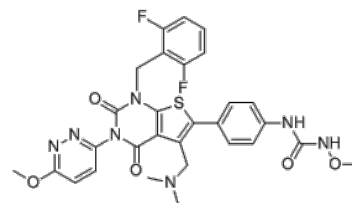


**Product Name** : TAK-385  
**Cat. No.** : PC-20087  
**CAS No.** : 737789-87-6  
**Molecular Formula** : C<sub>29</sub>H<sub>27</sub>F<sub>2</sub>N<sub>7</sub>O<sub>5</sub>S  
**Molecular Weight** : 623.64  
**Target** : GNRH Receptor  
**Solubility** : 10 mM in DMSO



## 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 IC<sub>50</sub> of 0.85 nM.

TAK-385 exhibited strong binding affinity (IC<sub>50</sub>=0.32 nM) for monkey receptor comparable to that for the human receptor while displaying a 30000-fold decrease for the rat receptor (IC<sub>50</sub>=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.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

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