

Effects on the liver. Fatal fulminant hepatitis occurred¹ in a 56-year-old woman who had received 600 to 1200 mg of oxaprozin daily for about 6 weeks. In another patient symptomatic hepatitis developing during oxaprozin use resolved on stopping the drug.²

1. Purdum PP, et al. Oxaprozin-induced fulminant hepatitis. *Ann Pharmacother* 1994; **28**: 1159-61.
2. Kethu SR, et al. Oxaprozin-induced symptomatic hepatotoxicity. *Ann Pharmacother* 1999; **33**: 942-4.

Interactions

For interactions associated with NSAIDs, see p.99.

Pharmacokinetics

Oxaprozin is slowly but extensively absorbed from the gastrointestinal tract; it is 99% bound to plasma proteins, mainly albumin. Peak plasma concentrations are reached after about 2 to 3 hours. At steady state, the biological half-life is about 44 hours. Oxaprozin is metabolised mainly in the liver by microsomal oxidation and conjugation with glucuronic acid to form inactive metabolites which are excreted in the urine (65%) and faeces (35%).

References.

1. Karim A. Inverse nonlinear pharmacokinetics of total and protein unbound drug (oxaprozin): clinical and pharmacokinetic implications. *J Clin Pharmacol* 1996; **36**: 985-97.
2. Karim A, et al. Oxaprozin and piroxicam, nonsteroidal anti-inflammatory drugs with long half-lives: effect of protein-binding differences on steady-state pharmacokinetics. *J Clin Pharmacol* 1997; **37**: 267-78.
3. Davies NM. Clinical pharmacokinetics of oxaprozin. *Clin Pharmacokinet* 1998; **35**: 425-36.

Uses and Administration

Oxaprozin, a propionic acid derivative, is an NSAID (p.99). It is used in the treatment of osteoarthritis and rheumatoid arthritis in a usual oral dose of 1.2 g given once daily, although in osteoarthritis, patients with low body-weight or mild disease should be given an initial dose of 600 mg once daily. The recommended maximum daily dose is 1.8 g or 26 mg/kg, whichever is the lower.

For doses in patients with renal impairment and in children see below.

References.

1. Miller LG. Oxaprozin: a once-daily nonsteroidal anti-inflammatory drug. *Clin Pharm* 1992; **11**: 591-603.
2. Anonymous. Oxaprozin for arthritis. *Med Lett Drugs Ther* 1993; **35**: 15-16.
3. Dallegri F, et al. A review of the emerging profile of the anti-inflammatory drug oxaprozin. *Expert Opin Pharmacother* 2005; **6**: 777-85.

Administration in children. Oxaprozin is given orally in the treatment of juvenile idiopathic arthritis (p.10) in children aged 6 years and over. Doses are expressed in terms of body-weight and may be given once daily:

- 22 to 31 kg: 600 mg
- 32 to 54 kg: 900 mg
- 55 kg and over: 1200 mg

Administration in renal impairment. US licensed product information for oxaprozin recommends that the initial oral dose in patients with severe renal impairment or on dialysis is 600 mg once daily. The dose may be increased to 1.2 g once daily, if necessary.

Preparations

USP 31: Oxaprozin Tablets.

Proprietary Preparations (details are given in Part 3)

Austria: Zakoprosin; **Belg.:** Duraprox; **Canad.:** Daypro; **Chile:** Duraprox; **Walix; Cz.:** Dayrin; **Ger.:** Danoprox; Dayrun; **Gr.:** Duraprox; Misaf; Nil-said; Oxapron; Trimelot; **Ital.:** Walix; **Jpn.:** Alvo; **S.Afr.:** Deflam; **USA:** Daypro.

Oxycodone (BAN, USAN, rINN) ⊗

Dihydro-; 14-Hydroxydihydrocodeinone; NSC-19043; Oksikodon; Oxikodona; Oxikodon; Oxycodonum. 6-Deoxy-7,8-dihydro-14-hydroxy-3-O-methyl-6-oxomorphine; (-)-(5R,6S,14S)-4,5-Epoxy-14-hydroxy-3-methoxy-9a-methylmorphinan-6-one.

