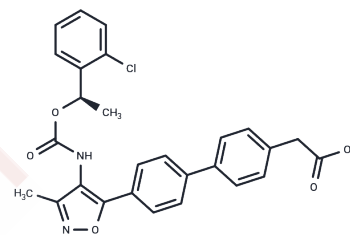


AM966

Chemical Properties

CAS No. : 1228690-19-4
 Formula: C₂₇H₂₃ClN₂O₅
 Molecular Weight: 490.93
 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	AM966, a excellent affinity, specific, oral LPA1(IC ₅₀ =17 nM) antagonist, suppresses LPA-stimulated intracellular calcium release.
Targets(IC ₅₀)	LPA Receptor, LPL Receptor
In vitro	Pre-treatment with AM966 (100 nM) completely blocks ERK1/2 phosphorylation induced by either amitriptyline or mianserin. LPA-induced ERK1/2 activation is completely blocked by AM966 (100 nM), which selectively antagonizes LPA1 over LPA2-5, with an IC ₅₀ value of 3.8±0.4 nM. AM966 inhibits LPA1-mediated chemotaxis of human A2058 melanoma cells (IC ₅₀ =138±43 nM), IMR-90 human lung fibroblasts (IC ₅₀ =182±86 nM) and CHO mLPA1 cells (IC ₅₀ =469±54 nM).
In vivo	In a 3-day bleomycin model, AM966 (30 mg/kg, BID) reduces vascular leakage, inflammation and lung injury and inflammation.
Cell Research	AM966 (Chem Scene, Monmouth Junction, NJ, USA) is dissolved in DMSO and stored, and then diluted with appropriate media (DMSO 0.5%) before use. CHO-K1 cells are grown to 80% confluency in 12-well plates, serum-starved for 24 h and incubated in serum-free medium with AM966. After 21 h, [³ H]thymidine (0.5 μCi/well) is added and the incubation is continued for 3 h. The medium is then removed, and the cells are placed on ice and washed twice with 1 mL of ice-cold PBS containing 5% trichloroacetic acid. Cells are solubilized and [³ H]thymidine incorporation is determined by liquid scintillation counting. Assays are performed in triplicate.
Animal Research	In fasted mice, received AM966 (10 mg/kg), which is prepared in water, by oral gavage.

Solubility Information

Solubility	DMSO: 125 mg/mL (254.62 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (20.37 mM), Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (20.37 mM), Solution.

A DRUG SCREENING EXPERT

In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (4.07 mM),Sonication is recommended. <i>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.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.037 mL	10.1848 mL	20.3695 mL
5 mM	0.4074 mL	2.037 mL	4.0739 mL
10 mM	0.2037 mL	1.0185 mL	2.037 mL
50 mM	0.0407 mL	0.2037 mL	0.4074 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

Swaney, JS, et al. A novel, orally active LPA1 receptor antagonist inhibits lung fibrosis in the mouse bleomycin model. *Br J Pharmacol.* 2010 Aug;160(7):1699-713.

Olianas MC, et al. Antidepressants activate the lysophosphatidic acid receptor LPA(1) to induce insulin-like growth factor-I receptor transactivation, stimulation of ERK1/2 signaling and cell proliferation in CHO-K1 fibroblasts. *Biochem Pharmacol.* 2015 Ju

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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