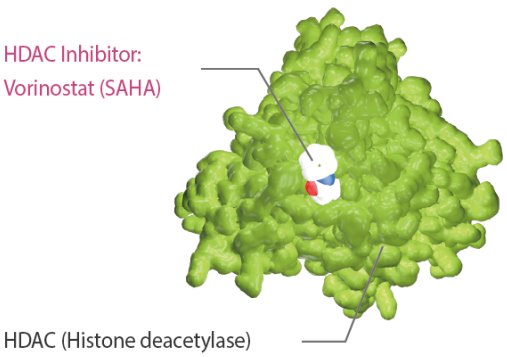
Btk

Bruton tyrosine kinase



Bruton tyrosine kinase (Btk) is a member of the Tec family kinases with a well-characterized role in B-cell antigen receptor (BCR)-signaling and B-cell activation.

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

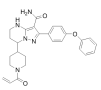
Btk Inhibitors & Modulators

(±)-Zanubrutinib
((±)-BGB-3111)

Cat. No.: HY-101474

Bioactivity: (±)-Zanubrutinib is a potent, selective and orally available Bruton's tyrosine kinase (**Btk**) inhibitor.

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

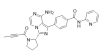


Acalabrutinib
(ACP-196)

Cat. No.: HY-17600

Bioactivity: Acalabrutinib is a novel, potent, and highly selective **BTK** inhibitor, with an IC_{50} of 3 nM and EC_{50} of 8 nM in in vitro assay.

Purity: 99.94%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg, 200 mg

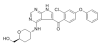


ARQ 531

Cat. No.: HY-112215

Bioactivity: ARQ 531 is a reversible non-covalent inhibitor of Bruton's Tyrosine Kinase (**BTK**), with IC_{50}**s** of 0.85 nM and 0.39 nM for WT-BTK and C481S-BTK, respectively.

Purity: 98.54%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg

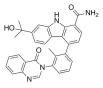


BMS-935177

Cat. No.: HY-101793

Bioactivity: BMS-935177 is a potent and selective reversible inhibitor of Bruton's tyrosine kinase (**Btk**) with an IC_{50} of 3 nM.

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

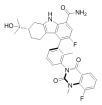


BMS-986142

Cat. No.: HY-101856

Bioactivity: BMS-986142 is a potent and highly selective reversible inhibitor of **Bruton's tyrosine kinase** (**BTK**) with an IC_{50} of 0.5 nM.

Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg

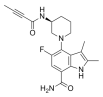


BMS-986195

Cat. No.: HY-112161

Bioactivity: BMS-986195 is a potent, covalent, irreversible inhibitor of Bruton's tyrosine kinase **(BTK)**, with an IC_{50} of <1 nM.

Purity: 99.56%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg, 200 mg

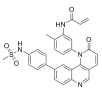


BMX-IN-1
(BMX kinase inhibitor)

Cat. No.: HY-80002

Bioactivity: BMX-IN-1 is a selective, irreversible inhibitor of **bone marrow tyrosine kinase on chromosome X (BMX)** that targets Cys ^{496} in the BMX ATP binding domain with an IC_{50} of 8 nM, also targets the related Bruton's tyrosine kinase **(BTK)** w...

Purity: 98.88%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 50 mg, 100 mg

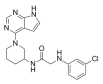


BTK IN-1

Cat. No.: HY-101941

Bioactivity: BTK IN-1 is a potent **BTK** inhibitor, with an IC_{50} of <100 nM.

Purity: 98.88%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

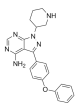


Btk inhibitor 1

Cat. No.: HY-13036

Bioactivity: Btk inhibitor 1 is a pyrazolo[3,4-d]pyrimidine derivative as a Btk kinase inhibitor. IC50 value: Target: Btk From PCT Int. Appl. (2012), WO 2012158843 A2 20121122.

Purity: 97.61%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

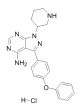


Btk inhibitor 1 hydrochloride

Cat. No.: HY-13036C

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

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

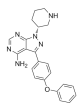


Btk inhibitor 1 R enantiomer

Cat. No.: HY-13036A

Bioactivity: Btk inhibitor 1 R enantiomer is a pyrazolo[3,4-d]pyrimidine derivative as a Btk kinase inhibitor. IC50 value: Target: Btk From PCT Int. Appl. (2012), WO 2012158843 A2 20121122.

