JAK/STAT Signaling

The Janus kinase (JAK)/signal transducer and activator of transcription (STAT) pathway is central to signaling by cytokine receptors, a superfamily of more than 30 transmembrane proteins that recognize specific cytokines, and is critical in blood formation and immune response. Canonical JAK/STAT signaling begins with the association of cytokines and their corresponding transmembrane receptors. Activated JAKs then phosphorylate latent STAT monomers, leading to dimerization, nuclear translocation, and DNA binding. In mammals, there are four JAKs (JAK1, JAK2, JAK3, TYK2) and seven STATs (STAT1, STAT2, STAT3, STAT4, STAT5a, STAT5b, STAT6).

JAKs are an integral component of the receptor subunit with very little release or exchange into the cytoplasm and as such are located primarily at the plasma membrane. STAT has seven conserved features: an N-terminal domain (NT), a coiled-coil domain (CC), a central DNA-binding domain (DBD), a linker region, an SH2 domain followed by a single conserved tyrosine residue, and a C-terminal transactivation domain (TAD). JAK phosphorylation of the STAT proteins then results in a spatial reorganisation of the dimer complex, and translocates to the nucleus. Once in the nucleus, STAT dimers are stabilised by NT:NT interactions and bind cooperatively to tandem sequence elements within promoter regions to activate the transcription of specific gene subsets.

Aberrant activation of the JAK/STAT pathway has been reported in a variety of diseases, including inflammatory conditions, hematologic malignancies, and solid tumors. More recently, human myeloproliferative neoplasms are discovered to be associated with a unique acquired somatic mutation in JAK2 (JAK2 V617F), rare exon 12 JAK2 mutations, or thrombopoietin receptor mutations that constitutively activate wild-type JAK2. As a result, several drug companies have begun to develop therapeutics that inhibit the function of JAK tyrosine kinases. Currently, several JAK-targeting drugs have been used in the clinic for treating diseases including rheumatoid arthritis and myeloproliferative.

References:
Target List in JAK/STAT Signaling

- EGFR ........................................ 4
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- STAT ........................................ 38
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 epiregulin 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, Antagonists & Activators

#### (E)-AG 99
((E)-Tyrphostin 46; (E)-Tyrphostin AG 99)

- **Cat. No.: HY-100962**

(E)-AG 99 ((E)-Tyrphostin 46) is a potent 
**EGFR inhibitor.**

- **Purity:** 99.41%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### (E/Z)-AG490
((E/Z)-Tyrphostin AG490; (E/Z)-Tyrphostin B42)

- **Cat. No.: HY-107459**

(E/Z)-AG490 ((E/Z)-Tyrphostin AG490) is a racemic compound of (E)-AG490 and (Z)-AG490 isomers. (E)-AG490 (HY-12008) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.

- **Purity:** ≥96.0%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg

#### (E/Z)-CP-724714

- **Cat. No.: HY-W08914**

(E/Z)-CP-724714 is a racemic compound of (E)-CP-724714 and (Z)-CP-724714 isomers. CP-724714 is a potent and selective orally active ErbB2 (HER2) inhibitor.

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

#### AEE788
(NVP-AEE 788)

- **Cat. No.: HY-10045**

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

- **Purity:** 98.39%
- **Clinical Data:** Phase 2
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### Afatinib
(BIBW 2992)

- **Cat. No.: HY-10261**

Afatinib (BIBW 2992) is an irreversible EGFR family inhibitor.

- **Purity:** 99.99%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg

#### Afatinib D6
(BIBW 2992 D6)

- **Cat. No.: HY-102615**

Afatinib D6 (BIBW 2992 D6) is deuterium labeled Afatinib. Afatinib (BIBW 2992) is an irreversible EGFR family inhibitor.

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

#### Afatinib impurity 11

- **Cat. No.: HY-133780**

Afatinib impurity 11 is an impurity of Afatinib. Afatinib is an irreversible EGFR family inhibitor with IC₅₀ of 0.5 nM, 0.4 nM, 10 nM and 14 nM for EGFR*, EGFR*<sup>L858R</sup>, EGFR<sup>EGFR/L858R/T790M</sup> and HER2, respectively.

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

#### AG 555
(Tyrphostin AG 555)

- **Cat. No.: HY-15336**

AG 555 (Tyrphostin AG 555), a potent antiretroviral drug, is a potent and selective inhibitor of EGFR and blocks Cdk2 activation.

- **Purity:** ≥98.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 100 mg, 250 mg

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| **AG-1478**  
(Tyrphostin AG-1478; NSC 693255) | **AG-1478 hydrochloride**  
(Tyrphostin AG-1478 hydrochloride; NSC 693255 hydrochloride) |
<table>
<thead>
<tr>
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</tr>
</thead>
<tbody>
<tr>
<td>Cat. No.: HY-13524</td>
<td>Cat. No.: HY-13524A</td>
</tr>
<tr>
<td>AG-1478 (Tyrphostin AG-1478) is a selective EGFR tyrosine kinase inhibitor with IC₅₀ of 3 nM. AG-1478 has antiviral effects against HCV and encephalomyocarditis virus (EMCV).</td>
<td>AG-1478 hydrochloride (Tyrphostin AG-1478 hydrochloride) is a selective EGFR tyrosine kinase inhibitor with IC₅₀ of 3 nM. AG-1478 hydrochloride has antiviral effects against HCV and encephalomyocarditis virus (EMCV).</td>
</tr>
</tbody>
</table>
| Purity: 99.22%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg | Purity: >98%  
Clinical Data: No Development Reported  
Size: 1 mg, 5 mg |

| **AG-494**  
(Tyrphostin AG 494) | **AG-825**  
(Tyrphostin AG-825) |
<table>
<thead>
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</thead>
<tbody>
<tr>
<td>Cat. No.: HY-101042</td>
<td>Cat. No.: HY-15844</td>
</tr>
<tr>
<td>AG-494 (Tyrphostin AG 494) is a potent and selective EGFR tyrosine kinase inhibitor (IC₅₀=0.7 μM). AG-494 inhibits the autophosphorylation of EGFR, ErbB2, HER1-2 and PDGF-R with IC₅₀ 1.1, 39, 45 and 6 μM, respectively.</td>
<td>AG-825 (Tyrphostin AG-825) is a selective and ATP-competitive ErbB2 inhibitor which suppresses tyrosine phosphorylation, with an IC₅₀ of 0.35 μM. AG-825 displays anti-cancer activity. AG825 significantly accelerates apoptosis of human neutrophils.</td>
</tr>
</tbody>
</table>
| Purity: 99.06%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg | Purity: 98.07%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **AG1557** | **AG490**  
(Tyrphostin AG490; Tyrphostin 842) |
<table>
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<tbody>
<tr>
<td>Cat. No.: HY-12806</td>
<td>Cat. No.: HY-12000</td>
</tr>
<tr>
<td>AG1557 is a specific and ATP competitive inhibitor of epidermal growth factor receptor (EGFR) tyrosine kinase, has a pIC₅₀ value of 8.194.</td>
<td>AG490 (Tyrphostin AG490) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.</td>
</tr>
</tbody>
</table>
| Purity: >98%  
Clinical Data: No Development Reported  
Size: 1 mg, 5 mg | Purity: 99.84%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **Allitinib tosylate**  
(AST-1306 (TsOH)) | **Almonertinib**  
(HS-10296) |
<table>
<thead>
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<tbody>
<tr>
<td>Cat. No.: HY-13427</td>
<td>Cat. No.: HY-112823</td>
</tr>
<tr>
<td>Allitinib tosylate (AST-1306 (TsOH)) is an orally active and irreversible EGFR and ErbB2 inhibitor with IC₅₀ of 0.5 and 3 nM, respectively. Allitinib tosylate also inhibits ErbB4 with an IC₅₀ of 0.8 nM.</td>
<td>Almonertinib (HS-10296) is an orally available, irreversible, third-generation EGFR tyrosine kinase inhibitor with high selectivity for EGFR-sensitizing and T790M resistance mutations.</td>
</tr>
</tbody>
</table>
| Purity: 99.23%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg | Purity: 99.84%  
Clinical Data:Launched  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg |

| **Almonertinib hydrochloride**  
(HS-10296 hydrochloride) | **ARRY-380 (analog )**  
(HS-10296 hydrochloride) |
<table>
<thead>
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<tbody>
<tr>
<td>Cat. No.: HY-1128238</td>
<td>Cat. No.: HY-10531</td>
</tr>
<tr>
<td>Almonertinib hydrochloride is an orally available, irreversible, third-generation EGFR tyrosine kinase inhibitor with high selectivity for EGFR-sensitizing and T790M resistance mutations.</td>
<td>ARRY-380 analog, an inhibitor of EGFR (ErbB1), is extracted from patent WO2015153959A2, compound 249. ARRY-380 is a potent, selective, ATP-competitive, orally active inhibitor of HER2.</td>
</tr>
</tbody>
</table>
| Purity: 98.05%  
Clinical Data: Launched  
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg | Purity: 96.54%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg |
AST2818 mesylate

AST2818 mesylate is an EGFR inhibitor.

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

Astragaloside VI

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

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg

AV-412 (MP412)

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

Purity: 99.17%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

AZ7550 Mesylate (AZ7550 trimesylate salt)

AZ7550 Mesylate is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC_{50} of 1.6 µM.

Purity: 99.34%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg

AZ7550 hydrochloride

AZ7550 hydrochloride is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC_{50} of 1.6 µM.

Purity: 98.66%
Clinical Data: Phase 1
Size: 5 mg, 10 mg

AZ7550

AZ7550 is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC_{50} of 1.6 µM.

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

AZ5104

AZ5104 is an active, demethylated metabolite of AZD 9291. AZ-5104 is an EGFR inhibitor with IC_{50} of 1, 6, 1, 25 and 7 nM for EGFR^{L858R/1067T}, EGFR^{L861Q}, EGFR^{L861Q/T790M} and EGFR and ErbB4, respectively.

Purity: 99.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

AV-412 free base (MP-412 free base)

AV-412 free base (MP-412 free base) is an EGFR inhibitor with IC_{50} of 0.75, 0.5, 0.79, 2.3, 19 nM for EGFR, EGFR^{L861Q}, EGFR^{T790M}, EGFR^{L858R/T790M} and ErbB2, respectively.

Purity: 98.07%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Astragaloside VI

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

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg

AV-412 (MP412)

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

Purity: 99.17%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

AZ7550

AZ7550 is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC_{50} of 1.6 µM.

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

AZ5104

AZ5104 is an active, demethylated metabolite of AZD 9291. AZ-5104 is an EGFR inhibitor with IC_{50} of 1, 6, 1, 25 and 7 nM for EGFR^{L858R/1067T}, EGFR^{L861Q}, EGFR^{L861Q/T790M} and EGFR and ErbB4, respectively.

Purity: 99.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

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<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>BI-4020</td>
<td>HY-129550</td>
<td>BI-4020 is a fourth-generation, orally active, and non-covalent EGFR tyrosine kinase inhibitor. Purity: 98.82% &lt;br&gt;Clinical Data: No Development Reported &lt;br&gt;Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td>BMS-599626 Hydrochloride (AC480 Hydrochloride)</td>
<td>HY-12010</td>
<td>BMS-599626 Hydrochloride (AC480 Hydrochloride) is a selective and orally bioavailable HER1 and HER2 inhibitor, with IC_{50} of 20 and 30 nM, respectively. Purity: 99.87% &lt;br&gt;Clinical Data: Phase 1 &lt;br&gt;Size: 10 mM × 1 mL, 5 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>BMS-690514</td>
<td>HY-10333</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. Purity: 99.89% &lt;br&gt;Clinical Data: Phase 2 &lt;br&gt;Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Butein (2',3,4,4'-tetrahydroxy Chalcone)</td>
<td>HY-16558</td>
<td>Butein, isolated from Dalbergia odorifera T. Chen, is a cAMP-specific PDE inhibitor with an IC_{50} of 10.4 μM for PDE4. Butein is a specific protein tyrosine kinase inhibitor with IC_{50} of 16 and 65 μM for EGFR and p60^{src} in HepG2 cells. Purity: 99.95% &lt;br&gt;Clinical Data: No Development Reported &lt;br&gt;Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Canertinib (CI-1033; PD-183805)</td>
<td>HY-10367</td>
<td>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% &lt;br&gt;Clinical Data: Phase 2 &lt;br&gt;Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>Canertinib dihydrochloride (CI-1033 dihydrochloride; PD-183805 dihydrochloride)</td>
<td>HY-10367A</td>
<td>Canertinib dihydrochloride (CI-1033 dihydrochloride;PD-183805 dihydrochloride) is a potent and irreversible EGFR inhibitor; inhibits cellular EGFR and ErbB2 autophosphorylation with IC_{50} of 7.4 and 9 nM. Purity: 99.12% &lt;br&gt;Clinical Data: Phase 2 &lt;br&gt;Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg</td>
</tr>
<tr>
<td>CCT365623 hydrochloride</td>
<td>HY-124674A</td>
<td>CCT365623 hydrochloride is an orally active lysyl oxidase (LOX) inhibitor, with an IC_{50} of 0.89 μM. CCT365623 hydrochloride suppresses EGFR (pY1068) and AKT phosphorylation driven by EGFR. CCT365623 hydrochloride is extremely well tolerated, and has good pharmacokinetic properties. Purity: ≥98.0% &lt;br&gt;Clinical Data: No Development Reported &lt;br&gt;Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td>Cetuximab (C225)</td>
<td>HY-P9905</td>
<td>Cetuximab (C225) 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: 0.0% &lt;br&gt;Clinical Data: Launched &lt;br&gt;Size: 1 mg, 5 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td>CHMFL-EGFR-202</td>
<td>HY-101522</td>
<td>CHMFL-EGFR-202 is a potent, irreversible inhibitor of epidermal growth factor receptor (EGFR) mutant kinase, with IC_{50} of 5.3 nM and 8.3 nM for drug-resistant mutant EGFR T790M and WT EGFR kinases, respectively. Purity: ≥98% &lt;br&gt;Clinical Data: No Development Reported &lt;br&gt;Size: 1 mg, 5 mg</td>
</tr>
</tbody>
</table>

**Cetuximab**
<table>
<thead>
<tr>
<th><strong>Cat. No.</strong></th>
<th><strong>Chemical Name</strong></th>
<th><strong>Chemical Description</strong></th>
<th><strong>Purity</strong></th>
<th><strong>Clinical Data</strong></th>
<th><strong>Size</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>HY-13595</td>
<td>Chrysophanol</td>
<td>(Chrysophanic acid) is a natural anthraquinone, which inhibits EGF-induced phosphorylation of EGFR and suppresses activation of AKT and mTOR/p70S6K. Purity: 99.73%</td>
<td>99.73%</td>
<td>No Development Reported</td>
<td>50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-13897</td>
<td>CNX-2006</td>
<td>CNX-2006 is a mutant-selective and irreversible EGFR inhibitor with an IC_{50} below 20 nM for EGFR^{L858R}. Purity: 99.68%</td>
<td>99.68%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-10223</td>
<td>CUDC-101</td>
<td>CUDC-101 is an irreversible inhibitor of HDAC, EGFR, and HER2 with IC_{50} of 4.4, 2.4, and 15.7 nM, respectively. Purity: 99.02%</td>
<td>99.02%</td>
<td>Phase 1</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-1372</td>
<td>Dacomitinib</td>
<td>Dacomitinib (PF-00299804, PF-299804) is a specific and irreversible inhibitor of the ERBB family of kinases with IC_{50} of 6 mM, 45.7 nM and 73.7 nM for EGFR, ERBB2, and ERBB4, respectively. Purity: 99.92%</td>
<td>99.92%</td>
<td>Launched</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>HY-128778</td>
<td>DBPR112</td>
<td>DBPR112 is an orally active farnopyrimidine-based EGFR inhibitor with IC_{50} of 15 nM and 48 nM for EGFR^{L858R} and EGFR^{L858R,T790M}, respectively. DBPR112 can occupy the ATP-binding site. DBPR112 has significant antitumor efficacy. Purity: 98.07%</td>
<td>98.07%</td>
<td>Phase 1</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-10325</td>
<td>CL-387785</td>
<td>CL-387785(EKI-785; WAY-eki 785) is an irreversible inhibitor of EGFR with IC_{50} of 370 pM. Purity: 98.10%</td>
<td>98.10%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-14674</td>
<td>CP-724714</td>
<td>CP-724714 is a potent, selective and orally active ErbB2 (HER2) tyrosine kinase inhibitor, with an IC_{50} of 10 nM. CP-724714 displays a marked selectivity against EGFR kinase (IC_{50}=6400 nM). CP-724714 potently inhibits ErbB2 receptor autophosphorylation in intact cells. Purity: 99.33%</td>
<td>99.33%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-N0211</td>
<td>Cyasterone</td>
<td>Cyasterone, a natural EGFR inhibitor, mainly isolated from Ajuga decumbens Thunb (Labiatae). Cyasterone manifests anti-proliferation effect by induced apoptosis and cell cycle arrest. Cyasterone may serves as a therapeutic anti-tumor agent against human tumors. Purity: &gt;98%</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>HY-13272</td>
<td>Daphnetin</td>
<td>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. Purity: 99.21%</td>
<td>99.21%</td>
<td>Launched</td>
<td>10 mM × 1 mL, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-100213</td>
<td>EA1045</td>
<td>EA1045 is an allosteric and the fourth-generation inhibitor of mutant EGFR with IC_{50} of 1.9, 0.019, 0.19 and 0.002 μM for EGFR, EGFR^{L858R}, EGFR^{T790M} and EGFR^{L858R,T790M} at 10 μM ATP, respectively. Purity: 98.90%</td>
<td>98.90%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
**EGFR Protein Tyrosine Kinase Substrate**

Cat. No.: HY-P2503

EGFR Protein Tyrosine Kinase Substrate is a EGFR protein tyrosine kinase substrate.

