Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Orteronel is a highly selective inhibitor of human **17,20-lyase** with **IC_{50}** 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_{50} of 110 nM and 130 nM, respectively. Moreover, Orteronel also potently inhibits DHEA production in human adrenocortical tumor line H295R cells with IC_{50} of 37 nM[1]. In vitro, orteronel shows the potent inhibitory activity against rat and human steroid 17,20-lyase with IC_{50} 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_{50} of 19 nM compared to the other CYP isoforms[2].

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[1]. In cynomolgus monkeys, oral treatment of Orteronel at a dose of 1 mg/kg markedly reduces serum testosterone and dehydroepiandrosterone (DHEA) levels[2].