

As with other 17 $\alpha$ -alkylated compounds fluoxymesterone may cause hepatotoxicity, and is probably best avoided in patients with hepatic impairment, and certainly if this is severe. Hepatic function should be monitored during therapy.

### Uses and Administration

Fluoxymesterone has androgenic properties (see Testosterone, p.2131). It is effective when given orally and is more potent than methyltestosterone.

In the treatment of male hypogonadism (p.2079), fluoxymesterone has been given in a dosage of 5 to 20 mg daily. For the use of fluoxymesterone in boys with delayed puberty, see Administration in Children, below. In the palliation of inoperable neoplasms of the breast in postmenopausal women (p.661) it has been given in daily doses of up to 40 mg. Fluoxymesterone has also been used in the treatment of aplastic anaemia.

**Administration in children.** In the treatment of delayed puberty (p.2079) in boys fluoxymesterone has been given orally in usual daily doses of 2.5 to 10 mg, adjusted according to response (doses up to 20 mg daily have been used). Care is necessary because of the risk of epiphyseal closure and treatment is generally only given for 4 to 6 months.

### Preparations

**USP 31:** Fluoxymesterone Tablets.

**Proprietary Preparations** (details are given in Part 3)

**Hong Kong:** Halotestinj; **Mex.:** Stenox; **Thai:** Halotestinj; **USA:** Androxy.

**Multi-ingredient:** **Arg.:** Feron.

## Follicle-stimulating Hormone ⊗

Follitropin; FSH.

ATC Vet — QG03GA90.

### Follitropin Alfa (BAN, rINN) ⊗

Follitropin Alfa; Follitropina alfa; Follitropine Alfa; Follitropinum Alfa.

Фоллитропин Альфа

$C_{437}H_{682}N_{122}O_{134}S_{13} = 10\,206$  ( $\alpha$ -subunit);

$C_{538}H_{833}N_{145}O_{171}S_{13} = 12\,485$  ( $\beta$ -subunit).

**CAS** — 9002-68-0 (follitropin alfa); 56832-30-5 ( $\alpha$ -subunit); 110909-60-9 ( $\beta$ -subunit); 146479-72-3 (follitropin alfa).

**ATC** — G03GA05.

ATC Vet — QG03GA05.

### Follitropin Beta (BAN, rINN) ⊗

Follitropin Beta; Follitropina beta; Follitropine Bêta; Follitropinum Beta; Org-32489.

Фоллитропин Бета

$C_{437}H_{682}N_{122}O_{134}S_{13} = 10\,206$  ( $\alpha$ -subunit);

$C_{538}H_{833}N_{145}O_{171}S_{13} = 12\,485$  ( $\beta$ -subunit).

**CAS** — 169108-34-3 (follitropin beta); 150490-84-9 (follitropin beta); 56832-30-5 ( $\alpha$ -subunit); 110909-60-9 ( $\beta$ -subunit).

**ATC** — G03GA06.

ATC Vet — QG03GA06.

### Units

80 units of human pituitary follicle-stimulating hormone are contained in about 4.17 micrograms (with 5 mg of mannitol and 1 mg human serum albumin) in one ampoule of the first International Standard (1986).

138 units of recombinant human follicle-stimulating hormone for bioassay are contained in one ampoule of the first International Standard (1995).

### Adverse Effects and Precautions

As for Human Menopausal Gonadotrophins, p.2109.

**Spongiform encephalopathies.** In a few countries, gonadotrophins derived from cadaver pituitary glands have been used in the treatment of infertility, and a small number of patients are reported to have acquired Creutzfeldt-Jakob disease from such preparations.<sup>1</sup> However, most countries have preferred to use gonadotrophins derived from urine,<sup>1</sup> and these in their turn are being replaced with recombinant products;<sup>2</sup> such preparations appear to carry negligible risk of transmitting prion disease.<sup>3-5</sup>

1. Healy DL, Evans J. Creutzfeldt-Jakob disease after pituitary gonadotrophins. *BMJ* 1993; **307**: 517-18.

2. Eshkol A, Page ML. Human gonadotrophin preparations. *BMJ* 1994; **308**: 789.

3. Matorras R, Rodríguez-Escudero FJ. Bye-bye urinary gonadotrophins? The use of urinary gonadotrophins should be discouraged. *Hum Reprod* 2002; **17**: 1675.

