MAPK families play an important role in complex cellular programs like proliferation, differentiation, development, transformation, and apoptosis. In mammalian cells, three MAPK families have been clearly characterized: namely classical MAPK (ERK), C-Jun N-terminal kinase/ stress-activated protein kinase (JNK/SAPK) and p38 kinase. Each MAPK-related cascade consists of no fewer than three enzymes that are activated in series: a MAPK kinase kinase (MAPKKK), a MAPK kinase (MAPKK) and a MAP kinase (MAPK).

The MAPK pathways are activated by diverse extracellular and intracellular stimuli including peptide growth factors, cytokines, hormones, and various cellular stressors. In the ERK signaling pathway, ERK1/2 is activated by MEK1/2, which is activated by Raf. Raf is activated by the Ras GTPase, whose activation is induced by RTKs such as the epidermal growth factor receptor. The JNK and p38 MAPK signaling pathways are activated by various types of cellular stress. The JNK pathway consists of JNK, a MAP2K such as MKK4 (SEK1) or MKK7, and a MAP3K such as ASK1, TAK1, MEKK1, or MLK3. In the p38 pathway, p38 is activated by MKK3 or MKK6, and these MAP2Ks are activated by the same MAP3Ks that function in the JNK pathway.

MAPK signaling pathways has been implicated in the development of many human diseases including Alzheimer's disease (AD), Parkinson's disease (PD), amyotrophic lateral sclerosis (ALS) and various types of cancers. Therefore, the development of small molecule drugs that selectively inhibit individual components of MAPK signaling pathways is a key therapeutic strategy for cancer and neurodegenerative disorders.

References:
# Target List in MAPK/ERK Pathway

<table>
<thead>
<tr>
<th>Target</th>
<th>Page</th>
</tr>
</thead>
<tbody>
<tr>
<td>ERK</td>
<td>3</td>
</tr>
<tr>
<td>JNK</td>
<td>9</td>
</tr>
<tr>
<td>KLF</td>
<td>13</td>
</tr>
<tr>
<td>MAP3K</td>
<td>15</td>
</tr>
<tr>
<td>MAP4K</td>
<td>17</td>
</tr>
<tr>
<td>MAPKAPK2 (MK2)</td>
<td>19</td>
</tr>
<tr>
<td>MEK</td>
<td>21</td>
</tr>
<tr>
<td>Mixed Lineage Kinase</td>
<td>26</td>
</tr>
<tr>
<td>MNK</td>
<td>28</td>
</tr>
<tr>
<td>p38 MAPK</td>
<td>30</td>
</tr>
<tr>
<td>Raf</td>
<td>36</td>
</tr>
<tr>
<td>Ribosomal S6 Kinase (RSK)</td>
<td>41</td>
</tr>
</tbody>
</table>
ERK
Extracellular signal regulated kinases

ERKs (Extracellular-signal-regulated kinases) are widely expressed protein kinase intracellular signalling molecules that are involved in functions including the regulation of meiosis, mitosis, and postmitotic functions in differentiated cells. Many different stimuli, including growth factors, cytokines, virus infection, ligands for heterotrimeric G protein-coupled receptors, transforming agents, and carcinogens, activate the ERK pathway. In the MAPK/ERK pathway, Ras activates c-Raf, followed by mitogen-activated protein kinase kinase (abbreviated as MKK, MEK, or MAP2K) and then MAPK1/2 (below). Ras is typically activated by growth hormones through receptor tyrosine kinases and GRB2/SOS, but may also receive other signals.

ERKs are known to activate many transcription factors, such as ELK1, and some downstream protein kinases. Disruption of the ERK pathway is common in cancers, especially Ras, c-Raf and receptors such as HER2.
ERK Inhibitors & Modulators

AG126
(Tyrphostin AG126)  Cat. No.: HY-108330

Bioactivity: AG126 is a tyrosine kinase inhibitor which can prevent the activation of mitogen-activated protein kinase p42MAPK (ERK2).

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

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

AX-15836  Cat. No.: HY-101846

Bioactivity: AX-15836 is a potent and selective ERK5 inhibitor with an IC₅₀ of 8 nM.

Purity: 98.95%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

AZD-0364  Cat. No.: HY-111483

Bioactivity: AZD-0364 is a potent and selective ERK2 inhibitor extracted from patent WO2017080979A1, compound example 18, has an IC₅₀ of 0.6 nM.

Purity: 99.75%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

BAY885  Cat. No.: HY-112082

Bioactivity: BAY885 is a novel ERK5 inhibitor.

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

AZD-0364  Cat. No.: HY-111483

Bioactivity: AZD-0364 is a potent and selective ERK2 inhibitor extracted from patent WO2017080979A1, compound example 18, has an IC₅₀ of 0.6 nM.

Purity: 99.75%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

BIX02188  Cat. No.: HY-12055

Bioactivity: BIX02188 is a potent MEK5-selective inhibitor with an IC₅₀ of 4.3 nM. BIX02188 inhibits ERK5 catalytic activity, with an IC₅₀ of 810 nM.

Purity: 99.49%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 100 mg

BIX02189  Cat. No.: HY-12056

Bioactivity: BIX02189 is a potent and selective MEK5 inhibitor with an IC₅₀ of 1.5 nM. BIX02189 also inhibits ERK5 catalytic activity with an IC₅₀ of 59 nM.

Purity: 99.99%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

CC-90003  Cat. No.: HY-112570

Bioactivity: CC-90003 is an irreversible and selective inhibitor of ERK1/2 with antitumor activity.

Purity: 99.84%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Corynoxeine  Cat. No.: HY-N0590

Bioactivity: Corynoxeine, isolated from the hook of Uncaria rhynchophylla, is a potent ERK1/ERK2 inhibitor of key PDGF-BB-induced vascular smooth muscle cells (VSMCs) proliferation.

Purity: 99.91%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

DEL-22379  Cat. No.: HY-18932

Bioactivity: DEL-22379 is an ERK dimerization Inhibitor. DEL-22379 readily binds to ERK2 with a Kᵦ estimated in the low micromolar range, though binding is detectable even at low nanomolar concentrations. ERK2 dimerization...

Purity: 99.84%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Deltonin, a steroidal saponin, isolated from Dioscorea zingiberensis Wright, with antitumor activity; Deltonin inhibits ERK1/2 and AKT activation.</th>
<th>Deltonin</th>
<th>Cat. No.: HY-N2283</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.0%</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Size</td>
<td>5 mg</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**Deltonin**

**Cat. No.: HY-N2283**

**Bioactivity:** Deltonin, a steroidal saponin, isolated from Dioscorea zingiberensis Wright, with antitumor activity; Deltonin inhibits ERK1/2 and AKT activation.

<table>
<thead>
<tr>
<th>Purity</th>
<th>&gt;98%</th>
<th>ERK-IN-1</th>
<th>Cat. No.: HY-11491</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Size</td>
<td>250 mg, 500 mg</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**ERK-IN-1**

**Cat. No.: HY-11491**

**Bioactivity:** ERK-IN-1 (compound B) is a RAF and ERK1/2 inhibitor in the treatment of a proliferative disease characterized by activating mutations in the MAPK pathway. The activity is particularly related to the treatment of KRAS-mutant NSCLC (non-small cell lung cancer), BRAF-mutant NSCLC, KRAS-mutant...

<table>
<thead>
<tr>
<th>Purity</th>
<th>&gt;98%</th>
<th>ERK2 IN-1</th>
<th>Cat. No.: HY-112300</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Size</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**ERK2 IN-1**

**Cat. No.: HY-112300**

**Bioactivity:** ERK2 IN-1 is a selective ERK2 inhibitor with an IC<sub>50</sub> of 7 nM.

<table>
<thead>
<tr>
<th>Purity</th>
<th>&gt;98%</th>
<th>ERK5-IN-1</th>
<th>Cat. No.: HY-14403</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Size</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**ERKS-IN-1**

**Cat. No.: HY-14403**

**Bioactivity:** ERK5-IN-1 is a potent ERKS inhibitor with an IC<sub>50</sub> of 87±7 nM. ERK5-IN-1 also inhibits LRRK2(G2019S) with an IC<sub>50</sub> of 26 nM.

<table>
<thead>
<tr>
<th>Purity</th>
<th>98.38%</th>
<th>FR 180204</th>
<th>Cat. No.: HY-12275</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Size</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**FR 180204**

**Cat. No.: HY-12275**

**Bioactivity:** FR 180204 is an ATP-competitive, selective ERK inhibitor with IC<sub>50</sub> of 0.31 μM and 0.14 μM for ERK1 and ERK2, respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.60%</th>
<th>KO-947</th>
<th>Cat. No.: HY-112181</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Size</td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**KO-947**

**Cat. No.: HY-112181**

**Bioactivity:** KO-947 is a potent and selective inhibitor of ERK1/2 kinases with potential clinical utility in MAPK pathway dysregulated tumors.

<table>
<thead>
<tr>
<th>Purity</th>
<th>98.81%</th>
<th>LM22B-10</th>
<th>Cat. No.: HY-104047</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Size</td>
<td>10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**LM22B-10**

**Cat. No.: HY-104047**

**Bioactivity:** LM22B-10 is an activator of TrkB/TrkC neurotrophin receptor, and can induce TrkB, TrkC, AKT and ERK activation in vitro and in vivo.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.99%</th>
<th>Loureirin B</th>
<th>Cat. No.: HY-N1504</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Size</td>
<td>5 mg</td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**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; Loureirin B also inhibits K<sub>ATP</sub>, the phosphorylation of ERK and JNK.
### LY3214996

**Cat. No.:** HY-101494

**Bioactivity:** LY3214996 is a highly selective inhibitor of ERK1 and ERK2 with IC\textsubscript{50} of 5 nM for both enzymes in biochemical assays.

**Purity:** 99.79%

**Clinical Data:** No Development Reported

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

---

### Magnolin

**Cat. No.:** HY-N1374

**Bioactivity:** Magnolin, a major component of Magnolia flos (Shin-Yi), inhibits the Ras/ERK<sub>1</sub>/RSK<sub>2</sub> signaling axis by targeting the active pocket of ERK<sub>1</sub> and ERK<sub>2</sub> with IC\textsubscript{50} of 87 nM and 16.5 nM, respectively.

**Purity:** 99.98%

**Clinical Data:** No Development Reported

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

---

### Methylthiouacil

**Cat. No.:** HY-B0513

**Bioactivity:** Methylthiouacil is an antithyroid agent. Methylthiouacil suppresses the production of TNF-α and IL-6, and the activation of NF-κB and ERK1/2.

**Purity:** 98.06%

**Clinical Data:** Launched

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

---

### MK-8353

**Cat. No.:** HY-111407

**Bioactivity:** MK-8353 (SCH900353) is a potent, selective and orally available ERK1/2 inhibitor, with IC\textsubscript{50} of 23.0 nM and 8.8 nM, respectively. MK-8353 has antitumor activity.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

---

### Mogrol

**Cat. No.:** HY-N2312

**Bioactivity:** Mogrol is a biometabolite of mogrosides, and acts via inhibition of the ERK1/2 and STAT3 pathways, or reducing CREB activation and activating AMPK signaling.

**Purity:** 98.06%

**Clinical Data:** No Development Reported

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

---

### Omtriptolide

**Cat. No.:** HY-16363

**Bioactivity:** Omtriptolide (PG490-88) is a water soluble derivative prodrug of triptolide purified from the Chinese herb.

