MAPK/ERK Pathway
Target List in MAPK/ERK Pathway

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</table>
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

#### APS-2-79 (APS 2-79)

**Bioactivity:** APS-2-79 is an antagonist of MEK phosphorylation by RAF through direct binding of the KSR active site.

**Purity:** 99.21%

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

#### APS-2-79 hydrochloride (APS 2-79 hydrochloride)

**Bioactivity:** APS-2-79 hydrochloride is an antagonist of MEK phosphorylation by RAF through direct binding of the KSR active site with IC\(_{50}\) values of 120±23 and 418±40 nM for KSR2 and MEK1, respectively.

**Purity:** >98%

**Clinical Data:**
- Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Astragaloside IV

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

#### Corynoxeine

**Bioactivity:** Corynoxeine is a potent ERK1/2 inhibitor of key PDGF-BB-induced VSMC proliferation; a useful and prospective compound in the prevention and treatment for vascular diseases.

**Purity:** 99.91%

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

#### DEL-22379 (DELL22379; DEL 22379)

**Bioactivity:** DEL-22379 is an ERK dimerization inhibitor with IC\(_{50}\) of 0.5 μM.

**Purity:** 99.84%

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

#### ERK5-IN-1 (6H-Pyrimido[4,5-b][1,4]benzodiazepin-6-one, 5,11-dihy...)

**Bioactivity:** ERK5-IN-1 exhibits potent inhibition of ERK5 with cellular EC\(_{50}\) values of 0.19 μM and enzymatic IC\(_{50}\) values of 0.087 μM and of LRRK2[G2019S] with enzymatic IC\(_{50}\) values of 0.026μM.

**Purity:** 98.62%

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

#### FR 180204 (FR180204; FR-180204)

**Bioactivity:** FR 180204 is an ATP-competitive, selective ERK inhibitor with \(K_{i}\) of 0.31 μM and 0.14 μM for ERK1 And ERK2, respectively.

**Purity:** 99.6%

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

#### GDC-0994 (Ravoxertinib)

**Bioactivity:** GDC-0994 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:** 99.72%

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

#### GDC-0994 (hydrochloride) (Ravoxertinib hydrochloride)

**Bioactivity:** GDC-0994 hydrochloride is highly selective for ERK1 and ERK2, with biochemical potency of 1

**Purity:** >98%

**Clinical Data:**
- Phase 1
- Size: 5 mg, 10 mg, 50 mg

#### Mogrol

**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:**
- Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg
| **Pachymic acid**  
(3-O-Acetyltumulosic acid)  
Cat. No.: HY-N0371 | **Bioactivity:**  
Pachymic acid is a lanostrane-type triterpenoid, which possesses anti-emetic, anti-inflammatory, and anti-cancer properties.  
Purity: 99.2%  
Clinical Data:  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |
| --- | --- |
| **Sanguinarine**  
(Pseudochelelerythrine; Sanguinarin)  
Cat. No.: HY-N0052 | **Bioactivity:**  
Sanguinarine(Pseudochelelerythrine) is a benzophenanthridine alkaloid which has anti-microbial, anti-oxidant and anti-inflammatory properties; specific inhibitor of Rac1b.  
Purity: >98%  
Clinical Data:  
Size: 5 mg, 10 mg |
| **Sanguinarine chloride**  
(Pseudochelelerythrine chloride; Sanguinaria chloride)  
Cat. No.: HY-N0052A | **Bioactivity:**  
Sanguinarine (chloride) is natural product  
Purity: >98.0%  
Clinical Data:  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg |
| **SCH772984**  
(SCH 772984; SCH-772984)  
Cat. No.: HY-50846 | **Bioactivity:**  
SCH772984 potently inhibits ERK1 and ERK2 activity with IC$_{50}$ values of 4 and 1 nM, respectively.  
Purity: 98.06%  
Clinical Data:  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg |
| **Tauroursodeoxycholate Sodium**  
(Sodium tauroursodeoxycholate; Tauroursodeoxycholic acid sodium salt)  
Cat. No.: HY-19696A | **Bioactivity:**  
Tauroursodeoxycholate (TUDCA) inhibits neointimal hyperplasia by reducing proliferation and inducing apoptosis of smooth muscle cells by suppression of ERK via PKCa-mediated MKP-1 induction.  
Purity: 98.02%  
Clinical Data:  
Size: 10mM x 1mL in Water, 100 mg, 500 mg |
| **Tetrahydrocurcumin**  
(HZIV 81-2)  
Cat. No.: HY-N0893 | **Bioactivity:**  
Tetrahydrocurcumin is one of the major metabolites of Curcumin; apoptosis inducer and has been demonstrated to be an antioxidant  
Purity: >95.0%  
Clinical Data:  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg |
| **Tetrahydropalmatine**  
(DL-Tetrahydropalmatine)  
Cat. No.: HY-N0300 | **Bioactivity:**  
Tetrahydropalmatine, an active component isolated from corydalis (a Chinese herbal medicine), possesses analgesic effects.  
Purity: >98%  
Clinical Data:  
Size: 10 mg, 50 mg |
| **TIC10**  
(TIC-10; ONC-201)  
Cat. No.: HY-15615A | **Bioactivity:**  
TIC10 is a potent, orally active, and stable TRAIL inducer, also inhibits Akt and ERK activity.  
Purity: 99.79%  
Clinical Data:  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg |
| **TIC10 isomer**  
(ONC201 isomer)  
Cat. No.: HY-15615 | **Bioactivity:**  
TIC10 isomer(ONC201 isomer) is an isomer of TIC10. TIC10 is a potent, orally active, and stable small molecule that transcriptionally induces TRAIL in a p53-independent manner and crosses the blood-brain barrier.  
Purity: 99.61%  
Clinical Data:  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
| **Tomatidine**  
Cat. No.: HY-N2149 | **Bioactivity:**  
Tomatidine inhibits the phosphorylation of ERK, Akt, and the nuclear content of NF-$kappa$B, possess anti-inflammatory properties. a novel small molecule inhibitor of muscle atrophy.  
Purity: >95.0%  
Clinical Data:  
Size: 10mM x 1mL in DMSO, 25 mg, 50 mg, 100 mg |
VRT752271
(VRxerulin; VRT 752271; VRT-752271)  
Cat. No.: HY-15816

Bioactivity: VRT752271 is a pyrrole inhibitors of ERK protein kinase.

