PI3K/Akt/mTOR

The PI3K/Akt/mTOR signaling pathways is crucial to many aspects of cell growth and survival, in physiological as well as in pathological conditions. PI3Ks constitute a lipid kinase family. Class I PI3Ks are heterodimers composed of a catalytic (CAT) subunit (i.e., p110) and an adaptor/regulatory subunit (i.e., p85), and can be further divided into two subclasses: subclass IA (PI3Kα, β, and δ), which is activated by receptors with protein tyrosine kinase activity, and subclass IB (PI3Kγ), which is activated by receptors coupled with G proteins. Akt kinases belong to the AGC kinase family, related to AMP/GMP kinases and protein kinase C. mTOR is a key protein evolutionarily conserved from yeast to man and is essential for life. The mTORC1 complex is made up of mTOR, Raptor, mLST8, and PRAS40, and the mTORC2 complex is composed of mTOR, Rictor, Sin1, and mLST8.

Upon ligand binding, phosphorylated tyrosine residing in activated RTKs will bind to p85, then release the catalytic subunit p110. Activated p110 phosphorylated the PIP2 into the second messenger PIP3, and this reaction can be reversed by the PI3K antagonist PTEN. PIP3 will recruit the downstream Akt to inner membranes and phosphorylates Akt on its serine/threonine kinase sites (Thr308 and Ser473). Activated Akt is involved in the downstream mTORC1 mediated response to biogenesis of protein and ribosome.

Many genes belonging to the PI3K/Akt pathway have been implicated in the pathophysiology of solid tumors and sensitivity/resistance to chemotherapy. More and more studies are now focusing on the translational relevance of targeting these pathways in cancer therapy.

References:
## Target List in PI3K/Akt/mTOR

- Akt ........................................ 3  
- AMPK ....................................... 10  
- ATM/ATR ................................... 14  
- DNA-PK .................................... 17  
- GSK-3 ...................................... 20  
- MELK ...................................... 24  
- mTOR ....................................... 26  
- PDK-1 ...................................... 34  
- PI3K ........................................ 36  
- PI4K ........................................ 49  
- PIKfyve .................................... 51  
- PTEN ....................................... 53
Akt
PKB; Protein kinase B

Akt/PKB (Protein kinase B), a serine/threonine protein kinase with antiapoptotic activity, is one of the major downstream targets of PtdIns(3,4,5)P3 signaling pathway. It contains a pleckstrin homology domain (PH domain) that specifically binds PtdIns(3,4,5)P3 on the plasma membrane. Akt phosphorylation and activation are directly determined by the level of PtdIns(3,4,5)P3 on the plasma membrane, which is regulated by PI3K.

Akt consists of three isoforms: PKBα/Akt1, PKBβ/Akt2 and PKBγ/Akt3. Akt isoforms have an N-terminal PH (pleckstrin homology) domain and a kinase domain, which are separated by a 39-amino-acid hinge region. Catalytically active Akt regulates the function of numerous substrates involved in cell survival, growth, proliferation, metabolism and protein synthesis.

Akt is a crucial mediator of cell survival and its deactivation is implicated in various stress-induced pathological cell death and degenerative diseases.
# Akt Inhibitors & Modulators

## 1,3-Dicaffeoylquinic acid

<table>
<thead>
<tr>
<th>Cat. No.: HY-N1412</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> 1,3-Dicaffeoylquinic acid is a caffeoylquinic acid derivative that exhibits antioxidant activity and radical scavenging activity.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.82%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg</td>
</tr>
</tbody>
</table>

## 3CAI

<table>
<thead>
<tr>
<th>Cat. No.: HY-16666</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> 3CAI is a potent and specific <strong>Akt1</strong> and <strong>Akt2</strong> inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

## A-443654

<table>
<thead>
<tr>
<th>Cat. No.: HY-10425</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> A-443654 is a potent <strong>Akt1/2/3</strong> inhibitor, with a $K_{i}$ of 160 pM for Akt1.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.87%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
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</table>

## A-674563

<table>
<thead>
<tr>
<th>Cat. No.: HY-13254</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> A-674563 is a potent and selective <strong>Akt1</strong> inhibitor with a $K_{i}$ of 11 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.87%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## A-674563 hydrochloride

<table>
<thead>
<tr>
<th>Cat. No.: HY-13254A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> A-674563 hydrochloride is a potent and selective <strong>Akt1</strong> inhibitor with $K_{i}$ of 11 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.78%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

## Afuresertib

<table>
<thead>
<tr>
<th>Cat. No.: HY-15727</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Afuresertib is a potent and ATP-competitive specific <strong>Akt</strong> inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.95%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## Afuresertib hydrochloride

<table>
<thead>
<tr>
<th>Cat. No.: HY-15727A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Afuresertib hydrochloride is a potent and ATP-competitive specific <strong>Akt</strong> inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 96.98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## AKT inhibitor VIII

<table>
<thead>
<tr>
<th>Cat. No.: HY-10355</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> AKT inhibitor VIII (AKTi-1/2) is a cell-permeable quinoxaline compound that has been shown to potently, selectively, allosterically, and reversibly inhibit <strong>Akt1</strong>, <strong>Akt2</strong>, and <strong>Akt3</strong> activity with $IC_{50}$ of 58 nM, 210 nM, and 2119 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.82%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## AKT Kinase Inhibitor

<table>
<thead>
<tr>
<th>Cat. No.: HY-10249A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> AKT Kinase Inhibitor is an <strong>Akt</strong> kinase inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.37%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

## AKT-IN-1

<table>
<thead>
<tr>
<th>Cat. No.: HY-18296</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> AKT-IN-1 is an allosteric <strong>Akt</strong> inhibitor with an $IC_{50}$ of 1.042 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.22%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
AKT-IN-2

Cat. No.: HY-112148

Bioactivity: AKT-IN-2 is a potent, selective and orally bioavailable AKT inhibitor with an IC_{50} of 5 nM for AKT1 [1].

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

Akt1 and Akt2-IN-1

Cat. No.: HY-50862

Bioactivity: Akt1 and Akt2-IN-1 is an allosteric inhibitor of Akt1 (IC_{50}=3.5 nM) and Akt2 (IC_{50}=42 nM), with potent and balanced activity.

Purity: 99.71%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

AT13148

Cat. No.: HY-16071

Bioactivity: AT13148 is an orally active and ATP-competitive, multi-A GC kinase inhibitor with IC_{50} of 38 nM/402 nM/50 nM, 8 nM, 3 nM, and 6 nM/4 nM for Akt1/2/3, p70S6K, PKA, and ROCKII, respectively.

Purity: 99.46%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

AT7867

Cat. No.: HY-12059

Bioactivity: AT7867 is a potent ATP-competitive inhibitor of Akt1/ Akt2/ Akt3 and p70S6K/ PKA with IC_{50} of 32 nM/17 nM/47 nM and 85 nM/20 nM, respectively.

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

AT7867 dihydrochloride

Cat. No.: HY-12059A

Bioactivity: AT7867 dihydrochloride is a potent ATP-competitive inhibitor of Akt1/ Akt2/ Akt3 and p70S6K/ PKA with IC_{50} of 32 nM/17 nM/47 nM and 85 nM/20 nM, respectively.

Purity: 99.77%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

BAY1125976

Cat. No.: HY-100018

Bioactivity: BAY1125976 is a selective allosteric Akt1/Akt2 inhibitor; inhibits Akt1 and Akt2 activity with IC_{50} values of 5.2 nM and 18 nM at 10 μM ATP, respectively.

Purity: 99.63%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Capivasertib (AZD5363)

Cat. No.: HY-15431

Bioactivity: Capivasertib (AZD5363) is a potent pan-AKT kinase inhibitor with IC_{50} of 3, 7 and 7 nM for Akt1, Akt2 and Akt3, respectively.

Purity: 99.71%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

CCT128930

Cat. No.: HY-13260

Bioactivity: CCT128930 is a potent and selective inhibitor of Akt2 (IC_{50} 6 nM) with 28-fold selectivity over the closely related PKA kinase (IC_{50} 168 nM), as well as 20-fold selectivity over p70S6K (IC_{50} 120 nM).

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

Crosstide

Cat. No.: HY-P0315

Bioactivity: Crosstide is a peptide analog of glycogen synthase kinase α/β fusion protein sequence which is a substrate for Akt.

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

Deguelin

Cat. No.: HY-13425

Bioactivity: Deguelin, a naturally occurring rotenoid, is a potent PI3K/AKT inhibitor.

Purity: 99.56%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

www.MedChemExpress.com
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.

Purity: 99.0%
Clinical Data: No Development Reported
Size: 5 mg

GSK-690693

Cat. No.: HY-10249

Bioactivity: GSK-690693 is an ATP-competitive pan-Akt inhibitor with IC50\(^\text{50}\) of 2, 13, 9 nM for Akt1, Akt2 and Akt3, respectively.

Purity: 97.52%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

GSK2110183

Cat. No.: HY-15966

Bioactivity: GSK2110183 is an orally bioavailable, selective, ATP-competitive and potent pan-Akt kinase inhibitor with K\(^s\) of 0.08/2/2.6 nM for Akt1/ Akt2/ Akt3 respectively.

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

Honokiol

(NSC 293100)

Cat. No.: HY-N0003

Bioactivity: Honokiol is a bioactive, bifurcated phytochemical that possesses potent antioxidative, anti-inflammatory, antiangiogenic, and anticancer activities by targeting a variety of signaling molecules. It inhibits the activation of Akt and enhances the phosphorylation of ERK1/ERK2.

Purity: 99.90%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
50 mg, 100 mg, 200 mg

Ipatasertib

(GDC-0068; RG7440)

Cat. No.: HY-15186

Bioactivity: Ipatasertib (GDC-0068) is a highly selective and ATP-competitive pan-Akt inhibitor targeting Akt1, Akt2 and Akt3, with IC50\(^\text{50}\) of 5, 18 and 8 nM for Akt1, Akt2 and Akt3, respectively.

Purity: 98.89%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

Ipatasertib dihydrochloride

(GDC-0068 (dihydrochloride); RG-7440 dihydrochloride)

Cat. No.: HY-15186A

Bioactivity: Ipatasertib dihydrochloride (GDC-0068 dihydrochloride) is a highly selective pan-Akt inhibitor targeting Akt1/2/3 with IC50\(^\text{50}\) of 5/18/8 nM, 620-fold selectivity over PKA.

Purity: 99.59%
Clinical Data: Phase 3
Size: 10mM x 1mL in Water,
5 mg, 10 mg, 50 mg, 100 mg

K-80003

(TX-803)

Cat. No.: HY-U00458

Bioactivity: K-80003 is a potent inhibitor of tRXRα-dependent Akt activation and cancer cell growth.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

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.

Purity: 98.81%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Loureirin A

Cat. No.: HY-N1505

Bioactivity: Loureirin A is a flavonoid extracted from Dragon’s Blood, can inhibit Akt phosphorylation, and has antiplatelet activity.

Purity: 99.76%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
### Miltefosine
*(HePC; Hexadecyl phosphocholine)*

**Cat. No.: HY-13685**

**Bioactivity:** Miltefosine is a broad spectrum antimicrobial, anti-leishmanial, phospholipid agent acting by inhibiting the PI3K/Akt activity.

**Purity:** 98.0%

**Clinical Data:** Launched

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

---

### Miransertib
*(ARQ-092)*

**Cat. No.: HY-19719**

**Bioactivity:** Miransertib (ARQ-092) is an orally bioavailable, selective, and potent allosteric Akt inhibitor with IC\(_{50}\) of 2.7 nM, 14 nM and 8.1 nM for Akt1, Akt2, Akt3, respectively.

**Purity:** 99.77%

**Clinical Data:** Phase 2

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

---

### MK 2206 dihydrochloride

**Cat. No.: HY-10358**

**Bioactivity:** MK 2206 is an orally active allosteric Akt inhibitor with IC\(_{50}\) of 5, 12 and 65 nM for Akt1, Akt2 and Akt3, respectively.

**Purity:** 99.47%

**Clinical Data:** Phase 2

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

---

### N-Oleoyl glycine

**Cat. No.: HY-113204**

**Bioactivity:** N-Oleoyl glycine is a lipoamino acid, which stimulates adipogenesis associated with activation of CB1 receptor and Akt signaling pathway in 3T3-L1 adipocyte.

**Purity:** >98%

**Clinical Data:**

**Size:** 10 mg

---

### Oridonin
*(NSC-250682; Isodonol)*

**Cat. No.: HY-N0004**

**Bioactivity:** Oridonin (NSC-250682), a diterpenoid isolated from Rabdosia rubescens, acts as an inhibitor of AKT, with IC\(_{50}\) of 8.4 and 8.9 µM for AKT1 and AKT2; Oridonin possesses anti-tumor, anti-bacterial and anti-inflammatory effects.

**Purity:** 99.85%

**Clinical Data:** No Development Reported

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

---

### Pachymic acid
*(3-O-Acetyltumulosic acid)*

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

**Bioactivity:** Pachymic acid is a lanostane-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

---

### Perifosine
*(KRX-0401; NSC 639966; D21266)*

**Cat. No.: HY-50909**

**Bioactivity:** Perifosine is an oral Akt inhibitor which inhibits proliferation of different tumor cell lines with IC\(_{50}\) of 0.6-8.9 µM.

**Purity:** 98.0%

**Clinical Data:** Phase 3

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

---

### PF-AKT400
*(AKT protein kinase inhibitor)*

**Cat. No.: HY-10721**

**Bioactivity:** PF-AKT400 is a broadly selective, potent, ATP-competitive Akt inhibitor, displays 900-fold greater selectivity for PKBα (IC\(_{50}=0.5\) nM) than PKA (IC\(_{50}=450\) nM).

**Purity:** 95.75%

**Clinical Data:** No Development Reported

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

---

### PHT-427

**Cat. No.: HY-12063**

**Bioactivity:** PHT-427 is an inhibitor of the pleckstrin homology (PH) domain of Akt, and it is also an inhibitor of PDK1 with K\(_d\) of 2.7 µM and 5.2 µM and for Akt and PDK1, respectively.

**Purity:** 98.24%

**Clinical Data:** No Development Reported

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

---

### Recilisib
*(Ex-RAD; ON 01210)*

**Cat. No.: HY-101625**

**Bioactivity:** Recilisib is a radioprotectant, which can activate AKT, PI3K activities in cells.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

---

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### SAFit2
**Cat. No.: HY-102080**

**Bioactivity:** SAFit2 is a novel, selective FK506-binding protein 51 (FKBP51) antagonist with a $K_i$ of 6 nM and also enhances AKT2-A5160 binding.

**Purity:** 98.59%

**Clinical Data:** No Development Reported

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

---

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

**Bioactivity:** SB 203580 is a widely used p38 MAPK inhibitor with an $IC_{50}$ 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 203580 hydrochloride
**(RWJ 64809 hydrochloride)**
**Cat. No.: HY-10256A**

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

**Purity:** 99.71%

**Clinical Data:** No Development Reported

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

---

### SC66
**Cat. No.: HY-19832**

**Bioactivity:** SC66 is a novel Akt inhibitor, reduces cell viability in a dose- and time-dependent manner, inhibits colony formation and induces apoptosis in hepatocellular carcinoma (HCC) cells.

**Purity:** 99.32%

**Clinical Data:** No Development Reported

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

---

### S797
**Cat. No.: HY-18749**

**Bioactivity:** S797 is a selective and cell-permeable Akt activator which activates Akt phosphorylation and inhibits Akt membrane translocation.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

---

### SOLENOPSISIN
**Cat. No.: HY-16461**

**Bioactivity:** Solenopsis is an ATP-competitive AKT inhibitor with $IC_{50}$ value of 10 μM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

---

### Scutellarin
**Cat. No.: HY-N0751**

**Bioactivity:** Scutellarin, an active flavone isolated from Scutellaria baicalensis, can down-regulates the STAT3/Girdin/Akt signaling in HCC cells, and inhibits RANKL-mediated MAPK and NF-κB signaling pathway in osteoclasts.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

---

### SC79
**Cat. No.: HY-18749**

**Bioactivity:** SC79 is a selective and cell-permeable Akt activator which activates Akt phosphorylation and inhibits Akt membrane translocation.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

---

### S797
**Cat. No.: HY-18749**

**Bioactivity:** S797 is a selective and cell-permeable Akt activator which activates Akt phosphorylation and inhibits Akt membrane translocation.

---

### S797
**Cat. No.: HY-18749**

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### 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

---

### Triciribine
**(API-2; NSC 154020; TCN)**
**Cat. No.: HY-15457**

**Bioactivity:** Triciribine is a DNA synthesis inhibitor, also inhibits Akt and HIV-1/2 with $IC_{50}$ of 130 nM, and 0.02-0.46 μM, respectively.

