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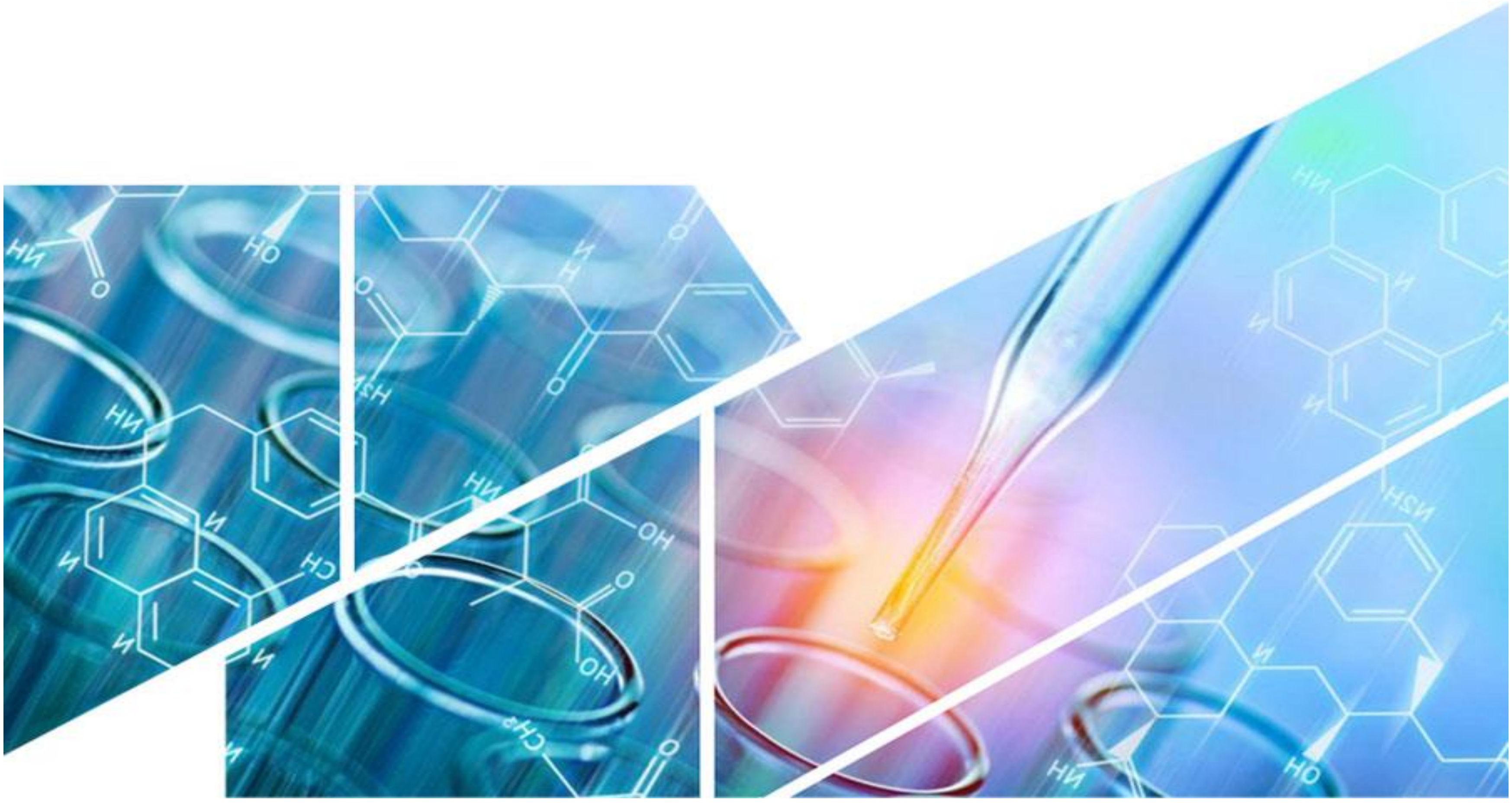
BTK (Bruton's tyrosine kinase) Inhibitors

(inhibitors, agonists and modulators)



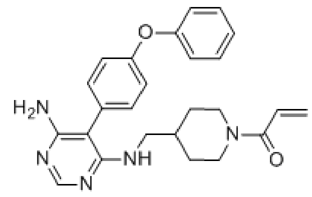
Bruton's tyrosine kinase (BTK) is a cytoplasmic, non-receptor tyrosine kinase that transmits signals from a variety of cell-surface molecules, including the B-cell receptor (BCR) and tissue homing receptors. Genetic BTK deletion causes B-cell immunodeficiency in humans and mice, making this kinase an attractive therapeutic target for B-cell disorders.