4. Balen A. Is there a risk of prion disease after the administration of urinary-derived gonadotrophins? *Hum Reprod* 2002; **17**: 1676-80.

5. Jansen C. Bye-bye urinary gonadotrophins? Reply to debate. *Hum Reprod* 2003; **18**: 895-6.

### Pharmacokinetics

Follitropins alfa and beta are slowly absorbed after subcutaneous or intramuscular injection, with an absolute bioavailability of about 70 to 80%. Peak plasma concentrations of follitropin beta have been stated to occur about 12 hours after subcutaneous or intramuscular injection. Accumulation occurs with repeated doses, reaching a steady state within 3 to 5 days. Follitropins are slowly eliminated from the body, with a terminal half-life ranging from 12 to 70 hours. About one-eighth of a dose of follitropin alfa is reported to be excreted in the urine.

#### References.

- Karlsson MO, *et al.* The population pharmacokinetics of recombinant- and urinary-human follicle stimulating hormone in women. *Br J Clin Pharmacol* 1998; **45**: 13-20.

### Uses and Administration

Follicle-stimulating hormone is secreted by the anterior lobe of the pituitary gland, with another gonadotrophin, luteinising hormone (p.2112).

These gonadotrophins stimulate the normal functioning of the gonads and the secretion of sex hormones in both men and women. In women, follicle-stimulating hormone stimulates the development and maturation of the follicles and ova; in men it has a role in spermatogenesis.

Recombinant human follicle-stimulating hormones (follitropins alfa or beta) are used in the treatment of female infertility due to anovulation, in women who have not responded to clomifene therapy. Follitropins are also used for the stimulation of spermatogenesis in the management of male infertility caused by hypogonadotrophic hypogonadism (see Infertility, p.2080).

The dosage and schedule of treatment for **female infertility** must be determined according to the needs of each patient; it is usual to monitor response by studying the patient's urinary oestrogen excretion or by ultrasonic visualisation of follicles or both. In menstruating patients treatment should be started within the first 7 days of the menstrual cycle.

- Treatment is usually begun with 75 to 150 units daily by subcutaneous or intramuscular injection for 7 or 14 days; if there is no response, dosage is increased at 7- or 14-day intervals until an adequate but not excessive response is achieved.
- Treatment is then stopped and followed after 1 or 2 days by a single dose of chorionic gonadotrophin 5000 to 10 000 units to induce ovulation.

It has been suggested in UK licensed product information for follitropin alfa that a daily dose of 225 units is the usual maximum, and that if a patient fails to respond adequately after 4 weeks of treatment that cycle should be abandoned and the patient should subsequently begin the next cycle at a higher starting dose.

Follitropins are also used as part of IVF or other assisted reproductive technologies.

- For this purpose doses of 150 to 225 units daily are generally given, for at least 4 days, commencing on the second or third day of the menstrual cycle. Thereafter the dose may be adjusted individually based on ovarian response to a usual maximum of about 450 units; adequate follicular development generally occurs within about 5 to 10 days of treatment.
- Pituitary downregulation with a gonadorelin analogue may be used with follitropin therapy, in which case the gonadorelin analogue is generally begun about 2 weeks before follitropin, and the 2 are then continued together until follicular development is adequate.
- A single dose of up to 10 000 units of chorionic gonadotrophin is then given to induce final follicular maturation and oocyte retrieval performed about 35 hours later.

Follitropins are used for the stimulation of spermatogenesis in the management of **male infertility** caused by hypogonadotrophic hypogonadism. Before

starting follitropin therapy, chorionic gonadotrophin is given to raise serum testosterone concentrations to the normal range, which may take 3 to 6 months. A dose of follitropin alfa or beta of 150 units subcutaneously three times weekly is then used, with continued chorionic gonadotrophin; doses of follitropin alfa up to 300 units three times weekly may be required. Treatment is continued for at least 4 months, and more than 18 months of treatment may be needed. A dose of follitropin beta 75 units daily or two or three times weekly, by subcutaneous or intramuscular injection, has been used similarly.

