Pharmacopoeias. In *US*.
USP 31 (Apraclonidine Hydrochloride). A white to off-white, odourless to practically odourless powder. Soluble 1 in 34 of water, 1 in 74 of alcohol, and 1 in 13 of methyl alcohol; insoluble in chloroform, in ethyl acetate, and in hexanes. pH of a 1% solution in water is between 5.0 and 6.6. Store in airtight containers. Protect from light.

Adverse Effects and Precautions
Adverse effects after perioperative instillation of apraclonidine into the eye include hyperaemia, lid retraction, and mydriasis. Some patients may develop an exaggerated reduction in intra-ocular pressure. On regular instillation an ocular intolerance reaction may occur, characterised by hyperaemia, ocular pruritus, increased lachrymation, ocular discomfort, and oedema of the lids and conjunctiva; treatment should be stopped if these symptoms occur. Other adverse effects reported include dry mouth and nose, conjunctivitis, conjunctival blanching, blurred vision, asthenia, headache, and taste disturbances.

Systemic absorption can occur after application to the eye and may result in adverse effects similar to those of clonidine (p.1247). Cardiovascular effects have been reported; therefore apraclonidine should be used with caution in patients with severe cardiovascular disease, including hypertension, and in patients with a history of vasovagal attacks. Drowsiness may also occur. Depression has rarely been associated with use of apraclonidine and it should be used with caution in depressed patients.

Interactions
Systemic absorption may occur after topical application of apraclonidine to the eye and there is a theoretical possibility of interactions similar to those reported with clonidine (p.1248). Since the effects of apraclonidine on circulating catecholamines are unknown, licensed product information recommends that MAOIs should not be given with apraclonidine; tricyclic and related antidepressants and systemic sympathomimetics should also be avoided or used with caution.

Uses and Administration
Apraclonidine is an alpha$_2$-adrenoceptor agonist derived from clonidine (p.1247). It reduces intra-ocular pressure when instilled into the eye and is used in patients undergoing eye surgery, and as an adjunct in the management of glaucoma (p.1873). The reduction in intra-ocular pressure begins within an hour of instillation and is maximal after about 3 to 5 hours.

Apraclonidine is used as the hydrochloride, but the strength of an ophthalmic solution is usually expressed in terms of the base. Apraclonidine hydrochloride 11.5 mg is equivalent to about 10 mg of apraclonidine.

To control or prevent a postoperative increase in intraocular pressure in patients undergoing anterior segment laser surgery, a 1% solution is instilled into the eye one hour before surgery and again immediately upon completion of surgery.

For short-term adjunctive therapy in patients with raised intra-ocular pressure not controlled by conventional therapy, a 0.5% solution may be instilled three times daily.

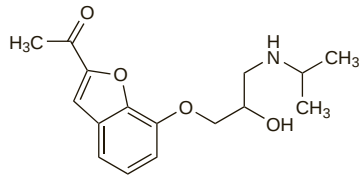
There is a loss of effect over time (tachyphylaxis) with apraclonidine and the benefit in most patients lasts for less than a month.

Preparations
USP 31: Apraclonidine Ophthalmic Solution.

Proprietary Preparations (details are given in Part 3)
Arg.: Iopidine†; ***Austral.:*** Iopidine; ***Austria:*** Iopidine; ***Belg.:*** Iopidine; ***Braz.:*** Iopidine†; ***Canad.:*** Iopidine; ***Chile:*** Iopidine†; ***Cz.:*** Iopidine†; ***Denm.:*** Iopidine; ***Fin.:*** Iopidine; ***Fr.:*** Iopidine; ***Ger.:*** Iopidine; ***Gr.:*** Iopidine; ***Hong Kong:*** Iopidine; ***India:*** Alfadrops; ***Irl.:*** Iopidine; ***Israel:*** Iopidine; ***Ital.:*** Iopidine; ***Jpn:*** Iopidine†; ***Malaysia:*** Iopidine†; ***Mex.:*** Iopidine; ***Neth.:*** Iopidine; ***Norw.:*** Iopidine; ***NZ:*** Iopidine†; ***Port.:*** Iopidine; ***S.Afr.:*** Iopidine; ***Singapore:*** Iopidine; ***Spain:*** Iopimax; ***Swed.:*** Iopidine; ***Switz.:*** Iopidine; ***Turk.:*** Iopidine; ***UK:*** Iopidine; ***USA:*** Iopidine; ***Venez.:*** Iopidine†.

