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Data Sheet

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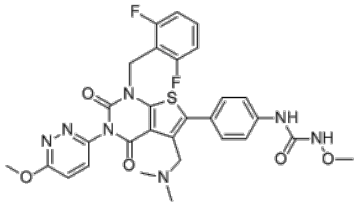
Product Name	:	TAK-385
Cat. No.	:	PC-20087
CAS No.	:	737789-87-6
Molecular Formula	:	$C_{29}H_{27}F_2N_7O_5S$
Molecular Weight	:	623.64
Target	:	GNRH Receptor
Solubility	:	10 mM in DMSO



Biological Activity

TAK-385 (Relugolix, TAK385) is a potent, orally active, non-peptide antagonist of human gonadotropin-releasing hormone (**GnRH**) receptor, inhibits GnRH-stimulated AA release from CHO cells expressing human GnRH receptor with IC50 of 0.85 nM.
TAK-385 exhibited strong binding affinity (IC50=0.32 nM) for monkey receptor comparable to that for the human receptor while displaying a 30000-fold decrease for the rat receptor (IC50=9800 nM).
TAK-385 displayed no significant activity in 134 different enzyme and radioligand binding assays.
TAK-385 showed potent in vitro GnRH antagonistic activity in the presence of fetal bovine serum (FBS) without CYP inhibition.
Oral administration of TAK-385 demonstrated the suppressive effect of the plasma luteinizing hormone levels in castrated cynomolgus monkeys at a 3 mg/kg dose for more than 24 h.

References

Miwa K, et al. ***J Med Chem.*** 2011 Jul 28;54(14):4998-5012.

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