Drug/ Drug Class: Omeprazole

Fadzflux®

40 mg Powder for Injection (IV)

Proton Pump (H +K +ATPase) Inhibitor

Pharmacodynamics

Omeprazole is a proton pump inhibitor that belongs to a class of antisecretory compounds, the substituted benzimidazoles. It suppresses gastric acid secretion by specific inhibition of the H /K ATPase enzyme system at the secretory surface of the gastric parietal cell. Because this enzyme system is regarded as the acid (proton)
pump within the gastric mucosa, Omeprazole has been characterized as a gastric acid-pump inhibitor, in that it blocks the final step of acid production.
This effect is dose-related and leads to inhibition of both basal and stimulated acid secretion.

Pharmacokinetics

Distribution
The apparent volume of distribution in healthy subjects is approximately 0.3 L/kg body weight. Omeprazole is 97% plasma protein bound.
Elimination
Total plasma clearance is about 30 to 40 L/h after a single dose. The plasma elimination half-life of Omeprazole is usually shorter than one hour both after single and repeated once-daily dosing. Omeprazole is completely eliminated from plasma between doses with no tendency for accumulation during once-daily administration.
Almost 80% of a dose of Omeprazole is excreted as metabolites in the urine, the remainder in the feces, primarily originating from bile secretion.
Special populations
Hepatic impairment
The metabolism of Omeprazole in patients with liver dysfunction is impaired, resulting in an increased AUC. Omeprazole has not shown any tendency to accumulate with once-daily dosing.
Renal impairment
The pharmacokinetics of Omeprazole, including systemic bioavailability and elimination rate, are unchanged in patients with reduced renal function.
Older people
The metabolism rate of Omeprazole is somewhat reduced in elderly subjects (75 to 79 years of age)

Formulation

Each vial contains:
Omeprazole Sodium BP
eq. to Omeprazole ..... 40 mg
Each ampoule contains:
Sterile Water for Injection BP ..... 10 mL

Fadz Pharma Inc.
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0917-639-0871

(diluent)

Availability

- 10 mL USP Type III Amber Glass Vial with pink flip-off seal + 10 mL Sterile Water for Injection as diluent
- (Box of 1 vial + diluent)

Dosage & Administration

By intravenous injection over 5 minutes or by intravenous infusion over 20 to 30 minutes, prophylaxis of acid aspiration, 40 mg completed 1 hour before surgery.
Benign gastric ulcer, duodenal ulcer and gastroesophageal reflux, 40 mg once daily until oral administration is possible.
Severe peptic ulcer bleeding initial intravenous infusion of 80 mg then by continuous intravenous infusion, 8 mg/hr. for 72 hours (then change to oral therapy).
Administration: Omeprazole 40 mg I.V. injection should only be administered intravenously. It should not be given by any other route.
Injection: For I.V. injection, each single dose vial containing lyophilized powder for Omeprazole 40 mg should be reconstituted with 10 mL of Sterile Water for Injection.
The resulting concentration is 4 mg/mL of Omeprazole which should be given slowly (over a period of 5 minutes) as an intravenous injection.
Infusion: For I.V. infusion, the single dose vial should be dissolved in either 100 mL of Sodium Chloride injection (0.9% w/v) or 100 mL of Glucose intravenous infusion
(5% w/v). No other solution should be used for the infusion. The infusion should be given over a period of 20 to 30 minutes. Or as prescribed by the physician.

Mechanism of Action

Hydrochloric acid (HCl) secretion into the gastric lumen is a process regulated mainly by the H (+)/K (+)-ATPase of the proton pump, expressed in high quantities by the parietal cells of the stomach. ATPase is an enzyme on the parietal cell membrane that facilitates hydrogen and potassium exchange through the cell, which normally results in the extrusion of potassium and formation of HCl (gastric acid).
Omeprazole is a member of a class of antisecretory compounds, the substituted *benzimidazoles*, that stop gastric acid secretion by selective inhibition of the *H+/K+ ATPase* enzyme system. Proton-pump inhibitors such as omeprazole bind covalently to cysteine residues via disulfide bridges on the alpha subunit of the *H+/K+ ATPase* pump, inhibiting gastric acid secretion for up to 36 hours. This antisecretory effect is dose-related and leads to the inhibition of both basal and stimulated acid secretion, regardless of the stimuli.
Mechanism of H. pylori eradication
Peptic ulcer disease (PUD) is frequently associated with *Helicobacter pylori* bacterial infection (NSAIDs). The treatment of H. pylori infection may include the addition of omeprazole or other proton pump inhibitors as part of the treatment regimen. *H. pylori* replicates most effectively at a neutral ph. Acid inhibition in H. pylori eradication therapy, including proton-pump inhibitors such as omeprazole, raises gastric pH, discouraging the growth of H. pylori. It is generally believed that proton pump inhibitors inhibit the *urease* enzyme, which increases the pathogenesis of H. pylori in gastric-acid related conditions

Indication

Used in conditions where inhibition of gastric acid secretion may be beneficial, including **aspiration syndromes**, **dyspepsia**, **gastroesophageal reflux disease**, **peptic ulcer disease** and **Zollinger-Ellison syndrome.**

Adverse Drug Reactions

The adverse effects reported most frequently with Omeprazole and other proton pump inhibitors have been headache, diarrhea, and skin rashes; they have sometimes been severe enough to require stopping treatment. Other effects include pruritus, dizziness, fatigue, constipations, nausea and vomiting, flatulence, abdominal pain, arthralgia and myalgia, urticaria and dry mouth. Isolated cases of photosensitivity, bullous eruption, erythema multiforme, angioedema, and

anaphylaxis have been reported. Effects on the CNS include occasional insomnia, somnolence, and vertigo; reversible confusional states, agitation, depression, and hallucinations have occurred in severely ill patients. Raised liver enzymes, and isolated cases of hepatitis, jaundice and hepatic encephalopathy, have been reported. Other adverse effects reported rarely or in isolated cases include paranesthesia, blurred vision, alopecia, stomatitis sweating, taste disturbances, peripheral oedema, malaise, hyponatremia, blood disorders (including agranulocytosis, leucopenia, and thrombocytopenia), and interstitial nephritis.

Drug Interactions

Omeprazole and other proton pump inhibitors are metabolized by the cytochromes P450 system primarily by isoenzyme CYP2C19, and may alter the metabolism of some drugs metabolized by these enzymes. Omeprazole may prolong the elimination of diazepam, phenytoin, and warfarin. Omeprazole and other proton pump inhibitors can reduce the absorption of drugs such as ketoconazole, and possibly itraconazole, whose absorption is dependent on acid gastric pH with voriconazole, the plasma concentration of both drugs may be increased and a reduced dose of Omeprazole is recommended.

Pregnancy and Lactation

Use in Pregnancy

Omeprazole may be used in pregnant women. Epidemiological studies on Omeprazole have shown no adverse effects on pregnancy or on the health of the fetus/baby.

Use in Breastfeeding Mothers

Omeprazole is excreted in human milk. In rats, Omeprazole has been shown to be excreted in milk at low concentrations. Decision should be made whether to discontinue Omeprazole or breastfeeding since omeprazole may cause potential serious adverse effects to the baby.

Storage Condition

- Store at temperatures not exceeding 30°C.
- Protect from light.

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