

# Orteronel

**Catalog No: tcsc0580**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

566939-85-3

**Formula:**

$C_{18}H_{17}N_3O_2$

**Pathway:**

Others

**Target:**

Others

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 14.29 mg/mL (46.49 mM; Need ultrasonic)

**Alternative Names:**

TAK-700

**Observed Molecular Weight:**

307.35

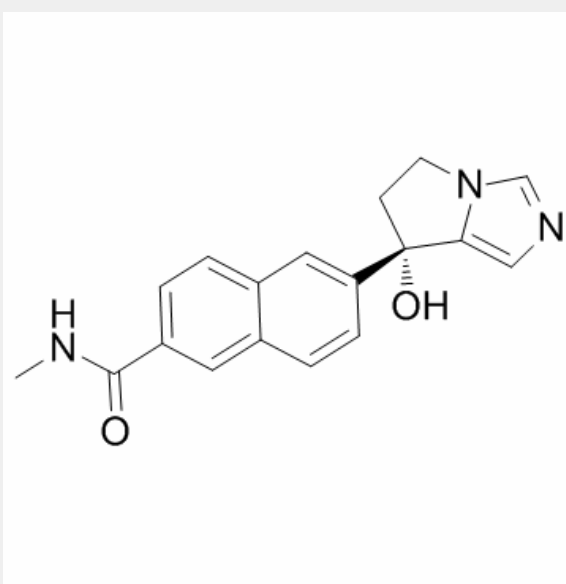
## Product Description

Orteronel is a highly selective inhibitor of human **17,20-lyase** with **IC<sub>50</sub>** of 38 nM, and exhibits >1000-fold selectivity over other CYPs such as 11-hydroxylase and CYP3A4.

IC50 & Target: IC50: 38 nM (human 17,20-lyase), 54 nM (rat 17,20-lyase)

**In Vitro:** In monkey adrenal cells, orteronel inhibits the ACTH stimulated production of DHEA and androstenedione with IC<sub>50</sub> of 110 nM and 130 nM, respectively. Moreover, Orteronel also potently inhibits DHEA production in human adrenocortical tumor line H295R cells with IC<sub>50</sub> of 37 nM<sup>[1]</sup>. In vitro, orteronel shows the potent inhibitory activity against rat and human steroid 17,20-lyase with IC<sub>50</sub> of 54 nM and 38 nM, respectively. While other CYP isoforms including 11-hydroxylase and CYP3A4 are not significantly affected by Orteronel. In microsomes expressing human CYP isoforms, Orteronel exhibit greater inhibitory effects on 17,20-lyase with IC<sub>50</sub> of 19 nM compared to the other CYP isoforms<sup>[2]</sup>.

**In Vivo:** Orteronel (1 mg/kg, p.o.) results in favorable pharmacokinetic parameters with Tmax, Cmax, t1/2 and AUC0-24 hours of 1.7 hours, 0.147 µg/mL, 3.8 hours and 0.727 µg/mL, respectively<sup>[1]</sup>. In cynomolgus monkeys, oral treatment of Orteronel at a dose of 1 mg/kg markedly reduces serum testosterone and dehydroepiandrosterone (DHEA) levels<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!