

## Product Data Sheet

Product Name: Osilodrostat phosphate

Cat. No.: GC66454

### Chemical Properties

Cas. No. 1315449-72-9

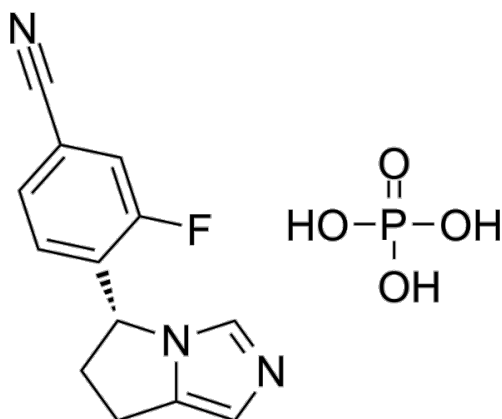
Formula  $C_{13}H_{13}FN_3O_4P$  M.Wt 325.23

Solubility  $H_2O : \geq 200 \text{ mg/mL (614.95 mM)}$  Storage  $4^\circ\text{C}$ , away from moisture

General tips For obtaining a higher solubility, please warm the tube at  $37^\circ\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^\circ\text{C}$  for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



### Background

IC<sub>50</sub>: 35 nM (CYP11B1), 0.7 nM (human aldosterone synthase), and 160 nM (rat aldosterone synthase)<sup>[1][2]</sup>

Osilodrostat (LCI699) phosphate is a potent, orally **active 11 $\beta$ -hydroxylase (CYP11B1)** inhibitor with an **IC<sub>50</sub>** value of 35 nM. Osilodrostat phosphate is a potent, orally **aldosterone synthase (CYP11B2)** inhibitor with **IC<sub>50</sub>** 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

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

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## Product Data Sheet

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used for research of Cushing syndrome (CS)<sup>[1][2][3]</sup>.

Osilodrostat (LCI699; 0.01-10  $\mu$ M; 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<sup>[2]</sup>.

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<sup>[1]</sup>.

Osilodrostat (LCI699; 3-100 mg/kg; p.o.; daily, for 52 weeks) phosphate reduces mean arterial pressure and prolongs survival in dTG rats<sup>[1]</sup>.

Animal Model: Male Ang-II- and ACTH-stimulated Sprague Dawley rats<sup>[1]</sup>

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<sup>[1]</sup>

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.

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