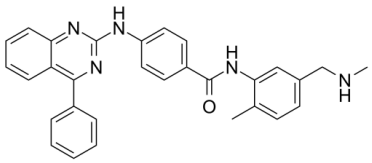
Data Sheet

Product Name:	BMS-833923
Cat. No.:	CS-1464
CAS No.:	1059734-66-5
Molecular Formula:	C30H27N5O
Molecular Weight:	473.57
Target:	Apoptosis; Smo
Pathway:	Apoptosis; Stem Cell/Wnt
Solubility:	DMSO : 50 mg/mL (105.58 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

BMS-833923 (XL-139) is an orally bioavailable small-molecule inhibitor of Smoothened with potential antineoplastic activity; inhibits BODIPY cyclopamine binding to SMO in a dose-dependent manner with an IC50 of 21 nM. IC50 Value: 6-35 nM [1] Target: Smoothened SMO antagonist BMS-833923 inhibits the sonic hedgehog (SHH) pathway protein SMO, which may result in a suppression of the SHH signaling pathway. in vitro: In vitro, BMS-833923 inhibits the expression of downstream effectors in the HH pathway (GLI1 and PTCH1) in cell lines that express wild-type SMO and those which express activated mutant forms of SMO (IC50values of 6-35 nM). In FACS-based binding assays, BMS-833923 inhibits BODIPY cyclopamine binding to SMO in a dose-dependent manner with an IC50 of 21 nM [1]. in vivo: Pharmacodynamic studies show that BMS-833923 robustly inhibits HH pathway activity with along duration of action after a single oral dose in medulloblastoma and pancreatic carcinoma xenograft models. The pharmacodynamic effects of BMS-833923 observed in these models translate into tumor growth inhibition at well-tolerated doses [1]. Clinical trial: Dasatinib Combo With Smoothened (SMO) Antagonist (BMS-833923). Phase 2

References:

[1]. Steven B, et al. Abstract B192: Preclinical characterization of BMS-833923 (XL139), a hedgehog (HH) pathway inhibitor in early clinical development. Molecular Cancer Therapeutics: December 2009; Volume 8, Issue 12, Supplement 1.

CAIndexNames:

Benzamide, N-[2-methyl-5-[(methylamino)methyl]phenyl]-4-[(4-phenyl-2-quinazolinyl)amino]-

SMILES:

O=C(NC1=CC(CNC)=CC=C1C)C2=CC=C(NC3=NC(C4=CC=CC=C4)=C5C=CC=CC5=N3)C=C2

Caution: Product has not been fully validated for medical applications. For research use only.

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