Protein Tyrosine Kinase/RTK

Protein-tyrosine kinases (PTKs) catalyze the transfer of the γ-phosphate of ATP to tyrosine residues of protein substrates, are critical components of signaling pathways that control cellular proliferation and differentiation. Two classes of PTKs are present in cells: the transmembrane receptor PTKs and the nonreceptor PTKs.

The RTK family includes the receptors for insulin and for many growth factors, such as EGF, FGF, PDGF, VEGF, and NGF. RTKs are transmembrane glycoproteins that are activated by the binding of their ligands, and they transduce the extracellular signal to the cytoplasm by phosphorylating tyrosine residues on the receptors themselves (autophosphorylation) and on downstream signaling proteins. RTKs activate numerous signaling pathways within cells, leading to cell proliferation, differentiation, migration, or metabolic changes. In addition, nonreceptor tyrosine kinases (NRTKs), which include Src, JAKs, and Abl, among others, are integral components of the signaling cascades triggered by RTKs and by other cell surface receptors such as GPCRs and receptors of the immune system. NRTKs are critical components in the regulation of the immune system.

RTKs and NRTKs have been implicated in the progression of diseases such as cancer, diabetic retinopathy, atherosclerosis, and psoriasis. Protein kinases, including RTKs, are one of the most frequently mutated gene families implicated in cancer, which has prompted numerous studies on their role in cancer pathogenesis. There are four main mechanisms of RTK dysregulation in human cancers: genomic rearrangements, autocrine activation, overexpression and gain- or loss-of-function mutations. Currently, there are several clinically available small molecule inhibitors and monoclonal antibodies against specific RTKs.

References:
### Target List in Protein Tyrosine Kinase/RTK

- Ack1 ............................................. 3
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Ack1 (Activated CDC42 kinase 1; TNK2) is an enzyme that in humans is encoded by the TNK2 gene. Ack1 binds to multiple receptor tyrosine kinases e.g. EGFR, MERTK, AXL, HER2 and insulin receptor (IR). Ack1 also interacts with Cdc42Hs in its GTP-bound form and inhibits both the intrinsic and GTPase-activating protein (GAP)-stimulated GTPase activity of Cdc42Hs. Ack1 is a survival kinase and shown to be associated with tumor cell survival, proliferation, hormone-resistance and radiation resistance. Ack1 has emerged as a new cancer target and multiple small molecule inhibitors have been reported.
## Ack1 Inhibitors & Modulators

### AIM-100

**Cat. No.:** HY-15290

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>AIM-100 is a small molecule inhibitor of Ack1 with an IC50 of 24 nM</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.95%</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>

### KRCA-0008

**Cat. No.:** HY-12331

<table>
<thead>
<tr>
<th>Bioactivity</th>
<th>KRCA-0008 is a potent and selective ALK/Ack1 inhibitor with IC50 of 12 nM/4 nM for ALK and Ack1 respectively, displays drug-like properties without hERG liability.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>96.72%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
ALK (Anaplastic lymphoma kinase) is encoded by the ALK gene. ALK is a membrane associated tyrosine kinase receptor of the insulin receptor superfamily. The function of the full-length ALK receptor is poorly understood. It has a probable role in the central and peripheral nervous system development and maintenance. ALK is a dependence receptor, which may exert antagonist functions, proapoptotic or antiapoptotic, depending on the absence or presence of a ligand. Dependence receptors have a potential role in cancer and development. Ligands available for this demonstration were agonist anti-ALK antibodies. ALK is still an orphan receptor, given the high level of controversy about pleiotrophin and midkine.
## ALK Inhibitors & Modulators

### 2-Keto Crizotinib
**Cat. No.: HY-13320**

- **Bioactivity:** 2-Keto Crizotinib (PF-06260182) is an active lactam metabolite of crizotinib.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 250 mg, 500 mg

### Alectinib Hydrochloride (CH5424802 (Hydrochloride); ROS424802 (Hydrochloride); AF-802 Hydrochloride (Hydrochloride))
**Cat. No.: HY-13011A**

- **Bioactivity:** Alectinib Hydrochloride (CH5424802 Hydrochloride) is a potent, selective, and orally available ALK inhibitor with an IC₅₀ of 1.9 nM, the dissociation constant (Kᵅ) value for ALK in an ATP-competitive manner is 2.4 nM using a competition-b...
- **Purity:** 99.95%
- **Clinical Data:** Launched
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### ALK inhibitor 1
**Cat. No.: HY-15357**

- **Bioactivity:** ALK inhibitor 1 is a novel and selective inhibitor for the ALK kinase.
- **Purity:** 99.71%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

### ALK inhibitor 2
**Cat. No.: HY-15358**

- **Bioactivity:** ALK inhibitor 2 is a novel and selective inhibitor for the ALK kinase.
- **Purity:** 99.43%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

### ALK-IN-5
**Cat. No.: HY-128569**

- **Bioactivity:** ALK-IN-5 is a potent, selective, and brain-penetrant inhibitor of anaplastic lymphoma kinase (ALK), with an IC₅₀ of 2.9 nM [1].
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 500 mg, 250 mg, 100 mg

### ASP3026
**Cat. No.: HY-13326**

- **Bioactivity:** ASP3026 is a novel and selective inhibitor for ALK (anaplastic lymphoma kinase) with IC₅₀ of 3.5 nM.
- **Purity:** 99.76%
- **Clinical Data:** Phase 1
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### AZD-3463 (ALK/IGF1R inhibitor)
**Cat. No.: HY-15609**

- **Bioactivity:** AZD-3463 is an ALK/IGF1R inhibitor which overcomes multiple mechanisms of acquired resistance to crizotinib. IC₅₀ Value: Target: ALK/IGF1R
- **Purity:** 98.49%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
**Belizatinib**  
(TSR-011)  
Cat. No.: HY-17603

**Bioactivity:** Belizatinib is an oral, dual, potent inhibitor of ALK and TRKA, TRKB, and TRKC, with IC\(_{50}\) of 0.7 nM for wild-type recombinant ALK kinase.

**Purity:** 99.32%

**Clinical Data:**  
Phase 2

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

---

**Brigatinib**  
(AP-26113)  
Cat. No.: HY-12857

**Bioactivity:** Brigatinib is a highly potent and selective ALK inhibitor, with an IC\(_{50}\) of 0.6 nM.

**Purity:** 99.98%

**Clinical Data:** Launched

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

---

**CEP-28122**  
Cat. No.: HY-18030

**Bioactivity:** CEP-28122 is a highly potent and selective orally active ALK inhibitor with IC\(_{50}\) of 1.9 ± 0.5 nM in an enzyme-based TRF assay.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

**CEP-28122 mesylate salt**  
Cat. No.: HY-18030A

**Bioactivity:** CEP-28122 mesylate salt is a highly potent and selective orally active ALK inhibitor with IC\(_{50}\) of 1.9 ± 0.5 nM in an enzyme-based TRF assay. IC\(_{50}\) value: 1.9 ± 0.5 nM Target: ALK activity and cellular ALK tyrosine phosphorylation. CEP-28122...

**Purity:** 99.85%

**Clinical Data:** No Development Reported

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

---

**CEP-37440**  
Cat. No.: HY-15841

**Bioactivity:** CEP-37440 is a novel potent and selective Dual FAK/ALK inhibitor with IC\(_{50}\) s of 2.3 nM (FAK) and 120 nM (ALK cellular IC\(_{50}\) in 75% human plasma). IC\(_{50}\) value: 2.3 nM (FAK); 120 nM (ALK cellular IC\(_{50}\) in 75% human plasma) Target: Dual FAK/ALK Preparation of fused bicyclic 2,4-diaminopyrimidine...

**Purity:** 99.87%

**Clinical Data:** Phase 1

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

---

**Ceritinib**  
(LDK378)  
Cat. No.: HY-15656

**Bioactivity:** Ceritinib (LDK378) is a potent and specific ALK inhibitor with an IC\(_{50}\) of 0.2 nM.

**Purity:** 99.98%

**Clinical Data:** Launched

**Size:**  
10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 2 g, 5 g, 10 g

---

**Ceritinib dihydrochloride**  
(LDK378 (dihydrochloride))  
Cat. No.: HY-15656A

**Bioactivity:** Ceritinib dihydrochloride (LDK378 dihydrochloride) is potent inhibitor against ALK with IC\(_{50}\) of 0.2 nM, shows 40- and 35-fold selectivity against IGF-1R and InsR, respectively.

**Purity:** 99.86%

**Clinical Data:** Launched

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

---

**Crizotinib**  
(PF-02341066)  
Cat. No.: HY-50878

**Bioactivity:** Crizotinib (PF-02341066) hydrochloride is a potent inhibitor of c-Met and ALK with IC\(_{50}\) of 11 nM and 24 nM in cell-based assays, respectively.

**Purity:** 99.97%

**Clinical Data:** Launched

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

---

**Crizotinib hydrochloride**  
(PF-02341066 hydrochloride)  
Cat. No.: HY-50878A

**Bioactivity:** Crizotinib hydrochloride is a potent inhibitor of c-Met and ALK with IC\(_{50}\) of 11 nM and 24 nM in cell-based assays, respectively.

**Purity:** 99.86%

**Clinical Data:** Launched

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

---

**EML4-ALK kinase inhibitor 1**  
Cat. No.: HY-111752

**Bioactivity:** EML4-ALK kinase inhibitor 1 is a potent oral active inhibitor of echinoderm microtubule-associated protein-like 4-anaplastic lymphoma kinase (EML4-ALK), with an IC\(_{50}\) of 1 nM \([1]\).

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**  
250 mg, 500 mg

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<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Ensartinib (X-396)</strong></td>
<td>HY-103714</td>
<td><strong>Bioactivity:</strong> Ensartinib (X-396) is a potent and dual ALK/ MET inhibitor with <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; of &lt;0.4 nM and 0.74 nM, respectively.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg</td>
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<tr>
<td><strong>Ensartinib hydrochloride (X-396 hydrochloride)</strong></td>
<td>HY-103714A</td>
<td><strong>Bioactivity:</strong> Ensartinib hydrochloride (X-396 hydrochloride) is a potent and dual ALK/ MET inhibitor with <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; of &lt;0.4 nM and 0.74 nM, respectively.</td>
<td>98.51%</td>
<td>No Development Reported</td>
<td>2 mg, 5 mg, 10 mg</td>
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<tr>
<td><strong>Entrectinib (NMS-E628; RXDX-101)</strong></td>
<td>HY-12678</td>
<td><strong>Bioactivity:</strong> Entrectinib is a potent and orally available Trk, ROS1, and ALK inhibitor; inhibits TrkA, TrkB, TrkC, ROS1 and ALK with <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; values of 1, 3, 5, 12 and 7 nM, respectively.</td>
<td>99.61%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>GSK1838705A</strong></td>
<td>HY-13020</td>
<td><strong>Bioactivity:</strong> GSK1838705A is a potent and reversible IGF-IR and the insulin receptor inhibitor with <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; of 0.5 nM.</td>
<td>98.99%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<td><strong>F-1</strong></td>
<td>HY-112801</td>
<td><strong>Bioactivity:</strong> F-1 is a potent ALK and ROS1 dual inhibitor, suppresses phospho-ALK and its relative downstream signaling pathways, with <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; of 2.1 nM, 2.3 nM, 1.3 nM and 3.9 nM for ALK WT, ROS1 WT, ALK L1196M and ALK G1202R, respectively.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
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<tr>
<td><strong>HG-14-10-04</strong></td>
<td>HY-15801</td>
<td><strong>Bioactivity:</strong> HG-14-10-04 is a potent and specific ALK inhibitor with <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; of 20 nM.</td>
<td>99.25%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>JH-VIII-157-02</strong></td>
<td>HY-112140</td>
<td><strong>Bioactivity:</strong> JH-VIII-157-02 is a structural analogue of alectinib, acts as an ALK inhibitor, and shows an <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; of 2 nM for echinoderm microtubule-associated protein-like 4-ALK (EML4-ALK) G1202R in cells.</td>
<td>98.86%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>KRCA-0008</strong></td>
<td>HY-12331</td>
<td><strong>Bioactivity:</strong> KRCA-0008 is a potent and selective ALK/Ack1 inhibitor with <em>IC</em>&lt;sub&gt;50&lt;/sub&gt; of 12 nM/4 nM for ALK and Ack1, respectively; displays drug-like properties without hERG liability.</td>
<td>96.72%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>Lorlatinib (PF-06463922)</strong></td>
<td>HY-12215</td>
<td><strong>Bioactivity:</strong> Lorlatinib (PF-06463922) is a potent, dual ALK/ROS1 inhibitor, with <em>K</em>&lt;sub&gt;i&lt;/sub&gt;s of 0.02 nM, 0.07 nM, and 0.7 nM for ROS1, wild type ALK, and ALK-L1196M, respectively.</td>
<td>99.83%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>MS4077</strong></td>
<td>HY-112156</td>
<td><strong>Bioactivity:</strong> MS4077 is an anaplastic lymphoma kinase (ALK) PROTAC (degrader) with a <em>K</em>&lt;sub&gt;d&lt;/sub&gt; of 37 nM for binding affinity to ALK [1].</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 250 mg, 500 mg</td>
</tr>
</tbody>
</table>

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**Bioactivity:**
Ensartinib (X-396) is a potent and dual ALK/ MET inhibitor with *IC*<sub>50</sub> of <0.4 nM and 0.74 nM, respectively.

**Bioactivity:**
Ensartinib hydrochloride (X-396 hydrochloride) is a potent and dual ALK/ MET inhibitor with *IC*<sub>50</sub> of <0.4 nM and 0.74 nM, respectively.

**Bioactivity:**
Entrectinib is a potent and orally available Trk, ROS1, and ALK inhibitor; inhibits TrkA, TrkB, TrkC, ROS1 and ALK with *IC*<sub>50</sub> values of 1, 3, 5, 12 and 7 nM, respectively.

**Bioactivity:**
GSK1838705A is a potent and reversible IGF-IR and the insulin receptor inhibitor with *IC*<sub>50</sub> of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an *IC*<sub>50</sub> of 0.5 nM.

**Bioactivity:**
HG-14-10-04 is a potent and specific ALK inhibitor with *IC*<sub>50</sub> of 20 nM.

**Bioactivity:**
JH-VIII-157-02 is a structural analogue of alectinib, acts as an ALK inhibitor, and shows an *IC*<sub>50</sub> of 2 nM for echinoderm microtubule-associated protein-like 4-ALK (EML4-ALK) G1202R in cells.

**Bioactivity:**
KRCA-0008 is a potent and selective ALK/Ack1 inhibitor with *IC*<sub>50</sub> of 12 nM/4 nM for ALK and Ack1, respectively; displays drug-like properties without hERG liability.

**Bioactivity:**
Lorlatinib (PF-06463922) is a potent, dual ALK/ROS1 inhibitor, with *K*<sub>i</sub>s of 0.02 nM, 0.07 nM, and 0.7 nM for ROS1, wild type ALK, and ALK-L1196M, respectively.

**Bioactivity:**
MS4077 is an anaplastic lymphoma kinase (ALK) PROTAC (degrader) with a *K*<sub>d</sub> of 37 nM for binding affinity to ALK [1].
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>MS4078</strong></td>
<td>HY-112155</td>
<td>MS4078 is an anaplastic lymphoma kinase (ALK) PROTAC (degrader) with a $K_d$ of 19 nM for binding affinity to ALK [1].</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Repotrectinib</strong></td>
<td>HY-103022</td>
<td>Repotrectinib (TPX-0005) is a potent ALK/ROS1/TRK inhibitor, with $IC_{50}$ of 5.3 nM, 1.01 nM, 1.26 nM and 1.08 nM for SRC, WT ALK, ALK G1202R and ALK L1196M, respectively.</td>
<td>99.91%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td><strong>X-376</strong></td>
<td>HY-16590</td>
<td>X-376 is a potent and dual ALK/ MET inhibitor with $IC_{50}$ of 0.61 nM and 0.69 nM, respectively.</td>
<td>98.36%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>NVP-TAE 684</strong></td>
<td>HY-10192</td>
<td>NVP-TAE 684 is a highly potent and selective ALK inhibitor, which blocks the growth of ALCL-derived and ALK-dependent cell lines with $IC_{50}$ values between 2 and 10 nM.</td>
<td>99.40%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>WY-135</strong></td>
<td>HY-111416</td>
<td>WY-135 is a ALK ($IC_{50}$=1.4 nM) and ROS1 ($IC_{50}$=1.1 nM) dual inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>500 mg, 100 mg, 250 mg</td>
</tr>
<tr>
<td><strong>Repotrectinib</strong></td>
<td>HY-103022</td>
<td>Repotrectinib (TPX-0005) is a potent ALK/ROS1/TRK inhibitor, with $IC_{50}$ of 5.3 nM, 1.01 nM, 1.26 nM and 1.08 nM for SRC, WT ALK, ALK G1202R and ALK L1196M, respectively.</td>
<td>99.91%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td><strong>X-376</strong></td>
<td>HY-16590</td>
<td>X-376 is a potent and dual ALK/ MET inhibitor with $IC_{50}$ of 0.61 nM and 0.69 nM, respectively.</td>
<td>98.36%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>NVP-TAE 684</strong></td>
<td>HY-10192</td>
<td>NVP-TAE 684 is a highly potent and selective ALK inhibitor, which blocks the growth of ALCL-derived and ALK-dependent cell lines with $IC_{50}$ values between 2 and 10 nM.</td>
<td>99.40%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>WY-135</strong></td>
<td>HY-111416</td>
<td>WY-135 is a ALK ($IC_{50}$=1.4 nM) and ROS1 ($IC_{50}$=1.1 nM) dual inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>500 mg, 100 mg, 250 mg</td>
</tr>
</tbody>
</table>

www.MedChemExpress.com
Bcr-Abl tyrosine-kinase inhibitors (TKI) are the first-line therapy for most patients with chronic myelogenous leukemia (CML). More than 90% of CML cases are caused by a chromosomal abnormality that results in the formation of a so-called Philadelphia chromosome. This abnormality is a consequence of fusion between the Abelson (Abl) tyrosine kinase gene at chromosome 9 and the break point cluster (Bcr) gene at chromosome 22, resulting in a chimeric oncogene (Bcr-Abl) and a constitutively active Bcr-Abl tyrosine kinase that has been implicated in the pathogenesis of CML. Compounds have been developed to selectively inhibit the tyrosine kinase.
## Bcr-Abl Inhibitors & Modulators

| **Asciminib**  
| **(ABL001)** | **Cat. No.: HY-104010** |
| **Bioactivity:** Asciminib (ABL001) is a potent and selective allosteric Bcr-Abl inhibitor; inhibits Ba/F3 cells grown with an IC$_{50}$ of 0.25 nM. |
| **Purity:** 98.75% |
| **Clinical Data:** Phase 3 |
| **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **AST 487**  
| **(NVP-AST 487)** | **Cat. No.: HY-15002** |
| **Bioactivity:** AST 487 is a RET kinase inhibitor with IC$_{50}$ of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits Flt-3 with IC$_{50}$ of 520 nM. |
| **Purity:** 98.64% |
| **Clinical Data:** No Development Reported |
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| **Bafetinib**  
| **(INNO-406; NS-187)** | **Cat. No.: HY-50868** |
| **Bioactivity:** Bafetinib is a Lyn and Bcr-Abl tyrosine kinase inhibitor with potential antineoplastic activity. |
| **Purity:** 99.80% |
| **Clinical Data:** Phase 2 |
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **BCR-ABL-IN-1** | **Cat. No.: HY-100314** |
| **Bioactivity:** BCR-ABL-IN-1 is an inhibitor of BCR-ABL tyrosine kinase, with a pIC$_{50}$ of 6.46, and may be used in the research of chronic myelogenous leukemia. |
| **Purity:** >98% |
| **Clinical Data:** No Development Reported |
| **Size:** 1 mg, 5 mg, 10 mg |

| **BCR-ABL-IN-2** | **Cat. No.: HY-18819** |
| **Bioactivity:** BCR-ABL-IN-2 is an inhibitor of BCR-ABL1 tyrosine kinase, with IC$_{50}$ of 57 nM, 773 nm for ABL1 native and ABL1 T315I, respectively. |
| **Purity:** >98% |
| **Clinical Data:** No Development Reported |
| **Size:** 250 mg, 500 mg |

| **Dasatinib**  
| **(BMS-354825)** | **Cat. No.: HY-10181** |
| **Bioactivity:** Dasatinib (BMS-354825) is a dual Bcr-Abl and Src family tyrosine kinase inhibitor with IC$_{50}$ of 0.6, 0.8, 79 and 37 nM for Abl, Src, c-Kit and c-Kit D816V, respectively. |
| **Purity:** 99.84% |
| **Clinical Data:** Launched |
| **Size:** 10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg |

| **CHMFL-ABL-039** | **Cat. No.: HY-126143** |
| **Bioactivity:** CHMFL-ABL-039 is a type II native ABL kinase and drug-resistant V299L mutant BCR-ABL inhibitor with the IC$_{50}$ of 7.9 nM and 27.9 nM, respectively. CHMFL-ABL-039 is used in the research of chronic myeloid leukemia [1]. |
| **Purity:** >98% |
| **Clinical Data:** No Development Reported |
| **Size:** 500 mg, 100 mg, 250 mg |

| **CHMFL-ABL-121** | **Cat. No.: HY-119370** |
| **Bioactivity:** CHMFL-ABL-121 is a highly potent type II ABL kinase inhibitor with IC$_{50}$ of 2 nM and 0.2 nM against purified inactive ABL wt and T315I kinase protein, respectively [1]. |
| **Purity:** >98% |
| **Clinical Data:** No Development Reported |
| **Size:** 250 mg, 100 mg, 500 mg |

| **CZC-8004**  
| **(CZC-00008004)** | **Cat. No.: HY-111138** |
| **Bioactivity:** CZC-8004 is a pan-kinase inhibitor and binds a range of tyrosine kinases, including ABL kinase. |
| **Purity:** 99.51% |
| **Clinical Data:** No Development Reported |
| **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg |

---

| **Dasatinib hydrochloride**  
(BMS 354825 hydrochloride) | Cat. No.: HY-10181A |
<table>
<thead>
<tr>
<th></th>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Dasatinib hydrochloride is a potent and dual Abl&lt;sub&gt;WT&lt;/sub&gt;/Src inhibitor IC&lt;sub&gt;50&lt;/sub&gt; of 0.6 nM/0.8 nM respectively; also inhibits c-Kit&lt;sub&gt;WT&lt;/sub&gt;/c-Kit&lt;sub&gt;D816V&lt;/sub&gt; with IC&lt;sub&gt;50&lt;/sub&gt; of 79 nM/37 nM.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 98.84%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg |

| **Degransyn**  
(WP1130) | Cat. No.: HY-13264 |
<table>
<thead>
<tr>
<th></th>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Degransyn (WP1130) is a cell-permeable deubiquitinase (DUB) inhibitor, directly inhibiting DUB activity of USP9x, USP5, USP14, and UCHJ7. Degransyn has been shown to downregulate the antiapoptotic proteins Bcr-Abl and JAK2.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 99.70%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **Flumatinib**  
(HHGV678) | Cat. No.: HY-13904 |
<table>
<thead>
<tr>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Flumatinib (HHGV678) is a multi-kinase inhibitor with IC50 Values of 1.2 nM, 307.6 nM and 2662 nM for c-Abl, PDGFRβ and c-Kit respectively.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 99.70%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| **Flumatinib mesylate**  
(HHGV678 mesylate) | Cat. No.: HY-13905 |
<table>
<thead>
<tr>
<th></th>
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</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Flumatinib mesylate (HH-GV-678 mesylate), a derivative of imatinib, is a multi-kinase inhibitor with IC50 Values of 1.2 nM, 307.6 nM and 2662 nM for c-Abl, PDGFRβ and c-Kit respectively. IC50 Value: 1.2 nM (c-Abl); 307.6 nM(PDGFRβ); 2662 nM (c-Kit) [1] Target: c-Abl; c-Kit; PDGFRβ in vitro:...</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 95.0%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in Water, 500 mg |

| **GZN-2**  
Cat. No.: HY-11007 |
<table>
<thead>
<tr>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong> GZN-2 is a highly selective non-ATP competitive inhibitor of oncogenic Bcr-Abl activity (IC50 = 0.14 μM). IC50 value: 0.14 μM [1] Target: Bcr-Abl in vitro: Ba/F3 cells harboring native or T315I mutated Bcr-Abl constructs were treated with GZN-2 and AKIs. We monitored the effect of GZN-2 with AKIs on the...</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 94.88%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| **GZN-7**  
Cat. No.: HY-10943 |
<table>
<thead>
<tr>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> GZN-7 inhibits Bcr-Abl WT and Bcr-Abl T315I with IC50 of 133 nM and 61 nM, respectively.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 99.47%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **GZN-824**  
Cat. No.: HY-15666 |
<table>
<thead>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> GZN-824 is a novel orally bioavailable Bcr-Abl inhibitor for Bcr-Abl(WT) and Bcr-Abl(T315I) with IC50 of 0.34 nM and 0.68 nM, respectively.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 99.73%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg |

| **GZN-856**  
Cat. No.: HY-101489 |
<table>
<thead>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong> GZN-856 is a novel and orally bioavailable PDGF&lt;sub&gt;β&lt;/sub&gt; inhibitor with IC&lt;sub&gt;50&lt;/sub&gt; of 68.6 and 136.6 nM, respectively. Anti-lung cancer activities [1]. Also a Bcr-Abl&lt;sub&gt;T315I&lt;/sub&gt; inhibitor with IC&lt;sub&gt;50&lt;/sub&gt; of 19.9 and 15.4 nM for Bcr-Abl and T315I mutant [2].</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 250 mg, 500 mg |
Imatinib (STI571; CGP-57148B)  
**Cat. No.: HY-15463**

**Bioactivity:** Imatinib (STI571) is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR (IC₅₀=100 nM) tyrosine kinases.

**Purity:** 99.80%

**Clinical Data:** Launched

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

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Imatinib Mesylate (STI571 (Mesylate); CGP-57148B (Mesylate))  
**Cat. No.: HY-50946**

**Bioactivity:** Imatinib Mesylate (STI571 Mesylate) is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR (IC₅₀=100 nM) tyrosine kinases.

**Purity:** 99.91%

**Clinical Data:** Launched

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

---

KW-2449  
**Cat. No.: HY-10339**

**Bioactivity:** KW-2449 is a multi-targeted kinase inhibitor of FLT3, ABL, ABL[T315I] and Aurora kinase with IC₅₀ of 6.6, 14, 4 and 48 nM, respectively.

**Purity:** 99.85%

**Clinical Data:** Phase 1

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

---

Lyn-IN-1  
**Cat. No.: HY-12039**

**Bioactivity:** Lyn-IN-1 is a potent and selective dual Bcr-Abl/Lyn inhibitor, extracted from patent WO2014169128A1.

**Purity:** 98.03%

**Clinical Data:** No Development Reported

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

---

Nilotinib (AMN107)  
**Cat. No.: HY-10159**

**Bioactivity:** Nilotinib is an orally available Bcr-Abl tyrosine kinase inhibitor with antineoplastic activity.

**Purity:** 99.94%

**Clinical Data:** Launched

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

---

Nilotinib monohydrochloride monohydrate (AMN107 (monohydrochloride monohydrate))  
**Cat. No.: HY-10159A**

**Bioactivity:** Nilotinib monohydrochloride monohydrate is a second generation tyrosine kinase inhibitor (TKI), is significantly more potent against BCR-ABL than Imatinib, and is active against many Imatinib-resistant BCR-ABL mutants.

**Purity:** 99.97%

**Clinical Data:** Launched

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

---

Nocodazole (Oncodazole; R17934)  
**Cat. No.: HY-13520**

**Bioactivity:** Nocodazole is a rapidly-reversible inhibitor of microtubule. Nocodazole binds to β-tubulin and disrupts microtubule assembly/disassembly dynamics, which prevents mitosis and induces apoptosis in tumor cells. Nocodazole inhibits Bcr-Abl, activates CRISPR/Cas9.

**Purity:** 98.68%

**Clinical Data:** No Development Reported

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

---

ON 146040  
**Cat. No.: HY-12338**

**Bioactivity:** ON 146040 is a potent PI3Kα and PI3Kδ (IC₅₀=14 and 20 nM, respectively) inhibitor. ON 146040 also inhibits Abl1 (IC₅₀<150 nM).

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

PD173955  
**Cat. No.: HY-10395**

**Bioactivity:** PD173955 is src family-selective tyrosine kinase inhibitor with IC₅₀ of ~22 nM for Src, Yes and Abl kinase; less potent for FGFRαs and no activity on InsR and PKC.

**Purity:** 99.04%

**Clinical Data:** No Development Reported

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

---

Ponatinib (AP24534)  
**Cat. No.: HY-12047**

**Bioactivity:** Ponatinib is a potent, orally available multi-targeted kinase inhibitor with IC₅₀ of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM, and 5.4 nM for Abl, PDGFRα, VEGFR2, FGFR1, and Src, respectively.

**Purity:** 98.96%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Rebastinib (DCC-2036)</td>
<td>HY-13024</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 250 mg, 500 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-013</td>
<td>HY-111860</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 500 mg, 250 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-015</td>
<td>HY-111854</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>500 mg, 100 mg, 250 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-019</td>
<td>HY-111873</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>500 mg, 100 mg, 250 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-020</td>
<td>HY-111872</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 500 mg, 250 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-024</td>
<td>HY-111861</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 100 mg, 500 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-033</td>
<td>HY-111871</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg, 100 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-039</td>
<td>HY-111874</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 250 mg, 500 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-044</td>
<td>HY-111862</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 250 mg, 500 mg</td>
</tr>
<tr>
<td>SNIPER(ABL)-047</td>
<td>HY-111863</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 250 mg, 500 mg</td>
</tr>
</tbody>
</table>

**Bioactivity:**
- **Rebastinib (DCC-2036):** It is a conformational control Bcr-Abl inhibitor for Abl\textsuperscript{WT} and Abl\textsuperscript{T315I} with IC\textsubscript{50} of 0.8 nM and 4 nM, also inhibits SRC, KDR, FLT3, and Tie-2, and low activity to seen towards c-Kit.
- **SNIPER(ABL)-013:** Conjugating GNFS (ABL inhibitor) to Bestatin (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC\textsubscript{50} of 20 μM \[1\].
- **SNIPER(ABL)-015:** Conjugating GNFS (ABL inhibitor) to MV-1 (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC\textsubscript{50} of 5 μM \[1\].
- **SNIPER(ABL)-019:** Conjugating Dasatinib (ABL inhibitor) to MV-1 (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC\textsubscript{50} of 0.3 μM \[1\].
- **SNIPER(ABL)-020:** Conjugating Dasatinib (ABL inhibitor) to Bestatin (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC\textsubscript{50} of 5 μM \[1\].
- **SNIPER(ABL)-024:** Conjugating GNFS (ABL inhibitor) to LCL161 derivative (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC\textsubscript{50} of 5 μM \[1\].
- **SNIPER(ABL)-033:** Conjugating HG-7-85-01 (ABL inhibitor) to LCL161 derivative (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC\textsubscript{50} of 0.3 μM \[1\].
- **SNIPER(ABL)-039:** Conjugating Dasatinib (ABL inhibitor) to LCL161 derivative (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC\textsubscript{50} of 10 nM. IC\textsubscript{50}s are 0.54 nM, 10 nM, 12 nM, and 50 nM for ABL, cIAP1, cIAP2, XI...
**SNIPER(ABL)-049**

**Cat. No.: HY-111851**

**Bioactivity:** SNIPER(ABL)-049, conjugating Imatinib (ABL inhibitor) to Bestatin (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC$_{50}$ of 100 μM $^{[1]}$.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500 mg, 250 mg, 100 mg

---

**SNIPER(ABL)-050**

**Cat. No.: HY-111858**

**Bioactivity:** SNIPER(ABL)-050, conjugating Imatinib (ABL inhibitor) to MV-1 (IAP ligand) with a linker, induces the reduction of BCR-ABL protein $^{[1]}$.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 100 mg, 250 mg, 500 mg

---

**SNIPER(ABL)-058**

**Cat. No.: HY-111859**

**Bioactivity:** SNIPER(ABL)-058, conjugating Imatinib (ABL inhibitor) to LCL161 derivative (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC$_{50}$ of 10 μM $^{[1]}$.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 100 mg, 250 mg, 500 mg

---

**SNIPER(ABL)-062**

**Cat. No.: HY-124847**

**Bioactivity:** SNIPER(ABL)-062, in which an ABL inhibitor is linked to a ligand of cIAP1 via a linker containing a variable polyethylene glycol (PEG) unit, shows a potent activity to degrade the BCR-ABL protein $^{[1]}$.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 100 mg, 250 mg, 500 mg

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**XL228**

**Cat. No.: HY-15749**

**Bioactivity:** XL228 is a multi-targeted tyrosine kinase inhibitor with IC$_{50}$s of 5, 3.1, 1.6, 6.1, 2 nM for Bcr-Abl, Aurora A, IGF-1R, Src and Lyn, respectively.

