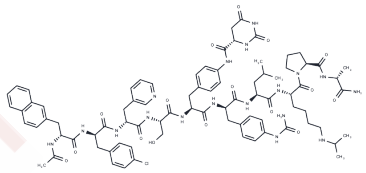
Data Sheet (Cat.No.T10988)

TargetMol

Degarelix

Chemical Properties



CAS No. :	214766-78-6
Formula:	C82H103ClN18O16
Molecular Weight:	1632.26
Appearance:	no data available
Storage:	keep away from moisture store at -20°C

Biological Description

Description	Degarelix is a peptide and selective GnRH (human gonadotropin-releasing hormone) receptor antagonist that treats prostate cancer by lowering testosterone levels in the body.
Targets(IC50)	Apoptosis,GNRH Receptor
In vitro	Degarelix significantly reduced cell viability in multiple prostate-derived cell lines (1nM-10μM, 0-72h), with no inhibitory effect on PC-3 cells[1].Degarelix suppressed prostate cell growth by inducing apoptosis (10μM, 0-72h)[1].
In vivo	In rats, a single subcutaneous dose of Degarelix (1-10mg/kg, sc) caused dose-dependent testosterone suppression lasting >30 days[1].In prostate cancer patients, Degarelix (240mg loading dose followed by monthly 80mg sc) rapidly reduced serum testosterone to castrate levels (≤0.5ng/mL), without the agonist-related hormone surge, and maintained suppression for at least 1 month[1].

Solubility Information

Solubility	H2O: 4 mg/mL (2.45 mM),Sonication is recommended. DMSO: 8 mg/mL (4.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.6126 mL	3.0632 mL	6.1265 mL
5 mM	0.1225 mL	0.6126 mL	1.2253 mL
10 mM	0.0613 mL	0.3063 mL	0.6126 mL
50 mM	0.0123 mL	0.0613 mL	0.1225 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.

Reference

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.
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.
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.

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