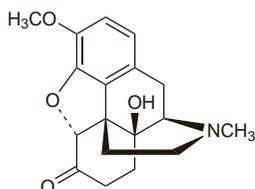
ОКСИКОДОН

$C_{18}H_{21}NO_4 = 315.4$.

CAS — 76-42-6.

ATC — N02AA05.

ATC Vet — QN02AA05.



NOTE. Compounded preparations of oxycodone may be represented by the following names:

- Co-oxycodAPAP (PEN)—oxycodone and paracetamol.

The following terms have been used as 'street names' (see p.vi) or slang names for various forms of oxycodone:

40; 40-bar; 80; Blue; Cotton; Hillbilly heroin; Kicker; OC; Os; Ox; Oxy; Oxy Cotton; Oxycotton; Percs; Perks; Pills; Pink spoons; Rushbo.

Oxycodone Hydrochloride (BANM, USAN, rINN) ⊗

7,8-Dihydro-14-hydroxycodeinone hydrochloride; Dihydrone Hydrochloride; Hidrochloruro de oxikodona; Oksikodonihydroklorid; Oksikodono hidrokloridas; Oxikodonihydroklorid; Oxycodone, chlorhydrate d'; Oxycodoni hydrochloridum; Oxycodone Hydrochloride; Oxycodon-hydrochlorid; Thecodine.

ОКСИКОДОНА ГИДРОХЛОРИД

$C_{18}H_{21}NO_4 \cdot HCl = 351.8$.

CAS — 124-90-3.

ATC — N02AA05.

ATC Vet — QN02AA05.

Pharmacopoeias. In *Eur.* (see p.vii) and *US. Jpn* includes the trihydrate.

Ph. Eur. 6.2 (Oxycodone Hydrochloride). A white or almost white, hygroscopic, powder. Freely soluble in water; sparingly soluble in dehydrated alcohol; practically insoluble in toluene. Store in airtight containers. Protect from light.

USP 31 (Oxycodone Hydrochloride). A white to off-white, odourless, hygroscopic crystals or powder. Soluble in water; slightly soluble in alcohol. Store in airtight containers.

Oxycodone Terephthalate ⊗

Oxikodona, tereftalato de. 4,5α-Epoxy-14-hydroxy-3-methoxy-17-methylmorphinan-6-one 1,4-benzenedicarboxylate (2:1) salt.

ОКСИКОДОНА ТЕРЕФТАЛАТ

$(C_{18}H_{21}NO_4)_2 \cdot C_8H_6O_4 = 796.9$.

CAS — 64336-55-6.

Pharmacopoeias. In *US.*

USP 31 (Oxycodone Terephthalate). Store in airtight containers.

Dependence and Withdrawal

As for Opioid Analgesics in general, p.101.

Oxycodone has been subject to abuse (see under Adverse Effects, Treatment, and Precautions, below).

♦ Takotsubo-like cardiomyopathy developed in a 61-year-old woman when her dose of oxycodone was inadvertently and greatly reduced 7 days after surgery for degenerative osteoarthritis.¹ The patient had a chronic history of opioid dependence and had been treated with oxycodone (80 mg daily) and hydromorphone (4 mg every 3 hours as needed) for several months before surgery; postoperatively, her dose of oxycodone had been increased to 120 mg daily with additional doses for breakthrough pain.

1. Rivera JM, et al. "Broken heart syndrome" after separation (from OxyContin). *Mayo Clin Proc* 2006; **81**: 825-8.

Adverse Effects, Treatment, and Precautions

As for Opioid Analgesics in general, p.102.

UK licensed product information contra-indicates the use of oxycodone in patients with moderate to severe hepatic impairment or severe renal impairment; however, product information in the USA permits its cautious use in patients with severe hepatic or severe renal impairment although doses may need to be reduced.