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

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**EGFR-IN-1 TFA**

Cat. No.: HY-19617B

EGFR-IN-1 TFA is an orally active and irreversible L858R/T790M mutant selective EGFR inhibitor. EGFR-IN-1 TFA potently inhibits Gefitinib-resistant EGFR L858R, T790M with 100-fold selectivity over wild-type EGFR.

Purity: 99.05%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**EGFR-IN-11**

Cat. No.: HY-130616

EGFR-IN-11 is a fourth-generation EGFR-tyrosine kinase inhibitor (EGFR-TKI) with an IC₅₀ of 18 nM for triple mutant EGFRL858R/T790M/C797S. EGFR-IN-11 significantly suppresses the EGFR phosphorylation, induce the apoptosis, and arrest cell cycle at G0/G1.

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**EGFR-IN-12**

Cat. No.: HY-17499

EGFR-IN-12 is a 4,6-disubstituted pyrimidine and a potent, ATP-competitive, irreversible and highly selective EGFR inhibitor with an IC₅₀ of 21 nM. EGFR-IN-12 also inhibits mutant EGFRL858R and EGFRL858R/C797S with IC₅₀ of 63 nM and 4 nM, respectively.

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

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**EGFR-IN-2**

Cat. No.: HY-100520

EGFR-IN-2 is a noncovalent, irreversible, mutant-selective second generation EGFR inhibitor.

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

---

**EGFR-IN-5**

Cat. No.: HY-111415

EGFR-IN-5 is a EGFR inhibitor with IC₅₀ of 10.4, 1.1, 34, 7.2 nM for EGFR, EGFRL858R, EGFRL858R/T790M and EGFRL858R/T790M/C797S, respectively.

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

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**EGFR-IN-7**

Cat. No.: HY-128862

EGFR-IN-7 (compound 34) is a selective and potent EGFR kinase inhibitor extracted from patent WO2019016554A1, has IC₅₀ of 7.92 nM and 0.218 nM for EGFR (WT) and EGFR (mutant C797S/T790M/L858R) respectively, and shows anti-tumor activity.

Purity: 99.76%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

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**EGFR-IN-8**

Cat. No.: HY-126320

EGFR-IN-8 is a dual EGFR and c-Met inhibitor, compound 48. EGFR-IN-8 can be a promising candidate for further development to target EGFR TKI-resistant NSCLC.

Purity: 98.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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**EGFR-IN-9**

Cat. No.: HY-18213

EGFR-IN-9 (Compound 8) is a potent EGFR kinase inhibitor with IC₅₀ of 7 nM, 28 nM for the wild type EGFR kinase and double mutant EGFR kinase (L858R/T790M). EGFR-IN-9 has antitumor activity.

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

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**EMI1**

Cat. No.: HY-138072

EMI1 is an EGFR ex19del/T790M/C797S and EGFR L858R/T790M/C797S inhibitor. EMI1 can be used for the research of mutant EGFR-associated, drug-resistant non-small-cell lung cancer (NSCLC).

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg
EMI48
Cat. No.: HY-131066
EMI48, the derivative of EMI1, displays greater potency toward mutant EGFR than EMI1. EMI48 inhibits EGFR triple mutants.

Purity: 99.02%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Epertinib
(S-22611)
Cat. No.: HY-107367
Epertinib (S-22611) is a potent, oral, reversible, and selective tyrosine kinase inhibitor of EGFR, HER2 and HER4, with IC_{50} of 1.48 nM, 7.15 nM and 2.49 nM, respectively. Epertinib shows potent antitumor activity.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 1 mg

Erlotinib
(CP-358774; NSC 718781; OSI-774)
Cat. No.: HY-50896
Erlotinib (CP-358774) is a directly acting EGFR tyrosine kinase inhibitor, with an IC_{50} of 2 nM for human EGFR. Erlotinib reduces EGFR autophosphorylation in intact tumor cells with an IC_{50} of 20 nM. Erlotinib is used for the treatment of non-small cell lung cancer.

Purity: 99.99%
Clinical Data: Launched
Size: 10 mM x 1 mL, 100 mg, 500 mg

Erlotinib Hydrochloride
(CP-358774 Hydrochloride; NSC 718781 D6 Hydrochloride; OSI-774 D6 Hydrochloride)
Cat. No.: HY-120085
Erlotinib Hydrochloride (CP-358774 D6 hydrochloride) is a deuterium labeled Erlotinib Hydrochloride. Erlotinib Hydrochloride inhibits purified EGFR kinase with an IC_{50} of 2 nM.

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

Erlotinib mesylate
(CP-358774 mesylate; NSC 718781 mesylate; OSI-774 mesylate)
Cat. No.: HY-12008A
Erlotinib mesylate (CP-358774 mesylate) inhibits purified EGFR kinase with an IC_{50} of 2 nM.

Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

Falnidamol
(BBBX 1382)
Cat. No.: HY-10322
Falnidamol (BBBX 1382) is an orally active, selective EGFR tyrosine kinase inhibitor with an IC_{50} of 3 nM. Falnidamol displays >1000-fold lower potency against ErbB2 (IC_{50}=3.4 μM) and a range of other related tyrosine kinases (IC_{50}>10 μM).

Purity: 98.07%
Clinical Data: Phase 1
Size: 10 mM x 1 mL, 5 mg, 10 mg, 50 mg

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**FIIN-3**

FIIN-3 is an irreversible inhibitor of FGFR with an IC₅₀ of 13.1, 21, 31.4, and 35.3 nM for FGFR1, FGFR2, FGFR3 and FGFR4, respectively.

- **Purity:** 98.13%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

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**Gefitinib**

(ZD1839)

Gefitinib (ZD1839) is a potent, selective and orally active EGFR tyrosine kinase inhibitor with an IC₅₀ of 33 nM. Gefitinib selectively inhibits EGFR-stimulated tumor cell growth (IC₅₀ of 54 nM) and that blocks EGF-stimulated EGFR autophosphorylation in tumor cells.

- **Purity:** 99.94%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 100 mg, 500 mg, 1 g, 5 g

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**Genistein**

(NPI 031L)

Genistein, a soy isoflavone, is a multiple tyrosine kinases (e.g., EGFR) inhibitor which acts as a chemotherapeutic agent against different types of cancer, mainly by altering apoptosis, the cell cycle, and angogenesis and inhibiting metastasis.

- **Purity:** 99.68%
- **Clinical Data:** Phase 4
- **Size:** 10 mM × 1 mL, 100 mg, 500 mg

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**Genistein, N-oxide**

Gefitinib N-oxide is the N-oxide derivative of Gefitinib. Gefitinib is an EGFR tyrosine kinase inhibitor with an IC₅₀ of 2-37 nM in NR6wtEGFR cells.

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

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**HKI-357**

HKI-357 is an irreversible dual inhibitor of EGFR and ERBB2 with IC₅₀ of 34 nM and 33 nM, respectively. HKI-357 suppresses EGFR autophosphorylation (at Y1068), and AKT and MAPK phosphorylation.

- **Purity:** ≥ 99.0%
- **Clinical Data:** No Development Reported
- **Size:** 10 mg

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**Icotinib**

(BPI-2009)

Icotinib (BPI-2009) is a potent and specific EGFR inhibitor with an IC₅₀ of 5 nM, also inhibits mutant EGFR L858R, EGFR L858R/T790M, EGFR T790M and EGFR L858Q.

- **Purity:** 99.79%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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**Icotinib hydrochloride**

(BPI-2009H)

Icotinib Hydrochloride (BPI-2009H) is a potent and specific EGFR inhibitor with an IC₅₀ of 5 nM, also inhibits mutant EGFR L858R, EGFR L858R/T790M, EGFR T790M and EGFR L858Q.

- **Purity:** 99.99%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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**Icotinib hydrochloride**

(HY-50895)

Icotinib hydrochloride (HY-50895S) is a potent, selective and orally active EGFR tyrosine kinase inhibitor with IC₅₀ of 33 nM.

- **Purity:** 99.42%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg

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**Gefitinib D8**

(ZD1839 D8)

Gefitinib D8 (ZD1839 D8) is a deuterium labeled Gefitinib. Gefitinib is an EGFR tyrosine kinase inhibitor, with IC₅₀ of 2-37 nM in NR6wtEGFR cells.

- **Purity:** 98.42%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg

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**Gefitinib-based PROTAC 3**

Gefitinib-based PROTAC 3, conjugating an EGFR binding element to a VHL ligand via a linker, induces EGFR degradation with IC₅₀ of 11.7 nM and 22.3 nM in HCC827(exon 19 del) and H3255 (L858R mutation) cells, respectively.

- **Purity:** 99.98%
- **Clinical Data:** No Development Reported
- **Size:** 5 mg, 10 mg

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**Icotinib hydrochloride**

(HY-15164)

Icotinib hydrochloride (HY-15164) is a potent and specific EGFR inhibitor with an IC₅₀ of 5 nM, also inhibits mutant EGFR L858R, EGFR L858R/T790M, EGFR T790M and EGFR L858Q.

- **Purity:** 99.99%
- **Clinical Data:** Launched
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg
JBJ-04-125-02

JBJ-04-125-02 is a potent, mutant-selective, allosteric and orally active EGFR inhibitor with an IC_{50} of 0.26 nM for EGFR^{R197H/ L858R}, JBJ-04-125-02 can inhibit cancer cell proliferation and EGFR^{L858R/T790M/C797S} signaling.

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

JND3229

JND3229 is a highly potent and fourth-generation EGFR^{T790M} reversible inhibitor with IC_{50} value of 5.8 nM, and also potently suppressed EGFR^{L858R/T790M} and EGFR{R709L} with IC_{50} values of 30.5 and 6.8 nM.

Purity: 99.38%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Lapatinib
(GW572016; GW2016)

Lapatinib (GW572016) is a potent inhibitor of the ErbB-2 and EGFR tyrosine kinase domains with IC_{50} values against purified EGFR and ErbB-2 of 10.2 and 9.8 nM, respectively.

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

Lavendustin A
(RG-14355)

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.

Purity: >95.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Lazetinib
(YH25448; GNS-1480)

Lazetinib (YH25448) is a potent, highly mutant-selective, blood-brain barrier permeable, orally available and irreversible third-generation EGFR tyrosine kinase inhibitor, and can be used in the research of non-small cell lung cancer.

Purity: 99.25%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

JCN037
(JGK037)

JCN037 (JGK037) is non-covalent and BBB-penetrant EGFR tyrosine kinase inhibitor, with IC_{50} values of 2.49 nM, 3.95 nM, 4.48 nM for EGFR, p-EGFR{R2058/ R2018} and pEGFR{R2018}, respectively.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Khellin

Khellin is a furochromone that can be isolated from Ammi visnaga L. Khellin is an EGFR inhibitor with an IC_{50} of 0.15 μM. Khellin has anti-proliferative activity in vitro. Khellin has antispasmodic and coronary vasodilator effects.

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

Lapatinib ditosylate
(GW572016 ditosylate; GW2016 ditosylate)

Lapatinib ditosylate (GW572016 ditosylate) is a potent inhibitor of the ErbB-2 and EGFR tyrosine kinase domains with IC_{50} values against purified EGFR and ErbB-2 of 10.2 and 9.8 nM, respectively.

Purity: 99.95%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 500 mg, 1 g

Lavendustin C

Lavendustin C is a potent Ca^{2+} calmodulin-dependent kinase II (CaMK II) inhibitor with an IC_{50} of 0.2 μM. Lavendustin C inhibits EGFR-associated tyrosine kinase (IC_{50}=0.012 μM) and pp60^{src} kinase (IC_{50}=0.5 μM).

