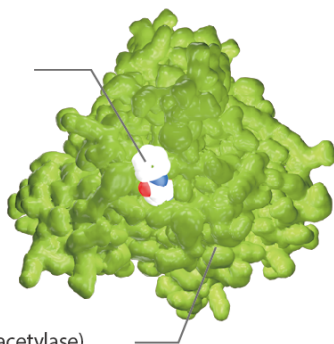


JAK

Janus kinase

HDAC Inhibitor:
Vorinostat (SAHA)



HDAC (Histone deacetylase)

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.

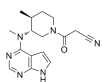
JAK Inhibitors & Modulators

(3R,4S)-Tofacitinib

Cat. No.: HY-40354D

Bioactivity: (3R,4S)-Tofacitinib is an enantiomer of Tofacitinib. Tofacitinib inhibits **JAK3** with **IC₅₀** of 1 nM.

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

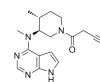


(3S,4R)-Tofacitinib

Cat. No.: HY-40354B

Bioactivity: (3S,4R)-Tofacitinib is an enantiomer of Tofacitinib. Tofacitinib inhibits **JAK3** with **IC₅₀** of 1 nM.

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

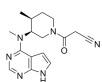


(3S,4S)-Tofacitinib

Cat. No.: HY-40354C

Bioactivity: (3S,4S)-Tofacitinib is the S-enantiomer of Tofacitinib. Tofacitinib inhibits **JAK3** with **IC₅₀** of 1 nM.

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

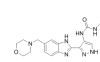


AT9283

Cat. No.: HY-50514

Bioactivity: AT9283 is a multi-targeted inhibitor with **IC₅₀s** of 1.2 nM, 1.1 nM for **JAK2** and **JAK3**, respectively, and is also potent to Aurora A, Aurora B and Abl(T315I).

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

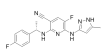


AZ960

Cat. No.: HY-10411

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

Purity: 98.04%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
 1 mg, 2 mg, 5 mg, 10 mg, 50 mg



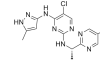
AZD-1480

(AZD1480; AZD 1480)

Cat. No.: HY-10193

Bioactivity: AZD-1480 is a novel ATP-competitive **JAK2** inhibitor with **IC₅₀** of 0.26 nM, selectively against JAK3 and Tyk2, and to a smaller extent against JAK1.

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



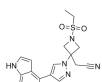
Baricitinib

(INC8028050; LY3009104)

Cat. No.: HY-15315

Bioactivity: Baricitinib is a selective orally bioavailable **JAK1/JAK2** inhibitor with **IC₅₀** of 5.9 nM and 5.7 nM, respectively.

Purity: 99.70%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO,
 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg



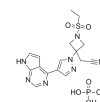
Baricitinib phosphate

(INC8028050; LY3009104)

Cat. No.: HY-15315A

Bioactivity: Baricitinib phosphate is a selective orally bioavailable **JAK1/JAK2** inhibitor with **IC₅₀** of 5.9 nM and 5.7 nM, respectively.

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

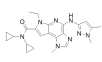


BMS-911543

Cat. No.: HY-15270

Bioactivity: BMS-911543 is a potent and selective small-molecule inhibitor of JAK2, displays potent anti-proliferative and pharmacodynamic (PD) effects in cell lines dependent upon JAK2 signaling.