Abuse. Oxycodone hydrochloride modified-release tablets have been subject to abuse.^{1,3} The crushed tablets have been inhaled or injected by addicts and in some cases this has resulted in fatalities.

1. Wolf BC, et al. One hundred seventy two deaths involving the use of oxycodone in Palm Beach County. *J Forensic Sci* 2005; **50**: 192-5.
2. Cicero TJ, et al. Trends in abuse of OxyContin and other opioid analgesics in the United States: 2002-2004. *J Pain* 2005; **6**: 662-72.
3. Adlaf EM, et al. Use of OxyContin by adolescent students. *Can Med Assoc J* 2006; **174**: 1303.

Effects on the respiratory system. References^{1,2} to respiratory depression occurring in children given oxycodone.

1. Olkkola KT, et al. Pharmacokinetics and ventilatory effects of intravenous oxycodone in postoperative children. *Br J Clin Pharmacol* 1994; **38**: 71-6.
2. Kalso E. Pharmacokinetics and ventilatory effects of intravenous oxycodone in postoperative children. *Br J Clin Pharmacol* 1995; **39**: 214.

Hepatic impairment. The clearance and elimination of oxycodone were prolonged in 6 women with end-stage liver cirrhosis awaiting liver transplantations.¹ Significant ventilatory depression also occurred. Pharmacokinetic values after successful transplantation were similar to those previously reported for

healthy adults. It was recommended that, when giving oxycodone to patients with end-stage liver disease, the dosing frequency should be reduced and the dose lowered.

1. Tallgren M, et al. Pharmacokinetics and ventilatory effects of oxycodone before and after liver transplantation. *Clin Pharmacol Ther* 1997; **61**: 655-61.

Porphyria. Oxycodone is considered to be unsafe in patients with porphyria because it has been shown to be porphyrinogenic in animals.

Interactions

For interactions associated with opioid analgesics, see p.103.

Antidepressants. For reference to possible cases of serotonin syndrome associated with use of oxycodone and SSRIs, see Opioid Analgesics under Interactions of Fluoxetine, p.397.

Pharmacokinetics

Oxycodone is absorbed from the gastrointestinal tract; oral bioavailability is about 60 to 87% due to lower pre-systemic and/or first-pass metabolism compared with other opioids. About 45% is bound to plasma proteins. It is metabolised to noroxycodone, via cytochrome P450 isoenzymes of the CYP3A family, and, to a lesser extent, to oxymorphone (p.107) via CYP2D6. Both metabolites undergo glucuronidation and are excreted with unchanged drug in urine. The elimination half-life of oxycodone is reported to be 2 to 4 hours. Oxycodone crosses the placenta and is distributed into breast milk.

References.

1. Pöyhä R, et al. The pharmacokinetics of oxycodone after intravenous injection in adults. *Br J Clin Pharmacol* 1991; **32**: 516-18.
2. Leow KP, et al. Single-dose and steady-state pharmacokinetics and pharmacodynamics of oxycodone in patients with cancer. *Clin Pharmacol Ther* 1992; **52**: 487-95.
3. Mandema JW, et al. Characterization and validation of a pharmacokinetic model for controlled-release oxycodone. *Br J Clin Pharmacol* 1996; **42**: 747-56.
4. Kaiko RF, et al. Pharmacokinetic-pharmacodynamic relationships of controlled-release oxycodone. *Clin Pharmacol Ther* 1996; **59**: 52-61.
5. Gammaioni AR, Davis MW. Comparison of the pharmacokinetics of oxycodone administered in three Percocet formulations. *J Clin Pharmacol* 2002; **42**: 192-7.
6. Lalovic B, et al. Pharmacokinetics and pharmacodynamics of oral oxycodone in healthy human subjects: role of circulating active metabolites. *Clin Pharmacol Ther* 2006; **79**: 461-79.

