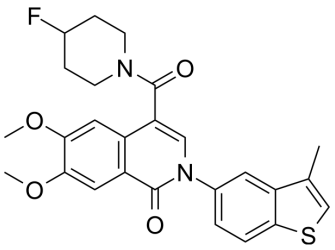
LPA5 antagonist 2

Cat. No.:	HY-151392
CAS No.:	2839471-44-0
Molecular Formula:	$C_{26}H_{25}FN_2O_4S$
Molecular Weight:	480.55
Target:	Others
Pathway:	Others
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (208.09 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0809 mL	10.4047 mL	20.8095 mL
	5 mM	0.4162 mL	2.0809 mL	4.1619 mL
	10 mM	0.2081 mL	1.0405 mL	2.0809 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LPA5 antagonist 2 (compound 65) is a high aqueous solubility LPA5 (lysophosphatidic acid receptor 5) antagonist. LPA5 antagonist 2 significantly attenuates nociceptive hypersensitivity and it can be used for the research of inflammatory and neuropathic pains[1].

IC_{50} & Target

IC50: 69 nM (hLPA5 calcium mobilization), 340 nM (hLPA5 cAMP)[1]

In Vitro

LPA5 antagonist 2 (0-10 μM) inhibits hLPA5 calcium mobilization with an IC_{50} value of 69 nM and inhibits hLPA5 cAMP with an IC_{50} value of 340 nM[1].
LPA5 antagonist 2 (0-10 μM) shows no significant affinity for other receptors, exhibits good target selectivity for LPA5[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	LPA5 antagonist 2 (5.3-17.8 mg/kg; i.p. once) alleviates CFA-induced inflammatory pain in vivo[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male Sprague-Dawley rats with CFA-induced pain[1]
Dosage:	5.6, 10 and 17.8 mg/kg
Administration:	Intraperitoneal injection; 5.6, 10 and 17.8 mg/kg once
Result:	Markedly alleviated nociceptive hypersensitivity and showed about five hours lasting time.

REFERENCES

[1]. Zhang DH, et al. Isoquinolone derivatives as lysophosphatidic acid receptor 5 (LPA5) antagonists: Investigation of structure-activity relationships, ADME properties and analgesic effects. EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY. 2022.

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