MEK
Mitogen-activated protein kinase kinase; MAPKK; MAP2K

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, Antagonists & Activators

APS-2-79

Cat. No.: HY-100627

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 \( IC_{50} \) of 120±23 nM for KSR2.

Purity: 99.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

AZD8330 (ARRY-424704; ARRY-704)

Cat. No.: HY-12058

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

Purity: 99.14%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BI-847325

Cat. No.: HY-18955

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

Purity: 99.14%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Binimetinib (MEK162; ARRY-162; ARRY-438162)

Cat. No.: HY-15202

Binimetinib (MEK162) is an oral and selective inhibitor. Binimetinib (MEK162) inhibits MEK1/2 with an \( IC_{50} \) of 12 nM.

Purity: 99.55%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg

BIX02188

Cat. No.: HY-12055

BIX02188 is a potent MEK5-selective inhibitor with an \( IC_{50} \) of 4.3 nM. BIX02188 inhibits ERK5 catalytic activity, with an \( IC_{50} \) of 810 nM.

Purity: 99.49%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BIX02189

Cat. No.: HY-12056

BIX02189 is a potent and selective MEK5 inhibitor with an \( IC_{50} \) of 1.5 nM. BIX02189 also inhibits ERK5 catalytic activity with an \( IC_{50} \) of 59 nM.

Purity: >99.9%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

C16-PAF (PAF (C16))

Cat. No.: HY-108635

C16-PAF (PAF (C16)), a phospholipid mediator, is a platelet-activating factor and ligand for PAF G-protein-coupled receptor (PAFR). C16-PAF exhibits anti-apoptotic effect and inhibits caspase-dependent death by activating the PAFR.

Purity: >98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

CI-1040 (PD 184352)

Cat. No.: HY-50295

CI-1040 (PD 184352) is an orally active, highly specific, small-molecule inhibitor of MEK with an \( IC_{50} \) of 17 nM for MEK1.

Purity: 99.79%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

Cobimetinib (GDC-0973; XL518)

Cat. No.: HY-13064

Cobimetinib (GDC-0973, RG7420) is a potent, selective and oral MEK1 inhibitor with an \( IC_{50} \) of 4.2 nM for MEK1.

Purity: 99.70%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cobimetinib hemifumarate (GDC-0973 hemifumarate; XL-518 hemifumarate)

Cat. No.: HY-13064A

Cobimetinib hemifumarate is a novel selective MEK1 inhibitor, and the \( IC_{50} \) value against MEK1 is 4.2 nM.