**Purity:** 99.61%

**Clinical Data:** No Development Reported

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

Bmx is a non-receptor tyrosine kinase belonging to the Tec kinase family. The protein contains a PH-like domain, which mediates membrane targeting by binding to phosphatidylinositol 3,4,5-triphosphate (PIP3), and a SH2 domain that binds to tyrosine-phosphorylated proteins and functions in signal transduction. The protein is implicated in several signal transduction pathways including the Stat pathway, and regulates differentiation and tumorigenicity of several types of cancer cells. Bmx is characterized by an N-terminal pleckstrin homology domain and has been shown to be a downstream effector of phosphatidylinositol 3-kinase. P21-activated kinase 1 (Pak1), another well characterized effector of phosphatidylinositol 3-kinase, has been implicated in the progression of breast cancer cells.
# BMX Kinase Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>BMX-IN-1</strong></th>
<th>Cat. No.: HY-80002</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity</strong>: BMX-IN-1 is a selective, irreversible inhibitor of bone marrow tyrosine kinase on chromosome X (BMX) that targets Cys^496 in the BMX ATP binding domain with an IC_{50} of 8 nM, also targets the related Bruton’s tyrosine kinase (BTK) w...</td>
<td></td>
</tr>
<tr>
<td><strong>Purity</strong>: 98.88%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data</strong>: No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size</strong>: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</table>

**BMX-IN-1** (BMX kinase inhibitor)

<table>
<thead>
<tr>
<th><strong>CHMFL-BMX-078</strong></th>
<th>Cat. No.: HY-101267</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity</strong>: CHMFL-BMX-078 is a highly potent and selective type II irreversible BMX kinase inhibitor with an IC_{50} of 11 nM.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity</strong>: 98.0%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data</strong>: No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size</strong>: 10mM x 1mL in DMSO, 1 mg</td>
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</tbody>
</table>

**CHMFL-BMX-078** (CHMFL-BMX 078)
Btk

Bruton tyrosine kinase (Btk) is a member of the Tec family kinases with a well-characterized role in B-cell antigen receptor (BCR)-signaling and B-cell activation. Btk plays a crucial role in B cell development and activation through the BCR signaling pathway and represents a new target for diseases characterized by inappropriate B cell activity. Btk is a kinase expressed exclusively in B cells and myeloid cells and has a well characterized, vital role in B cells highlighted by the human primary immune deficiency disease, X-linked agammaglobulinemia (XLA), which results from mutation in the Btk gene. Btk plays an essential role in the BCR signaling pathway. Antigen binding to the BCR results in B cell receptor oligomerization, Syk and Lyn kinase activation, followed by Btk kinase activation. Once activated, Btk forms a signaling complex with proteins such as BLNK, Lyn, and Syk and phosphorylates phospholipase C (PLC)γ2. This leads to downstream release of intracellular Ca\(^{2+}\) stores and propagation of the BCR signaling pathway through extracellular signal-regulated kinase and NF-κB signaling, ultimately resulting in transcriptional changes to foster B cell survival, proliferation, and/or differentiation.
# Btk Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>(±)-Zanubrutinib</strong>&lt;br&gt;<strong>((±)-BGB-3111)</strong>&lt;br&gt;Cat. No.: HY-101474</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> (±)-Zanubrutinib is a potent, selective and orally available Bruton’s tyrosine kinase (Btk) inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.70%</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, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Acalabrutinib</strong>&lt;br&gt;<strong>((ACP-196)</strong>&lt;br&gt;Cat. No.: HY-17600</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Acalabrutinib is a novel, potent, and highly selective BTK inhibitor, with an IC&lt;sub&gt;50&lt;/sub&gt; of 3 nM and EC&lt;sub&gt;50&lt;/sub&gt; of 8 nM in vitro assay.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.94%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
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<thead>
<tr>
<th><strong>ARQ 531</strong>&lt;br&gt;Cat. No.: HY-112215</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> ARQ 531 is a reversible non-covalent inhibitor of Bruton’s Tyrosine Kinase (BTK), with IC&lt;sub&gt;50&lt;/sub&gt; of 0.85 nM and 0.39 nM for WT-BTK and C481S-BTK, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.54%</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>

<table>
<thead>
<tr>
<th><strong>BMS-935177</strong>&lt;br&gt;Cat. No.: HY-101793</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> BMS-935177 is a potent and selective reversible inhibitor of Bruton’s tyrosine kinase (Btk) with an IC&lt;sub&gt;50&lt;/sub&gt; of 3 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.05%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>BMS-986142</strong>&lt;br&gt;Cat. No.: HY-101856</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> BMS-986142 is a potent and highly selective reversible inhibitor of Bruton’s tyrosine kinase (BTK) with an IC&lt;sub&gt;50&lt;/sub&gt; of 0.5 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.92%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</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>

<table>
<thead>
<tr>
<th><strong>BMS-986195</strong>&lt;br&gt;Cat. No.: HY-112161</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> BMS-986195 is a potent, covalent, irreversible inhibitor of Bruton’s tyrosine kinase (BTK), with an IC&lt;sub&gt;50&lt;/sub&gt; of &lt;1 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.56%</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, 200 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>BMX-IN-1</strong>&lt;br&gt;(BMX kinase inhibitor)&lt;br&gt;Cat. No.: HY-80002</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> BMX-IN-1 is a selective, irreversible inhibitor of bone marrow tyrosine kinase on chromosome X (BMX) that targets Cys&lt;sup&gt;496&lt;/sup&gt; in the BMX ATP binding domain with an IC&lt;sub&gt;50&lt;/sub&gt; of 8 nM, also targets the related Bruton’s tyrosine kinase (BTK) w...</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.88%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>BTK IN-1</strong>&lt;br&gt;Cat. No.: HY-101941</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> BTK IN-1 is a potent BTK inhibitor, with an IC&lt;sub&gt;50&lt;/sub&gt; of &lt;100 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.88%</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>

<table>
<thead>
<tr>
<th><strong>Btk inhibitor 1</strong>&lt;br&gt;Cat. No.: HY-13036</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Btk inhibitor 1 is a pyrazolo[3,4-d]pyrimidine derivative as a Btk kinase inhibitor. IC50 value: Target: Btk From PCT Int. Appl. (2012), WO 2012158843 A2 20121122.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 97.61%</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>

<table>
<thead>
<tr>
<th><strong>Btk inhibitor 1 hydrochloride</strong>&lt;br&gt;Cat. No.: HY-13036C</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Btk inhibitor 1 HCl is a pyrazolo[3,4-d]pyrimidine derivative as a Btk kinase 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, 100 mg</td>
</tr>
</tbody>
</table>

www.MedChemExpress.com
**Btk inhibitor 1 R enantiomer**

*Cat. No.: HY-13036A*

**Bioactivity:** Btk inhibitor 1 R enantiomer is a pyrazolo[3,4-d]pyrimidine derivative as a Btk kinase inhibitor. IC50 value: Target: Btk From PCT Int. Appl. (2012), WO 2012158843 A2 201211122.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

---

**Btk inhibitor 1 R enantiomer hydrochloride**

*Cat. No.: HY-13036B*

**Bioactivity:** Btk inhibitor 1R enantiomer Hcl is a pyrazolo[3,4-d]pyrimidine derivative as a Btk kinase inhibitor.

**Purity:** 99.03%

**Clinical Data:** No Development Reported

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

---

**Btk inhibitor 2**

*Cat. No.: HY-101766*

**Bioactivity:** Btk inhibitor 2 is a Bruton’s tyrosine kinase (BTK) inhibitor extracted from patent US 20170224688 A1.

**Purity:** 98.93%

**Clinical Data:** No Development Reported

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

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**CG-806**

*Cat. No.: HY-112646*

**Bioactivity:** CG-806 is a pan FLT3/BTK Multi-Kinase inhibitor.

**Purity:** 98.02%

**Clinical Data:** No Development Reported

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

---

**CGI-1746**

*Cat. No.: HY-11999*

**Bioactivity:** CGI-1746 is a potent and highly selective inhibitor of the Btk with IC50 of 1.9 nM.

**Purity:** 97.40%

**Clinical Data:** No Development Reported

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

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**Evobrutinib (M2951; MSC2364447C)**

*Cat. No.: HY-101215*

**Bioactivity:** Evobrutinib is an inhibitor of Bruton’s tyrosin kinase (Btk) inhibitor extracted from patent US20140162983 example 0174.

**Purity:** 98.17%

**Clinical Data:** Phase 2

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

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**Fenebrutinib (GDC-0853)**

*Cat. No.: HY-19834*

**Bioactivity:** Fenebrutinib (GDC-0853) is a potent, selective, and noncovalent bruton’s tyrosine kinase (Btk) inhibitor with a K_i of 0.91 nM.

**Purity:** 99.50%

**Clinical Data:** Phase 2

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

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**G-744**

*Cat. No.: HY-102036*

**Bioactivity:** G-744 is a highly potent, selective Btk inhibitor with an IC50 of 2 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 100 mg, 500 mg, 250 mg

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**GDC-0834**

*Cat. No.: HY-15427*

**Bioactivity:** GDC-0834 is a potent and selective BTK inhibitor. GDC-0834 inhibits BTK with an in vitro IC50 of 5.9 and 6.4 nM in biochemical and cellular assays, respectively, and in vivo IC50 of 1.1 and 5.6 μM in mouse and rat, respectively.

**Purity:** 99.07%

**Clinical Data:** No Development Reported

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

---

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com
### Bioactivity:
GDC-0834 Racemate is the racemate form of GDC-0834, which is a potent and selective BTK inhibitor with in vitro IC50s of 5.9 and 6.4 nM in biochemical and cellular assays, respectively. IC50 value: 5.9 nM/6.4 nM (biochemical/cellular assay) [1]. Target: BTK in vitro: GDC-0834 inhibited BTK with an IC50 of 5.9 nM/6.4 nM (biochemical/cellular assay).

### Purity:
99.49%

### Clinical Data:
No Development Reported

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

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### Bioactivity:
GDC-0834 (S-enantiomer) is the S-enantiomer of GDC-0834. GDC-0834 is a potent and selective BTK inhibitor.

### Purity:
95.65%

### Clinical Data:
No Development Reported

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

---

### Bioactivity:
Ibrutinib (PCI-32765) is a selective, irreversible Btk inhibitor with an IC50 of 0.5 nM.

### Purity:
99.99%

### Clinical Data:
Launched

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

---

### Bioactivity:
LFM-A13 is a potent BTK, JAK2, PLK inhibitor, inhibits recombinant BTK, Plx1 and PLK3 with IC50s of 2.5 μM, 10 μM and 61 μM; LFM-A13 shows no effects on JAK1 and JAK3, Src family kinase HCK, EGFR and IRK.

### Purity:
99.70%

### Clinical Data:
No Development Reported

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

---

### Bioactivity:
PCI 29732 is a selective and irreversible Btk inhibitor with IC50 of 8.2 nM in a FRET based biochemical enzymology assay.

### Purity:
>98%

### Clinical Data:
No Development Reported

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

---

### Bioactivity:
PF-06250112 is a potent, highly selective, orally bioavailable BTK inhibitor with an IC50 of 0.5 nM, shows inhibitory effect toward BMX nonreceptor tyrosine kinase and TEC with IC50s of 0.9 nM and 1.2 nM, respectively [1].

### Purity:
>98%

### Clinical Data:
No Development Reported

### Size:
5 mg

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### Bioactivity:
PRN1008 is a reversible covalent, selective and oral active inhibitor of Bruton's Tyrosine Kinase (BTK), with an IC50 of 1.3 nM.

### Purity:
99.49%

### 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
**QL47**  
**Bioactivity:** QL47 is a potent, selective and irreversible BTK kinase inhibitor with IC50 of 7 nM. IC50 Value: 7 nM  
**Purity:** 99.45%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 50 mg

**RN486**  
**Bioactivity:** RN486 is a selective Btk inhibitor with an IC50 Value of 4.0 nM.  
**Purity:** 99.87%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

**Spebrutinib**  
**Bioactivity:** Spebrutinib (AVL-292; CC-292) is a covalent, orally active, and highly selective with an IC50 of 0.5 nM.  
**Purity:** 99.95%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Spebrutinib besylate**  
**Bioactivity:** Spebrutinib besylate (AVL-292 benzenesulfonate; CC-292 besylate) is a potent inhibitor of Btk kinase activity (IC50<0.5 nM, K_m/K_i=7.69×10^-4 M^-1 s^-1) in biochemical assays.  
**Purity:** >98%  
**Clinical Data:** Phase 2  
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

**Tirabrutinib**  
**Bioactivity:** Tirabrutinib (ONO-4059; GS-4059) is a highly selective, orally bioavailable BTK inhibitor with an IC50 of 2.2 nM.  
**Purity:** 99.31%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Tirabrutinib hydrochloride**  
**Bioactivity:** Tirabrutinib (ONO-4059) hydrochloride is a selective and novel inhibitor of BTG with IC50 2.2 nm, Tirabrutinib binds to BTG within cells, thereby preventing B-cell receptor signaling and impeding B-cell development.  
**Purity:** 98.74%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Vecabrutinib**  
**Bioactivity:** Vecabrutinib is a potent, noncovalent BTK and ITK inhibitor, with K_d of 0.3 nM and 2.2 nM, respectively; Vecabrutinib shows an IC50 of 24 nM for ITK.  
**Purity:** 99.96%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Zanubrutinib**  
**Bioactivity:** Zanubrutinib is a selective Bruton tyrosine kinase (BTK) inhibitor.  
**Purity:** 99.45%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg
c-Fms

CSF-1 receptor; colony stimulating factor 1 receptor; CSF1R

c-FMS (CSF1R, CSF-1R) is located at the cell plasma membrane. c-FMS is the receptor for the ligand colony stimulating factor-1 (CSF1). c-FMS is an integral transmembrane glycoprotein that exhibits ligand-induced tyrosine-specific protein kinase activity, which triggers a signaling cascade eventually affecting transcription of CSF1-responsive genes. c-FMS tyrosine phosphorylation is induced upon binding of CSF1, leading to activation of Ras/Erk and class I-A phosphatidylinositol 3-kinase signaling pathways, which in turn activate the signal transducers and activators of transcription (STATs) pathways, specifically STAT1, STAT3, and STAT5. c-FMS activation by CSF1 results in increased growth, proliferation and differentiation.
## c-Fms Inhibitors & Modulators

### AZD7507
- **Cat. No.: HY-117244**
- **Bioactivity:** AZD7507 is a potent and orally active CSF-1R inhibitor, with antitumor activity.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### BLZ945
- **Cat. No.: HY-12768**
- **Bioactivity:** BLZ945 is a potent, selective and brain-penetrant CSF-1R inhibitor with an IC<sub>50</sub> of 1 nM, showing more than 1,000-fold selectivity against its closest receptor tyrosine kinase homologs.
- **Purity:** 99.56%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### c-Fms-IN-1
- **Cat. No.: HY-18791**
- **Bioactivity:** c-Fms-IN-1 is a FMS kinase inhibitor with an IC<sub>50</sub> of 0.0008 μM.[1]
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### c-Fms-IN-2
- **Cat. No.: HY-18787**
- **Bioactivity:** c-Fms-IN-2 is a FMS kinase inhibitor with an IC<sub>50</sub> of 0.024 μM.
- **Purity:** 99.05%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### c-Fms-IN-3
- **Cat. No.: HY-13075**
- **Bioactivity:** c-Fms-IN-3 is a novel c-Fms kinase inhibitor with a potential as anti-inflammatory agent and antirheumatic agent.
- **Purity:** 99.39%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

### c-Fms-IN-4
- **Cat. No.: HY-13076**
- **Bioactivity:** c-Fms-IN-4 is a novel c-Fms kinase inhibitor with a potential as an antitumor and antitumor activity.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

### BLZ945
- **Cat. No.: HY-12768**
- **Bioactivity:** BLZ945 is a potent, selective and brain-penetrant CSF-1R inhibitor with an IC<sub>50</sub> of 1 nM, showing more than 1,000-fold selectivity against its closest receptor tyrosine kinase homologs.
- **Purity:** 99.56%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### c-Fms-IN-5
- **Cat. No.: HY-18791**
- **Bioactivity:** c-Fms-IN-5 is a FMS kinase inhibitor with an IC<sub>50</sub> of 0.0008 μM.[1]
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### c-Fms-IN-6
- **Cat. No.: HY-111947**
- **Bioactivity:** c-Fms-IN-6 is a potent inhibitor of c-FMS, with an IC<sub>50</sub> of ≤10 nM for unphosphorylated c-FMS, also weakly inhibits unphosphorylated c-KIT and PDGFR (IC<sub>50</sub> > 1 μM). Used in the research of autoimmune diseases[1].
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 500 mg, 250 mg, 100 mg

### c-Fms-IN-7
- **Cat. No.: HY-111948**
- **Bioactivity:** c-Fms-IN-7 is a cFMS inhibitor extracted from patent WO2011079076A1, example159, has an IC<sub>50</sub> of 18.5 nM[1].
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 100 mg, 250 mg, 500 mg

### c-Fms-IN-8
- **Cat. No.: HY-119942**
- **Bioactivity:** c-Fms-IN-8 (compound 4a) is a colony stimulating factor-1 receptor (CSF-1R, c-FMS) Type II inhibitor, with an IC<sub>50</sub> of 9.1 nM[1].
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 100 mg, 250 mg, 500 mg

### cFMS Receptor Inhibitor II
- **Cat. No.: HY-112451**
- **Bioactivity:** cFMS Receptor Inhibitor II is a CSF1R kinase inhibitor. CSF-1 is a cytokine[1].
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>CSF1R-IN-1</td>
<td>HY-101774</td>
<td>CSF1R-IN-1 is a <strong>CSF1R</strong> inhibitor with an <em>IC_{50}</em> of 0.5 nM.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg</td>
</tr>
<tr>
<td>CSF1R-IN-2</td>
<td>HY-111787</td>
<td>CSF1R-IN-2 (compound 5) is an oral-active inhibitor of <strong>SRC</strong>, <strong>MET</strong> and <strong>c-FMS</strong>, with <em>IC_{50}</em> values of 0.12 nM, 0.14 nM and 0.76 nM for SRC, MET and c-FMS respectively [1].</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg</td>
</tr>
<tr>
<td>Edicotinib</td>
<td>HY-109086</td>
<td>Edicotinib is a selective and orally available <strong>colonystimulating factor-1 (CSF-1) receptor</strong> inhibitor, and has entered phase IA clinical trial to study rheumatoid arthritis (RA) despite disease.</td>
<td>99.88%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td>GENZ-882706(Raceme)</td>
<td>HY-101526R</td>
<td>GENZ-882706(Raceme) is the racemate of GENZ-882706.</td>
<td>98.79%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td>GW2580</td>
<td>HY-10917</td>
<td>GW2580 is an orally bioavailable inhibitor of <strong>c-Fms kinase</strong> which completely inhibits human cFMS kinase in vitro at 0.06 μM.</td>
<td>98.45%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</td>
</tr>
<tr>
<td>JTE-952</td>
<td>HY-122906</td>
<td>JTE-952 is a potent, oral active and selective Type II inhibitor of <strong>colony stimulating factor-1 receptor (CSF-1R or cFMS, type III receptor tyrosine kinase)</strong>, with <em>IC_{50}</em> values of 13 nM and 261 nM for CSF1R and TrkA, respectively. Effective against a mouse collagen-induced model of arthritis...</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg</td>
</tr>
<tr>
<td>Ki20227</td>
<td>HY-10408</td>
<td>Ki-20227 is a highly selective c-Fms tyrosine kinase(CSF1R) inhibitor with IC50 value of 2 nM; 6 fold and &gt; 100 fold selectivity over VEGFR2(IC50=12 nM) and c-Kit/PDGFRβ(IC50=451/217 nM), respectively. IC50 value: Target: CSF1R in vitro: Ki20227 did not inhibit other kinases...</td>
<td>99.30%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>OSI-930</td>
<td>HY-10204</td>
<td>OSI-930 is a potent inhibitor of Kit, KDR and CSF-1R with IC50 of 80 nM, 9 nM and 15 nM, respectively, also potent to Flt-1, c-Raf and Lck and low activity against PDGFRα/β, Flt-3 and Abl. IC50 value: 9 nM(VEGFR2); 15 nM(CSF1R); 80 nM (Kit activated) [1] Target: VEGFR2/Kit/CSF1R in vitro: OSI-930...</td>
<td>97.23%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Pazopanib Hydrochloride</td>
<td>HY-12009</td>
<td>Pazopanib Hydrochloride (GW786034 Hydrochloride) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with an <em>IC_{50}</em> of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.</td>
<td>99.92%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
</tr>
</tbody>
</table>

[1] Target: VEGFR2/Kit/CSF1R in vitro: Ki20227 did not inhibit other kinases...
### Pexidartinib (PLX-3397)  
**Cat. No.: HY-16749**

**Bioactivity:** Pexidartinib (PLX-3397) is a potent, selective and ATP-competitive CSF1R (cFMS) and c-Kit inhibitor, with IC\(_{50}\)s of 20 and 10 nM, respectively. Pexidartinib exhibits 10- to 100-fold selectivity for c-Kit and CSF1R over other related kinases.

**Purity:** 99.64%

**Clinical Data:** Phase 1

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

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### Pexidartinib hydrochloride (PLX-3397 hydrochloride)  
**Cat. No.: HY-16749A**

**Bioactivity:** Pexidartinib hydrochloride (PLX-3397 hydrochloride) is a potent, selective and ATP-competitive CSF1R (cFMS) and c-Kit inhibitor, with IC\(_{50}\)s of 20 and 10 nM, respectively. Pexidartinib exhibits 10- to 100-fold selectivity for c-Kit and CSF1R over other related kinases. Anti-cancer activity...

**Purity:** 99.50%

**Clinical Data:** No Development Reported

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

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### PLX647  
**Cat. No.: HY-13838**

**Bioactivity:** PLX647 is a highly specific dual FMS/KIT kinase inhibitor with IC\(_{50}\) of 28/16 nM respectively. IC50 value: 28/16 nM(FMS/KIT)  
[1] Target: FMS/KIT dual inhibitor in vitro: PLX647 was tested against a panel of 400 kinases at a concentration of 1 μM, 35-fold above its FMS enzymatic IC50 and 60-fold above its KIT...

**Purity:** 98.20%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
c-Kit (Mast/stem cell growth factor receptor, SCFR or CD117) is a protein that in humans is encoded by the KIT gene. c-Kit (CD117) is an important cell surface marker used to identify certain types of hematopoietic (blood) progenitors in the bone marrow. c-Kit is a cytokine receptor expressed on the surface of hematopoietic stem cells as well as other cell types. Altered forms of this receptor may be associated with some types of cancer. c-Kit is a receptor tyrosine kinase type III, which binds to stem cell factor. When c-Kit binds to stem cell factor (SCF) it forms a dimer that activates its intrinsic tyrosine kinase activity, that in turn phosphorylates and activates signal transduction molecules that propagate the signal in the cell. Signalling through c-Kit plays a role in cell survival, proliferation, and differentiation.
### c-Kit Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>AC710</strong></th>
<th>Cat. No.: HY-13493</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AC710 is a potent PDGFR inhibitor with $K_i$ of 0.6, 1.57, 1, 1.3, 1.0 nM for FLT3, CSF1R, KIT, PDGFRα and PDGFRβ, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.03%</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>Amuvatinib hydrochloride</strong> (MP470 hydrochloride; HPK 56 hydrochloride)</th>
<th>Cat. No.: HY-10206A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Amuvatinib hydrochloride (MP470 hydrochloride) is a multi-targeted receptor tyrosine kinases inhibitor, which inhibits c-Kit (D816V), c-Kit (D816H), c-Kit (V560G), c-Kit (V564A), PDGFRα (D842V), and PDGFRα (V561D) with $IC_{50}$ of 950 nM, 10 nM, 34 nM, 127 nM, 81 nM, and 40 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.36%</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>Avapritinib</strong> (BLU-285)</th>
<th>Cat. No.: HY-101561</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Avapritinib is a potent and selective exon 17 mutant KIT kinase inhibitor with $IC_{50}$ of 0.27 nM for KIT D816V.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</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, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>AZD2932</strong></th>
<th>Cat. No.: HY-112802</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AZD2932 is a potent pan-KIT mutant inhibitor for the treatment of gastrointestinal stromal tumors.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.55%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
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</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>AZD3229 Tosylate</strong></th>
<th>Cat. No.: HY-112802A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AZD3229 Tosylate is a potent pan-KIT mutant inhibitor for the treatment of gastrointestinal stromal tumors.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.54%</td>
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<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
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<td><strong>Size:</strong></td>
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</table>

<table>
<thead>
<tr>
<th><strong>c-Kit-IN-2</strong></th>
<th>Cat. No.: HY-128602</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>c-Kit-IN-2 is a c-Kit inhibitor with an $IC_{50}$ of 82 nM, shows superior antiproliferative activities against all the three GIST cell lines, GIST882, GIST430, and GIST48, with GI50 of 3, 1, and 2 nM, 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, 100 mg</td>
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</tbody>
</table>
**Cabozantinib** (XL184; BMS-907351)  
**Cat. No.: HY-13016**  
**Bioactivity:** Cabozantinib is a potent multiple receptor tyrosine kinases inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and Flt3 with IC$_{50}$ values of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.  
**Purity:** 99.92%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

**CHMFL-KIT-033**  
**Cat. No.: HY-128589**  
**Bioactivity:** CHMFL-KIT-033 is a potent and selective inhibitor of c-KIT T670I mutant for gastrointestinal stromal tumors (GISTs), with an IC$_{50}$ of 0.045 μM [1].  
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 100 mg, 500 mg, 250 mg

**Dovitinib** (CHIR-258, TKI258)  
**Cat. No.: HY-50905**  
**Bioactivity:** Dovitinib is a multi-targeted tyrosine kinase inhibitor with IC$_{50}$ values of 1, 2, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, FGFR1/3, VEGFR1/2/3 and PDGFRα/β, respectively.  
**Purity:** 99.31%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

**Flumatinib** (HHGV678)  
**Cat. No.: HY-13904**  
**Bioactivity:** Flumatinib (HHGV678) is a multi-kinase inhibitor with IC50 values of 1.2 nM, 307.6 nM and 2662 nM for c-Ab1, PDGFRβ and c-Kit respectively.  
**Purity:** 99.94%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**Flumatinib mesylate** (HHGV678 mesylate)  
**Cat. No.: HY-13905**  
**Bioactivity:** Flumatinib mesylate (HH-GV-678 mesylate), a derivative of imatinib, is a multi-kinase inhibitor with IC50 values of 1.2 nM, 307.6 nM and 2662 nM for c-Ab1, PDGFRβ and c-Kit respectively. IC50 Value: 1.2 nM (c-Ab1); 307.6 nM(PDGFRβ); 2662 nM (c-Kit) [1] Target: c-Ab1; c-Kit; PDGFRβ in vitro:…  
**Purity:** 95.0%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in Water, 500 mg

**Imatinib Mesylate** (STI571, CGP-57148B mesylate)  
**Cat. No.: HY-15463**  
**Bioactivity:** Imatinib Mesylate (STI571 Mesylate) is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR (IC$_{50}$ =100 nM) tyrosine kinases.  
**Purity:** 99.80%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 200 mg, 500 mg, 1 g, 5 g

**ISCK03**  
**Cat. No.: HY-101443**  
**Bioactivity:** ISCK03 is a specific SCF/c-Kit inhibitor.  
**Purity:** 98.82%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Imatinib** (STI571; CGP-57148B)  
**Cat. No.: HY-15463**  
**Bioactivity:** Imatinib (STI571) is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR (IC$_{50}$~100 nM) tyrosine kinases.  
**Purity:** 99.80%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 200 mg, 500 mg, 1 g, 5 g

**Masitinib** (AB1010)  
**Cat. No.: HY-10209**  
**Bioactivity:** Masitinib is an orally available Kit inhibitor with an IC$_{50}$ of 200 nM. It also inhibits PDGFRα/β with an IC$_{50}$ of 540 nM/800 nM.  
**Purity:** 99.94%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

**Masitinib mesylate** (AB-1010 mesylate)  
**Cat. No.: HY-10209A**  
**Bioactivity:** Masitinib mesylate is a novel inhibitor for Kit and PDGFRα/β with IC$_{50}$ of 200 nM and 540 nM/800 nM, and has weak inhibition to ABL and c-Fms.  
**Purity:** 99.31%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

www.MedChemExpress.com
Motesanib (AMG 706; Cat. No.: HY-10228)

Bioactivity: Motesanib is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC\textsubscript{50} of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is appr 10-fold more selective for VEGFR than PDGFR and Ret.
Purity: 99.75%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 50 mg, 100 mg

Motesanib Diphosphate (AMG 706 (Diphosphate); Cat. No.: HY-10229)

Bioactivity: Motesanib Diphosphate is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC\textsubscript{50} of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is approximately 10-fold more selective for VEGFR than PDGFR and Ret.
Purity: 99.64%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

OSI-930 (Cat. No.: HY-10204)

Bioactivity: OSI-930 is a potent inhibitor of Kit, KDR and CSF-1R with IC\textsubscript{50} of 80 nM, 9 nM and 15 nM, respectively; also potent to Flt-1, c-Raf and Lck and low activity against PDGFR\alpha/\beta, Fli-3 and Abl. IC\textsubscript{50} value: 9 nM(VEGFR2); 15 nM(CSF1R); 80 nM (Kit activated) [1] Target: VEGFR2/Kit/CSF1R in vitro: OSI-930...
Purity: 97.23%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Pazopanib (GW786034) (Cat. No.: HY-10208)

Bioactivity: Pazopanib (GW786034) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFR\beta, c-Kit, FGFR1, and c-Fms with an IC\textsubscript{50} of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.
Purity: 99.68%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Pazopanib Hydrochloride (GW786034 (Hydrochloride)) (Cat. No.: HY-12009)

Bioactivity: Pazopanib Hydrochloride (GW786034 Hydrochloride) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFR\beta, c-Kit, FGFR1, and c-Fms with an IC\textsubscript{50} of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.
Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Pexidartinib (PLX-3397) (Cat. No.: HY-16749A)

Bioactivity: Pexidartinib hydrochloride (PLX-3397 hydrochloride) is a potent, selective and ATP-competitive and CSF1R (cFMS) inhibitor, with IC\textsubscript{50} of 20 and 10 nM, respectively. Pexidartinib exhibits 10- to 100-fold selectivity for c-Kit and CSF1R over other related kinases. Anti-cancer activity...
Purity: 99.50%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

PLX647 (Cat. No.: HY-13838)

Bioactivity: PLX647 is a highly specific dual FMS/KIT kinase inhibitor with IC\textsubscript{50} of 28/16 nM respectively. IC\textsubscript{50} value: 28/16 nM/FMS/KIT [1] Target: FMS/KIT dual inhibitor in vitro: PLX647 was tested against a panel of 400 kinases at a concentration of 1 μM, 35-fold above its FMS enzymatic IC\textsubscript{50} and 60-fold above its KIT IC\textsubscript{50}.
Purity: 98.20%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Ripretinib (DCC-2618) (Cat. No.: HY-112306)

Bioactivity: Ripretinib (DCC-2618) is a pan- KIT and PDGFR\alpha inhibitor, and has antitumor activity.
Purity: 99.46%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Sitravatinib (MGCD516; MG516) (Cat. No.: HY-16961)

Bioactivity: Sitravatinib (MGCD516; MG516) is an orally bioavailable, receptor tyrosine kinase (RTK) inhibitor with IC\textsubscript{50} of 1.5 nM, 2 nM, 2 nM, 5 nM, 6 nM, 6 nM, 8 nM, 0.5 nM, 29 nM, 5nM, and 9 nM for Axl, MER, VEGFR3, VEGFR2, VEGFR1, KIT , FLT3, DD...
Purity: 99.85%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg
**SU14813**

**Bioactivity:** SU14813 is a multi-targeted receptor tyrosine kinases inhibitor with $IC_{50}$ of 50, 2, 4, 15 nM for VEGFR2, VEGFR1, PDGFRβ and KIT.

**Purity:** 99.49%

**Clinical Data:** No Development Reported

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

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**SU14813 maleate**

**Bioactivity:** SU14813 maleate is a multi-targeted receptor tyrosine kinases inhibitor with $IC_{50}$ of 50, 2, 4, 15 nM for VEGFR2, VEGFR1, PDGFRβ and KIT.

**Purity:** 99.34%

**Clinical Data:** No Development Reported

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

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**Telatinib (Bay 57-9352)**

**Bioactivity:** Telatinib (Bay 57-9352) is an orally active, small molecule inhibitor of VEGFR2, VEGFR3, PDGFα, and c-Kit with $IC_{50}$ of 6, 4, 15 and 1 nM, respectively.

**Purity:** 99.49%

**Clinical Data:** Phase 2

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

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www.MedChemExpress.com
c-Met (hepatocyte growth factor receptor, HGFR) is a protein that possesses tyrosine kinase activity. The primary single chain precursor protein is post-translationally cleaved to produce the alpha and beta subunits, which are disulfide linked to form the mature receptor. c-Met is a membrane receptor that is essential for embryonic development and wound healing. Hepatocyte growth factor (HGF) is the only known ligand of the c-Met receptor. c-Met is normally expressed by cells of epithelial origin, while expression of HGF is restricted to cells of mesenchymal origin. Upon HGF stimulation, c-Met induces several biological responses that collectively give rise to a program known as invasive growth.
## c-Met/HGFR Inhibitors & Modulators

### 2-Phospho-L-ascorbic acid trisodium salt (L-Ascorbic acid 2-phosphate trisodium salt; ...)  
**Cat. No.: HY-107837**

**Bioactivity:** 2-Phospho-L-ascorbic acid trisodium salt acts as an antioxidant and a stimulator of hepatocyte growth factor (HGF) production.

**Purity:** 99.36%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in Water, 1 g

### AMG-208  
**Cat. No.: HY-12035**

**Bioactivity:** AMG-208 is a potent small molecular c-Met inhibitor with an IC50 of 9.3 nM. IC50 value: 9.3 nM Target: c-Met in vitro: AMG-208 shows the potent inhibition of kinase c-Met activity with IC50 of 9 nM in a cell-free assay. Besides, AMG-208 treatment also leads to the inhibition of HGF-mediated c-Met...

**Purity:** 99.34%

**Clinical Data:** Phase 2

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

### BMS 777607  
(BMS 817378)  
**Cat. No.: HY-12076**

**Bioactivity:** BMS 777607 is a Met-related inhibitor for c-Met, Axl, Ron and Tyro3 with IC50's of 3.9 nM, 1.1 nM, 1.8 nM and 4.3 nM, respectively, and 40-fold more selective for Met-related targets than Lck. VEGFR-2, and TrkA/B, with more than 500-fold greater selectivity versus all other receptor and non-receptor...

**Purity:** 99.48%

**Clinical Data:** Phase 2

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

### c-Kit-IN-1  
**Cat. No.: HY-15240**

**Bioactivity:** c-Kit-IN-1 is a potent inhibitor of c-Kit and c-Met with IC50's of <200 nM.

**Purity:** 98.46%

**Clinical Data:** Phase 1

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

### c-Met inhibitor 1  
**Cat. No.: HY-15735**

**Bioactivity:** c-Met inhibitor 1 is an inhibitor of the c-Met receptor signaling pathway useful for the treatment of cancer including gastric, glioblastoma, and pancreatic cancer.

**Purity:** 98.72%

**Clinical Data:** No Development Reported

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

### Cabozantinib  
(XL184; BMS-907351)  
**Cat. No.: HY-13016**

**Bioactivity:** Cabozantinib is a potent multiple receptor tyrosine kinases inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and FGFR3 with IC50's of 0.03, 1.3, 4.6, 7 and 11.3 nM, respectively.

**Purity:** 99.92%

**Clinical Data:** Launched

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

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2-Phospho-L-ascorbic acid trisodium salt  
Cat. No.: HY-107837

Bioactivity: 2-Phospho-L-ascorbic acid trisodium salt acts as an antioxidant and a stimulator of hepatocyte growth factor (HGF) production.

Purity: 99.36%
Clinical Data: No Development Reported
Size: 10mM x 1mL in Water, 1 g

AMG-208  
Cat. No.: HY-12035

Bioactivity: AMG-208 is a potent small molecular c-Met inhibitor with an IC50 of 9.3 nM. IC50 value: 9.3 nM Target: c-Met in vitro: AMG-208 shows the potent inhibition of kinase c-Met activity with IC50 of 9 nM in a cell-free assay. Besides, AMG-208 treatment also leads to the inhibition of HGF-mediated c-Met...

Purity: 99.34%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

BMS 777607  
(BMS 817378)  
Cat. No.: HY-12076

Bioactivity: BMS 777607 is a Met-related inhibitor for c-Met, Axl, Ron and Tyro3 with IC50's of 3.9 nM, 1.1 nM, 1.8 nM and 4.3 nM, respectively, and 40-fold more selective for Met-related targets than Lck. VEGFR-2, and TrkA/B, with more than 500-fold greater selectivity versus all other receptor and non-receptor...

Purity: 99.48%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

C-Kit-IN-1  
Cat. No.: HY-15240

Bioactivity: c-Kit-IN-1 is a potent inhibitor of c-Kit and c-Met with IC50's of <200 nM.

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

C-Met inhibitor 1  
Cat. No.: HY-15735

Bioactivity: c-Met inhibitor 1 is an inhibitor of the c-Met receptor signaling pathway useful for the treatment of cancer including gastric, glioblastoma, and pancreatic cancer.

Purity: 98.72%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Cabozantinib  
(XL184; BMS-907351)  
Cat. No.: HY-13016

Bioactivity: Cabozantinib is a potent multiple receptor tyrosine kinases inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and FGFR3 with IC50's of 0.03, 1.3, 4.6, 7 and 11.3 nM, respectively.

Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg
Capmatinib  
(INCB28060; INC-280)  
Cat. No.: HY-13404  
Bioactivity: Capmatinib (INCB28060) is a potent and selective c-MET kinase inhibitor. Capmatinib (INCB28060) inhibits c-MET kinase activity with an average $IC_{50}$ of 0.13 nM.  
Purity: 99.84%  
Clinical Data: Phase 4  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

CEP-40783  
(RXDX-106)  
Cat. No.: HY-100946  
Bioactivity: CEP-40783 is a potent, selective and orally available inhibitor of AXL and c-Met with $IC_{50}$ values of 7 nM and 12 nM, respectively.  
Purity: 98.25%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Crizotinib  
(PF-02341066)  
Cat. No.: HY-50878  
Bioactivity: Crizotinib is a potent inhibitor of c-Met and ALK with an $IC_{50}$ of 11 nM and 24 nM in cell-based assays, respectively.  
Purity: 99.97%  
Clinical Data: Launched  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Crizotinib hydrochloride  
(PF-02341066 hydrochloride)  
Cat. No.: HY-50878A  
Bioactivity: Crizotinib hydrochloride is a potent inhibitor of c-Met and ALK with $IC_{50}$ of 11 nM and 24 nM in cell-based assays, respectively.  
Purity: 99.86%  
Clinical Data: Launched  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

CSF1R-IN-2  
Cat. No.: HY-111787  
Bioactivity: CSF1R-IN-2 (compound 5) is an oral-active inhibitor of SRC, MET and c-FMS, with $IC_{50}$ values of 0.12 nM, 0.14 nM and 0.76 nM for SRC, MET and c-FMS respectively.[1]  
Purity: >98%  
Clinical Data: No Development Reported  
Size: 250 mg, 500 mg

Dihexa  
(PNB-0408; N-hexanoic-Try-Ile-(6)-amino hexanoic amide; Hexanoyl-Tyr-Ile-Ahx-NH2)  
Cat. No.: HY-16969  
Bioactivity: Dihexa is an orally active, blood-brain barrier-permeable angiotensin IV analog; exhibits high affinity binding hepatocyte growth factor (HGF) with a $K_d$ of 65 pM.  
Purity: 98.0%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 20 mg, 100 mg

Ensartinib  
(X-396)  
Cat. No.: HY-103714  
Bioactivity: Ensartinib (X-396) is a potent and dual ALK/ MET inhibitor with $IC_{50}$ of <0.4 nM and 0.74 nM, respectively.  
Purity: >98%  
Clinical Data: No Development Reported  
Size: 250 mg, 500 mg

Ensartinib hydrochloride  
(X-396 hydrochloride)  
Cat. No.: HY-103714A  
Bioactivity: Ensartinib hydrochloride (X-396 hydrochloride) is a potent and dual ALK/ MET inhibitor with $IC_{50}$ of <0.4 nM and 0.74 nM, respectively.  
Purity: 98.51%  
Clinical Data: No Development Reported  
Size: 2 mg, 5 mg, 10 mg

Foretinib  
(XL880; GSK1363089; GSK089; EXEL-2880)  
Cat. No.: HY-10338  
Bioactivity: Foretinib is a multi-target tyrosine kinase inhibitor with $IC_{50}$ of 0.4 nM and 0.9 nM for Met and KDR.  
Purity: 99.81%  
Clinical Data: Phase 2  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Glesatinib hydrochloride  
(MGCD265 hydrochloride)  
Cat. No.: HY-19642A  
Bioactivity: Glesatinib hydrochloride is an inhibitor of the MET and Axl receptor tyrosine kinase pathways, which drive tumour growth when altered. Target: MET, Axl Glesatinib is an orally bioavailable, small-molecule, multi-targeted tyrosine kinase inhibitor with potential antineoplastic activity. MGCD265...  
Purity: 98.25%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th><strong>Glumetinib</strong>&lt;br&gt;(SCC244)</th>
<th><strong>Cat. No.: HY-116000</strong></th>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Glumetinib (SCC244) is a potent and highly selective c-Met kinase inhibitor with an IC$_{50}$ of 0.42 nM. Glumetinib shows antitumor activity and a superior safety margin [1].</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>500 mg, 250 mg, 100 mg</td>
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<table>
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<tr>
<th><strong>JNJ-38877605</strong></th>
<th><strong>Cat. No.: HY-50683</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>JNJ-38877605 is an ATP-competitive inhibitor of c-Met with IC$<em>{50}$ of 4 nM, 600-fold selective for c-Met than 200 other tyrosine and serine-threonine kinases. IC$</em>{50}$ value: 4 nM [1] Target: c-Met in vitro: JNJ-38877605 shows more than 600-fold selectivity for c-Met compared with more than 200 other...</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.99%</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>
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<table>
<thead>
<tr>
<th><strong>Merestinib</strong>&lt;br&gt;(LY2801653)</th>
<th><strong>Cat. No.: HY-15514</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Merestinib (LY2801653) is a type-II ATP competitive, slow-off inhibitor of MET tyrosine kinase with a dissociation constant (K$_d$) of 2 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.99%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>MGCD-265 analog</strong></th>
<th><strong>Cat. No.: HY-10991</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>MGCD-265-analog (structurally related to MGCD-265) is an orally bioavailable multitargeted tyrosine kinase inhibitor with potential antiangiogenic activity with IC$<em>{50}$ of 29 nM and 10 nM for c-Met and VEGFR2, respectively, IC$</em>{50}$ value:10 nM (VEGFR2), 29 nM(c-Met) [1] Target:VEGFR, c-Met in vivo:...</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>96.53%</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</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>MK-2461</strong></th>
<th><strong>Cat. No.: HY-50703</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>MK-2461 is a novel ATP-competitive multitargeted inhibitor of activated c-Met with a mean IC$_{50}$ of 2.5 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.92%</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, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>MK-8033</strong></th>
<th><strong>Cat. No.: HY-13299</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>MK-8033 is a novel and specific dual ATP competitive c-Met/Ron inhibitor (IC$<em>{50}$=1 nM Wt c-Met) under investigation as a treatment for cancer. IC$</em>{50}$ Value: 1 nM (Wt c-Met); 2.0 nM (c-Met N1100Y) [1] Target: c-Met/Ron in vitro: MK-8033 binds 3-fold more tightly to phosphorylated c-Met kinase domain (Kd=...</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</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>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>MK-8033 hydrochloride</strong></th>
<th><strong>Cat. No.: HY-13299A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>MK-8033 Hcl is a novel and specific dual ATP competitive c-Met/Ron inhibitor (IC$_{50}$=1 nM Wt c-Met) under investigation as a treatment for cancer.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Bioactivity:
Ningetinib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC\textsubscript{50}\textsuperscript{s} of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.

Purity: 98.75%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity:
Ningetinib Tosylate is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC\textsubscript{50}\textsuperscript{s} of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.

Purity: 99.88%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity:
NPS-1034 is a dual inhibitor of AXL and MET with IC\textsubscript{50}\textsuperscript{s} of 10.3 and 48 nM, respectively.

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

Bioactivity:
NVP-BVU972 is a selective and potent Met inhibitor (IC\textsubscript{50} = 14 nM). Antitumor agents.

Purity: 97.35%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Bioactivity:
PFP-04217903 is a selective ATP-competitive c-Met inhibitor with IC\textsubscript{50} of 4.8 nM, susceptible to oncogenic mutations (no activity to Y1230C mutant). IC\textsubscript{50} value: 4.8 nM [1] Target: in vitro: Being more selective than staurosporine or PF-02341066, PFP-04217903 displays >1000-fold selectivity for c-Met over...

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

Bioactivity:
PFP-04217903 methanesulfonate is a selective ATP-competitive c-Met inhibitor with IC\textsubscript{50} of 4.8 nM, susceptible to oncogenic mutations (no activity to Y1230C mutant).

Purity: 99.87%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity:
PHA-665752 is a potent, selective and ATP-competitive c-Met inhibitor with an IC\textsubscript{50} of 9 nM.

Purity: 96.50%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Bioactivity:
S49076 is a novel, potent inhibitor of MET, AXL/MER, and FGFR1/2/3 with IC\textsubscript{50} values below 20 nM.

Purity: 98.99%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity:
SAR125844 is a potent, highly selective, reversible and ATP-competitive MET receptor tyrosine kinase (RTK) inhibitor, with an IC\textsubscript{50} of 4.2 nM. Shows inhibition of MET autophosphorylation in cell-based assays [1].

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

Bioactivity:
Savolitinib (Volitinib; HMPL-504; AZD-6094) is a highly potent and selective c-Met inhibitor with an IC\textsubscript{50} of 5 nM.

Purity: 98.45%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
| **SCR-1481B1**  
* (c-Met inhibitor 2) | **SGX-523**  
* Cat. No.: HY-18711A | **Bioactivity:** SCR-1481B1 (c-Met inhibitor 2) is a potent compound that has activity against cancers dependent upon Met activation and also has activity against cancers as a VEGFR inhibitor.  

**Purity:** 99.99%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
| **SRI 31215 TFA**  
* Cat. No.: HY-114363A | **Bioactivity:** SRI 31215 (TFA), a triplex inhibitor of matriptase, hepsin and hepatocyte growth factor activator (HGFA) with IC$_{50}$ of 0.69 μM, 0.65 μM, 0.3 μM, blocks pro-HGF activation and thus mimics the activity of HAI-1/2.  

**Purity:** 99.06%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
| **TAS-115**  
* Cat. No.: HY-12423 | **Bioactivity:** TAS-115 is a potent VEGFR and hepatocyte growth factor receptor (c-Met/HGFR)-targeted kinase inhibitor with IC$_{50}$s of 30 and 32 nM for rVEGFR2 and rMET, respectively.  

**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg, 10 mg, 20 mg |
| **Tepotinib**  
* (EMD-1214063) | **Bioactivity:** Tepotinib (EMD-1214063) is a potent and selective c-Met inhibitor with IC$_{50}$ of 4 nM, >200-fold selective for c-Met than IRAK4, TrkA, Axl, IRAK1, and Mer.  

**Purity:** 99.80%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
| **Tyrosine kinase inhibitor**  
* Cat. No.: HY-10421 | **Bioactivity:** A Tyrosine kinase inhibitor.  

**Purity:** 99.78%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg |
| **Tivantinib**  
* (ARQ 197) | **Bioactivity:** Tivantinib is a novel and highly selective c-Met tyrosine kinase inhibitor with K$_i$ of 355 nM.  

**Purity:** 99.39%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg |
| **X-376**  
* Cat. No.: HY-16590 | **Bioactivity:** X-376 is a potent and dual ALK/ MET inhibitor with IC$_{50}$s of 0.61 nM and 0.69 nM, respectively.  

**Purity:** 98.36%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |
Discoidin Domain Receptor

Discoidin domain receptors (DDRs) are receptor tyrosine kinases with the unique ability among receptor tyrosine kinases to respond to collagen. Several signaling molecules have been implicated in DDR signaling, including Shp-2, Src, and MAPK pathways. DDRs have been reported to induce the expression of various genes including matrix metalloproteinases and bone morphogenetic proteins, but the regulatory mechanisms underlying DDR-induced gene expression remain to be determined. DDRs regulate cell-collagen interactions in normal and pathological conditions and thus are emerging as major sensors of collagen matrices and potential novel therapeutic targets.
Discoidin Domain Receptor Inhibitors & Modulators

**DDR Inhibitor**

**Cat. No.: HY-W018931**

**Bioactivity:** DDR Inhibitor is a potent discoidin domain receptor (DDR) inhibitor, with an IC$_{50}$ of 3.3 nM for DDR2, and shows 53% inhibition on DDR1 at 1.5 nM.

**Purity:** 97.85%

**Clinical Data:** No Development Reported

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

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**DDR1-IN-1**

**Cat. No.: HY-13979**

**Bioactivity:** DDR1-IN-1 is a potent and selective DDR1 receptor tyrosine kinase inhibitor with an IC$_{50}$ of 105 nM; 4-fold less potent for DDR2 (IC$_{50}$ = 413 nM) $^{[1]}$.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

---

**DDR1-IN-1 dihydrochloride**

**Cat. No.: HY-13979A**

**Bioactivity:** DDR1-IN-1 dihydrochloride is a potent and selective DDR1 receptor tyrosine kinase inhibitor with an IC$_{50}$ of 105 nM; 4-fold less potent for DDR2 (IC$_{50}$ = 413 nM) $^{[1]}$.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:**

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**DDR1-IN-2**

**Cat. No.: HY-U00444**

**Bioactivity:** DDR1-IN-2 is a potent inhibitor of discoidin domain receptor 1 (DDR1), with an IC$_{50}$ of 13.1 nM, and also less potently inhibits DDR2, with an IC$_{50}$ of 203 nM.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

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**DDR1-IN-3**

**Cat. No.: HY-100695**

**Bioactivity:** DDR1-IN-3 is a selective Discoidin Domain Receptor 1 (DDR1) inhibitor, with an IC$_{50}$ value of 9.4 nM.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

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**Sitravatinib (MGCD516; MG516)**

**Cat. No.: HY-16961**

**Bioactivity:** Sitravatinib (MGCD516; MG516) is an orally bioavailable, receptor tyrosine kinase (RTK) inhibitor with IC$_{50}$ of 1.5 nM, 2 nM, 2 nM, 5 nM, 6nM, 8 nM, 0.5 nM, 29 nM, 5nM, and 9 nM for Axl, MER, VEGFR3, VEGFR2, VEGFR1, KIT, FLT3, DD...

**Purity:** 99.85%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg
Mammalian DYRKs are a subfamily of mitogen-activated protein kinase-related protein kinases and are originally discovered on the basis of homology to the Saccharomyces cerevisiae Yak1 and Drosophila mini-brain kinases. DYRKs possess Ser/Thr phosphorylation activity as well as autophosphorylation activity on Tyr residue(s).

Two isoforms of DYRK, DYRK1A and DYRK1B, co-immunoprecipitate with HAN11 when coexpressed in COS cells indicating that the proteins interact in mammalian cells. Co-expression of DYRK1A, DYRK1B, or DYRK2 with a series of glycogen synthase mutants with Ser/Ala substitutions at the phosphorylation sites in COS cells revealed that protein kinases cause phosphorylation of site 3a in glycogen synthase. Control of glycogen synthase by DYRK represents a novel mechanism, and a potentially novel pathway, for the regulation of glycogen synthesis.
DYRK Inhibitors & Modulators

**AZ191**  
**Cat. No.: HY-12277**

**Bioactivity:** AZ191 is a potent inhibitor that selectively inhibits DYRK1B with IC\textsubscript{50} of 17 nM [1].

**Purity:** 99.63%

**Clinical Data:** No Development Reported

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

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**EHT 5372**  
**Cat. No.: HY-111380**

**Bioactivity:** EHT 5372 is a strong inhibitor of DYRK's family kinases, with IC\textsubscript{50} of 0.22, 0.28 nM for DYRK1A and DYRK1B, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

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**ID-8**  
**Cat. No.: HY-15838**

**Bioactivity:** ID-8 is a DYRK inhibitor, and sustains embryonic stem cell self-renewal in long-term culture.

**Purity:** 99.71%

**Clinical Data:** No Development Reported

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

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**Harmine**  
*(Telepathine)*

**Cat. No.: HY-N0737A**

**Bioactivity:** Harmine is a natural dual-specificity tyrosine phosphorylation-regulated kinase (DYRK) inhibitor with anticancer and anti-inflammatory activities.

**Purity:** 99.78%

**Clinical Data:** No Development Reported

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

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**Mirk-IN-1**  
*(Dyrk1B/A-IN-1)*

**Cat. No.: HY-12838**

**Bioactivity:** Mirk-IN-1 is a potent inhibitor of Dyrk1B (Mirk kianse) and Dyrk1A with IC\textsubscript{50} of 68±48 nM and 22±8 nM respectively. IC\textsubscript{50} value: 68±48/22±8 nM [Dyrk1B/Dyrk1A] [1] Target: Dyrk inhibitor Mirk-IN-1 had an EC\textsubscript{50} of 1.9 ±0.2 mmol/L on SW620 cells. At a much higher concentration of 10 mmol/L in a kinase...

**Purity:** 99.53%

**Clinical Data:** No Development Reported

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

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**Protein kinase inhibitors 1**

**Cat. No.: HY-U00439**

**Bioactivity:** Protein kinase inhibitors 1 is a novel inhibitor of HIPK2 with an IC\textsubscript{50} of 74 nM and K\textsubscript{d} of 9.5 nM.

**Purity:** 99.0%

**Clinical Data:** No Development Reported

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

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**Protein kinase inhibitors 1 hydrochloride**

**Cat. No.: HY-U00439A**

**Bioactivity:** Protein kinase inhibitors 1 hydrochloride is a potent HIPK2 inhibitor, with IC\textsubscript{50} of 136 and 74 nM for HIPK1 and HIPK2, and a K\textsubscript{d} of 9.5 nM for HIPK2.

**Purity:** 98.0%

**Clinical Data:** No Development Reported

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

---

The EGFR family of receptor tyrosine kinases (RTK) comprises four distinct receptors: the EGFR (also known as ErbB-1/HER1), ErbB-2 (neu, HER2), ErbB-3 (HER3) and ErbB-4 (HER4). All EGFR family members are characterized by a modular structure consisting of an extracellular ligand-binding domain, a single hydrophobic transmembrane region, and the intracellular part harbouring the highly conserved tyrosine kinase domain. The ErbB family of receptor tyrosine kinases (RTKs) couples binding of extracellular growth factor ligands to intracellular signaling pathways regulating diverse biologic responses, including proliferation, differentiation, cell motility, and survival. Ten growth factors and their ErbB specificities are: EGF, amphiregulin (AR), and TGF bind ErbB-1; betacellulin, and epiuregulin bind both ErbB-1 and ErbB-4; the neuregulins (also called heregulins and Neu differentiation factors) NRG-1 and NRG-2 bind ErbB-3 and ErbB-4; and NRG-3 and NRG-4 bind ErbB-4. No known ligand binds ErbB-2. The three best characterized signaling pathways induced through ErbBs are Ras-mitogen-activated protein kinase (Ras-MAPK), phosphatidylinositol 3 kinase-protein kinase B (PI3K-PKB/Akt), and phospholipase C-protein kinase C (PLC-PKC) pathways.
EGFR Inhibitors & Modulators

(E)-AG 99
((E)-Tyrphostin 46; (E)-Tyrphostin AG 99)  
Cat. No.: HY-100962

Bioactivity:  (E)-AG 99 ((E)-Tyrphostin 46; (E)-Tyrphostin AG 99) is a potent EGFR inhibitor [1].

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

AEE788
(NVP-AEE 788)  
Cat. No.: HY-10045

Bioactivity:  AEE788 is an inhibitor of the EGFR and ErbB2 with IC50 values of 2 and 6 nM, respectively.

Purity:  99.91%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Afatinib
(BIBW 2992)  
Cat. No.: HY-10261

Bioactivity:  Afatinib (BIBW 2992) is an irreversible EGFR family inhibitor with IC50 of 0.5 nM, 0.4 nM, 10 nM and 14 nM for EGFR WT, EGFR L858R, EGFR L858R/T790M and HER2, respectively.

Purity:  99.99%
Clinical Data:  Launched
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 200 mg

Afatinib dimaleate
(BIBW 2992MA2)  
Cat. No.: HY-10261A

Bioactivity:  Afatinib dimaleate is an irreversible EGFR family inhibitor with IC50 of 0.5 nM, 0.4 nM, 10 nM and 14 nM for EGFR WT, EGFR L858R, EGFR L858R/T790M and HER2, respectively.

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

AG 555
(Tyrphostin AG 555)  
Cat. No.: HY-15336

Bioactivity:  AG 555 is an EGFR tyrosine kinase inhibitor.

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

AG-1478
(Tyrphostin AG-1478; NSC 693255)  
Cat. No.: HY-13524

Bioactivity:  AG-1478 is a selective EGFR tyrosine kinase inhibitor with IC50 of 3 nM.

Purity:  99.74%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

AG–490
(Tyrphostin AG 490)  
Cat. No.: HY-12000

Bioactivity:  AG–490 is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.

Purity:  99.84%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 200 mg

Allitinib
(ASC1306; ALS 1306)  
Cat. No.: HY-15375

Bioactivity:  Allitinib (AST1306) is a selective, irreversible EGFR and ErbB2 inhibitor with IC50 of 0.5 and 3 nM, respectively.

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

Allitinib tosylate
(ASC1306 TSOH)  
Cat. No.: HY-13427

Bioactivity:  Allitinib tosylate (AST-1306 TSOH) is a novel irreversible inhibitor of EGFR and ErbB2 with IC50 of 0.5 nM and 3 nM, also effective in mutation EGFR T790M/L858R, more potent to ErbB2-overexpressing cells, 3000-fold selective for ErbB family than other kinases. IC50 value: 0.5/3 nM (EGFR/Erb2)[1]... 99.23%

Purity:  99.23%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

ARRY-380 analog  
Cat. No.: HY-10531

Bioactivity:  ARRY-380 analog is the analog of ARRY-380, ARRY-380 is a potent and selective HER2 inhibitor with IC50 of 8 nM equipotent against truncated p95-HER2, 500-fold more selective for HER2 versus EGFR

Purity:  96.42%
Clinical Data:  No Development Reported
Size:  10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

www.MedChemExpress.com
AST2818 mesylate

Cat. No.: HY-112870A

Bioactivity: AST2818 mesylate is an EGFR inhibitor.

Purity: 99.99%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Astragaloside VI

Cat. No.: HY-N6577

Bioactivity: Astragaloside VI could activate EGFR/ERK signalling pathway to improve wound healing.

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

AV-412 (MP412)

Cat. No.: HY-10346

Bioactivity: AV-412 (MP412) is an EGFR inhibitor with IC\textsubscript{50} of 0.75, 0.5, 0.79, 2.3, 19 nM for EGFR, EGFR\textsubscript{L858R}, EGFR\textsubscript{T790M}, EGFR\textsubscript{L858R/T790M} and ErbB2, respectively.

Purity: 99.26%
Clinical Data: Phase 1
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg

AZ-5104

Cat. No.: HY-B0793

Bioactivity: AZ-5104 is an active, demethylated metabolite of AZD 9291. AZ-5104 is an EGFR inhibitor with IC\textsubscript{50} of 1, 6, 1, 25 and 7 nM for EGFR\textsubscript{L858R}, EGFR\textsubscript{T790M}, EGFR\textsubscript{L858R}, EGFR\textsubscript{L861Q}, EGFR and ErbB4, respectively.

Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Avitinib maleate

Cat. No.: HY-19816A

Bioactivity: Avitinib maleate is a pyrrolopyrimidine-based irreversible epidermal growth factor receptor (EGFR) inhibitor with an IC\textsubscript{50} of 7.68 nM.

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

AZD3759

Cat. No.: HY-18750

Bioactivity: AZD3759 is a potent, oral active, central nervous system-penetrant, EGFR inhibitor. At K\textsubscript{m} ATP concentrations, the IC\textsubscript{50} are 0.3, 0.2 and 0.2 nM for EGFR\textsubscript{wt}, EGFR\textsubscript{L858R}, and EGFR\textsubscript{Exon 19Del}, respectively.

Purity: 99.49%
Clinical Data: Phase 2
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

AZD3759 Hydrochloride (AC80)

Cat. No.: HY-10251

Bioactivity: AC80 (AZD3759 Hydrochloride) is a selective and efficacious inhibitor of HER1 and HER2 with IC\textsubscript{50} of 20 nM and 30 nM, ~8-fold less potent to HER4, >100-fold to VEGFR2, c-Kit, Lck, MET etc. IC\textsubscript{50} value: 20 nM (HER1); 30 nM (HER2) [1] Target: HER1/HER2 in vitro: BMS-599626 inhibited HER1 and HER2 with IC\textsubscript{50} of 20...

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

Bioactivity: BMS-599626 Hydrochloride (AC80 Hydrochloride) is a selective and efficacious inhibitor of HER1 and HER2 with IC\textsubscript{50} of 20 nM and 30 nM, ~8-fold less potent to HER4, >100-fold to VEGFR2, c-Kit, Lck, MET etc. IC\textsubscript{50} value: 20 nM (HER1); 30 nM (HER2) [1] Target: HER1/HER2 in vitro: BMS-599626 inhibited...

Purity: 99.82%
Clinical Data: Phase 1
Size: 10 mM x 1 mL in DMSO, 5 mg, 50 mg, 100 mg

AC480 (BMS-599626)

Cat. No.: HY-10251

Bioactivity: AC480 (BMS-599626) is a selective and efficacious inhibitor of HER1 and HER2 with IC\textsubscript{50} of 20 nM and 30 nM, ~8-fold less potent to HER4, >100-fold to VEGFR2, c-Kit, Lck, MET etc. IC\textsubscript{50} value: 20 nM (HER1); 30 nM (HER2) [1] Target: HER1/HER2 in vitro: BMS-599626 inhibited HER1 and HER2 with IC\textsubscript{50} of 20...

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

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com
<table>
<thead>
<tr>
<th>Chemical Name</th>
<th>Cat. No.</th>
</tr>
</thead>
<tbody>
<tr>
<td>BMS-690514</td>
<td>HY-10333</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BMS-690514 is a potent and orally active inhibitor of EGFR and VEGFR, has IC(_{50}) of 5, 20 and 60 nM for EGFR, HER 2 and HER 4, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.37%</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, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

| Butein (2’,3,4’,4’-tetrahydroxy Chalcone) | HY-16558     |
| **Bioactivity:**                      | Butein, a plant polyphenol isolated from Rhus verniciflua, inhibit the activation of protein tyrosine kinase and EGFR target: EGFR [1] In vitro: 1) Butein inhibited the activation of AKT, extracellular signal-regulated kinase (ERKs) and p38 kinases in the presence of cisplatin [2] 2) FoxO3a and its... |
| **Purity:**                           | 99.95%       |
| **Clinical Data:**                    | No Development Reported |
| **Size:**                             | 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg |

| Canertinib (CI-1033; PD-183805)        | HY-10367     |
| **Bioactivity:**                      | Canertinib (CI-1033;PD-183805) is a potent and irreversible EGFR inhibitor; inhibits cellular EGFR and ErbB2 autophosphorylation with IC\(_{50}\) of 7.4 and 9 nM. |
| **Purity:**                           | 99.10%       |
| **Clinical Data:**                    | Phase 2      |
| **Size:**                             | 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg |

| Canertinib dihydrochloride (CI-1033 dihydrochloride; PD-183805 dihydrochloride) | HY-10367A |
| **Bioactivity:**                      | Canertinib dihydrochloride (CI-1033;PD-183805) is a potent and irreversible EGFR inhibitor; inhibits cellular EGFR and ErbB2 autophosphorylation with IC\(_{50}\) of 7.4 and 9 nM. |
| **Purity:**                           | 98.51%       |
| **Clinical Data:**                    | Phase 2      |
| **Size:**                             | 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg |

| Cetuximab (C225)                      | HY-P9905     |
| **Bioactivity:**                      | Cetuximab is a monoclonal antibody that inhibits epidermal growth factor receptor (EGFR), with a K\(_d\) of 0.201 nM for soluble EGFR by SPR. Cetuximab has potent antitumor activity. |
| **Purity:**                           | 99.70%       |
| **Clinical Data:**                    | Launched     |
| **Size:**                             | 1 mg, 5 mg   |

| Chrysophanol (Chrysophanic acid)      | HY-13595     |
| **Bioactivity:**                      | Chrysophanol (Chrysophanic acid) is a natural anthraquinone, which inhibits EGF-induced phosphorylation of EGFR and suppresses activation of AKT and mTOR/ p70S6K. |
| **Purity:**                           | 99.63%       |
| **Clinical Data:**                    | No Development Reported |
| **Size:**                             | 50 mg, 100 mg |

| CL-387785 (EKI-785; WAY-EKI 785)      | HY-10325     |
| **Bioactivity:**                      | CL-387785(EKI785; Way-EKI 785) is an irreversible inhibitor of EGFR with IC\(_{50}\) of 370 pM. |
| **Purity:**                           | 97.06%       |
| **Clinical Data:**                    | No Development Reported |
| **Size:**                             | 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| CNX-2006                              | HY-13897     |
| **Bioactivity:**                      | CNX-2006 is a mutant-selective and irreversible EGFR inhibitor with an IC\(_{50}\) below 20 nM for EGFR T790M. |
| **Purity:**                           | 98.06%       |
| **Clinical Data:**                    | No Development Reported |
| **Size:**                             | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| CP-724714                             | HY-14674     |
| **Bioactivity:**                      | CP-724,714 is a potent, selective inhibitor of HER2/ErbB2 with IC50 of 10 nM, >640-fold selectivity against EGFR, InsR, IRG-1R, PDGFR, VEGFR2, Abl, Src, c-Met etc. Phase 2. |
| **Purity:**                           | 99.62%       |
| **Clinical Data:**                    | No Development Reported |
| **Size:**                             | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

<p>| CUDC-101                              | HY-10223     |
| <strong>Bioactivity:</strong>                      | CUDC-101 is a potent inhibitor of HDAC, EGFR, and HER2 with IC(_{50}) of 4.4, 2.4, and 15.7 nM, respectively. |
| <strong>Purity:</strong>                           | 99.59%       |
| <strong>Clinical Data:</strong>                    | Phase 1      |
| <strong>Size:</strong>                             | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |</p>
<table>
<thead>
<tr>
<th>Drug Name</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dacomitinib</td>
<td>HY-13272</td>
<td>Dacomitinib is a specific and irreversible inhibitor of the ERBB family of kinases with IC&lt;sub&gt;50&lt;/sub&gt;s of 6 nM, 45.7 nM and 73.7 nM for EGFR, ERBB2, and ERBB4, respectively.</td>
<td>99.83%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>Daphnetin</td>
<td>HY-N0281</td>
<td>Daphnetin (7,8-dihydroxycoumarin), one coumarin derivative isolated from plants of the Genus Daphne, is a protein kinase inhibitor, with IC&lt;sub&gt;50&lt;/sub&gt;s of 7.67 μM, 9.33 μM and 25.01 μM for EGFR, PKA and PKC in vitro, respectively.</td>
<td>99.55%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Desmethyl Erlotinib</td>
<td>HY-13256</td>
<td>Desmethyl Erlotinib (OSI-420) is an active metabolite of erlotinib, which is a potent EGFR tyrosin kinase inhibitor.</td>
<td>98.90%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>EGFR-IN-2</td>
<td>HY-100520</td>
<td>EGFR-IN-2 is a a noncovalent, irreversible, mutant-selective second generation EGFR inhibitor.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg</td>
</tr>
<tr>
<td>EGFR-IN-3</td>
<td>HY-19815</td>
<td>EGFR-IN-3 is an epidermal growth factor receptor (EGFR) inhibitor.</td>
<td>99.94%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>EGFR-IN-5</td>
<td>HY-111415</td>
<td>EGFR-IN-5 is a EGFR inhibitor with IC&lt;sub&gt;50&lt;/sub&gt;s of 10.4, 1.1, 34, 7.2 nM for EGFR, EGFR L858R, EGFR L858R/T790M, and EGFR L858R/T790M/C797S, respectively.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>100 mg, 250 mg, 500 mg</td>
</tr>
<tr>
<td>Epertinib</td>
<td>HY-107367</td>
<td>Epertinib is a potent, oral, reversible, and selective tyrosine kinase inhibitor of EGFR, HER2 and HER4, with IC&lt;sub&gt;50&lt;/sub&gt;s of 1.48 nM, 7.15 nM and 2.49 nM, respectively; Epertinib shows potent antitumor activity.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>1 mg</td>
</tr>
<tr>
<td>Erlotinib</td>
<td>HY-50896</td>
<td>Erlotinib is a medication for the treatment of non-small cell lung cancer. It inhibits purified EGFR kinase with an IC&lt;sub&gt;50&lt;/sub&gt; of 2 nM.</td>
<td>99.99%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td>Erlotinib Hydrochloride</td>
<td>HY-12008</td>
<td>Erlotinib Hydrochloride inhibits purified EGFR kinase with an IC&lt;sub&gt;50&lt;/sub&gt; of 2 nM.</td>
<td>99.93%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td><strong>Erlotinib mesylate</strong> (CP-358774 (mesylate); NSC 718781 (mesylate); OSI-774 (mesylate))</td>
<td><strong>Cat. No.: HY-12008A</strong></td>
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<td>Erlotinib mesylate inhibits purified EGFR kinase with an IC&lt;sub&gt;50&lt;/sub&gt; of 2 nM.</td>
<td></td>
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</tr>
<tr>
<td>Purity:</td>
<td>&gt;98%</td>
<td></td>
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<td></td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
<td></td>
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<td></td>
<td></td>
</tr>
<tr>
<td>Size:</td>
<td>100 mg, 500 mg</td>
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</tr>
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<td>Clinical Data:</td>
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</tr>
<tr>
<td>Size:</td>
<td>100 mg, 500 mg</td>
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<tr>
<th><strong>Falnidamol</strong> (BIBX 1382)</th>
<th><strong>Cat. No.: HY-10322</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity:</td>
<td>Falnidamol (BIBX 1382) is a potent, selective inhibitor of EGFR tyrosine kinase (IC&lt;sub&gt;50&lt;/sub&gt; = 3 nM); displays &gt; 1000-fold lower potency against ErbB2 (IC&lt;sub&gt;50&lt;/sub&gt; = 3.4 μM) and a range of other related tyrosine kinases (IC&lt;sub&gt;50&lt;/sub&gt; &gt; 10 μM).</td>
</tr>
<tr>
<td>Purity:</td>
<td>98.07%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Phase 1</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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<td>Clinical Data:</td>
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<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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<tr>
<th><strong>FIIN-3</strong></th>
<th><strong>Cat. No.: HY-18603</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity:</td>
<td>FIIN-3 is an irreversible inhibitor of FGFR with an IC&lt;sub&gt;50&lt;/sub&gt; of 13.1, 21, 31.4, and 35.3 nM for FGFR1, FGFR2, FGFR3 and FGFR4, respectively.</td>
</tr>
<tr>
<td>Purity:</td>
<td>98.24%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<td>Clinical Data:</td>
<td>No Development Reported</td>
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<td>Size:</td>
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<table>
<thead>
<tr>
<th><strong>Gefitinib</strong> (ZD1839)</th>
<th><strong>Cat. No.: HY-50895</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity:</td>
<td>Gefitinib (ZD1839) is a potent, selective inhibitor of EGFR tyrosine kinase (IC&lt;sub&gt;50&lt;/sub&gt; = 2-37 nM in NR6wtEGFR cells).</td>
</tr>
<tr>
<td>Purity:</td>
<td>99.70%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg, 1 g, 5 g</td>
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</tr>
<tr>
<td>Purity:</td>
<td>99.70%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg, 1 g, 5 g</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Gefitinib hydrochloride</strong> (ZD1839 hydrochloride)</th>
<th><strong>Cat. No.: HY-50895A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity:</td>
<td>Gefitinib hydrochloride is an inhibitor that specifically binds and inhibits the EGFR tyrosine kinase, with the IC&lt;sub&gt;50&lt;/sub&gt; value of 2-37 nM in NR6wtEGFR cells.</td>
</tr>
<tr>
<td>Purity:</td>
<td>99.80%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in Water, 100 mg, 500 mg, 1 g, 5 g</td>
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</tr>
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<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in Water, 100 mg, 500 mg, 1 g, 5 g</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>HS-10296 hydrochloride</strong></th>
<th><strong>Cat. No.: HY-112823B</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity:</td>
<td>HS-10296 hydrochloride is an orally available and third-Generation inhibitor of epidermal growth factor receptor (EGFR)-activating mutations and T790M-resistant mutation with limited activity against wild-type EGFR.</td>
</tr>
<tr>
<td>Purity:</td>
<td>98.05%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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</table>

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<td>Bioactivity:</td>
<td>HS-10296 hydrochloride is an orally available and third-Generation inhibitor of epidermal growth factor receptor (EGFR)-activating mutations and T790M-resistant mutation with limited activity against wild-type EGFR.</td>
</tr>
<tr>
<td>Purity:</td>
<td>98.05%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
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<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Genistein</strong> (NPI 031L)</th>
<th><strong>Cat. No.: HY-14596</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity:</td>
<td>Genistein, a soy isoflavone, is a multiple tyrosine kinases inhibitor which acts as a chemotherapeutic agent against different types of cancer, mainly by altering apoptosis, the cell cycle, and angiogenesis and inhibiting metastasis.</td>
</tr>
<tr>
<td>Purity:</td>
<td>99.68%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Phase 4</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
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</tr>
<tr>
<td>Purity:</td>
<td>99.68%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Phase 4</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Icotinib</strong> (BPI-2009)</th>
<th><strong>Cat. No.: HY-15164A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity:</td>
<td>Icotinib (BPI-2009) is a potent and specific EGFR inhibitor with an IC&lt;sub&gt;50&lt;/sub&gt; of 5 nM; also inhibits mutant EGFR L858R, EGFR L858R/T790M, EGFR T790M and EGFR L816Q.</td>
</tr>
<tr>
<td>Purity:</td>
<td>99.80%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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</tr>
<tr>
<td>Purity:</td>
<td>99.80%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>Launched</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Bioactivity: Lapatinib (GW572016) is a potent EGFR and ErbB2 inhibitor with \( IC_{50} \) of 10.2 and 9.8 nM, respectively.

Purity: 99.83%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 50 mg, 100 mg, 500 mg, 1 g

Bioactivity: Lavendustin A (RG-14355), isolated from Streptomyces Griseolavendus, is a potent, specific and ATP-competitive inhibitor of tyrosine kinase, with an \( IC_{50} \) of 11 ng/mL for EGFR-associated tyrosine kinase.[1] It suppres...

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg

Bioactivity: Lifirafenib (BGB-283) is a novel and potent Raf Kinase and EGFR inhibitor with \( IC_{50} \) values of 23 and 29 nM for recombinant BRaf\(^{V600E}\) and EGFR, respectively.

Purity: 98.00%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: Mubritinib (TAK-165) is a potent and selective EGFR2/HER2 inhibitor with an \( IC_{50} \) of 6 nM.

Purity: 99.97%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Bioactivity: Mutated EGFR-IN-1 is a useful intermediate for the inhibitors design for mutated EGFR, such as L858R EGFR, Exon19 deletion activating mutant and T790M resistance mutant. IC50 value: Target: Mutated EGFR inhibitor More information can be found in Patent WO 2013014448 A1.2 - (2, 4, 5 - substituted...

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

Bioactivity: Naquotinib (ASP8273) is an orally available, mutant-selective and irreversible EGFR inhibitor; with \( IC_{50} \) of 8-33 nM toward EGFR mutants and 230 nM for EGFR.

Purity: >98%
Clinical Data: Phase 3
Size: 5 mg, 10 mg, 25 mg, 50 mg
Naquotinib mesylate (ASP8273 mesylate)  Cat. No.: HY-19803

Bioactivity: Naquotinib mesylate (ASP8273 mesylate) is an orally available, mutant-selective and irreversible EGFR inhibitor; with $IC_{50}$s of 8-33 nM toward EGFR mutants and 230 nM for EGFR.

Purity: 99.56%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Nazartinib (EGF816)  Cat. No.: HY-12872

Bioactivity: Nazartinib (EGF816) is a novel, covalent mutant-selective EGFR inhibitor, with $K_i$ and $K_{inact}$ of 31 nM and 0.222 min $^{-1}$ on EGFR(L858R/790M) mutant, respectively.

Purity: 99.57%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Nazartinib mesylate (EGF816 mesylate)  Cat. No.: HY-12872A

Bioactivity: Nazartinib mesylate (EGF816 mesylate) is a novel, covalent mutant-selective EGFR inhibitor, with $K_i$ and $K_{inact}$ of 31 nM and 0.222 min $^{-1}$ on EGFR(L858R/790M) mutant, respectively.

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

NRC-2694  Cat. No.: HY-19909

Bioactivity: NRC-2694 is an epidermal growth factor receptor (EGFR) antagonist with anti-cancer and anti-proliferative properties.

