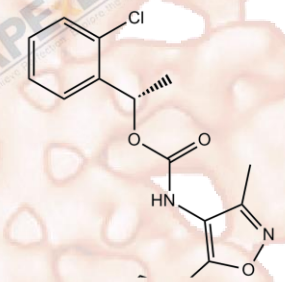
Product Data Sheet

AM966

Cat. No.:	A3170
CAS No.:	1228690-19-4
Formula:	C27H23ClN2O5
M.Wt:	490.93
Synonyms:	AM 966;AM-966
Target:	GPCR/G protein
Pathway:	LPA Receptor
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾24.55 mg/mL in DMSO; insoluble in H2O; ⩾2.24 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.0370 mL	10.1848 mL	20.3695 mL
5 mM	0.4074 mL	2.0370 mL	4.0739 mL
10 mM	0.2037 mL	1.0185 mL	2.0370 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	LPA1 antagonist, oral active, high affinity, selective,
IC_{50} & Target	17 nM (LPA1 receptor)

In Vitro

Cell Viability Assay

Cell Line:	CHO cells (stably expressing human LPA1 or mouse LPA1)
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below

		-20°C for several months.
	Reacting conditions:	100 nM, 30 min for 100% calcium release inhibition469 nM, 15 min for chemotaxis inhibition (IC50)
	Applications:	CHO cells were pre-treated with increasing concentrations of AM966 for 30 min and then stimulated with LPA (10–30 nM) and calcium release was measured. AM966 inhibited LPA-stimulated intracellular calcium release from CHO cells stably expressing human and mouse LPA1 receptors. AM966 was also evaluated for inhibition of LPA-induced chemotaxis in CHO cells stably expressing mouse LPA1 receptors. The IC50 value was 469±54 nM.
In Vivo	**Animal experiment**	
	Animal models:	Female C57BL/6 mice
	Dosage form:	Oral administration, 30 or 60 mg/kg, twice daily
	Applications:	No reduction in lung fibrosis was observed in response to low dose AM966 (10 mg·kg-1). However, AM966 at 30 and 60 mg·kg-1 dramatically reduced lung tissue remodelling and fibrosis so that lung architecture in these groups was similar to that of the vehicle group.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Li M, Lv Y, et al. "Co-stimulation of LPAR(1) and S1PR(1/3) increases the transplantation efficacy of human mesenchymal stem cells in drug-induced and alcoholic liver diseases." Stem Cell Res Ther. 2018 Jun 14;9(1):161.PMID:29898789

2. Cai J, Wei J, et al."AM966, an Antagonist of Lysophosphatidic Acid Receptor 1, Increases Lung Microvascular Endothelial Permeability through Activation of Rho Signaling Pathway and Phosphorylation of VE-Cadherin. Mediators Inflamm."2017;2017:6893560.PMID:28348461

See more customer validations on www.apexbt.com.

References

[1] Swaney J S, Chapman C, Correa L D, et al. A novel, orally active LPA1 receptor antagonist inhibits lung fibrosis in the mouse bleomycin model. British journal of pharmacology, 2010, 160(7): 1699-1713.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage

temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com