**Purity:** 99.20%

**Clinical Data:** Phase 2

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

---

### Uprosertib
**(GSK2141795)**
**Cat. No.: HY-15965**

**Bioactivity:** Uprosertib (GSK2141795) is a potent and selective pan-Akt inhibitor with $IC_{50}$ values of 180/328/38 nM for Akt1/Akt2/Akt3, respectively.

**Purity:** 99.85%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg
## Uprosertib hydrochloride (GSK2141795 hydrochloride)

**Cat. No.:** HY-15965A

<table>
<thead>
<tr>
<th>Property</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity</td>
<td>Uprosertib hydrochloride (GSK2141795 hydrochloride) is a potent and selective pan-Akt inhibitor with IC₅₀ values of 180/328/38 nM for Akt1/Akt2/Akt3, respectively.</td>
</tr>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size</td>
<td>5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>
AMPK (AMP-activated protein kinase) is an enzyme that plays a role in cellular energy homeostasis. It consists of three proteins (subunits) that together make a functional enzyme. The net effect of AMPK activation is stimulation of hepatic fatty acid oxidation and ketogenesis, inhibition of cholesterol synthesis, lipogenesis, and triglyceride synthesis, inhibition of adipocyte lipolysis and lipogenesis, stimulation of skeletal muscle fatty acid oxidation and muscle glucose uptake by pancreatic beta-cells. AMPK acts as a metabolic master switch regulating several intracellular systems including the cellular uptake of glucose, the β-oxidation of fatty acids and the biogenesis of glucose transporter 4 (GLUT4) and mitochondria.
AMPK Inhibitors & Modulators

**7-Methoxyisoflavone**  
Cat. No.: HY-N6631

- **Bioactivity:** 7-Methoxyisoflavone is an isoflavone derivative and also an activator of adenosine monophosphate-activated protein kinase (AMPK).
- **Purity:** 99.81%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 100 mg

**A-769662**  
Cat. No.: HY-50662

- **Bioactivity:** A-769662 is a potent, reversible AMPK activator with EC50 of 0.8 μM.
- **Purity:** 98.09%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**AICAR**  
(Acadesine; AICA Riboside)  
Cat. No.: HY-13417

- **Bioactivity:** AICAR is a cell-permeable AMP-activated protein kinase (AMPK) activator.
- **Purity:** 99.92%
- **Clinical Data:** Phase 3
- **Size:** 10mM x 1mL in Water, 50 mg, 100 mg, 200 mg, 500 mg

**AICAR phosphate**  
(Acadesine phosphate; AICA Riboside phosphate)  
Cat. No.: HY-13417A

- **Bioactivity:** AICAR phosphate is an activator of AMP-activated protein kinase (AMPK).
- **Purity:** 98.0%
- **Clinical Data:** Phase 3
- **Size:** 10mM x 1mL in Water, 50 mg, 100 mg, 200 mg, 500 mg

**AMPK activator 1**  
Cat. No.: HY-U00292

- **Bioactivity:** AMPK activator 1 is an AMPK activator extracted from patent WO2013116491A1, compound No.1-75, has an EC50 of <0.1μM.
- **Purity:** 98.0%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg

**Ampkinone**  
Cat. No.: HY-12831

- **Bioactivity:** Ampkinone is an indirect AMP-activated protein kinase (AMPK) activator.
- **Purity:** 99.31%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg

**Chitosan oligosaccharide COS**  
Cat. No.: HY-112108

- **Bioactivity:** Chitosan oligosaccharide (COS) is an oligomer of β-(1-4)-linked D-glucosamine. Chitosan oligosaccharide (COS) activates AMPK and inhibits inflammatory signaling pathways including NF-κB and MAPK pathways.
- **Purity:** 91.0%
- **Clinical Data:** No Development Reported
- **Size:** 1 g, 5 g

**Danthon**  
(Danthron; Chrysazin; 1,8-Dihydroxyanthraquinone)  
Cat. No.: HY-80923

- **Bioactivity:** Danthon is a natural product extracted from the traditional Chinese medicine rhubarb. Danthon functions in regulating glucose and lipid metabolism by activating AMPK.
- **Purity:** 98.0%
- **Clinical Data:** Launched
- **Size:** 10mM x 1mL in DMSO, 100 mg

**Dorsomorphin**  
(BML-275; Compound C)  
Cat. No.: HY-13418A

- **Bioactivity:** Dorsomorphin is a potent and selective AMPK inhibitor, that is competitive with ATP, with Kd = 199±16 nM in the absence of AMP.
- **Purity:** 99.65%
- **Clinical Data:** Phase 1
- **Size:** 5 mg, 10 mg, 50 mg, 100 mg

**Dorsomorphin dihydrochloride**  
(BML-275 dihydrochloride; Compound C dihydrochloride)  
Cat. No.: HY-13418

- **Bioactivity:** Dorsomorphin dihydrochloride (BML-275 dihydrochloride) is a potent, selective and ATP-competitive AMPK inhibitor, with a Kd of 109±16 nM.
- **Purity:** 99.73%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg
### ETC-1002
**(ESP-55016; Bempedoic acid)**  
**Cat. No.: HY-12357**

**Bioactivity:** ETC-1002 is an activator of hepatic AMP-activated protein kinase (**AMPK**).

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.00%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### EX229
**Cat. No.: HY-112769**

**Bioactivity:** EX229, a Benzimidazole derivative, is a potent and allosteric activator of AMP-activated protein kinase (**AMPK**), with **K**<sub>d</sub> of 0.06 μM, 0.06 μM and 0.51 μM for α1β1γ1, α2β1γ1 and α1β2γ1 in biolayer interferometry, respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.37%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

### Flufenamic acid
**Cat. No.: HY-81221**

**Bioactivity:** Flufenamic acid is a non-steroidal anti-inflammatory agent, inhibits cyclooxygenase (**COX**), activates AMPK, and also modulates ion channels, blocking chloride channels and L-type **Ca**<sup>2+</sup> channels, modulating non-selective cation channel...

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.92%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg</td>
</tr>
</tbody>
</table>

### Ginkgolide C
**(BN-52022; Ginkgolide-C)**  
**Cat. No.: HY-N0785**

**Bioactivity:** Ginkgolide C is a flavone isolated from Ginkgo biloba leaves, possessing multiple biological functions, such as decreasing platelet aggregation and ameliorating Alzheimer disease.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

### HTH-01-015
**Cat. No.: HY-12334**

**Bioactivity:** HTH-01-015 is a selective NUAK1/ARK5 inhibitor (**IC**<sub>50</sub> is 100 nM). HTH-01-015 inhibits NUAK1 with >100-fold higher potency than NUAK2 (**IC**<sub>50</sub> of >10 μM).

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.19%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Metformin hydrochloride
**(1,1-Dimethylbiguanide hydrochloride)**  
**Cat. No.: HY-17471A**

**Bioactivity:** Metformin (hydrochloride) is an FDA approved first-line drug for the treatment of type 2 diabetes. Metformin decreases hepatic glucose production, mostly through a mild and transient inhibition of the mitochondrial respiratory-chain complex 1.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>99.98%</td>
<td>Launched</td>
<td>10mg x 1mL in Water, 10 g, 50 g</td>
</tr>
</tbody>
</table>

### MK-3903
**Cat. No.: HY-107988**

**Bioactivity:** MK-3903 is a potent and selective AMP-activated protein kinase (**AMPK**) activator with an **EC**<sub>50</sub> of 8 nM.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.10%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### MK8722
**Cat. No.: HY-111363**

**Bioactivity:** MK8722 is a potent and systemic pan-AMPK activator.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.87%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### O-304
**Cat. No.: HY-112233**

**Bioactivity:** O-304 is a small molecule AMPK activator.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.63%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Palmitelaidic Acid
**(9-trans-Hexadecenoic acid; trans-Palmitoleic acid)**  
**Cat. No.: HY-N2341**

**Bioactivity:** Palmitelaidic acid is the trans isomer of palmitoleic acid. Palmitoleic acid is one of the most abundant fatty acids in serum and tissue.

<table>
<thead>
<tr>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>98.00%</td>
<td>No Development Reported</td>
<td>10 mg</td>
</tr>
</tbody>
</table>

---

12 Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com
**PF-06409577**

*Cat. No.: HY-103683*

**Bioactivity:** PF-06409577 is a potent and selective allosteric activator of AMPK α1β1γ1 isoform with an EC_{50} of 7 nM.

**Purity:** 98.56%

**Clinical Data:** Phase 1

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

---

**Phenformin hydrochloride**

*(Phenethylbiguanide hydrochloride)*

*Cat. No.: HY-16397A*

**Bioactivity:** Phenformin (hydrochloride) is a hydrochloride salt of phenformin that is an anti-diabetic drug from the biguanide class, can activate AMPK activity.

**Purity:** 98.17%

**Clinical Data:** Phase 1

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

---

**SAMS**

*Cat. No.: HY-P0136*

**Bioactivity:** SAMS peptide is a specific substrate for the AMP-activated protein kinase (AMPK).

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

**WZ4003**

*Cat. No.: HY-15802*

**Bioactivity:** WZ4003 is the first potent and highly specific NUAK kinase inhibitor with IC_{50} of 20 nM/100 nM for NUAK1 (ARK5)/NUAK2, without significant inhibition on other 139 kinases.

**Purity:** 97.26%

**Clinical Data:** No Development Reported

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

---

**YLF-466D**

*(C24)*

*Cat. No.: HY-15840*

**Bioactivity:** YLF-466D is a newly developed AMPK activator, which inhibits platelet aggregation.

**Purity:** 99.16%

**Clinical Data:** No Development Reported

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

---

**ZLN024**

*Cat. No.: HY-16708*

**Bioactivity:** ZLN024 is an AMPK allosteric activator. ZLN024 directly activates recombinant AMPK α1β1γ1, AMPK α2β1γ1, AMPK α1β2γ1 and AMPK α2β2γ1 heterotrimer with EC_{50}'s of 0.42 µM, 0.95 µM, 1.1 µM and 0.13 µM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

**ZLN024 hydrochloride**

*Cat. No.: HY-16708A*

**Bioactivity:** ZLN024 hydrochloride is an AMPK allosteric activator. ZLN024 directly activates recombinant AMPK α1β1γ1, AMPK α2β1γ1, AMPK α1β2γ1 and AMPK α2β2γ1 heterotrimer with EC_{50}'s of 0.42 µM, 0.95 µM, 1.1 µM and 0.13 µM, respectively.

**Purity:** 98.55%

**Clinical Data:** No Development Reported

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

---

**[6]-Gingerol**

*(6-Gingerol; 6-Gingerol)*

*Cat. No.: HY-14615*

**Bioactivity:** [6]-Gingerol is an active compound isolated from Ginger (*Zingiber officinale* Rosc), exhibits a variety of biological activities including anticancer, anti-inflammation, and anti-oxidation.

**Purity:** 98.01%

**Clinical Data:** No Development Reported

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

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www.MedChemExpress.com
ATM/ATR

Ataxia telangiectasia mutated; ATM and RAD3 related

ATM/ATR are members of the PI3 family of serine-threonine kinases and function as essential links between the sensors and effectors of the DNA damage response. The roles of ATM and ATR partially overlap and are cooperative; however they are also known to play distinct roles in protecting the cell from DNA damage. ATM is mostly responsible for sending signals from DSBs (double-strand breaks) induced by ionizing radiation while the closely related ATR responds to UV damage or stalled replication forks. ATM and ATR are known to phosphorylate common as well as specific substrates to activate checkpoint signaling. The G1, S, and G2 cell cycle checkpoints are primarily regulated by the ATM (ataxia telangiectasia, mutated) and
<table>
<thead>
<tr>
<th><strong>ATM/ATR Inhibitors &amp; Modulators</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>ATM Inhibitor-1</strong></td>
</tr>
<tr>
<td><strong>Cat. No.: HY-112614</strong></td>
</tr>
<tr>
<td><strong>Bioactivity:</strong> ATM Inhibitor-1 is a highly potent, selective and orally active ATM inhibitor, with an $IC_{50}$ of 0.7 nM, shows weak activity against mTOR ($IC_{50}$ 21 $\mu$M), DNAPK ($IC_{50}$ 2.8 $\mu$M), PI3Kα ($IC_{50}$ 3.8 $\mu$M), PI3Kγ ($IC_{50}$ 10.3 $\mu$M), PI3Kγ ...</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **AZ20**                         |
| **Cat. No.: HY-15557**           |
| **Bioactivity:** AZ20 is a potent and selective inhibitor of ATR with an $IC_{50}$ of 5 nM, and has 8-fold selectivity against mTOR ($IC_{50}=38$ nM). |
| **Purity:** 99.84%               |
| **Clinical Data:** No Development Reported |
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **AZ32**                         |
| **Cat. No.: HY-112305**          |
| **Bioactivity:** AZ32 is an orally bioavailable and blood-brain barrier-penetrating ATM inhibitor with an $IC_{50}$ of <6.2 nM for ATM enzyme, and an $IC_{50}$ of 0.31 $\mu$M for ATM in cell. |
| **Purity:** 99.98%               |
| **Clinical Data:** No Development Reported |
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **AZD0156**                      |
| **Cat. No.: HY-100016**          |
| **Bioactivity:** AZD0156 is an oral, potent and selective ATM inhibitor with an $IC_{50}$ of 0.58 nM. |
| **Purity:** 99.60%               |
| **Clinical Data:** Phase 1       |
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **AZD1390**                      |
| **Cat. No.: HY-109566**          |
| **Bioactivity:** AZD1390 is an ATM inhibitor. |
| **Purity:** 99.81%               |
| **Clinical Data:** Phase 1       |
| **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg |

| **BAY-1895344**                  |
| **Cat. No.: HY-101566**          |
| **Bioactivity:** BAY-1895344 is a potent, orally available and selective ATR inhibitor, with $IC_{50}$ of 7 nM. Anti-tumor activity [1]. |
| **Purity:** >98%                 |
| **Clinical Data:** No Development Reported |
| **Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **BAY-1895344 hydrochloride**    |
| **Cat. No.: HY-101566A**         |
| **Bioactivity:** BAY-1895344 hydrochloride is a potent, orally available and selective ATR inhibitor, with $IC_{50}$ of 7 nM. Anti-tumor activity [1]. |
| **Purity:** 99.04%               |
| **Clinical Data:** Phase 1       |
| **Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **Berzosertib (VE-822; VX-970)** |
| **Cat. No.: HY-13902**           |
| **Bioactivity:** Berzosertib (VE-822) is an ATR inhibitor with a $K_i$ value of less than 0.2 nM. It also inhibits ATM with a $K_i$ of 34 nM. |
| **Purity:** 99.22%               |
| **Clinical Data:** Phase 2       |
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg |

| **Ceralasertib (AZD6738)**       |
| **Cat. No.: HY-19323**           |
| **Bioactivity:** Ceralasertib (AZD6738) is a potent inhibitor of ATR kinase with an $IC_{50}$ of 1 nM. |
| **Purity:** 99.76%               |
| **Clinical Data:** Phase 2       |
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

<p>| <strong>CGK733</strong>                       |
| <strong>Cat. No.: HY-15520</strong>           |
| <strong>Bioactivity:</strong> CGK733 is a potent ATM/ATR inhibitor, used for the research of cancer. |
| <strong>Purity:</strong> 99.93%               |
| <strong>Clinical Data:</strong> No Development Reported |
| <strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg |</p>
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
</tr>
</thead>
<tbody>
<tr>
<td>CP-466722</td>
<td>HY-11002</td>
<td>CP-466722 is a rapidly reversible inhibitor of ATM, with an IC\textsubscript{50} of 4.1 μM, and has no effects on PI3K or closely related PI3K-like protein kinase (PIKK) family members.</td>
</tr>
<tr>
<td>ETP-46464</td>
<td>HY-15521</td>
<td>ETP-46464 is an effective mTOR and ATR inhibitor with IC\textsubscript{50} of 0.6 and 14 nM, respectively.</td>
</tr>
<tr>
<td>KU 59403</td>
<td>HY-18650</td>
<td>KU 59403 is a potent ATM inhibitor, with an IC\textsubscript{50} of 3 nM.</td>
</tr>
<tr>
<td>KU-55933</td>
<td>HY-12016</td>
<td>KU-55933 is a potent ATM inhibitor with an IC\textsubscript{50} and Ki of 12.9 and 2.2 nM, respectively, and is highly selective for ATM as compared to DNA-PK, PI3K/PI4K, ATR and mTOR.</td>
</tr>
<tr>
<td>KU-60019</td>
<td>HY-12061</td>
<td>KU-60019 is an improved ATM kinase-specific inhibitor with IC\textsubscript{50} of 6.3 nM.</td>
</tr>
<tr>
<td>VE-821</td>
<td>HY-14731</td>
<td>VE-821 is a potent ATP-competitive inhibitor of ATR with Ki/IC\textsubscript{50} of 13 nM/26 nM.</td>
</tr>
<tr>
<td>Wortmannin (SL-2052; KY-12420)</td>
<td>HY-10197</td>
<td>Wortmannin is a multi-target inhibitor of PI3K and MLCK with IC\textsubscript{50} of 3 nM and 200 nM, respectively. Wortmannin is also a potent inhibitor of DNA-PK (IC\textsubscript{50} 16 nM) and ATM (IC\textsubscript{50} 150 nM). Wortmannin is also a potent inhibitor of Polo-L...</td>
</tr>
</tbody>
</table>
DNA-PK
DNA-dependent protein kinase

DNA-PKcs (DNA-dependent protein kinase, catalytic subunit) is an enzyme that in humans is encoded by the PRKDC gene. DNA-PKcs belongs to the phosphatidylinositol 3-kinase-related kinase protein family. DNA-PKcs is the catalytic subunit of a nuclear DNA-dependent serine/threonine protein kinase called DNA-PK. The second component is the autoimmune antigen Ku. On its own, DNA-PKcs is inactive and relies on Ku to direct it to DNA ends and trigger its kinase activity. DNA-PKcs is required for the non-homologous end joining (NHEJ) pathway of DNA repair. Many proteins have been identified as substrates for the kinase activity of DNA-PK. Autophosphorylation of DNA-PKcs appears to play a key role in NHEJ and is thought to induce a conformational change that allows end processing enzymes to access the ends of the double-strand break. DNA-PK also cooperates with ATR and ATM to phosphorylate proteins involved in the DNA damage checkpoint.
DNA-PK Inhibitors & Modulators

**CC-115**  
Cat. No.: HY-16962

**Bioactivity:** CC-115 is a potent and dual DNA-PK and mTOR kinase inhibitor with IC\textsubscript{50} of 13 nM and 21 nM, respectively. CC-115 blocks both mTORC1 and mTORC2 signaling.

