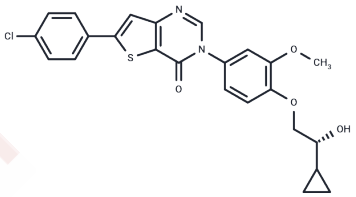
Data Sheet (Cat.No.T14678)

TargetMol

BMS-819881

Chemical Properties



CAS No. : 1197420-05-5

Formula: C24H21ClN2O4S

Molecular Weight: 468.95

Storage: 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	BMS-819881, a melanin-concentrating hormone receptor 1 (MCHR1) antagonist, binds rat MCHR1 with a Ki of 7 nM, and is selective and potent for CYP3A4 activity with an EC50 of 13 μM.
Targets(IC50)	Melanin-concentrating Hormone Receptor (MCHR),Cytochromes P450,GPCR
In vitro	BMS-819881 (Compound 27) binds 99.8% to rat serum proteins with a rat MCHR1 Ki of 7 nM. In cytochrome P450 (CYP) assays, it shows EC50 values >40 μM for CYP1A2, CYP2C9, CYP2C19, and CYP2D6, but 13 μM for CYP3A4. Binding to serum proteins is species-dependent: 99.8% in rats, 99.6% in dogs, and 99.3% in monkeys. FLIPR-based assays indicate BMS-819881 is a potent and selective MCHR1 antagonist (Kb=32 nM) that blocks MCH-stimulated Ca2+ mobilization in MCHR1-overexpressing cells but not in MCHR2-expressing cells at 10 μM. No activity is observed at 10 μM against a panel of 20 GPCRs associated with feeding homeostasis [1].
In vivo	BMS-819881 exhibits a moderate terminal elimination half-life, with values recorded at 5.7 hours for rats, 32±8 hours for dogs, and 14±3 hours for cynomolgus monkeys, all administered at a dosage of 1 mg/kg intravenously[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1324 mL	10.6621 mL	21.3242 mL
5 mM	0.4265 mL	2.1324 mL	4.2648 mL
10 mM	0.2132 mL	1.0662 mL	2.1324 mL
50 mM	0.0426 mL	0.2132 mL	0.4265 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

Washburn WN, et al. Identification of a nonbasic melanin hormone receptor 1 antagonist as an antiobesity clinical candidate. J Med Chem. 2014 Sep 25;57(18):7509-22.

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