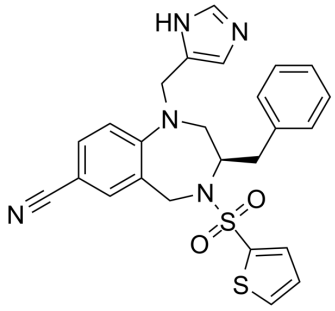
BMS-214662

Cat. No.:	HY-16111
CAS No.:	195987-41-8
Molecular Formula:	$C_{25}H_{23}N_5O_2S_2$
Molecular Weight:	489.61
Target:	Farnesyl Transferase
Pathway:	Metabolic Enzyme/Protease
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 : ≥ 100 mg/mL (204.24 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0424 mL	10.2122 mL	20.4244 mL
	5 mM	0.4085 mL	2.0424 mL	4.0849 mL
	10 mM	0.2042 mL	1.0212 mL	2.0424 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: ≥ 2.5 mg/mL (5.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	BMS-214662 is a potent and selective farnesyl transferase inhibitor with potent antitumor activity with an IC_{50} of 1.35 nM.
IC_{50} & Target	IC50: 1.35 nM (farnesyl transferase), 1.3 μM (Ras-CVLL), 2.3 μM (K-Ras)[1]
In Vitro	BMS-214662 is over 1000-fold selective for farnesyl transferase, having IC_{50} values for inhibition of geranylgeranylation of Ras-CVLL and K-Ras of 1.3 and 2.3 μM, respectively[1]. BMS-214662 shows good potency in inhibiting H-ras-transformed rodent cells, A2780 human ovarian carcinoma tumor cells, and HCT-116 human colon carcinoma tumor cells. BMS-214662 is the most potent apoptotic FTI known and demonstrates broad spectrum yet robust cell-selective cytotoxic activity against a panel of cell lines with diverse histology[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tumors from BMS-214662-treated mice have increased numbers of apoptotic cells as compared with the nontreated control mice. 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 75 and 100 mg/kg for HCT-116 and EJ-1 tumors[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	The hydrochloride salt of BMS-214662 is dissolved in DMSO with dilutions made using either water or RPMI 1640 plus 10% fetal bovine serum. BMS-214662 is added at various concentrations. The cells are incubated at 37°C for 72 h, at which time MTS in combination with phenazine methosulfate is added. After an additional 3 h, the absorbance is measured at 492 nm, and the growth inhibition results are eventually expressed as IC_{50}s[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice: BMS-214662 is dissolved in ethanol, followed by dilution with water to a final ethanol concentration of 10%. Mice implanted with HCT-116 xenografts are administered a single dose of BMS-214662 at 250 mg/kg i.v., 300 mg/kg i.p., or 400 mg/kg p.o. An additional group receives 400 mg/kg BMS-214662 daily for 2 days (administered p.o. on day 1 and i.p. on day 2). Nontreated mice with time-matched HCT-116 tumors served as controls. Tumors are collected at 24 h after dose, processed following standard methods, sectioned, and stained with H&E. Serial sections of each tumor are processed for in situ apoptotic cell labeling by the TUNEL method[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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. 200

[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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