Purity: 99.86%
Clinical Data: Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

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

Bioactivity: VX-11e is a potent, selective, and orally bioavailable inhibitor of ERK with $K_i < 2$ nM.

Purity: 98.99%
Clinical Data: Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

XMD17-109
(XMD17 109)  
Cat. No.: HY-15665

Bioactivity: XMD17-109 is a novel, specific ERK-5 inhibitor, which inhibits the ERK5-mediated AP1 transcriptional activity at 30 μM, and has an EC$_{50}$ of 4.2 μM.

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

XMD8-92
(XMD 8-92)  
Cat. No.: HY-14443

Bioactivity: XMD8-92 is a highly selective ERK5/BMK1 inhibitor with dissociation constant ($K_d$) value of 80 nM.

Purity: 99.62%
Clinical Data: Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg
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.
<table>
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<th><strong>JNK Inhibitors &amp; Modulators</strong></th>
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<tr>
<td><strong>5-Aminosalicylic acid</strong> (Mesalamine; 5-ASA; Mesalazine)</td>
</tr>
<tr>
<td>Bioactivity: 5-Aminosalicylic acid is an anti-inflammatory compound.</td>
</tr>
<tr>
<td>Purity: 94.96%</td>
</tr>
<tr>
<td>Clinical Data:</td>
</tr>
<tr>
<td>Size: 10mM x 1mL in DMSO, 10 g</td>
</tr>
</tbody>
</table>

| **Anisomycin** (Flagecidin; Wuningmeisu C) | Cat. No.: HY-18982 |
| Bioactivity: Anisomycin is a pyrrolidine antibiotic, acts as an anti-fungal antibiotic which inhibits Protein Synthesis, also is a potent activator of SAPKs/JNKs. |
| Purity: >98.0% |
| Clinical Data: |
| Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |

| **AS 602801** (Bentamapimod; AS602801; AS-602801) | Cat. No.: HY-14761 |
| Bioactivity: AS 602801 is an ATP-competitive JNK inhibitor with IC<sub>50</sub> of 80 nM, 90 nM, and 230 nM for JNK1, JNK2, and JNK3, respectively. |
| Purity: >98% |
| Clinical Data: |
| Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| **AS601245** (AS-601245) | Cat. No.: HY-11010 |
| Bioactivity: AS601245 is an inhibitor of the c-Jun NH2-terminal kinase (JNK) (hJNK1: IC50=150nM, hJNK2: IC50=220nM and hJNK3: IC50=70 nM), has neuroprotective properties. |
| Purity: 99.67% |
| Clinical Data: |
| Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 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: |
| Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |

| **BI-78D3** (BI 78D3) | Cat. No.: HY-10366 |
| Bioactivity: BI 78D3 is a competitive c-Jun N-terminal kinase (JNK) inhibitor with IC<sub>50</sub> of 280 nM that displays > 100 fold selectivity over p38α and no activity at mTOR and PI-3K. |
| Purity: 99.69% |
| Clinical Data: |
| Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **CC-401** (CC401; CC 401) | Cat. No.: HY-13022A |
| Bioactivity: CC-401 is a second generation ATP-competitive anthrapyrazolone c-Jun N terminal kinase (JNK) inhibitor with potential antineoplastic activity |
| Purity: >98% |
| Clinical Data: |
| Size: 5 mg, 10 mg, 50 mg |

| **CC-401 hydrochloride** (CC 401 hydrochloride; CC401 hydrochloride; CC401 HCl) | Cat. No.: HY-13022 |
| Bioactivity: CC-401 hydrochloride is a potent inhibitor of all three forms of JNK with K<sub>i</sub> of 25 to 50 nM. |
| Purity: 99.45% |
| Clinical Data: |
| Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| **CC-930** (Tanzisertib; CC930; CC 930) | Cat. No.: HY-15495 |
| Bioactivity: CC-930 is a potent JNK1/JNK2/JNK3 inhibitor with IC<sub>50</sub> values of 61/7/6 nM, respectively, and used for the treatment of fibrotic and inflammatory indications. |
| Purity: 99.98% |
| Clinical Data: |
| Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **D-JNKI-1** (AM-111; XG-102) | Cat. No.: HY-P0069 |
| Bioactivity: D-JNKI-1 is a cell permeable peptide that blocks the MAPK-JNK signal pathway. The EC50 is calculated as 2.31 μM. |
| Purity: >98% |
| Clinical Data: |
| Size: 1 mg, 5 mg, 10 mg, 50 mg |
DB07268
(DB 07268; D8-07268)
Cat. No.: HY-15737

Bioactivity: DB07268 is a potent and selective JNK1 inhibitor with an IC50 value of 9 nM.

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

Dihydroartemisinin
(β-Dihydroartemisinin; DHA; Dihydroqinghaosu)
Cat. No.: HY-N0176

Bioactivity: Dihydroartemisinin, one of the most active artemisinin derivative, exhibits anticancer activity in a number of human cancer cells.

Purity: >98.0%
Clinical Data:
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg, 500 mg

IQ-1S free acid
(IQ 1S free acid)
Cat. No.: HY-100233

Bioactivity: IQ-1S (free acid) is an inhibitor of JNK kinases, with a preference for JNK3. Kd values for IQ-1S binding to JNK 1, 2 and 3 binding are 390, 360 and 87 nM, respectively.

Purity: >98%
Clinical Data:
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

JNK-IN-7
(JNK inhibitor)
Cat. No.: HY-15617

Bioactivity: JNK-IN-7 is a potent JNK inhibitor with IC50 of 1.5, 2 and 0.7 nM for JNK1, 2 and 3, respectively.

Purity: 98.39%
Clinical Data:
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

JNK-IN-8
((E)-3-(4-(dimethylamino)but-2-enamido)-N-(3-methyl-4-(4-(pyridin-3-yl)pyrimidin-2-ylamino)phenyl)benz...)
Cat. No.: HY-13319

Bioactivity: JNK-IN-8 is a potent JNK inhibitor with IC50 of 4.7 nM, 18.7 nM, and 1 nM for JNK1, JNK2, and JNK3, respectively.

