

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-N⁶-(1-methylethyl)-L-lysyl-L-prolyl-D-alaninamide, monoacetate

Synonym:

MF: C₈₂H₁₀₃ClN₁₈O₁₆ • C₂H₄O₂

FW: 1,692.3

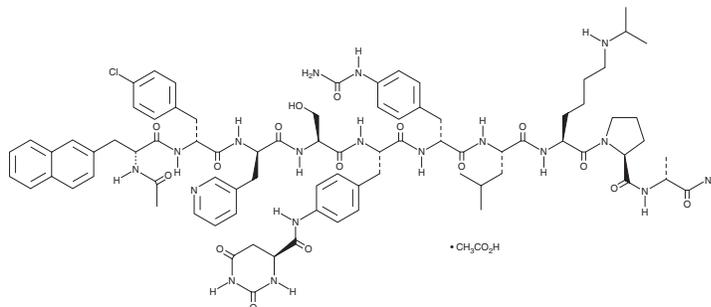
Purity: ≥98%

UV/Vis.: λ_{max}: 226, 246 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 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, which should be purged with an inert gas. Degarelix (acetate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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 (GNRH) antagonist (IC₅₀ = 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM