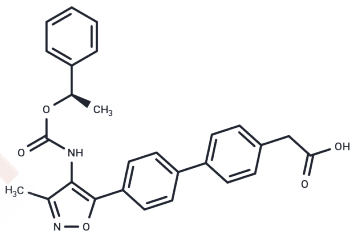
Data Sheet (Cat.No.T10293)

TargetMol

AM095 free acid

Chemical Properties



CAS No. : 1228690-36-5

Formula: C27H24N2O5

Molecular Weight: 456.49

Storage: 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	AM095 (free acid) is a potent LPA1 receptor antagonist with IC50 values of 0.98 μM for recombinant human LPA1 and 0.73 μM for recombinant mouse LPA1.
Targets(IC50)	LPA Receptor,LPL Receptor
In vitro	In vitro, AM095 was a potent LPA receptor antagonist because it inhibited GTPγS binding to Chinese hamster ovary (CHO) cell membranes overexpressing recombinant human or mouse LPA with IC values of 0.98 and 0.73 μM, respectively, and exhibited no LPA agonism. In functional assays, AM095 inhibited LPA-driven chemotaxis of CHO cells overexpressing mouse LPA (IC = 778 nM) and human A2058 melanoma cells (IC = 233 nM)[3].
In vivo	In vivo, AM095: 1) had high oral bioavailability and a moderate half-life and was well tolerated at the doses tested in rats and dogs after oral and intravenous dosing, 2) dose-dependently reduced LPA-stimulated histamine release, 3) attenuated bleomycin-induced increases in collagen, protein, and inflammatory cell infiltration in bronchalveolar lavage fluid, and 4) decreased kidney fibrosis in a mouse unilateral ureteral obstruction model[3].

Solubility Information

Solubility	DMSO: 250 mg/mL (547.66 mM),Sonication and heating are recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (5.48 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	2.1906 mL	10.9531 mL	21.9063 mL
5 mM	0.4381 mL	2.1906 mL	4.3813 mL
10 mM	0.2191 mL	1.0953 mL	2.1906 mL
50 mM	0.0438 mL	0.2191 mL	0.4381 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

Castelino FV, et al. Amelioration of dermal fibrosis by genetic deletion or pharmacologic antagonism of lysophosphatidic acid receptor 1 in a mouse model of scleroderma. Arthritis Rheum. 2011 May;63(5):1405-15.
Ruisanchez E, et al. Lysophosphatidic acid induces vasodilation mediated by LPA1 receptors, phospholipase C, and endothelial nitric oxide synthase. FASEB J. 2014 Feb;28(2):880-90.
Swaney, J. S., et al. Pharmacokinetic and pharmacodynamic characterization of an oral lysophosphatidic acid type 1 receptor-selective antagonist. Journal of Pharmacology and Experimental Therapeutics (2011), 336(3), 693-700.