

ESOLOR

(ESOMEPRAZOLE)

20mg Capsule
40mg Capsule

ایسولور
(ایسومیپرازول) کیپسول

DESCRIPTION:

Esolor (Esomeprazole) is a 5-methoxy-2-[[[4-methoxy-3, 5-dimethylpyridin-2-yl] methyl]sulfinyl]-1H-benzimidazole that has S configuration at the sulfur atom. An inhibitor of gastric acid secretion, it is used (generally as its sodium or magnesium salt) for the treatment of gastro-oesophageal reflux disease, dyspepsia, peptic ulcer disease, and Zollinger-Ellison syndrome. It has a role as a proton pump inhibitor (H^+/K^+ AT Pase) inhibitor, an anti-ulcer drug and an EC 1.4.3.4 (monoamine oxidase) inhibitor. It is a conjugate acid of an Esomeprazole (1-). It is an enantiomer of a (R)-Omeprazole.

The empirical formula of Esomeprazole is $C_{17}H_{19}N_3O_3S$ and its M.W is 345.4g/mol

Structure:

COMPOSITION:

Esolor 20mg:

Each capsule contains enteric coated pellets of Esomeprazole (as Mg Trihydrate)

....20mg

Esolor 40mg:

Each capsule contains enteric coated pellets of Esomeprazole (as Mg Trihydrate)

....40mg

CLINICAL PHARMACOLOGY:

Pharmacokinetics:

Absorption: After oral administration peak plasma levels (C_{max}) occur at approximately 1.5 hours (T_{max}). The C_{max} increases proportionally when the dose is increased, and there is a three-fold increase in the area under the plasma concentration-time curve (AUC) from 20 to 40 mg

Distribution: Esomeprazole is 97% bound to plasma proteins. Plasma protein binding is constant over the concentration range of 2 to 20 $\mu\text{mol/L}$. The apparent volume of distribution at steady state in healthy volunteers is approximately 16 L

Elimination: 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 remaining is found as inactive metabolites in the feces

Mechanism of Action:

Esomeprazole is the S-isomer of Omeprazole, with gastric proton pump inhibitor activity. In the acidic compartment of parietal cells, Esomeprazole is protonated and converted into the active achiral sulfenamide; the active sulfenamide forms one or more covalent disulfide bonds with the proton pump hydrogen-potassium adenosine triphosphatase (H^+/K^+ ATPase), thereby inhibiting its activity and the parietal cell secretion of H^+ ions into the gastric lumen, the final step in gastric acid production.

The recommended dose is one Capsule a day.

Do not take more than this recommended dose of one Capsules (20mg) a day, even if you don't feel an improvement immediately.

You may need to take the Capsules for 2 or 3 days in a row before your reflux symptoms (for example, heartburn and acid regurgitation) get better.

The treatment length is up to 14 days.

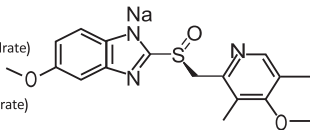
When your reflux symptoms have completely gone you should stop taking this medicine.

If your reflux symptoms get worse or do not improve after taking this medicine for 14 days in a row, you should consult a doctor. If you have persistent or longstanding, frequently recurring symptoms even after treatment with this medicine, you should contact your doctor.

DOSAGE AND ADMINISTRATION:

Dosage for GERD or erosive esophagitis:

- Healing erosive esophagitis:
 - 20 mg or 40 mg Capsules taken once in a day for 4 to 8 weeks.
 - If this treatment doesn't work, your doctor may repeat the treatment for another 4 to 8 weeks.
- Maintenance of healed erosive esophagitis:
 - 20 mg Capsules taken once per day.
- Treatment of symptomatic gastroesophageal reflux disease:
 - 20 mg Capsules taken once in a day for 4 weeks.
- Symptomatic GERD: 20 mg Capsules taken once in a day for 8 weeks.



Dosage for stomach ulcer

20 mg or 40 mg Capsules taken once in a day for up to 6 months.

Dosage for H. pylori infection

Adult dosage Typical dosage is 40 mg taken once per day for 14 days with amoxicillin and clarithromycin.

Dosage for Zollinger-Ellison syndrome Typical dosage is 40 Capsules mg taken twice per day.

CONTRAINDICATIONS:

ESOLOR is contraindicated in patients with known hypersensitivity to substituted benzimidazoles or to any component of the formulation. Hypersensitivity reactions may include anaphylaxis, anaphylactic shock, angioedema, bronchospasm, acute interstitial nephritis, and urticaria [see Adverse Reactions (6)].

WARNINGS AND PRECAUTIONS:

Concurrent Gastric Malignancy Symptomatic response to therapy with **ESOLOR** does not preclude the presence of gastric malignancy.

Atrophic Gastritis; Atrophic gastritis has been noted occasionally in gastric corpus biopsies from patients treated long-term with Omeprazole, of which Esomeprazole is an enantiomer.

Acute interstitial Nephritis; Acute interstitial nephritis has been observed in patients taking PPIs including **ESOLOR**. Acute interstitial nephritis may occur at any point during PPI therapy and is generally attributed to an idiopathic hypersensitivity reaction. Discontinue **ESOLOR** if acute interstitial nephritis develops

DRUG INTERACTIONS:

Interference with Antiretroviral Therapy

Concomitant use of Atazanavir and nelfinavir with proton pump inhibitors is not recommended

Drugs for Which Gastric pH can affect Bioavailability

Because of its profound and long lasting inhibition of gastric acid secretion, it is theoretically possible that Omeprazole may interfere with absorption of drugs where gastric pH is an important determinant of their bioavailability

Effects on Hepatic Metabolism/Cytochrome P-450 Pathways

Omelor can prolong the elimination of diazepam, warfarin and phenytoin, drugs that are metabolized by oxidation in the liver

Tacrolimus

Concomitant administration of Omeprazole and tacrolimus may increase the serum levels of tacrolimus

Combination Therapy with Clarithromycin

Co-administration of Esomeprazole, clarithromycin, and amoxicillin has resulted in increases in the plasma levels of

Esomeprazole and 14-hydroxyclearithromycin

POSSIBLE SIDE EFFECTS:

Common side effects include:

Headache, diarrhea, nausea, flatulence, decreased appetite, constipation, dry mouth, and abdominal pain. More severe side effects are severe allergic reactions, chest pain, dark urine, fast heartbeat, fever, paresthesia, persistent sore throat, severe stomach pain, unusual bruising or bleeding, unusual tiredness, and yellowing of the eyes or skin

Proton pump inhibitors may be associated with a greater risk of hip fractures and Clostridium difficile-associated diarrhea.

Patients are frequently administered the drugs in intensive care as a protective measure against ulcers.

STORAGE & INSTRUCTIONS:

Keep out of the reach of children & Store below 30°C.

Protect from sunlight & moisture

Improper storage may deteriorate the medicine

Do not use this medicine after the expiry date which is stated on the blister.

PRESENTATION:

Esolor 20mg, 40mg capsule are available in pack of 14's

ایسولور

(ایسومپیرازول) کپسول

Manufactured by :



PHARMA LORD | 12km, Lahore
(PVT.) LIMITED | Road, Layyah.
Pakistan
www.pharma-lord.com

خوراک: ڈاکٹر ہدایت کے مطابق استعمال کریں۔

ہدایت: بچوں کی پہنچ سے دور رکھیں۔ دوا کو لمبے عرصے تک قابل

استعمال رکھنے کیلئے دھوپ، گرمی اور نمی سے محفوظ ۳۰ ڈگری

سینٹی گریڈ سے کم درجہ حرارت پر رکھیں۔