BMS-986020 sodium

Cat. No.: HY-100619A

CAS No.: 1380650-53-2

Molecular Formula: $C_{29}H_{25}N_2NaO_5$

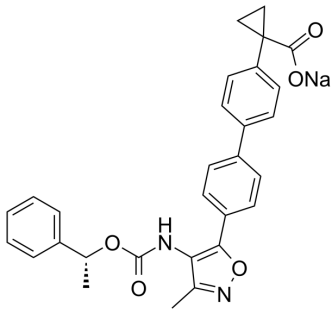
Molecular Weight: 504.51

Target: LPL Receptor

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 150 mg/mL (297.32 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 7.5 mg/mL (14.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 7.5 mg/mL (14.87 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.12 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description

BMS-986020 (AM152) sodium is a high-affinity lysophosphatidic acid receptor 1 (LPA1) antagonist[1]. BMS-986020 sodium inhibits bile acid and phospholipid transporters with IC_{50}s of 4.8 μM, 6.2 μM, and 7.5 μM for BSEP, MRP4, and MDR3, respectively[2]. BMS-986020 sodium has the potential for the treatment of idiopathic pulmonary fibrosis (IPF)[3].

IC_{50} & Target

IC50: 4.8 μM (BSEP); 6.2 μM (MRP4); 7.5 μM (MDR3)[2]

In Vitro

BMS-986020 sodium (0.1-10 nM; pre-incubated) concentration-dependent displacement of [^{18}F]BMT-083133 binding is observed in LPA1$^+$ cells and lung sections. At 0.1 nM, the percent displacement in healthy mice, bleomycin mice, and IPF lungs is 18%, 24%, and 31%, respectively. At 10 nM, the percent displacement is 73%, 76%, and 64%, respectively.

[^{18}F]BMT-083133, a radioligand targeting LPA1 is developed as a translational research tool for assessment of lung LPA1 engagement of BMS-986020 using in vitro autoradiography (ARG)[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Adv. 2021 Sep 17;7(38):eabb5933.
- Cell Rep. 2019 Nov 12;29(7):1832-1847.e8.
- Carcinogenesis. 2020 Dec 28;bgaa143.

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REFERENCES

[1]. Kihara Y, et al. Lysophospholipid receptors in drug discovery. Exp Cell Res. 2015 May 1;333(2):171-7.

[2]. Glenn Rosen, et al. LPA1 antagonists BMS-986020 and BMS-986234 for idiopathic pulmonary fibrosis: Preclinical evaluation of hepatobiliary homeostasis. European Respiratory Journal.

[3]. Palmer SM, et al. Randomized, Double-Blind, Placebo-Controlled, Phase 2 Trial of BMS-986020, a Lysophosphatidic Acid Receptor Antagonist for the Treatment of Idiopathic Pulmonary Fibrosis. Chest. 2018 Nov;154(5):1061-1069.

[4]. Adrienne Pena, et al. Autoradiographic evaluation of [18F]BMT-083133, a lysophosphatidic acid receptor 1 (LPA1) radioligand. The jornal of nuclear medicine.

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