Histrelin

Cat. No.:	HY-P0056
CAS No.:	76712-82-8
Molecular Formula:	$C_{66}H_{86}N_{18}O_{12}$
Molecular Weight:	1323.5
Sequence:	{Pyr}-His-Trp-Ser-Tyr-D-His(Bzl)-Leu-Arg-Pro-NHEt
Sequence Shortening:	{Pyr}-HWSYHLRP-NHEt
Target:	GnRH Receptor
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Histrelin, a GnRH analogue, is a GnRH Receptor agonist. Histrelin increases serum luteinising hormone (LH), follicle stimulating hormone (FSH) and testosterone levels. Histrelin can be used in the research of prostate cancer, endometriosis [1][2][5].

IC_{50} & Target

GnRH Receptor[1]

In Vitro

Histrelin (10-100 nM) stimulates the release of vasopressin (VP) from from isolated rat hypothalamo-neurohypophysial explants[4].

Histrelin (100 nM) stimulates oxytocin (OT) release from the rat hypothalamo-neurohypophysial system[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Histrelin (0.1 mg/kg, subcutaneous injection) rescues the circulating LH concentrations in $Csfm^{op}/Csfm^{op}$ mice[2].

Histrelin (10,30, or 100 μg /day, subcutaneous injection) reduces the number of endometrial glands and atrophied the stroma in rabbits[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	$Csfm^{op}/Csfm^{op}$ mice [2]
Dosage:	0.001, 0.05, and 0.1 mg/kg
Administration:	Subcutaneous injection
Result:	Increased serum FSH concentrations by 4-fold.

Animal Model:	Rabbits[3]
Dosage:	10, 30, or 100 μg /day
Administration:	Subcutaneous injection for 4 weeks
Result:	Caused a regression of the endometrial glands and a thinning of the stroma.

REFERENCES

[1]. Emma D Deeks, et al. Histrelin: in advanced prostate cancer. Drugs. 2010 Mar 26;70(5):623-30.

[2]. P E Cohen, et al. Colony-stimulating factor-1 plays a major role in the development of reproductive function in male mice. Mol Endocrinol. 1997 Oct;11(11):1636-50.

[3]. D W Hahn, et al. Development of an animal model for quantitatively evaluating effects of drugs on endometriosis. Fertil Steril. 1985 Sep;44(3):410-5.

[4]. E Boczek-Leszczyk, et al. Vasopressin release from the rat hypothalamo-neurohypophysial system: effects of gonadotrophin-releasing hormone (GnRH), its analogues and melatonin. J Physiol Pharmacol. 2010 Aug;61(4):459-66.

[5]. Marlena Juszczak, et al. Hypothalamic gonadotropin-releasing hormone receptor activation stimulates oxytocin release from the rat hypothalamo-neurohypophysial system while melatonin inhibits this process. Brain Res Bull. 2010 Jan 15;81(1):185-90.

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