Product Data Sheet

Product Name: Cetrorelix
Cat. No.: GC11400

Chemical Properties

Cas. No.	120287-85-6		
Formula	$C_{70}H_{92}ClN_{17}O_{14}$	M.Wt	1431.04
Solubility	≥ 30.9mg/mL in DMSO with gentle warming	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Cetrorelix		

Protocol

Cell experiment [1]:

Cell lines	Epithelial cells
Preparation Method	After first medium change of both cultures, cells were washed and fresh medium without FBS and supplemented with human transferrin 5mg/L, insulin 2mg/L, epidermal growth factor 10μg/L, vitamin A and E 200μg/L, hydrocortisone 10nM, sodium selenite 2μg/L, and dihydrotestosterone (DHT) 10nM was added. Then, epithelial and stromal cell cultures were set together, media were collected every 48h and cocultures maintained for 2 weeks. GnRH analogues treatments were carried out during Day 4 and 6 of co culture. Both leuprolide and Cetrorelix Acetate were used in a concentration range of 1-20ng/mL.

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Reaction Conditions	1-20ng/mL; 10d
Applications	Treatment with Cetrorelix Acetate significantly reduced cell growth rate.

Animal experiment [2]:

Animal models	C57BL/6J mice
Preparation Method	To test superovulation, we used 16-week-old and 52-week-old C57BL/6J female mice as juvenile and aged mice, respectively. To prepare pseudopregnant female mice for embryo transfer, we used mature female ICR mice and vasectomized male mice. To investigate the effects of GnRH antagonists on the number of ovulated oocytes, Cetrorelix Acetate (5μg/kg) was administered intraperitoneally at approximately 5:00 PM once daily or every three days, one week prior to administration of pregnant mare serum gonadotropin and human chorionic gonadotropin.
Dosage form	5μg/kg; ip; 7d
Applications	Cetrorelix Acetate improves superovulation efficacy in aged mice without compromising oocyte quality.

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References:
[1]. Castellón E, Clementi M, Hitschfeld C, et al. Effect of leuprolide and cetrorelix on cell growth, apoptosis, and GnRH receptor expression in primary cell cultures from human prostate carcinoma[J]. Cancer investigation, 2006, 24(3): 261-268.
[2]. Kanda A, Nobukiyo A, Sotomaru Y. Effect of Cetrorelix administration on ovarian stimulation in aged mice[J]. Experimental Animals, 2021, 70(1): 31-36.

Background

Cetrorelix is a synthetic gonadotropin-releasing hormone (GnRH) antagonist (IC_{50} = 1.21nM) [1]. Cetrorelix Acetate inhibits the GnRH signaling pathway, thereby reducing the secretion of follicle-stimulating hormone (FSH) and luteinizing hormone (LH) [2-3]. Cetrorelix Acetate is used to treat premature ovulation [4].

In epithelial cells, treatment with Cetrorelix Acetate (1-20ng/mL; 10d) significantly reduced cell growth rate [5]. In HTOA cells, Cetrorelix Acetate (10nM-10μM; 24-96h) treatment showed a dose-dependent anti-proliferative effect on cells [6].

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In C57BL/6J mice, Cetrorelix Acetate (5μg/kg; ip; 7d) improves superovulation efficacy in aged mice without compromising oocyte quality [7]. In CFLP male mice, Cetrorelix Acetate (2μg; 2μL; intraventricular injection; single injection) attenuates the effects of beta-amyloid 25-35 [8].

References:

[1]. Beckers T, Reiländer H, Hilgard P. Characterization of gonadotropin-releasing hormone analogs based on a sensitive cellular luciferase reporter gene assay[J]. Analytical biochemistry, 1997, 251(1): 17-23.
[2]. Britten J L, Malik M, Levy G, et al. Gonadotropin-releasing hormone (GnRH) agonist leuprolide acetate and GnRH antagonist cetrorelix acetate directly inhibit leiomyoma extracellular matrix production[J]. Fertility and sterility, 2012, 98(5): 1299-1307.
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[5]. Castellón E, Clementi M, Hitschfeld C, et al. Effect of leuprolide and cetrorelix on cell growth, apoptosis, and GnRH receptor expression in primary cell cultures from human prostate carcinoma[J]. Cancer investigation, 2006, 24(3): 261-268.
[6]. Tang X, Yano T, Osuga Y, et al. Cellular mechanisms of growth inhibition of human epithelial ovarian cancer cell line by LH-releasing hormone antagonist Cetrorelix[J]. The Journal of Clinical Endocrinology & Metabolism, 2002, 87(8): 3721-3727.
[7]. Kanda A, Nobukiyo A, Sotomaru Y. Effect of Cetrorelix administration on ovarian stimulation in aged mice[J]. Experimental Animals, 2021, 70(1): 31-36.
[8]. Telegdy G, Tanaka M, Schally A V. Effects of the LHRH antagonist Cetrorelix on the brain function in mice[J]. Neuropeptides, 2009, 43(3): 229-234.

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