

Estradiol 1-mg/0.1-g Topical Cream

Rx

For 100 g		
Estradiol		1 g
Glycerin		5 mL
Hydrophilic ointment	qs	100 g
or other suitable oil-in-water emulsion vehicle		

METHOD OF PREPARATION

1. Calculate the required quantity of each ingredient for the total amount to be prepared.
2. Accurately weigh and/or measure each ingredient.
3. Add the glycerin to the estradiol and form a smooth paste.
4. Geometrically incorporate the hydrophilic ointment (or other suitable oil-in-water cream vehicle) and mix until uniform.
5. Package and label. Dosing syringes are convenient for patients to use; each dose can be accurately measured, and the syringes protect the cream from exposure to the drying effect of air.

PACKAGING

Package in tight, light-resistant containers.

LABELING

For external use only.

STABILITY

A beyond-use date of 30 days should be appropriate for this formulation.¹

USE

Estradiol topical cream is used in bioidentical hormone replacement therapy.

QUALITY CONTROL

Quality control assessment can include weight and/or volume, specific gravity, active drug assay, color, clarity, texture-surface, rheologic properties, and physical observation.²

DISCUSSION

Estradiol is a naturally occurring steroidal estrogen in the form of white or creamy white small crystals or a crystalline powder. It is odorless and hygroscopic and is practically insoluble in water, but it has a solubility of about 35.7 mg/mL in alcohol at 25°C. It should be stored in tight, light-resistant containers. In the body, estradiol is reversibly oxidized to estrone, and both estradiol and estrone can be converted to estriol. Usually, estradiol is not administered orally because of extensive first-pass hepatic metabolism. Estradiol is indicated in the treatment of atrophic vaginitis; atrophic dystrophy of the vulva; menopausal symptoms, including mild-to-severe vasomotor symptoms; female hypogonadism; and the symptoms produced by ovariectomy, primary ovarian failure, inoperable breast cancer, or inoperable cancer of the prostate.³⁻⁵

Glycerin (glycerol, 1,2,3-propane triol) occurs as a clear, colorless, odorless, viscous, hygroscopic liquid with a sweet taste that is about two thirds as sweet as that of sucrose. It is used as a solvent, a levigating agent, an antimicrobial preservative, an emollient, and a humectant, as well as for other purposes. Glycerin has a specific gravity of about 1.25 and a melting point of 17.8°C; if cooled to crystallization, it must be heated to about 20°C to melt. It is miscible with water, with methanol, and with 95% ethanol; is practically insoluble in oils and in chloroform; and is slightly soluble in acetone. Glycerin is hygroscopic and should be stored in airtight containers in a cool place. It is not prone to oxidation but will decompose when heated. Mixtures consisting of glycerin and water, glycerin and ethanol, or glycerin and propylene glycol are chemically stable.⁶

Hydrophilic ointment, USP is a water-washable, oil-in-water emulsion base containing methylparaben, propylparaben, sodium lauryl sulfate, propylene glycol, stearyl alcohol, white petrolatum, and purified water. It is miscible with water and with aqueous solutions, and some amount of oil solutions can be incorporated into the inner phase of the emulsion. The water content can be varied to produce cream vehicles of different consistencies. Several similar commercial products are available that are variations on this basic formula, such as Dermabase and Vanicream.¹

Dermabase cream (Paddock Laboratories, Inc) is an unscented, washable, oil-in-water emulsion cream base. It contains purified water (about 45%), mineral oil, petrolatum, cetostearyl alcohol, propylene glycol, sodium lauryl sulfate, isopropyl palmitate, imidazolidinyl urea, methylparaben, and propylparaben. It is a smooth, white, water-washable cream with a slight, pleasant odor. It is preserved and is compatible with a wide variety of agents.⁷

Vanicream (Pharmaceutical Specialties, Inc) is an oil-in-water emulsion base containing white petrolatum, cetearyl alcohol, cetareth-20, sorbitol, propylene glycol, simethicone, glyceryl monostearate, polyethylene glycol monostearate, and sorbic acid. It is free of dyes, perfume, lanolin, parabens, and formaldehyde and is a stable and widely compatible cream.⁸

REFERENCES

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