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

Lifirafenib
(BGB-283)

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

Purity: 98.02%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

www.MedChemExpress.com
Mavelertinib (PF-06747775)
Cat. No.: HY-12972
Mavelertinib is a selective, orally available and irreversible EGFR tyrosine kinase inhibitor (EGFR TKI), with IC_{50} values of 5, 4, 12 and 3 nM for Del, L858R, and double mutants T790M/L858R and T790M/Del, respectively.
Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 1 mg

Mobocertinib (TAK-788; AP32788)
Cat. No.: HY-135815
Mobocertinib (TAK-788) is a potent and orally active inhibitor of EGFR and HER2 oncogenic mutants, including exon 20 insertions, with selectivity over WT EGFR. Antitumor activity.
Purity: 98.92%
Clinical Data: Phase 3
Size: 10 mg, 25 mg, 50 mg, 100 mg, 500 mg

Mobocertinib succinate (TAK-788 succinate; AP32788 succinate)
Cat. No.: HY-135815A
Mobocertinib succinate is a potent and orally active inhibitor of EGFR and HER2 oncogenic mutants, including exon 20 insertions, with selectivity over WT EGFR. Antitumor activity.
Purity: 99.61%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg, 500 mg

MTX-211
Cat. No.: HY-107364
MTX-211 is a dual inhibitor of EGFR and PI3K, used for the treatment of cancer and other diseases.
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Mubritinib (TAK-165)
Cat. No.: HY-13501
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: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Mutant EGFR inhibitor
Cat. No.: HY-13984
Mutant EGFR inhibitor is a potent and selective mutant EGFR inhibitor extracted from patent WO 2013014448 A1; inhibits EGFR^{L858R}, EGFR^{Exon 19 deletion}, and EGFR^{T790M}.
Purity: 99.10%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Mutated EGFR-IN-1 (Osimertinib analog)
Cat. No.: HY-78869
Mutated EGFR-IN-1 (Osimertinib analog) is a useful intermediate for the inhibitors design for mutated EGFR, such as L858R EGFR, Exon19 deletion activating mutant and T790M resistance mutant.
Purity: 99.36%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Mutated EGFR-IN-2
Cat. No.: HY-128860
Mutated EGFR-IN-2 (compound 91) is a mutant-selective EGFR inhibitor extracted from patent WO2017036263A1, which potently inhibits single-mutant EGFR (T790M) and double-mutant EGFR (including L858R/T790M IC_{50}=1nM) and ex19del/T790M), and can suppress activity...
Purity: ≥98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Naquotonin (ASP8273)
Cat. No.: HY-19729
Naquotonin (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: 1 mg, 5 mg

Naquotonin mesylate (ASP8273 mesylate)
Cat. No.: HY-19803
Naquotonin mesylate 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: 98.19%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Description</th>
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<td>O-Desmethyl gefitinib</td>
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<tr>
<td>Osimertinib</td>
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<tr>
<td>Osimertinib D6</td>
<td>HY-15772S</td>
<td>Cat. No. HY-15772S</td>
</tr>
</tbody>
</table>

**Nazartinib (EGF816):**
- Cat. No.: HY-12872
- Nazartinib (EGF816) is a covalent mutant-selective EGFR inhibitor, with $K_{i}$ and $K_{d}$ of 31 nM and 0.222 min$^{-1}$ on EGFR (L858R/T790M) mutant, respectively.
- Purity: 99.57%
- Clinical Data: Phase 2
- Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Neratinib (HKI-272):**
- Cat. No.: HY-32721
- Neratinib is an orally available, irreversible tyrosine kinase inhibitor with $IC_{50}$ of 59 nM and 92 nM for HER2 and EGFR, respectively.
- Purity: 99.16%
- Clinical Data: Launched
- Size: 10 mM × 1 mL, 5 mg, 25 mg, 50 mg, 100 mg, 200 mg

**O-Desmethyl gefitinib:**
- Cat. No.: HY-100064
- O-Desmethyl gefitinib is an active metabolite of Gefitinib in human plasma. The formation of O-desmethyl gefitinib is dependent on CYP2D6 activity. O-desmethyl gefitinib inhibits EGFR with an $IC_{50}$ of 36 nM in subcellular assays.
- Purity: >98%
- Clinical Data: No Development Reported
- Size: 1 mg, 5 mg

**O-Desmethyl gefitinib D8:**
- Cat. No.: HY-100064S
- O-Desmethyl gefitinib D8 is a deuterium labeled O-Desmethyl gefitinib. O-Desmethyl gefitinib is an active metabolite of Gefitinib in human plasma. The formation of O-desmethyl gefitinib is dependent on CYP2D6 activity.
- Purity: >98%
- Clinical Data: No Development Reported
- Size: 1 mg, 5 mg

**Olafertinib:**
- Cat. No.: HY-19815
- Olafertinib is a third-generation EGFR TKI, with $GL_{50}$ values of 5 nM (EGFR L858R/T790M), 10 nM (EGFR del19) and 689 nM (EGFR WT), respectively.
- Olafertinib has the potential for NSCLC research.
- Purity: 99.94%
- Clinical Data: No Development Reported
- Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Osimertinib:**
- Cat. No.: HY-15772
- 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: 99.90%
- Clinical Data: Launched
- Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

**Osimertinib D6:**
- Cat. No.: HY-15772S
- Osimertinib D6 (AZD-9291 D6) is a deuterium labeled Osimertinib. Osimertinib 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: 99.70%
- Clinical Data: No Development Reported
- Size: 1 mg

www.MedChemExpress.com
Osimertinib dimesylate (AZD-9291 dimesylate; Mereleitin dimesylate)  
Cat. No.: HY-79077

Osimertinib dimesylate (AZD-9291 dimesylate) is an irreversible and mutant selective EGFR inhibitor with IC₅₀ of 12 and 1 nM against EGFR_{L858R} and EGFR_{T790M}, respectively.

Purity: 99.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Osimerinbib mesylate (AZD-9291 mesylate; Mereleitin mesylate)  
Cat. No.: HY-15772A

Osimerinbib mesylate (AZD-9291 mesylate) is an irreversible and mutant selective EGFR inhibitor with IC₅₀ of 12 and 1 nM against EGFR_{L858R} and EGFR_{T790M}, respectively.

Purity: 99.94%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

PD-089828  
Cat. No.: HY-112345

PD-089828 is an ATP competitive inhibitor of FGFR-1, PDGFR-β and EGFR (IC₅₀=0.15, 1.76, and 5.47 μM, respectively) and a noncompetitive inhibitor of c-Src tyrosine kinase (IC₅₀=0.18 μM). PD-089828 also inhibits MAPK with an IC₅₀ of 7.1 μM.

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

PD-161570  
Cat. No.: HY-100434

PD-161570 is a potent and ATP-competitive human FGF-1 receptor inhibitor with an IC₅₀ of 39.9 nM and a Kᵣ of 42 nM. PD-161570 also inhibits the PDGFR, EGFR and c-Src tyrosine kinases with IC₅₀ values of 310 nM, 240 nM, and 44 nM, respectively.

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

PD153035 (SU-5271; AG1517; ZM 252868)  
Cat. No.: HY-14346

PD153035 (SU-5271, AG1517, ZM 252868) is a potent EGFR inhibitor with Kᵣ and IC₅₀ of 6 and 25 pM, respectively.

Purity: 99.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

PD153035 Hydrochloride (SU-5271 Hydrochloride; AG1517 Hydrochloride; ZM 252868 Hydrochloride)  
Cat. No.: HY-12013

PD153035 Hydrochloride (SU-5271 Hydrochloride) is a potent EGFR inhibitor with Kᵣ and IC₅₀ of 6 and 25 pM, respectively.

Purity: 98.62%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PD158780  
Cat. No.: HY-18609

PD158780 is a potent EGFR family inhibitor with IC₅₀ of 8 pM, 49, 52, 52 nM for EGFR, ErbB2, ErbB3, and ErbB4, respectively.

Purity: 99.52%
Clinical Data: No Development Reported
Size: 10 mM, 50 mg

PD168393  
Cat. No.: HY-13896

PD168393 is a potent, selective and cell-permeable inhibitor of EGFR tyrosine kinase and ErbB2. PD168393 irreversibly inactivates EGFR receptor (IC₅₀=0.7 nM) and is inactive against insulin receptor, PDGFR, FGFR and PKC.

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

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

Pellitinib (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: 98.80%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Pertuzumab  
Cat. No.: HY-P9912

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

Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg, 25 mg, 50 mg

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
PF-06459988
Cat. No.: HY-19985

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

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

PKI-166
Cat. No.: HY-117155

PKI-166 is a potent, selective and orally bioavailable EGFR tyrosine kinase inhibitor, with an IC_{50} of 0.7 nM.

Purity: 98.01%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

PKI-166 hydrochloride
Cat. No.: HY-110328

PKI-166 hydrochloride is a potent, selective and orally active EGFR tyrosine kinase inhibitor, with an IC_{50} of 0.7 nM.

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

PP60 (v-SRC) Autophosphorylation Site, Phosphorylated
Cat. No.: HY-P2548

PP60 (v-SRC) Autophosphorylation Site, Phosphorylated is the phosphorylated peptide of an EGFR substrate. PP60 (v-SRC) Autophosphorylation Site, Phosphorylated can be used for the screening of EGFR Kinase inhibitors via phosphorylated-substrate quantification.

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

Poziotinib (HM781-36B; NOV120101)
Cat. No.: HY-15730

Poziotinib (HM781-36B) is an orally active, irreversible pan-HER inhibitor, which effectively inhibits EGFR\textsuperscript{\textregistered}, HER-2 and HER-4 with IC_{50}s of 3.2, 5.3 and 23.5 nM, respectively.

Purity: 99.97%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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

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

Purity: 99.61%
Clinical Data: Launched
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

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

Pyrotinib Racemate is the racemate of Pyrotinib. Pyrotinib is a potent and selective EGFR/HER2 dual inhibitor.

Purity: 98.83%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

RG13022 (Tyrophostin RG13022)
Cat. No.: HY-101429

RG13022 is a tyrosine kinase inhibitor, inhibits the autophosphorylation reaction of the EGF receptor with an IC_{50} of 4 μM.

Purity: ≥95.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

RG14620 (Tyrophostin RG14620)
Cat. No.: HY-101426

RG14620 is an EGFR inhibitor with an IC_{50} of 3 μM.

Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Description</th>
<th>Purity</th>
<th>Clinical Data</th>
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<tr>
<td>Rociletinib (CO-1686, AVL-301; CNX-419)</td>
<td>HY-15729</td>
<td>Rociletinib (CO-1686) is an orally delivered kinase inhibitor that specifically targets the mutant forms of EGFR including T790M, and the ( K_i ) values for EGFR/L858R/T790M and EGFRWT are 21.5 nM and 303.3 nM, respectively.</td>
<td>99.99%</td>
<td>Phase 3</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Rociletinib hydrobromide (CO-1686 hydrobromide; AVL-301 hydrotrode; CNX-419 hydrobromide)</td>
<td>HY-15729A</td>
<td>Rociletinib hydrobromide (CO-1686 hydrobromide) is an orally delivered kinase inhibitor that specifically targets the mutant forms of EGFR including T790M, and the ( K_i ) values for EGFR/L858R/T790M and EGFRWT are 21.5 nM and 303.3 nM, respectively.</td>
<td>98.01%</td>
<td>Phase 3</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>RTC-5 (TRC-382)</td>
<td>HY-123952</td>
<td>RTC-5 (TRC-382) is an optimized phenothiazine with anti-cancer potency. RTC-5 demonstrates efficacy against a xenograft model of an EGFR driven cancer, its effects is attributed to concomitant negative regulation of PI3K-AKT and RAS-ERK signaling.</td>
<td>98.84%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Sapatinib (AZD-8931)</td>
<td>HY-13050</td>
<td>Sapatinib (AZD-8931) is a reversible, ATP competitive EGFR inhibitor of with ( IC_{50} ) of 4, 3 and 4 nM for EGFR, ErbB2 and ErbB3 in cells, respectively.</td>
<td>99.99%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Simotinib</td>
<td>HY-101820</td>
<td>Simotinib is a selective, specific, and orally bioavailable EGFR tyrosine kinase inhibitor, with an ( IC_{50} ) of 19.0 nM. Antineoplastic activities.</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
</tr>
<tr>
<td>SUS204</td>
<td>HY-126319</td>
<td>SUS204, a tyrosine kinase inhibitor, has ( IC_{50} ) of 4 and 51.5 ( \mu )M for FLK-1 (VEGFR-2) and HER2, respectively.</td>
<td>98.89%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Sulforaphene</td>
<td>HY-N2450</td>
<td>Sulforaphene, isolated from radish seeds, exhibits an ( ED_{50} ) against velvetleaf seedlings approximately 2×10^{-4} M. Sulforaphene promotes cancer cells apoptosis and inhibits migration via inhibiting EGFR, p-ERK1/2, NF( \kappa )B and other signals.</td>
<td>98.01%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg, 20 mg</td>
</tr>
<tr>
<td>TAK-285</td>
<td>HY-15196</td>
<td>TAK-285 is a potent, selective, ATP-competitive and orally active HER2 and EGFR/HER1 inhibitor with ( IC_{50} ) of 17 nM and 23 nM, respectively. TAK-285 is &gt;10-fold selectivity for HER1/2 than HERA, and less potent to MEK1/2, c-Met, Aurora B, Lck, CSK etc.</td>
<td>98.04%</td>
<td>Phase 1</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Tarloxx-TKI</td>
<td>HY-43533</td>
<td>Tarloxx-TKI, the active metabolite of Tarloxxinib, is an irreversible pan-( \text{ErB} ) TKI. (Tarloxx-TKI).</td>
<td>99.33%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg</td>
</tr>
<tr>
<td>Tarloxxinib bromide (TH-4000)</td>
<td>HY-17632</td>
<td>Tarloxxinib bromide (TH-4000) is an irreversible EGFR/HER2 inhibitor.</td>
<td>98.97%</td>
<td>Phase 2</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
TAS0728
Cat. No.: HY-111553
TAS0728 is a potent, selective, orally active, irreversible and covalent-binding HER2 inhibitor, binds to HER2 at C805, inhibits its kinase activity, with an IC50 of 13 nM.
Purity: 99.53%
Clinical Data: Phase 2
Size: 10 mM x 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

TAS6417
Cat. No.: HY-112299
TAS6417 (CLN-081) is a highly effective, orally active and pan-mutation-selective EGFR tyrosine kinase inhibitor with a unique scaffold fitting into the ATP-binding site of the EGFR hinge region, with IC50 values ranging from 1.1-8.0 nM.
Purity: 99.55%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Tephrinosin
(Deguelinol I; Hydroxydeguelin)
Cat. No.: HY-N1166
Tephrinosin is a natural rotenoid which has potent antitumor activities. Tephrinosin induces degradation of EGFR and ErbB2 by inducing internalization of the receptors.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Thelatinitinib
(HMPL-309)
Cat. No.: HY-104066
Thelatinitinib (HMPL-309) is a potent, ATP-competitive, orally active and highly selective EGFR inhibitor with a Kd of 0.05 nM and an IC50 of 3 nM. Thelatinitinib has an IC50 of 22 nM for EGFR T790M/L858R mutant.
Purity: 99.88%
Clinical Data: Phase 1
Size: 10 mM x 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Trastuzumab
(Anti-Human HER2, Humanized Antibody)
Cat. No.: HY-P9907
Trastuzumab is a humanized monoclonal antibody for patients with invasive breast cancers that overexpress HER2. Trastuzumab has the potential for HER2 Positive Metastatic Breast Cancer and HER2 Positive Gastric Cancer research.
Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg, 25 mg, 50 mg

Trastuzumab deruxtecan (DS-8201; DS-8201a)
Cat. No.: HY-138298
Trastuzumab deruxtecan (DS-8201a) is an anti-human epidermal growth factor receptor 2 (HER2) antibody-drug conjugate (ADC).
Purity: 99.40%
Clinical Data: No Development Reported
Size: 5 mg

Trastuzumab emtansine
(Ado-Trastuzumab emtansine; PRO132365; T-DM 1)
Cat. No.: HY-P9921
Trastuzumab emtansine (Ado-Trastuzumab emtansine) is an antibody-drug conjugate (ADC) that incorporates the HER2-targeted antitumor properties of trastuzumab with the cytotoxic activity of the microtubule-inhibitory agent DM1 (derivative of maytansine).
Purity: >98%
Clinical Data: Launched
Size: 5 mg, 10 mg

Tucatinib
(Irbinitinib; ARRY-380; ONT-380)
Cat. No.: HY-16069
Tucatinib (Irbinitinib; ARRY-380; ONT-380) is a potent and selective HER2 inhibitor with an IC50 of 8 nM.
Purity: 99.82%
Clinical Data: Launched
Size: 10 mM x 1 mL, 10 mg, 50 mg, 100 mg, 500 mg

TX1-85-1
Cat. No.: HY-100848
TX1-85-1 is an irreversible Her3 (ErbB3) inhibitor with an IC50 of 23 nM. TX1-85-1 is also the first selective Her3 ligand, which forms a covalent bond with Cys721 located in the ATP-binding site of Her3.
Purity: 98.07%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

www.MedChemExpress.com
Tyrphostin 23
(Tyrphostin A23; RG-50810; AG 18)

Cat. No.: HY-15644

Tyrphostin 23 (Tyrphostin A23) is an EGFR inhibitor with an IC$_{50}$ and K$_{D}$ of 35 and 11 μM, respectively.

Purity: 98.80%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

Tyrphostin AG 528
(Tyrphostin B66; AG 528)

Cat. No.: HY-100499

Tyrphostin AG 528 is an inhibitor of EGFR and ErbB2 with IC$_{50}$s of 4.9 and 2.1 μM, respectively. Tyrphostin AG 528 (Tyrphostin B66) is a protein tyrosine kinase inhibitor, with IC$_{50}$s of 4.9 μM for epidermal growth factor receptors (EGFR) and 2.1 μM for ErbB2.

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

Tyrphostin AG 879
(AG 879)

Cat. No.: HY-20878

Tyrphostin AG 879 (AG 879) is a tyrosine kinase inhibitor that inhibits TrKA phosphorylation (IC$_{50}$ of 10 μM), but not TrKB and TrKC.

