Pharmacokinetics
Abarelix is absorbed slowly after intramuscular injection, with a peak concentration in serum reached after about 3 days. It is metabolised by hydrolysis and has an elimination half-life of about 13 days with intramuscular use.

◊ References.

1. Wong SL, *et al.* Pharmacokinetics and pharmacodynamics of a novel depot formulation of abarelix, a gonadotropin-releasing hormone (GnRH) antagonist, in healthy men ages 50 to 75. *J Clin Pharmacol* 2004; **44:** 495–502.

Uses and Administration
Like cetrorelix (p.2084), abarelix is a gonadorelin (gonadotrophin-releasing hormone) antagonist. It is used to reduce testosterone concentrations in the palliative hormonal therapy of prostate cancer (p.671). A dose of abarelix 100 mg is given intramuscularly on days 1, 15, and 29, and then every 4 weeks thereafter.

Abarelix has been investigated for the treatment of endometriosis.

Malignant neoplasms. References.

1. Tomera K, *et al.* The gonadotropin-releasing hormone antagonist abarelix depot versus luteinizing hormone releasing hormone agonists leuprolide or goserelin: initial results of endocrinological and biochemical efficacies in patients with prostate cancer. *J Urol (Baltimore)* 2001; **165:** 1585–9.
2. McLeod D, *et al.* A phase 3, multicenter, open-label, randomized study of abarelix versus leuprolide acetate in men with prostate cancer. *Urology* 2001; **58:** 756–61.
3. Trachtenberg J, *et al.* A phase 3, multicenter, open label, randomized study of abarelix versus leuprolide plus daily antiandrogen in men with prostate cancer. *J Urol (Baltimore)* 2002; **167:** 1670–4.
4. Koch M, *et al.* An open-label study of abarelix in men with symptomatic prostate cancer at risk of treatment with LHRH agonists. *Urology* 2003; **62:** 877–82.

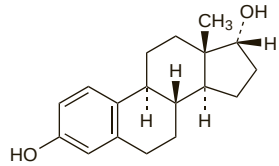
Preparations
Proprietary Preparations (details are given in Part 3)
USA: Plenaxis.

Alfatradiol *(rINN)* ⊗
Alfatradiolum; Alpha-estradiol; Epiestradiol; 17α-Estradiol; NSC-20293. Estra-1,3,5(10)-triene-3,17α-diol.

Альфатрадиол

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

CAS — 57-91-0.



Profile
Alfatradiol is the 17-α isomer of estradiol (p.2097) but has much weaker oestrogenic actions. It is a 5α-reductase inhibitor and is used topically as a 0.025% solution for alopecia androgenetica (p.1577).

Preparations
Proprietary Preparations (details are given in Part 3)
Arg.: Avixis; ***Ger.:*** Ell-Cranell alpha; Pantostin; ***Mex.:*** Avixis.

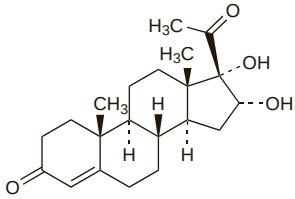
Multi-ingredient: ***Ger.:*** Ell-Cranell dexa.

Algestone Acetophenide *(USAN, rINNM)*
Acetofenido de alfasona; Acetofenido de algestona; Acetofenido de dihidroxiprogesterona; Algestone, Acétophenide d'; Algestoni Acetophenidum; Alphasone Acetophenide; Dihydroxyprogesterone Acetophenide; SQ-15101. 16α,17α-(1-Phenylethylidenedioxy)pregn-4-ene-3,20-dione; 16α,17α-Isopropylidenedioxypregn-4-ene-3,20-dione.

Альгестона Ацетофенид

$C_{29}H_{36}O_4$ = 448.6.

CAS — 595-77-7 (algestone); 24356-94-3 (algestone acetophenide).



(algestone)

Profile
Algestone acetophenide is a progestogen (see Progesterone, p.2125) that is given by intramuscular injection in monthly doses of 150 mg, with estradiol enantate, as a hormonal contraceptive (see p.2058). It has also been applied topically in the treatment of acne.

◊ References.

1. Martínez GH, *et al.* Vaginal bleeding patterns in users of Perlutal , a once-a-month injectable contraceptive consisting of 10 mg estradiol enanthate combined with 150 mg dihydroxyprogesterone acetophenide: a trial of 5462 woman-months. *Contraception* 1998; **58:** 21–7.
2. Coutinho EM, *et al.* Efficacy, acceptability, and clinical effects of a low-dose injectable contraceptive combination of dihydroxyprogesterone acetophenide and estradiol enanthate. *Contraception* 2000; **61:** 277–80.
3. Coutinho EM, *et al.* Comparison of two regimens of a monthly injectable contraceptive containing dihydroxyprogesterone acetophenide and estradiol enanthate. *Contraception* 2006; **73:** 249–52.

Preparations
Proprietary Preparations (details are given in Part 3)

Multi-ingredient: ***Arg.:*** Atrimon; Perlutal; ***Braz.:*** Femineo†; Perlutan; Preg-Less; Unalmes†; Uno-Ciclo†; ***Chile:*** Agurin†; Unalmes; ***Mex.:*** Anafertin; Ginoplan†; Patector; Perludil; Perlutal; Yectames; ***Port.:*** Cicnor†; ***Singapore:*** Unijab; ***Spain:*** Topasel.

