Preparations

BP 2008: Compound Rhubarb Tincture.

Proprietary Preparations (details are given in Part 3)
Cz.: Bukosan†; ***Ger.:*** Phytoestrol N; ***Pol.:*** Laxitab; Radirex; Rzewex.

Multi-ingredient: ***Arg.:*** Calculina†; LX-30; Oralsone Topic; Parodium; Pyralvex; ***Austral.:*** Betaine Digestive Aid; Neo-Cleanse; Pyralvex†; ***Austria:*** Abfuhrtee†; Eucarbon; Eucarbon Herbal; Novocholin; Pyralvex; Sabatif; Silberne; ***Belg.:*** Pyralvex; ***Braz.:*** Bilifel†; Bisuisan†; Boldopeptan†; Camomila; Eparema; Regulador Xavier N-2†; ***Canad.:*** Extra Strong Formula 12†; Herbal Laxative; Herbalax†; Herbolax; ***Cz.:*** Abdomilon†; Cynarosan†; Dr Theiss Rheuma Creme†; Dr Theiss Schweden Krauter; Dr Theiss Schwedenbitter; Pyralvex; Species Cholagogae Planta; Zlucnikova Cajova Smes; ***Fr.:*** Carres Parapsyllium; Depuratum; Parodium; Pyralvex; Resource Rhubagil; ***Ger.:*** Pyralvex; ***Gr.:*** Pyralvex; ***Hong Kong:*** Hepatofalk; Pyralvex; ***Hung.:*** Bolus Laxans; ***Indon.:*** Pyralvex; ***Irl.:*** Pyralvex; ***Israel:*** Davilla; Encypalmed; Eucarbon; Novicarbon; ***Ital.:*** Amaro Medicinale; Caramelle alle Erbe Digestive; Colax; Critichol; Digelax†; Dis-Cinil Complex; Eparema; Eparema-Levul; Eucarbon; Eupatol; Frerichs Maldifassi†; Lactolas; Lassatina†; Lassativi Vetegali; Magisbile†; Mepalax; Neoform†; Puntualax†; Pyralvex; Schiasamaro Medicinale†; Stimolfit; ***Malaysia:*** Eucarbon†; ***Neth.:*** Pyralvex; ***Philipp.:*** Boie Carminative (Carminasen); Pyralvex; ***Pol.:*** Betasol; Digestonic; Laxantol; Rhelax; ***Port.:*** Pyralvex; ***Rus.:*** Parodium (Пародиум); ***S.Afr.:*** Helmontskruie; Lewensessens; Moultons Herbal Extract; Pyralvex; Rubilax†; Wonderkroonessens; ***Singapore:*** Pyralvex; ***Spain:*** Crislaxo; Laxante Bescansa Aloico; Menabil Complex†; Pyralvex; Solucion Schoum; ***Switz.:*** Padma-Lax; Padmed Laxan; Pyralvex; Schweden-Mixtur H nouvelle formulation; ***Thai.:*** Pyralvex; ***Turk.:*** Eucarbon; Karboseptin; Piraldyne; Pyralvex; ***UK:*** Acidosis; Digestive; Fam-Lax; Fam-Lax Senna; HRI Golden Seal Digestive; Indian Brandee; Jacksons Herbal Laxative; Pegina; Pyralvex; Rhuaka; Stomach Mixture; Wind & Dyspepsia Relief; ***USA:*** Black-Draught†; ***Venez.:*** Cynascool; Natrossil; Orafilm†; Pinvex; Pyralvex†; Rheu-Tarx 1.

Roxatidine Acetate Hydrochloride

(BANM, USAN, rINNM)

Hidrocloruro de acetato de roxatidina; Hoe-760; Hoe-062 (roxatidine); Pifatidine Hydrochloride; Roxatidine, Acétate Chlorhydrique de; Roxatidini Acetati Hydrochloridum; TZU-0460. *N*-{3-[(α-Piperidino-*m*-tolyl)oxy]propyl}glycolamide acetate monohydrochloride.

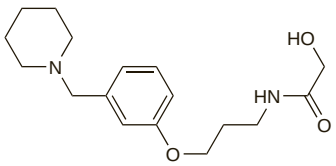
Роксатидина Ацетат Гидрохлорид

$C_{17}H_{26}N_2O_3,C_2H_2O,HCl$ = 384.9.

CAS — 78273-80-0 (roxatidine); 97900-88-4 (roxatidine hydrochloride); 78628-28-1 (roxatidine acetate); 93793-83-0 (roxatidine acetate hydrochloride).

ATC — A02BA06.

ATC Vet — QA02BA06.



