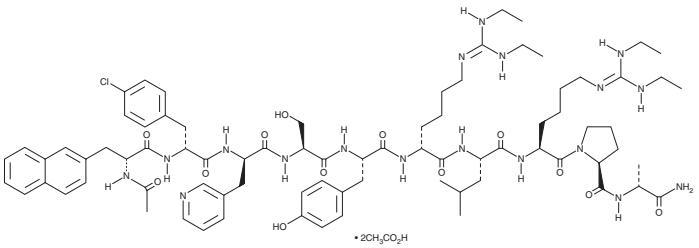
PRODUCT INFORMATION

Ganirelix (acetate)

Item No. 24098

CAS Registry No.: 129311-55-3
Formal Name: N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N^6-[(ethylamino)(ethylimino)methyl]-D-lysyl-L-leucyl-N^6-[(ethylamino)(ethylimino)methyl]-L-lysyl-L-prolyl-D-alaninamide, diacetate
MF: $C_{80}H_{113}ClN_{18}O_{13} \bullet 2C_2H_4O_2$
FW: 1,690.4
Purity: ≥98%
UV/Vis.: λ_{max}: 227 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

Ganirelix (acetate) is supplied as a crystalline solid. A stock solution may be made by dissolving the ganirelix (acetate) in the solvent of choice. Ganirelix (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 ganirelix (acetate) in ethanol is approximately 0.25 mg/ml and 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 ganirelix (acetate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ganirelix (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

Ganirelix is a gonadotropin-releasing hormone receptor (GNRHR) antagonist (IC_{50} = 3.6 nM; pA_2 = 9.3).[1] It induces a concentration-dependent increase in histamine release from rat peritoneal mast cells *in vitro* (EC_{50} = 11 µg/ml).[2] *In vivo*, ganirelix (2 mg/kg, s.c.) decreases plasma testosterone in intact male rats for the first 7 days post-administration. Ganirelix (125 µg per day for 30 days) decreases the surface area of endometriotic lesions and serum progesterone levels in female baboons.[3] Formulations containing ganirelix have been used to prevent premature ovulation in women undergoing *in vitro* fertilization.

References

1. Jiang, G., Stalewski, J., Galyean, R., *et al.* GnRH antagonists: A new generation of long acting analogues incorporating *p*-ureido-phenylalanines at positions 5 and 6. *J. Med. Chem.* **44(3)**, 453-467 (2001).
2. Brogua, P., Riviere, P.J.-M., Conn, P.M., *et al.* Pharmacological profile of a new, potent, and long-acting gonadotropin-releasing hormone antagonist: Degarelix. *J. Pharmacol. Exp. Ther.* **301(1)**, 95-102 (2002).
3. Lebovic, D.I., Mwenda, J.M., Chai, D.C., *et al.* PPAR-gamma receptor ligand induces regression of endometrial explants in baboons: A prospective, randomized, placebo- and drug-controlled study. *Fertil Steril.* **88(4 Suppl)**, 1108-1119 (2007).

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