Children. The pharmacokinetics of oxycodone in children have been studied¹⁻⁴ and are generally considered similar to those in adults.^{2,4} However, pharmacokinetics may be more variable in infants aged from 0 to 6 months, particularly those aged 2 months and under.⁵

1. Olkkola KT, et al. Pharmacokinetics and ventilatory effects of intravenous oxycodone in postoperative children. *Br J Clin Pharmacol* 1994; **38**: 71-6.
2. Kokki H, et al. Pharmacokinetics of oxycodone after intravenous, buccal, intramuscular and gastric administration in children. *Clin Pharmacokinet* 2004; **43**: 613-22.
3. El-Tahtawy A, et al. Population pharmacokinetics of oxycodone in children 6 months to 7 years old. *J Clin Pharmacol* 2006; **46**: 433-42.
4. Kokki H, et al. Comparison of oxycodone pharmacokinetics after buccal and sublingual administration in children. *Clin Pharmacokinet* 2006; **45**: 745-54.
5. Pokela ML, et al. Marked variation in oxycodone pharmacokinetics in infants. *Paediatr Anaesth* 2005; **15**: 560-565.

Uses and Administration

Oxycodone, a phenanthrene derivative, is an opioid analgesic (p.104). Oxycodone hydrochloride is given orally or by subcutaneous or intravenous injection for the relief of moderate to severe pain.

A usual oral starting dose for opioid-naïve patients in severe pain is 5 mg every 4 to 6 hours increased thereafter as necessary according to response. For patients who have been receiving a strong opioid analgesic the initial dose of oxycodone should be based on the daily opioid requirement; UK licensed product information suggests that 10 mg of oral oxycodone is equivalent to about 20 mg of oral morphine. Most patients do not require more than 400 mg daily. Preparations containing oxycodone hydrochloride and aspirin, ibuprofen, or paracetamol are also used. Oxycodone hydrochloride may also be given orally as a modified-release preparation every 12 hours.

For details of doses in children, see below.

Intravenous doses of oxycodone hydrochloride range from 1 to 10 mg, given over 1 to 2 minutes, and repeat-

ed not more often than every 4 hours; a dose of 2 mg/hour is the recommended starting dose as an intravenous infusion. The intravenous route may also be used for patient-controlled analgesia. When given subcutaneously, the starting dose is 5 mg every 4 hours; subcutaneous infusions should be started at 7.5 mg daily in opioid-naïve patients. When transferring between oral and parenteral oxycodone, UK licensed product information advises that, as a guide, 2 mg of oral oxycodone is equivalent to about 1 mg of parenteral oxycodone.

Oxycodone has been given rectally as suppositories containing 30 mg of oxycodone (as the pectinate) or 10 or 20 mg of oxycodone hydrochloride; the dose may be repeated every 6 to 8 hours.

For doses in patients with hepatic or renal impairment, see below.

Oxycodone terephthalate is also used orally.

Administration in children. Although oxycodone hydrochloride is not licensed in the UK for use in children under 18 years old, the *BNFC* suggests that it may be given for the treatment of moderate to severe pain in palliative care. Those aged from 1 month to 12 years may be given initial oral doses of 200 micrograms/kg (up to 5 mg) every 4 to 6 hours increased thereafter as necessary according to response; older children may be given the usual adult dose (see above). Oxycodone hydrochloride may also be given orally as a modified-release preparation every 12 hours to those aged 8 years and older.

Administration in hepatic or renal impairment. The plasma concentrations of oxycodone may be increased in patients with hepatic or renal impairment and consequently dosage adjustment may be necessary in such patients. In the UK, licensed product information recommends that the oral starting dose for adult patients with mild hepatic impairment or mild to moderate renal impairment should be 2.5 mg given every 6 hours; it contra-indicates the use of oxycodone in those with moderate to severe hepatic impairment or severe renal impairment. US product information permits the cautious use of oxycodone in adult patients with severe hepatic or severe renal impairment.

Pain. References.

