Omeprazole

Gemzole-20

20mg

Delayed Release Capsule
PROTON PUMP INHIBITOR

MECHANISM OF ACTION:
Omeprazole is a substituted Omeprazole is a substituted benzimidazole which suppresses gastric acid secretion. Omeprazole is activated at an acidic pH to a sulphenamide derivative that binds irreversibly to H*/K* ATPase, an enzyme system found at the secretory surface of parietal cells. It thereby inhibits the final transport of hydrogen ions to the gastric lumen. Therefore, Omeprazole has been referred to as an acid or proton pump inhibitor. Omeprazole inhibits basal and stimulated gastric acid secretion. The degree of inhibition of gastric acid secretion is.related to the dose and duration of therapy.

PHARMACOKINETICS:
Omeprazole Is rapidly but variably absorbed after oral doses. Absorption is not significantly affected by food. Omeprazole is acid-labile and the pharmacokinetics of the various formulations developed to improve oral bioavailability may vary. The absorption of Omeprazole also appears to be dose-dependent; increasing the dosage above 40 mg has been reported to increase the plasma concentrations in a non-linear fashion because of saturable first-pass hepatic metabolism. In addition, bioavailability is higher after long-term use. Bioavailability of Omeprazole may be increased in elderly patients, in 'some ethnic groups such as Chinese, and in patients with hepatic impairment, but is not markedly affected in patients with renal impairment. On absorption, Omeprazole is almost completely metabolized in the liver, primarily by the cytochrome P450 isoenzyme CYP2C19 to form hydroxyl-omeprazole, and to a small extent by CYP3A4 to form omeprazole sulfone. The metabolites are inactive, and are excreted mostly in the urine and to a lesser extent in bile. The elimination half-life from plasma is reported to be about 0.5 to 3 hours. Omeprazole is about 95% bound to plasma proteins.

INDICATIONS:
For the treatment of benign gastric and duodenal ulcers including NSAID induced gastric and duodenal ulcers or gastro-duodenal, erosions, gastroesophageal reflux disease (GERD), acid related dyspepsia or disorders associated with hyper secretion of gastric acld, such as the ZollingerEllison Syndrome. It is also used in cornbinatlon wlth antibiotics for eradication of Helicobacter pylori in peptic ulcer disease as triple therapy.

CONTRAINDICATIONS:
* Chronic, current or history of hepatic disease
* Should not be used in presence of gastic malignancy
* Sensitive to Omeprazol or any component of the capsules
* Pregnancy and lactation
* Children: Safety and efficacy for children is not established

ADVERSE EFFECTS:
Omeprazole has a very favourable tolerability profile. The most common adverse effects are diarrhea, headache, nausea, flatulence, and dizziness/vertigo. These adverse effects are generally mild, self-limiting, and unrelated to dosage.

DRUG INTERACTIONS:
Omeprazole and other proton pump inhibitors are metabolized by cytochrome P450 system, primarily by iso enzyme CYP2C19, and to a smaller extent by CYP3A4. Inhibitors or inducers of these isoenzymes may affect exposure to omeprazole and other proton pump inhibitors. In turn, proton pump inhibitors may alter the metabolism of some drugs metabolized by these enzymes. Omeprazole may prolong the elimination of diazepam, phenytoin, and warfarin. Omeprazole and other proton inhibitors can reduce the absorption of drugs such as dasatinib, ketoconazole, and itraconazole, whose absorption is dependent on the acid gastric pH. With voriconazole, the plasma concentration of both drugs may be increased. Omeprazole and other proton pump inhibitors should not be used with atazanavir as it substantially reduces exposure to atazanavir.

PRECAUTIONS AND WARNING:
Adequate and well-controlled studies in pregnancy and in pediatric population have not been performed, so Omeprazole should be given with caution on these cases. Because of tumorigenic potentlal of Omeprazole in animals at high doses, a decision should be made on whether nursing should be discontinued or the medicament withdrawn taking into account the importance of Omeprazole to the mother. Exclude the presence of gastric malignancy, as treatment with Omeprazole may delay diagnosis by alleviating symptoms.

DOSAGE AND ADMINISTRATION:
For the relief of acid-related dyspepsia, Omeprazole is given in usual doses of 10 or 20mg daily orally for 2 to 4 weeks. The usual dose for the treatment of gastro-oesophageal reflux disease is 20mg orally once daily for 4 weeks, followed by further 4 to 8 weeks if not fully healed. In refractory oesophagitis, a dose of 40mg daily may be used. Maintenance therapy after healing of oesophagitis is 20mg once daily and for acid reflux is 10mg daily.
In the management of peptic ulcer disase, a single daily dose of 20mg daily, or 40mg in severe cases, is given. Treatment is continued for 4 weeks for duodenal ulcer and 8 weeks for gastric ulcer.
For the eradication of *Helicobacter pylori* in peptic ulceration, Omeprazole may be combined with antibactrials in dual or triple therapy. Effective triple therapy regimens include Omeprazole 20mg twice daily 40mg once daily combined with Amoxicillin 500mg and Metronidazole 400mg, both three times daily; Clarithromycin 250mg and Metronidazole 400mg (or Tinidazole 500mg) both twice daily; or with Amoxicillin 1g and Clarithromycln 500mg, both twice daily. These regimens are given for 1 week. Dual therapy regimens such as Omeprazole 20mg twice daily or 40mg daily with either Amoxicillin 750mg to 1g twice daily or Clarithromycin 500mg, three times daily, are less effective and must be given for 2 weeks. Omeprazole alone may be continued for further 4 to 8 weeks.
Doses of 20mg daily orally are used in the treatment of NSAID-associated ulceration; a dose of 20mg daily may also be used for prophylaxis in patients with a history of gastroduodenal lesions who require continued NSAID treatment.
The initial recommended dosage for patients with the Zollinger-Ellison syndrome is 60mg orally once daily, adjusted as-required. The majority of patients are effectively controlled by doses in the range 20 to 120mg, but doses up to 120mg three times daily have been used. Daily doses above 80mg should be given as divided doses (usually 2).
Omeprazole is also used for the prophylaxis of acid aspiration during general anaesthesia, in a dose of 40mg the evening before surgery and a further 40mg two to six hours before the procedure.
For the treatment of gastroesophageal reflux disease in children 1 year of age and over are determined by body-weight as follows: 10 to 20kg: 10mg once daily; over 20 kg: 20mg, once daily. These doses may be doubled if necessary. Treatment may be given for 4 to 12 weeks.

OVERDOSE:
No specific antidote for Omeprazole over-dosage is known. The drug is extensively protein bound and is, therefore, not readily dialysed in the event of over-dosage; treatment should be symptomatic and supportive.

STORAGE:
Store at temperature not exceeding 30°C.

AVAILABILITY:
Alu-Blister Pack of 14 capsules (Box of 14's)

CAUTION:
Foods; Drugs, Devices arid Cosmetics Act prohibits dispensing without prescription.

Distributed by:
EURO-CARE PRODUCTS, PHILS.
Tinio St., San Nicolas
Gapan City 3105

Imported by:
WEST WING PHARMA SALES, INC.
Unit 8, San Antonio Bldg., No. 1595 Quezon Ave.
West Triangle D1, Quezon City, Philippines

Manufactured by:
ALINA Combine Pharmaceuticals (pvt) Ltd.
A-127, S.I.T.E., Super Highway
Karachi, Pakistan