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- Trockeneiszuschlag
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PRODUCT INFORMATION



Abarelix (acetate)

Item No. 28746

CAS Registry No.: 785804-17-3

Formal Name: N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-D-asparaginyl-L-leucyl-N⁶-(1-methylethyl)-L-lysyl-L-prolyl-D-alaninamide, acetate salt

MF: C₇₂H₉₅ClN₁₄O₁₄ • XC₂H₄O₂

FW: 1,416.1

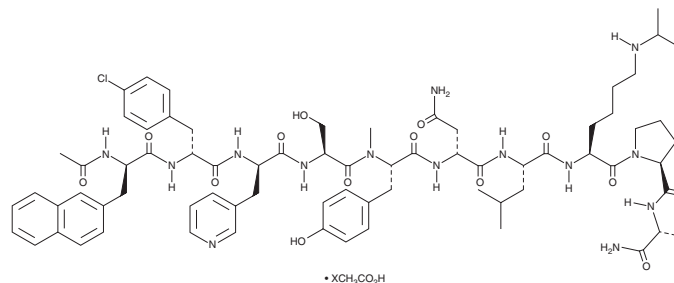
Purity: ≥95%

UV/Vis.: λ_{max}: 226 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

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

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 abarelix (acetate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of abarelix (acetate) in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Abarelix is a synthetic third generation gonadotropin-releasing hormone receptor (GnRHR) antagonist (IC₅₀ = 3.5 nM in HEK293 cells expressing the human receptor).^{1,2} It increases histamine release from rat peritoneal mast cells *in vitro* and from a human skin model *ex vivo*.^{3,4} *In vivo*, abarelix (200 µg/kg) decreases plasma luteinizing hormone (LH) levels six hours post-treatment in castrated rats, with levels returning to baseline within 24 hours.³ Abarelix (2 mg/kg) also transiently decreases plasma testosterone levels in intact rats, with levels returning to baseline within seven days post-treatment. Formulations containing abarelix have previously been used in the treatment of advanced prostate cancer.

References

1. Tan, O. and Bukulmez, O. *Curr. Opin. Obstet. Gynecol.* **23(4)**, 238-244 (2011).
2. Jiang, G., Stalewski, J., Galyean, R., et al. *J. Med. Chem.* **44(3)**, 453-467 (2001).
3. Broqua, P., Riviere, P.J.-M., Conn, P.M., et al. *J. Pharmacol. Exp. Ther.* **301(1)**, 95-102 (2002).
4. Koechling, W., Hjortkjaer, R., and Tankó, L.B. *Br. J. Clin. Pharmacol.* **70(4)**, 580-587 (2010).

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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