Purity: 98.40%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Neratinib (HKI-272)  Cat. No.: HY-32721

Bioactivity: Neratinib is an orally available, irreversible tyrosine kinase inhibitor with $IC_{50}$s of 59 nM and 92 nM for HER2 and EGFR, respectively.

Purity: 98.4%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

NSC 228155  Cat. No.: HY-101084

Bioactivity: NSC 228155 is an activator of EGFR, binds to the extracellular region of EGFR and enhance tyrosine phosphorylation of EGFR [1]. NSC 228155 is also a potent inhibitor of KIX-KID interaction, inhibits kinase-inducible domain (KID) from CREB and KID-interacting domain (KIX) from...

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

Olmutinib (HM61713, BI 1482694)  Cat. No.: HY-19730

Bioactivity: Olmutinib (HM61713, BI-1482694) is an irreversible EGFR tyrosine kinase inhibitor that binds to a cysteine residue near the kinase domain.

Purity: 99.95%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Osimertinib (AZD-9291; Mereletinib)  Cat. No.: HY-15772

Bioactivity: Osimertinib (AZD-9291) is an irreversible and mutant selective EGFR inhibitor with $IC_{50}$ of 12 and 1 nM against EGFR $L858R$ and EGFR $L858R/T790M$, respectively.

Purity: 99.95%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

Osimertinib dimesylate (AZD-9291 dimesylate; Mereletinib (dimesylate))  Cat. No.: HY-79077

Bioactivity: Osimertinib dimesylate (AZD-9291 dimesylate) is an irreversible and mutant selective EGFR inhibitor with $IC_{50}$s of 12 and 1 nM against EGFR $L858R$ and EGFR $L858R/T790M$, respectively.

Purity: 99.96%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

Osimertinib mesylate (AZD-9291 mesylate; Mereletinib mesylate)  Cat. No.: HY-15772A

Bioactivity: Osimertinib mesylate (AZD-9291 mesylate) is an irreversible and mutant selective EGFR inhibitor with $IC_{50}$s of 12 and 1 nM against EGFR $L858R$ and EGFR $L858R/T790M$, respectively.

Purity: 99.96%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g
**PD153035** (SU-5271; AG1517; ZM 252868)  
**Cat. No.: HY-14346**

**Bioactivity:** PD153035 (SU-5271; AG1517; ZM 252868) is a potent EGFR inhibitor with $K_i$ and $IC_{50}$ of 6 and 25 pM, respectively. 

**Purity:** 98.66% 
**Clinical Data:** No Development Reported 
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

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**PD158780**  
**Cat. No.: HY-18609**

**Bioactivity:** PD158780 is a potent EGFR family inhibitor with $IC_{50}$ of 8 pM, 49, 52, 52 nM for EGFR, ErbB2, ErbB3, and ErbB4, respectively. 

**Purity:** 98.04% 
**Clinical Data:** No Development Reported 
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

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**PD168393**  
**Cat. No.: HY-13896**

**Bioactivity:** PD168393 is an potent, cell-permeable, irreversible EGFR inhibitor with IC50 of 0.70 nM, irreversibly alkylate Cys-773, inactive against insulin, PDGFR, FGFR and PKC. target: EGFR IC 50: 0.7 nM [1] (1) PD 168393 inhibit EGFr autophosphorylation in A431 human epidermoid carcinoma cells with >9-fold.. 

**Purity:** 98.87% 
**Clinical Data:** No Development Reported 
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

---

**Pelitinib** (EKB-569; WAY-EKB 569)  
**Cat. No.: HY-32718**

**Bioactivity:** Pelitinib (EKB-569;WAY-EKB 569) is an irreversible inhibitor of EGFR with an $IC_{50}$ of 38.5 nM; also slightly inhibits Src, MEK/ERK and ErbB2 with $IC_{50}$ of 282, 800, and 1255 nM, respectively. 

**Purity:** 98.18% 
**Clinical Data:** Phase 2 
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

---

**PF-06459988**  
**Cat. No.: HY-19985**

**Bioactivity:** PF-06459988 is an irreversible inhibitor of T790M-Containing EGFR Mutants. 

**Purity:** 98.72% 
**Clinical Data:** No Development Reported 
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

---

**Pertuzumab**  
**Cat. No.: HY-P9912**

**Bioactivity:** Pertuzumab, a humanized monoclonal antibody, is a HER2 dimerization inhibitor for the treatment of metastatic HER2-positive breast cancer. 

**Purity:** 99.10% 
**Clinical Data:** Launched 
**Size:** 1 mg, 5 mg

---

**Poziotinib** (HM781-368; NOV120101)  
**Cat. No.: HY-15730**

**Bioactivity:** Poziotinib(NOV120101; HM781-368) is an irreversible Pan-HER inhibitor with IC50s of 3/5/23 nM for HER1/HER2/HER4 respectively. IC50 value: 3/5/23 nM(HER1/HER2/HER4) [1] Target: pan-HER inhibitor in vitro: The IC50 levels of HM781-368 for N87 and SNU216 were 0.001 and 0.004 μmol/L,... 

**Purity:** 99.92% 
**Clinical Data:** Phase 2 
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Pyrotinib** (SHR-1258)  
**Cat. No.: HY-104065**

**Bioactivity:** Pyrotinib (SHR-1258) is a potent and selective EGFR/HER2 dual inhibitor with $IC_{50}$ of 13 and 38 nM, respectively. 

**Purity:** 98.4% 
**Clinical Data:** Phase 3 
**Size:** 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

---

**Pyrotinib dimaleate** (SHR-1258 dimaleate)  
**Cat. No.: HY-104065B**

**Bioactivity:** Pyrotinib dimaleate (SHR-1258 dimaleate) is a potent and selective EGFR/HER2 dual inhibitor with $IC_{50}$ of 13 and 38 nM, respectively. 

**Purity:** 98.6% 
**Clinical Data:** No Development Reported 
**Size:** 10mM x 1mL in Water, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

---

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
| **RG13022**  
(Tyrphostin RG13022) | **RG14620**  
(Tyrphostin RG14620) |
<table>
<thead>
<tr>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>RG13022 is a tyrosine kinase inhibitor; inhibits the autophosphorylation reaction of the EGF receptor with an IC&lt;sub&gt;50&lt;/sub&gt; of 4 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.21%</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>

| **Bioactivity:** | RG14620 is an EGF receptor with an IC<sub>50</sub> of 3 μM. |
| **Purity:** | 98.98% |
| **Clinical Data:** | No Development Reported |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **Rociletinib**  
(CO-1686; AVL-301; CNX-419) | **Rociletinib hydrobromide**  
(CO-1686 hydrobromide; AVL-301 hydrobromide; CNX-419 hydrobromide) |
<table>
<thead>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Rociletinib (CO-1686) is an orally delivered kinase inhibitor that specifically targets the mutant forms of EGFR including T790M, and the K&lt;sub&gt;i&lt;/sub&gt; values for EGFR/L858R/T790M and EGFRWT are 21.5 nM and 303.3 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.08%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **Bioactivity:** | Rociletinib hydrobromide (CO-1686 hydrobromide) is an orally delivered kinase inhibitor that specifically targets the mutant forms of EGFR including T790M, and the K<sub>i</sub> values for EGFR/L858R/T790M and EGFRWT are 21.5 nM and 303.3 nM, respectively. |
| **Purity:** | 97.45% |
| **Clinical Data:** | Phase 3 |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 100 mg |

| **Sapitinib**  
(AZD-8931) | **TAK-285** |
<table>
<thead>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Sapitinib (AZD-8931) is a reversible, ATP competitive EGFR inhibitor of with IC&lt;sub&gt;50&lt;/sub&gt; of 4, 3 and 4 nM for EGFR, ErbB2 and ErbB3 in cells, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.99%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **Bioactivity:** | TAK-285 is a novel dual HER2 and EGFR(HER1) inhibitor with IC50 of 17 nM and 23 nM, >10-fold selectivity for HER1/2 than HER4, less potent to MEK1/5, c-Met, Aurora B, Lck, CSK etc. |
| **Purity:** | 99.16% |
| **Clinical Data:** | Phase 1 |
| **Size:** | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| **Tarloxitin bromide**  
(TH-4000; PR-610) | **TAS0728** |
<table>
<thead>
<tr>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Tarloxitin bromide is an irreversible EGFR/HER2 inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.97%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **Bioactivity:** | TAS0728 is a potent, selective, oral active, irreversible and covalent-binding HER2 inhibitor, binds to HER2 at C805, inhibits its kinase activity, with an IC<sub>50</sub> of 13 nM. TAS0728 shows IC<sub>50</sub> of 4.9, 8.5, 31, 65, 33, 25, 86 and 36 nM for B... |
| **Purity:** | >98% |
| **Clinical Data:** | No Development Reported |
| **Size:** | 250 mg, 500 mg |

| **TAS6417** | **Tesevatinib**  
(XL-647; EXEL-7647; KD-019) |
<table>
<thead>
<tr>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>TAS6417 is an EGFR inhibitor and an efficacious drug candidate for patients with NSCLC, with IC&lt;sub&gt;50&lt;/sub&gt; values ranging from 1.1–8.0 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.55%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<p>| <strong>Bioactivity:</strong> | Tesevatinib (XL-647) is an orally available, multi-target tyrosine kinase inhibitor; inhibits EGFR, ErbB2, KDR, Flt4 and EphB4 kinase with IC&lt;sub&gt;50&lt;/sub&gt; of 0.3, 16, 1.5, 8.7, and 1.4 nM. |
| <strong>Purity:</strong> | 99.21% |
| <strong>Clinical Data:</strong> | Phase 2 |
| <strong>Size:</strong> | 10mM x 1mL in DMSO, 5 mg, 10 mg |</p>
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
</tr>
</thead>
</table>
| Trastuzumab (Anti-Human HER2, Humanized Antibody) | HY-P9907 | Purity: >98%  
Clinical Data: No Development Reported  
Size: 1 mg, 5 mg, 25 mg |
| TX1-85-1 | HY-100848 | Purity: 98.0%  
Clinical Data: No Development Reported  
Size: 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg |
| Tyrophostin AG 528 (Tyrophostin B66, AG 528) | HY-100499 | Purity: 98.0%  
Clinical Data: No Development Reported  
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |
| Varlitinib (ARRY-334543; ASLAN001) | HY-10530 | Purity: 98.0%  
Clinical Data: Phase 3  
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
| WHI-P180 (Janex 3) | HY-15769 | Purity: >98%  
Clinical Data: No Development Reported  
Size: 10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg |
| WHI-P180 hydrochloride (Janex 3 hydrochloride) | HY-15769A | Purity: >98%  
Clinical Data: No Development Reported  
Size: 2 mg, 5 mg, 10 mg, 50 mg |

**Bioactivity:**

Trastuzumab is a humanized monoclonal antibody for patients with invasive breast cancers that overexpress HER2. Trastuzumab has been clinically used to treat HER2 Positive Metastatic Breast Cancer and HER2 Positive Gastric Cancer.

**Bioactivity:**

TX1-85-1 is an irreversible Her3 (ErbB3) inhibitor with an IC\textsubscript{50} of 23 nM and is also the first selective Her3 ligand, which forms a covalent bond with Cys721 located in the ATP-binding site of Her3. TX1-85-1 induces partial degradat...

**Bioactivity:**

Tyrophostin AG 528 is an inhibitor of EGFR and ErbB2 with IC\textsubscript{50} of 4.9 and 2.1 μM, respectively.

**Bioactivity:**

Varlitinib (ARRY-334543; ASLAN001) is a potent, reversible, small molecule pan- EGFR inhibitor with IC\textsubscript{50} of 7, 2, 4 nM for HER1, HER2 and HER4, respectively.

**Bioactivity:**

WHI-P180 (Janex 3) is a multi-kinase inhibitor; inhibits RET, KDR and EGFR with IC\textsubscript{50} of 5 nM, 66 nM and 4 μM, respectively.

**Bioactivity:**

WHI-P180 hydrochloride (Janex 3 hydrochloride) is a multi-kinase inhibitor; inhibits RET, KDR and EGFR with IC\textsubscript{50} of 5 nM, 66 nM and 4 μM, respectively.

**Bioactivity:**

Tucatinib (Irbinitinib; ARRY-380; ONT-380) is a potent and selective HER2 inhibitor with an IC\textsubscript{50} of 8 nM.

**Bioactivity:**

Tyrophostin 23 (Tyrophostin A23; RG-50810; AG 18) is an EGFR inhibitor with an IC\textsubscript{50} and K\textsubscript{i} of 35 and 11 μM, respectively.

**Bioactivity:**

WHI-P154 is a potent EGFR inhibitor, and also modestly blocks JAK3, with IC\textsubscript{50} of 4 nM and 1.8 μM, respectively.
<table>
<thead>
<tr>
<th><strong>WZ-3146</strong></th>
<th><strong>WZ4002</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>WZ3146 is a mutant selective EGFR inhibitor with IC&lt;sub&gt;50&lt;/sub&gt; of 2, 2, 5, 14 and 66 nM for EGFR&lt;sup&gt;L858R&lt;/sup&gt;, EGFR&lt;sup&gt;L858R/T790M&lt;/sup&gt;, EGFR&lt;sup&gt;E746_A750&lt;/sup&gt;, EGFR&lt;sup&gt;E746_A750/T790M&lt;/sup&gt; and EGFR, respectively.</td>
<td>WZ4002 is a mutant selective EGFR inhibitor with IC&lt;sub&gt;50&lt;/sub&gt; of 2, 8, 3 and 2 nM for EGFR&lt;sup&gt;L858R&lt;/sup&gt;, EGFR&lt;sup&gt;L858R/T790M&lt;/sup&gt;, EGFR&lt;sup&gt;E746_A750&lt;/sup&gt; and EGFR&lt;sup&gt;E746_A750/T790M&lt;/sup&gt;, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>99.07%</td>
<td>98.67%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td>No Development Reported</td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong></td>
</tr>
<tr>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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<table>
<thead>
<tr>
<th><strong>WZ8040</strong></th>
<th><strong>ZD-4190</strong></th>
</tr>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>WZ8040 is a novel mutant-selective irreversible EGFR&lt;sup&gt;T790M&lt;/sup&gt; inhibitor, does not inhibit ERBB2 phosphorylation (T798I).</td>
<td>ZD-4190 is a potent, orally available inhibitor of the vascular endothelial cell growth factor receptor 2 (VEGFR2) and of epidermal growth factor receptor (EGFR) signalling, used for the treatment of cancer.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>&gt;98%</td>
<td>99.20%</td>
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<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
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<tr>
<td>No Development Reported</td>
<td>No Development Reported</td>
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<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong></td>
</tr>
<tr>
<td>250 mg, 500 mg</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Ephrin receptors (Ephs) are a group of receptors that are activated in response to binding ephrin. Ephs form the largest known subfamily of receptor tyrosine kinases (RTKs). Both Ephs and their corresponding ephrin ligands are membrane-bound proteins that require direct cell-cell interactions for Eph receptor activation. Eph/ephrin signaling has been implicated in the regulation of a host of processes critical to embryonic development including axon guidance, formation of tissue boundaries, cell migration, and segmentation. Additionally, Eph/ephrin signaling has recently been identified to play a critical role in the maintenance of several processes during adulthood including long-term potentiation, angiogenesis, and stem cell differentiation and cancer. The ability of Ephs and ephrins to mediate a variety of cell-cell interactions places the Eph/ephrin system in an ideal position to regulate a variety of different biological processes during embryonic development.
## Ephrin Receptor Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>ALW-II-41-27</strong></th>
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<tbody>
<tr>
<td>(Eph receptor tyrosine kinase inhibitor)</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
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<tr>
<td><strong>Clinical Data:</strong></td>
</tr>
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<td><strong>Size:</strong></td>
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<tr>
<th><strong>JI-101</strong></th>
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<tbody>
<tr>
<td><strong>Cat. No.: HY-16265</strong></td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
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<tr>
<td><strong>Purity:</strong></td>
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<tr>
<td><strong>Clinical Data:</strong></td>
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<td><strong>Size:</strong></td>
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<table>
<thead>
<tr>
<th><strong>NVP-BHG712 isomer</strong></th>
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<tbody>
<tr>
<td>(NVPiso)</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
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<td><strong>Size:</strong></td>
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<tr>
<th><strong>Tesevatinib</strong></th>
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<tbody>
<tr>
<td>(XL-647; EXEL-7647; KD-019)</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td><strong>Size:</strong></td>
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</tbody>
</table>
FAK

PTK2 protein tyrosine kinase 2; PTK2; Focal adhesion kinase

FAK (Focal Adhesion Kinase or PTK2) is a focal adhesion-associated protein kinase involved in cellular adhesion and spreading processes. It has been shown that when FAK was blocked, breast cancer cells became less metastatic due to decreased mobility. FAK is found concentrated in the focal adhesions that form among cells attaching to extracellular matrix constituents. FAK is a member of the FAK subfamily of protein tyrosine kinases that included PYK2 but lacks significant sequence similarity to kinases from other subfamilies. With the exception of certain types of blood cells, most cells express FAK. FAK tyrosine kinase activity can be activated, which plays a key important early step in cell migration. FAK activity elicits intracellular signal transduction pathways that promote the turn-over of cell contacts with the extracellular matrix, promoting cell migration.
## FAK Inhibitors & Modulators

### BI-3663

**Cat. No.:** HY-111546

**Bioactivity:** BI-3663 is a highly selective PTK2/FAK PROTAC, with VHL and cereblon ligands to hijack E3 ligases for PTK2 degradation. BI-3663 inhibits PTK2 with an IC\textsubscript{50} of 18 nM. Anti-cancer activity [1].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500 mg, 100 mg, 250 mg

### BI-4464

**Cat. No.:** HY-124625

**Bioactivity:** BI-4464 is a highly selective ATP competitive inhibitor of PTK2/FAK, with an IC\textsubscript{50} of 17 nM. A PTK2 ligand for PROTAC [1].

**Purity:** >98%

**Clinical Data:** No Development Reported

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

### CEP-37440

**Cat. No.:** HY-15841

**Bioactivity:** CEP-37440 is a novel potent and selective Dual FAK/ALK inhibitor with IC\textsubscript{50} s of 2.3 nM (FAK) and 120 nM (ALK) cellular IC\textsubscript{50} in 75% human plasma. IC\textsubscript{50} value: 2.3 nM (FAK); 120 nM (ALK cellular IC\textsubscript{50} in 75% human plasma) Target: Dual FAK/ALK Preparation of fused bicyclic 2,4-diaminopyrimidine...

**Purity:** 99.87%

**Clinical Data:** Phase 1

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

### Chloropyramine hydrochloride

**Cat. No.:** HY-B1305

**Bioactivity:** Chloropyramine hydrochloride is a histamine receptor H1 antagonist which can also inhibit the biochemical function of VEGFR-3 and FAK

**Purity:** 99.30%

**Clinical Data:** No Development Reported

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

### Defactinib

**(VS-6063; PF-04554878)** **Cat. No.:** HY-12289

**Bioactivity:** Defactinib (VS-6063; PF-04554878) is a novel FAK inhibitor with potential antiangiogenic and antineoplastic activities.

**Purity:** 99.74%

**Clinical Data:** Phase 2

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

### Defactinib hydrochloride

**(VS-6063 hydrochloride; PF 04554878 hydrochloride)** **Cat. No.:** HY-12289A

**Bioactivity:** Defactinib hydrochloride (VS-6063 hydrochloride; PF 04554878 hydrochloride) is a novel FAK inhibitor, which inhibits FAK phosphorylation at the Tyr397 site in a time- and dose-dependent manner.

**Purity:** >98%

**Clinical Data:** Phase 2

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

### FAK inhibitor 2

**Cat. No.:** HY-128580

**Bioactivity:** FAK inhibitor 2 is a potent focal adhesion kinase (FAK) inhibitor with an IC\textsubscript{50} of 0.07 nM, with antitumor and anti-angiogenesis activities [1].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 500 mg, 100 mg, 250 mg

### GSK2256098

**Cat. No.:** HY-100498

**Bioactivity:** GSK2256098 is a selective FAK kinase inhibitor, which inhibits growth and survival of pancreatic ductal adenocarcinoma cells.

**Purity:** 99.35%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

### NAMI-A

**Cat. No.:** HY-19376

**Bioactivity:** NAMI-A is a ruthenium-based drug characterised by the selective activity against tumour metastases, inhibits the adhesion and migration. In vitro: NAMI-A can significantly affect tumor cells with metastatic ability. The half lifetime of NAMI-A elimination from the lungs is longer than for liver,...

**Purity:** No Development Reported

**Clinical Data:** No Development Reported

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

### NVP-TAE 226

**(TAE226)** **Cat. No.:** HY-13203

**Bioactivity:** NVP-TAE 226 is a dual tyrosine kinase inhibitor of FAK (IC\textsubscript{50}=5.5 nM) and IGF-IR (mean IC\textsubscript{50}=0.14 μM).

**Purity:** 98.98%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th><strong>PF-431396</strong></th>
<th><strong>Cat. No.: HY-10460</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PF-431396 is a dual focal adhesion kinase (FAK) and proline-rich tyrosine kinase 2 (PYK2) inhibitor (IC50 values are 2 and 11 nM, respectively). PF-431396 has a Kd value of 445 nM for BRD4. IC50 value: 2 nM (FAK), 11 nM (PYK2); 445 nM (KD for BRD4) [1] [2]. Target: FAK, PYK2; BRD4 in vitro. PF-431396 is a potent...</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.15%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PF-562271</strong></th>
<th><strong>Cat. No.: HY-10459</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PF-562271 is a potent ATP-competitive, reversible inhibitor of FAK and PYK2 kinase, with an IC50 of 1.5 nM and 13 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.36%</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>

| **PF-562271 besylate**  
(PF562271 besylate; PF 562271 besylate) | **Cat. No.: HY-10458** |
<table>
<thead>
<tr>
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</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PF-562271 besylate is a potent ATP-competitive, reversible inhibitor of FAK and PYK2, with an IC50 of 1.5 nM and 13 nM, respectively [1].</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.17%</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>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>PF-573228</strong></th>
<th><strong>Cat. No.: HY-10461</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PF-573228 is a potent and selective FAK inhibitor with IC50 of 4 nM for purified recombinant catalytic fragment of FAK.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.72%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

| **PND-1186**  
(SR-2516; VS-4718) | **Cat. No.: HY-13917** |
<table>
<thead>
<tr>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PND-1186 is a potent and reversible inhibitor of FAK with an IC50 of 1.5 nM in cell assay.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.71%</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>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>PROTAC FAK degrader 1</strong></th>
<th><strong>Cat. No.: HY-119932</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PROTAC FAK degrader 1 is a selective and potent focal adhesion kinase (Fak) degrader with an IC50 of 6.5 nM, DC50 of 3 nM [1].</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, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

| **Y15**  
(FAK inhibitor Y15; FAK Inhibitor 14) | **Cat. No.: HY-12444** |
<table>
<thead>
<tr>
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<th></th>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Y15 is a potent and specific inhibitor of focal adhesion kinase (FAK) that inhibits its autophosphorylation activity, decreases the viability of cancer cells, and blocks tumor growth.</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 Water, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

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Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
FGFR (Fibroblast growth factor receptors) are the receptors that bind to members of the fibroblast growth factor family of proteins. Some of these receptors are involved in pathological conditions. A point mutation in FGFR3 can lead to achondroplasia. Five distinct membrane FGFR have been identified in vertebrates and all of them belong to the tyrosine kinase superfamily (FGFR1, FGFR2, FGFR3, FGFR4, FGFR6). The fibroblast growth factor family constitutes one of the most important groups of paracrine factors that act during development. They are responsible for determining certain cells to become mesoderm, for the production of blood vessels, for limb outgrowth, and for the growth and differentiation of numerous cell types.
FGFR Inhibitors & Modulators

2,5-Dihydroxybenzoic acid

Bioactivity: 2,5-Dihydroxybenzoic acid is a derivative of benzoic and a powerful inhibitor of fibroblast growth factors.

Purity: 99.97%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 100 mg

ACTB-1003

Bioactivity: ACTB-1003 is an oral kinase inhibitor with IC\textsubscript{50} of 6, 2 and 4 nM for FGFR1, VEGFR2 and Tie-2.

Purity: 97.65%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Alofanib (RPT835)

Bioactivity: Alofanib (RPT835) is a potent and selective allosteric inhibitor of fibroblast growth factor receptor 2 (FGFR2). Anticancer and antiangiogenic activity.[1] [2]

Purity: 99.83%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

ASP5878

Bioactivity: ASP5878 is an oral active inhibitor of FGFR 1, 2, 3, and 4, with IC\textsubscript{50} values of 0.47 nM, 0.6 nM, 0.74 nM and 3.5 nM for FGFR 1, 2, 3, and 4 kinase activity. ASP5878 has potential antineoplastic activity.[1]

Purity: 99.71%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

AZD4547

Bioactivity: AZD4547 is a potent inhibitor of the FGFR family with IC\textsubscript{50} of 0.2 nM, 2.5 nM, 1.8 nM, and 165 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively.

Purity: 99.80%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BLU9931

Bioactivity: BLU9931 is a potent, selective, and irreversible FGFR4 inhibitor with an IC\textsubscript{50} of 3 nM.

Purity: 99.33%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

CH5183284 (Debio 1347)

Bioactivity: CH5183284 is an orally available and selective FGFR inhibitor with IC\textsubscript{50} of 9.3, 7.6, and 22 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively.

Purity: 99.73%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

Derazantinib (ARQ-087)

Bioactivity: Derazantinib (ARQ-087) is an ATP competitive tyrosine kinase inhibitor; exhibits potent activity against FGFR1-3 chondrocytes with IC\textsubscript{50} of 4.5, 1.8, and 4.5 nM, respectively.

Purity: 99.06%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Dovitinib

Bioactivity: Dovitinib is a multi-targeted tyrosine kinase inhibitor with IC\textsubscript{50} of 1, 2, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, FGFR1/3, VEGFR1/2/3 and PDGFRα/β, respectively.

Purity: 99.31%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Dovitinib lactate

Bioactivity: Dovitinib(CHIR-258; TKI258) lactate is a potent inhibitor of fibroblast growth factor receptor 3 (FGFR3) with an IC\textsubscript{50} of 5 nM.

Purity: 99.77%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg
**ENMD-2076**

**Cat. No.: HY-10987A**

**Bioactivity:** ENMD-2076 is a multi-targeted kinase inhibitor with $IC_{50}$ of 1.86, 14, 58.2, 15.9, 70.8, 56.4 nM for Aurora A, FLT3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

**Purity:** 99.23%

**Clinical Data:** Phase 2

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

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**ENMD-2076 Tartrate**

**Cat. No.: HY-10987**

**Bioactivity:** ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with $IC_{50}$ of 1.86, 14, 58.2, 15.9, 70.8, 56.4 nM for Aurora A, FLH, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

**Purity:** 98.59%

**Clinical Data:** Phase 2

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

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**Erdafitinib (JNJ-42756493)**

**Cat. No.: HY-18708**

**Bioactivity:** Erdafitinib (JNJ-42756493) is a potent and orally available FGFR family inhibitor; inhibits FGFR1/2/3/4 with $IC_{50}$ of 1.2, 2.5, 3.0 and 5.7 nM, respectively.

**Purity:** 99.29%

**Clinical Data:** Phase 2

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

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**Ferulic acid (Coniferic acid)**

**Cat. No.: HY-N0060**

**Bioactivity:** Ferulic acid is a novel fibroblast growth factor receptor 1 (FGFR1) inhibitor with $IC_{50}$ of 3.78 and 12.5 μM for FGFR1 and FGFR2, respectively.

**Purity:** 98.57%

**Clinical Data:** No Development Reported

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

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**FGFR1/DDR2 inhibitor 1**

**Cat. No.: HY-114311**

**Bioactivity:** FGFR1/DDR2 inhibitor 1 (compound 11k) is an inhibitor of fibroblast growth factor receptor 1 (FGFR1) and discoidin domain receptor 2 (DDR2), with $IC_{50}$ values of 31.1 nM, 108.4 nM and 3.2 nM for FGFR1, KG-1, and DDR2, respectively [1].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 100 mg, 500 mg, 250 mg

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**FGFR4-IN-1**

**Cat. No.: HY-100631**

**Bioactivity:** FGFR4-IN-1 is a potent inhibitor of FGFR4 with $IC_{50}$ of 0.7 nM.

**Purity:** 99.93%

**Clinical Data:** No Development Reported

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

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**FIIN-2**

**Cat. No.: HY-18602**

**Bioactivity:** FIIN-2 is an irreversible inhibitor of FGFR with an $IC_{50}$ of 3.1, 4.3, 27, and 45 nM for FGFR1, FGFR2, FGFR3 and FGFR4, respectively.

**Purity:** 99.95%

**Clinical Data:** No Development Reported

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

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**FIIN-3**

**Cat. No.: HY-18603**

**Bioactivity:** FIIN-3 is an irreversible inhibitor of FGFR with an $IC_{50}$ of 13.1, 21, 31.4, and 35.3 nM for FGFR1, FGFR2, FGFR3 and FGFR4, respectively.

**Purity:** 98.24%

**Clinical Data:** No Development Reported

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

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**Fisogatinib (BLU-554)**

**Cat. No.: HY-100492**

**Bioactivity:** Fisogatinib (BLU-554) is a potent fibroblast growth factor receptor 4 (FGFR4) inhibitor.

**Purity:** 99.84%

**Clinical Data:** Phase 1

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

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**Formononetin (Biochanin B; Flavosil; Formononetol)**

**Cat. No.: HY-N0183**

**Bioactivity:** Formononetin (Formononetol; Flavosil) is a bioactive component extracted from the red clover; inhibits the proliferation of DU-145/PC-3 cells in a dose-dependent manner.

**Purity:** 99.69%

**Clinical Data:** No Development Reported

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

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www.MedChemExpress.com
Futibatinib (TAS-120)  
**Cat. No.: HY-100818**  
**Bioactivity:** Futibatinib (TAS-120) is a potent FGFR inhibitor, used for antitumor treatment.  
**Purity:** 98.80%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Gandotinib (LY2784544)  
**Cat. No.: HY-13034**  
**Bioactivity:** Gandotinib (LY2784544) is a potent JAK2 inhibitor with IC₅₀ of 3 nM. Gandotinib (LY2784544) also inhibits FLT3, FLT4, FGFR2, TYK2, and TRKB with IC₅₀ of 4, 25, 32, 44, and 95 nM.  
**Purity:** 99.96%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Heparan Sulfate  
**Cat. No.: HY-101916**  
**Bioactivity:** Heparan sulfate, a complex and linear polysaccharide, exists as part of glycoproteins named heparan sulfate proteoglycans, which are expressed abundantly on the cell surface and in the extracellular matrix.  
**Purity:** 97.74%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Infigratinib phosphate (BGJ-398 phosphate; NVP-BGJ398 (phosphate))  
**Cat. No.: HY-13311A**  
**Bioactivity:** Infigratinib phosphate (BGJ-398 phosphate) is a potent inhibitor of the FGFR family with IC₅₀ of 0.9 nM, 1.4 nM, 1 nM, and 60 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively.  
**Purity:** 97.47%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg

KW-2449  
**Cat. No.: HY-10339**  
**Bioactivity:** KW-2449 is a multi-targeted kinase inhibitor of FLT3, ABL, ABL[T315I] and Aurora kinase with IC₅₀ of 6.6, 14, 4 and 48 nM, respectively.  
**Purity:** 99.85%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Infigratinib (BGJ-398; NVP-BGJ398)  
**Cat. No.: HY-13311**  
**Bioactivity:** Infigratinib (BGJ-398) is a potent inhibitor of the FGFR family with IC₅₀ of 0.9 nM, 1.4 nM, 1 nM, and 60 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively.  
**Purity:** 99.16%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Lucitanib (E-3810)  
**Cat. No.: HY-15391**  
**Bioactivity:** Lucitanib (E-3810) is a novel dual inhibitor of VEGFR and FGFR, potently and selectively inhibits VEGFR1, VEGFR2, VEGFR3, FGFR1 and FGFR2 with IC₅₀ of 7 nM, 25 nM, 10 nM, 17.5 nM, and 82.5 nM, respectively.  
**Purity:** 98.24%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

LY2874455  
**Cat. No.: HY-13304**  
**Bioactivity:** LY2874455 is a pan-FGFR inhibitor with IC₅₀ of 2.8, 2.6, 6.4, 6 nM for FGFR1, FGFR2, FGFR3, FGFR4, respectively.  
**Purity:** 98.02%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Nintedanib (BIBF 1120)  
**Cat. No.: HY-50904**  
**Bioactivity:** BIBF 1120 is a potent triple angiokinase inhibitor for VEGFR1/2/3, FGFR1/2/3 and PDGFRα/β with IC₅₀ of 34 nM/13 nM/13 nM, 69 nM/37 nM/108 nM and 59 nM/65 nM, respectively.  
**Purity:** 99.97%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

Nintedanib esylate (BIBF 1120 (esylate))  
**Cat. No.: HY-11106**  
**Bioactivity:** Nintedanib esylate (BIBF 1120 esylate) is a potent triple angiokinase inhibitor for VEGFR1/2/3, FGFR1/2/3 and PDGFRα/β with IC₅₀ of 34 nM/13 nM/13 nM, 69 nM/37 nM/108 nM and 59 nM/65 nM, respectively.  
**Purity:** 99.95%  
**Clinical Data:** Launch  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg
<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th><strong>ODM-203</strong></th>
<th><strong>Orantinib (SU6668; TSU-68)</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>ODM-203 is a potent FGFR and VEGFR families inhibitor with $IC_{50}$ of 11, 16, 6, 35 nM towards recombinant FGFR1, FGFR2, FGFR3 and FGFR4 as well as 26, 9, 5 nM towards VEGFR1, VEGFR2 and VEGFR3, respectively. ODM-203 exhibits strong anti-tu...</td>
<td>Orantinib (SU6668; TSU-68) is a multi-targeted receptor tyrosine kinase inhibitor with $K_i$ of 2.1 μM, 8 nM and 1.2 μM for Flt-1, PDGFRβ and FGFR1, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Purity:</strong> 99.02%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> Phase 3</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 100 mg, 500 mg, 250 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th><strong>Pazopanib (GW786034)</strong></th>
<th><strong>Pazopanib Hydrochloride (GW786034 Hydrochloride)</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Pazopanib (GW786034) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with $IC_{50}$ of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.</td>
<td>Pazopanib Hydrochloride (GW786034 Hydrochloride) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with an $IC_{50}$ of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.68%</td>
<td><strong>Purity:</strong> 99.92%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td><strong>Clinical Data:</strong> Launched</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
<td></td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th><strong>PD-166866</strong></th>
<th><strong>PD173074</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>PD166866 is a selective FGFR1 tyrosine kinase inhibitor with an $IC_{50}$ of 52.4 nM.</td>
<td>PD173074 is a potent FGFR1 inhibitor with an $IC_{50}$ of 25 nM and also inhibits VEGFR2 with an $IC_{50}$ of 100-200 nM, showing 1000-fold selectivity for FGFR1 over PDGFR and c-Src.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.68%</td>
<td><strong>Purity:</strong> 99.55%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th><strong>Pemigatinib</strong></th>
<th><strong>PF-05231023</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Pemigatinib is a selective FGFR inhibitor in development for the treatment of patients with cholangiocarcinoma.</td>
<td>PF-05231023, a long-acting fibroblast growth factor 21 (FGF21) analog, is a FGF21-receptor agonist, suitable for development as a potential treatment for T2DM [1] [5].</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.95%</td>
<td><strong>Purity:</strong> 99.78%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th><strong>Ponatinib (AP24534)</strong></th>
<th><strong>PPS8</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Ponatinib is a potent, orally available multi-targeted kinase inhibitor with $IC_{50}$ of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM, and 5.4 nM for Abl, PDGFRα, VEGFR2, FGFR1, and Src, respectively.</td>
<td>PPS8 is a pyrido[2,3-d]pyrimidine-based compound that inhibits PDGFR, FGFR and Src family activities with nanomolar $IC_{50}$ values.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.96%</td>
<td><strong>Purity:</strong> 98.07%</td>
<td></td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td></td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>
**PRN1371**  
**Bioactivity:** PRN1371 is a highly selective and potent FGFR1-4 inhibitor with IC\textsubscript{50} values of 0.6, 1.3, 4.1 and 19.3 nM, respectively.  
**Purity:** 99.24%  
**Clinical Data:** Phase 1  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**Roblitinib (FGF-401)**  
**Bioactivity:** Roblitinib (FGF-401) is an inhibitor of FGFR4 extracted from patent WO2015059668A1, compound example 83; has an IC\textsubscript{50} of 1.9 nM.  
**Purity:** 98.08%  
**Clinical Data:** Phase 2  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Rogaratinib (BAY1163877)**  
**Bioactivity:** Rogaratinib is a potent and selective fibroblast growth factor receptor (FGFR) inhibitor.  
**Purity:** 99.38%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**S49076**  
**Bioactivity:** S49076 is a novel, potent inhibitor of MET, AXL/MER, and FGFR1/2/3 with IC\textsubscript{50} values below 20 nM.  
**Purity:** 98.99%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

