

Prednisone Acetate (BAN, rINN) ⊗

Acetato de prednisona; Prednisone, Acétate de; Prednisoni Acetas; Prednizonu octan. Prednisone 21-acetate.

Преднизона Ацетат

$C_{23}H_{28}O_6 = 400.5$.

CAS — 125-10-0.

ATC — A07EA03; H02AB07.

ATC Vet — QA07EA03; QH02AB07.

Pharmacopoeias. In *Chin.* and *Pol.*

Profile

Prednisone is a biologically inert corticosteroid that is converted in the liver to the mainly glucocorticoid corticosteroid prednisolone. It has the same chemical relationship to prednisolone as cortisone has to hydrocortisone. The indications and dosage of prednisone for oral use are exactly the same as those for prednisolone (see p.1542, and the chapter introduction, p.1495).

In the UK prednisolone has historically been preferred to prednisone, on the grounds that it does not require conversion to the active substance, but in practice this is rarely significant (see Hepatic Impairment, under Prednisolone, p.1541), and in some countries, such as the USA, prednisone is the drug of choice for many of the conditions in which routine systemic corticosteroid therapy is indicated.

Preparations

USP 31: Prednisone Oral Solution; Prednisone Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Meticorten; Metilpres; Prednirpine; Prenisonal†; **Austral.:** Panafcort; Predsone; Sone; **Belg.:** Prednicort†; **Braz.:** Artinizona; Becortem; Corticorten; Meticorten; Metilen†; Precortil; Predicorten†; Predison; Prednax; Predval; **Canad.:** Winpred; **Chile:** Bersen; Cortiprex; Meticorten; Procion; **Cz.:** Rectodelt; **Fr.:** Cortancyl; **Ger.:** Decortin; Predni Tablinen; Rectodelt; **Hung.:** Rectodelt; **Indon.:** Inflason; **Ital.:** Deltacortene; **Mex.:** Ednapron; Meprosona-F; Meticorten; Norapred; Nosipren†; Ofisolona†; Predicort†; Prednidib; Premagnol†; **Philipp.:** Bioster; Oracort; Orasone; Pred; Prolox; Steerometz; **Pol.:** Encorton; **Port.:** Meticorten; **S.Afr.:** Meticorten; Panafcort; Predelin; Pulmison; **Spain:** Dacortin; **Swed.:** Deltison; **USA:** Delta-sone; Liquid Pred; Meticorten; Panasol-S; Sterapred; **Venez.:** Corticorp†; Meticorten; Vitazon†.

Multi-ingredient: **Arg.:** Peganix; **Austria:** Fluorex Plus; Oleomycetin-Prednison; **Chile:** Alerzona; **Ger.:** Oleomycetin-Prednison†; **Mex.:** Banigesic; Pre Clor; **Spain:** Coliriolodina Prednisona; Fiacin; Kanafosal Predni; Prednisona Neomicina.

Prednylidene (BAN, rINN) ⊗

16-Methyleneprednisolone; Prednilideeni; Prednilideno; Prednylidene; Prednylidène; Prednylidenum. 11β,17α,21-Trihydroxy-16-methylenepregna-1,4-diene-3,20-dione.

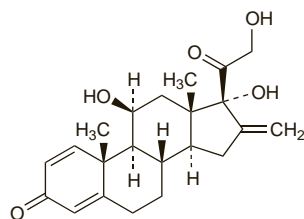
Преднилиден

$C_{22}H_{28}O_5 = 372.5$.

CAS — 599-33-7.

ATC — H02AB11.

ATC Vet — QH02AB11.

**Profile**

Prednylidene is a corticosteroid that has been used for its glucocorticoid activity similarly to prednisolone (see p.1540). It has been given orally as the free alcohol and by injection as the diethylaminoacetate hydrochloride.

Preparations

Proprietary Preparations (details are given in Part 3)

Ger.: Decortilen†.