(roxatidine)

Pharmacopoeias. In *Jpn.*

Stability. The stability of roxatidine acetate hydrochloride in parenteral nutrition solutions was influenced by storage temperature and amino acid content and composition.[1]

1. Oh J, *et al.* Stability of roxatidine acetate in parenteral nutrient solutions containing different amino acid formulations. *Am J Health-Syst Pharm* 2005; **62:** 289–91.

Adverse Effects and Precautions

As for Cimetidine, p.1716.

Interactions

Unlike cimetidine (p.1718) roxatidine does not appear to affect cytochrome P450, and therefore is considered to have little effect on the metabolism of other drugs. However, like other H_2-antagonists its effects on gastric pH may alter the absorption of some other drugs.

Pharmacokinetics

Roxatidine acetate hydrochloride is rapidly and almost completely absorbed from the gastrointestinal tract with peak concentrations in plasma occurring about 1 to 3 hours after doses by mouth. It is rapidly hydrolysed to the active desacetyl metabolite, roxatidine, by esterases in the liver, small intestine, and serum.

Over 90% of a dose is excreted in the urine as roxatidine and other metabolites. The elimination half-life of roxatidine is about 6 hours and is prolonged in renal impairment.

Small amounts of roxatidine have been reported to be distributed into breast milk.

Uses and Administration

Roxatidine acetate hydrochloride is an H_2-antagonist with actions and uses similar to those of cimetidine (p.1719).

In the management of peptic ulcer disease the oral dose is 150 mg at bedtime or 75 mg twice daily for 4 to 6 weeks. Where appropriate a maintenance dose of 75 mg at bedtime may be given to prevent the recurrence of ulcers. In gastro-oesophageal reflux disease the recommended dose is 150 mg at bedtime or 75 mg twice daily for 6 to 8 weeks. Gastritis has been managed with 75 mg once daily in the evening, and a dose of 75 mg twice daily has been used for Zollinger-Ellison syndrome.

When used as a pre-anaesthetic medication, an oral dose of roxatidine acetate hydrochloride 75 mg is given in the evening on the day before surgery and repeated 2 hours before the induction of anaesthesia; alternatively a single dose of 150 mg may be given the night before surgery.

Roxatidine acetate hydrochloride may also be given intravenously for the treatment of upper gastrointestinal tract haemorrhage in a dose of 75 mg twice daily by slow intravenous injection or by intravenous infusion.

For dosage in renal impairment, see below.

◊ Reviews.

1. Murdoch D. Roxatidine acetate: a review of its pharmacodynamic and pharmacokinetic properties, and its therapeutic potential in peptic ulcer disease and related disorders. *Drugs* 1991; **42:** 240–60.

Administration in renal impairment. The dosage of roxatidine acetate hydrochloride should be reduced in patients with renal impairment. Suggested oral doses, based on creatinine clearance (CC), for patients on acute therapy are:

- CC 20 to 50 mL/minute: 75 mg at bedtime
- CC less than 20 mL/minute: 75 mg every 2 days

However, results in 6 patients with chronic renal failure and CC less than 20 mL/minute indicated that giving the recommended dose of roxatidine acetate hydrochloride, 75 mg every other day, was inadequate to maintain gastric pH above 4 for more than 6 hours. Subsequent study in 8 patients showed that a dose of 75 mg daily was well tolerated and effective.[1]

1. Gladziwa U, *et al.* Pharmacokinetics and pharmacodynamics of roxatidine in patients with renal insufficiency. *Br J Clin Pharmacol* 1995; **39:** 161–7.

Preparations

Proprietary Preparations (details are given in Part 3)
Ger.: Roxit†; ***Gr.:*** Roxane†; ***India:*** Rotane; ***Ital.:*** Gastralgin; Neo H2; Roxit; ***Jpn:*** Altat; ***Neth.:*** Roxit†; ***S.Afr.:*** Roxit†; ***Spain:*** Roxiwas†; Zarocs.

Senna

Alexandriai szenna termés (senna pods, Alexandrian); Listek senesu (senna leaf); Plod kasie ostrolisté (senna pods, Alexandrian); Plod kasie úzkolisté (senna pods, Tinnevelly); Sen; Séné de Khartoum ou d'Alexandrie, fruit de (senna pods, Alexandrian); Séné de l'Inde ou de Tinnevelly, fruit de (senna pods, Tinnevelly); Séné, feuille de (senna leaf); Sennabalja, alexandrinsk (senna pods, Alexandrian); Sennabalja, Tinnevelley- (senna pods, Tinnevelley); Sennae folium (senna leaf); Sennae fructus acutifoliae (senna pods, Alexandrian); Sennae fructus angustifoliae (senna pods, Tinnevelly); Sennanpalko, Aleksandrian (senna pods, Alexandrian); Sennanpalko, Tinnevelleyn (senna pods, Tinnevelley); Sennový list (senna leaf); Senų lapai (senna leaf); Senų vaisiai (senna pods, Alexandrian); Siauralapių senų vaisiai (senna pods, Tinnevelly); Sinameki; Szennalevél (senna leaf); Tinevelly szenna termés (senna pods, Tinnevelly).

Кассия Остролистная (Alexandrian senna); Сенна Остролистная (Alexandrian senna); Александрийский Лист (Alexandrian senna leaf)

CAS — 8013-11-4.

ATC — A06AB06.

ATC Vet — QA06AB06.

Description. Senna obtained commercially from *Cassia senna* (*C. acutifolia*) (Leguminosae) is known as Alexandrian senna or Khartoum senna and that from *Cassia angustifolia* (Leguminosae) as Tinnevelly senna.

Pharmacopoeias. Senna fruit, from Alexandrian and Tinnevelly senna is included in *Eur.* (see p.vii), *Int.*, and *US.* Senna leaf, from Alexandrian or Tinnevelly senna or both, is included in *Chin.*, *Eur.*, *Int.*, *Jpn*, and *US.*

Ph. Eur. 6.2 (Senna Pods, Alexandrian; Sennae Fructus Acutifoliae; Alexandrian Senna Fruit BP 2008). The dried fruit of *Cassia senna* (*Cassia acutifolia*) containing not less than 3.4% of hydroxyanthracene glycosides, calculated as sennoside B ($C_{42}H_{38}O_{20}$ = 862.7) with reference to the dried drug. Protect from light and moisture.

Ph. Eur. 6.2 (Senna Pods, Tinnevelly; Sennae Fructus Angustifoliae; Tinnevelly Senna Fruit BP 2008). The dried fruit of *Cassia angustifolia* containing not less than 2.2% of hydroxyanthracene glycosides, calculated as sennoside B ($C_{42}H_{38}O_{20}$ = 862.7) with reference to the dried drug. Protect from light and moisture.

Ph. Eur. 6.2 (Senna Leaf; Sennae Folium). The dried leaflets of *Cassia senna* (=*Cassia acutifolia*), known as Alexandrian or Khartoum senna, or *Cassia angustifolia*, known as Tinnevelly senna, or a mixture of the two species. It contains not less than 2.5% of hydroxyanthracene glycosides, calculated as sennoside B ($C_{42}H_{38}O_{20}$ = 862.7) with reference to the dried drug. Protect from light and moisture.

USP 31 (Senna Leaf). The dried leaflet of *Cassia acutifolia*, known in commerce as Alexandria senna, or *Cassia angustifolia*, known in commerce as Tinnevelly senna (Leguminosae). Protect from moisture and from light.

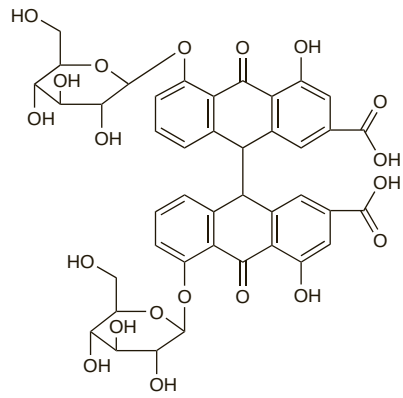
USP 31 (Senna Pods). The dried ripe fruit of *Cassia acutifolia* (Alexandrian senna) or *Cassia angustifolia* (Tinnevelly senna) containing not less than 3.4% or 2.2% respectively of anthraquinone glucosides, calculated as sennosides, on the dried basis. Protect from moisture and from light.

Sennosides

Senósidos.

Сеннозиды

CAS — 81-27-6 (sennoside A); 128-57-4 (sennoside B); 52730-36-6 (sennoside A, calcium salt); 52730-37-7 (sennoside B, calcium salt).



(sennoside A)

Pharmacopoeias. In *US.*

USP 31 (Sennosides). A partially purified natural complex of anthraquinone glucosides found in senna, isolated from *Cassia acutifolia* or *Cassia angustifolia* as calcium salts. It is a brownish powder. Soluble 1 in 35 of water, 1 in 2100 of alcohol, 1 in 3700 of chloroform, and 1 in 6100 of ether. A 10% solution in water has a pH of 6.3 to 7.3. Store at a temperature of 20° to 25°. Protect from moisture and from light.

Adverse Effects

Senna may cause mild abdominal discomfort such as colic or cramps. Prolonged use or overdosage can result in diarrhoea with excessive loss of water and electrolytes, particularly potassium; there is also the possibility of developing an atonic non-functioning colon. Anthraquinone derivatives may colour the urine yellowish-brown at acid pH, and red at alkaline pH. Reversible melanosis coli has been reported following chronic use.

