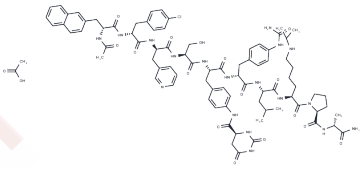
Data Sheet (Cat.No.T10988L)

TargetMol

Degarelix acetate(214766-78-6 free base)

Chemical Properties



CAS No. : 934016-19-0

Formula: C84H107ClN18O18

Molecular Weight: 1692.34

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Degarelix acetate is a competitive and reversible antagonist of gonadotropin-releasing hormone receptor (GnRHR) .
Targets(IC50)	GNRH Receptor
In vitro	Degarelix directly acts on the pituitary receptors to luteinizing hormone-releasing hormone (LHRH), preventing the effect of endogenous LHRH. The use of degarelix eliminates the undesirable surge of initial gonadotropin and testosterone levels produced by LHRH agonists. With the exception of PC-3 cells, Degarelix treatment reduces the cell viability of all prostate cell lines (WPE1-NA22, WPMY-1, BPH-1 cells, VCaP cells). GnRH antagonist degarelix has a direct effect on the growth of prostate cells through apoptosis.
In vivo	In a single subcutaneous injection of 0.3 to 10 μg/kg in rats, degarelix produced a dose-dependent inhibition of the pituitary-gonad axis as revealed by the decrease in plasma luteinizing hormone (LH) and testosterone levels. The duration of LH inhibition increased with increasing dose: in rats, after subcutaneous injection of digarelix 12.5, 50 or 200 μg/kg, the significant inhibition of LH lasted 1, 2 and 7 days, respectively [3]. Degarelix is stable when incubated in microsomes and liver cells cryopreserved in animal liver tissue.

Solubility Information

Solubility	DMSO: 16.93 mg/mL (10 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.5909 mL	2.9545 mL	5.909 mL
5 mM	0.1182 mL	0.5909 mL	1.1818 mL
10 mM	0.0591 mL	0.2954 mL	0.5909 mL
50 mM	0.0118 mL	0.0591 mL	0.1182 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Rick FG, et al. An update on the use of degarelix in the treatment of advanced hormone-dependent prostate cancer. Onco Targets Ther. 2013 Apr 16;6:391-402.
Sakai M, et al. In search of the molecular mechanisms mediating the inhibitory effect of the GnRH antagonistdegarelix on human prostate cell growth. PLoS One. 2015 Mar 26;10(3):e0120670.
Broqua P, et al. Pharmacological profile of a new, potent, and long-acting gonadotropin-releasing hormoneantagonist: degarelix. J Pharmacol Exp Ther. 2002 Apr;301(1):95-102.
Sonesson A, et al. Metabolite profiles of degarelix, a new gonadotropin-releasing hormone receptor antagonist, in rat, dog, and monkey. Drug Metab Dispos. 2011 Oct;39(10):1895-903.