Osilodrostat phosphate

Cat. No.: HY-16276A

CAS No.: 1315449-72-9

Molecular Formula: $C_{13}H_{13}FN_3O_4P$

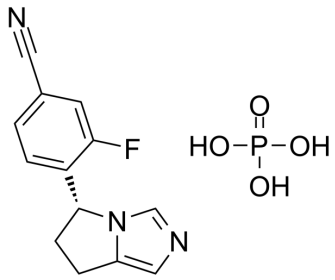
Molecular Weight: 325.23

Target: Mineralocorticoid Receptor

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 200 mg/mL (614.95 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	3.0747 mL	15.3737 mL	30.7475 mL
5 mM	0.6149 mL	3.0747 mL	6.1495 mL
10 mM	0.3075 mL	1.5374 mL	3.0747 mL

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

BIOLOGICAL ACTIVITY

Description

Osilodrostat (LCI699) phosphate is a potent, orally active11β-hydroxylase (CYP11B1) inhibitor with an IC_{50} value of 35 nM. Osilodrostat phosphate 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 phosphate inhibits aldosterone and corticosterone synthesis. Osilodrostat phosphate has blood pressure lowering ability. Osilodrostat phosphate can be used for research of Cushing syndrome (CS)[1][2][3].

IC_{50} & 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 μM; HAC15 cells, 17 primary human adrenocortical cell cultures, and pituitary adenoma cells) phosphate 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) phosphate 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) phosphate 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.

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.

Animal Model:	dTG rats[1]
Dosage:	3, 10, 30 and 100 mg/kg
Administration:	Oral administration; daily, for 52 weeks
Result:	Increased fractional LV (systolic and diastolic) shortening, normalized LV isovolumic relaxation time to RR (IVRT/RR) ratio and myocardial cell size and reduced LV weight in a dose-dependent manner.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 21 September 2021.
- BMC Med. 2021 Sep 8;19(1):204.

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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 11β-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.

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