Abuse. Prolonged use or abuse of senna laxatives has been associated with finger clubbing,[1-5] hypokalaemia[3] and tetany,[1] hypertrophic osteoarthropathy,[4,5] intermittent urinary excretion of aspartylglucosamine,[2] hypogammaglobulinaemia,[3] reversible cachexia,[3] and hepatitis[6] or hepatic failure.[7] In one case, nephrocalcinosis was attributed to hypercalcaemia caused by excessive ingestion of calcium sennosides.[5]

1. Prior J, White I. Tetany and clubbing in patient who ingested large quantities of senna. *Lancet* 1978; **ii:** 947.
2. Malmquist J, *et al.* Finger clubbing and aspartylglucosamine excretion in a laxative-abusing patient. *Postgrad Med J* 1980; **56:** 862–4.
3. Levine D, *et al.* Purgative abuse associated with reversible cachexia, hypogammaglobulinaemia, and finger clubbing. *Lancet* 1981; **i:** 919–20.
4. Armstrong RD, *et al.* Hypertrophic osteoarthropathy and purgative abuse. *BMJ* 1981; **282:** 1836.
5. Lim AKH, *et al.* Anorexia nervosa and senna misuse: nephrocalcinosis, digital clubbing and hypertrophic osteoarthropathy. *Med J Aust* 2008; **188:** 121–2.
6. Beuers U, *et al.* Hepatitis after chronic abuse of senna. *Lancet* 1991; **337:** 372–3.
7. Vanderperren B, *et al.* Acute liver failure with renal impairment related to the abuse of senna anthraquinone glycosides. *Ann Pharmacother* 2005; **39:** 1353–7.

Effects on the liver. Hepatitis has been reported in a patient drinking herbal tea containing senna. The patient was found to be a poor metaboliser for hepatic detoxification reactions; the authors cautioned against even small doses of herbal preparations in such patients.[1]

Hepatitis and hepatic failure have also been reported after abuse of senna laxatives, see Abuse, above.

1. Seybold U, *et al.* Senna-induced hepatitis in a poor metabolizer. *Ann Intern Med* 2004; **141:** 651.

Hypersensitivity. Hypersensitivity reactions manifesting as asthma and rhinoconjunctivitis have been reported in those manufacturing[1] or dispensing[2] senna products. However, a study of 125 workers involved in the manufacture of laxatives found only 4 cases of occupational asthma, although sensitisation to senna or ispaghula dust was present in 18 and 9 of the workers

respectively, and other airway, eye, and skin symptoms were relatively frequent.[3]

A 2-year history of pruritic scaly erythematous plaques on the palmoplantar surfaces was reported[4] by a patient who had taken a sennoside laxative for 20 years. The lesions subsided over about 6 weeks on stopping the drug, and reappeared over the course of 8 weeks on restarting sennoside. However, patch testing and lymphocyte stimulation tests for sennoside were negative.

1. Helin T, Mäkinen-Kiljunen S. Occupational asthma and rhinoconjunctivitis caused by senna. *Allergy* 1996; **51:** 181–4.
2. Baggaley P. A shared allergy. *Pharm J* 1997; **259:** 724.
3. Marks GB, *et al*. Asthma and allergy associated with occupational exposure to ispaghula and senna products in a pharmaceutical work force. *Am Rev Respir Dis* 1991; **144:** 1065–9.
4. Fujita Y, *et al*. A case of interstitial granulomatous drug reaction due to sennoside. *Br J Dermatol* 2004; **150:** 1035–7.

Precautions

Senna should not be given to patients with nausea or vomiting, undiagnosed abdominal pain, or intestinal obstruction; care should also be taken in patients with inflammatory bowel disease. Prolonged use should generally be avoided.

Although anthraquinone derivatives may be distributed into breast milk the concentration achieved after usual maternal dosage is thought to be insufficient to affect the nursing infant (see also below).

Breast feeding. No adverse effects have been seen in breast-fed infants whose mothers were receiving senna, and the American Academy of Pediatrics considers[1] that it is therefore usually compatible with breast feeding.

1. American Academy of Pediatrics. The transfer of drugs and other chemicals into human milk. *Pediatrics* 2001; **108:** 776–89. Correction. *ibid.*; 1029. Also available at: http://aappolicy.aappublications.org/cgi/content/full/pediatrics%3b108/3/776 (accessed 21/11/06)

Colonic perforation. There were early reports of colonic perforation with faecal peritonitis,[1,2] in one case fatal,[1] after use of a senna preparation containing total sennosides 142 mg for bowel preparation before barium enema. In 1985, the strength of the UK preparation was halved to contain 72 mg of total sennosides; it was subsequently withdrawn, although a similar preparation, at the higher strength, remains available in some countries. To reduce the risk of colonic perforation, patients with suspected stricture, inflammatory bowel disease, or impending obstruction should not receive a bowel stimulant.[2]

1. Galloway D, *et al*. Faecal peritonitis after laxative preparation for barium enema. *BMJ* 1982; **284:** 472.
2. Cave-Bigley D. Faecal peritonitis after laxative preparation for barium enema. *BMJ* 1982; **284:** 740.

