

it should be given at least 30 minutes before travelling), and in the treatment of vertigo of various causes (p.565). Diphenhydramine is used for its antimuscarinic properties in the control of parkinsonism (p.791) and drug-induced extrapyramidal disorders (p.971) (although the possibility that diphenhydramine itself may cause extrapyramidal symptoms should be remembered). Diphenhydramine has pronounced central sedative properties and may be used as a hypnotic in the short-term management of insomnia (p.564). It is a common ingredient of compound preparations for symptomatic treatment of coughs and the common cold (p.564). However, such preparations should be used with caution in children, and generally avoided in those under 2 years of age (see p.562). It may also be given in combination preparations containing analgesics, particularly paracetamol. Diphenhydramine may be used parenterally as an adjunct in the emergency treatment of anaphylactic shock (p.563) or when oral therapy is not feasible.

For most indications, diphenhydramine hydrochloride is given in usual oral doses of 25 to 50 mg three or four times daily. The dose for children is 6.25 to 25 mg three or four times daily, or a total daily dose of 5 mg/kg may be given in divided doses. The maximum dose in adults and children is about 300 mg daily. A dose of 20 to 50 mg may be used as a hypnotic in adults and children over 12 years old.

When oral therapy is not feasible, diphenhydramine hydrochloride may be given by deep intramuscular injection or by intravenous injection using concentrations of 1% or 5%. Usual doses are 10 to 50 mg, although doses of 100 mg have been given. No more than 400 mg should be given in 24 hours. Children may be given 5 mg/kg daily in divided doses to a maximum of 300 mg in 24 hours. Diphenhydramine hydrochloride is applied topically, usually in preparations containing 1 to 2% although, as with other antihistamines, there is a risk of sensitisation.

Diphenhydramine citrate is given orally in a dose of 76 mg at night in combination preparations for its hypnotic action. Diphenhydramine di(acefyllinate) is given as an antiemetic for the prevention and treatment of motion sickness. The usual oral dose is 90 to 135 mg, which may be repeated if necessary at intervals of at least 6 hours, to a maximum of 540 mg daily. Other diphenhydramine salts that have been used include the polistirex, the salicylate, and the tannate by mouth, the methylbromide rectally, and the metilsulfate applied topically.

Dimenhydrinate (p.576) is diphenhydramine teoclate and mefenidramium metilsulfate is diphenhydramine methylsulfomethylate.

Preparations

BP 2008: Diphenhydramine Oral Solution;

USP 31: Acetaminophen and Diphenhydramine Citrate Tablets; Acetaminophen, Diphenhydramine Hydrochloride, and Pseudoephedrine Hydrochloride Tablets; Diphenhydramine and Pseudoephedrine Capsules; Diphenhydramine Hydrochloride Capsules; Diphenhydramine Hydrochloride Elixir; Diphenhydramine Hydrochloride Injection.

Proprietary Preparations (details are given in Part 3)