Other substances with follicle-stimulating activity are used similarly: these include human menopausal gonadotrophins (p.2109), which have both luteinising and follicle-stimulating activity, and urofollitropin (p.2136).

### Preparations

**Proprietary Preparations** (details are given in Part 3)

**Arg.:** Gonaf-F; Puregon; **Austral.:** Gonaf-F; Puregon; **Austria:** Gonaf-F; Puregon; **Belg.:** Gonaf-F; Puregon; **Braz.:** Gonaf-F; Puregon; **Canada:** Gonaf-F; Puregon; **Chile:** Gonaf-F; Puregon; **Cz.:** Gonaf-F; Puregon; **Denm.:** Gonaf-F; Puregon; **Fin.:** Gonaf-F; Puregon; **Fr.:** Gonaf-F; Puregon; **Ger.:** Gonaf-F; Puregon; **Gr.:** Gonaf-F; Puregon; **Hong Kong:** Gonaf-F; Puregon; **Hung.:** Gonaf-F; Puregon; **India:** Gonaf-F; Puregon; **Indon.:** Gonaf-F; Puregon; **Irl.:** Gonaf-F; Puregon; **Israel:** Gonaf-F; Puregon; **Ital.:** Gonaf-F; Puregon; **Malaysia:** Gonaf-F; Puregon; **Mex.:** Gonaf-F; Puregon; **Neth.:** Gonaf-F; Puregon; **Norw.:** Gonaf-F; Puregon; **NZ:** Gonaf-F; Puregon; **Philipp.:** Gonaf-F; Puregon; **Pol.:** Gonaf-F; Puregon; **Port.:** Gonaf-F; Puregon; **Rus.:** Gonaf-F (Гонал-Ф); Puregon (Гипергол); **S.Afr.:** Gonaf-F; Puregon; **Singapore:** Gonaf-F; Puregon; **Spain:** Gonaf-F; Puregon; **Swed.:** Gonaf-F; Puregon; **Switz.:** Gonaf-F; Puregon; **Thai:** Gonaf-F; Puregon; **Turk.:** Gonaf-F; Puregon; **UK:** Gonaf-F; Puregon; **USA:** Follistim; Gonaf-F; **Venez.:** Gonaf-F; Puregon.

**Multi-ingredient:** **Cz.:** Pergoveris; **Port.:** Pergoveris; **UK:** Pergoveris.

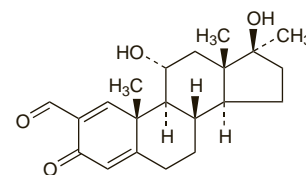
### Formebolone (BAN, rINN) ⊗

Formebolona; Formébolone; Formebololum; Formyldienolone. 11 $\alpha$ ,17 $\beta$ -Dihydroxy-17 $\beta$ -methyl-3-oxoandrosta-1,4-diene-2-carbaldehyde.

ФОРМЕБОЛОН

$C_{21}H_{28}O_4 = 344.4$ .

**CAS** — 2454-11-7.



### Profile

Formebolone has been used for its anabolic properties (see Testosterone, p.2129). It appears to be widely abused by body-builders.

### Fosfestrol (BAN, rINN)

Diethylstilbestrol Diphosphate; Fosfestrol; Fosfestrolum; Phosphoestrolum; Stilboestrol Diphosphate. (E)- $\alpha,\alpha'$ -Diethylstilbene-4,4'-diol bis(dihydrogen phosphate); (E)-4,4'-(1,2-Diethylvinylene)bis(phenyl dihydrogen orthophosphate).

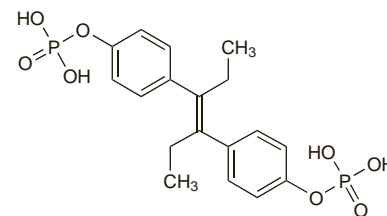
Фосфэстрол

$C_{18}H_{22}O_8P_2 = 428.3$ .

**CAS** — 522-40-7.

**ATC** — L02AA04.

ATC Vet — QL02AA04.



### Pharmacopoeias. In Jpn and US.

**USP 31** (Diethylstilbestrol Diphosphate). An off-white, odourless, crystalline powder. Sparingly soluble in water; soluble in alcohol and in dilute alkali. Store in airtight containers at a temperature not exceeding 21°.

