Withdrawal
Corticotropin use may depress the hypothalamic-pituitary-adrenal axis. Abrupt withdrawal of corticotropin may therefore produce adrenocortical and pituitary unresponsiveness, and therapy should be stopped gradually. An increase in corticosteroid requirements associated with the stress of infection, or accidental or surgical trauma, may also precipitate acute adrenocortical insufficiency. See also Withdrawal under Corticosteroids, p.1493.

Precautions
As for Corticosteroids, p.1493.

Phaeochromocytoma. A hypertensive crisis in a patient given intravenous tetracosactide led to the discovery of an adrenaline-secreting phaeochromocytoma in a patient.[1] It was suggested that caution should be observed when using corticotropin in patients with orthostatic hypotension in whom the diagnosis of phaeochromocytoma has not been excluded.

1. Jan T, *et al*. Epinephrine-producing pheochromocytoma with hypertensive crisis after corticotropin injection. *Am J Med* 1990; **89:** 824–5.

Interactions
Interactions seen with corticotropin are liable to be similar to those with corticosteroids (p.1494).

Uses and Administration
Corticotropin is a naturally occurring hormone of the anterior lobe of the pituitary gland. It stimulates the adrenal glands to secrete adrenocortical hormones, especially cortisol (hydrocortisone), some mineralocorticoids such as corticosterone, and, to a lesser extent, androgens. It has little effect on aldosterone secretion, which proceeds independently.

Secretion of corticotropin by the functioning pituitary gland is controlled by the release of corticorelin from the hypothalamus and is also regulated by a negative feedback mechanism involving concentrations of circulating glucocorticoids. Conditions of stress may also stimulate secretion.

Corticotropin may be used diagnostically to investigate adrenocortical insufficiency. It has also been used therapeutically in most of the conditions (with the exception of the adrenal deficiency states and adrenocortical overactivity) for which systemic corticosteroid therapy is indicated (p.1495). Such use is now fairly limited. However, corticotropin may be used in certain neurological disorders such as infantile spasms and multiple sclerosis. The synthetic polypeptide tetracosactide (p.1543), which has the same amino-acid sequence as the first 24 residues of human corticotropin, may be used as an alternative. Tosactide is another polypeptide analogue of corticotropin; it has the same sequence as the first 28 residues.

Corticotropin has been available for injection in two forms. One form is a plain injection that may be given by the subcutaneous, intramuscular, or intravenous routes. The other form is a long-acting depot preparation in which the viscosity is increased by the addition of gelatin, and which is given subcutaneously or intramuscularly; it must not be given intravenously. Individual responses to therapeutic corticotropin vary considerably and doses must be adjusted accordingly.

For *diagnostic purposes* the corticotropin test is based on the measurement of plasma-cortisol concentrations before and after injection. The plain preparation is used in doses of 10 to 25 units in 500 mL of glucose 5% infused intravenously over 8 hours.

For *therapeutic purposes* typical initial doses for the depot preparation have been about 20 to 80 units every 24 to 72 hours by the subcutaneous or the intramuscular route. As soon as possible the dosage should be reduced gradually to the minimum necessary to control symptoms.

A depot preparation of corticotropin combined with zinc hydroxide for intramuscular injection has been used in the past.

Epilepsy. The use of corticotropin in the management of infantile spasms is referred to under Epilepsy in Corticosteroids, p.1503.

Multiple sclerosis. Short-term courses of corticotropin have been used to speed recovery from acute exacerbations of multiple sclerosis (p.892) but corticosteroids, usually methylprednisolone, are now preferred.

Post-dural puncture headache. There are anecdotal reports of the relief of post-dural puncture headache by corticotropin or tetracosactide, but a controlled study of tetracosactide use found no benefit (see p.1544).

Preparations
USP 31: Corticotropin for Injection; Corticotropin Injection; Corticotropin Zinc Hydroxide Injectable Suspension; Repository Corticotropin Injection.

