Propiomazine Hydrochloride *(BANM, rINNM)*

Hidrocloruro de propiomazina; Propiomazine, Chlorhydrate de; Propiomazini Hydrochloridum.

Пропиомазина Гидрохлорид

$C_{20}H_{24}N_2OS,HCl$ = 376.9.
CAS — 1240-15-9.
ATC — N05CM06.
ATC Vet — QN05CM06.

Propiomazine Maleate *(BANM, rINNM)*

CB-1678 (propiomazine or propiomazine maleate); Maleato de propiomazina; Propiomazine Hydrogen Maleate; Propiomazine, Maléate de; Propiomazini Maleas; Wy-1359 (propiomazine or propiomazine maleate).

Пропиомазина Малеат

$C_{20}H_{24}N_2OS,C_4H_4O_4$ = 456.6.
CAS — 3568-23-8.
ATC — N05CM06.
ATC Vet — QN05CM06.

Adverse Effects and Precautions

As for the sedating antihistamines in general, p.561. Local irritation may occur at the site of intravenous injection of propiomazine hydrochloride and there may be thrombophlebitis.

Interactions

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

Uses and Administration

Propiomazine, a phenothiazine derivative, is a sedating antihistamine that has been used for its sedative and antiemetic properties in insomnia (p.564) and nausea and vomiting (p.564).

Propiomazine is given as the maleate but doses are expressed in terms of the base; propiomazine maleate 1.3 mg is equivalent to about 1 mg of propiomazine. Doses equivalent to 25 to 50 mg orally at night have been given as a hypnotic.

Propiomazine hydrochloride has been given parenterally.

Preparations

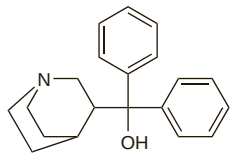
Proprietary Preparations (details are given in Part 3)
Swed.: Propavan.

Quifenadine Hydrochloride *(rINNM)*

Hidrocloruro de quifenadina; Quifénadine, Chlorhydrate de; Quifenadini Hydrochloridum. α,α-Diphenyl-3-quinuclidinemethanol hydrochloride.

Хифенадина Гидрохлорид

$C_{20}H_{23}NO,HCl$ = 329.9.
CAS — 10447-39-9 (quifenadine); 10447-38-8 (quifenadine hydrochloride).



(quifenadine)

Profile

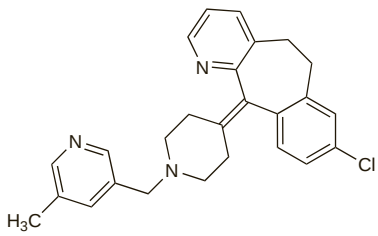
Quifenadine is an antihistamine given orally as the hydrochloride.

Rupatadine *(rINN)*

Rupatadina; Rupatadinum; UR-12592 (rupatadine fumarate). 8-Chloro-6,11-dihydro-11-{1-[(5-methyl-3-pyridyl)methyl]-4-piperidylidene}-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridine.

Рупатадин

$C_{26}H_{26}ClN_3$ = 416.0.
CAS — 158876-82-5 (rupatadine); 182349-12-8 (rupatadine fumarate).
ATC — R06AX28.
ATC Vet — QR06AX28.



Profile

Rupatadine is an antihistamine with platelet-activating factor (PAF) antagonist activity that is used for the treatment of allergic rhinitis (p.565) and chronic idiopathic urticaria (p.565). It is given as the fumarate although doses are expressed in terms of the base; rupatadine fumarate 12.8 mg is equivalent to about 10 mg of rupatadine. The usual oral dose is the equivalent of 10 mg once daily of rupatadine.

◊ References.

1. Izquierdo I, *et al.* Rupatadine: a new selective histamine H1 receptor and platelet-activating factor (PAF) antagonist: a review of pharmacological profile and clinical management of allergic rhinitis. *Drugs Today* 2003; **39:** 451–68.
2. Keam SJ, Plosker GL. Rupatadine: a review of its use in the management of allergic disorders. *Drugs* 2007; **67:** 457–74.

Preparations

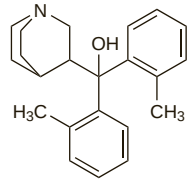
Proprietary Preparations (details are given in Part 3)
Braz.: Rupafin; ***Cz.:*** Tamalis; ***Gr.:*** Rupafin; ***Port.:*** Rinialer; ***Spain:*** Alergoliber; Rinialer; Rupafin.

Sequifenadine *(rINN)*

Bicarphene (sequifenadine or sequifenadine hydrochloride); Bikarfen (sequifenadine or sequifenadine hydrochloride); Sequifenadina; Séquifénadine; Sequifenadinum. α,α-Di-*o*-tolyl-3-quinuclidinemethanol.

Сехифенадин

$C_{22}H_{27}NO$ = 321.5.
CAS — 57734-69-7.



Profile

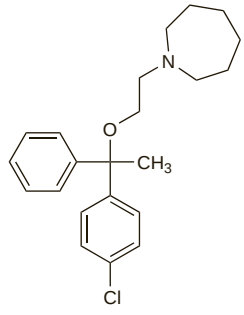
Sequifenadine is an antihistamine used in a wide range of allergic conditions. A usual dose is 50 to 100 mg given orally 2 or 3 times daily. Sequifenadine is reported also to have antiserotonin properties.