Procionide (USAN, rINN) ⊗

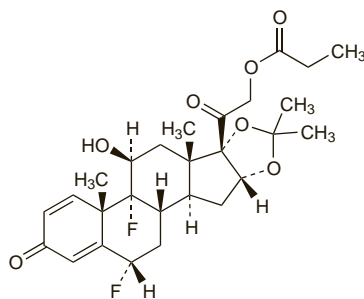
Procionida; Procionidum; RS-2362. (6α,11β,16α)-6,9-Difluoro-11-hydroxy-16,17-[[[1-methylethylidene]bis(oxy)]]-21-(1-oxopropoxy)-pregna-1,4-diene-3,20-dione.

Процинонид

$C_{27}H_{34}F_2O_7 = 508.6$.

CAS — 58497-00-0.

The symbol † denotes a preparation no longer actively marketed

**Profile**

Procionide is a derivative of fluocinolone acetonide (p.1531) that has been applied topically with fluocinonide and ciprocinonide in the management of various skin disorders.

Resocortol Butyrate (USAN, rINN) ⊗

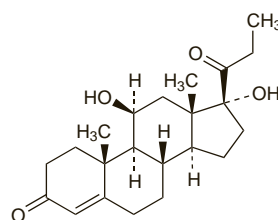
ALO-2184; Butirato de resocortol; Org-7417; Résocortol, Butyrate de; Resocortoli Butiras; Resocortoli Butyras; Resocortol-butyrat; Resocortolibutyraatti. 11β,17α-Dihydroxy-17-propionylandrosta-4-en-3-one 17-butyrate.

Резокортала Бутират

$C_{26}H_{38}O_5 = 430.6$.

CAS — 76675-97-3 (resocortol); 76738-96-0 (resocortol butyrate).

ATC Vet — QD07AC90.



(resocortol)

Profile

Resocortol butyrate is a corticosteroid that is used topically in veterinary medicine.

Rimexolone (BAN, USAN, rINN) ⊗

Org-6216; Rimeksolon; Rimeksoloni; Rimexolon; Rimexolona; Rimexolonum; Trimexolone. 11β-Hydroxy-16α,17α-dimethyl-17β-propionylandrosta-1,4-dien-3-one.

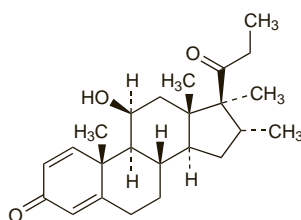
Римексолон

$C_{24}H_{34}O_3 = 370.5$.

CAS — 49697-38-3.

ATC — H02AB12; S01BA13.

ATC Vet — QH02AB12; QS01BA13.



Pharmacopoeias. In *US*.

USP 31 (Rimexolone). A white to off-white powder. Freely soluble in chloroform; sparingly soluble in methyl alcohol.

Profile

Rimexolone is a corticosteroid applied topically to the eye for its glucocorticoid activity (see p.1490) in the treatment of inflammatory eye disorders including uveitis (p.1515) and postoperative inflammation. It is used as a 1% suspension.

Prolonged use of ophthalmic preparations containing corticosteroids has caused raised intra-ocular pressure and reduced visual function.

Preparations

USP 31: Rimexolone Ophthalmic Suspension.

Proprietary Preparations (details are given in Part 3)

Austria: Vexol; **Belg.:** Vexolon; **Braz.:** Vexol; **Canad.:** Vexol; **Cz.:** Vexol†; **Denm.:** Vexol; **Fin.:** Vexol; **Fr.:** Vexol; **Ger.:** Rimexel; Vexol; **Gr.:** Vexol; **Hong Kong:** Vexol; **Hung.:** Vexol†; **Irl.:** Vexol; **Ital.:** Vexol; **Mex.:** Vexol; **Neth.:** Vexol; **Norw.:** Vexol; **Port.:** Vexol; **Spain:** Vexol; **Swed.:** Vexol; **Switz.:** Vexol; **Turk.:** Vexol; **UK:** Vexol; **USA:** Vexol.

Suprarenal Cortex ⊗

Corteza suprarenal.