Pharmacokinetics

There is some absorption of anthraquinone laxatives after oral doses. Absorbed anthraquinones are metabolised in the liver. Unabsorbed senna is hydrolysed in the colon by bacteria to release the active free anthraquinones. Anthraquinones are excreted in urine and faeces and distributed into breast milk.

Uses and Administration

Senna is an anthraquinone stimulant laxative (p.1693) that is used to treat constipation (p.1693) and for bowel evacuation before investigational procedures or surgery. The active anthraquinones are liberated into the colon from the sennoside glycosides by colonic bacteria and an effect usually occurs within 6 to 12 hours of an oral dose.

For constipation, senna is usually given as tablets, granules, or syrup. In some countries it is available as suppositories. In the UK, doses of senna preparations are usually expressed in terms of total sennosides calculated as sennoside B. The usual adult oral dose is 15 to 30 mg as a single dose at bedtime. (For doses in children see Administration in Children, below.) In the USA, the usual adult dose is 15 to 30 mg once or twice daily, expressed in terms of total sennosides.

For bowel evacuation before investigational procedures, a dose of 105 to 157.5 mg of sennosides may be given orally as a liquid preparation on the day before the procedure (but see Colonic Perforation under Precautions, above).

The purified sennosides (sennosides A and B), and their calcium salts (calcium sennoside A and calcium sennoside B) are used similarly to senna.

Homoeopathy. Senna leaf has been used in homoeopathic medicines under the following names: Senna; Senna folium.

Administration in children. In the UK, the following oral doses of sennosides are licensed for use for constipation in children:

- aged 2 to 6 years: 3.75 to 7.5 mg once daily in the morning
- aged 6 to 12 years: 7.5 to 15 mg once daily at night or in the morning
- 12 years and over: 15 to 30 mg once daily, usually at bedtime

In addition, although not licensed for use in children under 2 years, the *BNFC* allows for an oral dose of syrup (containing sennosides 7.5 mg per 5 mL) of 0.5 mL/kg (to a maximum of 2.5 mL) once daily in those aged 1 month to 2 years.

Preparations

BP 2008: Senna Liquid Extract; Senna Tablets; Standardised Senna Granules;
Ph. Eur.: Senna Leaf Dry Extract, Standardised;
USP 31: Senna Fluidextract; Senna Syrup; Sennosides Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Ciruela Fibra; Laxiruela; Laxiruela Ciruela Fibra; Laxuave Sen; ***Austral.:*** Bekunis Herbal Tea; Bekunis Instant; Laxettes; SennaPlus†; Sennetabs; Senokot; ***Austria:*** Bekunis; Colonorm; Darmol; Dragees Neunzehn Senna†; X-Prep; ***Belg.:*** Darlin; Fuca; Midro†; Prunasine; Senokot; Transix; ***Braz.:*** Senan; Sene; ***Canad.:*** Agarol Extra; Agarol with Sennosides; Ex-Lax; Experience; Glysennid†; GNC Herbal Laxative†; Herbal Laxative; Laxative Pills; Sennaprep; Sennatab; Senokot; X-Prep; ***Chile:*** Cholax; Naturlax; ***Cz.:*** Caj z Listu Senny; List Senny; Regulax†; Sennove Lusky; Sennovy List; Tisasen†; X-Prep†; ***Denm.:*** Pursennid; ***Fin.:*** Exprep; Pursennid; Sennapur; ***Fr.:*** Senokot; X-Prep; ***Ger.:*** Abfuhrtee N; Bekunis Instant; Bekunis-Krautertee N; Depuran; Heverto†; Liquidepur†; Midro Abfuhr; Midro Tee; Neda Fruchtewurfel; Ramend; Regulax N†; X-Prep†; ***Gr.:*** Bekunis†; Pursennid†; X-Prep; ***Hong Kong:*** Senokot; ***Hung.:*** Tisasen; X-Prep; ***India:*** Senade; Senalax; ***Irl.:*** Senokot; ***Israel:*** Bekunis; Ex-Lax; Florilax; Jungborn; Laxikal Forte; ***Ital.:*** Falquilax; Tisana Kelemata; X-Prep; ***Malaysia:*** Senokot; ***Mex.:*** Arsen; Bekunis; Ciruelax Comp; Ciruelax TE; Lagenbach; Sekalax†; Senokot; X-Prep; ***Neth.:*** Bekunis Plantaardig; Bekunis Senna; Sennocol; X-Praep; ***Norw.:*** Senokot; X-Prep; ***NZ:*** Senokot; ***Philipp.:*** Senokot; ***Pol.:*** Bekunis; Regulax; Senalax; Senefol; X-Prep; Xenna†; ***Port.:*** Bekunis; Pursennide; Senolax; X-Prep; ***Rus.:*** Glaxenna (Глаксенна); ***S.Afr.:*** Black Forest; Depuran; Gal; Hamburg Tea; Sennalax; Senokot; Soflax; X-Prep; ***Singapore:*** Senokot; ***Spain:*** Dioliaxil†; Justelax; Laxante Bescansa Normal; Laxante Olan; Laxante Salud; Takata; X-Prep; ***Swed.:*** Pursennid; ***Switz.:*** Bekunis; Darmol; Demodon Neo; Fuca N; Grains de Vals Nouvelle formule; Irolax†; Kneipp Woerisetten S†; Midro; Tisane laxative H nouvelle formulation; X-Prep; ***Thai.:*** Senokot; ***Turk.:*** Pursennid; Roha-Lax; Senokot; X-M; ***UAE:*** Laxal; ***UK:*** Ex-Lax; Nylax with Senna; Senokot; Sure-Lax; ***USA:*** Black-Draught; Dosaflex; Dr Edwards Olive; Evac-U-Gen; Ex-Lax; Fletchers Castoria; Lax Pills; Little Tummys Laxative; Maximum Relief Ex-Lax; Senexon; Senna Smooth; Senna-Gen; Senokot; Senokotxtra; X-Prep; ***Venez.:*** Laxovar; Senlax; Senokot.

