

# PRESCRIPTION MONOGRAPH

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**Compounded Active Ingredients:** Estradiol

**Form:** Sublingual Rapid Dissolve Tablet

**Drug Class:** Natural bioidentical estrogen; agonist at estrogen receptors (ER $\alpha$ , ER $\beta$ , and membrane estrogen receptors)

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## Mechanism of Action:

- Estradiol is intended to bind to nuclear ER $\alpha$  and ER $\beta$ , regulating gene expression across tissues like breast, uterus, bone, and liver.
  - It can also activate non-genomic pathways via membrane estrogen receptors (e.g., GPER), providing rapid cellular signaling.
  - Is intended to exhibit antigonadotropic effects by suppressing LH and FSH through negative feedback on the hypothalamic-pituitary axis.
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## Indications Commonly Prescribed for:

- Menopausal hormone therapy (MHT): Relief of vasomotor symptoms, vaginal atrophy, and prevention of postmenopausal osteoporosis (combined with progestin in women with intact uteri)
  - Hypogonadism and delayed puberty in adolescent females (e.g., Turner syndrome)
  - Feminizing hormone therapy in transgender women and non-binary individuals
  - Prostate cancer management as hormone suppression via high-dose or transdermal estradiol
  - Infertility and IVF protocols: For endometrial preparation and cervical mucus modulation
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**Before Use:** Let your health care provider know if you have any medication allergies before you take this compounded preparation. Let your health care provider know if you have any liver or kidney problems. Let your healthcare provider know of all supplements you are currently taking.

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## Contraindications:

- Known or suspected breast cancer, estrogen-dependent neoplasia, or vaginal bleeding
  - Thromboembolic disorders or recent events (e.g., DVT, PE, stroke, MI)
  - Active liver disease or liver dysfunction
  - Pregnancy and lactation: Estradiol is contraindicated
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**Cautions:** Let your Healthcare provider know if you experience any adverse side effects.

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**How to Use:** This compounded preparation is in the form of a sublingual rapid dissolve tablet. Place tablet under tongue to dissolve completely. Do not chew or crush the capsule. Once the tablet has fully dissolved, do not rinse your mouth, brush your teeth, or consume food or beverages for at least five minutes to allow absorption. If you miss a dose, take as soon as you remember, but not at the time for the next dose. The desired results may take up to several weeks.

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## Warnings and Precautions:

- Endometrial hyperplasia and cancer risk when used without progestin in women with a uterus
  - Venous thromboembolism (VTE) and cardiovascular risks are higher with oral administration due to first-pass hepatic effects; lower with transdermal routes
  - Breast cancer risk: Elevated with prolonged estrogen ± progestin use
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## Adverse Reactions:

### Common:

- Breast tenderness
- Bloating, nausea,
- Headache
- Fluid retention,
- Irregular bleeding

### Serious, but Rare:

- VTE
  - Stroke
  - Myocardial infarction (notably with oral forms)
  - Breast/endometrial cancer risk,
  - Gallbladder disease
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## Interactions:

- Oral estradiol induces hepatic protein synthesis and may impact drugs metabolized by liver enzymes (Transdermal routes have fewer drug interactions due to lower hepatic impact)
  - Requires adjusted approach when combined with medications affecting hepatic pathways or clotting factors.
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## Use in Specific Populations:

- Postmenopausal women: Relief of menopausal symptoms, with therapy tailored to risk profile and need for progestin.
  - Transgender women: Key component of feminizing hormone regimens, alongside antiandrogens
  - Prostate cancer patients: Transdermal estradiol shown to suppress testosterone effectively with fewer side effects compared to standard LHRH therapies
  - Hypogonadal adolescent females: Used for puberty induction under specialist care.
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## Storage:

- Store in original container at room temperature (up to 30°C or 86°F)
  - Store in a cool dry place away from heat, sunlight, and moisture
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## Monitoring Parameters:

- Clinical monitoring: Vasomotor symptoms, bleeding, breast changes.
  - Routine labs: Lipid profile, liver function, and blood pressure.
  - Screening exams: Annual mammography and endometrial surveillance as clinically indicated.
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## Citations:

1. Kovács T, Szabó-Meleg E, Ábrahám IM. Estradiol-Induced Epigenetically Mediated Mechanisms and Regulation of Gene Expression. *International Journal of Molecular Sciences*. 2020; 21(9):3177. <https://doi.org/10.3390/ijms21093177>
  2. Postmenopausal estrogen therapy: route of administration and risk of venous thromboembolism. *ACOG Committee Opinion No. 556. Obstet Gynecol*. 2013;121(4):887–889.
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