Erazole Tablet / Capsule

Esomeprazole USP

Composition :

Erazole-20 Tablet : Each enteric coated tablet contains Esomeprazole Magnesium Trihydrate USP equivalent to Esomeprazole 20 mg.

Erazole-40 Tablet : Each enteric coated tablet contains Esomeprazole Magnesium Trihydrate USP equivalent to Esomeprazole 40 mg.

Erazole-20 Capsule : Each capsule contains Esomeprazole 20 mg as Esomeprazole Magnesium USP.

Erazole-40 Capsule : Each capsule contains Esomeprazole 40 mg as Esomeprazole Magnesium USP.

Description :

Esomeprazole is a proton pump inhibitor and S-isomer of Omeprazole. Esomeprazole suppress gastric acid secretion by specific inhibition of the H^+/K^+-ATPase in the gastric parietal cell. By acting specially on the proton pump, Esomeprazole blocks the final step in acid production, thus reducing gastric acidity. Esomeprazole concentrated and converted to the active form in the acid environment of the secretory canaliculi and inhibits the enzyme H^+/K^+-ATPase - the acid pump.

Esomeprazole is 97% bound to plasma proteins. Esomeprazole is extensively metabolized in the liver by the cytochrome P450 (CYP) enzyme system. The metabolites of Esomeprazole lack antisecretory activity.

The plasma elimination half-life of esomeprazole is approximately 1 to 1.5 hours. Less than 1% of parent drug is excreted in the urine. Approximately 80% of an oral dose of esomeprazole is excreted as inactive metabolites in the urine and the remainder is found as inactive metabolites in the feaces.

Indications :

The US FDA approved Esomeprazole for maintenance of healing of erosive esophagitis and in combination with Amoxicillin and Clarithromycin, for the eradication of *Helicobacter pylori* infection in patients with duodenal ulcer disease and also for risk reduction of NSAID-induced stomach ulcer.

Erazole tablet/capsule is indicated for :

- ❖ Gastro-esophageal Reflux Disease (GERD)
  - ✓ Healing of Erosive Esophagitis
  - ✓ Maintenance of Healing of Erosive Esophagitis
  - ✓ Symptomatic Gastroesophageal Reflux Disease
- ❖ In combination with appropriate antibacterial therapeutic regimens for the eradication of *Helicobacter pylori:*
  - ✓ Healing of *Helicobacter pylori* associated duodenal ulcer
  - ✓ Prevention of relapse of peptic ulcers in patient with *Helicobacter pylori* associated ulcer disease
- ❖ Risk Reduction of NSAID-associated Gastric Ulcer.
- ❖ Pathological hypersecretory conditions including Zollinger-Ellison Syndrome
- ❖ Acid related Dyspepsia
- ❖ Duodenal & Gastric ulcer

Dosage and administration :

Indications	Dose	Frequency
Treatment of Gastroesophageal Reflux Disease (GERD)		
Healing of Erosive Esophagitis	20 or 40 mg	Once daily for 4-8 weeks*
Maintenance of Healing of Erosive Esophagitis	20 mg	Once daily**
Symptomatic Gastroesophageal Reflux Disease	20 mg	Once daily for 4 weeks***

Risk Reduction of NSAID-associated Gastric Ulcer	20 or 40 mg	Once daily for up to 6 months**
***H. pylori* eradication to reduce the risk of Duodenal Ulcer**		
Esomeprazole	40 mg	Once daily for 10 days
Amoxycillin	1000 mg	Twice daily for 10 days
Clarithromycin	500 mg	Twice daily for 10 days

Acid related Dyspepsia	20-40 mg	2-4 weeks
Duodenal ulcer	20 mg	once daily for 2-4 weeks
Gastric ulcer	20-40 mg	once daily for 4-8 weeks
Pathological hypersecretory conditions including Zollinger-Ellison Syndrome	40 mg****	Twice daily ****

Paediatric use (12 years and older) :

Short term treatment of GERD : 20 mg or 40 mg once daily for up to 8 weeks.

* The majority of patients are healed within 4 to 8 weeks. For patients who do not heal after 4-8 weeks, an additional 4-8 weeks treatment may be considered.

