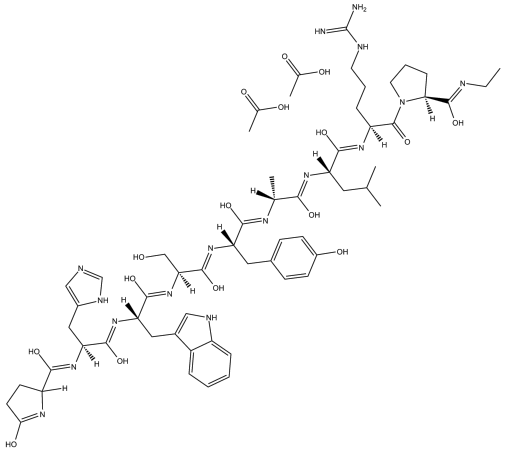
Product Data Sheet

Product Name: Alarelin Acetate
Cat. No.: GC12374

Chemical Properties

Cas. No.	79561-22-1
Chemical Name	acetic acid compound with (Z)-N-((6S,7Z,9S,10Z,12R,13Z,15S,16Z,18S,19Z,21S,22Z,24S)-21-((1H-indol-3-yl)methyl)-1-amino-6-((S)-2-((Z)-(ethylimino)(hydroxy)methyl)pyrrolidine-1-carbonyl)-8,11,14,17,20,23-hexahydroxy-15-(4-hydroxybenzyl)-18-(hydroxymethyl)-2
SMILES	CC/N=C(O)/[C@]1([H])CCCN1C([C@](/N=C(O)/[C@](/N=C(O)/[C@@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/C2([H])CCC(O)=N2)([H])CC3=CN=CN3)([H])CC4=CNC5=CC=CC=C45)([H])CO)([H])CC6=CC=C(O)C=C6)([H])C)([H])CC(C)C)([H])CCCNC(N)=N)=O.CC(O)=O.CC(O
Formula	$C_{60}H_{86}N_{16}O_{16}$
M.Wt	1287.42
Solubility	≥ 128.8mg/mL in Water, ≥ 128.7mg/mL in DMSO, ≥ 128.6mg/mL in EtOH
Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C 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	

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Protocol

Cell experiment [1]:

Cell lines	Sprague-Dawley rats gastric smooth muscle cells (GSMCs)
Preparation Method	GSMCs were isolated via collagenase I digestion and maintained in DMEM supplemented with 10% calf serum at 37°C under 5% CO_2. Cells were treated with Alarelin Acetate at concentrations of 10nM, 100nM, and 10μM for 24 hours.
Reaction Conditions	10nM, 100nM, and 10μM; 24h
Applications	Alarelin Acetate significantly inhibited GSMC proliferation in a dose-dependent manner. Alarelin increased G1-phase cell proportion and reduced S-phase cells, indicating cell cycle arrest at G1/S phase. The effects were mediated through GnRH receptors expressed in GSMC cytoplasm.

Animal experiment [2]:

Animal models	Male sterlet (*Acipenser ruthenus*)
Preparation Method	Fish were acclimatized to 15°C water temperature and received a single intramuscular injection of PLGA microparticles encapsulating Alarelin Acetate (35μg/kg or 200μg/kg) suspended in saline. Blood and milt samples were collected at 24-, 48-, and 72-hours post-injection for analysis of androgens and sperm parameters.
Dosage form	35μg/kg or 200μg/kg; i.m.; Single injection.

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Applications	Alarelin Acetate delivered via PLGA microparticles significantly induced spermiation, increased plasma testosterone and 11-ketotestosterone levels, and prolonged sperm production over 72 hours. The 35µg/kg dose achieved optimal sperm motility and relative sperm production, comparable to carp pituitary extract, while the 200µg/kg dose resulted in lower initial sperm concentration but sustained output.

