Bosutinib hydrate

Cat. No.: HY-10158A

CAS No.: 918639-08-4

Molecular Formula: $C_{26}H_{31}Cl_2N_5O_4$

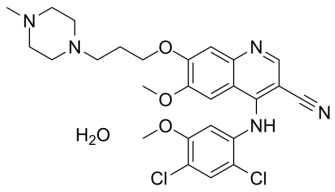
Molecular Weight: 548.46

Target: Src; Bcr-Abl

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, protect from light

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (182.33 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8233 mL	9.1164 mL	18.2329 mL
	5 mM	0.3647 mL	1.8233 mL	3.6466 mL
	10 mM	0.1823 mL	0.9116 mL	1.8233 mL

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

BIOLOGICAL ACTIVITY

Description

Bosutinib (hydrate) is an oral Src/Abl tyrosine kinase inhibito with IC_{50} of 1.2 nM and 1 nM, respectively[1].

IC_{50} & Target

IC50: 314 nmol/L (Csk, Src family protein tyrosine kinases); IC50: 2.4 nmol/L(Abl kinase).

In Vitro

Bosutinib (hydrate) 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 (hydrate) (oral gavage; 75 mg/kg twice daily or 150 mg/kg once daily) has activity against human KU812 xenografts in nude mice. Bosutinib (hydrate) (150 mg/kg; once daily, 5 days weekly) has activity against syngeneic Bcr-Abl WT and mutant Ba/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.
- J Nanobiotechnology. 2023 Mar 21;21(1):102.
- J Pathol. 2023 Feb 24.
- Front Pharmacol. 2021 Mar 8;12:644342.

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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.

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