Befunolol Hydrochloride *(rINNM)* ⊗
Béfunolol, Chlorhydrate de; Befunololi Hydrochloridum; BFE-60; Hidrocloruro de befunolol. 7-[2-Hydroxy-3-(isopropylamino)propoxy]-2-benzofuranyl methyl ketone hydrochloride.

Бефунолола Гидрохлорид
$C_{16}H_{21}NO_4$,HCl = 327.8.
CAS — 39552-01-7 (befunolol); 39543-79-8 (befunolol hydrochloride).
ATC — S01ED06.
ATC Vet — QS01ED06.



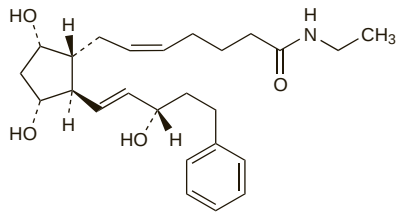
(befunolol)

Profile
Befunolol is a beta blocker (p.1225). It is used as the hydrochloride in the management of ocular hypertension and open-angle glaucoma (p.1873). Eye drops containing befunolol hydrochloride 0.25%, 0.5%, or 1% are instilled twice daily.

Preparations
Proprietary Preparations (details are given in Part 3)
Austria: Glauconex†; ***Gr.:*** Thilonium†; ***Ital.:*** Betaclar; ***Jpn:*** Bentos; ***Mon.:*** Bentos.

Bimatoprost *(BAN, USAN, rINN)*
AGN-192024; Bimatoprostum. (*Z*)-7-{(1*R*,2*R*,3*R*,5*S*)-3,5-Dihydroxy-2-[(1*E*,3*S*)-3-hydroxy-5-phenyl-1-pentenyl]cyclopentyl}-*N*-ethyl-5-heptenamide.

Биматопрост
$C_{25}H_{37}NO_4$ = 415.6.
CAS — 155206-00-1.
ATC — S01EE03.
ATC Vet — QS01EE03.



Adverse Effects and Precautions
As for Latanoprost, p.1882. Ocular pruritus is common. Hypertension and headache also commonly occur.

Pharmacokinetics
Small amounts of bimatoprost are absorbed from eye drops, with peak blood concentrations seen within 10 minutes of dosing. Bimatoprost is metabolised by oxidation, de-ethylation and glucuronidation and is excreted mainly in the urine with about 25% appearing in the faeces. The elimination half-life is 45 minutes.

Uses and Administration
Bimatoprost is a synthetic prostamide, a fatty-acid amide that is structurally related to dinoprost (prostaglandin F_2). It is used to reduce intra-ocular pressure in the treatment of open-angle glaucoma and ocular hypertension (p.1873). Reduction in pressure starts about 4 hours after instillation and is maximal within 8 to 12 hours; the effect lasts for at least 24 hours. It is given once daily in the evening as a 0.03% ophthalmic solution.

◊ References.

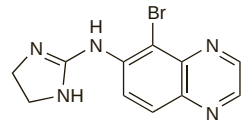
1. Sherwood M, *et al.* Six-month comparison of bimatoprost once-daily and twice-daily with timolol twice-daily in patients with elevated intraocular pressure. *Surv Ophthalmol* 2001; **45** (suppl 4): S361–S368.
2. Brandt JD, *et al.* Comparison of once- or twice-daily bimatoprost with twice-daily timolol in patients with elevated IOP: a 3-month clinical trial. *Ophthalmology* 2001; **108:** 1023–31.
3. Whitcup SM, *et al.* A randomised, double masked, multicentre clinical trial comparing bimatoprost and timolol for the treatment of glaucoma and ocular hypertension. *Br J Ophthalmol* 2003; **87:** 57–62.
4. Cantor LB, *et al.* Intraocular pressure-lowering efficacy of bimatoprost 0.03% and travoprost 0.004% in patients with glaucoma or ocular hypertension. *Br J Ophthalmol* 2006; **90:** 1370–3.