**Purity:** 96.64%

**Clinical Data:** Phase 2

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

---

**Compound 401**  
Cat. No.: HY-19341

**Bioactivity:** Compound 401 is a synthetic inhibitor of DNA-PK (IC\textsubscript{50} = 0.28 μM) that also targets mTOR but not PI3K in vitro.

**Purity:** 99.97%

**Clinical Data:** No Development Reported

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

---

**LTURM34**  
Cat. No.: HY-101667

**Bioactivity:** LTURM34 is a specific DNA-PK inhibitor with an IC\textsubscript{50} of 0.034 μM.

**Purity:** 99.24%

**Clinical Data:** No Development Reported

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

---

**M3814**  
Cat. No.: HY-101570

**Bioactivity:** M3814 is a potent and selective inhibitor of DNA-dependent Protein Kinase (DNA-PK).

**Purity:** 99.43%

**Clinical Data:** No Development Reported

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

---

**PI-103**  
Cat. No.: HY-10115

**Bioactivity:** PI-103 is a potent PI3K and mTOR inhibitor with IC\textsubscript{50} of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for p110α, p110β, p110δ, p110γ, mTORC1, and mTORC2. PI-103 also inhibits DNA-PK with an IC\textsubscript{50} of 2 nM.

**Purity:** 99.86%

**Clinical Data:** No Development Reported

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

---

**NU 7026**  
Cat. No.: HY-15719

**Bioactivity:** NU 7026 is a novel specific DNA-PK inhibitor with IC\textsubscript{50} of 0.23±0.01 μM, also inhibits PI3K with IC\textsubscript{50} of 13±3 μM.

**Purity:** 99.95%

**Clinical Data:** No Development Reported

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

---

**PI-103 Hydrochloride**  
Cat. No.: HY-10115A

**Bioactivity:** PI-103 Hydrochloride is a dual PI3K and mTOR inhibitor with IC\textsubscript{50} of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for p110α, p110β, p110δ, p110γ, mTORC1, and mTORC2. PI-103 also inhibits DNA-PK with an IC\textsubscript{50} of 2 nM.

**Purity:** 99.78%

**Clinical Data:** No Development Reported

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

---

**KU-57788** (NU7441)  
Cat. No.: HY-11006

**Bioactivity:** KU-57788 is a potent and selective inhibitor of DNA-PK with an IC\textsubscript{50} of 13 nM, with selectivity over a range of kinases including mTOR, PI 3-K, ATM and ATR.

**Purity:** 99.35%

**Clinical Data:** No Development Reported

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

---

**DNA-PK Inhibitors & Modulators**

**Bioactivity:** CC-115 hydrochloride is a potent and dual DNA-PK and mTOR kinase inhibitor with IC\textsubscript{50} of 13 nM and 21 nM, respectively. CC-115 blocks both mTORC1 and mTORC2 signaling.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
### PIK-75
**(PIK-75 Hydrochloride)**

**Cat. No.: HY-13281**

**Bioactivity:** PIK-75 is a DNA-PK and PI3K inhibitor, which inhibits DNA-PK, p110α and p110γ with IC_{50} values of 2, 5.8 and 76 nM, respectively. PIK-75 inhibits p110α >200-fold more potently than p110β (IC_{50}=1.3 μM).

**Purity:** 99.91%

**Clinical Data:** No Development Reported

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

---

### PIK-90

**Cat. No.: HY-12030**

**Bioactivity:** PIK-90 is a DNA-PK and PI3K inhibitor, which inhibits p110α, p110γ and DNA-PK with IC_{50} values of 11, 18 and 13 nM, respectively.

**Purity:** 99.06%

**Clinical Data:** No Development Reported

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

---

### SF2523

**Cat. No.: HY-101146**

**Bioactivity:** SF2523 is a highly selective and potent inhibitor of PI3K with IC_{50} values of 34 nM, 158 nM, 9 nM, 241 nM and 280 nM for PI3Kα, PI3Kγ, DNA-PK, BRD4 and mTOR, respectively.

**Purity:** 99.37%

**Clinical Data:** No Development Reported

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

---

### VX-984
**(M9831)**

**Cat. No.: HY-19939S**

**Bioactivity:** VX-984 is a potent DNA-PK inhibitor.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

---

### Torin 2

**Cat. No.: HY-13002**

**Bioactivity:** Torin 2 is an mTOR inhibitor with EC_{50} value of 0.25 nM for inhibiting cellular mTOR activity, and exhibits 800-fold selectivity over PI3K (EC_{50}: 200 nM). Torin 2 also inhibits DNA-PK with an IC_{50} of 0.5 nM in the cell free assay. Tori...

**Purity:** 99.93%

**Clinical Data:** No Development Reported

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

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### VX-984 analog
**(M9831 analog)**

**Cat. No.: HY-103709S**

**Bioactivity:** VX-984 analog (M9831 analog) is a selective DNA-dependent protein kinase (DNA-PK) inhibitor.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

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### Wortmannin
**(SL-2052; KY-12420)**

**Cat. No.: HY-10197**

**Bioactivity:** Wortmannin is a multi-target inhibitor of PI3K and MLCK with IC_{50} values of 3 nM and 200 nM, respectively. Wortmannin is also a potent inhibitor of DNA-PK (IC_{50}: 16 nM) and ATM (IC_{50}: 150 nM). Wortmannin is also a potent inhibitor of Polo-L...

**Purity:** 99.85%

**Clinical Data:** No Development Reported

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

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### YU238259

**Cat. No.: HY-19977**

**Bioactivity:** YU238259 is an inhibitor of homology-dependent DNA repair (HDR), used for cancer research.

**Purity:** 98.01%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
GSK-3
Glycogen synthase kinase-3;Glycogen synthase kinase 3

Glycogen synthase kinase 3 (GSK-3) is a multifunctional serine/threonine kinase found in all eukaryotes. GSK-3 is one of the few signaling mediators that play central roles in a diverse range of signaling pathways, including those activated by Wnts, hedgehog, growth factors, cytokines, and G protein-coupled ligands. GSK-3 targets transcription factors, regulates the activity of metabolic and signaling enzymes, and controls the half-life of proteins by earmarking them for degradation. GSK-3 exists as two isoforms, GSK-3a (51 kDa) and GSK3b (47 kDa), which are encoded by distinct genes. These isoforms often have overlapping functions, but they do not always compensate for each other.
## GSK-3 Inhibitors & Modulators

### AR-A014418
**(AR 0133418; GSK 3β inhibitor VIII; AR 014418)**

**Cat. No.: HY-10512**

**Bioactivity:** AR-A014418 is a potent, selective and ATP-competitive GSK3β inhibitor with an **IC**$_{50}$ of 104 nM.

**Purity:** 97.22%

**Clinical Data:** No Development Reported

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

### AZD1080

**Cat. No.: HY-13862**

**Bioactivity:** AZD1080 is a potent and selective GSK3 inhibitor. AZD1080 inhibits recombinant human GSK3α and GSK3β with **IC**$_{50}$ of 8.2 (6.9 nM) and 7.5 (31 nM), respectively.

**Purity:** 99.10%

**Clinical Data:** No Development Reported

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

### AZD2858

**Cat. No.: HY-15761**

**Bioactivity:** AZD2858 is a potent, orally active GSK-3 inhibitor, with **IC**$_{50}$ of 0.9 and 5 nM for GSK-3α and GSK-3β, respectively, used in the research of fracture healing.

**Purity:** 99.24%

**Clinical Data:** No Development Reported

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

### Bikinin
**(Abrasin)**

**Cat. No.: HY-12524**

**Bioactivity:** Bikinin is a non-steroidal, ATP-competitive inhibitor of plant GSK-3/Shaggy-like kinases and activates BR (brassinosteroids) signaling.

**Purity:** 99.82%

**Clinical Data:** No Development Reported

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

### BIO-acetoxime (BIA)

**Cat. No.: HY-15356**

**Bioactivity:** BIO-acetoxime (BIA) is a potent and selective GSK-3 inhibitor, with **IC**$_{50}$ of both 10 nM for GSK-3αβ.

BIO-acetoxime has anticonvulsant and anti-infection activity.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

### CHIR-98014

**Cat. No.: HY-13076**

**Bioactivity:** CHIR-98014 is a potent, cell-permeable GSK-3 inhibitor with **IC**$_{50}$ of 0.65 and 0.58 nM for GSK-3α and GSK-3β, respectively; it shows less potent activities against cdc2 and erk2.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

### CHIR-99021
**(CT99021)**

**Cat. No.: HY-10182**

**Bioactivity:** CHIR-99021 is a GSK-3αβ inhibitor with an **IC**$_{50}$ of 10 and 6.7 nM showing 500-fold selectivity over its closest homologs CDC2 and ERK2, as well as other protein kinases.

**Purity:** 99.76%

**Clinical Data:** No Development Reported

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

### CHIR-99021 monohydrochloride
**(CT99021 monohydrochloride)**

**Cat. No.: HY-10182A**

**Bioactivity:** CHIR-99021 monohydrochloride is a GSK-3αβ inhibitor with **IC**$_{50}$ of 10 nM/6.7 nM; > 500-fold selectivity for GSK-3 versus its closest homologs CDC2 and ERK2, as well as other protein kinases.

**Purity:** 99.93%

**Clinical Data:** No Development Reported

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

### CHIR-99021 trihydrochloride
**(CT99021 trihydrochloride)**

**Cat. No.: HY-10182B**

**Bioactivity:** CHIR-99021 trihydrochloride is a GSK-3αβ inhibitor with **IC**$_{50}$ of 10 nM/6.7 nM; > 500-fold selectivity for GSK-3 versus its closest homologs CDC2 and ERK2, as well as other protein kinases.

**Purity:** 97.93%

**Clinical Data:** No Development Reported

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

### CP21R7
**(CP21)**

**Cat. No.: HY-100207**

**Bioactivity:** CP21R7 is potent GSK-3β inhibitor, with an **IC**$_{50}$ of 1.8 nM; CP21R7 also shows inhibitory activity against PKCa, with an **IC**$_{50}$ of 1900 nM.

**Purity:** 99.52%

**Clinical Data:** No Development Reported

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

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<table>
<thead>
<tr>
<th><strong>EHT 5372</strong></th>
<th><em>Cat. No.: HY-111380</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>EHT 5372 is a strong inhibitor of DYRK’s family kinases, with ( IC_{50} ) of 0.22, 0.28 nM for DYRK1A and DYRK1B, respectively.</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>
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</table>

<table>
<thead>
<tr>
<th><strong>GSK 3 Inhibitor IX</strong></th>
<th><em>Cat. No.: HY-10580</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>GSK 3 Inhibitor IX (6-Bromoindirubin-3'-oxime; BIO; MLS 2052) is a potent, selective, reversible and ATP-competitive inhibitor of GSK-3α/β and CDK1-cyclinB complex with ( IC_{50} ) of 5 nM/320 nM/80 nM for (GSK-3α/β)/CDK1/CDK5, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.42%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>GSK-3 inhibitor 1</strong></th>
<th><em>Cat. No.: HY-13973A</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>GSK-3 inhibitor 1 is an inhibitor of GSK-3.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
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<table>
<thead>
<tr>
<th><strong>IM-12</strong></th>
<th><em>Cat. No.: HY-12292</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>IM-12 is an inhibitor of GSK-3β, with an ( IC_{50} ) of 53 nM, and also enhances Wnt signalling.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>96.45%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>Indirubin-3'-monoxime</strong></th>
<th><em>Cat. No.: HY-19807</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Indirubin-3'-monoxime is a potent GSK-3β inhibitor, and weakly inhibits 5-Lipoygenase, with ( IC_{50} ) of 22 nM and 7.8-10 μM, respectively; Indirubin-3'-monoxime also shows inhibitory activities against CDK5/p25 and CDK1/cyclin B, with ( IC_{50} ).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.95%</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>
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<table>
<thead>
<tr>
<th><strong>Kenpaullone</strong></th>
<th><em>Cat. No.: HY-12302</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Kenpaullone is a potent inhibitor of CDK1/cyclin B and GSK-3β, with ( IC_{50} ) of 0.4 μM and 23 nM, and also inhibits CDK2/cyclin A, CDK2/cyclin E, and CDK5/p25 with ( IC_{50} ) of 0.68 μM, 7.5 μM, 0.85 μM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.07%</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>
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<table>
<thead>
<tr>
<th><strong>LY2090314</strong></th>
<th><em>Cat. No.: HY-16294</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>LY2090314 is a potent inhibitor of glycogen synthase kinase-3 (GSK-3) with ( IC_{50} ) values of 1.5 nM and 0.9 nM for GSK-3α and GSK-3β, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.75%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>RGB-286638</strong></th>
<th><em>Cat. No.: HY-15504</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>RGB-286638 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_{50} ) of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TA...</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, 100 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>SB 216763</strong></th>
<th><em>Cat. No.: HY-12012</em></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>SB 216763 is a potent, selective and ATP-competitive GSK-3 inhibitor with ( IC_{50} ) of 34.3 nM for both GSK-3α and GSK-3β.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>96.90%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>SB 415286</strong></td>
<td><strong>Cat. No.: HY-15438</strong></td>
</tr>
<tr>
<td>----------------</td>
<td>------------------------</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>SB 415286 is a potent and selective cell permeable inhibitor of GSK-3α, with an IC$_{50}$ of 77.5 nM, and a Ki of 30.75 nM; SB 415286 is equally effective at inhibiting human GSK-3α and GSK-3β.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.88%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

| **TDZD-8** | **(GSK-3β Inhibitor I; NP 01139)** | **Cat. No.: HY-11012** |
|----------------|------------------------|
| **Bioactivity:** | TDZD-8 is an inhibitor of GSK-3β, with an IC$_{50}$ of 2 μM; TDZD-8 shows less potent activities against Cdk-1/cyclinB, CK-II, PKA, and PKC, with all IC$_{50}$s of >100 μM. |
| **Purity:** | 98.74% |
| **Clinical Data:** | No Development Reported |
| **Size:** | 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |

| **Tideglusib** | **(NP-12; NP031112)** | **Cat. No.: HY-14872** |
|----------------|------------------------|
| **Bioactivity:** | Tideglusib is an irreversible GSK-3 inhibitor with IC$_{50}$s of 5 nM and 60 nM for GSK-3β$^\text{WT}$ (1 h preincubation) and GSK-3β$^\text{C199A}$ (1 h preincubation), respectively. |
| **Purity:** | 99.81% |
| **Clinical Data:** | Phase 2 |
| **Size:** | 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |

<table>
<thead>
<tr>
<th><strong>TWS119</strong></th>
<th><strong>Cat. No.: HY-10590</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>TWS119 is a specific inhibitor of GSK-3β, with an IC$_{50}$ of 30 nM, and activates the wnt/β-catenin pathway.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
MELK
Maternal embryonic leucine zipper kinase

MELK (Maternal embryonic leucine zipper kinase) belongs to the CAMK serine/threonine protein kinase superfamily. Melk is a protein serine/threonine kinase that is maximally active during mitosis. It is involved in diverse functions such as cell cycle, cytokinesis, mRNA splicing and apoptosis. Expression MELK is expressed in cells of various tissue origins. MELK expression is strongly dependant on cell-cycle: MELK is undetectable in cells which have exited cell cycle. The exact function of MELK is currently unknown, however MELK was shown to be involved in cell cycle progression via the protein phosphatase CDC25B phosphorylation, in cytokinesis, in apoptosis via its interaction with the Bcl-2 family of proapoptotic genes and apoptosis signal-regulating kinase (ASK1) and in inhibition of mRNA splicing during mitosis via its association with NIPP1. MELK function is required for mammary tumorigenesis in vivo.
# MELK Inhibitors & Modulators

## JNJ-47117096 hydrochloride
(MELK-T1 hydrochloride)  
**Cat. No.:** HY-12420

**Bioactivity:** JNJ-47117096 hydrochloride is potent and selective MELK inhibitor, with an $IC_{50}$ of 23 nM, also effectively inhibits Flt3, with an $IC_{50}$ of 18 nM.

