RAYZOLE TABLET

Composition :

Each enteric coated tablet contains :
Rabeprazole Sodium I.P. 20mg.

DESCRIPTION :

Mechanism Of Action:

RABEPRAZOLE

Rabeprazole belongs to a class of Proton Pump Inhibitors. Rabeprazole blocks the final step of gastric acid secretion. It is used to prevent and heal gastro-intestinal problems which are caused due to hyperacidity in the stomach. This is also very beneficial for inhibiting gastric acid secretion. It heals stomach and intestinal ulcers and manages Gestro-Oesophageal Reflux Diseases.

In gastric parietal cells, rabeprazole is protonated, accumulates and is transformed to an active sulfenamide. When studied in vitro, rabeprazole is chemically activated at pH 1.2 with a half-life of 78 seconds. It inhibits acid transport in porcine gastric vesicles with a half-life of 90 seconds.

CLINICAL PHARMACOLOGY :

Pharmacodynamics:

Rabeprazole is an antiulcer drug in the class of proton pump inhibitors. It is a prodrug – in the acid environment of the parietal cells it turns into active sulphenamide form. Rabeprazole is a selective and irreversible proton pump inhibitor, suppresses gastric acid secretion by specific inhibition of the H+, K+ -ATPase, which is found at the secretory surface of parietal cells. In doing so, it inhibits the final transport of hydrogen ions (via exchange with potassium ions) into the gastric lumen.

Pharmacokinetics :

Absorption : Absolute bioavailability is approximately 52% .

Distribution : Rabeprazole is 96.3% (bound to human plasma proteins).

Metabolism : Rabeprazole is extensively metabolized. A significant portion of rabeprazole is metabolized via systemic nonenzymatic reduction to a thioether compound. Rabeprazole is also metabolized to sulphone and desmethyl compounds via cytochrome P450 in the liver. The thioether and sulphone are the primary metabolites measured in human plasma. These metabolites were not observed to have significant antisecretory activity. In vitro studies have demonstrated that rabeprazole is metabolized in the liver primarily by cytochromes P450 3A (CYP3A) to a sulphone metabolite and cytochrome P450 2C19 (CYP2C19) to desmethyl rabeprazole.

Bioavailability : After oral administration of Rabeprazole-20mg., absolute bio availability was approximately 52%.

Excretion: Approximately 90% of the drug was eliminated in urine, primarily as thioether carboxylic acid, its glucuronide and mercapturic acid metabolites. The reminder unchanged 10% of the dose is recovered in the faeces.

Router of elimination : Following a single 20 mg oral dose of 14C-labeled rabeprazole, approximately 90% of the drug was eliminated in the urine, primarily as thioether carboxylic acid; its glucuronide, and mercapturic acid metabolites.

Half life : 1-2 hours (in plasma).

INDICATIONS :

Rayzole is indicated in Duodenal Ulcer, Erosive Esophagitis, Gastric Ulcer, Duodenal Ulcer Prophylaxis, Gastro esophageal Reflux Disease, Helicobacter pylori Infection, Zollinger-Ellison Syndrome.

DOSAGE AND ADMINISTRATION :

Usual Adult Dose for Duodenal Ulcer - Rayzole-20mg. orally once a day, after the morning meal. The usual duration of therapy is four weeks in most patients; however, some patients may require additional therapy to achieve ulcer healing.

Usual Adult Dose for Erosive Esophagitis - Rayzole-20mg. orally once a day, after the morning meal. Therapy should be continued for 4 to 8 weeks.

Usual Adult Dose for Gastric Ulcer - Rayzole-20mg. orally once a day, after the morning meal. Therapy should be continued for 4 to 8 weeks.

Usual Adult Dose for Duodenal Ulcer Prophylaxis - Rayzole-20mg. orally once a day, after the morning meal. The maintenance therapy for duodenal ulcers has not extended beyond 12 months.

Usual Adult Dose for GERD - Rayzole-20mg. orally once a day, after the morning meal. Therapy should be continued for 4 to 8 weeks. Maintenance therapy may be required in some patients as part of relapse of erosive esophagitis or ulcerative gastroesophageal reflux disease is not uncommon.