Purity: 98.03%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

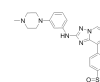


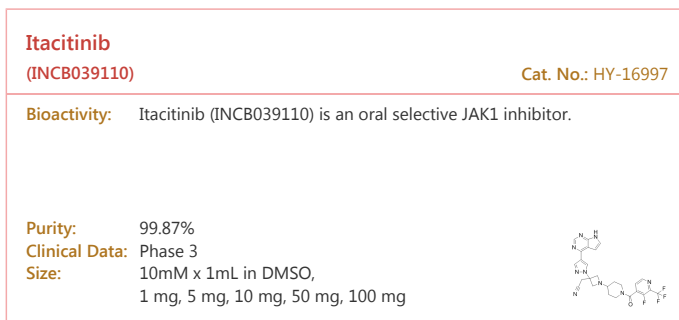
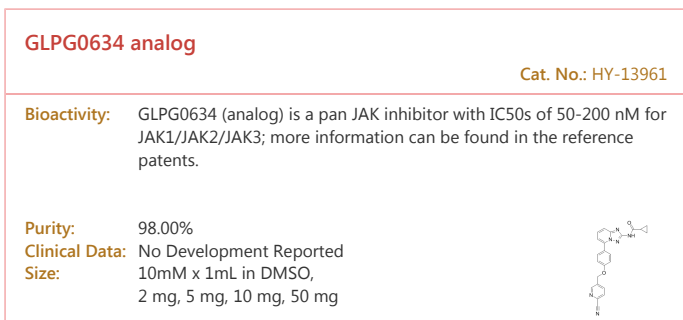
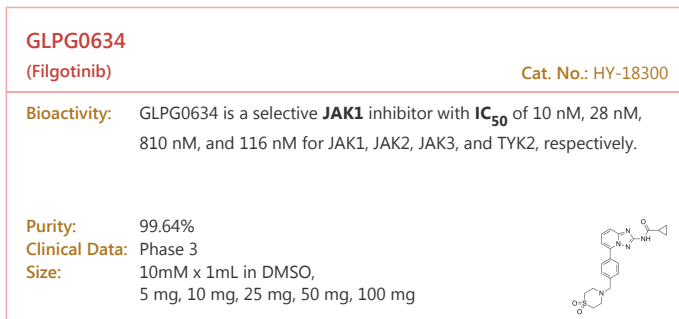
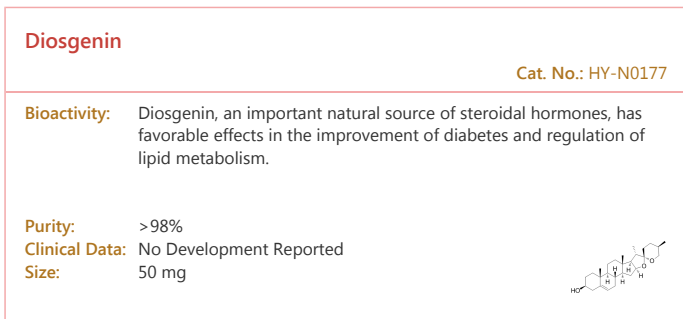
