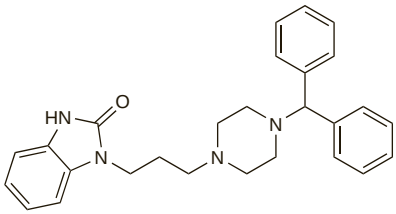
Oxatomide *(BAN, USAN, rINN)*

Oksatomidi; Oxatomid; Oxatomida; Oxatomidum; R-35443. 1-[3-(4-Benzhydrylpiperazin-1-yl)propyl]benzimidazolin-2-one.

Оксатомид

$C_{27}H_{30}N_4O$ = 426.6.
CAS — 60607-34-3.
ATC — R06AE06.
ATC Vet — QR06AE06.



Profile

Oxatomide, a piperazine derivative, is a sedating antihistamine (p.561) that has also been reported to have mast-cell stabilising properties. It is used for the symptomatic relief of allergic conditions including urticaria (p.565), rhinitis (p.565), and conjunctivitis (p.564). Oxatomide is given as the anhydrous substance or as the monohydrate; doses are expressed as the anhydrous substance. Oxatomide monohydrate 1.04 mg is equivalent to about 1 mg of anhydrous oxatomide. The usual oral dose is 30 mg twice daily. The hydrate has also been applied topically but, as with other antihistamines, there is a risk of sensitisation.

Effects on the nervous system. Acute dystonic reactions and long-lasting impaired consciousness were associated with oxatomide therapy in 6 children.[1] Impaired consciousness varied from lethargy and somnolence to a clinical picture resembling encephalitis and persisted for 2 days or more in 3 patients. Plasma-oxatomide concentrations were measured in 3 patients and found to be high, although 2 of these had been given the recommended dose.

1. Casteels-Van Daele M, *et al*. Acute dystonic reactions and long-lasting impaired consciousness associated with oxatomide in children. *Lancet* 1986; **i:** 1204–5.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Cenacert†; Fensedyl; Tinset; ***Austria:*** Tinset; ***Belg.:*** Tinset†; ***Chile:*** Tinset; ***Fr.:*** Tinset; ***Gr.:*** Tinset; ***Hong Kong:*** Tinset†; ***Indon.:*** Oxtin; Tinset; ***Ital.:*** Tinset; ***Jpn:*** Celtect; ***Mex.:*** Tinset; ***Neth.:*** Tinset; ***Port.:*** Tinset; ***S.Afr.:*** Tinset; ***Spain:*** Cobiona; Oxatokey; ***Thai.:*** Tinset.

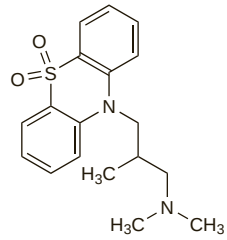
Multi-ingredient: ***Arg.:*** Causalon Bronquial; Causalon Grip; Letondal.

Oxomemazine *(rINN)*

Oxomemazina; Oxomémazine; Oxomemazinum; RP-6847; Trimeprazine *SS*-Dioxide. 10-(3-Dimethylamino-2-methylpropyl)phenothiazine 5,5-dioxide.

Оксомемазин

$C_{18}H_{22}N_2O_2S$ = 330.4.
CAS — 3689-50-7.
ATC — R06AD08.
ATC Vet — QR06AD08.



Oxomemazine Hydrochloride *(rINNM)*

Hidrocloruro de oxomemazina; Oxomémazine, Chlorhydrate d'; Oxomemazini Hydrochloridum.

Оксомемазина Гидрохлорид

$C_{18}H_{22}N_2O_2S$,HCl = 366.9.
CAS — 4784-40-1.
ATC — R06AD08.
ATC Vet — QR06AD08.

Pharmacopoeias. In *Fr.*

Profile

Oxomemazine, a phenothiazine derivative, is a sedating antihistamine (p.561) used for the symptomatic relief of hypersensitivity reactions and in pruritic skin disorders (p.565). It is also an ingredient of compound preparations for the symptomatic treatment of coughs and the common cold (p.564).

Oxomemazine has been given orally in doses ranging from about 5 to 13 mg daily in divided doses. It has also been given by the rectal route. Oxomemazine hydrochloride has been used similarly by mouth.

Preparations

Proprietary Preparations (details are given in Part 3)
Fr.: Toplexil; ***Neth.:*** Toplexil.