Preparations
Proprietary Preparations (details are given in Part 3)
Arg.: Lumigan; ***Austral.:*** Lumigan; ***Austria:*** Lumigan; ***Belg.:*** Lumigan; ***Braz.:*** Lumigan; ***Canad.:*** Lumigan; ***Chile:*** Lumigan; ***Cz.:*** Lumigan; ***Denm.:*** Lumigan; ***Fin.:*** Lumigan; ***Fr.:*** Lumigan; ***Ger.:*** Lumigan; ***Gr.:*** Lumigan; ***Hong Kong:*** Lumigan; ***Hung.:*** Lumigan; ***India:*** Lumigan; ***Irl.:*** Lumigan; ***Israel:*** Lumigan; ***Ital.:*** Lumigan; ***Malaysia:*** Lumigan†; ***Mex.:*** Lumigan; ***Neth.:*** Lumigan; ***Norw.:*** Lumigan; ***NZ:*** Lumigan; ***Philipp.:*** Lumigan; ***Pol.:*** Lumigan; ***Port.:*** Lumigan; ***S.Afr.:*** Lumigan; ***Singapore:*** Lumigan; ***Spain:*** Lumigan; ***Swed.:*** Lumigan; ***Switz.:*** Lumigan; ***Thai.:*** Lumigan; ***Turk.:*** Lumigan; ***UK:*** Lumigan; ***USA:*** Lumigan; ***Venez.:*** Lumigan.

Multi-ingredient: ***Cz.:*** Ganfort; ***Gr.:*** Ganfort; ***Port.:*** Ganfort; ***UK:*** Ganfort.

Brimonidine Tartrate *(BANM, USAN, rINNM)*
AGN-190342-LF; Brimonidin Tartrat; Brimonidine, Tartrate de; Brimonidini Tartras; Tartrato de brimonidina; UK-14304-18. 5-Bromo-6-(2-imidazolin-2-ylamino)quinoxaline D-tartrate.

Бримонидина Тартрат
$C_{11}H_{10}BrN_5,C_4H_6O_6$ = 442.2.
CAS — 59803-98-4 (brimonidine); 79570-19-7 (brimonidine tartrate).
ATC — S01EA05.
ATC Vet — QS01EA05.



(brimonidine)

Adverse Effects and Precautions
As for Apraclonidine Hydrochloride, p.1878.

In children. Systemic adverse effects, occasionally severe,[1] have been reported in children treated with brimonidine eye drops. In one study[2] adverse effects were reported in 70 of 83 children given adjunctive brimonidine, the most common effects being lethargy and excessive sleepiness; other effects included ocular irritation and blurred vision. Hypothermia occurred in a few cases, mainly in older children. Effects suggesting CNS depression, such as cyanosis and breathing difficulty, were rare, and were most likely in children less than 6 years of age or weighing less than 20 kg. Alternative medication should be considered in this group. In the UK, licensed product information contra-indicates use in neonates and infants under 2 years of age; use in children under 12 years of age is not recommended.

1. Sztajnbok J. Failure of naloxone to reverse brimonidine-induced coma in an infant. *J Pediatr* 2002; **140:** 485–6.
2. Al-Shahwan S, *et al.* Side-effect profile of brimonidine tartrate in children. *Ophthalmology* 2005; **112:** 2143–8.

Interactions
As for Apraclonidine Hydrochloride, p.1878.

Uses and Administration
Brimonidine is an alpha$_2$-adrenoceptor agonist with actions and uses similar to those of apraclonidine (p.1878). It is used to lower intra-ocular pressure in patients with open-angle glaucoma or ocular hypertension (p.1873), as an alternative or adjunct to topical beta blocker therapy. It may also be used as adjunctive therapy in patients with raised intra-ocular pressure not controlled by topical monotherapy with other drugs such as latanoprost and travoprost. The reduction in intra-ocular pressure is maximal about 2 hours after topical use.

In the management of glaucoma or ocular hypertension, eye drops containing brimonidine tartrate 0.1, 0.15, or 0.2% are instilled two or three times daily.

Glaucoma. References to the use of brimonidine in glaucoma and raised intra-ocular pressure.

1. Adkins JC, Balfour JA. Brimonidine: a review of its pharmacological properties and clinical potential in the management of open-angle glaucoma and ocular hypertension. *Drugs Aging* 1998; **12:** 225–41.
2. Cantor LB. The evolving pharmacotherapeutic profile of brimonidine, an alpha 2-adrenergic agonist, after four years of continuous use. *Expert Opin Pharmacother* 2000; **1:** 815–34.
3. David R. Brimonidine (Alphagan): a clinical profile four years after launch. *Eur J Ophthalmol* 2001; **11** (suppl 2): S72–S77.
4. Lee DA, Gornbein JA. Effectiveness and safety of brimonidine as adjunctive therapy for patients with elevated intraocular pressure in a large, open-label community trial. *J Glaucoma* 2001; **10:** 220–6.

5. Katz LJ. Twelve-month evaluation of brimonidine-purite versus brimonidine in patients with glaucoma or ocular hypertension. *J Glaucoma* 2002; **11:** 119–26.
6. Sherwood MB, *et al.* Twice-daily 0.2% brimonidine-0.5% timolol fixed-combination therapy vs monotherapy with timolol or brimonidine in patients with glaucoma or ocular hypertension: a 12-month randomized trial. *Arch Ophthalmol* 2006; **124:** 1230–8.
7. Fung AT, *et al.* Meta-analysis of randomised controlled trials comparing latanoprost with brimonidine in the treatment of open-angle glaucoma, ocular hypertension or normal-tension glaucoma. *Br J Ophthalmol* 2007; **91:** 62–8.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Alphagan; Brimo-Klonal; Brimopress; Oftalmotonil; ***Austral.:*** Alphagan; Enidin; ***Austria:*** Alphagan; ***Belg.:*** Alphagan; ***Braz.:*** Alphagan; ***Canad.:*** Alphagan; ***Chile:*** Agglad Ofteno; Alphagan; Brimopress; ***Cz.:*** Alphagan; ***Denm.:*** Alphagan; ***Fin.:*** Alphagan; ***Fr.:*** Alphagan; ***Ger.:*** Alphagan; ***Gr.:*** Alphagan; Benil; Brimodine; Brinal; Brinidin; ***Hong Kong:*** Alphagan; ***Hung.:*** Alphagan†; ***India:*** Brimodin; Iobrim; ***Irl.:*** Alphagan; ***Israel:*** Alphagan; ***Ital.:*** Alphagan; ***Malaysia:*** Alphagan; ***Mex.:*** Agglad; Alphagan; Nor-Tenz; ***Neth.:*** Alphagan; ***Norw.:*** Alphagan; ***NZ:*** Alphagan; ***Philipp.:*** Alphagan; ***Pol.:*** Alphagan; ***Port.:*** Alphagan; ***S.Afr.:*** Alphagan; ***Singapore:*** Alphagan; ***Spain:*** Alphagan; ***Swed.:*** Alphagan; ***Switz.:*** Alphagan; ***Thai.:*** Alphagan; ***Turk.:*** Alphagan; ***UK:*** Alphagan; ***USA:*** Alphagan; ***Venez.:*** Agglad Ofteno; Alphagan.

Multi-ingredient: ***Arg.:*** Combigan; ***Austral.:*** Combigan; ***Braz.:*** Combigan; ***Canad.:*** Combigan; ***Chile:*** Combigan; ***Cz.:*** Combigan; ***Gr.:*** Combigan; ***Hung.:*** Combigan; ***India:*** Brimodin P; ***Irl.:*** Combigan; ***Mex.:*** Combigan-D; ***NZ:*** Combigan; ***Port.:*** Combigan; ***Switz.:*** Combigan; ***UK:*** Combigan; ***USA:*** Combigan.

Brinzolamide *(BAN, USAN, rINN)* ⊗

AL-4862; Brintsolamidi; Brinzolamid; Brinzolamida; Brinzolamidum. (*R*)-4-(Ethylamino)-3,4-dihydro-2-(3-methoxypropyl)-2*H*-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide.

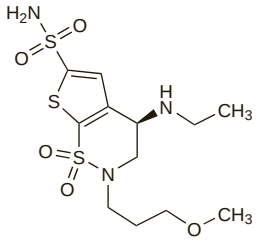
Бринзоламид

$C_{12}H_{21}N_3O_5S_3$ = 383.5.

CAS — 138890-62-7.

ATC — S01EC04.

ATC Vet — QS01EC04.



Pharmacopoeias. In *US.*
USP 31 (Brinzolamide). A white or almost white powder. Insoluble in water; slightly soluble in alcohol and in methyl alcohol.

Adverse Effects and Precautions

As for Dorzolamide, p.1880.

Effects on the eyes. Corneal oedema has been noted in the eyes of 2 patients after the long-term use of brinzolamide 1% eye drops;[1] both patients recovered after brinzolamide was stopped.

1. Zhao JC, Chen T. Brinzolamide induced reversible corneal decompensation. *Br J Ophthalmol* 2005; **89:** 389–90.

Uses and Administration

Brinzolamide is a carbonic anhydrase inhibitor with actions and uses similar to those of dorzolamide (p.1880). It is used to reduce intra-ocular pressure in the management of open-angle glaucoma and ocular hypertension (p.1873), either alone or as adjunctive therapy with a topical beta blocker. A 1% suspension is instilled into the eye two or three times daily.

Glaucoma. References.

1. Cvetkovic RS, Perry CM. Brinzolamide : a review of its use in the management of primary open-angle glaucoma and ocular hypertension. *Drugs Aging* 2003; **20:** 919–47.

Preparations

USP 31: Brinzolamide Ophthalmic Suspension.

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

Carbachol *(BAN, rINN)*

Carbach.; Carbacholi Cloridum; Carbacholine; Carbacholum; Carbacholum Chloratum; Carbacol; Choline Chloride Carbamate; Karbachol; Karbacholis; Karbakol; Karbakoli; Karbaminocholiny chlorek. *O*-Carbamoylcholine chloride; (2-Carbamoyloxyethyl)trimethylammonium chloride.

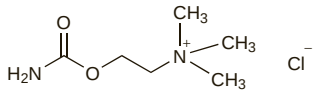
Карбахол

$C_6H_{15}ClN_2O_2$ = 182.6.

CAS — 51-83-2.

ATC — N07AB01; S01EB02.

ATC Vet — QA03AB92; QN07AB01; QS01EB02.



NOTE. CAR is a code approved by the BP 2008 for use on single unit doses of eye drops containing carbachol where the individual container may be too small to bear all the appropriate labelling information.

Pharmacopoeias. In *Chin., Eur.* (see p.vii), and *US.*
Ph. Eur. 6.2 (Carbachol). A white or almost white, crystalline, hygroscopic powder. Very slightly soluble in water; sparingly soluble in alcohol; practically insoluble in acetone. Store in airtight containers. Protect from light.
USP 31 (Carbachol). A white powder. Freely soluble in water; sparingly soluble in alcohol; practically insoluble in chloroform and in ether. Store in airtight containers.

Incompatibility. Chlorocresol (0.025 to 0.1%) and chlorobutanol (0.5%) were both found to be incompatible with a solution of carbachol (0.8%) and sodium chloride (0.69%), very slight precipitates forming on heating and increasing on standing.[1]

1. *PSGB Lab Report No.911* 1962.

Adverse Effects, Treatment, and Precautions

As described for choline esters under Acetylcholine Chloride, p.1877. Carbachol has substantial nicotinic activity which may be unmasked by the use of atropine to counteract muscarinic effects.

Carbachol also produces adverse effects and requires precautions similar to those of other miotics such as pilocarpine (p.1885) when used in the eye, but may produce more ciliary spasm than pilocarpine.

Effects on the gastrointestinal tract. Fatal oesophageal rupture has been reported[1] after subcutaneous injection of carbachol to relieve urinary retention.

1. Cochrane P. Spontaneous oesophageal rupture after carbachol therapy. *BMJ* 1973; **1:** 463–4.

Overdosage. Life-threatening attacks of profuse sweating, intestinal cramps, explosive defaecation, hypothermia, hypotension, and bradycardia occurred in a 36-year-old man after deliberate poisoning with 30 to 40 mg of carbachol.[1] The patient's 10-year-old son had died after poisoning with a similar dose of carbachol.

1. Sangster B, *et al.* Two cases of carbachol intoxication. *Neth J Med* 1979; **22:** 27–8.

Interactions

NSAIDs. Licensed UK product information for acetylcholine chloride ophthalmic preparations states that there have been reports that acetylcholine and carbachol were ineffective when used in patients treated with topical (ophthalmic) NSAIDs.

Uses and Administration

Carbachol, a choline ester, is a quaternary ammonium parasympathomimetic with the muscarinic and nicotinic actions of acetylcholine (p.1877). It is not inactivated by cholinesterases so its actions are more prolonged than those of acetylcholine.

Carbachol has a miotic action and is usually given intra-ocularly to produce miosis in ocular surgery and to reduce postoperative rises in intra-ocular pressure; up to 0.5 mL of a 0.01% solution is instilled into the anterior chamber of the eye (intracameral instillation). The maximum degree of miosis is usually obtained within 2 to 5 minutes of intra-ocular instillation and miosis lasts for 24 hours.

Eye drops containing up to 3% of carbachol have also been used to lower intra-ocular pressure in glaucoma, usually three times daily with other miotics (see below). Miosis occurs within 10 to 20 minutes of instillation and lasts for 4 to 8 hours; reduction in intra-ocular pressure lasts for 8 hours.

Carbachol has been used for the treatment of urinary retention including postoperative urinary retention. It has also been used in some countries for the treatment of decreased gastrointestinal motility.

Dry mouth. Carbachol has been used as an alternative to pilocarpine in the treatment of radiation-induced xerostomia.[1] The overall treatment of dry mouth is discussed on p.2140.

1. Joensuu H. Treatment for post-irradiation xerostomia. *N Engl J Med* 1994; **330:** 141–2.

Glaucoma and ocular hypertension. Carbachol is sometimes used as an alternative to pilocarpine in the management of glaucoma (p.1873) when resistance or intolerance to pilocarpine develops. It is also instilled into the anterior chamber of the eye (intracameral instillation) to minimise postoperative rises in intra-ocular pressure associated with ocular surgery, and some[1,2] have found it to be more effective than acetylcholine.

1. Ruiz RS, *et al.* Effects of carbachol and acetylcholine on intramuscular pressure after cataract extraction. *Am J Ophthalmol* 1989; **107:** 7–10.
2. Hollands RH, *et al.* Control of intraocular pressure after cataract extraction. *Can J Ophthalmol* 1990; **25:** 128–32.

Preparations

USP 31: Carbachol Intraocular Solution; Carbachol Ophthalmic Solution.

Proprietary Preparations (details are given in Part 3)
Arg.: Miostat; ***Austral.:*** Miostat; ***Belg.:*** Miostat; ***Braz.:*** Miostat†; ***Canad.:*** Carbastat†; Miostat; ***Cz.:*** Jestryl†; Miostat; ***Fin.:*** Doryl†; ***Ger.:*** Carbamann; Doryl†; Jestryl†; ***Hong Kong:*** Miostat†; ***Hung.:*** Miostat; ***Israel:*** Miostat; ***Ital.:*** Mioticol; ***Malaysia:*** Miostat; ***Neth.:*** Miostat; ***Philipp.:*** Miostat; ***Pol.:*** Miostat; ***S.Afr.:*** Miosys†; ***Singapore:*** Miostat; ***Swed.:*** Isopto Karbakolin; Miostat; ***Switz.:*** Doryl†; Miostat; ***Thai.:*** Miostat; ***Turk.:*** Miostat; ***USA:*** Carbastat; Miostat; ***Venez.:*** Miostat†.

Multi-ingredient: ***Ital.:*** Mios.

Cyclopentolate Hydrochloride

(BANM, rINNM)

Ciklopentolát-hidroklorid; Ciklopentolato hidrochloridas; Cloridrato de Ciclopentolato; Cyclopentolate, chlorhydrate de; Cyclopentolati hydrochloridum; Cyklopentolát hydrochlorid; Cyklopentolathydroklorid; Hidrocloruro de ciclopentolato; Siklopentolat Hidroklorür; Syklopentolaattihydrokloridi. 2-Dimethylaminoethyl 2-(1-hydroxycyclopentyl)-2-phenylacetate hydrochloride.

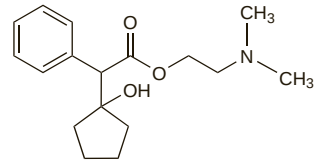
Циклопентолата Гидрохлорид

$C_{17}H_{25}NO_3$,HCl = 327.8.

CAS — 512-15-2 (cyclopentolate); 5870-29-1 (cyclopentolate hydrochloride).

ATC — S01FA04.

ATC Vet — QS01FA04.



(cyclopentolate)

NOTE. CYC is a code approved by the BP 2008 for use on single unit doses of eye drops containing cyclopentolate hydrochloride where the individual container may be too small to bear all the appropriate labelling information. PHNCYC is a similar code approved for eye drops containing phenylephrine hydrochloride and cyclopentolate hydrochloride.

Pharmacopoeias. In *Eur.* (see p.vii), *Jpn*, and *US.*
Ph. Eur. 6.2 (Cyclopentolate Hydrochloride). A white or almost white, crystalline powder. Very soluble in water; freely soluble in alcohol. A 1% solution in water has a pH of 4.5 to 5.5.
USP 31 (Cyclopentolate Hydrochloride). A white crystalline powder, which develops a characteristic odour on standing. Very soluble in water; freely soluble in alcohol; insoluble in ether. pH of a 1% solution in water is between 4.5 and 5.5. Store at a temperature not exceeding 8° in airtight containers.

Adverse Effects, Treatment, and Precautions

As for Atropine Sulfate, p.1219.

Eye drops of cyclopentolate hydrochloride may cause temporary irritation.

Abuse. Cyclopentolate eye drops have been abused.[1] One of 2 patients who did so had been instilling 200 to 400 drops of cyclopentolate into both eyes daily for about 4 months, presumably for its CNS effects, and experienced intense nausea, vomiting, weakness, and tremors on withdrawal.

1. Sato EH, *et al.* Abuse of cyclopentolate hydrochloride (Cyclogyl) drops. *N Engl J Med* 1992; **326:** 1363–4.

Hypersensitivity. Two children developed hypersensitivity reactions shortly after the instillation of 1% cyclopentolate hydrochloride eye drops into each eye.[1] Both children initially had a facial rash but in one of them the rash later spread to include the arms and legs and was accompanied by mild breathlessness.

1. Jones LWJ, Hodes DT. Possible allergic reactions to cyclopentolate hydrochloride: case reports with literature review of uses and adverse reactions. *Ophthalmic Physiol Opt* 1991; **11:** 16–21.