Analysis of data collected by the Swedish Medical Birth Registry between 1994 and 2001 revealed 15 cases of hypospadias among a cohort of 2780 newborns exposed to loratadine during the first trimester of pregnancy.[1] The authors noted that the individual risk for having an infant with hypospadias after loratadine use is small (less than 1%) and the attributive risk of extra cases in the population is low. The US CDC has also analysed data from the National Birth Defects Prevention study;[2] they found no increase in the risk of second- or third-degree hypospadias in the infants of women who used loratadine in early pregnancy. In addition, an earlier prospective multicentre study[3] in 161 women taking a median dose of loratadine 10 mg daily in the first trimester of pregnancy suggested that its use was not associated with a significant risk of major congenital malformations.

1. Källén B, Olausson PO. Monitoring of maternal drug use and infant congenital malformations: does loratadine cause hypospadias? *Int J Risk Safety Med* 2001; **14:** 115–19.
2. CDC. Evaluation of an association between loratadine and hypospadias — United States, 1997-2001. *MMWR* 2004; **53:** 219–21. Also available at: http://www.cdc.gov/mmwr/PDF/wk/mm5310.pdf (accessed 11/05/04)
3. Moretti ME, *et al.* Fetal safety of loratadine use in the first trimester of pregnancy: a multicenter study. *J Allergy Clin Immunol* 2003; **111:** 479–83.

Sedation. For discussion of the sedative effects of antihistamines see p.562.

Interactions

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

Loratadine is metabolised by cytochrome P450 isoenzymes CYP3A4 and CYP2D6. Therefore use with other drugs that inhibit or are metabolised by these hepatic enzymes may result in changes in plasma concentrations of either drug and, possibly, adverse effects. Drugs known to inhibit one or other of these enzymes include cimetidine, erythromycin, ketoconazole, quinidine, fluconazole, and fluoxetine.

Antibacterials. Data held on file by the manufacturer show that *erythromycin* can inhibit the metabolism of loratadine. However, even when given in large doses loratadine does not appear to cause the cardiac conduction disorders associated with the non-sedating antihistamines astemizole (see p.567) and terfenadine (see p.590).[1] Similarly, *clarithromycin* seemed to inhibit the metabolism of loratadine and its active metabolite desloratadine.[2]

1. Affrime MB, *et al.* Three month evaluation of electrocardiographic effects of loratadine in humans. *J Allergy Clin Immunol* 1993; **91:** 259.
2. Carr RA, *et al.* Steady-state pharmacokinetics and electrocardiographic pharmacodynamics of clarithromycin and loratadine after individual or concomitant administration. *Antimicrob Agents Chemother* 1998; **42:** 1176–80.

Antifungals. *Ketoconazole* also appears to be able to inhibit the metabolism of loratadine and at therapeutic doses, is about 3 times more inhibitory than erythromycin.[1] However, the concentrations of ketoconazole required are reported to be much higher than those required to inhibit the metabolism of astemizole or terfenadine. Clearance of the active metabolite desloratadine is also reduced.

1. Brannan MD, *et al.* Effects of various cytochrome P450 inhibitors on the metabolism of loratadine. *Clin Pharmacol Ther* 1995; **57:** 193.

Gastrointestinal drugs. *Cimetidine* appears to have an inhibitory effect on the metabolism of loratadine and also attenuates the clearance of its active metabolite desloratadine although no clinically significant consequences have been seen.[1]

1. Brannan MD, *et al.* Effects of various cytochrome P450 inhibitors on the metabolism of loratadine. *Clin Pharmacol Ther* 1995; **57:** 193.

Pharmacokinetics

Loratadine is rapidly absorbed from the gastrointestinal tract after oral doses, peak plasma concentrations being attained in about 1 hour. Bioavailability is increased and time to peak plasma concentrations is delayed when taken with food. Loratadine undergoes extensive metabolism. The major metabolite, desloratadine (p.576), has potent antihistaminic activity. Reported mean elimination half-lives for loratadine and desloratadine are 8.4 and 28 hours, respectively. Loratadine is about 98% bound to plasma proteins; desloratadine is less extensively bound. Loratadine and its metabolites have been detected in breast milk, but do not appear to cross the blood-brain barrier to a significant extent. Most of a dose is excreted equally in the urine and faeces, mainly in the form of metabolites.

