data:** Launched

**Size:**
- 10mM x 1mL in DMSO,
- 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

---

## Carfilzomib (PR-171)

**Cat. No.: HY-10455**

**Bioactivity:** Carfilzomib is an irreversible **proteasome** inhibitor with $IC_{50}$ of < 5 nM in ANBL-6 and RPMI 8226 cells.

**Purity:** 99.44%

**Clinical Data:** Launched

**Size:**
- 10mM x 1mL in DMSO,
- 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

---

## Celastrol (Tripterin)

**Cat. No.: HY-13067**

**Bioactivity:** Celastrol is a **proteasome** inhibitor, potently and preferentially inhibits the chymotrypsin-like activity of a purified **20S proteasome** ($IC_{50}$=2.5 μM).

**Purity:** 99.90%

**Clinical Data:** No Development Reported

**Size:**
- 10mM x 1mL in DMSO,
- 10 mg, 50 mg, 100 mg

---

## Cysteine Protease inhibitor (2-Pyrimidinecarbonitrile, 4-[[4'-(aminomethyl)[1,1'-biphenyl]-3-yl]oxy]-)

**Cat. No.: HY-17541**

**Bioactivity:** Cysteine Protease inhibitor is an inhibitor of **cysteine protease**.

**Purity:** 97.14%

**Clinical Data:** No Development Reported

**Size:**
- 10mM x 1mL in DMSO,
- 5 mg, 10 mg, 50 mg, 100 mg

---

## Cysteine Protease inhibitor hydrochloride

**Cat. No.: HY-17541A**

**Bioactivity:** Cysteine Protease inhibitor hydrochloride is an inhibitor of **cysteine protease**.

**Purity:** 96.22%

**Clinical Data:** No Development Reported

**Size:**
- 10mM x 1mL in DMSO,
- 5 mg, 10 mg, 50 mg, 100 mg

---

## Delanzomib (CEP-18770)

**Cat. No.: HY-10454**

**Bioactivity:** Delanzomib(CEP-18770) is a novel orally-active inhibitor of the chymotrypsin-like activity of the proteasome that down-modulates the nuclear factor-kappaB (NF-kappaB) activity.

**Purity:** 95.48%

**Clinical Data:** Phase 2

**Size:**
- 10mM x 1mL in DMSO,
- 5 mg, 10 mg, 50 mg, 100 mg

---

## Epoxomicin (BU-4061T)

**Cat. No.: HY-13821**

**Bioactivity:** Epoxomicin(BU-4061T) is a potent anti-tumor agent isolated from Actinomycetes that is used as a selective and irreversible inhibitor of the 20S proteasome.

**Purity:** 99.89%

**Clinical Data:** No Development Reported

**Size:**
- 10mM x 1mL in DMSO,
- 100μg, 1 mg, 5 mg, 10 mg, 20 mg

---

## FK-448 Free base

**Cat. No.: HY-100193**

**Bioactivity:** FK-448 Free base is an effective and specific inhibitor of **chymotrypsin**, with an $IC_{50}$ of 720 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**
- 10mM x 1mL in Water,
- 10 mg, 100 mg

---

## Gabexate mesylate (FOY)

**Cat. No.: HY-B0385**

**Bioactivity:** Gabexate Mesylate is a Factor X inhibitor.

**Purity:** 98.51%

**Clinical Data:** Launched

**Size:**
- 10mM x 1mL in Water,
- 10 mg, 100 mg

---
| **MG-101**  
(Calpain inhibitor I; ALL) | **MG-132**  
(Cat. No.: HY-13207) |
<table>
<thead>
<tr>
<th></th>
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</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> MG-101 is a potent inhibitor of cysteine proteases including calpain I (Ki = 190 nM), calpain II (Ki = 220 nM), cathepsin B (Ki = 150 nM), and cathepsin L (Ki = 500 pM).</td>
<td><strong>Bioactivity:</strong> MG-132 is a potent, non-specific 20S proteasome inhibitor, with IC_{50} of 24.2 nM for the β5 chymotrypsin-like active site.</td>
</tr>
</tbody>
</table>
| **Purity:** 95.0%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg | **Purity:** 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 50 mg, 100 mg |

| **MLN2238**  
(baxomib) | **MLN9708**  
(baxomib citrate) |
<table>
<thead>
<tr>
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</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> MLN2238 is a selective, potent, and reversible proteasome inhibitor, which inhibits the chymotrypsin-like proteolytic (β5) site of the 20S proteasome with an IC_{50} value of 3.4 nM (K_{i} of 0.93 nM).</td>
<td><strong>Bioactivity:</strong> MLN2238 rapidly hydrolyzes to MLN2238, which is a selective, orally bioavailable, second-generation proteasome inhibitor, inhibits the chymotrypsin-like proteolytic (β5) site of the 20S proteasome with an IC_{50} value of 3.4 nM (K_{i} of 0.93 nM), and also inhibits the caspase-like (B1) and...</td>
</tr>
</tbody>
</table>
| **Purity:** 99.07%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg | **Purity:** 99.87%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **ONX-0914**  
(PR-957) | **Oprozomib**  
(ONX 0912; PR-047) |
<table>
<thead>
<tr>
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<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> ONX-0914 (PR-957) is a potent and selective immunoproteasome inhibitor with minimal cross-reactivity for the constitutive proteasome.</td>
<td><strong>Bioactivity:</strong> Oprozomib (ONX 0912; PR047) is an orally bioavailable inhibitor for CT-L activity of 20S proteasome β5/LMP7 with IC_{50} of 36 nM/82 nM</td>
</tr>
</tbody>
</table>
| **Purity:** 98.16%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg | **Purity:** 99.60%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **PD 151746**  
| **PD150606**  
(Cat. No.: HY-100529) |
<table>
<thead>
<tr>
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</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PD151746 is a calpain inhibitor, shows a 20-fold selectivity for u-calpain (Ki = 0.26 ± 0.03 μM) over m-calpain (Ki = 5.33 ± 0.77 μM).</td>
<td><strong>Bioactivity:</strong> PD 150606 is a selective, cell-permeable non-peptide calpain inhibitor (Ki values for ν and m-calpains are 0.21 and 0.37 μM respectively).</td>
</tr>
</tbody>
</table>
| **Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg | **Purity:** 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **Pepstatin**  
(Pepstatin A; Isovaleryl-Val-Val-Ala-Sta-OH) | **Pepstatin Trifluoroacetate**  
(Pepstatin A Trifluoroacetate  
Isoleucyl-Val-Val-Ala-Sta-Ala-Val-Trifluoroacetate) |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Pepstatin is a specific aspartic proteases inhibitor produced by actinomycetes, and also inhibits HIV protease.</td>
<td><strong>Bioactivity:</strong> Pepstatin Trifluoroacetate is a specific aspartic proteases inhibitor produced by actinomycetes, and also inhibits HIV protease.</td>
</tr>
</tbody>
</table>
| **Purity:** 98.45%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg | **Purity:** 99.11%  
**Clinical Data:** No Development Reported  
**Size:** |
### PI-1840  
**Cat. No.: HY-12286**  
**Bioactivity:** PI-1840 is a potent and selective inhibitor for chymotrypsin-like (CT-L) (IC50 value = 27 ± 0.14 nM) over trypsin-like and peptidylglutamyl peptide hydrolyzing (IC50 values >100 μM) activities of the proteasome.