**Fosfestrol Sodium** (BANM, rINNM)

Fosfestrol sódico; Fosfestrol Sodique; Natrii Fosfestrolum.

Натрий Фосфэстрол

 $C_{18}H_{18}NaO_8P_3 = 516.2$ .CAS — 23519-26-8 (fosfestrol tetrasodium  $xH_2O$ ); 4719-75-9 (anhydrous fosfestrol tetrasodium).

ATC — L02AA04.

ATC Vet — QL02AA04.

**Pharmacopoeias.** In Br., which specifies  $xH_2O$ .**BP 2008** (Fosfestrol Sodium). A white or almost white powder. Freely soluble in water; practically insoluble in dehydrated alcohol and in ether. A 5% solution in water has a pH of 7.0 to 9.0. Protect from light.**Adverse Effects and Precautions**

As for Diethylstilbestrol, see p.2094.

After intravenous injection of fosfestrol sodium there may be a temporary burning sensation in the perineal region and pain at the site of bony metastases. Slow infusion is not recommended as cytotoxic concentrations of the drug may not be achieved.

**Uses and Administration**

Fosfestrol is a synthetic nonsteroidal oestrogen that requires dephosphorylation to diethylstilbestrol (p.2094) before it is active. It is used in the treatment of malignant neoplasms of the prostate (p.671).

Fosfestrol and its sodium salt have both been used, and doses of fosfestrol sodium may be expressed in terms of either the base or the salt; anhydrous fosfestrol sodium 300 mg is equivalent to about 250 mg of fosfestrol. Expressed in terms of fosfestrol sodium, initial doses of 600 to 1200 mg daily by slow intravenous injection over about 1 hour may be given for 5 to 10 days, followed by 300 mg daily for 10 to 20 days. Injections should be given preferably with the patient lying down. Maintenance intravenous doses of fosfestrol sodium 300 to 600 mg may be given, reduced gradually over several months from dosing 4 times a week to once weekly. Fosfestrol sodium may also be given orally. If initial doses cannot be given intravenously, doses of 360 to 480 mg three times daily have been given orally. For maintenance therapy, doses of 120 to 240 mg three times daily may be used, and gradually reduced to 240 mg daily.

**Preparations****BP 2008:** Fosfestrol Injection; Fosfestrol Tablets;**USP 31:** Diethylstilbestrol Diphosphate Injection.**Proprietary Preparations** (details are given in Part 3)**Arg.:** Fosfestilbent; **Belg.:** Fosfestilbent; **Braz.:** Fosfestilbent; **Fin.:** Fosfestilbent; **Fr.:** Fosfestilbent; **Ger.:** Fosfestilbent; **Gr.:** Fosfestilbent; **India:** Fosfestilbent; **Malaysia:** Fosfestilbent; **Neth.:** Fosfestilbent; **Port.:** Fosfestilbent; **Spain:** Fosfestilbent; **Switz.:** Fosfestilbent.**Ganirelix Acetate** (BANM, USAN, rINNM)Acetato de ganirelix; Ganirelix, Acétate de; Ganirelixi Acetas; Org-37462; RS-26306. N-Acetyl-3-(2-naphthyl)-D-alanyl-p-chloro-D-phenylalanyl-3-(3-pyridyl)-D-alanyl-L-seryl-L-tyrosyl-N<sup>6</sup>-(N,N'-diethylamino)-D-lysyl-L-leucyl-N<sup>6</sup>-(N,N'-diethylamino)-L-lysyl-L-prolyl-D-alaninamide acetate.

Ганиреликса Ацетат

 $C_{80}H_{113}ClN_{18}O_{13} \cdot 2C_2H_4O_2 = 1690.4$ .

CAS — 124904-93-4 (ganirelix); 129311-55-3 (ganirelix acetate).

ATC — H01CC01.

ATC Vet — QH01CC01.

**Adverse Effects and Precautions**

As for Cetrorelix, p.2084.

**Pharmacokinetics**

Ganirelix is rapidly absorbed after subcutaneous injection, with a bioavailability of about 91%. It is metabolised by enzymatic hydrolysis and about 75% of a dose is excreted as metabolites in the faeces. Unchanged drug is found in the urine. The elimination half-life of ganirelix is about 13 hours.