References:
[1] Chen L, He HX, Sun XD, et al. Expression of gonadotropin-releasing hormone receptor and effect of gonadotropin-releasing hormone analogue on proliferation of cultured gastric smooth muscle cells of rats. World J Gastroenterol. 2004 Jun 15;10(12):1780-4.
[2] Podhorec P, Knowles J, Vysloužil J, et al. Induction of Spermiation in Sterlet Acipenser ruthenus by PLGA Microparticle Delivery with Sustained Alarelin Release. Animals (Basel). 2021 Nov 19;11(11):3305.

Background

Alarelin Acetate is a synthetic gonadotropin-releasing hormone (GnRH) agonist [1-2]. During the initial phase of administration, Alarelin Acetate stimulates the pituitary gland to release luteinizing hormone (LH) and follicle-stimulating hormone (FSH), and is utilized in research related to conditions such as endometriosis, uterine fibroids, and infertility caused by ovarian dysfunction[3-4].

In vitro, treatment of rat gastric parietal cells with Alarelin Acetate (1µM) for 24 hours, Alarelin Acetate inhibited gastric acid secretion by acting on GnRH receptors on parietal cells, and reduced gastric acid secretion by suppressing vagal nerve activity, with effects exhibiting time-dependent characteristics[5]. Treatment of rat gastric smooth muscle cells with Alarelin Acetate (1nM–10µM) for 24–48 hours, Alarelin Acetate significantly inhibited cell proliferation activity and DNA synthesis via GnRH receptors, arrested the cell cycle in the G1 phase and reduced the proportion of cells in the S phase[6].

In vivo, administration of Alarelin Acetate via a PLGA microsphere sustained-release system (35µg/kg or 200µg/kg) as a single intramuscular injection in *Acipenser ruthenus*(with sampling at 24,

48, and 72 hours), Alarelin Acetate effectively induced spermiation, prolonged semen collection duration, and enhanced sperm motility at the 35μg/kg dose[7]. In non-breeding season Assaf and Lacaune ewes, intramuscular injection of Alarelin Acetate (7.5μg) combined with progesterone (37.5mg) on day 0 of the experiment, Alarelin Acetate increased lambing rate, improved reproductive performance, and enhanced fertility[8].

References:

[1] Yuan F, Zhang P, Liu M, et al. Pharmacogenomic analysis of alarelin acetate-induced hepatotoxicity: a case report and literature review. Front Med (Lausanne). 2025 Sep 29;12:1634101.
[2] Chu L, Terasaki M, Mattsson CL, et al. In vivo drug discovery for increasing incretin-expressing cells identifies DYRK inhibitors that reinforce the enteroendocrine system. Cell Chem Biol. 2022 Sep 15;29(9):1368-1380.e5.
[3] Kong Y, Zhang JW. Experimental study on rat model of endometriosis treated with tamoxifen and rhizoma curcumae oil. Sichuan Da Xue Xue Bao Yi Xue Ban. 2006 Jul;37(4):596-8.
[4] Zhang K, Gao G, Zhao X, et al. The direct effects of gonadotropin-releasing hormone on proliferation of granulosa cells and development of follicles in goose. Br Poult Sci. 2020 Jun;61(3):242-250.
[5] Chen L, Sun XD, Zhao J, et al. Distribution, cloning and sequencing of GnRH, its receptor, and effects of gastric acid secretion of GnRH analogue in gastric parietal cells of rats. Life Sci. 2005 Feb 4;76(12):1351-65.
[6] Chen L, He HX, Sun XD, et al. Expression of gonadotropin-releasing hormone receptor and effect of gonadotropin-releasing hormone analogue on proliferation of cultured gastric smooth muscle cells of rats. World J Gastroenterol. 2004 Jun 15;10(12):1780-4.
[7] Podhorec P, Knowles J, Vysloužil J, et al. Induction of Spermiation in Sterlet Acipenser ruthenus by PLGA Microparticle Delivery with Sustained Alarelin Release. Animals (Basel). 2021 Nov 19;11(11):3305.
[8] Alijani A, Niasari-Naslaji A, Sayyah N, et al. Comparing CIDR with progesterone injections and eCG with human recombinant FSH for synchronizing estrous cycle in ewes. Iran J Vet Res. 2024;25(1):3-7.