

Profile

Melengestrol acetate is a progestogen that is used as an animal feed in beef heifers to improve feed efficiency, increase the rate of body-weight gain, and suppress oestrus.

◊ WHO specifies an acceptable daily intake of melengestrol acetate as a residue in foods, and recommends maximum residue limits in various animal tissues.¹ However, it should be noted that, in the EU the use of melengestrol acetate and other steroidal hormones as growth promoters is banned.

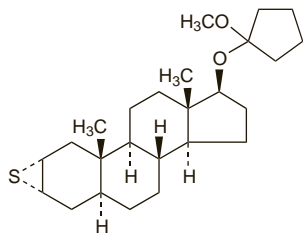
1. FAO/WHO. Evaluation of certain veterinary drug residues in food: sixty-sixth report of the joint FAO/WHO expert committee on food additives. *WHO Tech Rep Ser* 939 2006. Also available at: http://libdoc.who.int/publications/2006/9241209399_eng.pdf (accessed 24/06/08)

Mepitiostane (rINN) ⊗

Mépitostane; Mepitiostano; Mepitiostanum; S-10364. 17β-(1-Methoxycyclopentylloxy)-2α,3α-epithio-5α-androstane; Cyclopentanone 2α,3α-epithio-5α-androstan-17β-yl methyl acetal.

Мепитиостан

$C_{25}H_{40}O_2S = 404.6$.
CAS — 21362-69-6.

**Pharmacopoeias.** In *Jpn*.**Profile**

Mepitiostane has androgenic and anabolic properties (see Testosterone, p.2129) and is given in usual oral doses of 10 mg twice daily for the management of neoplasms of the breast and anaemia associated with renal failure.

Preparations

Proprietary Preparations (details are given in Part 3)

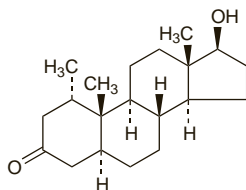
Jpn: Thioderon.

Mesterolone (BAN, USAN, rINN) ⊗

Mesterolol; Mesterolona; Mesterolonas; Mestérolone; Mesteroloni; Mesterololum; Meszterolon; NSC-75054; SH-723. 17β-Hydroxy-1α-methyl-5α-androstan-3-one.

Местеролон

$C_{20}H_{32}O_2 = 304.5$.
CAS — 1424-00-6.
ATC — G03BB01.
ATC Vet — QG03BB01.

**Pharmacopoeias.** In *Eur*. (see p.vii).

Ph. Eur. 6.2 (Mesterolone). A white or yellowish crystalline powder. Practically insoluble in water; sparingly soluble in acetone, in ethyl acetate, and in methyl alcohol.

Adverse Effects and Precautions

As for androgens in general (see Testosterone, p.2130).

Mesterolone is reported not to inhibit gonadotrophin secretion or spermatogenesis.

Pharmacokinetics

Mesterolone is rapidly and almost completely absorbed after an oral dose, producing maximum serum concentrations after about 1.6 hours. It is rapidly metabolised, with an absolute bioavailability of about 3% of the oral dose, and a terminal half-life of 12 to 13 hours. Unlike other androgens (see Testosterone, p.2131), mesterolone is not metabolised to oestrogenic compounds. Mesterolone is bound to serum proteins; about 40% to albumin and 58% to sex-hormone binding globulin. About 77% of the metabolites are excreted in the urine, and about 13% in the faeces.

Uses and Administration

Mesterolone has androgenic properties (see Testosterone, p.2131) but is reported to have less inhibitory effect on intrinsic testicular function than testosterone.

Mesterolone is given orally in the treatment of androgen deficiency or male infertility associated with hypogonadism (p.2079) in initial doses of 75 to 100 mg daily followed by doses of 50 to 75 mg daily for maintenance, in divided doses.

Preparations

Proprietary Preparations (details are given in Part 3)

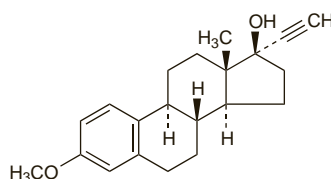
Austral.: Proviron; **Austria:** Proviron; **Belg.:** Proviron; **Braz.:** Proviron; **Chile:** Proviron; **Cz.:** Proviron; **Denm.:** Mestoranum†; **Fin.:** Proviron†; **Ger.:** Proviron†; **Vistimon†**; **Gr.:** Proviron; **Hong Kong:** Proviron†; **Hung.:** Proviron; **India:** Provironum; **Indon.:** Androlon; Infelion; Proviron; **Israel:** Proviron; **Ital.:** Proviron; **Malaysia:** Provironum†; **Vistimon†**; **Mex.:** Proviron; **Neth.:** Proviron; **Philipp.:** Proviron; **Pol.:** Proviron; **Port.:** Proviron; **S.Afr.:** Proviron; **Singapore:** Provironum; **Spain:** Proviron; **Thai.:** Provironum; **Turk.:** Proviron; **UK:** Proviron; **Venez.:** Proviron.

Mestranol (BAN, USAN, rINN)

Compound 33355; EE₃ME; Ethinyloestradiol-3-methyl Ether; Mestranoli; Mestranolis; Mestranolum; Mesztranol. 3-Methoxy-19-nor-17α-pregna-1,3,5(10)-trien-20-yn-17β-ol.

Местранол

$C_{21}H_{26}O_2 = 310.4$.
CAS — 72-33-3.

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

Ph. Eur. 6.2 (Mestranol). A white or almost white crystalline powder. Practically insoluble in water; sparingly soluble in alcohol. Protect from light.

USP 31 (Mestranol). A white to creamy-white, odourless, crystalline powder. Insoluble in water; sparingly soluble in dehydrated alcohol; freely soluble in chloroform; soluble in dioxan; slightly soluble in methyl alcohol. Protect from light.