Purity: 99.54%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Tyrphostin AG112

Cat. No.: HY-112474

Tyrphostin AG112 is an EGFR phosphorylation inhibitor.

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

Varlitinib
(ASLAN001; ARRY-334543)

Cat. No.: HY-10530

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

Purity: 96.66%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

WHI-P154

Cat. No.: HY-13895

WHI-P154 is a potent EGFR inhibitor, and also modestly blocks JAK3, with IC$_{50}$ of 4 nM and 1.8 μM, respectively.

Purity: 99.20%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

WHI-P180
(Janex 3)

Cat. No.: HY-15769

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.

Purity: 99.76%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg

WHI-P180 hydrochloride
(Janex 3 hydrochloride; )

Cat. No.: HY-15769A

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.

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

WZ-3146

Cat. No.: HY-12001

WZ3146 is a mutant selective EGFR inhibitor with IC$_{50}$s of 2, 2, 5, 14 and 66 nM for EGFR(L858R), EGFR(L858R/759M), EGFR(L858R/590E), EGFR(L858R/759M/590E) and EGFR, respectively.

Purity: 99.07%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg
<table>
<thead>
<tr>
<th><strong>WZ4002</strong></th>
<th><strong>Cat. No.: HY-12026</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>WZ4002 is a mutant selective EGFR inhibitor with IC₅₀s of 2, 8, 3 and 2 nM for EGFR&lt;sup&gt;ex19del&lt;/sup&gt;, EGFR&lt;sup&gt;EGFR&lt;sub&gt;ex7_19del&lt;/sub&gt;&lt;/sup&gt;, EGFR&lt;sup&gt;EGFR&lt;sub&gt;ex18&lt;/sub&gt;&lt;/sup&gt; and EGFR&lt;sup&gt;EGFR&lt;sub&gt;ex18&lt;/sub&gt;&lt;/sup&gt;, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.69%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM x 1 mL, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>ZD-4190</strong></th>
<th><strong>Cat. No.: HY-U00002</strong></th>
</tr>
</thead>
<tbody>
<tr>
<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></td>
</tr>
<tr>
<td>Purity: 99.20%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>ZM 449829</strong></th>
<th><strong>Cat. No.: HY-13450</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>ZM 449829 is a potent, selective and ATP competitive inhibitor of JAK3, with a pIC₅₀ of 6.8. ZM 449829 will be useful pharmacological tools for the investigation of the JAK3.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>β-Hydroxyisovalerylshikonin</strong></th>
<th><strong>Cat. No.: HY-N4201</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>β-Hydroxyisovalerylshikonin is a natural product isolated from Lithospermum raddi, acts as a potent inhibitor of protein tyrosine kinases (PTK), with IC₅₀s of 0.7μM and 1μM for EGFR and v-Src receptor, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.83%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Zorifertinib (AZD3759)</strong></th>
<th><strong>Cat. No.: HY-18750</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Zorifertinib (AZD3759) is a potent, orally active, central nervous system-penetrant, EGFR inhibitor. At Kᵦ ATP concentrations, the IC₅₀s are 0.3, 0.2, and 0.2 nM for EGFR&lt;sup&gt;EGFR&lt;sub&gt;ex19del&lt;/sub&gt;&lt;/sup&gt;, EGFR&lt;sup&gt;EGFR&lt;sub&gt;ex18&lt;/sub&gt;&lt;/sup&gt; and EGFR&lt;sup&gt;EGFR&lt;sub&gt;ex18&lt;/sub&gt;&lt;/sup&gt;, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.76%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 3</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM x 1 mL, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>
Janus kinase (JAK) is a family of intracellular, nonreceptor tyrosine kinases that transduce cytokine-mediated signals via the JAK-STAT pathway. Since members of the type I and type II cytokine receptor families possess no catalytic kinase activity, they rely on the JAK family of tyrosine kinases to phosphorylate and activate downstream proteins involved in their signal transduction pathways. The receptors exist as paired polypeptides, thus exhibiting two intracellular signal-transducing domains. JAKs associate with a proline-rich region in each intracellular domain, which is adjacent to the cell membrane and called a box1/box2 region. After the receptor associates with its respective cytokine/ligand, it goes through a conformational change, bringing the two JAKs close enough to phosphorylate each other. The JAK autophosphorylation induces a conformational change within itself, enabling it to transduce the intracellular signal by further phosphorylating and activating transcription factors called STATs. The activated STATs dissociate from the receptor and form dimers before translocating to the cell nucleus, where they regulate transcription of selected genes.
## JAK Inhibitors & Activators

### (3S,4S)-Tofacitinib

$(3S,4S)$-Tofacitinib is the less active $S$-enantiomer of Tofacitinib. Tofacitinib inhibits JAK3 with $IC_{50}$ of 1 nM.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.24%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Launched</td>
</tr>
<tr>
<td>Size</td>
<td>5 mg</td>
</tr>
</tbody>
</table>

### 2,6-Dichloro-N-(2-((cyclopropanecarboxamido)pyridin-4-y1)benzamide

GDC-046 is a potent, selective, and orally bioavailable TYK2 inhibitor with $K_i$ of 4.8, 0.7, 0.7, and 0.4 nM for TYK2, JAK1, JAK2, and JAK3, respectively.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

### AZ-3

AZ-3 is a potent and selective JAK1 inhibitor with an $IC_{50}$ of 34 nM.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

### AT9283

AT9283 is a multi-targeted kinase inhibitor with potent activity against Aurora A/B, JAK2/3, Abl (T315I) and Fli3 ($IC_{50}$ ranging from 1 to 30 nM). AT9283 inhibits growth and survival of multiple solid tumors in vitro and in vivo.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.61%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### AG490

AG490 (Tyrophostin AG490; Tyrophostin B42) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.84%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

### HY-120469

HY-120469 is a potent, selective, and orally bioavailable JAK1 inhibitor with an $IC_{50}$ of 9 nM.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.79%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

### AMG-47a

AMG-47a is a potent and orally active lymphocyte-specific protein tyrosine kinase (Lck) inhibitor, with an $IC_{50}$ of 0.2 nM. AMG-47a also inhibits VEGF2, p38α, Jak3 and MLR and IL-2 with $IC_{50}$s of 1 nM, 3 nM, 72 nM, 30 nM and 21 nM, respectively.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
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<td>98.71%</td>
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<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

### HY-107429

Abrocinbin (PF-04965842) is a potent, orally active and selective JAK1 inhibitor, with $IC_{50}$s of 29 and 803 nM for JAK1 and JAK2, respectively.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.9%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10 mM × 1 mL, 5 mg, 10 mg</td>
</tr>
</tbody>
</table>

### HY-112442

AZ960 is a potent and specific inhibitor of the JAK2 kinase with a $K_i$ of 0.45 nM.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98.0%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### HY-40354C

AZ960 is a potent and specific inhibitor of the JAK2 kinase with a $K_i$ of 0.45 nM.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;96.0%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

### HY-107459

(E/Z)-AG490 ((E/Z)-Tyrophostin AG490) is a racemic compound of (E)-AG490 and (Z)-AG490 isomers. (E)-AG490 (HY-12000) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

### HY-1200469

Abrocinbin (PF-04965842) is a potent, orally active and selective JAK1 inhibitor, with $IC_{50}$s of 29 and 803 nM for JAK1 and JAK2, respectively.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.79%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 5 mg, 10 mg</td>
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</tbody>
</table>

### HY-12000

AG490 (Tyrophostin AG490; Tyrophostin B42) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.84%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
</tbody>
</table>

### HY-10411

AZ960 is a potent and specific inhibitor of the JAK2 kinase with a $K_i$ of 0.45 nM.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>&gt;98.0%</td>
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<tr>
<td>Clinical Data</td>
<td>No Development Reported</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

### HY-50514

AT9283 is a multi-targeted kinase inhibitor with potent activity against Aurora A/B, JAK2/3, Abl (T315I) and Fli3 ($IC_{50}$ ranging from 1 to 30 nM). AT9283 inhibits growth and survival of multiple solid tumors in vitro and in vivo.  

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity</td>
<td>99.61%</td>
</tr>
<tr>
<td>Clinical Data</td>
<td>Phase 2</td>
</tr>
<tr>
<td>Size</td>
<td>10 nM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

## www.MedChemExpress.com
AZD-1480

AZD-1480 is an ATP-competitive inhibitor of JAK1 and JAK2 with IC_{50}s of 1.3 nM and <0.4 nM, respectively.

Purity: 99.37%
Clinical Data: Phase 1
Size: 10 mM x 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

AZD4205

AZD4205 is a selective JAK1 inhibitor, with an IC_{50} of 73 nM, weakly inhibits JAK2 (IC_{50}=14.7 μM), and shows little inhibition on JAK3 (IC_{50}>30 μM).

Purity: 99.32%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Baricitinib

Baricitinib (LY3009104; INCB028050) is a selective and orally bioavailable JAK1 and JAK2 inhibitor with IC_{50}s of 5.9 nM and 5.7 nM, respectively.

Purity: 99.97%
Clinical Data: Launched
Size: 10 mM x 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Baricitinib phosphate

Baricitinib phosphate (LY3009104 phosphate; INCB028050 phosphate) is a selective orally bioavailable JAK1/JAK2 inhibitor with IC_{50} of 5.9 nM and 5.7 nM, respectively.

Purity: 99.91%
Clinical Data: Launched
Size: 10 mM x 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BD750

BD750, an effective immunosuppressant and a JAK3/STAT5 inhibitor, inhibits IL-2-induced JAK3/STAT5-dependent T cell proliferation, with IC_{50} values of 1.5 μM and 1.1 μM in mouse and human T cells, respectively.

Purity: 99.79%
Clinical Data: No Development Reported
Size: 10 mM x 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

BMS-066

BMS-066 is an IKKβ/Tyk2 pseudokinase inhibitor, with IC_{50}s of 9 nM and 72 nM, respectively.

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

BMS-911543

BMS-911543 is a selective JAK2 inhibitor, with IC_{50} of 1.1 nM, less selective at JAK1, JAK3 and TYK2 (IC_{50}s 75, 360, 66 nM, respectively).

Purity: 97.80%
Clinical Data: Phase 2
Size: 10 mM x 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

BMS-986165

BMS-986165 is a highly selective, orally bioavailable allosteric TYK2 inhibitor for the treatment of autoimmune diseases, which selectively binds to TYK2 pseudokinase (JH2) domain (IC_{50}=10.0 nM) and blocks receptor-mediated Tyk2 activation by stabilizing the regulatory...

Purity: 99.79%
Clinical Data: Phase 3
Size: 10 mM x 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

BMS-986202

BMS-986202 is a potent, selective and orally active Tyk2 inhibitor that binds to Tyk2 JH2 with an IC_{50} of 0.19 nM and a K of 0.02 nM. BMS-986202 is remarkably selective over other kinases including Jak family members.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Brevilin A

Brevilin A is a sesquiterpene lactone isolated from Centipeda minima with anti-tumor activity. Brevilin A is a selective inhibitor of JAK-STAT signal pathway by attenuating the JAKs activity and blocking STAT3 signaling (IC_{50} = 10.6 μM) in Cancer Cells.

Purity: 99.77%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg
<table>
<thead>
<tr>
<th><strong>CEP-33779</strong></th>
<th><strong>Cat. No.: HY-15343</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>CEP-33779 is a novel, selective, and orally bioavailable inhibitor of JAK2 with an IC(_{50}) of 1.8±0.6 nM.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.36%</td>
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<td>Clinical Data: No Development Reported</td>
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<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>Cerdulatinib</strong> (PRT062070; PRT2070)</th>
<th><strong>Cat. No.: HY-15999</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cerdulatinib (PRT062070) is a selective Tyk2 inhibitor with an IC(<em>{50}) of 0.5 nM. Cerdulatinib (PRT062070) also is a dual JAK and SYK inhibitor with IC(</em>{50})s of 12, 6, 8 and 32 for JAK1, 2, 3 and SYK, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.0%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 3</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
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<table>
<thead>
<tr>
<th><strong>CHZ868</strong></th>
<th><strong>Cat. No.: HY-18960</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>CHZ868 is a type II JAK2 inhibitor with an IC(_{50}) of 0.17 μM in EPOR JAK2 WT Ba/F3 cell.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.22%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>Coiurermycin A1</strong></th>
<th><strong>Cat. No.: HY-N7452</strong></th>
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</thead>
<tbody>
<tr>
<td>Cooiurermycin A1 is a JAK2 signal activator. Cooiurermycin A1 inhibits DNA Gyrase which thereby inhibits cell division in bacteria.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td></td>
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</table>

<table>
<thead>
<tr>
<th><strong>Curculigoside</strong></th>
<th><strong>Cat. No.: HY-N0705</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Curculigoside is the main saponin in C. ochroidea, exerts significant antioxidant, anti-osteoporosis, antidepressant and neuroprotection effects. Curculigoside possesses significant anti-arthritis effects in vivo and in vitro via regulation of the JAK/STAT/NF-κB signaling pathway.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.73%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Decernotinib</strong> (VX-509; VRT-831509)</th>
<th><strong>Cat. No.: HY-12469</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Decernotinib is a potent, orally active JAK3 inhibitor, with Ks of 2.5, 11, 13 and 11 nM for JAK3, JAK1, JAK2, and TYK2, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.45%</td>
<td></td>
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<tr>
<td>Clinical Data: Phase 3</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
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</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Delgocitinib</strong> (JTE-052)</th>
<th><strong>Cat. No.: HY-109053</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Delgocitinib (JTE-052) is a specific JAK inhibitor with IC(_{50})s of 2.8, 2.6, 13 and 58 nM for JAK1, JAK2, JAK3 and Tyk2, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.76%</td>
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<tr>
<td>Clinical Data: Phase 2</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Cucurbitacin I</strong> (Elatericin B; JSI-124; NSC-521777)</th>
<th><strong>Cat. No.: HY-N1405</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Cucurbitacin I is a natural selective inhibitor of JAK2/STAT3, with potent anti-cancer activity.</td>
<td></td>
</tr>
<tr>
<td>Purity: ≥98.0%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Fedatinib</strong> (TG-101348; SAR 302503)</th>
<th><strong>Cat. No.: HY-10409</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Fedatinib (TG-101348) is a potent, selective, ATP-competitive and orally active JAK2 inhibitor with IC(_{50}) of 3 nM for both JAK2 and JAK2V617F kinase. Fedatinib shows 35- and 334-fold selectivity over JAK1 and JAK3, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.9%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Launched</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 100 mg, 200 mg, 500 mg, 1 g</td>
<td></td>
</tr>
</tbody>
</table>

www.MedChemExpress.com
Fedrinatine hydrochloride hydrate (TG-101348 hydrochloride hydrate; SAR 302503 hydrochloride hydrate) Cat. No.: HY-10409A

- Fedrinatine hydrochloride hydrate (TG-101348 hydrochloride hydrate) is a potent, selective, ATP-competitive and orally active JAK2 inhibitor with IC_{50} of 3 nM for both JAK2 and JAK2V617F kinase.
- Purity: 99.82%
- Clinical Data: Launched
- Size: 10 mM × 1 mL, 5 mg, 10 mg, 20 mg, 50 mg, 100 mg, 1 g

FLLL32 Cat. No.: HY-100544

- FLLL32, a synthetic analog of curcumin, is a JAK2/STAT3 dual inhibitor with anti-tumor activity. FLLL32 can inhibit the induction of STAT3 phosphorylation by IFNα and IL-6 in breast cancer cells.
- Purity: 99.78%
- Clinical Data: No Development Reported
- Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

FM-479 Cat. No.: HY-131014

- FM-479 is the negative control of FM-381 (HY-102046) and has no activity on JAK3 or other kinases. FM-381 is a potent covalent reversible inhibitor of JAK3 targeting the unique Cys909.
- Purity: > 98%
- Clinical Data: No Development Reported
- Size: 1 mg, 5 mg

Gandotinib (LY2784544) Cat. No.: HY-13034

- Gandotinib (LY2784544) is a potent JAK2 inhibitor with IC_{50} of 3 nM. Gandotinib (LY2784544) also inhibits FLT3, FLT4, FGFR2, TYK2, and TRKB with IC_{50} of 4, 25, 32, 44, and 95 nM.
- Purity: 99.96%
- Clinical Data: Phase 2
- Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Gusacitinib (ASN-002) Cat. No.: HY-103018

- Gusacitinib (ASN-002) is an orally active and potent dual inhibitor of spleen tyrosine kinase (SYK) and janus kinase (JAK) with IC_{50} values of 5-46 nM. Gusacitinib has anti-cancer activity in both solid and hematological tumor types.
- Purity: 99.41%
- Clinical Data: Phase 2
- Size: 10 mM × 1 mL, 25 mg, 50 mg, 100 mg

Filgotinib (GLPG0634) Cat. No.: HY-18300

- Filgotinib (GLPG0634) is a selective JAK1 inhibitor with IC_{50} of 10 nM, 28 nM, 810 nM, and 116 nM for JAK1, JAK2, JAK3, and TYK2, respectively.
- Purity: 99.83%
- Clinical Data: Launched
- Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

FM-381 Cat. No.: HY-102046

- FM-381 is a potent covalent reversible inhibitor of JAK3 targeting the unique Cys909. FM-381 has an IC_{50} of 127 pM for JAK3, with 410, 2700 and 3600-fold selectivity over JAK1, JAK2 and TYK2, respectively.
- Purity: 98.25%
- Clinical Data: No Development Reported
- Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GLPG0634 analog (compound176) Cat. No.: HY-13961

- GLPG0634 analog (compound176) is a pan JAK inhibitor with IC_{50} of 50-200 nM for JAK1/JAK2/JAK3; more information can be found in the reference patents.
- Purity: 98.58%
- Clinical Data: No Development Reported
- Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg
**HG-7-85-01**

HG-7-85-01 is a type II ATP competitive inhibitor of wild-type and gatekeeper mutations forms of Bcr-Abl, PDGFRe, Kit, and Src kinases.

