

described on p.1492. For recommendations concerning the correct use of corticosteroids on the skin, see p.1497.

### Preparations

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

**Cz.:** Depersolon†; **Hung.:** Depersolon†.

**Multi-ingredient:** **Cz.:** Mycosolon†; **Hung.:** Mycosolon; **Pol.:** Mycosolon; **Rus.:** Mycosolon (Микоэолон).

### Medryson (USAN, pINN) ⊗

11β-Hydroxy-6α-methylprogesterone; Medrisona; Médryson; Medrysonum; NSC-63278; U-8471. 11β-Hydroxy-6α-methylpregn-4-ene-3,20-dione.

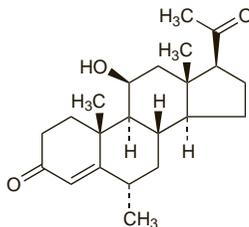
Медризон

$C_{22}H_{32}O_3 = 344.5$ .

CAS — 2668-66-8.

ATC — S01BA08.

ATC Vet — QS01BA08.



### Profile

Medryson is a corticosteroid used for its glucocorticoid activity (see p.1490) in the topical treatment of allergic and inflammatory conditions of the eye. It is usually given as 1% eye drops.

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

### Preparations

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

**Austral.:** HMS†; **Port.:** Medrisocil†; **USA:** HMS†.

### Meprednisone (USAN, rINN) ⊗

Meprednisona; Méprednisone; Meprednisonum; 16β-Methylprednisone; NSC-527579; Sch-4358. 17α,21-Dihydroxy-16β-methylpregna-1,4-diene-3,11,20-trione.

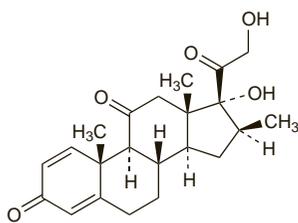
Мепреднизон

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

CAS — 1247-42-3.

ATC — H02AB15.

ATC Vet — QH02AB15.



**Pharmacopoeias.** In *US*.

**USP 31** (Meprednisone). Store in airtight containers at a temperature not exceeding 40°. Protect from light.

### Profile

Meprednisone is a corticosteroid with mainly glucocorticoid activity (p.1490). It has been given orally as either the free alcohol or the acetate and by injection as the sodium hemisuccinate.

### Preparations

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

**Arg.:** Cortipyren B; Deltisona B; Latisona B; Prednisonal; Prenolone; Rupesona B; **Mex.:** Lectan.

### Methylprednisolone (BAN, rINN) ⊗

Meilprednizolon; Methylprednisolon; Méthylprednisolone; 6α-Methylprednisolone; Methylprednisolonum; Metilprednisolona; Metilprednizolon; Metilprednizolonas; Methylprednisolon; Metyliprednisoloni; NSC-19987. 11β,17α,21-Trihydroxy-6α-methylpregna-1,4-diene-3,20-dione.

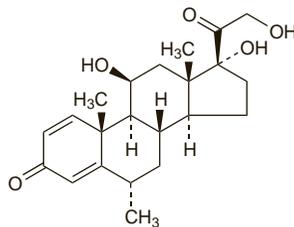
Метилпреднизолон

$C_{22}H_{30}O_5 = 374.5$ .

CAS — 83-43-2.

ATC — D07AA01; H02AB04.

ATC Vet — QD07AA01; QD10AA02; QH02AB04.



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

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

**USP 31** (Methylprednisolone). A white or practically white, odourless, crystalline powder. Practically insoluble in water; soluble 1 in 100 of alcohol, and in 1 in 800 of chloroform and of ether; slightly soluble in acetone; sparingly soluble in dioxan and in methyl alcohol. Store in airtight containers. Protect from light.

### Methylprednisolone Acetate (BANM, rINN) ⊗

Acetato de metilprednisolona; Methylprednisolon-acetát; Méthylprednisolone, acétate de; Methylprednisoloni acetat; Metilprednizolon Asetat; Metilprednizolon-acetát; Metilprednizolono acetatas; Metylprednisolonacetat; Metyliprednisolonia-setaati. Methylprednisolone 21-acetate.

