Itk

Interleukin-2 inducible T-cell kinase; IL2 inducible T-cell kinase

Itk (Interleukin-2-inducible T-cell kinase) is a Tec family tyrosine kinase that mediates signaling processes after T cell receptor engagement. Activation of Itk requires recruitment to the membrane via its pleckstrin homology domain, phosphorylation of Itk by the Src kinase, Lck, and binding of Itk to the SLP-76/LAT adapter complex. After activation, Itk phosphorylates and activates phospholipase C-gamma1 (PLC-gamma1), leading to production of two second messengers, DAG and IP3. IP3 and DAG stimulate the release of calcium ions from the endoplasmic reticulum and activate Protein Kinase C, respectively. In addition, Itk regulates the development of Th2 cells and their subsequent cytokine secretion, thereby modulating the immune response.

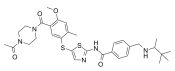
Studies have shown that ITK is involved in the pathogenesis of autoimmune diseases as well as in carcinogenesis. The loss of ITK or its activity either by mutation or by the use of inhibitors led to a beneficial outcome in experimental models of asthma, inflammatory bowel disease and multiple sclerosis among others. In humans, biallelic mutations in the ITK gene locus result in a monogenetic disorder leading to T cell dysfunction, etc. These findings put ITK in the strong focus as a target for drug development.

Itk Inhibitors

BMS-509744

Cat. No.: HY-11092

BMS-509744 is a potent, selective and ATP competitive **Itk** inhibitor with an IC_{50} of 19 nM.

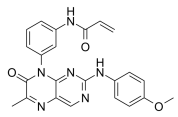


Purity: 98.54%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

EGFR-IN-40

Cat. No.: HY-143901

EGFR-IN-40 (compound 3z) is a potent **BTK**, **EGFR**, and **ITK** inhibitor with IC_{50} values of 1.2 nM, 5.3 nM, and 46.1 nM, respectively.

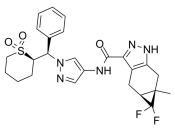


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GNE-4997

Cat. No.: HY-16984

GNE-4997 is a potent and selective **interleukin-2-inducible T-cell kinase (ITK)** inhibitor with a K_i of 0.09 nM, and the correlation between the basicity of solubilizing elements in GNE-4997 and off-target antiproliferative effects reduces cytotoxicity.

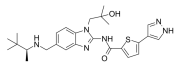


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ITK antagonist

Cat. No.: HY-13232

ITK antagonist (compound 10 n) is a potent, orally active and selective **ITK** (Interleukin-2 inducible T-cell kinase) antagonist (IC_{50}=1 and 20 nM in different assays). ITK antagonist inhibits insulin receptor kinase (IRK) with an IC_{50} of 160 nM.

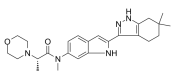


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ITK inhibitor 2

Cat. No.: HY-128726

ITK inhibitor 2 is a interleukin-2-inducible T-cell kinase (**ITK**) inhibitor extracted from patent WO2011065402A1, compound 4, with an IC_{50} of 2 nM.

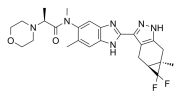


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ITK/TRKA-IN-1

Cat. No.: HY-141864

ITK/TRKA-IN-1 is a dual inhibitor of **IL-2-inducible T-cell kinase (ITK)** and **tropomyosin receptor kinase A (TRKA)** with an IC_{50} value of 1.0 nM and 96 % inhibition, respectively.

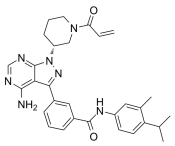


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PF-06465469

Cat. No.: HY-108691

PF-06465469 is a covalent inhibitor of **ITK** with an IC_{50} of 2nM.

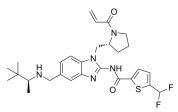


Purity: 98.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

PRN694

Cat. No.: HY-12680

PRN694 is an irreversible, highly selective and potent covalent **interleukin-2-inducible T-cell kinase (ITK)** and **resting lymphocyte kinase (RLK)** dual inhibitor with IC_{50}s of 0.3 nM and 1.4 nM, respectively.



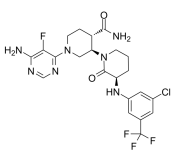
Purity: 99.36%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Vecabrutinib

(SNS-062)

Cat. No.: HY-109078

Vecabrutinib (SNS-062) is a potent, noncovalent **BTK** and **ITK** inhibitor, with K_d values of 0.3 nM and 2.2 nM, respectively. Vecabrutinib shows an IC_{50} of 24 nM for **ITK**.



Purity: 99.85%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg