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