Evobrutinib - CAS 1415823-73-2

Catalog Number:

Molecular Weight: 429.51 **Molecular Formula:** C25H27N5O2

Description: Evobrutinib is a highly selective inhibitor of Bruton's tyrosin kinase (Btk) inhibitor.

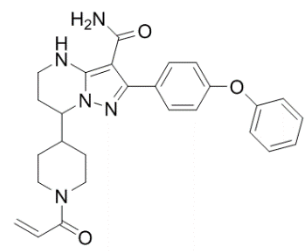


BGB-3111 - CAS 1633350-06-7

Catalog Number:

Molecular Weight: 471.55 **Molecular Formula:** C27H29N5O3

Description: BGB-3111 is a potent, selective and orally available Bruton's tyrosine kinase (Btk) inhibitor that demonstrates superior oral bioavailability, achieving higher exposure and more complete target inhibition in the tissues than ibrutinib.

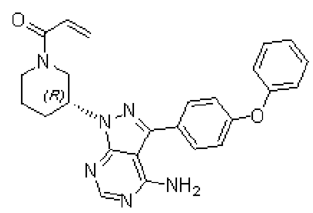


PCI-32765 (Ibrutinib) - CAS 936563-96-1

Catalog Number: B0084-314184 **Price:** $169/1 g

Molecular Weight: 440.507 **Molecular Formula:** C25H24N6O2

Description: Ibrutinib is a small-molecule inhibitor of Bruton's tyrosine kinase (BTK). It binds to BTK to suppress both B-cell activation and B-cell-mediated signaling.

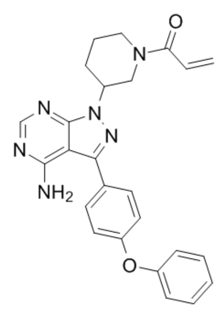


PCI-32765 Racemate - CAS 936563-87-0

Catalog Number: 936563-87-0

Molecular Weight: 440.5 **Molecular Formula:** C25H24N6O2

Description: PCI-32765 Racemate is a potent and highly selective inhibitor of Bruton tyrosine kinase (BTK), modestly potent to Bmx, CSK, FGR, BRK, HCK, less potent to EGFR, Yes, ErbB2, JAK3, etc. It is a covalent and irreversible inhibitor of BTK through bonding to Cys-481 in the ATP binding domain.

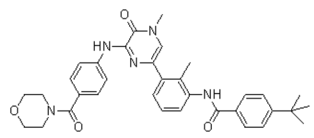


CGI1746 - CAS 910232-84-7

Catalog Number: 910232-84-7

Molecular Weight: 579.69 **Molecular Formula:** C34H37N5O4

Description: CGI1746 is a small-molecule Btk inhibitor chemotype with a new binding mode that stabilizes an inactive nonphosphorylated enzyme conformation. CGI1746 has exquisite selectivity for Btk and inhibits both auto- and transphosphorylation steps necessary for enzyme activation.

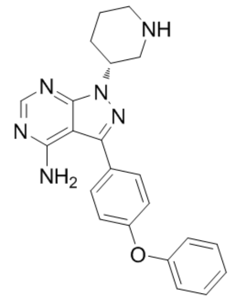


Btk inhibitor 1 R enantiomer - CAS 1022150-12-4

Catalog Number: 1022150-12-4

Molecular Weight: 386.45 **Molecular Formula:** C22H22N6O

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

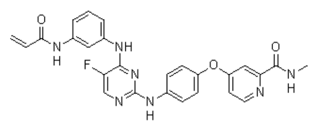


CNX-774 - CAS 1202759-32-7

Catalog Number: 1202759-32-7

Molecular Weight: 499.50 **Molecular Formula:** C26H22FN7O3

Description: CNX-774 is a potent Btk inhibitor (IC50 < 1 nM).

