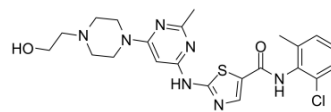


Dasatinib

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	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 35.35 mg/mL (72.44 mM; Need ultrasonic and warming)

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: 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
- 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

BIOLOGICAL ACTIVITY

Description

Dasatinib (BMS-354825) is a potent and orally active dual Bcr-Abl and Src family tyrosine kinase inhibitor with IC₅₀s of 0.6 nM, 0.8 nM, respectively. Dasatinib also inhibits Abl, Src, Fyn, c-Kit and c-Kit^{D816V} with IC₅₀s of 2.8 nM, 79 nM and 37 nM, respectively. Dasatinib also induces apoptosis and autophagy. Dasatinib shows potently antitumor activity and has the

	potential for chronic myelogenous leukemia (CML) treatment ^{[1][2]} .								
IC₅₀ & Target	Ki: 16 pM (Src), 30 pM (Bcr-Abl) ^[1] IC ₅₀ : <1.0 (Bcr-Abl), 0.50 (Src), 0.40 (Lck), 0.50 (Yes), 5.0 (c-Kit), 28 (PDGFRβ), 100 (p38), 180 (Her1), 720 (Her2), 880 (FGFR-1), 1700 (MEK) ^[1]								
In Vitro	Dasatinib demonstrates significant activity against Bcr-Abl, Src, Lck, Yes, c-Kit, PDGFRβ, 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×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.								
	<table border="1"> <tr> <td>Animal Model:</td> <td>Nude mice bearing K562 xenografts</td> </tr> <tr> <td>Dosage:</td> <td>5 mg/kg and 50 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral administration on a 5 day on and 2 day off schedule.</td> </tr> <tr> <td>Result:</td> <td>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.</td> </tr> </table>	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.
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CUSTOMER VALIDATION

- Nat Biomed Eng. 2018 Aug;2(8):578-588.
- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- J Pineal Res. 2019 Sep;67(2):e12588.
- Nat Commun. 2020 Apr 20;11(1):1913.
- Nat Commun. 2020 Apr 14;11(1):1790.

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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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