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PRODUCT INFORMATION



Histrelin (acetate)

Item No. 23663

CAS Registry No.: 220810-26-4
Formal Name: 6-[1-(phenylmethyl)-D-histidine]-9-(N-ethyl-L-prolinamide)-1-9-luteinizing hormone-releasing factor (swine), acetate

Synonyms: [Des-Gly¹⁰,D-His(Bzl)⁶]-LHRHethyl amide, [Des-Gly¹⁰,D-His(Bzl)⁶,Pro-NHEt⁹]-LHRH, [(ImBzl)-d-His⁶,Pro⁹-NET]-LHRH

MF: C₆₆H₈₆N₁₈O₁₂ • XC₂H₄O₂

FW: 1,323.5

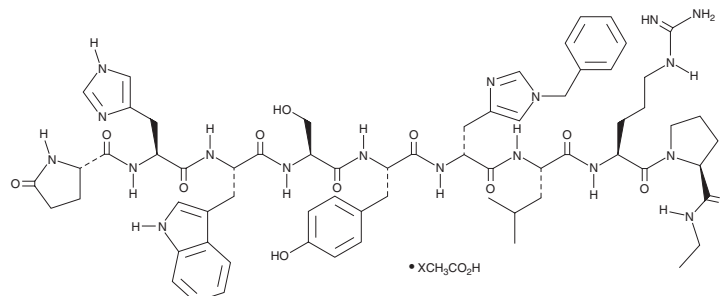
Purity: ≥98%

UV/Vis.: λ_{max}: 281 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

Histrelin (acetate) is supplied as a crystalline solid. A stock solution may be made by dissolving the histrelin (acetate) in the solvent of choice. Histrelin (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 histrelin (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 histrelin (acetate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of histrelin (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

Histrelin is a synthetic gonadotropin-releasing hormone (GNRH) agonist that binds to the GNRH receptor (GNRHR; K_i = 0.2 nM in CHO cells expressing the human receptor).¹ It stimulates release of oxytocin (Item No. 11799) and vasopressin *ex vivo* from the isolated rat hypothalamo-neurohypophysial system at a concentration of 100 nM.^{2,3} *In vivo*, the initial dose of histrelin (100 μg) stimulates acute increases in luteinizing hormone (LH), follicle-stimulating hormone (FSH), and testosterone serum levels (50-, 2.5-, and 15-fold, respectively), while chronic treatment leads to 95% decreases in LH and FSH responses in rhesus monkeys.⁴ Formulations containing histrelin have been used in the treatment of advanced prostate cancer and central precocious puberty.

References

1. Nderpelt, I., Georgi, V., Schiele, F., *et al.* *Br. J. Pharmacol.* **173**(1), 128-141 (2016).
2. Juszczak, M. and Boczek-Leszczczyk, E. *Brain Res. Bull.* **81**(1), 185-190 (2010).
3. Boczek-Leszczczyk, E., Stempniak, B., and Juszczak, M. *J. Physiol. Pharmacol.* **61**(4), 459-466 (2010).
4. Sundaram, K., Thau, R.B., Goldstein, M., *et al.* *J. Reprod. Fertil.* **72**(2), 365-371 (1984).

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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