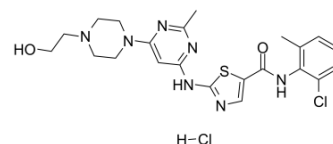


Dasatinib hydrochloride

Cat. No.:	HY-10181A
CAS No.:	854001-07-3
Molecular Formula:	C ₂₂ H ₂₇ Cl ₂ N ₇ O ₂ S
Molecular Weight:	524.47
Target:	Bcr-Abl; Src; Autophagy; Apoptosis
Pathway:	Protein Tyrosine Kinase/RTK; Autophagy; Apoptosis
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 15 mg/mL (28.60 mM; Need ultrasonic and warming)				
	H ₂ O : 10 mg/mL (19.07 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent \ Mass \ Concentration	1 mg	5 mg	10 mg
		1 mM	1.9067 mL	9.5334 mL	19.0669 mL
		5 mM	0.3813 mL	1.9067 mL	3.8134 mL
10 mM		0.1907 mL	0.9533 mL	1.9067 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: ≥ 3.33 mg/mL (6.35 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 3.33 mg/mL (6.35 mM); Clear solution				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 3.33 mg/mL (6.35 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	Dasatinib (BMS-354825) hydrochloride is a highly potent, ATP competitive, orally active dual Src/Bcr-Abl inhibitor with potent antitumor activity. The K _s are 16 pM and 30 pM for Src and Bcr-Abl, respectively. Dasatinib hydrochloride inhibits Bcr-Abl and Src with IC ₅₀ s of <1.0 nM and 0.5 nM, respectively ^[1] . Dasatinib hydrochloride 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)	PDGFRβ 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	<p>Dasatinib demonstrates significant activity against Bcr-Abl, Src, Lck, Yes, c-Kit, PDGFRβ, p38, Her1, Her2, FGFR-1, and MEK with IC₅₀s of <1.0, 0.50, 0.40, 0.50, 5.0, 28, 100, 180, 720, 880, and 1700 nM, respectively^[1].</p> <p>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 IC₅₀s of <1.0 nM, 9.4 nM, 12 nM, and 52 nM, respectively^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																			
In Vivo	<p>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].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">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> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>Sprague-Dawley Rats</td> </tr> <tr> <td>Dosage:</td> <td>10 mg/kg (Pharmacokinetic Analysis)</td> </tr> <tr> <td>Administration:</td> <td>Oral and i.v.</td> </tr> <tr> <td>Result:</td> <td>C_{max} of 13.2 and 0.5 μM for i.v. and oral, respectively.</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.	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 μM for i.v. and oral, respectively.
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 μM for i.v. and oral, respectively.																			

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.

See more customer validations on www.MedChemExpress.com

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.

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