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

## MELK-8a hydrochloride
**Cat. No.:** HY-100368A

**Bioactivity:** MELK-8a hydrochloride is a novel maternal embryonic leucine zipper kinase (MELK) inhibitor with an $IC_{50}$ of 2 nM.

**Purity:** 99.23%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 50 mg

## MELK-IN-1
**Cat. No.:** HY-101515

**Bioactivity:** MELK-IN-1 is a potent inhibitor of maternal embryonic leucine zipper kinase (MELK) with an $IC_{50}$ and a $K_i$ of 3 nM and 0.39 nM, respectively.

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

## OTSSP167 hydrochloride
(MELK inhibitor)  
**Cat. No.:** HY-15512A

**Bioactivity:** OTSSP167 is a highly potent MELK inhibitor with $IC_{50}$ value of 0.41 nM.

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

## JNJ-47117096 hydrochloride
(MELK-T1 hydrochloride)  
**Cat. No.:** HY-12420

**Bioactivity:** JNJ-47117096 hydrochloride is potent and selective MELK inhibitor, with an $IC_{50}$ of 23 nM, also effectively inhibits Flt3, with an $IC_{50}$ of 18 nM.

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

## MELK-8a hydrochloride
**Cat. No.:** HY-100368A

**Bioactivity:** MELK-8a hydrochloride is a novel maternal embryonic leucine zipper kinase (MELK) inhibitor with an $IC_{50}$ of 2 nM.

**Purity:** 99.23%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 50 mg

## MELK-IN-1
**Cat. No.:** HY-101515

**Bioactivity:** MELK-IN-1 is a potent inhibitor of maternal embryonic leucine zipper kinase (MELK) with an $IC_{50}$ and a $K_i$ of 3 nM and 0.39 nM, respectively.

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

## OTSSP167 hydrochloride
(MELK inhibitor)  
**Cat. No.:** HY-15512A

**Bioactivity:** OTSSP167 (hydrochloride) is a highly potent MELK inhibitor with $IC_{50}$ value of 0.41 nM.

**Purity:** 99.85%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
mTOR (mammalian target of Rapamycin) is a protein that in humans is encoded by the mTOR gene. mTOR is a serine/threonine protein kinase that regulates cell growth, cell proliferation, cell motility, cell survival, protein synthesis, and transcription. mTOR belongs to the phosphatidylinositol 3-kinase-related kinase protein family. mTOR integrates the input from upstream pathways, including insulin, growth factors and amino acids. mTOR also senses cellular nutrient, oxygen, and energy levels. The mTOR pathway is dysregulated in human diseases, such as diabetes, obesity, depression, and certain cancers. Rapamycin inhibits mTOR by associating with its intracellular receptor FKBP12. The FKBP12-rapamycin complex binds directly to the FKBP12-Rapamycin Binding (FRB) domain of mTOR, inhibiting its activity.
## mTOR Inhibitors & Modulators

### 3BDO
- **Cat. No.:** HY-U00434
- **Bioactivity:** 3BDO is a new mTOR activator which can also inhibit autophagy.  
- **Purity:** 99.67%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg

### AZD-8055
- **Cat. No.:** HY-10422
- **Bioactivity:** AZD-8055 is a novel ATP-competitive inhibitor of mTOR kinase with an IC\textsubscript{50} of 0.8 nM. AZD-8055 inhibits both mTORC1 and mTORC2.  
- **Purity:** 98.60%
- **Clinical Data:** Phase 1
- **Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

### Cbz-B3A
- **Cat. No.:** HY-114267
- **Bioactivity:** Cbz-B3A is a potent and selective inhibitor of mTORC1 signaling that appear to bind to ubiquilins 1, 2, and 4, and Cbz-B3A inhibits the phosphorylation of eIF4E-binding protein 1 (4EBP1).  
- **Purity:** 98.0%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg

### CC-115 hydrochloride
- **Cat. No.:** HY-16962A
- **Bioactivity:** CC-115 hydrochloride is a potent and dual DNA-PK and mTOR kinase inhibitor with IC\textsubscript{50}s of 13 nM and 21 nM, respectively. CC-115 blocks both mTORC1 and mTORC2 signaling.  
- **Purity:** >98%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### CC-223
- **Cat. No.:** HY-16956
- **Bioactivity:** CC-223 is a potent inhibitor of mTOR kinase, with an IC\textsubscript{50} value for mTOR kinase of 16 nM. CC-223 inhibits both mTORC1 and mTORC2.  
- **Purity:** 99.43%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### CZ415
- **Cat. No.:** HY-100222
- **Bioactivity:** CZ415 is a potent and highly selective mTOR inhibitor with a pIC\textsubscript{50} of 8.07. CZ415 inhibits mTORC1 and mTORC2 protein complex.  
- **Purity:** 98.11%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### Dactolisib (BEZ235, NVP-BEZ235)
- **Cat. No.:** HY-50673
- **Bioactivity:** Dactolisib (BEZ235) is a dual pan-class I PI3K and mTOR kinase inhibitor with IC\textsubscript{50}s of 4 nM/5 nM/7 nM/75 nM, and 20.7 nM for p110α, p110β, p110δ, p110γ, and mTOR, respectively. Dactolisib (BEZ235) inhibits both mTORC1 and mTORC2.  
- **Purity:** 99.13%
- **Clinical Data:** Phase 2
- **Size:** 50 mg, 100 mg, 200 mg, 500 mg

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### Apitolisib (GDC-0980, GNE 390; RG 7422)
- **Cat. No.:** HY-13246
- **Bioactivity:** Apitolisib (GDC-0980) is a selective, potent, orally bioavailable Class I PI3 kinase and mTOR kinase inhibitor with IC\textsubscript{50}s of 5 nM/27 nM/7 nM/14 nM for PI3Kα/PI3Kβ/PI3Kδ/PI3Kγ, and with a K\textsubscript{s} of 17 nM...  
- **Purity:** 99.13%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### Bimiralisib (PQR309)
- **Cat. No.:** HY-12868
- **Bioactivity:** Bimiralisib (PQR309) is a potent, brain-penetrant, orally bioavailable, pan-class I PI3K/ mTOR inhibitor with IC\textsubscript{50}s of 33 nM, 451 nM, 661 nM, 708 nM and 89 nM for PI3Kα, PI3Kδ, PI3Kβ, PI3Kγ and mTOR, respectively. Bimiralisib is an mTORC1 inhibitor.  
- **Purity:** 98.90%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

### CC-115
- **Cat. No.:** HY-16962
- **Bioactivity:** CC-115 is a potent and dual DNA-PK and mTOR kinase inhibitor with IC\textsubscript{50}s of 13 nM and 21 nM, respectively. CC-115 blocks both mTORC1 and mTORC2 signaling.  
- **Purity:** 96.64%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### CC-223
- **Cat. No.:** HY-16956
- **Bioactivity:** CC-223 is a potent inhibitor of mTOR kinase, with an IC\textsubscript{50} value for mTOR kinase of 16 nM. CC-223 inhibits both mTORC1 and mTORC2.  
- **Purity:** 99.43%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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## Bioactivity:
Dactolisib Tosylate (BEZ235 (Tosylate); NVP-BEZ 235 (Tosylate))
Cat. No.: HY-15174

**Bioactivity:** Dactolisib (BEZ235) Tosylate is a dual PI3K and mTOR kinase inhibitor with IC$_{50}$ values of 4, 75, 7, 5 nM for PI3Kα, β, γ, δ, respectively. Dactolisib (BEZ235) Tosylate inhibits mTORC1 and mTORC2.

**Purity:** 99.89%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg, 500 mg

### Dihydromyricetin
(Ampeloplin; Ampelopsin)
Cat. No.: HY-N0112

**Bioactivity:** Dihydromyricetin is a potent inhibitor with an IC$_{50}$ of 48 μM on dihydropryimidinase. Dihydromyricetin can activate autophagy through inhibiting mTOR signaling. Dihydromyricetin suppresses the formation of mTOR complexes (mTORC1/2).

**Purity:** 99.54%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### Everolimus
(RAD001; SDZ-RAD)
Cat. No.: HY-10218

**Bioactivity:** Everolimus (RAD001) is a potent mTOR inhibitor that binds to FKBP-12 to generate an immunosuppressive complex.

**Purity:** 98.79%
**Clinical Data:** Launched
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

### ETP-46464
Cat. No.: HY-15521

**Bioactivity:** ETP-46464 is an effective mTOR and ATR inhibitor with IC$_{50}$ of 0.6 and 14 nM, respectively.

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

### FT-1518
Cat. No.: HY-107363

**Bioactivity:** FT-1518 is a new generation selective, potent and oral bioavailable mTORC1 and mTORC2 inhibitor, and exhibits antitumor activity.

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

### GDC-0084
(RG7666)
Cat. No.: HY-19962

**Bioactivity:** GDC-0084 is a brain penetrant inhibitor of PI3K and mTOR, with K$_{i}$ of 2 nM, 46 nM, 3 nM, 10 nM and 70 nM for PI3Kα, PI3Kβ, PI3Kδ, PI3Kγ and mTOR, respectively.

**Purity:** 99.28%
**Clinical Data:** Phase 1
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### GDC-0349
Cat. No.: HY-15248

**Bioactivity:** GDC-0349 is a potent and selective ATP-competitive mTOR inhibitor with a K$_{i}$ of 3.8 nM. GDC-0349 inhibits both mTORC1 and mTORC2 complexes.

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

### Gedatolisib
(PKI-587; PF-05212384)
Cat. No.: HY-10681

**Bioactivity:** Gedatolisib (PKI-587) is a highly potent dual inhibitor of PI3Kα, PI3Kγ, and mTOR with IC$_{50}$ of 0.4 nM, 5.4 nM and 1.6 nM, respectively. PKI-587 is equally effective in both complexes of mTOR, mTORC1 and mTORC2.

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

### GNE-317
Cat. No.: HY-12763

**Bioactivity:** GNE-317 is a PI3K/ mTOR inhibitor, is able to cross the blood-brain barrier (BBB).

**Purity:** 99.26%
**Clinical Data:** No Development Reported
**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
GNE-477  
Cat. No.: HY-11042

**Bioactivity:** GNE-477 is a potent and efficacious dual PI3K (IC\textsubscript{50}=4 nM)/mTOR (K\textsubscript{i}=21 nM) inhibitor.

**Purity:** 95.81%

**Clinical Data:** No Development Reported

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

GNE-493  
Cat. No.: HY-10811

**Bioactivity:** GNE-493 is a potent, selective, and orally available dual pan-PI3-kinase/mTOR inhibitor with IC\textsubscript{50}s of 3.4 nM, 12 nM, 16 nM, 16 nM and 32 nM for PI3K\textalpha, PI3K\textbeta, PI3K\textgamma, PI3K\textdelta and mTOR.

**Purity:** 95.12%

**Clinical Data:** No Development Reported

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

GSK1059615  
Cat. No.: HY-12036

**Bioactivity:** GSK1059615 is a dual inhibitor of PI3K\textalpha/\beta/\gamma/\delta (reversible) and mTOR with IC\textsubscript{50} of 0.4 nM/0.6 nM/2 nM/5 nM and 12 nM, respectively.

**Purity:** 98.91%

**Clinical Data:** Phase 1

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

HDACs/mTOR Inhibitor 1  
Cat. No.: HY-114414

**Bioactivity:** HDACs/mTOR Inhibitor 1 is a dual Histone Deacetylases (HDACs) and mammalian target of Rapamycin (mTOR) target inhibitor for treating hematologic malignancies, with IC\textsubscript{50} of 0.19 nM, 1.8 nM, 1.2 nM and >500 nM for HDAC1, HDAC6, mTOR and PI3K\textalpha, respectively. HDACs/mTOR Inhibitor 1 stimulates...

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

L-Leucine  
Cat. No.: HY-N0486

**Bioactivity:** L-Leucine is an essential branched-chain amino acid (BCAA), which activates the mTOR signaling pathway \[1\].

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

MHY1485  
Cat. No.: HY-80795

**Bioactivity:** MHY1485 is a cell-permeable mTOR activator. MHY1485 has an inhibitory effect on the autophagic process by inhibition of fusion between autophagosomes and lysosomes.

**Purity:** 99.05%

**Clinical Data:** No Development Reported

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

mTOR Inhibitor 1  
Cat. No.: HY-111370

**Bioactivity:** mTOR Inhibitor 1 is a highly potent, selective and oral mTOR inhibitor with an IC\textsubscript{50} of 7 nM. mTOR Inhibitor 1 inhibits cellular phosphorylation of mTORC1 (pS6 and p4E-BP1) and mTORC2 (pAKT (S473)) substrates \[1\].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

mTOR inhibitor-1  
Cat. No.: HY-112914

**Bioactivity:** mTOR inhibitor-1 is a novel mTOR pathway inhibitor which can suppress cells proliferation and inducing autophagy.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**
<table>
<thead>
<tr>
<th><strong>mTOR-IN-1</strong></th>
<th><strong>Cat. No.: HY-18353</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>mTOR-IN-1 is a remarkably selective mTOR inhibitor with a $K_i$ of 1.5 nM. mTOR-IN-1 suppresses mTORC1 and mTORC2 in cellular and in vivo pharmacokinetic (PK)/pharmacodynamic (PD) experiments.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.54%</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>Omipalisib</strong> (GSK2126458; GSK458)</th>
<th><strong>Cat. No.: HY-10297</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Omipalisib (GSK2126458) is a highly selective and potent inhibitor of PI3K with $K_i$ of 0.019 nM/0.13 nM/0.024 nM/0.06 nM and 0.18 nM/0.3 nM for p110α/β/δ/γ, mTORC1/2, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.31%</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>Palomid 529</strong> (P529)</th>
<th><strong>Cat. No.: HY-14581</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Palomid 529 is a potent inhibitor of mTORC1 and mTORC2 complexes.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.42%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PF-04979064</strong></th>
<th><strong>Cat. No.: HY-100398</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PF-04979064 is a potent and selective PI3K/ mTOR dual kinase inhibitor with $K_i$ of 0.13 nM and 1.42 nM for PI3Kα and mTOR, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.75%</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, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PI-103 Hydrochloride</strong></th>
<th><strong>Cat. No.: HY-10115A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI-103 Hydrochloride is a dual PI3K and mTOR inhibitor with $IC_{50}$ of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for p110α, p110β, p110δ, p110γ, mTORC1, and mTORC2. PI-103 also inhibits DNA-PK with an $IC_{50}$ of 2 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.78%</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>PI3K/mTOR Inhibitor-1</strong></th>
<th><strong>Cat. No.: HY-112602</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI3K/mTOR Inhibitor-1 is a potent, orally bioavailable dual PI3K/ mTOR inhibitor with $IC_{50}$s of 20/376/204/46 nM and 186 nM for PI3Kα/ PI3Kβ/ PI3Kγ/ PI3Kδ and mTOR, respectively. Antitumor activity.</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>
PI3K/mTOR Inhibitor-2  
**Cat. No.: HY-111508**

**Bioactivity:** PI3K/mTOR Inhibitor-2 is a potent dual pan-PI3K/mTOR inhibitor with IC\(_{50}\) of 3.4/34/16/1 nM for PI3K\(\alpha\)/PI3K\(\beta\)/PI3K\(\delta\)/PI3K\(\gamma\) and 4.7 nM for mTOR \([1]\). Antitumor activity \([1]\).

