Pirenzepine has an elimination half-life of about 12 hours and is about 12% bound to plasma proteins. Diffusion across the blood-brain barrier is poor and only minimal amounts are present in breast milk.

Renal impairment. The renal clearance and total plasma clearance of pirenzepine may be significantly reduced in patients with renal impairment,[1,2] with clearance decreasing proportionately with the degree of renal impairment. The half-life of pirenzepine is increased with reported values ranging from 14 to 20 hours.[1-3] Plasma concentrations of pirenzepine may be reduced by up to about 50% during haemodialysis.[2,3]

1. Krakamp B, *et al.* Steady-state intravenous pharmacokinetics of pirenzepine in patients with hepatic insufficiency and combined renal- and hepatic insufficiency. *Eur J Clin Pharmacol* 1989; **36:** 71–3.
2. Krakamp B, *et al.* Steady-state intravenous pharmacokinetics of pirenzepine in patients with differing degrees of renal dysfunction. *Eur J Clin Pharmacol* 1989; **36:** 75–8.
3. MacGregor T, *et al.* Oral pharmacokinetics of pirenzepine in patients with chronic renal insufficiency, failure, and maintenance haemodialysis. *Eur J Clin Pharmacol* 1990; **38:** 405–6.

Uses and Administration

Pirenzepine is a selective M_1 tertiary amine antimuscarinic that displays a preferential action on the gastric mucosa thus causing a reduction in the secretion of gastric acid; it also reduces the secretion of pepsin. At therapeutic doses it has few other antimuscarinic actions.

Pirenzepine hydrochloride has been used in the management of peptic ulcer disease (p.1702) in a usual oral dose of 50 mg two or three times daily for 4 to 6 weeks. It has also been given by slow intravenous injection in doses of up to 60 mg daily.

Myopia. Pirenzepine ophthalmic gel has been investigated[1-3] in children for its potential in slowing the progression of myopia. In a study[3] involving 353 children with myopia, pirenzepine 2% gel given once or twice daily into the lower eyelid for 1 year was associated with reduced progression: at 12 months myopia had progressed by a mean of 0.7 and 0.47 dioptres in children assigned to once and twice daily dosage respectively, compared with 0.84 dioptres in those given placebo. The gel was generally well tolerated, the most frequent adverse effects being development of papillae or follicles, or abnormalities of accommodation such as mydriasis or cycloplegia. Of 55 patients who failed to complete the study, 31 did so as a result of adverse effects.

1. Bartlett JD, *et al.* A tolerability study of pirenzepine ophthalmic gel in myopic children. *J Ocul Pharmacol Ther* 2003; **19:** 271–9.
2. Siatkowski RM, *et al.* US Pirenzepine Study Group. Safety and efficacy of 2% pirenzepine ophthalmic gel in children with myopia: a 1-year, multicenter, double-masked, placebo-controlled parallel study. *Arch Ophthalmol* 2004; **122:** 1667–74.
3. Tan DTH, *et al.* Asian Pirenzepine Study Group. One-year multicenter, double-masked, placebo-controlled, parallel safety and efficacy study of 2% pirenzepine ophthalmic gel in children with myopia. *Ophthalmology* 2005; **112:** 84–91.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Droxol†; ***Austria:*** Gastrozepin; ***Cz.:*** Gastrozepin†; ***Ger.:*** Gastricur†; Gastrozepin; Ulcoprotect†; ***Gr.:*** Gastrozepin†; ***Ital.:*** Frazim†; Gastropiren; ***Jpn:*** Gastrozepin; ***Neth.:*** Gastrozepin†; ***Port.:*** Gastrozepina†; ***Rus.:*** Gastrozepin (Гастроцепин); ***Switz.:*** piren-basan†; ***Thai.:*** Cevanil†; ***Venez.:*** Ligeral†.

Multi-ingredient: ***Arg.:*** Duo Vizerul†.

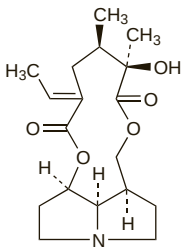
Platyphylline Acid Tartrate

Platyphylline Bitartrate; Platyphyllini Hydrotartras. 1,2-Dihydro-12-hydroxysenecionan-11,16-dione hydrogen tartrate.

Платифиллина Битартрат

$C_{18}H_{27}NO_5,C_4H_6O_6$ = 487.5.

CAS — 480-78-4 (platyphylline); 1257-59-6 (platyphylline acid tartrate).



