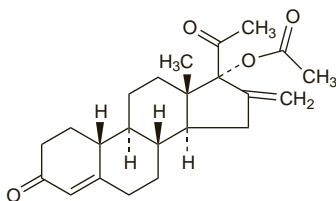


Elcometrine

Elcometrina; 16-Methylene-17-alpha-acetoxy-19 Norprogesterone; ST-1435.
 $C_{23}H_{30}O_4 = 370.5$.
 CAS — 7759-35-5.

**Profile**

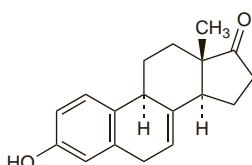
Elcometrine is a synthetic progestogen that is being developed for use in contraception and menopausal HRT, and in the management of endometriosis.

◊ References.

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- Siruk-Ware R, et al. Nestorone: clinical applications for contraception and HRT. *Steroids* 2003; **68**: 907-13.
- Sivin I, et al. Two-year performance of a Nestorone-releasing contraceptive implant: a three-center study of 300 women. *Contraception* 2004; **69**: 137-44.
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- Weisberg E, et al. Clinical performance and menstrual bleeding patterns with three dosage combinations of a Nestorone progestogen/ethynodiol contraceptive vaginal ring used on a bleeding-signalized regimen. *Contraception* 2005; **72**: 46-52.
- Croxatto HB, et al. Feasibility study of Nestorone-ethynodiol vaginal contraceptive ring for emergency contraception. *Contraception* 2006; **73**: 46-52.

Equilin

Equilina; 3-Hydroxyestra-1,3(10),7-tetraen-17-one.
 $C_{18}H_{20}O_2 = 268.4$.
 CAS — 474-86-2.

**Pharmacopoeias. In US.**

USP 31 (Equilin). Store in airtight containers. Protect from light.

Profile

Equilin is a natural oestrogenic hormone found in horses. Sodium equilin sulfate is one of the components of both conjugated oestrogens (p.2087) and esterified oestrogens (see below) used for menopausal HRT.

Esterified Oestrogens

Esterified Estrogens; Estrógenos esterificados.

Эстрогены Этерифицированные

Pharmacopoeias. In US.

USP 31 (Esterified Estrogens). A mixture of the sodium salts of the sulfate esters of the oestrogenic substances, principally estrone. It contains 75 to 85% of sodium estrone sulfate and 6 to 15% of sodium equilin sulfate, in such a proportion that the total of these two components is not less than 90%, of the labelled amount of esterified oestrogens. A white or buff-coloured amorphous powder; odourless or having a slight characteristic odour. Store in airtight containers.

Profile

Esterified oestrogens have actions and uses similar to those described for estradiol (see below). They are used for the same purposes (principally menopausal HRT), and in a similar oral dosage, as conjugated oestrogens (see p.2087), although higher cyclical doses of 2.5 to 7.5 mg daily are still licensed for use in female hypogonadism.

Preparations

USP 31: Esterified Estrogens Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Menest†; **Chile:** Femibel; **Switz.:** Oestro-Feminal†; **USA:** Estratab; Menest.

Multi-ingredient: **Chile:** Delitan; Feminova-T; **USA:** Covaryx; Estratest; Syntest.

Estradiol (BAN, rINN)

Beta-oestradiol; Dihydrofolicina; Dihidroxiestratrieno; Dihydroxiestrina; Dihydrofoliculin; Dihydrotheelin; Dihydroxyoestrin; Estradiol; Estradiols; Estradiolum; NSC-9895; Oestradiol; Östradiol; Ösztradiol. Estra-1,3,5(10)-triene-3,17β-diol.

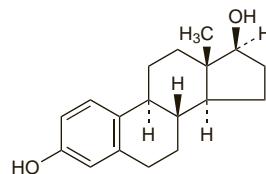
Эстрадиол

$C_{18}H_{24}O_2 = 272.4$.

CAS — 50-28-2 (anhydrous estradiol).

ATC — G03CA03.

ATC Vet — QG03CA03.



NOTE In *Martindale* the term oestradiol is used for the endogenous substance.

Pharmacopoeias. In Chin. and US.

Eur. (see p.vii) includes the hemihydrate.

Ph. Eur. 6.2 (Estradiol Hemihydrate). A white or almost white crystalline powder or colourless crystals. Practically insoluble in water; sparingly soluble in alcohol; soluble in acetone; slightly soluble in dichloromethane.

USP 31 (Estradiol). White or creamy-white, odourless, hygroscopic small crystals or crystalline powder. Practically insoluble in water; soluble 1 in 28 of alcohol, 1 in 435 of chloroform, and 1 in 150 of ether; soluble in acetone, in dioxan, and in solutions of fixed alkali hydroxides; sparingly soluble in vegetable oils. Store in airtight containers at a temperature of 25°, excursions permitted between 15° and 30°. Protect from light.

Estradiol Acetate (BANM, USAN, rINN)

Acetato de estradiol; E-3A; Estradiol, Acetate d'; Estradiol-3-acetate; Estradioli Acetas; Oestradiol Acetate. Estra-1,3,5(10)-triene-3,17β-diol 3-acetate.

Эстрадиола Ацетат

$C_{20}H_{26}O_3 = 314.4$.

CAS — 4245-41-4.

ATC — G03CA03.

ATC Vet — QG03CA03.

Estradiol Benzoate (BANM, rINN)

Benzoato de estradiol; Beta-oestradiol Benzoate; Dihydroxyoestrin Monobenzoate; Estradiol, benzoate d'; Estradiolbensoat; Estradiol-benzoát; Estradioli benzoas; Estradiolbenzoatti; Estradiolio benzoatas; Estradioli benzoesan; NSC-9566; Oestradiol Benzoate; Östradiol Benzoat; Ösztradiol-benzoát. Estra-1,3,5(10)-triene-3,17β-diol 3-benzoate.

