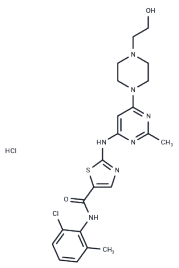
Data Sheet (Cat.No.T22303)

TargetMol

Dasatinib hydrochloride

Chemical Properties



CAS No. : 854001-07-3

Formula: C22H27Cl2N7O2S

Molecular Weight: 524.47

Storage: Store under nitrogen
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Dasatinib hydrochloride (BMS-354825 HCl) (BMS-354825) hydrochloride is a highly potent, ATP competitive, orally active dual Src/Bcr-Abl inhibitor with potent antitumor activity. The Kis are 16 pM and 30 pM for Src and Bcr-Abl, respectively. Dasatinib hydrochloride hydrochloride inhibits Bcr-Abl and Src with IC50s of <1.0 nM and 0.5 nM, respectively. Dasatinib hydrochloride hydrochloride also induces Apoptosis and Autophagy.
Targets(IC50)	Apoptosis,Bcr-Abl,Autophagy,c-Kit,Src
In vitro	Dasatinib hydrochloride 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. Dasatinib hydrochloride shows antiproliferative activities aversus 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].
In vivo	Dasatinib hydrochloride (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. Dasatinib hydrochloride (10 mg/kg) has a pharmacokinetic profile appropriate for continued advancement into in vivo efficacy studies. Dasatinib hydrochloride (10 mg/kg) demonstrates favorable half-lives (t1/2s) of 3.3 and 3.1 h for i.v. and oral, respectively. The oral bioavailability (Fpo) in this study is 27%[1].

Solubility Information

Solubility	DMSO: 13.5 mg/mL (25.74 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (4.77 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0381 mL	0.1907 mL	0.3813 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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