(platyphylline)

Profile

Platyphylline acid tartrate is a pyrrolizidine alkaloid occurring in *Senecio platyphyllus* and other *Senecio* spp. It has antimuscarinic actions and has been given with papaverine in antispasmodic preparations.

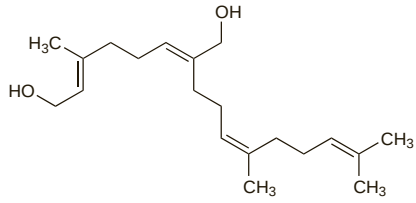
Plaunotol *(rINN)*

CS-684; Plaunotolum. (2*Z*,6*E*)-2-[(3*E*)-4,8-Dimethyl-3,7-nonadienyl]-6-methyl-2,6-octadiene-1,8-diol.

Плаунотол

$C_{20}H_{34}O_2$ = 306.5.

CAS — 64218-02-6.



Profile

Plaunotol is a complex aliphatic alcohol extracted from the Thai medicinal plant plau-noi (*Croton sublyratus* (Euphorbiaceae)). It is reported to possess cytoprotective properties and has been used in the treatment of gastritis and peptic ulcer disease in an oral dose of 80 mg three times daily.

Preparations

Proprietary Preparations (details are given in Part 3)

Jpn: Kelnac; ***Thai.:*** Kelnac.

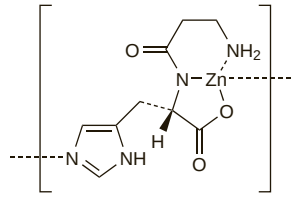
Polaprezinc *(rINN)*

Polaprézinc; Polaprezincum; Z-103; Zinc-L-carnosine. *catena*-Poly{zinc-μ-[β-alanyl-L-histidinato(2-)-*N*,N^N,*O*:N^τ]}.

Полапрезинк

$(C_9H_{12}N_4O_3Zn)_n$.

CAS — 107667-60-7.



Profile

Polaprezinc is a cytoprotective agent used in the treatment of peptic ulcer disease.

Poldine Metilsulfate *(BAN, pINN)*

IS-499; McN-R-726-47; Metilsulfato de poldina; Poldine Methosulphate; Poldine Methylsulfate *(USAN)*; Poldine Methylsulphate; Poldine, Métilsulfate de; Poldini Metilsulfas. (*RS*)-2-Benziloyloxymethyl-1,1-dimethylpyrrolidinium methylsulphate.

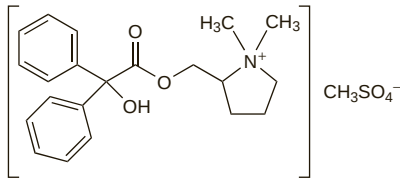
Польдина Метилсульфат

$C_{21}H_{26}NO_3,CH_3O_4S$ = 451.5.

CAS — 596-50-9 (poldine); 545-80-2 (poldine metilsulfate).

ATC — A03AB11.

ATC Vet — QA03AB11.



Pharmacopoeias. In *Br.*

BP 2008 (Poldine Metilsulfate). A white odourless or almost odourless crystalline powder. Freely soluble in water; soluble in alcohol; slightly soluble in chloroform. A 1% solution in water has a pH of 5.0 to 7.0.

Profile

Poldine metilsulfate is a quaternary ammonium antimuscarinic with peripheral actions similar to those of atropine (p.1219) and has been used in the management of gastrointestinal disorders, including peptic ulcer disease.

Preparations

BP 2008: Poldine Tablets.

Polycarbophil *(BAN, rINN)*

Policarbofilo; Polycarbophile; Polycarbophilum.

Поликарбофил

CAS — 9003-97-8.

Pharmacopoeias. In *US.*

USP 31 (Polycarbophil). It is polyacrylic acid cross-linked with divinyl glycol. White to creamy-white granules, with a characteristic, ester-like odour. Swells in water to a range of volumes, depending primarily on the pH. Insoluble in water, in common organic solvents, and in dilute acids and alkalis. A 1% mixture in water has a pH of not more than 4.0. Store in airtight containers.

Polycarbophil Calcium *(BANM, rINNM)*

AHR-3260B; Calcii Polycarbophilum; Calcium Polycarbophil *(USAN)*; Policarbofilo cálcico; Polycarbophile Calcique; Polycarbophilum Calcii; Polykarbofiilikalsium; Polykarbofilkalcium; Polykarbophilum Calcicum; WI-140.

Кальций Поликарбофил

CAS — 126040-58-2.

ATC — A06AC08.

ATC Vet — QA06AC08.

