

Hypersensitivity. Hypersensitivity reactions manifesting as urticaria^{1,2} and fixed drug eruptions³ have been reported with cetirizine.

1. Karamfilov T, et al. Cetirizine-induced urticarial reaction. *Br J Dermatol* 1999; **140**: 979–80.
2. Calista D, et al. Urticaria induced by cetirizine. *Br J Dermatol* 2001; **144**: 196.
3. Inamadar AC, et al. Multiple fixed drug eruptions due to cetirizine. *Br J Dermatol* 2002; **147**: 1025–6.

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

Interactions

As for the non-sedating antihistamines in general, p.563. However, some interactions are less likely with cetirizine than with non-sedating antihistamines such as astemizole and terfenadine, since cetirizine appears to have low hepatic metabolism and little arrhythmic potential (see Arrhythmias, above).

Anticoagulants. For a report of an interaction between cetirizine and *acenocoumarol*, see under Interactions in Warfarin, p.1429.

Pharmacokinetics

Cetirizine is rapidly absorbed from the gastrointestinal tract after oral doses, peak plasma concentrations being attained within about an hour. Food delays the time to peak plasma concentrations but does not decrease the amount of drug absorbed. Cetirizine is highly bound to plasma proteins and has an elimination half-life of about 10 hours. It has been detected in breast milk. Cetirizine is excreted primarily in the urine mainly as unchanged drug. It does not appear to cross the blood-brain barrier to a significant extent.

◊ References.

1. Awani WM, et al. Effect of haemodialysis on the pharmacokinetics of cetirizine. *Eur J Clin Pharmacol* 1990; **38**: 67–9.
2. Desager JP, et al. A pharmacokinetic evaluation of the second-generation H₁-receptor antagonist cetirizine in very young children. *Clin Pharmacol Ther* 1993; **53**: 431–5.
3. Pitsiu M, et al. Retrospective population pharmacokinetic analysis of cetirizine in children aged 6 months to 12 years. *Br J Clin Pharmacol* 2004; **57**: 402–11.
4. Hussein Z, et al. Retrospective population pharmacokinetics of levocetirizine in atopic children receiving cetirizine: the ETAC study. *Br J Clin Pharmacol* 2005; **59**: 28–37.

Uses and Administration

Cetirizine hydrochloride, a piperazine derivative and metabolite of hydroxyzine (p.581), is described as a long-acting non-sedating antihistamine with some mast-cell stabilising activity. It appears to have a low potential for drowsiness in usual doses and to be virtually free of antimuscarinic activity. It is used for the symptomatic relief of allergic conditions including rhinitis (p.565) and chronic urticaria (p.565).

In adults and children aged 6 years and over, cetirizine hydrochloride is given in an oral dose of 10 mg once daily or 5 mg twice daily. Children aged 2 to 5 years may be given cetirizine 5 mg once daily or 2.5 mg twice daily. In the USA, children aged 6 months to 2 years may be given a dose of 2.5 mg once daily, increased to a maximum of 2.5 mg twice daily in those aged 12 months and over, for the treatment of perennial allergic rhinitis and chronic urticaria.

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

Dosage of cetirizine should be reduced in patients with hepatic or renal impairment, see below.

◊ References.

1. Curran MP, et al. Cetirizine: a review of its use in allergic disorders. *Drugs* 2004; **64**: 523–61.

Administration in hepatic or renal impairment. In patients with hepatic impairment, US licensed product information recommends that the dosage of cetirizine may need to be reduced to half the usual oral daily dose (see above). Similarly in patients with renal impairment, both the UK and US product information recommends a dosage reduction to half the usual daily dose.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Cabal; Cetidac; Cetizine; Cetrile; Salvalerg; Zyrtec; **Aust.:** Alzene; Zyrtec; **Austria:** Alerid; Cetiderm; Cetirhexal; Cetiristad; Ce-

tyrol†; ratioAllerg; Reactine; Rijgix; Tirizin; Virlix; Zirtek‡; Zyrtec; **Belg.:** His-

