Bafetinib

Cat. No.: HY-50868

CAS No.: 859212-16-1

Molecular Formula: $C_{30}H_{31}F_3N_8O$

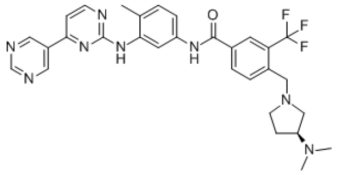
Molecular Weight: 576.62

Target: Bcr-Abl; Apoptosis; Src

Pathway: Protein Tyrosine Kinase/RTK; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (173.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bafetinib is an orally active Lyn/Bcr-Abl tyrosine kinase inhibitor. Bafetinib enhances the activity of several pro-apoptotic Bcl-2 homology (BH) 3-pure proteins (Bim, Bad, Bmf, and Bik) through intrinsic apoptotic pathways regulated by the Bcl-2 family, and induces apoptosis of Ph^+ leukemia cells. Bafetinib has antitumor activity[1][2][3].

In Vitro

Bafetinib (0.625, 1.25, 2.5 μM,24 h) inhibits the transcription of PD-L1 in lung cancer cell H292 by c-Myc[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	H292

Concentration:	0.625, 1.25, 2.5 μM
Incubation Time:	24 h
Result:	Inhibited the expression of PD-L1 and c-Myc.

In Vivo

Bafetinib (30 mg/kg/day, orally, for 10 consecutive days) inhibits the expression of PD-L1 in mouse lung cancer[1].
Bafetinib (10 mg/kg, gavage, single dose) alleviates pain in mice by inhibiting PAR2-induced TRPV4 channel activation[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Murine inflammatory pain model[2]
Dosage:	10 mg/kg
Administration:	i.g.
Result:	Inhibited PAR2-induced mechanical hyperalgesia.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- Mil Med Res. 2023 Jun 5;10(1):25.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Redox Biol. 2023 Sep 20, 102898.
- Food Chem Toxicol. 2020 Jan;135:110924.

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REFERENCES

[1]. Chen X, et al. Bafetinib Suppresses the Transcription of PD-L1 Through c-Myc in Lung Cancer. Front Pharmacol. 2022 Jun 2;13:897747.

[2]. 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):3881-94.

[3]. Kuroda J, 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.

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

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