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

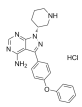


Btk inhibitor 1 R enantiomer hydrochloride

Cat. No.: HY-13036B

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

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

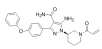


Btk inhibitor 2

Cat. No.: HY-101766

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

Purity: 98.93%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

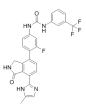


CG-806

Cat. No.: HY-112646

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

Purity: 98.02%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg

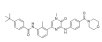


CGI-1746

Cat. No.: HY-11999

Bioactivity: CGI-1746 is a potent and highly selective inhibitor of the **Btk** with **IC_{50}** of 1.9 nM.

Purity: 97.40%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

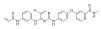


CNX-774

Cat. No.: HY-13943

Bioactivity: CNX-774 is a potent, selective, and orally available small molecule inhibitor of Btk (IC50< 1 nM) that forms a ligand-directed covalent bond with Cys-481, a non-conserved amino acid within the active site of the enzyme.

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



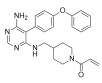
Evobrutinib

(M2951; MSC2364447C)

Cat. No.: HY-101215

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

Purity: 98.17%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
1 mg, 5 mg, 10 mg, 50 mg, 100 mg



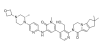
Fenebrutinib

(GDC-0853)

Cat. No.: HY-19834

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

Purity: 99.50%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

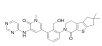


G-744

Cat. No.: HY-102036

Bioactivity: G-744 is a highly potent, selective **Btk** inhibitor with an **IC_{50}** of 2 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

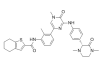


GDC-0834

Cat. No.: HY-15427

Bioactivity: GDC-0834 is a potent and selective **BTK** inhibitor. GDC-0834 inhibits BTK with an in vitro **IC_{50}** of 5.9 and 6.4 nM in biochemical and cellular assays, respectively, and in vivo **IC_{50}** of 1.1 and 5.6 μM in mouse and rat, respectively.

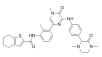
Purity: 99.07%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg



GDC-0834 Racemate

Cat. No.: HY-15427A

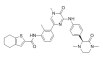
Bioactivity: GDC-0834 Racemate is the racemate form of GDC-0834, which is a potent and selective BTK inhibitor with in vitro IC50s of 5.9 and 6.4 nM in biochemical and cellular assays, respectively. IC50 value: 5.9 nM/6.4 nM(biochemical/cellular assay) [1] Target: BTK in vitro: GDC-0834 inhibited BTK with an in vitro...
Purity: 95.47%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg



GDC-0834 S-enantiomer

Cat. No.: HY-15427B

Bioactivity: GDC-0834 (S-enantiomer) is the S-enantiomer of GDC-0834. GDC-0834 is a potent and selective BTK inhibitor.
Purity: 95.65%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg

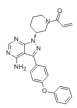


Ibrutinib

(PCI-32765)

Cat. No.: HY-10997

Bioactivity: Ibrutinib (PCI-32765) is a selective, irreversible **Btk** inhibitor with an **IC_{50}** of 0.5 nM.
Purity: 99.89%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g



Ibrutinib-biotin

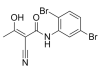
Cat. No.: HY-100342

Bioactivity: Ibrutinib-biotin is a probe that consists of Ibrutinib linked to biotin via a long chain linker, extracted from patent WO2014059368A1 Compound 1-5, has an **IC_{50}** of 0.755-1.02 nM for **BTK**.
Purity: 99.67%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

LFM-A13

Cat. No.: HY-18009

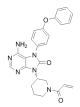
Bioactivity: LFM-A13 is a potent **BTK**, **JAK2**, **PLK** inhibitor, inhibits recombinant BTK, Plx1 and PLK3 with **IC_{50}**s of 2.5 μM, 10 μM and 61 μM; LFM-A13 shows no effects on JAK1 and JAK3, Src family kinase HCK, EGFR and IRK.
Purity: 99.70%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg



ONO-4059 analog

Cat. No.: HY-18951

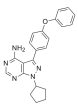
Bioactivity: The product is the analog of ONO-4059, ONO-4059 is a highly potent and selective Btk inhibitor with an IC50 in the sub-nM range.
Purity: 99.76%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