**SKLB610**  
**Bioactivity:** SKLB610 is a VEGFR inhibitor with potent anti-tumor activity.  
**Purity:** 98.96%  
**Clinical Data:** No Development Reported  
**Size:**

**SSR128129E**  
**Bioactivity:** SSR128129E is an orally available and allosteric FGFR inhibitor with an IC\textsubscript{50} of 1.9 μM for FGFR1.  
**Purity:** 99.65%  
**Clinical Data:** Phase 3  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

**SSR128129E free acid**  
**Bioactivity:** SSR128129E free acid is an orally available and allosteric FGFR inhibitor with an IC\textsubscript{50} of 1.9 μM for FGFR1.  
**Purity:** >98%  
**Clinical Data:** Phase 3  
**Size:** 10 mg, 50 mg

**SU 5402**  
**Bioactivity:** SU 5402 is a potent multi-targeted receptor tyrosine kinase inhibitor with IC\textsubscript{50} values of 20 nM, 30 nM, and 510 nM for VEGFR2, FGFR1, and PDGFRβ, respectively.  
**Purity:** 99.39%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Sulfatinib (HMPL-012)**  
**Bioactivity:** Sulfatinib (HMPL-012) is a potent and highly selective tyrosine kinase inhibitor against VEGFR1/2/3, FGFR1 and CSF1R with IC\textsubscript{50} of in a range of 1 to 24 nM.  
**Purity:** 98.34%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**SUN11602**  
**Bioactivity:** SUN11602 is a novel aniline compound with basic fibroblast growth factor-like activity.  
**Purity:** 98.02%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
| **TG 100572** | Bioactivity: | TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has IC$_{50}$s of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, respectively. |
| Purity: | >98% |
| Clinical Data: | No Development Reported |
| Size: | 5 mg, 10 mg, 50 mg |

| **TG 100572 Hydrochloride** | Bioactivity: | TG 100572 Hydrochloride is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has IC$_{50}$s of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, respectively. |
| Purity: | 98.60% |
| Clinical Data: | No Development Reported |
| Size: | 5 mg, 10 mg, 50 mg |

| **TG 100801** | Bioactivity: | TG 100801 is a prodrug that generates TG 100572 by de-esterification in development to treat age-related macular degeneration. TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has IC$_{50}$s of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 for...

| Purity: | 98.60% |
| Clinical Data: | Phase 2 |
| Size: | 5 mg, 10 mg, 50 mg |

| **TG 100801 Hydrochloride** | Bioactivity: | TG 100801 Hydrochloride is a prodrug that generates TG 100572 by de-esterification in development to treat age-related macular degeneration. TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has IC$_{50}$s of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1,... |
| Purity: | >98% |
| Clinical Data: | No Development Reported |
| Size: | 5 mg, 10 mg, 50 mg |

| **Tyrosine kinase-IN-1** | Bioactivity: | Tyrosine kinase-IN-1 is a multi-targeted tyrosine kinase inhibitor with IC$_{50}$s of 4, 20, 4, 2 nM for KDR, Flt-1, FGFR1 and PDGFRα, respectively. |
| Purity: | 99.47% |
| Clinical Data: | 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg |

| Cat. No.: HY-10184 |

| Cat. No.: HY-10185 |

| Cat. No.: HY-10186 |

| Cat. No.: HY-10187 |

| Cat. No.: HY-100315 |
FLT3 (Fms-like tyrosine kinase 3, CD135) is a protein that in humans is encoded by the FLT3 gene. FLT3 is a cytokine receptor which belongs to the receptor tyrosine kinase class III. FLT3 is the receptor for the cytokine Flt3 ligand (FLT3L). FLT-3 is expressed on the surface of many hematopoietic progenitor cells. Signalling of FLT3 is important for the normal development of haematopoietic stem cells and progenitor cells. The FLT3 gene is one of the most frequently mutated genes in acute myeloid leukemia (AML). Besides, high levels of wild-type FLT3 have been reported for blast cells of some AML patients without FLT3 mutations. These high levels may be associated with worse prognosis. Signaling through FLT3 plays a role in cell survival, proliferation, and differentiation. FLT3 is important for lymphocyte (B cell and T cell) development, but not for the development of other blood cells. Two cytokines that down modulate FLT3 activity are TNF-Alpha and TGF-Beta.
## FLT3 Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Inhibitor</th>
<th>Cat. No.</th>
</tr>
</thead>
<tbody>
<tr>
<td>AC710</td>
<td>HY-13493</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AC710 is a potent PDGFR inhibitor with $K_d$ of 0.6, 1.57, 1, 1.3, 1.0 nM for FLT3, CSF1R, KIT, PDGFRα and PDGFRβ, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.03%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>AMG 925</td>
<td>HY-15889</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AMG 925 is a potent, selective, and orally available FLT3/CDK4 dual inhibitor with $IC_{50}$ of 2±1 nM and 3±1 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.33%</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>AMG 925 HCl</td>
<td>HY-15889A</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AMG 925 HCl is a potent, selective, and orally available FLT3/CDK4 dual inhibitor with $IC_{50}$ of 2±1 nM and 3±1 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.01%</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>AST 487</td>
<td>HY-15002</td>
</tr>
<tr>
<td><strong>(NVP-AST 487)</strong></td>
<td></td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AST 487 is a RET kinase inhibitor with $IC_{50}$ of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits Flt-3 with $IC_{50}$ of 520 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.64%</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>
<tr>
<td>BPR1J-097</td>
<td>HY-13537</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BPR1J-097 is a novel potent FLT3 inhibitor with an $IC_{50}$ of 11nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>BSc5371</td>
<td>HY-111545</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BSc5371 is a potent and irreversible FLT3 inhibitor, with $K_d$ of 1.3, 0.83, 1.5, 5.8 and 2.3 nM for mutant FLT3(D835H), FLT3(ITD, D835V), FLT3(ITD, F691L), FLT3-ITD and wild type FLT3wt, respectively. BSc5371 is cytotoxic...</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>500 mg, 100 mg, 250 mg</td>
</tr>
<tr>
<td>Cabozantinib</td>
<td>HY-13016</td>
</tr>
<tr>
<td><strong>(XL184; BMS-907351)</strong></td>
<td></td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cabozantinib is a potent multiple receptor tyrosine kinase inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and Flt3 with $IC_{50}$ of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.92%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>
### CCT241736
**Cat. No.: HY-18161**

**Bioactivity:** CCT241736 is a potent and orally bioavailable dual FLT3 and Aurora kinase inhibitor, which inhibits Aurora kinases (Aurora-A $K_i$ 7.5 nM, $IC_{50}$ 38 nM; Aurora-B $K_i$ 48 nM), FLT3 kinase ($K_i$ 6.2 nM), and FLT3 mutants includ...

**Purity:** 99.86%

**Clinical Data:** No Development Reported

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

---

### CG-806
**Cat. No.: HY-112646**

**Bioactivity:** CG-806 is a pan FLT3/BTK Multi-Kinase inhibitor.

**Purity:** 98.02%

**Clinical Data:** No Development Reported

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

---

### CHIR-124
**Cat. No.: HY-13263**

**Bioactivity:** CHIR-124 is a potent and selective Chk1 inhibitor with $IC_{50}$ of 0.3 nM, and also potently targets PDGFR and FLT3 with $IC_{50}$ of 6.6 nM and 5.8 nM.

**Purity:** 98.77%

**Clinical Data:** No Development Reported

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

---

### Dovitinib (CHIR-258; TKI258)
**Cat. No.: HY-50905**

**Bioactivity:** Dovitinib is a multi-targeted tyrosine kinase inhibitor with $IC_{50}$ of 1, 2, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, FGFR1/3, VEGFR1/2/3 and PDGFR/β, respectively.

**Purity:** 99.31%

**Clinical Data:** Phase 3

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

---

### ENMD-2076
**Cat. No.: HY-10987A**

**Bioactivity:** ENMD-2076 is a multi-targeted kinase inhibitor with $IC_{50}$ of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

**Purity:** 99.23%

**Clinical Data:** Phase 2

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

---

### ENMD-2076 Tartrate
**Cat. No.: HY-10987**

**Bioactivity:** ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with $IC_{50}$ of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

**Purity:** 99.59%

**Clinical Data:** Phase 2

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

---

### FLT3-IN-1
**Cat. No.: HY-109584**

**Bioactivity:** FLT3-IN-1 is a potent FLT3 inhibitor extracted from patent WO2015056683A1, compound example A.

**Purity:** 99.74%

**Clinical Data:** No Development Reported

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

---

### FLT3-IN-1 Succinate
**Cat. No.: HY-109584A**

**Bioactivity:** FLT3-IN-1 Succinate is a potent FLT3 inhibitor extracted from patent WO2015056683A1, compound example A.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

### FLT3-IN-2
**Cat. No.: HY-18744**

**Bioactivity:** FLT3-IN-2 is a FLT3 inhibitor with $IC_{50}$ of 1 μM, detailed information refer to WO 2012158957 A2 and WO 2007013896.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---
**FLT3-IN-3**

**Bioactivity:** FLT3-IN-3 is a potent FLT3 inhibitor with IC\textsubscript{50} of 13 and 8 nM for FLT3 WT and FLT3 D835Y, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 100 mg, 500 mg, 250 mg

**Cat. No.:** HY-112145

---

**FLT3-IN-4**

**Bioactivity:** FLT3-IN-4 is a potent and orally effective Fms-like tyrosine receptor kinase 3 (FLT3; IC\textsubscript{50}=7 nM) inhibitor for treating acute myelogenous leukemia \[^1\].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 100 mg, 500 mg, 250 mg

**Cat. No.:** HY-128571

---

**FLT3-IN-6**

**Bioactivity:** FLT3-IN-6 is a potent and selective inhibitor of FLT3-ITD (FLT3 mutation) with an IC\textsubscript{50} of 1.336 nM \[^1\].

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg, 100 mg

**Cat. No.:** HY-128572

---

**FN-1501**

**Bioactivity:** FN-1501 is a potent inhibitor of FLT3 and CDK, with IC\textsubscript{50} of 2.47, 0.85, 1.96, and 0.28 nM for CDK2/cyclin A, CDK4/cyclin D1, CDK6/cyclin D1 and FLT3, respectively. FN-1501 has anticancer activity.

**Purity:** 98.41%

**Clinical Data:** No Development Reported

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

**Cat. No.:** HY-111361

---

**G-749**

**Bioactivity:** G-749 is a novel FLT3 inhibitor that showed potent and sustained inhibition of the FLT3 wild type and mutants with IC\textsubscript{50}s of 0.4/0.6/3.5/7.5 nM for Wt Flt3/DB835Y/MV4-11/Molm-14 respectively.

**Purity:** 99.9%

**Clinical Data:** No Development Reported

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

**Cat. No.:** HY-12333

---

**Gilteritinib (ASP2219)**

**Bioactivity:** Gilteritinib is a potent FLT3/ AXL inhibitor with IC\textsubscript{50} of 0.29 nM/0.73 nM, respectively.

**Purity:** 99.55%

**Clinical Data:** Phase 3

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

**Cat. No.:** HY-12432

---

**Gilteritinib hemifumarate (ASP2215 hemifumarate)**

**Bioactivity:** Gilteritinib hemifumarate is a potent FLT3/ AXL inhibitor with IC\textsubscript{50} of 0.29 nM/0.73 nM, respectively.

**Purity:** 99.22%

**Clinical Data:** No Development Reported

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

**Cat. No.:** HY-12432A

---

**JNJ-47117096 hydrochloride (MELK-T1 hydrochloride)**

**Bioactivity:** JNJ-47117096 hydrochloride is potent and selective MELK inhibitor, with an IC\textsubscript{50} of 23 nM, also effectively inhibits Flt3, with an IC\textsubscript{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

**Cat. No.:** HY-12420

---

**KW-2449**

**Bioactivity:** KW-2449 is a multi-targeted kinase inhibitor of FLT3, ABL, ABL\textsuperscript{T315I} and Aurora kinase with IC\textsubscript{50} of 6.6, 14, 4 and 48 nM, respectively.

**Purity:** 99.85%

**Clinical Data:** Phase 1

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

**Cat. No.:** HY-10339

---
Lestaurtinib  
(CEP-701; KT-5555)  
Cat. No.: HY-50867

Bioactivity: Lestaurtinib (CEP-701; KT-5555) is a multi-kinase inhibitor with potent activity against the Trk family of receptor tyrosine kinases. Lestaurtinib inhibits JAK2, FLT3 and TrkA with IC\textsubscript{50}s of 0.5, 3 and less than 25 nM, respectively.

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

Linifanib  
(ABT-869; AL-39324)  
Cat. No.: HY-50751

Bioactivity: Linifanib (ABT-869) is a multi-targeted inhibitor of VEGF and PDGFR receptor family with IC\textsubscript{50}s of 3, 4, 66, 4 nM for KDR, Flt-1, PDGFRβ and FLT3, respectively.

Purity: 99.60%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

MRX-2843  
(UNC2371)  
Cat. No.: HY-101549

Bioactivity: MRX-2843 is an orally available small-molecule inhibitor of both MERTK and FLT3.

Purity: 99.21%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Pacritinib  
(SB1518)  
Cat. No.: HY-16379

Bioactivity: Pacritinib is a potent inhibitor of both wild-type JAK2 (IC\textsubscript{50}=23 nM) and JAK2\textsuperscript{V617F} mutant (IC\textsubscript{50}=19 nM). Pacritinib also inhibits FLT3 (IC\textsubscript{50}=22 nM) and its mutant FLT3\textsuperscript{D835Y} (IC\textsubscript{50}=6 nM).

Purity: 99.66%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Quizartinib  
(AC220)  
Cat. No.: HY-13001

Bioactivity: Quizartinib (AC220) is a potent Flt3 tyrosine kinase inhibitor with a K\textsubscript{d} of 1.6±0.7 nM.

Purity: 99.34%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Rebastinib  
(DCC-2036)  
Cat. No.: HY-13024

Bioactivity: Rebastinib (DCC-2036) is a conformational control Bcr-Abl inhibitor for Abl\textsubscript{1WT} and Abl\textsuperscript{1T315I} with IC\textsubscript{50} of 0.8 nM and 4 nM, also inhibits SRC, KDR, FLT3, and Tie-2, and low activity to seen towards c-Kit.

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

SB1317  
(TG02)  
Cat. No.: HY-15166

Bioactivity: SB1317 is a potent inhibitor of CDK2, JAK2, and FLT3 for the treatment of cancer, with IC\textsubscript{50} of 13, 73, and 56 nM for CDK2, JAK2 and FLT3, respectively.

Purity: 99.96%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Sitravatinib  
(MGCD516; MG516)  
Cat. No.: HY-16961

Bioactivity: Sitravatinib (MGCD516; MG516) is an orally bioavailable, receptor tyrosine kinase (RTK) inhibitor with IC\textsubscript{50} of 1.5 nM, 2 nM, 2 nM, 5 nM, 6nM, 6 nM, 8 nM, 0.5 nM, 29 nM, 5nM, and 9 nM for Axl, MER, VEGFR3, VEGFR2, VEGFR1, KIT, FLT3, DD...

Purity: 99.85%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

SKLB4771  
(FLT3-IN-1)  
Cat. No.: HY-12960

Bioactivity: SKLB4771 is a novel potent and selective Flt3 inhibitor with IC\textsubscript{50} of 10 nM against FLT3-ITD-expressing MV4-11 cells with IC\textsubscript{50} of 6 nM. IC\textsubscript{50} value: 10 nM (in vitro) [1] Target: in vitro: SKLB4771 inhibited FLT3 phosphorylation in a dose-dependent manner. Consistent with the downregulation of...

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

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

Bioactivity: Sorafenib (Bay 43-9006) is a potent multikinase inhibitor with IC\textsubscript{50} of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.

Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg, 500 mg
<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>Sorafenib Tosylate (Bay 43-9006 Tosylate) is a potent multikinase inhibitor, with IC(_{50})s of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>99.53%</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, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>Tandutinib (MLN518, CT53518) is a potent FLT3 antagonist with IC(<em>{50}) of 0.22 μM, also inhibits PDGFR and c-Kit, 15 to 20-fold higher potency for FLT3 versus CSF-1R and &gt;100-fold selectivity for the same target versus FGFR, EGFR and KDR. IC(</em>{50}) value: 0.22 μM [1] Target: Flt3; PDGFRβ; c-Kit in vitro...</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>99.81%</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, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>TCS 359, a 2-acylaminothiophene-3-carboxamide, is a potent inhibitor of FLT3 with IC(_{50}) of 42 nM.</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>99.51%</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>

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>TG101209 is a selective JAK2 inhibitor with IC(<em>{50}) of 6 nM, less potent to FLT3 and RET with IC(</em>{50}) of 25 nM and 17 nM, appr 30-fold selective for JAK2 than JAK3, and sensitive to JAK2V617F and MPLW515L/K mutations.</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>98.94%</td>
</tr>
<tr>
<td><strong>Clinical Data</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>UNC2025 is a potent and orally bioavailable Mer/Flt3 dual inhibitor with IC(<em>{50}) of 0.8/0.74 nM for Mer/Flt3. IC(</em>{50}) value: 0.8/0.74 nM(MER/FLT3) Target: Mer/Flt3 inhibitor UNC2025 was capable of inhibiting Mer phosphorylation in vivo, following oral dosing as demonstrated by pharmaco-dynamic (PD) studies...</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>99.97%</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>Bioactivity</strong></th>
<th>UNC2025 hydrochloride is a potent and orally bioavailable Mer/Flt3 dual inhibitor with IC(<em>{50}) of 0.8/0.74 nM for Mer/Flt3. IC(</em>{50}) value: 0.8/0.74 nM (MER/FLT3) Target: Mer/Flt3 inhibitor UNC2025 was capable of inhibiting Mer phosphorylation in vivo, following oral dosing as demonstrated by pharmaco-dynamic (PD)...</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>99.83%</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>
IGF-1R

Insulin-like growth factor-1 receptor

IGF-1R (Insulin-like growth factor 1 receptor) is a protein found on the surface of human cells. It is a transmembrane receptor that is activated by a hormone called insulin-like growth factor 1 (IGF-1) and by a related hormone called IGF-2. It belongs to the large class of tyrosine kinase receptors. This receptor mediates the effects of IGF-1, which is a polypeptide protein hormone similar in molecular structure to insulin. IGF-1 plays an important role in growth and continues to have anabolic effects in adults - meaning that it can induce hypertrophy of skeletal muscle and other target tissues. Mice carrying only one functional copy of IGF-1R are normal, but exhibit a ~15% decrease in body mass. The IGF-1R is implicated in several cancers, including breast, prostate, and lung cancers. In some instances its anti-apoptotic properties allow cancerous cells to resist the cytotoxic properties of chemotherapeutic drugs or radiotherapy.
IGF-1R Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>AG1024</strong> (Tyrphostin AG 1024)</th>
<th><strong>AZ7550</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> AG-1024 (Tyrphostin) inhibits IGF-1R autophosphorylation with IC50 of 7 μM, less potent to IR with IC50 of 57 μM. IC50 value: 7 μM (IGF-1R autophosphorylation); 57 μM (IR) [1]. Target: IGF-1R; IR in vitro: AG-1024 blocks the IGF-1 receptor and IR autophosphorylation with IC50 of 7 μM and 57 μM...</td>
<td><strong>Bioactivity:</strong> AZ7550 is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC50 of 1.6 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 97.16%</td>
<td><strong>Purity:</strong> &gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> Phase 1</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg</td>
<td><strong>Size:</strong> 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>AZ7550 hydrochloride</strong></th>
<th><strong>AZ7550 Mesylate</strong> (AZ7550 trimesylate salt)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> AZ7550 hydrochloride is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC50 of 1.6 μM.</td>
<td><strong>Bioactivity:</strong> AZ7550 Mesylate is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC50 of 1.6 μM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.02%</td>
<td><strong>Purity:</strong> 98.85%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 5 mg, 10 mg</td>
<td><strong>Size:</strong> 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>AZD-3463</strong> (ALK/IGF1R inhibitor)</th>
<th><strong>BMS-536924</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> AZD-3463 is an ALK/IGF1R inhibitor which overcomes multiple mechanisms of acquired resistance to crizotinib. IC50 Value: Target: ALK/IGF1R</td>
<td><strong>Bioactivity:</strong> BMS-536924 is an ATP-competitive IGF-1R/IR inhibitor with IC50 of 100 nM/73 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.49%</td>
<td><strong>Purity:</strong> 98.73%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>BMS-754807</strong></th>
<th><strong>Ceritinib</strong> (LDK378)</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> BMS-754807 is a potent and reversible inhibitor of the insulin-like growth factor 1 receptor (IGF-1R)/insulin receptor family kinases (IR) with IC50 of 1.8 and 1.7 nM, respectively and Kᵢ of &lt;2 nM for both, and also shows potent activit...</td>
<td><strong>Bioactivity:</strong> Ceritinib (LDK378) is a potent and specific ALK inhibitor with an IC50 of 0.2 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.18%</td>
<td><strong>Purity:</strong> 99.98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 2</td>
<td><strong>Clinical Data:</strong> Launched</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 2 g, 5 g, 10 g</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Ceritinib dihydrochloride</strong> (LDK378 dihydrochloride)</th>
<th><strong>Ginsenoside Rg5</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Ceritinib dihydrochloride (LDK378 dihydrochloride) is potent inhibitor against ALK with IC₅₀ of 0.2 nM, shows 40- and 35-fold selectivity against IGF-1R and InsR, respectively.</td>
<td><strong>Bioactivity:</strong> Ginsenoside Rg5 is the main component of Red ginseng. Ginsenoside blocks binding of IGF-1 to its receptor with an IC₅₀ of ~90 nM. Ginsenoside Rg5 also inhibits the mRNA expression of COX-2 via suppression of the DNA binding activities of NF-κB p65.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.86%</td>
<td><strong>Purity:</strong> 99.36%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

Bioactivity: GSK1838705A is a potent and reversible IGF-1R and the insulin receptor inhibitor with IC50 of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an IC50 of 0.5 nM.

Purity: 98.99%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: GSK1904529A is a selective inhibitor of IGF-1R and IR with IC50 of 27 nM and 25 nM. >100-fold more selective for IGF-1R/InsR than Akt1/2, Aurora A/B-Raf, CDK2, EGFR etc. IC50 value: 27/25 nM (IGF1R/IR) [1] Target: IGF1R/IR in vitro; GSK1904529A is a reversible, ATP-competitive inhibitor and has

Purity: 98.97%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

Bioactivity: Indirubin Derivative E804 is a potent inhibitor of Insulin-like Growth Factor 1 Receptor (IGF1R), with an IC50 of 0.65 μM for IGF1R.

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

Bioactivity: Linsitinib (OSI-906) is a dual inhibitor of the IGF-1 receptor and insulin receptor with IC50 of 35 and 75 nM, respectively.

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

Bioactivity: NVP-ADW742 (ADW742, GSK 552602A, ADW) is an selective IGF-1R inhibitor with IC50 of 0.17 μM, >16-fold more potent against IGF-1R than InsR; little activity to HER2, PDGFR, VEGFR-2, Bcr-Abl and c-Kit. IC50 value: 0.17 μM [1] Target: IGF-1R in vitro: NVP-ADW742 exhibits a 6-fold greater

Purity: 99.66%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: NVP-AEW541 (AEW541) is a potent inhibitor of IGF-1R with IC50 of 0.15 μM, also inhibits InsR, with IC50 of 0.14 μM.

Purity: 98.76%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: Picropodophyllin (AXL1717; Picropodophyllin; PPP) is a selective insulin-like growth factor-1 receptor (IGF-1R) inhibitor with an IC50 of 1 nM.

Purity: 99.85%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: PQ401, a selective insulin-like growth factor-1 receptor blocker, is a novel diarylurea compound that inhibits IGF1R autophosphorylation with IC50 < 1 μM. IC50 Value: 12 μM (inhibited autophosphorylation of the IGF-IR in cultured human MCF-7 cells) [1] Target: IGF1R in vitro: PQ401 inhibited

Purity: 98.59%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

Bioactivity: XL228 is a multi-targeted tyrosine kinase inhibitor with IC50s of 5, 3.1, 1.6, 6.1, 2 nM for Bcr-Abl, Aurora A, IGF-1R, Src and Lyn, respectively.

Purity: 99.61%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
**Insulin Receptor**

Insulin receptor (IR) is a transmembrane receptor that is activated by insulin, IGF-I, IGF-II and belongs to the large class of tyrosine kinase receptors. Metabolically, the insulin receptor plays a key role in the regulation of glucose homeostasis, a functional process that under degenerate conditions may result in a range of clinical manifestations including diabetes and cancer. Biochemically, the insulin receptor is encoded by a single gene INSR, from which alternate splicing during transcription results in either IR-A or IR-B isoforms. Downstream post-translational events of either isoform result in the formation of a proteolytically cleaved $\alpha$ and $\beta$ subunit, which upon combination are ultimately capable of homo or hetero-dimerisation to produce the $\approx 320$ kDa disulfide-linked transmembrane insulin receptor.
### Insulin Receptor Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>AGL-2263</strong></th>
<th>Cat. No.: HY-112720</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>AGL-2263 is an insulin receptor (IR) blocker.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>95.80%</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>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>BMS-536924</strong></th>
<th>Cat. No.: HY-10262</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BMS-536924 is an ATP-competitive IGF-1R/IR inhibitor with IC50 of 100 nM/73 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.73%</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>

<table>
<thead>
<tr>
<th><strong>BMS-754807</strong></th>
<th>Cat. No.: HY-10200</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BMS-754807 is a potent and reversible inhibitor of the insulin-like growth factor 1 receptor (IGF-1R)/insulin receptor family kinases (IR) with IC50 of 1.8 and 1.7 nM, respectively and Ki of &lt;2 nM for both, and also shows potent activit...</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.18%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Ceritinib</strong> (LDK378)</th>
<th>Cat. No.: HY-15656</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Ceritinib (LDK378) is a potent and specific ALK inhibitor with an IC50 of 0.2 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 2 g, 5 g, 10 g</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Ceritinib dihydrochloride</strong> (LDK378 dihydrochloride)</th>
<th>Cat. No.: HY-15656A</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Ceritinib dihydrochloride (LDK378 dihydrochloride) is potent inhibitor against ALK with IC50 of 2.0 and 35-fold selectivity against IGF-1R and InsR, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.86%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Insulin levels modulator</strong></th>
<th>Cat. No.: HY-112819</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Insulin levels modulator could be used to treat diabetes.</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>Insulin(cattle)</strong> (Insulin from bovine pancreas)</th>
<th>Cat. No.: HY-P1156</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Insulin cattle is a kind of polypeptide hormone that regulates glucose metabolism in pancreatic islet B-cells.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.60%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Insulin(human)</strong></th>
<th>Cat. No.: HY-P0035</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Insulin(human) is a polypeptide hormone that regulates the level of glucose.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>96.90%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Kaempferitrin</strong> (Lespedin; Lespenephryl)</th>
<th>Cat. No.: HY-N0628</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Kaempferitrin is a natural flavonoid, possesses antinociceptive, anti-inflammatory, anti-diabetic, antitumoral and chemopreventive effects, and activates insulin signaling pathway.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td><strong>Bioactivity</strong></td>
<td>KU14R is a new I(3)-R antagonist, which selectively blocks the insulin secretory response to imidazolines.</td>
</tr>
<tr>
<td>----------------</td>
<td>----------------------------------------------------------------------------------------------------------</td>
</tr>
<tr>
<td><strong>Purity</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size</strong></td>
<td>5 mg, 10 mg</td>
</tr>
</tbody>
</table>

**KU14R**  
Cat. No.: HY-15481

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>Linsitinib (OSI-906) is a dual inhibitor of the IGF-1 receptor and insulin receptor with $IC_{50}$ of 35 and 75 nM, respectively.</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>99.90%</td>
</tr>
<tr>
<td><strong>Clinical Data</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**Linsitinib**  
Cat. No.: HY-10191

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>MSDC 0160 act as an insulin sensitizer and a modulator of mitochondrial pyruvate carrier (MPC), a key controller of cellular metabolism that influences mTOR (mammalian target of rapamycin) activation. In Vitro: MSDC-0160 acts as insulin sensitizers without activating PPARγ. MSDC-0160 (10 μM)...</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size</strong></td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**MSDC 0160**  
(Mitoglitazone; CAY10415)  
Cat. No.: HY-100550

<table>
<thead>
<tr>
<th><strong>Bioactivity</strong></th>
<th>NVP-AEW541 is a potent inhibitor of IGF-1R with $IC_{50}$ of 0.15 μM, also inhibits InsR with $IC_{50}$ of 0.14 μM.</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Purity</strong></td>
<td>98.76%</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>

**NVP-AEW541**  
(AEW541)  
Cat. No.: HY-50866

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www.MedChemExpress.com
Interleukin-1 receptor-associated kinase (IRAK) is first described as a signal transducer for the proinflammatory cytokine IL-1 and is later implicated in signal transduction of other members of the Toll-like receptor (TLR)/IL-1R family. Four different IRAK-like molecules have been identified: two active kinases, IRAK-1 and IRAK-4, and two inactive kinases, IRAK-2 and IRAK-M. All IRAKs mediate activation of NF-κB and MAPK pathways. IRAKs are protein kinases involved in signalling innate immune responses from TLRs. After TLR-4 and TLR-2 recognize pathogen-associated molecular patterns, such as LPS and peptidoglycan, all IRAK members form multimeric receptor complexes.

IRAKs are essential signaling intermediates in the TLR/IL-1R pathway to both IKK and MAPKs activation. These two pathways are central to the activation of several transcription factors, including NF-κB and AP-1, which contribute to the establishment of an immune response.
**IRAK Inhibitors & Modulators**

**AZ1495**  
**Cat. No.: HY-111101**  
**Bioactivity:** AZ1495 (compound 28) is an oral active inhibitor of Interleukin-1 receptor associated kinase 4 (IRAK4), with IC\textsubscript{50} values of 5 nM and 23 nM for IRAK4 and IRAK1, respectively. Shows activity in treatment of mutant MYD88\textsuperscript{L265P} diff...  
**Purity:** 99.83%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Ginsenoside Rb1**  
*(Gypenoside III)*  
**Cat. No.: HY-N0039**  
**Bioactivity:** Ginsenoside Rb1, a main constituent of the root of Panax ginseng, inhibits Na\textsuperscript{+}, K\textsuperscript{+}-ATPase activity with an IC\textsubscript{50} of 6.3±1.0 μM. Ginsenoside also inhibits IRAK-1 activation and phosphorylation of NF-κB p65.  
**Purity:** 98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

**IRA​K inhibitor 1**  
**Cat. No.: HY-13275**  
**Bioactivity:** IRAK inhibitor 1 is a potent IRAK-4 inhibitor with IC\textsubscript{50} of 216 nM, is poorly active against JNK-1 and JNK-2 with IC\textsubscript{50} of 3.801 μM, and >10 μM, respectively.  
**Purity:** 99.47%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**IRA​K inhibitor 2**  
**Cat. No.: HY-13276**  
**Bioactivity:** IRAK inhibitor 2 is interleukin-1 receptor associated kinase inhibitor.  
**Purity:** 97.23%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**IRA​K inhibitor 3**  
**Cat. No.: HY-13277**  
**Bioactivity:** IRAK inhibitor 3 is an interleukin-1 (IL-1) receptor-associated kinase (IRAK) kinase modulator extracted from patent WO2008030579 A2.  
**Purity:** 96.20%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**IRA​K inhibitor 4**  
**Cat. No.: HY-13278**  
**Bioactivity:** IRAK inhibitor 4 is an interleukin-1 receptor associated kinase 4 (IRAK4) inhibitor.  
**Purity:** 99.24%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg

**IRA​K inhibitor 6**  
**Cat. No.: HY-13280**  
**Bioactivity:** IRAK inhibitor 6 is an inhibitor of interleukin-1 receptor associated kinase 4 (IRAK-4) with IC\textsubscript{50} of 160 nM.  
**Purity:** 99.75%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**IRA​K-1-4 Inhibitor I**  
*(IRAK-1/4 Inhibitor I)*  
**Cat. No.: HY-13329**  
**Bioactivity:** IRAK-1-4 Inhibitor I is an inhibitor of interleukin-1 receptor-associated kinase 1/4 (IRAK 1/4) with IC\textsubscript{50} of 0.2 μM and 0.3 μM, respectively.  
**Purity:** 98.49%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
IRAK4-IN-1

Cat. No.: HY-101922

**Bioactivity:** IRAK4-IN-1 is an interleukin-1 receptor associated kinase 4 (IRAK4) inhibitor with an IC₅₀ of 7 nM.

**Purity:** 99.01%

**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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PF06650833

Cat. No.: HY-19836

**Bioactivity:** PF06650833 is an inhibitor of Interleukin-1 receptor associated kinase 4 (IRAK4), and used to treat diseases such as rheumatoid arthritis, lupus, and lymphomas.

**Purity:** 98.68%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
Itk (Interleukin-2-inducible T-cell kinase) is a member of the TEC family of kinases and is highly expressed in T cells. Itk plays a role in T-cell proliferation, differentiation, cytokine release and chemotaxis. Itk is functionally important for the development and effector function of Th2 and Th17 cells. Itk is an attractive target for the treatment of T-cell-mediated inflammatory diseases.
## Itk Inhibitors & Modulators

<table>
<thead>
<tr>
<th><strong>BMS-509744</strong></th>
<th><strong>Cat. No.: HY-11092</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BMS-509744 is a potent and selective <strong>Itk</strong> inhibitor with an [IC_{50}] of 19 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.02%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
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<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 30 mg</td>
</tr>
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</table>

<table>
<thead>
<tr>
<th><strong>GNE-4997</strong></th>
<th><strong>Cat. No.: HY-16984</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>GNE-4997 is a potent and selective <strong>ITK/TSK</strong> inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>250 mg, 500 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>ITK inhibitor</strong></th>
<th><strong>Cat. No.: HY-11066</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>ITK inhibitor is a potent ITK inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>97.28%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>5 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>PF-06465469</strong></th>
<th><strong>Cat. No.: HY-108691</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PF-06465469 is a covalent inhibitor of <strong>ITK</strong> with an [IC_{50}] of 2nM [1].</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td></td>
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</table>

<table>
<thead>
<tr>
<th><strong>PRN694</strong></th>
<th><strong>Cat. No.: HY-12680</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PRN694 is a highly selective and potent covalent inhibitor of <strong>T cell kinase (ITK)</strong> and <strong>resting lymphocyte kinase (RLK)</strong> with [IC_{50}] of 0.3 and 1.4 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.44%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Vecabrutinib (SNS-062)</strong></th>
<th><strong>Cat. No.: HY-109078</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Vecabrutinib is a potent, noncovalent <strong>BTK</strong> and <strong>ITK</strong> inhibitor, with ([K_d]) of 0.3 nM and 2.2 nM, respectively; Vecabrutinib shows an ([IC_{50}]) of 24 nM for ITK.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.96%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
PDGFR (Platelet-derived growth factor receptors) are cell surface tyrosine kinase receptors for members of the platelet-derived growth factor (PDGF) family. PDGF subunits -A and -B are important factors regulating cell proliferation, cellular differentiation, cell growth, development and many diseases including cancer. There are two forms of the PDGFR: PDGFR alpha and PDGFR beta.
### PDGFR Inhibitors & Modulators

#### AC710

**Cat. No.: HY-13493**

**Bioactivity:** AC710 is a potent PDGFR inhibitor with \(K_d\) of 0.6, 1.57, 1, 1.3, 1.0 nM for FLT3, CSF1R, KIT, PDGFRA and PDGFRL, respectively.

**Purity:** 98.03%

**Clinical Data:** No Development Reported

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

#### AC710 Mesylate

**Cat. No.: HY-13493A**

**Bioactivity:** AC710 Mesylate is a potent PDGFR inhibitor with \(K_d\) of 0.6, 1.57, 1.3, 1.0 nM for FLT3, CSF1R, KIT, PDGFRA and PDGFRL, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

#### Amuvatinib (MP470; HPK 56)

**Cat. No.: HY-10206**

**Bioactivity:** Amuvatinib (MP470) is a multi-targeted receptor tyrosine kinases inhibitor, which inhibits c-Kit (D816V), c-Kit (V560G), c-Kit (V654A), PDGFRA (D842V), and PDGFRL with \(IC_{50}\) of 950 nM, 10 nM, 34 nM, 127 nM, respectively.

**Purity:** 99.36%

**Clinical Data:** Phase 2

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

#### Amuvatinib hydrochloride (MP470 hydrochloride; HPK 56 hydrochloride)

**Cat. No.: HY-10206A**

**Bioactivity:** Amuvatinib hydrochloride (MP470 hydrochloride) is a multi-targeted receptor tyrosine kinases inhibitor, which inhibits c-Kit (D816V), c-Kit (D816H), c-Kit (V560G), c-Kit (V654A), PDGFRA (D842V), and PDGFRL with \(IC_{50}\) of 950 nM, 10 nM, 34 nM, 127 nM, 81 nM, and 40 nM, respectively.