Эстрадиола Бензоат

$C_{25}H_{28}O_3 = 376.5$.

CAS — 50-50-0.

ATC — G03CA03.

ATC Vet — QG03CA03.

Pharmacopoeias. In Chin., Eur. (see p.vii), Jpn, and US.

Ph. Eur. 6.2 (Estradiol Benzoate). An almost white crystalline powder or colourless crystals. It exhibits polymorphism. Practically insoluble in water; sparingly soluble in acetone; freely soluble in dichloromethane; slightly soluble in methyl alcohol.

USP 31 (Estradiol Benzoate). A white to off-white, crystalline powder. Insoluble in water; soluble in alcohol and in acetone; slightly soluble in ether. Store in airtight containers. Protect from light.

Estradiol Cipionate (BANM, rINN)

Cipionato de estradiol; Estradiol, Cipionate d'; Estradiol Cypionate; Estradioli Cipionas; Oestradiol Cyclopentylpropionate; Oestradiol Cypionate. Estra-1,3,5(10)-triene-3,17β-diol 17-(3-cyclopentylpropionate).

Эстрадиола Ципионат

$C_{26}H_{36}O_3 = 396.6$.

CAS — 313-06-4.

ATC — G03CA03.

ATC Vet — QG03CA03.

Pharmacopoeias. In US.

USP 31 (Estradiol Cypionate). A white to practically white crystalline powder, odourless or has a slight odour. Insoluble in water; soluble 1 in 40 of alcohol, 1 in 7 of chloroform, and 1 in 2800 of ether; soluble in acetone and in dioxan; sparingly soluble in vegetable oils. Store in airtight containers. Protect from light.

Estradiol Dipropionate (BANM, rINN)

Dihydroxyoestrin Dipropionate; Dipropionato de estradiol; Estradiol, Dipropionate d'; Estradioli Dipropionas; Oestradiol Dipropionate. Estra-1,3,5(10)-triene-3,17β-diol dipropionate.

Эстрадиола Дипропионат

$C_{24}H_{32}O_4 = 384.5$.

CAS — 113-38-2.

ATC — G03CA03.

ATC Vet — QG03CA03.

Estradiol Enantate (BANM, rINN)

Enantato de estradiol; Estradiol, Enantate d'; Estradiol Enanthate (USAN); Estradioli Enantas; Oestradiol Enanthate; Oestradiol 17-Heptanoate; SQ-16150. Estra-1,3,5(10)-triene-3,17β-diol 17-heptanoate.

Эстрадиола Энантат

$C_{25}H_{36}O_3 = 384.6$.

CAS — 4956-37-0.

ATC — G03CA03.

ATC Vet — QG03CA03.

Estradiol Hexahydrobenzoate (BANM, rINN)

Estradiol, Hexahydrobenzoate d'; Estradioli Hexahydrobenzoas; Hexahydrobenzoato de estradiol; Oestradiol Hexahydrobenzoate. Estra-1,3,5(10)-triene-3,17β-diol 17-cyclohexanecarboxylate.

Эстрадиола Гексагидробензоат

$C_{25}H_{34}O_3 = 382.5$.

CAS — 15140-27-9.

ATC — G03CA03.

ATC Vet — QG03CA03.

Estradiol Phenylpropionate (BANM, rINN)

Estradiol, Phénylpropionate de; Estradioli Phenylpropionas; Fenilpropionato de estradiol; Oestradiol Phenylpropionate; Oestradiol Fenilpropionat. Estra-1,3,5(10)-triene-3,17β-diol 17-(3-phenylpropionate).

Эстрадиола Фенилпропионат

$C_{27}H_{32}O_3 = 404.5$.

ATC — G03CA03.

ATC Vet — QG03CA03.

Estradiol Valerate (BANM, rINN)

Estradiol, valérat d'; Estradioli valeras; Estradiolvaleratas; Estradiolvaleraatti; Estradiolvaleraat; Estradiol-valerát; NSC-17590; Oestradiol Valerate; Östradiol-17-Valerat; Ösztradiol-valerát; Valerato de estradiol. Estra-1,3,5(10)-triene-3,17β-diol 17-valerate.

Эстрадиола Валерат

$C_{23}H_{32}O_3 = 356.5$.

CAS — 979-32-8.

ATC — G03CA03.

ATC Vet — QG03CA03.

Pharmacopoeias. In Chin., Eur. (see p.vii), and US.

Ph. Eur. 6.2 (Estradiol Valerate). A white or almost white, crystalline powder or colourless crystals. Practically insoluble in water; soluble in alcohol. Protect from light.

USP 31 (Estradiol Valerate). A white crystalline powder which is usually odourless or may have a faint fatty odour. Practically insoluble in water; soluble in benzyl benzoate, in dioxan, in methyl alcohol, and in castor oil; sparingly soluble in arachis oil and in sesame oil. Store in airtight containers. Protect from light.

Adverse Effects

The adverse effects of estradiol and other oestrogens are related, in part, to dose and duration of therapy, and to the gender and age of the recipient. In addition, adverse effects may be modified by a progestogen in combined oral contraceptives or menopausal HRT. Whether adverse effects of natural and synthetic oestrogens differ, and whether the dosage route has an effect, is less clear.

The adverse effects of oestrogens used in hormonal contraceptives are considered in detail starting on p.2059. Those of oestrogens used in HRT are considered in detail starting on p.2071.

The use of oestrogens in children may cause premature closure of the epiphyses resulting in decreased final adult height.