PCI 29732

Cat. No.: HY-18010

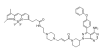
Bioactivity: PCI 29732 is a selective and irreversible Btk inhibitor with IC50 of 8.2 nM in a FRET based biochemical enzymology assay.
Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



PCI-33380

Cat. No.: HY-100335

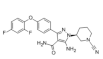
Bioactivity: PCI-33380 is an irreversible Bruton's Tyrosine Kinase **(BTK)** inhibitor (fluorescent probe).
Purity: 98.33%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg



PF-06250112

Cat. No.: HY-117900

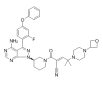
Bioactivity: PF-06250112 is a potent, highly selective, orally bioavailable **BTK** inhibitor with an **IC_{50}** of 0.5 nM, shows inhibitory effect toward **BMX nonreceptor tyrosine kinase** and **TEC** with **IC_{50}**s of 0.9 nM and 1.2 nM, respectively [1].
Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg



PRN1008

Cat. No.: HY-112166

Bioactivity: PRN1008 is a reversible covalent, selective and oral active inhibitor of Bruton's Tyrosine Kinase **(BTK)**, with an **IC_{50}** of 1.3 nM.
Purity: 99.49%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

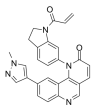


QL47

Cat. No.: HY-80003

Bioactivity: QL47 is a potent, selective and irreversible BTK kinase inhibitor with IC50 of 7 nM. IC50 Value: 7 nM Target: Btk in vitro: QL47 inhibits BTK kinase activity with an IC50 of 7 nM, inhibits autophosphorylation of BTK on Tyr223 in cells with an EC50 of 475 nM and inhibits phosphorylation of a downstream...

Purity: 99.03%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

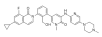


RN486

Cat. No.: HY-18018

Bioactivity: RN486 is a selective Btk inhibitor with an IC50 Value of 4.0 nM.

Purity: 99.87%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
1 mg, 5 mg, 10 mg, 25 mg, 50 mg



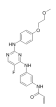
Spebrutinib

(AVL-292; CC-292)

Cat. No.: HY-18012

Bioactivity: Spebrutinib (AVL-292; CC-292) is a covalent, orally active, and highly selective with an **IC_{50}** of 0.5 nM.

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



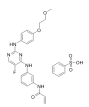
Spebrutinib besylate

(AVL-292 (benzenesulfonate); CC-292 (besylate))

Cat. No.: HY-18012A

Bioactivity: Spebrutinib besylate (AVL-292 benzenesulfonate; CC-292 besylate) is a potent inhibitor of **Btk** kinase activity (**IC_{50}**<0.5 nM, **K_{inact}/K_i**=7.69×10 ^{4} M $^{-1}$s $^{-1}$s) in biochemical assays.

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



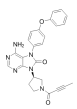
Tirabrutinib

(ONO-4059; GS-4059)

Cat. No.: HY-15771

Bioactivity: Tirabrutinib (ONO-4059) is a highly selective, orally bioavailable **BTK** inhibitor with an **IC_{50}** of 2.2 nM.

Purity: 99.31%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg



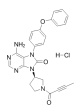
Tirabrutinib hydrochloride

(ONO-4059 (hydrochloride); GS-4059 (hydrochloride))

Cat. No.: HY-15771A

Bioactivity: Tirabrutinib (ONO-4059) hydrochloride is a selective and novel inhibitor of BTK with IC $_{50}$ 2.2 nm, Tirabrutinib binds to BTK within B cells, thereby preventing B-cell receptor signaling and impeding B-cell development.

Purity: 98.74%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg



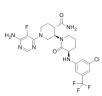
Vecabrutinib

(SNS-062)

Cat. No.: HY-109078

Bioactivity: Vecabrutinib is a potent, noncovalent **BTK** and **ITK** inhibitor, with **K_d** of 0.3 nM and 2.2 nM, respectively; Vecabrutinib shows an **IC_{50}** of 24 nM for ITK.

Purity: 99.96%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Zanubrutinib

(BGB-3111)

Cat. No.: HY-101474A

Bioactivity: Zanubrutinib is a selective **Bruton tyrosine kinase** (**BTK**) inhibitor.

Purity: 99.45%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
1 mg, 5 mg, 10 mg, 50 mg