timed; Reactine; Zyrtec; **Braz.:** Aletr; Cethexal‡; Cetrizin; Zetalerg‡; Zetir; Zinetin; Zyrtek; **Canad.:** Allergy Relief; Reactine; **Chile:** Alertop; Coolips; Finaler; Histalen; Histax; Remitez; Rigotax; Sanaler; Sizacina; Zyrtec; **Cz.:** Alerid; Analerg; Cerec; Letizen; Parlazin; Reaction; Virlix‡; Zodac; **Denn.:** Alnok; Alerid; Cetiderm; Cetidur; Cetil; Cetilich; Cetinerg; Ceterfug‡; Ceti-Puren; Cetit; Cetiderm; Cetidur; Cetil; Cetilich; Cetingamma; Cetiran‡; Reaction; Virlix; Zyrtec; **Ger.:** Alerid; Cetaderm; Ceterfug‡; Ceti-Puren; Cetit; Cetiderm; Cetidur; Cetil; Cetilich; Cetingamma; Cetiran‡; Reaction; Virlix; Zyrtec; **Gr.:** Agelmin; Alenstran; Alergoxal; Arzedyn; Bebezix; Blezamont; Cetalfa; Cetiram; Cetirge; Ceziran; Cirizine; Dermizin; Enahimine; Gentiran; Habitelin; Hamitosin; Histafren; Kilsol; Lambeta; Ralizor; Remezine; Rezerc; Spatanil; Tasker; Telaris; Viteline; Zeda; Zepholin; Ziptek; Zirket‡; Znupril; **Hong Kong:** Adezo; Cethis; Getrin; Cety; Histac; Histazine; Manzine; Rhinit; Ryve; Simtec; Vick-Zyrt; Zertine; Zict; Zyrtec; **Hung.:** Alerid; Cetigen; Cetrin; Cetiphrad; Merzin; Parlazin; Zyrtec; **India:** Alend; Cetip; Cetica; Cetri; Cetiz; Cetizet; Cetizine; CTZ; ELG NII; LGNII; Rinitin; Zyrtec; **Indon.:** Betarhin; Cerin; Cetixat; Cetryn; Cetylmy; Estin; Falerg; Histrene; Incidal-OD; Ozen; Risina; Rydan; Ryel; Ryzen; Ryo; Tiriz; Zenin; **Ir.:** Cetrine; Histek; Ziprine; Zirtek; Zynor; **Israel:** Histazine; Zylger; **Ital.:** Formistin; Virlix‡; Zyrtec; **Jpn.:** Zyrtec; **Malaysia:** Adezo; Cetire; Simtec; Zict; Zyrtec; **Mex.:** Apoliz; Cethexal; Kenicet; Reaction; Trizinet; Virlix; Zyrtec; **Neth.:** Reactine; Revitalibans; Zyrtec; **Norw.:** Acura; Reaction; Virlix; Zyrtec; **NZ.:** Razene; Zyrtec; **Philip.:** Brellerect; Cet-10; Cetimine; Prixa; Unizet; Virlix; Zinx; Zyrigine; Zyrtec; **Pol.:** Acer; Alermed; Alzerina; Allertec; Amertil; Ceratiro; CetAlergin; Cetivax; Cetirizine; Cetylryzina; Letizen; Virlix; Zyrtec; **Zyx. Port.:** Cetix; Cimaz; Rinolber; Virlix; Zyrtec; **Rus.:** Alerza (Алерза); Allertec (Аллертек); Analergin (Аналергин); Сетина (Лигирина); Сетрина (Летрина); Letizen (Летизен); Parlazin (Парлазин); Зетрinal (Зетрина); Zoda (Зода); Зунец (Зунец); Zyrtec (Зиртек); **S.Afr.:** Allecet; Allermine; Texa; Zetop; Zyrtec; **Singapore:** Adezo; Agelmin†; Allertec†; Alztec; Cethis; Cetrin; Rhizin†; Sanctoce; Terizin; Zyrtec; **Spain:** Alcerina; Alerlisin; Coulergin; Reaction Plus; Reactine†; Virdox†; Virlix; Voltric†; Zyrtec; **Swed.:** Acura; Alerid; Cidron; Reaction; Zylex; **Switz.:** Cetrizine; Cet eco; Cetallerg; Cetrine; Histated; Tobin; Zyrtec; **Thail.:** Aller-cet; Cethis; Cetimed; Cetrine; Cetizet; Cetizin; Ceza; Cistamine; Cyzine; Fate; Histac; Incidal-OD†; Rentrex; Setin; Sutac; Terizin; Tizer; Triz; Unicet; Zensil; Zermed; Zertine; Zitte; Zymed; Zyrac; Zyrazine; Zyrcon; Zyre; Zyrte; **Turk.:** Allerset; Cetryn; Hirtrizin; Ressital; Setral; Virlix; Yeniz; Zyrte; **UAE:** Cetralon; **UK:** AllerTek Benadryl Allergy Oral Solution; Benadryl One A Day; Cetiroflox; Hayfever & Allergy Relief; Hayfever Relief; Piriteze; Zirtek; **USA:** Zyrtec; **Venez.:** Celay; Cetrex; Cetirax; Cetral; Cetinat†; Taliz; Virlix†; Zyrtec.