- **Purity:** 98.62%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

### PMSF (Phenylmethylsulfonyl fluoride)  
**Cat. No.: HY-B0496**  
**Bioactivity:** PMSF is an irreversible serine/cysteine protease inhibitor.

- **Purity:** 99.24%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

### Proteasome-IN-1  
**Cat. No.: HY-100172**  
**Bioactivity:** Proteasome-IN-1 is a proteasome inhibitor extracted from patent WO 2013142376 A1.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:**

### RA190  
**Cat. No.: HY-100739**  
**Bioactivity:** RA190, a bis-benzylidine piperidon, inhibits proteasome function by covalently binding to cysteine 88 of ubiquitin receptor RPN13.

- **Purity:** 98.03%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### VR23  
**Cat. No.: HY-18741**  
**Bioactivity:** VR23 is a small molecule that potently inhibited the activities of trypsin-like proteasomes (IC50 = 1 nM), chymotrypsin-like proteasomes (IC50 = 50-100 nM), and caspase-like proteasomes (IC50 = 3 μM).

- **Purity:** 99.33%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
Pyruvate dehydrogenase is the first component enzyme of pyruvate dehydrogenase complex (PDC). The pyruvate dehydrogenase complex contributes to transforming pyruvate into acetyl-CoA by a process called pyruvate decarboxylation. Acetyl-CoA may then be used in the citric acid cycle to carry out cellular respiration, so pyruvate dehydrogenase contributes to linking the glycolysis metabolic pathway to the citric acid cycle and releasing energy via NADH.

Pyruvate dehydrogenase performs the first two reactions within the pyruvate dehydrogenase complex (PDC): a decarboxylation of substrate 1 (pyruvate) and a reductive acetylation of substrate 2 (lipoic acid). Lipoic acid is covalently bound to dihydrolipoamide acetyltransferase, which is the second catalytic component enzyme of PDC. The reaction catalyzed by pyruvate dehydrogenase is considered to be the rate-limiting step for the pyruvate dehydrogenase complex (PDHc).
### Pyruvate Dehydrogenase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Substance</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>CPI-613</strong></td>
<td>HY-15453</td>
<td>CPI-613 is an E1α pyruvate dehydrogenase (PDH) modulator that prevents cancer cells from metabolizing glucose for energy. CPI-613 has been granted orphan drug status by the US FDA for pancreatic cancer.</td>
<td>99.59%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>PKM2-IN-1</strong></td>
<td>HY-103617</td>
<td>PKM2-IN-1 is a pyruvate kinase M2 (PKM2) inhibitor with an IC&lt;sub&gt;50&lt;/sub&gt; of 2.95 μM.</td>
<td>98.35%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Shikonin</strong></td>
<td>HY-N0822</td>
<td>Shikonin is an inhibitor of TMEM16A chloride channel with an IC&lt;sub&gt;50&lt;/sub&gt; of 6.5 μM. Shikonin is also a specific inhibitor of PKM2 and can also inhibit tumor necrosis factor-α (TNF-α) and prevent activation of nuclear factor-κB (NF-κB) pathway.</td>
<td>99.64%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>TEPP-46</strong></td>
<td>HY-18657</td>
<td>TEPP-46 is a potent and selective activator of recombinant pyruvate kinase M2 (PKM2) with half-maximum activating concentration (AC&lt;sub&gt;50&lt;/sub&gt;) value of 92 nM, and has little or no effect on PKM1, PKL and PKR.</td>
<td>99.35%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

---

**Cat. No.**

- **HY-15453**: CPI-613
- **HY-103617**: PKM2-IN-1
- **HY-N0822**: Shikonin
- **HY-18657**: TEPP-46
RAR/RXR

The nuclear retinoic acid receptors (RARs) are transcriptional transregulators, which control the expression of specific gene subsets subsequent to ligand binding and to strictly controlled phosphorylation processes. RARs consist of three subtypes, α (NR1B1), β (NR1B2) and γ (NR1B3), encoded by separate genes. RARs function as ligand-dependent transcriptional regulators, heterodimerized with retinoid X receptors (RXRs), which also consist of three types, α NR2B1, β (NR2B2) and γ (NR2B3). RARs play critical roles in a variety of biological processes, including development, reproduction, immunity, organogenesis and homeostasis, as assessed by vitamin A-deficiency (VAD), pharmacological and genetic studies conducted in the mouse.

