Pharmacopoeias. In *US*.
USP 31 (Methdilazine Hydrochloride). A light tan crystalline powder having a slight characteristic odour. Soluble 1 in 2 of water and of alcohol, 1 in 6 of chloroform, and 1 in 1 of 0.1N hydrochloric acid and of 0.1N sodium hydroxide solution; practically insoluble in ether. pH of a 1% solution in water is between 4.8 and 6.0. Store in airtight containers. Protect from light.

Adverse Effects and Precautions
As for the sedating antihistamines in general, p.561.

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

Uses and Administration
Methdilazine, a phenothiazine derivative, is a sedating antihistamine with antimuscarinic and sedative activity. Methdilazine is also reported to have serotonin-antagonist properties.

Methdilazine hydrochloride is used for the symptomatic relief of hypersensitivity reactions and particularly for the control of pruritic skin disorders (p.565). An oral dose of 8 mg has been given 2 to 4 times daily. Methdilazine base has been used in similar doses. For children's doses, see below.

Administration in children. Methdilazine hydrochloride has been used in children for symptomatic relief of hypersensitivity reactions and particularly for the control of pruritic skin disorders. Oral doses of 4 mg given 2 to 4 times daily have been used in children aged 3 to 12 years. However, lower daily doses have also been used: children aged 3 to 6 years may be given 300 micrograms/kg daily (maximum 8 mg daily) and those aged 6 to 12 years, 4 mg twice daily.

Preparations
USP 31: Methdilazine Hydrochloride Syrup; Methdilazine Hydrochloride Tablets.

Proprietary Preparations (details are given in Part 3)
Austral.: Dilosyn†; ***Denm.:*** Tacryl†; ***India:*** Dilosyn.

Multi-ingredient: ***India:*** Dilosyn Expectorant.

Mizolastine *(BAN, rINN)*

Mitsolastiini; Mizolastin; Mizolastina; Mizolastinum; SL-85.0324-00. 2-{1-[1-(4-Fluorobenzyl)-1*H*-benzimidazol-2-yl]-4-piperidyl(methyl)amino}pyrimidin-4(1*H*)-one.

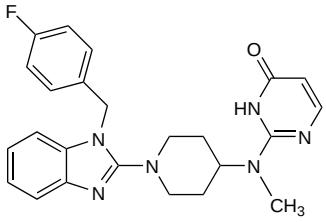
Мизоластин

$C_{24}H_{25}FN_6O$ = 432.5.

CAS — 108612-45-9.

ATC — R06AX25.

ATC Vet — QR06AX25.



Adverse Effects and Precautions
As for the non-sedating antihistamines in general, p.561. Mizolastine has only a weak potential to prolong the QT interval (see also Arrhythmias, p.562) and has not been associated with arrhythmias. However, the manufacturers have warned against the use of mizolastine in patients with significant cardiac or hepatic disease, with hypokalaemia or other electrolyte imbalance, or with known or suspected QT prolongation. Use with drugs liable to interfere with the hepatic metabolism of mizolastine or with other potentially arrhythmogenic drugs should also be avoided (see under Interactions, below).

Interactions
As for the non-sedating antihistamines in general, p.563. Moderate increases in plasma concentrations of mizolastine have been reported with erythromycin and ketoconazole; use with macrolide antibacterials or systemic imidazole antifungals is contra-indicated by the manufacturer. They also advise against use of mizolastine with drugs known to prolong the QT interval, such as class I and III antiarrhythmics.

Other potent inhibitors of or substrates for the hepatic metabolism of mizolastine include cimetidine, ciclosporin, and nifedipine; caution is advised if given together.

Pharmacokinetics
Mizolastine is rapidly absorbed from the gastrointestinal tract with peak plasma concentrations being reached after about 1.5 hours. Plasma protein binding is about 98%. The mean elimination half-life is about 13 hours. Mizolastine is mainly metabolised by glucuronidation although other metabolic pathways are involved, including metabolism by the cytochrome P450 isoenzyme CYP3A4, with the formation of inactive hydroxylated metabolites.

◊ References.

1. Rosenzweig P, *et al.* Pharmacodynamics and pharmacokinetics of mizolastine (SL 85.0324), a new nonsedative H antihistamine. *Ann Allergy* 1992; **69:** 135–9.
2. Lebrun-Vignes B, *et al.* Clinical pharmacokinetics of mizolastine. *Clin Pharmacokinet* 2001; **40:** 501–7.

Uses and Administration
Mizolastine is a non-sedating antihistamine with a long duration of action. It does not have significant antimuscarinic actions; it is reported to have mast-cell stabilising properties. Mizolastine is used for the symptomatic relief of allergic conditions including rhinitis (p.565), conjunctivitis (p.564), and skin disorders such as urticaria (p.565). The oral dose is 10 mg daily.

◊ References.

1. Leynadier F, *et al.* Efficacy and safety of mizolastine in seasonal allergic rhinitis. *Ann Allergy Asthma Immunol* 1996; **76:** 163–8.
2. Brostoff J, *et al.* Efficacy of mizolastine, a new antihistamine, compared with placebo in the treatment of chronic idiopathic urticaria. *Allergy* 1996; **51:** 320–5.
3. Stern MA, *et al.* Can an antihistamine delay appearance of hayfever symptoms when given prior to pollen season? *Allergy* 1997; **52:** 440–4.