Purity: >99.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
<table>
<thead>
<tr>
<th>Chemical Name</th>
<th>Cat. No.</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>Cobimetinib racemate</td>
<td>HY-13078</td>
<td>Cobimetinib racemate (GDC-0973 racemate; XL518 racemate) is the racemate of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.</td>
</tr>
<tr>
<td>GDC-0623 (MEK inhibitor 1)</td>
<td>HY-15610</td>
<td>GDC-0623 (RG 7421) is a potent, ATP-competitive inhibitor of MEK1 ($K_i=0.13 \text{ nM, +ATP}$), and displays 6-fold weaker potency against HCT116 (KRAS (G13D), EC$<em>{50}=42 \text{ nM}$) versus A375 (BRAF$^{V600E}$, EC$</em>{50}=7 \text{ nM}$).</td>
</tr>
<tr>
<td>GW284543 (UNC10225170)</td>
<td>HY-114189</td>
<td>GW284543 (UNC10225170) is a selective MEK5 inhibitor. GW284543 (UNC10225170) reduces pERK5, and decreases endogenous MYC protein.</td>
</tr>
<tr>
<td>Hypothemycin</td>
<td>HY-107417</td>
<td>Hypothemycin, a fungal polyketide, is a multikinase inhibitor with $K_s$ of $10/70 \text{ nM}$, $17/38$ nM, $90 \text{ nM}$, $900 \text{ nM}/1.5 \mu$M, and $8.4/2.4 \mu$M for VEGFR2/VEGFR1, MEK1/MEK2, FLT-3, PDGFRβ/PDGFRα, and ERK1/ERK2, respectively.</td>
</tr>
<tr>
<td>Isorhamnetin</td>
<td>HY-N0776</td>
<td>Isorhamnetin is a flavonoid compound extracted from the Chinese herb Hippophae rhamnoides L. Isorhamnetin suppresses skin cancer through direct inhibition of MEK1 and PI3K.</td>
</tr>
<tr>
<td>Lidocaine (Lignocaine)</td>
<td>HY-B0185</td>
<td>Lidocaine (Lignocaine) inhibits sodium channels involving complex voltage and using dependence.</td>
</tr>
<tr>
<td>Lidocaine hydrochloride</td>
<td>HY-B0185A</td>
<td>Lidocaine hydrochloride (Lignocaine hydrochloride) inhibits sodium channels involving complex voltage and using dependence.</td>
</tr>
<tr>
<td>MEK inhibitor</td>
<td>HY-12202</td>
<td>MEK inhibitor is a potent MEK inhibitor with antitumor potency.</td>
</tr>
<tr>
<td>PD0325901 (PD325901)</td>
<td>HY-10254</td>
<td>PD0325901 (PD325901) is an orally active, selective and non-ATP-competitive MEK inhibitor with an $IC_{50}$ of $0.33 \text{ nM}$. PD0325901 exhibits a $K_{i}^{app}$ of $1 \text{ nM}$ against activated MEK1 and MEK2. PD0325901 suppresses the expression of p-ERK1/2 and induces apoptosis.</td>
</tr>
<tr>
<td>PD0325901-O-C2-dioxolane</td>
<td>HY-131295</td>
<td>PD0325901-O-C2-dioxolane has main portion of inhibitor PD0325901. PD0325901-O-C2-dioxolane and a ligand of VHL or CRBN E3 ligase can be used in the synthesis of MEK1/2 degrader.</td>
</tr>
</tbody>
</table>
**PD318088**

PD318088 is a potent, allosteric and non-ATP competitive MEK1/2 inhibitor, an analog of PD184352 (HY-50295). PD318088 binds simultaneously with ATP in a region of the MEK1 active site that is adjacent to the ATP-binding site. PD318088 can be used for cancer research.

**Purity:** 99.88%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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**Refametinib**

Refametinib (BAY 869766; RDEA119) is an orally available, potent, non-ATP-competitive, selective, allosteric MEK1/MEK2 inhibitor with \( \text{IC}_{50} \) of 19 nM and 47 nM, respectively.

**Purity:** 99.82%

**Clinical Data:** Phase 2

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

---

**RGB-286638**

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 \( \text{IC}_{50} \) of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with \( \text{IC}_{50} \) of 3, 5, 50, and 54 nM.

**Purity:** 98.72%

**Clinical Data:** Phase 1

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

---

**PD98059**

PD98059 is a potent and selective MEK inhibitor with an \( \text{IC}_{50} \) of 5 µM. PD98059 binds to the inactive form of MEK, thereby preventing the activation of MEK1 (\( \text{IC}_{50} \) of 2-7 µM) and MEK2 (\( \text{IC}_{50} \) of 50 µM) by upstream kinases. PD98059 is a ERK1/2 signaling inhibitor.

**Purity:** 99.94%

**Clinical Data:** No Development Reported

**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

---

**Selumetinib**

Selumetinib (AZD6244) is selective, non-ATP-competitive oral MEK1/2 inhibitor, with an \( \text{IC}_{50} \) of 14 nM for MEK1. Selumetinib (AZD6244) inhibits ERK1/2 phosphorylation.

**Purity:** 99.87%

**Clinical Data:** Launched

**Size:** 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

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**Ro 5126766**

Ro 5126766 (CH5126766) is a first-in-class dual MEK/RAF inhibitor that allosterically inhibits BRAFV600E, CRAF, MEK, and BRAF (\( \text{IC}_{50} \) 56, 160 nM, and 190 nM, respectively).