**Purity:** 98.29%

**Clinical Data:** No Development Reported

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

---

### Pachymic acid

**Cat. No.:** HY-N0371

**Bioactivity:** Pachymic acid is a lanostrane-type triterpenoid from P. cocos. Pachymic acid inhibits Akt and ERK signaling pathways.

**Purity:** 99.20%

**Clinical Data:** No Development Reported

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

---

### Piperlongumine

**Cat. No.:** HY-N2329

**Bioactivity:** Piperlongumine is a natural alkaloid isolated from Piper longum Linn \[1\], possesses anti-inflammatory, antibacterial, antiangiogenic, antioxidant, antitumor, and antidiabetic activities \[2\]. Piperlongumine induces ROS, ...

**Purity:** 99.19%

**Clinical Data:** No Development Reported

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

---

### Pluripotin

**Cat. No.:** HY-10579

**Bioactivity:** Pluripotin is a dual inhibitor of ERK1 and RasGAP with K\textsubscript{D} of 98 nM and 212 nM, respectively. Pluripotin also inhibits RSK1, RSK2, RSK3, and RSK4 with IC\textsubscript{50} of 0.5, 2.5, 3.3, and 10.0 µM, respectively.

**Purity:** 98.03%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

---

### Ravoxertinib

**Cat. No.:** HY-15947

**Bioactivity:** Ravoxertinib (GDC-0994) is an orally bioavailable ERK kinase inhibitor with an IC\textsubscript{50} of 6.1 nM and 3.1 nM for ERK1 and ERK2, respectively.

**Purity:** 99.79%

**Clinical Data:** Phase 1

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
Ravoxertinib hydrochloride  
(GDC-0994 (hydrochloride))  
Cat. No.: HY-15947A

Bioactivity: Ravoxertinib hydrochloride (GDC-0994 hydrochloride) is an orally bioavailable inhibitor selective for ERK kinase activity with IC_{50} of 6.1 nM and 3.1 nM for ERK1 and ERK2, respectively.

Purity: 99.05%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Rutin hydrate  
(Rutoside hydrate; Quercetin 3-O-rutinoside hydrate)  
Cat. No.: HY-N0148A

Bioactivity: Rutin hydrate is a flavonol glycoside, able to cross the blood-brain barrier, and acts by inhibiting JNK and ERK1/2 activation and activating mTOR signalling.

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

SCH772984
Cat. No.: HY-50846

Bioactivity: SCH772984 potently inhibits ERK1 and ERK2 activity with IC_{50} of 4 and 1 nM, respectively.

Purity: 98.06%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Tauroursodeoxycholate  
(TUDCA; UR 906; Taurolite)  
Cat. No.: HY-19696

Bioactivity: Tauroursodeoxycholate is an endoplasmic reticulum (ER) stress inhibitor. Tauroursodeoxycholate significantly reduces expression of apoptosis molecules, such as caspase-3 and caspase-12. Tauroursodeoxycholate also inhibits ERK.

Purity: >98%
Clinical Data: No Development Reported
Size: 50 mg

Tauroursodeoxycholate Sodium  
(Sodium tauroursodeoxycholate; Tauroursodeoxycholic acid sodium salt)  
Cat. No.: HY-19696A

Bioactivity: Tauroursodeoxycholate Sodium is an ambiphilic bile acid that helps with liver and gallbladder issues.

Purity: 97.07%
Clinical Data: Launched
Size: 10mM x 1mL in Water, 100 mg, 500 mg

TIC10  
(ONC-201)  
Cat. No.: HY-15615A

Bioactivity: TIC10 is a potent, orally active, and stable TRAIL inducer which acts by inhibiting Akt and ERK, consequently activating Foxo3a and significantly inducing cell surface TRAIL.

Purity: 99.68%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

trans-Zeatin
Cat. No.: HY-19700

Bioactivity: trans-Zeatin is a plant cytokinin, which plays an important role in cell growth, differentiation, and division; trans-Zeatin also inhibits UV-induced MEK/ERK activation.

Purity: 99.28%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

Ulixertinib  
(VRT752271)  
Cat. No.: HY-15816

Bioactivity: Ulixertinib (VRT752271) is a reversible, ATP-competitive inhibitor of ERK1 and ERK2 kinases, with IC_{50} of <0.3 nM against ERK2.

Purity: 99.87%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

VX-11e
Cat. No.: HY-14178

Bioactivity: VX-11e is a potent, selective, and orally bioavailable inhibitor of ERK with IC_{50} < 2 nM.

Purity: 98.68%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

XMD17-109
Cat. No.: HY-15665

Bioactivity: XMD17-109 is a novel, specific ERK-5 inhibitor, with an IC_{50} of 162 nM.

Purity: 99.44%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Bioactivity: Ravoxertinib hydrochloride (GDC-0994 hydrochloride) is an orally bioavailable inhibitor selective for ERK kinase activity with IC_{50} of 6.1 nM and 3.1 nM for ERK1 and ERK2, respectively.

Purity: 99.05%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Bioactivity: Rutin hydrate is a flavonol glycoside, able to cross the blood-brain barrier, and acts by inhibiting JNK and ERK1/2 activation and activating mTOR signalling.

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

Bioactivity: SCH772984 potently inhibits ERK1 and ERK2 activity with IC_{50} of 4 and 1 nM, respectively.

Purity: 98.06%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Bioactivity: Tauroursodeoxycholate is an endoplasmic reticulum (ER) stress inhibitor. Tauroursodeoxycholate significantly reduces expression of apoptosis molecules, such as caspase-3 and caspase-12. Tauroursodeoxycholate also inhibits ERK.

Purity: >98%
Clinical Data: No Development Reported
Size: 50 mg

Bioactivity: Tauroursodeoxycholate Sodium is an ambiphilic bile acid that helps with liver and gallbladder issues.

Purity: 97.07%
Clinical Data: Launched
Size: 10mM x 1mL in Water, 100 mg, 500 mg

Bioactivity: TIC10 is a potent, orally active, and stable TRAIL inducer which acts by inhibiting Akt and ERK, consequently activating Foxo3a and significantly inducing cell surface TRAIL.

Purity: 99.68%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Bioactivity: trans-Zeatin is a plant cytokinin, which plays an important role in cell growth, differentiation, and division; trans-Zeatin also inhibits UV-induced MEK/ERK activation.

Purity: 99.28%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

Bioactivity: Ulixertinib (VRT752271) is a reversible, ATP-competitive inhibitor of ERK1 and ERK2 kinases, with IC_{50} of <0.3 nM against ERK2.

Purity: 99.87%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Bioactivity: VX-11e is a potent, selective, and orally bioavailable inhibitor of ERK with IC_{50} < 2 nM.

Purity: 98.68%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: XMD17-109 is a novel, specific ERK-5 inhibitor, with an IC_{50} of 162 nM.

Purity: 99.44%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>XMD8-92 is a highly selective ERK5/BMK1 inhibitor with dissociation constant (K&lt;sub&gt;d&lt;/sub&gt;) value of 80 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>99.72%</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, 100 mg</td>
</tr>
</tbody>
</table>
JNKs (c-Jun N-terminal kinases) belong to the mitogen-activated protein kinase family, and are responsive to stress stimuli, such as cytokines, ultraviolet irradiation, heat shock, and osmotic shock. JNKs play a role in T cell differentiation and the cellular apoptosis pathway. Activation occurs through a dual phosphorylation of threonine (Thr) and tyrosine (Tyr) residues within a Thr-Pro-Tyr motif located in kinase subdomain VIII. Activation is carried out by two MAP kinases, MKK4 and MKK7 and JNK can be inactivated by Ser/Thr and Tyr protein phosphatases. Downstream molecules that are activated by JNK include c-Jun, ATF2, ELK1, SMAD4, p53 and HSF1. JNKs can associate with scaffold proteins JNK interacting proteins as well as their upstream kinases JNKK1 and JNKK2 following their activation. JNK activity regulates several important cellular functions including cell growth, differentiation, survival and apoptosis.
### JNK Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>AS601245</strong></th>
<th>Cat. No.: HY-11010</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AS601245 is a JNK Inhibitor with IC\textsubscript{50} of 150, 220, and 70 nM for three JNK human isoforms (hJNK1, hJNK2, and hJNK3), respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.22%</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 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Astragaloside IV</strong></th>
<th>Cat. No.: HY-N0431</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>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.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.15%</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>

<table>
<thead>
<tr>
<th><strong>Bentamapimod</strong> (AS 602801)</th>
<th>Cat. No.: HY-14761</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Bentamapimod (AS 602801) is an ATP-competitive JNK inhibitor with IC\textsubscript{50} of 80 nM, 90 nM, and 230 nM for JNK1, JNK2, and JNK3, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.60%</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>BI-78D3</strong></th>
<th>Cat. No.: HY-10366</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BI-78D3 functions as a substrate competitive inhibitor of JNK, inhibit the JNK kinase activity (IC\textsubscript{50} \approx 280 nM).</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>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>CC-401</strong></th>
<th>Cat. No.: HY-13022A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>CC-401 is a potent inhibitor of all three forms of JNK with K\textsubscript{i} of 25 to 50 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>CC-401 hydrochloride</strong> (CC401 HCl)</th>
<th>Cat. No.: HY-13022</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>CC-401 hydrochloride is a potent inhibitor of all three forms of JNK with K\textsubscript{i} of 25 to 50 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.45%</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</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>D-JNKI-1</strong> (AM-111; XG-102)</th>
<th>Cat. No.: HY-P0069</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>D-JNKI-1 is a highly potent and cell-permeable peptide inhibitor of JNK.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>95.83%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>DB07268</strong></th>
<th>Cat. No.: HY-15737</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>DB07268 is a potent and selective JNK1 inhibitor with an IC\textsubscript{50} value of 9 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.49%</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>