## ♦ References.

- Oberýé JLL, *et al.* Pharmacokinetic and pharmacodynamic characteristics of ganirelix (Antagon/Orgalutran) part I: absolute bioavailability of 0.25 mg of ganirelix after a single subcutaneous injection in healthy female volunteers. *Fertil Steril* 1999; **72**: 1001–5.

**Uses and Administration**

Like cetrorelix (p.2084), ganirelix is a gonadorelin (gonadotrophin-releasing hormone) antagonist. It is used as a component of ovarian stimulation regimens for assisted reproduction in infertility (p.2080); ganirelix acetate is given by subcutaneous injection to prevent premature luteinising hormone surges. Doses are expressed in terms of the acetate or the equivalent amount of base. Ganirelix acetate 108 mg is equivalent to about 100 mg of ganirelix. In the UK a dose equivalent to ganirelix 250 micrograms is given once daily, starting on day 6 of ovarian stimulation and continued until ovulation induction. In the USA a dose of ganirelix acetate 250 micrograms is used similarly.

## ♦ References.

- Gillies PS, *et al.* Ganirelix. *Drugs* 2000; **59**: 107–11.
- The European Orgalutran Study Group, *et al.* Treatment with the gonadotrophin-releasing hormone antagonist ganirelix in women undergoing ovarian stimulation with recombinant folli-

cle stimulating hormone is effective, safe and convenient: results of a controlled, randomized, multicentre trial. *Hum Reprod* 2000; **15**: 1490–8. Correction. *Ibid.*; 1877.

- The North American Ganirelix Study Group. Efficacy and safety of ganirelix acetate versus leuprolide acetate in women undergoing controlled ovarian hyperstimulation. *Fertil Steril* 2001; **75**: 38–45.
- European and Middle East Orgalutran Study Group. Comparable clinical outcome using the GnRH antagonist ganirelix or a long protocol of the GnRH agonist triptorelin for the prevention of premature LH surges in women undergoing ovarian stimulation. *Hum Reprod* 2001; **16**: 644–51.
- Griesinger G, *et al.* Gonadotropin-releasing hormone antagonists for assisted reproductive techniques: are there clinical differences between agents? *Drugs* 2004; **64**: 563–75.
- Out HJ, *et al.* A randomized, double-blind, multicentre clinical trial comparing starting doses of 150 and 200 IU of recombinant FSH in women treated with the GnRH antagonist ganirelix for assisted reproduction. *Hum Reprod* 2004; **19**: 90–5.
- Wilcox J, *et al.* CAP IV Investigator Group. Prospective, randomized trial comparing cetrorelix acetate and ganirelix acetate in a programmed, flexible protocol for premature luteinizing hormone surge prevention in assisted reproductive technologies. *Fertil Steril* 2005; **84**: 108–17.
- Lambalk CB, *et al.* Treatment with the GnRH antagonist ganirelix prevents premature LH rises and luteinization in stimulated intrauterine insemination: results of a double-blind, placebo-controlled, multicentre trial. *Hum Reprod* 2006; **21**: 632–9.

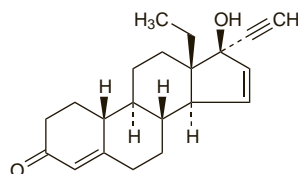
**Preparations****Proprietary Preparations** (details are given in Part 3)**Arg.:** Orgalutran; **Austral.:** Orgalutran; **Belg.:** Orgalutran; **Braz.:** Orgalutran; **Canada.:** Orgalutran; **Chile.:** Orgalutran; **Cz.:** Orgalutran; **Denm.:** Orgalutran; **Fin.:** Orgalutran; **Fr.:** Orgalutran; **Ger.:** Orgalutran; **Gr.:** Orgalutran; **Hong Kong.:** Orgalutran; **Hung.:** Orgalutran; **Irl.:** Orgalutran; **Israel.:** Orgalutran; **Ital.:** Orgalutran; **Malaysia.:** Orgalutran; **Mex.:** Orgalutran; **Norw.:** Orgalutran; **NZ.:** Orgalutran; **Philipp.:** Orgalutran; **Port.:** Orgalutran; **Rus.:** Orgalutran (Оргалутран); **Singapore.:** Orgalutran; **Spain.:** Orgalutran; **Swed.:** Orgalutran; **Switz.:** Orgalutran; **Thai.:** Orgalutran; **Turk.:** Orgalutran; **UK.:** Orgalutran; **USA.:** Antagon†; **Venez.:** Orgalutran.**Gestodene** (BAN, USAN, rINN)

