Esomezal®

Esomeprazole

Composition:

- Each Capsule of **Esomezal** 40 contains:
Esomeprazole 40 mg enteric coated pellets (as magnesium trihydrate).
- Each Capsule of **Esomezal** 20 contains:
Esomeprazole 20 mg enteric coated pellets (as magnesium trihydrate).

Indications:

Esomezal is indicated for:
- Treatment of Gastro-oesophageal Reflux Disease (GORD).
- Healing of erosive esophagitis.
- Short-term treatment (4-8 weeks) in the healing and symptomatic resolution of erosive esophagitis. For those patients who have not healed after 4 - 8 weeks of treatment, an additional (4 - 8) weeks course of **Esomezal** may be considered.
- Maintenance of healing of erosive esophagitis.
- Prevention of relapse of erosive esophagitis.
- H. pylori eradication to reduce the risk of peptic ulcer recurrence.
- Esomezal use in combination with an appropriate anti-bacterial, therapeutic regimen in indicated for healing or preventing relapes of peptic ulcer in patients with helicobacter bylori associated ulcers.

Dosage and Administration:

- **Esomezal** is recommended to be taken 1 hour before eating and should be swallowed completely with liquid.
- Gastro-oesophageal reflux disease (GORD).

Healing of erosive esophagitis	20mg or 40mg once daily for (4 - 8) weeks
Maintenance of healing of erosive esophagitis	20mg once daily
Prevention of relapse of erosive esophagitis	20mg once daily

- Treatment should not extend beyond 6 months.
Triple therapy:-
-Esomezal is used in triple therapy to eradicate H.pylori and to reduce the risk of peptic ulcer recurrence as shown below:

Esomezal	40 mg	Once daily for 10 days
Amoxicillin	1000 mg	Twice daily for 10 days
Clarithromycin	500 mg	Twice daily for 10 days

Contraindications:

- Hypersensitivity to any component of the formulation or to substituted benzimidazole.
- In patients with known hypersensitivity to penicillins or macrolide antibiotics, they should not be given with **Esomezal** for treatment of H. pylori.

Side Effects:

Esomeprazole was well tolerated in both short and long-term clinical trials, the following side effects have been identified but none was found to be dose-related:-
- Common side effects: headache, abdominal pain, diarrhea, flatulence, nausea, vomiting and constipation.
- Uncommon side effects: dermatitis, puritis, urticaria, dizziness and dry mouth.
- Rare side effects: hypersensitivity reactions.
- Other side effects for the racemate (Omeprazole) have not been identified in the clinical trials programmed for Esomeprazole.

Precautions:

- Symptomatic response to therapy with **Esomezal** does not preclude the presence of gastric malignancy, as the treatment with **Esomezal** may alleviate symptoms and delay diagnosis.
- Patients on long-term treatment (particularly those treated for more than one year) should be kept under regular surveillance.
- Clarithromycin is a potent inhibitor of CYP3A4 and hence its contraindications and interactions with other drugs should be considered when the triple therapy is used in patients concurrently taking other drugs metabolized via CYP3A4 such as Cisapride.
- Patients on-demand treatment should be instructed to contact their physician if their symptoms change in character, also the implications for interactions with other pharmaceuticals, due to fluctuating plasma concentration of esomeprazole should be considered.
- Patients with acute liver dysfunction, a maximum dose 20mg of **Esomezal**, should not be exceeded.
- Patients with rare hereditary problems of fructose intolerance, glucose - galactose malabsorption or sucrose-isomaltase insufficiency should not take this medicine.

Overdosage:

- There is very limited experience to date with deliberate overdose.
- The symptoms described in connection with 280mg were gastrointestinal symptoms and weakness.
- No specific antidote is known for overdose.
- Esomeprazole is extensively plasma protein bound and is therefore not readily dialyzable.
- As in any case of overdose, treatment should be symptomatic, general supportive measure should be utilized.

Drug Interactions:

- Esmoeprazole inhibits gastric acid secretion, therefore, it may interfere with the absorption of drugs which gastric acidity is an important determinant of their absorption e.g: (Ketoconazole, Itraconazole, Iron salts and Digoxin).
- Esomeprazole inhibits (CYP2C19) enzyme thus when it is combined with drugs metabolized by (CYP2C19) such as (Diazepam, Citalopram , Imipramine Clomipramine and phenytion) the plasma concentrations of these drugs may increased and dose reduction could be needed this should be especially when prescribing **Esomezal** for on-demand therapy.
- Concomitant administration of **Esomezal** to warfarin treated patients, (a few isolated cases) elevation of INR of clinical significance have been reported, so monitoring is recommended when initiating and ending concomitant treatment.

Pregnancy and Lactation:

- Caution should be exercised when **Esomezal** is prescribed to pregnant women and should not be used during breast-feeding.

Properties:

- Esomeprazole is a new proton pump inhibitor, it is the (S-isomer) of Omeprazole and is the first such inhibitor to be developed as a single isomer .
- Esomeprazole reduces gastric acid secretion through a specific targeted mechanism of action.
- It's a specific inhibitor of the acid proton pump in the parietal cells where inhibits the enzyme H+K+-AT Pase and inhibit both basal and stimulated acid secretion. Both the R-and S-isomer of Omeprazole have similar pharmacodynamics activity.
- Absorption of Esomeprazole is rapid with peak plasma level occurring approximately 1-2 hours after oral dose .
- The absolute bioavailability is 64% after a single dose of 40 mg and increases to 89% after repeated once daily, in administration of 20mg of Esomeprazole the corresponding values are 50% and 68% respectively.
- The apparent volume of distribution at steady state in healthy subjects is approximately 0.22 l/kg of body weight.
- Esomeprazole is 97% plasma protein bound.
- Food intake both delays and decreases the absorption of Esomeprazole, although this has no significance on the effect of Esomeprazole on intra gastric acidity.
- Esomeprazole is completely metabolized in the liver by the cytochrome P450 system. The major part of the metabolism of Esomeprazole is dependent on the polymorphic CYP2C19 responsible for the formation of hydroxyl and dimethyl metabolites of Esomeprazole. The remaining part is dependent on another specific (isoform) CYP3A4, responsible for the formation of Esomeprazole sulphone main metabolite in plasma. The major metabolites of Esomeprazole have no effect on the gastric acid secretion.
- The plasma clearance is about 17L/h after a single dose and about 9L/h after repeated administration. The plasma elimination half-life is about 1.3 hours after repeated once daily dosing.
- Esomeprazole is completely eliminated from plasma between doses with no tendency for accumulation during once-daily administration.
- Almost 80% of an oral dose of Esomeprazole is excreted as metabolites in urine, the remainder in the faeces and less than 1% of the parent drug is found in urine.

Packaging:

Blister of 7 capsules, pack of two blisters.

Storage:

Store in a cool and dry place at a temperature below 30° C, protect from light.

To report any Side effect
National Medicines and Poisons Board (NMPB)
Fax (+249)183522263
E-mail: inf@nmpb.gov.sd
Website: www.nmpb.gov.sd

THIS IS A MEDICAMENT

- **Medicament is a product which affects your health, and its consumption contrary to instructions is dangerous for you.**
- Follow strictly the doctor's prescription, the method of use and the instructions of pharmacist who sold the medicament.
- **The doctor and the pharmacist are experts in medicine, its benefits and risks.**
- **Do not by yourself interrupt the period of treatment prescribed for you.**
- **Do not repeat the same prescription without consultation your doctor.**
- **Keep medicament out of reach of children.**

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Azal pharma.
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60316

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