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

**Cat. No.: HY-15814**

**Ilginatinib hydrochloride**

Ilginatinib hydrochloride (NS-018 hydrochloride) is a highly active and orally bioavailable JAK2 inhibitor, with an IC_{50} of 0.72 nM, 46-, 54-, and 31-fold selectivity for JAK2 over JAK1 (IC_{50} 33 nM), JAK3 (IC_{50} 39 nM), and Tyk2 (IC_{50} 22 nM).

- **Purity:** 98.02%
- **Clinical Data:** Phase 2
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Cat. No.: HY-19631B**

**Ilginatinib maleate**

Ilginatinib maleate (NS-018 maleate) is a highly active and orally bioavailable JAK2 inhibitor, with an IC_{50} of 0.72 nM, 46-, 54-, and 31-fold selectivity for JAK2 over JAK1 (IC_{50} 33 nM), JAK3 (IC_{50} 39 nM), and Tyk2 (IC_{50} 22 nM).

- **Purity:** 97.04%
- **Clinical Data:** Phase 2
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Cat. No.: HY-19631**

**Itacitinib adipate**

Itacitinib adipate is an orally bioavailable and selective JAK1 inhibitor which has been tested for efficacy and safety in a phase II trial in myelofibrosis.

- **Purity:** 99.37%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

**Cat. No.: HY-16997A**

**JAK-2/3-IN-1**

JAK-2/3-IN-1 is a potent JAK-2 and JAK-3 inhibitor extracted from patent US2016373282B1, compound 46, has K_{50} of <250 nM for both isoforms.

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

**Cat. No.: HY-10652**

**JAK-IN-1**

JAK-IN-1 is a JAK1/2/3 inhibitor with IC_{50} of 0.26, 0.8 and 3.2 nM, respectively. JAK-IN-1 shows improved selectivity for JAK3 over JAK1.

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

**Cat. No.: HY-13827**

**JAK-IN-3**

JAK-IN-3 (compound 22) is a potent JAK inhibitor, with IC_{50} values of 3 nM, 5 nM, 34 nM and 70 nM for JAK3, JAK1, TYK2 and JAK2, respectively.

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

**Cat. No.: HY-117750**

**JAK-IN-4**

JAK-IN-4 is a prodrug of a JAK inhibitor, effective in murine collagen induced arthritis model.

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

**Cat. No.: HY-111749**
JAK-IN-5

Cat. No.: HY-111471

JAK-IN-5 is an inhibitor of JAK extracted from patent US20170121327A1, compound example 283.

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

JAK-IN-5 hydrochloride

Cat. No.: HY-111471A

JAK-IN-5 hydrochloride is an inhibitor of JAK extracted from patent US20170121327A1, compound example 283.

Purity: 99.54%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

JAK/HDAC-IN-1

Cat. No.: HY-126141

JAK/HDAC-IN-1 is a potent JAK2/HDAC dual inhibitor, exhibits anti-proliferative and pro-apoptotic activities in several hematological cell lines. JAK/HDAC-IN-1 shows IC_{50}s of 4 and 2 nM for JAK2 and HDAC, respectively.

Purity: 98.04%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

JAK1-IN-4

Cat. No.: HY-116505

JAK1-IN-4 is a potent and selective JAK1 inhibitor, with IC_{50}s of 85 nM, 12.8 μM and >30 μM for JAK1, JAK2, and JAK3, respectively. JAK1-IN-4 inhibits STAT3 phosphorylation in NCI-H1975 cells (IC_{50} = 227 nM).

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

JAK1-IN-7

Cat. No.: HY-126294

JAK1-IN-7 is a Janus-associated kinase 1 (JAK1) inhibitor extracted from patent WO2018134213A1, Example 63, has an anti-inflammatory effect.

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

JAK2-IN-4

Cat. No.: HY-100759

JAK2-IN-4 (compound 16h) is a selective JAK2/JAK3 inhibitor, with IC_{50} values of 0.7 nM and 23.2 nM for JAK2 and JAK3, respectively.

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

JAK2-IN-6

Cat. No.: HY-137756

JAK2-IN-6, a multiple-substituted aminothiazole derivative, is a potent and selective JAK2 inhibitor with an IC_{50} of 22.86 μg/mL. JAK2-IN-6 shows no activity against JAK1 and JAK3. JAK2-IN-6 has anti-proliferative effect against cancer cells.

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

JAK2-IN-7

Cat. No.: HY-131906

JAK2-IN-7 is a selective JAK2 inhibitor with IC_{50}s of 3, 11.7, and 41 nM for JAK2, SET-2, and Ba/F3^{c-myc} cells, respectively. JAK2-IN-7 possesses >14-fold selectivity over JAK1, JAK3, FLT3.

Purity: 99.42%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

JAK2/FLT3-IN-1

Cat. No.: HY-130247

JAK2/FLT3-IN-1 is a potent and orally active dual JAK2/FLT3 inhibitor with IC_{50} values of 0.7 nM, 4 nM, 26 nM and 39 nM for JAK2, FLT3, JAK1 and JAK3, respectively. JAK2/FLT3-IN-1 has anti-cancer activity.

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

JAK3 covalent inhibitor-1

Cat. No.: HY-119935

JAK3 covalent inhibitor-1 is a potent and selective janus kinase 3 (JAK3) covalent inhibitor with an IC_{50} of 11 nM and shows 246-fold selectivity vs other JAKs.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg
<table>
<thead>
<tr>
<th><strong>JAK3-IN-1</strong></th>
<th>Cat. No.: HY-19544</th>
</tr>
</thead>
<tbody>
<tr>
<td>JAK3-IN-1 is a potent, selective and orally active JAK3 inhibitor with an IC(<em>{50}) of 4.8 nM. JAK3-IN-1 shows over 180-fold more selective for JAK3 than JAK1 (IC(</em>{50}) of 896 nM) and JAK2 (IC(_{50}) of 1050 nM).</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>JAK3-IN-6</strong></th>
<th>Cat. No.: HY-101976</th>
</tr>
</thead>
<tbody>
<tr>
<td>JAK3-IN-6 is a potent, selective irreversible Janus Associated Kinase 3 (JAK3) inhibitor, with an IC(_{50}) of 0.15 nM.</td>
<td></td>
</tr>
<tr>
<td>Purity: 98.07%</td>
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<tr>
<td>Clinical Data: No Development Reported</td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
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<table>
<thead>
<tr>
<th><strong>JANEX-1</strong></th>
<th>Cat. No.: HY-15508</th>
</tr>
</thead>
<tbody>
<tr>
<td>JANEX-1 (WHI-P131; Jak3 inhibitor I) is a potent and specific JAK3 inhibitor (estimated K(<em>d)=2.3 μM). JANEX-1 (WHI-P131) shows potent JAK3-inhibitory activity (IC(</em>{50}) of 78 μM), does not inhibit JAK1 and JAK2.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.60%</td>
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<td>Clinical Data: No Development Reported</td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>Lestaurtinib</strong></th>
<th>Cat. No.: HY-50867</th>
</tr>
</thead>
<tbody>
<tr>
<td>Lestaurtinib (CEP-701; KT-5555) is an ATP-competitive multi-kinase inhibitor with potent activity against the Trk family of receptor tyrosine kinases. Lestaurtinib inhibits JAK2, FLT3 and TrkA with IC(_{50})s of 0.9, 3 and less than 25 nM, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.82%</td>
<td></td>
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<tr>
<td>Clinical Data: Phase 3</td>
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<td>Size: 5 mg</td>
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<table>
<thead>
<tr>
<th><strong>LFM-A13</strong></th>
<th>Cat. No.: HY-18009</th>
</tr>
</thead>
<tbody>
<tr>
<td>LFM-A13 is a potent BTK, JAK2, PLK inhibitor, inhibits recombinant BTK, Src and PLK3 with IC(_{50})s of 2.5 μM, 10 μM and 63 μM; LFM-A13 shows no effects on JAK1 and JAK3, Src family kinase HCK, EGFR and IRK.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.97%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>Momelotinib</strong></th>
<th>Cat. No.: HY-10961</th>
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<tbody>
<tr>
<td>Momelotinib (CYT387) is an ATP-competitive inhibitor of JAK1/JAK2 with IC(_{50}) of 11 nM and 18 nM, respectively. CYT387 shows much less activity against JAK3.</td>
<td></td>
</tr>
<tr>
<td>Purity: 98.93%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 3</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Momelotinib sulfate</strong></th>
<th>Cat. No.: HY-10962</th>
</tr>
</thead>
<tbody>
<tr>
<td>Momelotinib sulfate (CYT387 sulfate salt) is an ATP-competitive inhibitor of JAK1/JAK2 with IC(<em>{50}) of 11 nM/18 nM, 10-fold selectivity versus JAK3 (IC(</em>{50})=155 nM).</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;95.0%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 3</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>NSC 33994</strong></th>
<th>Cat. No.: HY-18293</th>
</tr>
</thead>
<tbody>
<tr>
<td>NSC 33994 (G6) is a selective JAK2 inhibitor, with an IC(_{50}) of 60 nM.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>NSC 42834</strong></th>
<th>Cat. No.: HY-15480</th>
</tr>
</thead>
<tbody>
<tr>
<td>NSC 42834 (JAK2 Inhibitor V; Z3), a novel specific inhibitor of Jak2, inhibits Jak2-VE617F and Jak2-WT autophosphorylation in a dose-dependent manner but was not cytotoxic to cells at concentrations that inhibited kinase activity.</td>
<td></td>
</tr>
<tr>
<td>Purity: 96.79%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>NVP-BSK805 dihydrochloride</strong></th>
<th>Cat. No.: HY-14722A</th>
</tr>
</thead>
<tbody>
<tr>
<td>NVP-BSK805 dihydrochloride is an ATP-competitive JAK2 inhibitor, with IC(_{50})s of 0.48 nM, 31.63 nM, 18.68 nM, and 10.76 nM for JAK2 JH1 (JAK homology 1), JAK1 JH1, JAK3 JH1, and TYK2 JH1, respectively.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.36%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
</tbody>
</table>
**Oclacitinib maleate**

(PF-03394197 maleate)

Cat. No.: HY-13577A

Oclacitinib maleate (PF-03394197 maleate) is a novel JAK inhibitor. Oclacitinib maleate (PF-03394197 maleate) is most potent at inhibiting JAK1 (IC\(_{50}\)=10 nM).

Purity: 99.65%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

---

**Peficitinib**

(ASP015K; JNJ-54781532)

Cat. No.: HY-19568

Peficitinib is an oral JAK inhibitor, with IC\(_{50}\)s of 3.9, 5.0, 0.7 and 4.8 nM for JAK1, JAK2, JAK3 and Tyk2, respectively.

Purity: 99.78%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

---

**PF-06700841**

Cat. No.: HY-112708

PF-06700841 is a potent dual Janus kinase 1 (JAK1) and TYK2 inhibitor with IC\(_{50}\)s of 17 nM and 23 nM, respectively. PF-06700841 also inhibits JAK2 and JAK3 with IC\(_{50}\)s of 77 nM and 6.49 μM, respectively.

Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

---

**PF-06826647**

Cat. No.: HY-126290

PF-06826647 is an orally active and selective TYK2 inhibitor (IC\(_{50}\)=17 nM), which binds to TYK2 catalytically active JH1 domain. PF-06826647 displays selectivity for TYK2 over JAK1 (IC\(_{50}\)=383 nM) and JAK2 (74 nM).

Purity: 99.82%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

---

**Pyridone 6**

Cat. No.: HY-14435

Pyridone 6 is a pan-JAK inhibitor, which potently inhibits the JAK kinase family, with IC\(_{50}\)s of 1 nM for JAK2 and Tyk2, 5 nM for JAK3, and 15 nM for JAK1, while displaying significantly weaker affinities (30 nM to >10 mM) for other protein tyrosine kinases.

Purity: 98.04%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

---

**Pacritinib**

(SB1518)

Cat. No.: HY-16379

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

Purity: 99.93%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

---

**PF-06263276**

Cat. No.: HY-101024

PF-06263276 (PF 6263276) is a potent and selective pan-JAK inhibitor, with IC\(_{50}\)s of 2.2 nM, 23.1 nM, 59.9 nM and 29.7 nM for JAK1, JAK2, JAK3 and TYK2, respectively.

Purity: >99.0%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

---

**PF-06700841 P-Tosylate**

Cat. No.: HY-112708A

PF-06700841 P-Tosylate is a potent dual Janus kinase 1 (JAK1) and TYK2 inhibitor with IC\(_{50}\)s of 17 nM and 23 nM, respectively. PF-06700841 P-Tosylate also inhibits JAK2 and JAK3 with IC\(_{50}\)s of 77 nM and 6.49 μM, respectively.

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

---

**Protosappanin A**

(PTA)

Cat. No.: HY-113573

Protosappanin A (PTA), an immunosuppressive ingredient and major biphenyl compound isolated from Caesalpinia sappan L suppresses JAK2/STAT3-dependent inflammation pathway through down-regulating the phosphorylation of JAK2 and STAT3.

Purity: 98.88%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

---

**Reticuline**

Cat. No.: HY-N1356

Reticuline, isolated from Litsa cubeba, shows anti-inflammatory effects through JAK2/STAT3 and NF-κB signaling pathways. Reticuline inhibits mRNA expressions of TNF-α and IL-6 and reduces the phosphorylation levels of JAK2 and STAT3. Reticuline exhibits cardiovascular effects.

Purity: 98.11%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>RGB-286638</td>
<td>HY-15504</td>
<td>RGB-286638 is a CDK inhibitor that inhibits the kinase activity of cyclin T1-CDK9, cyclin B1-CDK1, cyclin E-CDK2, cyclin D1-CDK4, cyclin E-CDK3, and p35-CDK5 with IC₅₀ of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with IC₅₀ of 3, 5, 50, and 54 nM. Purity: 98.72% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>RGB-286638 free base</td>
<td>HY-15504A</td>
<td>RGB-286638 is a CDK inhibitor that inhibits the kinase activity of cyclin T1-CDK9, cyclin B1-CDK1, cyclin E-CDK2, cyclin D1-CDK4, cyclin E-CDK3, and p35-CDK5 with IC₅₀ of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with IC₅₀ of 3, 5, 50, and 54 nM. Purity: 98.07% Clinical Data: Phase 1 Size: 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Ritlecitinib (PF-06651600)</td>
<td>HY-100754</td>
<td>Ritlecitinib (PF-06651600) is an orally active and selective JAK3 inhibitor with an IC₅₀ of 33.1 nM. Purity: 99.98% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td>Ruxolitinib (INC818424)</td>
<td>HY-50856</td>
<td>Ruxolitinib (INC818424) is a potent and selective JAK1/2 inhibitor with IC₅₀ of 3.3 nM and 2.8 nM in cell-free assays, and has 130-fold selectivity for JAK1/2 over JAK3. Ruxolitinib induces autophagy and kills tumor cells through toxic mitophagy. Purity: 99.99% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>Ruxolitinib (S-enantiomer) (S-Ruxolitinib; S-INCB018424)</td>
<td>HY-50856A</td>
<td>Ruxolitinib S enantiomer is the S-enantiomer of Ruxolitinib. Ruxolitinib S enantiomer is a JAK inhibitor. Purity: 99.92% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Ruxolitinib phosphate (INC8018424 phosphate)</td>
<td>HY-50858</td>
<td>Ruxolitinib phosphate (INC8018424 phosphate) is a potent JAK1/2 inhibitor with IC₅₀ of 3.3 mM/2.8 nM, respectively, showing more than 130-fold selectivity over JAK3. Purity: 99.98% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>SAR-20347</td>
<td>HY-100895</td>
<td>SAR-20347 is an inhibitor of TYK2, JAK1, JAK2 and JAK3 with IC₅₀ of 0.6, 23, 26 and 41 nM, respectively. Purity: 98.04% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>SC99</td>
<td>HY-124858</td>
<td>SC99 is an orally active, selective STAT3 inhibitor targeting JAK2-STAT3 pathway. SC99 docks into the ATP-binding pocket of JAK2. SC99 inhibits phosphorylation of JAK2 and STAT3 with no effects on the other kinases associated with STAT3 signaling. Purity: 99.07% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>SHR0302</td>
<td>HY-112724</td>
<td>SHR0302 is a potent and orally active all members of the JAK family inhibitor, particularly JAK1. The selectivity of SHR0302 for JAK1 is &gt;10-fold for JAK2, 77-fold for JAK3, 420-fold for Tyk2. Purity: 99.58% Clinical Data: No Development Reported Size: 5 mg, 10 mg</td>
</tr>
<tr>
<td>Cat. No.</td>
<td>Name</td>
<td>Description</td>
</tr>
<tr>
<td>---------</td>
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</tr>
<tr>
<td>HY-16755</td>
<td>Solcitinib</td>
<td>(GSK-2586184; GLPG-0778) Solcitinib is an orally active, competitive, potent, selective JAK1 inhibitor, with an IC₅₀ of 9.8 nM, and 11- 25- and 23-fold selectivity over JAK2, JAK3 and TYK2, respectively. Solcitinib is used in the research of moderate-to-severe plaque-type psoriasis. Purity: 99.59% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>HY-16640</td>
<td>TCL37</td>
<td>TCL37 is a potent, selective, and orally bioavailable TYK2 inhibitor with a Kᵣ of 1.6 nM. TCL37 can be used for the research of inflammatory bowel diseases (IBD). Purity: &gt;98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td>HY-108264</td>
<td>TCS 21311</td>
<td>(NIBR3049) TCS 21311 (NIBR3049) is a potent, highly selective JAK3 inhibitor with an IC₅₀ of 8 nM, it displays &gt;100-fold selectivity over JAK1, JAK2 and TYK2. TCS 21311 (NIBR3049) inhibits PKCγ, PKCβ, and GSK3β with IC₅₀s of 13, 68, and 3 nM, respectively. Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>HY-10410</td>
<td>TG101209</td>
<td>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: 99.72% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-40354</td>
<td>Tofacinib</td>
<td>(Tasocitinib; CP-690550) Tofacinib is an orally available JAK3/2/1 inhibitor with IC₅₀s of 20, and 11 nM, respectively. Purity: 99.96% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
</tr>
<tr>
<td>HY-10354A</td>
<td>Tofacinib citrate</td>
<td>(Tasocitinib citrate; CP-690550 citrate) Tofacinib citrate is an orally available JAK1/2/3 inhibitor with IC₅₀s of 20, and 112 nM, respectively. Tofacinib citrate has antibacterial, antifungal and antiviral activities. Purity: 99.98% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</td>
</tr>
<tr>
<td>HY-101762</td>
<td>TyK2-IN-2</td>
<td>TyK2-IN-2 (Compound 18) is a potent and selective TYK2 inhibitor with IC₅₀s of 7 nM, 0.1 μM and 0.05 μM for TYK2 JH2, IL-23 and IFNα, respectively. TyK2-IN-2 also inhibits phosphodiesterase 4 (PDE4) with an IC₅₀ of 62 nM. Purity: 99.71% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-18709</td>
<td>Tyk2-IN-3</td>
<td>Tyk2-IN-3 is a Tyk2 pseudokinase inhibitor, with an IC₅₀ of 485 nM. Purity: &gt;98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td>HY-111745</td>
<td>Tyk2-IN-5</td>
<td>Tyk2-IN-5 (compound 6) is a highly potent, selective and orally active Tyk2 inhibitor and targets the JH2 domain, with a Kᵣ of 0.086 nM for Tyk2 JH2 and an IC₅₀ of 25 nM for IFNα. Purity: 99.78% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-126242S</td>
<td>Tyk2-IN-7</td>
<td>Tyk2-IN-7 (Compound 48) is a TYK2 JH2 inhibitor, binds to TYK2 JH2 domain with IC₅₀ and Kᵣ of 0.00053 μM and 0.00007 μM, respectively. Purity: &gt;98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td><strong>Cat. No.</strong></td>
<td><strong>Name</strong></td>
<td><strong>Description</strong></td>
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<tr>
<td>HY-126290A</td>
<td>Tyk2-IN-9</td>
<td>A potent, selective and specific inhibitor of JAK kinases, inhibits Tyk2, JAK1 and JAK2 with IC(_{50}) values of 6 nM, 21 nM and 6 nM, respectively. Tyk2-IN-9 example 19 is extracted from patent US2017240552A1. Purity: 99.62% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>HY-13895</td>
<td>WHI-P154</td>
<td>A potent EGFR inhibitor, and also modestly blocks JAK3, with IC(_{50}) of 4 nM and 1.8 μM, respectively. Purity: 99.20% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</td>
</tr>
<tr>
<td>HY-15312</td>
<td>WP1066</td>
<td>An inhibitor of JAK2 and STAT3, and also shows effect on STAT5 and ERK1/2, without affecting JAK1 and JAK3. Purity: 99.90% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 10 mg, 50 mg</td>
</tr>
<tr>
<td>HY-13450</td>
<td>ZM 449829</td>
<td>A potent, selective and ATP competitive inhibitor of JAK3, with a pIC(_{50}) of 6.8. ZM 449829 will be useful pharmacological tools for the investigation of the JAK3. Purity: &gt;98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td>HY-12589A</td>
<td>ZM39923</td>
<td>Is a JAK3 inhibitor, with a pIC(<em>{50}) of 7.1; ZM39923 also potently inhibits tissue transglutaminase (TGM2) with an IC(</em>{50}) of 10 nM. Purity: &gt;98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</td>
</tr>
<tr>
<td>HY-12589</td>
<td>ZM39923 hydrochloride</td>
<td>As a JAK3 inhibitor, with a pIC(<em>{50}) of 7.1; ZM39923 hydrochloride also potently inhibits tissue transglutaminase (TGM2) with an IC(</em>{50}) of 10 nM. Purity: 99.86% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</td>
</tr>
<tr>
<td>HY-19569</td>
<td>Upadacitinib (ABT-494)</td>
<td>A potent, orally active and selective Janus kinase 1 (JAK1) inhibitor (IC(_{50})=43 nM). Upadacitinib (ABT-494) displays approximately 74 fold selective for JAK1 over JAK2 (200 nM) in cellular assays dependent on specific, relevant cytokines. Purity: 99.96% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-11067</td>
<td>WHI-P97</td>
<td>A potent and selective JAK-3 inhibitor. WHI-P97 is effective in preventing the development allergic asthma in vivo. Purity: 99.13% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-13775</td>
<td>XL019</td>
<td>A potent, orally active, and selective JAK2 inhibitor, with IC(_{50}) of 2.2, 134.3, and 214.2 nM for JAK2, JAK1 and JAK3, respectively. Purity: ≥98.0% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>HY-15166</td>
<td>Zotiracilib (TG02; S1317)</td>
<td>A potent inhibitor of CDK2, JAK2, and FLT3 for the treatment of cancer, with IC(_{50}) of 13, 73, and 56 nM for CDK2, JAK2 and FLT3, respectively. Purity: 99.96% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

www.MedChemExpress.com
The Pim kinases, also known as serine/threonine kinase, play an important role in cancer biology and are found in three different isoforms namely PIM-1, PIM-2, and PIM-3. Pim kinases are mainly responsible for cell cycle regulation, antiapoptotic activity and the homing and migration of receptor tyrosine kinases mediated via the JAK/STAT pathway.

Pim kinases are over-expressed in various types of tumors and regulate the activation of signaling pathways that are important for tumor cell proliferation, survival and expression of drug efflux proteins. This makes Pim kinases attractive targets for the development of anti-cancer chemotherapeutic drugs.
Pim Inhibitors

(1S,3R,5R)-PIM447 dihydrochloride
((1S,3R,5R)-LGH447 dihydrochloride)
Cat. No.: HY-19322C

(1S,3R,5R)-PIM447 (dihydrochloride) an PIM inhibitor extracted from patent US 20100056576 A1, compound example 72, has IC₅₀ values of 0.095 μM for Pim1, 0.522 μM for Pim2 and 0.369 μM for Pim3.

Purity: 98.13%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZD1208 hydrochloride
Cat. No.: HY-15604A

AZD1208 hydrochloride is an orally bioavailable, highly selective PIM kinases inhibitor.

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

AZD1208
Cat. No.: HY-15604

AZD1208 is an orally bioavailable, highly selective PIM kinases inhibitor.

Purity: 99.90%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

CK2/ERK8-IN-1
Cat. No.: HY-135906

CK2/ERK8-IN-1 is a dual casein kinase 2 (CK2) (Kᵣ of 0.25 μM) and ERK8 (MAPK15, ERK7) inhibitor with IC₅₀ of 0.50 μM. CK2/ERK8-IN-1 also binds to PIM1, HIPK2 (homeodomain-interacting protein kinase 2), and Dyrk1A with Kᵣ of 8.65 μM, 15.25 μM and 11.9 μM, respectively.

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

CK2/PIM1-IN-1
Cat. No.: HY-135816

CK2/PIM1-IN-1 is an inhibitor of CK2 and PIM1, with IC₅₀ of 1.787 μM and 4.327 μM for CK2 and PIM1, respectively.

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

CX-6258 hydrochloride hydrate
Cat. No.: HY-18095A

CX-6258 hydrochloride hydrate is a potent and kinase selective pan-Pim kinases inhibitor, with IC₅₀ of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively.

Purity: 99.55%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

CX-6258
Cat. No.: HY-18095

CX-6258 is a potent and kinase selective pan-Pim kinases inhibitor, with IC₅₀ of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively.

Purity: 99.73%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

CX-6258 hydrochloride
Cat. No.: HY-18095B

CX-6258 hydrochloride is a potent and kinase selective pan-Pim kinases inhibitor, with IC₅₀ of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively.

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

GDC-0339
Cat. No.: HY-16976

GDC-0339 is a potent, orally bioavailable and well tolerated pan-Pim kinase inhibitor, with Kᵣ of 0.03 nM, 0.1 nM and 0.02 nM for Pim1, Pim2 and Pim3, respectively. GDC-0339 is discovered as a potential treatment of multiple myeloma.

Purity: 99.96%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

GNE-955
Cat. No.: HY-101783

GNE-955 is a potent and orally active pan Pim kinase inhibitor with Kᵣ of 0.018, 0.11, 0.08 nM for Pim1, Pim2, Pim3, respectively.

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

www.MedChemExpress.com
**Hispidulin**
(Dinatin)

Hispidulin is a natural flavone with a broad spectrum of biological activities. Hispidulin is a Pim-1 inhibitor with an IC₅₀ of 2.71 μM.

Purity: 99.33%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

**PIM447 dihydrochloride**
(LGH447 dihydrochloride)

PIM447 dihydrochloride (LGH447 dihydrochloride) is a potent, orally available, and selective pan-PIM kinase inhibitor, with Kᵢ values of 6, 18, and 9 pM for PIM1, PIM2, and PIM3, respectively. PIM447 dihydrochloride displays dual antinmyeloma and bone-protective effects.

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

**PIM1-IN-1**

PIM1-IN-1 is a potent and highly selective PIM1/3 inhibitor, with IC₅₀ of 7, 5530 and 70 nM for PIM1, PIM2, and PIM3, respectively, inhibits the phosphorylation of BAD, a downstream target of PIM, with an IC₅₀ of 262 nM.

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

**Pim1/AKK1-IN-1**
(LKB1/AAK1 dual inhibitor)

Pim1/AKK1-IN-1 is a potent multi-kinase inhibitor with Kᵢ values of 35 nM/53 nM/75 nM/380 nM for Pim1/AKK1/MST2/LKB1, respectively, and also inhibits MPSK1 and TNIK.

Purity: 98.12%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg

**Quercetagetin**
(6-Hydroxyquercetin)

Quercetagetin (6-Hydroxyquercetin) is the major flavonoid isolated from Citrus unshiu (C. unshiu) peel. Quercetagetin is a moderately potent and selective, cell-permeable pim-1 kinase inhibitor (IC₅₀ 0.34 μM). Anti-inflammatory and anticancer properties.

Purity: 99.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

**SGI-1776**

SGI-1776 is an inhibitor of Pim kinases, with IC₅₀s of 7 nM, 363 nM, and 69 nM for Pim-1, -2 and -3, respectively.