1. Sunshine A, et al. Analgesic efficacy of controlled-release oxycodone in postoperative pain. *J Clin Pharmacol* 1996; **36**: 595–603.
2. Curtis GB, et al. Relative potency of controlled-release oxycodone and controlled-release morphine in a postoperative pain model. *Eur J Clin Pharmacol* 1999; **55**: 425–9.
3. Gimbel JS, et al. Controlled-release oxycodone for pain in diabetic neuropathy: a randomized controlled trial. *Neurology* 2003; **60**: 927–34.
4. Gammaitoni AR, et al. Randomized, double-blind, placebo-controlled comparison of the analgesic efficacy of oxycodone 10 mg/acetaminophen 325 mg versus controlled-release oxycodone 20 mg in postsurgical pain. *J Clin Pharmacol* 2003; **43**: 296–304.
5. Oldfield V, Perry CM. Oxycodone/ibuprofen combination tablet: a review of its use in the management of acute pain. *Drugs* 2005; **65**: 2337–54.
6. Kalso E. Oxycodone. *J Pain Symptom Manage* 2005; **29** (suppl): S47–S56.
7. Bercovitch M, Adunsky A. High dose controlled-release oxycodone in hospice care. *J Pain Palliat Care Pharmacother* 2006; **20**: 33–9.
8. Reid CM, et al. Oxycodone for cancer-related pain: meta-analysis of randomized controlled trials. *Arch Intern Med* 2006; **166**: 837–43. Correction. *ibid.*; 2387.
9. Portenoy RK, et al. Long-term use of controlled-release oxycodone for noncancer pain: results of a 3-year registry study. *Clin J Pain* 2007; **23**: 287–99.
10. Pan H, et al. Efficacy and tolerability of oxycodone hydrochloride controlled-release tablets in moderate to severe cancer pain. *Clin Drug Invest* 2007; **27**: 259–67.

Preparations

USP 31: Oxycodone and Acetaminophen Capsules; Oxycodone and Acetaminophen Tablets; Oxycodone and Aspirin Tablets; Oxycodone Hydrochloride Extended-Release Tablets; Oxycodone Hydrochloride Oral Solution; Oxycodone Hydrochloride Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Oxicalmans; Oxinovag; Oxycontin; **Austral.:** Endone; Oxycontin; Oxynorm; Proladone; **Austria:** Oxycontin; Oxynorm; **Belg.:** Oxycontin; **Braz.:** Oxycontin; **Canad.:** Oxy IR; Oxycontin; Supedul; **Chile:** Oxycontin; **Cz.:** Oxycontin; **Denm.:** Oxycontin; Oxynorm; **Fin.:** Oxygesic; Oxycontin; Oxynorm; **Fr.:** Eubinef; Oxycontin; Oxynorm; **Ger.:** Oxycontin; **Hung.:** Oxycontin; **Irl.:** Oxycontin; Oxynorm; **Israel:** Oxyd; Oxycontin; **Ital.:** Oxycontin; **Jpn.:** Oxycontin; **Malaysia:** Oxycontin; **Mex.:** Oxycontin; **Neth.:** Oxycontin; Oxynorm; **Norw.:** Oxycontin; Oxynorm; **NZ:** Oxycontin; Oxynorm; **Philipp.:** Oxycontin; **Port.:** Oxycontin; **Singapore:** Oxycontin; Oxynorm; **Spain:** Oxycontin; Oxynorm; **Swed.:** Oxycontin; Oxynorm; **Switz.:** Oxycontin; Oxynorm; **UK:** Oxycontin; Oxynorm; **USA:**

Endocodone†; ETH-Oxydose; Oxycontin; Oxyfast; OxyLR; Percolone†; Roxicodone; **Venez.:** Oxycontin.

Multi-ingredient. Arg.: Oxinovag Complex; **Canad.:** Endocet; Endodan; Percocet; Percodan; ratio-Oxycocet; ratio-Oxycodan; **Israel:** Percocet; Percodan; **Ital.:** Depalgos; **USA:** Combunox; Endocet; Magnacet; Narvox; Percocet; Percodan; Perloxx; Roxicet; Roxilox; Roxiprin†; Tylox.