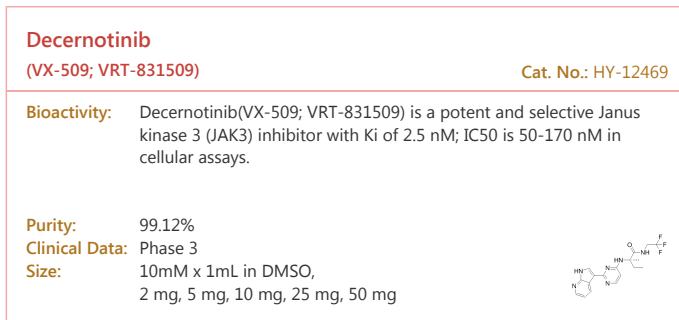
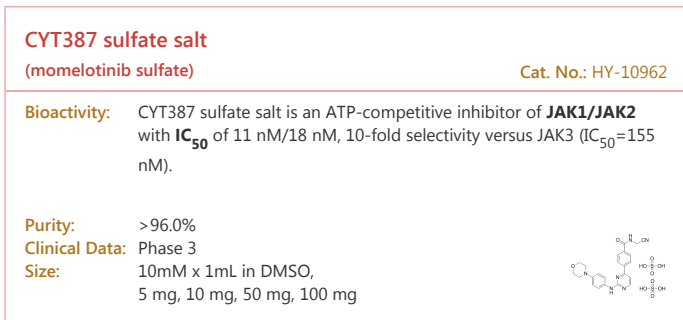
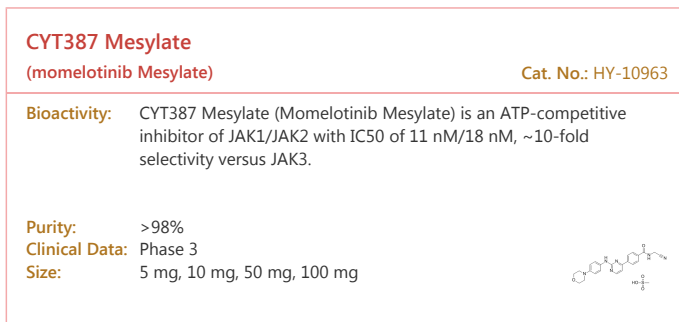
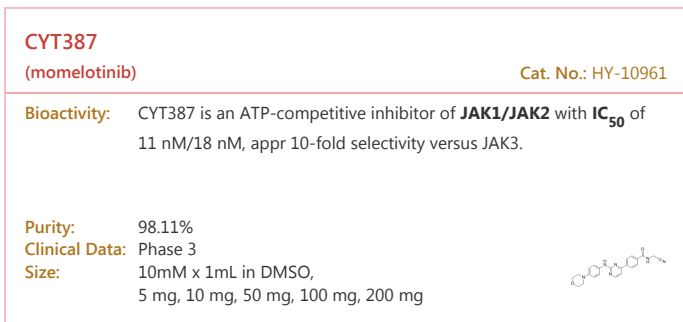
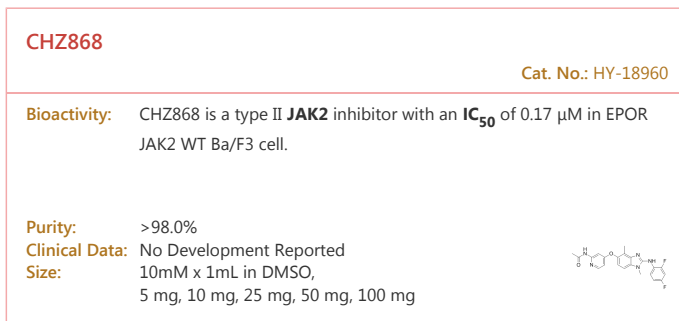
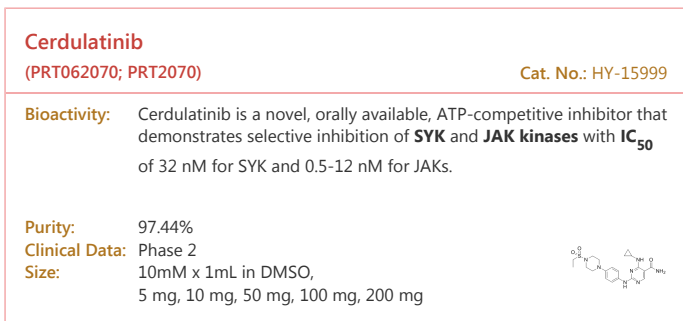
CEP-33779