Renal impairment. The disposition of loratadine does not appear to be significantly altered in patients with severe renal impairment and haemodialysis does not appear to be an effective means of removing loratadine or its metabolite desloratadine from the body.[1]

1. Matzke GR, *et al.* Pharmacokinetics of loratadine in patients with renal insufficiency. *J Clin Pharmacol* 1990; **30:** 364–71.

Uses and Administration

Loratadine, a piperidine derivative related to azatadine, is a long-acting, non-sedating antihistamine with no significant antimuscarinic activity. It is used for the symptomatic relief of allergic conditions including rhinitis (p.565) and chronic urticaria (p.565).

Loratadine is given in an oral dose of 10 mg once daily. Children aged 2 to 5 years may be given 5 mg once daily and those aged 6 to 12 years may be given 10 mg once daily for seasonal allergic rhinitis and chronic idiopathic urticaria.

It is also used with a decongestant such as pseudoephedrine sulfate.

For dosage in hepatic or renal impairment, see below.

◊ References.

1. Haria M, *et al.* Loratadine: a reappraisal of its pharmacological properties and therapeutic use in allergic disorders. *Drugs* 1994; **48:** 617–37.

Administration in hepatic or renal impairment. US product information recommends that patients with hepatic failure or renal impairment (glomerular filtration rate less than 30 mL/minute) should be given an initial oral dose of loratadine 10 mg on alternate days.

