165mm

155mm

Elagolixin

(Elagolix)

150mg & 200mg Tablet

ایلاگولکسین

(ایلاگولکس)

۱۵۰ ملی گرام اور ۲۰۰ ملی گرام گولی

Composition:
Elagolixin Tablet 150mg
Each film coated tablet contains:
Elagolix Sodium Eq. to Elagolix 150mg

Elagolixin Tablet 200mg
Each film coated tablet contains:
Elagolix Sodium Eq. to Elagolix 200mg

CLINICAL PHARMACOLOGY
Mechanism of Action:
Elagolixin is a GnRH receptor antagonist that inhibits endogenous GnRH signaling by binding competitively to GnRH receptors in the pituitary gland. Administration of ELAGOLIXIN results in dose-dependent suppression of luteinizing hormone (LH) and follicle-stimulating hormone (FSH), leading to decreased blood concentrations of the ovarian sex hormones, estradiol and progesterone.

PHARMACOKINETICS
Elagolix is a novel, orally active, non-peptide, competitive GRH receptor antagonist in development for the management of endometriosis with associated pain and heavy menstrual bleeding due to uterine fibroids. The pharmacokinetics of elagolix have been well-characterized in phase I studies, and a robust model was developed to describe elagolix population pharmacokinetics and to evaluate factors affecting elagolix pharmacokinetic parameters. The data from nine clinical studies (a total of 1624 women were included in the analysis, and elagolix population pharmacokinetics were best described by a two-compartment model with a lag time in absorption The pharmacokinetics of elagolix were not affected by patient demographics and were similar between healthy women and women with endometriosis.

SPECIAL POPULATION
Elagolix pharmacokinetics show significant population variability, but they are minimal affected by patients' baseline characteristics and demographics, except for clinically relevant extrinsic and intrinsic factors such as coadministrated strong organic anion transporting polypeptide (OATP) 1B1 inhibitors and severe hepatic impairment, which are contraindications for the use of elagolix.

The clinical pharmacology profile of elagolix was fully characterized in several Phase

1 PKPD studies along with several model informed drug development approaches. This comprehensive description of the clinical pharmacology attributes of elagolix provides a reference for prescribers and clinical pharmacologists who seek to use or understand the clinical PKPD properties of elagolix.

THERAPEUTIC INDICATIONS
ELAGOLIXIN is a gonadotropin-releasing hormone (GnRH) receptor antagonist indicated for the management of moderate to severe pain associated with endometriosis.

DOSAGE & ADMINISTRATION

Important Dosing Information
Exclude pregnancy before starting ELAGOLIXIN or start ELAGOLIXIN within 7 days from the onset of menses.

Take ELAGOLIXIN at approximately the same time each day, with or without food. Use the lowest effective dose, taking into account the severity of symptoms and treatment

Objective
Limit the duration of use because of bone loss
Normal liver function or mild hepatic impairment:
150 mg once daily for up to 24 months or 200 mg twice daily for up to 6 months.

Moderate hepatic impairment:
150 mg once daily for up to 6 months.

METHOD OF ADMINISTRATION
For oral administration only.

ADVERSE REACTIONS
Most common adverse reactions (>5%) in clinical trials included hot flushes and night sweats, headache, nausea, insomnia, amenorrhea, anxiety, arthralgia, depression-related adverse reactions and mood changes.

CONTRAINDICATIONS
ELAGOLIX is contraindicated in women:
• Who are pregnant [see Use in Specific Populations. Exposure to ELAGOLIX early in pregnancy may increase the risk of early pregnancy loss.
• With known osteoporosis because of the risk of further bone loss [see Warnings and Precautions.
• With severe hepatic impairment because of the risk of bone loss [see Use in Specific Populations, Clinical Pharmacology
• With concomitant use of strong organic anion transporting polypeptide (OATP) 1B1 inhibitors (e.g., cyclosporine and gemfibrozil) [see Drug Interactions

PRECAUTIONS
• Bone Loss: Dose-and duration-dependent decreases in bone mineral density (BMD) that may not be completely reversible. Assess BMD in women with additional risk factors for bone loss.
• Reduced Ability to Recognize Pregnancy: ELAGOLIX may alter menstrual bleeding, which may reduce the ability to recognize pregnancy. Perform testing if pregnancy is suspected. Discontinue if pregnancy is confirmed.
• Suicidal Ideation and Mood Disorders: Advise patients to seek medical attention for suicidal ideation, suicidal behavior, new onset or worsening depression, anxiety, or other mood changes.
• Hepatic Transaminase Elevations: Dose-dependent elevations in serum alanine aminotransferase (ALT). Counsel patients on signs and symptoms of liver injury.

• Potential for Reduced Efficacy with Estrogen-Containing Contraceptives: Use non-hormonal contraception during treatment and for one week after discontinuing Elagolix.

DRUG INTERACTIONS
Potential for Elagolixin to Affect Other Drugs
Elagolix is a weak to moderate inducer of cytochrome P450 (CYP) 3A. Co-administration with ELAGOLIXIN may decrease plasma concentrations of drugs that are substrates of CYP3A. Elagolix is an inhibitor of efflux transporter P-glycoprotein (P-gp). Co-administration with ELAGOLIXIN may increase plasma concentrations of drugs that are substrates of P-gp (e.g., digoxin).

Potential for Other Drugs to Affect Elagolixin
Elagolix is a substrate of CYP3A, P-gp, and OATP1B1. Concomitant use of ELAGOLIXIN 200 mg twice daily and strong CYP3A inhibitors for more than 1 month is not recommended. Limit concomitant use of ELAGOLIXIN 150 mg once daily and strong CYP3A inhibitors to 6 months. Co-administration of ELAGOLIXIN with drugs that induce CYP3A may decrease elagolix plasma concentrations.
The effect of concomitant use of P-gp inhibitors or inducers on the pharmacokinetics of ELAGOLIXIN is unknown. Co-administration of ELAGOLIXIN with drugs that inhibit OATP1B1 may increase elagolix plasma concentrations. Concomitant use of ELAGOLIXIN and strong OATP1B1 inhibitors (e.g., cyclosporine and gemfibrozil) is contraindicated.

OVERDOSAGE
In case of overdose, monitor the patient for any signs or symptoms of adverse reactions and initiate appropriate symptomatic treatment, as needed.

HOW SUPPLIED
Elagolixin 150mg tablet is available in blister packs of 1x10's 2x10's & 3x10's.
Elagolixin 200mg tablet is available in blister packs of 1x10's 2x10's & 3x10's.

DOSAGE:
As directed by the physician.

Instructions:
Store below 30˚C in a dry place,
protect from light. To be dispensed on the
prescription of a registered medical practitioner only.
Keep out of the reach of children.

خوراک : ڈاکٹر کی ہدایت کے مطابق استعمال کریں۔
ہدایات : دوا کو ۳۰ ڈگری سینٹی گریڈ سے کم درجہ حرارت پر روشنی سے بچا کر خشک جگہ پر رکھیں۔
صرف رجسٹرڈ ڈاکٹر کے نسخے پر ہی فروخت کریں۔ بچوں کی پہنچ سے دور رکھیں۔

Kaizen Pharmaceuticals (Pvt.) Ltd.

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