Arg.: Almerina; Amodom; Benadryl; Benadryl Antialergico; Caladryl D; Drepatil; Fibolerg; Histaler; Klonadryl; Mudantos H; **Austral.:** Snuzaid; Unisom; **Austria:** Calmaben; Dermodrin; Dibondrin; Histaxin; Noctro; Sleepia; **Belg.:** Azaron; Benlyl; Diphamine; Nuicalm; Nustasium; R Calm; **Braz.:** Difendrin; **Canad.:** Aller-Aide; Allerdyl; Allergy Caplets; Allergy Elixir; Allergy Formula; Allernix; Benadryl; Calmax; Children's Allergy Formula; Dormex; Dormiphen; Insomnal; Jack & Jill Bedtime; Jack & Jill Thin Strips Cough & Cold; Neo Citran Nighttime Cough; Nytol; Simply Sleep; Sleep Aid; Sleep-Eze D; Somnex; Triaminic Thin Strips Nighttime Cough & Runny Nose; Unisom; **Chile:** Jaquedryl; Pasifen; Somol; **Cz.:** Benadryl Nj; Psilo-Balsam; **Fr.:** Butix; Nautamine; **Ger.:** Benadryl Nj; Betadorm D; Dolestan; Dormutl Nj; Emesax; Halbmond; Hevert-Dorm; Moradorm; nervo OPT N; ratioAllerg; S8; Sedativum-Hevert; Sediati; Sedopretten; Sleepia; Vinnox Sleep; **Gr.:** Benadryl; **Hong Kong:** Hevert-Dorm; Calox; Hydramine Cream; Unisom; **Hung.:** Psilo-Balsam; **India:** Benadryl; Cofryl; Dimiril; **Indon.:** Arcodryl; Benadryl; Otede; Paradyl; Recodryl; Sidiadryl; **Israel:** Nytol; **Ital.:** Aliserin; Allergan; Nytolj; **Mex.:** Benadryl; Bionaryl; Bonanoti; Drafen; Glucodril; Histadryl; Indumir; Lurispain; Nytol; Tzoalij; Ulcoid; Unisom; **NZ:** Unisom; **Philipp.:** Allerin AH; Benadryl Antihistamine; Benaxil; Dramelin; **Port.:** Benaderma; Benergina; Codilerg; Nytolj; **Rus.:** Psilo-Balsam (Псило-Бальзам); **S.Afr.:** Betasleep; Sleepzee-PM; **Singapore:** Benocent; Paxidorm; **Spain:** Benadryl; Neosayomol; Nytol; Sono-dor; **Swed.:** Desentol; **Switz.:** Bedorma; Benocent; Comprimes somniferes "S"; Dobacenz; Sleepia; **Thai.:** Benadryl; **Turk.:** Allenik Allerjin; Benison; Fenotral; **UAE:** Amydramine II; **UK:** Adult Chesty Cough; Child Chesty Cough; Dreemon; Histergan; Mandalyn Paediatric; Nightcalm; Nytol; Paxi-

dorm; Sleep Aid; Sleepzee; **USA:** 40 Winks; Aler-Dryl; AllerMax; Altaryl; Childrens Allergy; Banophen Allergy; Ben-Tann; Benadryl; Benadryl Allergy; Benadryl Childrens Allergy; Benadryl Itch; Childrens PediaCare Nighttime Cough; Compoz Night-time Sleep Aid; Dermamycin; Diphen AF; Diphenhist; Dormin; Dytan; Dyuss; Genahist; Maximum Strength Sleepinal; Maximum Strength Unisom Sleep-Gels; Miles Nervine; MouthKote P/R; Nytol; Scot-Tussin Allergy; Siladryl; Silphen; Simply Sleep; Sleep-Ettes D; Sleepwell 2-nite; Snooze Fast; Somnex; Triaminic Cough & Runny Nose; Tusstat; Twi-lite; **Venez.:** Benadryl; Di-Fedril; Ystajl.

Multi-ingredient: numerous preparations are listed in Part 3.

Diphenylpyraline Hydrochloride (BANM, rINNM)

Diphenylpyraline. Chlorhydrate de; Diphenylpyralini Hydrochloridum; Hidrocloruro de difenilpiralina. 4-Benzhydryloxy-1-methylpiperidine hydrochloride.

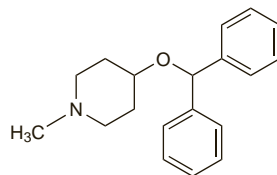
Дифенилпиралина Гидрохлорид

$C_{15}H_{23}NO \cdot HCl = 317.9$.

CAS — 147-20-6 (diphenylpyraline); 132-18-3 (diphenylpyraline hydrochloride).

ATC — R06AA07.

ATC Vet — QR06AA07.



(diphenylpyraline)

Pharmacopoeias. In *Br.*

BP 2008 (Diphenylpyraline Hydrochloride). A white or almost white, odourless or almost odourless powder. Freely soluble in water, in alcohol, and in chloroform; practically insoluble in ether.

Adverse Effects and Precautions

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

Interactions

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

Pharmacokinetics

◇ References.

- Graham G, Bolt AG. Half-life of diphenylpyraline in man. *J Pharmacokinet Biopharm* 1974; **2**: 191–5 (ranged from 24 to 40 hours).

Uses and Administration

Diphenylpyraline hydrochloride, a piperidine derivative, is a sedating antihistamine with antimuscarinic and significant sedative properties.