Preparations

USP 31: Loratadine Oral Solution; Loratadine Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Aerotina; Alergipan; Alermuc; Alerpriv; Algistop; Aseptobron Descongestivo; Bedix; Benadryl 24; Biloina; Bioaler†; Clarityne; Devedryl; Hisplex; Lertamine†; Lisaler; Loisan; Loratex†; Loratine; Loremex Antialergico; Nastizol Antialergico†; Negalerg L†; Niltro; Novo Vagran†; Nularef; Omega 100 L; Pulmosan Aller; Sinaler; Tabcin Alergia; Vagran; Vixidone L; ***Austral.:*** Alledine; AllerEze; Claratyne; Lorano; Lorastyne†; ***Austria:*** Allernon; Clarityn; Lictyn; Lorano; Loratyn; ***Belg.:*** Claritine; Rupton; Sanelor; ***Braz.:*** Alergaliv; Atinac†; Clarilerg†; Claritin; Clistin†; Histadin; Histamix†; Loradine; Loralerg; Loranil; Lorasc†; Loratamed; Loremix; Loritil; Neo Loratadin; ***Canad.:*** Claritin; ***Chile:*** Alergan; Alledryl; Clarityne; Frenaler; Histaplus†; Hysticlar; Larmax†; Lontadex; ***Cz.:*** Claritine; Erolin; Flonidan; Loranol; Roletra; ***Denm.:*** Claritynn; Geklimon†; Loritin; Mildin; Oratyn; Versal†; ***Fin.:*** Claritynn; Geklimon†; Tuulix; ***Fr.:*** Clarityne; ***Ger.:*** Lisino; Livotab†; Lobeta; Lora; Lora-Lich; Lora-Puren; Loraclar†; Loraderm; Loragalen; Loralerg†; Lorano; Loratadura; Loratagamma; Loravis; Vividrin Loratadin†; ***Gr.:*** Allerdrug; Allergofact; Biliranin†; Bollinol; Clarityne; Difmedol; Helporigin; Horestyl; Igir; Latoren; Lora; Loratab; Novacloxab; Ralinet; Ristotadin; Tirlor; Utel; Zelmar; ***Hong Kong:*** Allertyn; Ambrace; Carin; Claritync CP-Loradine; Erolin; Ezede; Loradin; Loraton; Lotadine; Lotin; Marlora; Rinityn; Rotifar; Voratadine; ***Hung.:*** Claritine; Erolin; Flonidan; Lorano; Roletra; ***India:*** Awayke†; Loratin; Lorfast; Loridin; Lorin; ***Indon.:*** Alernitis; Allohex; Alloris; Anhissen; Anlos; Clarihis; Claritin; Clatatin; Cronitin; Folerin; Hislorex; Histaritin; Imunex; Inclarin; Klinset; Lergia; Lesidas; Lolergi; Loran; Lorapharm; Lorihis; Nosedin; Prohistin; Pylor; Rahistin; Rihest; Safetin; Sohotin; Tinnic; Winatin; ***Irl.:*** Claritynt; Histaclar; ***Israel:*** Lorastine; Loratrim; ***Ital.:*** Alorint; Claritynt; Fristamin; ***Jpn:*** Claritin; ***Malaysia:*** Carin; Clarityne; Ezede†; Loradine; Lorastyne†; Loratyn†; Ridamin; Roletra; Tirlor; ***Mex.:*** Alerfin; Aludix; Antilergal; Biolorat; Claritync; Curyken; Dimegan; Dissen; Ditana; Doralan; Dotagil; Dymaten; Efectine; Fartadin; Grimeral; Histina; Histox; Inigrin; Laritol; Lertamine; Lictyn; Liferamin; Lotan; Lovarin; Neoalexil; Nidatar; Quimtadine; Rodakin; Rokadin†; Sensibit; Serralsina; Sitinir; Vincidal; ***Neth.:*** Allerfre; Claritine; Kruidvat Hooikoortstabletten; Lorastad; Otrivin neusallergie loratadine; Sanelor; ***Norw.:*** Clarityn; Versal†; ***NZ:*** Claratyne; Lora-Tabs; ***Philipp.:*** Allerta; Claritin; Lergicyl; Loradex; Lorahist; Lorano; Loratyne; Lordam; Lordane; Onemin; Rinityn; Zylohist; ***Pol.:*** Alerfan; Aleric; Claritine; Flonidan; Lorahexal; Loram; Loratan; Loratine; Nalergine; Rotadin; ***Port.:*** Alertrin; Claritine; Evertine; Histadin; Profenox; Zolargene; ***Rus.:*** Alerpriv (Алерприв); Clargotil (Кларготил); Clarisens (Кларисенс); Claritine (Кларитин); Clarotadine (Кларотадин); Erolin (Эролин); Klallergine (Клаллергин); Klarfast (Кларфаст); Klaridol (Кларидол); Lomilan (Ломилан); Lorahexal (Лорагексал); Lorid (Лорид); Loridin (Лоридин); ***S.Afr.:*** Clarinese; Clarityne; Demazin Anti-Allergy†; Laura; Lorahist; Lorano; Loratyne†; Polaratyne†; Pollentyme; Rhinigine; ***Singapore:*** Allertyn; Ardin; Carin; Claritync; Ezede; Histalor; Lorfast; Loridin; Lotadine; Ridamin; Rinityn; Roletra; Tirlor; ***Spain:*** Civeran; Claritync; Fadina†; Optimin†; Velodan; ***Swed.:*** Claritync; Versal; ***Switz.:*** Claritine; ***Thai.:*** Aller-Tab; Allerdine; Allersil; Caradine; Carinose†; Clalodine; Clarid; Clarityne; Halodin; Hisracon; Klaryne; Lindine; Loracine; Loradine; Loranox; Lordin; Lorin; Lorita; Lorityne†; Lorsedin; Lortadine; Ridamin; Rityne; Roletra; Tiradine; Tirlor; ***Turk.:*** Alarin; Claritine; Histadin; Loradif; Lorantis; Loritine; Ritin; ***UAE:*** Loratin; ***UK:*** Claritynn; ***USA:*** Alavert; Claritin; Clear-Atadine; Non-Drowsy Allergy; Tavist ND; ***Venez.:*** Alerdina; Alertidine†; Biolorat; Claritync; Loradin†; Lorat; Loraval; Lorex; Loriden; Lotal; Polaramine Reformulado; Proactin†; Tirlor.