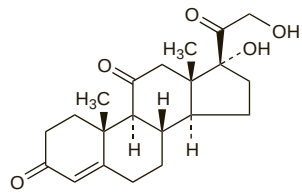
Proprietary Preparations (details are given in Part 3)
Arg.: Acthelea; ***Irl.:*** Acthar†; ***USA:*** Acthar.

Cortisone Acetate *(BANM, rINNM)* ⊗

Acetato de cortisona; Compound E Acetate; Cortisone, acétate de; Cortisoni acetas; 11-Dehydro-17-hydroxycorticosterone Acetate; Kortisonacetat; Kortison-acetát; Kortisoniasetaatti; Kortizon-acetát; Kortizono acetatas; Kortyzonu octan. 17α,21-Dihydroxypregn-4-ene-3,11,20-trione 21-acetate.

Кортизона Ацетат

$C_{23}H_{30}O_6$ = 402.5.
CAS — 53-06-5 (cortisone); 50-04-4 (cortisone acetate).
ATC — H02AB10; S01BA03.
ATC Vet — QH02AB10; QS01BA03.



(cortisone)

Pharmacopoeias. In *Chin.*, *Eur.* (see p.vii), *Jpn*, *US*, and *Viet.*
Ph. Eur. 6.2 (Cortisone Acetate). A white or almost white, crystalline powder. It shows polymorphism. Practically insoluble in water; slightly soluble in alcohol and in methyl alcohol; sparingly soluble in acetone; freely soluble in dichloromethane; soluble in dioxan. Protect from light.
USP 31 (Cortisone Acetate). A white or practically white, odourless, crystalline powder. Insoluble in water; soluble 1 in 350 of alcohol, 1 in 75 of acetone, 1 in 4 of chloroform, and 1 in 30 of dioxan. Store at a temperature of 25°, excursions permitted between 15° and 30°.

Adverse Effects, Treatment, Withdrawal, and Precautions
As for corticosteroids in general (see p.1490).

Interactions
The interactions of corticosteroids in general are described on p.1494.

Pharmacokinetics
For a brief outline of the pharmacokinetics of corticosteroids, see p.1495.

Cortisone acetate is readily absorbed from the gastrointestinal tract and the cortisone is rapidly converted in the liver to its active metabolite, hydrocortisone (cortisol). The biological half-life of cortisone itself is only about 30 minutes. Absorption of cortisone acetate from intramuscular sites is considerably slower than after oral doses.

Uses and Administration
Cortisone is a corticosteroid secreted by the adrenal cortex. It has glucocorticoid activity (p.1490), as well as appreciable mineralocorticoid activity; 25 mg of cortisone acetate is equivalent in anti-inflammatory activity to about 5 mg of prednisolone.

Cortisone acetate is rapidly effective when given orally, and more slowly by intramuscular injection.

Cortisone acetate has been used mainly for replacement therapy in adrenocortical insufficiency (p.1498), but hydrocortisone (p.1535) is generally preferred since cortisone itself is inactive and must be converted by the liver to hydrocortisone, its active metabolite; hence, in some liver disorders the activity of cortisone may be less reliable. Doses of cortisone acetate for oral replacement therapy are 12.5 to 37.5 mg daily in divided doses, with fludrocortisone if additional mineralocorticoid activity is required.

Cortisone acetate has been used in the treatment of many of the allergic and inflammatory disorders for which corticosteroid therapy is helpful (p.1495) but prednisolone or other synthetic glucocorticoids are generally preferred. Doses of cortisone acetate employed have generally ranged from about 25 to 300 mg daily by mouth or by intramuscular injection.

Preparations
BP 2008: Cortisone Tablets;
USP 31: Cortisone Acetate Injectable Suspension; Cortisone Acetate Tablets.

Proprietary Preparations (details are given in Part 3)
Austral.: Cortate; ***Belg.:*** Adreson†; ***Canad.:*** Cortone†; ***Ital.:*** Cortone; ***Neth.:*** Adreson†; ***S.Afr.:*** Cortogen†; ***UK:*** Cortisyl; ***USA:*** Cortone.