Retinoic acid receptors
Retinoid X receptors

HDAC Inhibitor: Valprostat (SAHA)

Retinoid X receptor (RXR) belongs to a family of ligand-activated transcription factors that regulate many aspects of metazoan life. A class of nuclear receptors requires RXR as heterodimerization partner for their function.
### RAR/RXR Inhibitors & Modulators

#### (+)-Talarozole
Cat. No.: HY-14802C

**Bioactivity:** (+)-Talarozole is a potent inhibitor of retinoic acid metabolism extracted from patent WO 1997049704 A1.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.28%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

#### (-)-Talarozole
Cat. No.: HY-14802D

**Bioactivity:** (-)-Talarozole is a potent inhibitor of retinoic acid metabolism extracted from patent WO 1997049704 A1.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.02%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 50 mg, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

#### (R)-Flurbiprofen
(E7869; Tarenflurbil; MPC7869)
Cat. No.: HY-10291

**Bioactivity:** (R)-Flurbiprofen is the R-enantiomer of the racemate NSAID Flurbiprofen. (R)-Flurbiprofen inhibits the binding of [3H]9-cis-RA to RXRα LBD with IC$_{50}$ of 75 μM.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.23%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 100 mg</td>
</tr>
</tbody>
</table>

#### Acitretin
(Ro 10-1670)
Cat. No.: HY-B0107

**Bioactivity:** Acitretin(Ro 10-1670) is a second-generation, systemic retinoid that has been used in the treatment of psoriasis.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.56%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg</td>
</tr>
</tbody>
</table>

#### Acitretin sodium
(Ro 10-1670 sodium)
Cat. No.: HY-B0107A

**Bioactivity:** Acitretin sodium(Ro 10-1670) is a second-generation, systemic retinoid that has been used in the treatment of psoriasis.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>Launched</td>
<td>100 mg, 200 mg, 500 mg</td>
</tr>
</tbody>
</table>

#### Adapalene
(CD271)
Cat. No.: HY-B0091

**Bioactivity:** Adapalene(CD-271; Differin), a synthetic retinoid, is a retinoic acid receptor agonist (RAR).

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.0%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 50 mg, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

#### Adapalene sodium salt
(CD 271 sodium salt)
Cat. No.: HY-B0091A

**Bioactivity:** Adapalene sodium salt(CD 271; Differin), a synthetic retinoid, is a Retinoic acid receptor agonist (RAR).

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>Launched</td>
<td>50 mg, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

#### AGN 193109
Cat. No.: HY-U00449

**Bioactivity:** AGN 193109 is a retinoid analog, and acts as a specific and highly effective antagonist of retinoic acid receptors (RARs), with Kd of 2 nM, 2 nM, and 3 nM for RARα, RARβ, and RARγ, respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.50%</td>
<td>No Development Reported</td>
<td></td>
</tr>
</tbody>
</table>

#### AGN 194078
Cat. No.: HY-100273

**Bioactivity:** AGN 194078 is a selective RARα agonist with a Kd and EC$_{50}$ of 3 and 112 nM, respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td></td>
</tr>
</tbody>
</table>

#### AGN 194310
(VTP-194310)
Cat. No.: HY-16681

**Bioactivity:** AGN 194310(VTP-194310) is a potent and selective pan-RARs agonist with KD values of 3/2/5 nM for RARα/β/γ respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.26%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>
### AGN 195183
**Cat. No.:** HY-16684

**Bioactivity:** AGN 195183 is a potent and selective agonist of RARα (Kd = 3 nM) with improved binding selectivity relative to AGN 193836; no activity on RARβ/γ.

**Purity:** 98.28%

**Clinical Data:** No Development Reported

**Size:**
- 10mM x 1mL in DMSO,
- 5 mg, 10 mg

### AGN 196996
**Cat. No.:** HY-16682

**Bioactivity:** AGN 196996 is a potent and selective RARα antagonist with Ki value of 2 nM; little binding affinity for RARβ (Ki = 1087 nM) and RARγ (Ki = 8523 nM).

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**
- 5 mg, 10 mg

### AGN 205327
**Cat. No.:** HY-16685

**Bioactivity:** AGN 205327 is a potent synthetic RARs agonist with EC50 of 3766/734/32 nM for RARα/β/γ respectively; no inhibition on RXR.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**
- 5 mg, 10 mg

### AM580
(CD336; NSC608001; Ro 40-6055)
**Cat. No.:** HY-10475

**Bioactivity:** AM580 is a stable retinobenzoic derivative, and originally synthesized as a RARα agonist.

**Purity:** 99.41%

**Clinical Data:** No Development Reported

**Size:**
- 10mM x 1mL in DMSO,
- 5 mg, 10 mg, 50 mg

### Bexarotene
**Cat. No.:** HY-14171

**Bioactivity:** Bexarotene (Targetretin) is a selective RXR agonist approved for the treatment of CTCL.

**Purity:** 99.81%

**Clinical Data:** Launched

**Size:**
- 10mM x 1mL in DMSO,
- 100 mg, 500 mg

### CD437
(AHPN)
**Cat. No.:** HY-100532

**Bioactivity:** CD437 is a selective Retinoic Acid Receptor γ (RARγ) agonist.

**Purity:** >98%

**Clinical Data:** No Development Reported

### Fenretinide
(4-HPR; (4-Hydroxyphenyl)retinamide)
**Cat. No.:** HY-15373

**Bioactivity:** Fenretinide is a synthetic retinoid derivative, binding to the retinoic acid receptors (RAR) at concentrations necessary to induce cell death.