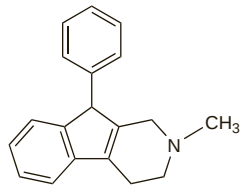
Multi-ingredient: ***Belg.:*** Toplexil; ***Braz.:*** Expec; Iodesin; Iodeto de Potassium Composto†; KI-Expectorante; Tiratosse†; Toplexil; Tussol†; ***Indon.:*** Comtusi; Toplexil; ***Israel:*** Oxacatin; Toplexil; ***Switz.:*** Toplexil.

Phenindamine Tartrate *(BANM, USAN, rINNM)*

Phenindamine Acid Tartrate; Phénindamine, Tartrate de; Phenindamini Tartras; Phenindaminium Tartrate; Tartrato de fenindamina. 1,2,3,4-Tetrahydro-2-methyl-9-phenyl-2-azafluorene hydrogen tartrate; 2,3,4,9-Tetrahydro-2-methyl-9-phenyl-1*H*-indeno[2,1-*c*]pyridine hydrogen tartrate.

Фениндамина Тартрат

$C_{19}H_{19}N,C_4H_6O_6$ = 411.4.
CAS — 82-88-2 (phenindamine); 569-59-5 (phenindamine tartrate).
ATC — R06AX04.
ATC Vet — QR06AX04.



(phenindamine)

Pharmacopoeias. In *Br.*
BP 2008 (Phenindamine Tartrate). A white or almost white, odourless or almost odourless, voluminous powder. Sparingly soluble in water; slightly soluble in alcohol; practically insoluble in chloroform and in ether. A 1% solution in water has a pH of 3.4 to 3.9. Protect from light.

Adverse Effects and Precautions

As for the sedating antihistamines in general, p.561. Phenindamine tartrate may have a stimulant effect in certain individuals; to avoid the possibility of insomnia patients may be advised to take the last dose of the day several hours before retiring.

Interactions

As for the sedating antihistamines in general, p.563.

Uses and Administration

Phenindamine, a piperidine derivative, is a sedating antihistamine; however it may be mildly stimulating in certain individuals. It is used as the tartrate for the symptomatic relief of allergic conditions including urticaria (p.565) and rhinitis (p.565), and as an ingredient of compound preparations for coughs and the common cold (p.564).

Phenindamine tartrate is given in oral doses of 25 mg every 4 to 6 hours, up to a maximum of 150 mg daily. Children over 6 years of age have been given half these doses.

Preparations

Proprietary Preparations (details are given in Part 3)
USA: Nolahist.

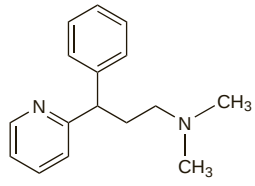
Multi-ingredient: ***USA:*** P-V-Tussin.

Pheniramine *(BAN, rINN)*

Feniramiini; Feniramin; Feniramina; Phéniramine; Pheniraminum; Prophenpyridamine. *NN*-Dimethyl-3-phenyl-3-(2-pyridyl)propylamine.

Фенирамин

$C_{16}H_{20}N_2$ = 240.3.
CAS — 86-21-5.
ATC — R06AB05.
ATC Vet — QR06AB05.



Pheniramine Aminosalicylate *(BANM, rINNM)*

Aminosalicilato de feniramina; Pheniramine *p*-Aminosalicylate; Pheniramine 4-Aminosalicylate; Phéniramine, Aminosalicylate de; Pheniramine Para-aminosalicylate; Pheniramini Aminosalicylas. Pheniramine 4-amino-2-hydroxybenzoate.

Фенирамина Аминосалисилат

$C_{16}H_{20}N_2,C_7H_7NO_3$ = 393.5.
CAS — 3269-83-8.
ATC — R06AB05.
ATC Vet — QR06AB05.

Pheniramine Maleate *(BANM, USAN, rINNM)*

Feniramiinimaleaatti; Feniramin Hidrojen Maleat; Feniramin Maleat; Feniramin maleinát; Feniraminmaleat; Feniramin-maleát; Feniramino maleatas; Maleato de feniramina; Phéniramine, maléate de; Pheniramini maleas; Pheniraminium Maleate; Prophenpyridamine Maleate. Pheniramine hydrogen maleate.

Фенирамина Малеат

$C_{16}H_{20}N_2,C_4H_4O_4$ = 356.4.
CAS — 132-20-7.
ATC — R06AB05.
ATC Vet — QR06AB05.