** Controlled studies did not extend beyond six months.

*** If symptoms do not resolve completely after 4 weeks, an additional 4 weeks of treatment may be considered.

**** The dosage of Esomeprazole in patients with pathological hypersecretory conditions varies with the individual patient. Dosage regimens should be adjusted to individual patient needs. Doses up to 240 mg daily have been administered.

Use in Specific Populations :

Hepatic insufficiency :

No dosage adjustment is recommended for patients with mild to moderate hepatic insufficiency. However, in patients with severe hepatic insufficiency a dose of 20 mg once daily should not be exceeded.

Renal insufficiency :

Dose adjustment is not required in patients with impaired renal function. Due to limited experience in patients with severe renal insufficiency, such patients should be treated with caution.

Geriatric Use :

No overall differences in safety and efficacy have been observed between the elderly and younger individuals, and other reported clinical experience has not identified differences in responses between the elderly and younger patients, but greater sensitivity of some older individuals cannot be ruled out.

Paediatric use :

Safety and effectiveness in paediatric patients have not been established.

Contraindication :

Esomeprazole is contraindicated in patients who have known hypersensitivity to esomeprazole or any component of the formulation.

Precaution & warning :

Symptomatic response to therapy with Esomeprazole does not preclude the presence of gastric malignancy. Exclude the possibility of malignancy when gastric ulcer is suspected and before treatment for dyspepsia. When using in combination with antibiotic, refer to the prescribing information of the respective antibiotics. In the presence of any alarm symptom (e.g. significant unintentional weight loss, recurrent vomiting, dysphagia, haematemesis or melaena) and when gastric ulcer is suspected or present, malignancy should be excluded, as treatment with Esomeprazole may alleviate symptoms and delay diagnosis.

Adverse reactions :

The most frequently occuring adverse reactions reported with Esomeprazole include headache, diarrhea, nausea, flatulence, abdominal pain, constipation, and dry mouth. There are no differences in types of related adverse reactions seen during maintenance treatment up to 12 months compared to short-term treatment.

Use in pregnancy and lactation :

FDA Pregnancy Category B.

There are no adequate and well-controlled studies in pregnant women. This drug should be used during pregnancy only if clearly needed. Because Esomeprazole is likely to be excreted in human milk, a decision should be made whether to discontinue nursing or to discontinue the drug, taking into account the importance of the drug to the mother.

Drug interaction :

Esomeprazole may potentially interfere with CYP 2C19, the major esomeprazole metabolizing enzyme. Co-administration of esomeprazole 30 mg and diazepam, a CYP 2C19 substrate, resulted in a 45% decrease in clearance of diazepam.

Combination Therapy with Clarithromycin :

Co-administration of esomeprazole, clarithromycin and amoxicillin has resulted in increases in the plasma levels of esomeprazole and 14-hydroxyclarithromycin.

Esomeprazole inhibits gastric acid secretion. Therefore, esomeprazole may interfere with the absorption of drugs where gastric pH is an important determinant of bioavailability (Ketoconazole, Iron salts and Digoxin). There is some uncertainty over the effect of Esomeprazole on the oral combined contraceptive pill. Physiological change similar to those found with Omeprazole are likely to take place because of the reduction in gastric acid, which is likely to influence the bacterial colonization of the stomach and duodenum and also vitamin B_{12} absorption.

Overdose :

The major signs of acute toxicity were reduced motor activity, changes in respiratory frequency, tremor, ataxia and intermittent clonic convulsions. There have been no reports of overdose with Esomeprazole. No specific antidote is known. The symptoms described in connection with deliberate Esomeprazole overdose are transient. The symptoms described in connection with 280 mg were gastrointestinal symptoms and weakness. Esomeprazole is extensively protein bound and is therefore not readily dialyzable. As in any case of overdose, treatment should be symptomatic and general supportive measure should be utilized.

Storage :

Store in cool & dry place, away from light. Keep out of reach of children.

How Supplied :

Erazole-20 Tablet : Each box contains 3 x 10's tablets in Alu-Alu blister pack.

Erazole-40 Tablet : Each box contains 5 x 6's tablets in Alu-Alu blister pack.

Erazole-20 Capsule : Each box contains 5 x 6's capsules in Alu-Alu blister pack.

Erazole-40 Capsule : Each box contains 5 x 4's capsules in Alu-Alu blister pack.

KEMIKO

Manufactured by :

KEMIKO PHARMACEUTICALS LTD.
Bangladesh