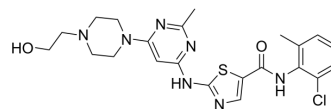


Dasatinib

Cat. No.:	HY-10181		
CAS No.:	302962-49-8		
Molecular Formula:	C ₂₂ H ₂₆ ClN ₇ O ₂ S		
Molecular Weight:	488.01		
Target:	Bcr-Abl; Src; Autophagy; Apoptosis		
Pathway:	Protein Tyrosine Kinase/RTK; Autophagy; 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 : 125 mg/mL (256.14 mM; Need ultrasonic)

Concentration	Mass			
	1 mg	5 mg	10 mg	
1 mM	2.0491 mL	10.2457 mL	20.4914 mL	
5 mM	0.4098 mL	2.0491 mL	4.0983 mL	
10 mM	0.2049 mL	1.0246 mL	2.0491 mL	

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

In Vivo

- Add each solvent one by one: 0.5% MC >> 0.5% Tween-80
Solubility: 6.67 mg/mL (13.67 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: ≥ 2.5 mg/mL (5.12 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.12 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (4.26 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (4.26 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (4.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dasatinib (BMS-354825) is a highly potent, ATP competitive, orally active dual Src/Bcr-Abl inhibitor with potent antitumor

activity. The K_i s are 16 pM and 30 pM for Src and Bcr-Abl, respectively. Dasatinib inhibits Bcr-Abl and Src with IC_{50} s of <1.0 nM and 0.5 nM, respectively^[1]. Dasatinib also induces apoptosis and autophagy.

IC ₅₀ & Target	Bcr-Abl 1.0 nM (IC ₅₀)	Src 0.5 nM (IC ₅₀)	lck 0.4 nM (IC ₅₀)	yes 0.5 nM (IC ₅₀)
	c-kit 5.0 nM (IC ₅₀)	PDGFR β 28 nM (IC ₅₀)	p38 100 nM (IC ₅₀)	Her1 180 nM (IC ₅₀)
	Her2 710 nM (IC ₅₀)	FGFR-1 880 nM (IC ₅₀)	MEK 1700 nM (IC ₅₀)	

In Vitro

Dasatinib demonstrates significant activity against Bcr-Abl, Src, Lck, Yes, c-Kit, PDGFR β , p38, Her1, Her2, FGFR-1, and MEK with IC_{50} s of <1.0, 0.50, 0.40, 0.50, 5.0, 28, 100, 180, 720, 880, and 1700 nM, respectively^[1].

?Dasatinib shows antiproliferative activities versus K562 chronic myelogenous leukemia (CML), PC3 human prostate tumor, MDA-MB-231 human breast tumor, and WiDr human colon tumor cell lines with IC_{50} s of <1.0 nM, 9.4 nM, 12 nM, and 52 nM, respectively^[1].

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

In Vivo

Dasatinib (5 mg/kg and 50 mg/kg, qd \times 10d, 5 on-2 off) possesses potent antitumor activity and a high safety margin in a K562 xenograft model of chronic myelogenous leukemia (CML), demonstrating complete tumor regressions and low toxicity at multiple dose levels^[1].

?Dasatinib (10 mg/kg) has a pharmacokinetic profile appropriate for continued advancement into in vivo efficacy studies.

Dasatinib (10 mg/kg) demonstrates favorable half-lives ($t_{1/2}$ s) of 3.3 and 3.1 h for i.v. and oral, respectively. The oral bioavailability (F_{po}) in this study is 27%^[1].

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

Animal Model:	Nude mice bearing K562 xenografts
Dosage:	5 mg/kg and 50 mg/kg
Administration:	Oral administration on a 5 day on and 2 day off schedule.
Result:	Showed partial tumor regressions after one treatment cycle and complete disappearance of the tumor mass by the end of drug treatment. No toxicity (animal deaths, lack of weight gain) was observed.

Animal Model:	Sprague-Dawley Rats
Dosage:	10 mg/kg (Pharmacokinetic Analysis)
Administration:	Oral and i.v.
Result:	C_{max} of 13.2 and 0.5 μ M for i.v. and oral, respectively.

CUSTOMER VALIDATION

- Cell. 2021 Oct 28;184(22):5670-5685.e23.
- Immunity. 2024 Jan 17:S1074-7613(24)00026-8.
- J Hematol Oncol. 2022 Apr 29;15(1):46.

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- J Hematol Oncol. 2018 Aug 29;11(1):109.
 - Nat Biomed Eng. 2018 Aug;2(8):578-588.

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REFERENCES

[1]. Lombardo LJ, et al. Discovery of N-(2-chloro-6-methyl-phenyl)-2-(6-(4-(2-hydroxyethyl)-piperazin-1-yl)-2-methylpyrimidin-4-ylamino)thiazole-5-carboxamide (BMS-354825), a dual Src/Abl kinase inhibitor with potent antitumor activity in preclinical assays. J Med Chem. 2004 Dec 30;47(27):6658-61.

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

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