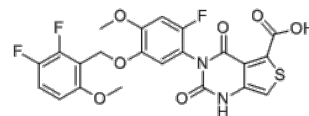


Product Name : Linzagolix
Cat. No. : PC-61385
CAS No. : 935283-04-8
Molecular Formula : C₂₂H₁₅F₃N₂O₇S
Molecular Weight : 508.424
Target : GNRH Receptor
Solubility : 10 mM in DMSO



1. Tezuka M, et al. *Clin Exp Pharmacol Physiol.* 2022 Oct;49(10):1082-1093.

Biological Activity

Linzagolix (KLH-2109, OBE-2109) is a potent, non-peptide, and orally active gonadotrophin releasing hormone (**GnRH**) antagonist, inhibits GnRH-stimulated Ca²⁺ flux with IC₅₀ of 36.7 nM (human GnRH receptor).

Linzagolix shows 12-fold lower potency for the monkey GnRH receptor.

Linzagolix selectively binds to the GnRH receptor and inhibits GnRH-stimulated signalling, in a manner comparable to cetrorelix, a peptide GnRH antagonist.

Linzagolix suppressed the serum luteinizing hormone concentration at doses over 1 mg/kg in ovariectomized monkeys.

Linzagolix suppressed hormone surges and ceased or prolonged menstrual cycles in intact female monkeys.

References

