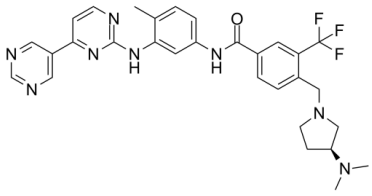
Data Sheet

Product Name:	Bafetinib
Cat. No.:	CS-5142
CAS No.:	859212-16-1
Molecular Formula:	C30H31F3N8O
Molecular Weight:	576.62
Target:	Autophagy; Bcr-Abl
Pathway:	Autophagy; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : ≥ 42 mg/mL (72.84 mM)



BIOLOGICAL ACTIVITY:

Bafetinib is a **Lyn and Bcr-Abl** tyrosine kinase inhibitor with potential antineoplastic activity.

PROTOCOL (Extracted from published papers and Only for reference)

Kinase assay [2] 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 assay [1] For inhibitor studies, cells were incubated for 30 min with a TRPV4 antagonist (0.01-1 μM), sarcoendoplasmic reticulum calcium transport ATPase (SERCA) inhibitor (thapsigargin 1 μM), selective Gαqinhibitor (UBO-QIC 100 nM), tyrosine kinase inhibitors (Bafetinib 1-10 μM, dasatinib 1-10 μM), PI3K inhibitors (wortmannin 0.1-10 μM, LY294002 10-50 μM), a selective MEK1/2 inhibitor (U0126 1-10 μM) or vehicle (control) before assay. Submaximal concentrations of agonists that gave reliable and robust responses were chosen from the concentration-response curves to investigate the coupling response. Appropriate concentrations of antagonist were chosen from concentration-response curves, or from the available literature, to test against other agonists. Animal administration [1] Mice were treated with Bfetinib (10 mg/kg), or vehicle (1% DMSO,) by gavage (100 μL). After 30 min, mice were sedated (5% isoflurane) and received intraplantar injection into the left hind paw of either the PAR2-activating peptide (SLIGRL-NH2, 1 μg) or the TRPV4 channel agonist (GSK1016790A, 65 ng). von Frey responses were recorded from the injected (left) and uninjected (right) hind paws for up to 4 h after injection. Results are expressed as % of baseline values.

References:

[1]. Grace MS, et al. The tyrosine kinase inhibitor bafetinib inhibits PAR2-induced activation of TRPV4 channels in vitro and pain in vivo. Br J Pharmacol. 2014 Aug;171(16):3881-3894.

[2]. Kimura S, et al. NS-187, a potent and selective dual Bcr-Abl/Lyn tyrosine kinase inhibitor, is a novel agent for imatinib-resistant leukemia. Blood. 2005 Dec 1;106(12):3948-3954.

[3]. Kamitsuji Y, et al. The Bcr-Abl kinase inhibitor INNO-406 induces autophagy and different modes of cell death execution in Bcr-Abl-positive leukemias. Cell Death Differ. 2008, 15(11), 1712-2172.

[4]. Yokota A, et al. INNO-406, a novel BCR-ABL/Lyn dual tyrosine kinase inhibitor, suppresses the growth of Ph+ leukemia cells in the central nervous system, and cyclosporine A augments its in vivo activity. Blood. 2007, 109(1), 306-314.

CAIndexNames:

Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[(3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-

SMILES:

O=C(C1=CC=C(C(C(F)(F)F)=C1)CN2C[C@@H](N(C)C)CC2)NC3=CC=C(C)C(NC4=NC=CC(C5=CN=CN=C5)=N4)=C3

Caution: Product has not been fully validated for medical applications. For research use only.

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