

pertensive and potassium-sparing effects might be beneficial in women requiring treatment for both menopausal symptoms and hypertension (see also Menopausal Disorders, below).

1. Karara AH, *et al.* Pharmacokinetics and pharmacodynamics of drospirenone-estradiol combination hormone therapy product coadministered with hydrochlorothiazide in hypertensive postmenopausal women. *J Clin Pharmacol* 2007; **47**: 1292-1302.
2. Preston RA, *et al.* Randomized, placebo-controlled trial of the effects of drospirenone-estradiol on blood pressure and potassium balance in hypertensive postmenopausal women receiving hydrochlorothiazide. *Menopause* 2007; **14**: 408-14.

NSAIDs. Drospirenone has the potential to exacerbate the effects of other drugs, such as NSAIDs, that can increase serum potassium. Licensed product information suggests that a clinical effect is unlikely in practice, although the use of a number of such drugs together or the presence of renal impairment may increase the risk. In a small study¹ of healthy postmenopausal women, there was no evidence that potassium concentrations were any higher during concomitant use of *indometacin* with a combination of drospirenone plus estradiol compared with indometacin alone.

1. Schütt B, *et al.* Coadministration of estradiol/drospirenone and indometacin does not cause hyperkalemia in healthy postmenopausal women: a randomized open-label crossover study. *J Clin Pharmacol* 2007; **47**: 774-81.

Pharmacokinetics

After oral doses, drospirenone is rapidly absorbed with a bioavailability of about 76%. It is about 97% bound to plasma proteins, though it does not bind to sex hormone binding globulin or corticosteroid binding globulin. It is extensively metabolised with a terminal half-life of about 30 to 40 hours. The metabolites are excreted in the urine and faeces.

Uses and Administration

Drospirenone is a structural analogue of spironolactone (p.1400); it has the effects of a progestogen (see Progesterone, p.2126) with antimineralocorticoid and anti-androgenic activity. It is used as the progestogenic component of combined oral contraceptives (see p.2069), usually in a dose of 3 mg daily with ethinylestradiol 30 micrograms, for 21 days of each 28-day cycle. A combination of drospirenone 3 mg with ethinylestradiol 20 micrograms, given daily for 24 days of each 28-day cycle, may also be used for contraception and for the management of premenstrual dysphoric disorder (see below) or moderate acne (p.1577) in women who also require an oral contraceptive. Drospirenone is also used as the progestogenic component of menopausal HRT (see below) in a continuous dosage regimen of 0.5 or 2 mg daily.

Reviews.

1. Krattenmacher R. Drospirenone: pharmacology and pharmacokinetics of a unique progestogen. *Contraception* 2000; **62**: 29-38.
2. Sitruk-Ware R. Pharmacology of different progestogens: the special case of drospirenone. *Climacteric* 2005; **8** (suppl 3): 4-12.
3. Oelkers WH. Drospirenone in combination with estrogens: for contraception and hormone replacement therapy. *Climacteric* 2005; **8** (suppl 3): 19-27.
4. Fenton C, *et al.* Drospirenone/ethinylestradiol 3mg/20µg (24/4 day regimen): a review of its use in contraception, premenstrual dysphoric disorder and moderate acne vulgaris. *Drugs* 2007; **67**: 1749-65.

Contraception. References.

