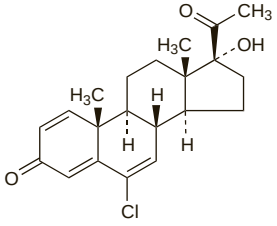
Profile
Like cetrorelix (p.2084), degarelix is a gonadorelin (gonadotrophin-releasing hormone) antagonist. It is under investigation to reduce testosterone concentrations in hormonal therapy of prostate cancer.

Delmadinone Acetate *(BANM, USAN, rINNM)*

Acetato de delmadinona; Delmadinonacetat; Delmadinone, Acétate de; Delmadinoni Acetas; Delmadinoniasetaatti; RS-1301. 6-Chloro-17α-hydroxypregna-1,4,6-triene-3,20-dione acetate.

Дельмадинона Ацетат

$C_{23}H_{27}ClO_4$ = 402.9.
CAS — 15262-77-8 (delmadinone); 13698-49-2 (delmadinone acetate).
ATC Vet — QG03DX91.



(delmadinone)

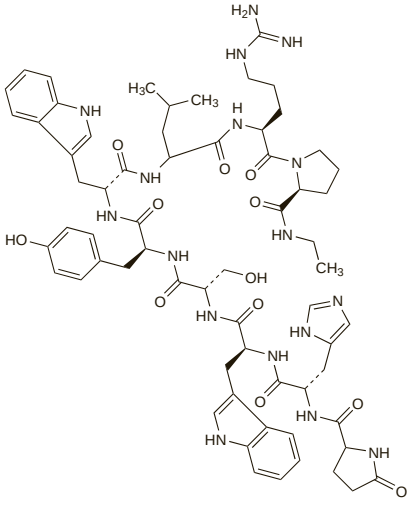
Profile
Delmadinone acetate is a progestogen with anti-androgenic and anti-oestrogenic activity. It is used as an anti-androgen in veterinary practice.

Deslorelin *(BAN, USAN, rINN)* ⊗

Deslorelina; Desloréline; Deslorelinum; D-Trp LHRH-PEA. 5-Oxo-L-prolyl-L-histidyl-L-tryptophyl-L-seryl-L-tyrosyl-D-tryptophyl-L-leucyl-L-arginyl-*N*-ethyl-L-prolinamide.

Дезлорелин

$C_{64}H_{83}N_{17}O_{12}$ = 1282.5.
CAS — 57773-65-6.
ATC Vet — QH01CA93.



Profile
Deslorelin is an analogue of gonadorelin (p.2106) that has been investigated in the treatment of precocious puberty, short stature, prostate cancer, and endometriosis.

◊ References.

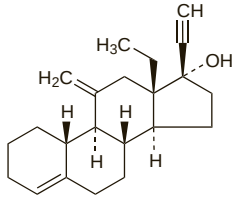
1. Anonymous. Deslorelin: D-Trp-LHRH-PEA, LHRH agonist analogue, Somagard. *Drugs R D* 1999; **2:** 420–2.
2. Klein KO, *et al.* Increased final height in precocious puberty after long-term treatment with LHRH agonists: the National Institutes of Health experience. *J Clin Endocrinol Metab* 2001; **86:** 4711–16.
3. Yanovski JA, *et al.* Treatment with a luteinizing hormone-releasing hormone agonist in adolescents with short stature. *N Engl J Med* 2003; **348:** 908–17.

Desogestrel *(BAN, USAN, rINN)*

Desogestreeli; Désogestrel; Desogestrelum; Dezogestrel; Org-2969. 13β-Ethyl-11-methylene-18,19-dinor-17α-pregn-4-en-20-yn-17β-ol.

Дезогестрел

$C_{22}H_{30}O$ = 310.5.
CAS — 54024-22-5.
ATC — G03AC09.
ATC Vet — QG03AC09.



Pharmacopoeias. In *Eur.* (see p.vii).
Ph. Eur. 6.2 (Desogestrel). A white or almost white, crystalline powder. Practically insoluble in water; freely soluble in dehydrated alcohol and in dichloromethane; very soluble in methyl alcohol.

Adverse Effects and Precautions

As for progestogens in general (see Progesterone, p.2125). See also under Hormonal Contraceptives, p.2059. When used as a progestogen-only contraceptive, irregular bleeding is more common with desogestrel than with other progestogen-only preparations. Desogestrel is reported to have few androgenic effects, and to have less adverse effect on the serum lipid profile than older 19-nortestosterone derivatives. However, there is some evidence that desogestrel-containing combined oral contraceptives are associated with a small increased risk of venous thromboembolism (see p.2063, and for precautions, see p.2066).

Interactions

As for progestogens in general (see Progesterone, p.2126). See also under Hormonal Contraceptives, p.2067.

Pharmacokinetics

After oral doses, desogestrel undergoes oxidative transformation in the intestinal mucosa and liver to its active metabolite 3-keto-desogestrel (etonogestrel—see p.2103).

