

(Esomeprazole Delayed-Release Capsules USP)

COMPOSITION:

20mg Capsules: Each Capsule contains: Esomeprazole Magnesium Trihydrate equivalent to Esomeprazole (Enteric Coated Pellets) ... 20mg 40mg Capsules: Each Capsule contains: Esomeprazole Magnesium Trihydrate equivalent to Esomeprazole (Enteric Coated Pellets) ... 40mg [USP Specs.]

PHARMACOLOGY:

PHARMACOKINETICS: Esomeprazole is rapidly absorbed following oral administration, with peak plasma levels occurring after approximately 1 to 2 hours. It is acid labile so an enteric-coated formulation has been developed. Bioavailability of Esomeprazole increases with both dose and repeated administration to about 68% and 89% for doses of 20 and 40mg respectively. Food delays and decreases the absorption of Esomeprazole, but this does not significantly change its effect on intragastric acidity. Esomeprazole is about 97% bound to plasma proteins. It is extensively metabolized in the liver by the cytochrome P450 isoenzyme CYP2C19 to hydroxy and desmethyl metabolized by the cytochrome P450 isoenzyme CYP3A4 to Esomeprazole sulfone. With repeated administration, there is a decrease in first-pass metabolism and systemic clearance, probably caused by an inhibition of the CYP2C19 isoenzyme. However, there is no accumulation during once daily administration. The plasma elimination half-life is about 1.3 hours. Almost 80% of an oral dose is eliminated as metabolites in the urine, the remainder in the faeces.

PHARMACODYNAMIC: Esomeprazole is the S-isomer of omeprazole and reduces gastric acid secretion through specific targeted mechanism of action. It is a specific inhibitor of the acid pump in the parietal cell. Both the R- and S-isomer of omeprazole have similar pharmacodynamic activity.

INDICATIONS:

Es-Loprot (Esomeprazole) capsules is indicated for:

Gastro Esophageal Reflux Disease (GERD): Treatment of erosive reflux esophagitis. Long term management of patients with healed esophagitis to prevent relapse. Symptomatic treatment of Gastro Esophageal Reflux Disease (GERD) without esophagitis.

As a triple therapy (Esomeprazole plus amoxicillin and clarithromycin) for the eradication of Helicobacter pylori: Healing of duodenal ulcer associated with Helicobacter pylori infection. Prevention of relapse of peptic ulcers in patients with Helicobacter pylori associated ulcers. Note: In patients who failed the therapy, susceptibility testing should be done. If resistance to clarithromycin is demonstrated or susceptibility testing is not possible, alternative antimicrobial therapy should be instituted.

DOSAGE AND ADMINISTRATION: Usual doses for peptic ulcer disease, as a component of a triple therapy regimen with amoxicillin and clarithromycin, are equivalent of 20mg Es-Loprot (Esomeprazole) by mouth twice daily for 7 days, or 40mg once daily for 10 days. The dose for treatment of severe (erosive) Gastro-Esophageal Reflux Disease is 40mg once daily for 4 weeks, extended for further 4 weeks if necessary: Or the doses of 20 to 40mg for patients who do not heal after 4 to 8 weeks of treatment may be considered for patients who do not heal after 4 to 8 weeks. For maintenance, or for symptomatic disease without erosive esophagitis, doses equivalent to 20mg of Es-Loprot (Esomeprazole) daily may be used. For patients with severe hepatic impairment the maximum dose is 20mg.

CONTRA-INDICATIONS: Esomeprazole capsules are contra-indicated in patients with known hypersensitivity to any component of formulation.

WARNING: There is possibility of development of gastric carcinoid tumour in humans receiving long term therapy with Esomeprazole. Therefore, Esomeprazole capsules should be prescribed only for the conditions, dosage and duration described and should not be used as maintenance therapy.

PRECAUTIONS: Esomeprazole capsules should be taken at least one hour before meals. Capsules should not be opened, chewed or crushed out; should be swallowed whole.