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in Water, 5 mg, 10 mg, 20 mg

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PI3K\(\alpha\)/mTOR-IN-1  
**Cat. No.: HY-U00326**

**Bioactivity:** PI3K\(\alpha\)/mTOR-IN-1 is a potent PI3K\(\alpha\)/mTOR dual inhibitor, with an IC\(_{50}\) of 7 nM for PI3K\(\alpha\) in a cell assay, and \(K_d\) of 10.6 nM and 12.5 nM for mTOR and PI3K\(\alpha\) in a cell free assay, respectively.

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

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Pomiferin  
(NSC 5113; Pomiferin (flavonoid))  
**Cat. No.: HY-N4315**

**Bioactivity:** Pomiferin, a flavonoid from the fruits of Maclura pomifera, acts as a potential inhibitor of HDAC, with an IC\(_{50}\) of 1.05 \(\mu\)M, and also potently inhibits mTOR (IC\(_{50}\) 6.2 \(\mu\)M).

**Purity:** 97.36%
**Clinical Data:** No Development Reported
**Size:** 5 mg

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PKI-402  
**Cat. No.: HY-10683**

**Bioactivity:** PKI-402 is a selective, reversible, ATP-competitive inhibitor of PI3K\(\alpha\), including PI3K-\(\alpha\) mutants, and mTOR (IC\(_{50}\) 2, 3, 7.14 and 16 nM for PI3K\(\alpha\), mTOR, PI3K\(\beta\), PI3K\(\delta\) and PI3K\(\gamma\)).

**Purity:** 99.44%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

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PP121  
**Cat. No.: HY-10372**

**Bioactivity:** PP121 is a multi-targeted kinase inhibitor with IC\(_{50}\) of 10, 60, 12, 14, 2 nM for mTOR, DNK-PK, VEGFR2, Src, PDGFR, respectively.

**Purity:** 98.89%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

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PQR-530  
**Cat. No.: HY-107365**

**Bioactivity:** PQR-530 is a potent, oral and brain-penetrant dual pan-PI3K/mTORC1/2 inhibitor, exhibiting antitumor activity.

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

---

PQR620  
**Cat. No.: HY-100026**

**Bioactivity:** PQR620 is a novel potent and selective brain penetrant inhibitor of mTORC1/2.

**Purity:** 99.05%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 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

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Salidroside  
(Rhodioloside)  
**Cat. No.: HY-N0109**

**Bioactivity:** Salidroside is a prolyl endopeptidase inhibitor. Salidroside alleviates cachexia symptoms in mouse models of cancer cachexia via activating mTOR signalling.

**Purity:** 98.46%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in Water, 5 mg, 10 mg

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Rapamycin  
(Sirolimus; AY 22989)  
**Cat. No.: HY-10219**

**Bioactivity:** Rapamycin (Sirolimus) is a potent and specific mTOR inhibitor with an IC\(_{50}\) of 0.1 nM in HEK293 cells. Rapamycin binds to FKBP12 and specifically acts as an allosteric inhibitor of mTORC1. Antifungal, antibacterial, immunosuppressive and anti-proliferative activities....

**Purity:** 99.93%
**Clinical Data:** Launched
**Size:** 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 2 g, 5 g

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### Sapanisertib (INK-128; MLN0128)  
**Cat. No.: HY-13328**

**Bioactivity:** Sapanisertib (INK-128) is a ATP-dependent mTOR1/2 inhibitor with an IC₅₀ of 1 nM for mTOR kinase.

- **Purity:** 99.06%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### Temsirolimus (CCI-779)  
**Cat. No.: HY-50910**

**Bioactivity:** Temsirolimus is an inhibitor of mTOR with an IC₅₀ of 1.76 μM.

- **Purity:** 99.25%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 100 mg

### Torin 1  
**Cat. No.: HY-13003**

**Bioactivity:** Torin 1 is a potent inhibitor of mTOR with an IC₅₀ of 3 nM. Torin 1 inhibits both mTORC1/2 complexes with IC₅₀ values between 2 and 10 nM.

- **Purity:** 99.16%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg, 10 mg, 50 mg, 100 mg

### Torin 2  
**Cat. No.: HY-13002**

**Bioactivity:** Torin 2 is an inhibitor of mTOR with an IC₅₀ of 0.25 nM for inhibiting cellular mTOR activity, and exhibits 800-fold selectivity over PI3K (IC₅₀: 200 nM). Torin 2 also inhibits DNA-PK with an IC₅₀ of 0.5 nM in the cell free assay.

- **Purity:** 99.93%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Vistusertib (AZD2014)  
**Cat. No.: HY-15247**

**Bioactivity:** Vistusertib (AZD2014) is an ATP competitive mTOR inhibitor with an IC₅₀ of 2.81 nM. AZD2014 inhibits both mTORC1 and mTORC2 complexes.

- **Purity:** 98.80%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### VS-5584 (SB2343)  
**Cat. No.: HY-16585**

**Bioactivity:** VS-5584 is a pan-PI3K/mTOR kinase inhibitor with IC₅₀ of 16 nM, 68 nM, 42 nM, 25 nM, and 37 nM for PI3Kα, PI3Kβ, PI3Kδ, PI3Ky and mTOR, respectively. VS-5584 simultaneously blocks mTORC2 as well as mTORC1.

- **Purity:** 98.01%
- **Clinical Data:** Phase 1
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

### WAY-600  
**Cat. No.: HY-15272**

**Bioactivity:** WAY-600 is a potent, ATP-competitive, and selective mTOR inhibitor with an IC₅₀ of 9 nM for recombinant mTOR enzyme. WAY-600 blocks mTOR complex 1/2 (mTORC1/2) assembly and activation.

- **Purity:** 95.41%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

### WYE-132 (WYE-125132)  
**Cat. No.: HY-10044**

**Bioactivity:** WYE-125132 (WYE-132) is a highly potent, ATP-competitive, and specific mTOR kinase inhibitor (IC₅₀: 0.14±0.07 nM; >5,000-fold selective versus PI3Kδ). WYE-125132 inhibits mTORC1 and mTORC2.

- **Purity:** 98.39%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
</tr>
</thead>
<tbody>
<tr>
<td>WYE-354</td>
<td>HY-12034</td>
<td>WYE-354 is an ATP-competitive mTOR inhibitor with an IC$<em>{50}$ of 5 nM. WYE-354 also inhibits PI3Kα and PI3Kγ with IC$</em>{50}$s of 1.89 μM and 7.37 μM, respectively. WYE-354 inhibits both mTORC1 and mTORC2.</td>
</tr>
<tr>
<td>WYE-687</td>
<td>HY-15271</td>
<td>WYE-687 is an ATP-competitive mTOR inhibitor with an IC$<em>{50}$ of 7 nM. WYE-687 concurrently inhibits activation of mTORC1 and mTORC2. WYE-687 also inhibits PI3Kα and PI3Kγ with IC$</em>{50}$s of 81 nM and 3.11 μM, respectively.</td>
</tr>
<tr>
<td>XL388</td>
<td>HY-13806</td>
<td>XL388 is a highly potent and ATP-competitive mTOR inhibitor with an IC$_{50}$ of 9.9 nM. XL388 simultaneously inhibits both mTORC1 and mTORC2.</td>
</tr>
</tbody>
</table>

**Purity:**
- WYE-354: 98.46%
- WYE-687: >98%
- XL388: 98.46%

**Clinical Data:**
- WYE-354: No Development Reported
- WYE-687: No Development Reported
- XL388: No Development Reported

**Size:**
- WYE-354: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
- WYE-687: 10 mg, 50 mg, 100 mg
- XL388: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
PDK-1 (phosphoinositide dependent protein kinase-1) is a protein which in humans is encoded by the PDK1 gene. It is implicated in the development and progression of melanomas. PDK-1 is a master kinase, which is crucial for the activation of AKT/PKB and many other AGC kinases including PKC, S6K, SGK. An important role for PDK-1 is in the signalling pathways activated by several growth factors and hormones including insulin signaling. More recent data indicate that alteration of PDK-1 is a critical component of oncogenic PI3K signalling in breast cancer, suggesting that inhibition of PDK-1 can inhibit breast cancer progression. PDK-1 has an essential role in regulating cell migration especially in the context of PDK-1 deficiency.

PDK-1 is a valid therapeutic target and suggests that PDK-1 inhibitors may be useful to prevent cancer progression and abnormal tissue dissemination.
**PDK-1 Inhibitors & Modulators**

**BX-912**
- **Cat. No.: HY-11005**
- **Bioactivity:** BX-912 is a potent PDK1 inhibitor with an IC\(_{50}\) of 12 nM.
- **Purity:** 98.94%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**BX517**
- **Cat. No.: HY-13842**
- **Bioactivity:** BX517 is a potent and selective inhibitor of PDK1 with IC\(_{50}\) of 6 nM.
- **Purity:** 98.0%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**BX795**
- **Cat. No.: HY-10514**
- **Bioactivity:** BX795 is a potent and selective PDK1 inhibitor with an IC\(_{50}\) of 6 nM, showing 50-fold selectivity over PKA, PKC, c-Ki, GSK3β etc.
- **Purity:** 99.33%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

**GSK2334470**
- **Cat. No.: HY-14981**
- **Bioactivity:** GSK2334470 is a highly specific and potent inhibitor of PDK1 with an IC\(_{50}\) of 10 nM.
- **Purity:** 99.78%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

**MP7**
- **(PDK1 inhibitor)**
- **Cat. No.: HY-14440**
- **Bioactivity:** MP7 is a phosphoinositide-dependent kinase-1 (PDK1) inhibitor.
- **Purity:** 99.36%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
PI3K (Phosphoinositide 3-kinase), via phosphorylation of the inositol lipid phosphatidylinositol 4,5-bisphosphate (PI(4,5)P$_2$), forms the second messenger molecule phosphatidylinositol (3,4,5)-trisphosphate (PI(3,4,5)P$_3$) which recruits and activates pleckstrin homology domain containing proteins, leading to downstream signalling events crucial for proliferation, survival and migration. Class I PI3K enzymes consist of four distinct catalytic isoforms, PI3Kα, PI3Kβ, PI3Kδ and PI3Kγ.

There are three major classes of PI3K enzymes, being class IA widely associated to cancer. Class IA PI3K are heterodimeric lipid kinases composed of a catalytic subunit (p110α, p110β, or p110δ; encoded by PIK3CA, PIK3CB, and PIK3CD genes, respectively) and a regulatory subunit (p85).

The PI3K pathway plays an important role in many biological processes, including cell cycle progression, cell growth, survival, actin rearrangement and migration, and intracellular vesicular transport.
### PI3K Inhibitors & Modulators

#### 1,3-Dicaffeoylquinic acid

**Bioactivity:** 1,3-Dicaffeoylquinic acid is a caffeoylquinic acid derivative that exhibits antioxidant activity and radical scavenging activity.

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

#### 3-Methyladenine

**Bioactivity:** 3-Methyladenine is a PI3K inhibitor. 3-Methyladenine is a widely used inhibitor of autophagy via its inhibitory effect on class III PI3K.

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

#### 740 Y-P

**Bioactivity:** 740 Y-P (PDGFR 740Y-P) is a potent and cell permeable PI3K activator.

| Purity: 96.49%  
Clinical Data: No Development Reported  
Size: 1 mg, 5 mg |

#### A66

**Bioactivity:** A66 is a highly specific and selective **p110α** inhibitor with an IC₅₀ of 32 nM.

| Purity: 99.26%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

#### Acalisib

**Bioactivity:** Acalisib is a potent and selective PI3Kδ inhibitor with an IC₅₀ of 12.7 nM.

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

#### Alpelisib

**Bioactivity:** Alpelisib (BYL-719) is a potent and selective PI3Kα inhibitor with an IC₅₀ of 5 nM.

| Purity: 99.90%  
Clinical Data: Phase 3  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg |

#### AMG319

**Bioactivity:** AMG319 is a potent and selective PI3Kδ kinase inhibitor with IC₅₀ of 18 nM.

| Purity: 98.40%  
Clinical Data: Phase 2  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

#### Apitolisib

**Bioactivity:** Apitolisib (GDC-0980; GNE 390; RG 7422) is a selective, potent, orally bioavailable Class I PI3 kinase and mTOR kinase (TORC1/2) inhibitor with IC₅₀ of 5 nM/27 nM/7 nM/14 nM for PI3Kα/PI3Kβ/PI3Kδ/PI3Kγ, and with a Ki of 17 nM ...

| Purity: 99.13%  
Clinical Data: Phase 2  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

#### AS-252424

**Bioactivity:** AS-252424 is a potent and selective PI3Kδ inhibitor with an IC₅₀ of 30±10 nM.

| Purity: 97.52%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg |

#### AS-605240

**Bioactivity:** AS-605240 is a specific and orally active inhibitor of the PI3Kδ, with an IC₅₀ of 8 nM, and a Ki of 7.8 nM.

| Purity: 98.0%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |
| **AZD 6482**<br>(KIN 193) | **Cat. No.: HY-10344** | **Bioactivity:** AZD 6482 is a potent and selective $p_{110\beta}$ inhibitor with $IC_{50}$ of 0.69 nM. | **Purity:** 99.26%<br>**Clinical Data:** Phase 1 | **Size:** 10mM x 1mL in DMSO,<br>5 mg, 10 mg, 50 mg | ![AZD 6482](image1.png) |
| **AZD-8835** | **Cat. No.: HY-12869** | **Bioactivity:** AZD8835 is a potent and selective inhibitor of PI3K$\alpha$ and PI3K$\delta$ with $IC_{50}$ of 6.2 and 5.7 nM, respectively. | **Purity:** 98.93%<br>**Clinical Data:** No Development Reported | **Size:** 10mM x 1mL in DMSO,<br>5 mg, 10 mg, 50 mg, 100 mg | ![AZD-8835](image2.png) |
| **AZD8186** | **Cat. No.: HY-12330** | **Bioactivity:** AZD8186 is a PI3K inhibitor, which potently inhibits PI3K$\beta$ ($IC_{50}=4$ nM) and PI3K$\delta$ ($IC_{50}=12$ nM) with selectivity over PI3K$\alpha$ ($IC_{50}=35$ nM) and PI3K$\gamma$ ($IC_{50}=675$ nM). | **Purity:** 99.97%<br>**Clinical Data:** Phase 1 | **Size:** 10mM x 1mL in DMSO,<br>5 mg, 10 mg, 50 mg, 100 mg | ![AZD8186](image3.png) |
| **BEBT-908**<br>(PI3K$\alpha$ inhibitor 1) | **Cat. No.: HY-19763** | **Bioactivity:** BEBT-908 is a selective PI3K$\alpha$ inhibitor extracted from patent US/20120088764A1, Compound 243, has an $IC_{50}<0.1 \mu M$, PI3K$\alpha$ inhibitor 1 also inhibits HDAC (0.1 μM≤$IC_{50}≤1 \mu M$). | **Purity:** 98.0%<br>**Clinical Data:** No Development Reported | **Size:** 10mM x 1mL in DMSO,<br>5 mg, 10 mg, 50 mg, 100 mg | ![BEBT-908](image4.png) |
| **Bimiralisib**<br>(PQR309) | **Cat. No.: HY-12868** | **Bioactivity:** Bimiralisib (PQR309) is a potent, brain-penetrant, orally bioavailable, pan-class I PI3K/mTOR inhibitor with $IC_{50}$ of 33 nM, 451 nM, 661 nM, 708 nM and 89 nM for PI3K$\alpha$, PI3K$\delta$, PI3K$\beta$, PI3Ky and mTOR, respectively. Bimiralisib is an mTORC1 and mTORC2 inhibitor. | **Purity:** 99.9%<br>**Clinical Data:** Phase 2 | **Size:** 10mM x 1mL in DMSO,<br>2 mg, 5 mg, 10 mg, 50 mg, 100 mg | ![Bimiralisib](image5.png) |
| **Buparlisib**<br>(NVP-BKM120; BKM120) | **Cat. No.: HY-70063** | **Bioactivity:** Buparlisib (NVP-BKM120) is a pan-class I PI3K inhibitor, with $IC_{50}$s of 52, 166, 116 and 262 nM for $p_{110\alpha}$, $p_{110\beta}$, $p_{110\delta}$ and $p_{110\gamma}$, respectively. | **Purity:** 99.90%<br>**Clinical Data:** Phase 3 | **Size:** 10mM x 1mL in DMSO,<br>5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg | ![Buparlisib](image6.png) |
| **Buparlisib Hydrochloride**<br>(BKM120 (Hydrochloride); NVP-BKM120 (Hydrochloride)) | **Cat. No.: HY-15180** | **Bioactivity:** Buparlisib (BKM120) Hydrochloride is a pan-class I PI3K inhibitor, with $IC_{50}$ of 52 nM/166 nM/116 nM/262 nM for $p_{110\alpha}$/ $p_{110\beta}$/ $p_{110\delta}$/ $p_{110\gamma}$, respectively. | **Purity:** 98.01%<br>**Clinical Data:** Phase 3 | **Size:** 10mM x 1mL in DMSO,<br>5 mg, 10 mg, 50 mg, 100 mg | ![Buparlisib Hydrochloride](image7.png) |
| **CAL-130** | **Cat. No.: HY-16122A** | **Bioactivity:** CAL-130 is a PI3K$\delta$ and PI3K$\gamma$ inhibitor with $IC_{50}$s of 1.3 and 6.1 nM, respectively. | **Purity:** >98%<br>**Clinical Data:** No Development Reported | **Size:** 5 mg, 10 mg, 50 mg | ![CAL-130](image8.png) |
| **CAL-130 Hydrochloride** | **Cat. No.: HY-161228** | **Bioactivity:** CAL-130 is a PI3K$\delta$ and PI3K$\gamma$ inhibitor with $IC_{50}$s of 1.3 and 6.1 nM, respectively. | **Purity:** 99.88%<br>**Clinical Data:** No Development Reported | **Size:** 10mM x 1mL in DMSO,<br>5 mg, 10 mg, 50 mg | ![CAL-130 Hydrochloride](image9.png) |
| **CAL-130 Racemate** | **Cat. No.: HY-16122** | **Bioactivity:** CAL-130 Racemate is the racemate of CAL-130. CAL-130 Racemate is a PI3K$\delta$ inhibitor. | **Purity:** >98%<br>**Clinical Data:** No Development Reported | **Size:** 5 mg, 10 mg, 50 mg | ![CAL-130 Racemate](image10.png) |
**CAY10505**  
**Cat. No.:** HY-13530  
**Bioactivity:** CAY10505 is a potent and selective PI3Kγ inhibitor with an IC\textsubscript{50} of 30 nM in neurons.