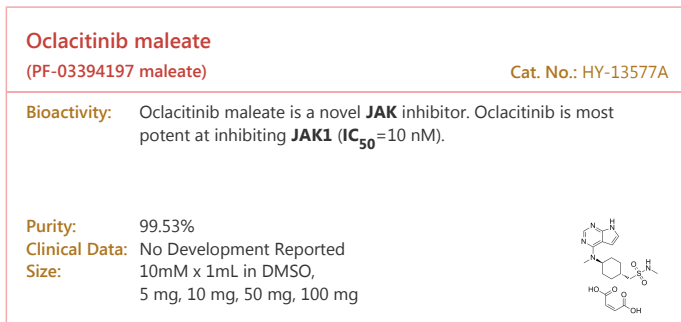
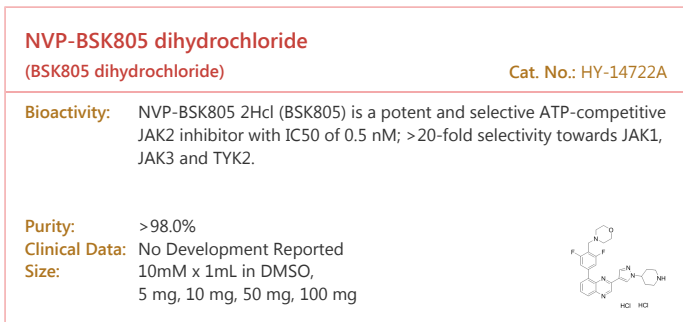
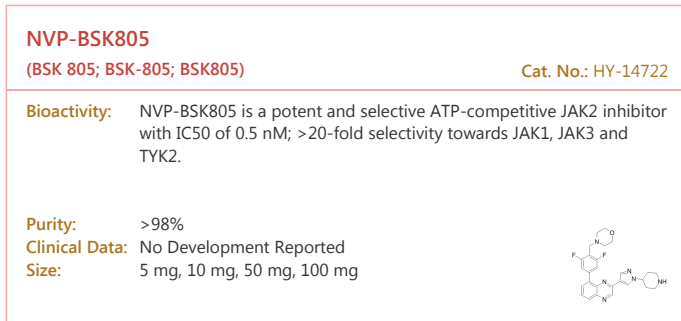
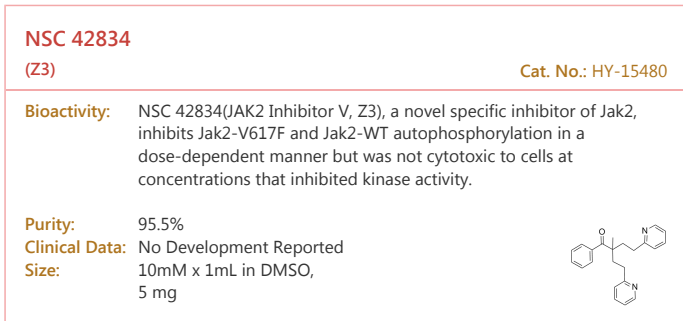
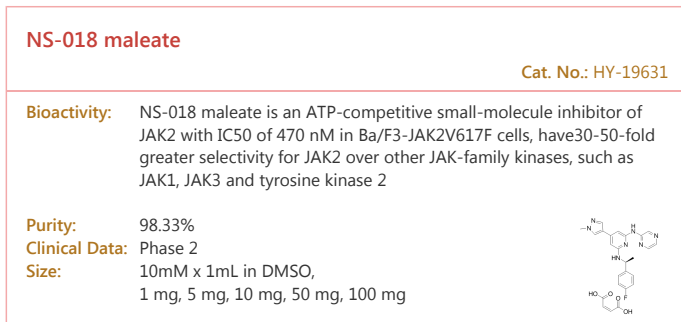