Метилпреднизолон Ацетат

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

CAS — 53-36-1.

ATC — D07AA01; H02AB04.

ATC Vet — QD07AA01; QH02AB04.

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

**Ph. Eur. 6.2** (Methylprednisolone Acetate). A white or almost white, crystalline powder. Practically insoluble in water; sparingly soluble in alcohol and in acetone. Protect from light.

**USP 31** (Methylprednisolone Acetate). A white or practically white, odourless, crystalline powder. Soluble 1 in 1500 of water, 1 in 400 of alcohol, 1 in 250 of chloroform, and 1 in 1500 of ether; sparingly soluble in acetone and in methyl alcohol; soluble in dioxan. Store in airtight containers at a temperature of 25°, excursions permitted between 15° and 30°. Protect from light.

### Methylprednisolone Hydrogen Succinate

(BANM, rINN) ⊗

Hydrogenosuccinato de metilprednisolona; Methylprednisolone Hemisuccinate; Méthylprednisolone, Hémissuccinate de; Méthylprednisolone, Hydrogénosuccinate de; Methylprednisolon-hydrogen-sukcinát; Methylprednisoloni Hemisuccinas; Methylprednisoloni hydrogenosuccinas; Metilprednizolon-hidrogén-sukcinát; Metilprednizolono-vandeniloi sukcinatas; Methylprednisolono-nvátesuccinat; Metyliprednisolonivetyksuinataati. Methylprednisolone 21-(hydrogen succinate).

Метилпреднизолон Гемисукцинат

$C_{26}H_{34}O_8 = 474.5$ .

CAS — 2921-57-5.

ATC — D07AA01; H02AB04.

ATC Vet — QD07AA01; QH02AB04.

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

**Ph. Eur. 6.2** (Methylprednisolone Hydrogen Succinate). A white or almost white, hygroscopic powder. Practically insoluble in water; slightly soluble in dehydrated alcohol and in acetone; dissolves in dilute solutions of alkali hydroxides. Store in airtight containers. Protect from light.

**USP 31** (Methylprednisolone Hemisuccinate). A white or nearly white, odourless or nearly odourless, hygroscopic solid. Very slightly soluble in water; freely soluble in alcohol; soluble in acetone. Store in airtight containers.

### Methylprednisolone Sodium Succinate

(BANM, rINN) ⊗

Methylprednisolone Sodium Hemisuccinate; Méthylprednisolone, Succinate Sodique de; Methylprednisoloni Natrii Succinas; Metilprednizolon Sodyum Süksinat; Succinato sódico de metilprednisolona. Methylprednisolone 21-(sodium succinate).

Метилпреднизолон Натрия Сукцинат

$C_{26}H_{33}NaO_8 = 496.5$ .

CAS — 2375-03-3.

ATC — D07AA01; H02AB04.

ATC Vet — QD07AA01; QH02AB04.

**Pharmacopoeias.** In *US*.

**USP 31** (Methylprednisolone Sodium Succinate). A white or nearly white, odourless, hygroscopic, amorphous solid. Soluble

1 in 1.5 of water and 1 in 12 of alcohol; very slightly soluble in acetone; insoluble in chloroform and in ether. Store in airtight containers. Protect from light.

**Stability.** Methylprednisolone sodium succinate injection (*Solu-Medrol*, USA) was considered to be stable for 7 days when diluted in water for injection and stored in glass vials at 4°. When stored under similar conditions at 22°, it was considered to be stable for 24 hours.<sup>1</sup> The manufacturers state that the prepared solution should be stored at 20 to 25° and used within 48 hours of mixing.

1. Nahata MC, *et al.* Stability of diluted methylprednisolone sodium succinate injection at two temperatures. *Am J Hosp Pharm* 1994; **51**: 2157-9.