Multi-ingredient: ***Arg.:*** Alerpriv D; Bedix-D; Benadryl 24 D; Celestamine-L; Ciprocort D; Ciprocort L; Clarifriol; Clarityne Cort; Clarityne D; Cortistamin L; Decidex Plus; Dexaprof D; Histamino Corteroid L; Ideogrip; Lertamine D†; Lisaler Beta; Loisan-D; Loremex Descongestivo; Nastizol-L; Negalerg†; Novo Vagran D†; Novo-Nastizol†; Nularef Cort; Nularef-D; Paracetamol Grip NF; Pulmonix Grip; Pulmonix Plus; Sinaler B; Toraxan; Vagran D; Vixidone LB; ***Austral.:*** Clarinase; Sinease†; ***Austria:*** Clarinase; ***Belg.:*** Clarinase; ***Braz.:*** Claritin-D; Cloratadd D; Histadin D; Loralerg-D; Loranil D; Loremix D; Neofedrin; ***Canad.:*** Chlor-Tripolon ND; Claritin Allergy & Sinus; Claritin Extra†; Liberato; ***Chile:*** Alledryl D; Clarinase; Frenaler-D; Larmax D; Lertamine; Lertamine Extra; Lontadex D†; Rinomex; ***Cz.:*** Clarinase; ***Denm.:*** Clarinase; ***Fin.:*** Clarinase; ***Fr.:*** Clarinase; ***Gr.:*** Clarityne D; ***Hong Kong:*** Clariflu; Clarinase; Rhinos; ***Hung.:*** Clarinase; ***India:*** Loratin D; Lorfast-D; Loridin-D; ***Indon.:*** Aldisa; Clarinase; Glanos; Rhinos; ***Israel:*** Clarinase; ***Malaysia:*** Carinox; Clarinase; ***Mex.:*** Alerfin Ex; Alvium†; Alviumito†; Alviumthe†; Bisincof; Bramin; Bronar; Broquixol; Celestamine NS; Claricort†; Clariflu; Clarifriol; Clarinase†; Clarityne D; Coricidin Expec; Dimegan D; Doralan-Ax; Efectine D; Farmalor; Fluxibit; Gralddep; Laritol D; Laritol Ex; Laritol G; Lertamine D; Linfarden; Lovarin P†; Lyrsedin; Neoalexil P†; Quimtadine DSQ; Quimtafriol; Sensibit D; Sensibit XP; Sibilex; Tadinar-C; Tamex; Tavexyl; TheraFlu 24; TheraFlu N 12; TheraFlu TD; ***NZ:*** Clarinase†; Demazin Non-Drowsy†; ***Philipp.:*** Claricort; Clarinase; Rhinase; ***Pol.:*** Clarinase; ***Port.:*** Claridon; ***S.Afr.:*** Clarityne D; Demazin NS; Polaratyne D†; ***Singapore:*** Clarinase; ***Spain:*** Narine; ***Thai.:*** Clarinase; ***Turk.:*** Clarinase; ***USA:*** Alavert Allergy & Sinus D; Claritin-D; ***Venez.:*** Ambroclar; Celestamincort; Claricort; Claridex; Claridexultra; Clariflu; Clarigrip; Clarinase†; Fedyclar; Lokarin; Loracert; Rinase†.

Mebhydrolin *(BAN, rINN)*

Mebhidrolina; Mebhydroline; Mebhydrolinum. 5-Benzyl-1,2,3,4-tetrahydro-2-methyl-γ-carboline.

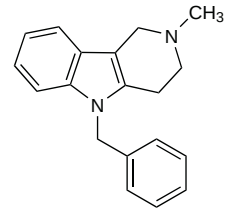
Мебгидролин

$C_{19}H_{20}N_2$ = 276.4.

CAS — 524-81-2.

ATC — R06AX15.

ATC Vet — QR06AX15.



Mebhydrolin Napadisilate *(BANM, rINNM)*

Diazolinum; Mebhydrolin Napadisylate; Mebhydrolin Naphthalenedisulphonate; Mebhydroline, Napadisilate de; Mebhydrolini Napadisilas; Napadisilato de mebhidrolina. Mebhydrolin naphthalene-1,5-disulphonate.

Мебгидролина Нападизилат

$(C_{19}H_{20}N_2)_2.C_{10}H_8O_6S_2$ = 841.0.