Purity: 99.94%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat No.</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
<th>Notes</th>
</tr>
</thead>
<tbody>
<tr>
<td>SMI-16a (PIM1/2 Kinase Inhibitor VI)</td>
<td>HY-101947</td>
<td>99.70%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</td>
<td>SMI-16a is a selective Pim kinase inhibitor with IC₅₀ values of 0.15, 0.02 and 48 μM for Pim1, Pim2 and PC3 cells, respectively.</td>
</tr>
<tr>
<td>TCS-PIM-1 1 (SC 204330)</td>
<td>HY-18086</td>
<td>98.03%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 10 mg, 50 mg</td>
<td>TCS PIM-1 1 (SC 204330) is a potent, selective and ATP-competitive Pim-1 kinase inhibitor with an IC₅₀ of 50 nM, displays good selectivity over Pim-2 and MEK1/MEK2 (IC₅₀ &gt;20000 nM).</td>
</tr>
<tr>
<td>TCS-PIM-1-4a (SMI-4a)</td>
<td>HY-16576</td>
<td>99.90%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td>TCS-PIM-1-4a (SMI-4a) is a pan-Pim kinases inhibitor that blocks mTORC1 activity via activation of AMPK. TCS-PIM-1-4a kills a wide range of both myeloid and lymphoid cell lines (IC₅₀ values ranging from 0.8 μM to 40 μM).</td>
</tr>
<tr>
<td>TP-3654</td>
<td>HY-101126</td>
<td>99.83%</td>
<td>Phase 1</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td>TP-3654 is a second-generation Pim kinase inhibitor with Kᵢ values of 5 and 42 nM for Pim-1 and Pim-3, respectively.</td>
</tr>
</tbody>
</table>
STAT

STAT is a family of cytoplasmic protein that regulates many aspects of growth, survival and differentiation in cells. The transcription factors of this family are activated by Janus kinase and dysregulation of this pathway is frequently observed in primary tumours and leads to increased angiogenesis, enhanced survival of tumours and immunosuppression. Gene knockout studies have provided evidence that STAT proteins are involved in the development and function of the immune system and play a role in maintaining immune tolerance and tumour surveillance. STAT proteins were originally described as latent cytoplasmic transcription factors that require phosphorylation for nuclear retention. The unphosphorylated STAT proteins shuttle between cytosol and the nucleus waiting for its activation signal. Once the activated transcription factor reaches the nucleus, it binds to consensus DNA-recognition motif called gamma-activated sites (GAS) in the promoter region of cytokine-inducible genes and activates transcription of these genes.
## STAT Inhibitors & Activators

<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>(E/Z)-AG490</td>
<td>HY-107459</td>
<td>≥96.0%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
</tr>
<tr>
<td>(E/Z)-AG490 (E/Z)-Ydroheptalin AG490) is a racemic compound of (E)-AG490 and (Z)-AG490 isomers. (E)-AG490 (HY-12000) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.</td>
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</table>

<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>(R)-Lisofylline</td>
<td>HY-109854A</td>
<td>≥98.0%</td>
<td>No Development Reported</td>
<td>5 mg</td>
</tr>
<tr>
<td>(R)-Lisofylline (R)-Lisophylline) is a (R)-enantiomer of the metabolite of Pentoxifylline with anti-inflammatory properties.</td>
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<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>AG490 (Tyrphostin AG490; Tyrphostin B42)</td>
<td>HY-12000</td>
<td>99.84%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</td>
</tr>
<tr>
<td>AG490 (Tyrphostin AG490) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.</td>
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</table>

<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>Angoline</td>
<td>HY-N7674</td>
<td>99.67%</td>
<td>No Development Reported</td>
<td>5 mg</td>
</tr>
<tr>
<td>Angoline is a potent and selective IL6/STAT3 signaling pathway inhibitor with an IC₅₀ of 11.56 μM. Angoline inhibits STAT3 phosphorylation and its target gene expression, and inhibits cancer cell proliferation.</td>
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</table>

<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>APTSTAT3-9R</td>
<td>HY-P2282</td>
<td>≥98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
</tr>
<tr>
<td>APTSTAT3-9R, a specific STAT3-binding peptide, inhibits STAT3 activation and downstream signaling by specifically blocking STAT3 phosphorylation. APTSTAT3-9R exerts antiproliferative effects and antitumor activity.</td>
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</table>

<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>Artesunate</td>
<td>HY-N0193</td>
<td>≤95.0%</td>
<td>Launched</td>
<td>10 mM × 1 mL, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Artesunate is an inhibitor of both STAT-3 and exported protein 1 (EXP1).</td>
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</tbody>
</table>

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**Arnicolide D** is a sesquiterpene lactone isolated from Centipeda minima. Arnicolide D modulates the cell cycle, activates the caspase signaling pathway and inhibits the PI3K/AKT/mTOR and STAT3 signaling pathways.

<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>Arnicolide D</td>
<td>HY-N6843</td>
<td>≥99.0%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

**1-(4-Chloro-3-(trifluoromethyl)phenyl)-3-(4-(4-cyanophenoxy)phenyl)urea** (HY-136658) is a Sorafenib analogue and potently inhibits the phosphorylation of STAT3. STAT3-IN-7 induces cell apoptosis through SHP-1-dependent STAT3 inactivation. STAT3-IN-7 does not inhibit kinase activity and has anticancer effects.

<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>1-(4-Chloro-3-(trifluoromethyl)phenyl)-3-(4-(4-cyanophenoxy)phenyl)urea</td>
<td>HY-136658</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
</tr>
</tbody>
</table>

**Alantolactone** ((+)-Alantolactone, Alant camphor; Inula camphor) (HY-N0038) is a selective STAT3 inhibitor, with potent anticancer activity. Alantolactone induces apoptosis in cancer.

<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>Alantolactone</td>
<td>HY-N0038</td>
<td>99.94%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**Angoline hydrochloride** (HY-N7674A) is a potent and selective IL6/STAT3 signaling pathway inhibitor with an IC₅₀ of 11.56 μM. Angoline hydrochloride inhibits STAT3 phosphorylation and its target gene expression, and inhibits cancer cell proliferation.

<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>Angoline hydrochloride</td>
<td>HY-N7674A</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>5 mg</td>
</tr>
</tbody>
</table>

**Arnicolide D** is a sesquiterpene lactone isolated from Centipeda minima. Arnicolide D modulates the cell cycle, activates the caspase signaling pathway and inhibits the PI3K/AKT/mTOR and STAT3 signaling pathways.

<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>Arnicolide D</td>
<td>HY-N6843</td>
<td>≥99.0%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
</tr>
<tr>
<td>Compound</td>
<td>Cat. No.</td>
<td>Description</td>
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</tr>
<tr>
<td>AS1517499</td>
<td>HY-100614</td>
<td>A potent and brain-permeable STAT6 phosphorylation inhibitor with an IC_{50} of 21 nM.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: 99.17%</td>
<td></td>
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<tr>
<td></td>
<td></td>
<td>Clinical Data: No Development Reported</td>
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<tr>
<td></td>
<td></td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<td>AS1810722</td>
<td>HY-134772</td>
<td>An orally active and potent STAT6 inhibitor with an IC_{50} of 1.9 nM. AS1810722 shows a good profile of CYP3A4 inhibition. AS1810722 has the potential for allergic diseases such as asthma and atopic diseases.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: &gt;98%</td>
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<td></td>
<td></td>
<td>Clinical Data: No Development Reported</td>
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<tr>
<td></td>
<td></td>
<td>Size: 1 mg, 5 mg</td>
<td></td>
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<tr>
<td>AS2863619</td>
<td>HY-126675A</td>
<td>Enables conversion of antigen-specific effector/memory T cells into Foxp3^{+} regulatory T (T_{reg}) cells for the treatment of various immunological diseases.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: ≥98.0%</td>
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<td></td>
<td></td>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<td></td>
<td></td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td>AS2863619 free base</td>
<td>HY-126675</td>
<td>Enables conversion of antigen-specific effector/memory T cells into Foxp3^{+} regulatory T (T_{reg}) cells for the treatment of various immunological diseases.</td>
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<td></td>
<td></td>
<td>Purity: ≥98.0%</td>
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<td>Clinical Data: No Development Reported</td>
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<td></td>
<td></td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td>Ascochlorin (Ilicicolin D)</td>
<td>HY-101021</td>
<td>An isoprenoid antibiotic that mediates its anti-tumor effects predominantly through the suppression of STAT3 signaling cascade. Ascochlorin induces apoptosis. Anti-inflammatory activity.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: &gt;98%</td>
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<tr>
<td></td>
<td></td>
<td>Clinical Data: No Development Reported</td>
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<tr>
<td></td>
<td></td>
<td>Size: 1 mg, 5 mg</td>
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</tr>
<tr>
<td>Atractylenolide I</td>
<td>HY-N0201</td>
<td>A sesquiterpene derived from the rhizome of Atractylodes macrocephala, possesses diverse bioactivities, such as neuroprotective, anti-allergic, anti-inflammatory and anticancer properties.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: 99.83%</td>
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<td></td>
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<td>Clinical Data: No Development Reported</td>
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<tr>
<td></td>
<td></td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
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<tr>
<td>Balsalazide</td>
<td>HY-80667</td>
<td>Could suppress colitis-associated carcinogenesis through modulation of IL-6/STAT3 pathway.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: 99.20%</td>
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<td></td>
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<td>Clinical Data: Launched</td>
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<tr>
<td></td>
<td></td>
<td>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Balsalazide sodium hydrate</td>
<td>HY-80667A</td>
<td>Could suppress colitis-associated carcinogenesis through modulation of IL-6/STAT3 pathway.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: &gt;98%</td>
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<tr>
<td></td>
<td></td>
<td>Clinical Data: Launched</td>
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<tr>
<td></td>
<td></td>
<td>Size: 1 mg, 5 mg</td>
<td></td>
<td></td>
</tr>
<tr>
<td>BD750</td>
<td>HY-131140</td>
<td>An effective immunosuppressant and a JAK3/STAT3 inhibitor, inhibits IL-2-induced JAK3/STAT3-dependent T cell proliferation, with IC_{50} values of 1.5 μM and 1.1 μM in mouse and human T cells, respectively.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: 99.79%</td>
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<td></td>
<td>Clinical Data: No Development Reported</td>
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<tr>
<td></td>
<td></td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td></td>
<td></td>
</tr>
<tr>
<td>BP-1-102</td>
<td>HY-100493</td>
<td>An orally available, small-molecule inhibitor of transcription factor Stat3, with an IC_{50} of 6.8 μM.</td>
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<tr>
<td></td>
<td></td>
<td>Purity: 99.36%</td>
<td></td>
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<td></td>
<td></td>
<td>Clinical Data: No Development Reported</td>
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<tr>
<td></td>
<td></td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
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<td></td>
</tr>
</tbody>
</table>
Brevilin A

Brevilin A is a sesquiterpene lactone isolated from Centipeda minima with anti-tumor activity. Brevilin A is a selective inhibitor of JAK-STAT signal pathway by attenuating the JAKs activity and blocking STAT3 signaling (IC_{50} = 10.6 μM) in Cancer Cells.

Purity: 99.77%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Cat. No.: HY-N2959

C188-9

C188-9 is a Stat3 inhibitor, with a K_d of 4.7 nM.

Purity: 99.50%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cat. No.: HY-112288

Castrinin

Castrinin is a methoxyylated flavonol isolated from Vitis Fructus, with antiinflammatory and anti-inflammatory effect. Castrinin inhibits the activation of STAT3.

Purity: 98.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Cat. No.: HY-N0516

CMD178 TFA

CMD178 (TFA) is a lead peptide that consistently reduces the expression of Foxp3 and STAT5 induced by IL-2/IL-27 signaling. CMD178 (TFA) also is an inhibitor of STAT5 and inhibits T_{reg} cells development.

Purity: 98.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg

Cat. No.: HY-P1453A

Colivelin TFA

Colivelin TFA is a brain penetrant neuroprotective peptide and a potent activator of STAT3, suppresses neuronal death by activating STAT3 in vitro.

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

Cat. No.: HY-P1061

Corylifol A

Corylifol A inhibits IL-6-induced STAT3 activation and phosphorylation, with an IC_{50} of 0.81 μM.

Purity: 99.75%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Cat. No.: HY-N0897

Cryptotanshinone

Cryptotanshinone is a natural compound extracted from the root of Salvia miltiorrhiza Bunge that shows antitumor activities. Cryptotanshinone inhibits STAT3 with an IC_{50} of 4.6 μM.

Purity: 98.17%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

Cat. No.: HY-N0174

Cucurbitacin I

Cucurbitacin I is a natural selective inhibitor of JAK2/STAT3, with potent anti-cancer activity.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Cat. No.: HY-N1405
**Curculigoside**

Curculigoside is the main saponin in C. orchioide, exerts significant antioxidant, anti-osteoporosis, antidepressant and neuroprotection effects. Curculigoside possesses significant anti-arithmetic effects in vivo and in vitro via regulation of the JAK/STAT/NF-kB signaling pathway.

**Purity:** 99.73%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

**Diosgenin**

Diosgenin, a steroidal saponin, can inhibit STAT3 signaling pathway. Diosgenin is an exogenous activator of Pdia3/ERp57.

**Purity:** ≥98.0%
**Clinical Data:** No Development Reported
**Size:** 100 mg

**Eupalinolide K**

Eupalinolide K, a sesquiterpene lactones compound from Eupatorium lindleyanum, is a STAT3 inhibitor. Eupalinolide K is a Michael reaction acceptor (MRA).

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

**Fludarabine (F-ara-A; NSC 118218)**

Fludarabine (NSC 118218) is a DNA synthesis inhibitor, which also inhibits phosphorylation of STAT1. Fludarabine, a pro-drug, is converted metabolically by dephosphorylation to the antimitabolite, F-ara-A.

**Purity:** 99.85%
**Clinical Data:** Launched
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

**Galiellalactone**

Galiellalactone is a small non-toxic and non-mutagenic fungal metabolite, a selective inhibitor of STAT3 signaling, with an IC₅₀ of 250-500 mM. Galiellalactone can be used to research castration-resistant prostate cancer.

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

**Dihydroisotanshinone I**

Dihydroisotanshinone I is a bioactive compound present in a widely used traditional Chinese medicine named dianshens. Dihydroisotanshinone I possesses significant anti-arithmetic effects in vivo and in vitro via regulation of the JAK/STAT/NF-kB signaling pathway.

**Purity:** 99.52%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

**ENMD-1198 (IRC-110160)**

ENMD-1198 (IRC-110160), an orally active microtubule destabilizing agent, is a 2-methoxyestradiol analogue with antiproliferative and antiangiogenic activity.

**Purity:** 98.87%
**Clinical Data:** No Development Reported
**Size:** 1 mg

**FLLL32**

FLLL32, a synthetic analog of curcumin, is a JAK2/STAT3 dual inhibitor with anti-tumor activity. FLLL32 can inhibit the induction of STAT3 phosphorylation by IFNα and IL-6 in breast cancer cells.

**Purity:** 99.78%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Fraxinellone**

Fraxinellone is isolated from the root bark of the Rutaceae plant, Dictamus dasycurus. Fraxinellone is a PD-L1 inhibitor and inhibits HIF-1α protein synthesis without affecting HIF-1α protein degradation.

**Purity:** 99.99%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 20 mg

**Garcinone C**

Garcinone C, a xanthone derivative, is a natural compound extracted from Garcinia oblongifolia Champ that is used as an anti-inflammatory, analgesia, astringency and granulation-promoting medicine, and has potential cytotoxic effects on certain cancers.