1. Huber J, *et al.* Efficacy and tolerability of a monophasic oral contraceptive containing ethinylestradiol and drospirenone. *Eur J Contracept Reprod Health Care* 2000; **5**: 25-34.
2. Foidart JM, *et al.* A comparative investigation of contraceptive reliability, cycle control and tolerance of two monophasic oral contraceptives containing either drospirenone or desogestrel. *Eur J Contracept Reprod Health Care* 2000; **5**: 124-34. Correction. *ibid.* 2001; **6**: 63.
3. Parsey KS, Pong A. An open-label, multicenter study to evaluate Yasmin, a low-dose combination oral contraceptive containing drospirenone, a new progestogen. *Contraception* 2000; **61**: 105-11.
4. Oelkers W, *et al.* Effect of an oral contraceptive containing drospirenone on the renin-angiotensin-aldosterone system in healthy female volunteers. *Gynecol Endocrinol* 2000; **14**: 204-13.
5. Bachmann G, *et al.* Efficacy and safety of a low-dose 24-day combined oral contraceptive containing 20 µg ethinylestradiol and 3 mg drospirenone. *Contraception* 2004; **70**: 191-8.
6. Gruber DM, *et al.* A comparison of the cycle control, safety, and efficacy profile of a 21-day regimen of ethinylestradiol 20 µg and drospirenone 3 mg with a 21-day regimen of ethinylestradiol 20 µg and desogestrel 150 µg. *Treat Endocrinol* 2006; **5**: 115-21.
7. Cibula D, *et al.* Efficacy and safety of a low-dose 21-day combined oral contraceptive containing ethinylestradiol 20 µg and drospirenone 3 mg. *Clin Drug Investig* 2006; **26**: 143-50.

Menopausal disorders. Drospirenone is used as the progestogenic component of menopausal HRT¹⁻³ (p.2076). The an-

timineralocorticoid effect of drospirenone has also been investigated and found to lower blood pressure in postmenopausal women with treated and untreated hypertension.⁴

1. Schürmann R, *et al.* Estradiol and drospirenone for climacteric symptoms in postmenopausal women: a double-blind, randomized, placebo-controlled study of the safety and efficacy of three dose regimens. *Climacteric* 2004; **7**: 189-96.
2. Whitehead M. Hormone replacement therapy with estradiol and drospirenone: an overview of the clinical data. *J Br Menopause Soc* 2006; **12** (suppl 1): 4-7.
3. Archer DF, *et al.* Long-term safety of drospirenone-estradiol for hormone therapy: a randomized, double-blind, multicenter trial. *Menopause* 2005; **12**: 716-27.
4. Mallareddy M, *et al.* Drospirenone, a new progestogen, for postmenopausal women with hypertension. *Drugs Aging* 2007; **24**: 453-66.

Premenstrual syndrome. The combination of drospirenone with ethinylestradiol has been studied in the management of premenstrual syndrome (p.2099). A systematic review¹ of 5 studies found some evidence that the combination may be useful in the treatment of premenstrual dysphoric disorder. However, it was not known whether the effect lasted beyond 3 cycles of treatment, whether the combination was effective for less severe symptoms, or whether combinations using drospirenone were any better than combined contraceptives containing other progestogens.

1. Lopez LM, *et al.* Oral contraceptives containing drospirenone for premenstrual syndrome. Available in The Cochrane Database of Systematic Reviews; Issue 1. Chichester: John Wiley; 2008 (accessed 27/06/08).

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Diva Total.

Multi-ingredient: **Arg.:** Angeliq; Damsel; Diva; Divina; Equifem; Gadofem; Isis; Isis Fe; Kala; Kirumelle; Maxima; Yasmin; Yasminelle; **Austral.:** Angeliq; Yasmin; **Austria:** Allurene; Angeliq; Yasmin; Yirala; **Belg.:** Angeliq; Yasmin; **Braz.:** Angeliq; Elani; Yasmin; YAZ; **Canad.:** Yasmin; **Chile:** Angeliq; Dahlia; Femelle; Yasmin; **Cz.:** Angeliq; Belanette; Yadine; Yasminelle; **Dennm.:** Angemim; Yasmin; **Fin.:** Angeliq; Yasmin; **Fr.:** Angeliq; Jasmin; Yasminelle; **Ger.:** Angeliq; Petibelle; Yasmin; **Gr.:** Angeliq; Yasmin; **Hong Kong:** Angeliq; Yasmin; **Hung.:** Angeliq; Yadine; Yasminelle; **Indon.:** Angeliq; Yasmin; **Irl.:** Angeliq; Yasmin; **Israel:** Angeliq; Yasmin; **Ital.:** Angeliq; Yasmin; **Malaysia:** Yasmin; **Mex.:** Angeliq; Yasmin; **Neth.:** Allurene; Angeliq; Belanette; Liofora; Yasmin; Yasminelle; Yira; **Norw.:** Yasmin; **NZ:** Yasmin; **Philipp.:** Angeliq; Yasmin; **Pol.:** Angeliq; Yasmin; Yasminelle; **Port.:** Angeliq; Petibelle; Yasmin; Yasminelle; **Rus.:** Angeliq (Анжелик); Yarina (Ярина); **S.Afr.:** Angeliq; Yasmin; **Singapore:** Yasmin; **Spain:** Angeliq; Yasmin; Yiraf; **Swed.:** Angemim; Yasmin; **Switz.:** Yasmin; **Thai.:** Angeliq; Yasmin; **Turk.:** Angeliq; Yasmin; **UK:** Angeliq; Yasmin; **USA:** Angeliq; Yasmin; YAZ; **Venez.:** Yasmin.

