Ziritaxestat

Cat. No.: HY-101772

CAS No.: 1628260-79-6

Molecular Formula: $C_{30}H_{33}FN_8O_2S$

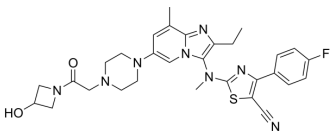
Molecular Weight: 588.7

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (70.78 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6987 mL	8.4933 mL	16.9866 mL
	5 mM	0.3397 mL	1.6987 mL	3.3973 mL
	10 mM	0.1699 mL	0.8493 mL	1.6987 mL

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

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (4.25 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ziritaxestat (GLPG1690) is a first-in-class autotaxin (ATX) inhibitor, with an IC_{50} of 131 nM and a K_i of 15 nM[1].

IC_{50} & Target

Autotaxin 131 nM (IC_{50})	Autotaxin 15 nM (Ki)

In Vitro

Ziritaxestat (GLPG1690) shows no CYP3A4 TDI and decreases hERG inhibitory activity with IC_{50} of 15 μM in manual patch clamp assay[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ziritaxestat (GLPG1690) inhibits ATX-induced LPA 18:2 production in mouse, rat, and healthy donor plasma in a concentration-dependent manner, with IC_{50} values of 418 nM, 542 nM, and 242 nM, respectively. Ziritaxestat (GLPG1690) displays improved pharmacokinetic properties, with a low plasma clearance and high bioavailability in mouse and rat. The good pharmacokinetic profile is further confirmed in dog, with Ziritaxestat (GLPG1690) showing low plasma clearance (0.12 L/h/kg) and a high bioavailability (63%)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Immunity. 2021 Oct 23;S1074-7613(21)00446-5.
- EBioMedicine. 2020 Feb;52:102652.
- Pharmacol Res. 2023 Jul 29;106877.
- Cancer Sci. 2023 Sep 28.

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REFERENCES

[1]. Desroy N, et al. Discovery of 2-[[2-Ethyl-6-[4-[2-(3-hydroxyazetidin-1-yl)-2-oxoethyl]piperazin-1-yl]-8-methylimidazo[1,2-a]pyridin-3-yl]methylamino]-4-(4-fluorophenyl)thiazole-5-carbonitrile (GLPG1690), a First-in-Class Autotaxin Inhibitor Undergoing Clinical Evaluation for the Treatment of Idiopathic Pulmonary Fibrosis. J Med Chem. 2017 May 11;60(9):3580-3590.

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