**Purity:** 99.79%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 10 mg, 25 mg, 50 mg, 100 mg

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**CHMFL-PI3KD-317**  
**Cat. No.:** HY-112608  
**Bioactivity:** CHMFL-PI3KD-317 is a highly potent, selective and orally active PI3Kδ inhibitor, with an IC\textsubscript{50} of 6 nM, and exhibits over 10-1500 fold selectivity over other class I, II and III PIKK family isoforms, such as PI3Kα (IC \textsubscript{50} 62.6 nM), PI3Kβ ...

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

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**Copanlisib**  
(BAY 80-6946)  
**Cat. No.:** HY-15346  
**Bioactivity:** Copanlisib (BAY 80-6946) is a selective and ATP-competitive class-I PI3 kinases inhibitor, with IC\textsubscript{50}s of 0.5, 0.7, 3.7 and 6.4 nM for PI3Kα, PI3Kβ, PI3Kδ and PI3Kγ, respectively.

**Purity:** 98.91%  
**Clinical Data:** Phase 3  
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

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**Dactolisib**  
(BEZ233; NVP-BEZ235)  
**Cat. No.:** HY-50673  
**Bioactivity:** Dactolisib (BEZ233) is a dual pan-class I PI3K and mTOR kinase inhibitor with IC\textsubscript{50}s of 4 nM/5 nM/7 nM/75 nM, and 20.7 nM for p110α/ p110β/ p110δ/ p110γ and mTOR, respectively. Dactolisib (BEZ233) inhibits both mTORC1 and mTORC2. 99.13%

**Purity:** 99.13%  
**Clinical Data:** Phase 2  
**Size:** 50 mg, 100 mg, 200 mg, 500 mg

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**Duvelisib**  
(IPI-145; INK1197)  
**Cat. No.:** HY-17044  
**Bioactivity:** Duvelisib is a selective p100δ inhibitor with IC\textsubscript{50} of 2.5 nM, 27.4 nM, 85 nM and 1602 nM for p110δ, P110y, p110β and p110α, respectively.

**Purity:** 99.91%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**CH5132799**  
**Cat. No.:** HY-15466  
**Bioactivity:** CH5132799 is a selective class I PI3K inhibtor. CH5132799 inhibits class I PI3Ks, particularly PI3Kα, with an IC\textsubscript{50} of 14 nM.

**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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**CNX-1351**  
**Cat. No.:** HY-16596  
**Bioactivity:** CNX-1351 is a potent and isoform-selective targeted covalent PI3Kα inhibitor with IC\textsubscript{50} of 6.8 nM.

**Purity:** 99.88%  
**Clinical Data:** No Development Reported  
**Size:**

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**CZC24832**  
**Cat. No.:** HY-15294  
**Bioactivity:** CZC24832 is a highly selective and potent PI3Kγ inhibitor (IC\textsuperscript{50} = 27 nM) with apparent dissociation constants (K\textsubscript{D,app}) of 19 nM.

**Purity:** 98.01%  
**Clinical Data:** No Development Reported  
**Size:**

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**Dactolisib Tosylate**  
(BEZ233 Tosylate; NVP-BEZ 235 (Tosylate))  
**Cat. No.:** HY-15174  
**Bioactivity:** Dactolisib Tosylate is a dual PI3Kγ and mTOR kinase inhibitor with IC\textsubscript{50} values of 4, 75, 7, 5 nM for PI3Kα, β, γ, δ, respectively. Dactolisib (BEZ233) Tosylate inhibits mTORC1 and mTORC2.

**Purity:** 99.89%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 50 mg, 100 mg, 200 mg, 500 mg

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**Duvelisib R enantiomer**  
(IPI-145 R enantiomer; INK1197 R enantiomer)  
**Cat. No.:** HY-17044A  
**Bioactivity:** Duvelisib R enantiomer is a PI3K inhibitor, which is the less active enantiomer of Duvelisib.

**Purity:** 98.75%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
ETP-46321

Cat. No.: HY-12340

Bioactivity: ETP-46321 is a potent and orally bioavailable PI3Kα and PI3Kδ inhibitor with $K_{\text{app}}$ of 2.3 and 14.2 nM, respectively.

Purity: 98.91%

Clinical Data: No Development Reported

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

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Fimepinostat (CUDC-907)

Cat. No.: HY-13522

Bioactivity: Fimepinostat (CUDC-907) potently inhibits class I PI3Kδ as well as classes I and II HDAC enzymes with an $IC_{50}$ of 19/54/39 nM and 1.7/5.0/1.8/2.8 nM for PI3Kα/PI3Kβ/PI3Kδ and HDAC1/HDAC2/HDAC3/HDAC10, respectively.

Purity: 99.95%

Clinical Data: Phase 2

Size: 10mM x 1mL in Ethanol, 2 mg, 5 mg, 10 mg, 50 mg

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GDC-0077 (RG6114)

Cat. No.: HY-101562

Bioactivity: GDC-0077 is an orally available PI3K inhibitor with potential antineoplastic activity. GDC-0077 is extracted from patent WO 2017001645 A1, formula 1.

Purity: 99.07%

Clinical Data: Phase 1

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

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GDC-0084 (RG6666)

Cat. No.: HY-19962

Bioactivity: GDC-0084 is a brain penetrant inhibitor of PI3K and mTOR, with $K_{i}$ of 2 nM, 46 nM, 3 nM, 10 nM and 70 nM for PI3Kα, PI3Kδ, PI3Kγ and mTOR, respectively.

Purity: 99.28%

Clinical Data: Phase 1

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

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GDC-0326

Cat. No.: HY-101272

Bioactivity: GDC-0326 is a potent and selective PI3Kα inhibitor with a $K_{i}$ of 0.2 nM.

Purity: 99.31%

Clinical Data: No Development Reported

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

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Gedatolisib (PKI-587; PF-05212384)

Cat. No.: HY-10681

Bioactivity: Gedatolisib (PKI-587) is a highly potent dual inhibitor of PI3Kα, PI3Kδ, PI3Kγ and mTOR with $IC_{50}$ of 0.4 nM/0.6 nM/2 nM/5 nM and 1.6 nM, respectively. PKI-587 is equally effective in both complexes of mTOR, mTORC1 and mTORC2.

Purity: 99.11%

Clinical Data: Phase 2

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

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GNE-317

Cat. No.: HY-12763

Bioactivity: GNE-317 is a PI3K/ mTOR inhibitor, is able to cross the blood-brain barrier (BBB).

Purity: 99.26%

Clinical Data: No Development Reported

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

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GNE-477

Cat. No.: HY-11042

Bioactivity: GNE-477 is a potent and efficacious dual PI3K ( $IC_{50}$=4 nM)/ mTOR( $K_{i}$=21 nM) inhibitor.

Purity: 95.81%

Clinical Data: No Development Reported

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

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GNE-493

Cat. No.: HY-10811

Bioactivity: GNE-493 is a potent, selective, and orally available dual pan-PI3-kinase/ mTOR inhibitor with $IC_{50}$s of 3.4 nM, 12 nM, 16 nM, 16 nM and 32 nM for PI3Kα, PI3Kδ, PI3Kγ, PI3Kδ, PI3Kδ and mTOR.

Purity: 95.12%

Clinical Data: No Development Reported

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

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GSK1059615

Cat. No.: HY-12036

Bioactivity: GSK1059615 is a dual inhibitor of PI3Kα/β/δ/γ (reversible) and mTOR with $IC_{50}$ of 0.4 nM/0.6 nM/5 nM and 12 nM, respectively.

Purity: 98.91%

Clinical Data: Phase 1

Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
**GSK2636771**

**Cat. No.:** HY-15245

**Bioactivity:** GSK2636771 is a potent, selective and oral inhibitor of \( \text{PI3K}\beta \) with a \( K_i \) of 0.89 nM and an IC\(_{50}\) of 5.2 nM, showing 900-fold selectivity over p110\( \alpha \) and p110\( \gamma \), and 10-fold selectivity over p110\( \delta \) isoforms.

**Purity:** 99.10%

**Clinical Data:** No Development Reported

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

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**HS-173**

**Cat. No.:** HY-15868

**Bioactivity:** HS-173 is a novel \( \text{PI3K} \) inhibitor, that is used for cancer treatment.

**Purity:** 99.32%

**Clinical Data:** No Development Reported

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

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**IC-87114**

**Cat. No.:** HY-10110

**Bioactivity:** IC-87114 is a potent and selective \( \text{PI3K}\delta \) inhibitor with IC\(_{50}\) of 0.5 µM.

**Purity:** 98.66%

**Clinical Data:** No Development Reported

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

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**Idelalisib (CAL-101; GS-1101)**

**Cat. No.:** HY-13026

**Bioactivity:** Idelalisib (CAL-101) is a highly selective and potent p110\( \delta \) inhibitor with an IC\(_{50}\) of 2.5 nM, showing 40- to 300-fold selectivity for p110\( \delta \) over other PI3K class I enzymes.

**Purity:** 99.98%

**Clinical Data:** Launched

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

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**IITZ-01**

**Cat. No.:** HY-112897

**Bioactivity:** IITZ-01 is a potent lysosomotropic autophagy inhibitor with single-agent antitumor activity, with an IC\(_{50}\) of 2.62 µM for PI3K\( \gamma \).

**Purity:** 99.80%

**Clinical Data:** No Development Reported

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

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**IPI-3063**

**Cat. No.:** HY-111510

**Bioactivity:** IPI-3063 is a potent and selective \( \text{PI3K p110}\delta \) inhibitor with an IC\(_{50}\) of 2.5±1.2 nM.

**Purity:** 98.80%

**Clinical Data:** No Development Reported

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

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**IPI549**

**Cat. No.:** HY-100716

**Bioactivity:** IPI549 is a potent and selective \( \text{PI3K}\gamma \) inhibitor with an IC\(_{50}\) of 16 nM.

**Purity:** 99.34%

**Clinical Data:** Phase 1

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

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**Isorhamnetin (3’-Methylquercetin)**

**Cat. No.:** HY-N0776

**Bioactivity:** Isorhamnetin is a flavonoid compound extracted from the Chinese herb *Hippophae rhamnoides*. 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

---

**Leniolisib (CDZ173)**

**Cat. No.:** HY-17635

**Bioactivity:** Leniolisib (CDZ173) is a potent and selective \( \text{PI3K}\delta \) inhibitor currently in phase II/III clinical trials for the treatment of immunodeficiency disorders.

**Purity:** 99.62%

**Clinical Data:** Phase 3

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

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**LX2343**

**Cat. No.:** HY-111383

**Bioactivity:** LX2343 is a BACE1 enzyme inhibitor with an IC\(_{50}\) value of 11.43±0.36 µM. LX2343 acts as a non-ATP competitive \( \text{PI3K} \) inhibitor with an IC\(_{50}\) of 15.99±3.23 µM. LX2343 stimulates autophagy in its promotion of A\( \beta \) clearance.

**Purity:** 99.86%

**Clinical Data:** No Development Reported

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

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LY294002 (NSC 697286; SF 1101)  Cat. No.: HY-10108

**Bioactivity:** LY294002 is a broad-spectrum inhibitor of PI3K with $ IC_{50} $ of 0.5, 0.57, and 0.97 μM for PI3Kα, PI3Kβ, and PI3Kδ, respectively. It also inhibits CK2 with an $ IC_{50} $ of 98 nM.

**Purity:** 99.95%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

MTX-211  Cat. No.: HY-107364

**Bioactivity:** MTX-211 is a dual inhibitor of EGFR and PI3K, used for the treatment of cancer and other diseases.

**Purity:** 98.65%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

NSC781406  Cat. No.: HY-100470

**Bioactivity:** NSC781406 is a highly potent PI3K and mTOR inhibitor with an $ IC_{50} $ of 2 nM for PI3Kα.

**Purity:** 99.55%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

NVP-BGT226  Cat. No.: HY-13334

**Bioactivity:** NVP-BGT226 is a potent pan-class I PI3K and mTOR catalytic inhibitor with a $ IC_{50} $ of 4 nM for PI3Kα.

**Purity:** 99.76%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Omipalisib (GSK2126458; GSK458)  Cat. No.: HY-10297

**Bioactivity:** Omipalisib (GSK2126458) is a highly selective and potent inhibitor of PI3K with $ K_i $ of 0.019 nM/0.13 nM/0.24 nM/0.06 nM and 0.18 nM/0.3 nM for p110α/β/δ/γ, mTORC1/2, respectively.

**Purity:** 99.31%
**Clinical Data:** Phase 1
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

ON 146040  Cat. No.: HY-12338

**Bioactivity:** ON 146040 is a potent PI3Kα and PI3Kδ inhibitor with an $ IC_{50} $ of 14 and 20 nM, respectively. ON 146040 also inhibits Abl ( $ IC_{50} $ of 150 nM).

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

LY3023414  Cat. No.: HY-12513

**Bioactivity:** LY3023414 potently and selectively inhibits class I PI3K isoforms, DNA-PK, and mTORC1/2 with $ IC_{50} $ of 6.07 nM, 77.6 nM, 38 nM, 23.8 nM, 42.4 nM and 165 nM for PI3Kα, PI3Kβ, PI3Kδ, PI3Kγ, DNA-PK and mTOR, respectively. LY3023414 potently inhibits mTORC1/2 at low nanomolar...

**Purity:** 99.77%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Nemiralisib (GSK2269557 (free base))  Cat. No.: HY-19535A

**Bioactivity:** Nemiralisib (GSK2269557 free base) is a potent and highly selective PI3Kδ inhibitor with a $ pK_i $ of 9.9.

**Purity:** 99.09%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

NVP-BAG956 (BAG 956)  Cat. No.: HY-13333

**Bioactivity:** NVP-BAG956 is an ATP-competitive PI3K inhibitor with $ IC_{50} $ of 34, 56, 112 and 444 nM for PI3Kβ, PI3Kγ, PI3Kδ, PI3Kγ, DNA-PK and mTOR, respectively.

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

NVP-QAV-572  Cat. No.: HY-16355

**Bioactivity:** NVP-QAV-572 is a PI3K inhibitor extracted from patent US7998908B2, Compound Example 8, has an $ IC_{50} $ of 10 nM.

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

Bioactivity:

LY294002 is a broad-spectrum inhibitor of PI3K with $ IC_{50} $ of 0.5, 0.57, and 0.97 μM for PI3Kα, PI3Kβ, and PI3Kδ, respectively. It also inhibits CK2 with an $ IC_{50} $ of 98 nM.