It has been given for the symptomatic relief of allergic conditions including rhinitis (p.565), and in pruritic skin disorders (p.565). It has also been used in compound preparations for the symptomatic treatment of coughs and the common cold (p.564).

Diphenylpyraline hydrochloride has been given in an oral dose of up to 6 mg daily in 3 or 4 divided doses. Diphenylpyraline and diphenylpyraline hydrochloride have been applied topically although, as with other antihistamines, there is a risk of sensitisation.

Diphenylpyraline teoclate is piprinhydrinate (p.588).

Preparations

Proprietary Preparations (details are given in Part 3)

Ger.: Arbid Nj.

Multi-ingredient: **Austria:** Arbid; Astronautal; Eucillin; Prurimix; Tropoderm; **Belg.:** Bicoltd; Rhini-San; **Braz.:** Omnatrolj; **Canad.:** Creo-Rectal; Vito Bronchesj; **Cz.:** Proctosprej; Prurimixj; **Ger.:** Perdiphenj; Proctosprej; Tempil N; **Hong Kong:** Phenahist; **India:** Eskold Expectorantj; Eskoldj; **Mex.:** Flumil; **S.Afr.:** Actopleon; Eskomade; Solphylex; Theophen Comp; **Switz.:** Arbid.

Doxylamine Succinate (BANM, rINNM)

Doksilamin Süksinat; Doksilamino-vandenilio sukcinatas; Doksylamiinivetyksuinat; Doxylamin-hidrogén-szukcinát; Doxylamine Hydrogen Succinate; Doxylamine, hydrogenosuccinate de; Doxylamine, Succinate de; Doxylamin-hydrogen-sukcinát; Doxylamini hydrogenosuccinas; Doxylamini Succinas; Doxylaminium Succinate; Doxylaminvatesuccinat; Histadoxylamine Succinate; Succinato de doxilamina. NN-Dimethyl-2-[α -methyl- α -(2-pyridyl)benzyloxy]ethylamine hydrogen succinate.

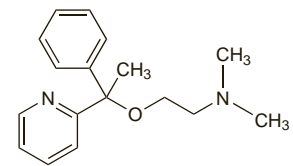
Доксиламин Суксинат

$C_{17}H_{22}N_2O_4 \cdot C_4H_6O_4 = 388.5$.

CAS — 469-21-6 (doxylamine); 562-10-7 (doxylamine succinate).

ATC — R06AA09.

ATC Vet — QR06AA09.



(doxylamine)

Pharmacopoeias. In *Eur.* (see p.vii) and *US*.

Ph. Eur. 6.2 (Doxylamine Hydrogen Succinate; Doxylamine Succinate BP 2008). A white or almost white powder. Very soluble in water; freely soluble in alcohol.

USP 31 (Doxylamine Succinate). A white or creamy-white powder having a characteristic odour. Soluble 1 in 1 of water, 1 in 2 of alcohol and of chloroform, and 1 in 370 of ether; very slightly soluble in benzene. Protect from light.

Adverse Effects and Precautions

As for the sedating antihistamines in general, p.561. The controversy surrounding the use in pregnancy of combination products of doxylamine is discussed on p.563.

Overdosage. In an evaluation of 109 cases of intoxication with doxylamine,¹ no correlation was found between the amount ingested or plasma concentration and the frequency or extent of symptoms. The most common symptom was impaired consciousness. Psychotic behaviour, seizures, and antimuscarinic symptoms such as tachycardia and mydriasis were also observed. Rhabdomyolysis occurred in one patient and was accompanied by transient impairment of renal function. The same group commented² that rhabdomyolysis had been noted in 7 of 442 cases of doxylamine overdosage, with an associated rise in plasma creatine kinase and myoglobinuria, and suggested that doxylamine has a direct toxic effect on striated muscle.

- Köppel C, et al. Poisoning with over-the-counter doxylamine preparations: an evaluation of 109 cases. *Hum Toxicol* 1987; **6**: 355–9.

- Köppel C, et al. Rhabdomyolysis in doxylamine overdose. *Lancet* 1987; **ii**: 442–3.

