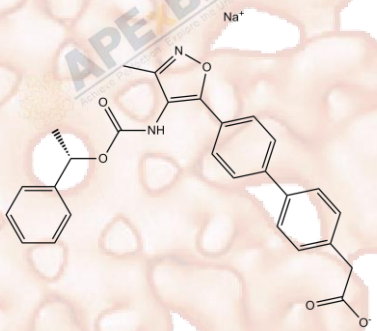
Product Data Sheet

AM095

Cat. No.:	A3166
CAS No.:	1345614-59-6
Formula:	C27H23N2NaO5
M.Wt:	478.47
Synonyms:	AM 095;AM-095
Target:	GPCR/G protein
Pathway:	LPA Receptor
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾23.9 mg/mL in DMSO; insoluble in H2O; ⩾16.77 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.0900 mL	10.4500 mL	20.9000 mL
5 mM	0.4180 mL	2.0900 mL	4.1800 mL
10 mM	0.2090 mL	1.0450 mL	2.0900 mL

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

Biological Activity

Shortsummary	Potent LPA1 receptor antagonist
IC_{50} & Target	0.98 μM (recombinant human) 0.73 μM (recombinant mouse) (LPA1 receptor)

In Vitro

Cell Viability Assay

Cell Line:	MDA-MB-231 cells and SK-OV3 cells
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:	500 nM, 5 min

	Applications:	Cells were pretreated with AM-095 or vehicle for 5 min and then treated with 10 μM of LPE or LPA. AM-095 (500 nM) completely inhibited LPA-induced [Ca2+]i responses in both cell lines and LPE-induced [Ca2+]i responses in MDA-MB-231 cells. AM-095 (500 nM) did not affect LPE-induced [Ca2+] i responses in SK-OV3 cells.
In Vivo	**Animal experiment**	
	Animal models:	Female CD-1 mice
	Dosage form:	Oral administration, 1–30 mg/kg
	Applications:	Mice received AM095 in a volume of 10 ml/kg 2 h before the intravenous LPA (300 μg/mouse) challenge. LPA stimulated histamine release in a dose-dependent manner, resulting in a nearly 14-fold stimulation at the highest concentration tested. AM095 dose-dependently inhibited histamine release with an ED50 of 8.3 mg/kg and a maximal reduction of 80% at a dose of 30 mg/kg. By plotting the percentage inhibition of histamine release versus AM095 plasma concentrations for each individual animal and assuming a maximum response of 80% we generated an EC50 of ~ 1.5 μM.
	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. Harper K, R Lavoie R, et al. "The Hypoxic Tumor Microenvironment Promotes Invadopodia Formation and Metastasis through LPA1Receptor and EGFR Cooperation." Mol Cancer Res. 2018 Jun 4. pii:molcanres.0649.2017.PMID:29866927

2. Szepanowski F, Szepanowski LP, et al. "Lysophosphatidic acid propagates post-injury Schwann cell dedifferentiation through LPA(1) signaling. Neurosci Lett." 2017 Oct 16;662:136-141.PMID:29051083

3. Banks DB, Chan GN, et al. "Lysophosphatidic acid and amitriptyline signal through LPA1R to reduce P-glycoprotein transport at the blood-brain barrier." J Cereb Blood Flow Metab. 2018 May;38(5):857-868.PMID:28447863

See more customer validations on www.apexbt.com.

References

[1] Park S J, Lee K P, Im D S. Action and Signaling of Lysophosphatidylethanolamine in MDA-MB-231 Breast Cancer Cells. Biomolecules & therapeutics, 2014, 22(2): 129.

[2] Swaney J S, Chapman C, Correa L D, 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.

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