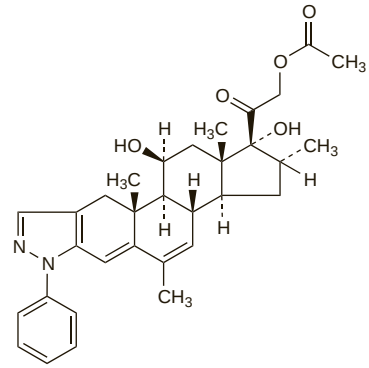
Multi-ingredient: ***Braz.:*** Corciclen; ***Spain:*** Blefarida; Gingilone.

Cortivazol *(USAN, pINN)* ⊗

Cortivazolum; H-3625; MK-650; NSC-80998. 11β,17α,21-Trihydroxy-6,16α-dimethyl-2′-phenyl-2′*H*-pregna-2,4,6-trieno[3,2-*c*]pyrazol-20-one 21-acetate.

Кортивазол

$C_{32}H_{38}N_2O_5$ = 530.7.
CAS — 1110-40-3.
ATC — H02AB17.
ATC Vet — QH02AB17.



Profile
Cortivazol is a corticosteroid with mainly glucocorticoid activity (p.1490); 300 micrograms of cortivazol is equivalent in anti-inflammatory activity to about 5 mg of prednisolone. It is given in the treatment of musculoskeletal and joint disorders by intra-articular, periarticular, or epidural injection in doses of about 1.25 to 3.75 mg, according to the size of the joint, usually at intervals of 1 to 3 weeks. It has also been given by mouth.

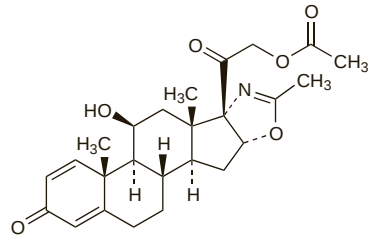
Preparations
Proprietary Preparations (details are given in Part 3)
Fr.: Altim.

Deflazacort *(BAN, USAN, rINN)* ⊗

Azacort; Deflatsakorti; Déflazacort; Deflazacortum; Deflazakort; DL-458-IT; L-5458; MDL-458; Oxazacort. 11β,21-Dihydroxy-2′-methyl-5′β*H*-pregna-1,4-dieno[17,16-*d*]oxazole-3,20-dione 21-acetate.

Дефлазакорт

$C_{25}H_{31}NO_6$ = 441.5.
CAS — 14484-47-0.
ATC — H02AB13.
ATC Vet — QH02AB13.



Profile
Deflazacort is a corticosteroid with mainly glucocorticoid activity (p.1490); 6 mg of deflazacort is reportedly equivalent in anti-inflammatory activity to about 5 mg of prednisolone (but see Action, below).

Deflazacort is used for its anti-inflammatory and immunosuppressant properties in conditions responsive to corticosteroid therapy (p.1495). It is given in initial oral doses of up to 120 mg

daily; usual maintenance doses are 3 to 18 mg daily. Doses of 0.25 to 1.5 mg/kg daily have been used in children.

◊ References.

1. Markham A, Bryson HM. Deflazacort: a review of its pharmacological properties and therapeutic efficacy. *Drugs* 1995; **50:** 317–33.
2. Mignogna MD, *et al.* Oral pemphigus: long term behaviour and clinical response to treatment with deflazacort in sixteen cases. *J Oral Pathol Med* 2000; **29:** 145–52.
3. Campbell C, Jacob P. Deflazacort for the treatment of Duchenne dystrophy: a systematic review. *BMC Neurol* 2003; **3:** 7. Available at: http://www.biomedcentral.com/1471-2377/3/7 (accessed 20/06/06)
4. Biggar WD, *et al.* Long-term benefits of deflazacort treatment for boys with Duchenne muscular dystrophy in their second decade. *Neuromuscul Disord* 2006; **16:** 249–55.

Action. Although it has been suggested that deflazacort produces fewer adverse effects than some conventional corticosteroids such as prednisolone, a study in healthy subjects found that the ratio of efficacy for deflazacort compared with prednisolone was higher than the 1.2 : 1 previously assumed,[1] implying that lower effective doses of deflazacort had been used in such comparisons. A review[2] of clinical studies of patients treated with deflazacort concluded that it was slightly less potent than prednisolone, and that many of the data on adverse effects were inconsistent. All systemic corticosteroids may produce clinically significant adverse reactions (see also p.1490) which are primarily dependent on dose and duration of use.