Pharmacopoeias. In *US.*

USP 31 (Calcium Polycarbophil). A white to creamy-white powder. Insoluble in water, in common organic solvents, and in dilute acids and alkalis. It loses not more than 10% of its weight on drying and contains not less than 18% and not more than 22% of calcium, calculated on the dried basis. Store in airtight containers.

Adverse Effects and Precautions

As for Ispaghula, p.1737. Polycarbophil calcium releases calcium ions in the gastrointestinal tract and should be avoided by patients who must restrict their calcium intake.

There is a risk of intestinal or oesophageal obstruction and faecal impaction, especially if such bulk laxatives are swallowed dry. Therefore, they should always be taken with sufficient fluid and should not be taken immediately before going to bed. They should be avoided by patients who have difficulty swallowing.

Interactions

The calcium component of polycarbophil calcium may produce interactions typical of calcium salts (p.1677), such as reducing the absorption of tetracyclines from the gastrointestinal tract; it should not be taken within 2 hours of the antibacterial. Polycarbophil calcium has also been reported to decrease the absorption of ciprofloxacin and mycophenolate mofetil.

Uses and Administration

Polycarbophil calcium has similar properties to ispaghula (p.1737) and is used as a bulk laxative and for adjusting faecal consistency. After ingestion calcium ions are replaced by hydrogen ions from gastric acid and the resultant polycarbophil exerts a hydrophilic effect in the intestines.

It is given orally in a usual dose equivalent to 1 g of polycarbophil up to four times daily, as necessary. Doses should be taken with at least 250 mL of water.

Polycarbophil is used topically as a vaginal moisturiser and as an ocular lubricant.

◊ References.

1. Danhof IE. Pharmacology, toxicology, clinical efficacy, and adverse effects of calcium polycarbophil, an enteral hydrosorptive agent. *Pharmacotherapy* 1982; **2:** 18–28.
2. Toskes PP, *et al.* Calcium polycarbophil compared with placebo in irritable bowel syndrome. *Aliment Pharmacol Ther* 1993; **7:** 87–92.
3. Chiba T, *et al.* Colonic transit, bowel movements, stool form, and abdominal pain in irritable bowel syndrome by treatments with calcium polycarbophil. *Hepatogastroenterology* 2005; **52:** 1416–20.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Fibercon†; ***Austral.:*** Replens; ***Austria:*** Fibercon†; ***Belg.:*** Replens†; ***Braz.:*** Muvinor; ***Canad.:*** Replens; ***Gr.:*** Fibercon†; ***Israel:*** Fibercon†; ***Ital.:*** Modula; Replens; ***Jpn:*** Colonel; ***Mex.:*** Fibercon†; ***Neth.:*** Fibercon†; ***Spain:*** Replens†; ***Swed.:*** Replens; ***Thai.:*** Fibercon†; ***USA:*** Equalactin; Fiber-Lax; Fibercon; FiberNorm; Replens.

Multi-ingredient: ***Ital.:*** Ormobyl CM†; ***USA:*** Aquasite†.

Potassium Acid Tartrate

E336; Hydrogenvinan draselný; Kalii hydrogenotartras; Kaliovandenilio tartratas; Kalium Hydrotartaricum; Kálium-hidrogéntartarát; Kaliumvätetartrat; Kaliumvetytartraatti; Potassium Bitartrate *(USAN)*; Potassium Hydrogen Tartrate; Potassium, hydrogénotartrate de; Potasu wodorowinian; Purified Cream of Tartar; Tartarus Depuratus; Tartrato ácido de potasio; Weinstein.

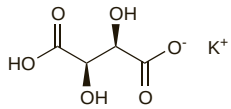
Кислый Виннокислый Калий

$C_4H_5KO_6$ = 188.2.

CAS — 868-14-4.

ATC — A12BA03.

ATC Vet — QA12BA03.



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

Ph. Eur. 6.2 (Potassium Hydrogen Tartrate). A white or almost white, crystalline powder or colourless crystals. Slightly soluble in water; practically insoluble in alcohol. It dissolves in dilute solutions of mineral acids and alkali hydroxides.

USP 31 (Potassium Bitartrate). Colourless or slightly opaque crystals or a white, crystalline powder. Slightly soluble in water; soluble in boiling water; very slightly soluble in alcohol. A saturated solution is acid to litmus. Store in airtight containers.

Profile

Potassium acid tartrate is given with sodium bicarbonate as a suppository for the treatment of constipation (p.1693) and for bowel evacuation before investigational procedures or surgery. Carbon dioxide gas is produced in the rectum, which stimulates defaecation within 5 to 30 minutes.

