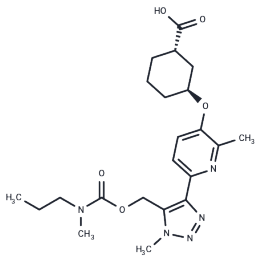
Data Sheet (Cat.No.T39647)

TargetMol

BMS-986278

Chemical Properties



CAS No. : 2170126-74-4

Formula: C22H31N5O5

Molecular Weight: 445.51

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-986278 is an orally active and potent hemophosphatidic acid receptor 1 (LPA1) antagonist with Kb values of 6.9 nM and 4.0 nM for human and mouse LPA1, respectively.BMS-986278 may be investigated for use in idiopathic pulmonary fibrosis.
Targets(IC50)	LPA Receptor,LPL Receptor
In vitro	With Kbs of 6.9 nM and 4.0 nM for human and mouse LPA1, respectively, BMS-986278 is a high-affinity LPA1 antagonist. These values were determined in CHO cells overexpressing LPA1[1]. BMS-986278 also antagonizes Lysophosphatidic acid (LPA)-stimulated calcium flux in normal human lung fibroblasts, exhibiting a Kb of 5.8 nM[1].
In vivo	In CD1 mice, a single oral administration of BMS-986278 (0.1-10 mg/kg) completely inhibits LPA-stimulated systemic histamine release in a concentration-dependent manner[1]. Furthermore, when administered orally twice daily for 14 days at doses ranging from 3 to 30 mg/kg, BMS-986278 reduces Bleomycin-induced collagen deposition and lung fibrosis in rats[1].

Solubility Information

Solubility	DMSO: 150 mg/mL (336.69 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.41 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2446 mL	11.2231 mL	22.4462 mL
5 mM	0.4489 mL	2.2446 mL	4.4892 mL
10 mM	0.2245 mL	1.1223 mL	2.2446 mL
50 mM	0.0449 mL	0.2245 mL	0.4489 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

Cheng PTW, et al. Murphy BJ. Discovery of an Oxycyclohexyl Acid Lysophosphatidic Acid Receptor 1 (LPA1) Antagonist BMS-986278 for the Treatment of Pulmonary Fibrotic Diseases. J Med Chem. 2021 Nov 11;64(21):15549-15581.