Risek

Proton Pump Inhibitor

Sterile lyophilized powder for intravenous infusion

Composition

Each vial contains:

Active ingredient: Lyophilized (freeze-dried) omeprazole sodium equivalent to omeprazole 40mg.

Excipient: Sodium hydroxide.

Properties

Risek represents a new trend in the treatment of peptic ulcer and allied conditions whereby the final step of gastric acid secretion is inhibited irrespective of the stimulus. **Risek** acts specifically by inhibiting the H^+/K^+ ATPase enzyme system (the 'proton pump') at the secretory surface of the gastric parietal cells, blocking thereby the final transport of hydrogen ions into the gastric lumen, and thus inhibiting effectively both basal and stimulated acid secretion.

Risek has also antimicrobial activity against *Helicobacter pylori* by selective inhibition of *H. pylori* urease, which is necessary for gastric colonization.

Risek has no effect on acetylcholine or histamine receptors.

After intravenous infusion, omeprazole distributes rapidly to extravascular sites. The volume of distribution of omeprazole may be slightly decreased in elderly and in patients with hepatic insufficiency but it is not markedly affected in patients with renal impairment. The elimination half-life of omeprazole from plasma is short, being reported to be about 0.5 - 3 hours, however, its duration of action with regard to inhibition of acid secretion is much longer allowing it to be used in single daily doses. Omeprazole is highly bound (about 95%) to plasma protein. It is almost completely metabolised in the liver, primarily by the cytochrome P450 system. Almost 80% of an intravenously given dose is excreted as metabolites in the urine and the remainder is found in the faeces, primarily originating from bile secretion.

Indications

Risek vials are indicated as an alternative to oral therapy in patients who are unsuited to receive the medication orally. It is given on a short-term basis by intravenous infusion for the following indications:

- Acid aspiration: prophylaxis of acid aspiration during general anaesthesia (through gastric acid reduction).
- Peptic ulcer: benign gastric and duodenal ulcers.
- Gastro-oesophageal reflux disease.
- Zollinger-Ellison syndrome: management of pathologic gastric hypersecretion associated with Zollinger-Ellison syndrome (including cases resistant to other treatment).

Dosage

- **Gastric acid reduction during general anaesthesia (prophylaxis of acid aspiration):**
  40mg to be completed 1 hour before surgery.
- **Benign gastric ulcer, duodenal ulcer, and gastro-oesophageal reflux:**
  40mg once daily until oral administration becomes possible.
- **Zollinger-Ellison syndrome:**
  60mg once daily.

Higher daily doses may be required and the dose should be adjusted individually. When doses exceed 60mg daily, the dose should be divided and given twice daily.

Reconstitution and administration

The contents of one vial of **Risek** must be dissolved in 100mL of 5% glucose for infusion or 100mL saline for infusion (sodium chloride 0.9%). The reconstituted solutions of **Risek** should be given as an *intravenous infusion* (over a period of 20 - 30 minutes).

The reconstituted solutions should be used within 6 hours when prepared in 5% glucose and within 12 hours when prepared in saline.

Contraindications

It is contraindicated in individuals with known hypersensitivity to omeprazole.

Like other proton pump inhibitors, omeprazole is better to be avoided (unless it is considered essential) during pregnancy and lactation until further research studies are available.

Precautions

As general practice in treating gastric ulcer, the possibility of gastric malignancy should be excluded prior to the initiation of therapy with omeprazole. Omeprazole may mask symptoms of gastric cancer; particular care is required in those whose symptoms change and in those over 45 years of age.

Impaired hepatic functions: As omeprazole is extensively metabolised in the liver, its plasma half-life is increased in patients having hepatic impairment; caution is recommended in such patients and the recommended daily dose should not exceed 20mg.

Impaired renal functions: Dosage adjustment is not needed in patients

with impaired renal functions.

Geriatrics: Dosage adjustment is not needed in geriatric patients.

Paediatrics: As there is limited experiences with the use of omeprazole in paediatric age group, its use is not recommended in children.

Side Effects

Omeprazole is generally well-tolerated. Only transient and reversible side effects have been reported.

The following side effects, listed by body system, have been reported with the use of omeprazole, which is more or less similar to that associated with other proton pump inhibitors:

Nervous system: Headache, dizziness, vertigo, blurred vision, visual impairment (with high doses), taste disturbances, insomnia, somnolence, depression, and paraesthesia. Reversible confusional states, agitation, and hallucinations have been reported in severely ill patients.

Gastrointestinal tract: Dry mouth, stomatitis, nausea, vomiting, flatulence, abdominal pain, diarrhoea, and constipation

Like all other proton pump inhibitors, omeprazole decreases gastric acidity and may increase the risk of gastrointestinal infections.

Liver: Rarely, transient disturbances in liver enzymes. In isolated cases; hepatic dysfunction, hepatitis with or without jaundice, and encephalopathy in patients with severe liver disease.

Kidney: Interstitial nephritis.

Musculoskeletal: Malaise and muscle and joint pain.

Skin: In isolated cases; pruritus, photosensitivity, erythema multiforme, alopecia, bullous eruption, Stevens-Johnson syndrome, toxic epidermal necrolysis, and anaphylaxis.

Hypersensitivity reactions: Rash, urticaria, angioedema, and bronchospasm.

Haematological: Agranulocytosis, leucopenia, pancytopenia, and thrombocytopenia

Others: Fever, sweating, hyponatraemia, peripheral oedema, gynaecomastia, and rarely impotence.

Overdosage

It has been reported that intravenous administration of omeprazole in doses up to 270mg on a single day and up to 650mg over a 3-day period has not resulted in any dose-related adverse reactions.

Drug Interactions

Omeprazole may reduce the hepatic metabolism of warfarin, diazepam, phenytoin, and possibly other drugs metabolised via cytochrome P450 enzyme system. Thus, upon concurrent administration, omeprazole may result in delayed elimination, increased blood concentrations, and enhanced effects of these medications. Monitoring of blood concentrations, or prothrombin time for warfarin, is recommended as a guide of dosage since dosage adjustment of these medications may be necessary during and after omeprazole therapy.

Absorption of ketoconazole and itraconazole may be reduced upon concurrent administration of omeprazole.

Plasma concentration of digoxin and tacrolimus may possibly be increased upon concomitant administration of omeprazole.

Presentation

Risek sterile lyophilized powder for infusion: Pack of 1 vial.

** Store at a temperature of 15 - 25°C, protected from light.*

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 the pharmacist who sold the medicament.**
- **The doctor and the pharmacist are experts in medicines their benefits and risks.**
- **Do not by yourself interrupt the period of treatment prescribed for you.**
- **Do not repeat the same prescription without consulting your doctor.**
- **Keep all medicament out of reach of the children**

Council of Arab Health Ministers,
Union of Arab Pharmacists

***Any information? Call Our Toll Free No.* (971) 800-4994**

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