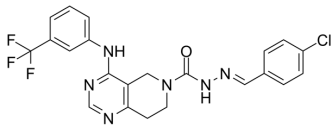
ATX inhibitor 5

Cat. No.:	HY-133019
CAS No.:	2402772-45-4
Molecular Formula:	$C_{22}H_{18}ClF_3N_6O$
Molecular Weight:	474.87
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
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 : 250 mg/mL (526.46 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1058 mL	10.5292 mL	21.0584 mL
	5 mM	0.4212 mL	2.1058 mL	4.2117 mL
	10 mM	0.2106 mL	1.0529 mL	2.1058 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: ≥ 2.08 mg/mL (4.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ATX inhibitor 5 is a potent and orally active autotaxin (ATX) inhibitor, with an IC_{50} of 15.3 nM. ATX inhibitor 5 shows anti-hepatofibrosis effects and reduces CCl4-induced hepatic fibrosis level prominently[1].

IC_{50} & Target

Autotaxin

In Vitro

ATX inhibitor 5 (compound 10g) (IC_{50}s=1.21 and 0.78 μM) displays activities against cardiac fibroblasts (CFs) and hepatic stellate cell (HSC)[1].

ATX inhibitor 5 at 10 μM successfully suppresses collagen content induced by TGF-β[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	CFs and t-HSC/Cl-6 cells
Concentration:	0.0001, 0.01, 1, 100, 10000 μM
Incubation Time:	48 hours
Result:	Displayed activities against CFs and t-HSC/Cl-6 cells with IC_{50}s of 1.21 and 0.78 μM, respectively.

In Vivo

ATX inhibitor 5 (20-40 mg/kg; p.o.; once daily for two weeks) reduces CCl4-induced hepatic fibrosis level prominently[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Konmin mice (8-week old, 22-25 g)[1]
Dosage:	20, 40 mg/kg
Administration:	Orally; once daily for two weeks
Result:	Prominently reduced CCl4-induced hepatic fibrosis level.

REFERENCES

[1]. Jiang N, et al.Optimization and evaluation of novel tetrahydropyrido[4,3-d]pyrimidine derivatives as ATX inhibitors for cardiac and hepatic fibrosis.Eur J Med Chem. 2020 Feb 1;187:111904.

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