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

Sufugolix

Catalog No: tcsc6434

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
308831-61-0

Formula:
$C_{36}H_{31}F_2N_5O_4S$

Pathway:
Others

Target:
Others

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
TAK-013

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Observed Molecular Weight:
667.72

Product Description

Sufugolix (TAK-013) is a highly potent and orally available luteinizing hormone-releasing hormone (**LHRH**) receptor antagonist with an **IC_{50}** of 0.1 nM.

IC50 & Target: IC50: 0.1 nM (human LHRH), 0.6 nM (monkey LHRH)[1]

In Vitro: Sufugolix exhibits more than 3- and 2000-fold selectivity for the human receptor over the monkey and rat receptors, respectively. Sufugolix effectively antagonizes LHRH function on CHO cells expressing the human (IC_{50}=0.1 nM) and monkey (IC_{50} =0.6 nM) receptors. During the conformational analysis of sufugolix, using high-temperature molecular dynamics calculation, it is observed that the cis conformer of the methoxyurea is more populated than the trans conformer [1].

In Vivo: Oral administration of sufugolix causes almost complete suppression of the plasma LH levels in castrated male cynomolgus monkeys at a 30 mg/kg dose with sufficient duration of action (more than 24 h). The maximum plasma concentrations of sufugolix are 0.34 μM (reached 6 h after administration) and 0.18 μM (reached 4 h after administration) at 30 and 10 mg/kg doses, respectively [1].