Pharmacopoeias. In *Eur.* (see p.vii) and *US.*
Ph. Eur. 6.2 (Pheniramine Maleate). A white or almost white, crystalline powder. Very soluble in water; freely soluble in alcohol, in dichloromethane, and in methyl alcohol. M.p. 106° to 109°. A 1% solution in water has a pH of 4.5 to 5.5. Protect from light.
USP 31 (Pheniramine Maleate). A white crystalline powder having a faint amine-like odour. Soluble in water and in alcohol. pH of a 1% solution in water is between 4.5 and 5.5.

Adverse Effects and Precautions

As for the sedating antihistamines in general, p.561.

Abuse. References to the abuse of oral pheniramine.

1. Jones IH, *et al*. Pheniramine as an hallucinogen. *Med J Aust* 1973; **1:** 382–6.
2. Csillag ER, Landauer AA. Alleged hallucinogenic effect of a toxic overdose of an antihistamine preparation. *Med J Aust* 1973; **1:** 653–4.
3. Buckley NA, *et al.* Pheniramine—a much abused drug. *Med J Aust* 1994; **160:** 188–92.

Pregnancy. For discussion of the use of antihistamines, including pheniramine, in pregnancy, see p.563.

Interactions

As for the sedating antihistamines in general, p.563.

Pharmacokinetics

◊ The pharmacokinetics of pheniramine and its metabolites, *N*-desmethylpheniramine and *N*-didesmethylpheniramine, were investigated in 6 healthy subjects.[1] After oral doses of pheniramine aminosalicylate, peak-plasma pheniramine concentrations were reached in 1 to 2.5 hours. The terminal half-life ranged between 8 and 17 hours after intravenous doses (pheniramine maleate) and 16 and 19 hours after oral doses. The total recovery of pheniramine as unchanged drug and metabolites from the urine was 68 to 94% of the intravenous dose and 70 to 83% of the oral dose.

1. Witte PU, *et al*. Pharmacokinetics of pheniramine (Avil) and metabolites in healthy subjects after oral and intravenous administration. *Int J Clin Pharmacol Ther Toxicol* 1985; **23:** 59–62.

Uses and Administration

Pheniramine, an alkylamine derivative, is a sedating antihistamine with antimuscarinic and moderate sedative properties.

It is used as the maleate for the symptomatic relief of allergic conditions including urticaria and angioedema (p.565), rhinitis (p.565), and conjunctivitis (p.564), and in pruritic skin disorders (p.565). It has also been used for its antiemetic properties in the prevention and control of motion sickness (p.564). Pheniramine maleate is used as an ingredient of compound preparations for the symptomatic treatment of coughs and the common cold (p.564). It is also used in combination with a decongestant in eye and nasal preparations.

Pheniramine maleate is given as a syrup in usual oral doses of 15 to 30 mg two or three times daily. It may also be given as a tablet in doses up to about 45 mg three times daily. In some countries pheniramine maleate has been given parenterally.

The aminosalicylate, the hydrochloride, and the tannate have also been used.

Preparations

USP 31: Naphazoline Hydrochloride and Pheniramine Maleate Ophthalmic Solution.

Proprietary Preparations (details are given in Part 3)
Austral.: Avil; Fenamine†; ***Austria:*** Avil; ***India:*** Avil; ***Indon.:*** Avil; ***Ital.:*** Iniston†; ***Mex.:*** Histatex†; ***NZ:*** Avil†; ***Turk.:*** Avil; ***UAE:*** Histol.

Multi-ingredient: ***Arg.:*** Mira Klonal; Mirus; Refenax Colirio; ***Austral.:*** Avil Decongestant; Naphcon-A; Visine Allergy with Antihistamine; ***Austria:*** Neo Citran; ***Belg.:*** Naphcon-A; ***Braz.:*** Claril; ***Canad.:*** Ak Vernacon; Calmylin Ace; Citron Chaud DM; Diopticon A; Diorouge; Dristan; Hot Lemon; Hot Lemon Cough and Colds Relief DM; Hot Lemon Relief; Hot Lemon Relief for Cough and Cold; Naphcon-A; Neo Citran A†; Neo Citran Calorie Reduced†; Neo Citran Colds & Flu; Neo Citran DM; Neo Citran Extra Strength; Neo Citran†; Opcon-A; Pulmorphan; Pulmorphan Pediatrique; Robitussin AC; Robitussin with Codeine†; Visine Advance Allergy; ***Chile:*** Clarimir F; Dessolets; Miral; Mirus†; Naphcon-A; ***Cz.:*** Fervex; ***Fr.:*** Fervex; ***Ger.:*** Konjunktival Thilo†; Rhinosovil†; ***Hong Kong:*** Konjunktival†;