1. Babadjanova G, *et al.* Comparison of the pharmacodynamic effects of deflazacort and prednisolone in healthy subjects. *Eur J Clin Pharmacol* 1996; **51:** 53–7.
2. Anonymous. Deflazacort – an alternative to prednisolone? *Drug Ther Bull* 1999; **37:** 57–8.

Renal calculi. Deflazacort has been given with nifedipine to ease the spontaneous passage of renal calculi and stone fragments (see Renal Calculi under Nifedipine, p.1356).

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Azacortid; Defas; Flamirex; ***Braz.:*** Calcort; Cortax; Deflaimmun; Deflanil; Denacen; Flaz-Cort; Flazal; ***Chile:*** Azacortid; Dezartal; ***Ger.:*** Calcort; ***Irl.:*** Calcort; ***Ital.:*** Deflan; Flantadin; ***Mex.:*** Calcort; Setatrep; ***Port.:*** Rosilan; ***Spain:*** Dezacor; Tobolacer; Zamene; ***Switz.:*** Calcort; ***Turk.:*** Flantadin; ***UK:*** Calcort; ***Venez.:*** Calcort.

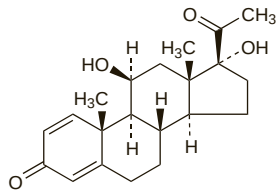
Deprodone *(BAN, rINN)* ⊗

Deprodona; Déprodone; Deprodonum; Desolone; RD-20000 (propionate). 11β,17α-Dihydroxypregna-1,4-diene-3,20-dione.

Депродон

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

CAS — 20423-99-8 (deprodone); 20424-00-4 (deprodone propionate).



Profile

Deprodone is a corticosteroid that has been used topically as the propionate.

Desonide *(BAN, USAN, rINN)* ⊗

D-2083; Desfluorotriamcinolone Acetonide; Desonid; Desonida; Désonide; Desonidi; Desonidum; 16-Hydroxyprednisolone 16,17-Acetonide; Prednacinolone Acetonide. 11β,21-Dihydroxy-16α,17α-isopropylidenedioxypregna-1,4-diene-3,20-dione.

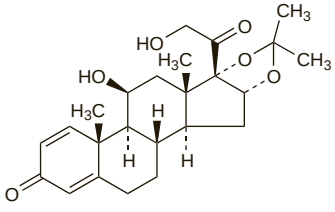
Дезонид

$C_{24}H_{32}O_6$ = 416.5.

CAS — 638-94-8.

ATC — D07AB08; S01BA11.

ATC Vet — QD07AB08; QS01BA11.



Profile

Desonide is a corticosteroid used topically for its glucocorticoid activity (p.1490) in the treatment of various skin disorders. It is usually used as a cream, ointment, or lotion containing 0.05%. The pivalate and the sodium phosphate esters have also been used.

When applied topically, particularly to large areas, when the skin is broken, or under occlusive dressings, corticosteroids may be absorbed in sufficient amounts to cause systemic effects (p.1490). The effects of topical corticosteroids on the skin are described on p.1492. For recommendations concerning the correct use of corticosteroids on the skin, and a rough guide to the clinical potencies of topical corticosteroids, see p.1497.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Desoplus; DesOwen; Esteronide†; Locatop; Prenacid†; ***Austral.:*** DesOwen; ***Belg.:*** Sterax†; ***Braz.:*** Desonol; DesOwen†; Steronide†; ***Canad.:*** Desocort; ***Chile:*** DesOwen; Sterax†; ***Cz.:*** Locatop; ***Fin.:*** Apolar; ***Fr.:*** Locapred; Locatop; Tridesonit; ***Hong Kong:*** DesOwen; ***India:*** DesOwen; ***Indon.:*** Apolar; Dermades; Dermanide; Desolex; Nufapolar; ***Israel:*** Locatop; ***Ital.:*** Prenacid; Reticus; Sterades; ***Mex.:*** DesOwen; ***Norw.:*** Apolar; ***NZ:*** DesOwen†; ***Philipp.:*** DesOwen; ***Pol.:*** Locatop; ***Port.:*** Locapred; Zotinar; ***Rus.:*** Prenacid (Пренацид); ***Singapore:*** DesOwen; ***Swed.:*** Apolar†; ***Switz.:*** Locapred; Locatop; Sterax†; ***Turk.:*** Prenacid; ***USA:*** DesOwen; LoKara; Tridesilon†; Verdeso; ***Venez.:*** Dermospril; DesOwen; Erilon.

