LEUPROLIDE ACETATE INJECTION

INDICATIONS AND USAGE

Leuprolide acetate injection, also known as leuprolide acetate injection, is indicated for the treatment of advanced prostate cancer in men with metastatic disease, primary treatment of advanced prostate cancer in men, as well as treatment for various gynecological conditions such as endometriosis, precocious puberty, and anovulatory infertility.

MECHANISM OF ACTION

Leuprolide acetate is a synthetic analog of the gonadotropin-releasing hormone (GnRH) that suppresses the release of luteinizing hormone (LH) and follicle-stimulating hormone (FSH) from the pituitary gland. This suppression leads to decreased ovarian and testicular steroidogenesis, which is responsible for the reduced levels of sex hormones. In men, testosterone levels decrease to castrate levels, while in women, estrogen levels are reduced.

PHARMACOKINETICS

Leuprolide acetate is rapidly absorbed after subcutaneous injection. The absorption rate is influenced by the patient's body weight and the injection site. The drug is extensively bound to plasma proteins, primarily albumin, with a free fraction of about 46%. After subcutaneous administration, the drug is slowly released into the systemic circulation, resulting in a prolonged duration of effect.

Distribution

Leuprolide acetate is extensively distributed in various tissues, including the brain, liver, and kidneys. The drug distributes into the extracellular fluid and the intracellular fluid, with higher concentrations in the extracellular fluid.

Metabolism

Leuprolide acetate is metabolized in the liver by cytochrome P450 enzymes, primarily CYP3A4. The metabolites are excreted in the urine and feces.

Excretion

Leuprolide acetate is excreted mainly in the urine as parent drug and M-I metabolite. The elimination half-life of the drug is approximately 10 days.

CLINICAL PHARMACOLOGY

Leuprolide acetate injection is contraindicated in patients with a history of hypersensitivity to any component of the formulation. In patients with metastatic prostate cancer, leuprolide acetate injection may cause adrenal insufficiency if used in combination with inhibitors of the enzyme cytochrome P450 3A4. Leuprolide acetate injection may also cause hypogonadal symptoms such as impotence and decreased libido.

WARNINGS

Leuprolide acetate injection is associated with the risk of rare but serious side effects, including myocardial infarction, pericardial effusion, and hypertension.

PRECAUTIONS

Patients should be monitored for the development of new or worsened symptoms of prostate cancer.

ADVERSE REACTIONS

The most common adverse reactions associated with leuprolide acetate injection include:

- Hot flashes
- Nausea
- Vomiting
- Headache
- Fatigue
- Anemia
- Bone pain
- Constipation
- Diarrhea
- Hostility
- Irritability
- Fatigue

OVERDOSAGE

If an overdose occurs, supportive and symptomatic treatment should be provided. There is no specific antidote for leuprolide acetate injection.

REFERENCES

See the full Prescribing Information for complete prescribing information.

SOME SPECIAL ADVICE

- Be sure to consult your physician with any questions you may have for information about LEUPROLIDE ACETATE INJECTION and its use.

INFORMATION FOR PATIENTS

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DIRECTIONS FOR USING LEUPROLIDE ACETATE INJECTION

- Before using leuprolide acetate injection, make sure to read the Patient Information leaflet.
- For subcutaneous administration, inject leuprolide acetate injection into the skin of the abdominal wall or thigh.
- The recommended dose is 1 mg (0.2 mL or 20-unit mark) administered as a single daily subcutaneous injection.
- For patients with hepatic dysfunction, the recommended dose of leuprolide acetate injection is 0.6 mg (0.1 mL or 10-unit mark).

HOW SUPPLIED

Leuprolide acetate injection is available in a 2.8 mL multiple-dose vial. It is available in a 2.8 mL multiple-dose vial. It is available in a 2.8 mL multiple-dose vial.

Leuprolide acetate injection is a sterile, aqueous solution intended for subcutaneous injection. It is available in a 2.8 mL multiple-dose vial.