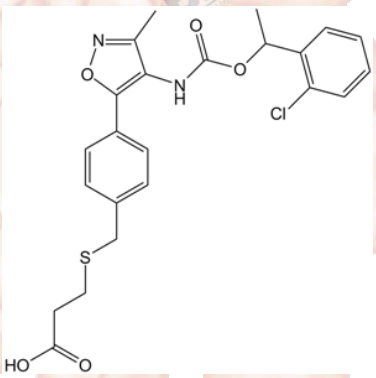
Product Data Sheet

Ki16425

Cat. No.:	A1987
CAS No.:	355025-24-0
Formula:	C23H23ClN2O5S
M.Wt:	474.96
Synonyms:	
Target:	GPCR/G protein
Pathway:	LPA Receptor
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥23.75 mg/mL in DMSO; insoluble in H2O; ≥12.54 mg/mL in EtOH

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.1054 mL	10.5272 mL	21.0544 mL
5 mM	0.4211 mL	2.1054 mL	4.2109 mL
10 mM	0.2105 mL	1.0527 mL	2.1054 mL

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

Biological Activity

Shortsummary	LPA receptor antagonist
IC_{50} & Target	0.34 μM (human LPA1), 6.5 μM (human LPA2), 0.93 μM (human LPA3)

In Vitro

Cell Viability Assay

Cell Line:	MDA-MB-231 and PC3 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:	10 μM; 45 mins or 24 hrs

	Applications:	In human breast and prostate cancer cells, Ki16425 inhibited heparin-binding EGF-like growth factor (HB-EGF) expression.
In Vivo	**Animal experiment**	
	Animal models:	PC3 cell xenograft mouse model
	Dosage form:	25 mg/kg; s.c.; for 5 days
	Applications:	A five-day treatment with Ki16425 significantly decreased both HB-EGF mRNA expression at the transplanted tumor site in mice and circulating human HB-EGF concentrations in serum.
	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. Moreno-Fernández RD, Nieto-Quero A, et al. "Effects of genetic deletion versus pharmacological blockade of the LPA(1) receptor on depression-like behaviour and related brain functional activity." Dis Model Mech. 2018 Jul 30. pii: dmm.035519.PMID:30061118
2. Sánchez-Marín L, Ladrón de Guevara-Miranda D, et al. "Systemic blockade of LPA(1/3) lysophosphatidic acid receptors by ki16425 modulates the effects of ethanol on the brain and behavior."Neuropharmacology. 2018 May 1;133:189-201.PMID:29378212
3. Ladrón de Guevara-Miranda D, Moreno-Fernández RD, et al." Lysophosphatidic acid-induced increase in adult hippocampal neurogenesis facilitates the forgetting of cocaine-contextual memory."Addict Biol. 2018 Feb 26.PMID:29480526
4. Loskutov YV, Griffin CL, et al. "LPA signaling is regulated through the primary cilium:a novel target in glioblastoma." Oncogene. 2018 Jan 11.PMID:29321663

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References

[1]. Ohta H, Sato K, Murata N, Damirin A, Malchinkhuu E, Kon J, Kimura T, Tobo M, Yamazaki Y, Watanabe T, Yagi M, Sato M, Suzuki R, Murooka H, Sakai T, Nishitoba T, Im DS, Nochi H, Tamoto K, Tomura H, Okajima F. Ki16425, a subtype-selective antagonist for EDG-family lysophosphatidic acid receptors. Mol Pharmacol. 2003 Oct;64(4):994-1005.
[2]. David M, Sahay D, Mege F, Descotes F, Leblanc R, Ribeiro J, Clézardin P, Peyruchaud O. Identification of Heparin-Binding EGF-Like Growth Factor (HB-EGF) as a Biomarker for Lysophosphatidic Acid Receptor Type 1 (LPA1) Activation in Human Breast and Prostate Cancers. PLoS One. 2014 May 14;9(5):e97771.

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.

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