Multi-ingredient: ***Fr.:*** Cirkan a la Prednacinolone; ***Indon.:*** Apolar-N; Desolex-N; ***Norw.:*** Apolar med dekvalin; ***Port.:*** Zotinar-N; ***USA:*** Tridesilon†; ***Venez.:*** Dermospril C.

Desoximetasone *(BAN, USAN, rINN)* ⊗

A-41-304; Desoksimetasoni; Desoximetason; Desoximetasona; Désoximétasone; Desoximetasonum; Desoxymethasone; Hoe-304; R-2113. 9α-Fluoro-11β,21-dihydroxy-16α-methylpregna-1,4-diene-3,20-dione.

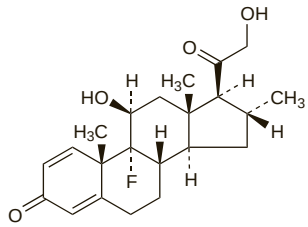
Дезоксиметазон

$C_{22}H_{29}FO_4$ = 376.5.

CAS — 382-67-2.

ATC — D07AC03.

ATC Vet — QD07AC03; QD07XC02.



Pharmacopoeias. In *US*.
USP 31 (Desoximetasone). A white to practically white, odourless, crystalline powder. Insoluble in water; freely soluble in alcohol, in acetone, and in chloroform.

Profile

Desoximetasone is a corticosteroid used topically for its glucocorticoid activity (p.1490) in the treatment of various skin disorders. It is usually used as a cream, gel, lotion, or ointment; concentrations used range from 0.05 to 0.25%.

When applied topically, particularly to large areas, when the skin is broken, or under occlusive dressings, corticosteroids may be absorbed in sufficient amounts to cause systemic effects (p.1490). The effects of topical corticosteroids on the skin are described on p.1492. For recommendations concerning the correct use of corticosteroids on the skin, and a rough guide to the clinical potencies of topical corticosteroids, see p.1497.

Adverse effects. A photosensitivity reaction occurred in a patient treated for psoriasis with topical desoximetasone; rechallenge led to a recurrence.[1] The patient was also receiving propranolol hydrochloride.

1. Stierstorfer MB, Baughman RD. Photosensitivity to desoximetasone emollient cream. *Arch Dermatol* 1988; **124:** 1870–1.

Preparations

USP 31: Desoximetasone Cream; Desoximetasone Gel; Desoximetasone Ointment.

Proprietary Preparations (details are given in Part 3)
Austria: Topisolon; ***Braz.:*** Esperson; ***Canad.:*** Desoxi†; Topicort; ***Denm.:*** Ibaril; ***Fin.:*** Ibaril; ***Ger.:*** Topisolon; ***Indon.:*** Dercason; Desomex; Dexocort; Esperson; Inerson; Lerskin; Pyderma; Soderma; Topcort; ***Irl.:*** Topisolon†; ***Israel:*** Desicort; ***Ital.:*** Flubason; ***Neth.:*** Ibaril; Topicorte; ***Norw.:*** Ibaril; ***Spain:*** Flubason; ***Swed.:*** Ibaril†; ***Switz.:*** Topisolon; ***Thai.:*** Cendexsone; Esperson; Topicorte; ***UK:*** Stiedex LP†; ***USA:*** Topicort.