**Purity:** 99.41%

**Clinical Data:** Phase 3

**Size:**
- 10mM x 1mL in DMSO,
- 10 mg, 50 mg, 100 mg

### Isotretinoin
(13-cis-Retinoic acid)
**Cat. No.:** HY-15127

**Bioactivity:** Isotretinoin (13-cis-Retinoic acid) is a medication used for the treatment of severe acne. It was first developed to be used as a chemotherapy medication for the treatment of brain cancer, pancreatic cancer and more.

**Purity:** 94.86%

**Clinical Data:** Launched

**Size:**
- 10mM x 1mL in DMSO,
- 100 mg, 500 mg

---

Tel: 4008203792  Fax: 021-53700325  Email: sales@MedChemExpress.cn
**LY2955303**

**Bioactivity:** LY2955303 is a potent and selective retinoic acid receptor gamma (RARγ) antagonist with a $K_i$ of 1.09 nM.

**Purity:** 98.25%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

---

**Magnolol**

**Bioactivity:** Magnolol, a natural lignan isolated from the stem bark of Magnolia officinalis, is a dual agonist of both RXRα and PPARγ, with $E_{50}$ values of 10.4 µM and 17.7 µM, respectively.

**Purity:** 99.11%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

---

**Palovarotene** (R 667; Ro 3300074)

**Bioactivity:** Palovarotene is a nuclear retinoic acid receptor γ (RAR-γ) agonist.

**Purity:** 95.90%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 100 mg

---

**Retinoic acid** (ATRA; Tretinoin; Vitamin A acid; all-trans-Retinoic acid)

**Bioactivity:** Retinoic acid is a natural agonist of RAR nuclear receptors, with $IC_{50}$ values of 14 nM for RARα/β/γ. Retinoic acid also bind to PPARβ/δ, with $K_d$ of 17 nM.

**Purity:** 98.36%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg, 1 g, 5 g

---

**Tamibarotene** (Am 80)

**Bioactivity:** Tamibarotene is an agonist for retinoic acid receptor α/β, and used for cancer treatment.

**Purity:** 99.77%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

---

**Tazarotene**

**Bioactivity:** Tazarotene is a selective retinoic acid receptor (RAR) agonist for the treatment of plaque psoriasis and acne vulgaris.

**Purity:** 98.68%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

---

**Trifarotene**

**Bioactivity:** Trifarotene is a retinoic acid receptor (RAR) agonist with $K_d$ of 2, 15 and 500 nM for RXRγ, RARβ and RARα, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 15 and 500 nM

---

**TTNPB** (Ro 13-7410; Arotinoid acid; AGN191183)

**Bioactivity:** TTNPB is a highly potent RAR agonist. Competitive binding assays using human RARs yield $IC_{50}$ of α=5.1 nM, β= 4.5 nM, and γ=9.3 nM, respectively.

**Purity:** 99.31%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

---

**UVI 3003**

**Bioactivity:** UVI 3003 is a highly selective antagonist of retinoid X receptor (RXR), and inhibits xenopus and human RXRα in Cos7 cells, with $IC_{50}$ of 0.22 and 0.24 µM, respectively.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

---

www.MedChemExpress.cn
Renin is a central hormone in the control of blood pressure and various other physiological functions. Renin is a hormone and an enzyme which chops the end off angiotensinogen, a circulating plasma peptide, thus creating the decapeptide, angiotensin I. Angiotensin I is acted on by angiotensin converting enzyme (ACE), which chops another bit off to make the octapeptide, angiotensin II. Angiotensin II acts on the adrenal cortex to stimulate the release of aldosterone and aldosterone stimulates Na⁺ retention.

Renin is rate limiting in the production of angiotensin II (Ang II), a hormone that ultimately integrates cardiovascular and renal function in the control of blood pressure as well as salt and volume homeostasis. For instance, renin seems to be of vast importance for maintaining arterial blood pressure in the face of variations in salt intake: in mice, constant blood pressure is found during alterations in sodium intake, and this relies on controlling the activity of the renin-angiotensin system (RAS).
Renin Inhibitors & Modulators

**ACT 178882**
Cat. No.: HY-U00262

Bioactivity: ACT 178882 is a new Renin inhibitor with an IC$_{50}$ of 1.4 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

---

**Aliskiren (CGP 60536; CGP60536B; SPP 100)**
Cat. No.: HY-12176

Bioactivity: Aliskiren(CGP 60536) is a direct renin inhibitor with IC50 of 1

Purity: 99.57%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg

---

**Aliskiren D6 Hydrochloride**
(CGP-60536 D6 Hydrochloride)
Cat. No.: HY-12176AS

Bioactivity: Aliskiren D6 Hydrochloride is is deuterium labeled Aliskiren, which is a direct renin inhibitor with IC50 of 1.5 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg

---

**Aliskiren hemifumarate**
(CGP 60536; CGP60536B; SPP 100)
Cat. No.: HY-12177

Bioactivity: Aliskiren hemifumarate(CGP 60536) is a direct renin inhibitor with IC50 of 1

Purity: 99.47%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg

---

**VTP-27999**
Cat. No.: HY-50768

Bioactivity: VTP-27999 is an alkyl amine Renin inhibitor; VTP-27999 is useful for Hypertension and End-Organ Diseases.

Purity: >98%
Clinical Data: Phase 1
Size: 5 mg, 10 mg, 50 mg, 100 mg

---

**VTP-27999 2,2,2-trifluoroacetate**
(VTP-27999)
Cat. No.: HY-50769

Bioactivity: VTP-27999 2,2,2-trifluoroacetate is an alkyl amine Renin inhibitor; VTP-27999 is useful for Hypertension and End-Organ Diseases.

