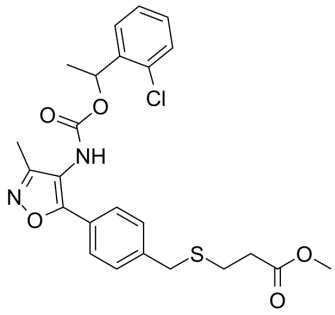
Ki16198

Cat. No.:	HY-18641
CAS No.:	355025-13-7
Molecular Formula:	$C_{24}H_{25}ClN_2O_5S$
Molecular Weight:	488.98
Target:	LPL Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (204.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0451 mL	10.2254 mL	20.4507 mL
	5 mM	0.4090 mL	2.0451 mL	4.0901 mL
	10 mM	0.2045 mL	1.0225 mL	2.0451 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 (5.11 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.11 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ki16198 is a potent and orally active LPA receptor antagonist, the methyl ester of Ki16425 (HY-13285). Ki16198 inhibits LPA_1 and LPA_3-induced inositol phosphate production with K_i values of 0.34 μM and 0.93 μM, respectively. Ki16198 is effective for pancreatic cancer tumorigenesis and metastasis in vivo[1].

IC_{50} & Target

Ki: 0.34 μM (LPA1 receptor)
Ki: 0.93 μM (LPA1 receptor)[1]

In Vitro	Ki16198 (0-10 μM; 48 hours) is effective to inhibit migration and invasion responses to LPA with a potency similar to that of Ki16425. The inhibitory effects Ki16198 on the invasion response to LPA, but not to EGF in several pancreatic cancer cell lines, including Panc-1,CFPAC-1, and BxPC-3 cells[1]. Ki16198 (10 μM; 48 hours) signifivcantly decreases expression of proMMP-9 protein and mRNA expression in YAPC-PD cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ki16198 (oral administaion; 1 mg in 500 ul; 28 days) significantly decreases the degree of metastasis activity in Ki16198-treated mice. Similiar to liver, metastasis to lung and brain in mice is also observed[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male BALB/c nude mice (6 weeks old)[1]
Dosage:	1 mg in 500 ul
Administration:	Oral administaion; 28 days
Result:	Inhibited lung and liver metastasis in vivo.

REFERENCES

[1]. Mayumi Komachi, et al. Orally active lysophosphatidic acid receptor antagonist attenuates pancreatic cancer invasion and metastasis in vivo. Cancer Sci. 2012 Jun;103(6):1099-104.

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