Profile

Mometasone furoate is a corticosteroid used topically for its glucocorticoid activity (see p.1490) in the treatment of various **skin disorders**. It is usually used as a cream, ointment, or lotion containing 0.1%.

When applied topically, particularly to large areas, when the skin is broken, or under occlusive dressings, or when given intranasally, corticosteroids may be absorbed in sufficient amounts to cause systemic effects (see 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.

A nasal suspension of mometasone furoate 0.05%, as the monohydrate, is given in the treatment and prophylaxis of the symptoms of **allergic rhinitis** (p.565). The usual adult dose is the equivalent of 100 micrograms of mometasone furoate in each nostril once daily, increased if necessary to 200 micrograms in each nostril daily. Once symptoms are controlled a dose of 50 micrograms in each nostril daily may be effective for maintenance. In the UK, the dose for children aged between 6 and 11 years is the equivalent of 50 micrograms in each nostril once daily. In the USA, similar doses may be given to treat allergic rhinitis in children from 2 years of age.

The nasal suspension is also given for the treatment of **nasal polyps** in patients 18 years and older; the recommended initial dose in the UK is 100 micrograms into each nostril once daily, increased after 5 to 6 weeks to twice daily if needed. In the USA the recommended initial dose is 100 micrograms in each nostril twice daily, although once daily administration may be sufficient in some patients.

Mometasone furoate is used by dry powder inhaler for the prophylaxis of **asthma** (p.1108). Doses may differ between countries and dosage units may be expressed differently, as either the amount of drug released per actuation or the amount delivered from the mouthpiece. UK licensed product information includes an initial dose of 400 micrograms inhaled once daily in the evening for mild to moderate asthma in adults and adolescents aged 12 years and older. This may be adjusted to a maintenance dose of 200 micrograms once or twice daily. In severe asthma, an initial dose of 400 micrograms twice daily is used, then titrated to the lowest effective dose once symptoms are controlled. US doses are provided in terms of the amount of drug released per actuation (an actuation that releases 110 micrograms delivers 100 micrograms from the mouthpiece). An initial dose of 220 micrograms once daily in the evening is used in adults and adolescents, aged 12 years and older, who have been treated with inhaled therapy only (bronchodilators or corticosteroids); this may be increased to a maximum of 440 micrograms daily as a single dose or 2 divided doses. Patients receiving oral corticosteroids may be started on 440 micrograms twice daily. Children aged 4 to 11 years may be given 110 micrograms once daily in the evening, regardless of prior therapy; this is the maximum recommended daily dose.

◊ References.

1. Prakash A, Benfield P. Topical mometasone: a review of its pharmacological properties and therapeutic use in the treatment of dermatological disorders. *Drugs* 1998; **55:** 145–63.
2. Onrust SV, Lamb HM. Mometasone furoate: a review of its intranasal use in allergic rhinitis. *Drugs* 1998; **56:** 725–45.
3. Meltzer EO, *et al.* A dose-ranging study of mometasone furoate aqueous nasal spray in children with seasonal allergic rhinitis. *J Allergy Clin Immunol* 1999; **104:** 107–14.
4. Meltzer EO, *et al.* Added relief in the treatment of acute recurrent sinusitis with adjunctive mometasone furoate nasal spray. *J Allergy Clin Immunol* 2000; **106:** 630–7.
5. Sharpe M, Jarvis B. Inhaled mometasone furoate: a review of its use in adults and adolescents with persistent asthma. *Drugs* 2001; **61:** 1325–50.
6. O'Connor B, *et al.* Dose-ranging study of mometasone furoate dry powder inhaler in the treatment of moderate persistent asthma using fluticasone propionate as an active comparator. *Ann Allergy Asthma Immunol* 2001; **86:** 397–404.
7. Lundblad L, *et al.* Mometasone furoate nasal spray in the treatment of perennial non-allergic rhinitis: a Nordic, multicenter, randomized, double-blind, placebo-controlled study. *Acta Otolaryngol* 2001; **121:** 505–9.
8. Schenkel E. Features of mometasone furoate nasal spray and its utility in the management of allergic rhinitis. *Expert Opin Pharmacother* 2003; **4:** 1579–91.
9. van Drunen C, *et al.* Nasal allergies and beyond: a clinical review of the pharmacology, efficacy, and safety of mometasone furoate. *Allergy* 2005; **60** (suppl 80): 5–19. Correction. *ibid.*; 1335.
10. Stjarne P, *et al.* A randomized controlled trial of mometasone furoate nasal spray for the treatment of nasal polyposis. *Arch Otolaryngol Head Neck Surg* 2006; **132:** 179–85.
11. McCormack PL, Plosker GL. Inhaled mometasone furoate: a review of its use in persistent asthma in adults and adolescents. *Drugs* 2006; **66:** 1151–68.
12. Zitt M, *et al.* Mometasone furoate nasal spray: a review of safety and systemic effects. *Drug Safety* 2007; **30:** 317–26.

