

Fezolinetant

Regulations: had been registered in the following countries: United States of America (USA).

Registered Company: Astellas Pharma U.S.

General Information:

Regulatory Status: R.X.

Mechanism of Action: Works as a neurokinin 3 (NK3) receptor antagonist that blocks neurokinin B (NKB) binding on the kisspeptin/neurokinin B/dynorphin (KNDy) neuron to modulate neuronal activity in the thermoregulatory center.

Indication

Approved (Labeled) indication: Vasomotor symptoms in Menopause.

Route of Administration: Oral.

Administration: Administer at the same time each day with or without food.

Dose: 45 mg orally once daily.

Dose in Renal: If the estimated GFR is < 30 mL/min/1.73 m², Use is contraindicated.

Hepatic failure/Geriatric Dose: No dosage adjustment is needed.

Indicated for pediatrics: Safety and effectiveness not established in pediatric patients.

Pharmacokinetic:

Absorption

- T_{max}, oral: 1.5 hours

Distribution

- V_d: 189 L

Metabolism

Hepatic: Via CYP1A2 and to a lesser extent by CYP2C9 and CYP2C19.

Excretion

- **Renal:** 76.9% (1.1% unchanged)

Elimination Half-Life

- 9.6 hours

Safety:

Common Adverse Reactions (%): Abdominal pain, Diarrhea and Insomnia.

Severe/rare adverse Reactions (%): Increased liver enzymes, Endometrial carcinoma, Endometrial hyperplasia.

Drug Interactions with CYP1A2 inhibitors. For example, concurrent Use of fezolinetant and CYP1A2 Inhibitors may increase fezolinetant exposure.

Contraindications: Cirrhosis and ESRD.

Precautions: Elevations in serum transaminase levels can occur.

Monitoring Requirements: LFT at baseline, then at (3 , 6 , 9) months after initiation.

Sound-Alikes/ Look-Alikes: Not available.

High Alert: Not available.

Boxed warnings or alerts issue: Not available.

Toxicity if antidote required: Not available.

Storage if there is a particular condition: Store at a controlled room temperature between (20–25°C).

Patient counseling

Side effects may include abdominal pain, insomnia, diarrhea, back pain, and hot flush.

The liver function test should be obtained at the beginning of the therapy, followed by routine checks during treatment.

Cost Analysis

Drugs	Drug classes	Approval Indication	Dose	Cost (American Dollar)	Insurance drug formulary(SCHI)
Fezolinetant	CNS agent	Vasomotor symptoms in Menopause	Vasomotor symptoms	Prices start at \$540.86	Not Covered (26.1.2024)
Progesterone	Progestins (Hormonal replacement therapy)	Vasomotor symptoms	300 mg	\$18 for 30 capsules	Covered

REFERENCES

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3. Uttaro E, Zhao F & Schweighardt A: Filling the gaps on the Institute for Safe Medication Practices (ISMP) do not crush list for immediate-release products. Int J Pharm Compd 2021; 25(5):364–371.PubMed Abstract: <http://www.ncbi.nlm.nih.gov/>

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