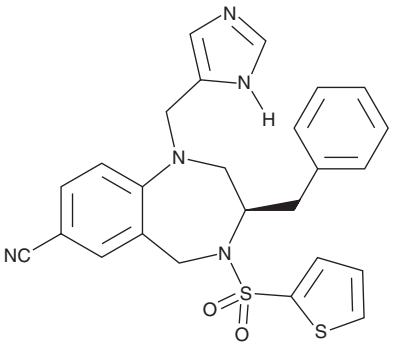
PRODUCT INFORMATION

BMS 214662

Item No. 28713



CAS Registry No.:	195987-41-8
Formal Name:	(3R)-2,3,4,5-tetrahydro-1-(1H-imidazol-5-ylmethyl)-3-(phenylmethyl)-4-(2-thienylsulfonyl)-1H-1,4-benzodiazepine-7-carbonitrile
MF:	$C_{25}H_{23}N_5O_2S_2$
FW:	489.6
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BMS 214662 is supplied as a solid. A stock solution may be made by dissolving the BMS 214662 in the solvent of choice, which should be purged with an inert gas. BMS 214662 is slightly soluble in methanol and DMSO.

Description

BMS 214662 is a potent inhibitor of farnesyltransferase (FTase; IC_{50} = 1.3 nM).[1] It is selective for FTase over geranylgeranyl transferase (GGTase; IC_{50} = 1,900 nM). It inhibits the growth of MEK2, A2780, and PC3 cancer cells expressing wild-type Ras (IC_{50}s = 2.5, 0.04, and 0.15 µM, respectively), as well as HCT116, MIP, RC-165, and MIA PaCa-2 cells expressing mutant K-Ras (IC_{50}s = 0.06, 0.3, 0.3, and 0.12 µM, respectively). BMS 214662 induces apoptosis in HCT116 cells in a concentration-dependent manner. *In vivo*, BMS 214662 (600 mg/kg) is curative in an HCT116 mouse xenograft model. It also reduces tumor growth in Calu-1, HT-29, EJ-1, and MIA PaCa-2 mouse xenograft models.

Reference

1. Rose, W.C., Lee, F.Y., Fairchild, C.R., *et al.* Preclinical antitumor activity of BMS-214662, a highly apoptotic and novel farnesyltransferase inhibitor. *Cancer Res.* **61(20)**, 7507-7517 (2001).

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