MTX-211 is a dual inhibitor of EGFR and PI3K, used for the treatment of cancer and other diseases.

NSC781406 is a highly potent PI3K and mTOR inhibitor with an $ IC_{50} $ of 2 nM for PI3Kα.

NVP-BGT226 is a potent pan-class I PI3K and mTOR catalytic inhibitor with a $ IC_{50} $ of 4 nM for PI3Kα.

Omipalisib (GSK2126458; GSK458) is a highly selective and potent inhibitor of PI3K with $ K_i $ of 0.019 nM/0.13 nM/0.24 nM/0.06 nM and 0.18 nM/0.3 nM for p110α/β/δ/γ, mTORC1/2, respectively.

ON 146040 is a potent PI3Kα and PI3Kδ inhibitor with an $ IC_{50} $ of 14 and 20 nM, respectively. ON 146040 also inhibits Abl ( $ IC_{50} $ of 150 nM).
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<tr>
<th><strong>Parsaclisib</strong>&lt;br&gt;(INCB050465)</th>
<th>Cat. No.: HY-109068</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Parsaclisib is a potent and selective <strong>PI3Kδ</strong> inhibitor, with an <strong>IC_{50}</strong> of 1 nM at 1 mM ATP, and shows 20,000-fold selectivity for PI3Kα, PI3Kβ, PI3Ky and 57 other kinases.</td>
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<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
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<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
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<tr>
<td><strong>Size:</strong></td>
<td>250 mg, 500 mg</td>
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<table>
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<tr>
<th><strong>PF-04691502</strong></th>
<th>Cat. No.: HY-15177</th>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PF-04691502 is a potent and selective inhibitor of <strong>PI3K</strong> and <strong>mTOR.</strong> PF-04691502 binds to human PI3Kα, β, δ, γ and mTOR with **K_{i}**s of 1.8, 2.1, 1.6, 1.9 and 16 nM, respectively.</td>
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<tr>
<td><strong>Purity:</strong></td>
<td>99.49%</td>
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<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<th><strong>PF-04979064</strong></th>
<th>Cat. No.: HY-100398</th>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PF-04979064 is a potent and selective <strong>PI3Kδ/ mTOR</strong> dual kinase inhibitor with **K_{i}**s of 0.13 nM and 1.42 nM for PI3Kα and mTOR, respectively.</td>
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<tr>
<td><strong>Purity:</strong></td>
<td>99.75%</td>
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<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
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<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
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<th><strong>PI-103</strong></th>
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<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI-103 is a potent <strong>PI3K</strong> and <strong>mTOR</strong> inhibitor with **IC_{50}**s of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for p110α, p110β, p110δ, p110γ, mTORC1, and mTORC2. PI-103 also inhibits DNA-PK with an <strong>IC_{50}</strong> of 2 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.86%</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>PI-3065</strong></th>
<th>Cat. No.: HY-12235</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI-3065 is a potent inhibitor of <strong>PI3K p110δ</strong>, with <strong>IC_{50}</strong> and <strong>K_{i}</strong> values of 5 nM and 1.5 nM, and exhibits less potent activity against p110α, p110β, p110γ with **IC_{50}**s of 910, 600, &gt;10000 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.27%</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>
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</tbody>
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<table>
<thead>
<tr>
<th><strong>PI3K/mTOR Inhibitor-1</strong></th>
<th>Cat. No.: HY-112602</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI3K/mTOR Inhibitor-1 is a potent, orally bioavailable dual PI3K/ mTOR inhibitor with **IC_{50}**s of 20/376/204/46 nM and 186 nM for PI3Kα/ PI3Kβ/ PI3Ky/ PI3Kδ and mTOR, respectively. Antitumor activity.</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>PI3K/mTOR Inhibitor-2</strong></th>
<th>Cat. No.: HY-111508</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI3K/mTOR Inhibitor-2 is a potent dual pan-PI3K/ mTOR inhibitor with **IC_{50}**s of 3.4/34/16/1 nM for PI3Kα/ PI3Kβ/ PI3Kδ/ PI3Ky and 4.7 nM for mTOR. Antitumor activity.</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>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PI3K-IN-1</strong></th>
<th>Cat. No.: HY-12068</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI3K-IN-1 is a potent inhibitor of PI3K, more information can be found in patent WO2012103524 A2 and WO2013147649 A2.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.70%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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</tbody>
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<table>
<thead>
<tr>
<th><strong>PI-103 Hydrochloride</strong></th>
<th>Cat. No.: HY-10115A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI-103 Hydrochloride is a dual <strong>PI3Kδ/ mTOR</strong> inhibitor with **IC_{50}**s of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for p110α, p110β, p110δ, p110γ, mTORC1, and mTORC2. PI-103 also inhibits DNA-PK with an <strong>IC_{50}</strong> of 2 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.78%</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>
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<th><strong>PI-3065</strong></th>
<th>Cat. No.: HY-12235</th>
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<td><strong>Bioactivity:</strong></td>
<td>PI-3065 is a potent inhibitor of <strong>PI3K p110δ</strong>, with <strong>IC_{50}</strong> and <strong>K_{i}</strong> values of 5 nM and 1.5 nM, and exhibits less potent activity against p110α, p110β, p110γ with **IC_{50}**s of 910, 600, &gt;10000 nM.</td>
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<td><strong>Purity:</strong></td>
<td>98.27%</td>
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<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
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<td><strong>Size:</strong></td>
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<th><strong>PI3K/mTOR Inhibitor-1</strong></th>
<th>Cat. No.: HY-112602</th>
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<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI3K/mTOR Inhibitor-1 is a potent, orally bioavailable dual PI3K/ mTOR inhibitor with **IC_{50}**s of 20/376/204/46 nM and 186 nM for PI3Kα/ PI3Kβ/ PI3Ky/ PI3Kδ and mTOR, respectively. Antitumor activity.</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>
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<tr>
<th><strong>PI3K/mTOR Inhibitor-2</strong></th>
<th>Cat. No.: HY-111508</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PI3K/mTOR Inhibitor-2 is a potent dual pan-PI3K/ mTOR inhibitor with **IC_{50}**s of 3.4/34/16/1 nM for PI3Kα/ PI3Kβ/ PI3Kδ/ PI3Ky and 4.7 nM for mTOR. Antitumor activity.</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>
Purity: 99.52%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

Purity: 98.16%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

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

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

PI3Kdelta inhibitor 1
Cat. No.: HY-112439

Bioactivity: PI3Kdelta inhibitor 1 (Compound 5d) is a potent, selective and orally available PI3Kδ inhibitor with an IC₅₀ of 1.3 nM [1].

PI3Kα/mTOR-IN-1
Cat. No.: HY-U00326

Bioactivity: PI3Kα/mTOR-IN-1 is a potent PI3Kα/mTOR dual inhibitor, with an IC₅₀ of 7 nM for PI3Kα in a cell assay, and Ki of 10.6 nM and 12.5 nM for mTOR and PI3Kα in a cell free assay, respectively.

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

PI3Kγ inhibitor 1
Cat. No.: HY-10549

Bioactivity: PI3Kγ inhibitor 1 is a PI3Kδ and PI3Kγ inhibitor extracted from patent WO2014004470A1, Compound 168 in Table 4, has IC₅₀ of <100 nM.

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

PI3Kγ inhibitor 2
Cat. No.: HY-112286

Bioactivity: PI3Kγ inhibitor 2 (Compound 16) is a potent PI3Kδ inhibitor with a Ki of 4 nM [1].

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

PI3Kδ inhibitor 1
Cat. No.: HY-15288

Bioactivity: PI3Kδ inhibitor 1 is a potent and selective PI3Kδ inhibitor with an IC₅₀ of 3.8 nM.

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

PI3Kδ-IN-1
Cat. No.: HY-101921

Bioactivity: PI3Kδ-IN-1 is a potent, selective, and efficacious PI3Kδ inhibitor with an IC₅₀ of 1.7 nM.

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

PI3Kδ-IN-2
Cat. No.: HY-102031

Bioactivity: PI3Kδ-IN-2 is a potent and selective inhibitor of PI3Kδ extracted from patent WO 2015055071 A1, compound 10; has an IC₅₀ of 6.4 nM.

Purity: 98.95%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

PI4KIIIbeta-IN-9
Cat. No.: HY-19798

Bioactivity: PI4KIIIbeta-IN-9 is a potent PI4KIIIβ inhibitor with an IC₅₀ of 7 nM. PI4KIIIbeta-IN-9 also inhibits PI3Kδ and PI3Kγ with IC₅₀s of 152 nM and 1046 nM, respectively.

Purity: 98.16%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Pictilisib (GDC-0941)
Cat. No.: HY-50094

Bioactivity: Pictilisib (GDC-0941) is a potent inhibitor of PI3Kα/δ with an IC₅₀ of 3 nM, with modest selectivity against p110β (11-fold) and p110γ (25-fold).

Purity: 99.52%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg
**Pictilisib dimethanesulfonate**
(GDC-0941 (dimethanesulfonate); GDC-0941 (2 MeSO3H salt))  
Cat. No.: HY-20180

**Bioactivity:** Pictilisib dimethanesulfonate (GDC-0941 dimethanesulfonate) is a potent inhibitor of PI3Kα/β with \( IC_{50} \) of 3 nM, with modest selectivity against p110β (11-fold) and p110γ (25-fold).

**Purity:** 99.12%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

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**PIK-93**
Cat. No.: HY-20709

**Bioactivity:** PIK-93 is the first potent, synthetic PI4K (PI4KIIIB) inhibitor with \( IC_{50} \) of 19 nM, and also inhibits PI3Kα and PI3Kα with \( IC_{50} \) of 16 nM and 39 nM, respectively.

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

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**PIK-294**
Cat. No.: HY-10303

**Bioactivity:** PIK-294 is a potent p110β-selective inhibitor with an \( IC_{50} \) of 10 nM.

**Purity:** 99.88%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**PIK-75**
(PIK-75 Hydrochloride)  
Cat. No.: HY-13281

**Bioactivity:** PIK-75 is a DNA-PK and PI3K inhibitor, which inhibits DNA-PK, p110α and p110γ with \( IC_{50} \) of 2, 5.8 and 76 nM, respectively. PIK-75 inhibits p110α >200-fold more potently than p110β (\( IC_{50} = 1.3 \mu M \)).

**Purity:** 99.91%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

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**PIK-90**
Cat. No.: HY-12030

**Bioactivity:** PIK-90 is a DNA-PK and PI3K inhibitor, which inhibits p110α, p110γ and DNA-PK with \( IC_{50} \) of 11, 18 and 13 nM, respectively.

**Purity:** 99.06%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

---

**PIK-93**
Cat. No.: HY-12046

**Bioactivity:** PIK-93 is a DNA-PK and PI3K inhibitor, which inhibits DNA-PK, p110α and p110γ with \( IC_{50} \) of 2, 5.8 and 76 nM, respectively. PIK-93 inhibits p110α >200-fold more potently than p110β (\( IC_{50} = 1.3 \mu M \)).

**Purity:** 99.91%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

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**Pilaralisib**
(XL-147; SAR245408)  
Cat. No.: HY-16526

**Bioactivity:** Pilaralisib (XL147; SAR245408) is a potent and highly selective class I PI3K inhibitor with \( IC_{50} \) of 39 nM, 383 nM, 23 nM and 36 nM for PI3Kα, PI3Kβ, PI3Kγ, and PI3Kδ.

**Purity:** 98.35%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 10 mg, 10 mg, 50 mg, 100 mg

---

**PIK-93**
Cat. No.: HY-12046

**Bioactivity:** PIK-93 is the first potent, synthetic PI4K (PI4KIIIB) inhibitor with \( IC_{50} \) of 19 nM, and also inhibits PI3Kα and PI3Kα with \( IC_{50} \) of 16 nM and 39 nM, respectively.

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

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**Pilaralisib analogue**
(XL147 analogue)  
Cat. No.: HY-11105

**Bioactivity:** Pilaralisib analogue (XL147 analogue) is a representative and selective PI3Kα inhibitor extracted from patent WO2012006552A1, Compound 147 in Table 1.

**Purity:** 96.75%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**PKI-402**
Cat. No.: HY-10683

**Bioactivity:** PKI-402 is a selective, reversible, ATP-competitive inhibitor of PI3K, including PI3Kα mutants, and mTOR (\( IC_{50} = 2, 3, 7,14 \) and 16 nM for PI3Kα, mTOR, PI3Kβ, PI3Kδ and PI3Kγ).

**Purity:** 99.44%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

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**PQR-530**
Cat. No.: HY-107365

**Bioactivity:** PQR-530 is a potent, oral and brain-penetrant dual pan-PI3K/mTORC1/2 inhibitor, exhibiting antitumor activity.

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

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**Quercetin**
Cat. No.: HY-18085

**Bioactivity:** Quercetin, a natural flavonoid, is a stimulator of recombinant SIRT1 and also a PI3K inhibitor with \( IC_{50} \) of 2.4±0.6 μM, 3.0±1.0 μM and 5.4±0.3 μM for PI3K γ, PI3K δ and PI3K β, respectively.

**Purity:** 98.0%
**Clinical Data:** Phase 4
**Size:** 10mM x 1mL in DMSO, 1 g, 5 g
<table>
<thead>
<tr>
<th><strong>Recilisib</strong>&lt;br&gt;(Ex-RAD; ON 01210)</th>
<th><strong>Cat. No.: HY-101625</strong>&lt;br&gt;Purity: 98.0%&lt;br&gt;Clinical Data: No Development Reported&lt;br&gt;Size: 1 mg, 5 mg, 10 mg, 20 mg</th>
<th><strong>Bioactivity:</strong> Recilisib is a radioprotectant, which can activate AKT, PI3K activities in cells.</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>SAR-260301</strong></td>
<td><strong>Cat. No.: HY-15837</strong>&lt;br&gt;Purity: 99.74%&lt;br&gt;Clinical Data: No Development Reported&lt;br&gt;Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td><strong>Bioactivity:</strong> SAR-260301 is a selective PI3Kβ inhibitor with an IC\textsubscript{50} of 23 nM.</td>
</tr>
<tr>
<td><strong>SAR405</strong></td>
<td><strong>Cat. No.: HY-12481</strong>&lt;br&gt;Purity: 99.94%&lt;br&gt;Clinical Data: No Development Reported&lt;br&gt;Size: 10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
<td><strong>Bioactivity:</strong> SAR405 is a PIK3C3/Vps34 inhibitor with an IC\textsubscript{50} of 1.2 nM. SAR405 prevents autophagy and synergizes with MTOR inhibition in tumor cells.</td>
</tr>
<tr>
<td><strong>Seletalisib</strong>&lt;br&gt;(UCB5857)</td>
<td><strong>Cat. No.: HY-16754</strong>&lt;br&gt;Purity: 99.59%&lt;br&gt;Clinical Data: Phase 2&lt;br&gt;Size: 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Bioactivity:</strong> Seletalisib (UCB5857) is potent and selective PI3Kδ inhibitor with an IC\textsubscript{50} of 12 nM.</td>
</tr>
<tr>
<td><strong>Serabelisib</strong>&lt;br&gt;(MLN1117; JNK1117)</td>
<td><strong>Cat. No.: HY-12285</strong>&lt;br&gt;Purity: 99.66%&lt;br&gt;Clinical Data: Phase 2&lt;br&gt;Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td><strong>Bioactivity:</strong> Serabelisib (MLN1117) is a selective p110α inhibitor with an IC\textsubscript{50} of 15 nM.</td>
</tr>
<tr>
<td><strong>SF2523</strong></td>
<td><strong>Cat. No.: HY-101146</strong>&lt;br&gt;Purity: 99.37%&lt;br&gt;Clinical Data: No Development Reported&lt;br&gt;Size: 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td><strong>Bioactivity:</strong> SF2523 is a highly selective and potent inhibitor of PI3K with IC\textsubscript{50} of 34 nM, 158 nM, 9 nM, 241 nM and 280 nM for PI3Kα, PI3Kδ, DNA-PK, BRD4 and mTOR, respectively.</td>
</tr>
<tr>
<td><strong>Taseligisib</strong>&lt;br&gt;(GDC-0032; RG-7604)</td>
<td><strong>Cat. No.: HY-13898</strong>&lt;br&gt;Purity: 99.75%&lt;br&gt;Clinical Data: Phase 3&lt;br&gt;Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
<td><strong>Bioactivity:</strong> Taselisib (GDC-0032) is a potent β-sparing small molecule inhibitor of PI3K, with ( K_{i} ) values of 0.29 nM, 0.91 nM, 0.97 nM for PI3Kα, PI3Kβ, and PI3Kδ, respectively.</td>
</tr>
<tr>
<td><strong>Tenalisib</strong>&lt;br&gt;(RP6530)</td>
<td><strong>Cat. No.: HY-17645</strong>&lt;br&gt;Purity: 99.09%&lt;br&gt;Clinical Data: Phase 1&lt;br&gt;Size: 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td><strong>Bioactivity:</strong> Tenalisib (RP6530) is a novel, potent, and selective PI3Kδ and PI3Kγ inhibitor with IC\textsubscript{50} values of 25 and 33 nM, respectively.</td>
</tr>
<tr>
<td><strong>TG100-115</strong></td>
<td><strong>Cat. No.: HY-10111</strong>&lt;br&gt;Purity: 99.31%&lt;br&gt;Clinical Data: Phase 2&lt;br&gt;Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg</td>
<td><strong>Bioactivity:</strong> TG100-115 is a selective PI3Kγ/PI3Kδ inhibitor with IC\textsubscript{50} of 83 and 235 nM, respectively.</td>
</tr>
</tbody>
</table>
| **TGX-221** | **Cat. No.: HY-10114**<br>Purity: 99.78%<br>Clinical Data: No Development Reported<br>Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg | **Bioactivity:** TGX-221 is a potent, selective, and cell membrane permeable inhibitor of the PI3K p110β catalytic subunit, used for cancer treatment.
**Umbralisib**  
(TGR-1202; RP5264)  
Cat. No.: HY-12279

**Bioactivity:** Umbralisib (TGR-1202) is a novel PI3Kδ inhibitor, with \( IC_{50} \) and \( EC_{50} \) of 22.2 nM and 24.3 nM, respectively; Umbralisib (TGR-1202) is also active against CK1ε, with an \( EC_{50} \) value of 6.0 μM.

