SOLCER

Omeprazole for Injection 40 mg

COMPOSITION:
Each vial contains: Omeprazole Sodium (lyophilised) equivalent to Omeprazole BP 40mg

PHARMACOLOGY:
The actions of omeprazole are mediated by inhibition of the H^+-K^+ ATPase in gastric parietal cells. This enzyme which is translocated from the tubulovesicular system to the apical plasma membrane upon stimulation of acid secretion is responsible for the electro neutral exchange of H^+ and K^+ ions during formation of HCl. The enzyme is closely related to the Na+-K+ ATPase but, unlike the sodium pump, it is only expressed in parietal cells and a few extra gastric sites. The enzyme comprises a large subunit of over a 1000 amino acid residues and a smaller subunit of about 300 residues, which is heavily glycosylated in the extra cellular domain. The catalytic subunit spans the membrane eight times and 80% of the sequence is intracellular, while the subunit crosses the membrane only once and the majority of the sequence is extra cytoplasmic. The function of the subunit is uncertain but it may protect the proton pump against peptic digestion.

PHARMACOKINETICS:
Injection of omeprazole fits a two compartment model of rapid distribution followed by a slower elimination phase. The volume of distribution is equivalent to 0.3-0.4 $l.kg^{-1}$.Autoradiographic studies in animals reveal that omeprazole is distributed to various organs including stomach, liver, kidney and gall bladder. Although data for human are limited, omeprazole has been shown to cross the placental barrier in mice and sheep. In the plasma, omeprazole is >95% protein bound to albumin and a glycoprotein. The terminal plasma half-life of omeprazole is about 60 min. The pharmacokinetics of omeprazole in children aged more than 1 year is similar to those reported in adults. At steady state lower plasma levels of omeprazole were seen in some children.

INDICATIONS:
Omeprazole are indicated in the treatment:

a. Duodenal ulcer
b. Gastric ulcer
c. Reflux esophagitis and esophageal ulceration
d. Zollinger Ellison syndrome.
e. Resistant ulcers
f. Eradication of helicobacter pylori in combination with antibiotics.
g. Upper gastrointestinal bleeding
h. Lesions associated with Non steroidal anti-inflammatory drugs.
i. Prevention of bleeding in critically ill patients.
j. Prevention of acid aspiration syndrome.

CONTRAINDICATIONS:
None is known.

DRUG INTERACTIONS:
Potentially hazardous interactions
Omeprazole competes with other drugs for the subfamily 2C of the cytochrome P450 system. This reduces the rate of metabolism of diazepam, warfarin, carbamazepine and phenytoin. However, the effect is minimal and is unlikely to be clinically important.

USE IN PREGNANCY, LACTATION & CHILDREN:
Use in pregnancy:
Few data are available in humans, although omeprazole has been shown to cross the placenta in mice and sheep. Single case reports have been reassuring.

Use in lactation:
There are no data on the secretion of omeprazole in breast milk.

ADVERSE REACTIONS:
None has been reported to date. In humans, there is a rise in the serum gastrin during the first 3 months of treatment, which thereafter remains constant. The increase is modest by comparison with levels found in patients with pernicious anemia or Zollinger-Ellison syndrome. Even in patients with massive hypergastrinemia arising from the presence of a gastrinoma, carcinoids have low malignant potential and are relatively rare.

OVERDOSAGE:
Two cases have been reported; drowsiness resulted, but no serious consequence. A dose of 200 mg intravenously over 24h has been given without adverse sequelae. General supportive measures only should be necessary.

Dosage and Administration:
IV administration once daily is recommended where oral medication is inappropriate, e.g. in severely ill patients. This produces an immediate decrease in intragastric acidity and a mean decrease over 24 hours of approximately 90%. Zollinger Ellison syndrome: The dosage should be individually adjusted, and higher, more frequent dosing may be indicated.

PRECAUTIONS/WARNINGS:
In long term toxicity studies, omeprazole was well tolerated in rodents dosed at up to 414 $mg.kg^{-1}$ per day, equivalent to some 1400 times the human therapeutic dose, and in dogs receiving up to 138 mg.kg-1 daily. Oral administration of omeprazole for 2 years in the daily dose range 14-140 $mg.kg^{-1}$ induced enterochromaffin-like cell hyperplasia in the rat oxyntic mucosa. Changes ranged from a diffuse increase in ECL cell number, through focal aggregations of cells, to structures of more solid appearance (carcinoids). It is now accepted that carcinoid tumors in rats are a direct and inevitable consequence of hypergastrinemia resulting from prolonged achlorhydria and reflect the extreme sensitivity of rats to the trophic actions of gastrin on ECL cells.

SIDE EFFECTS:
There is a rise in the serum gastrin during the first 3 months of treatment, which thereafter remains constant. The increase is modest by comparison with levels found in patients with pernicious anemia or Zollinger-Ellison syndrome. Even in patients with massive hypergastrinemia arising from the presence of a gastrinoma, carcinoids have low malignant potential and are relatively rare. Extensive surveillance studies in patients have shown no evidence of gastric tumors associated with omeprazole, and toxicological findings in rodents appear to have no adverse implications for its routine clinical use. Ocular toxicity has been reported in two patients treated with intravenous omeprazole, but it is doubtful if this is casually associated with the drug. Single cases of epidermal necrolysis, interstitial nephritis, renal failure and fulminant hepatic failure, possibly attributable to omeprazole, have been described. Drowsiness resulted, but no serious consequences. Diarrhea and headache are the only adverse reactions reported consistently with omeprazole. Occasional endocrine effects, particularly impotence and gynecomastia, have been reported but these are rare.

STORAGE:
Store below 25°C. Protect from light. Keep out of reach of children.

PRESENTATION:
1 vial +1 diluent in a carton. Use the enclosed diluent only for reconstitution.

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