Preparations

BP 2008: Mometasone Aqueous Nasal Spray; Mometasone Cream; Mometasone Ointment; Mometasone Scalp Application; ***USP 31:*** Mometasone Furoate Cream; Mometasone Furoate Ointment; Mometasone Furoate Topical Solution.

Proprietary Preparations (details are given in Part 3)

Arg.: Elocon; Fenisona; Metason; Momeplus; Nasonex; Novasone; Uniclar; ***Austral.:*** AllerMax†; Elocon; Nasonex; Novasone; ***Austria:*** Asmanex; Elocon; Elovent; Nasonex; ***Belg.:*** Elocom; Nasonex; ***Braz.:*** Asmanex†; Elocom; Nasonex; Topison; ***Canad.:*** Elocom; Nasonex; ***Chile:*** Dermenet†; Dermosona; Elocom; Flogocort; Lisoder; Momelab; Nasonex; Rinoval†; Uniclar; ***Cz.:*** Asmanex; Elocom; Nasonex; ***Denm.:*** Asmanex; Elocon; Nasonex; ***Fin.:*** Asmanex†; Elocon; Nasonex; ***Fr.:*** Nasonex; ***Ger.:*** Asmanex; Ecural; Nasonex; ***Gr.:*** Asmanex; Bioelementa; Ecelecort; Elocon; Elovent†; Esine; F-Din; Fremomet; Makiren; Metason; Mofur; Molken; Momecort; Movesan; Mozeton; Nasamet; Nasonex; Pharmecort; Yperod; ***Hong Kong:*** Elomet; Nasonex; Topcort; ***Hung.:*** Elocom; Nasonex; ***India:*** Elocon; Metaspray; Momate; Topcort; ***Indon.:*** Dermovel; Elocon; Eloskin; Elox; Intercon; Mefurosan; Mesone; Mofacort; Mofulex; Momet; Motaderm; Moteson; Nasonex; ***Irl.:*** Asmanex; Elocon; Nasonex; ***Israel:*** Elocom; Nasonex; ***Ital.:*** Altosone; Elocon; Nasonex; Rinelon; Uniclar†; ***Malaysia:*** Elomet; Momate; Nasonex; ***Mex.:*** Elica; Elomet; Elovent; Rinelon; Uniclar; ***Neth.:*** Asmanex; Elocon; Elovent; Nasonex; ***Norw.:*** Elocon; Nasonex; ***NZ:*** Asmanex; Bronconex; Elocon; ***Philipp.:*** Elica; Elocon; Momate; Nasonex; Rinelon; ***Pol.:*** Elocom; Elosone; Nasonex; ***Port.:*** Asmanex; Elocom; Elomet; Elovent; Nasomet; Prospiril; ***Rus.:*** Elocom (Элоком); Nasonex (Назонекс); ***S.Afr.:*** Elica†; Elocon; Nasonex; Rinelon†; ***Singapore:*** Elomet; Nasonex; ***Spain:*** Asmanex†; Elica; Elocom; Nasonex; Rinelon; ***Swed.:*** Asmanex; Elocon; Nasonex; ***Switz.:*** Asmanex; Elocom; Nasonex; ***Thai.:*** Elomet; Nasonex; Rinelon†; ***Turk.:*** Elocon; M-Furo; Nasonex; ***UK:*** Asmanex; Elocon; Nasonex; ***USA:*** Asmanex; Elocon; Nasonex; ***Venez.:*** Asmanex; Cortynase; Dergentil; Elocon; Eloconex†; Elomet; Nasonex; Uniclar†.

