

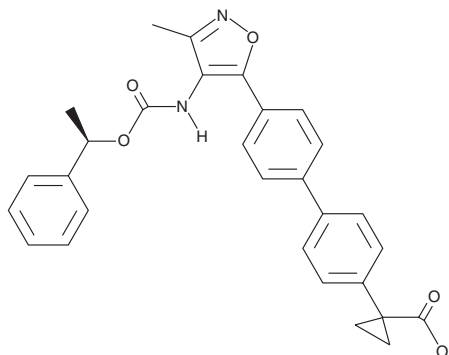
PRODUCT INFORMATION



BMS 986020

Item No. 22049

CAS Registry No.: 1257213-50-5
Formal Name: 1-[4'-[3-methyl-4-[[[(1R)-1-phenylethoxy] carbonyl]amino]-5-isoxazolyl][1,1'-biphenyl]-4-yl]-cyclopropanecarboxylic acid
MF: C₂₉H₂₆N₂O₅
FW: 482.5
Purity: ≥95%
UV/Vis.: λ_{max}: 297 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BMS 986020 is supplied as a crystalline solid. A stock solution may be made by dissolving the BMS 986020 in the solvent of choice. BMS 986020 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of BMS 986020 in these solvents is approximately 20, 25, and 33 mg/ml, respectively.

BMS 986020 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, BMS 986020 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. BMS 986020 has a solubility of approximately 0.02 mg/ml in a 1:40 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

BMS 986020 is an antagonist of lysophosphatidic acid receptor 1 (LPA₁; K_b = 0.0067 μM).¹ It is selective for LPA₁ over LPA₃ in CHO cells expressing the human receptors (IC₅₀s = 0.3 and >1 μM, respectively).² BMS 986020 also inhibits organic anion transporting polypeptide 1B1 (OATP1B1), OATP1B3, the bile salt export pump (BSEP), multidrug resistant protein 3 (MDR3), multidrug resistance-associated protein 3 (MRP3), and MRP4 (IC₅₀s = 0.17, 0.57, 4.8, 7.5, 22, and 6.2 μM, respectively).¹ It reduces bleomycin-induced lung fibrosis in rats when administered at a dose of 30 mg/kg twice per day. BMS 986020 (225 and 500 mg/kg per day) decreases total biliary bile acid levels and induces bile duct hyperplasia, cholangitis, and cholestasis in monkeys.³ It decreases infarct volume and neurological deficits in a mouse model of ischemic stroke induced by transient middle cerebral artery occlusion (MCAO) when administered at a dose of 5 mg/kg.⁴

References

1. Cheng, P.T.W., Kaltenbach, R.F., III, Zhang, H., *et al.* Discovery of an oxycyclohexyl acid lysophosphatidic acid receptor 1 (LPA₁) antagonist BMS-986278 for the treatment of pulmonary fibrotic diseases. *J. Med. Chem.* **64(21)**, 15549-15581 (2021).
2. Hutchinson, J.H., Seiders, T.J., Wang, B., *et al.* Polycyclic antagonists of lysophosphatidic acid receptors. Amira Pharmaceuticals, Inc. **WO2010141768A2** (2010).
3. Sivaraman, L., Gill, M., Nelson, D.M., *et al.* Structure dependence and species sensitivity of in vivo hepatobiliary toxicity with lysophosphatidic acid receptor 1 (LPA₁) antagonists. *Toxicol. Appl. Pharmacol.* **115846** (2021).
4. Gaire, B.P., Sapkota, A., and Choi, J.W. BMS-986020, a specific LPA₁ antagonist, provides neuroprotection against ischemic stroke in mice. *Antioxidants (Basel)* **9(11)**, 1097 (2020).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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