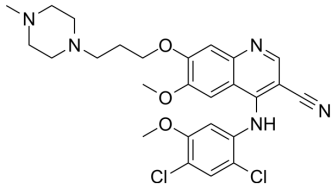
Bosutinib

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, 1 year; -20°C, 6 months (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 46 mg/mL (86.72 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	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% corn oil
   Solubility: ≥ 2.5 mg/mL (4.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bosutinib is an orally active Src/Abl tyrosine kinase inhibitor with IC_{50} of 1.2 nM and 1 nM, respectively[1].

IC_{50} & Target

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

In Vitro

Bosutinib (SKI-606) is an active inhibitor of Bcr-Abl in several chronic myelogenous leukemia cell lines, with IC_{50} values in the low nanomolar range[2].

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

Cell Proliferation Assay[2]

Cell Line:	The leukemic Bcr-Abl+ cell lines (KCL22, K562, KU812, and Lama84)
Concentration:	0.1 μmol/L
Incubation Time:	72 h
Result:	Inhibited several human CML derived cell lines with IC_{50} values ranging from 1 to 20 nmol/L

In Vivo

Bosutinib (oral gavage; 75 mg/kg twice daily or 150 mg/kg once daily) has activity against human KU812 xenografts in nude mice. Bosutinib (150 mg/kg; once daily, 5 days weekly) has activity against syngeneic Bcr-Abl WT and mutantBa/F3 xenografts[2].

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

Animal Model:	KU812CM L xenograft model[2]
Dosage:	75 mg/kg twice daily or 150 mg/kg once daily
Administration:	Bosutinib (oral gavage; 75 mg/kg twice daily or 150 mg/kg once daily)
Result:	Had the therapeutic activity and produced a dose- and schedule-dependent weight loss.

Animal Model:	Syngeneic Bcr-Abl WT and mutant Ba/F3 xenografts[2]
Dosage:	150 mg/kg
Administration:	Bosutinib (150 mg/kg; once daily, 5 days weekly)
Result:	Decreased the rate of tumor growth and prolonged event-free survival of mice.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Nat Commun. 2023 Apr 24;14(1):2342.
- Biomaterials. 2024 Jan 1:305:122462.
- J Nanobiotechnology. 2023 Mar 21;21(1):102.
- J Pathol. 2023 Feb 24.

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REFERENCES

[1]. Jorge E Cortes, et al. Bosutinib versus imatinib in newly diagnosed chronic-phase chronic myeloid leukemia: results from the BELA trial. J Clin Oncol. 2012 Oct 1;30(28):3486-92.

[2]. Miriam Puttini, et al. In vitro and in vivo activity of SKI-606, a novel Src-Abl inhibitor, against imatinib-resistant Bcr-Abl+ neoplastic cells. Cancer Res. 2006 Dec 1;66(23):11314-22.

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

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