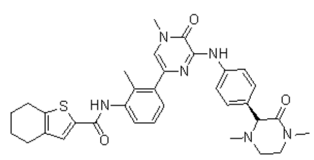


GDC-0834 - CAS 1133432-46-8

Catalog Number: 1133432-46-8

Molecular Weight: 596.74 **Molecular Formula:** C33H36N6O3S

Description: GDC-0834 is a potent and selective inhibitor of Bruton's tyrosine kinase (BTK), investigated as a potential treatment for rheumatoid arthritis. In vitro metabolite identification studies in hepatocytes revealed predominant formation of an inactive metabolite (M1) via amide hydrolysis in human.

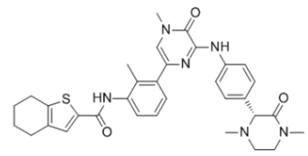


GDC-0837 - CAS 1133432-49-1

Catalog Number: 1133432-49-1

Molecular Weight: 596.74 **Molecular Formula:** C33H36N6O3S

Description: GDC-0834 is a small molecule inhibitor of Btk potentially useful in the treatment of RA and lymphoid malignancies. Administration of GDC-0834 (30 - 100 mg/kg) in a rat CIA model induced a decrease of ankle swelling and reduction of morphologic pathology in a dose-dependent manner.

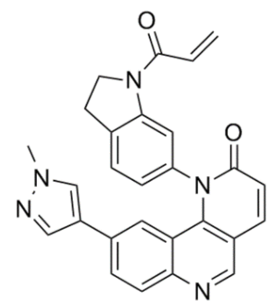


QL47 - CAS 1469988-75-7

Catalog Number: 1469988-75-7

Molecular Weight: 447.49 **Molecular Formula:** C27H21N5O2

Description: QL47 is a potent and selective BTK inhibitor, which covalently modifies Cys481. 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 effector PLCγ2 (Tyr759) with an EC50 of 318 nM.

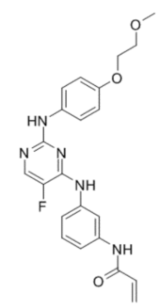


AVL-292 - CAS 1202757-89-8

Catalog Number: 1202757-89-8

Molecular Weight: 423.44 **Molecular Formula:** C22H22FN5O3

Description: AVL-292, also known as CC-292, is an orally bioavailable, selective inhibitor of Bruton's agammaglobulinemia tyrosine kinase (BTK), with potential antineoplastic activity. Upon administration, AVL-292 targets and covalently binds to BTK, thereby preventing its activity.

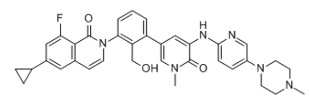


RN486 - CAS 1242156-23-5

Catalog Number: 1242156-23-5

Molecular Weight: 606.69 **Molecular Formula:** C35H35FN6O3

Description: RN486 is a reversible Bruton's tyrosine kinase (Btk) inhibitor with IC50 value of 4.0 nM.

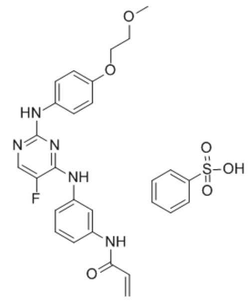


AVL-292 benzenesulfonate - CAS 1360053-81-1

Catalog Number: 1360053-81-1

Molecular Weight: 581.62 **Molecular Formula:** C28H28FN5O6S

Description: AVL-292 benzenesulfonate is a covalent, highly selective, orally active small molecule inhibitor of Btk with IC50 value of 0.5 nM; >1400-fold selectivity over the other kinases assayed.

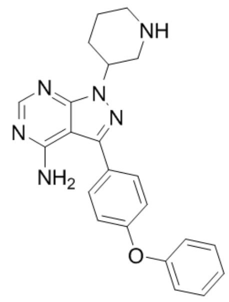


Btk inhibitor 1 - CAS 1412418-47-3

Catalog Number: 1412418-47-3

Molecular Weight: 386.45 **Molecular Formula:** C22H22N6O

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

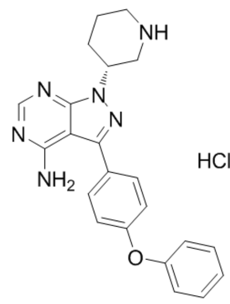


Btk inhibitor 1 R enantiomer hydrochloride - CAS 1553977-42-6

Catalog Number: 1553977-42-6

Molecular Weight: 422.91 **Molecular Formula:** C22H23ClN6O

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

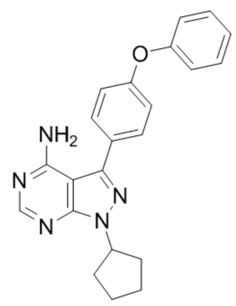


PCI 29732 - CAS 330786-25-9

Catalog Number: 330786-25-9

Molecular Weight: 371.44 **Molecular Formula:** C22H21N5O

Description: Potent BTK inhibitor (IC50 = 0.3 nM). Blocks B cell antigen receptor (BCR)-mediated gene expression in CD20+ B cells.