**Purity:** 98.9%

**Clinical Data:** No Development Reported

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

#### Axitinib (AG-013736)

**Cat. No.: HY-10065**

**Bioactivity:** Axitinib is a multi-targeted tyrosine kinase inhibitor with \(IC_{50}\) of 0.1, 0.2, 0.1-0.3, 1.6 nM for VEGFR1, VEGFR2, VEGFR3 and PDGFRL, respectively.

**Purity:** 99.94%

**Clinical Data:** Launched

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

#### AZD2932

**Cat. No.: HY-18179**

**Bioactivity:** AZD2932 is a potent and multi-targeted kinase inhibitor of VEGFR2, PDGFRL, Flt-3 and c-Kit with \(IC_{50}\) of 8, 4, 7 and 9 nM in cell assay, respectively.

**Purity:** 98.12%

**Clinical Data:** No Development Reported

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

#### Cediranib (AZD2171)

**Cat. No.: HY-10205**

**Bioactivity:** Cediranib (AZD2171) is a highly potent, orally available VEGFR tyrosine kinase inhibitor with \(IC_{50}\) of <1, <3, 5, 5, 36, 2 nM for Flt1, KDR, Flt4, PDGFRA, PDGFRL, c-KIT, respectively.

**Purity:** 99.58%

**Clinical Data:** Phase 3

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

#### Cediranib maleate (AZD-2171 maleate)

**Cat. No.: HY-13049**

**Bioactivity:** Cediranib maleate (AZD-2171 maleate) is a highly potent, orally available VEGFR inhibitor with \(IC_{50}\) of <1, <3, 5, 5, 36, 2 nM for Flt1, KDR, Flt4, PDGFRA, PDGFRL, c-KIT, respectively.

**Purity:** 96.67%

**Clinical Data:** Phase 3

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

#### CHIR-124

**Cat. No.: HY-13263**

**Bioactivity:** CHIR-124 is a potent and selective Chk1 inhibitor with \(IC_{50}\) of 0.3 nM, and also potently targets PDGF and FLT3 with \(IC_{50}\) of 6.6 nM and 5.8 nM.

**Purity:** 98.77%

**Clinical Data:** No Development Reported

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

#### CP-673451

**Cat. No.: HY-12050**

**Bioactivity:** CP-673451 is a potent and selective inhibitor of PDGFR with \(IC_{50}\) of 10 and 1 nM for PDGFRA and PDGFRL, respectively.

**Purity:** 99.65%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th>ID</th>
<th>Name</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Crenolanib (CP-868596)</td>
<td></td>
<td></td>
<td>Crenolanib is a potent and selective inhibitor of wild-type and mutant isoforms of the class III receptor tyrosine kinases FLT3 and PDGFRα/β with $K_d$ of 0.74 nM and 2.1 nM/3.2 nM, respectively.</td>
<td>Purity: 99.78%</td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Dovitinib (CHIR-258; TKI258)</td>
<td></td>
<td></td>
<td>Dovitinib is a multi-targeted tyrosine kinase inhibitor with $IC_{50}$ of 1, 2, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, FGFR1/3, VEGFR2/3 and PDGFRα/β, respectively.</td>
<td>Purity: 99.31%</td>
<td>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
</tr>
<tr>
<td>ENMD-2076</td>
<td></td>
<td></td>
<td>ENMD-2076 is a multi-targeted kinase inhibitor with $IC_{50}$ of 1.86, 14, 58.2, 15.9, 70.8, 56.4 nM for Aurora A, FLT3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.</td>
<td>Purity: 99.23%</td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>ENMD-2076 Tartrate</td>
<td></td>
<td></td>
<td>ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with $IC_{50}$ of 1.86, 14, 58.2, 15.9, 70.8, 56.4 nM for Aurora A, FLT3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.</td>
<td>Purity: 98.59%</td>
<td>Size: 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Flumatinib (HHGV678)</td>
<td></td>
<td></td>
<td>Flumatinib (HHGV678) is a multi-kinase inhibitor with $IC_{50}$ Values of 1.2 nM, 307.6 nM and 2662 nM for c-Abl, PDGFRβ and c-Kit respectively.</td>
<td>Purity: 99.94%</td>
<td>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Flumatinib mesylate (HHGV678 mesylate)</td>
<td></td>
<td></td>
<td>Flumatinib mesylate (HH-GV-678 mesylate), a derivative of imatinib, is a multi-kinase inhibitor with $IC_{50}$ Values of 1.2 nM, 307.6 nM and 2662 nM for c-Abl, PDGFRβ and c-Kit respectively. IC50 Value: 1.2 nM (c-Abl); 307.6 nM (PDGFRβ); 2662 nM (c-Kit)</td>
<td>Purity: 95.0%</td>
<td>Size: 10mM x 1mL in Water, 500 mg</td>
</tr>
<tr>
<td>GZD856</td>
<td></td>
<td></td>
<td>GZD856 is a novel and orally bioavailable PDGFRα/β inhibitor with $IC_{50}$ of 68.6 and 136.6 nM, respectively. Anti-lung cancer activities [1]. Also a Bcr-Abl$T^{315I}$ inhibitor with $IC_{50}$ of 19.9 and 15.4 nM for Bcr-Abl and T315I mutant [2].</td>
<td>Purity: &gt;98%</td>
<td>Size: 250 mg, 500 mg</td>
</tr>
<tr>
<td>Ilorasertib (ABT-348)</td>
<td></td>
<td></td>
<td>Ilorasertib (ABT-348) is an ATP-competitive multitargeted kinase inhibitor with $IC_{50}$ for inhibiting binding Aurora B (7 nM), C (1 nM), and A (120 nM), and also inhibits RET tyrosine kinase, PDGFRβ, and Flt1 with $IC_{50}$ of 7 nM, 3 nM and 32 nM.</td>
<td>Purity: &gt;98%</td>
<td>Size: 1 mg, 5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>Imatinib (STI571; CGP-571488)</td>
<td></td>
<td></td>
<td>Imatinib (STI571) is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR ($IC_{50}$=100 nM) tyrosine kinases.</td>
<td>Purity: 99.80%</td>
<td>Size: 10mM x 1mL in DMSO, 200 mg, 500 mg, 1 g, 5 g</td>
</tr>
<tr>
<td>Imatinib Mesylate (STI571 (Mesylate); CGP-571488 (Mesylate))</td>
<td></td>
<td></td>
<td>Imatinib Mesylate (STI571 Mesylate) is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR ($IC_{50}$=100 nM) tyrosine kinases.</td>
<td>Purity: 99.91%</td>
<td>Size: 10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg, 1 g, 5 g</td>
</tr>
</tbody>
</table>
### JI-101

**Cat. No.: HY-16265**

**Bioactivity:** JI-101 is an orally available multi-kinase inhibitor of VEGFR2, PDGFRβ, and EphB4 with potent anti-cancer activity.

**Purity:** 99.95%

**Clinical Data:** Phase 2

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

### Linifanib (ABT-869; AL-39324)

**Cat. No.: HY-50751**

**Bioactivity:** Linifanib (ABT-869) is a multi-targeted inhibitor of VEGF and PDGFR receptor family with IC\(_{50}\) of 3, 4, 66, 4 nM for KDR, Flt-1, PDGFRβ and FLT3, respectively.

**Purity:** 99.60%

**Clinical Data:** Phase 3

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

### Masitinib (AB1010)

**Cat. No.: HY-10209**

**Bioactivity:** Masitinib is an orally available Kit inhibitor with an IC\(_{50}\) of 200 nM. It also inhibits PDGFRα/β with an IC\(_{50}\) of 540 nM/800 nM.

**Purity:** 99.94%

**Clinical Data:** Phase 3

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

### Masitinib mesylate (AB-1010 mesylate)

**Cat. No.: HY-10209A**

**Bioactivity:** Masitinib mesylate is a novel inhibitor for Kit and PDGFRα/β with IC\(_{50}\) of 200 nM and 540 nM/800 nM, and has weak inhibition to ABL and c-Fms.

**Purity:** 99.31%

**Clinical Data:** Phase 3

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

### Nintedanib (BIBF 1120)

**Cat. No.: HY-50904**

**Bioactivity:** BIBF 1120 is a potent triple angiokinase inhibitor for VEGFR1/2/3, FGFR1/2/3 and PDGFRα/β with IC\(_{50}\) of 34 nM/13 nm/13 nM, 69 nM/37 nM/108 nM and 59 nM/65 nM, respectively.

**Purity:** 99.97%

**Clinical Data:** Launched

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

### Nintedanib esylate (BIBF 1120 esylate)

**Cat. No.: HY-11106**

**Bioactivity:** Nintedanib esylate (BIBF 1120 esylate) is a potent triple angiokinase inhibitor for VEGFR1/2/3, FGFR1/2/3 and PDGFRα/β with IC\(_{50}\) of 34 nM/13 nM/13 nM, 69 nM/37 nM/108 nM and 59 nM/65 nM, respectively.

**Purity:** 99.95%

**Clinical Data:** Launched

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

### NVP-ACC789 (ACC-789; ZK202650)

**Cat. No.: HY-19624**

**Bioactivity:** NVP-ACC789 is an inhibitor of human VEGFR-1, VEGFR-2 (mouse VEGFR2), VEGFR-3 and PDGFR-β with IC\(_{50}\) of 0.38, 0.02 (0.23), 0.18, 1.4 μM, respectively.

**Purity:** 99.58%

**Clinical Data:** No Development Reported

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

### Orantinib (SU6668; TSU-68)

**Cat. No.: HY-10517**

**Bioactivity:** Orantinib (SU6668; TSU-68) is a multi-targeted receptor tyrosine kinase inhibitor with Ki of 2.1 μM, 8 nM and 1.2 μM for Flt-1, PDGFRβ and FGFR1, respectively.

**Purity:** 99.02%

**Clinical Data:** Phase 3

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

### Pazopanib (GW786034)

**Cat. No.: HY-10208**

**Bioactivity:** Pazopanib (GW786034) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with IC\(_{50}\) of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.

**Purity:** 99.68%

**Clinical Data:** Launched

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

### Pazopanib Hydrochloride (GW786034 Hydrochloride)

**Cat. No.: HY-12009**

**Bioactivity:** Pazopanib Hydrochloride (GW786034 Hydrochloride) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with an IC\(_{50}\) of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.

**Purity:** 99.92%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg
<table>
<thead>
<tr>
<th><strong>PDGFRα kinase inhibitor 1</strong></th>
<th><strong>Cat. No.: HY-111507</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PDGFRα kinase inhibitor 1 is a highly selective type II PDGFRα kinase inhibitor with IC\textsubscript{50} of 132 nM and 6115 nM for PDGFRα and PDGFRβ, 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, 100 mg, 500 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Ponatinib</strong> (AP24534)</th>
<th><strong>Cat. No.: HY-12047</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Ponatinib is a potent, orally available multi-targeted kinase inhibitor with IC\textsubscript{50} of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM, and 5.4 nM for Abl, PDGFRα, VEGFR2, FGFR1, and Src, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.96%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>PP121</strong></th>
<th><strong>Cat. No.: HY-10372</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PP121 is a multi-targeted kinase inhibitor with IC\textsubscript{50} of 10, 60, 12, 14, 2 nM for mTOR, DNK-PK, VEGFR2, Src, PDGFR, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.89%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
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<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>PP58</strong></th>
<th><strong>Cat. No.: HY-18622</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>PP58 is a pyrido[2,3-d]pyrimidine-based compound that inhibits PDGFR, FGFR and Src family activities with nanomolar IC\textsubscript{50} values.</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, 1 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

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<thead>
<tr>
<th><strong>Regorafenib</strong> (BAY 73-4506)</th>
<th><strong>Cat. No.: HY-10331</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with IC\textsubscript{50} of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.96%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
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<table>
<thead>
<tr>
<th><strong>Regorafenib Hydrochloride</strong> (BAY73-4506 hydrochloride)</th>
<th><strong>Cat. No.: HY-13308</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Regorafenib Hydrochloride is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC\textsubscript{50} of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.56%</td>
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<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Regorafenib monohydrate</strong> (BAY 73-4506 monohydrate)</th>
<th><strong>Cat. No.: HY-10331A</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Regorafenib monohydrate is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC\textsubscript{50} of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.96%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</td>
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</tbody>
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<table>
<thead>
<tr>
<th><strong>SKLB610</strong></th>
<th><strong>Cat. No.: HY-18199</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>SKLB610 is a VEGFR inhibitor with potent anti-tumor activity.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.96%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td></td>
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<table>
<thead>
<tr>
<th><strong>Ripretinib</strong> (DCC-2618)</th>
<th><strong>Cat. No.: HY-112306</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Ripretinib (DCC-2618) is a pan-KIT and PDGFRα inhibitor, and has antitumor activity.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.46%</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>SU 5402</strong></th>
<th><strong>Cat. No.: HY-10407</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>SU 5402 is a potent multi-targeted receptor tyrosine kinase inhibitor with IC\textsubscript{50} of 20 nM, 30 nM, and 510 nM for VEGFR2, FGFR1, and PDGFRβ, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.39%</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>
SU14813

Cat. No.: HY-10501

**Bioactivity:** SU14813 is a multi-targeted receptor tyrosine kinase inhibitor with IC\textsubscript{50} of 50, 2, 4, 15 nM for VEGFR2, VEGFR1, PDGFRβ, and KIT.

**Purity:** 95.74%

**Clinical Data:** No Development Reported

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

---

SU14813 maleate

Cat. No.: HY-10501A

**Bioactivity:** SU14813 maleate is a multi-targeted receptor tyrosine kinase inhibitor with IC\textsubscript{50} of 50, 2, 4, 15 nM for VEGFR2, VEGFR1, PDGFRβ and KIT.

**Purity:** 99.34%

**Clinical Data:** No Development Reported

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

---

Sunitinib (SU 11248)

Cat. No.: HY-10255A

**Bioactivity:** Sunitinib (SU 11248) is a multi-targeted receptor tyrosine kinase inhibitor with IC\textsubscript{50} of 80 nM and 2 nM for VEGFR2 and PDGFRβ, respectively.

**Purity:** 99.66%

**Clinical Data:** Launched

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

---

Sunitinib Malate (SU 11248 Maleate)

Cat. No.: HY-10255

**Bioactivity:** Sunitinib Malate (SU 11248 Maleate) is a potent tyrosine kinase inhibitor targeting VEGFR2 and PDGFRβ with IC\textsubscript{50} of 80 nM and 2 nM, respectively.

**Purity:** 99.47%

**Clinical Data:** Launched

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

---

TAK-593

Cat. No.: HY-15506

**Bioactivity:** TAK-593 is a potent VEGFR and PDGFR family inhibitor with IC\textsubscript{50} of 3.2, 0.95, 1.1, 4.3 and 13 nM for VEGFR1, VEGFR2, VEGFR3, PDGFRα and PDGFRβ, respectively.

**Purity:** 99.62%

**Clinical Data:** Phase 1

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

---

Telatinib (Bay 57-9352)

Cat. No.: HY-10527

**Bioactivity:** Telatinib (Bay 57-9352) is an orally active, small molecule inhibitor of VEGFR2, VEGFR3, PDGFRα and c-KIT with IC\textsubscript{50} of 6, 4, 15 and 1 nM, respectively.

**Purity:** 99.49%

**Clinical Data:** Phase 2

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

---

TG 100572

Cat. No.: HY-10184

**Bioactivity:** TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases, has IC\textsubscript{50} of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, Yes, respectively.

**Purity:** 98.80%

**Clinical Data:** No Development Reported

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

---

TG 100572 Hydrochloride

Cat. No.: HY-10185

**Bioactivity:** TG 100572 Hydrochloride is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases, has IC\textsubscript{50} of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, Yes, respectively.

**Purity:** 98.44%

**Clinical Data:** No Development Reported

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

---

TG 100801

Cat. No.: HY-10186

**Bioactivity:** TG 100801 is a prodrug that generates TG 100572 by de-esterification in development to treat age-related macular degeneration. TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases, has IC\textsubscript{50} of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 for...

**Purity:** >98%

**Clinical Data:** Phase 2

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

---

TG 100801 Hydrochloride

Cat. No.: HY-10187

**Bioactivity:** TG 100801 Hydrochloride is a prodrug that generates TG 100572 by de-esterification in development to treat age-related macular degeneration. TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases, has IC\textsubscript{50} of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1,...

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 50 mg
| **Toceranib**  
(PHA 291639; SU11654) | **Cat. No.: HY-10330** |
<table>
<thead>
<tr>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Toceranib is a multitargeted indolinone receptor tyrosine kinase (RTK) inhibitor with $K_i$ of 5 and 6 nM for PDGFR$\beta$ and Flk-1/KDR, respectively.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 96.50%  
**Clinical Data:** Launched  
**Size:** 10 mg, 50 mg |

| **Toceranib phosphate**  
(PHA 291639 (phosphate); SU11654 (phosphate))  
**Cat. No.: HY-10330A** |
<table>
<thead>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Toceranib phosphate is a multitargeted indolinone receptor tyrosine kinase (RTK) inhibitor with $K_i$ of 5 and 6 nM for PDGFR$\beta$ and Flk-1/KDR, respectively.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 98.43%  
**Clinical Data:** Launched  
**Size:** 10 mg, 50 mg |

| **Trapidil**  
(AR-12008) | **Cat. No.: HY-B1016** |
<table>
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<tr>
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<tbody>
<tr>
<td><strong>Bioactivity:</strong> Trapidil is a vasodilator, is an antiplatelet drug with specific platelet-derived growth factor.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 98.0%  
**Clinical Data:** Launched  
**Size:** 10 mM x 1 mL in Water, 10 mg, 50 mg |

<table>
<thead>
<tr>
<th><strong>Tyrosine kinase-IN-1</strong></th>
<th><strong>Cat. No.: HY-100315</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong> Tyrosine kinase-IN-1 is a multi-targeted tyrosine kinase inhibitor with IC$_{50}$ of 4, 20, 4, 2 nM for KDR, Flt-1, FGFR1 and PDGFR$\alpha$, respectively.</td>
<td></td>
</tr>
</tbody>
</table>
| **Purity:** 99.47%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg |
PKA (Protein kinase A) is a family of enzymes whose activity is dependent on cellular levels of cyclic AMP (cAMP). PKA is also known as cAMP-dependent protein kinase. Protein kinase A has several functions in the cell, including regulation of glycogen, sugar, and lipid metabolism.
PKA Inhibitors & Modulators

8-Bromo-cAMP sodium salt (8-Br-Camp sodium salt)  
**Cat. No.** HY-12306

**Bioactivity:** 8-Bromo-cAMP sodium salt (8-Br-Camp sodium salt), a cyclic AMP analog, is an activator of cyclic AMP-dependent protein kinase (PKA).

**Purity:** 98.52%

**Clinical Data:** No Development Reported

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

---

AT13148  
**Cat. No.** HY-16071

**Bioactivity:** AT13148 is an orally active and ATP-competitive, multi-AGC 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 ROCKI/II, respectively.

**Purity:** 99.54%

**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

---

Bucladesine calcium salt (Dibutyryl-cAMP calcium salt; DC2797 calcium salt)  
**Cat. No.** HY-B0764A

**Bioactivity:** Bucladesine calcium salt(DC2797 calcium salt) is a membrane permeable selective activator of PKA. Target: PKA Bucladesine (bilateral infusion of 10 mM or 100 mM) leads to a significant reduction in escape latency and travel distance (showing an improvement in spatial memory) compared to the control, as...

**Purity:** 97.83%

**Clinical Data:** Launched

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

---

Bucladesine sodium salt (Dibutyryl-cAMP sodium salt; DC2797; Sodium dibutyryl cAMP)  
**Cat. No.** HY-B0764

**Bioactivity:** Bucladesine sodium salt is a cell-permeable cyclic AMP (cAMP) analog that activates cAMP dependent protein kinase (PKA).

**Purity:** 99.33%

**Clinical Data:** Launched

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

---

CCG215022  
**Cat. No.** HY-18991

**Bioactivity:** CCG215022 is a G protein-coupled receptor kinases (GRKs) inhibitor with IC_{50} of 0.15±0.07 μM, 0.38±0.06 μM and 3.9±1 μM for GRK2, GRK5 and GRK1, respectively.

**Purity:** 98.05%

**Clinical Data:** No Development Reported

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

---

CREBtide  
**Cat. No.** HY-P1595

**Bioactivity:** CREBtide, a synthetic 13 amino acid peptide, has been reported as a PKA substrate.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

---

Daphnetin (7,8-Dihydroxycoumarin)  
**Cat. No.** HY-N0281

**Bioactivity:** Daphnetin (7,8-dihydroxycoumarin), one coumarin derivative isolated from plants of the Genus Daphne, is a protein kinase inhibitor, with IC_{50} of 7.67 μM, 9.33 μM and 25.01 μM for EGFR, PKA and PKC in vitro, respectively [1] [2]. Daphne...

**Purity:** 99.55%

**Clinical Data:** No Development Reported

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

---

H 89 (Protein kinase inhibitor H-89)  
**Cat. No.** HY-15979

**Bioactivity:** H-89 is a potent inhibitor of cyclic AMP-dependent protein kinase (protein kinase A) with IC_{50} of 48 nM and has weak inhibition on PKG, PKC, Casein Kinase, and others kinases.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 10 mg, 50 mg, 100 mg
H-89 dihydrochloride
Protein kinase inhibitor H-89 dihydrochloride
Cat. No.: HY-15979A

Bioactivity: H-89 dihydrochloride is a potent inhibitor of protein kinase A (PKA) with an IC50 of 48 nM and has weak inhibition on PKG, PKC, Casein Kinase.

Purity: 98.94%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg

HA-100
Cat. No.: HY-100984

Bioactivity: HA-100 is an inhibitor of cGMP-dependent protein kinase (PKG), cAMP-dependent protein kinase (PKA), Protein kinase C (PKC) and MLC-kinase with IC50 of 4, 8, 12 and 240 μM, respectively.

Purity: 99.76%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Jaspamycin
(7-CN-7-C-Ino)
Cat. No.: HY-111759

Bioactivity: Jaspamycin (7-CN-7-C-Ino) is a potent activator of PKA, binding to the R site (PKAR), with an EC50 of 6.5 nM and Kd of 8 nM in Trypanosoma brucei. Jaspamycin (7-CN-7-C-Ino) does not bind with purified human PKAR.

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

Kemptide
Cat. No.: HY-P0248

Bioactivity: Kemptide is a synthetic heptapeptide that acts as a specific substrate for cAMP-dependent protein kinase (PKA).

Purity: 98.0%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg

Kemptide Phospho-Ser5
Cat. No.: HY-P0291

Bioactivity: Kemptide (Phospho-Ser5) is a phosphate acceptor peptide that serves as a specific substrate for cAMP-dependent protein kinase (PKA).

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

Metadoxine
Cat. No.: HY-B1898


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

Staurosporine
(Streptomycin; AM-2282)
Cat. No.: HY-15141

Bioactivity: Staurosporine is a potent and non-selective inhibitor of protein kinases with IC50 of 6 nM, 15 nM, 2 nM, and 3 nM for PKC, PKA, c-Fgr, and Phosphorylase kinase respectively.

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

Warangalone
(Scandenolone)
Cat. No.: HY-N1074

Bioactivity: Warangalone is an anti-malarial compound which can inhibit the growth of both strains of parasite 3D7 (chloroquine sensitive) and K1 (chloroquine resistant) with IC50 of 4.8 μg/mL and 3.7 μg/mL, respectively. Warangalone can also inhibit cyclic AMP-dependent protein kinase catalytic subunit (PKA-CREB) pathway.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 1 mg
Pyk2
Proline-rich tyrosine kinase 2

Pyk2, a non-receptor tyrosine kinase of the FAK family, is up-regulated in more than 60% of the tumors of hepatocellular carcinoma (HCC) patients.

Proline-rich tyrosine kinase 2 (Pyk2) is a cytoplasmic, non-receptor tyrosine kinase implicated in multiple signaling pathways. It is a negative regulator of osteogenesis and considered a viable drug target for osteoporosis treatment. Pyk2 and focal adhesion kinase (FAK) comprise the focal adhesion kinase subfamily of non-receptor tyrosine kinases. PYK2 and FAK are large multidomain proteins containing an N-terminal FERM domain, a central catalytic domain, and a C-terminal segment containing dual proline rich (PR) subdomains and a focal adhesion targeting (FAT) region.

Pyk2, a non-receptor tyrosine kinase of the FAK family, is up-regulated in more than 60% of the tumors of hepatocellular carcinoma (HCC) patients.
## Pyk2 Inhibitors & Modulators

### NVP-TAE 226

**Cat. No.: HY-13203**

**Bioactivity:** NVP-TAE 226 is a dual tyrosine kinase inhibitor of FAK (IC$_{50}$ = 5.5 nM) and IGFR (IC$_{50}$ = 0.14 μM).

**Purity:** 98.98%

**Clinical Data:** No Development Reported

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

### PF-431396

**Cat. No.: HY-10460**

**Bioactivity:** PF-431396 is dual focal adhesion kinase (FAK) and proline-rich tyrosine kinase 2 (PYK2) inhibitor (IC50 values are 2 and 11 nM respectively), PF-431396 has a Kd value of 445 nM for BRD4. IC50 value: 2 nM (FAK); 11 nM (PYK2); 445 nM (KD for BRD4) [1]

**Purity:** 99.15%

**Clinical Data:** No Development Reported

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

### PF-562271

**Cat. No.: HY-10459**

**Bioactivity:** PF-562271 is a potent ATP-competitive, reversible inhibitor of FAK and Pyk2 kinase, with an IC$_{50}$ of 1.5 nM and 13 nM, respectively.

**Purity:** 99.36%

**Clinical Data:** No Development Reported

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

### PF-562271 besylate

**Cat. No.: HY-10458**

**Bioactivity:** PF-562271 besylate is a potent ATP-competitive, reversible inhibitor of FAK and Pyk2 kinase, with an IC$_{50}$ of 1.5 nM and 13 nM, respectively [1].

**Purity:** 99.17%

**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
RET (REarranged during Transfection) is a receptor protein tyrosine kinase, which activates multiple signal transduction pathways. RET protein is composed of three domains: an extracellular ligand-binding domain, a transmembrane domain, and a cytoplasmic tyrosine kinase domain. The RET receptor tyrosine kinase (RTK) regulates key aspects of cellular proliferation and survival by regulating the activity of the mitogen-activated protein kinase (MAPK) and PI3K/Akt signaling pathways. RET also interacts directly with other kinases such as the epidermal growth factor receptor (EGFR) and hepatocyte growth factor receptor (MET) and the focal adhesion kinase (FAK). Furthermore, BRAF and p38MAPK are downstream targets of RET.

Kinase inhibitors that simultaneously inhibit RET and its downstream targets.

RET tyrosine kinase receptor presents an attractive therapeutic target for the treatment of certain cancer subsets. Deregulated RET activity has been identified as a causative factor in the development, progression and response to therapy of thyroid carcinoma. Elevated RET expression has been associated with the development of endocrine resistance in human breast cancer.
**Bioactivity:** AD80, a multikinase inhibitor, inhibits RET, RAF, SRC and S6K, with greatly reduced mTOR activity.

**Purity:** 99.46%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**Apatinib** (YN968D1)  
**Cat. No.: HY-13342**

**Bioactivity:** Apatinib is a highly selective VEGFR2 inhibitor with an IC_{50} of 1 nM. Apatinib also potently suppresses the activities of Ret, c-Kit and c-Src with IC_{50}s of 13, 429 and 530 nM, respectively.

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

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**AST 487**  
**(NVP-AST 487)  
**Cat. No.: HY-15002**

**Bioactivity:** AST 487 is a RET kinase inhibitor with IC_{50} of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits Flt-3 with IC_{50} of 520 nM.

**Purity:** 98.64%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

---

**BBT594**  
**(NVP-BBT594)  
**Cat. No.: HY-18840**

**Bioactivity:** BBT594 is a potent receptor tyrosine kinase RET inhibitor, used for cancer treatment.

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

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**BT-13**  
**Cat. No.: HY-124401**

**Bioactivity:** BT-13 is a potent and selective glial cell line-derived neurotrophic factor (GDNF) receptor RET agonist independently of GFLs, promoting neurite growth from sensory neurons in vitro and attenuates experimental neuropathy in the Rat [1].

**Purity:** 99.0%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

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**Pralsetinib**  
**(Blu667)  
**Cat. No.: HY-112301**

**Bioactivity:** Pralsetinib (Blu667) is a highly potent and selective RET inhibitor with an IC_{50} of 0.4 nM for wild type RET kinase.

**Purity:** 99.56%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**Regorafenib**  
**(BAY 73-4506)  
**Cat. No.: HY-10331**

**Bioactivity:** Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1, respectively.

**Purity:** 99.96%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

---

**Regorafenib Hydrochloride**  
**(BAY73-4506 hydrochloride)  
**Cat. No.: HY-13308**

**Bioactivity:** Regorafenib Hydrochloride is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.

**Purity:** 99.58%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Regorafenib monohydrate**  
**(BAY 73-4506 monohydrate)  
**Cat. No.: HY-10331A**

**Bioactivity:** Regorafenib monohydrate is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.

**Purity:** 99.96%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

---

**Bioactivity:** Regorafenib Hydrochloride is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.

**Purity:** 99.58%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

---

**Bioactivity:** Regorafenib monohydrate is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.

**Purity:** 99.96%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

---

**Bioactivity:** Apatinib is a highly selective VEGFR2 inhibitor with an IC_{50} of 1 nM. Apatinib also potently suppresses the activities of Ret, c-Kit and c-Src with IC_{50}s of 13, 429 and 530 nM, respectively.

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

---

**BBT594** is a potent receptor tyrosine kinase RET inhibitor, used for cancer treatment.

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

---

**BT-13**

**Bioactivity:** BT-13 is a potent and selective glial cell line-derived neurotrophic factor (GDNF) receptor RET agonist independently of GFLs, promoting neurite growth from sensory neurons in vitro and attenuates experimental neuropathy in the Rat [1].

**Purity:** 99.0%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

---

**Pralsetinib** (Blu667)

**Bioactivity:** Pralsetinib (Blu667) is a highly potent and selective RET inhibitor with an IC_{50} of 0.4 nM for wild type RET kinase.

**Purity:** 99.56%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
### RET-IN-1

**Cat. No.:** HY-112950

**Bioactivity:** RET-IN-1 is a RET kinase inhibitor extracted from patent WO2018071447A1, Compound Example 552, has IC₅₀ of 1 nM, 7 nM, and 101 nM for RET (WT), RET (V804M), and RET (G810R), respectively.[1]

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

---

### Selpercatinib

**Cat. No.:** HY-114370

**Bioactivity:** Selpercatinib is a RET kinase inhibitor extracted from patent WO2018071447A1, Compound Example 163, has an IC₅₀ of 14.0 nM, 24.1 nM, and 530.7 nM for RET (WT), RET (V804M), and RET (G810R), respectively.[1] Antineoplastic activity.[2]

**Purity:** 98.10%

**Clinical Data:** No Development Reported

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

---

### SPP-86

**Cat. No.:** HY-110193

**Bioactivity:** SPP-86 is a potent and selective cell permeable inhibitor of RET tyrosine kinase, with an IC₅₀ of 8 nM. SPP-86 inhibits RET-induced phosphatidylinositide 3-kinases (PI3K)/Akt and MAPK signaling, also inhibits RET-induced estrogen receptor...

**Purity:** 99.0%

**Clinical Data:** No Development Reported

**Size:** 5 mg

---

### TG101209

**Cat. No.:** HY-10410

**Bioactivity:** TG101209 is a selective JAK2 inhibitor with IC₅₀ of 6 nM, less potent to FLT3 and RET with IC₅₀ of 25 nM and 17 nM, appr 30-fold selective for JAK2 than JAK3, and sensitive to JAK2V617F and MPLW515L/K mutations.

**Purity:** 98.94%

**Clinical Data:** No Development Reported

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

---

### WHI-P180 hydrochloride

(Janex 3 hydrochloride; )

**Cat. No.:** HY-15769A

**Bioactivity:** WHI-P180 (Janex 3) is a multi-kinase inhibitor; inhibits RET, KDR and EGFR with IC₅₀ of 5 nM, 66 nM and 4 μM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 2 mg, 5 mg, 10 mg, 50 mg
ROS
Reactive oxygen species

ROS is a proto-oncogenic receptor tyrosine kinase whose expression is tightly restricted during development. ROS kinase is one of the last two remaining orphan receptor tyrosine kinases. It is thought also that c-ROS gene may have a role in some cardiovascular diseases, and the fact that homozygous male mice targeted against c-ROS gene are healthy but infertile, has inspired researchers to think about ROS inhibition as a method for development of new male contraceptives. The new selective and potent inhibitors for ROS kinase, along with the development of new specific diagnostic methods for the detection of ROS fusion proteins, raises the importance of using these selective inhibitors for targeting ROS mutations as a new method for treatment of cancers harboring such genes.
### ROS Inhibitors & Modulators

<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
</tr>
</thead>
<tbody>
<tr>
<td>3,4-Dimethoxycinnamic acid (O-Methylferulic acid)</td>
<td>HY-N1778</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td></td>
</tr>
<tr>
<td>3,4-Dimethoxycinnamic acid (O-Methylferulic acid) is a monomer extracted and purified from Securidaca inappendiculata Hassk. 3,4-Dimethoxycinnamic acid exerts anti-apoptotic effects on L-02 cells via the ROS-mediated signaling pathway.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.54%</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, 100 mg</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 g, 10 g</td>
</tr>
</tbody>
</table>

| Berberine chloride (Natural Yellow 18 (chloride)) | HY-18258       |
| **Bioactivity:**                              |                |
| Berberine chloride is an alkaloid isolated from the Chinese herbal medicine Huanglian, as an antibiotic. Berberine chloride induces reactive oxygen species (ROS) generation and inhibits DNA topoisomerase. Antineoplastic properties |
| **Purity:**                                  | >98%           |
| **Clinical Data:**                           | Launched       |
| **Size:**                                    | 100 mg, 500 mg |

| DMNQ                                           | HY-121026      |
| **Bioactivity:**                              |                |
| DMNQ is a redox cycling agent that generates both superoxide and hydrogen peroxide intracellularly in a concentration dependent manner. DMNQ increases ROS generation. |
| **Purity:**                                  | >98%           |
| **Clinical Data:**                           | No Development Reported |
| **Size:**                                    |                |

| F-1                                            | HY-112801      |
| **Bioactivity:**                              |                |
| F-1 is a potent ALK and ROS1 dual inhibitor, suppresses phospho-ALK and its relative downstream signaling pathways, with IC_{50} values of 2.1 nM, 2.3 nM, 1.3 nM and 3.9 nM for ALK WT, ROS1 WT, ALK L1196M and ALK G1202R, respectively. |
| **Purity:**                                  | >98%           |
| **Clinical Data:**                           | No Development Reported |
| **Size:**                                    | 250 mg, 100 mg, 500 mg |

| Neohesperidin dihydrochalcone (Neohesperidin DC, NHDC) | HY-N0154       |
| **Bioactivity:**                                      |                |
| Neohesperidin dihydrochalcone is a synthetic glycoside chalcone, is added to various foods and beverages as a low caloric artificial sweetener. |
| **Purity:**                                            | 98.04%         |
| **Clinical Data:**                                     | No Development Reported |
| **Size:**                                              | 10mM x 1mL in DMSO, 100 mg, 500 mg, 1 g, 5 g |

| Repotrectinib (TPX-0005)                          | HY-103022      |
| **Bioactivity:**                                |                |
| Repotrectinib (TPX-0005) is a potent ALK/ROS1/TRK inhibitor, with IC_{50} of 5.3 nM, 1.01 nM, 1.26 nM and 1.08 nM for SRC, WT ALK, ALK G1202R and ALK L1196M, respectively. |
| **Purity:**                                 | 99.91%         |
| **Clinical Data:**                           | No Development Reported |
| **Size:**                                    | 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg |

| Acetylcysteine (N-Acetyl-L-cysteine; LNAC, NAC)   | HY-B0215       |
| **Bioactivity:**                                |                |
| Acetylcysteine is a mucolytic agent which reduces the thickness of the mucus. Acetylcysteine is a ROS inhibitor. |
| **Purity:**                                  | 98.0%          |
| **Clinical Data:**                           | Launched       |
| **Size:**                                    | 10mM x 1mL in DMSO, 5 g |

| Berberine chloride hydrate (Natural Yellow 18 (chloride hydrate)) | HY-17577       |
| **Bioactivity:**                                              |                |
| Berberine chloride hydrate is an alkaloid isolated from the Chinese herbal medicine Huanglian, as an antibiotic. Berberine chloride hydrate induces reactive oxygen species (ROS) generation and inhibits DNA topoisomerase. Antineoplastic properties |
| **Purity:**                                                  | 99.56%         |
| **Clinical Data:**                                          | Launched       |
| **Size:**                                                   | 10mM x 1mL in DMSO, 5 g |

| Entrectinib (NMS-E628; RXDX-101)                           | HY-12678       |
| **Bioactivity:**                                           |                |
| Entrectinib is a potent and orally available Trk, ROS1, and ALK inhibitor; inhibits TrkB, TrkC, ROS1 and ALK with IC_{50} values of 1, 3, 5, 12 and 7 nM, respectively. |
| **Purity:**                                                 | 99.61%         |
| **Clinical Data:**                                         | Launched       |
| **Size:**                                                  | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| Neohesperidin dihydrochalcone (Neohesperidin DC, NHDC)     | HY-N0154       |
| **Bioactivity:**                                           |                |
| Neohesperidin dihydrochalcone is a synthetic glycoside chalcone, is added to various foods and beverages as a low caloric artificial sweetener. |
| **Purity:**                                                 | 98.04%         |
| **Clinical Data:**                                         | No Development Reported |
| **Size:**                                                  | 10mM x 1mL in DMSO, 100 mg, 500 mg, 1 g, 5 g |

| Repotrectinib (TPX-0005)                          | HY-103022      |
| **Bioactivity:**                                |                |
| Repotrectinib (TPX-0005) is a potent ALK/ROS1/TRK inhibitor, with IC_{50} of 5.3 nM, 1.01 nM, 1.26 nM and 1.08 nM for SRC, WT ALK, ALK G1202R and ALK L1196M, respectively. |
| **Purity:**                                 | 99.91%         |
| **Clinical Data:**                           | No Development Reported |
| **Size:**                                    | 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg |

| WY-135                                         | HY-111416      |
| **Bioactivity:**                                |                |
| WY-135 is a ALK (IC_{50}=1.4 nM) and ROS1 (IC_{50}=1.1 nM) dual inhibitor. |
| **Purity:**                                  | >98%           |
| **Clinical Data:**                           | No Development Reported |
| **Size:**                                    | 500 mg, 100 mg, 250 mg |

www.MedChemExpress.com
Src family kinase (SFK) is a family of non-receptor tyrosine kinases including nine members: Src, Yes, Fyn, and Fgr, forming the SrcA subfamily, Lck, Hck, Blk, and Lyn in the SrcB subfamily, and Frk in its own subfamily. In immune cells, Src-family kinases (SFKs) have been implicated as critical regulators of a large number of intracellular signaling pathways. Src-family kinases (SFKs) occupy a proximal position in numerous signaling transduction cascades including those emanating from the T and B cell antigen receptors, Fc receptors, growth factor receptors, cytokine receptors, and integrins. In addition to these positive regulatory roles, Src-family kinases (SFKs) can also function as negative regulators of cellular signaling by phosphorylating immunoreceptor tyrosine-based inhibitory motifs (ITIMs) on inhibitory receptors, resulting in recruitment and activation of inhibitory molecules such as the phosphatases SHP-1 and SH2 containing 5′ inositol phosphatase (SHIP-1).
Src Inhibitors & Modulators

1-Naphthyl PP1 (1-NA-PP 1)  
**Cat. No.: HY-13941**

**Bioactivity:** 1-Naphthyl PP1 (1-NA-PP 1) is a selective inhibitor of src family kinases v-Src and c-Fyn as well as the tyrosine kinase c-Abl (IC50 values are 1.0, 0.6, 0.6, 18 and 22 μM for v-Src, c-Fyn, c-Abl, CDK2 and CAMK II respectively).