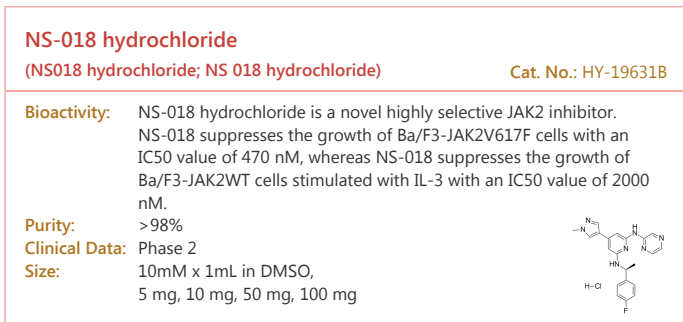
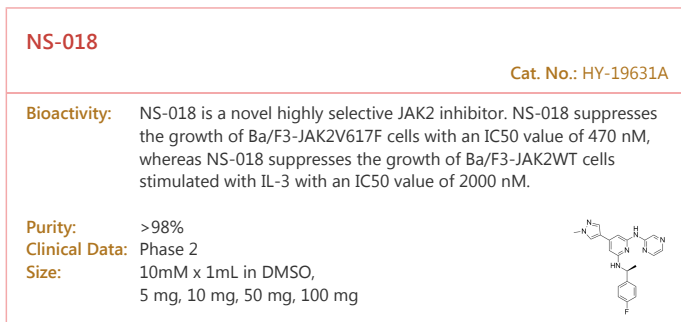
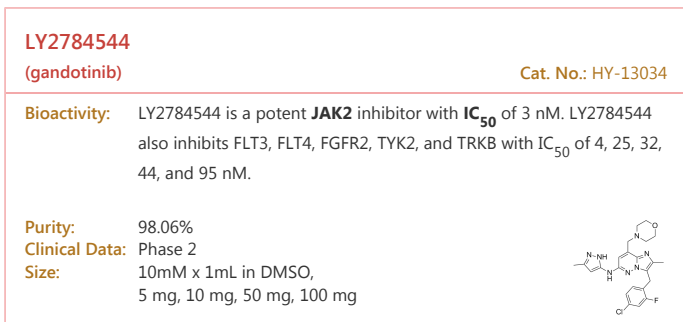
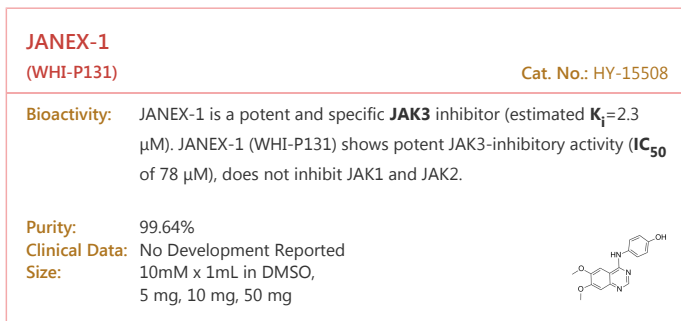
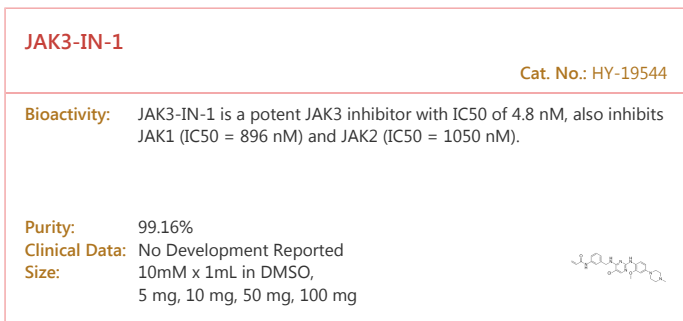
Cat. No.: HY-15343