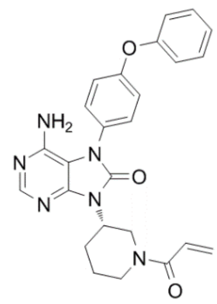


ONO-4059 analogue - CAS 1351635-67-0

Catalog Number: 1351635-67-0

Molecular Weight: 456.5 **Molecular Formula:** C25H24N6O3

Description: ONO-4059 analogue is an analogue of ONO-4059, which is a highly potent and selective oral BTK inhibitor with IC50 of 23.9 nM. Phase 1.

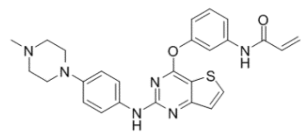


Olmutinib - CAS 1353550-13-6

Catalog Number: B0084-470842 **Price:** $199/200 mg

Molecular Weight: 486.18 **Molecular Formula:** C26H26N6O2S

Description: Olmutinib, also called as HM61713 and BI-1482694, is an orally available, mutant-selective third-generation epidermal growth factor receptor tyrosine kinase inhibitor (EGFR TKI) that is being developed for treatment of advanced and metastatic EGFR mutation-positive non-small cell lung cancer (NSCLC).

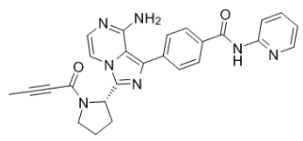


Acalabrutinib (ACP-196) - CAS 1420477-60-6

Catalog Number: 1420477-60-6

Molecular Weight: 465.52 **Molecular Formula:** C26H23N7O2

Description: Acalabrutinib, also known as ACP-196, is an orally available inhibitor of Bruton's tyrosine kinase (BTK) with potential antineoplastic activity.ACP-196 inhibits the activity of BTK and prevents the activation of the B-cell antigen receptor (BCR) signaling pathway.

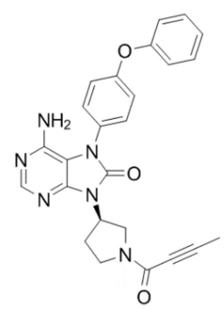


ONO-4059 - CAS 1351636-18-4

Catalog Number: 1351636-18-4

Molecular Weight: 454.48 **Molecular Formula:** C25H22N6O3

Description: ONO-4059, a BTK inhibitor, has been found to have potential effect against sorts of malignancies by influencing the B-cell development. It is currently under Phase II trial to study its effect against Chronic lymphocytic leukaemia. IC50: 2.2 nM.

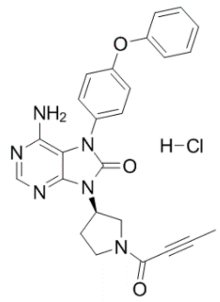


ONO-4059 (hydrochloride) - CAS 1439901-97-9

Catalog Number: B0084-474577 **Price:** $239/50 mg

Molecular Weight: 490.95 **Molecular Formula:** C25H23ClN6O3

Description: ONO-4059 (hydrochloride) is the hydrochloride salt form of Tirabrutinib. ONO-4059 is a highly selective, orally bioavailable BTK inhibitor (IC50= 2.2 nM) and demonstrated therapeutic efficacy in a mouse arthritis model.



RN983 - CAS 1423129-83-2

Catalog Number: 1423129-83-2

Molecular Weight: 624.72 **Molecular Formula:** C34H37FN8O3

Description: RN983 is a selective BTK enzyme inhibitor. It inhibits IgG production in B-cells with an IC50 value of 2.5 ± 0.7 nM and PGD2 production from mast cells with an IC50 value of 8.3 ± 1.1 nM. RN983 showed similar activities in the allergic mouse model of asthma. RN983 may be effective as a stand-alone asthma therapy or used in combination with inhaled steroids and β-agonists in severe asthmatics.