Allylestrenol *(BAN, rINN)*
Alilestrenol; Allylestrénol; Allylestrenolum; Allyloestrenol; Allylöstrenol; Allyyliestrenoli. 17α-Allylestr-4-en-17β-ol.

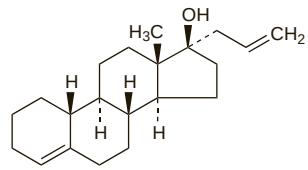
Аллилэстренол

$C_{21}H_{32}O$ = 300.5.

CAS — 432-60-0.

ATC — G03DC01.

ATC Vet — QG03DC01.



Profile
Allylestrenol is a progestogen (see Progesterone, p.2125) structurally related to progesterone that has been given in threatened and recurrent miscarriage, and to prevent premature labour. However, with the exception of proven progesterone deficiency, such use is no longer recommended. In threatened miscarriage in progesterone-deficient women a suggested oral dose is 5 mg three times daily for 5 to 7 days.

Pregnancy. A case-control study of allylestrenol use in pregnancy during 1980 to 1984 in Hungary indicated that it was not teratogenic.[1]

1. Czeizel A, Huiskes N. A case-control study to evaluate the risk of congenital anomalies as a result of allylestrenol therapy during pregnancy. *Clin Ther* 1988; **10:** 725–39.

Preparations
Proprietary Preparations (details are given in Part 3)
Cz.: Turinal†; ***Hong Kong:*** Turinal; ***India:*** Maintane; Profar; ***Indon.:*** Gravynon; Lestron; Preabor; Pregtenol; Premaston; Prenolin; Prestrenol; Progeston; ***Malaysia:*** Turinal†; ***Philipp.:*** Turinal; ***Rus.:*** Turinal (Туринал); ***Singapore:*** Turinal.

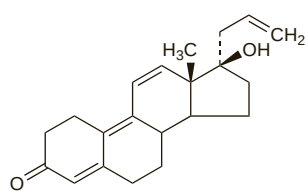
Altrenogest *(BAN, USAN, rINN)*
A-35957; A-41300; Altrénogest; Altrenogesti; Altrenogestum; RH-2267; RU-2267. 17α-Allyl-17β-hydroxy-19-norandrosta-4,9,11-trien-3-one; 17β-Hydroxy-19,21,24-trinorchola-4,9,11,22-tetraen-3-one.

Альтреногест

$C_{21}H_{26}O_2$ = 310.4.

CAS — 850-52-2.

ATC Vet — QG03DX90.



Profile
Altrenogest is a progestogen (see Progesterone, p.2125) used in veterinary medicine.

Androstanolone *(BAN, rINN)* ⊗
Androstanolo; Androstanolon; Androstanolona; Androstanoloni; Androstanolonum; Dihidrotestosterona; Dihydrotestosterone; Estanolona; Stanolon; Stanolone. 17β-Hydroxy-5α-androstan-3-one.

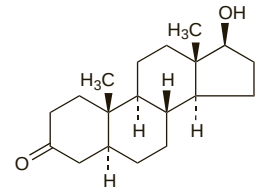
Андростанолон

$C_{19}H_{30}O_2$ = 290.4.

CAS — 521-18-6.

ATC — A14AA01; G03BB02.

ATC Vet — QA14AA01; QG03BB02.



Profile
Androstanolone is formed naturally in the body from testosterone (p.2129) by the action of 5α-reductase, and is more active than the parent compound. It has anabolic and androgenic properties and is applied topically in the form of a 2.5% gel for male hypogonadism and gynaecomastia, and for lichen sclerosus in both men and women.

◊ References.

1. Wang C, Swerdloff RS. Should the nonaromatizable androgen dihydrotestosterone be considered as an alternative to testosterone in the treatment of the andropause? *J Clin Endocrinol Metab* 2002; **87:** 1462–6.

Lichen sclerosus. For references to the use of androgens such as androstanolone in lichen sclerosus, see under Testosterone, p.2133.

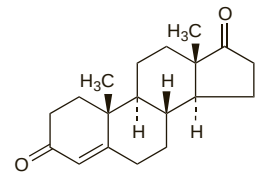
Preparations
Proprietary Preparations (details are given in Part 3)
Belg.: Andractim; ***Fr.:*** Andractim; ***Thai.:*** Andractim†.

Androstenedione ⊗
Androstenodiona. Androst-4-ene-3,17-dione.

Андростендион

$C_{19}H_{26}O_2$ = 286.4.

CAS — 63-05-8.



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

Profile
Androstenedione is a naturally occurring adrenal androgen that is a precursor of androgens and oestrogens (see p.2058). It has been used in an attempt to enhance athletic performance and as hormone replacement for men. In March 2004 the FDA banned the distribution of dietary supplements containing androstenedione, considering them to be adulterated and warning that they did not meet safety requirements.

Action. The effects of androstenedione have been studied in groups of young (under 40 years of age) and older (up to 65 years) men with normal serum testosterone concentrations.[1-4] Testosterone concentrations were reported to remain unchanged[1,4] as well as increase,[2,3] although they returned to baseline in the longer study of 12 weeks.[3] In 3 of the studies, oestrogens (oestradiol and oestrone) increased.[1-3] Changes in lipid profiles were also noted, particularly a decrease in high-density lipoprotein (HDL) cholesterol.[1,3] Androstenedione did not enhance the effects of resistance training.[1,3]