CAS — 6153-33-9.

ATC — R06AX15.

ATC Vet — QR06AX15.

Profile

Mebhydrolin, an ethylenediamine derivative, is a sedating antihistamine (p.561) with antimuscarinic and sedative properties. It has been given orally as the base or as the napadisilate salt for the symptomatic relief of allergic conditions including urticaria and rhinitis, and in pruritic skin disorders. Granulocytopenia and agranulocytosis have been reported.

Preparations

Proprietary Preparations (details are given in Part 3)

Indon.: Biolergy; Gabiten; Histapan; Incitin; Interhistin; Tralgi; Zoline; ***Israel:*** Cidalin; ***Rus.:*** Diazolin (Диазолин); ***S.Afr.:*** Fabahistin; ***Thai.:*** Dalhis; Dayhist; Manocidal; Manoeidai; Posidol.

Meclozine Hydrochloride

(BANM, pINNM)

Hidrocloruro de meclozina; Meclizine Hydrochloride; Meclizinium Chloride; Méclozine, chlorhydrate de; Meclozini Dihydrochloridum; Meclozini hydrochloridum; Meklotsiinihydrokloridi; Meklozin Hidroklorür; Meklozin-dihydrochlorid; Meklozin-hidroklorid; Meklozinhydroklorid; Meklozino hidrochloridas; Meklozyny chlorowodorek; Parachloramine Hydrochloride. 1-(4-Chlorobenzhydryl)-4-(3-methylbenzyl)piperazine dihydrochloride.

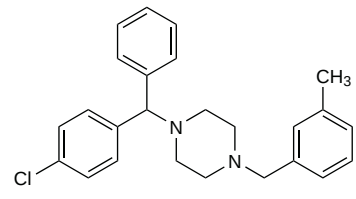
Меклозина Гидрохлорид

$C_{25}H_{27}ClN_2.2HCl$ = 463.9.

CAS — 569-65-3 (meclozine); 1104-22-9 (anhydrous meclozine hydrochloride); 31884-77-2 (meclozine hydrochloride monohydrate).

ATC — R06AE05.

ATC Vet — QR06AE05.



(meclozine)

Pharmacopoeias. In *Chin.* and *Eur.* (see p.vii).
US specifies the monohydrate.
Ph. Eur. 6.2 (Meclozine Hydrochloride). A yellow or yellowish-white, crystalline powder. Slightly soluble in water; soluble in alcohol and in dichloromethane. Store in airtight containers.
USP 31 (Meclizine Hydrochloride). The monohydrate is white or slightly yellowish crystalline powder that has a slight odour. Practically insoluble in water and in ether; freely soluble in chloroform, in pyridine, and in acid-alcohol-water mixtures; slightly soluble in dilute acids and in alcohol. Store in airtight containers.

Adverse Effects and Precautions
As for the sedating antihistamines in general, p.561. For reports of the use of antihistamines, including meclozine, in pregnancy, see p.563.

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

Uses and Administration
Meclozine hydrochloride, a piperazine derivative, is a sedating antihistamine with antimuscarinic and moderate sedative properties. It is mainly used for its antiemetic action, which may last for up to 24 hours. Meclozine hydrochloride is used in the prevention and treatment of nausea and vomiting associated with a variety of conditions including motion sickness (p.564) and for the symptomatic treatment of vertigo (p.565) caused by Ménière's disease and other vestibular disorders. Meclozine hydrochloride has also been used for the symptomatic relief of hypersensitivity reactions and pruritic skin disorders (p.565).

The usual oral dose of meclozine hydrochloride for motion sickness is 25 to 50 mg taken about one hour before travelling and repeated every 24 hours if necessary; up to 100 mg daily in divided doses has been given for the treatment of vertigo and vestibular disorders. In the prevention and treatment of motion sickness in children aged 6 to 12 years, 12.5 mg is given once daily; for children aged 2 to 6 years the dose is 6.25 mg once daily.

Both meclozine hydrochloride and meclozine base have been given by the rectal route; doses are similar to those given orally.

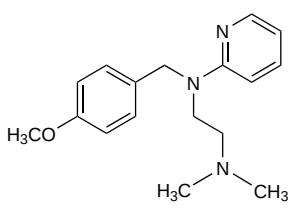
Preparations
USP 31: Meclizine Hydrochloride Tablets.

Proprietary Preparations (details are given in Part 3)
Belg.: Agyrax; Postafene; ***Braz.:*** Meclin; ***Canad.:*** Bonamine; ***Chile:*** Bonamina; ***Cz.:*** Postafen†; ***Denm.:*** Postafen; ***Fin.:*** Postafen; ***Fr.:*** Agyrax; ***Ger.:*** Peremesin N†; Peremesin†; Postadoxin N; Postafen†; ***Gr.:*** Emetostop; Postafene†; ***Hong Kong:*** Postafene; ***Mex.:*** Chiclida; Marenin; ***Neth.:*** Suprimal; ***Norw.:*** Peremesin; Postafen; ***NZ:*** Sea-Legs; ***Philipp.:*** Bonamine; Postadoxine; ***Port.:*** Navicalm; ***Rus.:*** Bonine (Бонин); ***Spain:*** Chiclida; Dramine; Navicalm†; ***Swed.:*** Postafen; ***Switz.:*** Duremesan; ***Turk.:*** Postadoxine; ***UK:*** Sea-Legs; ***USA:*** Antivert; Antrizine; Bonine; Dizmiss; Dramamine II; Meni-D; Vergon†.

Multi-ingredient: ***Austria:*** Contravert B ; Diligan; ***Ger.:*** Diligan†; ***Hong Kong:*** Navidoxine; ***India:*** Diligan; Pregnidoxin; ***Malaysia:*** Becoloxin†; Navidoxine†; ***Mex.:*** Bonadoxina; Bonalen; Bonazin; Emediba; Liatriz; Meclifar; Meclison; Pidoxina; Vo-Remi; ***Neth.:*** Emesafene; ***Port.:*** Diligan†; ***S.Afr.:*** Geratar; ***Singapore:*** Navidoxine†; ***Switz.:*** Duremesan; Itinerol B ; ***UK:*** Traveleeze; ***Venez.:*** Bonadoxina; Etizil†; Mebax†.

Mepyramine *(BAN, rINN)*
Mepiramina; Mepyramiini; Mepyramin; Mépyramine; Mepyraminum; Pyranisamine; Pyrilamine. 2-(*N*-*p*-Anisyl-*N*-2-pyridylamino)ethyldimethylamine.

Мепирамин
$C_{17}H_{23}N_3O$ = 285.4.
CAS — 91-84-9.
ATC — D04AA02; R06AC01.
ATC Vet — QD04AA02; QR06AC01.



Mepyramine Hydrochloride *(BANM, rINNM)*
Hidrocloruro de mepiramina; Mépyramine, Chlorhydrate de; Mepyramini Hydrochloridum; Pyranisamine Hydrochloride; Pyrilamine Hydrochloride.

Мепирамина Гидрохлорид
$C_{17}H_{23}N_3O$,HCl = 321.8.
CAS — 6036-95-9.
ATC — D04AA02; R06AC01.
ATC Vet — QD04AA02; QR06AC01.

Mepyramine Maleate *(BANM, rINNM)*
Maleato de mepiramina; Mepiramin Maleat; Mepiramin-maleát; Mepiramino maleatas; Mepyramiinimaleaatti; Mepyramin maleinát; Mépyramine, maléate de; Mepyramini maleas; Mepyraminmaleat; Pyranisamine Maleate; Pyrilamine Maleate. Mepyramine hydrogen maleate.

Мепирамина Малеат
$C_{17}H_{23}N_3O$,$C_4H_4O_4$ = 401.5.
CAS — 59-33-6.
ATC — D04AA02; R06AC01.
ATC Vet — QD04AA02; QR06AC01.