Profile

Suprarenal cortex contains a number of steroid compounds the most active of which are corticosterone, dehydrocorticosterone, hydrocortisone, cortisone, and aldosterone. It has been prepared from the adrenal glands of oxen. Suprarenal cortex was formerly used intramuscularly for the treatment of adrenocortical insufficiency but it has been superseded by hydrocortisone and other corticosteroids (see p.1498).

Suprarenal cortex is an ingredient of a wide range of preparations, often with other organ extracts or vitamins, promoted for indications ranging from asthenia to liver disorders.

Preparations

Proprietary Preparations (details are given in Part 3)

Multi-ingredient: **Austria:** Mobilat; **Belg.:** Mobilat; **Braz.:** Broncopinol†; Mobilat; Suprasten†; **Canad.:** ratio-Heracline; **Chile:** Mobilat; **Cz.:** Mobilat; **Fin.:** Mobilat; **Ger.:** Arthrodeformin P†; Mobilat†; **Hong Kong:** Mobilat†; **Philipp.:** Mobilat; **Pol.:** Mobilat; **Singapore:** Mobilat†; **Spain:** Neurocatavin Dextra†; Pleocortex B6†; Rubrocortin†; **Thai.:** Mobilat.

Tetracosactide (BAN, rINN) ⊗

α¹⁻²⁴-Corticotrophin; β¹⁻²⁴-Corticotrophin; Cosyntropin (USAN); Tetracosactida; Tetracosactide; Tetracosactido; Tetracosactidum; Tetracosactrin; Tetrakosaktid; Tetrakosaktidi; Tetrakosaktrin; Tetrakozaktidas. Corticotrophin-(1-24)-tetracosapeptide; Ser-Tyr-Ser-Met-Glu-His-Phe-Arg-Trp-Gly-Lys-Pro-Val-Gly-Lys-Lys-Arg-Arg-Pro-Val-Lys-Val-Tyr-Pro.

Тетракозактид

$C_{136}H_{210}N_{40}O_{31}S = 2933.4$.

CAS — 16960-16-0 (tetracosactide); 22633-88-1 (tetracosactide hexaacetate); 60189-34-6 (tetracosactide x acetate).

ATC — H01AA02.

ATC Vet — QH01AA02.

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

Ph. Eur. 6.2 (Tetracosactide). A synthetic tetracosapeptide in which the sequence of amino acids is the same as that of the first 24 residues of human corticotropin. It is available as an acetate and contains water. It increases the rate at which corticoid hormones are secreted by the adrenal gland. The potency is not less than 800 units/mg. A white or yellow, amorphous powder. Sparingly soluble in water. Store under nitrogen at a temperature of 2° to 8°. Protect from light.

Adverse Effects, Withdrawal, and Precautions

As for Corticotropin, p.1523. Although hypersensitivity reactions, including anaphylaxis, may occur with the use of tetracosactide, it is reported to be less immunogenic than corticotropin; US licensed product information suggests that patients with a history of hypersensitivity to corticotropin may tolerate tetracosactide. In the UK, however, previous hypersensitivity to corticotropin or to tetracosactide is considered a contra-indication to tetracosactide use. Tetracosactide is also contra-indicated in patients with a history of allergic disorders such as asthma.

Since hypersensitivity reactions may occur up to 1 hour after injection, sufficient time should be allowed for recovery after use at the hospital or surgery. Self-administration is not recommended.

Interactions

As for Corticosteroids, p.1494.

Pharmacokinetics

On intravenous injection tetracosactide exhibits triphasic pharmacokinetics. It is rapidly eliminated from plasma, mostly by distribution to the adrenal glands and kidneys. It is metabolised by serum endopeptidases

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

into inactive oligopeptides, and then by aminopeptidases into free amino acids. Most of a dose is excreted in urine within 24 hours. The terminal half-life of tetracosactide is about 3 hours.

Uses and Administration

Tetracosactide is a synthetic polypeptide with general properties similar to those of corticotropin (p.1524). Tetracosactide is used diagnostically to investigate adrenocortical insufficiency (p.1498).