Interactions

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

Pharmacokinetics

After oral doses of doxylamine succinate peak plasma concentrations occur after 2 to 3 hours. An elimination half-life of about 10 hours has been reported.

◇ References.

- Friedman H, et al. Clearance of the antihistamine doxylamine: reduced in elderly men but not in elderly women. *Clin Pharmacokinet* 1989; **16**: 312–16.

Uses and Administration

Doxylamine succinate, a monoethanolamine derivative, is a sedating antihistamine with antimuscarinic and pronounced sedative effects.

Doxylamine succinate is given for the symptomatic relief of hypersensitivity reactions, in pruritic skin disorders (p.565), as a hypnotic in the short-term treatment of insomnia (p.564), and as an ingredient of compound preparations for symptomatic treatment of coughs and the common cold (p.564).

In general it is no longer used in the management of nausea and vomiting of early pregnancy (see p.563 for the controversy that has surrounded the use in pregnancy of combination products of doxylamine).

Oral doses of up to 25 mg of doxylamine succinate have been given every 4 to 6 hours to a maximum of 150 mg daily. The usual hypnotic dose is 25 mg orally at night.

Preparations

USP 31: Acetaminophen, Dextromethorphan Hydrobromide, Doxylamine Succinate, and Pseudoephedrine Hydrochloride Oral Solution; Doxylamine Succinate Syrup; Doxylamine Succinate Tablets.

Proprietary Preparations (details are given in Part 3)

Austral.: Dozile; Restavil; **Canad.:** Unisom-2; **Chile:** Calmax; Dorminot; Nocpaz; Trimepazj; Zarcop; **Cz.:** Hoggar Nj; **Fr.:** Donormyl; Lidene; Nocyl; **Ger.:** Gittalun; Hedoverdorm; doxyl intensj; Hoggar Night; Merepine; Munleittj; SchlafTabs; Sedapuls; **India:** Rest-Aid; **Israel:** Sleep Aid; Unisom; **NZ:** Dozile; **Philipp.:** Unisom; **Port.:** Dormidina; **S.Afr.:** Equi-Sleepj; Restwell; Somnil; **Spain:** Dormidina; **Switz.:** Sanalepsi N; **Turk.:** Unisom; **USA:** Aldex AN; Unisom Sleep Tabs.

Multi-ingredient: **Austral.:** Analgesic/Calmativ; Codalgin Plus; Dimetapp Cold, Cough & Flu; Dolased Analgesic Calmativ; Dolased Day/Night Pain Relief; Fiorinal; Mersyndol; Ordov Migradolj; Panadeine Plus; Panalgesic; **Austria:** Wick Erkaltungs-Saft für die Nacht; Wick Hustensaft; **Belg.:** Pholco-Merepine; **Braz.:** Broncolectj; EMS Expectorante; Hytos Plus; Revenil; Revenil Dospan; Revenil Expectorante; Silencium; Silomat Plusj; **Canad.:** Dalmacol; Diclectin; Mersyndol with Codeine; Neo Citran Cold & Flu; Nighttime; Nighttime Cold & Flu; Nyquil Sinus; NyQuilj; ratio-Calmidone; Regular Strength Sinus Medication; Tylenol Sinus (Nighttime Relief); Vicks Nyquil Cold & Flu; **Cz.:** Wick Medinaitj; **Fr.:** DolirhumePro; **Ger.:** Paedisup; Wick Medinait; **India:** Doxinate; Vominate; **Indon.:** Dexamolex; Siladex; **Irl.:** Syndol; **Ital.:** Vicks Medinait; **NZ:** Dimetapp Cold, Cough & Flu Day & Nightj; Mersyndol; Pryndettej; **Pol.:** Tabcin Impakt; **Port.:** Nauseife; **S.Afr.:** Abfex; Accurate; Adco-Dol; Adco-B-Dol; Betyapin; Cepacol; Codoxol; Dossyn; Forpynj; Lenapain; Nethaprin Dospan; Nethaprin Expectorant; Nomopain; Paxidal; Pynclearj; Pynstop; Sedapain; Sedi-

nol; Syndol; Tensopin; Vicks Medinite; Xerotenst; **Spain:** Cariban; Medinait; Vicks Medinait; **Switz:** Vicks Medinait; **Turk:** Vicks Medinait; **UK:** Paine; Propain Plus; Syndol; Vicks Medinite; **USA:** Alka-Seltzer Plus; Night-Time Cold; All-Nite Cold Formula; Genite; Night Time Cold/Flu Relief; Nite Time Cold Formula; NyQuil Hot Therapy; NyQuil Nighttime Cold/Flu; Nyctcold Medicine; Vicks NyQuil LiquiCaps; Vicks NyQuil Multi-Symptom Cold Flu Relief; Vicks NyQuil Sinus; **Venez:** Mercindol.