◊ References.

1. Madden S, *et al.* Metabolism of the contraceptive steroid desogestrel by the intestinal mucosa. *Br J Clin Pharmacol* 1989; **27:** 295–9.
2. Madden S, *et al.* Metabolism of the contraceptive steroid desogestrel by human liver in vitro. *J Steroid Biochem* 1990; **35:** 281–8.
3. Kuhnz W, *et al.* Protein binding of the contraceptive steroids gestodene, 3-keto-desogestrel and ethinyloestradiol in human serum. *J Steroid Biochem* 1990; **35:** 313–18.
4. Kuhnz W, *et al.* Pharmacokinetics and serum protein binding of 3-keto-desogestrel in women during three cycles of treatment with a low-dose combination oral contraceptive. *Arzneimittelforschung* 1992; **42:** 1142–6.
5. Timmer CJ, *et al.* Bioavailability and bioequivalence of etonogestrel from two oral formulations of desogestrel: Cerazette and Liseta. *Eur J Drug Metab Pharmacokinet* 1999; **24:** 335–43.
6. Verhoeven CH, *et al.* Excretion and metabolism of desogestrel in healthy postmenopausal women. *J Steroid Biochem Mol Biol* 2001; **78:** 471–80.
7. Korhonen T, *et al.* The role of CYP2C and CYP3A in the disposition of 3-keto-desogestrel after administration of desogestrel. *Br J Clin Pharmacol* 2005; **60:** 69–75.

Uses and Administration

Desogestrel is a progestogen (see Progesterone, p.2126) structurally related to levonorgestrel that is used as a hormonal contraceptive (see p.2069). A typical daily dose of 150 micrograms is used as the progestogenic component of monophasic combined oral contraceptive preparations. Doses of 50 to 150 micrograms daily may be used in triphasic combined preparations. A dose of 75 micrograms daily is used as an oral progestogen-only contraceptive; unlike traditional progestogen-only contraceptives, desogestrel is said to reliably inhibit ovulation. Progestogen-only contraceptive efficacy is reduced if a dose of desogestrel is delayed by more than 12 hours.

Contraception. The effects of a progestogen-only contraceptive containing desogestrel have been reported.[1-3] Oral desogestrel has also been investigated as a male contraceptive, combined with testosterone given by intramuscular injection,[4] subcutaneous implant,[5,6] or transdermal patch.[7]

1. Collaborative study group on the desogestrel-containing progestogen-only pill. A double-blind study comparing the contraceptive efficacy, acceptability and safety of two progestogen-only pills containing desogestrel 75 micrograms/day or levonorgestrel 30 micrograms/day. *Eur J Contracept Reprod Health Care* 1998; **3:** 169–78.
2. Rice CF, *et al.* A comparison of the inhibition of ovulation achieved by desogestrel 75 μg and levonorgestrel 30 μg daily. *Hum Reprod* 1999; **14:** 982–5.
3. Korver T, *et al.* Maintenance of ovulation inhibition with the 75-μg desogestrel-only contraceptive pill (Cerazette) after scheduled 12-h delays in tablet intake. *Contraception* 2005; **71:** 8–13.
4. Wu FCW, *et al.* Oral progestogen combined with testosterone as a potential male contraceptive: additive effects between desogestrel and testosterone enanthate in suppression of spermatogenesis, pituitary-testicular axis, and lipid metabolism. *J Clin Endocrinol Metab* 1999; **84:** 112–22.
5. Kinniburgh D, *et al.* Oral desogestrel with testosterone pellets induces consistent suppression of spermatogenesis to azoospermia in both Caucasian and Chinese men. *Hum Reprod* 2002; **17:** 1490–1501.
6. Anderson RA, *et al.* Investigation of hormonal male contraception in African men: suppression of spermatogenesis by oral desogestrel with depot testosterone. *Hum Reprod* 2002; **17:** 2869–77.
7. Hair WM, *et al.* A novel male contraceptive pill-patch combination: oral desogestrel and transdermal testosterone in the suppression of spermatogenesis in normal men. *J Clin Endocrinol Metab* 2001; **86:** 5201–9.

Preparations

USP 31: Desogestrel and Ethinyl Estradiol Tablets.

Proprietary Preparations (details are given in Part 3)
Arg.: Cerazette; ***Austria:*** Cerazette; ***Belg.:*** Cerazette; ***Braz.:*** Cerazette; Kelly; ***Chile:*** Arlette; Cerazette; Nogesta; Vanish; ***Cz.:*** Azalia; Cerazette; ***Denm.:*** Cerazette; ***Fin.:*** Cerazette; ***Fr.:*** Cerazette; ***Ger.:*** Cerazette; ***Gr.:*** Cerazette; ***Hung.:*** Cerazette; ***Indon.:*** Cerazette; ***Israel:*** Cerazette; ***Ital.:*** Cerazette; ***Mex.:*** Cerazette; ***Neth.:*** Cerazette; ***Norw.:*** Cerazette; ***NZ:*** Cerazette; ***Philipp.:*** Cerazette; ***Pol.:*** Cerazette; ***Port.:*** Cerazette; ***Rus.:*** Cerazette (Чарозетта); ***Spain:*** Cerazet; ***Swed.:*** Cerazette; ***Switz.:*** Cerazette; ***UK:*** Cerazette; ***Venez.:*** Arlette; Cerazette.

