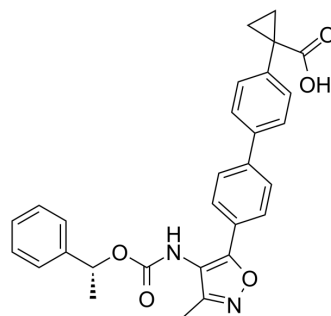


BMS-986020

| | | | |
|---------------------------|---------------------------------------------------------------|-------|---------|
| Cat. No.: | HY-100619 | | |
| CAS No.: | 1257213-50-5 | | |
| Molecular Formula: | C ₂₉ H ₂₆ N ₂ O 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 | 2 years |
| | | -20°C | 1 year |



SOLVENT & SOLUBILITY

| | | | | | |
|-------------------------------------------------------------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------|--------------|------------|------------|
| In Vitro | DMSO : 125 mg/mL (259.05 mM; Need ultrasonic) | | | | |
| | | Solvent Concentration | Mass 1 mg | 5 mg | 10 mg |
| | Preparing Stock Solutions | 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] . |
| IC₅₀ & Target | IC ₅₀ : 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 journal of nuclear medicine*.
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Caution: Product has not been fully validated for medical applications. For research use only.

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