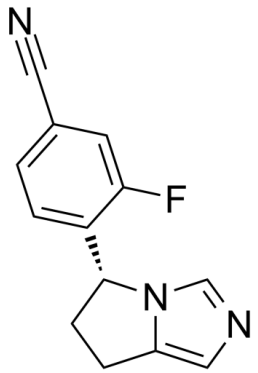
Data Sheet

Product Name:	Osilodrostat
Cat. No.:	CS-6896
CAS No.:	928134-65-0
Molecular Formula:	C13H10FN3
Molecular Weight:	227.24
Target:	Mineralocorticoid Receptor
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 83.3 mg/mL (366.57 mM)



BIOLOGICAL ACTIVITY:

Osilodrostat (LCI699) is a potent inhibitor of human **11β-hydroxylase** and **aldosterone synthase** with **IC_{50}** values of 2.5 and 0.7 nM, respectively. IC50 & Target: IC50: 2.5 nM (human 11β-hydroxylase), 0.7 nM (aldosterone synthase)[1] **In Vivo:** Osilodrostat and pasireotide monotherapies are associated with significant changes in the histology and mean weights of the pituitary and adrenal glands, liver, and ovary/oviduct. Osilodrostat alone is associated with adrenocortical hypertrophy and hepatocellular hypertrophy. In combination, osilodrostat/pasireotide does not exacerbate any target organ changes and ameliorated the liver and adrenal gland changes observed with monotherapy. C_{max} and $AUC_{0–24h}$ of osilodrostat and pasireotide increase in an approximately dose-proportional manner[1]. Osilodrostat treatment reduces urinary free cortisol in patients with Cushing's disease; 78.9% has normal urinary free cortisol at week 22. Treatment with osilodrostat is generally well tolerated[2].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: [1]Rat: Sixty male and 60 female rats are randomized into single-sex groups to receive daily doses of pasireotide (0.3 mg/kg/day, subcutaneously), osilodrostat (20 mg/kg/day, orally), osilodrostat/pasireotide in combination (low dose, 1.5/0.03 mg/kg/day; mid-dose, 5/0.1 mg/kg/day; or high dose, 20/0.3 mg/kg/day), or vehicle for 13 weeks[1].

References:

[1]. 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.

[2]. Fleseriu M, et al. Osilodrostat, a potent oral 11β-hydroxylase inhibitor: 22-week, prospective, Phase II study in Cushing's disease. Pituitary. 2016 Apr;19(2):138-48.

CAIndexNames:

Benzonitrile, 4-[(5R)-6,7-dihydro-5H-pyrrolo[1,2-c]imidazol-5-yl]-3-fluoro-

SMILES:

N#CC1=CC=C([C@H]2CCC3=CN=CN32)C(F)=C1

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

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