Adverse Effects and Precautions

As for oestrogens in general (see Estradiol, p.2097). See also under Hormonal Contraceptives, p.2059.

Porphyria. Mestranol is considered to be unsafe in patients with porphyria because it has been shown to be porphyrinogenic in animals or *in-vitro* systems.

Interactions

See under Hormonal Contraceptives, p.2067.

Pharmacokinetics

Mestranol is readily absorbed from the gastrointestinal tract, and about 70% is rapidly metabolised in the liver to ethinylestradiol (p.2102).

Uses and Administration

Mestranol is a synthetic oestrogen prodrug that is rapidly metabolised to ethinylestradiol; it therefore has actions similar to those of estradiol (see p.2098). It is used as the oestrogen component of combined oral contraceptive preparations (see p.2069) in a usual dose of 50 micrograms daily. The progestogen component is often norethisterone. Mestranol has also been used as the oestrogen component of some preparations for menopausal HRT (see p.2076), although natural oestrogens are often preferred. It has been given in a sequential regimen in a dose of 50 micrograms daily, with a cyclical progestogen.

Preparations

USP 31: Ethinydiol Diacetate and Mestranol Tablets; Norethindrone and Mestranol Tablets.

Proprietary Preparations (details are given in Part 3)

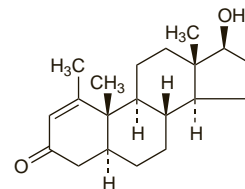
Multi-ingredient: **Austral.:** Nornilyl-I; **Braz.:** Biofim†; Megestran†; **Canada.:** Ortho-Novum 1/50†; **Chile:** Anovulatorios; **Cz.:** Menophase†; **Ger.:** Esticia; Gestamestrol N†; Ovosiston†; **Hong Kong:** Nornilyl-I; **Mex.:** Lutoral-E; Norace; Nornilyl; Ortho-Novum†; Secuentex-21; **NZ:** Nornilyl-I; **S.Afr.:** Nornilyl-I/28; **Thai.:** Anama†; **UK:** Nornilyl-I; **USA:** Necon 1/50; Nornilyl 1 + 50; Ortho-Novum 1/50.

Metenolone (BAN, rINN) ⊗

Metenolon; Metenolona; Méténolone; Metenoloni; Metenolonum; Methenolone. 17β-Hydroxy-1-methyl-5α-androst-1-en-3-one.

Метенолон

$C_{20}H_{30}O_2 = 302.5$.
CAS — 153-00-4.
ATC — A14AA04.
ATC Vet — QA14AA04.

**Metenolone Acetate** (BANM, rINNM) ⊗

Acetato de metenolona; Acetato de metilandrostenolona; Méténolone, Acétate de; Metenoloni Acetas; Methenolone Acetate (USAN); NSC-74226; SH-567; SQ-16496. 17β-Hydroxy-1-methyl-5α-androst-1-en-3-one acetate.

Метенолона Ацетат

$C_{22}H_{32}O_3 = 344.5$.
CAS — 434-05-9.
ATC — A14AA04.
ATC Vet — QA14AA04.

Pharmacopoeias. In *Jpn*.**Metenolone Enantate** (BANM, rINNM) ⊗

Enantato de metenolona; Enantato de metilandrostenolona; Méténolone, Enantate de; Metenoloni Enantas; Methenolone Enanthate (USAN); Methenolone Oenantate; NSC-64967; SH-601; SQ-16374. 17β-Hydroxy-1-methyl-5α-androst-1-en-3-one heptanoate.

Метенолона Энантат

$C_{27}H_{42}O_3 = 414.6$.
CAS — 303-42-4.
ATC — A14AA04.
ATC Vet — QA14AA04.

Pharmacopoeias. In *Jpn*.**Profile**

Metenolone is an anabolic steroid (see Testosterone, p.2129) that has been used in treating aplastic anaemia, breast cancer, and postmenopausal osteoporosis. Metenolone acetate has been given in oral doses of 100 to 150 mg daily for aplastic anaemia. Intramuscular depot injections of metenolone enantate have been given for osteoporosis in doses of 100 mg every 2 weeks, reducing to once every 3 to 4 weeks after an initial response. The acetate was also used orally in the past for osteoporosis. Intramuscular injections of 100 mg of the enantate every 1 to 2 weeks, or 200 mg every 2 to 3 weeks, have been used in progressive breast cancer.

Preparations

Proprietary Preparations (details are given in Part 3)

Austral.: Primobolan; **Ger.:** Primobolan†; **Gr.:** Primobolan Depot†; **Mex.:** Primobolan; **S.Afr.:** Primobolan; **Spain:** Primobolan Depot.

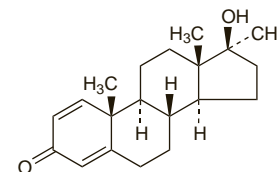
Multi-ingredient: **Ger.:** NeyPulpin N (Revitorgan-Dilutionen N Nr 10)†; NeyTumorin N (Revitorgan-Dilutionen N Nr 66)†.

Methandienone (BAN) ⊗

Metandienone (pINN); Metandienon; Metandienona; Métandiénone; Metandienoni; Metandienonium; Methandrostenolone; NSC-42722. 17β-Hydroxy-17α-methylandrosta-1,4-dien-3-one.

Метандиенон

$C_{20}H_{28}O_2 = 300.4$.
CAS — 72-63-9.
ATC — A14AA03; D11AE01.
ATC Vet — QA14AA03; QD11AE01.



NOTE. The following terms have been used as 'street names' (see p.vi) or slang names for various forms of methandienone: Iron Brew.

Pharmacopoeias. In *Pol*.