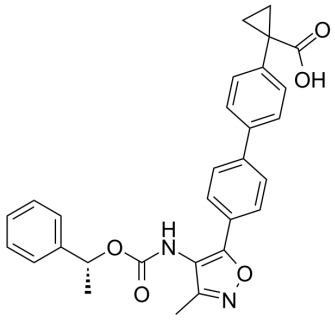
BMS-986020

Cat. No.:	HY-100619
CAS No.:	1257213-50-5
Molecular Formula:	$C_{29}H_{26}N_2O_5$
Molecular Weight:	482.53
Target:	LPL Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (259.05 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0724 mL	10.3621 mL	20.7241 mL
	5 mM	0.4145 mL	2.0724 mL	4.1448 mL
	10 mM	0.2072 mL	1.0362 mL	2.0724 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: ≥ 2.08 mg/mL (4.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS-986020 (AM152) is a high-affinity and selective lysophosphatidic acid receptor 1 (LPA1) antagonist[1]. BMS-986020 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 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 (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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