Multi-ingredient: ***Arg.:*** Elosalic†; ***Austria:*** Elosalic; ***Chile:*** Velosalic; ***Cz.:*** Momesalic; Monsalic†; ***Ger.:*** Elosalic; ***Hong Kong:*** Elosalic; ***India:*** Momate-S; ***Indon.:*** Elosalic; ***Pol.:*** Elosalic; ***Port.:*** Monsalic; ***Rus.:*** Elocom-S (Элоком-С); ***S.Afr.:*** Elosalic; ***Swed.:*** Elosalic; ***Thai.:*** Elosalic†; ***Turk.:*** Elosalic; ***Venez.:*** Elosalic.

Paramethasone Acetate *(BANM, USAN, rINNM)* ⊗

Acetato de parametasona; 6α-Fluoro-16α-methylprednisolone 21-Acetate; Parametazon Asetat; Paraméthasone, Acétate de; Paramethasoni Acetas. 6α-Fluoro-11β,17α,21-trihydroxy-16α-methylpregna-1,4-diene-3,20-dione 21-acetate.

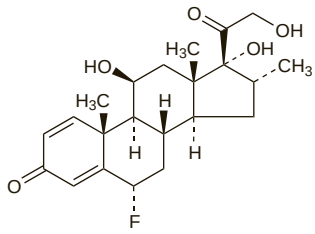
Параметазона Ацетат

$C_{24}H_{31}FO_6$ = 434.5.

CAS — 53-33-8 (paramethasone); 1597-82-6 (paramethasone acetate).

ATC — H02AB05.

ATC Vet — QH02AB05.



(paramethasone)

Pharmacopoeias. In *Fr.* and *US.*

USP 31 (Paramethasone Acetate). A white to creamy-white, fluffy, odourless, crystalline powder. Insoluble in water; soluble 1 in 50 of chloroform and 1 in 40 of methyl alcohol; soluble in ether. Store in airtight containers.

Profile

Paramethasone acetate is a corticosteroid that has been used systemically for its predominantly glucocorticoid activity (p.1490); 2 mg of paramethasone is equivalent in anti-inflammatory activity to about 5 mg of prednisolone. The disodium phosphate has also been used.

Preparations

USP 31: Paramethasone Acetate Tablets.

Proprietary Preparations (details are given in Part 3)

Mex.: Dilar; ***Spain:*** Cortidene; ***Turk.:*** Depo-Dilar.

Multi-ingredient: ***Mex.:*** Dilarmine.

Prednicarbate *(BAN, USAN, rINN)* ⊗

Hoe-777; Prednicarbato; Prednicarbatum; Prednikarbaatti; Prednikarbát; Prednikarbat; Prednikarbatas; S-77-0777. 11β,17,21-Trihydroxypregna-1,4-diene-3,20-dione 17-(ethyl carbonate) 21-propionate.

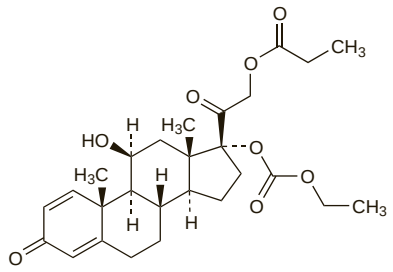
Предникарбат

$C_{27}H_{36}O_8$ = 488.6.

CAS — 73771-04-7.