Gestodeeni; Gestoden; Gestodène; Gestodeno; Gestodenum; SH-B-331. 13β-Ethyl-17β-hydroxy-18,19-dinor-17α-pregna-4,15-dien-20-yn-3-one.

ГЕСТОДЕН

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

CAS — 60282-87-3.

**Adverse Effects and Precautions**

As for progestogens in general (see Progesterone, p.2125). See also under Hormonal Contraceptives, p.2059. Gestodene 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 gestodene-containing combined oral contraceptives are associated with a small increased risk of venous thromboembolism (see p.2063, and for precautions, see under Cardiovascular Disease, p.2066).

**Interactions**

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

**Antiepileptics.** Felbamate significantly increased gestodene clearance from a low-dose combined oral contraceptive, and might decrease contraceptive efficacy.<sup>1</sup> See also p.2068.

- Saano V, *et al.* Effects of felbamate on the pharmacokinetics of a low-dose combination oral contraceptive. *Clin Pharmacol Ther* 1995; **58**: 523–31.

**Pharmacokinetics**

Gestodene is well absorbed with a high bioavailability when given orally. It is extensively bound to plasma proteins; 75 to 87% to sex hormone binding globulin, and 13 to 24% to albumin. Gestodene is metabolised in the liver, less than 1% of a dose being excreted in the urine unchanged. After multiple doses with ethinylestradiol, gestodene has an elimination half-life of about 20 hours.

**Uses and Administration**

Gestodene is a progestogen (see Progesterone, p.2125) structurally related to levonorgestrel. It is used as the progestogenic component of combined oral contraceptives (see p.2069); a typical daily dose is 75 micrograms in monophasic preparations, and 50 to 100 micrograms in triphasic preparations. Gestodene is also used orally as the progestogenic component of menopausal HRT (see p.2076) in a regimen of 25 or 50 micrograms daily for 12 days of a 28-day cycle.

## ♦ Reviews.

- Anonymous. Femodene/Minulet—how different is gestodene? *Drug Ther Bull* 1990; **28**: 41–2.
- Wilde MI, Balfour JA. Gestodene: a review of its pharmacology, efficacy and tolerability in combined contraceptive preparations. *Drugs* 1995; **50**: 364–95.