Preparations
Proprietary Preparations (details are given in Part 3)
Arg.: Mistamine†; ***Austria:*** Mizollen; ***Belg.:*** Mistamine†; Mizollen; ***Chile:*** Mistamine†; ***Cz.:*** Mizollen†; ***Denm.:*** Mizollen; ***Fin.:*** Mizollen†; ***Fr.:*** Mizollen; ***Ger.:*** Mizollen; Zolim; ***Gr.:*** Mizollen; Oriens; ***Hung.:*** Mizollen†; ***India:*** Elina; ***Irl.:*** Mistamine†; ***Israel:*** Mizollen; ***Ital.:*** Mizollen; Zolistam; ***Mex.:*** Mistamine; ***Neth.:*** Mizollen; ***Pol.:*** Mizollen; ***Port.:*** Mistamine†; Mizollen; Zolistam; ***S.Afr.:*** Mizollen; ***Spain:*** Mistamine†; Mizolen; Zolistan; ***Swed.:*** Mizollen; ***Switz.:*** Mistamine†; Mizollen; ***UK:*** Mizollen.

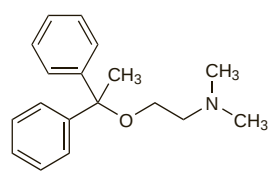
Moxastine Teoclate *(rINNM)*

Mephenhydramine Theoclate; Mephenhydrinate; Moxastine, Téoclate de; Moxastine Theoclate; Moxastini Teoclas; Teoclato de moxastina. 2-(1,1-Diphenylethoxy)-*N*,*N*-dimethylethylamine 8-chlorotheophyllinate.

Моксастина Теоклат

$C_{18}H_{23}NO,C_6H_7ClN_4O_2$ = 472.0.

CAS — 3572-74-5 (moxastine); 21661-62-1 (moxastine teoclate).



(moxastine)

Profile
Moxastine teoclate is an antihistamine with antiemetic properties. It is used to treat nausea and vertigo associated with Ménière's disease and other vestibular disorders, and for the prevention and treatment of motion sickness.

Preparations
Proprietary Preparations (details are given in Part 3)
Cz.: Kinedryl.

Multi-ingredient: ***Cz.:*** Nokinal†.

Niaprazine *(rINN)*

1709-CERM; Niaprazina; Niaprazinum. *N*-[3-(4-*p*-Fluorophenylpiperazin-1-yl)-1-methylpropyl]nicotinamide.

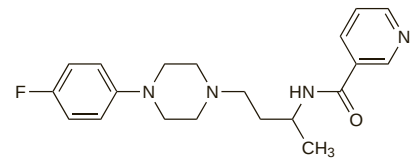
Ниапразин

$C_{20}H_{25}FN_4O$ = 356.4.

CAS — 27367-90-4.

ATC — N05CM16.

ATC Vet — QN05CM16.



Profile
Niaprazine, a piperazine derivative, is an antihistamine (p.561) used in children for its sedative and hypnotic properties. The usual oral dose is 1 mg/kg at night.

Preparations
Proprietary Preparations (details are given in Part 3)
Fr.: Nopron; ***Ital.:*** Nopron.

Olopatadine Hydrochloride *(BANM, USAN, pINNM)*

ALO-4943A; Hidrocloruro de olopatadina; KW-4679; Olopatadin Hidroklorür; Olopatadine, Chlorhydrate d'; Olopatadini Hydrochloridum. 11-[(*Z*)-3-(Dimethylamino)propylidene]-6,11-dihydrodibenz[*b*,*e*]oxepin-2-acetic acid hydrochloride.

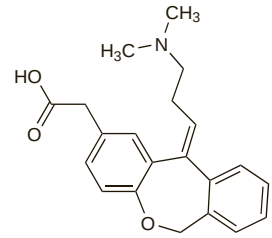
Олопатадина Гидрохлорид

$C_{21}H_{23}NO_3,HCl$ = 373.9.

CAS — 113806-05-6 (olopatadine); 140462-76-6 (olopatadine hydrochloride).

ATC — R01AC08; S01GX09.

ATC Vet — QS01GX09.



(olopatadine)

Adverse Effects and Precautions
As for the antihistamines in general, p.561. Headache and stinging or burning of the eye have occurred after ocular use.

Uses and Administration
Olopatadine hydrochloride is an antihistamine with mast-cell stabilising properties. It is used twice daily as eye drops containing the equivalent of 0.1% of olopatadine base in the treatment of allergic conjunctivitis (p.564) in adults and children aged three years and over.

◊ References.

1. Anonymous. Olopatadine for allergic conjunctivitis. *Med Lett Drugs Ther* 1997; **39:** 108–9.

Preparations
Proprietary Preparations (details are given in Part 3)
Arg.: Patanol; ***Austral.:*** Patanol; ***Belg.:*** Opatanol; ***Braz.:*** Patanol; ***Canad.:*** Patanol; ***Chile:*** Patanol; ***Cz.:*** Opatanol; ***Denm.:*** Opatanol; ***Fin.:*** Opatanol; ***Fr.:*** Opatanol; ***Ger.:*** Opatanol; ***Gr.:*** Opatanol; ***Hong Kong:*** Patanol; ***Hung.:*** Opatanol; ***Indon.:*** Patanol; ***Irl.:*** Opatanol; ***Israel:*** Patanol; ***Ital.:*** Opatanol; ***Jpn:*** Allelock; ***Malaysia:*** Patanol; ***Mex.:*** Patanol; ***Neth.:*** Opatanol; ***Norw.:*** Opatanol; ***NZ:*** Patanol; ***Philipp.:*** Patanol; ***Port.:*** Opatanol; ***Rus.:*** Opatanol (Опатанол); ***S.Afr.:*** Patanol; ***Singapore:*** Patanol; ***Spain:*** Opatanol; ***Swed.:*** Opatanol; ***Switz.:*** Opatanol; ***Thai.:*** Patanol; ***Turk.:*** Patanol; ***UK:*** Opatanol; ***USA:*** Pataday; Patanol; ***Venez.:*** Patanol.