Ebastine (BAN, USAN, rINN)

Ebastini; Ebastin; Ebastina; Ebastinas; Ébastine; Ebastinum; LAS-W-090; W-090. 4'-tert-Butyl-4-[4-(diphenylmethoxy)piperidin-10]butyphenone.

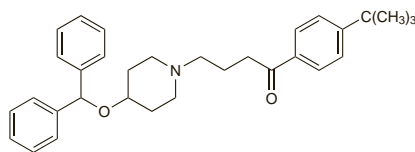
Эбастин

$C_{32}H_{39}NO_2 = 469.7$.

CAS — 90729-43-4.

ATC — R06AX22.

ATC Vet — QR06AX22.



Pharmacopoeias. In *Eur.* (see p.vii).

Ph. Eur. 6.2 (Ebastine). A white or almost white crystalline powder. M.p. about 86°. Practically insoluble in water; very soluble in dichloromethane; sparingly soluble in methyl alcohol. Protect from light.

Profile

Ebastine, a piperidine derivative, is a non-sedating antihistamine (p.561) with a long duration of action. It does not have significant sedative or antimuscarinic actions.

Ebastine is given for the symptomatic relief of allergic conditions including rhinitis (p.565) and in pruritic skin disorders (p.565). The usual oral dose is 10 to 20 mg daily. It is also used with a decongestant such as pseudoephedrine hydrochloride.

References

- Luria X. Comparative clinical studies with ebastine: efficacy and tolerability. *Drug Safety* 1999; **21** (suppl 1): 63–7.
- Hurst M, Spencer CM. Ebastine: an update of its use in allergic disorders. *Drugs* 2000; **59**: 981–1006.
- Lasseter KC, *et al.* Pharmacokinetics and safety of ebastine in patients with impaired hepatic function compared with healthy volunteers: a phase I open-label study. *Clin Pharmacokinetics* 2004; **43**: 121–9.
- Noveck RJ, *et al.* Pharmacokinetics and safety of ebastine in healthy subjects and patients with renal impairment. *Clin Pharmacokinetics* 2007; **46**: 525–34.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Ebastel†; **Belg.:** Estivan; **Braz.:** Ebastel; **Chile:** Ebastel†; **Cz.:** Kestine†; **Denm.:** Kestine; **Fin.:** Kestine; **Fr.:** Kestin; **Ger.:** Ebastel; **Gr.:** Kestine; **Hong Kong:** Kestine; **Ital.:** Clever; **Kestine;** **Jpn.:** Ebastel; **Mex.:** Evastel; **Neth.:** Kestine; **Norw.:** Kestine; **Philipp.:** Aleva; **Port.:** Estivan; **Rus.:** Kestine (Кестин); **S.Afr.:** Kestine; **Singapore:** Kestine; **Spain:** Bactil; **Swed.:** Ebastel; **Venez.:** Ebastel.

Multi-ingredient: **Arg.:** Ebastel D†; **Braz.:** Ebastel D; **Mex.:** Evastel-D; **Spain:** Rino Ebastel; Rinobactil.

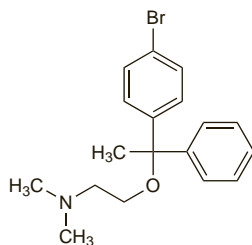
Embramine Hydrochloride (BANM, rINNM)

Embramine, Chlorhydrate d'; Embramini Hydrochloridum; Embraminium Chloratum; Hydrocloruro de embramina; Mebrophenhydramine Hydrochloride; Mebrophenhydraminium Chloratum. 2-(4-Bromo- α -methylbenzhydryloxy)-NN-dimethylethylamine hydrochloride.