**Purity:** 97.92%

**Clinical Data:** Phase 1

**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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**RO4987655**

RO4987655 is an orally active and highly selective MEK inhibitor with an \( \text{IC}_{50} \) of 5.2 nM for inhibition of MEK1/MEK2.

**Purity:** 99.17%

**Clinical Data:** Phase 1

**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg

---

**Selumetinib sulfate**

Selumetinib (AZD6244) is selective, non-ATP-competitive oral MEK1/2 inhibitor, with an \( \text{IC}_{50} \) of 14 nM for MEK1. Selumetinib (AZD6244) inhibits ERK1/2 phosphorylation.

**Purity:** 99.48%

**Clinical Data:** Launched

**Size:** 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg, 1 g
<table>
<thead>
<tr>
<th><strong>SL327</strong></th>
<th><strong>TAK-733</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-15437</td>
<td>Cat. No.: HY-13449</td>
</tr>
<tr>
<td>SL327 inhibits MEK1 and MEK2, with IC\textsubscript{50} values of 180 nM and 220 nM, respectively.</td>
<td>TAK-733 is a potent and selective MEK allosteric site inhibitor with an IC\textsubscript{50} of 3.2 nM.</td>
</tr>
<tr>
<td>Purity: &gt;98.0%</td>
<td>Purity: 99.81%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: Phase 1</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Trametinib (GSK1120212; JTP-74057)</strong></th>
<th><strong>Trametinib (DMSO solvate) (GSK-1120212 (DMSO solvate); JTP-74057 (DMSO solvate))</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-10999</td>
<td>Cat. No.: HY-10999A</td>
</tr>
<tr>
<td>Trametinib (GSK1120212; JTP-74057) is an orally active MEK inhibitor that inhibits MEK1 and MEK2 with IC\textsubscript{50} of about 2 nM. trametinib activates autophagy and induces apoptosis.</td>
<td>Trametinib (DMSO solvate) (GSK-1120212 (DMSO solvate); JTP-74057 (DMSO solvate)) is an orally active MEK inhibitor that inhibits MEK1 and MEK2 with IC\textsubscript{50} of about 2 nM. Trametinib (DMSO solvate) activates autophagy and induces apoptosis.</td>
</tr>
<tr>
<td>Purity: 99.44%</td>
<td>Purity: 99.77%</td>
</tr>
<tr>
<td>Clinical Data: Launched</td>
<td>Clinical Data: Launched</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</td>
<td>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>trans-Zeatin</strong></th>
<th><strong>U0126</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-19700</td>
<td>Cat. No.: HY-12031A</td>
</tr>
<tr>
<td>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.</td>
<td>U0126 is a potent, non-ATP competitive and selective MEK1 and MEK2 inhibitor, with IC\textsubscript{50} of 72 nM and 58 nM, respectively. U0126 is an autophagy and mitophagy inhibitor.</td>
</tr>
<tr>
<td>Purity: 99.54%</td>
<td>Purity: &gt;98%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: No Development Reported</td>
</tr>
<tr>
<td>Size: 10 mg, 50 mg</td>
<td>Size: 1 mg, 5 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>U0126-EtOH</strong></th>
<th><strong>Xantocillin</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-12031</td>
<td>Cat. No.: HY-122404</td>
</tr>
<tr>
<td>U0126 (U0126-EtOH) is a potent, non-ATP competitive and selective MEK1 and MEK2 inhibitor, with IC\textsubscript{50} of 72 nM and 58 nM, respectively. U0126 is an autophagy and mitophagy inhibitor.</td>
<td>Xantocillin is a marine agent extracted from Penicillium commune, induces autophagy through inhibition of the MEK/ERK pathway.</td>
</tr>
<tr>
<td>Purity: 98.70%</td>
<td>Purity: &gt;98%</td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td>Clinical Data: No Development Reported</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
<td>Size: 1 mg, 5 mg</td>
</tr>
</tbody>
</table>

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