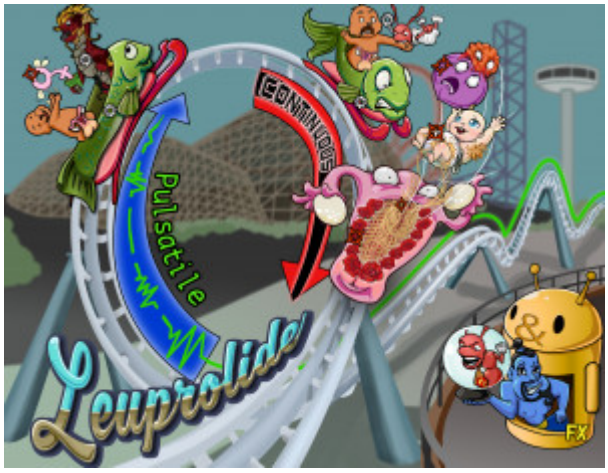
Leuprolide

Leuprolide is a gonadotropin releasing hormone (GnRH) agonist. When given in a pulsatile fashion leuprolide stimulates the GnRH receptors in the anterior pituitary resulting in stimulation of LH and FSH which then stimulate the ovaries and testes to produce sex steroids. Leuprolide can act as a potent inhibitor of gonadotropin secretion when administered continuously. This inhibition of gonadotropins (LH and FSH) results in suppression of ovarian and testicular production of sex steroids. Pulsatile release of leuprolide is used to treat infertility. Continuous administration of leuprolide is used to treat prostate cancer, precocious puberty, uterine fibroids and endometriosis. Because it can ultimately inhibit sex steroid production when given in a continuous manner, leuprolide can send antiandrogenic effects such as decreased libido, nausea/vomiting and symptoms of menopause like amenorrhea and hot flashes.



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Mechanism

GnRH Agonist (Pulsatile Use)
Gopher Dragonist (on Pulsing-track)
Leuprolide is a GnRH agonist. When given in a pulsatile fashion, it binds to the GnRH receptors in the anterior pituitary, which results in increased production and release of FSH and LH from the anterior pituitary.

GnRH Antagonist (Continuous Use)
Gopher Ant-toga (on Continuous-track)
Leuprolide normally works as a GnRH agonist, but, when taken continuously, the constant stimulation of the GnRH receptors by a GnRH agonist results in a downregulation of the GnRH receptors. This downregulation then results in a downregulation of FSH and LH. The downregulation of GnRH receptors and subsequent downregulation of FSH and LH is why Leuprolide, when given continuously, is considered to have antagonistic effects.

Upregulates FSH And LH
Up-regulating-arrow with Fish and Luge
GnRH binding to its receptor in the anterior pituitary upregulates production and release of FSH and LH, which then bind to their receptors on the Sertoli cells and the Leydig cells respectively in males and to the Granulosa cells and Theca internal cells respectively in females.

Downregulates FSH And LH
Down-regulating-arrow with Fish and Luge
Constant stimulation of the GnRH receptors by a GnRH agonist results in a downregulation of the GnRH receptors and a resulting downregulation of FSH and LH.

Indications Pulsatile

Infertility
Infertile-female-plant
When given in a pulsatile fashion, Leuprolide upregulates FSH and LH. Increasing LH stimulates leydig cells to produce testosterone and thereby increases sperm production.

Indications Continuous

Prostate Cancer
Prostate-plum Tumor-guy
Leuprolide is approved for the palliative treatment of advanced prostate cancer. In addition to prostate cancer, Leuprolide can also be used for breast cancer.

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Precocious Puberty

Pubescent-baby

Precocious puberty is the appearance of hormonal and physical signs of puberty at a younger age than what is considered normal. Central precocious puberty can be treated by suppressing LH and FSH production by the anterior pituitary, thereby suppressing sex steroid production. Precocious puberty can be treated with continuous leuprolide because, when given continuously, leuprolide acts as a GnRH antagonist and ultimately results in decreased production of sex steroids.

Uterine Fibroids

Uterus Fiber-net

GnRH agonists given continuously can be used to treat fibroids. Continuous administration results in downregulation of the GnRH receptors, thereby decreasing LH and FSH and the production of estrogen and progesterone. This decrease temporarily puts the patient in a postmenopausal state, resulting in the shrinking of fibroids and the cessation of menstruation.

Endometriosis

Endometrium-roses

Endometriosis is a condition wherein cells from the lining of the uterus (endometrium) appear and flourish outside the uterine cavity. These cells appear most commonly on the membrane lining the abdominal cavity, the peritoneum. When GnRH is given continuously, it results in downregulation of the GnRH receptors and subsequent downregulation of LH and FSH. This decrease in LH and FSH significantly decreases estrogen. It is this decrease in estrogen that helps control symptoms of endometriosis.

Side Effects

Anti-androgenic Effects

Ant-tie and Android-genie

Leuprolide has antiandrogenic effects, such as decreasing testosterone in men and estrogen in women. This decrease manifests as decreased libido, nausea/vomiting, hot flashes, and amenorrhea.

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