Multi-ingredient: **Arg.:** Cabal-D; Cetrile-D; **Austria:** Cirrus; **Belg.:** Cirrus; Reaction Pseudoephedrine; **Braz.:** Zyrtec-D; **Canad.:** Reaction Allergy & Sinus; **Chile:** Alertop-D; Finaler-D; Histalen-D; Remitez-D; Rigotax-D; Sanaler-D; Zyrtec-D†; **Cz.:** Pronose; **Fin.:** Cirrus; **Fr.:** Activeduo; Humex Rhinit Allergique†; **Ger.:** Reaction duo; Zyrtec Duo†; **Hong Kong:** Cirrus; Zyrtec-D; **Hung.:** Zyrtec-D; **India:** Alend Cold; Alerid D; Arnold; Cheston Cold; **Indon.:** Cirrus; Naristar; Pronose†; Reaction; **Malaysia:** Cirrus; Zyrtec-D; **Mex.:** Virlix-D; Zyrtec-D; **NZ.:** Zyrtec Decongestant; **Pol.:** Cirrus; Cirrus D; **Port.:** Cirrus; **Singapore:** Cirrus; **Spain:** Naristar; Stopcold; Virlix Plus; **Thail.:** Zyrtec-D; **Turk.:** Cirrus; **USA:** Zyrtec-D; **Venez.:** Cetirivax D; Zyrtec-D.

Chlorcyclizine Hydrochloride (BANM, rINN)

Chlorciklizino hidrochloridas; Chlorcyclizine, chlorhydrate de; Chlorcyclizini hydrochloridum; Chlorcyclizine Chlode; Chlo-rcyclizini hydrochlorid; Hidrocloruro de clorciclidina; Kloorisycli-sinihydrokloridi; Klórciklizin-hidroklorid; Klorcyclizinhydroklorid. I-(4-Chlorobenzhydryl)-4-methylpiperazine hydrochloride.

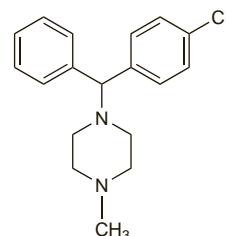
Хлорциклизина Гидрохлорид

$C_{18}H_{21}ClN_2\text{Cl},\text{HCl}$ = 337.3.

CAS — 82-93-9 (chlorcyclizine); 1620-21-9 (chlorcyclizine hydrochloride).

ATC — R06AE04.

ATC Vet — QR06AE04.



(chlorcyclizine)

Pharmacopeias. In Eur. (see p.vii).

Ph. Eur. 6.2 (Chlorcyclizine Hydrochloride). A white or almost white, crystalline powder. Freely soluble in water and in dichloromethane; soluble in alcohol. A 1% solution in water has a pH of 5.0 to 6.0. Protect from light.