Potassium acid tartrate is used as a food additive and pharmaceutical aid.

Potassium acid tartrate has been used as an ingredient of preparations for potassium supplementation, although other potassium salts are usually preferred. For the general properties of potassium salts, see p.1684.

Preparations

BPC 1968: Effervescent Potassium Tablets.

Proprietary Preparations (details are given in Part 3)

Multi-ingredient: ***Austria:*** Lecicarbon; ***Braz.:*** Circanetten†; Varicell†; ***Ital.:*** Potassion; ***Swed.:*** Relaxit; ***Thai.:*** Circanetten; ***USA:*** Ceo-Two.

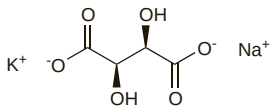
Potassium Sodium Tartrate

E337; Kalii natrii tartras; Kalio-natrio tartratas; Kalium Natrium Tartaricum; Kálium-nátrium-tartarát; Kaliumnatriumtartraatti; Kaliumnatriumtartrat; Potassium et de sodium, tartrate de; Rochelle Salt; Seignette Salt; Sodii et Potassii Tartras; Sodium Potassium Tartrate; Sodu potasu winian; Tartarus Natronatus; Tartrato de potasio y de sodio; Vinan draselno-sodný.

Виннокислый Калий-натрий

$C_4H_4KNaO_6.4H_2O$ = 282.2.

CAS — 304-59-6 (anhydrous sodium potassium tartrate); 6381-59-5 (sodium potassium tartrate tetrahydrate); 6100-16-9 (sodium potassium tartrate tetrahydrate).



(anhydrous sodium potassium tartrate)

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

Ph. Eur. 6.2 (Potassium Sodium Tartrate Tetrahydrate). A white or almost white, crystalline powder or colourless transparent crystals. Very soluble in water; practically insoluble in alcohol.

USP 31 (Potassium Sodium Tartrate). Colourless crystals or a white, crystalline powder, with a cooling, saline taste. It effloresces slightly in warm dry air, the crystals often being coated with a white powder. Soluble 1 in 1 of water; practically insoluble in alcohol. Store in airtight containers.

Profile

Potassium sodium tartrate has been used as an osmotic laxative (p.1693). It is also used as a food additive.

For the general properties of potassium salts, see p.1684, and of sodium salts, see p.1686.

Preparations

BPC 1973: Compound Effervescent Powder.

Proprietary Preparations (details are given in Part 3)

Gr.: Trigolax.

Multi-ingredient: ***Austria:*** Laxalpin; ***Fr.:*** Romarene; ***Philipp.:*** Castoria; ***UK:*** Jaaps Health Salt.

Prifinium Bromide *(rINN)*

Bromuro de prifinio; PDB; Prifinii Bromidum; Prifinium, Bromure de; Pyrodifenium Bromide. 3-Diphenylmethylene-1,1-diethyl-2-methylpyrrolidinium bromide.

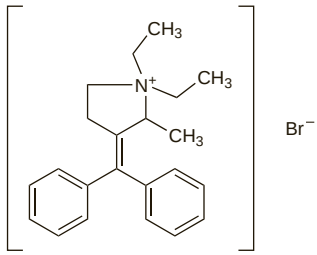
Прифиния Бромид

$C_{22}H_{28}BrN$ = 386.4.

CAS — 10236-81-4 (prifinium); 4630-95-9 (prifinium bromide).

ATC — A03AB18.

ATC Vet — QA03AB18.



Profile

Prifinium bromide is a quaternary ammonium antimuscarinic with peripheral effects similar to those of atropine (p.1219). It is structurally related to diphemanil metilsulfate (p.2295).

Prifinium bromide is used to relieve smooth muscle spasms. Oral doses usually range from 90 to 180 mg daily in 3 divided doses. It has also been given rectally in a dose of 60 mg three or four times daily, or by subcutaneous, intramuscular, or intravenous injection in a dose of 15 mg given 2 to 4 times daily.

Preparations

Proprietary Preparations (details are given in Part 3)

Fr.: Riabal†; ***Ital.:*** Riabal; ***Jpn:*** Padrin†; ***Mex.:*** Anespas; ***Rus.:*** Riabal (Риабал); ***Thai.:*** Riabal†.

Proglumide *(BAN, USAN, rINN)*

CR-242; Proglumida; Proglumidum; W-5219; Xylamide. (±)-4-Benzamido-*N*,*N*-dipropylglutaramic acid.