Setastine Hydrochloride *(rINNM)*

EGIS-2062; EGYT-2062; Hidrocloruro de setastina; Sétastine, Chlorhydrate de; Setastini Hydrochloridum. 1-{2-[(*p*-Chloro-α-methyl-α-phenylbenzyl)oxy]ethyl}hexahydro-1*H*-azepine hydrochloride.

Сетастина Гидрохлорид

$C_{22}H_{28}ClNO,HCl$ = 394.4.
CAS — 64294-95-7 (setastine).



(setastine)

Profile

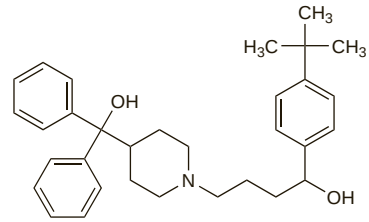
Setastine hydrochloride, a derivative of clemastine, is an antihistamine (p.561) claimed to have no sedative activity. It has been given orally for the symptomatic relief of hypersensitivity disorders.

Terfenadine *(BAN, USAN, rINN)*

MDL-9918; RMI-9918; Terfenadiini; Terfenadin; Terfenadina; Terfenadinas; Terfénadine; Terfenadinum. 1-(4-*tert*-Butylphenyl)-4-[4-(α-hydroxybenzhydryl)piperidino]butan-1-ol.

Терфенадин

$C_{32}H_{41}NO_2$ = 471.7.
CAS — 50679-08-8.
ATC — R06AX12.
ATC Vet — QR06AX12.



Pharmacopoeias. In *Eur.* (see p.vii).
Ph. Eur. 6.2 (Terfenadine). A white or almost white, crystalline powder. It shows polymorphism. Very slightly soluble in water and in dilute hydrochloric acid; freely soluble in dichloromethane; soluble in methyl alcohol. Protect from light.

Adverse Effects and Precautions

As for the non-sedating antihistamines in general, p.561. Erythema multiforme and galactorrhoea have also been reported.

Ventricular arrhythmias, including torsade de pointes, have occurred rarely with terfenadine, particularly in association with raised blood concentrations (see Arrhythmias, below). To *reduce the risk* of developing such arrhythmias the recommended dose should **not** be exceeded and terfenadine should be **avoided** in patients with cardiac or significant hepatic disease, with hypokalaemia or other electrolyte imbalance, or with known or suspected prolonged QT interval. Use with drugs liable to interfere with the hepatic metabolism of terfenadine, other potentially arrhythmogenic drugs including those that prolong the QT interval, and drugs likely to cause electrolyte imbalance is **contra-indicated** (see under Interactions, below). If palpitations, dizziness, syncope, or convulsions occur terfenadine should be withdrawn and the patient investigated for potential arrhythmias.

Alopecia. Hair loss was associated with use of terfenadine in a 24-year-old patient.[1] Regrowth occurred when treatment was stopped.

1. Jones SK, Morley WN. Terfenadine causing hair loss. *BMJ* 1985; **291:** 940.

Arrhythmias. Ventricular arrhythmias including torsade de pointes have occurred with terfenadine at doses greater than those recommended[1] and also at normal doses in patients whose metabolism of terfenadine is impaired by drugs or by liver disease. Generalised convulsions and a quinine-like effect on the ECG have also been reported after a presumed overdose of terfenadine.[2] Consequently a number of recommendations have been made to *reduce the risk* of developing serious arrhythmias (see Adverse Effects and Precautions, above, for details), including those from the UK CSM.[3,4] Terfenadine should be stopped immediately, and the patient evaluated for potential arrhythmias, in those who experience syncope, palpitations, dizziness, or convulsions after taking terfenadine.

Studies[5] have suggested that the ventricular arrhythmias are due to terfenadine itself rather than its active metabolite fexofenadine (p.579). Terfenadine has been shown to inhibit cardiac potassium channels, which results in prolongation of the QT interval, a risk factor for developing arrhythmias, while the non-sedating antihistamines cetirizine, fexofenadine, and loratadine have had no demonstrable effect[5,6] (see also p.562).

1. MacConnell TJ, Stanners AJ. Torsades de pointes complicating treatment with terfenadine. *BMJ* 1991; **302:** 1469.
2. Davies AJ, *et al.* Cardiotoxic effect with convulsions in terfenadine overdose. *BMJ* 1989; **298:** 325.
3. CSM. Ventricular arrhythmias due to terfenadine and astemizole. *Current Problems 35* 1992. Also available at: http://www.mhra.gov.uk/home/idcplg?IdcService=GET_FILE&dDocName=CON2024453&RevisionSelectionMethod=LatestReleased (accessed 14/07/08)
4. CSM/MCA. Drug-induced prolongation of the QT interval. *Current Problems* 1996; **22:** 2. Also available at: http://www.mhra.gov.uk/home/idcplg?IdcService=GET_FILE&dDocName=CON2024458&RevisionSelectionMethod=LatestReleased (accessed 14/07/08)
5. Woolsey RL, *et al.* Mechanism of the cardiotoxic actions of terfenadine. *JAMA* 1993; **269:** 1532–6.
6. Rankin AC. Non-sedating antihistamines and cardiac arrhythmia. *Lancet* 1997; **350:** 1115–16.

Breast feeding. No adverse effects have been observed in breast-fed infants whose mothers were receiving terfenadine, and the American Academy of Pediatrics[1] considers that it is therefore usually compatible with breast feeding.

In a study[2] of 4 healthy lactating women given 60 mg of terfenadine every 12 hours for 48 hours, terfenadine was undetected in