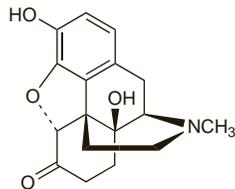
Oxymorphone Hydrochloride (BAN, rINN) ⊗

7,8-Dihydro-14-hydroxymorphinone hydrochloride; Hidrocloruro de oximorfona; Oximorphone Hydrochloride; Oxymorphone, Chlorhydrate d'; Oxymorphone Hydrochloridum. 6-Deoxy-7,8-dihydro-14-hydroxy-6-oxomorphine hydrochloride; (–)-(5R,6S,14S)-4,5-Epoxy-3,14-dihydroxy-9a-methylmorphinan-6-one hydrochloride.

Оксиморфона Гидрохлорид

$C_{17}H_{19}NO_4 \cdot HCl = 337.8$.

CAS — 76-41-5 (oxymorphone); 357-07-3 (oxymorphone hydrochloride).



(oxymorphone)

Pharmacopoeias. In *US*.

USP 31 (Oxymorphone Hydrochloride). A white or slightly off-white odourless powder, darkening on exposure to light. Its aqueous solutions are slightly acidic. Soluble 1 in 4 of water, 1 in 100 of alcohol, and 1 in 25 of methyl alcohol; very slightly soluble in chloroform and in ether. Store in airtight containers at a temperature of 25°, excursions permitted between 15° and 30°. Protect from light.

Dependence and Withdrawal

As for Opioid Analgesics in general, p.101.

Adverse Effects, Treatment, and Precautions

As for Opioid Analgesics in general, p.102.

For details on the use of oxymorphone in patients with hepatic or renal impairment see below.

Interactions

For interactions associated with opioid analgesics, see p.103.

Licensed product information for a modified-release preparation of oxymorphone hydrochloride (*Opana ER*; *Endo, USA*) states that patients must not ingest alcohol, including alcohol-containing medicines, at the same time due to the risk of increased plasma concentrations and a potentially fatal overdose of oxymorphone.

Pharmacokinetics

Oxymorphone hydrochloride is absorbed from the gastrointestinal tract after oral doses, but bioavailability is only about 10% because of first-pass metabolism. Absorption is increased after a high-fat meal. About 10% is bound to plasma proteins. Oxymorphone is extensively metabolised in the liver by glucuronidation and less than 1% of a dose appears in the urine and faeces as unchanged drug. With regard to its major metabolites between 33 and 38% of a dose is excreted in the urine as oxymorphone-3-glucuronide and less than 1% as 6-OH-oxymorphone. Oxymorphone crosses the placenta.

References.

1. Adams MP, Ahdieh H. Pharmacokinetics and dose-proportionality of oxymorphone extended release and its metabolites: results of a randomized crossover study. *Pharmacotherapy* 2004; **24**: 468–76.
2. Adams MP, Ahdieh H. Single- and multiple-dose pharmacokinetic and dose-proportionality study of oxymorphone immediate-release tablets. *Drugs R D* 2005; **6**: 91–9.

Uses and Administration

Oxymorphone hydrochloride, a phenanthrene derivative, is an opioid analgesic (p.101) with actions and uses similar to those of morphine (p.86), apart from a lack of cough suppressant activity. Oxymorphone is given orally, parenterally, or rectally for the relief of moderate to severe pain, including pain in obstetrics, and is reported to provide analgesia for 3 to 6 hours. It may also be used parenterally for premedication, as an adjunct to anaesthesia, and to relieve dyspnoea due to pulmonary oedema resulting from left ventricular failure.

A usual oral starting dose for opioid-naïve patients is 10 to 20 mg of oxymorphone hydrochloride every 4 to 6 hours adjusted thereafter as necessary; some patients may be started on lower doses of 5 mg. For patients who have been receiving a strong opioid analgesic the initial dose of oxymorphone should be

based on the daily opioid requirement; licensed product information suggests that 10 mg of oral oxymorphone is equivalent to about 30 mg of oral morphine and recommends giving half the calculated equivalent dose of oxymorphone initially. Oxymorphone hydrochloride may also be given orally as a modified-release preparation every 12 hours. Oral preparations of oxymorphone should be taken on an empty stomach.

