BTK inhibitor 18

Cat. No.: HY-132196

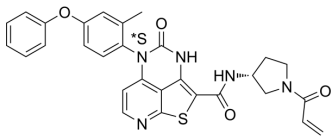
Molecular Formula: $C_{29}H_{25}N_5O_4S_2$

Molecular Weight: 571.67

Target: Btk

Pathway: Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BTK inhibitor 18 is a potent, selective,orally active and covalent Btk inhibitor with a IC_{50} of 142 nM. BTK inhibitor 18 has anti-inflammatory activities[1].
IC_{50} & Target	IC50: 142 nM (Btk)[1]
In Vitro	BTK inhibitor 18 (Compound 27) irreversibly inhibits BTK by targeting a noncatalytic cysteine residue (Cys481) for covalent bond formation[1]. BTK inhibitor 18 (Compound 27) inhibits anti-IgM-induced activation of B cells in human whole blood with an IC_{50} of 84 nM[1]. BTK inhibitor 18 (Compound 27) also inhibits BMX, LCK, ErbB4, TEC, and TXK kinases with IC_{50} values of 129 nM, 130 nM, 377 nM, 409 nM, 1770 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	BTK inhibitor 18 (Compound 27; 1-30 mg/kg; oral administration; once a day; for 7 days) treatment shows dose-dependent efficacy at reducing joint inflammation in a rat collagen-induced arthritis model[1]. The IV and PO pharmacokinetics of BTK inhibitor 18 (Compound 27) are investigated in nonfasted rats (1 and 5 mg/kg IV and PO) and fasted dogs (0.5 and 2.5 mg/kg IV and PO). IV pharmacokinetics are characterized by moderate clearance in rat and low clearance in dog, a moderate volume of distribution, and a short plasma half-life across both species ($T_{1/2}$ of 0.3 h and 1.9 h for rat and dog, respectively). The oral bioavailability is 30% and 68% in rat and dog, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A rat collagen-induced arthritis (CIA) model[1]
Dosage:	1 mg/kg, 3 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Oral administration; once a day; for 7 days
Result:	Attenuated hind paw inflammation in a dose-dependent manner.

REFERENCES

[1]. Mark S Tichenor, et al. Discovery of a Potent and Selective Covalent Inhibitor of Bruton's Tyrosine Kinase with Oral Anti-Inflammatory Activity. ACS Med Chem Lett. 2021 Apr 5;12(5):782-790.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA