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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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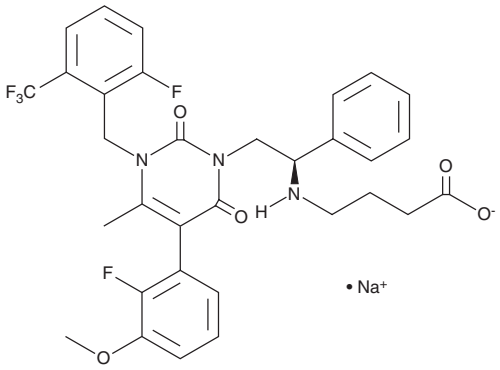
PRODUCT INFORMATION

Elagolix (sodium salt)

Item No. 26534

CAS Registry No.:	832720-36-2
Formal Name:	4-[[(1R)-2-[5-(2-fluoro-3-methoxyphenyl)-3-[[2-fluoro-6-(trifluoromethyl)phenyl]methyl]-3,6-dihydro-4-methyl-2,6-dioxo-1(2H)-pyrimidinyl]-1-phenylethyl]amino]-butanoic acid, monosodium salt
MF:	$C_{32}H_{29}F_5N_3O_5$ • Na
FW:	653.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 275 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Elagolix (sodium salt) is supplied as a crystalline solid. A stock solution may be made by dissolving the elagolix (sodium salt) in the solvent of choice. Elagolix (sodium salt) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of elagolix (sodium salt) in DMF is approximately 12.5 mg/ml and approximately 10 mg/ml in ethanol and DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of elagolix (sodium salt) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of elagolix (sodium salt) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Elagolix is an antagonist of the gonadotropin-releasing hormone receptor (GnRHR; K_i = 0.9 nM in a radioligand binding assay).[1] It is selective for GnRHR over the cytochrome P450 (CYP) isoform CYP3A4 (IC_{50} = 56 µM) as well as a panel of 100 receptors, ion channels, enzymes, and transporters (IC_{50}s = >10 µM). Elagolix inhibits GnRH-induced inositol phosphate production in RBL-1 cells expressing human GnRHR (IC_{50} = 1.5 nM). *In vivo*, elagolix (30 mg/kg) suppresses production of luteinizing hormone in castrated male cynomolgus macaques. Formulations containing elagolix have been used in the treatment of endometriosis.

Reference

1. Chen, D., 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-2H-pyrimidin-1-yl]-1-phenylethylamino} butyrate (elagolix), a potent and orally available nonpeptide antagonist of the human gonadotropin-releasing hormone receptor. *J. Med. Chem.* **51(23)**, 7478-7485 (2008).

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