Although tetracosactide, like corticotropin, has also been used therapeutically for most of the conditions in which systemic corticosteroid therapy is indicated, it is now rarely used for such indications.

Tetracosactide is usually used in the form of the acetate although doses are often expressed in terms of tetracosactide itself.

For diagnostic purposes tetracosactide acetate is used intramuscularly or intravenously as a plain injection in the first instance then, if results are inconclusive, intramuscularly as a long-acting depot injection. The initial test using the plain injection is based on the measurement of plasma-cortisol concentrations immediately before and exactly 30 minutes after an intramuscular or intravenous injection equivalent to 250 micrograms of tetracosactide; adrenocortical function may be regarded as normal if there is a rise in the cortisol concentration of at least 200 nanomoles/litre (70 micrograms/litre). A suggested intravenous dose in children has been 250 micrograms per 1.73 m².

If the results of this test are equivocal the long-acting depot preparation may be used, the adult dose being 1 mg of tetracosactide acetate given intramuscularly. Adrenocortical function is regarded as normal if plasma-cortisol concentrations have steadily increased to 1000 to 1800 nanomoles/litre 5 hours after the injection. A 3-day test, for example with 1 mg of the depot preparation given each morning, is also used to differentiate between primary and secondary adrenocortical insufficiency; this is preceded on the first day and followed on the fourth day by the test using the plain injection. A marked improvement in the second assessment suggests secondary adrenocortical insufficiency.

For therapeutic purposes tetracosactide acetate has been given by intramuscular injection as the long-acting depot preparation. The usual initial adult dose of tetracosactide acetate has been 1 mg daily (or 1 mg every 12 hours in acute cases), reduced after the acute symptoms have been controlled to 0.5 to 1 mg every 2 or 3 days or 1 mg weekly. For children aged 3 to 5 years, a dose of 250 to 500 micrograms intramuscularly has been given daily initially, and then every 2 to 8 days for maintenance. A dose of 0.25 to 1 mg has been used similarly in children aged 5 to 12 years.

Tetracosactide has also been used in children aged 1 month and older to manage infantile spasms in a dose of 500 micrograms by intramuscular injection given on alternate days and adjusted according to response.

Reviews.

- Dorin RI, et al. Diagnosis of adrenal insufficiency. *Ann Intern Med* 2003; **139**: 194–204.

Post-dural puncture headache. There are anecdotal reports of the relief of post-dural puncture headache by corticotropin or, more recently, tetracosactide.¹⁻⁵ Intramuscular injection and intravenous infusion have both been used, but a controlled study⁶ in 18 women found that a single intramuscular dose of tetracosactide 1 mg was no more beneficial than sodium chloride 0.9%. As discussed on p.1851, many patients respond to conservative measures.

- Collier BB. Treatment for post dural puncture headache. *Br J Anaesth* 1994; **72**: 366–7.
- Foster P. ACTH treatment for post-lumbar puncture headache. *Br J Anaesth* 1994; **73**: 429.
- Kshatri AM, Foster PA. Adrenocorticotrophic hormone infusion as a novel treatment for postdural puncture headache. *Reg Anesth* 1997; **22**: 432–4.

- Carter BL, Pasupuleti R. Use of intravenous cosyntropin in the treatment of postdural puncture headache. *Anesthesiology* 2000; **92**: 272–4.
- Cánovas L, et al. Use of intravenous tetracosactin in the treatment of postdural puncture headache: our experience in forty cases. *Anesth Analg* 2002; **94**: 1369.
- Rucklidge MWM, et al. Synacthen Depot for the treatment of postdural puncture headache. *Anaesthesia* 2004; **59**: 138–41.

Preparations

BP 2008: Tetracosactide Injection; Tetracosactide Zinc Injection.