**Purity:** 98.56%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

1-Napthyl PP1 hydrochloride (1-NA-PP 1 hydrochloride)  
**Cat. No.: HY-13941B**

**Bioactivity:** 1-Naphthyl PP1 (1-NA-PP 1) hydrochloride is a selective inhibitor of src family kinases v-Src and c-Fyn as well as the tyrosine kinase c-Abl (IC50 values are 1.0, 0.6, 0.6, 18 and 22 μM for v-Src, c-Fyn, c-Abl, CDK2 and CAMK II respectively).

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

1-NM-PP1 (PP1 Analog II)  
**Cat. No.: HY-13942**

**Bioactivity:** 1-NM-PP1, a cell-permeable PP1 analog, is a potent Src family kinases inhibitor with IC50 of 4.3 nM and 3.2 nM for v-Src-as1 and c-Fyn-as1, respectively.

**Purity:** 98.83%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

7-Hydroxy-4-chromone  
**Cat. No.: HY-N6596**

**Bioactivity:** 7-Hydroxychromone is a Src kinase inhibitor with an IC50 of <300 μM.

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

A 419259 (RK-20449)  
**Cat. No.: HY-15764**

**Bioactivity:** A 419259 is a broad-spectrum pyrrolo-pyrimidine inhibitor, designed to enhance selectivity towards the Src family with IC50 of 9 nM, <3 nM and <3 nM for Src, Lck and Lyn, respectively.

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

A 419259 trihydrochloride (RK 20449 trihydrochloride)  
**Cat. No.: HY-15764A**

**Bioactivity:** A 419259 trihydrochloride is a Src family kinases inhibitor with IC50 of 9 nM, 3 nM and 3 nM for Src, Lck and Lyn, respectively.

**Purity:** 98.42%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in Water, 5 mg, 10 mg, 50 mg

A-770041  
**Cat. No.: HY-11011**

**Bioactivity:** A-770041 is selective and orally active Src-family Lck inhibitor; A-770041 is a 147 nM inhibitor of Lck (1 mM ATP) and is 300-fold selective against Fyn, the other Src family kinase involved in T-cell signaling.

**Purity:** 99.53%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

AD80  
**Cat. No.: HY-101963**

**Bioactivity:** AD80, a multikinase inhibitor, inhibits RET, RAF, SRC, S6K, with greatly reduced mTOR activity.

**Purity:** 99.46%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

AMG-47a  
**Cat. No.: HY-18303**

**Bioactivity:** AMG-47a is a potent inhibitor of Lck and T cell proliferation; exhibits anti-inflammatory activity (ED50 = 11 mg/kg) in the anti-CD3 induced production of IL-2 in mice.

**Purity:** 98.71%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

AZM475271 (M475271)  
**Cat. No.: HY-13561**

**Bioactivity:** AZM475271 is a potent and selective Src kinase inhibitor with IC50 of 5 nM; no inhibitory activity on PI3, KDR, Tie-2.

**Purity:** 99.86%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
Bosutinib (SKI-606)  
**Cat. No.: HY-10158**

**Bioactivity:** Bosutinib is a dual Src/Abl inhibitor with IC₅₀ values of 1.2 nM and 1 nM, respectively.

**Purity:** 99.83%

**Clinical Data:** No Development Reported

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

---

CSF1R-IN-2  
**Cat. No.: HY-111787**

**Bioactivity:** CSF1R-IN-2 (compound 5) is an oral-active inhibitor of SRC, MET and c-FMS, with IC₅₀ values of 0.12 nM, 0.14 nM and 0.76 nM for SRC, MET and c-FMS respectively. [1]

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

---

Dasatinib (BMS-354825)  
**Cat. No.: HY-10181**

**Bioactivity:** Dasatinib (BMS-354825) is a dual Bcr-Abl and Src family tyrosine kinase inhibitor with IC₅₀ values of 0.6, 0.8, 79 and 37 nM for Abl, Src, c-Kit and c-Kit D816V, respectively.

**Purity:** 99.84%

**Clinical Data:** No Development Reported

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

---

Dasatinib hydrochloride (BMS 354825 hydrochloride)  
**Cat. No.: HY-10181A**

**Bioactivity:** Dasatinib hydrochloride is a potent and dual AbiWT/Src inhibitor IC₅₀ of 0.6 nM/0.8 nM respectively; also inhibits c-KitWT/c-KitD816V with IC₅₀ of 79 nM/37 nM.

**Purity:** 98.84%

**Clinical Data:** No Development Reported

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

---

eCF506  
**Cat. No.: HY-112096**

**Bioactivity:** eCF506 is a highly potent and orally bioavailable inhibitor of the non-receptor tyrosine kinase Src with an IC₅₀ of less than 0.5 nM.

**Purity:** 98.83%

**Clinical Data:** No Development Reported

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

---

ENMD-2076  
**Cat. No.: HY-10987A**

**Bioactivity:** ENMD-2076 is a multi-targeted kinase inhibitor with IC₅₀ of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

**Purity:** 99.23%

**Clinical Data:** Phase 2

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

---

KB SRC 4  
**Cat. No.: HY-108488**

**Bioactivity:** KB SRC 4 is a potent, and highly selective c-Src inhibitor, with a Kᵢ of 44 nM and a Kᵣ of 86 nM, and shows no inhibition on c-Abl up to 125 μM; KB SRC 4 has antitumor activity.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

---

KX1-004  
**Cat. No.: HY-18237**

**Bioactivity:** KX1-004 is a potent small molecule inhibitor of Src-PTK as a potential protective drug for NIHL.

**Purity:** 99.68%

**Clinical Data:** No Development Reported

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

---

Lck Inhibitor  
**Cat. No.: HY-12072**

**Bioactivity:** Lck Inhibitor is a new class of compounds that are potent inhibitors of Lck with an IC₅₀ value of 7 nM. IC₅₀ Value: 7 nM [1] Target: Lck in vitro: Lck Inhibitor (compound 25) exhibited good potency in the T-cell receptor-induced IL-2 secretion assay (IL-2) and also inhibited subsequent T-cell...

**Purity:** 98.85%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
### Lck inhibitor 2
**Cat. No.: HY-10644**
**Bioactivity:** Lck inhibitor 2 is a bis-anilinopyrimidine inhibitor of tyrosine kinases including LCK, BTK, LYN, SYK, and TXK. The IC50 values are 13nM, 5nM, 3nM, 26nM and 2nM for Lck, Btk, Lyn, Btk and Txk respectively.
**Purity:** 99.73%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### MNS
**Cat. No.: HY-78263**
**Bioactivity:** MNS is a potent and selective inhibitor of Src and Syk tyrosine kinases. Target: src, syk. [1] IC50: 29.3 (src), 2.5 µM (syk); [1] In vitro: no direct effects on protein kinase C, Ca2+ mobilization, Ca2+-dependent enzymes, PKC activation. MNS potently prevents GPIIb/IIIa activation and platelet...
**Purity:** 99.37%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg

### PD173955
**Cat. No.: HY-10395**
**Bioactivity:** PD173955 is src-family-selective tyrosine kinase inhibitor with IC50 of ~22 nM for Src, Yes and Abl kinase; less potent for FGRα and no activity on InsR and PKC.
**Purity:** 99.04%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg

### Pelitinib
**Cat. No.: HY-32718**
**Bioactivity:** Pelitinib (EKB-569; WAY-EKB 569) is an irreversible inhibitor of EGFR with an IC50 of 38.5 nM; also slightly inhibits Src, MEK/ERK and ErbB2 with IC50 of 282, 800, and 1255 nM, respectively.
**Purity:** 98.18%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Ponatinib
**Cat. No.: HY-12047**
**Bioactivity:** Ponatinib is a potent, orally available multi-targeted kinase inhibitor with IC50 of 0.37 nM for Src, Yes and Abl kinase; less potent for FGFRα and no activity on InsR and PKC.
**Purity:** 98.96%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### PP1
**Cat. No.: HY-13804**
**Bioactivity:** PP1 is a potent, and Src family-selective tyrosine kinase inhibitor with IC50 of 5 and 6 nM for Lck and Fyn, respectively.
**Purity:** 98.39%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

### PP121
**Cat. No.: HY-10372**
**Bioactivity:** PP121 is a multi-targeted kinase inhibitor with IC50 of 10, 60, 12, 14, 2 nM for mTOR, DNK-PK, VEGFR2, Src, PDGF,
**Purity:** 98.89%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

### PP2
**Cat. No.: HY-13805**
**Bioactivity:** PP2 is a reversible and ATP-competitive Src family kinases inhibitor with IC50 of 4 and 5 nM for Lck and Fyn, respectively.
**Purity:** 98.99%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### PP58
**Cat. No.: HY-18622**
**Bioactivity:** PP58 is a pyrido[2,3-d]pyrimidine-based compound that inhibits PDGFR, FGFR and Src family activities with nanomolar IC50 values.
**Purity:** 98.07%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg

### Rebastinib
**Cat. No.: HY-13024**
**Bioactivity:** Rebastinib (DCC-2036) is a conformational control Bcr-Abl inhibitor for Ab1WT and Abi1T315I with IC50 of 0.8 nM and 4 nM, also inhibits SRC, KDR, FLT3, and Tie-2, and low activity to seen towards c-Kit.
**Purity:** 99.91%
**Clinical Data:** Phase 1
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
</tr>
</thead>
<tbody>
<tr>
<td>RK-24466 (KIN 001-51)</td>
<td>HY-108318</td>
</tr>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>RK-24466 (KIN 001-51) is a potent and selective <strong>Lck</strong> inhibitor; inhibits Lck (64-509) and LckCD isoforms with <strong>IC₅₀</strong> of less than 1 and 2 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.0%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg</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, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

| Saracatinib (AZD0530)          | HY-10234          |
| **Bioactivity:**               | Saracatinib (AZD0530) is a potent **Src** family inhibitor with **IC₅₀** of 2.7 to 11 nM for c-Src, Lck, c-YES, Lyn, Fyn, Fgr, and Blk and shows high selectivity over other tyrosine kinases. |
| **Purity:**                    | 99.88%            |
| **Clinical Data:**             | Phase 3           |
| **Size:**                      | 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg |

| Scutellarein                   | HY-N0752          |
| **Bioactivity:**               | Scutellarin, a main active ingredient extracted from *Erigeron breviscapus* (Vant.) Hand-Mazz., has been wildly used to treat acute cerebral infarction and paralysis induced by cerebrovascular diseases. |
| **Purity:**                    | 99.02%            |
| **Clinical Data:**             | No Development Reported |
| **Size:**                      | 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg |

| Secretin, canine               | HY-P1784          |
| **Bioactivity:**               | Secretin, canine is an endocrine hormone that stimulates the secretion of bicarbonate-rich pancreatic fluids. Secretin, canine can regulate gastric chief cell function and paracellular permeability in canine gastric monolayers by a Src kinase-dependent pathway.|
| **Purity:**                    | >98%              |
| **Clinical Data:**             | No Development Reported |
| **Size:**                      |                    |

| Src Inhibitor 1 (Src Kinase Inhibitor 1; Src-1) | HY-101053         |
| **Bioactivity:**               | Src Inhibitor 1 is a potent and selective dual site **Src** tyrosine kinase inhibitor with **IC₅₀** values of 44 nM for Src and 88 nM for Lck. |
| **Purity:**                    | 99.28%            |
| **Clinical Data:**             | No Development Reported |
| **Size:**                      | 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg |

| SU6656                         | HY-B0789          |
| **Bioactivity:**               | SU6656 is a **Src family kinases** inhibitor with **IC₅₀** of 280, 20, 130, 170 nM for Src, Yes, Lyn, and Fyn, respectively. |
| **Purity:**                    | 97.19%            |
| **Clinical Data:**             | No Development Reported |
| **Size:**                      | 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg |

| T338C Src-IN-1                  | HY-16905          |
| **Bioactivity:**               | T338C Src-IN-1 is a potent mutant-Src T338C inhibitor; exhibited the most potent inhibition of T338C(IC50=111 nM) relative to WT c-Src (10-fold increase). |
| **Purity:**                    | >98%              |
| **Clinical Data:**             | No Development Reported |
| **Size:**                      | 5 mg, 10 mg, 50 mg, 100 mg |

| T338C Src-IN-2                  | HY-16906          |
| **Bioactivity:**               | T338C Src-IN-2 is a potent mutant c-Src T338C kinase inhibitor with IC50 of 317 nM; also inhibits T338C/V323A and T338C/V323S with IC50 of 57 nM/19 nM. |
| **Purity:**                    | >98%              |
| **Clinical Data:**             | No Development Reported |
| **Size:**                      | 5 mg, 10 mg, 50 mg, 100 mg |

| TG 100572                      | HY-10184          |
| **Bioactivity:**               | TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases, has **IC₅₀** of 2, 7, 2, 6, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, Yes, respectively. |
| **Purity:**                    | >98%              |
| **Clinical Data:**             | No Development Reported |
| **Size:**                      | 5 mg, 10 mg, 50 mg |

| TG 100572 Hydrochloride         | HY-10185          |
| **Bioactivity:**               | TG 100572 Hydrochloride is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases, has **IC₅₀** of 2, 7, 2, 6, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, Yes, respectively. |
| **Purity:**                    | 98.44%            |
| **Clinical Data:**             | No Development Reported |
| **Size:**                      | 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg |
| **Bioactivity** | **TG 100801** is a prodrug that generates TG 100572 by de-esterification in development to treat age-related macular degeneration. TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has $\text{IC}_{50}$ of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 for... |
| **Purity:** | 98.60% |
| **Clinical Data:** | Phase 2 |
| **Size:** | 5 mg, 10 mg, 50 mg |

| **Bioactivity** | **TG 100801 Hydrochloride** is a prodrug that generates TG 100572 by de-esterification in development to treat age-related macular degeneration. TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has $\text{IC}_{50}$ of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1,... |
| **Purity:** | >98% |
| **Clinical Data:** | No Development Reported |
| **Size:** | 5 mg, 10 mg, 50 mg |

| **Bioactivity** | **Tirbanibulin (KX-01)** is an inhibitor of Src that targets the peptide substrate site of Src, with $\text{GI}_{50}$ of 9-60 nM in cancer cell lines. |
| **Purity:** | >98% |
| **Clinical Data:** | Phase 2 |
| **Size:** | 5 mg, 10 mg, 50 mg, 100 mg |

| **Bioactivity** | **Tirbanibulin dihydrochloride (KX-01 dihydrochloride)** is an inhibitor of Src that targets the peptide substrate site of Src, with $\text{GI}_{50}$ of 9-60 nM in cancer cell lines. |
| **Purity:** | 96.24% |
| **Clinical Data:** | Phase 2 |
| **Size:** | 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |

| **Bioactivity** | **Tolimidone** is a potent and selective allosteric activator of Lyn kinase with an $\text{EC}_{50}$ of 63 nM. |
| **Purity:** | 99.98% |
| **Clinical Data:** | Phase 2 |
| **Size:** | 10 mM x 1 mL in DMSO, 5 mg |

| **Bioactivity** | **XL228** is a multi-targeted tyrosine kinase inhibitor with $\text{IC}_{50}$ of 5, 3.1, 1.6, 6.1, 2 nM for Bcr-Abl, Aurora A, IGF-1R, Src and Lyn, respectively. |
| **Purity:** | 99.61% |
| **Clinical Data:** | No Development Reported |
| **Size:** | 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg |
Syk
Spleen tyrosine kinase

Syk (Spleen tyrosine kinase) is an enzyme which in humans is encoded by the SYK gene. Syk, along with Zap-70, is a member of the Syk family of tyrosine kinases. Syk is known to have a crucial role in adaptive immune receptor signalling. Recent reports indicate that Syk also mediates other, unexpectedly diverse biological functions, including cellular adhesion, innate immune recognition, osteoclast maturation, platelet activation and vascular development. Syk is activated by C-type lectins and integrins, and activates new targets, including the CARD9-Bcl-10-MALT1 pathway and the NLRP3 inflammasome. Syk has a crucial role in autoimmune diseases and haematological malignancies.
Syk Inhibitors & Modulators

### BAY 61-3606
- **Cat. No.: HY-76474**
- **Bioactivity:** BAY 61-3606 is a potent, ATP-competitive, reversible, and highly selective inhibitor of Syk tyrosine kinase activity (Ki = 7.5 nM) with no inhibitory effect against Btk, Fyn, Itk, Lyn, and Src.
- **Purity:** > 98%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg, 10 mg, 50 mg

### BAY 61-3606 dihydrochloride
- **Cat. No.: HY-14985**
- **Bioactivity:** BAY 61-3606 (dihydrochloride) is a potent, ATP-competitive, reversible, and highly selective inhibitor of Syk tyrosine kinase (IC\(_{50}\) = 10 nM) with no inhibitory effect against Btk, Fyn, Itk, Lyn, and Src.
- **Purity:** 97.22%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

### Cerdulatinib (PRT062070; PRT2070)
- **Cat. No.: HY-15999**
- **Bioactivity:** Cerdulatinib (PRT062070) is a dual JAK and SYK inhibitor with IC\(_{50}\) of 12, 6, 8 and 32 for JAK1, 2, 3 and SYK, respectively.
- **Purity:** 99.00%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Entospletinib (GS-9973)
- **Cat. No.: HY-15968**
- **Bioactivity:** Entospletinib (GS-9973) is an orally bioavailable, selective Syk inhibitor with an IC\(_{50}\) of 7.7 nM.
- **Purity:** 99.23%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Fostamatinib (R788)
- **Cat. No.: HY-13038A**
- **Bioactivity:** Fostamatinib (R788), a prodrug of the active metabolite R406, is a potent Syk inhibitor with IC\(_{50}\) of 41 nM.
- **Purity:** > 98%
- **Clinical Data:** Phase 3
- **Size:** 5 mg, 10 mg, 50 mg, 100 mg

### Fostamatinib Disodium (R788 Disodium)
- **Cat. No.: HY-13038**
- **Bioactivity:** Fostamatinib Disodium (R788 Disodium), a prodrug of the active metabolite R406, is a potent Syk inhibitor with IC\(_{50}\) of 41 nM.
- **Purity:** 98.79%
- **Clinical Data:** Phase 3
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Fostamatinib disodium hexahydrate (R788 (disodium hexahydrate))
- **Cat. No.: HY-13038B**
- **Bioactivity:** Fostamatinib disodium hexahydrate (R788 disodium hexahydrate), a prodrug of the active metabolite R406, is a potent Syk inhibitor with IC\(_{50}\) of 41 nM.
- **Purity:** 98.87%
- **Clinical Data:** Phase 3
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

### Gusacitinib (ASN-002)
- **Cat. No.: HY-103018**
- **Bioactivity:** Gusacitinib (ASN-002) is a potent dual inhibitor of spleen tyrosine kinase (SYK) and janus kinase (JAK) with IC\(_{50}\) values of 5-46 nM.
- **Purity:** 99.41%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

### MNS (NSC 170724; 5-(2-Nitrovinyl)benzodioxole)
- **Cat. No.: HY-78263**
- **Bioactivity:** MNS is a potent and selective inhibitor of Src and Syk tyrosine kinases. Target: src, syk. [1] IC\(_{50}\):29.3 (src), 2.5 uM (syk); [1] In vitro: no direct effects on protein kinase C, Ca2+ mobilization, Ca2+-dependent enzymes, PKC activation. MNS potently prevents GPIIb/IIIa activation and platelet...
- **Purity:** 99.23%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg

### Piceatannol (Astringenin; trans-Piceatannol)
- **Cat. No.: HY-13518**
- **Bioactivity:** Piceatannol is a selective inhibitor of protein tyrosine kinase Syk. It could inhibit ITAC, ITB, JAK, Ca2+ transients and Na+--Ca2+ exchange except IK1. Shows multiple biological activities such as anti-inflammatory, antiproliferative and immunomodulatory effects. In vitro: The treatment of human...
- **Purity:** 98.10%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 10 mg, 25 mg, 50 mg, 100 mg

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www.MedChemExpress.com
Bioactivity: PRT-060318 (PRT318) is a novel selective inhibitor of the tyrosine kinase Syk with an IC₅₀ of 4 nM.

Purity: 99.01%
Clinical Data: No Development Reported
Size: 10mM x 1mL in Water, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity: PRT062607 (P505-15; PRT-2607; BIIB-057) is a highly specific and potent inhibitor of Syk with IC₅₀ of 1-2 nM; >80-fold selective for Syk than Fgr, Lyn, FAK, Pyk2 and Zap70.

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

Bioactivity: PRT062607 hydrochloride is a highly specific and potent inhibitor of purified Syk (IC₅₀ 1-2 nM).

Purity: 98.90%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

Bioactivity: RO9021 is an orally bioavailable, novel ATP-competitive inhibitor of SYK, with an average IC₅₀ of 5.6 nM.

Purity: 98.89%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: R406 free base is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC₅₀ value: 41 nM [1] Target: Syk in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the...

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: TAK-659 hydrochloride is a potent, selective and orally available spleen tyrosine kinase (Syk) inhibitor with an IC₅₀ of 3.2 nM.

Purity: 99.69%
Clinical Data: No Development Reported
Size: 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity: R112 is an ATP-competitive inhibitor of Syk kinase with a Ki of 96 nM. R112 inhibits Syk kinase activity with an IC₅₀ of 226 nM.

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

Bioactivity: R406 free base is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC₅₀ value: 41 nM [1] Target: Syk in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the...

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: R406 free base is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC₅₀ value: 41 nM [1] Target: Syk in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the...

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: R406 free base is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC₅₀ value: 41 nM [1] Target: Syk in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the...

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: R406 free base is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC₅₀ value: 41 nM [1] Target: Syk in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the...

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: R406 free base is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC₅₀ value: 41 nM [1] Target: Syk in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the...

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Bioactivity: R406 free base is a potent Syk inhibitor with IC₅₀ of 41 nM, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. IC₅₀ value: 41 nM [1] Target: Syk in vitro: R406 is a potent inhibitor of immunoglobulin E (IgE)- and IgG-mediated activation of Fc receptor signaling. R406 inhibits the...

Purity: 99.25%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
TAM receptors (Tyro3, Axl, and Mer) belong to a family of receptor tyrosine kinases that have important effects on hemostasis and inflammation. TAM receptors affect cell proliferation, survival, adhesion, and migration. TAM receptors can be activated by the vitamin K-dependent proteins Gas6 and protein S. Protein S is more commonly known as an important cofactor for protein C as well as a direct inhibitor of multiple coagulation factors.

The TAM receptors - Tyro3, Axl, and Mer - comprise a unique family of receptor tyrosine kinases, in that as a group they play no essential role in embryonic development. TAM receptor signaling plays an especially important role in the engulfment and phagocytic clearance of apoptotic cells (ACs) and membranes in adult tissues.
### TAM Receptor Inhibitors & Modulators

**2-D08**  
**Cat. No.: HY-114166**

**Bioactivity:** 2-D08 is a cell permeable, mechanistically unique inhibitor of protein SUMOylation. 2-D08 also inhibits Axl with an IC\text{50} of 0.49 nM.

**Purity:** 99.04%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**BMS 777607**  
**(BMS 817378)**  
**Cat. No.: HY-12076**

**Bioactivity:** BMS 777607 is a Met-related inhibitor for c-Met, Axl, Ron and Tyro3 with IC\text{50}s of 3.9 nM, 1.1 nM, 1.8 nM and 4.3 nM, respectively, and 40-fold more selective for Met-related targets than Lck, VEGFR-2, and TrkA/B, with more than 500-fold greater selectivity versus all other receptor and non-receptor...

**Purity:** 99.48%
**Clinical Data:** Phase 2
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**CEP-40783**  
**(RXDX-106)**  
**Cat. No.: HY-100946**

**Bioactivity:** CEP-40783 is a potent, selective and orally available inhibitor of AXL and c-Met with IC\text{50} values of 7 nM and 12 nM, respectively.

**Purity:** 98.25%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Gilteritinib**  
**(ASP2219)**  
**Cat. No.: HY-12432**

**Bioactivity:** Gilteritinib is a potent FLT3/ AXL inhibitor with IC\text{50} of 0.29 nM/0.73 nM, respectively.

**Purity:** 99.55%
**Clinical Data:** Phase 3
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

**Glesatinib hydrochloride**  
**(MGCD265 hydrochloride)**  
**Cat. No.: HY-19642A**

**Bioactivity:** Glesatinib hydrochloride is an inhibitor of the MET and Axl receptor tyrosine kinase pathways, which drive tumour growth when altered. Target: MET, Axl Glesatinib is an orally bioavailable, small-molecule, multitargeted tyrosine kinase inhibitor with potential antineoplastic activity. MGCD265...

**Purity:** 98.25%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**Bemcentinib**  
**(R428; BGB324)**  
**Cat. No.: HY-15150**

**Bioactivity:** Bemcentinib (R428) is a potent and selective inhibitor of Axl with an IC\text{50} of 14 nM.

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

**Cabozantinib**  
**(XL184; BMS-907351)**  
**Cat. No.: HY-13016**

**Bioactivity:** Cabozantinib is a potent multiple receptor tyrosine kinases inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and FL3 with IC\text{50}s of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.

**Purity:** 99.92%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

**Dubermatinib**  
**(TP-0903)**  
**Cat. No.: HY-12963**

**Bioactivity:** Dubermatinib (TP-0903) is a potent and selective Axl receptor tyrosine kinase inhibitor with an IC\text{50} value of 27 nM.

**Purity:** 99.53%
**Clinical Data:** Phase 1
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Gilteritinib hemifumarate**  
**(ASP2215 hemifumarate)**  
**Cat. No.: HY-12432A**

**Bioactivity:** Gilteritinib hemifumarate is a potent FLT3/ AXL inhibitor with IC\text{50} of 0.29 nM/0.73 nM, respectively.

**Purity:** 99.22%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg, 50 mg, 100 mg

**LDC1267**  
**Cat. No.: HY-12494**

**Bioactivity:** LDC1267 is a highly selective TAM (Tyro3, Axl and Mer) kinase inhibitor with IC\text{50}s of <5 nM/8 nM/29 nM for Tyro3,Axl and Mer respectively [1].

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

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**110** Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
### Ningetinib

**Cat. No.: HY-107145A**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>Ningetinib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC\textsubscript{50} of 6.7, 1.9 and &lt;1.0 nM for c-Met, VEGFR2 and Axl, respectively.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>98.75%</td>
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<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
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<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### Ningetinib Tosylate

**Cat. No.: HY-107145**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>Ningetinib Tosylate is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC\textsubscript{50} of 6.7, 1.9 and &lt;1.0 nM for c-Met, VEGFR2 and Axl, respectively.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>99.88%</td>
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<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### NPS-1034

**Cat. No.: HY-100509**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>NPS-1034 is a dual inhibitor of AXL and MET with IC\textsubscript{50} of 10.3 and 48 nM, respectively.</th>
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<tbody>
<tr>
<td>Purity:</td>
<td>98.0%</td>
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<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
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<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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### R916562

**Cat. No.: HY-104075**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>R916562 is a potential and selective Axl/VEGF-R2 dual inhibitor with IC\textsubscript{50} of 136 and 24 nM, respectively.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>&gt;98%</td>
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<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>250 mg, 500 mg</td>
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</tbody>
</table>

### SGI-7079

**Cat. No.: HY-12964**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>SGI-7079 is an Axl inhibitor, significantly inhibits the proliferation of SUM149 or KPL-4 cells with an IC\textsubscript{50} of 0.43 or 0.16 μM, respectively.</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity:</td>
<td>99.65%</td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### UNC2250

**Cat. No.: HY-15797**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>UNC2250 is a phosphorylation of endogenous Mer inhibitor with an IC\textsubscript{50} of 9.8 nM and blocked ligand-stimulated activation of a chimeric EGFR-Mer protein. IC\textsubscript{50} Value: 9.8 nM [1] Target: Others in vitro: UNC2250 is 160-fold more active for Mer versus Axl and 60-fold versus Tyro3. UNC2250 had a moderate...</th>
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<tbody>
<tr>
<td>Purity:</td>
<td>99.96%</td>
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<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>
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### UNC2881

**Cat. No.: HY-15798**

<table>
<thead>
<tr>
<th>Bioactivity:</th>
<th>UNC2881 is a potent and specific Mer kinase inhibitor; inhibits steady-state Mer kinase phosphorylation with an IC\textsubscript{50} value of 22 nM.</th>
</tr>
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<tbody>
<tr>
<td>Purity:</td>
<td>99.92%</td>
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<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size:</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
Trk Receptor

Tropomyosin related kinase receptor

TrkA (TRK1-transforming tyrosine kinase protein) is a protein that in humans is encoded by the NTRK1 gene. TrkA is a member of the neurotrophic tyrosine kinase receptor (NTKR) family. TrkA is a membrane-bound receptor that, upon neurotrophin binding, phosphorylates itself (autophosphorylation) and members of the MAPK pathway. TrkA is the high affinity catalytic receptor for the neurotrophin, Nerve Growth Factor, which include neuronal differentiation and avoidance of programmed cell death. The presence of TrkA leads to cell differentiation and may play a role in specifying sensory neuron subtypes. Mutations in TrkA gene have been associated with congenital insensitivity to pain with anhidrosis, self-mutilating behavior, mental retardation and cancer.

TrkB has the highest affinity to the binding of brain-derived neurotrophic factor (BDNF) and NT-4. BDNF is a growth factor that has important roles in the survival and function of neurons in the central nervous system. The binding of BDNF to TrkB receptor causes many intercellular cascades to be activated, which regulate neuronal development and plasticity, long-term potentiation, and apoptosis.

TrkC is ordinarily activated by binding with NT-3 and has little activation by other ligands. (TrkA and TrkB also bind NT-3, but to a lesser extent). TrkC is mostly expressed by proprioceptive sensory neurons.
## Trk Receptor Inhibitors & Modulators

### 7,8-Dihydroxyflavone

**Cat. No.: HY-W013372**

**Bioactivity:** 7,8-Dihydroxyflavone is a potent and selective TrkB agonist that mimics the physiological actions of Brain-derived neurotrophic factor (BDNF). Displays therapeutic efficacy toward various neurological diseases [1].

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.74%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 50 mg</td>
</tr>
</tbody>
</table>

### ALTIRATINIB (DCC-2701)

**Cat. No.: HY-B0791**

**Bioactivity:** Altiratinib (DCC-2701) is a multi-targeted kinase inhibitor with IC50 values of 2.7, 8, 9.2, 9.3, 0.85, 4.6, 0.83 nM for MET, TIE2, VEGFR2, FLT3, Trk1, Trk2, and Trk3 respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>95.95%</th>
</tr>
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<tbody>
<tr>
<td>Clinical Data</td>
<td>Phase 1</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### ANA-12

**Cat. No.: HY-12497**

**Bioactivity:** ANA-12 is a potent and selective TrkB antagonist with IC50 values of 45.6 nM and 41.1 μM for the high and low affinity sites, respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.30%</th>
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<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>

### AZ-23

**Cat. No.: HY-15590**

**Bioactivity:** AZ-23 is an ATP-competitive and orally bioavailable Trk kinase A/B/C inhibitor with IC50 of 2 nM (TrkA), 8 nM (TrkB), 24 nM (FGFR1), 52 nM (FR3), 55 nM (Ret), 84 nM (MuSk), 99 nM (Lck), respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.93%</th>
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<tbody>
<tr>
<td>Clinical Data</td>
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<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### BELIZATINIB (TSR-011)

**Cat. No.: HY-17603**

**Bioactivity:** Belizatinib is an oral, dual, potent inhibitor of ALK and TRKA, TRKB, and TRKC, with IC50 of 0.7 nM for wild-type recombinant ALK kinase.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.32%</th>
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<tbody>
<tr>
<td>Clinical Data</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
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</table>

### CE-245677

**Cat. No.: HY-112423**

**Bioactivity:** CE-245677 is a potent reversible inhibitor of Tie2 and TrkA/B kinases with a cellular IC50 of 4.7 and 1 nM.

<table>
<thead>
<tr>
<th>Purity</th>
<th>&gt;98%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
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<tr>
<td>Size</td>
<td>500 mg, 250 mg</td>
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</tbody>
</table>

### CH7057288

**Cat. No.: HY-107362**

**Bioactivity:** CH7057288 is a potent and selective TRK inhibitor.

<table>
<thead>
<tr>
<th>Purity</th>
<th>98.52%</th>
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</thead>
<tbody>
<tr>
<td>Clinical Data</td>
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<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### ENVENTINIB

**Cat. No.: HY-12678**

**Bioactivity:** Enventinib is a potent and orally available Trk, ROS1, and ALK inhibitor; inhibits TrkA, TrkB, TrkC, ROS1 and ALK with IC50 values of 1, 3, 5, 12 and 7 nM, respectively.

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.61%</th>
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<tr>
<td>Clinical Data</td>
<td>Launched</td>
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<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
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</table>

### GNF-5837

**Cat. No.: HY-13491**

**Bioactivity:** GNF-5837 is a potent pan-Trk inhibitor which display antiproliferative effects in cellular Ba/F3 assays (IC50 values are 7, 9 and 11 nM for cells containing the fusion proteins Tel-TrkC, Tel-TrkB and Tel-TrkA, respectively). IC50 Value: 7/9/11 nM (Tel-TrkC/Tel-TrkB/Tel-TrkA) [1] Target:...

<table>
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<td>Clinical Data</td>
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<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

### GW 441756

**Cat. No.: HY-18314**

**Bioactivity:** GW 441756 is a specific Tropomyosin-related kinase A (TrkA) inhibitor with an IC50 value of 2 nM; little activity to c-Raf1 and CDK2. IC50 Value: 2 nM [1] Target: TrkA in vitro: GW441756 specifically blocked TrkA-induced cell death in a dose-dependent manner, but there was no effect in uninduced...