Эмбрамина Гидрохлорид

$C_{18}H_{22}BrNO \cdot HCl = 384.7$.

CAS — 3565-72-8 (embramine); 13977-28-1 (embramine hydrochloride); 21661-63-2 (embramine teoclate).



(embramine)

The symbol † denotes a preparation no longer actively marketed

Profile

Embramine hydrochloride, a monoethanolamine derivative, is a sedating antihistamine (p.561). Embramine hydrochloride and embramine teoclate have been given orally for their antihistamine and antiemetic properties.

Preparations

Proprietary Preparations (details are given in Part 3)

Cz.: Medrin; **India:** Mebryl.

Emedastine Fumarate (BANM, rINNM)

AL-3432A; Emedastiniidifumaraatti; Emedastin difumarát; Emedastin Fumarat; Emedastindifumarat; Emedastine Difumarate (USAN); Émedastine, difumarate d'; Émedastine, Fumarate d'; Emedastini difumaras; Emedastini Fumaras; Emedastyn difumaran; Fumarato de emedastina; KB-2413; KG-2413; LY-188695. 1-(2-Ethoxyethyl)-2-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)benzimidazole fumarate (1:2).

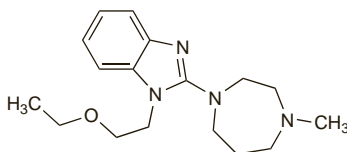
Эмедастина Фумарат

$C_{17}H_{26}N_4O_2 \cdot 2C_4H_4O_4 = 534.6$.

CAS — 87233-61-2 (emedastine); 87233-62-3 (emedastine fumarate).

ATC — S01GX06.

ATC Vet — QS01GX06.



(emedastine)

Pharmacopoeias. In *Eur.* (see p.vii) and *US*.

Ph. Eur. 6.2 (Emedastine Difumarate). A white or yellowish powder. It exhibits polymorphism. Soluble in water; sparingly soluble in dehydrated alcohol; very slightly soluble in acetone. A 0.2% solution in water has a pH of 3.0 to 4.5. Protect from light.

USP 31 (Emedastine Difumarate). A white to faintly yellow crystalline powder. Soluble in water. pH of a 0.2% solution in water is between 3.0 and 4.5. Store in airtight containers. Protect from light.

Adverse Effects and Precautions

As for the antihistamines in general, p.561.

Ocular corneal infiltrates, local irritation, photophobia, rhinitis, and headaches have been reported after use of emedastine eye drops. Treatment should be stopped if corneal infiltrates develop.

Pharmacokinetics

Emedastine is absorbed from the gastrointestinal tract, peak plasma concentrations being attained about 3 hours after an oral dose. It is mainly metabolised in the liver to two primary metabolites 5- and 6-hydroxyemedastine which are excreted in the urine along with a small amount of unchanged drug. Small amounts of emedastine are absorbed after application to the eye. The elimination half-life is reported to be 7 hours after an oral dose and 10 hours following topical use.

Uses and Administration

Emedastine is an antihistamine. It is instilled twice daily as the fumarate as eye drops containing the equivalent of 0.05% of emedastine for the symptomatic relief of allergic conjunctivitis (p.564). It is also given orally in usual doses of 2 to 4 mg of the fumarate daily in two divided doses for allergic rhinitis (p.565), urticaria (p.565), and pruritic skin disorders (p.565).

Preparations

USP 31: Emedastine Ophthalmic Solution.

Proprietary Preparations (details are given in Part 3)

Austria: Emadine; **Belg.:** Emadine; **Braz.:** Emadine; **Canad.:** Emadine; **Cz.:** Emadine; **Denm.:** Emadine; **Fin.:** Emadine; **Fr.:** Emadine; **Ger.:** Emadine; **Gr.:** Emadine; **Hong Kong:** Emadine; **Hung.:** Emadine; **Irl.:** Emadine; **Israel:** Emadine; **Ital.:** Emadine; **Jpn.:** Daren; Remicut; **Malaysia:** Emadine; **Neth.:** Emadine; **Norw.:** Emadine; **Pol.:** Emadine; **Port.:** Emadine; **S.Afr.:** Emadine; **Spain:** Emadine; **Swed.:** Emadine; **Switz.:** Emadine; **Thai.:** Emadine; **Turk.:** Emadine; **UK:** Emadine; **USA:** Emadine.