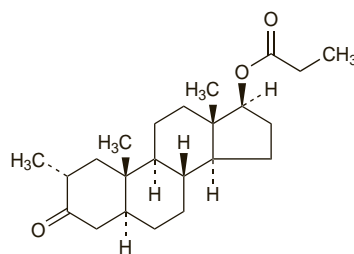
Drostanolone Propionate (BAN, rINN) ⊗

Compound 32379; Dromostanolone Propionate (USAN); Drostanolone, Propionate de; Drostanoloni Propionas; 2α-Methylidihydrotestosterone Propionate; NSC-12198; Propionato de drostanolona. 17β-Hydroxy-2α-methyl-5α-androstan-3-one propionate.

Дростанонон Пропионат

C₂₃H₃₆O₃ = 360.5.

CAS — 58-19-5 (drostanolone); 521-12-0 (drostanolone propionate).



Profile

Drostanolone propionate has anabolic and androgenic properties (see Testosterone, p.2129) and has been used in the treatment of advanced malignant neoplasms of the breast in postmenopausal women. It has been subject to abuse in sport.

Dydrogesterone (BAN, USAN, rINN)

6-Dehydro-retro-progesterone; 6-Dehydro-9β,10α-progesterone; Didrogesteron; Didrogesterona; Dydrogesteron; Dydrogesterone; Dydrogesteroni; Dydrogesteronum; Isopregnenone; NSC-92236. 9β,10α-Pregna-4,6-diene-3,20-dione.

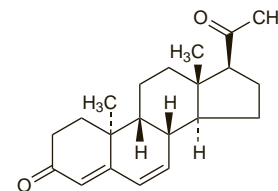
Дидрогестерон

C₂₁H₂₈O₂ = 312.4.

CAS — 152-62-5.

ATC — G03DB01.

ATC Vet — QG03DB01.



Pharmacopoeias. In *Br.*, *Jpn.* and *US*.

BP 2008 (Dydrogesterone). A white or almost white crystalline powder; odourless or almost odourless. Practically insoluble in water; sparingly soluble in alcohol and in methyl alcohol; soluble in acetone; freely soluble in chloroform; slightly soluble in ether and in fixed oils. Protect from light.

USP 31 (Dydrogesterone). A white to pale yellow crystalline powder. Practically insoluble in water; soluble 1 in 40 of alcohol, 1 in 2 of chloroform, and 1 in 200 of ether.

Adverse Effects and Precautions

As for progestogens in general (see Progesterone, p.2125). See also under Hormone Replacement Therapy, p.2071.

Porphyria. Dydrogesterone has been associated with acute attacks of porphyria and is considered unsafe in porphyric patients.

Pregnancy. Anomalies (non-virilising) of the genito-urinary tract were found in a 4-month-old baby whose mother had taken dydrogesterone 20 mg daily from the eighth to twentieth week of pregnancy and 10 mg daily from then until term.¹ She had also been given hydroxyprogesterone caproate 250 mg by intramuscular injection weekly from the eighth to the twentieth week.