Pharmacopoeias. In *Eur.* (see p.vii) and *US.*
Ph. Eur. 6.2 (Mepyramine Maleate). A white or slightly yellowish, crystalline powder. Very soluble in water; freely soluble in alcohol. M.p. 99° to 103°. A 2% solution in water has a pH of 4.9 to 5.2. Protect from light.
USP 31 (Pyrilamine Maleate). A white crystalline powder usually having a faint odour. Soluble 1 in 0.5 of water, 1 in 3 of alcohol, 1 in 15 of dehydrated alcohol, and 1 in 2 of chloroform; slightly soluble in ether and in benzene. Its solutions are acid to litmus. 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
Mepyramine, an ethylenediamine derivative, is a sedating antihistamine with antimuscarinic and sedative properties. Mepyramine maleate is used for the symptomatic relief of hypersensitivity reactions and in pruritic skin disorders (p.565). Mepyramine maleate is also a common ingredient of compound preparations for the symptomatic treatment of coughs and the common cold (p.564).

Mepyramine maleate has been given in an oral dose of 50 mg at night as a hypnotic in the short-term management of insomnia (p.564).

A cream containing 2% mepyramine maleate is used locally for insect bites or stings, and for hypersensitivity and pruritic skin conditions but, as with any antihistamine, there is a risk of sensitisation. It has also been used in eye drops.

In some countries mepyramine maleate is available for parenteral use. Mepyramine hydrochloride has also been given parenterally or by the rectal route. Mepyramine tannate and mepyramine acefyllinate have been used orally.

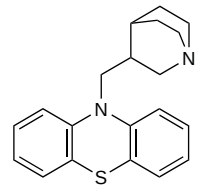
Preparations
BP 2008: Mepyramine Tablets;
USP 31: Pyrilamine Maleate Tablets.

Proprietary Preparations (details are given in Part 3)
Austral.: Relaxa-Tabs; ***Braz.:*** Alergitanil; ***Hong Kong:*** Anthisan; ***Irl.:*** Anthisan; ***NZ:*** Anthisan; ***S.Afr.:*** Anthisan; Antihist; Mepyraderm; Mepyrimal; ***Spain:*** Fluidasa; ***UK:*** Anthisan; ***USA:*** Pyrlex.

Multi-ingredient: ***Arg.:*** Bajumol†; Drynisan; Everfem; Fadanasal; Piracalamina; Polipectol†; Rynatanic†; ***Austral.:*** Neo-Diophen†; ***Belg.:*** Nortussine; ***Braz.:*** Alergitrat†; Alergo Glucalbet†; Beclase; Benistina†; Benzomel†; Conidrin; Expectussin†; Ginometrim Oral†; Gripanil†; Gripsay; Killgrip†; Naridrin; Nariflux; Nasogrip; Posdrink; ***Canad.:*** Extra Strength Multi-Symptom PMS Relief†; Hycomine; Jack and Jill; Midol Extra Strength; Midol PMS Extra Strength; Pamprin; Prefrin A; ratio-Theo-Bronc; Relievol PMS; Trendar PMS†; Tylenol Menstrual; ***Chile:*** Alerzona; Kitadol Periodo Menstrual; Minfaden; Predual; Rinolergan; Tapsin Periodo Menstrual; ***Fr.:*** Nortussine; ***Hong Kong:*** Easiko†; ***Israel:*** Aforinol; Alnase; Phenyphrine-Azol†; ***Ital.:*** Balsamina Kroner; Triaminic; Vasofen; ***Malaysia:*** Prefrin A†; ***Mex.:*** Femsedin Kutz; Lentostamin; ***Pol.:*** Kato-Nasal; Pro-Miss; ***Port.:*** Antigrippine; Naso-Prieulina†; Profrin-A†; Solpic†; ***S.Afr.:*** Antiflu†; Bronchiflu†; Codef; Codomill†; Colcaps; Coughcod; Docsed; Expectotussin C†; Flucol; Histodor; Medituss†; Metaxol; Sinu-Flu†; ***Singapore:*** Prefrin A†; ***Spain:*** Amplidermis; Pectobal Dextro†; ***Switz.:*** Calpred; Demostan N; Escogripp sans codeine; Euceta Pic; Histacyl Compositum†; Histacylettes†; Stilex; ***Thai.:*** Antergan†; ***Turk.:*** Peditus; Stilex; ***UAE:*** Profinal FM; ***UK:*** Anthisan Plus; Wasp-Eze; ***USA:*** 4-Way Fast Acting; AlleRx; C-Tanna 12D; Calamycin; Codal-DH; Codal-DM; Codimal DM; Codimal PH; Conal; De-Chlor MR; Derma-Pax; Duonate; Gelhist; HC Derma-Pax; Midol Maximum Strength Multi-Symptom Menstrual; Midol Pre-Menstrual Syndrome; Myci-Spray; MyHist-DM; MyHist-PD; Nalex-A 12; ND-Gesic; P-Hist; P-Tanna; Pamprin; Poly-Histine†; Premsyn PMS; Pro-Red; Pyrlex CB; R-Tanna; R-Tannamine; R-Tannate; R-Tannic-S†; Rectagene Medicated Rectal Balm; Resperal; Rhinatate; Robitussin Night Relief; Ryna-12; Soothaderm; Tanoral; Tri-Tannate; Tricodene Cough & Cold; Triotann; Triplex AD; Tritan; Tussi-12 D; Tussi-12D S; Tussplex; Viravan; Viravan-DM; Z-Xtra; ***Venez.:*** Fesanol†; Metilcodin†; Metilfedrin†; Pi-Fedrin; Pinazo.

