Ulcyte

Sucralfate

PRODUCT INFORMATION

Name of the Drug

Sucralfate.

Chemical name: 3,4,5,6-tetra-(polyhydroxy-aluminium)-α-D-glucopyranosyl sulfate-2,3,4,5-tetra(polyhydroxy-aluminium)-β-D-fructofuranoside sulfate.

Molecular formula: $C_{12}H_{14}O_{35}S_8.8[Al(OH)_3]$.

Description

Sucralfate is a metal salt of a sulfated disaccharide which is structurally related to heparin but without its anticoagulant effects.

Sucralfate occurs as a whitish or white, odourless, amorphous powder. It is soluble in dilute hydrochloric acid and sodium hydroxide but practically insoluble in water, boiling water, ethanol, or chloroform.

Each Ulcyte tablet contains 1 gram of sucralfate and the following inactive excipients: microcrystalline cellulose, maize starch, povidone, crospovidone, colloidal anhydrous silica, purified talc, magnesium stearate. Each gram of sucralfate contains 170 to 220 mg of aluminium. *The tablets are gluten free.*

Pharmacology

Sucralfate produces an adherent and cytoprotective barrier at the ulcer site. This barrier protects the ulcer site from the potential ulcerogenic properties of acid, pepsin and bile. Furthermore, sucralfate complexes directly with pepsin and bile and also blocks acid diffusion across the sucralfate-protein barrier at the ulcer site.

The action of sucralfate is nonsystemic as the drug is only minimally absorbed (3% to 5%) from the gastrointestinal tract. The minute amounts of the sulfated disaccharide which are absorbed are primarily excreted in the urine.

Experiments have shown that sucralfate is not an antacid.

The enzyme pepsin is now known to be the primary agent that damages the gastric mucosa directly, and the role played by acid is merely supportive in that it maintains an optimal pH condition for the damaging action of enzymes on the mucosa.

Inhibition of pepsin by sucralfate is bimodal: formation of pepsin resistant complexes with substrate proteins, and direct adsorption of the proteolytic enzyme.

Indications

Treatment of acute, nonmalignant gastric and duodenal ulcers.

Maintenance therapy to prevent the recurrence of duodenal ulcers.

Contraindications

There are no known absolute contraindications to the use of sucralfate. However, if considering the use of the drug in pregnant patients or women of childbearing potential, see Precautions, Use in Pregnancy.

The drug is not suitable for use in children under 18 years (see Use in Children), patients with actively bleeding peptic ulcer or those with severely impaired renal function.

Precautions

Proper diagnosis is important since symptomatic response to sucralfate therapy does not preclude the presence of a gastric malignancy.

There is no clinical experience in the use of sucralfate in patients with actively haemorrhaging ulcers.

Recurrence may be observed in patients with gastric or duodenal ulcers. While treatment with sucralfate can result in complete healing of the ulcer, a successful course of treatment should not be expected to alter the underlying cause of ulcer disease.

Isolated reports of sucralfate tablet aspiration with accompanying respiratory complications have been received. Therefore sucralfate tablets should be used with caution by patients who have known conditions that may impair swallowing, such as recent or prolonged intubation, tracheostomy, prior history of aspiration, dysphagia, or any other conditions that may alter gag and cough reflexes, or diminish oropharyngeal coordination or motility.

Impaired renal function. Sucralfate should not be used in patients with renal failure. Care should be taken in all patients with renal impairment because there are no data available on accumulation of aluminium after long-term ingestion. Long-term maintenance therapy should not be used in patients with renal impairment.

Use in Pregnancy (Category B1)

There have been no reports to date on the use of sucralfate in pregnant women. Therefore, sucralfate should be used in pregnant women or women of childbearing potential only if, in the judgement of the physician, the anticipated benefits outweigh the potential risk.

Australian categorisation definition of Category B1: Drugs which have been taken by only a limited number of pregnant women and women of childbearing age, without an increase in the frequency of malformation or other direct or indirect harmful effects on the human foetus having been observed. Studies in animals have not shown evidence of an increased occurrence of foetal damage.

Use in Lactation

Since it is not known if sucralfate is distributed into breast milk, the drug should be used with caution in breastfeeding women.

Use in Children

The paediatric dose has not been determined as no study has been performed in children. Therefore, sucralfate therapy is not suitable for patients under 18 years.

Interactions

Antacids should not be taken within half an hour before or after sucralfate intake because of the possibility of decreased binding of sucralfate with the gastroduodenal mucosa as a consequence of a change of intragastric pH. The interaction of food with sucralfate is also related to the effect of food on gastric pH.

Animal studies have shown that simultaneous administration of sucralfate with tetracycline, phenytoin, or cimetidine may result in a significant reduction in the bioavailability of these agents.

In clinical trials, the concomitant administration of sucralfate reduced the bioavailability of digoxin, norfloxacin, ciprofloxacin, warfarin, frusemide and proton pump inhibitors (e.g. lansoprazole, omeprazole) in some patients. However, sucralfate administered before or with aspirin, ibuprofen, naproxen, ranitidine or ketoprofen did not alter the bioavailabilty of these agents.

These interactions appear to be nonsystemic and to result from the binding of sucralfate to the concomitantly administered drug in the gastrointestinal tract. In all cases, complete bioavailability was restored by separating the administration of sucralfate from that of the other agent. Clinicians should be made aware of the potential interactions of which the significance is unknown. If there is any clinical evidence of interaction, this can usually be alleviated by separating the administration of any drug from that of sucralfate.

Adverse Reactions

Constipation has been encountered in about 2 to 3% of patients in various trials. Other adverse effects reported include headache (2.4%), urticaria (1%), nausea, diarrhoea, gastric discomfort, indigestion, dry mouth, skin rash, pruritus, back pain, dizziness, sleepiness and vertigo.

A few cases of bezoar (obstruction of the alimentary canal) have been reported. This is more common in patients receiving concomitant enteral tube feedings or in patients with an underlying condition which may predispose to the formation of obstructions (such as delayed gastric emptying).

Dosage and Administration

Acute ulcerous conditions. The recommended adult dose of Ulcyte for duodenal and gastric ulcer is one tablet four times a day, one hour before meals and at bedtime (for up to 8 weeks).

For relief of pain, antacids may be added to the treatment. However, they should not be taken within half an hour before or after sucralfate intake.

In duodenal ulcer, while healing with sucralfate often occurs within 2 to 4 weeks, treatment should be continued for up to 8 weeks, unless healing has been demonstrated by X-ray and/or endoscopic examination.

In the case of gastric ulcers, an alternative treatment should be considered if no objective improvement is observed following 6 weeks of sucralfate therapy. Large gastric ulcers which show a progressive healing tendency may require the full 8 weeks of therapy.

Maintenance Treatment. To reduce the risk of recurrence of duodenal ulcers, the recommended adult dose is one tablet twice daily taken before breakfast and at bedtime (for up to 12 months). When necessary for relief of pain, antacids may be added to the treatment. However, they should not be taken within half an hour before or after taking sucralfate.

Overdosage

In acute oral toxicity studies in animals, using doses up to 12 g/kg bodyweight, a lethal dose could not be found. Risks associated with overdosage should, therefore, be minimal, but constipation and nausea might be expected.

For further information in the case of overdose or suspected overdose, contact the Poisons Information Centre on 13 11 26.

Presentation

Ulcyte, 1 g tablet: white, oblong, marked "SF" on one side, "α" on the reverse; 120's.

Poison Schedule

Nil

Storage

Store below 30°C.

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Text approved by the Therapeutic Goods Administration on 18 July 2001.