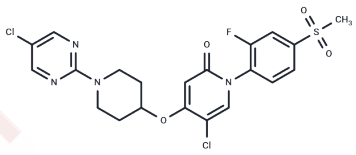
Data Sheet (Cat.No.T67791)

TargetMol

BMS-903452

Chemical Properties



CAS No. : 1339944-47-6

Formula: C21H19Cl2FN4O4S

Molecular Weight: 513.37

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-903452 is a potent and selective GPR119 agonist with an EC50 of 14 nM, indicated for the treatment of acute and chronic rodent diabetes. GPR119 is mainly expressed in pancreatic b cells and gastrointestinal endocrine cells. BMS-903452 shows no significant inhibitory effect on 9 cytochrome P450 enzymes (IC50 > 40 μM), does not activate PXR (EC50 > 50 μM), and is not toxic to liver (HEPG2) cell lines.
Targets(IC50)	GPCR
In vivo	An oral glucose tolerance test (OGTT) to measure the acute efficacy of this agonist in C57/BL6 mice. Glucose excursion was 37%-40% lower in mice treated with BMS-903452 (0.1, 0.3, and 1 mg/kg) than in control mice. Treatment of SD rats with 0.3 mg/kg BMS-903452 stimulated GLP-1 production, and co-administration of BMS-903452 with a DPP-4 inhibitor synergistically augmented GLP-1 levels. [1] BMS-903452 (0.03 mg/kg/day) also reduced fasting plasma glucose levels with increased insulin levels in db/db mice. [1] BMS-903452 was safe and tolerable in normal healthy volunteers given a single dose ranging from 0.1 to 120mg, but did not alter plasma GLP-1 within the first 24 h of treatment.[2]

Solubility Information

Solubility	DMSO: 10 mg/mL (19.48 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9479 mL	9.7396 mL	19.4791 mL
5 mM	0.3896 mL	1.9479 mL	3.8958 mL
10 mM	0.1948 mL	0.974 mL	1.9479 mL
50 mM	0.039 mL	0.1948 mL	0.3896 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

Wacker DA, et al. Discovery of 5-chloro-4-((1-(5-chloropyrimidin-2-yl)piperidin-4-yl)oxy)-1-(2-fluoro-4-(methylsulfonyl)phenyl)pyridin-2(1H)-one (BMS-903452), an antidiabetic clinical candidate targeting GPR119. J Med Chem. 2014;57(18):7499-7508.

Ritter K, et al. G Protein-Coupled Receptor 119 (GPR119) Agonists for the Treatment of Diabetes: Recent Progress and Prevailing Challenges. J Med Chem. 2016;59(8):3579-3592.

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