Purity: 99.83%
Clinical Data:
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

SP600125
(Sp 600125; SP-600125)
Cat. No.: HY-12041

Bioactivity: SP600125 is a broad-spectrum JNK inhibitor for JNK1, JNK2 and JNK3 with IC50 of 40 nM, 40 nM and 90 nM, respectively.

Purity: >98.00%
Clinical Data:
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

SR-3306
Cat. No.: HY-12829

Bioactivity: SR-3306 is a brain penetrant small molecule JNK inhibitor from the aminopyrimidine class.

Purity: 99%
Clinical Data:
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

TCS JNK 5a
(JNK Inhibitor IX)
Cat. No.: HY-15881

Bioactivity: TCS JNK 5a(JNK Inhibitor IX) is a selective inhibitor of JNK2 and JNK3 (pIC50 values are 6.7, 6.5, <5.0 and <4.8 for JNK3, JNK2, JNK1 and p38α respectively); displays no significant activity at a range of other protein kinases including EGFR, ErbB2, cdk2.

Purity: 98.91%
Clinical Data:
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg
KLF

HDAC Inhibitor: Vorinostat (SAHA)

HDAC (Histone deacetylase)
## KLF Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>ML264</strong> (ML-264; ML 264)</th>
<th>Cat. No.: HY-19994</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>ML 264 is a potent Krüppel-like factor 5 (KLF5) inhibitor</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.69%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td></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>
MAPKAPK2 (MK2)

HDAC Inhibitor:
Vorinostat (SAHA)

HDAC (Histone deacytlyase)
# MAPKAPK2 (MK2) Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>MK2-IN-1</strong></th>
<th><strong>Cat. No.: HY-12834</strong></th>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>MK2-IN-1 is a potent and selective MAPKAPK2 (MK2) inhibitor (IC50=0.11 μM) with a non-ATP competitive binding mode.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td></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>MK2-IN-1 hydrochloride</strong></th>
<th><strong>Cat. No.: HY-12834A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>MK2-IN-1 hydrochloride is a potent and selective MAPKAPK2 (MK2) inhibitor (IC50=0.11 μM) with a non-ATP competitive binding mode.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.79%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td></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>
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

<table>
<thead>
<tr>
<th>Compound</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>AS703026</strong></td>
<td>AS703026(Pimasertib) is a highly selective, potent, ATP non-competitive allosteric inhibitor of MEK1/2 with IC50 of 5 nM-2 μM in MM cell lines.</td>
<td>&gt;95.0%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>AZD8330</strong></td>
<td>AZD8330(ARRY-424704; ARRY-704; AZD-E330; ARRY424704; ARRY704; AZD8330)</td>
<td>&gt;98%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>BI-847325</strong></td>
<td>BI-847325 is an ATP competitive dual inhibitor of MEK and aurora kinases (AK) with IC50 values of 4 and 15 nM for human MEK2 and AK-C, respectively.</td>
<td>&gt;98%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>BIX02188</strong></td>
<td>BIX02188 is a selective inhibitor of MEK5 with IC50 of 4</td>
<td>98.8%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>CI-1040</strong></td>
<td>CI-1040 (PD184352) is an orally active, highly specific, small-molecule inhibitor of MEK with an IC50 of 17 nM for MEK1.</td>
<td>&gt;98.0%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td><strong>Cobimetinib</strong></td>
<td>Cobimetinib is a novel selective MEK inhibitor, and the IC50 value against MEK1 is 4.2 nM.</td>
<td>99.59%</td>
<td>Phase 1, Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Cobimetinib R-enantiomer</strong></td>
<td>Cobimetinib R-enantiomer (GDC-0973, XL518) is the R-enantiomer of Cobimetinib, which is a potent, highly selective inhibitor of mitogen-activated protein kinase kinase (MEK1/2).</td>
<td>&gt;98%</td>
<td>Phase 1, Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg</td>
</tr>
<tr>
<td><strong>Cobimetinib racemate</strong></td>
<td>Cobimetinib(GDC-0973; XL518) is a potent, highly selective inhibitor of MEK1/2.</td>
<td>99.9%</td>
<td>Phase 1, Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td><strong>GDC-0623</strong></td>
<td>GDC-0623 is a potent, ATP-uncompetitive inhibitor of MEK1 (K_i=0.13 nM, +ATP), and displays 6-fold weaker potency against HCT116 (KRAS (G13D), EC50=42 nM) versus A375 (BRAF507E, EC50=7 nM).</td>
<td>&gt;95.0%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
Honokiol
(NSC 293100; NSC293100; NSC-293100)
Cat. No.: HY-N0003

Bioactivity: Honokiol is a hydroxylated biphenyl compound, which inhibits the activation of Akt and enhances the phosphorylation of ERK1/2.

Purity: 99.71%
Clinical Data: 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg

Isorhamnetin
(3'-Methylquercetin)
Cat. No.: HY-N0776

Bioactivity: Isorhamnetin is an O-methylated flavonol, a flavonoid aglucon.

Purity: 98%
Clinical Data: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

MEK inhibitor (1H-Indole-6-carboxamide, 3-[[3-(dimethylamino)methyl]phenyl]amino[phenylmethylene]-2,3-dihydro-N-methyle...
Cat. No.: HY-12202

Bioactivity: MEK inhibitor is a potent MEK inhibitor, antitumor agent.

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

OTS-964
Cat. No.: HY-12467

Bioactivity: OTS964 is a potent TOPK inhibitor with an IC50 value of 28 nM.

Purity: 98.62%
Clinical Data: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

PD0325901
(PD 0325901; PD325901; PD-0325901)
Cat. No.: HY-10254

Bioactivity: PD0325901 is a selective and non ATP-competitive MEK inhibitor with IC50 of 0.33 nM, roughly 500-fold more potent than CI-1040 on phosphorylation of ERK1 and ERK2.