<table>
<thead>
<tr>
<th><strong>Ginsenoside Re</strong> (Ginsenoside B2; Panaxoside Re; Sanchinoside Re)</th>
<th>Cat. No.: HY-N0044</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Ginsenoside Re (Ginsenoside B2) is an extract from Panax notoginseng. Ginsenoside Re decreases the β-amyloid protein (A\textsubscript{β}). Ginsenoside Re plays a role in antiinflammation through inhibition of JNK and NF-κB.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.04%</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</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>IQ-1</strong> (free acid)</th>
<th>Cat. No.: HY-100233</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>IQ-1 is a prospective inhibitor of NF-κB/activating protein 1 (AP-1) activity with an IC\textsubscript{50} of 2.3±0.41 μM. IQ-1 has binding affinity (K\textsubscript{d}) values in the nanomolar range for all three JNKs with K\textsubscript{d} of 100 nM, 240 nM, and 360 nM for JNK3.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.58%</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>
<tr>
<td>Name</td>
<td>Cat. No.</td>
</tr>
<tr>
<td>--------------------------------</td>
<td>-------------------</td>
</tr>
</tbody>
</table>
| Isovitexin (Saponaretin; Homovitexin) | HY-N0773          | Bioactivity: Isovitexin is a flavonoid isolated from rice hulls of Oryza sativa, possesses anti-inflammatory and anti-oxidant activities; Isovitexin acts like a JNK1/2 inhibitor and inhibits the activation of NF-κB.  
|                                |                   | Purity: 99.94%  
|                                |                   | Clinical Data: No Development Reported  
|                                |                   | Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg  |
| JNK-IN-7 (JNK inhibitor)        | HY-15617          | Bioactivity: JNK-IN-7 is a potent JNK inhibitor with IC\textsubscript{50} of 1.5, 2 and 0.7 nM for JNK1, JNK2 and JNK3, respectively.  
|                                |                   | Purity: 98.05%  
|                                |                   | Clinical Data: No Development Reported  
|                                |                   | Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg  |
| JNK-IN-8                        | HY-13319          | Bioactivity: JNK-IN-8 is a potent JNK inhibitor with IC\textsubscript{50} of 4.7 nM, 18.7 nM, and 1 nM for JNK1, JNK2, and JNK3, respectively.  
|                                |                   | Purity: 99.38%  
|                                |                   | Clinical Data: No Development Reported  
|                                |                   | Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg  |
| L-JNKI-1                        | HY-P0069A         | Bioactivity: L-JNKI-1 is a cell-permeable peptide inhibitor specific for JNK.  
|                                |                   | Purity: >98%  
|                                |                   | Clinical Data: No Development Reported  
|                                |                   | Size: 1 mg, 5 mg, 10 mg, 50 mg  |
| Rutin hydrate (Rutoside hydrate; Quercetin 3-O-rutinoside hydrate) | HY-N0148A         | Bioactivity: Rutin hydrate is a flavonol glycoside, able to cross the blood-brain barrier, and acts by inhibiting JNK and ERK1/2 activation and activating mTOR signalling.  
|                                |                   | Purity: >98%  
|                                |                   | Clinical Data: No Development Reported  
|                                |                   | Size: 5 g, 10 g  |
| SP600125                        | HY-12041          | Bioactivity: SP600125 is a reversible and ATP-competitive JNK inhibitor with IC\textsubscript{50} of 40, 40 and 90 nM for JNK1, JNK2 and JNK3, respectively.  
|                                |                   | Purity: 98.82%  
|                                |                   | Clinical Data: No Development Reported  
|                                |                   | Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg  |
| SR-3306                         | HY-12829          | Bioactivity: SR-3306 is a selective, potent, highly brain penetrant JNK inhibitor.  
|                                |                   | Purity: 97.91%  
|                                |                   | Clinical Data: No Development Reported  
|                                |                   | Size: 10mM x 1mL in DMSO, 5 mg, 10 mg  |
| Loureirin B                     | HY-N1504          | Bioactivity: Loureirin B, a flavonoid extracted from Dracaena cochinchinensis, is an inhibitor of plasminogen activator inhibitor-1 (PAI-1), with an IC\textsubscript{50} of 26.1 μM; Loureirin B also inhibits K\textsubscript{ATP}, the phosphorylation of ERK and JNK.  
|                                |                   | Purity: 99.99%  
|                                |                   | Clinical Data: No Development Reported  
|                                |                   | Size: 10mM x 1mL in DMSO, 5 mg  |
| Tanzisertib (CC-930)            | HY-15495          | Bioactivity: Tanzisertib (CC-930) is a potent JNK1/2/3 inhibitor with IC\textsubscript{50} of 61/7/6 nM, respectively.  
|                                |                   | Purity: 99.98%  
|                                |                   | Clinical Data: Phase 2  
|                                |                   | Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg  |

**Bioactivity:** Isovitexin is a flavonoid isolated from rice hulls of Oryza sativa, possesses anti-inflammatory and anti-oxidant activities; Isovitexin acts like a JNK1/2 inhibitor and inhibits the activation of NF-κB.

**Bioactivity:** JNK-IN-7 is a potent JNK inhibitor with IC\textsubscript{50} of 1.5, 2 and 0.7 nM for JNK1, JNK2 and JNK3, respectively.

**Bioactivity:** JNK-IN-8 is a potent JNK inhibitor with IC\textsubscript{50} of 4.7 nM, 18.7 nM, and 1 nM for JNK1, JNK2, and JNK3, respectively.

**Bioactivity:** L-JNKI-1 is a cell-permeable peptide inhibitor specific for JNK.

**Bioactivity:** Rutin hydrate is a flavonol glycoside, able to cross the blood-brain barrier, and acts by inhibiting JNK and ERK1/2 activation and activating mTOR signalling.

**Bioactivity:** SP600125 is a reversible and ATP-competitive JNK inhibitor with IC\textsubscript{50} of 40, 40 and 90 nM for JNK1, JNK2 and JNK3, respectively.

**Bioactivity:** SR-3306 is a selective, potent, highly brain penetrant JNK inhibitor.

**Bioactivity:** Loureirin B, a flavonoid extracted from Dracaena cochinchinensis, is an inhibitor of plasminogen activator inhibitor-1 (PAI-1), with an IC\textsubscript{50} of 26.1 μM; Loureirin B also inhibits K\textsubscript{ATP}, the phosphorylation of ERK and JNK.

**Bioactivity:** Tanzisertib (CC-930) is a potent JNK1/2/3 inhibitor with IC\textsubscript{50} of 61/7/6 nM, respectively.
TCS JNK 5a
(JNK Inhibitor IX)  
Cat. No.: HY-15881

**Bioactivity:** TCS JNK 5a is a potent JNK3 inhibitor with a pIC$_{50}$ of 6.7. TCS JNK 5a also inhibits JNK2 with a pIC$_{50}$ of 6.5.

**Purity:** 98.91%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

Tomatidine

**Bioactivity:** Tomatidine acts as an anti-inflammatory agent by blocking NF-$\kappa$B and JNK signaling.

**Purity:** 98.0%
**Clinical Data:** No Development Reported
**Size:** 25 mg, 50 mg, 100 mg
Krüppel-like factor (KLF) family members share a three C2H2 zinc finger DNA binding domain, and are involved in cell proliferation and differentiation control in normal as in pathological situations. KLFs can be deregulated in multiple cancers either by loss of heterozygosity (LOH), somatic mutation or transcriptional silencing by promoter hypermethylation.

KLF family member proteins play a critical role in the growth and metastasis of numerous tumor types, at least in part by regulating the expression of cell cycle genes. Globally, KLF4 and KLF6 are considered as tumor suppressor gene, whereas KLF5 promotes cell proliferation. Family members have different transcriptional properties and can modulate each other's activity by a variety of mechanisms. Since cells can express multiple KLFs, KLF transcription factors build likely a transcriptional network to control cell proliferation. Effects of changes in KLF factors are context-dependent and can appear contradictory, considering differences in the expression profile of family members in various cells. Last, KLF variants may antagonize the function of wild type proteins.
## KLF Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>APTO-253</strong></th>
<th><strong>ML264</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>APTO-253 is an inducer of Kruppel-like factor 4 (KLF4), and also stabilizes Gquadruplex, with anti-proliferative activity.</td>
<td>ML264 is an antitumor agent that potently and selectively inhibits Kruppel-like factor five (KLF5) expression.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>96.80%</td>
<td>99.67%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td>Phase 1</td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong></td>
</tr>
<tr>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
MAP3Ks (Mitogen-activated protein kinase kinase kinases), the top components of MAPK cascades, modulate many biological processes, such as growth, development and various environmental stresses. Based on the sequence of their kinase catalytic domain, MAP3Ks are classified into three groups: the MEKK-like, ZIK-like and Raf-like families. Raf-like MAP3Ks constitute largest MAP3K subfamily. Raf-like MAP3Ks play roles in response to biotic and abiotic stresses. MAP3Ks often bind to both MAP4Ks and MAP2Ks in the same pathway. For example, MEKK1 (MAP3K1) binds to both the MAP4K NIK and the MAP2K MKK4, while NSY-1 (MAP3K) binds to the MAP2K SEK-1. MAP3Ks activates MAP2Ks by phosphorylation of a serine and/or threonine, and MAP2Ks activate MAPKs by dual phosphorylation of a Thr-X-Tyr motif.
### MAP3K Inhibitors & Modulators

#### SZ-7-Oxoezuanol
**Cat. No.: HY-12686**

**Bioactivity:** SZ-7-Oxoezuanol is a natural anti-protozoan compound from fungal origin, acting as a potent, irreversible and selective inhibitor of TAK1 and VEGF-R2, with an **IC**\textsubscript{50} of 8 nM and 52 nM, respectively.

**Purity:** 99.0%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg

#### DLK-IN-1
**Cat. No.: HY-114331**

**Bioactivity:** DLK-IN-1 is a selective inhibitor of dual leucine zipper kinase (DLK, MAP3K12), with a **K**\textsubscript{i} of 3 nM. DLK-IN-1 retains excellent CNS penetrance and is well tolerated following multiple days of dosing at concentrations that exceed those required for DLK inhibition in the brain. DLK-IN-1 has...

**Purity:** >98%

**Clinical Data:** No Development Reported

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

#### GNE-3511
**Cat. No.: HY-12947**

**Bioactivity:** GNE-3511 is a **dual leucine zipper kinase (DLK)** inhibitor with a **K**\textsubscript{i} of 0.5 nM.

**Purity:** 99.52%

**Clinical Data:** No Development Reported

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

#### GS-444217
**Cat. No.: HY-100844**

**Bioactivity:** GS-444217 is a potent and selective ATP-competitive inhibitor of apoptosis signal-regulating kinase 1 (ASK1) with an **IC**\textsubscript{50} of 2.87±0.85 nM \[1\].

**Purity:** 99.80%

**Clinical Data:** No Development Reported

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

#### NG25
**Cat. No.: HY-15434**

**Bioactivity:** NG25 is a potent **dual TAK1 and MAP4K2** inhibitor, with an **IC**\textsubscript{50} of 149 nM and 21.7 nM, respectively.

**Purity:** 99.45%

**Clinical Data:** No Development Reported

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

#### NQDI-1
**Cat. No.: HY-19566**

**Bioactivity:** NQDI-1 inhibits apoptosis signal-regulating kinase 1 (ASK1) with a **K**\textsubscript{i} of 500 nM and an **IC**\textsubscript{50} of 3 μM.

**Purity:** 95.93%

**Clinical Data:** No Development Reported

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

#### Selonsertib
**Cat. No.: HY-18938**

**Bioactivity:** Selonsertib is an apoptosis signal-regulating kinase 1 (ASK1) inhibitor with a **pIC**\textsubscript{50} of 8.3±0.07.

**Purity:** 99.12%

**Clinical Data:** Phase 2

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

#### TAK1/MAP4K2 inhibitor 1
**Cat. No.: HY-77251**

**Bioactivity:** TAK1/MAP4K2 inhibitor 1 is a potent dual TGFβ-activated kinase 1 (TAK1) and mitogen-activated protein kinase kinase kinase 2 (MAP4K2) inhibitor, with an **IC**\textsubscript{50} of 41.1 nM and 18.2 nM, respectively.

**Purity:** 99.70%

**Clinical Data:** No Development Reported

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

#### Takinib
**Cat. No.: HY-103490**

**Bioactivity:** Takinib is a potent and selective TAK1 inhibitor with an **IC**\textsubscript{50} of 9.5 nM.

**Purity:** 98.00%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg
MAP4Ks (Mitogen-activated protein kinase kinase kinase kinases) belong to the mammalian Ste20-like family of serine/threonine kinases. MAP4K family members, including Hematopoietic progenitor kinase 1 (HPK1/MAP4K1), Germinal centre kinase (GCK/MAP4K2), Germinal centre kinase-like kinase (GLK/MAP4K3), HPK/GCK-like kinase (HGK/MAP4K4), Misshapen-like kinase 1 (MINK1/MAP4K6) and TRAF2 and NCK interacting kinase (TNIK/MAP4K7), act as potent LATS1/2-activating kinases.

