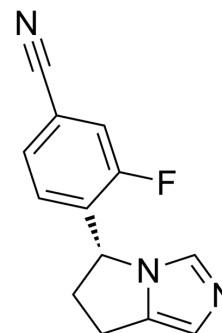


Osilodrostat

Cat. No.:	HY-16276		
CAS No.:	928134-65-0		
Molecular Formula:	C ₁₃ H ₁₀ FN ₃		
Molecular Weight:	227.24		
Target:	Mineralocorticoid Receptor		
Pathway:	Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor		
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 : 250 mg/mL (1100.16 mM; Need ultrasonic)
 Ethanol : 100 mg/mL (440.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	4.4006 mL	22.0032 mL	44.0063 mL
	5 mM	0.8801 mL	4.4006 mL	8.8013 mL
	10 mM	0.4401 mL	2.2003 mL	4.4006 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (11.00 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (11.00 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (11.00 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (11.00 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (11.00 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (11.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Osilodrostat (LCI699) is a potent, orally active 11 β -hydroxylase (CYP11B1) inhibitor with an IC ₅₀ value of 35 nM. Osilodrostat is a potent, orally aldosterone synthase (CYP11B2) inhibitor with IC ₅₀ values of 0.7 nM and 160 nM for human aldosterone synthase and rat aldosterone synthase, respectively. Osilodrostat inhibits aldosterone and corticosterone synthesis. Osilodrostat has blood pressure lowering ability. Osilodrostat can be used for research of Cushing syndrome (CS) ^{[1][2][3]} .								
IC₅₀ & Target	IC50: 35 nM (CYP11B1), 0.7 nM (human aldosterone synthase), and 160 nM (rat aldosterone synthase) ^{[1][2]}								
In Vitro	Osilodrostat (LCI699; 0.01-10 μ M; HAC15 cells, 17 primary human adrenocortical cell cultures, and pituitary adenoma cells) inhibits cortisol and aldosterone. Osilodrostat results in inhibition of corticosterone, 11-deoxycortisol accumulation, and modest effects on adrenal androgens ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
In Vivo	Osilodrostat (LCI699; 0.1-100 mg/kg; p.o.; once) inhibits aldosterone and corticosterone synthesis in Ang-II- and ACTH-stimulated Sprague Dawley rats ^[1] . Osilodrostat (LCI699; 3-100 mg/kg; p.o.; daily, for 52 weeks) reduces mean arterial pressure and prolongs survival in dTG rats ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
	<table border="1"> <tr> <td>Animal Model:</td> <td>Male Ang-II- and ACTH-stimulated Sprague Dawley rats^[1]</td> </tr> <tr> <td>Dosage:</td> <td>0.1, 0.3, 1 and 3 mg/kg (Ang-II-stimulated rats) and 1, 3, 10, 30 and 100 mg/kg (ACTH-stimulated rats)</td> </tr> <tr> <td>Administration:</td> <td>Oral administration; once</td> </tr> <tr> <td>Result:</td> <td>Inhibited the increase in plasma aldosterone concentrations stimulated by Ang II or ACTH in a dose-dependent manner.</td> </tr> </table>	Animal Model:	Male Ang-II- and ACTH-stimulated Sprague Dawley rats ^[1]	Dosage:	0.1, 0.3, 1 and 3 mg/kg (Ang-II-stimulated rats) and 1, 3, 10, 30 and 100 mg/kg (ACTH-stimulated rats)	Administration:	Oral administration; once	Result:	Inhibited the increase in plasma aldosterone concentrations stimulated by Ang II or ACTH in a dose-dependent manner.
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CUSTOMER VALIDATION

- Acta Pharm Sin B. 21 September 2021.
- BMC Med. 2021 Sep 8;19(1):204.
- J Pharmaceut Biomed. 2023 May 10.

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REFERENCES

[1]. Ménard J, et, al. Aldosterone synthase inhibition: cardiorenal protection in animal disease models and translation of hormonal effects to human subjects. J Transl Med.

2014 Dec 10;12:340.

[2]. Creemers SG, et, al. Osilodrostat Is a Potential Novel Steroidogenesis Inhibitor for the Treatment of Cushing Syndrome: An In Vitro Study. J Clin Endocrinol Metab. 2019 Aug 1;104(8):3437-3449.

[3]. Li L, et, al. Osilodrostat (LCI699), a potent 11 β -hydroxylase inhibitor, administered in combination with the multireceptor-targeted somatostatin analog pasireotide: A 13-week study in rats. Toxicol Appl Pharmacol. 2015 Aug 1;286(3):224-33.

Caution: Product has not been fully validated for medical applications. For research use only.

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