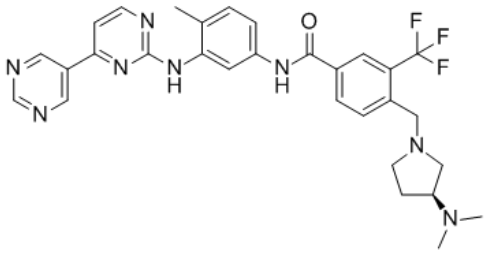
Product Data Sheet

Product Name: Bafetinib
Cat. No.: GC35462

Chemical Properties

Cas. No.	859212-16-1		
Chemical Name	(S)-N-(3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl)-4-((3-(dimethylamino)pyrrolidin-1-yl)methyl)-3-(trifluoromethyl)benzamide		
SMILES	O=C(NC1=CC=C(C)C(NC2=NC=CC(C3=CN=CN=C3)=N2)=C1)C4=CC=C(CN5C[C@@H](N(C)C)CC5)C(C(F)(F)F)=C4		
Formula	$C_{30}H_{31}F_3N_8O$	M.Wt	576.62
Solubility	DMSO: ≥ 42 mg/mL (72.84 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Cell lines	HEK-293 T cells stably expressing human ACE2 and TMPRSS2 (HEK-293 T-AT)
Preparation Method	HEK-293 T-AT cells were treated with Bafetinib at different concentrations and infected with SARS-CoV-2 (Wuhan strain) at a multiplicity of infection (MOI) of 0.5 infectious units per cell for 16-18 hours.
Reaction Conditions	0.09, 0.9, and 9μM; 16-18h
Applications	Bafetinib showed a statistically significant inhibition of the number of virus-infected cells (relative infection value of 0.69). Bafetinib also strongly inhibits viral-induced syncytia formation.

Animal experiment [2]:

Animal models	Male Balb/c mice (6 weeks) and Male immunodeficient nude mice
Preparation Method	5 x 10^6 murine colorectal adenocarcinoma CT26 cells were subcutaneously inoculated into mice. The mice were randomly divided into two groups and treated with 5% CMC-Na or Bafetinib (30mg/kg daily) dispersed in 5% CMC-Na intragastrically for 10 days.
Dosage form	30mg/kg; intragastric administration; daily for 10 days

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Applications	Bafetinib treatment significantly inhibited tumor growth compared with the control group. Bafetinib significantly inhibited the expression of PD-L1 in mouse tumors. In immunodeficient nude mouse models, Bafetinib didn't inhibit tumor growth, although lower PD-L1 expression was observed.

References:
[1] Serra A, Fratello M, Federico A, et al. Computationally prioritized drugs inhibit SARS-CoV-2 infection and syncytia formation. Brief Bioinform. 2022 Jan 17;23(1):bbab507.
[2] Grace MS, Lieu T, Darby B, 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):3881-94.

Background

Bafetinib is a dual Bcr-Abl/Lyn tyrosine kinase inhibitor. Bafetinib inhibits Bcr-Abl autophosphorylation and enhances pro-apoptotic protein activity. Bafetinib can be used in research related to chronic myeloid leukemia, chronic lymphocytic leukemia, prostate cancer, and brain tumors[1-4].

In vitro, HEK-293 T cells (stably expressing human ACE2 and TMPRSS2) infected with SARS-CoV-2 Wuhan strain (MOI=0.5i.u./cell) were treated with Bafetinib (0.09, 0.9, and 9μM) for 16-18 hours. Bafetinib significantly inhibited the number of virus-infected cells and suppressed virus-induced syncytium formation[5]. ABCB1-overexpressing SW620/Ad300 and HEK/ABCB1 cells, as well as ABCG2-overexpressing NCI-H460/MX20 and HEK/ABCG2-R482 cells, were pretreated with Bafetinib (1-3 μM) for 1 hour, followed by a 2-hour [^{3}H]-paclitaxel or [^{3}H]-mitoxantrone accumulation assay. Bafetinib significantly increased intracellular drug accumulation and inhibited drug efflux[6].

In vivo, Balb/c mice inoculated with CT26 cells were administered Bafetinib (30mg/kg; once daily) by gavage for 10 days. Bafetinib significantly inhibited tumor growth and markedly suppressed PD-L1 expression[7]. In pain behavior experiments, C57BL/6 mice were administered Bafetinib (10mg/kg; single treatment) by gavage 30 minutes before

the test. Bafetinib significantly inhibited PAR2-induced mechanical hyperalgesia[8].

References:

[1] Santos FP, Kantarjian H, Cortes J, et al. Bafetinib, a dual Bcr-Abl/Lyn tyrosine kinase inhibitor for the potential treatment of leukemia. Curr Opin Investig Drugs. 2010 Dec;11(12):1450-65.
[2] Liu D, Jin X, Zeng Y, et al. Bafetinib enhances anti-tumor immunity by activating the NLRP3 inflammasome in macrophage. Autophagy. 2026 Apr 12:1-19.
[3] Kuroda J, Kimura S, Strasser A, et al. Apoptosis-based dual molecular targeting by INNO-406, a second-generation Bcr-Abl inhibitor, and ABT-737, an inhibitor of antiapoptotic Bcl-2 proteins, against Bcr-Abl-positive leukemia. Cell Death Differ. 2007 Sep;14(9):1667-77.
[4] Milara J, Martinez-Losa M, Sanz C, et al. Bafetinib inhibits functional responses of human eosinophils in vitro. Eur J Pharmacol. 2013 Sep 5;715(1-3):172-80.
[5] Serra A, Fratello M, Federico A, et al. Computationally prioritized drugs inhibit SARS-CoV-2 infection and syncytia formation. Brief Bioinform. 2022 Jan 17;23(1):bbab507.
[6] Zhang YK, Zhang GN, Wang YJ, et al. Bafetinib (INNO-406) reverses multidrug resistance by inhibiting the efflux function of ABCB1 and ABCG2 transporters. Sci Rep. 2016 May 9;6:25694.
[7] Chen X, Du Q, Guo H, et al. Bafetinib Suppresses the Transcription of PD-L1 Through c-Myc in Lung Cancer. Front Pharmacol. 2022 Jun 2;13:897747.
[8] Grace MS, Lieu T, Darby B, 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):3881-94.