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

PD318088
(PD 318088; PD-318088)
Cat. No.: HY-12062

Bioactivity: PD318088 is a non-ATP competitive allosteric MEK1/2 inhibitor, binds simultaneously with ATP in a region of the MEK1 active site that is adjacent to the ATP-binding site.

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

PD98059
(PD 98059; PD-98059)
Cat. No.: HY-12028

Bioactivity: PD98059 is an MEK inhibitor with IC50 of 5 μM, also suppresses TCDD binding to the aryl hydrocarbon receptor (AHR) with IC50 of 4 μM.

Purity: 99.45%
Clinical Data: Phase 1, Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Refametinib
(BAY 869766; BAY 86-97661; RDEA-119; RDEA119)
Cat. No.: HY-14691

Bioactivity: Refametinib (RDEA119, BAY 86-9766), is an orally bioavailable selective MEK inhibitor with potential antineoplastic activity (IC50=19 nM MEK1; IC50=47 nM MEK2).

Purity: 99.82%
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; BAY 86-9766 R en...)
Cat. No.: HY-10216

Bioactivity: Refametinib R enantiomer (BAY 869766; RDEA119) is the only cyclopropane-1-sulfonamide derivative, and exhibits a highly selective allosteric inhibition of MEK 1/2.

Purity: >98%
Clinical Data: Phase 2
Size: 1 mg
Ro 5126766
(Ro5126766; Ro 5126766; CH-5126766; CH5126766; CH 5126766; CH5126766; CH 5126766)
Cat. No.: HY-18652

Bioactivity: Ro 5126766 (CH5126766) is a potent and selective dual RAF/MEK inhibitor. For SK-MEL-28, SK-MEL-2, MiaPaCa-2, and SW480 cell lines, the IC50 is determined by WST-8 assay is 65, 28, 40, and 46 nM, respectively.

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

Purity: 98.03%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

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

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

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

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

RO4987655 (RO-4987655; RO 4987655; CH-4987655; CH4987655; CH 4987655)
Cat. No.: HY-14719

Bioactivity: RO4987655(CH-4987655) is an orally active small molecule, targeting mitogen-activated protein kinase kinase 1 (MAP2K1/MEK1 IC50=5.2 nM), with potential antineoplastic activity.

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

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

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

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

SL327
(SL 327; SL-327)
Cat. No.: HY-15437

Bioactivity: SL-327 is a cell-permeable vinylogous cyanamide that acts as a selective inhibitor of MEK-1 and MEK-2 (IC50 = 0.18 and 0.22 μM respectively).

Purity: >98%
Clinical Data: Phase 2, Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Purity: >98%
Clinical Data: Phase 2, Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Purity: >98%
Clinical Data: Phase 2, Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Purity: >98%
Clinical Data: Phase 2, Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Purity: >98%
Clinical Data: Phase 2, Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Selumetinib
(AZD6244; Array142886; ARARY-142886; AZD-6244)
Cat. No.: HY-50706

Bioactivity: Selumetinib is a highly potent MEK inhibitor, with an IC50 value of 14 nM against MEK1.

Purity: 99.46%
Clinical Data: Phase 2, Phase 3
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

TAK-733
(TAK733; TAK 733)
Cat. No.: HY-13449

Bioactivity: TAK-733 is a potent and selective MEK allosteric site inhibitor for MEK1 with IC50 of 3.2 nM, inactive to Abl1, AKT3, c-RAF, CamK1, CDK2, c-Met, etc.

Purity: 98.74%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Purity: 99.05%
Clinical Data: Phase 2, Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Trametinib
(GSK-1120212; JTP 74057; GSK1120212; GSK 1120212; JTP-74057; JTP74057)
Cat. No.: HY-10999

Bioactivity: Trametinib is a potent MEK1/2 inhibitor that specifically inhibits MEK1/2, with an IC50 value of about 2 nM.

Purity: 99.05%
Clinical Data: Phase 2, Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Purity: 98.53%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Trametinib DMSO solvate
(GSK-1120212 DMSO solvate; Trametinib; JTP-74057; GSK-1120212; GSK1120212; GSK 1120212;…)
Cat. No.: HY-10999A

Bioactivity: Trametinib DMSO solvate is a potent MEK1/2 inhibitor that specifically inhibits MEK1/2, with an IC50 value of about 2 nM.

Purity: 98.53%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Purity: 98.03%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

U0126
(U0126-EtOH; U 0126; U-0126)
Cat. No.: HY-12031

Bioactivity: U0126 is a non-ATP competitive MEK inhibitor, with IC50 of 70 nM and 60 nM for MEK1 and MEK2, respectively.
Mixed Lineage Kinase

HDAC Inhibitor:
Vorinostat (SAHA)

HDAC (Histone deacetylase)
## Mixed Lineage Kinase Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Necrosulfonamide</th>
<th>URMC-099</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>Necrosulfonamide is a pharmacological inhibitor of MLKL with IC50 values of 124 nM in human HT-29.</td>
<td>URMC-099 is an orally bioavailable, brain penetrant inhibitor of Mixed Lineage Kinase 3(MLK3) with IC50 of 14 nM; inhibits LPS-induced TNFα release in microglial cells, HIV-1 Tat-induced release of cytokines in human monocytes, and up-regulation of phospho-JNK in Tat-injected brains of mice</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>&gt;98.0%</td>
<td>99.82%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

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

**URMC-099**
Cat. No.: HY-12599
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**  
*((-)-Cercosporamide)* | Cat. No.: HY-16982 |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cercosporamide is a potent and selective Mnk inhibitor, and a orally bioavailable antifungal agent, suppresses phosphorylation of eIF4E and exhibits antileukemic effects.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt; 98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>500 μg, 1 mg, 5 mg</td>
</tr>
</tbody>
</table>

| **CGP 57380**  
*(CGP57380; CGP-57380)* | Cat. No.: HY-10520 |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>CGP 57380 is a cell-permeable pyrazolo-pyrimidine compound that acts as a selective inhibitor of Mnk1 with IC₅₀ of 2.2 μM, but has no inhibitory activity against p38, JNK1, ERK1/2, PKC, or Src-like kinases.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.48%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>10 mM x 1 mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

| **eFT508**  
*(eFT-508; eFT 508)* | Cat. No.: HY-100022 |
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>eFT508 is a potent, highly selective MNK1 and MNK2 inhibitor with IC₅₀ value of 1-2 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.49%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
p38 MAPK (p38 mitogen-activated protein kinase) is a class of mitogen-activated protein kinases that are responsive to stress stimuli, such as cytokines, ultraviolet irradiation, heat shock, and osmotic shock, and are involved in cell differentiation, apoptosis, and autophagy. p38 MAPK is the mammalian orthologue of the yeast Hog1p MAP kinase, which participates in a signaling cascade controlling cellular responses to cytokines and stress. Four p38 MAPK, p38-α (MAPK14), -β (MAPK11), -γ (MAPK12/ERK6), and -δ (MAPK13/SAPK4), have been identified. Similar to the SAPK/JNK pathway, p38 MAPK is activated by a variety of cellular stresses including osmotic shock, inflammatory cytokines, lipopolysaccharides (LPS), ultraviolet light, and growth factors.
# p38 MAPK Inhibitors & Modulators

## 5-Aminosalicylic acid

**Bioactivity:** 5-Aminosalicylic acid is an anti-inflammatory compound.

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-15027</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.49%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>99.14%</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 g</td>
</tr>
</tbody>
</table>

## Acumapimod

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

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-16715</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.14%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Phase 1, Phase 2</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

## Bakuchiol

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

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-N0235</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98.0%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>98.83%</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

## BMS-582949 hydrochloride

**Bioactivity:** BMS-582949 hydrochloride is a novel highly selective p38α MAPK inhibitor, inhibits p38α with IC50 of 13 nM.

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-14305A</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.4%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

## Chelerythrine Chloride

**Bioactivity:** Chelerythrine Chloride is a potent, cell-permeable inhibitor of protein kinase C and mitogen-activated protein kinase (MAPK), with IC$_{50}$ of 660 nM for PKC, competitive with respect to the phosphate acceptor and non-competitive with respect to ATP.

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-12048</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.82%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>99.95%</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## Dehydrocorydaline chloride

**Bioactivity:** Dehydrocorydaline chloride is an alkaloidal that has anti-inflammatory and anti-cancer activities.

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-N0674A</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.49%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>98.79%</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

## Doramapimod

**Bioactivity:** Doramapimod is a highly potent p38α inhibitor with an IC$_{50}$ of 4 nM, also inhibits B-Raf with an IC$_{50}$ of 83 nM and Abl with an IC$_{50}$ of 14.6 μM.

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-10320</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.4%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>98.79%</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## GNE-495

**Bioactivity:** GNE-495 is a potent and Selective MAP4K4 Inhibitor with IC50 of 3.7 nM.

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-100343</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.4%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>99.94%</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## Losmapimod

**Bioactivity:** Losmapimod is a selective, potent, and orally active p38 MAPK inhibitor with pIC$_{50}$ of 8.1 and 7.6 for p38α and p38β, respectively.

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-10402</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.08%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>99.94%</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

## LY2228820

**Bioactivity:** LY2228820 is a novel and potent inhibitor of p38 MAPK with IC50 of 7 nM in a cell-free assay, but does not alter p38 MAPK activation.

<table>
<thead>
<tr>
<th>Cat. No.</th>
<th>HY-13241</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.08%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>99.94%</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.63%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **Bioactivity:** | p38 MAPK-IN-1 is a novel potent and selective inhibitor of p38 MAPK with IC50 of 68 nM, shows sustained levels, low clearance and good bioavailability. |

| **Purity:** | 99.49% |
| **Clinical Data:** | Size: 10 mM x 1 mL in Water, 10 mg, 50 mg, 100 mg, 200 mg |

| **Bioactivity:** | SB 202190 inhibits p38 and p38β2 with IC50 values of 50 nM and 100 nM, respectively. |

| **Purity:** | >98% |
| **Clinical Data:** | Phase 2 |
| **Size:** | 200 mg, 100 mg, 50 mg, 25 mg, 10 mg, 5 mg |

| **Bioactivity:** | PH-797804 is a novel pyridinone inhibitor of p38α with IC50 of 26 nM, 4-fold more selective versus p38β and does not inhibit JNK2 |

| **Purity:** | 99.63% |
| **Clinical Data:** | Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg |

| **Bioactivity:** | SB 203580 hydrochloride is a p38 MAPK inhibitor with IC50 of 0.3-0.5 μM, also blocks PKB phosphorylation with IC50 of 3-5 μM. |

| **Purity:** | 99.71% |
| **Clinical Data:** | Size: 10 mM x 1 mL in Water, 10 mg, 50 mg, 100 mg, 200 mg |

| **Bioactivity:** | SB 242235 is a potent and selective p38 MAP kinase inhibitor with IC50 of 1.0 μM. |