ATC — D07AC18.

ATC Vet — QD07AC18.



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

Ph. Eur. 6.2 (Prednicarbate). A white or almost white, crystalline powder. It shows polymorphism. Practically insoluble in water; freely soluble in alcohol and in acetone; sparingly soluble in propylene glycol. Protect from light.

USP 31 (Prednicarbate). A white to almost white crystalline powder. Practically insoluble in water; freely soluble in alcohol and in acetone; sparingly soluble in propylene glycol. Protect from light.

Profile

Prednicarbate is a corticosteroid used topically for its glucocorticoid activity (see p.1490) in the treatment of various skin disorders. It has usually been used as a cream, ointment, or lotion, containing 0.1 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 (see 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.

◊ References.

1. Schäfer-Korting M, *et al.* Prednicarbate activity and benefit/risk ratio in relation to other topical glucocorticoids. *Clin Pharmacol Ther* 1993; **54:** 448–56.

Preparations

USP 31: Prednicarbate Cream; Prednicarbate Ointment.

Proprietary Preparations (details are given in Part 3)

Arg.: Primaderm†; ***Austria:*** Prednitop; ***Braz.:*** Dermatop; Invex; ***Canad.:*** Dermatop; ***Chile:*** Dermatop; ***Cz.:*** Dermatop; ***Ger.:*** Dermatop; Prednitop; ***Indon.:*** Dermatop; ***Ital.:*** Dermatop; ***Mex.:*** Alisyd; ***Spain:*** Batmen; Peitel; ***Switz.:*** Prednitop; ***Thai.:*** Dermatop; ***Turk.:*** Dermatop; ***USA:*** Dermatop.

Prednisolone *(BAN, rINN)* ⊗

1,2-Dehydrohydrocortisone; Deltahydrocortisone; Δ¹-Hydrocortisone; Metacortandralone; NSC-9120; Prednisolon; Prednisolona; Prednisoloni; Prednisolonum; Prednizolon; Prednizolonas. 11β,17α,21-Trihydroxypregna-1,4-diene-3,20-dione.

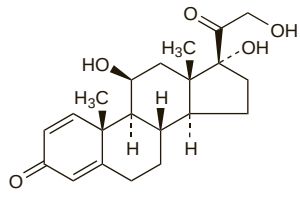
Преднизолон

$C_{21}H_{28}O_5$ = 360.4.

CAS — 50-24-8 (anhydrous prednisolone); 52438-85-4 (prednisolone sesquihydrate).

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QD07XA02; QH02AB06; QR01AD02; QS01BA04; QS01CB02; QS02BA03; QS03BA02.



Pharmacopoeias. In *Chin.*, *Eur.* (see p.vii), *Int.*, *Jpn*, and *Viet.* *US* allows the anhydrous form or the sesquihydrate.

Ph. Eur. 6.2 (Prednisolone). A white or almost white, hygroscopic, crystalline powder. It shows polymorphism. Very slightly soluble in water; soluble in alcohol and in methyl alcohol; sparingly soluble in acetone; slightly soluble in dichloromethane. Store in airtight containers. Protect from light.

USP 31 (Prednisolone). It is anhydrous or contains one and one-half molecules of water of hydration. A white to practically white, odourless, crystalline powder. Very slightly soluble in water; soluble 1 in 30 of alcohol, 1 in 50 of acetone, and 1 in 180 of chloroform; soluble in dioxan and in methyl alcohol.

Prednisolone Acetate *(BANM, rINNM)* ⊗

Acetato de prednisolona; Prednisolonacetat; Prednisolon-acetát; Prednisolone, acétate de; Prednisoloni acetas; Prednisoloniasetaatti; Prednizolon Asetat; Prednizolon-acetát; Prednizolono acetatas; Prednizolonu octan. Prednisolone 21-acetate.

Преднизолона Ацетат

$C_{23}H_{30}O_6$ = 402.5.

CAS — 52-21-1.