Overexpression or deletion of MAP4Ks affects the phosphorylation and activity of Large tumor suppressor 1/2 (LATS1/2, homologues of Wts) and Yes-associated protein (YAP)/Transcriptional co-activator with PDZ-binding motif (TAZ). By acting in a LATS-dependent, but Mammalian Ste20-like kinases 1/2 (MST1/2, homologues of Hpo)-independent manner, MAP4Ks restrict the activity of YAP/TAZ by promoting their phosphorylation and inhibiting target gene expression. MAP4Ks are components of the Hippo pathway by directly phosphorylating and activating the LATS1/2 kinases. MAP4K2/4/6 and MST1/2 both belong to the STE20-like kinase family, and their kinase domains are highly homologous to one another. MAP4K4 acts through LATS to inhibit YAP and cell proliferation.
MAP4K Inhibitors & Modulators

**DMX-5804**  
Cat. No.: HY-111754

**Bioactivity:** DMX-5804 is a potent, orally active and selective MAP4K4 inhibitor, with an IC₅₀ of 3 nM, a pIC₅₀ of 8.55 for human MAP4K4, less potent on MINK1/MAP4K6 (pIC₅₀ 8.18), and TNIK/MAP4K7 (pIC₅₀ 7.96). DMX-5804 enhances cardiomyoc...  
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

**GNE 220 Hydrochloride**  
Cat. No.: HY-U00428A

**Bioactivity:** GNE 220 (Hydrochloride) is a potent and selective inhibitor of MAP4K4, with an IC₅₀ of 7 nM.  
**Purity:** 98.32%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

**GNE 495**  
Cat. No.: HY-10343

**Bioactivity:** GNE-495 is a potent and selective inhibitor of MAP4K4 with an IC₅₀ of 3.7 nM.  
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**NCB-0846**  
Cat. No.: HY-100830

**Bioactivity:** NCB-0846 is an orally available TNIK inhibitor with an IC₅₀ of 21 nM.  
**Purity:** 99.55%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**NG25**  
Cat. No.: HY-15434

**Bioactivity:** NG25 is a potent dual TAK1 and MAP4K2 inhibitor, with IC₅₀ of 149 nM and 21.7 nM, respectively.  
**Purity:** 99.45%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**PF-06260933**  
Cat. No.: HY-19562

**Bioactivity:** PF-06260933 is a highly selective small-molecule inhibitor of MAP4K4 with IC₅₀ of 3.7 and 160 nM for kinase and cell, respectively.  
**Purity:** 99.69%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**TAK1/MAP4K2 inhibitor 1**  
Cat. No.: HY-77251

**Bioactivity:** TAK1/MAP4K2 inhibitor 1 is a potent dual TGFβ-activated kinase 1 (TAK1) and mitogen-activated protein kinase kinase kinase 2 (MAP4K2) inhibitor, with IC₅₀ of 41.1 nM and 18.2 nM, respectively.  
**Purity:** 99.70%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
MAPKAPK2 (MK2)

Mitogen-activated protein kinase activated protein kinase 2; MAP kinase activated protein kinase 2; MAPK activated protein kinase 2; MAPKAP kinase 2

MAP kinase-activated protein kinase 2 (MAPKAPK2) is an enzyme that in humans is encoded by the MAPKAPK2 gene. MAPKAP kinase-2 (MK2) is originally identified by its phosphorylation of glycogen synthase at serine-7 and the corresponding serine in a peptide (GS peptide-1) modelled after the N-terminus of glycogen synthase. MAPKAP kinase-2 is a novel protein kinase activated by mitogen-activated protein kinase. This MAP kinase activated protein kinase, termed MAPKAP kinase-2, is distinguished from S6 kinase-II (MAPKAP kinase-1) by its response to inhibitors, lack of phosphorylation of S6 peptides and amino acid sequence.
## MAPKAPK2 (MK2) Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>MK2-IN-1</strong>&lt;br&gt;(MK2 Inhibitor)</th>
<th><strong>Cat. No.: HY-12834</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> MK2-IN-1 is a potent and selective MAPKAPK2(MK2) inhibitor (IC50=0.11 uM) with a non-ATP competitive binding mode.</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> 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>MK2-IN-1 hydrochloride</strong>&lt;br&gt;(MK2 Inhibitor)</th>
<th><strong>Cat. No.: HY-12834A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> MK2-IN-1 hydrochloride is a potent and selective MAPKAPK2(MK2) inhibitor (IC50=0.11 uM) with a non-ATP competitive binding mode.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 97.32%</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</td>
<td></td>
</tr>
</tbody>
</table>
MEK (Mitogen-activated protein kinase kinase, MAPKK) is a kinase enzyme which phosphorylates mitogen-activated protein kinase (MAPK). The activators of p38 (MKK3 and MKK6), JNK (MKK4 and MKK7), and ERK (MEK1 and MEK2) define independent MAP kinase signal transduction pathways. The acronym MEK derives from Mitogen/Extracellular signal-regulated Kinase. MEK is a member of the MAPK signaling cascade that is activated in melanoma. When MEK is inhibited, cell proliferation is blocked and apoptosis (controlled cell death) is induced.
### MEK Inhibitors & Modulators

#### APS-2-79

**Cat. No.: HY-100627**

**Bioactivity:** APS-2-79 behaves as a kinase suppressor of Ras (KSR)-dependent antagonist of RAF-mediated MEK phosphorylation. APS-2-79 binds directly to KSR2 within the KSR2-MEK1 complex with an IC\textsubscript{50} of 120±23 nM for KSR2.

**Purity:** 99.21%

**Clinical Data:** No Development Reported

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

#### APS-2-79 hydrochloride

**Cat. No.: HY-100627A**

**Bioactivity:** APS-2-79 hydrochloride behaves as a kinase suppressor of Ras (KSR)-dependent antagonist of RAF-mediated MEK phosphorylation. APS-2-79 binds directly to KSR2 within the KSR2-MEK1 complex with an IC\textsubscript{50} of 120±23 nM for KSR2.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### AZD8330

**Cat. No.: HY-12058**

**Bioactivity:** AZD8330 (ARRY-424704) is a potent, uncompetitive MEK1/MEK2 inhibitor, with an IC\textsubscript{50} of 7 nM.

**Purity:** 98.75%

**Clinical Data:** Phase 1

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

#### Balamapimod

**Cat. No.: HY-14947**

**Bioactivity:** Balamapimod (MKI 833) is a reversible Ras/Raf/MEK inhibitor with potential anti-tumor activity.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

#### BI-847325

**Cat. No.: HY-18955**

**Bioactivity:** BI-847325 is an ATP competitive dual inhibitor of MEK and aurora kinases (AK) with IC\textsubscript{50} values of 4 and 15 nM for human MEK2 and AK-C, respectively.

**Purity:** 98.42%

**Clinical Data:** No Development Reported

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

#### Binimetinib

**Cat. No.: HY-15202**

**Bioactivity:** Binimetinib (MEK162) is an oral and selective MEK1/2 inhibitor with an IC\textsubscript{50} of 12 nM.

**Purity:** 98.61%

**Clinical Data:** Phase 3

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

#### BIX02188

**Cat. No.: HY-12055**

**Bioactivity:** BIX02188 is a potent MEK5-selective inhibitor with an IC\textsubscript{50} of 4.3 nM. BIX02188 inhibits ERK5 catalytic activity, with an IC\textsubscript{50} of 810 nM.

**Purity:** 99.49%

**Clinical Data:** No Development Reported

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

#### BIX02189

**Cat. No.: HY-12056**

**Bioactivity:** BIX02189 is a potent and selective MEK5 inhibitor with an IC\textsubscript{50} of 1.5 nM. BIX02189 also inhibits ERK5 catalytic activity with an IC\textsubscript{50} of 59 nM.

**Purity:** 99.99%

**Clinical Data:** No Development Reported

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

#### CI-1040

**Cat. No.: HY-50295**

**Bioactivity:** CI-1040 (PD184352) is an orally active, highly specific, small-molecule inhibitor of MEK with an IC\textsubscript{50} of 17 nM for MEK1.

**Purity:** 98.54%

**Clinical Data:** Phase 2

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

#### Cobimetinib

**Cat. No.: HY-13064**

**Bioactivity:** Cobimetinib (GDC-0973, RG7420) is a potent, selective and oral MEK inhibitor with an IC\textsubscript{50} of 4.2 nM for MEK1.

**Purity:** 99.38%

**Clinical Data:** Launched

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

---

**Tel:** 609-228-6898  **Fax:** 609-228-5909  **Email:** sales@MedChemExpress.com
Cobimetinib hemifumarate
(GDC-0973 hemifumarate; XL-518 hemifumarate)  Cat. No.: HY-13064A
Bioactivity: Cobimetinib hemifumarate is a novel selective MEK inhibitor, and the IC<sub>50</sub> value against MEK1 is 4.2 nM.
Purity: 99.27%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Cobimetinib R-enantiomer
(GDC-0973 R-enantiomer; XL-518 R-enantiomer)  Cat. No.: HY-13079
Bioactivity: Cobimetinib R-enantiomer is the less active R-enantiomer of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.
Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg

Cobimetinib racemate
(GDC-0973 racemate; XL518)  Cat. No.: HY-13078
Bioactivity: Cobimetinib racemate is the less active racemate of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.
Purity: 99.09%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

EBI-1051  Cat. No.: HY-111368
Bioactivity: EBI-1051 is a highly potent and orally efficacious MEK inhibitor with an IC<sub>50</sub> of 3.9 nM.
Purity: >98%
Clinical Data: No Development Reported
Size:

GDC-0623
(RG 7421; MEK inhibitor 1)  Cat. No.: HY-15610
Bioactivity: GDC-0623 (RG 7421) is a potent, ATP-uncompetitive inhibitor of MEK1 (K<sub>i</sub>=0.13 nM, +ATP), and displays 6-fold weaker potency against HCT116 (KRAS (G13D), EC<sub>50</sub>=42 nM) versus A375 (BRAF<sub>V600E</sub>, EC<sub>50</sub>=7 nM).
Purity: 99.15%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Isorhamnetin  Cat. No.: HY-N0776
Bioactivity: Isorhamnetin is a flavonoid compound extracted from the Chinese herb Hippophae rhamnoides L. Isorhamnetin suppresses skin cancer through direct inhibition of MEK1 and PI3K.
Purity: 98.00%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

MEK inhibitor  Cat. No.: HY-12202
Bioactivity: MEK inhibitor is a potent MEK inhibitor with antitumor potency.
Purity: 98.68%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

MEK-IN-1  Cat. No.: HY-U00312
Bioactivity: MEK-IN-1 is a MEK inhibitor extracted from patent WO2008076415A1.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

PD0325901
(PD325901)  Cat. No.: HY-10254
Bioactivity: PD0325901 is a selective and cell permeable MEK inhibitor with an IC<sub>50</sub> of 0.33 nM.
Purity: 99.95%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PD318088  Cat. No.: HY-12062
Bioactivity: PD318088 is an allosteric MEK inhibitor.
Purity: 99.53%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity:
Cobimetinib hemifumarate is a novel selective MEK inhibitor, and the IC<sub>50</sub> value against MEK1 is 4.2 nM.

Purity: 99.27%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Cobimetinib R-enantiomer is the less active R-enantiomer of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.

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

Cobimetinib racemate is the less active racemate of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.

Purity: 99.09%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

EBI-1051 is a highly potent and orally efficacious MEK inhibitor with an IC<sub>50</sub> of 3.9 nM.

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

GDC-0623 (RG 7421; MEK inhibitor 1) is a potent, ATP-uncompetitive inhibitor of MEK1 (K<sub>i</sub>=0.13 nM, +ATP), and displays 6-fold weaker potency against HCT116 (KRAS (G13D), EC<sub>50</sub>=42 nM) versus A375 (BRAF<sub>V600E</sub>, EC<sub>50</sub>=7 nM).

