Front 90x130 Back

Esomeprazole Sodium for Injection

ESOMEWIN™-40

Lyophilized Powder
For I.V. use only

Composition:
Each vial contains:
Esomeprazole Sodium (Sterile) BP
Eq. to Anhydrous Esomeprazole 40 mg

DESCRIPTION :
Esotec Injection is Esomeprazole, a proton pump inhibitor for intravenous use. Esomeprazole is the S-isomer of omeprazole, which is a mixture of the S- and R- isomers.

PHARMACOLOGICAL INFORMATION :
Pharmacodynamics
Mechanism Of Action -
Esomeprazole is a proton pump inhibitor that suppresses gastric acid secretion by specific inhibition of the H+/K+ - ATPase in the gastric parietal cell. The S- and R-isomers of omeprazole are protonated and converted in the acidic compartment of the parietal cell forming the active inhibitor, the achiral sulphenamide. By acting specifically on the proton pump, Esomeprazole blocks the final step in acid production, thus reducing gastric acidity. This effect is clode-related up to a daily dose of 20 to 40 mg and leads to inhibition of gastric acid secretion.
Antisecrotery Action
Randomised crossover studies have examined the effect of intravenous esomeprazole on gastric acid control. Control of basal and pentagastrin-stimulated gastric acid secretion was better with a single intravenous infusion of esomeprazole 40 mg than with a single intravenous infusion of omeprazole 40 mg. The mean between-treatment difference favoured esomeprazole for basal acid output assessed and pentagastrin-stimulated acid output.

Pharmacokinetics
Distribution:
The apparent volume of distribution at steady state in healthy subjects is approximately 0.22 L/kg body weight. Esomeprazole is 97% plasma protein bound. Following repeated doses of 40 mg administered as intravenous injections, the mean peak plasma concentration is approx. 13.6 micromol/L. The mean peak plasma concentration after corresponding oral doses is approx. 4.6 micromol/L. A smaller increase (of approx. 30%) can be seen in total exposure after intravenous administration compared to oral administration.
Metabolism:
Esomeprazole is extensively metabolized in the liver by the cytochrome P450 enzyme system (CYP2C19 and CYP3A4). The metabolites of Esomeprazole are inactive. CYP2C19 isoenzyme exhibits polymorphism in the metabolism of Esomeprazole since some 3% of Caucasians and 15-20% of Asians lack CYP2C19 and are termed poor metabolizers. Following administration of equimolar doses, the S- and R-isomers are metabolized differently by the liver, resulting in higher plasma levels of the S- than of the R-isomer. The major metabolites of esomeprazole have no effect on gastric acid secretion.
Excretion:
Almost 80% of an oral dose of esomeprazole is excreted as metabolites in the urine, the remainder in the faeces. The plasma elimination half-life is about 1.3 hours after repeated once-daily dosing.

Indication And Usage -
Esotec Injection is indicated in patients with esophagitis and/or severe symptoms as an alternative to oral therapy when oral intake is not appropriate.

Dosage And Administration -
Adult dose:
Patients who cannot take oral medication can be treated parenterally with 20-40 mg once daily. Esotec Injection may be administered by infusion (reconstituted solution over 10-30 minutes) or injection (over 3 minutes [20 mg/day] or >/=3 minutes [40 mg/day]. A dosage of 40 mg once daily is recommended for the treatment of reflux oesophagitis and a dosage of 20 mg once daily is recommended for the symptomatic treatment of GERD. Antacer Injection is intended for short-term use.

Instructions for use and handling -
Injection
To reconstitute add 10 ml Sodium Chloride Injection BP 0.9% w/v.
Infusion
A solution for infusion is prepared visually for particulate matter and discoloration prior to administration. Only clear solution should be used. For single use only.
Pediatric use: Esotec Injection is not recommended for pediatric use.
Geriatric use: No dosage adjustment is required in elderly individuals.
Dosage in patients with renal insufficiency : No dosage adjustment is necessary in patients with renal insufficiency.
Dosage in patients with hepatic impairment : Dose adjustment is not required in patients with mild to moderate liver impairment. For patients with severe liver impairment, a maximum daily dose of 20 mg Esotec Injection should not be exceeded.

Contraindication :
Esotec Injection is contraindicated in patients with known or suspected hypersensitivity to the esomeprazole or to other substituted benzimidazoles.

Precautions :

• Symptomatic response to Esomeprazole therapy does not exclude the presence of gastric malignancy in the presence of any alarm symptom (e.g. significant unintentional Weight loss, recurrent vomiting, dysphagia, hematemesis or melena) and when gastric ulcer is suspected or present, malignancy should be excluded, as treatment with Esotec Injection may alleviate symptoms and delay diagnosis.
• Atrophic gastritis has been noted occasionally in gastric corpus biopsies from patients treated long-term with omeprazole, of which Esomeprazole is an enantiomer.

Adverse Reactions :
Intravenous esomeprazole (administered by infusion or injection) was generally well tolerated in patients with erosive oesophagitis. The type and incidence of adverse events was similar in recipients of esomeprazole administered intravenously or orally.
The most commonly reported adverse events included headache, flatulence, nausea, diarrhoea, abdominal pain, constipation and dizziness / vertigo. No serious adverse events were reported with intravenous esomeprazole.
No clinically relevant charges in laboratory values. ECG findings, vital signs, physical examination findings or visual fields occurred during the study.

Overdosage :
There is a very limited experience to date with deliberate overdose. The symptoms described in connection with an oral dose of 280 mg were gastrointestinal symptoms and weakness. Single oral doses of 80 mg esomeprazole and intravenous doses of 100 mg were uneventful. No specific antidote is known. Esomeprazole is extensively plasma protein found and is therefore not readily dialyzable. As in any case of overdose, treatment should be symptomatic and general supportive measures should be utilized.

Storage: Store protected from light at temperature not Exceeding 30° C.

Presentation :
Combo pack carton containing 10 ml glass vial and 10 ml ampoule in Plastics Tray with insert .

Mfg. Lic No. : N-MB/16/185

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