Purity: >98%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg

---

**VTP-27999 Hydrochloride**
Cat. No.: HY-76652

Bioactivity: VTP-27999 HCl is an alkyl amine Renin inhibitor; VTP-27999 is useful for Hypertension and End-Organ Diseases

Purity: 98.02%
Clinical Data: Phase 1
Size: 10mM x 1mL in Water,
5 mg, 10 mg, 50 mg, 100 mg

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www.MedChemExpress.cn 179
The retinoic acid-related orphan receptor (ROR) subgroup of nuclear receptors consists of three members, RORα, -β and -γ (NR1F1-3 or RORA-C). RORs regulate several important physiological processes and have been implicated in a number of pathologies. RORα is critical for cerebellar development and bone formation, while RORβ regulates functions in the brain and retina. RORγ plays a key role in lymph node development and thymopoiesis. Furthermore, both RORα and RORγ are involved in regulating various metabolic pathways, inflammatory responses and immune functions, including Th17 cell differentiation.

The retinoic acid receptor-related orphan receptors α and γ (RORα and RORγ), are key regulators of helper T (Th)17 cell differentiation, which is involved in the innate immune system and autoimmune disorders. RORα/γ are members of the nuclear hormone receptor superfamily, which contains a signature type II zinc finger DNA binding motif and a hydrophobic ligand binding pocket.
## ROR Inhibitors & Modulators

### GSK2981278
**Cat. No.: HY-19770**

**Bioactivity:** GSK2981278 is a retinoid-related orphan receptor gamma (RORγ) modulator, extracted from patent WO/2015061515 A1, example 124

**Purity:** 99.77%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### LYC-55716
**Cat. No.: HY-104037**

**Bioactivity:** LYC-55716 is novel oral RAR-related orphan receptor y (RORγ) agonist.

**Purity:** 99.95%

**Clinical Data:** No Development Reported

**Size:**

### ROR gamma-t-IN-1
**Cat. No.: HY-12776**

**Bioactivity:** RORγt-IN-1 is a potent, orally bioavailable RORγγ Inhibitor with pEC50 of 8.4 and >8.2 for RORγ FRET assay and Th17 assay.

**Purity:** 98.05%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### SR1001
**Cat. No.: HY-13421**

**Bioactivity:** SR1001 is a selective RORα and RORγ inverse agonist; inhibits T_{H17} cell differentiation and function.

**Purity:** 99.79%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

### SR1078
**Cat. No.: HY-14422**

**Bioactivity:** SR1078 is an agonist of retinoic acid receptor-related orphan receptor (ROR)α/γ.

**Purity:** 99.83%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### SR3335
**Cat. No.: HY-14413**

**Bioactivity:** SR3335 is a selective RORA synthetic ligand, directly binds to RORα (K_i 220 nM) but not other RORs, and functions as a selective partial inverse agonist of RORα in cell-based assays.

**Purity:** 98.02%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
Serine proteases are enzymes that cleave peptide bonds in proteins, in which serine serves as the nucleophilic amino acid at the active site. They are found ubiquitously in both eukaryotes and prokaryotes. Serine proteases fall into two broad categories based on their structure: chymotrypsin-like or subtilisin-like. In humans, serine proteases are responsible for coordinating various physiological functions, including digestion, immune response, blood coagulation and reproduction. Threonine proteases are a family of proteolytic enzymes harbouring a threonine (Thr) residue within the active site. The prototype members of this class of enzymes are the catalytic subunits of the proteasome, however the acyltransferases convergently evolved the same active site geometry and mechanism.
### Ser/Thr Protease Inhibitors & Modulators

#### DPP-IV-IN-1

**Cat. No.: HY-U00346**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>DPP-IV-IN-1 is a potent inhibitor of dipeptidyl peptidase IV (DPP-IV), a highly specific serine protease, with an IC\textsubscript{50} of 4.6 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
</tbody>
</table>

#### Nafamostat

**Cat. No.: HY-B0190**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>Nafamostat is a broad spectrum serine protease inhibitor, kallikrein inhibitor, and inhibits blood coagulation; is also a possible complement inhibitor.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10 mg, 50 mg</td>
</tr>
</tbody>
</table>

#### Nafamostat hydrochloride

**Cat. No.: HY-B0190B**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>Nafamostat hydrochloride, a synthetic serine protease inhibitor, is an anticoagulant.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10 mg, 50 mg</td>
</tr>
</tbody>
</table>

#### Nafamostat mesylate

**(FUT-175)**

**Cat. No.: HY-B0190A**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>Nafamostat mesylate, a synthetic serine protease inhibitor, is an anticoagulant.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>98.39%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10 mM x 1 mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

#### UK-371804

**Cat. No.: HY-101214**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>UK-371804 is a urokinase-type plasminogen activator (uPA) inhibitor with a K\textsubscript{i} of 10 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>98.0%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
Serum- and glucocorticoid-inducible kinase 1 (SGK1) is a member of the Ser/Thr protein kinase family that regulates a variety of cell functions. SGK1 may regulate the cell cycle through the modulation of microtubule (MT) dynamics in tumor cells. SGK1 depolymerizes MTs through two distinct mechanisms both in vitro and in vivo. First, SGK1 directly depolymerizes MT independently of its kinase activity. Second, SGK1 depolymerizes MT through the phosphorylation of tau specifically at Ser214.

SGK1 is under regulation of several hormones, mediators and cell stressors. SGK1 is cloned as a gene up-regulated by serum and glucocorticoids in rat mammary tumor cells. SGK1 is transcriptionally upregulated by mineralocorticoids and activated by insulin. The kinase enhances renal tubular Na\(^+\)-reabsorption and accounts for blood pressure increase following high salt diet in mice made hyperinsulinemic by dietary fructose or fat.
## SGK Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Product</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>EMD638683</strong></td>
<td>HY-15193</td>
<td>EMD638683 is a highly selective SGK1 inhibitor with IC₅₀ of 3 μM.</td>
<td>99.74%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>EMD638683 R-Form</strong></td>
<td>HY-15193A</td>
<td>EMD638683 R-Form is the R-form of EMD638683. EMD638683 is a highly selective SGK1 inhibitor with IC₅₀ of 3 μM.</td>
<td>99.73%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>EMD638683 S-Form</strong></td>
<td>HY-15193B</td>
<td>EMD638683 S-Form is the S-form of EMD638683. EMD638683 is a highly selective SGK1 inhibitor with IC₅₀ of 3 μM.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>GSK 650394</strong></td>
<td>HY-15192</td>
<td>GSK 650394 is a novel SGK inhibitor with IC₅₀ of 62 nM and 103 nM for SGK1 and SGK2 in the SPA assay respectively.</td>
<td>99.38%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Stearoyl-CoA Desaturase (SCD)