Multi-ingredient: ***Arg.:*** Agiolax; Calculina†; Candilax; Ciruloxia; Gelax; Kronolax†; LX-30; Medilaxan; Prompt†; Rapilax Fibras; ***Austral.:*** Agiolax; Chemists Own Natural Laxative with Softener; Coloxyl with Senna; Combilax; Neo-Cleanse; Peritone; Prolax†; Sennesoft; Soflax; ***Austria:*** Abfuhrtee St Severin; Abfuhrtee†; Agiolax; Carilax; Entschlackender Abfuhrtee EF-EM-ES; Eucarbon; Eucarbon Herbal; Frugelletten; Herbelax; Illings Bozner Maycur-Tee†; Laxalpin; Laxolind; Mag Kottas Krauterexpress Abfuhrtee; Mag Kottas May-Cur-Tee; Midro Tee; Neda Fruchtewurfel; Planta Lax; Pursennid; Sabatif; The Chambard-Tee; ***Belg.:*** Agiolax; Depuratif des Alpes; Tux†; ***Braz.:*** Agiolax; Circanetten†; Estomalitino†; Fitolax; Florlax; Fontolax; Frutalax†; Laxarine†; Laxtam; Naturetti; Plantax†; Sene Composta†; Tamaril; Tamarine; Tamarix†; Varicell†; ***Canad.:*** Cholasyn II; Cholasyn†; Control; Doulax; Extra Strong Formula 12†; Herbal Laxative; Herbal Laxative plus Yogurt; Herbalax†; Herbolax; Laxaco; Mucinum†; Prodiem Plus†; Senna-S; Senokot-S; Thunas Laxative†; ***Chile:*** Bilaxil; Instalax; Mielax; Naturlax; Tamarine; Te Laxante; ***Cz.:*** Abfuhr-Heilkrautertee†; Agiolax; Dr Theiss Rheuma Creme†; Dr Theiss Schweden Krauter; Dr Theiss Schwedenbitter; Projimava; Reduktan; Senalax; ***Denm.:*** Figen; ***Fin.:*** Agiolax; ***Fr.:*** Agiolax; Grains de Vals; Herbesan; Herbesan Instantane†; Ideolaxyl; Mediflor Tisane Contre la Constipation Passagere No 7; Mediflor Tisane Hepatique No 5; Modane; Mucinum a l'Extrait de Cascara; Pursennide; Tamarine; Vegelax†; ***Ger.:*** Agiolax; Alasenn; Heumann Abfuhrtee Solubilax N†; Hevertolax duo†; Presselin Stoffwechsel-Tee Hapeka 225 N†; Ramend Krauter†; ***Hong Kong:*** Agiolax; Mucinum Cascara†; ***Hung.:*** Bolus Laxans; ***India:*** Pursennid-In†; ***Irl.:*** Pripsen†; ***Israel:*** Agiolax; Eucarbon; Jungborn; Lido Tea; Midro-Tea; Novicarbon; ***Ital.:*** Agiolax; Colax; Combilax; Confetti Lassativi CM; Cuscutine; Eucarbon; Fibrolax Complex; Lactolas; Lassatina†; Midro; Ormobyl CM†; Ortisan; Pursennid; Senna-Specie Composta†; Stimolfit; Tamarine; Tisana Kelemata; ***Malaysia:*** Eucarbon†; ***Mex.:*** Agiolax; Ciruelax Jalea; Jarabe de Manzanas; Laxacaps; Naturett†; ***Neth.:*** Agiolax; Prunacolon; Prunasine; ***Norw.:*** Agiolax; Pursennid; ***NZ:*** Coloxyl with Senna; Laxsol; ***Philipp.:*** Boie Carminative (Carminasen); Castoria; ***Pol.:*** Agiolax; Laxamix; Melisana Klosterfrau; Senalax K; ***Port.:*** Agiolax; Bekunis; Midro†; Mucinum; Xarope de Macas Reinetas; ***S.Afr.:*** Agiolax; Clairo; Rubilax†; ***Spain:*** Agiolax; Bekunis Complex; Crislaxo; Jarabe Manceau; Laxante Sanatorium; Laxomax†; Modane; Natusor Malvasen†; Pruina; Puntual; Puntualex; Pursenid; Senalsor†; ***Swed.:*** Agiolax; ***Switz.:*** Agiolax; Dragees aux figues avec du sene; Fruttasan; Lapidar 10; Linoforce; LinoMed; Phyto-Laxia; Phytolaxin; Pursennide; Schweden-Mixtur H nouvelle formulation; Tisane laxative; Tisane Provencale No 1; Valverde Constipation dragees; Valverde Constipation sirop; ***Thai.:*** Agiolax; Circanetten; ***Turk.:*** Bekunis; Eucarbon; Karboseptin; ***UK:*** Athera; Califig; Cleansing Herbs; Dual-Lax Extra Strong; Dual-Lax Normal Strength; Fam-Lax Senna; Fibre Plus; Jacksons Herbal Laxative; Kas-Bah; Laxative Tablets; Lion Cleansing Herbs; Lustys Herbalene; Manevac; Modern Herbals Laxative; Modern Herbals Menopause; Natural Herb Tablets; Out-of-Sorts; Pripsen; Rhuaka; Senokot Dual Relief; Skin Cleansing; Tabritis; ***USA:*** Black-Draught†; Ex-Lax Gentle Strength; Perdiem; Peri-Colace; Senna Plus; Senna Prompt; Senna-S; Senokot-S; X-Prep Bowel Evacuant Kit-1; ***Venez.:*** Agiolax; Fibralax†; Rheu-Tarx 1; Senokot con Docusato; Senokot con Fibra†.

