100 X 245 MM

OMEPRAGLOBE
OMEPRAZOLE DELAYED RELEASE CAPSULES USP 20 mg

Product Name
Brand Name
Omepraglobe
Generic Name or INN
Omeprazole Delayed Release Capsules USP 20 mg

Name and Strength of the active Ingredient (s)
Each Hard Gelatin Capsule Contains
Omeprazole USP.....20 mg
(As enteric coated pellets)
Product Description
Physical Properties (e.g color, size, shape, marking or imprint, coating)
A Pink/CT coloured hard gelatin capsule size '2' contains white enteric coated pellets.
Chemical Name: 5-Methoxy-2-[(*RS*)-[(4-methoxy-3,5-dimethylpyridin-2-yl) methyl]sulfinyl]-1*H*-benzimidazole
Molecular weight
345.4 g/mol
Empirical / Structural formula:
$C_{17}H_{19}N_3O_3S$

Pharmacodynamics/ Pharmacokinetics
PHARMACODYNAMICS
Mechanism of action
Omeprazole, a racemic mixture of two enantiomers reduces gastric acid secretion through a highly targeted mechanism of action. It is a specific inhibitor of the acid pump in the parietal cell. It is rapidly acting and provides control through reversible inhibition of gastric acid secretion with once daily dosing.
Pharmacodynamic effects
All pharmacodynamic effects observed can be explained by the effect of omeprazole on acid secretion.
Effect on gastric acid secretion
Oral dosing with omeprazole once daily provides for rapid and effective inhibition of daytime and night-time gastric acid secretion with maximum effect being achieved within 4 days of treatment. With omeprazole 20 mg, a mean decrease of at least 80% in 24-hour intragastric acidity is then maintained in duodenal ulcer patients, with the mean decrease in peak acid output after pentagastrin stimulation being about 70% 24 hours after dosing.
Oral dosing with omeprazole 20 mg maintains an intragastric pH of ≥ 3 for a mean time of 17 hours of the 24-hour period in duodenal ulcer patients.
As a consequence of reduced acid secretion and intragastric acidity, omeprazole dose-dependently reduces/normalizes acid exposure of the oesophagus in patients with gastro-oesophageal reflux disease.
The inhibition of acid secretion is related to the area under the plasma concentration-time curve (AUC) of omeprazole and not to the actual plasma concentration at a given time.
No tachyphylaxis has been observed during treatment with omeprazole.
PHARMACOKINETICS
Absorption
Omeprazole and omeprazole magnesium are acid labile and are therefore administered orally as enteric-coated granules in capsules or tablets. Absorption of omeprazole is rapid, with peak plasma levels occurring approximately 1-2 hours after dose. Absorption of omeprazole takes place in the small intestine and is usually completed within 3-6 hours. Concomitant intake of food has no influence on the bioavailability. The systemic availability (bioavailability) from a single oral dose of omeprazole is approximately 40%. After repeated once-daily administration, the bioavailability increases to about 60%.
Distribution
The apparent volume of distribution in healthy subjects is approximately 0.3 l/kg body weight. Omeprazole is 97% plasma protein bound.
Biotransformation
Omeprazole is completely metabolised by the cytochrome P450 system (CYP). The major part of its metabolism is dependent on the polymorphically expressed CYP2C19, responsible for the formation of hydroxyomeprazole, the major metabolite in plasma. The remaining part is dependent on another specific isoform, CYP3A4, responsible for the formation of omeprazole sulfone. As a consequence of high affinity of omeprazole to CYP2C19, there is a potential for competitive inhibition and metabolic drug-drug interactions with other substrates for CYP2C19. However, due to low affinity to CYP3A4, omeprazole has no potential to inhibit the metabolism of other CYP3A4 substrates. In addition, omeprazole lacks an inhibitory effect on the main CYP enzymes.
Elimination
The plasma elimination half-life of omeprazole is usually shorter than one hour both after single and repeated oral once-daily dosing. Omeprazole is completely eliminated from plasma between doses with no tendency for accumulation during once-daily administration. Almost 80% of an oral dose of omeprazole is excreted as metabolites in the urine, the remainder in the faeces, primarily originating from bile secretion.

Indication
Adults
Treatment of duodenal ulcers
Prevention of relapse of duodenal ulcers
Treatment of gastric ulcers
Prevention of relapse of gastric ulcers
Paediatric use
Children over 1 year of age and ≥ 10 kg
Treatment of reflux oesophagitis
Symptomatic treatment of heartburn and acid regurgitation in gastro-oesophageal reflux disease

Recommended Dose
To prevent the duodenal and stomach ulcers from coming back: The recommended dose is 10 mg or 20 mg once a day. Your doctor may increase the dose to 40 mg once a day.
To treat duodenal and stomach ulcers caused by NSAIDs (Non-Steroidal Anti-Inflammatory Drugs): The recommended dose is 20 mg once a day for 4–8 weeks.
To prevent duodenal and stomach ulcers if you are taking NSAIDs: The recommended dose is 20 mg once a day.
To treat ulcers caused by Helicobacter pylori infection and to stop them coming back: The recommended dose is 20 mg Omepraglobe twice a day for one week.
To treat too much acid in the stomach caused by a growth in the pancreas (Zollinger-Ellison syndrome): The recommended dose is 60 mg daily.

