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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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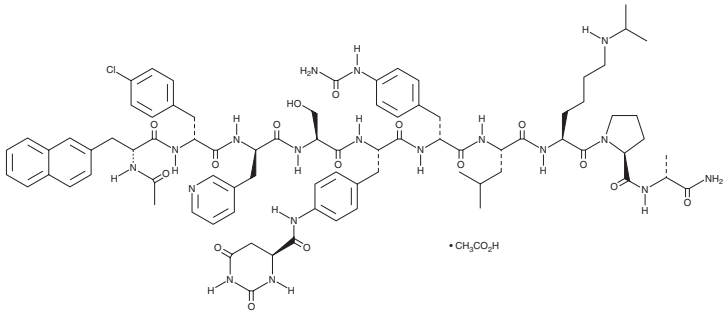
PRODUCT INFORMATION

Degarelix (acetate)

Item No. 24069

CAS Registry No.: 934016-19-0
Formal Name: N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-4-[[[(4S)-hexahydro-2,6-dioxo-4-pyrimidinyl]carbonyl]amino]-L-phenylalanyl-4-[(aminocarbonyl)amino]-D-phenylalanyl-L-leucyl-N^6-(1-methylethyl)-L-lysyl-L-prolyl-D-alaninamide, monoacetate
Synonym: FE 200486
MF: $C_{82}H_{103}ClN_{18}O_{16} \bullet C_2H_4O_2$
FW: 1,692.3
Purity: ≥98%
UV/Vis.: λ_{max}: 226, 246 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Degarelix (acetate) is supplied as a crystalline solid. A stock solution may be made by dissolving the degarelix (acetate) in the solvent of choice. Degarelix (acetate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of degarelix (acetate) in ethanol is approximately 0.25 mg/ml, and is approximately 30 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of degarelix (acetate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of degarelix (acetate) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Degarelix is a synthetic gonadotropin-releasing hormone receptor (GNRHR) antagonist (IC_{50} = 3 nM in HEK293 cells expressing the human receptor).[1] It inhibits the growth of WPMY-1, WPE1-NA22, BPH-1, and LNCaP prostate cell lines following a 72-hour incubation at a concentration of 10 µM *via* caspase activation and induction of apoptosis.[2] *In vivo*, degarelix (2 mg/kg) decreases plasma testosterone levels in rats to castrate levels for the first 49 days post administration.[1] It decreases tumor volume of Dunning R3327H prostate tumor flank implants in rats when administered at a dose of 1 mg/kg per month.[3] Formulations containing degarelix have been used in the treatment of advanced prostate cancer.

References

1. Jiang, G., Stalewski, J., Galyean, R., *et al. J. Med. Chem.* **44(3)**, 453-467 (2001).
2. Sakai, M., Martinez-Arguelles, D.B., Patterson, N.H., *et al. PLoS One* **10(3)**, e0120670 (2015).
3. Princivalle, M., Broqua, P., White, R., *et al. J. Pharmacol. Exp. Ther.* **320(3)**, 1113-1118 (2007).

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