<table>
<thead>
<tr>
<th>Purity</th>
<th>99.32%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>
### Bioactivity

**Larotrectinib (LOXO-101, ARRY-470)**

- **Bioactivity:** Larotrectinib (LOXO-101) is an ATP-competitive oral, selective inhibitor of the tropomyosin-related kinase (TRK) family receptors, with low nanomolar 50% inhibitory concentrations against all three isoforms (TRKA, B, and C).
- **Purity:** 99.90%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**Larotrectinib sulfate (LOXO-101 (sulfate); ARRY-470 (sulfate))**

- **Bioactivity:** Larotrectinib sulfate (LOXO-101 sulfate; ARRY-470 sulfate) is an ATP-competitive oral, selective inhibitor of the tropomyosin-related kinase (TRK) family receptors, with low nanomolar 50% inhibitory concentrations against all three isoforms (TRKA, B, and C).
- **Purity:** 99.90%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Lestaurtinib (CEP-701, KT-5555)**

- **Bioactivity:** Lestaurtinib (CEP-701,KT-5555) is a multi-kinase inhibitor with potent activity against the Trk family of receptor tyrosine kinases. Lestaurtinib inhibits JAK2, FLT3 and TrkA with IC\textsubscript{50} of 0.3 and less than 25 nM, respectively.
- **Purity:** 99.0%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg

**LM22A-4**

- **Bioactivity:** LM22A-4 is a specific agonist of tyrosine kinase receptor B, used for neurological disease research.
- **Purity:** 98.0%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**LM22B-10**

- **Bioactivity:** LM22B-10 is an activator of TrkB, TrkC, AKT and ERK activation in vitro and in vivo.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

**N-Acetyl-5-hydroxytryptamine (N-Acetylserotonin; Normelatonin; O-Demethylmelatonin)**

- **Bioactivity:** N-Acetyl-5-hydroxytryptamine is a Melatonin precursor, and that it can potently activate TrkB receptor.
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 50 mg

**PF-06737007**

- **Bioactivity:** PF-06737007 is a potent pan-Trk inhibitor in cell-based assays with IC\textsubscript{50} of 7.7 nM, 15 nM and 3.9 nM for TrkA, TrkB and TrkC, respectively [1]. Anti-hyperalgesic effect [1].
- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 100 mg, 500 mg, 250 mg

**Repotrectinib (TPX-0005)**

- **Bioactivity:** Repotrectinib (TPX-0005) is a potent ALK/ROS1/TRK inhibitor, with IC\textsubscript{50} of 5.3 nM, 1.01 nM, 1.26 nM and 1.08 nM for SRC, WT ALK, ALK G1202R and ALK L1196M, respectively.
- **Purity:** 99.91%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

**Selitrectinib (LOXO-195)**

- **Bioactivity:** Selitrectinib (LOXO-195) is a next-generation TRK kinase inhibitor (TKI), with IC\textsubscript{50} of 0.6 nM, <2.5 nM for TRKA and TRKC respectively.
- **Purity:** 99.90%
- **Clinical Data:** No Development Reported
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Sitravatinib (MGCD516; MG516)**

- **Bioactivity:** Sitravatinib (MGCD516, MG516) is an orally bioavailable, receptor tyrosine kinase (RTK) inhibitor with IC\textsubscript{50} of 1.5 nM, 2 nM, 2 nM, 5 nM, 6nM, 6 nM, 8 nM, 0.5 nM, 29 nM, 5nM, and 9 nM for Axl, MER, VEGFR3, VEGFR2, VEGFR1, KIT, FLT3, DD...
- **Purity:** 99.85%
- **Clinical Data:** Phase 2
- **Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg
**Tavilermide**  
(MIM-D3)  
Cat. No.: HY-17622

**Bioactivity:** Tavilermide is a selective, partial agonist of **TrkA**, or a nerve growth factor (NGF) mimetic.

**Purity:** 98.0%
**Clinical Data:** No Development Reported
**Size:** 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

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**Trk-IN-3**  
Cat. No.: HY-112434

**Bioactivity:** Trk-IN-3 is a potent **pan-Trk** inhibitor in cell-based assays with **IC_{50}s** of 8.4 nM, 6.2 nM and 2.2 nM for **TrkA**, **TrkB** and **TrkC**, respectively [1]. Anti-hyperalgesic effect [1].

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

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**Trk-IN-4**  
Cat. No.: HY-112436

**Bioactivity:** Trk-IN-4 is a potent **pan-Trk** inhibitor in cell-based assays with **IC_{50}s** of 1.9 nM, 2.6 nM and 1.1 nM for **TrkA**, **TrkB** and **TrkC**, respectively [1]. Anti-hyperalgesic effect [1].

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

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**Tyrphostin AG 879**  
(AG 879)  
Cat. No.: HY-20878

**Bioactivity:** Tyrphostin AG 879 is a tyrosine kinase inhibitor that inhibits TrkA phosphorylation, but not TrkB and TrkC. [1] Also a ErbB2 kinase inhibitor, has at least 500-fold higher selectivity to ErbB2 (IC50 = 1 μmol/L) than EGFR (IC50 >500 μmol/L). Target: TrkA [1], ErbB2 [2]. IC 50: ErbB2 1 μmol/L [2]. In...

**Purity:** 99.10%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg
VEGFRs consist of three subtypes, the fms-like tyrosine kinase Flt-1 (VEGFR1/Flt-1), the kinase domain region, also referred to as fetal liver kinase (VEGFR2/KDR/Flk-1), and Flt-4 (VEGFR3). Each receptor has seven immunoglobulin-like domains in the extracellular domain, a single transmembrane region, and a consensus tyrosine kinase sequence interrupted by a kinase insert domain. VEGFR1 and 2 are expressed on vascular endothelial cells, whereas VEGFR3 is expressed on lymphatic endothelial. The VEGF family members VEGF-A, -B, -C, -D, -E, and PlGF, and the human immunodeficiency (HIV) Tat protein bind in specific patterns to three related receptor protein tyrosine kinases, VEGFR1, 2, and 3, and induce the formation of homo- and heteromeric receptor complexes. Binding of VEGF to VEGFR causes dimerization and autophosphorylation of the receptor. Intracellular proteins such as VEGFR-associated protein (VRAP), PLC, and Sck that associate with specific tyrosine residues of VEGFR are phosphorylated upon receptor activation. Several signal transduction pathways are activated by the binding of VEGF to its receptor, leading to increased proliferation, survival, permeability, and migration of cells.
**VEGFR Inhibitors & Modulators**

**2,4-Pyrimidinediamine with linker**  
Cat. No.: HY-18625  
Bioactivity: 2,4-Pyrimidinediamine with linker is a patent compound in WO2013055780A1, Page 71; multikinase inhibitor and has a -NH2 terminal linker for further synthesis.  
Purity: 96.54%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**5Z-7-Oxozeaenol**  
Cat. No.: HY-12686  
Bioactivity: 5Z-7-Oxozeaenol is a natural anti-protozoan compound from fungal origin, acting as a potent irreversible and selective inhibitor of TAK1 and VEGF-R2, with IC₅₀ of 8 nM and 52 nM, respectively.  
Purity: 99.0%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg

**Acrizanib**  
Cat. No.: HY-109020  
Bioactivity: Acrizanib is a VEGF-2 inhibitor, with an IC₅₀ of 17.4 nM for BaF3-KDR.  
Purity: 99.84%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Altiratinib**  
Cat. No.: HY-80791  
Bioactivity: Altiratinib (DCC-2701) is a multi-targeted kinase inhibitor with IC₅₀ of 2.7, 8, 9.2, 9.3, 0.85, 4.6, 0.83 nM for MET, TIE2, VEGFR2, FLT3, Trk1, Trk2, and Trk3 respectively.  
Purity: 95.95%  
Clinical Data: Phase 1  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**AST 487**  
Cat. No.: HY-15002  
Bioactivity: AST 487 is a RET kinase inhibitor with IC₅₀ of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits Flt-3 with IC₅₀ of 520 nM.  
Purity: 98.64%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

**AZD2932**  
Cat. No.: HY-18179  
Bioactivity: AZD2932 is a potent and multi-targeted kinase inhibitor VEGFR2, PDGFβ, Flt-3 and c-Kit with IC₅₀ of 8, 4, 7 and 9 nM in cell assay, respectively.  
Purity: 98.12%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**AZD2932**  
Cat. No.: HY-18179  
Bioactivity: AZD2932 is a potent and multi-targeted kinase inhibitor VEGFR2, PDGFβ, Flt-3 and c-Kit with IC₅₀ of 8, 4, 7 and 9 nM in cell assay, respectively.  
Purity: 98.12%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**AZD2932**  
Cat. No.: HY-18179  
Bioactivity: AZD2932 is a potent and multi-targeted kinase inhibitor VEGFR2, PDGFβ, Flt-3 and c-Kit with IC₅₀ of 8, 4, 7 and 9 nM in cell assay, respectively.  
Purity: 98.12%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

**Bevacizumab**  
Cat. No.: HY-P9906  
Bioactivity: Bevacizumab, a humanized monoclonal antibody, specifically binds to all VEGF-A isoforms with high affinity.  
Purity: 99.0%  
Clinical Data: Phase 4  
Size: 1 mg, 5 mg

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www.MedChemExpress.com
<table>
<thead>
<tr>
<th><strong>BFH772</strong></th>
<th><strong>Cat. No.: HY-100419</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BFH772 is a potent oral (\text{VEGFR2}) inhibitor, which is highly effective at targeting (\text{VEGFR2}) kinase with an (\text{IC}_{50}) value of 3 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>98.03%</td>
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<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>BIBF 1202</strong></th>
<th><strong>Cat. No.: HY-15992</strong></th>
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</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BIBF 1202 is the carboxylate metabolite of BIBF 1120 which inhibits (\text{VEGFR2}) kinase with an (\text{IC}_{50}) of 62 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.92%</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, 1 mg, 5 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>BMS-690514</strong></th>
<th><strong>Cat. No.: HY-10333</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BMS-690514 is a potent and orally active inhibitor of (\text{EGFR}) and (\text{VEGFR}), has (\text{IC}_{50}) of 5, 20 and 60 nM for (\text{EGFR}), (\text{HER}) 2 and (\text{HER}) 4, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.37%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 2</td>
</tr>
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<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</td>
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<table>
<thead>
<tr>
<th><strong>BMS-794833</strong></th>
<th><strong>Cat. No.: HY-10497</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>BMS-794833 is a (\text{VEGFR2}) and (\text{Met}) inhibitor extracted from patent WO2009094417, compound example 1; has (\text{IC}_{50}) of 15 and 1.7 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.82%</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>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>Brivanib</strong> (BMS-540215)</th>
<th><strong>Cat. No.: HY-10337</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Brivanib is an ATP-competitive inhibitor against (\text{VEGFR2}) with (\text{IC}_{50}) of 25 nM, and has moderate potency against (\text{VEGFR-1}) and (\text{FGFR-1}), but &gt;240-fold against (\text{PDGFR-β}).</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.37%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>Cabozantinib</strong> (XL184, BMS-907351)</th>
<th><strong>Cat. No.: HY-13016</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cabozantinib is a potent multiple receptor tyrosine kinases inhibitor that inhibits (\text{VEGFR2}, \text{c-Met}, \text{Kit}, \text{Axl}) and (\text{Flt3}) with (\text{IC}_{50}) of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.92%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Launched</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Cediranib</strong> (AZD2171)</th>
<th><strong>Cat. No.: HY-10205</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cediranib (AZD2171) is a highly potent, orally available (\text{VEGFR}) tyrosine kinase inhibitor with (\text{IC}_{50})s of &lt;1, &lt;3, 5, 5, 36, 2 nM for (\text{Flt1}, \text{KDR}, \text{Flt4}, \text{PDGFRα}, \text{PDGFRβ}, \text{c-Kit}), respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>99.58%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
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<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>Cediranib maleate</strong> (AZD-2171 maleate)</th>
<th><strong>Cat. No.: HY-13049</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td>Cediranib maleate (AZD-2171 maleate) is a highly potent, orally available (\text{VEGFR}) inhibitor with (\text{IC}_{50})s of &lt;1, &lt;3, 5, 5, 36, 2 nM for (\text{Flt1}, \text{KDR}, \text{Flt4}, \text{PDGFRα}, \text{PDGFRβ}, \text{c-Kit}), respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td>96.67%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td>Phase 3</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td>10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>
**CGP60474**

**Cat. No.:** HY-11009

**Bioactivity:** CGP60474 is a potent VEGFR-2 inhibitor, with an IC\(_{50}\) of 84 nM, and also an ATP-competitive PKC inhibitor.

**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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**Chloropyramine hydrochloride**

**Cat. No.:** HY-B1305

**Bioactivity:** Chloropyramine hydrochloride is a histamine receptor H1 antagonist which can also inhibit the biochemical function of VEGFR-3 and FAK.

**Purity:** 99.30%

**Clinical Data:** No Development Reported

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

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**CP-547632**

**Cat. No.:** HY-13302

**Bioactivity:** CP-547632 is a potent inhibitor of the VEGFR2 and FGF2 kinases with IC\(_{50}\) of 11 and 9 nM, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 500 mg

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**Dovitinib**

**(CHIR-258; TKI258)**

**Cat. No.:** HY-50905

**Bioactivity:** Dovitinib is a multi-targeted tyrosine kinase inhibitor with IC\(_{50}\) of 1, 2, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, FGRFR1/3, VEGFR1/2/3 and PDGFRα/β, respectively.

**Purity:** 99.31%

**Clinical Data:** Phase 3

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

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**EG00229**

**Cat. No.:** HY-10799

**Bioactivity:** EG00229 is the first small molecule inhibitors of the neuropilin-1 and VEGF-A interaction with an IC\(_{50}\) of inhibition of 8 uM(125I-VEGF binding to PAE/NRP1 cells).

**Purity:** 98.08%

**Clinical Data:** No Development Reported

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

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**ENMD-2076**

**Cat. No.:** HY-10987A

**Bioactivity:** ENMD-2076 is a multi-targeted kinase inhibitor with IC\(_{50}\) of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

**Purity:** 99.23%

**Clinical Data:** Phase 2

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

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**ENMD-2076 Tartrate**

**Cat. No.:** HY-10987

**Bioactivity:** ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with IC\(_{50}\) of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

**Purity:** 98.59%

**Clinical Data:** Phase 2

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

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**Foretinib**

**(XL880; GSK1363089; GSK089; EXEL-2880)**

**Cat. No.:** HY-10338

**Bioactivity:** Foretinib is a multi-target tyrosine kinase inhibitor with IC\(_{50}\) of 0.4 nM and 0.9 nM for Met and KDR.

**Purity:** 99.81%

**Clinical Data:** Phase 2

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

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**Fruquintinib**

**(HMPL-013)**

**Cat. No.:** HY-19912

**Bioactivity:** Fruquintinib (HMPL-013) is a highly potent and selective VEGFR 1/2/3 inhibitor with IC\(_{50}\) of 33, 0.35, and 35 nM, respectively.

**Purity:** 99.93%

**Clinical Data:** Phase 3

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

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**Gandotinib**

**(LY2784544)**

**Cat. No.:** HY-13034

**Bioactivity:** Gandotinib is a potent JAK2 inhibitor with IC\(_{50}\) of 3 nM. Gandotinib (LY2784544) also inhibits FLT3, FLT4, FGRFR2, TYK2, and TRKB with IC\(_{50}\) of 4, 25, 32, 44, and 95 nM.

**Purity:** 99.96%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
Golvatinib (E-7050)  Cat. No.: HY-13068

Bioactivity: Golvatinib (E-7050) is a potent dual inhibitor of both c-Met and VEGFR2 kinases with IC\textsubscript{50} values of 14 and 16 nM, respectively.

Purity: 99.29%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

GW806742X  Cat. No.: HY-112292

Bioactivity: GW806742X is a Mixed Lineage Kinase Domain-Like (MLKL) inhibitor which binds the MLKL pseudokinase domain with a K\textsubscript{d} value of 9.3 μM and anti-necroptosis activity. GW806742X has activity against VEGFR2.[1][2]

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

hVEGF-IN-1  Cat. No.: HY-101931

Bioactivity: hVEGF-IN-1 represses human VEGF-A translation and shows antitumor activity.

Purity: 98.31%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Ilorasertib (ABT-348)  Cat. No.: HY-16018

Bioactivity: Ilorasertib (ABT-348) is an ATP-competitive multitargeted kinase inhibitor with IC\textsubscript{50} for inhibiting binding Aurora B (7 nM), C (1 nM), and A (120 nM), and also inhibits RET tyrosine kinase, PDGFRβ, and Fln1 with IC\textsubscript{50} of 7 nM, 3 nM and 32 nM.

Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg, 10 mg, 20 mg

JI-101  Cat. No.: HY-16265

Bioactivity: JI-101 is an orally available multi-kinase inhibitor of VEGFR2 PDGFRβ and EphB4 with potent anti-cancer activity.

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

KDR-in-4  Cat. No.: HY-101628

Bioactivity: KDR-in-4 is a potent kinase insert domain-containing receptor (KDR/VEGFR2) inhibitor with an IC\textsubscript{50} of 7 nM.

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

Ki8751  Cat. No.: HY-12038

Bioactivity: Ki8751 is a potent VEGFR2 inhibitor with an IC\textsubscript{50} of 0.9 nM.

Purity: 98.97%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

KRN-633  Cat. No.: HY-12060

Bioactivity: KRN-633 is a potent VEGFR inhibitor with IC\textsubscript{50} of 170, 160 and 125 nM for VEGFR1, VEGFR2 and VEGFR3, respectively.

Purity: 99.06%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Lenvatinib (E7080)  Cat. No.: HY-10981

Bioactivity: Lenvatinib is an oral, multi-targeted tyrosine kinase inhibitor with IC\textsubscript{50} of 4 and 5.2 nM for VEGFR2(KDR) and VEGFR3(Flt-4), respectively. Lenvatinib is less potent against VEGFR1/Flt-1 and shows approximately 10-fold selectivity for VEGFR2/3 over FGFR1, PDGFRα/β.

Purity: 99.74%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Linifanib (ABT-869; AL-39324)  Cat. No.: HY-50751

Bioactivity: Linifanib (ABT-869) is a multi-targeted inhibitor of VEGF and PDGFB receptor family with IC\textsubscript{50} of 3, 4, 66, 4 nM for KDR, Flt-1, PDGFRβ and FLT3, respectively.

Purity: 99.60%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
Bioactivity: Lucitanib (E-3810) is a novel dual inhibitor of VEGFR and FGF, potently and selectively inhibits VEGFR1, VEGFR2, VEGFR3, FGF1 and FGF2 with IC50s of 7 nM, 25 nM, 10 nM, 17.5 nM, and 82.5 nM, respectively.

Purity: 98.24%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

Bioactivity: MGCD-265 analog (structurally related to MGCD-265) is an orally bioavailable multitargeted tyrosine kinase inhibitor with potential antineoplastic activity with IC50 of 29 nM and 10 nM for c-Met and VEGFR2, respectively. IC50 value: 10 nM (VEGFR2), 29 nM (c-Met) [1] Target:VEGFR, c-Met in vivo...

Purity: 96.53%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

Bioactivity: Motesanib is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC50 of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is approx 10-fold more selective for VEGFR than PDGFR and Ret.

Purity: 99.64%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

Bioactivity: Motesanib Diphosphate is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC50 of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is approximately 10-fold more selective for VEGFR than PDGFR and Ret.

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

Bioactivity: Ningetinib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC50 of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.

Purity: 98.75%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

Bioactivity: Ningetinib Tosylate is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC50 of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.

Purity: 99.88%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

Bioactivity: Motesanib (AMG 706;) is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC50 of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is approximately 10-fold more selective for VEGFR than PDGFR and Ret.

Purity: 99.97%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity: Motesanib Diphosphate (AMG 706 (Diphosphate)) is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC50 of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is approximately 10-fold more selective for VEGFR than PDGFR and Ret.

Purity: 99.95%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity: Nintedanib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC50 of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.

Purity: 98.95%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Bioactivity: Nintedanib esylate (BIBF 1120 esylate) is a potent triple angiokinase inhibitor for VEGFR1/2/3, FGFR1/2/3 and PDGFRα/β with IC50s of 34 nM/13 nM/108 nM and 59 nM/65 nM, respectively.

Purity: 99.95%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Bioactivity: NVP-ACC789 (ACC-789; ZK202650) is an inhibitor of human VEGFR-1, VEGFR-2 (mouse VEGFR-2), VEGFR-3 and PDGFR-β with IC50 of 0.38, 0.02 (0.23), 0.18, 1.4 μM, respectively.

Purity: 99.58%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

Bioactivity: NVP-BAW2881 (BAW2881) is a potent and selective VEGFR inhibitor with an IC50 of 4 nM.

Purity: 98.42%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
ODM-203

Bioactivity: ODM-203 is a potent FGFR and VEGFR families inhibitor with IC_{50} of 11, 16, 6, 35 nM towards recombinant FGFR1, FGFR2, FGFR3 and FGFR4 as well as 26, 9, 5 nM towards VEGFR1, VEGFR2 and VEGFR3, respectively. ODM-203 exhibits strong anti-tu...

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 250 mg

Oglufanide (H-Glu-Trp-OH; L-Glutamyl-L-tryptophan)

Bioactivity: Oglufanide inhibits vascular endothelial growth factor (VEGF), which may inhibit angiogenesis. This agent has also been reported to stimulate the immune response to hepatitis C virus and intracellular bacterial infections.

Purity: 99.27%
Clinical Data: Phase 2
Size: 10 mM x 1 mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

Orantiinib (SU6668; TSU-68)

Bioactivity: Orantiinib (SU6668; TSU-68) is a multi-targeted receptor tyrosine kinase inhibitor with K_i of 2.1 μM, 8 nM and 1.2 μM for Flt-1, PDGFRβ and FGFR1, respectively.

Purity: 99.02%
Clinical Data: Phase 3
Size: 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg

Pazopanib (GW786034)

Bioactivity: Pazopanib (GW786034) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with IC_{50} of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.

Purity: 99.68%
Clinical Data: Launched
Size: 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Pazopanib Hydrochloride (GW786034 (Hydrochloride))

Bioactivity: Pazopanib Hydrochloride (GW786034 Hydrochloride) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with an IC_{50} of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.

Purity: 99.92%
Clinical Data: Launched
Size: 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

PD173074

Bioactivity: PD173074 is a potent FGFR1 inhibitor with an IC_{50} of 25 nM and also inhibits VEGFR2 with an IC_{50} of 100-200 nM, showing 1000-fold selectivity for FGFR1 over PDGFR and c-Src.

Purity: 99.55%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

PF-03814735

Bioactivity: PF-03814735 is a potent, orally available and reversible aurora A and aurora B inhibitor with IC_{50} of 0.8 and 0.5 nM, respectively.

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

Ponatinib (AP24534)

Bioactivity: Ponatinib is a potent, orally available multi-targeted kinase inhibitor with IC_{50} of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM, and 5.4 nM for Abl, PDGFRα, VEGFR2, FGFR1, and Src, respectively.

Purity: 98.96%
Clinical Data: Launched
Size: 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg

PP121

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: 10 mM x 1 mL in DMSO, 10 mg, 50 mg, 100 mg
**PTC299**  
*Cat. No.: HY-124593*

**Bioactivity:** PTC299 is a dihydroorotate dehydrogenase (DHODH) inhibitor, has broad and potent activity against hematological cancer cells. PTC299, also an orally bioavailable VEGF inhibitor, acts through posttranscriptional regulation of V...

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

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**R1530**  
*Cat. No.: HY-13737*

**Bioactivity:** R1530 is the multikinase inhibitor with potential antiangiogenesis and antineoplastic activities.

**Purity:** 98.73%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

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**RAF265**  
*(CHIR-265)*  
*Cat. No.: HY-10248*

**Bioactivity:** RAF265 is a potent RAF/VEGFR2 inhibitor.

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

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**Regorafenib**  
*(BAY 73-4506)*  
*Cat. No.: HY-10331*

**Bioactivity:** Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with IC₅₀ of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1, respectively.

**Purity:** 99.96%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

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**Regorafenib Hydrochloride**  
*(BAY73-4506 hydrochloride)*  
*Cat. No.: HY-13308*

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

**Purity:** 99.58%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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**Regorafenib monohydrate**  
*(BAY 73-4506 monohydrate)*  
*Cat. No.: HY-10331A*

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

**Purity:** 99.96%  
**Clinical Data:** Launched  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg

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**Purity:** 99.80%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg

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**SAR131675**  
*Cat. No.: HY-15458*

**Bioactivity:** SAR131675 is a potent and selective VEGFR3 inhibitor with an IC₅₀ of 23 nM.

**Purity:** 99.80%  
**Clinical Data:** No Development Reported  
**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg
<table>
<thead>
<tr>
<th>Name</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
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</thead>
<tbody>
<tr>
<td>SCR-1481B1</td>
<td>HY-18711A</td>
<td>SCR-1481B1 (c-Met inhibitor 2) is a potent compound that has activity against cancers dependent upon Met activation and also has activity against cancers as a VEGFR inhibitor.</td>
<td>99.99%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Semaxinib</td>
<td>HY-10374</td>
<td>Semaxinib (SU5416) is a potent and selective inhibitor of VEGFR (Flk-1/KDR) with an IC₅₀ of 1.23 μM.</td>
<td>99.96%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Sitravatinib (MGCD516; MG516)</td>
<td>HY-16961</td>
<td>Sitravatinib (MGCD516; MG516) is an orally bioavailable, receptor tyrosine kinase (RTK) inhibitor with IC₅₀ of 1.5 mM, 2 mM, 2 nM, 5 nM, 6nM, 8 nM, 0.5 μM, 29 nM, 5nM, and 9 nM for Axl, MER, VEGFR3, VEGFR2, VEGFR1, KIT, FLT3, DD...</td>
<td>99.85%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>SKLB1002</td>
<td>HY-13944</td>
<td>SKLB1002 is a potent VEGFR2 inhibitor with an IC₅₀ of 32 nM.</td>
<td>98.04%</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>SKLB610</td>
<td>HY-18199</td>
<td>SKLB610 is a VEGFR inhibitor with potent anti-tumor activity.</td>
<td>98.96%</td>
<td>No Development Reported</td>
<td></td>
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<tr>
<td>Sorafenib</td>
<td>HY-10201</td>
<td>Sorafenib (Bay 43-9006) is a potent multikinase inhibitor with IC₅₀ of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.</td>
<td>99.92%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td>Sorafenib Tosylate (Bay 43-9006 (Tosylate))</td>
<td>HY-10201A</td>
<td>Sorafenib Tosylate (Bay 43-9006 Tosylate) is a potent multikinase inhibitor, with IC₅₀ of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.</td>
<td>99.53%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 100 mg, 500 mg</td>
</tr>
<tr>
<td>SU 5402</td>
<td>HY-10407</td>
<td>SU 5402 is a potent multi-targeted receptor tyrosine kinase inhibitor with IC₅₀ of 20 nM, 30 nM, and 510 nM for VEGFR2, FGFR1, and PDGFRβ, respectively.</td>
<td>99.39%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td>SU14813</td>
<td>HY-10501</td>
<td>SU14813 is a multi-targeted receptor tyrosine kinase inhibitor with IC₅₀ of 50, 2, 4, 15 nM for VEGFR2, VEGFR1, PDGFRβ, and KIT.</td>
<td>95.74%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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<tr>
<td>SU14813 maleate</td>
<td>HY-10501A</td>
<td>SU14813 maleate is a multi-targeted receptor tyrosine kinases inhibitor with IC₅₀ of 50, 2, 4, 15 nM for VEGFR2, VEGFR1, PDGFRβ, and KIT.</td>
<td>99.34%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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<tr>
<td>Compound</td>
<td>Cat. No.</td>
<td>Purity</td>
<td>Clinical Data</td>
<td>Size</td>
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<td>-------------------------------------------</td>
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</tr>
<tr>
<td>SU1498 (AG 1498; Tyrphostin SU 1498)</td>
<td>HY-19326</td>
<td>99.46%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
<td></td>
</tr>
<tr>
<td>Sulfatinib (HMPL-012)</td>
<td>HY-12297</td>
<td>98.34%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
<tr>
<td>Sunitinib Malate (SU 11248 Malate)</td>
<td>HY-10255</td>
<td>99.47%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
<td></td>
</tr>
<tr>
<td>Tanshinone IIA (Dan Shen ketone)</td>
<td>HY-N0135</td>
<td>99.07%</td>
<td>Phase 4</td>
<td>10 mg, 25 mg, 50 mg</td>
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</tr>
<tr>
<td>TAN-115 mesylate (TAS-115 methanesulfonate)</td>
<td>HY-12423A</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

**Bioactivity:**

- **SU1498** is a selective inhibitor of the VEGFR2; inhibits Flk-1 with an IC_{50} of value of 700 nM.
- **Sulfatinib (HMPL-012)** is a potent and highly selective tyrosine kinase inhibitor against VEGFR1/2/3, FGFR1 and CSF1R with IC_{50}s of in a range of 1 to 24 nM.
- **Sunitinib Malate (SU 11248 Malate)** is a potent tyrosine kinase inhibitor targeting VEGFR2 and PDGFRβ with IC_{50}s of 80 nM and 2 nM, respectively.
- **Tanshinone IIA sulfonate sodium (Sodium Tanshinone IIA sulfonate; Tanshinone IIA sodium sulfonate)** is a water-soluble derivative of tanshinone IIA, which acts as an inhibitor of store-operated Ca^{2+} entry (SOCE), and is used to treat cardiovascular disorders.
- **TAS-115 mesylate** is a potent VEGFR and hepatocyte growth factor receptor (c-Met/HGFR)-targeted kinase inhibitor, with IC_{50}s of 30 and 32 nM for rVEGFR2 and rMET, respectively.
### Telatinib (Bay 57-9352)

**Cat. No.: HY-10527**

**Bioactivity:** Telatinib (Bay 57-9352) is an orally active, small molecule inhibitor of VEGFR2, VEGFR3, PDGFRα, and c-Kit with IC₅₀ of 6, 4, 15 and 1 nM, respectively.

**Purity:** 99.47%

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

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### Tesevatinib (XL-647, EXEL-7647, KD-019)

**Cat. No.: HY-13314**

**Bioactivity:** Tesevatinib (XL-647) is an orally available, multi-target tyrosine kinase inhibitor; inhibits EGFR, ErbB2, KDR, Flt4 and EphB4 kinase with IC₅₀ of 0.3, 16, 1.5, 8.7, and 1.4 nM.

**Purity:** 99.21%

**Clinical Data:**
- Phase 2
- Size: 10mM x 1mL in DMSO, 1 mg, 10 mg

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### TG 100572

**Cat. No.: HY-10184**

**Bioactivity:** TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has IC₅₀ of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, Yes, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

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### TG 100572 Hydrochloride

**Cat. No.: HY-10185**

**Bioactivity:** TG 100572 Hydrochloride is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has IC₅₀ of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 nM for VEGFR1, VEGFR2, FGFR1, FGFR2, PDGFRβ, Fgr, Fyn, Hck, Lck, Lyn, Src, Yes, respectively.

**Purity:** >98%

**Clinical Data:** No Development Reported

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

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### TG 100801

**Cat. No.: HY-10186**

**Bioactivity:** TG 100801 is a prodrug that generates TG 100572 by de-esterification in development to treat age-related macular degeneration. TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has IC₅₀ of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2 for...

**Purity:** 98.60%

**Clinical Data:** Phase 2

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

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### TG 100801 Hydrochloride

**Cat. No.: HY-10187**

**Bioactivity:** TG 100801 Hydrochloride is a prodrug that generates TG 100572 by de-esterification in development to treat age-related macular degeneration. TG 100572 is a multi-targeted kinase inhibitor which inhibits receptor tyrosine kinases and Src kinases; has IC₅₀ of 2, 7, 2, 16, 13, 5, 0.5, 6, 0.1, 0.4, 1, 0.2...

**Purity:** >98%

**Clinical Data:** No Development Reported

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

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### Tivozanib (AV-951, KRN951)

**Cat. No.: HY-10977**

**Bioactivity:** Tivozanib (AV-951, KRN951) is a highly potent and selective VEGFR 1/2/3 inhibitor with IC₅₀ of 0.21, 0.16, and 0.24 nM in cell assay, respectively.

**Purity:** 99.52%

**Clinical Data:** Phase 3

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

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### Toceranib (PHA 291639; SU11654)

**Cat. No.: HY-10330**

**Bioactivity:** Toceranib is a multitargeted indolinone receptor tyrosine kinase (RTK) inhibitor with Kᵢ of 5 and 6 nM for PDGFRβ and Flk-1/KDR, respectively.

**Purity:** 96.50%

**Clinical Data:** Launched

**Size:** 10 mg, 50 mg

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### Toceranib phosphate (PHA 291639 (phosphate); SU11654 (phosphate))

**Cat. No.: HY-10330A**

**Bioactivity:** Toceranib phosphate is a multitargeted indolinone receptor tyrosine kinase (RTK) inhibitor with Kᵢ of 5 and 6 nM for PDGFRβ and Flk-1/KDR, respectively.

**Purity:** 98.43%

**Clinical Data:** Launched

**Size:** 10 mg, 50 mg

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### Tyrosine kinase-IN-1

**Cat. No.: HY-100315**

**Bioactivity:** Tyrosine kinase-IN-1 is a multi-targeted tyrosine kinase inhibitor with IC₅₀ of 4, 20, 4, 2 nM for KDR, Flt-1, FGFR1 and PDGFRα, respectively.

**Purity:** 99.47%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Tyrphostin A9 (AG 17; Tyrphostin 9)</td>
<td>HY-15511</td>
<td>-</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 50 mg</td>
</tr>
<tr>
<td>Vandetanib (ZD6474)</td>
<td>HY-10260</td>
<td>Vandetanib is a potent inhibitor of VEGFR2 with an $IC_{50}$ of 40 nM.</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>25 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>Vandetanib hydrochloride (ZD6474 hydrochloride)</td>
<td>HY-10260B</td>
<td>Vandetanib hydrochloride is a potent inhibitor of VEGFR2 with $IC_{50}$ of 40 nM.</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>25 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>Vandetanib trifluoroacetate (ZD6474 trifluoroacetate)</td>
<td>HY-10260A</td>
<td>Vandetanib trifluoroacetate is a potent inhibitor of VEGFR2 with $IC_{50}$ of 40 nM.</td>
<td>&gt;98%</td>
<td>Launched</td>
<td>25 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>Vatalanib dihydrochloride (PTK787 dihydrochloride; CGP-797870 dihydrochloride; ZK-222584 dihydrochloride)</td>
<td>HY-12018</td>
<td>Vatalanib dihydrochloride (PTK787 dihydrochloride) is an inhibitor of VEGFR2/KDR with $IC_{50}$ of 37 nM.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Vatalanib free base (PTK787 free base; PTK/ZK free base; CGP-79787 free base; ZK-222584 free base)</td>
<td>HY-10203</td>
<td>Vatalanib (PTK787; ZK-222584; CGP-797870; ZK-222584 free base) is an inhibitor of VEGFR2/KDR with $IC_{50}$ of 37 nM.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>WHI-P180 (Janex 3)</td>
<td>HY-15769</td>
<td>WHI-P180 (Janex 3) is a multi-kinase inhibitor; inhibits RET, KDR and EGFR with $IC_{50}$s of 5 nM, 66 nM and 4 μM, respectively.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>WHI-P180 hydrochloride (Janex 3 hydrochloride)</td>
<td>HY-15769A</td>
<td>WHI-P180 (Janex 3) is a multi-kinase inhibitor; inhibits RET, KDR and EGFR with $IC_{50}$s of 5 nM, 66 nM and 4 μM, respectively.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>ZD-4190</td>
<td>HY-U00002</td>
<td>ZD-4190 is a potent, orally available inhibitor of the vascular endothelial cell growth factor receptor 2 (VEGFR2) and of epidermal growth factor receptor (EGFR) signalling, used for the treatment of cancer.</td>
<td>99.20%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>ZK-261991</td>
<td>HY-15333</td>
<td>ZK-261991 is an orally active VEGFR tyrosine kinase inhibitor with an $IC_{50}$ of 5 nM for VEGFR2.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>250 mg, 500 mg</td>
</tr>
</tbody>
</table>
**ZM 306416 (CB 676475)**  
*Bioactivity:* ZM-306416 (CB 676475) is a potent inhibitor of VEGFR with IC\textsubscript{50} of 0.1 and 2 μM for KDR and Flt, respectively. ZM-306416 is also an EGFR inhibitor with an IC\textsubscript{50} of <10 nM.  
Purity: 99.80%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

**ZM323881**  
*Bioactivity:* ZM323881 is a potent and selective VEGFR\textsubscript{2} inhibitor with an IC\textsubscript{50} of less than 2 nM.  
Purity: >98%  
Clinical Data: No Development Reported  
Size: 10 mg, 50 mg

**ZM323881 hydrochloride**  
*Bioactivity:* ZM323881 hydrochloride is a potent and selective VEGFR\textsubscript{2} inhibitor with an IC\textsubscript{50} of less than 2 nM.  
Purity: 99.52%  
Clinical Data: No Development Reported  
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg