nol; Syndol; Tensopyn; Vicks Medinite; Xerotens†; ***Spain:*** Cariban; Medinait; Vicks Medinait†; ***Switz.:*** Vicks Medinait; ***Turk.:*** Vicks MediNait; ***UK:*** Painex; 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; Nytcold Medicine; Vicks NyQuil LiquiCaps; Vicks NyQuil Multi-Symptom Cold Flu Relief; Vicks NyQuil Sinus; ***Venez.:*** Mercindol.

Ebastine *(BAN, USAN, rINN)*

Ebastiini; Ebastin; Ebastina; Ebastinas; Ébastine; Ebastinum; LAS-W-090; W-090. 4′-*tert*-Butyl-4-[4-(diphenylmethoxy)piperidino]butyrophenone.

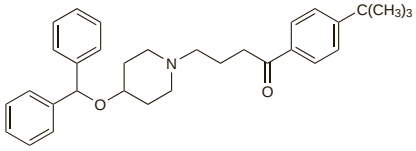
Эбастин

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

1. Luria X. Comparative clinical studies with ebastine: efficacy and tolerability. *Drug Safety* 1999; **21** (suppl 1): 63–7.
2. Hurst M, Spencer CM. Ebastine: an update of its use in allergic disorders. *Drugs* 2000; **59:** 981–1006.
3. 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 Pharmacokinet* 2004; **43:** 121–9.
4. Noveck RJ, *et al.* Pharmacokinetics and safety of ebastine in healthy subjects and patients with renal impairment. *Clin Pharmacokinet* 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; Netan; ***Norw.:*** Kestine; ***Philipp.:*** Aleva; ***Port.:*** Estivan; Kestine; ***Rus.:*** Kestine (Кестин); ***S.Afr.:*** Kestine; ***Singapore:*** Kestine; ***Spain:*** Bactil; Busidril†; Ebastel; ***Swed.:*** Kestine; ***Venez.:*** Ebastel.

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

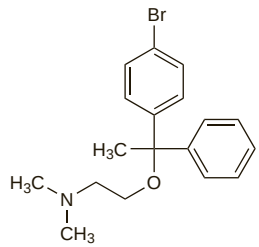
Embramine Hydrochloride *(BANM, rINNM)*

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

Эмбрамина Гидрохлорид

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

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



(embramine)

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

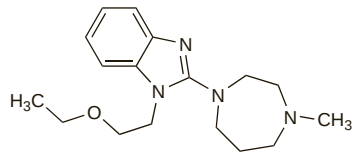
Эмедастина Фумарат

$C_{17}H_{26}N_4O,2C_4H_4O_4$ = 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; Hidrocloruro de epinastina; WAL-801-Cl. 3-Amino-9,13b-dihydro-1*H*-dibenz[*c,f*]imidazo[1,5-*a*]azepine hydrochloride.

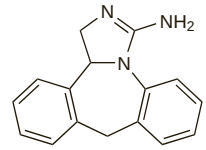
Эпинастина Гидрохлорид

$C_{16}H_{15}N_3,HCl$ = 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.

1. Sarashina A, *et al.* Population pharmacokinetics of epinastine, a histamine H 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; Flurinol; ***Belg.:*** Relestat; ***Braz.:*** Talerc; ***Chile:*** Flurinol; ***Cz.:*** Purivist; ***Fr.:*** Purivist; ***Ger.:*** Relestat; ***Gr.:*** Relestat; ***Hung.:*** Relestat; ***Irl.:*** Relestat; ***Ital.:*** Relestat; ***Jpn:*** Alesion; ***Mex.:*** Flurinol; ***Neth.:*** Relestat; ***Pol.:*** Relestat; ***Port.:*** Relestat; ***Spain:*** Relestat; ***Swed.:*** Relestat; ***Switz.:*** Relestat; ***UK:*** Relestat; ***USA:*** Elestat; ***Venez.:*** Flurinol.

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

Fexofenadine Hydrochloride

(BANM, USAN, rINNM)

Feksofenadiinihydrokloridi; Feksofenadin Hidroklorür; Fexofénadine, chlorhydrate de; Fexofenadinhydroklorid; Fexofenadini hydrochloridum; Hidrocloruro de fexofenadina; MDL-16455A; Terfenadine Carboxylate Hydrochloride. (±)-*p*-{1-Hydroxy-4-[4-(hydroxydiphenylmethyl)-piperidino]butyl}-α-methylhydratropic acid hydrochloride.

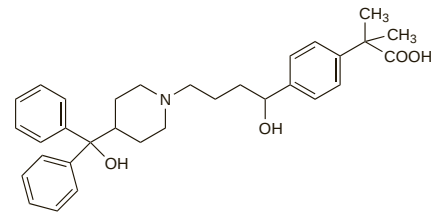
Фексофенадина Гидрохлорид

$C_{32}H_{39}NO_4,HCl$ = 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 commented[2] that the patient was at risk of developing arrhythmias before taking the drug.