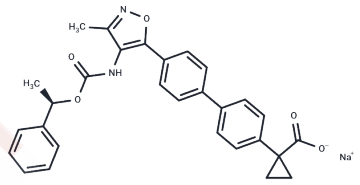
Data Sheet (Cat.No.T63439)

TargetMol

BMS-986020 sodium

Chemical Properties



CAS No. : 1380650-53-2

Formula: C29H25N2NaO5

Molecular Weight: 504.51

Storage: Keep away from moisture,Store at low temperature
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-986020 sodium (AM152 sodium) is a high-affinity LPA1 (Lysophosphatidic Acid Receptor 1) antagonist for investigating idiopathic pulmonary fibrosis, slowing the rate of decline in forced vital capacity (FVC) and pulmonary function. BMS-986020 inhibits bile acid and phospholipid transporters (BSEP, MRP4, MDR3), altering bile homeostasis.
Targets(IC50)	LPA Receptor,LPL Receptor
In vitro	BMS-986020 sodium can inhibit the efflux transporters of bile acids in the liver, including BSEP (half-maximal inhibitory concentration IC_{50} of 1.8μM), MRP3 (IC_{50} of 22μM), and MRP4 (IC_{50} of 6.2μM). At a concentration of 10μM, it suppresses the canalicular efflux of bile acids in Homo sapiens hepatocytes (inhibition rate of 68%). When the concentration reaches ≥10μM, BMS-986020 sodium impairs mitochondrial function in both Homo sapiens hepatocytes and cholangiocytes, including basal respiration, maximal respiration, adenosine triphosphate (ATP) production, and reserve capacity. Additionally, it inhibits phospholipid efflux in Homo sapiens hepatocytes (IC_{50} for MDR3 is 7.5μM)[1].
In vivo	BMS-986020 sodium (0.5, 2, 5, and 10 mg/kg, administered via gavage immediately or 3 hours after reperfusion) significantly reduced the cerebral infarction volume and neurological deficit scores induced by transient middle cerebral artery occlusion (tMCAO) in mice, with the most pronounced effects observed at doses of 5 and 10 mg/kg. Moreover, administration 3 hours after reperfusion provided equivalent protective efficacy[2].

Solubility Information

Solubility	DMSO: 120 mg/mL (237.85 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: 5 mg/mL (9.91 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	1.9821 mL	9.9106 mL	19.8212 mL
5 mM	0.3964 mL	1.9821 mL	3.9642 mL
10 mM	0.1982 mL	0.9911 mL	1.9821 mL
50 mM	0.0396 mL	0.1982 mL	0.3964 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

Gill MW,et al. Mechanism of hepatobiliary toxicity of the LPA1 antagonist BMS-986020 developed to treat idiopathic pulmonary fibrosis: Contrasts with BMS-986234 and BMS-986278. Toxicol Appl Pharmacol. 2022 Mar 1; 438:115885.

Gaire BP, et al. BMS-986020, a Specific LPA1 Antagonist, Provides Neuroprotection against Ischemic Stroke in Mice. Antioxidants (Basel). 2020 Nov 8;9(11):1097.