

Product Data Sheet

Product Name: Elagolix sodium

Cat. No.: GC19136

Chemical Properties

Cas. No. 832720-36-2

SMILES O=C([O-])CCCN[C@H](C1=CC=CC=C1)CN(C(N(CC2=C(C(F)(F)F)C=CC=C2F)C(C)=C3C4=CC=CC(OC)=C4F)=O)C3=O.[Na+]

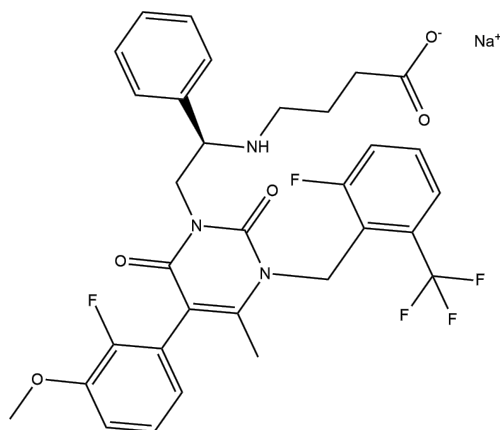
Formula $C_{32}H_{29}F_5N_3NaO_5$ M.Wt 653.57

Solubility DMSO : 50 mg/mL (76.50 mM); Water : ≥ 50 mg/mL (76.50 mM) 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 HEK293T cells

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

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The cDNA of the wild-type GnRH1R was subcloned into the pcDNA3.1(+) expression vector with the HA signal, and expressed in HEK293T cells.

HEK293T cells were seeded in six-well plates at a density of 3×10^5 cells per well, and the culture medium was Dulbecco modified Eagle medium supplemented with 10% fetal bovine serum. The cells were pre-treated with Elagolix sodium (100 μ M) for 1 hour, and then stimulated with the GnRH peptides for 1 hour. The optical density values (OD) were read at 450nm.

Reaction
Conditions 100 μ M; 1h

Applications Elagolix sodium treatment inhibited inositol-1-phosphate accumulation induced by GnRH peptide in HEK293T cells.

Animal experiment [2]:

Animal models Male cynomolgus macaques

A total of six male cynomolgus macaques, aged approximately 3.7-6.5 years, underwent complete testicular resection. Drug administration was carried out at least 4 weeks after the surgery. No sedation was administered to the macaques during the administration, but macaques were temporarily confined outside the cage. A single oral administration of 30mg/kg dose of Elagolix sodium was given, blood samples were collected before and 4 hours after administration to determine the concentration of bioactive LH in the serum samples.

Dosage form 30mg/kg for once; p.o.

Applications Elagolix sodium treatment reduced the LH levels in castrated male cynomolgus macaques.

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References:

- [1] Ciceri S, Fassi E M A, Vezzoli V, et al. Novel non-peptide uracil-derived human gonadotropin-releasing hormone receptor antagonists[J]. European Journal of Medicinal Chemistry, 2024, 279: 116903.
- [2] Chen C, Wu D, Guo Z, et al. Discovery of Sodium R-(+)-4-{2-[5-(2-Fluoro-3-methoxyphenyl)-3-(2-fluoro-6-[trifluoromethyl] benzyl)-4-methyl-2, 6-dioxo-3, 6-dihydro-2 H-pyrimidin-1-yl]-1-phenylethylamino} butyrate (Elagolix sodium), a Potent and Orally Available Nonpeptide Antagonist of the Human Gonadotropin-Releasing Hormone Receptor[J]. Journal of medicinal chemistry, 2008, 51(23): 7478-7485.

Background

Elagolix sodium is a highly potent, selective, orally-active, short-duration, non-peptide antagonist of the gonadotropin-releasing hormone receptor (GnRHR) ($IC_{50}=0.94nM$) [1]. Elagolix sodium inhibits the secretion of luteinizing hormone (LH) and follicle-stimulating hormone (FSH) by blocking the endogenous GnRH signaling pathway, thereby reducing the production of estradiol and progesterone[2]. Elagolix sodium has been widely used in various studies to alleviate endometriosis and improve uterine fibroids[3].

In vitro, Elagolix sodium treatment at 100 μ M for 1h inhibited inositol-1-phosphate accumulation induced by GnRH peptide in HEK293T cells[4]. Treatment with 100nM Elagolix sodium for 48 hours significantly reduced the expression level of COL1A1 and inhibited the MAPK pathway in leiomyoma cells [5].

In vivo, Elagolix sodium treatment via oral administration at a dose of 30mg/kg for 4h reduced the LH levels in castrated male cynomolgus macaques[6].

References:

- [1] Kim S M, Lee M, Lee S Y, et al. Discovery of an orally bioavailable gonadotropin-releasing hormone receptor antagonist[J]. Journal of Medicinal Chemistry, 2016, 59(19): 9150-9172.
- [2] Lamb Y N. Elagolix sodium: first global approval[J]. Drugs, 2018, 78(14): 1501-1508.
- [3] Ciceri S, Colombo D, Fassi E M A, et al. Elagolix sodium Sodium Salt and Its Synthetic Intermediates: A Spectroscopic, Crystallographic, and Conformational Study[J]. Molecules, 2023,

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28(9): 3861.

[4] Ciceri S, Fassi E M A, Vezzoli V, et al. Novel non-peptide uracil-derived human gonadotropin-releasing hormone receptor antagonists[J]. European Journal of Medicinal Chemistry, 2024, 279: 116903.

[5] Wright D, Britten J, Malik M, et al. Relugolix and Elagolix sodium directly inhibit leiomyoma extracellular matrix production in 2-dimesnional and 3-dimensional cell cultures[J]. F&S Science, 2022, 3(3): 299-308.

[6] Chen C, Wu D, Guo Z, et al. Discovery of Sodium R-(+)-4-{2-[5-(2-Fluoro-3-methoxyphenyl)-3-(2-fluoro-6-[trifluoromethyl] benzyl)-4-methyl-2, 6-dioxo-3, 6-dihydro-2 H-pyrimidin-1-yl]-1-phenylethylamino} butyrate (Elagolix sodium), a Potent and Orally Available Nonpeptide Antagonist of the Human Gonadotropin-Releasing Hormone Receptor[J]. Journal of medicinal chemistry, 2008, 51(23): 7478-7485.

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