Stearoyl-CoA desaturase (SCD) is an integral membrane protein of the endoplasmic reticulum (ER) that catalyzes the formation of monounsaturated fatty acids from saturated fatty acids. Recent studies suggest that SCD is a key regulator of energy metabolism and has implications in dislipidemia and obesity. It is responsible for forming a double bond in Stearoyl-CoA. This is how the monounsaturated fatty acid oleic acid is produced from the saturated fatty acid stearic acid. Stearoyl-CoA desaturase is an iron-containing enzyme that catalyzes a rate-limiting step in the synthesis of unsaturated fatty acids. The principal product of SCD is oleic acid, which is formed by desaturation of stearic acid. The ratio of stearic acid to oleic acid has been implicated in the regulation of cell growth and differentiation through effects on cell membrane fluidity and signal transduction.
# Stearoyl-CoA Desaturase (SCD) Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>A939572</strong> (stearoyl-CoA desaturase (SCD) inhibitor; SCD-inhibitor)</th>
<th><strong>Cat. No.: HY-50709</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> A939572 is a potent, and orally bioavailable <strong>SCD1</strong> inhibitor with <strong>IC\textsubscript{50}</strong> values of &lt;4 nM and 37 nM for <strong>mSCD1</strong> and <strong>hSCD1</strong>, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.67%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>CAY10566</strong></th>
<th><strong>Cat. No.: HY-15823</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> CAY10566 is a <strong>stearoyl-CoA desaturase (SCD)</strong> inhibitor.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.01%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>MK-8245</strong></th>
<th><strong>Cat. No.: HY-13070</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> MK-8245 is a liver-targeting inhibitor of stearoyl-CoA desaturase (SCD) with IC\textsubscript{50} of 1 nM for human SCD1 and 3 nM for both rat SCD1 and mouse SCD1, with anti-diabetic and anti-dyslipidemic efficacy.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.33%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 2</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>MK-8245 Trifluoroacetate</strong></th>
<th><strong>Cat. No.: HY-13077</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> MK-8245 trifluoroacetate is a liver-targeting inhibitor of stearoyl-CoA desaturase (SCD) with IC\textsubscript{50} of 1 nM for human SCD1 and 3 nM for both rat SCD1 and mouse SCD1, with anti-diabetic and anti-dyslipidemic efficacy.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.09%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 2</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PluriSln 1</strong> (NSC 14613)</th>
<th><strong>Cat. No.: HY-15700</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PluriSln 1 is an inhibitor of stearoyl-coA desaturase (SCD), and is a pluripotent cell-specific inhibitor.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.53%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM x 1 mL in DMSO, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>SCD inhibitor 1</strong></th>
<th><strong>Cat. No.: HY-19762</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> SCD inhibitor 1 is a stearoyl-coa desaturase (SCD) extracted from patent WO/2009060053 A1, compound example 16.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.40%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>XEN723</strong></th>
<th><strong>Cat. No.: HY-100249</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> XEN723 is a novel and potent thiazolylimidazolidinone inhibitor of <strong>SCD1</strong> with <strong>IC\textsubscript{50}</strong> of 45 and 524 nM in mouse and HepG2 cell, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td></td>
</tr>
</tbody>
</table>

www.MedChemExpress.cn
Thrombin is a serine protease that in humans is encoded by the F2 gene. Thrombin is an intriguing coagulation protease demonstrating an array of effects on endothelial cells, vascular smooth muscle cells (VSMC), monocytes, and platelets, all of which are involved in the pathophysiology of atherosclerosis. There is mounting evidence that thrombin acts as a powerful modulator of many processes like regulation of vascular tone, permeability, migration and proliferation of VSMC, recruitment of monocytes into the atherosclerotic lesions, induction of diverse pro-inflammatory markers, and all of these are related to the progression of cardiovascular disease. Recent studies in transgenic mice models indicate that the deletion of the natural thrombin inhibitor heparin cofactor II promotes an accelerated atherogenic state. The combined evidence points to thrombin as a pivotal contributor to vascular pathophysiology. Considering the clinical development of selective anticoagulants including direct thrombin inhibitors.
Thrombin Inhibitors & Modulators

**AEBSF**

**Cat. No.: HY-12821**

**Bioactivity:** AEBSF is an irreversible *serine protease* inhibitor, and inhibits proteases like chymotrypsin, kallikrein, plasmin, thrombin, and trypsin.

**Purity:** 99.24%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 100 mg, 200 mg

---

**Argatroban**

(Argipidine)

**Cat. No.: HY-B0375**

**Bioactivity:** Argatroban is a direct, selective thrombin inhibitor.

**Purity:** >98%

**Clinical Data:** Launched

**Size:** 10 mg, 50 mg, 100 mg

---

**Argatroban monohydrate**

(Argipidine monohydrate)

**Cat. No.: HY-B0375A**

**Bioactivity:** Argatroban monohydrate is a direct, selective thrombin inhibitor.

**Purity:** 99.95%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

---

**Dabigatran**

(BIBR 953; BIBR 953ZW)

**Cat. No.: HY-10163**

**Bioactivity:** Dabigatran(BIBR-953; BIBR 953ZW) is a reversible and selective, direct thrombin inhibitor (DTI) with Ki value of 4

**Purity:** 96.12%

**Clinical Data:** Phase 4

**Size:** 5 mg, 10 mg, 50 mg, 100 mg

---

**Dabigatran D4 hydrochloride**

(BBBR-953 D4 hydrochloride)

**Cat. No.: HY-10163AS**

**Bioactivity:** Dabigatran D4 hydrochloride is deuterium labeled Dabigatran, which is a reversible and selective, direct thrombin inhibitor (DTI) with Ki value of 4

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

---

**Dabigatran etexilate**

(BIBR 1048)

**Cat. No.: HY-10274**

**Bioactivity:** Dabigatran etexilate(BIBR-1048) is the orally active prodrug of dabigatran; Dabigatran is a reversible and selective, direct thrombin inhibitor (DTI) with Ki value of 4.5 nM.

