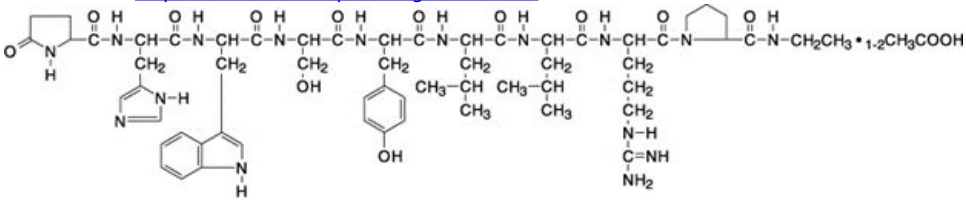
Leuprolide



Brand name: Eligard®
IUPAC: acetic acid;(2S)-N-[(2S)-1-[[(2S)-1-[[(2S)-1-[[(2S)-1-[[(2R)-1-[[(2S)-1-[[(2S)-5-(diaminomethylideneamino)-1-[(2S)-2-(ethylcarbamoyl)pyrrolidin-1-yl]-1-oxopentan-2-yl]amino]-4-methyl-1-oxopentan-2-yl]amino]-4-methyl-1-oxopentan-2-yl]amino]-3-(4-hydroxyphenyl)-1-oxopropan-2-yl]amino]-3-hydroxy-1-oxopropan-2-yl]amino]-3-(1H-indol-3-yl)-1-oxopropan-2-yl]amino]-3-(1H-imidazol-5-yl)-1-oxopropan-2-yl]-5-oxopyrrolidine-2-carboxamide
FDA approval: Yes
Enlace del fabricante
Usage:

Leuprodlide is a hormone therapy that is used as an injection under the skin or into the muscle. May be given as a daily, monthly, or every 3 or 4 month injection depending on the formulation and condition being treated. May be given as a once a year implantable device. The device looks like a one and half inch coffee stirrer. It is implanted under the skin. Positioned at one end of the device are osmotic tablets. These tablets expand in the presence of water drawn in from the surrounding tissue at a constant and steady rate. As water is drawn in through this end, it exerts pressure inside the implant that steadily pushes the right amount of medication out of a small hole in the other end. The device is removed at the end of the year.

Mechanism:

Leuprolide acetate, an LH-RH agonist, acts as a potent inhibitor of gonadotropin secretion when given continuously and in therapeutic doses. Animal and human studies indicate that following an initial stimulation of gonadotropins, chronic administration of leuprolide acetate results in suppression of ovarian and testicular steroidogenesis. This effect is reversible upon discontinuation of drug therapy. Administration of leuprolide acetate has resulted in inhibition of the growth of certain hormone dependent tumors (prostatic tumors in Noble and Dunning male rats and DMBA-induced mammary tumors in female rats) as well as atrophy of the reproductive organs. In humans, subcutaneous administration of single daily doses of leuprolide acetate results in an initial increase in circulating levels of luteinizing hormone (LH) and follicle stimulating hormone (FSH), leading to a transient increase in levels of the gonadal steroids (testosterone and dihydrotestosterone in males, and estrone and estradiol in pre-menopausal females). However, continuous daily administration of leuprolide acetate results in decreased levels of LH and FSH. In males, testosterone is reduced to castrate levels. In pre-menopausal females, estrogens are reduced to post-menopausal levels. These decreases occur within two to four weeks after initiation of treatment, and castrate levels of testosterone in prostatic cancer patients have been demonstrated for periods of up to five years.

Side effects:

Side effects of Eligard include: redness, burning, stinging pain, bruising at the injection site, hot flashes (flushing), increased sweating/night sweats, tiredness, headache, upset stomach, nausea, diarrhea, constipation, stomach pain, breast swelling or tenderness, acne, joint/muscle aches or pain, trouble sleeping (insomnia), reduced sexual interest, vaginal discomfort/dryness/itching/discharge, vaginal bleeding, swelling of the ankles/feet, increased urination at night, dizziness, breakthrough bleeding in a female child during the first 2 months of leuporlide treatment, weakness, chills, clammy skin, skin redness, itching, scaling, testicle pain, impotence, depression, or memory problems.