

Es-loprot^{Capsules} ایس۔ لوپروت کپسولز

(ایس اومپرازول ڈیلیڈ ریلیز کپسولز یو ایس پی)

(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 metabolites, which have no effect on gastric acid secretion. The remainder is 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 initial treatment, a further 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.