**Purity:** 98.55%

**Clinical Data:** Phase 3

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

**Umbralisib hydrochloride**  
(TGR-1202 hydrochloride; RP5264 hydrochloride)  
Cat. No.: HY-12279C

**Bioactivity:** Umbralisib hydrochloride (TGR-1202 hydrochloride) is a novel PI3Kδ inhibitor, with \( IC_{50} \) and \( EC_{50} \) of 22.2 nM and 24.3 nM, respectively; Umbralisib hydrochloride (TGR-1202 hydrochloride) is also active against CK1ε, with an \( EC_{50} \) value of 6.0 μM.

**Purity:** >98%

**Clinical Data:** Phase 3

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

**Umbralisib R-enantiomer**  
(TGR-1202 R-enantiomer; RP5264 R-enantiomer)  
Cat. No.: HY-12279F

**Bioactivity:** Umbralisib R-enantiomer (TGR-1202 R-enantiomer) is a PI3Kδ inhibitor, which is the less active enantiomer of TGR-1202.

**Purity:** 98.82%

**Clinical Data:** No Development Reported

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

**Voxtalisib**  
(XL765; SAR245409)  
Cat. No.: HY-15900

**Bioactivity:** Voxtalisib (XL-765) is a potent PI3K inhibitor, which has a similar activity toward class I PI3K (\( IC_{50} = 39, 113, 9 \)) and p110α, p110β, p110γ, p110δ, respectively, also inhibits DNA-PK (\( IC_{50} = 150 \) nM) and mTOR ...

**Purity:** 98.93%

**Clinical Data:** Phase 2

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

**Vps34-IN-1**  
Cat. No.: HY-12795

**Bioactivity:** Vps34-IN-1 is an inhibitor of Vps34 extracted from patent WO2012085815A1, compound example 16a, with an \( IC_{50} \) of 4 nM.

**Purity:** 99.64%

**Clinical Data:** No Development Reported

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

**Vps34-IN-2**  
Cat. No.: HY-12473

**Bioactivity:** Vps34-IN-2 is a novel, potent and selective inhibitor of Vps34 with \( IC_{50} \)s of 2 and 82 nM on the Vps34 enzymatic assay and the GFP-FYVE cellular assay, respectively.

**Purity:** 99.75%

**Clinical Data:** No Development Reported

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

**Vps34-PIK-III**  
Cat. No.: HY-12794

**Bioactivity:** Vps34-PIK-III is a potent and selective inhibitor of VPS34 with an \( IC_{50} \) of 18 nM.

**Purity:** 99.06%

**Clinical Data:** No Development Reported

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

**VS-5584**  
(SB2343)  
Cat. No.: HY-16585

**Bioactivity:** VS-5584 is a pan-PI3K/ mTOR kinase inhibitor with \( IC_{50} \)s of 16 nM, 68 nM, 42 nM, 25 nM, and 37 nM for PI3Kα, PI3Kδ, PI3Kγ and mTOR, respectively. VS-5584 simultaneously blocks mTORC2 as well as mTORC1.

**Purity:** 98.01%

**Clinical Data:** Phase 1

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

**Wortmannin**  
(SL-2052; KY-12420)  
Cat. No.: HY-10197

**Bioactivity:** Wortmannin is a multi-target inhibitor of PI3K and MLCK with \( IC_{50} \)s of 3 nM and 200 nM, respectively. Wortmannin is also a potent inhibitor of DNA-PK (\( IC_{50} = 16 \) nM) and ATM (\( IC_{50} = 150 \) nM). Wortmannin is also a potent inhibitor of Polo-I...

**Purity:** 99.85%

**Clinical Data:** No Development Reported

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

**WYE-687**  
Cat. No.: HY-15271

**Bioactivity:** WYE-687 is an ATP-competitive mTOR inhibitor with an \( IC_{50} \) of 7 nM. WYE-687 concurrently inhibits activation of mTORC1 and mTORC2. WYE-687 also inhibits PI3Kα and PI3Kγ with \( IC_{50} \)s of 81 nM and 3.11 μM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

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<table>
<thead>
<tr>
<th>YM-201636</th>
<th>ZSTK474</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>YM-201636 is a potent and selective <strong>PIKfyve</strong> inhibitor with an <strong>IC&lt;sub&gt;50&lt;/sub&gt;</strong> of 33 nM. YM-201636 also inhibits p110α with <strong>IC&lt;sub&gt;50&lt;/sub&gt;</strong> of 3.3 μM.</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>ZSTK474 is an ATP-competitive pan-class I <strong>PI3K</strong> inhibitor with <strong>IC&lt;sub&gt;50&lt;/sub&gt;</strong> of 16 nM, 44 nM, 4.6 nM and 49 nM for PI3Kα, PI3Kβ, PI3Kδ and PI3Kγ, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.88%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.56%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>
The phosphatidylinositol 4-kinases (PI4Ks) synthesize phosphatidylinositol 4-phosphate (PI4P), a key member of the phosphoinositide family. PI4P defines the membranes of Golgi and trans-Golgi network (TGN) and regulates trafficking to and from the Golgi.

In mammals there are four different PI4K enzymes, two type II enzymes (PI4KIIα and PI4KIIβ) and two type III enzymes (PI4KIIIα and PI4KIIIβ). PI4KIIIβ plays key roles in mediating lipid transport, cytokinesis, maintaining lysosomal identity, and in tandem with Rab GTPases plays key roles in regulating membrane trafficking. PI4KIIIβ is critical for mediating viral replication of a number of RNA viruses through the generation of PI4P enriched viral replication platforms. Small molecule inhibitors of PI4KIIIβ are potent anti-viral agents. Development of PI4KIIIβ as an effective drug target for anti-viral therapeutics requires the generation of highly potent and specific inhibitors.
## PI4K Inhibitors & Modulators

### BF738735
- **Bioactivity:** BF738735 is a phosphatidylinositol 4-kinase III beta (PI4KIIIβ) inhibitor with an IC$_{50}$ of 5.7 nM.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg, 10 mg, 25 mg

### KDU691
- **Bioactivity:** KDU691 is a PI4K inhibitor.
- **Purity:** 99.46%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### PI4KIII beta inhibitor 3
- **Bioactivity:** PI4KIII beta inhibitor 3 is a novel and highly effective PI4KIIIβ inhibitor with an IC$_{50}$ of 5.7 nM.
- **Purity:** 99.93%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

### PI4KIIIbeta-IN-10
- **Bioactivity:** PI4KIIIbeta-IN-10 is a potent PI4KIIIβ inhibitor with an IC$_{50}$ of 3.6 nM.
- **Purity:** 98.90%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

### PI4KIIIbeta-IN-9
- **Bioactivity:** PI4KIIIbeta-IN-9 is a potent PI4KIIIβ inhibitor with an IC$_{50}$ of 7 nM. PI4KIIIbeta-IN-9 also inhibits PI3Kδ and PI3Kγ with IC$_{50}$s of 152 nM and 1046 nM, respectively.
- **Purity:** 98.16%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

### PIK-93
- **Bioactivity:** PIK-93 is the first potent, synthetic PI4K (PI4KIIIβ) inhibitor with IC$_{50}$ of 19 nM, and also inhibits PI3Kδ and PI3Kα with IC$_{50}$s of 16 nM and 39 nM, respectively.
- **Purity:** 99.13%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

### PIK-93
- **Bioactivity:** PIK-93 is the first potent, synthetic PI4K (PI4KIIIβ) inhibitor with IC$_{50}$ of 19 nM, and also inhibits PI3KC2 α, β, and γ lipid kinases. PIK9608 improves metabolic stability and exhibits excellent pharmacokinetic profile, acting as a pot...
- **Purity:** 99.12%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### T-00127_HEV1
- **Bioactivity:** T-00127 HEV1 is a phosphatidylinositol 4-kinase III beta (PI4KB) inhibitor with an IC$_{50}$ of 60 nM.
- **Purity:** 99.97%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg

### UCB9608
- **Bioactivity:** UCB9608 is a potent, selective and orally active PI4K (PI4KIIIβ) inhibitor, with an IC$_{50}$ of 11 nM, selective over PI3KC2 α, β, and γ lipid kinases. UCB9608 improves metabolic stability and exhibits excellent pharmacokinetic profile, acts as a pot...
- **Purity:** 99.12%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### UCT943
- **Bioactivity:** UCT943 is a next-generation Plasmodium falciparum PI4K inhibitor. UCT943 inhibits the P. vivax PI4K (PvPI4K) enzyme with an IC$_{50}$ of 23 nM.$^{[1]}$
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 500 mg, 250 mg

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**BF738735** Cat. No.: HY-U00426

**Bioactivity:** BF738735 is a phosphatidylinositol 4-kinase III beta (PI4KIIIβ) inhibitor with an IC$_{50}$ of 5.7 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

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**BQR-695** (NVP-BQR695) Cat. No.: HY-18748

**Bioactivity:** BQR-695 is a PI4KIIIβ inhibitor with IC$_{50}$s of 80 and 3.5 nM for human PI4KIIIβ and Plasmodium variant of PI4KIIIβ, respectively.

**Purity:** 99.78%

**Clinical Data:** No Development Reported

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

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**KDU691** Cat. No.: HY-12912

**Bioactivity:** KDU691 is a PI4K inhibitor.

**Purity:** 99.46%

**Clinical Data:** No Development Reported

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

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**PI4KIII beta inhibitor 3** Cat. No.: HY-15679

**Bioactivity:** PI4KIII beta inhibitor 3 is a novel and high effective PI4KIIIβ inhibitor with IC$_{50}$ of 5.7 nM.

**Purity:** 97.96%

**Clinical Data:** No Development Reported

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

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**PI4KIIIbeta-IN-10** Cat. No.: HY-100198

**Bioactivity:** PI4KIIIbeta-IN-10 is a potent PI4KIIIβ inhibitor with an IC$_{50}$ of 3.6 nM.

**Purity:** 98.90%

**Clinical Data:** No Development Reported

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

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**PI4KIIIbeta-IN-9** Cat. No.: HY-19798

**Bioactivity:** PI4KIIIbeta-IN-9 is a potent PI4KIIIβ inhibitor with an IC$_{50}$ of 7 nM. PI4KIIIbeta-IN-9 also inhibits PI3Kδ and PI3Kγ with IC$_{50}$s of 152 nM and 1046 nM, respectively.

**Purity:** 98.16%

**Clinical Data:** No Development Reported

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

---

**PIK-93** Cat. No.: HY-12046

**Bioactivity:** PIK-93 is the first potent, synthetic PI4K (PI4KIIIβ) inhibitor with IC$_{50}$ of 19 nM, and also inhibits PI3Kδ and PI3Kγ with IC$_{50}$s of 16 nM and 39 nM, respectively.

**Purity:** 99.13%

**Clinical Data:** No Development Reported

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

---

**T-00127_HEV1** Cat. No.: HY-108313

**Bioactivity:** T-00127 HEV1 is a phosphatidylinositol 4-kinase III beta (PI4KB) inhibitor with an IC$_{50}$ of 60 nM.

**Purity:** 99.97%

**Clinical Data:** No Development Reported

**Size:** 5 mg

---

**UCB9608** Cat. No.: HY-112613

**Bioactivity:** UCB9608 is a potent, selective and orally active PI4K (PI4KIIIβ) inhibitor, with an IC$_{50}$ of 11 nM, selective over PI3KC2 α, β, and γ lipid kinases. UCB9608 improves metabolic stability and exhibits excellent pharmacokinetic profile, acts as a pot...

**Purity:** 99.12%

**Clinical Data:** No Development Reported

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

---

**UCT943** Cat. No.: HY-112435

**Bioactivity:** UCT943 is a next-generation Plasmodium falciparum PI4K inhibitor. UCT943 inhibits the P. vivax PI4K (PvPI4K) enzyme with an IC$_{50}$ of 23 nM.$^{[1]}$

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500 mg, 250 mg
PIKfyve

FYVE domain-containing phosphatidylinositol 3-phosphate 5-kinase;Phosphatidylinositol 3-phosphate 5-kinase;Fab1

PIKfyve, a FYVE finger-containing phosphoinositide kinase, is an enzyme that in humans is encoded by the PIKFYVE gene. The principal enzymatic activity of PIKfyve is to phosphorylate PtdIns3P to PtdIns(3,5)P2. PIKfyve activity is responsible for the production of both PtdIns(3,5)P2 and phosphatidylinositol 5-phosphate (PtdIns5P). PIKfyve is a large protein, containing a number of functional domains and expressed in several spliced forms. By directly binding membrane PtdIns(3)P, the FYVE finger domain of PIKfyve is essential in localizing the protein to the cytosolic leaflet of endosomes. Impaired PIKfyve enzymatic activity by dominant-interfering mutants, siRNA-mediated ablation or pharmacological inhibition causes endosome enlargement and cytoplasmic vacuolation due to impaired PtdIns(3,5)P2 synthesis. Thus, via PtdIns(3,5)P2 production, PIKfyve participates in several aspects of endosome dynamics, thereby affecting a number of trafficking pathways that emanate from or traverse the endosomal system en route to the trans-Golgi network or later compartments along the endocytic pathway.
## PIKfyve Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>APY0201</strong></th>
<th><strong>Cat. No.: HY-15982</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>APY0201 is a potent PIKfyve inhibitor, which inhibits the conversion of PtdIns3P to PtdIns(3,5)P2 in the presence of [32P]ATP with an IC50 of 5.2 nM. APY0201 also inhibits IL-12/IL-23 production.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>YM-201636</strong></th>
<th><strong>Cat. No.: HY-13228</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>YM-201636 is a potent and selective PIKfyve inhibitor with an IC50 of 33 nM. YM-201636 also inhibits p110α with IC50 of 3.3 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.88%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
PTEN (Phosphatase and tensin homologue deleted on chromosome 10), a phosphoinositide 3-phosphatase, is an important regulator of insulin-dependent signaling. The loss or impairment of PTEN results in an antidiabetic impact, which led to the suggestion that PTEN could be an important target for drugs against type II diabetes. PTEN has a much wider active site cleft enabling it to bind the PtdIns(3,4,5)P3 substrate. A highly potent and specific inhibitor of PTEN that increases cellular PtdIns(3,4,5)P3 levels, phosphorylation of Akt, and glucose uptake in adipocytes at nanomolar concentrations.
### PTEN Inhibitors & Modulators

#### SF1670
**Cat. No.: HY-15842**

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>SF1670 is a potent and specific phosphatase and tensin homolog deleted on chromosome 10 (PTEN) inhibitor.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.0%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

#### VO-Ohpic trihydrate
**Cat. No.: HY-13074**

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>VO-Ohpic trihydrate is a highly potent inhibitor of PTEN with an IC$_{50}$ of 46±10 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.0%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

#### β-Glycerol phosphate disodium salt pentahydrate
**Cat. No.: HY-D0886**

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>β-Glycerol phosphate disodium salt pentahydrate is a Phosphatase and tensin homolog (PTEN) inhibitor extracted from patent US 20110002877 A1.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>98.0%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 g, 5 g</td>
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</tbody>
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