Exemestane (Aromasin)

Identification

› Therapeutic class: Antineoplastic agent

› Type: Aromatase inhibitor, selective

› Generic: Exemestane (ex-e-MES-tane)

› Brand(s) (drug company: region): Aromasin (Pfizer: Asia, Australia, Canada, Europe, Mexico, South America, U.K., U.S.)

Regulatory Status

› Exemestane requires a prescription but is not a controlled substance

Description

› Exemestane is available as oral tablets (25 mg)

Common Usage/Primary Action

› Exemestane is U.S. FDA-approved in postmenopausal women as adjuvant treatment for estrogen-receptor-positive early breast cancer (BC) after 2–3 years of tamoxifen, and to treat advanced BC that has progressed after tamoxifen therapy

* Exemestane is not indicated for premenopausal women

› National Comprehensive Cancer Network (NCCN) guidelines recommend off-label exemestane for prevention of invasive BC in high-risk postmenopausal women ≥ 35 years

› Exemestane acts as a false substrate for aromatase, permanently inactivating it and preventing conversion of androgens to estrogen, reducing circulating estrogen levels

Associated Laboratory/Diagnostic Tests

› Monitor CBC/differential and bone mineral density (BMD)

› Baseline vitamin D

› Obtain dual energy X-ray absorptiometry at baseline and every 1–2 years to monitor for osteoporosis and fractures

Dosage and Administration

› Hazardous agent; use appropriate precautions for handling and disposal

› Administer once daily after a meal

› Early BC, adjuvant therapy: 25 mg P.O., starting after 2–3 years of tamoxifen therapy, and continuing until disease recurs, contralateral breast cancer occurs, or for a total of 5 years of adjuvant endocrine therapy

› BC, advanced: 25 mg P.O., continuing until tumor progression occurs

› BC prevention, high-risk (off-label): 25 mg P.O. for ≥ 3 years
Exemestane is metabolized by the cytochrome P450 (CYP) system; dose adjustment is necessary with CYP3A4 inducers (see Drug Interactions below)\(^5\)

**Adverse Reactions**
- Common adverse reactions (≥ 5%, most to least frequent) include fatigue, arthralgia, lymphocytopenia, nausea, ↑ alkaline phosphatase, hot flashes, unspecified pain, depression, insomnia, anxiety, dyspnea, backache, dizziness, headache, dermatitis, edema, vomiting, diaphoresis, flu-like symptoms, abdominal pain, anorexia, cough, ↓ HDL cholesterol, ↑ serum bilirubin, hypertension, visual disturbance, alopecia, fever, and constipation\(^1,5,8\)
- Serious adverse reactions include cardiac ischemia, angina, myocardial infarction, heart failure, atrial dysrhythmia (rare), gastric ulcer, hepatitis, ↓ bone mineral density, fracture, stroke, neuropathy, and paresthesia\(^5,8\)
- See Drugdex for additional adverse reactions

**Nursing Assessment/Implications**
- Monitor for signs/symptoms of adverse reactions\(^5,7\)

**Red Flags**
- **Drug Interactions**
  - Estrogenic agents: Not recommended; antagonism of exemestane effects\(^1,4,5\)
  - Idelalisib: Not recommended; ↑ exemestane levels\(^5\)
  - Potent CYP3A4 inducers (carbamazepine, enzalutamide, fosphenytoin, mitotane, phenytoin, primidone, rifabutin, rifampin, rifapentine, St. John’s wort): Possible ↓ exemestane level; use cautiously and increase exemestane dose to 50 mg\(^1,4,5\)
- **Drug Precautions**
  - Exemestane has been associated with reduced BMD; monitor BMD in women with or at risk for osteoporosis\(^1,4,5\)
- **Drug Allergy**
  - Exemestane is contraindicated in patients with known hypersensitivity to exemestane or formulation components\(^1,4,5,7\)
- **Contraindications**
  - See Drug Allergy above
- **Pregnancy/Lactation**
  - Pregnancy: Demonstrated animal fetal risk; use only if safer drugs are unavailable for life-threatening conditions\(^1,4,5\)
    - Advise women of reproductive potential to use effective contraception throughout and for 1 month after therapy
  - Lactation: Not recommended during and for 1 month after therapy; unknown infant risk\(^1,4,5\)
- **Name Alert**
  - Do not confuse exemestane with estramustine\(^4\)
  - Do not confuse Aromasin with Arimidex\(^4\)
- **Use in Children**
  - Safety and effectiveness have not been established in patients < 18 years\(^1,4,5\)

**Food for Thought**
- Dush et al. reported two cases of women on stable warfarin therapy whose INRs increased markedly and became highly variable after they were started on exemestane for BC. Both women required major warfarin dose reductions, and their INRs stabilized when they began consistently taking exemestane with food\(^3\)

**What to Tell the Patient**
- Instruct the patient to immediately report allergy symptoms; chest pain, dyspnea, or dysrhythmias; or unusual/severe back or bone pain\(^5\)
- Educate women of childbearing potential to use effective contraception throughout and for 1 month after exemestane therapy, and to immediately notify the clinician if pregnancy occurs
- Educate the patient to take exemestane after a meal
Advise the patient to consult the clinician or pharmacist before taking any other prescription or over-the-counter medications, herbal preparations, or dietary supplements.

References