Purity: 99.15%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Isorhamnetin is a flavonoid compound extracted from the Chinese herb Hippophae rhamnoides L. Isorhamnetin suppresses skin cancer through direct inhibition of MEK1 and PI3K.

Purity: 98.00%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

MEK inhibitor is a potent MEK inhibitor with antitumor potency.

Purity: 98.68%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

MEK-IN-1 is a MEK inhibitor extracted from patent WO2008076415A1.

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

PD0325901 is a selective and cell permeable MEK inhibitor with an IC<sub>50</sub> of 0.33 nM.

Purity: 99.95%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PD318088 is an allosteric MEK inhibitor.

Purity: 99.53%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
PD98059  
Bioactivity: PD98059 is a potent, selective and cell-permeable MEK1 and MEK2 inhibitor with IC\textsubscript{50} of 4 μM and 50 μM respectively.

Purity: 99.33%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Pimasertib  
(AST03026; MSC19363698)  
Bioactivity: Pimasertib (AST03026) is a highly selective, potent, ATP non-competitive allosteric inhibitor of MEK1/2, used for cancer treatment.

Purity: 99.32%  
Clinical Data: Phase 2  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Refametinib  
(BAY 869766; RDEA119)  
Bioactivity: Refametinib is a potent, selective, allosteric MEK1/MEK2 inhibitor with IC\textsubscript{50} of 19 nM and 47 nM, respectively.

Purity: 98.46%  
Clinical Data: Phase 2  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Refametinib R enantiomer  
(BAY 869766 R enantiomer; RDEA119 R enantiomer)  
Bioactivity: Refametinib R enantiomer is a MEK inhibitor extracted from patent WO2007014011A2, compound 1022, has an EC\textsubscript{50} of 2.0-15 nM.

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

RGB-286638  
Cat. No.: HY-15504  
Bioactivity: RGB-286638 is a CDK inhibitor that inhibits the kinase activity of cyclin T1-CDK9, cyclin B1-CDK1, cyclin E-CDK2, cyclin D1-CDK4, cyclin E-CDK3, and p35-CDK5 with IC\textsubscript{50} of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TA...

Purity: >98%  
Clinical Data: Phase 1  
Size: 5 mg, 10 mg, 50 mg, 100 mg

RGB-286638 free base  
Cat. No.: HY-15504A  
Bioactivity: RGB-286638 is a CDK inhibitor that inhibits the kinase activity of cyclin T1-CDK9, cyclin B1-CDK1, cyclin E-CDK2, cyclin D1-CDK4, cyclin E-CDK3, and p35-CDK5 with IC\textsubscript{50} of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TA...

Purity: 99.55%  
Clinical Data: Phase 1  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Ro 5126766  
(CH5126766)  
Cat. No.: HY-18652  
Bioactivity: Ro 5126766 is a first-in-class dual MEK/RAF inhibitor that allosterically inhibits BRAF\textsuperscript{V600E}, CRAF, MEK, and BRAF (IC\textsubscript{50} 8.2, 56, 160 nM, and 190 nM, respectively).

Purity: 97.92%  
Clinical Data: Phase 1  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

RO4987655  
(CH4987655)  
Cat. No.: HY-14719  
Bioactivity: RO4987655 is an orally active and highly selective MEK inhibitor with an IC\textsubscript{50} of 5.2 nM for inhibition of MEK1/MEK2.

Purity: 98.22%  
Clinical Data: Phase 1  
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

Selumetinib  
(AZD6244; ARRY-142886)  
Cat. No.: HY-50706  
Bioactivity: Selumetinib is a highly potent MEK inhibitor, with an IC\textsubscript{50} of 14 nM against MEK1.

Purity: 99.87%  
Clinical Data: Launched  
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

SL327  
Cat. No.: HY-15437  
Bioactivity: SL327 inhibits MEK1 and MEK2, with IC\textsubscript{50} values of 180 nM and 220 nM, respectively.

Purity: 98.0%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
**TAK-733**

**Cat. No.: HY-13449**

**Bioactivity:** TAK-733 is a potent and selective MEK allosteric site inhibitor with an IC$_{50}$ of 3.2 nM.

**Purity:** 99.81%

**Clinical Data:** Phase 1

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

---

**Trametinib**

**(GSK1120212; JTP-74057)**

**Cat. No.: HY-10999**

**Bioactivity:** Trametinib is a potent MEK inhibitor that inhibits MEK1 and MEK2 with IC$_{50}$s of about 2 nM. Due to the poor solubility of Trametinib, **Trametinib DMSO solvate (Cat. No.: HY-10999A)** is recommended.

**Purity:** 99.37%

**Clinical Data:** Launched

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

---

**Trametinib DMSO solvate (GSK-1120212 DMSO solvate; Trametinib; JTP-74057; GSK1120212)**

**Cat. No.: HY-10999A**

**Bioactivity:** Trametinib DMSO solvate is a potent MEK inhibitor that specifically inhibits MEK1/2, with an IC$_{50}$ value of about 2 nM.

**Purity:** 99.69%

**Clinical Data:** Launched

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

---

**trans-Zeatin**

**Cat. No.: HY-19700**

**Bioactivity:** trans-Zeatin is a plant cytokinin, which plays an important role in cell growth, differentiation, and division; trans-Zeatin also inhibits UV-induced MEK/ERK activation.

**Purity:** 99.28%

**Clinical Data:** No Development Reported

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

---

**U0126**

**(U0126-EtOH)**

**Cat. No.: HY-12031**

**Bioactivity:** U0126 is a potent and non-ATP competitive MEK1 and MEK2 inhibitor, with IC$_{50}$s of 70 nM and 60 nM, respectively.

**Purity:** 98.06%

**Clinical Data:** No Development Reported

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

---

www.MedChemExpress.com
Mixed lineage kinases (MLKs) are mitogen activated protein kinase kinase kinases (MAPKKKs) with features of both serine-threonine and tyrosine kinases that regulate the c-Jun N-terminal kinase (JNK) mitogen activated protein kinase (MAPK) signaling cascade, and also regulate p38 and extracellular signal-regulated kinase (ERK). MLK3 (MAP3K11) is the most widely expressed MLK family member, and is expressed in neurons (as well as other cell types). At the cellular level, MLK3 is activated by stress, including reactive oxygen species, ceramide, and TNFα. At the molecular level, it is activated by Cdc42 and Rac, which interact with MLK3, and can cause it to dimerize via a leucine zipper interface, resulting in autophosphorylation and enzyme activation.
### (E)-Necrosulfonamide

**Cat. No.: HY-100573**

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>Necrosulfonamide is a <em>necroptosis</em> inhibitor acting by selectively targeting the mixed lineage kinase domain-like protein (MLKL) to block the necrosome formation.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.00%</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, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

---

### TC13172

**Cat. No.: HY-101524**

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>TC13172 is a mixed lineage kinase domain-like protein (MLKL) inhibitor with an EC₅₀ value of 2 nM for HT-29 cells.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.04%</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, 100 mg</td>
</tr>
</tbody>
</table>

---

### URMC-099

**Cat. No.: HY-12599**

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>URMC-099 is an orally bioavailable and potent mixed lineage kinase type 3 (MLK3) (IC₅₀=14 nM) inhibitor with with excellent blood-brain barrier penetration properties.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.90%</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, 100 mg</td>
</tr>
</tbody>
</table>
MNK
Mitogen activated protein kinase interacting kinase; MAP kinase interacting kinase; MAPK interacting kinase

Mitogen-activated protein kinase-interacting kinases 1 and 2 (MNK1 and MNK2) phosphorylate the oncogene eIF4E on serine 209. This phosphorylation has been reported to be required for its oncogenic activity. Eukaryotic initiation factor 4E (eIF4E) is a key component of the translational machinery and an important modulator of cell growth and proliferation. The activity of eIF4E is thought to be regulated by interaction with inhibitory binding proteins (4E-BPs) and phosphorylation by mitogen-activated protein (MAP) kinase-interacting kinase (MNK) on Ser209 in response to mitogens and cellular stress.
# MNK Inhibitors & Modulators

## Cercosporamide

**Cat. No.:** HY-16982

**Bioactivity:** Cercosporamide is a highly potent, ATP-competitive Pkc1 kinase inhibitor, with an $IC_{50}$ of <50 nM and a $K_i$ of <7 nM. Cercosporamide is a unique Mnk inhibitor.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500μg, 1 mg

## CGP 57380

**Cat. No.:** HY-10520

**Bioactivity:** CGP 57380 is a cell-permeable pyrazolo-pyrimidine compound that acts as a selective inhibitor of Mnk1 with $IC_{50}$ of 2.2 μM, but has no inhibitory activity against p38, JNK1, ERK1/2, PKC, or Src-like kinases.

**Purity:** 98.48%

**Clinical Data:** No Development Reported

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

## ETC-206

**Cat. No.:** HY-112424

**Bioactivity:** ETC-206 is a selective MNK1 and MNK2 inhibitor with $IC_{50}$ of 64 nM and 86 nM, respectively.

**Purity:** 99.76%

**Clinical Data:** No Development Reported

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

## SLV-2436

**Cat. No.:** HY-112113

**Bioactivity:** SLV-2436 is a highly potent and ATP-competitive inhibitor of MNK1 and MNK2 with $IC_{50}$ of 10.8 nM and 5.4 nM, respectively.

**Purity:** 98.13%

**Clinical Data:** No Development Reported

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

## Tomivosertib

**Cat. No.:** HY-100022

**Bioactivity:** eFT508 is a potent, highly selective, and orally bioavailable MNK1 and MNK2 inhibitor, with $IC_{50}$ of 1-2 nM against both isoforms.

**Purity:** 99.49%

**Clinical Data:** Phase 2

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

---

www.MedChemExpress.com
The p38 MAPK family consists of highly conserved proline-directed serine-threonine protein kinases that are activated in response to a number of growth factors, cytokines, and chemotactic substances, such as vascular endothelial growth factor (VEGF), fibroblast growth factor (FGF), PDGF, TNF, interleukins, lipopolysaccharide (LPS) and formyl-methionyl-leucyl-phenylalanine (fMLP). It is well known that p38 is involved in inflammation, apoptosis, cardiomyocyte hypertrophy and cell differentiation.

The p38 MAPK family is composed of four proteins: p38α (encoded by the gene Mapk14), p38β (Mapk11), p38γ (Mapk12), and p38δ (Mapk13). Their coding genes have a distinct tissue distribution and they appear differentially expressed, being Mapk14 the most highly expressed. p38 MAPKs are substrates for three MAP2K (MKK6, MKK3, and MKK4). The contribution of each of these MAP2K to p38 MAPKs activation depends on the stimulus and the cell type. The MAP3Ks that lead to p38 MAPKs activation are ASK1, DLK1, TAK1, TAO1, TAO2, TPL2, MLK3, MEKK3, MEKK4, and ZAK1.
**p38 MAPK Inhibitors & Modulators**

### p38 MAPK-IN-2

**Cat. No.: HY-U00324**

**Bioactivity:** p38 MAPK-IN-2 is an inhibitor of p38 kinase.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

### Acumapimod

**Cat. No.: HY-16715**

**Bioactivity:** Acumapimod (BCT197) is an orally active p38 MAP kinase inhibitor, with an IC\textsubscript{50} of less than 1 μM for p38α.

