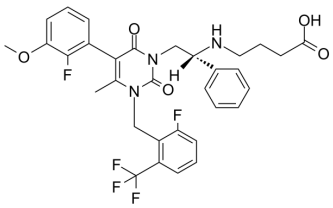
(R)-Elagolix

Cat. No.:	HY-14789
CAS No.:	834153-87-6
Molecular Formula:	$C_{32}H_{30}F_5N_3O_5$
Molecular Weight:	631.59
Target:	GnRH Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (98.96 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5833 mL	7.9165 mL	15.8331 mL
	5 mM	0.3167 mL	1.5833 mL	3.1666 mL
	10 mM	0.1583 mL	0.7917 mL	1.5833 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.96 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Elagolix is a highly potent, selective, orally-active, short-duration, non-peptide antagonist of the gonadotropin-releasing hormone receptor (GnRHR) (KD = 54 pM).Target: GnRHin vitro: Elagolix is a short-acting, nonpeptide, GnRH antagonist, administered orally, that unlike injectable depot GnRH agonists and antagonists, produces a dose-dependent suppression of ovarian estrogen production, that is, from partial suppression at lower doses to full suppression at higher doses. Elagolix is regarded as the frontrunner of a new class of GnRH inhibitors that have been denoted as second-generation, due to their non-peptide nature and oral bioavailability.

REFERENCES

[1]. Carr B, et al. Elagolix, an oral GnRH antagonist, versus subcutaneous depot medroxyprogesterone acetate for the treatment of endometriosis: effects on bone mineral density. Reprod Sci. 2014 Nov;21(11):1341-1351.

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