CONTRAINDICATION :

Hypersensitivity to Rabeprazole or the active substance, to any of the excipients used in the formulation.

Pregnancy and lactation :

There are no data on the safety of rabeprazole in human pregnancy. Reproduction studies performed in rats and rabbits have revealed no evidence of impaired fertility or harm to the foetus due to rabeprazole sodium, although low foeto-placental transfer occurs in rats. Rabeprazole sodium is contraindicated during pregnancy.

It is not known whether rabeprazole sodium is excreted in human breast milk. No studies in lactating women have been performed. Rabeprazole sodium is however excreted in rat mammary secretions. Therefore Rabeprazole sodium should not be used during breast feeding.

DRUG INTERECTION :

Rabeprazole should not take with HIV drugs such as Atazanavir, Nelfinavir or Rilpivirine. Using these drugs with Rabeprazole to healthy volunteers resulted in a substantial reduction in atazanavir exposure. As a result, they won't work as well.
Co-administration of atazanavir with Rabeprazole sodium is not recommended. Treatment with proton pump inhibitors, including Rabeprazole sodium, may possibly increase the risk of gastrointestinal infections such as Salmonella, Campylobacter and Clostridium difficile.

Interaction with Warfarin : Taking Rabeprazole with Warfarin increased adverse effects like a higher INR (International Normalised Ratio). This could cause abnormal bleeding.

I**nteraction with Cyclosporine :** May manifest the symptoms like headache, diarrhea, nausea and vomiting or dizziness.

Methotrexate : Increased side effects can include muscle pain or inflammation in the digestion tract.

SIDE EFFECTS :

Rabeprazole exhibit negligible side effects that usually do not require medical attention like- Abdominal pain, Belching, Constipation, Flatulence, Increased risk of infection, Diarrhea, Sore throat and Nausea / Vomiting.

SPECIAL WARNING AND PRECAUTION :

Symptomatic response to therapy with rabeprazole sodium does not preclude the presence of gastric or oesophageal malignancy, therefore the possibility of malignancy should be excluded prior to commencing treatment with Rabeprazole sodium.
Patients on long-term treatment (particularly those treated for more than a year) should be kept under regular surveillance.
A risk of cross-hypersensitivity reactions with substituted benzimidazoles cannot be excluded.
Patients should be cautioned that Rabeprazole sodium tablets should not be chewed or crushed, but should be swallowed whole.

Paediatric population :
Rabeprazole sodium is not recommended for use in children, as there is no experience of its use in this group.

Hepatic impairment :
No evidence of significant drug related safety problems was seen in a study of patients with mild to moderate hepatic impairment versus normal age and sex matched controls. However because there are no clinical data on the use of rabeprazole in the treatment of patients with severe hepatic dysfunction therefore caution should be exercised when treatment with Rabeprazole sodium is first initiated in such patients.

Co-prescription with Digoxin :
For patients expected to be on prolonged treatment or who take PPIs with digoxin or drugs that may cause hypomagnesaemia (e.g., diuretics), health care professionals should consider measuring magnesium levels before starting PPI treatment and periodically during treatment.

Prolong use :
Proton pump inhibitors like Rabeprazole, especially if used in high doses and over long durations (> 1 year), may modestly increase the risk of hip, wrist and spine fracture, predominantly in the elderly or in presence of other recognized risk factors. Studies revealed that proton pump inhibitors may increase the overall risk of fracture by 10–40%. Some of this increase may be due to other risk factors. Patients at risk of osteoporosis should receive care of proper clinical guidelines and they should have an adequate intake of vitamin D and calcium.

OVERDOSE :

The incidence of overdose is limited. The effects of overdose are generally minimal, and reversible without further medical intervention. No specific antidote is known. Rabeprazole sodium is extensively protein bound and is, therefore, not dialyzable. As in any case of overdose, treatment should be symptomatic and general supportive measures should be utilized.

STORAGE :

Store in dry and dark place at temperature below 30° C.

PRESENTATION :

Rayzole tablet is available 1*10 in an Alu-Alu strip and 10 strips in a carton.