### Adverse Effects, Treatment, Withdrawal, and Precautions

As for corticosteroids in general (see p.1490). Rapid intravenous injection of large doses has been associated with cardiovascular collapse.

Methylprednisolone may be slightly less likely than prednisolone to cause sodium and water retention.

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.

◊ References to various adverse effects associated with intravenous methylprednisolone in high-dose pulse therapy<sup>1-11</sup> and to adverse effects after intra-articular<sup>12,13</sup> and intranasal injection.<sup>14</sup> Epidural dosage (or more particularly inadvertent intrathecal dosage during attempted epidural placement) may be associated with serious adverse effects including arachnoiditis and aseptic meningitis, although the degree of risk is uncertain.<sup>15</sup>

- Newmark KJ, *et al.* Acute arthralgia following high-dose intravenous methylprednisolone therapy. *Lancet* 1974; **ii**: 229.
- Bailey RR, Armour P. Acute arthralgia after high-dose intravenous methylprednisolone. *Lancet* 1974; **ii**: 1014.
- Bennett WM, Strong D. Arthralgia after high-dose steroids. *Lancet* 1975; **i**: 332.
- Moses RE, *et al.* Fatal arrhythmia after pulse methylprednisolone therapy. *Ann Intern Med* 1981; **95**: 781-2.
- Oto A, *et al.* Methylprednisolone pulse therapy and peritonitis. *Ann Intern Med* 1983; **99**: 282.
- Suchman AL, *et al.* Seizure after pulse therapy with methylprednisolone. *Arthritis Rheum* 1983; **26**: 117.
- Youb WT, *et al.* Central nervous system manifestations after pulse therapy for systemic lupus erythematosus. *Arthritis Rheum* 1983; **26**: 809-10.
- Williams AJ, *et al.* Disseminated aspergillosis in high dose steroid therapy. *Lancet* 1983; **i**: 1222.
- Barrett DF. Pulse methylprednisolone therapy. *Lancet* 1983; **ii**: 800.
- Baethge BA, Lidsky MD. Intractable hiccups associated with high-dose intravenous methylprednisolone therapy. *Ann Intern Med* 1986; **104**: 58-9.
- Gardiner PVG, Griffiths ID. Sudden death after treatment with pulsed methylprednisolone. *BMJ* 1990; **300**: 125.
- Black DM, Filak AT. Hyperglycemia with non-insulin-dependent diabetes following intra-articular steroid injection. *J Fam Pract* 1989; **28**: 462-3.
- Pollock B, *et al.* Chronic urticaria associated with intra-articular methylprednisolone. *Br J Dermatol* 2001; **144**: 1228-30.
- Johns KJ, Chandra SR. Visual loss following intranasal corticosteroid injection. *JAMA* 1989; **261**: 2413.
- Rodgers PT, Connelly JF. Epidural administration of methylprednisolone for back pain. *Am J Hosp Pharm* 1994; **51**: 2789-90.

### 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.

Methylprednisolone is fairly rapidly distributed after oral doses, with a plasma half-life of 3.5 hours or more. The tissue half-life is reported to range from 18 to 36 hours.

Methylprednisolone acetate is absorbed from joints over a week but is more slowly absorbed following deep intramuscular injection. The sodium succinate ester is rapidly absorbed after intramuscular doses, with peak plasma concentrations obtained in 2 hours. Methylprednisolone crosses the placenta.

◊ References.

- Tornatore KM, *et al.* Repeated assessment of methylprednisolone pharmacokinetics during chronic immunosuppression in renal transplant recipients. *Ann Pharmacother* 1995; **29**: 120-4.
- Rohatagi S, *et al.* Pharmacokinetics of methylprednisolone and prednisolone after single and multiple oral administration. *J Clin Pharmacol* 1997; **37**: 916-25.
- Tornatore KM, *et al.* Pharmacokinetics and pharmacodynamic response of methylprednisolone in premenopausal renal transplant recipients. *J Clin Pharmacol* 2004; **44**: 1003-11.