Proprietary Preparations (details are given in Part 3)

Austral.: Synacthen; **Austria:** Synacthen; **Belg.:** Synacthen; **Canad.:** Cortrosyn; Synacthen Depot; **Chile:** Synacthen; **Cz.:** Synacthen; **Denm.:** Synacthen; **Fr.:** Synacthen; **Ger.:** Synacthen; Synacthen Depot; **Gr.:** Cortrosyn; Nuvacthen; Synacthen; **Hong Kong:** Cortrosyn; **Irl.:** Synacthen; **Israel:** Cortrosyn; **Synacthen; Ital.:** Cortrosyn; **Neth.:** Cortrosyn; **NZ:** Synacthen; **Port.:** Synacthen; **Rus.:** Synacthen (Синактен); Synacthen Depot (Синактен Денпо); **S.Afr.:** Synacthen Depot; **Spain:** Nuvacthen; **Sweden:** Synacthen; **Switz.:** Synacthen; Synacthen Depot; **Thail.:** Cortrosyn; **Turk.:** Synacthen Depot; **UK:** Synacthen; Synacthen Depot; **USA:** Cortrosyn; **Venez.:** Synacthen.

Tixocortol Pivalate (BANM, USAN, rINN) ⊗

JO-1016; Pivalato de tixocortol; Tixocortol, Pivalate de; Tixocortoli Pivalas. 11β,17α-Dihydroxy-21-mercaptopyregna-1,4-diene-3,20-dione 21-pivalate.

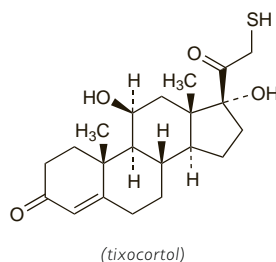
Тиксокортола Пивалат

C₂₆H₃₈O₅S = 462.6.

CAS — 61951-99-3 (tixocortol); 55560-96-8 (tixocortol pivalate).

ATC — A07EA05; R01AD07.

ATC Vet — QA07EA05; QR01AD07.



Profile

Tixocortol pivalate is a corticosteroid with mainly glucocorticoid activity (p.1490). It is used as buccal, nasal, throat, and rectal preparations. It is reported to undergo rapid first-pass metabolism, primarily in the liver, and to have minimal systemic effect.

Preparations

Proprietary Preparations (details are given in Part 3)

Belg.: Rhinvalon; **Fr.:** Pivalone; **Neth.:** Pivalone; Rectovalone; **Singapore:** Pivalone; **Spain:** Tiovalone; **Switz.:** Pivalone.

Multi-ingredient: **Belg.:** Rhinvalon Neomycine; **Fr.:** Oropivalone Bacitracine; Thiovalone; **Singapore:** Pivalone Neomycine; **Switz.:** Oropivalone; Pivalone compositum.

Triamcinolone (BAN, rINN) ⊗

9α-Fluoro-16α-hydroxyprednisolone; Fluoxiprednisolonum; Triamcinolon; Triamcinolona; Triamcinolonas; Triamcinolonum; Triamcynolon; Triamsinoloni. 9α-Fluoro-11β,16α,17α,21-tetrahydroxypregna-1,4-diene-3,20-dione.

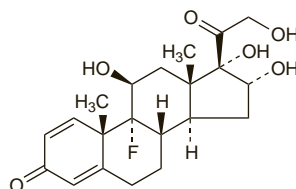
Триамцинолон

C₂₁H₂₇FO₆ = 394.4.

CAS — 124-94-7.

ATC — A01AC01; D07AB09; H02AB08; R01AD11; R03BA06; S01BA05.

ATC Vet — QA01AC01; QD07AB09; QD07XB02; QH02AB08; QR01AD11; QR03BA06; QS01BA05.



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

Ph. Eur. 6.2 (Triamcinolone). A white or almost white, crystalline powder. It shows polymorphism. Practically insoluble in water and in dichloromethane; slightly soluble in methyl alcohol. Protect from light.

USP 31 (Triamcinolone). A white or practically white, odourless, crystalline powder. Very slightly soluble in water, in chloroform, and in ether; slightly soluble in alcohol and in methyl alcohol.

