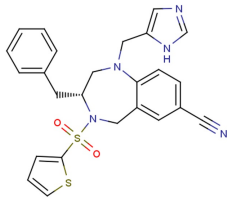
Data Sheet (Cat.No.T10567)

TargetMol
A DRUG SCREENING EXPERT

BMS-214662

Chemical Properties



CAS No.:	195987-41-8
Formula:	C25H23N5O2S2
Molecular Weight:	489.61
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	BMS-214662 is an effective and selective inhibitor of farnesyl transferase with potent antitumor activity (IC50: 1.35 nM).
Targets(IC_{50})	farnesyl transferase: 1.35 nM Ras-CVLL: 1.3 μM K-Ras: 2.3 μM
In vitro	BMS-214662 is over 1000-fold selective for farnesyl transferase, having IC50 values for inhibition of geranylgeranylation of K-Ras and Ras-CVLL of 2.3 and 1.3 μM, respectively [1]. BMS-214662 shows good potency in inhibiting A2780 human ovarian carcinoma tumor cells, H-ras-transformed rodent cells, and HCT-116 human colon carcinoma tumor cells. BMS-214662 is a potent apoptotic FTI and demonstrates broad-spectrum yet robust cell-selective cytotoxic activity against a panel of cell lines with diverse histology [2].
In vivo	As compared with the nontreated control mice, Tumors from BMS-214662-treated mice have increased numbers of apoptotic cells. The AIs in HCT-116 tumors are increased 4-10-fold in BMS-214662-treated mice as compared with nontreated controls. BMS-214662 is significantly cytotoxic to both HCT-116 and EJ-1 tumor cells; the doses of BMS-214662 required to kill 90% of clonogenic tumor cells are approximately 100 and 75 mg/kg for EJ-1 and HCT-116 tumors [2].

Solubility Information

Solubility	DMSO: 100 mg/mL (204.24 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.042 mL	10.212 mL	20.424 mL
5 mM	0.408 mL	2.042 mL	4.085 mL
10 mM	0.204 mL	1.021 mL	2.042 mL
50 mM	0.041 mL	0.204 mL	0.408 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Hunt JT, et al. Discovery of (R)-7-cyano-2,3,4, 5-tetrahydro-1-(1H-imidazol-4-ylmethyl)-3- (phenylmethyl)-4-(2-thienylsulfonyl)-1H-1,4-benzodiazepine (BMS-214662), a farnesyltransferase inhibitor with potent preclinical antitumor activity. J Med Chem. 2000 Oct 5;43(20):3587-95.

2. Rose WC, et al. Preclinical antitumor activity of BMS-214662, a highly apoptotic and novel farnesyltransferase inhibitor. Cancer Res. 2001 Oct 15;61(20):7507-17.

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