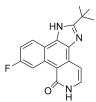


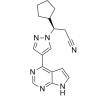
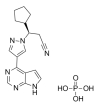
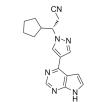
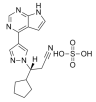

Bioactivity: CEP-33779 is a novel, selective, and orally bioavailable inhibitor of **JAK2** with an **IC₅₀** of 1.8±0.6 nM.

Purity: 99.37%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
 5 mg, 10 mg, 50 mg





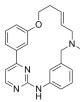


<p>Pacritinib (SB1518) Cat. No.: HY-16379</p> <p>Bioactivity: Pacritinib is a potent inhibitor of both wild-type JAK2 (IC₅₀=23 nM) and JAK2^{V617F} mutant (IC₅₀=19 nM). Pacritinib also inhibits FLT3 (IC₅₀=22 nM) and its mutant FLT3^{D835Y} (IC₅₀=6 nM).</p> <p>Purity: >98.0% Clinical Data: Phase 3 Size: 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Peficitinib (ASP015K; JNJ-54781532) Cat. No.: HY-19568</p> <p>Bioactivity: Peficitinib (ASP015K, JNJ-54781532) is a novel oral Janus kinase (JAK) inhibitor. Peficitinib inhibits JAK1, JAK2, JAK3 and Tyk2 enzyme activities with IC₅₀s of 3.9, 5.0, 0.71 and 4.8 nM, respectively, and has moderate selectivity for JAK3 inhibition.</p> <p>Purity: 99.86% Clinical Data: Phase 3 Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 
<p>Pyridone 6 (CMP 6; JAK Inhibitor) Cat. No.: HY-14435</p> <p>Bioactivity: Pyridone 6 is a pan-JAK inhibitor, which potently inhibits the JAK kinase family, with IC₅₀s of 1 nM for JAK2 and TYK2, 5 nM for JAK3, and 15 nM for JAK1, while displaying significantly weaker affinities (130 nM to >10 mM) for other protein tyrosine kinases.</p> <p>Purity: 98.89% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>RGB-286638 Cat. No.: HY-15504</p> <p>Bioactivity: 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 IC₅₀s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with I...</p> <p>Purity: >98% Clinical Data: Phase 1 Size: 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>RGB-286638 free base (RGB286638 free base) Cat. No.: HY-15504A</p> <p>Bioactivity: 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 IC₅₀s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with I...</p> <p>Purity: 99.55% Clinical Data: Phase 1 Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Ruxolitinib (INCB018424) Cat. No.: HY-50856</p> <p>Bioactivity: Ruxolitinib is the first potent, selective JAK1/2 inhibitor to enter the clinic with IC₅₀ of 3.3 nM/2.8 nM in cell-free assays, and has > 130-fold selectivity for JAK1/2 versus JAK3.</p> <p>Purity: 99.85% Clinical Data: Launched Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</p> 
<p>Ruxolitinib phosphate (INCB018424 phosphate; INCB 018424 phosphate; INCB-018424 phosphate; Ruxolitinib) Cat. No.: HY-50858</p> <p>Bioactivity: Ruxolitinib (phosphate) is the first potent JAK1/2 inhibitor with IC₅₀ values of 3.3 nM/2.8 nM, more than 130-fold selectivity for JAK1/2 versus JAK3.</p> <p>Purity: >98.0% Clinical Data: Launched Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</p> 	<p>Ruxolitinib S enantiomer (S-Ruxolitinib; INCB18424) Cat. No.: HY-50856A</p> <p>Bioactivity: Ruxolitinib S enantiomer is the S-enantiomer of Ruxolitinib. Ruxolitinib is the first potent, selective JAK1/2 inhibitor to enter the clinic with IC₅₀ of 3.3 nM/2.8 nM in cell-free assays.</p> <p>Purity: 99.88% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 1 mg, 5 mg</p> 
<p>Ruxolitinib sulfate (INCB018424 sulfateRuxolitinib) Cat. No.: HY-50859</p> <p>Bioactivity: Ruxolitinib sulfate (INCB018424) is the first potent, selective, JAK1/2 inhibitor to enter the clinic with IC₅₀ of 3.3 nM/2.8 nM, >130-fold selectivity for JAK1/2 versus JAK3.</p> <p>Purity: >98% Clinical Data: Launched Size: 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>SAR-20347 Cat. No.: HY-100895</p> <p>Bioactivity: SAR-20347 is an inhibitor of TYK2, JAK1, JAK2 and JAK3 with IC₅₀s of 0.6, 23, 26 and 41 nM, respectively.</p> <p>Purity: 97.00% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 

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

Bioactivity: SB1317 is a potent inhibitor of **CDK2**, **JAK2**, and **FLT3** for the treatment of cancer, with **IC₅₀** of 13, 73, and 56 nM for CDK2, JAK2 and FLT3, respectively.