1. Roberts IF, West RJ. Teratogenesis and maternal progesterone. *Lancet* 1977; **ii**: 982.

Interactions

As for progestogens in general (see Progesterone, p.2126).

Uses and Administration

Dydrogesterone is a progestogen structurally related to progesterone (p.2126). It does not have oestrogenic or androgenic properties.

Dydrogesterone has been given orally in the treatment of menstrual disorders such as menorrhagia (p.2126), usually in a dose of 10 mg twice daily in a cyclical regimen, and for the treatment of endometriosis (p.2091) in a dose of 10 mg two or three times daily cyclically or continuously. It has also been given cyclically in doses of 10 mg once or twice daily, or continuously in doses of 5 mg daily, for endometrial protection during menopausal HRT (p.2076).

In threatened miscarriage suggested doses have been 40 mg initially followed by 10 mg or more every 8 hours, continued for a week after symptoms cease then gradually reduced unless symptoms return. In recurrent miscarriage suggested doses have been 10 mg twice daily given cyclically until conception then continuously until week 20 of pregnancy, the dose may then be gradually reduced. However, such use is not recommended unless there is proven progesterone deficiency. Cyclical dydrogesterone has also been used in infertility (p.2080) in doses of 10 mg twice daily.

Preparations

BP 2008: Dydrogesterone Tablets;

USP 31: Dydrogesterone Tablets.

Proprietary Preparations (details are given in Part 3)

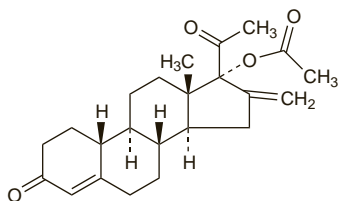
Austral.: Duphaston; **Austria:** Duphaston; **Belg.:** Duphaston; **Braz.:** Duphaston; **Chile:** Duphaston; **Cz.:** Duphaston; **Fin.:** Terolut; **Fr.:** Duphaston; **Ger.:** Duphaston; **Gr.:** Duphaston; **Hong Kong:** Duphaston; **Hung.:** Duphaston; **India:** Duphaston; **Indon.:** Duphaston; **Irl.:** Duphaston; **Israel:** Biphaston; Duphaston; **Ital.:** Dufaston; **Malaysia:** Duphaston; **Neth.:** Duphaston; **NZ:** Duphaston; **Philipp.:** Duphaston; **Pol.:** Duphaston; **Port.:** Duphaston; **Rus.:** Duphaston (Дюфастон); **S.Afr.:** Duphaston; **Singapore:** Duphaston; **Swed.:** Duphaston; **Switz.:** Duphaston; **Thai.:** Duphaston; **Turk.:** Duphaston; **UK:** Duphaston; **Venez.:** Duphaston.

Multi-ingredient: **Austral.:** Femoston; **Austria:** Femoston; Femoston Conti; Femphasyl; Femphasyl conti; **Belg.:** Femoston; Femoston Conti; **Braz.:** Femoston; Femoston Conti; **Chile:** Femoston; Femoston Conti; **Cz.:** Femoston; Femoston Conti; **Fin.:** Femoston; Femoston Conti; **Fr.:** Climaston; **Ger.:** Femoston; Femoston Conti; **Gr.:** Femoston; **Hong Kong:** Femoston; **Hung.:** Femoston; **Irl.:** Femoston; Femoston Conti; **Ital.:** Femoston; Femoston Conti; **Malaysia:** Femoston; Femoston Conti; **Mex.:** Lutamim; **Neth.:** Climaston Contin; Femoston; Femoston Contin; Femphasyl Contin; **Philipp.:** Femoston; **Pol.:** Femoston; Femoston Conti; **Port.:** Femoston; Femoston 1/5; Femphasyl; **Rus.:** Femoston (Фемостон); Femoston 1/5 (Фемостон 1/5); **S.Afr.:** Femoston; Femoston Conti; **Singapore:** Femoston; Femoston Conti; **Switz.:** Femoston; Femoston Conti; **Thai.:** Femoston 1/10; Femoston Conti; **UK:** Femapak; Femoston; Femoston Conti; **Venez.:** Femoston; Femoston Conti.