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

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

Ph. Eur. 6.2 (Prednisolone Acetate). A white or almost white, crystalline powder. Practically insoluble in water; slightly soluble in alcohol and in dichloromethane. Protect from light.

USP 31 (Prednisolone Acetate). A white to practically white, odourless, crystalline powder. Practically insoluble in water; soluble 1 in 120 of alcohol; slightly soluble in acetone and in chloroform. Store at a temperature of 25°, excursions permitted between 15° and 30°.

Prednisolone Caproate *(rINNM)* ⊗

Caproato de prednisolona; Prednisolone, Caproate de; Prednisolone Hexanoate *(BANM)*; Prednisoloni Caproas. Prednisolone 21-hexanoate.

Преднизолона Капроат

$C_{27}H_{38}O_6$ = 458.6.

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

Prednisolone Hydrogen Succinate *(BANM, rINNM)* ⊗

Hidrogenosuccinato de prednisolona; Prednisolone Hemisuccinate; Prednisolone, Hémisuccinate de; Prednisoloni Hemisuccinas. Prednisolone 21-(hydrogen succinate).

Преднизолона Гемисукцинат

$C_{25}H_{32}O_8$ = 460.5.

CAS — 2920-86-7.

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

Pharmacopoeias. In *Jpn* and *US.*

USP 31 (Prednisolone Hemisuccinate). A fine, creamy-white, practically odourless, powder with friable lumps. Soluble 1 in 4170 of water, 1 in 6.3 of alcohol, 1 in 1064 of chloroform, and 1 in 248 of ether; soluble in acetone. Store in airtight containers.

Prednisolone Metasulfobenzoate Sodium *(rINNM)* ⊗

Metasulfobenzoato sódico de prednisolona; Natrii Prednisoloni Metasulfobenzoas; Prednisolone Métasulfobenzoate Sodique; Prednisolone Metasulphobenzoate Sodium *(BANM)*; Prednisolone Sodium Metasulphobenzoate; R-812. Prednisolone 21-(sodium *m*-sulphobenzoate).

Натрий Метасульфобензоат Преднизолон

$C_{28}H_{31}NaO_9S$ = 566.6.

CAS — 630-67-1.

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

Prednisolone Pivalate *(BANM, rINNM)* ⊗

Pivalato de prednisolona; Prednisolone, pivalate de; Prednisolone Trimethylacetate; Prednisoloni pivalas; Prednisolonipivalaatti; Prednisolonpivalat; Prednisolon-pivalát; Prednizolono pivalatas; Prednizolon-pivalát; Prednizolonu piwalan. Prednisolone 21-pivalate.

Преднизолона Пивалат

$C_{26}H_{36}O_6$ = 444.6.

CAS — 1107-99-9.

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

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

Ph. Eur. 6.2 (Prednisolone Pivalate). A white, or almost white, crystalline powder. Practically insoluble in water; slightly soluble in alcohol; soluble in dichloromethane. Protect from light.

Prednisolone Sodium Phosphate *(BANM, rINNM)* ⊗

Fosfato sódico de prednisolona; Natrii Prednisoloni Phosphas; Prednisolone, phosphate sodique de; Prednisolonfosfát sodná sůl; Prednisoloni natrii phosphas; Prednisoloninatriumfosfaatti; Prednisolonnatriumfosfat; Prednizolon Sodyum Fosfat; Prednizolon-nárium-foszfát; Prednizolono natrio fosfatas. Prednisolone 21-(disodium orthophosphate).

Натрия Преднизолона Фосфат

$C_{21}H_{27}Na_2O_8P$ = 484.4.

CAS — 125-02-0.

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

NOTE. PRED is a code approved by the BP 2008 for use on single unit doses of eye drops containing prednisolone sodium phosphate where the individual container may be too small to bear all the appropriate labelling information.

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

Ph. Eur. 6.2 (Prednisolone Sodium Phosphate). A white or almost white, hygroscopic, crystalline powder. Freely soluble in water; very slightly soluble in alcohol. A 5% solution in water has a pH of 7.5 to 9.0. Protect from light.