**Purity:** 99.14%

**Clinical Data:** No Development Reported

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

### AZD7624

**Cat. No.: HY-103672**

**Bioactivity:** AZD7624 is an inhibitor, with potent anti-inflammatory activity.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

### Bakuchiol

**Cat. No.: HY-N0235**

**Bioactivity:** Bakuchiol is a phytoestrogen isolated from the seeds of Psoralea corylifolia L; has anti-tumor effects.

**Purity:** 99.25%

**Clinical Data:** Phase 2

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

### BMS-582949 hydrochloride (13-Methylpalmitine chloride)

**Cat. No.: HY-14305A**

**Bioactivity:** BMS-582949 hydrochloride is a novel highly selective p38α MAPK inhibitor, inhibits p38α with IC\textsubscript{50} of 13 nM.

**Purity:** 98.82%

**Clinical Data:** Phase 2

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

### Dehydrocorydaline chloride

**Cat. No.: HY-N0674A**

**Bioactivity:** Dehydrocorydaline chloride is an alkaloidal that has anti-inflammatory and anti-cancer activities. Dehydrocorydaline chloride can elevate p38 MAPK activation.

**Purity:** 99.95%

**Clinical Data:** No Development Reported

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

### Dilmapimod (SB-681323; GW 681323)

**Cat. No.: HY-10404**

**Bioactivity:** Dilmapimod (SB-681323) is a potent p38 MAPK inhibitor that potentially suppresses inflammation in chronic obstructive pulmonary disease.

**Purity:** 99.56%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 20 mg

### Doramapimod (BIRB 796)

**Cat. No.: HY-10320**

**Bioactivity:** Doramapimod (BIRB 796) is a highly potent p38 MAPK inhibitor with an IC\textsubscript{50} of 4 nM. It also inhibits B-Raf with an IC\textsubscript{50} of 83 nM.

**Purity:** 99.72%

**Clinical Data:** Phase 2

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

### Ferulic acid methyl ester (Methyl ferulate)

**Cat. No.: HY-W018643**

**Bioactivity:** Ferulic acid methyl ester (Methyl ferulate) is a derivative of ferulic acid, isolated from Stemona tuberosa, with anti-inflammatory and antioxidant properties. Ferulic acid methyl ester is a cell membrane and brain permeable compound, shows free radical scavenging ability,...

**Purity:** 99.18%

**Clinical Data:** No Development Reported

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

### FR 167653 (FR 167653 sulfate)

**Cat. No.: HY-18754A**

**Bioactivity:** FR-167653 is a selective p38 MAPK inhibitor.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg
FR 167653 free base
Cat. No.: HY-18754
Bioactivity: FR-167653 is a selective p38 MAPK inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg

ITX5061
Cat. No.: HY-19900
Bioactivity: ITX5061 is a type II inhibitor of p38 MAPK and also an antagonist of scavenger receptor B1 (SR-B1).

Purity: 98.07%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO,
1 mg, 5 mg, 10 mg

Losmapimod
(GSK-AHAB; GW856553X; SB856553)
Cat. No.: HY-10402
Bioactivity: Losmapimod is a selective, potent, and orally active p38 MAPK inhibitor with $pK_i$ values of 8.1 and 7.6 for p38α and p38β, respectively.

Purity: 97.08%
Clinical Data: Phase 3
Size: 10 mM x 1 mL in DMSO,
10 mg, 50 mg

MAPK13-IN-1
Cat. No.: HY-18850
Bioactivity: MAPK13-IN-1 is a MAPK13 (p38δ) inhibitor, with an $IC_{50}$ of 620 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg

Neflamapimod
(VX-745)
Cat. No.: HY-10328
Bioactivity: Neflamapimod (VX-745) is a potent and selective inhibitor of p38α, and possesses anti-inflammatory activity.

Purity: 98.74%
Clinical Data: Phase 2
Size: 10 mM x 1 mL in DMSO,
10 mg, 50 mg

P38 MAPK-1
Cat. No.: HY-12839
Bioactivity: P38 MAPK-1 is a novel potent and selective inhibitor of p38 MAPK with $IC_{50}$ of 68 nM, shows sustained levels, low clearance and good bioavailability.

Purity: 99.90%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

P38-α MAPK-IN-1
Cat. No.: HY-18874
Bioactivity: P38-α MAPK-IN-1 is an inhibitor of MAPK14 (p38-α), with $IC_{50}$ of 2300 nM in EFC displacement assay, and 5500 nM in HTRF assay.

Purity: 99.92%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO,
1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Pamapimod
(Ro4402257; R1503)
Cat. No.: HY-10405
Bioactivity: Pamapimod is a novel p38 mitogen-activated protein kinase inhibitor.

Purity: 99.86%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO,
1 mg, 5 mg, 10 mg, 25 mg

P38α inhibitor 1
Cat. No.: HY-114423
Bioactivity: P38α inhibitor 1 is a p38α inhibitor extracted from patent WO 2008076265 A1.

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

PD 169316
Cat. No.: HY-10578
Bioactivity: PD 169316 is a potent, cell-permeable and selective p38 MAP kinase inhibitor, with $IC_{50}$ of 89 nM.

Purity: 98.33%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO,
10 mg, 50 mg
### Pexmetinib (ARRY-614)  Cat. No.: HY-16782

**Bioactivity:** Pexmetinib is a potent Tie-2 and p38 MAPK dual inhibitor, with IC\textsubscript{50} of 1 nM, 35 nM and 26 nM for Tie-2, p38α and p38β, respectively, and can be used in the research of acute myeloid leukemia.

**Purity:** 98.30%

**Clinical Data:** No Development Reported

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

---

### PH-797804  Cat. No.: HY-10403

**Bioactivity:** PH-797804 is a novel pyridinone inhibitor of p38α with IC\textsubscript{50} of 26 nM; 4-fold more selective versus p38β and does not inhibit JNK2. IC\textsubscript{50} value: 26 nM [1] Target: p38α MAPK in vitro: PH-797804 blocks LPS-induced TNF-α production and p38 kinase activity in the human monocyte U937 cell line, with...

**Purity:** 98.59%

**Clinical Data:** No Development Reported

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

---

### R1487 Hydrochloride  Cat. No.: HY-14975

**Bioactivity:** R1487 (Hydrochloride) is highly potent and highly selective inhibitors of p38α. Target: p38α; R1487 (Hydrochloride) potently inhibits cytokine production in a variety of in vitro and in vivo models.[1] R1487 (Hydrochloride) inhibits production of TNFR by human monocyctic cells (THP-1) and...

**Purity:** 98.68%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

---

### Ralimetinib dimesylate (LY2228820; LY2228820 dimesylate)  Cat. No.: HY-13241

**Bioactivity:** Ralimetinib dimesylate (LY2228820) is a selective, ATP-competitive inhibitor of p38 MAPK α/β with IC\textsubscript{50} of 5.3 and 3.2 nM, respectively.

**Purity:** 99.98%

**Clinical Data:** Phase 2

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

---

### SB 202190  Cat. No.: HY-10295

**Bioactivity:** SB 202190 is a cell-permeable p38 MAP kinase inhibitor with IC\textsubscript{50} of 50 nM and 100 nM for p38 and p38β, respectively.

**Purity:** 99.89%

**Clinical Data:** No Development Reported

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

---

### SB 203580 (RWJ 64809)  Cat. No.: HY-10256

**Bioactivity:** SB 203580 is a widely used p38 MAPK inhibitor with an IC\textsubscript{50} of 0.3-0.5 μM. It shows more than 100-fold selectivity over PKB, LCK, and GSK-3β.

**Purity:** 99.92%

**Clinical Data:** No Development Reported

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

---

### SB 239063  Cat. No.: HY-11068

**Bioactivity:** SB 239063 is a potent and selective p38 MAPK inhibitor (IC\textsubscript{50} = 44 nM for p38α). SB 239063 displays > 220-fold selectivity over ERK, JNK1 and other kinases; ~ 3-fold more selective than SB 203580. IC\textsubscript{50} value: 44 nM ( p38α) Target: p38 MAPK SB 239063 reduces inflammatory cytokine production and is...

**Purity:** 99.53%

**Clinical Data:** No Development Reported

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

---

### SB 242235  Cat. No.: HY-18306

**Bioactivity:** SB-242235 is a potent and selective p38 MAP kinase inhibitor with IC\textsubscript{50} of 1.0 μM. IC\textsubscript{50} Value: 1.0 μM [1] Target: p38 MAPK in vitro: SB 242235 inhibited intracellular p38 activity, human chondrocytes were treated with different doses of SB 242235 prior to stimulation with IL-1_ for 15 min. MAPKAP K2:...

**Purity:** 99.63%

**Clinical Data:** No Development Reported

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

---

### SD 0006 (SD-06)  Cat. No.: HY-11087

**Bioactivity:** SD 0006 (SD-06) is a p38 MAP kinase inhibitor; inhibits p38α with an IC \textsubscript{50} value of 170 nM and inhibits LPS-stimulated TNF-release in rats (83% inhibition at 1mg/kg, po).

**Purity:** 98.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg
**SJFα**  
Cat. No.: HY-114404

Bioactivity: SJFα is a 13-atom linker PROTAC. SJFα degrades p38α with a DC_{50} of 7.16nM, but is far less effective at degrading p38δ (DC_{50}=299nM) and does not degrade the other p38 isoforms (β and γ) at concentrations up to 2.5µM [1].

Purity: >98%
Clinical Data: No Development Reported
Size: 500 mg, 250 mg

**Skatole**  
(3-Methylindole; 3-Methyl-1H-indole)  
Cat. No.: HY-W007355

Bioactivity: Skatole is produced by intestinal bacteria, regulates intestinal epithelial cellular functions through activating aryl hydrocarbon receptors and p38 [1].

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

**SJFδ**  
Cat. No.: HY-114405

Bioactivity: SJFδ is a 10-atom linker PROTAC. SJFδ degrades p38α with a DC_{50} of 46.17nM, but does not degrade p38α, p38δ, or p38γ [1].

Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg

**Skatole**  
(3-Methylindole; 3-Methyl-1H-indole)  
Cat. No.: HY-W007355

Bioactivity: Skatole is produced by intestinal bacteria, regulates intestinal epithelial cellular functions through activating aryl hydrocarbon receptors and p38 [1].

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

**SKF-86002**  
Cat. No.: HY-12511

Bioactivity: SKF-86002 is a potent inhibitor of p38 MAP kinase with IC50 of 0.5-1 uM, inhibits LPS-induced IL-1 and TNF-α production in human monocytes (IC50 = 1 µM).

Purity: 99.51%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**TA-01**  
Cat. No.: HY-100114

Bioactivity: TA-01 is a potent CK1 and p38 MAPK inhibitor, with IC_{50} of 6.4 nM, 6.8 nM, 6.7 nM for CK1ε, CK1δ and p38 MAPK, respectively.

Purity: 99.93%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**Talmapimod**  
(SCIO-469)  
Cat. No.: HY-10406

Bioactivity: Talmamipod (SCIO-469) is a selective ATP-competitive p38 inhibitor with IC_{50} of 9 nM for p38α.

Purity: 98.73%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**Skepinone-L**  
(CBS3830)  
Cat. No.: HY-15300

Bioactivity: Skepinone-L (CBS3830) is a selective p38 mitogen-activated protein kinase inhibitor.

Purity: 99.63%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**Talmapimod**  
(SCIO-469)  
Cat. No.: HY-10406

Bioactivity: Talmamipod (SCIO-469) is a selective ATP-competitive p38 inhibitor with IC_{50} of 9 nM for p38α.