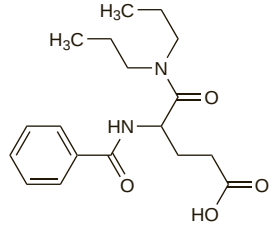
Проглумид

$C_{18}H_{26}N_2O_4$ = 334.4.

CAS — 6620-60-6.

ATC — A02BX06.

ATC Vet — QA02BX06.



Pharmacopoeias. In *Chin.* and *Jpn*.

Profile

Proglumide is a cholecystokinin antagonist with an inhibitory effect on gastric secretion. It has been used in the treatment of peptic ulcer disease (p.1702) and other gastrointestinal disorders in usual doses of 400 mg two to four times daily by mouth before meals; up to 800 mg three times daily may be given. It has also been given by intramuscular or intravenous injection in a dose of 400 to 800 mg daily.

Preparations

Proprietary Preparations (details are given in Part 3)

Austria: Milid; ***Ital.:*** Milid†; ***Port.:*** Milid†.

Propantheline Bromide *(BAN, rINN)*

Bromuro de propantelina; Propanteliinibromidi; Propantelin Bromür; Propantelinbromid; Propantelin-bromid; Propantelino bromidas; Propanthéline, bromure de; Propanthelini bromidum; Propanthelinii Bromidum; Propanthelinium-bromid. Di-isopropylmethyl[2-(xanthen-9-ylcarbonyloxy)ethyl]ammonium bromide.

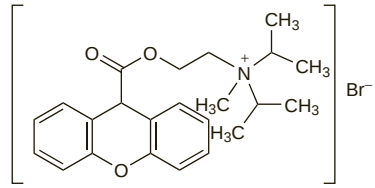
Пропантелина Бромид

$C_{23}H_{30}BrNO_3$ = 448.4.

CAS — 298-50-0 (propantheline); 50-34-0 (propantheline bromide).

ATC — A03AB05.

ATC Vet — QA03AB05.



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

Ph. Eur. 6.2 (Propantheline Bromide). A white or yellowish-white, slightly hygroscopic powder. Very soluble in water, in alcohol, and in dichloromethane. Store in airtight containers.

USP 31 (Propantheline Bromide). White or practically white, odourless, crystals. Very soluble in water, in alcohol, and in chloroform; practically insoluble in ether and in benzene.

Adverse Effects, Treatment, and Precautions

As for Atropine Sulfate, p.1219. Contact dermatitis has been reported after topical application of propantheline bromide.

Buccal and oesophageal ulceration. Severe buccal mucosal ulceration has been reported[1] in a 95-year-old woman as a result of retaining emepronium bromide tablets in her mouth, and recurred on giving propantheline bromide tablets.

1. Huston GJ, *et al*. Anticholinergic drugs, buccal ulceration and mucosal potential difference. *Postgrad Med J* 1978; **54:** 331–2.

Interactions

As for antimuscarinics in general (see Atropine Sulfate, p.1220).

Pharmacokinetics

Propantheline bromide is incompletely absorbed from the gastrointestinal tract and bioavailability is reported to be reduced by food; it is extensively metabolised in the small intestine before absorption. The plasma elimination half-life after a single oral dose has been reported to be about 2 to 3 hours. Propantheline is eliminated mainly in the urine as metabolites and less than 10% as unchanged drug. The duration of action is about 6 hours.

Uses and Administration

Propantheline bromide is a quaternary ammonium antimuscarinic with peripheral effects similar to those of atropine (p.1219). It has been used as an antispasmodic (p.1692) for conditions associated with gastrointestinal spasm, and as an adjunct in the treatment of peptic ulcer disease (p.1702). The usual initial oral dose is 15 mg three times daily, 30 to 60 minutes before meals, and 30 mg at bedtime; doses of up to 120 mg daily may be needed in some patients. In elderly patients, doses of 7.5 mg three times daily may be sufficient. Doses of 300 micrograms/kg (to a maximum of 15 mg) given 3 or 4 times daily have been used for the relief of gastrointestinal spasm in children aged 1 month to 12 years; older children may be given the adult dose.

Propantheline bromide has been used in the treatment of adult enuresis or urinary incontinence, and in hyperhidrosis (see below), in doses similar to those given above.

Hyperhidrosis. Some antimuscarinics, including propantheline, have been applied topically in the treatment of hyperhidrosis (p.1580). Adverse effects of antimuscarinics given by mouth generally preclude their use by this route, although oral propantheline was used successfully to control excessive sweating in 2