Epinastine Hydrochloride (rINNM)

Épinastine, Chlorhydrate d'; Epinastine, chlorhydrate d'; Epinastini hydrochloridum; Hydrocloruro de epinastina; WAL-801-Cl. 3-Amino-9-[3b-dihydro-1H-dibenz[c,f]imidazo[1,5-a]zepine hydrochloride.

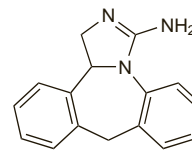
Эпинастина Гидрохлорид

$C_{16}H_{15}N_3 \cdot HCl = 285.8$.

CAS — 80012-43-7 (epinastine).

ATC — R06AX24; S01GX10.

ATC Vet — QR06AX24; QS01GX10.



(epinastine)

Profile

Epinastine hydrochloride is an antihistamine (p.561) reported to have no significant sedative activity. It has been given orally in the management of allergic rhinitis and pruritic skin disorders. It is also used twice daily as eye drops, usually in a concentration of 0.05%, in the symptomatic relief of allergic conjunctivitis.

References

- Sarashina A, *et al.* Population pharmacokinetics of epinastine, a histamine H₁ receptor antagonist, in adults and children. *Br J Clin Pharmacol* 2005; **59**: 43–53.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Alket; Flunol; **Belg.:** Relestat; **Braz.:** Talerc; **Chile:** Flunol; **Cz.:** Purvist; **Fr.:** Purvist; **Ger.:** Relestat; **Gr.:** Relestat; **Hung.:** Relestat; **Irl.:** Relestat; **Ital.:** Relestat; **Jpn.:** Alesion; **Mex.:** Fluninol; **Neth.:** Relestat; **Pol.:** Relestat; **Port.:** Relestat; **Spain:** Relestat; **Swed.:** Relestat; **Switz.:** Relestat; **UK:** Relestat; **USA:** Elestat; **Venez.:** Fluninol.

Multi-ingredient: **Arg.:** Fluninol D; **Mex.:** Fluninol D.

Fexofenadine Hydrochloride

(BANM, USAN, rINNM)

Feksofenadiinihydroklorid; Feksofenadin Hidroklorür; Fexofenadine, chlorhydrate de; Fexofenadinhydroklorid; Fexofenadini hydrochloridum; Hydrocloruro de fexofenadina; MDL-16455A; Terfenadine Carboxylate Hydrochloride. (±)-p-(1-Hydroxy-4-[4-(hydroxydiphenylmethyl)-piperidino]butyl)- α -methylhydratropic acid hydrochloride.

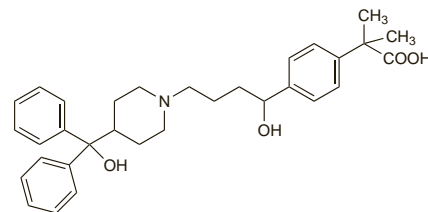
Фексофенадина Гидрохлорид

$C_{32}H_{39}NO_4 \cdot HCl = 538.1$.

CAS — 138452-21-8.

ATC — R06AX26.

ATC Vet — QR06AX26.



(fexofenadine)

Pharmacopoeias. In *Eur.* (see p.vii) and *US*.

Ph. Eur. 6.2 (Fexofenadine Hydrochloride). A white or almost white powder. Slightly soluble in water; freely soluble in methyl alcohol; very slightly soluble in acetone. It exhibits polymorphism.

USP 31 (Fexofenadine Hydrochloride). Store at a temperature of 20° to 25°, excursions permitted between 15° and 30°. Protect from light.

Adverse Effects and Precautions

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

Arrhythmias. A 67-year-old man suffered syncope after taking fexofenadine 180 mg daily for 2 months.¹ His ECG showed an abnormally prolonged QT interval which shortened once fexofenadine was stopped, although the interval tended to be long even without drug therapy. Nonetheless rechallenge was positive. The manufacturers of fexofenadine have commented² that the patient was at risk of developing arrhythmias before taking the drug.