| **Purity:** | 99.63% |
| **Clinical Data:** | Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
| **SCIO-469**  
(Talmapimod; SCIO469; SCIO 469) | **Cat. No.**: HY-10406  
**Bioactivity**: SCIO-469 is a selective ATP-competitive p38 inhibitor with IC50 of 9 nM for p38α in vitro, about 10-fold selectivity for p38α over p38β, and at least 2000-fold selectivity for p38α over an in vitro panel of 20 other kinases, including other MAK kinases.  
**Purity**: 98.07%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg |
| **Scopoletin**  
(Gelseminic acid; Chrysatropic acid) | **Cat. No.**: HY-N0342  
**Bioactivity**: Scopoletin has important anti-inflammatory activity by inhibiting the phosphorylation of NF-κB and p38 MAPK. Scopoletin cause significant suppression of sprouting of microvessels in rat aortic explants with IC50 of 0.06 μM.  
**Purity**: 99.57%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg |
| **SD-06**  
(SD 06; SD06) | **Cat. No.**: HY-11087  
**Bioactivity**: SD-06 is a p38 MAP kinase inhibitor, inhibits p38α with an IC50 value of 170 nM and inhibits LPS-stimulated TNF-release in rats (83% inhibition at 1mg/kg, po).  
**Purity**: >98%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 5 mg |
| **Skepinone-L**  
(Skepinone L) | **Cat. No.**: HY-15300  
**Bioactivity**: Skepinone-L is a selective p38 mitogen-activated protein kinase inhibitor.  
**Purity**: >98%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 5 mg, 10 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 μM; inhibits LPS-induced IL-1 and TNF-α production in human monocytes (IC50 = 1 μM).  
**Purity**: 99.83%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |
| **TA-01**  
(TA01; TA 01) | **Cat. No.**: HY-100114  
**Bioactivity**: TA-01 potently inhibits CK1ε, CK1δ, and p38α with IC50 values of 6.4, 6.8, and 6.7 nM respectively.  
**Purity**: 96.34%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |
| **TA-02**  
(TA02; TA 02) | **Cat. No.**: HY-100115  
**Bioactivity**: TA-02 is a p38 MAPK inhibitor with IC50 of 20 nM.  
**Purity**: >98.0%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg |
| **TAK-715**  
(TAK 715; TAK715) | **Cat. No.**: HY-10456  
**Bioactivity**: TAK-715 is a p38 MAPK inhibitor for p38α with IC50 of 7 nM.  
**Purity**: 99.97%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |
| **VX-702**  
(VX702; VX 702) | **Cat. No.**: HY-10401  
**Bioactivity**: VX-702 is a highly selective inhibitor of p38α MAPK (IC50=4 -20 nM), 14-fold higher potency against the p38α versus p38β.  
**Purity**: 99.54%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |
| **VX-745**  
(VX 745; VX745) | **Cat. No.**: HY-10328  
**Bioactivity**: VX-745 is a potent and selective inhibitor of p38α with IC50 of 10 nM, 22-fold greater selectivity versus p38β and no inhibition to p38γ.  
**Purity**: >98.0%  
**Clinical Data**: Size: 10mM x 1mL in DMSO, 10 mg, 50 mg |
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

| **AZ 628**  
(AZ-628; AZ628) | **Cat. No.:** HY-11004 |
<table>
<thead>
<tr>
<th></th>
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</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AZ628 is a new pan-Raf inhibitor for BRAF, BRAFV600E, and c-Raf-1 with IC50 of 105 nM, 34 nM and 29 nM, also inhibits VEGFR2, DDR2, Lyn, Fli1, FMS, etc</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.57%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

| **B-Raf IN 1**  
(B-Raf IN 1) | **Cat. No.:** HY-18227 |
<table>
<thead>
<tr>
<th></th>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>B-Raf IN 1 is a highly potent and selective B-Raf inhibitor with IC50 of 24 nM; equipotent against c-Raf (IC50= 25 nM).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.96%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **B-Raf inhibitor**  
(Benzamide, N-[4-[(4-ethyl-1-piperazinyl)methyl]-3-(trifluoromethyl)phenyl]-4-methyl-3,...) | **Cat. No.:** HY-77251 |
<table>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>A B-Raf inhibitor, pyrazine and pyrrolo[2,3-b]pyridine derivatives, useful in the treatment of cancer and proliferative diseases.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

| **B-Raf inhibitor 1**  
(1,5-Isoquinolinediamine, N1-(4-chlorophenyl)-6-methyl-N5-[3-(9H-purin-6-yl)-2-pyridinyl]-4-methyl-3,...) | **Cat. No.:** HY-14177 |
<table>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>B-Raf inhibitor 1 is a potent and selective B-Raf inhibitor with cell IC50s of 0.31 uM and 2 nM for A375 proliferation and A375 p-ERK respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>97.76%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **B-Raf inhibitor 1 dihydrochloride**  
(1,5-Isoquinolinediamine, N1-(4-chlorophenyl)-6-methyl-N5-[3-(9H-purin-6-yl)-2-pyridinyl]-4-methyl-3,...) | **Cat. No.:** HY-14177A |
<table>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>B-Raf inhibitor 1 is a potent and selective B-Raf inhibitor with cell IC50s of 0.31 uM and 2 nM for A375 proliferation and A375 p-ERK respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **BGB-283**  
(BGB 283; BGB283) | **Cat. No.:** HY-18957 |
<table>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BGB-283 is a novel and potent Raf Kinase and EGFR inhibitor with IC50 values of 23 and 29 nM for recombinant BRafV600E and EGFR, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **BRAF inhibitor**  
(2-Propanesulfonamide, N-[2,4-difluoro-3-[[5-(3-pyridinyl)-1H-pyrrol-2,3-b]pyridin-3-yl]carbonyl]phenyl)] | **Cat. No.:** HY-10247 |
<table>
<thead>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BRAF inhibitor is a potent BRAF inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

| **CCT196969**  
(CCT-196969; CCT 196969) | **Cat. No.:** HY-12846 |
<table>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>CCT196969, a pan-Raf inhibitor, inhibits B-Raf with an IC50 of 0.1 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

| **CEP-32496**  
(CEP 32496; CEP32496) | **Cat. No.:** HY-15200 |
<table>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>CEP-32496 is a highly potent inhibitor of BRAF(V600E/WT) and c-Raf with Kd of 14 nM/36 nM and 39 nM, also potent to Abl-1, c-Kit, Ret, PDGFRβ and VEGFR2, respectively; insignificant affinity for MEK-1, MEK-2, ERK-1 and ERK-2.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **CEP-32496 hydrochloride**  
(CEP 32496 hydrochloride; CEP32496 hydrochloride) | **Cat. No.:** HY-15199 |
<table>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>CEP-32496 HCl is a highly potent inhibitor of BRAF(V600E/WT) and c-Raf with Kd of 14 nM/36 nM and 39 nM, also potent to Abl-1, c-Kit, Ret, PDGFRβ and VEGFR2, respectively; insignificant affinity for MEK-1, MEK-2, ERK-1 and ERK-2.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Size: 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
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www.MedChemExpress.com
### Dabrafenib (GSK-2118436A, GSK-2118436; GSK2118436A; GSK 2118436; GSK 2118436)

**Bioactivity:** Dabrafenib is an ATP-competitive inhibitor of BRAF with $IC_{50}$ of 5 nM and 0.6 nM for CRAF and BRAF\textsuperscript{V600E}, respectively.