Triamcinolone Acetonide (BANM, rINN) ⊗

Acetonido de triamcinolona; Triamcinolon acetoniid; Triamcinolonacetoniid; Triamcinolone, acetonide de; Triamcinoloni acetoniidum; Triamcinolono acetoniidas; Triamcynolonu acetoniid; Triamsinoloni Acetonid; Triamsinoloniacetoniid. 9α-Fluoro-11β,21-dihydroxy-16α,17α-isopropylidenedioxyregna-1,4-diene-3,20-dione.

Триамцинолона Ацетонида

C₂₄H₃₁FO₆ = 434.5.

CAS — 76-25-5.

ATC — A01AC01; D07AB09; H02AB08; R01AD11; R03BA06; S01BA05.

ATC Vet — QA01AC01; QD07AB09; QH02AB08; QR01AD11; QR03BA06; QS01BA05.

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

Chin. also includes Triamcinolone Acetonide Acetate.

Ph. Eur. 6.2 (Triamcinolone Acetonide). A white or almost white, crystalline powder. It shows polymorphism. Practically insoluble in water; sparingly soluble in alcohol. Protect from light.

USP 31 (Triamcinolone Acetonide). A white to cream-coloured crystalline powder, having not more than a slight odour. Practically insoluble in water; sparingly soluble in dehydrated alcohol, in chloroform, and in methyl alcohol. Store at a temperature of 25°, excursions permitted between 15° and 30°.

Triamcinolone Acetonide Sodium Phosphate (BANM, USAN, rINN) ⊗

(BANM, USAN, rINN) ⊗

CL-61965; CL-106359; Fosfato de sodio del acetónido de triamcinolona; Triamcinolone Acetonide, Phosphate Sodique de; Triamcinoloni Acetonidi Natrici Phosphas. Triamcinolone acetonide 21-disodium phosphate.

Триамцинолона Ацетонида Натрия Фосфат

C₂₄H₃₀FN₂O₉P = 558.4.

CAS — 1997-15-5.

ATC — A01AC01; D07AB09; H02AB08; R01AD11; R03BA06; S01BA05.

ATC Vet — QA01AC01; QD07AB09; QH02AB08; QR01AD11; QR03BA06; QS01BA05.

Triamcinolone Diacetate (BANM, rINN) ⊗

Diacetato de triamcinolona; Triamcinolone, Diacetate de; Triamcinoloni Diacetatas. Triamcinolone 16α,21-diacetate.

Триамцинолона Диацетат

C₂₅H₃₁FO₈ = 478.5.

CAS — 67-78-7.

ATC — A01AC01; D07AB09; H02AB08; R01AD11; R03BA06; S01BA05.

ATC Vet — QA01AC01; QD07AB09; QH02AB08; QR01AD11; QR03BA06; QS01BA05.

Pharmacopoeias. In *US*.

USP 31 (Triamcinolone Diacetate). A fine, white to off-white, crystalline powder, having not more than a slight odour. Practically insoluble in water; soluble 1 in 13 of alcohol, 1 in 80 of chloroform, and 1 in 40 of methyl alcohol; slightly soluble in ether.

Triamcinolone Hexacetonide (BAN, USAN, rINN) ⊗

CL-34433; Hexacetónido de triamcinolona; TATBA; Triamcinolone Acetonide 21-(3,3-Dimethylbutyrate); Triamcinolone, hexacetonide de; Triamcinolonhexacetonid; Triamcinolonhexacetonid; Triamcinoloni hexacetonidum; Triamcinolon hexacetonidas; Triamsinoloniheksasetoniid. 9α-Fluoro-11β,21-dihydroxy-16α,17α-isopropylidenedioxyregna-1,4-diene-3,20-dione 21-(3,3-dimethylbutyrate).

Триамцинолона Гексасетонида

C₃₀H₄₁FO₇ = 532.6.

CAS — 5611-51-8.

ATC — A01AC01; D07AB09; H02AB08; R01AD11; R03BA06; S01BA05.

ATC Vet — QA01AC01; QD07AB09; QH02AB08; QR01AD11; QR03BA06; QS01BA05.