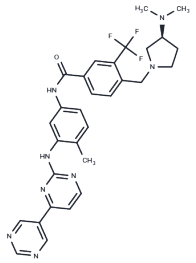
Data Sheet (Cat.No.T6311)

TargetMol

Bafetinib

Chemical Properties



CAS No. : 859212-16-1

Formula: C30H31F3N8O

Molecular Weight: 576.62

Appearance: no data available

Storage: store at low temperature,keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Bafetinib (INNO-406) (INNO-406) is an effective and specific dual Bcr-Abl/Lyn inhibitor (IC50: 5.8/19 nM), and no inhibition of the phosphorylation of the T315I mutant and less effective to c-Kit and PDGFR.
Targets(IC50)	Bcr-Abl,Autophagy,Src
In vitro	Bafetinib blocks WT Bcr-Abl autophosphorylation and its downstream kinase activity with IC50 of 11 nM and 22 nM in K562 and 293T cells, respectively. Bafetinib suppresses the growth of the Bcr-Abl-positive cell lines including K562, KU812, and BaF3/wt cells potently without effects on the proliferation of the Bcr-Abl-negative U937 cell line. Moreover, Bafetinib exhibits a dose-dependent antiproliferative effect against Bcr-Abl point mutant cell lines, such as BaF3/E255K cells. [1] In Bcr-Abl+ leukemia cell lines, Bafetinib induces both caspase-mediated and caspase-independent cell death by blocking the phosphorylation of Bcr-Abl. [2]
In vivo	In Bcr-Abl–positive KU812 mouse model, Bafetinib (0.2 mg/kg/day) significantly inhibits tumor growth, and completely inhibits tumor growth without adverse effects at 20 mg/kg/day. For Balb/c mice, Bafetinib shows maximal tolerated dose of 200 mg/kg/d and bioavailability value (BA) of 32%. [1] In a Central nervous system (CNS) leukemia model bearing Ba/F3/wt bcr-ablGFP, Ba/F3/Q252H, or Ba/F3/M351T cells, combination treatment of Bafetinib (60 mg/kg) and cyclosporine A (CsA) (50 mg/kg) leads to more significant inhibition of leukemia growth in the brain than either Bafetinib or CsA alone. [3]
Kinase Assay	Kinase assay : Bcr-Abl kinase assays are performed in 25 μL of reaction mixture containing 250 μM peptide substrate, 740 Bq/μL [γ-33P]ATP, and 20 μM cold adenosine triphosphate (ATP) by using the SignaTECT protein tyrosine kinase assay system. Each Bcr-Abl kinase is used at a concentration of 10 nM. Kinase assays for Abl, Src, and Lyn are carried out with an enzyme-linked immunosorbent assay (ELISA) kit. The inhibitory effects of NS-187 against 79 tyrosine kinases are tested with KinaseProfiler.
Cell Research	K562, BaF3/wt, BaF3/E255K, and BaF3/T315I cells are plated at 1 × 103 in 96-well plates, whereas KU812 and U937 cells are plated at 5 × 103 in 96-well plates. Cells are incubated with serial dilutions of Bafetinib for 3 days. Cell proliferation is measured by MTT (3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide; Nacalai Tesque) assay, and the 50% inhibitory concentration (IC50) values are calculated by fitting the data to a logistic curve. (Only for Reference)

Solubility Information

Solubility	DMSO: 93 mg/mL (161.28 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7342 mL	8.6712 mL	17.3424 mL
5 mM	0.3468 mL	1.7342 mL	3.4685 mL
10 mM	0.1734 mL	0.8671 mL	1.7342 mL
50 mM	0.0347 mL	0.1734 mL	0.3468 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Kimura S, et al. Blood. 2005, 106(12), 3948-3954.
Jing Y, Dai X, Yang L, et al. STING couples with PI3K to regulate actin reorganization during BCR activation. Science Advances. 2020, 6(17): eaax9455.
Wu J, Nie Y, Wang J, et al. Fcγ receptor-mediated phagocytosis pathway was involved in phagocytosis of mIgM+ B lymphocytes from largemouth bass (Micropterus salmoides). Journal of Fish Biology. 2022
Kamitsuji Y, et al. Cell Death Differ. 2008, 15(11), 1712-2172.
Weng H, Xiong K P, Wang W, et al.Aspartoacylase suppresses prostate cancer progression by blocking LYN activation.Military Medical Research.2023, 10(1): 1-25.
Yokota A, et al. Blood. 2007, 109(1), 306-314.
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