Oxymorphone hydrochloride is given by *intramuscular* or *subcutaneous injection* in initial doses of 1 to 1.5 mg, repeated every 4 to 6 hours as necessary; 500 micrograms may be given by intravenous injection. The usual dose for analgesia during labour is 0.5 to 1 mg intramuscularly. When transferring between oral and parenteral oxymorphone, licensed product information advises that, as a guide, 10 mg of oral oxymorphone is equivalent to about 1 mg of parenteral oxymorphone.

Oxymorphone hydrochloride is also given *rectally* as a suppository in a dose of 5 mg every 4 to 6 hours.

References.

1. Prommer E. Oxymorphone: a review. *Support Care Cancer* 2006; **14**: 109–15.
2. Chamberlin KW, et al. Oral oxymorphone for pain management. *Ann Pharmacother* 2007; **41**: 1144–52.

Administration in hepatic impairment. Advice on the use of oxymorphone in patients with hepatic impairment is conflicting. Licensed product information for one range of preparations (*Opana* and *Opana ER tablets*; *Endo, USA*) recommends caution in patients with mild hepatic impairment; these patients should be started on the lowest oral dose and titrated slowly thereafter. In addition, it is stated that oxymorphone is contra-indicated in those with moderate or severe impairment. However, licensed information for another oxymorphone preparation (*Numorphan injection and suppositories*; *Endo, USA*) only recommends caution in hepatic disease although lower doses (unspecified) are advised in those patients with severe impairment.

Administration in renal impairment. In patients with moderate to severe renal impairment, the bioavailability of oxymorphone was found to increase by over 50%; consequently, it is recommended that oxymorphone is given with caution and in reduced doses (unspecified) to those with a creatinine clearance of less than 50 mL/minute.

Preparations

USP 31: Oxymorphone Hydrochloride Injection; Oxymorphone Hydrochloride Suppositories.

Proprietary Preparations (details are given in Part 3)

Canad.: Numorphan†; **USA:** Numorphan; Opana.

Oxypenbutazone (BAN, rINN)

G-27202; Hydroxyphenylbutazone; Oksifenbutatsoni; Oxifenbutazon; Oxifenbutazona; Oxypenbutazonum. 4-Butyl-1-(4-hydroxyphenyl)-2-phenylpyrazolidine-3,5-dione monohydrate.

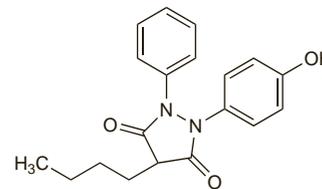
Оксифенбутазон

$C_{19}H_{20}N_2O_3 \cdot H_2O = 342.4$.

CAS — 129-20-4 (anhydrous oxypenbutazone); 7081-38-1 (oxypenbutazone monohydrate).

ATC — M01AA03; M02AA04; S01BC02.

ATC Vet — QM01AA03; QM02AA04; QS01BC02.



Profile

Oxypenbutazone, a metabolite of phenylbutazone (p.117), is an NSAID (p.96). It has been applied topically to the eye as an anti-inflammatory ointment in conditions such as episcleritis. Oxypenbutazone was used systemically in disorders such as ankylosing spondylitis, osteoarthritis, and rheumatoid arthritis but such use is no longer considered justified because of the risk of severe haematological adverse effects (see also Effects on the Blood, under Phenylbutazone, p.117).

The piperazine salt has also been used.

Porphyria. Oxypenbutazone has been associated with acute attacks of porphyria and is considered unsafe in porphyric patients.

Preparations

Proprietary Preparations (details are given in Part 3)

India: Sion†; **Mex.:** Edefen†; Redolet†.

Multi-ingredient. Braz.: Algi Peralgin†; Algifaman†; Analtrix†; Febupen; Flamanan; Reumazine†; **Mex.:** Dartrizon.