Contraindications
Hypersensitivity to the active substance, substituted benzimidazoles or to any of the excipients. Omeprazole like other proton pump inhibitors (PPIs) must not be used concomitantly with nelfinavir.

Warnings and Precautions
In the presence of any alarm symptom (e.g. significant unintentional weight loss, recurrent vomiting, dysphagia, haematemesis or melena) and when gastric ulcer is suspected or present, malignancy should be excluded, as treatment may alleviate symptoms and delay diagnosis.
Co-administration of atazanavir with proton pump inhibitors is not recommended. If the combination of atazanavir with a proton pump inhibitor is judged unavoidable, close clinical monitoring (e.g virus load) is recommended in combination with an increase in the dose of atazanavir to 400 mg with 100 mg of ritonavir; omeprazole 20 mg should not be exceeded.
Omeprazole, as all acid-blocking medicines, may reduce the absorption of vitamin B_{12} (cyanocobalamin) due to hypo- or achlorhydria. This should be considered in patients with reduced body stores or risk factors for reduced vitamin B_{12} absorption on long-term therapy.
Omeprazole is a CYP2C19 inhibitor. When starting or ending treatment with omeprazole, the potential for interactions with drugs metabolised through CYP2C19 should be considered. An interaction is observed between clopidogrel and omeprazole (see section 4.5). The clinical relevance of this interaction is uncertain. As a precaution, concomitant use of omeprazole and clopidogrel should be discouraged.

Interactions with Other medicaments
Effects of omeprazole on the pharmacokinetics of other active substances
Active substances with pH dependent absorption
The decreased intragastric acidity during treatment with omeprazole might increase or decrease the absorption of active substances with a gastric pH dependent absorption.
Nelfinavir, atazanavir: The plasma levels of nelfinavir and atazanavir are decreased in case of co-administration with omeprazole.
Concomitant administration of omeprazole with nelfinavir is contraindicated (see section 4.3). Co-administration of omeprazole (40 mg once daily) reduced mean nelfinavir exposure by ca. 40% and the mean exposure of the pharmacologically active metabolite M8 was reduced by ca. 75 –90%. The interaction may also involve CYP2C19 inhibition.
Concomitant administration of omeprazole with atazanavir is not recommended. Concomitant administration of omeprazole (40 mg once daily) and atazanavir 300 mg/ritonavir 100 mg to healthy volunteers resulted in a 75% decrease of the atazanavir exposure. Increasing the atazanavir dose to 400 mg did not compensate for the impact of omeprazole on atazanavir exposure. The co-administration of omeprazole (20 mg once daily) with atazanavir 400 mg/ritonavir 100 mg to healthy volunteers resulted in a decrease of approximately 30% in the atazanavir exposure as compared to atazanavir 300 mg/ritonavir 100 mg once daily.

Fertility, Pregnancy and Lactation
Pregnancy
Results from three prospective epidemiological studies (more than 1000 exposed outcomes) indicate no adverse effects of omeprazole on pregnancy or on the health of the foetus/newborn child. Omeprazole can be used during pregnancy.
Breast-feeding
Omeprazole is excreted in breast milk but is not likely to influence the child when therapeutic doses are used.
Fertility
Animal studies with the racemic mixture omeprazole, given by oral administration do not indicate effects with respect to fertility.

Undesirable Effects: Adverse reactions
Most common side effects (1-10% of patients) are headache, abdominal pain, constipation, diarrhoea, flatulence and nausea/vomiting.

Overdose and Treatment
There is limited information available on the effects of overdoses of omeprazole in humans. In the literature, doses of up to 560 mg have been described, and occasional reports have been received when single oral doses have reached up to 2,400 mg omeprazole (120 times the usual recommended clinical dose). Nausea, vomiting, dizziness, abdominal pain, diarrhoea and headache have been reported. Also apathy, depression and confusion have been described in single cases.
The symptoms described have been transient, and no serious outcome has been reported. The rate of elimination was unchanged (first order kinetics) with increased doses. Treatment, if needed, is symptomatic.

List of excipients:
Dummy pellets
Hard gelatin capsule shell

Packaging & Storage
10 Capsules packed in a one Alu-Alu strip. Such 10 Alu-Alu strip packed in a unit printed duplex board carton. Such cartons packed in export worthy shipper corrugated box.

STORAGE:
Store below 25°C. Protect from light and moisture.

NAME AND ADDRESS OF MANUFACTURER/ MARKETING AUTHORIZATION HOLDER

2YER618

Mfg. Lic. No. : G/25/1749
Manufactured in India by :
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