Purity: 98.73%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**SKF-86002**  
Cat. No.: HY-12511

Bioactivity: SKF-86002 is a potent inhibitor of p38 MAP kinase with IC50 of 0.5-1 uM, inhibits LPS-induced IL-1 and TNF-α production in human monocytes (IC50 = 1 µM).

Purity: 99.51%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**TA-02**  
Cat. No.: HY-100115

Bioactivity: TA-02 is a p38 MAPK inhibitor with IC50 of 20 nM.

Purity: 99.30%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**TAK-715**  
Cat. No.: HY-10456

Bioactivity: TAK-715 is a p38 MAPK inhibitor for p38α with IC50 of 7.1 nM, 28-fold more selective for p38α over p38β, no inhibition to p38γ/δ, JNK1, ERK1, IKKβ, MEKK1 or TAK1. IC50 value: 7.1 nM [1] Target: p38α MAPK in vitro: TAK 715 inhibits LPS-stimulated release of TNF-alpha from THP-1 with IC50 of 48...

Purity: 99.93%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**Talmapimod**  
(SCIO-469)  
Cat. No.: HY-10406

Bioactivity: Talmamipod (SCIO-469) is a selective ATP-competitive p38 inhibitor with IC_{50} of 9 nM for p38α.

Purity: 98.73%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**Tat-NR2B9c**  
Cat. No.: HY-PO117

Bioactivity: Tat-NR2B9c is a 20-aa peptide, which acts as a postsynaptic density-95 (PSD-95) inhibitor, with an EC_{50} of 6.7 nM for PSD-95d2 (PSD-95 PDZ domain 2), and 670 nM for PSD-95d1. Tat-NR2B9c also reduces NMDA-induced p38 activation, and possesses neuroprotective efficacy.

Purity: 98.22%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
<table>
<thead>
<tr>
<th><strong>UM-164</strong> <em>(DAS-DFGO-II)</em></th>
<th>*<em>VX-702</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Cat. No.:</strong> HY-112182</td>
<td><strong>Cat. No.:</strong> HY-10401</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong> UM-164 (DAS-DFGO-II) is a highly potent inhibitor of c-Src with a $K_d$ of 2.7 nM; UM-164 also potently inhibits $p38\alpha$ and $p38\beta$.</td>
<td><strong>Bioactivity:</strong> VX-702 is a highly selective inhibitor of $p38\alpha$ MAPK ($IC_{50}$ = 4 - 20 nM), 14-fold higher potency against the $p38\alpha$ versus $p38\beta$. $IC_{50}$ value: 4 - 20 nM [1] Target: $p38\alpha$ MAPK in vitro; Pre-incubation of platelets with VX-702 (1 μM) completely or partially inhibits $p38$ activation ($IC_{50}$ 4 to 20 nM) induced by platelet...</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.08%</td>
<td><strong>Purity:</strong> 99.75%</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> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>
Raf

Raf kinases

Raf kinases are a family of three serine/threonine-specific protein kinases that are related to retroviral oncogenes. RAF is an acronym for Rapidly Accelerated Fibrosarcoma. Raf kinases participate in the RAS-RAF-MEK-ERK signal transduction cascade, also referred to as the mitogen-activated protein kinase (MAPK) cascade. Activation of RAF kinases requires interaction with RAS-GTPases. The three RAF kinase family members are: A-Raf, B-Raf, C-Raf (Raf-1). The B-Raf protein is involved in sending signals inside cells, which are involved in directing cell growth. It was shown to be faulty (mutated) in some human cancers. C-RAF or even Raf-1 is an enzyme that in humans is encoded by the RAF1 gene. The c-Raf protein is part of the ERK1/2 pathway as a MAP kinase kinase kinase (MAP3K) that functions downstream of the Ras subfamily of membrane associated GTPases. C-Raf is a member of the Raf kinase family of serine/threonine-specific protein kinases, from the TKL (Tyrosine-kinase-like) group of kinases.
Raf Inhibitors & Modulators

**AD80**  
Cat. No.: HY-101963  
**Bioactivity:** AD80, a multikinase inhibitor, inhibits RET, RAF, SRC and S6K, with greatly reduced mTOR activity.  
Purity: 99.46%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Agerafenib (CEP-32496; RXDX-105)**  
Cat. No.: HY-15200  
**Bioactivity:** Agerafenib (CEP-32496; RXDX-105) is a highly potent and orally efficacious inhibitor of BRAFV600E with a $K_d$ of 14 nM.  
Purity: 99.20%  
Clinical Data: Phase 1  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Agerafenib hydrochloride (CEP-32496 (hydrochloride); RXDX-105 hydrochloride)**  
Cat. No.: HY-15199  
**Bioactivity:** Agerafenib hydrochloride is a highly potent and orally efficacious inhibitor of BRAFV600E with a $K_d$ of 14 nM.  
Purity: >98%  
Clinical Data: Phase 1  
Size: 5 mg, 10 mg, 50 mg, 100 mg

**AZ 628**  
Cat. No.: HY-11004  
**Bioactivity:** AZ628 is a pan-Raf kinase inhibitor with IC$_{50}$ of 105, 34 and 29 nM for B-Raf, B-RafV600E, and c-Raf-1, respectively.  
Purity: 99.56%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**AZ304**  
Cat. No.: HY-117273  
**Bioactivity:** AZ304 is an ATP-competitive dual BRAF kinase inhibitor, potently inhibits wild type BRAF, V600E mutant BRAF and wild type CRAF, with IC$_{50}$ of 79 nM, 38 nM and 68 nM, respectively. AZ304 also has significant effect on other kinases, such...  
Purity: 99.39%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**B-Raf IN 1**  
Cat. No.: HY-18227  
**Bioactivity:** B-Raf IN 1 is a potent and selective B-Raf kinase inhibitor with an IC$_{50}$ of 24 nM.  
Purity: >98%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**B-Raf inhibitor 1**  
Cat. No.: HY-14177  
**Bioactivity:** B-Raf inhibitor 1 is a potent Raf kinase inhibitor with $K_i$ of 1 nM, 1 nM, and 0.3 nM for B-Raf WT, B-Raf V600E, and C-Raf, respectively.  
Purity: 97.76%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**B-Raf inhibitor 1 dihydrochloride**  
Cat. No.: HY-14177A  
**Bioactivity:** B-Raf inhibitor 1 dihydrochloride is a potent Raf kinase inhibitor with $K_i$ of 1 nM, 1 nM, and 0.3 nM for B-Raf WT, B-Raf V600E, and C-Raf, respectively.  
Purity: >98%  
Clinical Data: No Development Reported  
Size: 5 mg, 10 mg, 50 mg, 100 mg

**Belvarafenib**  
Cat. No.: HY-109080  
**Bioactivity:** Belvarafenib is a potent and pan RAF (Rapidly Accelerated Fibrosarcoma) inhibitor, with IC$_{50}$ of 56 nM, 7 nM and 5 nM for B-Raf, B-RafV600E and C-Raf respectively.  
Purity: >98%  
Clinical Data: No Development Reported  
Size: 250 mg, 500 mg

**BRAF inhibitor**  
Cat. No.: HY-10247  
**Bioactivity:** BRAF inhibitor is a B-Raf inhibitor extracted from patent WO/2011103196 A1, Compound P-0850.  
Purity: 98.91%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

www.MedChemExpress.com
<table>
<thead>
<tr>
<th><strong>CCT196969</strong></th>
<th>Cat. No.: HY-12846</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>CCT196969 is a pan-Raf inhibitor, which inhibits B-Raf, BRaf&lt;sup&gt;V600E&lt;/sup&gt; and CRAF with IC&lt;sub&gt;50&lt;/sub&gt; of 0.1, 0.04, and 0.01 μM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.04%</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>

<table>
<thead>
<tr>
<th><strong>Dabrafenib Mesylate</strong> (GSK2118436 Mesylate; GSK 2118436B)</th>
<th>Cat. No.: HY-14660A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Dabrafenib Mesylate is a potent and selective Raf kinase inhibitor with IC&lt;sub&gt;50&lt;/sub&gt; of 0.6 and 5.0 nM for Raf&lt;sup&gt;V600E&lt;/sup&gt; and c-Raf, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.94%</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, 500 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Encorafenib</strong> (LGX818)</th>
<th>Cat. No.: HY-15605</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Encorafenib (LGX818) is a highly potent RAF inhibitor with selective anti-proliferative and apoptotic activity in cells expressing BRAF&lt;sup&gt;V600E&lt;/sup&gt; (EC&lt;sub&gt;50&lt;/sub&gt;~4 nM).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.63%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>GDC-0879</strong></th>
<th>Cat. No.: HY-50864</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>GDC-0879 is a potent and selective B-Raf inhibitor with an IC&lt;sub&gt;50&lt;/sub&gt; of 0.13 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.94%</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, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>HG6-64-1</strong> (HMSL 10017-101-1)</th>
<th>Cat. No.: HY-12291</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>HG6-64-1 is a potent and selective B-Raf inhibitor extracted from patent WO 201100738 A2, example 9 (X-1); has an IC&lt;sub&gt;50&lt;/sub&gt; of 0.09 μM on B-raf V600E transformed Ba/F3 cells.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.05%</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>

<table>
<thead>
<tr>
<th><strong>Dabrafenib</strong> (GSK2118436A; GSK2118436)</th>
<th>Cat. No.: HY-14660</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Dabrafenib is an ATP-competitive inhibitor of Raf with IC&lt;sub&gt;50&lt;/sub&gt; of 5 nM and 0.6 nM for C-Raf and B-Raf&lt;sup&gt;V600E&lt;/sup&gt;, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.72%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>ERK-IN-1</strong></th>
<th>Cat. No.: HY-114491</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>ERK-IN-1 (compound B) is a RAF and ERK1/2 inhibitor in the treatment of a proliferative disease characterized by activating mutations in the MAPK pathway. The activity is particularly related to the treatment of KRAS-mutant NSCLC (non-small cell lung cancer), BRAF-mutant NSCLC, KRAS-mutant...</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>250 mg, 500 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>GW 5074</strong></th>
<th>Cat. No.: HY-10542</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>GW 5074 is a potent and selective c-Raf inhibitor with IC&lt;sub&gt;50&lt;/sub&gt; of 9 nM, and has no effect on the activities of JNK1/2/3, MEK1, M KK6/7, CDK1/2, c-Src, p38 MAP, VEGFR2 or c-Fms.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.77%</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>

<table>
<thead>
<tr>
<th><strong>HG6-64-1</strong> (HMSL 10017-101-1)</th>
<th>Cat. No.: HY-12291</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>HG6-64-1 is a potent and selective B-Raf inhibitor extracted from patent WO 201100738 A2, example 9 (X-1); has an IC&lt;sub&gt;50&lt;/sub&gt; of 0.09 μM on B-raf V600E transformed Ba/F3 cells.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.05%</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>

<table>
<thead>
<tr>
<th><strong>L-779450</strong></th>
<th>Cat. No.: HY-12787</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>L-779450 is a potent and selective B-Raf kinase inhibitor with a K&lt;sub&gt;d&lt;/sub&gt; of 2.4 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.75%</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>
<tr>
<td><strong>Lifirafenib (BGB-283)</strong></td>
<td><strong>Cat. No.: HY-18957</strong></td>
</tr>
<tr>
<td>--------------------------</td>
<td>-------------------------</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong> Lifirafenib (BGB-283) is a novel and potent Raf Kinase and EGFR inhibitor with $IC_{50}$ values of 23 and 29 nM for recombinant B RAF $V600E$ and EGFR, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.00%</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 DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>LY3009120 (DP-4978)</strong></th>
<th><strong>Cat. No.: HY-12558</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> LY3009120 is a pan Raf inhibitor which inhibits B RAF $V600E$, B RAF WT and C RAF WT with $IC_{50}$ of 5.8, 9.1 and 15 nM, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.31%</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 DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PLX7904</strong></th>
<th><strong>Cat. No.: HY-18997</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PLX7904 is a potent and selective B RAF inhibitor, with $IC_{50}$ of appr 5 nM against B RAF $V600E$ in mutant RAS expressing cells.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.34%</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>