**Purity:** 99.91%

**Clinical Data:** Phase 2, Phase 3

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

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### Dabrafenib Mesylate (GSK-2118436 Mesylate; GSK2118436 Mesylate; GSK 2118436 Mesylate; GSK 2118436B)

**Bioactivity:** Dabrafenib (Mesylate) is a novel, potent, and selective Raf kinase inhibitor, and inhibits the kinase activity of B-Raf\textsuperscript{V600E} and c-Raf with $IC_{50}$ values of 0.6 and 5.0 nM, respectively.

**Purity:** 99.89%

**Clinical Data:** Phase 2, Phase 3

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

---

### Doramapimod (BIRB 796; BIRB-796; BIRB796)

**Bioactivity:** Doramapimod is a highly potent p38α inhibitor with an $IC_{50}$ of 4 nM, also inhibits B-Raf with an $IC_{50}$ of 83 nM and Abl with an $IC_{50}$ of 14.6 μM.

**Purity:** 99.4%

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

---

### GDC-0879 (GDC0879; GDC 0879)

**Bioactivity:** GDC-0879 is a novel, potent, and selective B-Raf inhibitor with $IC_{50}$ of 0.6 nM and 5.0 nM, respectively.

**Purity:** 99.91%

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

---

### GW 5074 (GW-5074; GW5074)

**Bioactivity:** GW 5074 is a potent and selective c-Raf inhibitor with $IC_{50}$ of 9 nM, and has no effect on the activities of JNK1/2/3, MEK1, MKK6/7, CDK1/2, c-Src, p38 MAP, VEGFR2 or c-Fms.

**Purity:** 99.4%

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

---

### HG6-64-1 (HG-6-64-01; HG-6-64-1; HG 6-64-1)

**Bioactivity:** HG6-64-1 is a potent and selective B-Raf and mutant B-Raf inhibitor; more information can be found in Patent WO 2011090738.

**Purity:** >98.00%

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

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### L-779450

**Bioactivity:** L-779450 is a potent, ATP-competitive Raf kinase inhibitor (IC50 =10 nM) that displays > 7, > 30 and > 70-fold selectivity over p38α, GSK3B and Lck respectively.

**Purity:** 98.75%

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

---

### LGX818 (Encorafenib; LGX 818; LGX-818)

**Bioactivity:** LGX818 is an orally available mutated BRAF\textsuperscript{V600E} inhibitor (IC$_{50}$=0.3 nM) with potential antineoplastic activity.

**Purity:** 99.88%

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

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### LY3009120 (DP-4978; LY-3009120)

**Bioactivity:** LY3009120 is a pan RAF and RAF dimer inhibitor, and inhibits BRAF\textsuperscript{V600E}, BRAF\textsuperscript{WT} and CRAF\textsuperscript{WT} with $IC_{50}$ values of 5.8, 9.1 and 15 nM, respectively.

**Purity:** 99.72%

**Clinical Data:** Phase 1

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

---

### MLN 2480 (BIBB-024; MLN2480; MLN-2480)

**Bioactivity:** MLN 2480 is an orally active and selective inhibitor of pan-Raf kinase.

**Purity:** >98%

**Clinical Data:** Phase 1

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
**PLX-4720**
(PLX4720; PLX 4720)  
Cat. No.: HY-51424  

**Bioactivity:** PLX-4720 is a potent and selective inhibitor of B-RafV600E with IC$_{50}$ of 13 nM in a cell-free assay, equally potent to c-Raf-1(Y340D and Y341D mutations), and 10-fold selectivity for B-RafV600E than wild-type B-Raf.  

**Purity:** 99.62%  
**Clinical Data:** Phase 3, Phase 4  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

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**PLX7904**
(PLX-7904)  
Cat. No.: HY-18997  

**Bioactivity:** PLX7904 is a potent and selective BRAF inhibitor, with IC$_{50}$ of appr 5 nM against BRAFV600E, and 5-fold selectivity for B-RafV600E in mutant RAS expressing cells.  

**Purity:** 98.62%  
**Clinical Data:** Phase 4  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**PLX8394**
(PLX-8394)  
Cat. No.: HY-18972  

**Bioactivity:** PLX8394 is a potent and selective Raf inhibitor, with IC$_{50}$ of appr 5 nM for BRAFT600E.  

**Purity:** 99.22%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**RAF265**
(CHIR-265; RAF-265; RAF6; CHIR265)  
Cat. No.: HY-10248  

**Bioactivity:** RAF265 (CHIR-265) is a potent selective inhibitor of C-Raf/B-Raf/B-Raf V600E with IC50 of 3-60 nM, and exhibits potent inhibition on VEGFR2 phosphorylation with EC50 of 30 nM.  

**Purity:** 99.72%  
**Clinical Data:** Phase 1, Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

---

**RAF709**
( RAF-709; RAF 709)  
Cat. No.: HY-100510  

**Bioactivity:** RAF709 is a novel Raf kinase inhibitor extracted from patent WO2014151616A1, compound example 131, has an IC$_{50}$ of 0.5 and 1.8 nM for c-Raf and b-Raf, respectively.  

**Purity:** 99.55%  
**Clinical Data:** Phase 2, Phase 3  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**Ro 5126766**
(Ro5126766; Ro 5126766; CH5126766; CH5126766; CH 5126766)  
Cat. No.: HY-18652  

**Bioactivity:** Ro 5126766 (CH5126766) is a potent and selective dual RAF/MEK inhibitor. For SK-MEL-28, SK-MEL-2, MIAPaCa-2, and 5W480 cell lines, the IC50 is determined by WST-8 assay is 65, 28, 40, and 46 nM, respectively.  

**Purity:** >98.0%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**SB-590885**
(SB590885; SB 590885)  
Cat. No.: HY-10966  

**Bioactivity:** SB-590885 is a potent B-Raf inhibitor with IC$_{50}$ of 0.16 nM, and has 11-fold greater selectivity for B-Raf over c-Raf, without inhibition to other human kinases.  

**Purity:** >98%  
**Clinical Data:** Phase 2, Phase 3  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

---

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

**Bioactivity:** Sorafenib is a potent multikinase inhibitor with IC$_{50}$ of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.  