Multi-ingredient: ***Austria:*** Topisolon mit Salicylsaure; ***Braz.:*** Esperson N; ***Denm.:*** Ibaril med salicylsyre†; ***Ger.:*** Topisolon†; ***Indon.:*** Denomix; ***Norw.:*** Ibaril med salicylsyre†; ***Swed.:*** Ibaril med salicylsyra†; ***Thai.:*** Topifram; ***UK:*** Stiedex†.

Desoxycortone *(BAN, rINN)*

Decortone; Deoxycortone; Desoksikortoni; Desoxicortona; Desoxikorton; Desoxycorticosterone; Désoxycortone; Desoxycortonum. 21-Hydroxypregn-4-ene-3,20-dione.

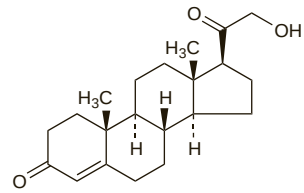
Дезоксикортон

$C_{21}H_{30}O_2$ = 314.5.

CAS — 64-85-7.

ATC — H02AA03.

ATC Vet — QH02AA03.



Desoxycortone Acetate *(BANM, rINNM)*

Acetato de desoxicortona; Cortin; Decortone Acetate; 11-Deoxycorticosterone Acetate; Deoxycortone Acetate; Desoksikortoniasetaatti; Desoxikortonacetat; Desoxycorticosterone Acetate; Désoxycortone, acétate de; Desoxycortoni acetas; Desoxykorton-acetát; Dezoksikortono acetatas; Dezoksykortonu octan; Dezoxikorton-acetát. Desoxycortone 21-acetate.

Дезоксикортона Ацетат

$C_{23}H_{32}O_4$ = 372.5.

CAS — 56-47-3.

ATC — H02AA03.

ATC Vet — QH02AA03.

Pharmacopoeias. In *Eur.* (see p.vii) and *US*.
Ph. Eur. 6.2 (Desoxycortone Acetate). A white or almost white, crystalline powder or colourless crystals. Practically insoluble in water; sparingly soluble in alcohol; soluble in acetone; freely soluble in dichloromethane; slightly soluble in propylene glycol and in fatty oils. Protect from light.
USP 31 (Desoxycorticosterone Acetate). A white or creamy-white, odourless, crystalline powder. Practically insoluble in water; sparingly soluble in alcohol, in acetone, and in dioxan; slightly soluble in vegetable oils. Store at a temperature of 25°, excursions permitted between 15° and 30°. Protect from light.

Desoxycortone Pivalate *(BANM, rINNM)*

Deoxycorticosterone Pivalate; Deoxycorticosterone Trimethylacetate; Deoxycortone Pivalate; Deoxycortone Trimethylacetate; Desoxycorticosterone Pivalate; Desoxycorticosterone Trimethylacetate; Désoxycortone, Pivalate de; Desoxycortoni Pivalas; Pivalato de desoxicortona. Desoxycortone 21-pivalate.

Дезоксикортона Пивалат

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

CAS — 808-48-0.

ATC — H02AA03.

ATC Vet — QH02AA03.

Pharmacopoeias. In *US* for veterinary use only.
USP 31 (Desoxycorticosterone Pivalate). Store at a temperature of 25°, excursions permitted between 15° and 30°. Protect from light.

Profile

Desoxycortone is a corticosteroid secreted by the adrenal cortex and has primarily mineralocorticoid activity (p.1490). It has no significant glucocorticoid action.

Desoxycortone acetate has been used in the treatment of adrenocortical insufficiency (p.1498) as an adjunct to cortisone or hydrocortisone. For this purpose, however, fludrocortisone given orally is now usually preferred.

Desoxycortone acetate is given by intramuscular injection as an oily solution, in doses of up to 10 mg once or twice daily.

Desoxycortone has also been used as its enantate, phenylpropionate, and sodium hemisuccinate esters. Desoxycortone pivalate is used in veterinary medicine.

Preparations

USP 31: Desoxycorticosterone Acetate Injection; Desoxycorticosterone Acetate Pellets.

Proprietary Preparations (details are given in Part 3)
Fr.: Syncortyl; ***Ital.:*** Cortiron; ***Switz.:*** Cortisteron.