USP 31 (Prednisolone Sodium Phosphate). A white or slightly yellow friable granules or powder. Is odourless or has a slight odour. Is slightly hygroscopic. Soluble 1 in 4 of water and 1 in 13 of methyl alcohol; slightly soluble in alcohol and in chloroform; very slightly soluble in acetone and in dioxan. pH of a 1% solution in water is between 7.5 and 10.5. Store in airtight containers.

Prednisolone Sodium Succinate *(BANM, rINNM)* ⊗

Prednisolone Sodium Hemisuccinate; Prednisolone, Succinate Sodique de; Prednisoloni Natrii Succinas; Succinato sódico de prednisolona. 11β,17α,21-Trihydroxypregna-1,4-diene-3,20-dione 21-(sodium succinate).

Преднизолона Натрия Сукцинат

$C_{25}H_{31}NaO_8$ = 482.5.

CAS — 1715-33-9.

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

Pharmacopoeias. *US* includes Prednisolone Sodium Succinate for Injection.

USP 31 (Prednisolone Sodium Succinate for Injection). A creamy white powder with friable lumps, having a slight odour.

Prednisolone Steaglate *(BAN, rINN)* ⊗

Esteaglato de prednisolona; Prednisolone, Stéaglate de; Prednisoloni Steaglas. Prednisolone 21-stearoylglycolate.

Преднизолона Стеаглат

$C_{41}H_{64}O_8$ = 684.9.

CAS — 5060-55-9.

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

Prednisolone Tebutate *(BANM, rINNM)* ⊗

Prednisolone Butylacetate; Prednisolone 21-*tert*-Butylacetate; Prednisolone, Tébutate de; Prednisolone Tertiary-butylacetate; Prednisoloni Tebutas; Tebutato de prednisolona. Prednisolone 21-(3,3-dimethylbutyrate).

Преднизолона Тебутат

$C_{27}H_{38}O_6,H_2O$ = 476.6.

CAS — 7681-14-3 (anhydrous prednisolone tebutate).

ATC — A07EA01; C05AA04; D07AA03; H02AB06; R01AD02; S01BA04; S02BA03; S03BA02.

ATC Vet — QA07EA01; QC05AA04; QD07AA03; QH02AB06; QR01AD02; QS01BA04; QS02BA03; QS03BA02.

Pharmacopoeias. In *US.*

USP 31 (Prednisolone Tebutate). A white to slightly yellow, hygroscopic, free-flowing powder, which may show some soft lumps. Is odourless or has not more than a moderate characteristic odour. Very slightly soluble in water; sparingly soluble in alcohol and in methyl alcohol; soluble in acetone; freely soluble in chloroform and in dioxan. Store in airtight containers sealed under nitrogen at a temperature not exceeding 8°.

Adverse Effects, Treatment, Withdrawal, and Precautions

As for corticosteroids in general (see p.1490).

Owing to its less pronounced mineralocorticoid activity prednisolone is less likely than cortisone or hydrocortisone to cause sodium retention, electrolyte imbalance, and oedema. Prolonged use of ophthalmic preparations containing corticosteroids has caused raised intra-ocular pressure and reduced visual function.

Breast feeding. Concentrations of prednisone and prednisolone in breast milk from one woman 120 minutes after prednisone 10 mg by mouth were found to be 26.7 nanograms and 1.6 nanograms/mL respectively.[1] In 7 similar women given a single 5-mg oral dose of tritium-labelled prednisolone, a mean of 0.14% of the radioactivity from the dose was recovered per litre of milk in the following 48 to 61 hours.[2] In a study of 3 women, only about 0.025% of a single intravenous dose of prednisolone phosphate 50 mg was recovered in breast milk over 6 hours.[3] During maintenance therapy with prednisolone in daily doses of 10 to 80 mg in 6 women, the milk to serum concentration ratio of prednisolone ranged from 0.2, for doses of 30 mg or more, to 0.1 for lower doses.[4] The authors estimated that the breast-fed infant would receive less than 0.1% of the maternal dose of prednisolone, and that this would be a negligible addition to the infant's endogenous cortisol production. They also concluded that exposure could be minimised by breast feeding at least 4 hours after the dose.

