Degarelix

Cat. No.: HY-16168A

CAS No.: 214766-78-6

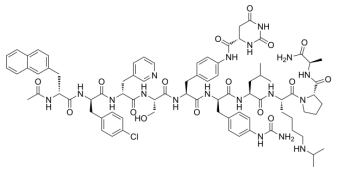
Molecular Formula: $C_{82}H_{103}ClN_{18}O_{16}$

Molecular Weight: 1632.26

Target: GnRH Receptor; Apoptosis

Pathway: GPCR/G Protein; Apoptosis

Storage: Sealed storage, away from moisture
Powder -80°C 2 years
-20°C 1 year
* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (6.13 mM; Need ultrasonic)
H_2O : 5 mg/mL (3.06 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	0.6126 mL	3.0632 mL	6.1265 mL
	5 mM	0.1225 mL	0.6126 mL	1.2253 mL
	10 mM	---	---	---

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: ≥ 1 mg/mL (0.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (0.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (0.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Degarelix is a competitive and reversible gonadotropin-releasing hormone receptor (GnRHR/LHRHR) antagonist. Degarelix can be used for prostate cancer research[1].

IC_{50} & Target

GnRHR[1]

In Vitro

Degarelix shows only very weak histamine-releasing properties and the lowest capacity for histamine release among the

antagonists of LHRH, including Cetrorelix (HY-P0009), Abarelix (HY-13534), and Ganirelix (HY-P1628)[1].
Degarelix (1 nM-10 μM, 0-72 h) reduces cell viability in all prostate cell lines (WPE1-NA22, WPMY-1, BPH-1, VCaP cells), with the exception of the PC-3 cells[2].
Degarelix (10 μM, 0-72 h) exerts a direct effect on prostate cell growth through apoptosis[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	WPMY-1, WPE1-NA22, BPH-1, LNCaP and VCaP
Concentration:	1 nM-10 μM
Incubation Time:	WPMY-1 cells at 48 and 72h, WPE1-NA22 cells at 72 hours, BPH-1 cells at 48 and 72h, LNCaP cells at 48 and 72h
Result:	Reduced cell viability in all prostate cell lines, with the exception of the PC-3 cells.

Apoptosis Analysis[2]

Cell Line:	WPE1-NA22, BPH-1, LNCaP and VCaP
Concentration:	10 μM
Incubation Time:	24, 48 and 72 h
Result:	Induced a significant increase on caspase 3/7 activation.

In Vivo

Degarelix (0-10 μg/kg; s.c.; once) decreases plasma LH levels and plasma testosterone levels in a dose-dependent manner in castrated rats[3].
Degarelix is stable when incubated in microsomes and cryopreserved hepatocytes from animal liver tissue. In rat and dog, most of the degarelix dose is eliminated within 48 h via urine and feces in equal amounts (40–50% in each matrix), whereas in monkey the major route of excretion is fecal (50%) and renal (22%)[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats, castrated[3]
Dosage:	0.3, 1, 3 and 10 μg/kg or 12.5, 50, and 200 μg/kg
Administration:	Subcutaneous injection, once
Result:	Produced a dose-dependent and reversible decrease in plasma LH levels with a minimal effective dose of 3 μg/kg. For the 50 μg/kg and 200 μg/kg doses, $t_{1/2}$ of absorption values were 4 min and 30 min, T_{max} values were 1 h and 5 h, and apparent plasma disappearance $t_{1/2}$ values were 12 h and 67 h, respectively. Produced a dose-dependent decrease in plasma testosterone levels with a minimal effective dose of 1 μg/kg.

CUSTOMER VALIDATION

- Cancer Lett. 2023 May 9;216209.
- Arterioscler Thromb Vasc Biol. 2024 Jan 11.
- FASEB J. 2023 Feb;37(2):e22772.

- J Immunol. 2022 Dec 21;ji2200696.
- Prostate. 2021 Jul 1.

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REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

[4]. 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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