Simeticone *(BAN, rINN)*

Activated Dimethicone; Activated Dimethylpolysiloxane; Activated Dimeticone; Antifoam A; Antifoam AF; Simethicone *(USAN)*; Simeticona; Siméticone; Simeticonum; Simetikon; Simetikonas; Simetikoni; Szimetikon.

Симетикон

CAS — 8050-81-5.

NOTE. Do not confuse with Dimeticone (p.2032).
Compounded preparations of simeticone may be represented by the following names:

- Co-simalcite *x/y* (*BAN*)—where *x* and *y* are the strengths in milligrams of simeticone and hydrotalcite respectively.

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

Ph. Eur. 6.2 (Simeticone). It is prepared by incorporation of 4 to 7% silica into poly(dimethylsiloxane) with a degree of polymerisation between 20 and 400. It contains 90.5 to 99.0% of poly(dimethylsiloxane). It is a greyish-white, opalescent, viscous liquid. Practically insoluble in water and in methyl alcohol; very slightly soluble to practically insoluble in dehydrated alcohol; partly miscible with dichloromethane, with ethyl acetate, with methyl ethyl ketone, and with toluene.

USP 31 (Simeticone). A mixture of fully methylated linear siloxane polymers containing repeating units of the formula $[-(CH_3)_2SiO-]_n$, stabilised with trimethylsiloxy end-blocking units of the formula $[(CH_3)_3SiO-]$, and silicon dioxide. It contains not less than 90.5% and not more than 99% of polydimethylsiloxane and not less than 4% and not more than 7% of silicon dioxide. A translucent, grey, viscous fluid. Insoluble in water, in alcohol, and in dehydrated alcohol; the liquid phase is soluble 1 in 10 of chloroform, of ether, and of benzene, leaving a residue of silicon dioxide. Store in airtight containers.

Profile

Simeticone is a mixture of liquid dimeticones containing finely divided silicon dioxide to enhance the defoaming properties of the silicone. It lowers surface tension and when given by mouth causes bubbles of gas in the gastrointestinal tract to coalesce, thus aiding their dispersion.

Simeticone is used for the relief of flatulence and abdominal discomfort due to excess gastrointestinal gas in disorders such as dyspepsia (p.1695) and gastro-oesophageal reflux disease (p.1696). Doses of 100 to 250 mg three or four times daily have been given. For many gastrointestinal disorders, it is given with an antacid.

