Bosutinib

Cat. No.: HY-10158

CAS No.: 380843-75-4

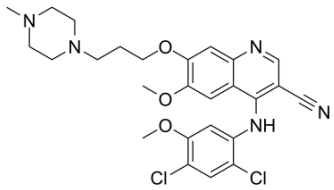
Molecular Formula: $C_{26}H_{29}Cl_2N_5O_3$

Molecular Weight: 530.45

Target: Src; Bcr-Abl; Autophagy

Pathway: Protein Tyrosine Kinase/RTK; Autophagy

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 46 mg/mL (86.72 mM)
H_2O : < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	1.8852 mL	9.4260 mL	18.8519 mL
	5 mM	0.3770 mL	1.8852 mL	3.7704 mL
	10 mM	0.1885 mL	0.9426 mL	1.8852 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 (4.71 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.71 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bosutinib is a dual Src/Abl inhibitor with IC_{50}s of 1.2 nM and 1 nM, respectively.

IC_{50} & Target

IC50: 1.2 nM (Src), 1 nM (Abl)[1]

In Vitro

Bosutinib (100 nM; 24/48 hours) results in a reduction of S and G2-M phase cells and an increase of cells with a DNA content of less than 2N[3].
Bosutinib inhibits the proliferation of all three cell lines, with IC_{50}s ranging from 5 nM in the KU812 line to 20 nM for the K562

and MEG-01 cell lines[3].
Bosutinib (10-500 nM; 4 hours) ablates tyrosine phosphorylation of STAT5 at 25 nM[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[3]

Cell Line:	KU812, K562 cells
Concentration:	100 nM
Incubation Time:	24 hours (KU812 cells), 48 hours (K562 cells)
Result:	Resulted in a reduction of S and G2-M phase cells and an increase of cells with a DNA content of less than 2N.

Western Blot Analysis[3]

Cell Line:	K562 cells
Concentration:	10 nM, 25 nM, 50 nM, 100 nM, 500 nM
Incubation Time:	4 hours
Result:	Tyrosine phosphorylation of STAT5 was ablated by 25 nM.

In Vivo

Bosutinib (50-150 mg; p.o.; once a day for 5 days) remaines tumor free at 150 mg/kg, whereas at the lower doses, some relapse occurs over a 40-day period in K562 Xenografts in Nude Mice[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude female mice 6–7 weeks of age (K562 xenografts)[3]
Dosage:	50, 75, 100, 150 mg/kg
Administration:	Oral administration, once a day for 5 days
Result:	Remained tumor free at 150 mg/kg, whereas at the lower doses, some relapse occurred over a 40-day period.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Target Oncol. 2020 Oct;15(5):659-671.
- Biochem Biophys Res Commun. 2020 Feb 19;522(4):862-868.
- Technical University of Munich. 24.01.2018.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Boschelli DH, et al. Optimization of 4-phenylamino-3-quinolinecarbonitriles as potent inhibitors of Src kinase activity. J Med Chem, 2001, 44(23), 3965-3977.

[2]. Golas JM, et al. SKI-606, a 4-anilino-3-quinolinecarbonitrile dual inhibitor of Src and Abl kinases, is a potent antiproliferative agent against chronic myelogenous leukemia cells in culture and causes regression of K562 xenografts in nude mice. Cancer Res, 2003, 63(2), 375-381.

[3]. Vultur A, et al. SKI-606 (bosutinib), a novel Src kinase inhibitor, suppresses migration and invasion of human breast cancer cells. Mol Cancer Ther, 2008, 7(5), 1185-1194.

[4]. Golas JM, et al. SKI-606, a Src/Abl inhibitor with in vivo activity in colon tumor xenograft models. Cancer Res, 2005, 65(12), 5358-5364.

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