**Purity:** 99.37%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Dabigatran etexilate mesylate**

(BIBR 1048MS; Dabigatran etexilate methanesulfonate)

**Cat. No.: HY-10274A**

**Bioactivity:** Dabigatran etexilate mesylate (BIBR 1048MS) is the orally active prodrug of dabigatran. Dabigatran is a reversible and selective, direct thrombin inhibitor (DTI) with Ki value of 4.5 nM.

**Purity:** 99.60%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

---

**Dabigatran ethyl ester**

**Cat. No.: HY-17378**

**Bioactivity:** ethyl ester of Dabigatran, which is an emerging oral anticoagulant which is a direct inhibitor of thrombin activity.

**Purity:** 99.26%

**Clinical Data:** Phase 4

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Dabigatran ethyl ester hydrochloride**

**Cat. No.: HY-77521**

**Bioactivity:** Dabigatran ethyl ester hydrochloride is a potent inhibitor of ribosylhydronicotinamide dehydrogenase (NQO2) with an IC₅₀ value of 0.8 μM and a thrombin inhibitor.

**Purity:** 99.36%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
Desethyl KBT-3022
Cat. No.: HY-U00039

Bioactivity: Desethyl KBT-3022 is the main active metabolite of the new antiplatelet agent, KBT-3022.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Inogatran
Cat. No.: HY-19660

Bioactivity: Inogatran is a synthetic thrombin inhibitor, developed for the possible treatment and prophylaxis of arterial and venous thrombotic diseases.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Metolazone
Cat. No.: HY-B0209

Bioactivity: Metolazone(Zaroxolyn) is primarily used to treat congestive heart failure and high blood pressure.

Purity: 99.61%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg

Napsagatran hydrate
(Ro 46-6240 hydrate; Ro 46-6240/010 hydrate)
Cat. No.: HY-15759A

Bioactivity: Napsagatran hydrate is a novel and specific thrombin inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

NQ301
Cat. No.: HY-101054

Bioactivity: NQ301 is an antithrombotic agent; inhibits collagen-challenged rabbit platelet aggregation with an IC\textsubscript{50} of 10 mg/mL.

Purity: 98.74%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

OM-189
Cat. No.: HY-100245

Bioactivity: OM-189 is a selective synthetic thrombin inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size:

RWJ-445167
Cat. No.: HY-19373

Bioactivity: RWJ-445167 is a dual inhibitor of thrombin and factor Xa with K\textsubscript{i} of 4.0 nM and 230 nM, respectively, exhibiting potent antithrombotic activity.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Sfllrnpndkyepf (Ser-Phe-Leu-Leu-Arg-Asn-Pro-Asn-Asp-Lys-Tyr-Glu-Pro-Phe; SFLLRNPNDKYEPF)
Cat. No.: HY-P1000

Bioactivity: SFLLRNPNDKYEPF is a synthetic thrombin receptor agonist peptide.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg
Thrombin is a serine protease that in humans is encoded by the F2 gene. Thrombin is an intriguing coagulation protease demonstrating an array of effects on endothelial cells, vascular smooth muscle cells (VSMC), monocytes, and platelets, all of which are involved in the pathophysiology of atherosclerosis. There is mounting evidence that thrombin acts as a powerful modulator of many processes like regulation of vascular tone, permeability, migration and proliferation of VSMC, recruitment of monocytes into the atherosclerotic lesions, induction of diverse pro-inflammatory markers, and all of these are related to the progression of cardiovascular disease. Recent studies in transgenic mice models indicate that the deletion of the natural thrombin inhibitor heparin cofactor II promotes an accelerated atherogenic state. The combined evidence points to thrombin as a pivotal contributor to vascular pathophysiology.
### 4-Chloro-DL-phenylalanine

**Bioactivity:** 4-Chloro-DL-phenylalanine is a pharmaceutical intermediate.

**Purity:** 99.41%

**Clinical Data:** No Development Reported

**Size:** 1 g

**Cat. No.: HY-81368**

### LP-533401

**Bioactivity:** LP-533401 is a Tryptophan hydroxylase 1 inhibitor that regulates serotonin production in the gut.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:**

**Cat. No.: HY-15849**

### LX-1031

**Bioactivity:** LX-1031 is a potent, orally available tryptophan 5-hydroxylase (TPH) inhibitor that reduces serotonin (5-HT) synthesis peripherally.

**Purity:** 98.71%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 100 mg

**Cat. No.: HY-13041**

### LX1606

**Bioactivity:** LX1606 is a novel, orally-delivered inhibitor of tryptophan hydroxylase that reduces serotonin production.

**Purity:** >98%

**Clinical Data:** Phase 3

**Size:** 5 mg, 10 mg, 50 mg

**Cat. No.: HY-13055A**

### LX1606 Hippurate

**Bioactivity:** LX1606 Hippurate is a novel, orally-delivered inhibitor of tryptophan hydroxylase that reduces serotonin production.

**Purity:** 99.87%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Cat. No.: HY-13055**

### Telotristat

**Bioactivity:** Telotristat (LP-778902) is a potent tryptophan hydroxylase inhibitor with an in vivo IC\textsubscript{50} of 0.028 μM.