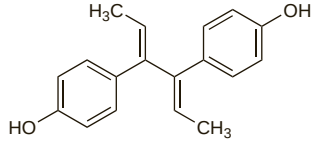
Multi-ingredient: ***Arg.:*** Marvelon; Mercilon; ***Austral.:*** Marvelon; ***Austria:*** Gracial; Laurina; Liberel; Liseta†; Marvelon; Mercilon; ***Belg.:*** Desorelle; Gracial; Marvelon; Mercilon; Ovidol; ***Braz.:*** Femina; Gestradiol; Gracial; Malu; Mercilon; Mercilon Conti; Microdiol; Minian; Novial; Primera; ***Canad.:*** Marvelon; Ortho-Cept; ***Chile:*** Ciclidon; Dal; Desoren; Gracial; Gynostat; Marvelon; Midalet; Miniestrel; Neolette; ***Cz.:*** Gracial; Jenetten†; Laurina; Marvelon; Mercilon; Novynette; Regulon; Vilonet†; ***Denm.:*** Desorelle; Gracial; Marvelon; Mercilon; Novynette; ***Fin.:*** Gracial; Marvelon; Mercilon; ***Fr.:*** Cycleane; Mercilon; Varnoline; ***Ger.:*** Biviol; Cyclosa; Desmin; Lamuna; Lovelle; Marvelon; Novial; Oviol; ***Gr.:*** Gracial; Laurina; Marvelon; Mercilon; ***Hong Kong:*** Gracial; Marvelon; Mercilon; Novynette; ***Hung.:*** Gracial; Marvelon; Mercilon; Novynette; Regulon; ***India:*** Femilon; Novelon; ***Indon.:*** Marvelon; Mercilon; ***Irl.:*** Marviol; Mercilon; ***Israel:*** Feminet; Mercilon; Microdiol; ***Ital.:*** Dueva; Gracial; Mercilon; Planum; Practil; Securgin; ***Malaysia:*** Marvelon; Mercilon; Novynette; Regulon; ***Mex.:*** Marvelon; Mercilon; Novial; ***Neth.:*** Gracial; Marvelon; Mercilon; Ovidol; ***Norw.:*** Marvelon; ***NZ:*** Marvelon; Mercilon; Trimiron†; ***Philipp.:*** Gracial; Marvelon; Mercilon; ***Pol.:*** Marvelon; Mercilon; Novynette; Regulon; ***Port.:*** Gracial; Laurina; Marvelon; Mercilon; Novynette; Regulon; ***Rus.:*** Marvelon (Марвелон); Mercilon (Мерсилон); Novynette (Новинет); Regulon (Регулон); Tri-Merci (Три-Мерси); ***S.Afr.:*** Marvelon; Mercilon; ***Singapore:*** Marvelon; Mercilon; ***Spain:*** Gracial; Microdiol; Suavuret; ***Swed.:*** Desolett; Mercilon; Trimiron; ***Switz.:*** Gracial; Marvelon; Mercilon; ***Thai.:*** Marvelon; Mercilon; Oilezz; ***Turk.:*** Desolett; Myralon; ***UK:*** Marvelon; Mercilon; ***USA:*** Apri; Cesia; Cyclessa; Desogen; Kariva; Mircette; Ortho-Cept; Reclipsen; Solia; Velivet; ***Venez.:*** Ciclidon; Marvelon; Mercilon; Mipil; Novial.

Dienestrol *(BAN, rINN)*

Dehydrostilbestrol; Diènestrol; Dienestroli; Dienestrolis; Dienestrolum; Dienoestrol; Dienoestrolum; Dienösztrol; Oestrodienolum. *(E,E)*-4,4′-[Di(ethylidene)ethylene]diphenol; 4,4′-(1,2-Diethylidene-1,2-ethanediyl)bisphenol.

Диенэстрол

$C_{18}H_{18}O_2$ = 266.3.
CAS — 84-17-3 (dienestrol); 13029-44-2 ((E,E)-dienestrol).
ATC — G03CB01.
ATC Vet — QG03CB01; QG03CC02.



Pharmacopoeias. In *Eur.* (see p.vii) and *US.*
Ph. Eur. 6.2 (Dienestrol). A white or almost white, crystalline powder. Practically insoluble in water; freely soluble in alcohol and in acetone; dissolves in dilute solutions of alkali hydroxides. Protect from light.
USP 31 (Dienestrol). Colourless, white, or practically white needle-like crystals, or white or practically white crystalline