A review[5] by the UK CSM remarked that prednisolone was distributed into breast milk in small amounts and recommended that infants of mothers receiving 40 mg or more daily should be monitored for signs of adrenal suppression. The American Academy of Pediatrics considers[6] that the use of prednisone or prednisolone is usually compatible with breast feeding.

1. Katz FH, Duncan BE. Entry of prednisone into human milk. *N Engl J Med* 1975; **293:** 1154.
2. McKenzie SA, *et al.* Secretion of prednisolone into breast milk. *Arch Dis Child* 1975; **50:** 894–6.
3. Greenberger PA, *et al.* Pharmacokinetics of prednisolone transfer to breast milk. *Clin Pharmacol Ther* 1993; **53:** 324–8.
4. Öst L, *et al.* Prednisolone excretion in human milk. *J Pediatr* 1985; **106:** 1008–11.
5. CSM/MCA. Systemic corticosteroids in pregnancy and lactation. *Current Problems* 1998; **24:** 9. Also available at: http://www.mhra.gov.uk/home/idcplg?IdcService=GET_FILE&dDocName=CON2023392&RevisionSelectionMethod=LatestReleased (accessed 20/06/06)
6. American Academy of Pediatrics. The transfer of drugs and other chemicals into human milk. *Pediatrics* 2001; **108:** 776–89. Correction. *ibid.*; 1029. Also available at: http://aappolicy.aappublications.org/cgi/content/full/pediatrics%3b108/3/776 (accessed 27/04/04)

Hepatic impairment. Conversion of prednisone to prednisolone has been reported to be impaired in chronic active liver disease.[1,2] However, although plasma-prednisolone concentrations were found to be more predictable after prednisolone than prednisone in a group of healthy subjects,[3] no difference was noted in patients with chronic active hepatitis, in whom impaired elimination of prednisolone compensated for any impaired conversion of prednisone. A review of the pharmacokinetics of prednisone and prednisolone[4] concluded that fear of inadequate conversion of prednisone into prednisolone was not justified.

1. Powell LW, Axelsen E. Corticosteroids in liver disease: studies on the biological conversion of prednisone to prednisolone and plasma protein binding. *Gut* 1972; **13:** 690–6.
2. Madsbad S, *et al.* Impaired conversion of prednisone to prednisolone in patients with liver cirrhosis. *Gut* 1980; **21:** 52–6.
3. Davis M, *et al.* Prednisone or prednisolone for the treatment of chronic active hepatitis? A comparison of plasma availability. *Br J Clin Pharmacol* 1978; **5:** 501–5.
4. Frey BM, Frey FJ. Clinical pharmacokinetics of prednisone and prednisolone. *Clin Pharmacokinet* 1990; **19:** 126–46.

Inflammatory bowel disease. Symptoms recurred in a patient with Crohn's disease on changing from conventional to enteric-coated tablets of prednisolone.[1] This was not an isolated occurrence in the authors' unit, and it was advocated that only non-enteric-coated prednisolone tablets should be used in Crohn's disease, and that the enteric-coated form be used with caution in any condition characterised by diarrhoea or a rapid transit time.

1. Beattie RM, Walker-Smith JA. Use of enteric coated prednisolone in Crohn's disease. *Arch Dis Child* 1994; **71:** 282.

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.

Prednisolone and prednisone are both readily absorbed from the gastrointestinal tract, but whereas prednisolone already exists in a metabolically active form, prednisone must be converted in the liver to its active metabolite, prednisolone. In general, this conversion is rapid so this difference is of little consequence when seen in the light of intersubject variation in the pharmacokinetics of prednisolone itself; bioavailability also