**Preparations****Proprietary Preparations** (details are given in Part 3)**Braz.:** Avaden.**Multi-ingredient. Arg.:** Aleli; Bioform; Cuidafem; Femiane; Ginelea; Ginelea T; Gynovin; Harmonet; Livanne; Mesconcept; Miness; Minulet; Mirelle; Secret 28; Venisse; **Austral.:** Femoden ED; Minulet; Tri-Minulet†; Trioden; **Austria:** Gynovin; Harmonette; Meliane; Miness; Minulet; Mirelle; Mylar; Tri-Minulet; Trioden; Yris; **Belg.:** Femodene; Gestodelle; Gestofem; Harmonet; Meliane; Minulet; Mirelle; Tri-Minulet; Trioden; **Adoles.:** Al-lexa; Allestra; Diminut; Femiane; Fertnon; Gestinol; Giness; Gynera; Harmonet; Micropil; Miness; Minima; Minulet; Mirelle; Previa; Sibila; Tamisa; **Chile:** Avaden; Careza; Clomex; Feminol; Gynera; Harmonet; Microgen; Miness; Minigest; Minulet; Mirelle; Tri-Ciclomex; **Cz.:** Avaden†; Con-vađen†; Femoden; Harmonet; Katya; Lindynette; Logest; Lunafem; Miligest; Milvanet†; Miness; Minulet; Mirelle; Sunya; Tri-Minulet; **Denm.:** Gestonette; Gynera; Harmonet; Lindynette; Meloden; Milvane; Minulet; Tri-Minulet†; **Fin.:** Femoden; Harmonet; Meliane; Minulet; Mirelle; Tri-Femoden; Tri-Minulet†; **Fr.:** Avadene; Harmonet; Meliane; Melodia; Miness; Minulet; Moneva; Phaeva; Successia†; Tri-Minulet; **Ger.:** Femovan; Minulet; **Gr.:** Gynera; Harmonette; Meliane; Minulet; Tri-Minulet; Trigynera; **Hong Kong:** Gynera; Harmonet; Meliane; Minulet; **Hung.:** Femoden; Harmonet; Lindynette; Meliane; Miligest; Miness; Minulet; Tri-Minulet†; **India.:** Indon.; Gynera; **Irl.:** Femodene†; Minulet; Tri-Minulet; Trioden†; **Israel:** Gynera; Harmonet; Meliane; Miness; Minulet; **Ital.:** Arianna; Fedra; Ginoden; Harmonet; Milvane; Miness; Minulet; **Malaysia:** Gynera; Lindynette; Meliane; Minulet; **Mex.:** Avaden; Ginelea; Gynovin; Miness; Minulet; Secret 28; **Neth.:** Avaden†; Femodene; Harmonet†; Meliane; Minulet; Tri-Minulet†; Trioden; **NZ.:** Femodene; Melodene; Minulet; **Philipp.:** Gynera; Meliane; Minulet; **Pol.:** Femoden; Harmonet; Logest; Milvane; Minulet; Mirelle; Tri-Minulet; **Port.:** Avadene; Effipen; Estinette; Gynera; Harmonet; Microgeste; Miness; Minigest; Minulet; Tri-Minulet; **Rus.:** Femoden (Фемоден); Lindynette (Линдинетт); Logest (Логест); **S.Afr.:** Femodene ED; Harmonet; Melodene; Miness; Minulet; Mirelle; Tri-Minulet; Trioden; **Singapore:** Gynera; Meliane; Minulet; **Spain:** Gynovin; Harmonet; Meliane; Melodene 15; Miness; Minulet; Tri-Minulet; Trigynera; **Switz.:** Gynera; Harmonet; Meloden; Milvane; Miness; Minulet; Mirelle; Tri-Minulet; **Thai.:** Gynera; Meliane; Minulet†; **Turk.:** Gynera; Minulet; **UK:** Femodene; Femodette; Katya; Minulet†; Sunya; Tri-Minulet†; Triadene; **Venez.:** Avaden; Femiane; Gynera; Harmonet; Miness; Minulet; Mirelle.**Gestonorone Caproate** (BANM, USAN, rINN)

Caproato de gestonorona; Caproato de gestonol; Gestonorone, caproate de; Gestonoroni caproas; Gestonol Hexanoate; Hexanoato de gestonorona; Hexanoato de gestonol; NSC-84054; SH-582. 17α-Hydroxy-19-norpregn-4-ene-3,20-dione hexanoate.

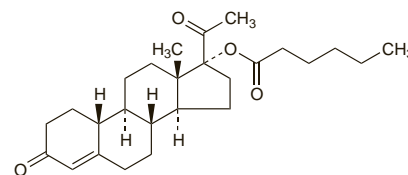
ГЕСТОНОРОНА Капроат

 $C_{26}H_{38}O_4 = 414.6$ .

CAS — 1253-28-7.

ATC — G03DA01; L02AB03.

ATC Vet — QG03DA01; QL02AB03.

**Adverse Effects and Precautions**

As for progestogens in general (see Progesterone, p.2125).

Local reactions have occurred at the site of injection. Rarely, coughing, dyspnoea, and circulatory disturbances may develop during or immediately after injection but can be avoided by injecting gestonorone very slowly. In males, spermatogenesis is temporarily inhibited.

**Interactions**

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

**Uses and Administration**

Gestonorone caproate is a long-acting potent progestogen structurally related to progesterone (p.2126). It has been given in an oily solution by intramuscular injection in doses of 200 to 400 mg every 5 to 7 days for the adjunctive treatment of endometrial carcinoma (p.663). It has also been used in the management of benign prostatic hyperplasia (p.2178) in doses of 200 mg weekly, increased to 300 to 400 mg weekly if necessary.