**Purity:** 99.84%  
**Clinical Data:** Phase 4  
**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

---

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

**Bioactivity:** Sorafenib tosylate is a potent multikinase inhibitor, with IC$_{50}$ of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.  

**Purity:** >98.0%  
**Clinical Data:** Phase 4  
**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

---

**TAK-632**
(TAK 632; TAK632)  
Cat. No.: HY-15767  

**Bioactivity:** TAK-632 is a potent pan-RAF inhibitor with IC$_{50}$ of 1.4, 2.4 and 8.3 nM for CRAF, BRAFV600E, BRAFWT, respectively.  

**Purity:** 98.8%  
**Clinical Data:** Phase 4  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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www.MedChemExpress.com
| **Vemurafenib**  
(PLX-4032; RG7204; R7204; ROS185426; PLX4032) | **ZM 336372**  
(ZM336372; ZM-336372) |
<table>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong> Vemurafenib is a novel and potent inhibitor of B-RAF kinase, with IC\textsubscript{50} values of RAF\textsubscript{V600E} (31 nM) and c-RAF-1 (48 nM).</td>
<td><strong>Bioactivity:</strong> ZM 336372 is a potent and selective c-Raf inhibitor with IC\textsubscript{50} of 70 nM, 10-fold selectivity over B-RAF, no inhibition to PKA/B/C, AMPK, p70S6, etc.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.51%</td>
<td><strong>Purity:</strong> 98.96%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 3, Phase 4</td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

Bioactivity:

Vemurafenib is a novel and potent inhibitor of B-RAF kinase, with IC\textsubscript{50} values of RAF\textsubscript{V600E} (31 nM) and c-RAF-1 (48 nM).

ZM 336372 is a potent and selective c-Raf inhibitor with IC\textsubscript{50} of 70 nM, 10-fold selectivity over B-RAF, no inhibition to PKA/B/C, AMPK, p70S6, etc.
Ribosomal S6 Kinase (RSK)

Ribosomal S6 Kinase (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

**AZD5363** (AZD-5363; AZD 5363) Cat. No.: HY-15431

**Bioactivity:** AZD5363 is a potent pan-AKT kinase inhibitor with IC\textsubscript{50} of 3, 7 and 7 nM for Akt\textsubscript{1,2} and 3, respectively.

**Purity:** >98.0%

**Clinical Data:** Phase 1

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

**BI-D1870** (BI-D 1870) Cat. No.: HY-10510

**Bioactivity:** BI-D1870 is an ATP-competitive inhibitor of S6 ribosome for RSK1/2/3/4 with IC\textsubscript{50} of 31 nM/24 nM/18 nM/15 nM, respectively. 10- to 100-fold selectivity for RSK than MST2, GSK-3β, MARK3, CK1 and Aurora B

**Purity:** 99.21%

**Clinical Data:** Size: 10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

**BIX 02565** (BIX-02565; BIX02565) Cat. No.: HY-16104

**Bioactivity:** BIX 02565 is a potent ribosomal S6 kinase 2 (RSK2) inhibitor with IC\textsubscript{50} of 1.1 nM.

**Purity:** 98.1%

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

**Bupivacaine hydrochloride** Cat. No.: HY-80405A

**Bioactivity:** Bupivacaine Hydrochloride is a local anaesthetic drug belonging to the amino amide group.

**Purity:** 99.09%

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

**CMK** Cat. No.: HY-52101

**Bioactivity:** CMK is a RSK2 kinase inhibitor, used for cancer treatment.

**Purity:** >98%

**Clinical Data:** Size: 10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg

**FMK** (RSK2 kinase inhibitor) Cat. No.: HY-52101A

**Bioactivity:** FMK is a an irreversible RSK2 kinase inhibitor, that covalently modifies the C-terminal kinase domain of RSK.

**Purity:** 98.95%

**Clinical Data:** Size: 10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg

**LJH685** (LJH 685; LJH-685) Cat. No.: HY-19712

**Bioactivity:** LJH685 is a potent, specific and selective RSK inhibitor, inhibits RSK1, 2, and 3 biochemical activities with IC\textsubscript{50} of 4 to 13 nM.

**Purity:** 99.9%

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

**LJI308** (LJI 308; LJI-308) Cat. No.: HY-19713

**Bioactivity:** LJI308 is a new and potent pan-RSK inhibitor, with IC\textsubscript{50} of 6 nM, 4 nM, and 13 nM for RSK1, RSK2, and RSK3, respectively.

**Purity:** 99.4%

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

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

**Bioactivity:** LY-2584702 is an orally available inhibitor of p70S6K signaling; inhibits p70S6K and prevents phosphorylation of the S6 subunit of ribosomes.

**Purity:** 99.32%

**Clinical Data:** Phase 1, Withdrawn

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

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

**Bioactivity:** LY-2584702 Hcl is an orally available inhibitor of p70S6K signaling; inhibits p70S6K and prevents phosphorylation of the S6 subunit of ribosomes.

**Purity:** >98%

**Clinical Data:** Phase 1, Withdrawn

**Size:** 10 mg, 50 mg, 100 mg
LY-2584702 tosylate salt

Cat. No.: HY-12493A

**Bioactivity:** LY-2584702 tosylate salt is an orally available inhibitor of p70S6K signaling; inhibits p70S6K and prevents phosphorylation of the S6 subunit of ribosomes.

**Purity:** >98.0%

**Clinical Data:** Phase 1, Withdrawn

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

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PF-4708671

(PF 4708671; PF4708671)

Cat. No.: HY-15773

**Bioactivity:** PF-4708671 is a novel cell-permeable inhibitor of S6K1 (p70 ribosomal S6 kinase 1), with a Ki of 20 nM and IC50 of 160 nM

**Purity:** 98.05%

**Clinical Data:**

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

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Pluripotin

(SC-1; SC1; SC 1)

Cat. No.: HY-10579

**Bioactivity:** Pluripotin (SC-1) inhibits in vitro kinase activity of RSK2 with EC50 of 2.5±1.8 μM.

**Purity:** >98.0%

**Clinical Data:**

**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

**Purity:** 98.59%

**Clinical Data:**

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