

Product Data Sheet

Product Name: Examorelin (Hexarelin)

Cat. No.: GC32451

Chemical Properties

Cas. No. 140703-51-1

SMILES NC(CCCNC(=O)[C@H](Cc1ccccc1)NC(=O)[C@H](Cc2c[nH]c3ccccc23)NC(=O)[C@H](Cc4c[nH]c5ccccc45)NC(=O)[C@H](Cc6c[nH]c7ccccc67)NC(=O)CCc8ccn[nH]8

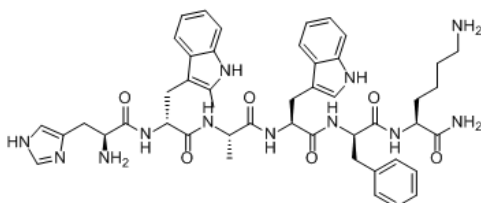
Formula $C_{47}H_{58}N_{12}O_6$ M.Wt 887.04

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml, Ethanol: 5 mg/ml, PBS (pH 7.2): 10 mg/ml
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



Protocol

Cell experiment [1]:

Cell lines β -cell line MIN6 cells

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

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Preparation Method Cells were subjected to different treatments: 1) Control group: cells kept in serum-free medium (SFM) for 4h followed by a 2-hour incubation in refreshed SFM with appropriate vehicles; 2) streptozotocin (STZ) treatment group: cells treated with 1mM STZ in SFM for 4 hours followed by a 2-hour incubation in refreshed SFM; 3) Examinein treatment group: cells kept in SFM for 4h followed by a 2-hour incubation with 1µM Examinein in SFM; and 4) "STZ+Examinein" treatment group: cells treated with 1mM STZ in SFM for 4h followed by a 2-hour incubation with 1µM Examinein in SFM.

Reaction Conditions 1µM; 2h

Applications Examinein decreased the STZ-induced damage in β-cells.

Animal experiment [2]:

Animal models Albino swiss mice

Preparation Method Examinein was dissolved and vehiculised in 0.9% NaCl solution. Males and females were treated with one of two doses: 100µg/kg/day or 200µg/kg/day of Examinein, administered in two daily sub-cutaneous injections. The same volume of the isotonic solution for the same period of time was administered to control animals. Male mice were treated for 53 days (a period that covers at least one complete spermatogenic cycle and epididymal migration, in addition to the period that they were housed with females until mating) and female mice were treated for 30 days (a period that covers at least five oestrous cycles).

Dosage form 100, 200µg/kg/day; s.c.

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Applications

There was a trend toward a decrease in the pregnancy index and the proportion of women who conceived in men treated with both doses of Examorelin.

References:

- [1] Zhao Y, Zhang X, Chen J, et al. Hexarelin protects rodent pancreatic β -cells function from cytotoxic effects of streptozotocin involving mitochondrial signalling pathways in vivo and in vitro[J]. PLoS One, 2016, 11(2): e0149730.
- [2] Puechagut P B, Martini A C, Stutz G, et al. Reproductive performance and fertility in male and female adult mice chronically treated with hexarelin[J]. Reproduction, Fertility and Development, 2012, 24(3): 451-460.

Background

Examorelin (Hexarelin) is a synthetic hexapeptide agonist of the growth hormone secretagogue receptor (GHS-R) with an amino acid sequence of His-D-2-methyl-Trp-Ala-Trp-D-Phe-Lys-NH₂[^{1, 2}]. Examorelin significantly and dose-dependently increases the plasma levels of growth hormone (GH) in animals and humans[³]. Examorelin reached Phase II clinical trials for the treatment of growth hormone deficiency and congestive heart failure, but did not complete development and has never been marketed[⁴].

In vitro, pretreatment of β -cell line MIN6 cells with Examorelin (1 μ M) for 2h significantly alleviated streptozotocin (STZ)-induced β -cell mitochondrial damage and increased superoxide dismutase activity, reduced the expression of intracellular caspase-3 and caspase-9, and reduced the ratio of pro-apoptotic protein Bax to anti-apoptotic protein Bcl-2[⁵].

In vivo, oral treatment of mice with reperfusion ischemia (IR) model with Examorelin (0.3mg/kg/day) for 21 days improved left ventricular (LV) function, significantly reduced interstitial collagen, TGF- β 1 expression and myofibroblast differentiation, and significantly reduced cardiac troponin-I and TNF- α levels[⁶]. Subcutaneous treatment of adult albino Swiss mice with Examorelin (100, 200 μ g/kg/day) reduced the pregnancy index of male animals and the percentage of conception in female animals[⁷].

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- [1] Morton I, Morton I K, Hall J M, et al. Concise dictionary of pharmacological agents: properties and synonyms[M]. Springer Science & Business Media, 1999.
- [2] Proulx C, Picard E, Boeglin D, et al. Azapeptide analogues of the growth hormone releasing peptide 6 as cluster of differentiation 36 receptor ligands with reduced affinity for the growth hormone secretagogue receptor 1a[J]. Journal of Medicinal Chemistry, 2012, 55(14): 6502-6511.
- [3] Ishida J, Saitoh M, Ebner N, et al. Growth hormone secretagogues: history, mechanism of action, and clinical development[J]. JCSM rapid communications, 2020, 3(1): 25-37.
- [4] Suckling K. Discontinued drugs in 2005: cardiovascular drugs[J]. Expert opinion on investigational drugs, 2006, 15(11): 1299-1308.
- [5] Zhao Y, Zhang X, Chen J, et al. Hexarelin protects rodent pancreatic β -cells function from cytotoxic effects of streptozotocin involving mitochondrial signalling pathways in vivo and in vitro[J]. PLoS One, 2016, 11(2): e0149730.
- [6] McDonald H, Peart J, Kurniawan N D, et al. Hexarelin targets neuroinflammatory pathways to preserve cardiac morphology and function in a mouse model of myocardial ischemia-reperfusion[J]. Biomedicine & Pharmacotherapy, 2020, 127: 110165.
- [7] Puechagut P B, Martini A C, Stutz G, et al. Reproductive performance and fertility in male and female adult mice chronically treated with hexarelin[J]. Reproduction, Fertility and Development, 2012, 24(3): 451-460.

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