Purity: >98.0%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



Solcitinib
(GSK-2586184; GLPG-0778) Cat. No.: HY-16755

Bioactivity: Solcitinib is a selective Janus kinase 1 (JAK1) inhibitor, for the treatment of psoriasis, lupus, and ulcerative colitis.


Purity: 99.14%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg



TG-101348
(Fedratinib; SAR 302503) Cat. No.: HY-10409

Bioactivity: TG-101348 is a selective inhibitor of **JAK2** with **IC₅₀** of 3 nM, 35- and 334-fold more selective for JAK2 versus JAK1 and JAK3, and also inhibits **BRD4** with **IC₅₀** of 340 nM.

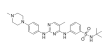
Purity: 98.28%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



TG101209 Cat. No.: HY-10410

Bioactivity: TG101209 is a selective **JAK2** inhibitor with **IC₅₀** of 6 nM, less potent to **Fit3** 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; TG101209 inhibit **BRD4** activity with **IC**


Purity: ~~98.28%~~...
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



Tofacitinib
(Tasocitinib; CP-690550) Cat. No.: HY-40354

Bioactivity: Tofacitinib inhibits **JAK3** with **IC₅₀** of 1 nM while inhibiting **JAK2**, **JAK1**, Rock-II and Lck with **IC₅₀** values of 20, 112, 3400 and 3870 nM, respectively.

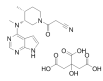
Purity: 99.62%
Clinical Data: Launched
Size:



Tofacitinib citrate
(Tasocitinib citrate; CP-690550 citrate) Cat. No.: HY-40354A

Bioactivity: Tofacitinib citrate inhibits **JAK3** with **IC₅₀** of 1 nM while inhibiting **JAK2**, **JAK1**, Rock-II and Lck with **IC₅₀** values of 20 nM, 112 nM, 3,400 nM and 3,870 nM, respectively.


Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg



TYK2-IN-2 Cat. No.: HY-101762

Bioactivity: TYK2-IN-2 is an inhibitor of **TYK2**, used for treatment of inflammatory and autoimmune diseases.

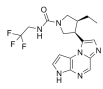
Purity: >98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg



Upadacitinib
(ABT-494) Cat. No.: HY-19569

Bioactivity: Upadacitinib (ABT-494) is a potent and selective Janus kinase (**JAK**) 1 inhibitor being developed for the treatment of several autoimmune disorders with an **IC₅₀** of 43 nM.


Purity: 99.40%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg



WHI-P154 Cat. No.: HY-13895

Bioactivity: WHI-P154 is a potent JAK3 inhibitor with IC50 of 1

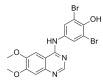
Purity: 98.14%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg



WHI-P97 Cat. No.: HY-11067

Bioactivity: WHI-P97 is a rationally designed potent inhibitor of JAK-3


Purity: 99.48%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg



WP1066 Cat. No.: HY-15312

Bioactivity: WP1066 is a novel inhibitor of **JAK2** and **STAT3**, and also shows effect on STAT5 and ERK1/2, without affecting JAK1 and JAK3.


Purity: 99.67%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg



XL019 Cat. No.: HY-13775

Bioactivity: XL019 is a potent and selective JAK2 inhibitor with IC50 of 2


Purity: >95.0%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



ZM39923 Cat. No.: HY-12589A

Bioactivity: ZM39923 is a Janus kinase inhibitor with IC50 of 10 nM.

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



ZM39923 hydrochloride Cat. No.: HY-12589

Bioactivity: ZM39923 hydrochloride is an JAK1/3 inhibitor with pIC50 of 4.4/7.1, almost no activity to JAK2 and modestly potent to EGFR, also found to be sensitive to transglutaminase.

Purity: 98.28%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