Doses of about 20 to 40 mg of simeticone have been given with feeds to relieve colic in infants (see Gastrointestinal Spasm, p.1696).

Simeticone is also used as a defoaming agent in radiography or endoscopy of the gastrointestinal tract.

◊ A brief review of the use of simeticone for gastrointestinal symptoms concluded that although it was commonly prescribed with an antacid, there was no good evidence that this provided additional benefit. When used alone simeticone probably helped to relieve minor postoperative and postprandial symptoms and was a useful aid in upper gastrointestinal endoscopy.[1] However, some considered there was no convincing evidence that simeticone, alone or with antacids, was effective for the treatment of eructation, flatulence, or other signs or symptoms of excess gastrointestinal gas.[2]

1. Anonymous. Dimethicone for gastrointestinal symptoms? *Drug Ther Bull* 1986; **24:** 21–2.
2. Anonymous. Simethicone for gastrointestinal gas. *Med Lett Drugs Ther* 1996; **38:** 57–8.

Preparations

BP 2008: Simeticone for Oral Use; Simeticone Suspension for Infants;
USP 31: Alumina, Magnesia, and Simethicone Oral Suspension; Alumina, Magnesia, and Simethicone Tablets; Alumina, Magnesia, Calcium Carbonate, and Simethicone Tablets; Calcium Carbonate, Magnesia, and Simethicone Tablets; Magaldrate and Simethicone Oral Suspension; Magaldrate and Simethicone Tablets; Simethicone Capsules; Simethicone Emulsion; Simethicone Oral Suspension; Simethicone Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Aesim; Aflat; Carbogasol; Carbogasol Forte; Espaven Antigas; Factor AG; Metiorisan; Mylanta Gas; Simecon; ***Austral.:*** Degas; Degas Infant Drops†; Infacol; Medefoam†; ***Austria:*** Antiflat; Disflatyl; Lefaxin; SAB Simplex; ***Braz.:*** Anflat†; Dimezin; Espasmo Flatol; Finigas; Flagass; Flatex; Flatol; For Gas; Freegas†; Gastroflat; Gazyme; Luftal; Luftrin†; Meticone; Mylanta Plus; Mylicon; Nogas†; Sanagas; Silidron; ***Canad.:*** Gas-X; Ovol; Phazyme; Siligaz; ***Chile:*** Flapex; Gasoff; Gasorbol†; Pepsidol†; ***Cz.:*** Ceolat†; Disflatyl†; Espumisan; Lefax; SAB Simplex; ***Denm.:*** Aeropax; Mylicon; ***Fin.:*** Cuplaton; Disflatyl; Minifom; ***Fr.:*** Siligaz; ***Ger.:*** Absorber HFV†; Aegrosan†; Ceolat; Elugan; Endo-Paractol; Espumisan; Ilio-Funkton; Imogas; Kompensan Dimeticon; Lefax; Meteosan†; SAB Simplex; ***Gr.:*** Ceolat†; Infacolic; ***Hong Kong:*** Air-X; Dentinox Colic Drops; Disflatyl; Gascon; Gasteel; Infacol; Ovol; ***Hung.:*** Espumisan; Infacol; SAB Simplex; ***India:*** Dimol; Tricaine-MPS; ***Indon.:*** Aeroson; Disflatyl; Flatunic; ***Irl.:*** Infacol; ***Israel:*** Gazim X; Simicol; ***Ital.:*** Meteosim; Mylicon; Polisilon†; Simecrin; Simetic; ***Malaysia:*** Cuplaton; Dentinox Colic Drops; Disflatyl; Gascoal; Gastyl; ***Mex.:*** Diflax†; Espaven Pediatrico; Liberan; ***Neth.:*** Aeropax; Ceolat†; Setlers Windfree; ***Norw.:*** Ceolat†; Minifom; Siloxan; ***NZ:*** De-Gas; Infacol; Medefoam†; ***Philipp.:*** Disflatyl; ***Pol.:*** Bobotic; Espumisan; Esputicon; Gastrosil; Infacol; Manti Gastop; Simet; ***Port.:*** Aero-Om; Imogas; ***Rus.:*** Disflatyl (Дисфлатил); Espumisan (Эспумизан); SAB Simplex (САБ Симплекс); Simicol (Симикол)†; ***S.Afr.:*** Telament; ***Singapore:*** Cuplaton; Gascoal; Infacol†; RidWind; ***Spain:*** Aero Red; Enterosilicona; ***Swed.:*** Minifom; ***Switz.:*** Disflatyl; Flatulex; Lefax; Polysilane; Simet-AF†; ***Thai.:*** Air-X; Airrox; Babcon†; Blow-X; Disflatyl; Gas-MM; Gas-X; Gassi; Gastyl; Logastin; Mylom; Ovol; Semeth; Sigas†; Simcone;