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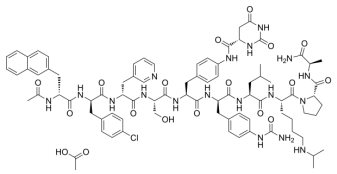
Degarelix acetate

Cat. No.:	HY-16168
CAS No.:	934016-19-0
Molecular Formula:	$C_{84}H_{107}ClN_{18}O_{18}$
Molecular Weight:	1692.31
Target:	GnRH Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Degarelix acetate (FE 200486) is a decapeptide that shows high affinity/selectivity to human gonadotropin-releasing hormone (GnRH) receptor (IC_{50} = 3 nM). Degarelix acetate (FE 200486) is used for the research of prostate cancer[1][2].

In Vitro

Degarelix (FE 200486) 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)[3].
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[4].
Degarelix (10 μM, 0-72 h) exerts a direct effect on prostate cell growth through apoptosis[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[4]

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

Apoptosis Analysis[4]

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 (FE 200486 free base) (0-10 μg/kg; s.c.; once) decreases plasma LH levels and plasma testosterone levels in a dose-dependent manner in castrated rats[5].
Degarelix (FE 200486 free base) 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%)[6].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats, castrated[5].
Dosage:	0.3, 1, 3 and 10 μg/kg or 12.5, 50, and 200 μg/kg.
Administration:	Subcutaneous injection, once.
Result:	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]. Anders Sonesson, et al. In Vitro and In Vivo Human Metabolism of Degarelix, a Gonadotropin-Releasing Hormone Receptor Blocker. Drug Metabolism and Disposition. July 2013, 41 (7) 1339-1346.

[2]. Degarelix.

[3]. 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.

[4]. 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.

[5]. 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.

[6]. 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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