

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

Observed Molecular Weight:

667.72

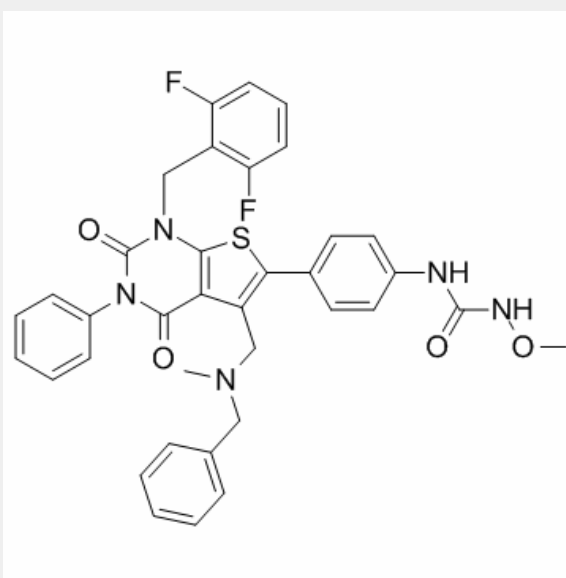
Product Description

Sufugolix (TAK-013) is a highly potent and orally available luteinizing hormone-releasing hormone (**LHRH**) receptor antagonist with an **IC₅₀** 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 (IC₅₀=0.1 nM) and monkey (IC₅₀=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].



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