**Purity:** 96.60%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg

**Cat. No.: HY-13055B**
Tyrosinase

Tyrosinase is an oxidase that is the rate-limiting enzyme for controlling the production of melanin. The enzyme is mainly involved in two distinct reactions of melanin synthesis. Tyrosinase is a copper-containing enzyme present in plant and animal tissues that catalyzes the production of melanin and other pigments from tyrosine by oxidation, as in the blackening of a peeled or sliced potato exposed to air. It is found inside melanosomes which are synthesised in the skin melanocytes. In humans, the tyrosinase enzyme is encoded by the TYR gene. Tyrosinase is one of the key enzymes in mammalian melanin synthesis.
## Tyrosinase Inhibitors & Modulators

### 4-Butylresorcinol (Butylresorcinol)

**Cat. No.: HY-107369**

**Bioactivity:** 4-Butylresorcinol is a phenol derivative which can inhibit tyrosinase with $IC_{50}$ of 11.27 μM.

**Purity:** 99.32%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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### Deoxyarbutin

**Cat. No.: HY-81461**

**Bioactivity:** Deoxyarbutin is a new effective lighten ingredient, can effectively inhibit tyrosinase activity and melanin synthesis to get significant and lasting lightening effect

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

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### Hexylresorcinol (4-Hexylresorcinol)

**Cat. No.: HY-80986**

**Bioactivity:** Hexylresorcinol is an organic compound with local anesthestic, antiseptic and anthelmintic properties, is a potent inhibitor of mushroom tyrosinase, causing 90% loss of activity at 100 μM

**Purity:** >98%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 1 g

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### Mulberroside A

**Cat. No.: HY-N0619**

**Bioactivity:** Mulberroside A, the major active anti-tyrosinase compound in the root bark extract of Morus alba L

**Purity:** 98.01%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

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### Oxyresveratrol (trans-Oxyresveratrol)

**Cat. No.: HY-N1430**

**Bioactivity:** Oxyresveratrol is neuroprotective and inhibits the apoptotic cell death in transient cerebral ischemia

**Purity:** 99.63%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 50 mg, 100 mg, 500 mg, 1 g

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### Taxifolin (Dihydroquercetin; Taxifoliol; (+)-Dihydroquercetin; (+)-Taxifolin)

**Cat. No.: HY-N0136**

**Bioactivity:** Taxifolin exhibits important anti-tyrosinase activity. Taxifolin exhibits significant inhibitory activity against collagenase with an $IC_{50}$ value of 193.3 μM.

**Purity:** 99.82%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 50 mg, 100 mg

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### XMD16-5

**Cat. No.: HY-101243**

**Bioactivity:** XMD16-5 is a potent TNK2 inhibitor with $IC_{50}$ values of 16 and 77 nM for the D163E and R806Q mutations, respectively.

**Purity:** 98.01%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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### XMD8-87 (ACK1-B19)

**Cat. No.: HY-15811**

**Bioactivity:** XMD8-87 is a potent TNK2 inhibitor with $IC_{50}$ values of 38 and 113 nM for the D163E and R806Q mutations, respectively.

**Purity:** 98.15%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**Tel:** 4008203792  **Fax:** 021-53700325  **Email:** sales@MedChemExpress.cn
Xanthine oxidase (XO) is an important enzyme catalyzing the hydroxylation of hypoxanthine to xanthine and xanthine to uric acid which is excreted by kidneys. Xanthine oxidase belongs to the molybdenum-protein family containing one molybdenum, one of the flavin adenine dinucleotides (FAD), and two iron-sulfur (2Fe-2S) centers of the ferredoxin type in each of its two independent subunits. The enzyme contains two separated substrate-binding sites. XO catalysed the oxidation of hypoxanthine to xanthine and subsequently to uric acid.

Xanthine oxidase inhibitors (XOIs) are typically used in the treatment of nephropathy and renal stone diseases linked to hyperuricemia.
## Xanthine Oxidase Inhibitors & Modulators

### Allopurinol

**Cat. No.: HY-80219**

**Bioactivity:** Allopurinol (Zyloprim) is a xanthine oxidase inhibitor with an IC50 of 7.82±0.12 μM.

**Purity:** 99.92%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 g, 10 g

### Baicalein

**Cat. No.: HY-N0196**

**Bioactivity:** Baicalein (5,6,7-Trihydroxyflavone) is a xanthine oxidase inhibitor with an IC50 value of 3.12 mM.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 100 mg

### Benzbromarone

**Cat. No.: HY-B1135**

**Bioactivity:** Benzbromarone is a highly effective and well tolerated non-competitive inhibitor of xanthine oxidase, used as an uricosuric agent, used in the treatment of gout.

**Purity:** 99.64%

**Clinical Data:** Launched

**Size:** 100 mg

### Febuxostat

**Cat. No.: HY-14268**

**Bioactivity:** Febuxostat (TEI 6720; TMX 67) is a selective xanthine oxidase inhibitor with Ki of 0

**Purity:** 99.94%

**Clinical Data:** Launched

**Size:** 10 mg, 50 mg, 100 mg

### Febuxostat D9

**Cat. No.: HY-14268S**

**Bioactivity:** Febuxostat D9 is deuterium labeled Febuxostat, which is a selective xanthine oxidase inhibitor with Ki of 0.6 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

### Phytic acid

**Cat. No.: HY-N0814**

**Bioactivity:** Phytic acid is a major phosphorus storage compound of most seeds and cereal grains.

**Purity:** 64.55%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in Water, 250 mg

### Topiroxostat (FYX-051)

**Cat. No.: HY-14874**

**Bioactivity:** Topiroxostat (FYX-051) is a novel and potent xanthine oxidoreductase (XOR) inhibitor with IC50 value of 5.3 nM.

**Purity:** 98.54%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

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