<table>
<thead>
<tr>
<th><strong>RAF265 (CHIR-265)</strong></th>
<th><strong>Cat. No.: HY-10248</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> RAF265 is a potent Raf/VEGFR2 inhibitor.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.72%</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, 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Regorafenib (BAY 73-4506)</strong></th>
<th><strong>Cat. No.: HY-10331</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with $IC_{50}$ of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.95%</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, 200 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Regorafenib Hydrochloride (BAY73-4506 hydrochloride)</strong></th>
<th><strong>Cat. No.: HY-13308</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Regorafenib Hydrochloride is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with $IC_{50}$ of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.65%</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, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>LHX254</strong></th>
<th><strong>Cat. No.: HY-112089</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> LHX254 is a potent CRAF inhibitor extracted from patent WO2018051306A1, Compound A. LHX254 also is a potent B RAF inhibitor.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.94%</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, 5 mg, 10 mg, 25 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PLX-4720</strong></th>
<th><strong>Cat. No.: HY-51424</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PLX-4720 is a potent and selective inhibitor of B-RAF$V600E$ with $IC_{50}$ of 13 nM in a cell-free assay, equally potent to c-Raf-1(Y547D and Y341D mutations), and 10-fold selectivity for B-Raf$V600E$ t...</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.73%</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, 25 mg, 50 mg, 100 mg, 200 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PLX8394</strong></th>
<th><strong>Cat. No.: HY-18972</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> PLX8394 is a potent and selective Raf inhibitor, with an $IC_{50}$ of appr 5 nM for B RAF $V600E$.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.94%</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, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>RAF709</strong></th>
<th><strong>Cat. No.: HY-100510</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> RAF709 is a potent, selective, and efficacious Raf inhibitor with $IC_{50}$ of 0.4 nM and 0.5 nM for B RAF and CRAF, respectively [1]. Antitumor efficacy [1].</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.55%</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, 25 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>
Regorafenib monohydrate (BAY 73-4506 monohydrate)  Cat. No.: HY-10331A

Bioactivity: Regorafenib monohydrate is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC₅₀ of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.

Purity: 99.94%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

SB-590885  Cat. No.: HY-10966

Bioactivity: SB-590885 is a potent B-Raf inhibitor with Kᵢ of 0.16 nM, and has 11-fold greater selectivity for B-Raf over c-Raf, without inhibition to other human kinases.

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

Sorafenib Tosylate (Bay 43-9006)  Cat. No.: HY-10201A

Bioactivity: Sorafenib tosylate is a potent multikinase inhibitor, with IC₅₀ of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.

Purity: 99.53%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg, 500 mg

TAK-632  Cat. No.: HY-15767

Bioactivity: TAK-632 is a potent pan-RAF inhibitor with IC₅₀ of 1.4, 2.4 and 8.3 nM for CRAF, BRAFV600E, BRAFWT, respectively.

Purity: 99.13%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

ZM 336372  Cat. No.: HY-13343

Bioactivity: ZM 336372 is a potent inhibitor of the protein kinase c-Raf. The IC₅₀ value is 0.07 μM in the standard assay, which contains 0.1 mM ATP.

Purity: 96.79%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Ro 5126766 (CH5126766)  Cat. No.: HY-18652

Bioactivity: Ro 5126766 is a first-in-class dual MEK/ RAF inhibitor that allosterically inhibits BRAFV600E, CRAF, MEK, and B RAF (IC₅₀: 8.2, 56, 160 nM, and 190 nM, respectively).

Purity: 97.92%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Sorafenib (Bay 43-9006)  Cat. No.: HY-10201

Bioactivity: Sorafenib (Bay 43-9006) is a potent multikinase inhibitor with IC₅₀ of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.

Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg, 500 mg

TAK-580 (MLN 2480; BIIB-024)  Cat. No.: HY-15246

Bioactivity: TAK-580 (MLN 2480) is an orally active and selective inhibitor of pan-Raf kinase.

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

Vemurafenib (RG7204; RO5185426; PLX4032)  Cat. No.: HY-12057

Bioactivity: Vemurafenib (RG7204; PLX4032) is a novel and potent inhibitor of B-RAF kinase, with IC₅₀ of 31 and 48 nM for RAF V600E and c-RAF-1, respectively.

Purity: 99.73%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g
Ribosomal S6 Kinase (RSK)

RSK is a family of protein kinases involved in signal transduction. There are two subfamilies of RSK, p90RSK, also known as MAPK-activated protein kinase-1 (MAPKAP-K1), and p70RSK, also known as S6-H1 Kinase or simply S6 Kinase. There are three variants of p90RSK in humans, rsk 1-3. RSKs are serine/threonine kinases and are activated by the MAPK/ERK pathway. There are two known mammalian homologues of S6 Kinase: S6K1 and S6K2. Rsk is named for ribosomal protein s6, part of the translational machinery, but several other substrates have been identified, including other ribosomal proteins. Cytosolic substrates of p90RSK include protein phosphatase 1; glycogen synthase kinase 3 (GSK3); L1 CAM, a neural cell adhesion molecule, the Ras exchange factor; and Myt1, an inhibitor of cdc2. p90RSK also regulates transcription factors including cAMP response element-binding protein (CREB); estrogen receptor-α (ERα); IκBα/NF-κB; and c-Fos.
### Ribosomal S6 Kinase (RSK) 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>AD80</strong></td>
<td>HY-101963</td>
<td>AD80, a multikinase inhibitor, inhibits RET, RAF, SRC and S6K, with greatly reduced mTOR activity.</td>
<td>99.46%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>AT13148</strong></td>
<td>HY-16071</td>
<td>AT13148 is an orally active and ATP-competitive, multi-AGC kinase inhibitor with IC\textsubscript{50} of 38 nM/402 nM/50 nM, 8 nM, 3 nM, and 6 nM/4 nM for Akt1/2/3, p70S6K, PKA, and ROCK1/IL, respectively.</td>
<td>99.46%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>AT7867</strong></td>
<td>HY-12059</td>
<td>AT7867 is a potent ATP-competitive inhibitor of Akt1/2/ Akt3 and p70S6K/ PKA with IC\textsubscript{50} of 32 nM/17 nM/47 nM and 85 nM/20 nM, respectively.</td>
<td>98.68%</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>AT7867 dihydrochloride</strong></td>
<td>HY-12059A</td>
<td>AT7867 dihydrochloride is a potent ATP-competitive inhibitor of Akt1/ Akt2/ Akt3 and p70S6K/ PKA with IC\textsubscript{50} of 32 nM/17 nM/47 nM and 85 nM/20 nM, respectively.</td>
<td>99.77%</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>BI-D1870</strong></td>
<td>HY-10510</td>
<td>BI-D1870 is an ATP-competitive inhibitor of RSK isoforms, with IC\textsubscript{50} of 31 nM/24 nM/18 nM/15 nM for RSK1/2/3/5/1/4, respectively.</td>
<td>99.60%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>BIX 02565</strong></td>
<td>HY-16104</td>
<td>BIX 02565 is a potent ribosomal S6 kinase 2 (RSK2) inhibitor with IC\textsubscript{50} of 1.1 nM.</td>
<td>98.48%</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>Carnosol</strong></td>
<td>HY-N0643</td>
<td>Carnosol is a potent Ribosomal S6 Kinase (RSK2) inhibitor that could be useful for treating gastric cancer, with an IC\textsubscript{50} of ~5.5 μM.</td>
<td>99.90%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td><strong>CMK</strong></td>
<td>HY-52101</td>
<td>CMK is a RSK2 kinase inhibitor which exhibits similar potency but less chemical stability compared with FMK.</td>
<td>98.94%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td><strong>FMK</strong></td>
<td>HY-52101A</td>
<td>FMK is a potent p90 Ribosomal S6 Kinase (RSK) inhibitor.</td>
<td>99.30%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg</td>
</tr>
<tr>
<td><strong>FMK-MEA</strong></td>
<td>HY-52101C</td>
<td>FMK-MEA is a potent and selective p90 Ribosomal S6 Kinase (RSK) inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 25 mg</td>
</tr>
</tbody>
</table>

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
LJH685
Cat. No.: HY-19712

Bioactivity: LJH685 is a potent, specific and selective RSK inhibitor, inhibits RSK1, 2, and 3 biochemical activities with IC50 of 4 to 13 nM.

Purity: 99.99%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

LJI308
Cat. No.: HY-19713

Bioactivity: LJI308 is a new and potent pan-RSK inhibitor, with IC50 of 6 nM, 4 nM, and 13 nM for RSK1, RSK2, and RSK3, respectively.

Purity: 99.82%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

LY-2584702 free base
Cat. No.: HY-12493

Bioactivity: LY-2584702 free base is a selective ATP competitive inhibitor of p70S6K with an IC50 of 4 nM. In S6K1 enzyme assay, the IC50 of LY-2584702 is 2 nM.

Purity: 99.56%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg, 100 mg

LY-2584702 hydrochloride
Cat. No.: HY-12493B

Bioactivity: LY-2584702 hydrochloride is a selective ATP competitive inhibitor of p70S6K with an IC50 of 4 nM. In S6K1 enzyme assay, the IC50 of LY-2584702 is 2 nM.

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

LY-2584702 tosylate salt
Cat. No.: HY-12493A

Bioactivity: LY-2584702 tosylate salt is a selective ATP competitive inhibitor of p70S6K with an IC50 of 4 nM. In S6K1 enzyme assay, the IC50 of LY-2584702 is 2 nM.

Purity: 98.82%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

PF-4708671
Cat. No.: HY-15773

Bioactivity: PF-4708671 is a potent cell-permeable S6K1 inhibitor with a Ki of 20 nM and IC50 of 160 nM.

Purity: 99.96%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Pluripotin
(SC1)
Cat. No.: HY-10579

Bioactivity: Pluripotin is a dual inhibitor of ERK1 and RasGAP with Ki's of 98 nM and 212 nM, respectively. Pluripotin also inhibits RSK1, RSK2, RSK3, and RSK4 with IC50 of 0.5, 2.5, 3.3, and 10.0 µM, respectively.

Purity: 98.03%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Quercitrin
(Quercetin 3-rhamnoside)
Cat. No.: HY-N0418

Bioactivity: Quercitrin is a natural compound found in Tartary buckwheat with a potential anti-inflammation effect that is used to treat heart and vascular conditions. IC50 value Target: In vitro. There were significant increases in caspase-3 activity, loss of MMP, and increases in the apoptotic cell population in...

Purity: 99.12%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

SL 0101-1
(SL0101)
Cat. No.: HY-15237

Bioactivity: SL 0101-1 (SL0101), a kaempferol glycoside, isolated from the tropical plant F. refracta, is a cell-permeable, selective, reversible, ATP-competitive p90 Ribosomal S6 Kinase (RSK) inhibitor, with an IC50 of 89 nM. Shows proliferation inhibition in human breast cancer cell line MCF-7 and produces...

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