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 Ca²⁺ 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 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, 25 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)

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

BTX IN-1  
Cat. No.: HY-101941

Bioactivity: BTX 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

Tel: 609-228-6898  Fax: 609-228-5909  Email: sales@MedChemExpress.com
Btk inhibitor 1 R enantiomer

Cat. No.: HY-13036A

Bioactivity: Btk inhibitor 1 R enantiomer is a pyrazolo[3,4-d]pyrimidine derivative as a Btk kinase inhibitor. IC50 value: Target: Btk


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 tyrosine kinase (Btk) inhibitor extracted from patent US 20170224688A1.

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

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

www.MedChemExpress.com
### 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].

**Purity:** 99.49%

**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 IC50 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

---

### LFM-A13

**Cat. No.:** HY-18009

**Bioactivity:** LFM-A13 is a potent BTK, JAK2, PLK inhibitor, inhibits recombinant BTK, Ptx1 and PLK3 with IC50s of 2.5 μM, 10 μM and 61 μM, LFM-A13 shows no effects on JAK1 and JAK3, Src family kinase HCK, EGFR and IRK.

**Purity:** 99.70%

**Clinical Data:** No Development Reported

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

---

### 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, 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, 100 mg

---

### PF-06250112

**Cat. No.:** HY-117900

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

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg

---

### PRN1008

**Cat. No.:** HY-112166

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

**Purity:** 99.49%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th><strong>QL47</strong></th>
<th><strong>RN486</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>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...</td>
<td>RN486 is a selective Btk inhibitor with an IC50 Value of 4.0 nM.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>99.03%</td>
<td>99.87%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td>No Development Reported</td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong></td>
</tr>
<tr>
<td>5 mg, 10 mg, 50 mg</td>
<td>1 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Spebrutinib (AVL-292; CC-292)</strong></th>
<th><strong>Spebrutinib besylate (AVL-292 (benzenesulfonate); CC-292 (besylate))</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>Spebrutinib (AVL-292; CC-292) is a covalent, orally active, and highly selective with an IC50 of 0.5 nM.</td>
<td>Spebrutinib besylate (AVL-292 benzenesulfonate; CC-292 besylate) is a potent inhibitor of Btk kinase activity (IC&lt;sub&gt;50&lt;/sub&gt;&lt;0.5 nM, K&lt;sub&gt;1/2&lt;/sub&gt;&lt;7.69×10&lt;sup&gt;-4&lt;/sup&gt; M&lt;sup&gt;-1&lt;/sup&gt;s&lt;sup&gt;-1&lt;/sup&gt;) in biochemical assays.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>99.95%</td>
<td>&gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td>Phase 2</td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong></td>
</tr>
<tr>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
<td>5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Tirabrutinib (ONO-4059; GS-4059)</strong></th>
<th><strong>Tirabrutinib hydrochloride (ONO-4059 (hydrochloride); GS-4059 (hydrochloride))</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>Tirabrutinib (ONO-4059) is a highly selective, orally bioavailable BTK inhibitor with an IC&lt;sub&gt;50&lt;/sub&gt; of 2.2 nM.</td>
<td>Tirabrutinib (ONO-4059) hydrochloride is a selective and novel inhibitor of BTK with IC&lt;sub&gt;50&lt;/sub&gt; 2.2 nM, Tirabrutinib binds to BTK within B cells, thereby preventing B-cell receptor signaling and impeding B-cell development.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>99.31%</td>
<td>98.74%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td>Phase 2</td>
<td>Phase 2</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong></td>
</tr>
<tr>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Vecabrutinib (SNS-062)</strong></th>
<th><strong>Zanubrutinib (BGB-3111)</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Bioactivity:</strong></td>
<td><strong>Bioactivity:</strong></td>
</tr>
<tr>
<td>Vecabrutinib is a potent, noncovalent BTK and ITK inhibitor, with K&lt;sub&gt;i&lt;/sub&gt; of 0.3 nM and 2.2 nM, respectively; Vecabrutinib shows an IC&lt;sub&gt;50&lt;/sub&gt; of 24 nM for ITK.</td>
<td>Zanubrutinib is a selective Bruton tyrosine kinase (BTK) inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong></td>
<td><strong>Purity:</strong></td>
</tr>
<tr>
<td>99.96%</td>
<td>99.45%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong></td>
<td><strong>Clinical Data:</strong></td>
</tr>
<tr>
<td>No Development Reported</td>
<td>No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong></td>
<td><strong>Size:</strong></td>
</tr>
<tr>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg</td>
</tr>
</tbody>
</table>