Mequitazine *(BAN, rINN)*
LM-209; Mekitatsiini; Mekitazin; Mequitazina; Méquitazine; Mequitazinum. 10-(Quinuclidin-3-ylmethyl)phenothiazine.

Мехитазин
$C_{20}H_{22}N_2S$ = 322.5.
CAS — 29216-28-2.
ATC — R06AD07.
ATC Vet — QR06AD07.



Pharmacopoeias. In *Jpn.*

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

Sedation. For discussion of the sedative effects of antihistamines, see p.562. When mequitazine is given in the recommended dosage of 5 mg twice daily the incidence of sedation appears comparable with that of terfenadine. Sedation has, however, occurred after doses of 10 mg twice daily.

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

Antibacterials. For a report of torsade de pointes in a patient taking *spiramycin* and mequitazine, see Cytochrome P450 Isoenzymes under Interactions of Spiramycin, p.333.

Pharmacokinetics
After absorption from the gastrointestinal tract, mequitazine is metabolised. Unchanged drug and metabolites are excreted principally in the bile.

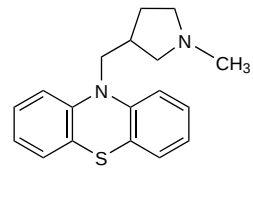
Uses and Administration
Mequitazine, a phenothiazine derivative, is a sedating antihistamine with antimuscarinic and mild sedative properties.

Mequitazine is used for the symptomatic relief of allergic conditions including urticaria (p.565), rhinitis (p.565) and conjunctivitis (p.564), and in pruritic skin disorders (p.565). It has been given in usual oral doses of 5 mg twice daily.

Preparations
Proprietary Preparations (details are given in Part 3)
Arg.: Primalan; ***Chile:*** Mircol; ***Fr.:*** Primalan; Quitadrill; ***Ger.:*** Metaplexan; ***Ital.:*** Primalan†; ***Mex.:*** Primalan; ***Philipp.:*** Primalan; ***Port.:*** Primalan; ***Rus.:*** Primalan (Прималан); ***Spain:*** Mircol.

Methdilazine *(BAN, rINN)*
Metdilatsiini; Metdilazin; Metdilazina; Methdilazinum; Metodilazina. 10-(1-Methylpyrrolidin-3-ylmethyl)phenothiazine.

Метдилазин
$C_{18}H_{20}N_2S$ = 296.4.
CAS — 1982-37-2.
ATC — R06AD04.
ATC Vet — QR06AD04.



Methdilazine Hydrochloride *(BANM, rINNM)*
Hidrocloruro de metodilazina; Methdilazine, Chlorhydrate de; Methdilazini Hydrochloridum.

Метдилазина Гидрохлорид
$C_{18}H_{20}N_2S$,HCl = 332.9.
CAS — 1229-35-2.
ATC — R06AD04.
ATC Vet — QR06AD04.