**Purity:** ≥95.0%
**Clinical Data:** No Development Reported
**Size:** 5 mg, 10 mg
<table>
<thead>
<tr>
<th><strong>Garcinone D</strong></th>
<th><strong>Cat. No.:</strong> HY-N6953</th>
</tr>
</thead>
<tbody>
<tr>
<td>Garcinone D, a natural xanthone from mangosteen, promotes the proliferation of C17.2 neural stem cell.</td>
<td></td>
</tr>
<tr>
<td>Purity: 98.18%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</td>
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<table>
<thead>
<tr>
<th><strong>Golitimod</strong></th>
<th><strong>Cat. No.:</strong> HY-14743</th>
</tr>
</thead>
<tbody>
<tr>
<td>Golitimod (SCV-07; Gamma-D-glutamyl-L-tryptophan)</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 2</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>Golitimod hydrochloride</strong></th>
<th><strong>Cat. No.:</strong> HY-14743B</th>
</tr>
</thead>
<tbody>
<tr>
<td>Golitimod hydrochloride (SCV 07 hydrochloride; Gamma-D-glutamyl-L-tryptophan hydrochloride)</td>
<td></td>
</tr>
<tr>
<td>Purity: 98.90%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Phase 2</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>HJC0152 hydrochloride</strong></th>
<th><strong>Cat. No.:</strong> HY-100602</th>
</tr>
</thead>
<tbody>
<tr>
<td>HJC0152 hydrochloride is a signal transducers and activators of transcription 3 (STAT3) inhibitor.</td>
<td></td>
</tr>
<tr>
<td>Purity: 98.95%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>HJC0416 hydrochloride</strong></th>
<th><strong>Cat. No.:</strong> HY-12352A</th>
</tr>
</thead>
<tbody>
<tr>
<td>HJC0416 hydrochloride is a potent and orally active STAT3 inhibitor with an enhanced anticancer profile than Statin (HY-13818). HJC0416 hydrochloride is a promising anti-cancer agent for breast cancer study.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
<td></td>
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</table>

<table>
<thead>
<tr>
<th><strong>Homoharringtonine</strong></th>
<th><strong>Cat. No.:</strong> HY-14944</th>
</tr>
</thead>
<tbody>
<tr>
<td>Homoharringtonine (Omacetaxine mepesuccinate; HHT) is a cytotoxic alkaloid with antitumor properties which acts by inhibiting translation elongation.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.96%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: Launched</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 10 mg, 50 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>InS3-54A18</strong></th>
<th><strong>Cat. No.:</strong> HY-103128</th>
</tr>
</thead>
<tbody>
<tr>
<td>InS3-54A18 is a potent STAT3 inhibitor, with anti-cancer properties.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.83%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>Isocryptotanshinone</strong></th>
<th><strong>Cat. No.:</strong> HY-N6651</th>
</tr>
</thead>
<tbody>
<tr>
<td>Isocryptotanshinone is a potent signal transducer and activator of transcription 3 (STAT3) and protein tyrosine phosphatase 1B (PTP1B) inhibitor, with an IC&lt;sub&gt;50&lt;/sub&gt; of 56.1 μM for PTP1B.</td>
<td></td>
</tr>
<tr>
<td>Purity: ≥98.0%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
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</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>L002</strong></th>
<th><strong>Cat. No.:</strong> HY-100671</th>
</tr>
</thead>
<tbody>
<tr>
<td>L002 is a potent, cell permeable, reversible and specific acetyltransferase p300 (KAT3B) inhibitor with an IC&lt;sub&gt;50&lt;/sub&gt; of 1.98 μM.</td>
<td></td>
</tr>
<tr>
<td>Purity: 98.80%</td>
<td></td>
</tr>
<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td></td>
</tr>
<tr>
<td><strong>Mogrol</strong></td>
<td>Cat. No.: HY-N2312</td>
</tr>
<tr>
<td>------------</td>
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</tr>
<tr>
<td>Mogrol is a biometabolite of mogrosides, and acts via inhibition of the ERK1/2 and STAT3 pathways, or reducing CREB activation and activating AMPK signaling.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.06%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg</td>
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<table>
<thead>
<tr>
<th><strong>Napabucasin</strong></th>
<th>Cat. No.: HY-13919</th>
</tr>
</thead>
<tbody>
<tr>
<td>Napabucasin is a STAT3 inhibitor which blocks stem cell activity in cancer cells.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.27%</td>
<td><strong>Clinical Data:</strong> Phase 3</td>
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<tr>
<td><strong>Size:</strong> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</td>
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<table>
<thead>
<tr>
<th><strong>Niclosamide</strong></th>
<th>Cat. No.: HY-B0497</th>
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</thead>
<tbody>
<tr>
<td>Niclosamide (BAY2353) is an orally bioavailable chlorinated salicylamide, with anthelmintic and potential antineoplastic activity. Niclosamide (BAY2353) inhibits STAT3 with IC₅₀ of 0.25 μM in HeLa cells and inhibits DNA replication in a cell-free assay.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Clinical Data:</strong> Launched</td>
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<tr>
<td><strong>Size:</strong> 500 mg</td>
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</table>

<table>
<thead>
<tr>
<th><strong>Niclosamide monohydrate</strong></th>
<th>Cat. No.: HY-B0497B</th>
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</thead>
<tbody>
<tr>
<td>Niclosamide monohydrate is an inhibitor of STAT3 with IC₅₀ of 0.25 μM in HeLa cells and inhibits DNA replication in a cell-free assay.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Clinical Data:</strong> Launched</td>
</tr>
<tr>
<td><strong>Size:</strong> 500 mg</td>
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<table>
<thead>
<tr>
<th><strong>Niclosamide oamine</strong></th>
<th>Cat. No.: HY-B0497C</th>
</tr>
</thead>
<tbody>
<tr>
<td>Niclosamide oamine (BAY2353 oamine) is an anthelmintic that disrupts mitochondrial metabolism in parasitic worms and animal models.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Clinical Data:</strong> Phase 4</td>
</tr>
<tr>
<td><strong>Size:</strong> 1 mg, 5 mg</td>
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<table>
<thead>
<tr>
<th><strong>Nifuroxazide</strong></th>
<th>Cat. No.: HY-81436</th>
</tr>
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<tbody>
<tr>
<td>Nifuroxazide is an effective inhibitor of STAT3, also exerts potent anti-tumor and anti-metastasis activity.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.20%</td>
<td><strong>Clinical Data:</strong> Launched</td>
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<td><strong>Size:</strong> 10 mM × 1 mL, 200 mg, 500 mg</td>
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<table>
<thead>
<tr>
<th><strong>NSC 74859</strong></th>
<th>Cat. No.: HY-15146</th>
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</thead>
<tbody>
<tr>
<td>NSC 74859 is a selective Stat3 inhibitor with an IC₅₀ of 86 μM.</td>
<td></td>
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<tr>
<td><strong>Purity:</strong> 99.50%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
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<tr>
<td><strong>Size:</strong> 5 mg, 10 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>Ochromycinone</strong></th>
<th>Cat. No.: HY-18061</th>
</tr>
</thead>
<tbody>
<tr>
<td>Ochromycinone (Rac)-STA-21 is a natural antibiotic and a STAT3 inhibitor. Ochromycinone can inhibit STAT3 DNA binding activity, STAT3 dimerization. Ochromycinone has anticancer and antimicrobial activity.</td>
<td></td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.29%</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td></td>
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</tbody>
</table>
Picroside I
(Cat. No.: HY-N0407)

Picroside I is the major ingredient of Picrorhiza kurroa. Picrorhiza kurroa is a high value medicinal herb due to rich source of hepatoprotective metabolites, Picroside-I and Picroside-II. Picroside-I is a promising agent for the management of asthma.

Purity: 96.14%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 20 mg

Pimozide
(Cat. No.: HY-12987)
Pimozide is a dopamine receptor antagonist, with \( K_d \)s of 1.4 nM, 2.3 nM and 588 nM for dopamine D2, D3 and D1 receptors, respectively, and also has affinity at \( \alpha_1 \)-adrenoceptor, with a \( K_d \) of 39 nM. Pimozide also inhibits STAT3 and STAT5.

Purity: 99.88%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg

Pimozide D4
(Cat. No.: HY-129875)
Pimozide D4 (R6238 D4) is a deuterium labeled Pimozide.

Purity: >98%
Clinical Data: Phase 4
Size: 1 mg, 5 mg

Protosapannin A
(Cat. No.: HY-113573)
Protosapannin A (PTA), an immunosuppressive ingredient and major biphenyl compound isolated from Caesalpinia sappan L, suppresses JAK2/STAT3-dependent inflammation pathway through down-regulating the phosphorylation of JAK2 and STAT3.

Purity: 98.88%
Clinical Data: No Development Reported
Size: 5 mg

Reticuline
(Cat. No.: HY-N1356)
Reticuline, isolated from Litsea cubeba, shows anti-inflammatory effects through JAK2/STAT3 and NF-κB signaling pathways. Reticuline inhibits mRNA expressions of TNF-α, and IL-6 and reduces the phosphorylation levels of JAK2 and STAT3. Reticuline exhibits cardiovascular effects.

Purity: 98.11%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

RO8191
(Cat. No.: HY-W063968)
RO8191 (CDM-3008, RO4948191), an imidazolapthridine compound, is an orally active and potent interferon (IFN) receptor agonist. RO8191 directly binds to IFNα/β receptor 2 (IFNAR2) and activates IFN-stimulated genes (ISGs) expression and JAK/STAT phosphorylation.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg

RSVA405
(Cat. No.: HY-103238)
RSVA405 is a potent, orally active activator of AMPK, with an \( EC_{50} \) of 1 μM. RSVA405 facilitates CaMKβ-dependent activation of AMPK, inhibits mTOR, and promotes autophagy to increase Aβ degradation.

Purity: 99.56%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Saikosaponin D
(Cat. No.: HY-N0250)
Saikosaponin D is a triterpene saponin isolated from Bupleurum, with anti-inflammatory, anti-bacterial, anti-tumor, and anti-allergic activities. Saikosaponin D inhibits selectin, STAT3 and NF-κB and activates estrogen receptor-β.

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

SC-43
(Cat. No.: HY-136657)
SC-43, a Sorafenib derivative, is a potent and orally active SHP-1 (PTPN6) agonist. SC-43 inhibits the phosphorylation of STAT3 and induces cell apoptosis. SC-43 has anti-fibrotic and anticancer effects.

Purity: 98.61%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

SC99
(Cat. No.: HY-124858)
SC99 is an orally active, selective STAT3 inhibitor targeting JAK2-STAT3 pathway. SC99 docks into the ATP-binding pocket of JAK2. SC99 inhibits phosphorylation of JAK2 and STAT3 with no effects on the other kinases associated with STAT3 signaling.

Purity: 99.07%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
Scutellarin

Cat. No.: HY-N0751

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

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 nM × 1 mL, 10 mg, 25 mg, 50 mg

SD-36

Cat. No.: HY-129602

SD-36 is a potent and efficacious PROTAC STAT3 degrader ($K_d=50$ nM), and demonstrates high selectivity over other STAT members. SD-36 also effectively degrades mutated STAT3 proteins in cells and suppresses the transcriptional activity of STAT3 ($IC_{50}=10$ nM).

Purity: 99.46%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

SH-4-54

Cat. No.: HY-16975

SH-4-54 is a STAT inhibitor that binds to STAT3 and STAT5 with $K_d$ of 300, 464 nM, respectively.

Purity: 99.59%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

SH5-07

Cat. No.: HY-100494

SH5-07 is a hydroxamic acid based STAT3 inhibitor with an $IC_{50}$ of 3.9 μM in in vitro assay.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

SI-109

Cat. No.: HY-129603

SI-109 is a potent STAT3 SH2 domain inhibitor ($K_d=9$ nM) with antitumor activity. SI-109 effectively inhibits the transcriptional activity of STAT3 ($IC_{50}=3$ μM). SI-109 and an analog of CRBN ligand lenalidomide have been used to design PROTAC STAT3 degrader SD-36.

Purity: 99.48%
Clinical Data: No Development Reported
Size: 5 mg

SIAT-1

Cat. No.: HY-112647

Stafib-1 is the first selective inhibitor of the STAT5b SH2 domain, with a $K_i$ of 44 nM and an $IC_{50}$ of 154 nM.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg

STAT3-IN-3

Cat. No.: HY-128588

STAT3-IN-3 is a potent and selective inhibitor of signal transducer and activator of transcription 3 (STAT3), with anti-proliferative activity. STAT3-IN-3 induces apoptosis in breast cancer cells.

Purity: 98.08%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

SD-36

Cat. No.: HY-129602

SD-36 is a potent and efficacious PROTAC STAT3 degrader ($K_d=50$ nM), and demonstrates high selectivity over other STAT members. SD-36 also effectively degrades mutated STAT3 proteins in cells and suppresses the transcriptional activity of STAT3 ($IC_{50}=10$ nM).

Purity: 99.46%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

SH-4-54

Cat. No.: HY-16975

SH-4-54 is a STAT inhibitor that binds to STAT3 and STAT5 with $K_d$ of 300, 464 nM, respectively.

Purity: 99.59%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

SH5-07

Cat. No.: HY-100494

SH5-07 is a hydroxamic acid based STAT3 inhibitor with an $IC_{50}$ of 3.9 μM in in vitro assay.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

SI-109

Cat. No.: HY-129603

SI-109 is a potent STAT3 SH2 domain inhibitor ($K_d=9$ nM) with antitumor activity. SI-109 effectively inhibits the transcriptional activity of STAT3 ($IC_{50}=3$ μM). SI-109 and an analog of CRBN ligand lenalidomide have been used to design PROTAC STAT3 degrader SD-36.

Purity: 99.48%
Clinical Data: No Development Reported
Size: 5 mg

Stafib-1

Cat. No.: HY-112647

Stafib-1 is the first selective inhibitor of the STAT5b SH2 domain, with a $K_i$ of 44 nM and an $IC_{50}$ of 154 nM.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg

STAT3-IN-3

Cat. No.: HY-128588

STAT3-IN-3 is a potent and selective inhibitor of signal transducer and activator of transcription 3 (STAT3), with anti-proliferative activity. STAT3-IN-3 induces apoptosis in breast cancer cells.

Purity: 98.08%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

STAT5-IN-1

Cat. No.: HY-101853

STAT5-IN-1 is a STAT5 inhibitor with an $IC_{50}$ of 47 μM for STAT5β isoform.

Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td>STAT5-IN-2</td>
<td>HY-102048</td>
<td>STAT5-IN-2 is a STAT5 inhibitor, extracted from reference 1, example 17f. STAT5-IN-2 has potent antileukemic effect.</td>
</tr>
<tr>
<td>Purity: 99.01%</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Clinical Data:</td>
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<td></td>
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<tr>
<td>Size:</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td>Tetramethylcurcumin</td>
<td>HY-N2521</td>
<td>Tetramethylcurcumin (FLLL31), derived from curcumin, specifically suppresses the phosphorylation of STAT3 by binding selectively to Janus kinase 2 and the STAT3 Src homology-2 domain. Tetramethylcurcumin exhibits anti-inflammatory and anti-cancer effects.</td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size:</td>
<td>5 mg, 10 mg</td>
<td></td>
</tr>
<tr>
<td>WP1066</td>
<td>HY-15312</td>
<td>WP1066 is an inhibitor of JAK2 and STAT3, and also shows effect on STAT5 and ERK1/2, without affecting JAK1 and JAK3.</td>
</tr>
<tr>
<td>Purity: 99.90%</td>
<td></td>
<td></td>
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<tr>
<td>Clinical Data:</td>
<td>Phase 1</td>
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<tr>
<td>Size:</td>
<td>10 mM × 1 mL, 10 mg, 50 mg</td>
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<tr>
<td>Statistic</td>
<td>HY-13818</td>
<td>Statistic is a potent STAT3 inhibitor and inhibits STAT3 phosphorylation (at Y705 and S727). Statistic inhibits the binding of high-affinity phosphopeptide for the SH2 domain of STAT3. Statistic ameliorates the renal dysfunction in Alport syndrome (AS) mice.</td>
</tr>
<tr>
<td>Purity: ≥97.0%</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size:</td>
<td>10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<td>TPCA-1</td>
<td>HY-10074</td>
<td>TPCA-1 is a potent and selective inhibitor of IKK-2 with IC50 of 17.9 nM. TPCA-1 is an effective inhibitor of STAT3 phosphorylation, DNA binding, and transactivation.</td>
</tr>
<tr>
<td>Purity: 99.58%</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size:</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 100 mg</td>
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</tr>
<tr>
<td>UC-514321</td>
<td>HY-120395</td>
<td>UC-514321, a structural analog of NSC370284 with higher activity, directly targets STAT3/S and represses TET1 expression, but not TET2 or TET3. UC-514321 has the potential to treat acute myeloid leukemia (AML) both in vitro and in vivo, with low toxicity.</td>
</tr>
<tr>
<td>Purity: ≥98.0%</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size:</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg</td>
<td></td>
</tr>
<tr>
<td>YM-341619 (AS1617612)</td>
<td>HY-134771</td>
<td>YM-341619 (AS1617612) is a potent and orally active STAT6 inhibitor with an IC50 of 0.70 nM. YM-341619 inhibits Th2 differentiation in mouse spleen T cells induced by IL-4 (IC50=0.28 nM) without affecting Th1 cell differentiation.</td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Clinical Data:</td>
<td>No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size:</td>
<td>1 mg, 5 mg</td>
<td></td>
</tr>
</tbody>
</table>