Profile

Chlorcyclizine hydrochloride, a piperazine derivative, is a sedating antihistamine (p.561). It has been given orally for the symptomatic relief of hypersensitivity reactions; it has also been used as an antiemetic. It has been used in topical preparations, although as with other antihistamines, there is a risk of sensitisation.

Chlorcyclizine dibunate (naftoclizine) has been used as a cough suppressant similarly to sodium dibunate (p.1573).

Preparations

Proprietary Preparations (details are given in Part 3)

Denn.: Trihistan†; **Norw.:** Trihistan†.

Multi-ingredient: **Fin.:** Anervan; **Israel:** Temigran; **Neth.:** Primatour; **Norw.:** Anervan; **Spain:** Diminex Antitusigeno; **Swed.:** Anervan; Exolyt.

Chloropyramine Hydrochloride (BANM, rINN)

Chloropyramine, Chlorhydrate de; Chloropyramini Hydrochloridum; Halopyramine Hydrochloride; Hidrocloruro de cloropiramina. N-(4-Chlorobenzyl)-N,N-dimethyl-N-(2-pyridyl)ethylenediamine hydrochloride.

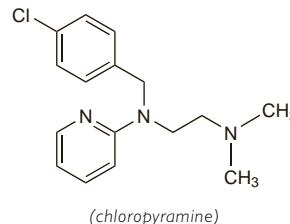
Хлоропирамина Гидрохлорид

$C_{16}H_{20}ClN_3\text{Cl},\text{HCl}$ = 326.3.

CAS — 59-32-5 (chloropyramine); 6170-42-9 (chloropyramine hydrochloride).

ATC — D04AA09; R06AC03.

ATC Vet — QD04AA09; QR06AC03.



(chloropyramine)

Profile

Chloropyramine hydrochloride, an ethylenediamine derivative, is an antihistamine (p.561). It has been given orally and by injection.

Preparations

Proprietary Preparations (details are given in Part 3)

Hung.: Suprastin; **Mex.:** Avapena; **Rus.:** Suprastin (Супрастин).

Chlorphenamine Maleate (BANM, rINN)

(BANM, rINN/M)

Chlorfenamin-maleinát; Chlorfenamino maleatas; Chlorfenaminy maleinian; Chlorphénamine, maléate de; Chlorphenamine maleas; Chlorpheniramine Maleate; Chlorprophenpyridamine Maleate; Kloorfénamaminmaleatt; Klorfenaminmaleat; Klórfenamin-maleát; Maleato de clofrenamina. (±)-3-(4-Chlorophenyl)-N,N-dimethyl-3-(2-pyridyl)propylamine hydrogen maleate.

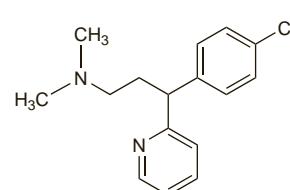
Хлорфенамина Малеат

$C_{16}H_{19}ClN_2\text{C}_4\text{H}_4\text{O}_4$ = 390.9.

CAS — 132-22-9 (chlorphenamine); 1113-92-8 (chlorphenamine maleate).

ATC — R06AB04.

ATC Vet — QR06AB04.



(chlorphenamine)

Pharmacopoeias. In Chin., Eur. (see p.vii), Int., Jpn, US, and Viet.

Ph. Eur. 6.2 (Chlorphenamine Maleate). A white or almost white, crystalline powder. Freely soluble in water; soluble in alcohol. Protect from light.

USP 31 (Chlorphenamine Maleate). A white, odourless, crystalline powder. Soluble 1 in 4 of water and 1 in 10 of alcohol and of chloroform; slightly soluble in ether and in benzene. Its solutions in water have a pH between 4 and 5. Store in airtight containers. Protect from light.

Incompatibility. Chlorphenamine maleate has been reported to be incompatible with calcium chloride, kanamycin sulfate, noradrenaline acid tartrate, pentobarbital sodium, and meglumine adipodione.