PRESCRIPTION MONOGRAPH

Compounded Active Ingredients: Estriol
Form: Oral Capsule

Drug Class: Natural bioidentical estrogen

Mechanism of Action[1,2]:
Estriol is intended to bind to estrogen receptors (ERα and ERβ), with a preference for ERβ, activating estrogen-responsive gene transcription. It is considered a selective estrogen receptor modulator (SERM)-like agent due to its partial agonist/antagonist behavior, especially when administered in high concentrations. It may competitively inhibit stronger estrogens like estradiol from binding to receptors.

Indications Commonly Prescribed for:

- Menopausal Hormone Therapy (MHT): For relief of urogenital atrophy, vaginal dryness, and dyspareunia
- Urinary incontinence and recurrent urinary tract infections in postmenopausal women
- Vaginal epithelium maturation
- Bioidentical hormone replacement therapy (BHRT) regimens (often combined with estradiol and/or progesterone)
- Occasionally used in fertility treatments to prepare endometrial lining

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.

Contraindications:

- Active or past estrogen-dependent malignancy (e.g., breast or endometrial cancer)
- Undiagnosed abnormal genital bleeding
- Known or suspected thromboembolic disease
- Liver impairment
- Pregnancy

Cautions: Let your Healthcare provider know if you experience any adverse side effects.

How to Use: This compounded preparation is in the form of an oral capsule. Swallow the capsule whole with a glass of water. Do not chew or crush the capsule. If you miss a dose, take as soon as you remember, but not at the time for the next dose. Desired results may take up to several weeks.

Warnings and Precautions:

- May still stimulate endometrial proliferation in high doses or long-term use—especially without concurrent progestin in women with intact uteri.
- Not intended for long-term unmonitored systemic therapy.
- Use with caution in patients with liver dysfunction or history of estrogen-sensitive cancers.

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Adverse Reactions:

Common:

- Breast tenderness
- Nausea
- Headache

Serious, but Rare:

- Endometrial hyperplasia
- Breakthrough bleeding
- Thromboembolic event

Interactions:

- Potential interaction with thyroid hormones (may affect binding globulins)
- May alter metabolism of CYP450 substrates, especially with oral use
- Effectiveness may be reduced when combined with enzyme-inducing agents (e.g., rifampin, carbamazepine)

Use in Specific Populations:

- Postmenopausal women: Commonly used for vaginal symptoms with fewer systemic risks
- Women with intact uterus: Combination with progestogen recommended for systemic use
- Pregnant women: Contraindicated for therapeutic use (though it naturally increases in pregnancy)
- Fertility patients: Occasionally used under specialist guidance for endometrial priming

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

Monitoring Parameters:

- Monitor symptom resolution, vaginal pH, and maturation index
- Consider transvaginal ultrasound if systemic use is prolonged (to assess endometrial thickness)
- Periodic liver function tests if used orally or long-term

Citations:

1. Yuge A, Ishikawa H, Okuya R, Goto Y, Kaneko M, Koga K. Short-term oral estriol for cervical stenosis, labial adhesion, and challenging intrauterine contraceptive device removal in postmenopausal women: A case series. SAGE Open Med Case Rep. 2025;13:2050313X251358978. Published 2025 Aug 11. doi:10.1177/2050313X251358978
2. Clark JH, Markaverich BM. The agonistic and antagonistic actions of estriol. J Steroid Biochem. 1984;20(5):1005-1013. doi:10.1016/0022-4731(84)90011-6.