PRODUCT INFORMATION

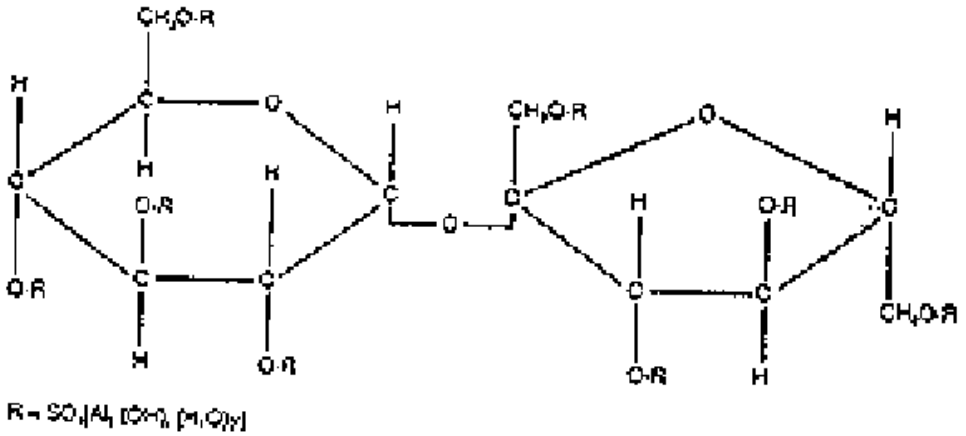
CARAFATE TABLETS

NAME OF THE DRUG

Sucralfate

DESCRIPTION

Sucralfate is a metal salt of a sulphated disaccharide. Chemically it is 3,4,5,6-tetra-(polyhydroxyaluminium)-α-D-glucopyranoslyl sulphate-2,3,4,5-tetra (polyhydroxyaluminium)-ß-D-fructofuranoside sulphate; ($C_{12}H_{14}O_{35}S_8.8[Al(OH)_3]$). It 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.



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 (3.5%) from the gastrointestinal tract. The minute amounts of the sulphated 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 absorption of the proteolytic enzyme.

INDICATIONS

Treatment of acute, nonmalignant gastric and duodenal ulcers.
Maintenance therapy to prevent the recurrence of duodenal ulcers.

CONTRAINDICATIONS

Carafate is contraindicated in patients on dialysis as long term administration may cause symptoms such as aluminium encephalopathy, aluminium osteomalacia and anemia.

Carafate is contraindicated in individuals with known hypersensitivity to any of the ingredients of Carafate tablets.

Careful consideration is also required before use of the drug in pregnant patients or women of child bearing potential (see Precautions, Use in pregnancy). The drug is not recommended for use in children (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 Carafate 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 the 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.

Carafate should be administered with care in patients with phosphate deficiencies as aluminium binds to phosphate in the gastrointestinal tract to inhibit its absorption.

Renal impairment
Sucralfate should be administered carefully in patients with chronic impairment of renal functions. Small amounts of aluminium are absorbed through the gastrointestinal tract and aluminium may accumulate. Aluminium osteodystrophy, osteomalacia, encephalopathy, and anaemia have been reported in patients with chronic renal impairment. For patients with impairment of renal function, laboratory resting such as aluminium, phosphate, calcium, and alkaline phosphatise is recommended to be performed due to excretion.

Sucralfate should not be used in patients with renal failure. . Long term maintenance therapy should not be used in patients with renal impairment. Each gram of sucralfate contains 190 mg of aluminium.

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 child-bearing potential only if, in the judgement of the physician, the anticipated benefits outweigh the potential risk.

Use in Children
The paediatric dose has not been determined as no study has been performed in children. Therefore, sucralfate therapy cannot be recommended for children under 18 years of age.

Interactions with Other Drugs
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 and wafarin, frusemide, and proton pump inhibitors (eg. lansoprazole, omeprazole) in some subjects. However, sucralfate administered before or with aspirin, ibuprofen, naproxen, ranitidine or ketoprofen did not alter the bioavailability of these agents.
These interactions appear to be non-systemic and to result from the binding of sucralfate to the concomitantly administered drug in the gastrointestinal tract. In all cases, complete bio-availability was restored by separating the administration of sulcrafate 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.

Sucralfate should not be co-administered with citrate preparations. Co-administration of citrate preparations with sucralfate may increase the blood concentrations of aluminium. The mechanism may be due to chelation of aluminium, which is assumed to increase its absorption.

ADVERSE REACTIONS

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).

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, thirst, skin rash, pruritus, back pain, dizziness, sleepiness and vertigo.

Carafate should be administered with care as long term use may cause symptoms such as aluminium encephalopathy, aluminium osteomalasia and anemia.

DOSAGE AND ADMINISTRATION

Acute ulcerous conditions

The recommended adult dose of Carafate for duodenal and gastric ulcer is one 1 g tablet three times a day, one hour before meals and one 1 g tablet 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 two to four 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 dose is 1 g 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

Contact the Poisons Information Centre on 131126 in Australia, or 0800 POISON or 0800 764 766 in New Zealand, for advice.

PRESENTATION

Tablet, 1 g (white, capsule shaped, marked CARAFATE): 120's

NAME AND ADDRESS OF THE SPONSOR

Aspen Pharmacare Australia Pty Ltd
34-36 Chandos Street
St Leonards NSW 2065
AUSTRALIA

Approved by TGA: August 1997

Date of most recent amendment: 11 April 2013