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DRUG INTERACTIONS: In common with the use of other inhibitors of acid secretion or antacids, the absorption of ketoconazole and itraconazole can decrease during treatment with Esomeprazole due to decreased intragastric acidity during treatment with Esomeprazole. Esomeprazole prolongs elimination time of drugs metabolized by oxidation

e.g. diazepam, warfarin and phenytoin.

PREGNANCY: Esomeprazole capsules should be used during pregnancy only if potential benefit justifies the potential risk to fetus.

NURSING MOTHER: It is not known whether Esomeprazole is excreted in human milk. Because of the potentials for serious reactions in nursing infants and because of potentials for tumorigenicity, a decision should be made to discontinue drug or to discontinue nursing.

PEDIATRIC USE: Safety and effectiveness in children have not been

OVERDOSE: There is very limited experience to date with deliberate overdose. No specific antidote is known. Esomeprazole is extremely plasma protein bound and is therefore not readily dialyzable. As in case of overdose, treatment should be symptomatic and general supportive measures should be utilized.

SIDE EFFECTS: Diarrhoea, headache (both may be severe) nausea, constinution, flatulence, dizziness, somnolence, insomnia and paraesthesia. rashes urticaria, bullous eruption, erythema multiforme, angioedema, alopeca and photosensitivity reported, muscle and joint pain, blurred vision. Peripheral edema, gynaecomastia and rarely impotence, disturbance of taste, stomatitis, gastro-intestinal candidiasis, thrombocytopenia, fever, bronchospasm, interstitial nephritis, liver enzyme changes and liver dysfunction also reported, reversible mental confusion. Agitation, depression and hallucinations have been noted in severely ill.

 $\mbox{\bf INSTRUCTIONS:}$ Store below 30°C. Protect from heat, light & moisture. Keep out of the reach of children.

PRESENTATION: Es-Loprot (Esomeprazole) capsules 20mg and 40mg are available in pack of 14 capsules.

ا جز اء ترکیب : امیں مدوبو ہے کے ہرکیپول میں ایس ادمیر از وار میکنیشم ٹرائی ہائیڈریٹ مسادی ۲۰ ملی گرام یا ۴۰ ملی گرام الیںاومیر از ول موجود ہے۔

خواص: شدید (Gastro Esophageal Reflux Disease (GERD). ایباقرحه معده جس کوم وجه علاج سے فائدہ نہ ہو۔کثرت رطوبت معدہ سے پیدا ہونے والی بیاریاں۔

منوعات: دوران مل، رضاعت، ۱۸ سال ہے معرکے بچے یا اگر دوائے جزے حساسیت یائی جائے۔

خوراک : قرحه دٔ یودٔ پنم میں ۲۰ ملی گرام کا کمپیول دن میں دو دفعه ۷ روز تک یا ۴۴ ملی گرام کا کمپیول دن میں ایک دفعه ۱۰ روز تک۔ شدیدجی۔ای۔آر۔ڈی کی علامات میں ۲۸ ملی گرام کا کیپول دن میں ایک مرتبه عقت تک۔ جیضرورت پڑنے پرمزید ۴ عقت تک بڑھایا جاسکتا ہے۔

> جگرےعارضہ میں مبتلا مریض کی خوراک ۲۰ ملی گرام روزانہ سے زیادہ تجاوز نہ کریں۔ ڈاکٹر کےمشورے کے بغیرطویل عرصے تک دوا کااستعال باخوراک میں تبدیلی نہ کریں۔ ایس - اوپدوٹ کیپولز کھانا کھانے ہے کم از کم ایک گھنٹہ پہلے استعمال کریں۔

۳۰ ڈگری بینٹی گریڈے کم درجہ حرارت پر کھیں۔ گری روثنی اور نی سے بیائیں۔ بچوں کی پہنچ سے دور رکھیں۔

ایس ۔ اوپر وٹ کمپیولز ۲۰ ملی گرام اور ۴۰ ملی گرام 14 کمپیولز کے پیک میں دستیاب ہیں۔



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