Elcometrine

Elcometrina; 16-Methylene-17- α -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.

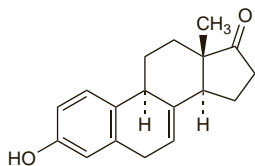
References.

- Ylänen K, *et al.* Subdermal progestin implant (Nestorone) in the treatment of endometriosis: clinical response to various doses. *Acta Obstet Gynecol Scand* 2003; **82**: 167–72.
- Sitruk-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.
- Sivin I, *et al.* Contraceptive vaginal rings releasing Nestorone and ethinylestradiol: a 1-year dose-finding trial. *Contraception* 2005; **71**: 122–9.
- Fraser IS, *et al.* Serum Nestorone and ethinyl estradiol levels, and ovulation inhibition in women using three different dosage combinations of a Nestorone progestogen-ethinyl estradiol contraceptive vaginal ring on a bleeding-signaled regimen. *Contraception* 2005; **72**: 40–5.
- Weisberg E, *et al.* Clinical performance and menstrual bleeding patterns with three dosage combinations of a Nestorone progestogen/ethinyl estradiol contraceptive vaginal ring used on a bleeding-signaled regimen. *Contraception* 2005; **72**: 46–52.
- Croxatto HB, *et al.* Feasibility study of Nestorone-ethinylestradiol vaginal contraceptive ring for emergency contraception. *Contraception* 2006; **73**: 46–52.

Equilin

Equilina. 3-Hydroxyestra-1,3,5(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.

The symbol † denotes a preparation no longer actively marketed

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; Dihydrofoliculina; Dihydroxiestratrieno; Dihidroxiestrina; Dihydrofolliculin; Dihydrotheelin; Dihydroxyoestrin; Estradioli; Estradiolis; Estradiolum; NSC-9895; Oestradiol; Östradiol; Östradiol. Estra-1,3,5(10)-triene-3,17 β -diol.

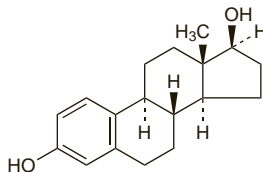
Эстрадиол

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

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, Acétate d'; Estradiol-3-acetate; Estradioli Acetas; Oestradiol Acetate. Estra-1,3,5(10)-triene-3,17 β -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'; Estradiolbenzoat; Estradioli benzoat; Estradioli benzoas; Estradiolibenzoaatti; Estradioliol benzoatas; Estradioli benzoas; Estradiolibenzoaatti; Estradiol Benzoate; Östradiol Benzoat; Östradiol-benzoat. Estra-1,3,5(10)-triene-3,17 β -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; Estradiol Cipionate. Estra-1,3,5(10)-triene-3,17 β -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-3,17 β -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-3,17 β -diol 17-heptanoate.

Эстрадиола Энантиат

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

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-3,17 β -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; Östradiol Fenilpropionat. Estra-1,3,5(10)-triene-3,17 β -diol 17-(3-phenylpropionate).

Эстрадиола Фенилпропионат

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

ATC — G03CA03.

ATC Vet — QG03CA03.

Estradiol Valerate (BANM, rINN)

Estradiol, valérate d'; Estradioli valeras; Estradioli valeratas; Estradiolivaleraatti; Estradiolvalerat; Estradiol-valérat; NSC-17590; Oestradiol Valerate; Östradiol-17-Valerat; Östradiol-valérat; Valerato de estradiol. Estra-1,3,5(10)-triene-3,17 β -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.

The symbol ⊗ denotes a substance whose use may be restricted in certain sports (see p.vii)