Career Point International Journal of Research (CPIJR)
©2022 CPIJR | Volume 1 | Issue 5 | ISSN : 2583-1895
Jan-Mar-2026 | DOI: https://doi.org/10.5281/ze 19870960nodo.19935122

A Review on Gastro Intestinal Drug Esomeprazole

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ABSTRACT

Proton pump inhibitors, such as esomeprazole, are used to treat peptic ulcers and other stomach issues. Roughly 4 percent of people have peptic ulcers. In 2013, they were first observed in about 53 million people. Peptic ulcers affect 10% of persons at some point in their lives. Compared to 327,000 deaths in 1990, they caused 301,000 deaths in 2013. Princess Henrietta of England was the first person to be reported to have a ruptured peptic ulcer in 1670. Barry Marshall and Robin Warren discovered that H. pylori were the cause of peptic ulcers in the late 20th century; they were awarded the Nobel Prize in 2005 for this finding. Esomeprazole is classified as a non-surgical method of treating peptic ulcers. The enhanced version of omeprazole, known as s-isomer of omeprazole or esomeprazole, has some side effects in addition to its effectiveness in treating peptic ulcers. These side effects include headache, nausea, diarrhea, and decreased appetite. An assessment of the available research on the concurrent use of esomeprazole is given in this review article. This regimen's effectiveness, safety, tolerability, cost-effectiveness, and patient quality of life are examined. The mechanism of action of omeprazole, its effects during pregnancy, and a synopsis of its pharmacokinetic and pharmacodynamic interactions are also covered. An assessment of the available research on the concurrent use of esomeprazole is given in this review article. This regimen's effectiveness, safety, tolerability, cost-effectiveness, and patient quality of life are examined. The mechanism of action of omeprazole, its effects during pregnancy, and a synopsis of its pharmacokinetic and pharmacodynamic interactions are also covered.

Keywords- Peptic ulcer, ruptured, enhanced, surgical, s- isomer, effectiveness, concurrent, tolerability.

INTRODUCTION

An essential component of the digestive system, the stomach breaks down food into chyme, a

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semi-liquid substance. Here are a few important stomach-related points:

1. Anatomy: Situated in the upper belly, between the small intestine and the esophagus, lies the muscular organ known as the stomach. When empty, it holds around one liter, but after a meal, it can expand to accommodate considerably more.

2. Function: Its main job is to mechanically and chemically break down food. In contrast to chemical digestion, which uses enzymes and acids to break down proteins and other nutrients, mechanical digestion includes churning and mixing food with gastric secretions.

3. Gastric Juices: Specialized cells in the lining of the stomach secrete gastric juices, which include hydrochloric acid and digestive enzymes like pepsin that aid in the breakdown of proteins.

4. Digestive Process: The lower esophageal sphincter allows food to pass from the esophagus into the stomach. After entering the stomach, it is mixed and digested there before being progressively let down by the pyloric sphincter and entering the small intestine.

5. Mucosal Barrier: The mucosal barrier that lines the inside of the stomach helps shield the stomach from the stomach's acidic contents. Mucus secretion and bicarbonate ions keep this barrier intact.

6. Gastric Motility: Coordination of the contraction and relaxation of the stomach muscles allows for a complete mixing of food and gastric secretions. We call this rhythmic motion peristalsis.

7. Digestive Disorders: Stomach cancer, gastric ulcers, gastroesophageal reflux disease (GERD), and gastritis—an inflammation of the stomach lining—are among the disorders that can affect the stomach. Symptoms including indigestion, nausea, vomiting, and abdominal pain may be brought on by these illnesses.

8. Nutrient Absorption: Although the stomach's primary purpose is digesting, its lining can also absorb some water, alcohol, and some drugs. An infection with Helicobacter pylori can result in both stomach cancer and gastritis.

GASTRIC ULCER

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A gastric ulcer, sometimes referred to as a stomach ulcer, is a sore or lesion that appears on the stomach's lining. Duodenal ulcers are the name for these ulcers that can also develop in the upper portion of the small intestine. Peptic ulcers, or ulcers that form in the lining of the stomach, esophagus, or small intestine, include stomach ulcers. Below is additional information regarding stomach ulcers:

1. Causes: A number of factors often contribute to stomach ulcers, including prolonged use of non steroidal anti-inflammatory medicines (NSAIDs) like aspirin or ibuprofen and Helicobacter pylori (H. pylori) infection. Additional variables that could lead to the emergence of ulcers are binge drinking, tobacco use, and high levels of stress.

2. Symptoms: A dull or gnawing pain in the upper abdomen is commonly considered as the most prevalent sign of a stomach ulcer. Bloating, nausea, vomiting, indigestion, appetite reduction, and inadvertent weight loss are possible additional symptoms. Severe ulcers may result in bleeding, a perforation (hole) in the stomach wall, or blockage of the stomach exit.

3. Diagnosis: Medical history, physical examination, and diagnostic testing are often used in the diagnosis of stomach ulcers. The tests may consist of imaging investigations like CT or X-rays, testing for H. pylori infection, and upper gastrointestinal endoscopies (also known as esophagogastroduodenoscopies, or EGD), in which the stomach lining is examined via the mouth using a flexible tube equipped with a camera.

4. Treatment: The goals of treating stomach ulcers are to reduce discomfort, encourage healing, and shield against complications. A mix of medicine and lifestyle modifications may be required for this. Proton pump inhibitors (PPIs), which lower stomach acid production, antibiotics, which treat H. pylori infections, and antacids or H2-receptor antagonists, which neutralize or lessen stomach acid, are among the medications frequently used to treat stomach ulcers. Surgery might be required in some circumstances to fix issues like bleeding or perforations.

5. Prevention: Avoiding or minimizing the use of NSAIDs, giving up alcohol, controlling stress, stopping smoking, and treating any existing H. pylori infection are all preventive measures against

stomach ulcers. Maintaining a nutritious diet and steering clear of hot or acidic foods may also help lower the chance of getting ulcers.

MECHANISM OF ACTION AND ADVERSE EFFECT

Numerous causes, including as Helicobacter pylori infection, chronic nonsteroidal anti-inflammatory medication (NSAID) use, excessive alcohol intake, smoking, and stress, can result in peptic ulcers. The following summarizes the harmful effects and modes of action connected to peptic ulcers:

1. Helicobacter pylori (H. pylori) Infection:

- Mechanism of Action: The stomach acid can harm the underlying tissue and cause ulcers when the H. pylori bacteria weaken the mucous membrane that covers the stomach and duodenum.

- Adverse Effect: If untreated, a chronic H. pylori infection increases the risk of stomach cancer, gastritis (inflammation of the stomach lining), and peptic ulcers. Abdominal pain, bloating, nausea, vomiting, and inadvertent weight loss are possible symptoms.

2. Non steroidal Anti-Inflammatory Drugs (NSAIDs):

- Mechanism of Action: Prostaglandins, which are compounds that aid in protecting the stomach lining, can be inhibited by NSAIDs like aspirin, ibuprofen, and naproxen. Decreased prostaglandin levels can make the stomach lining more vulnerable to harm by increasing the release of stomach acid and decreasing blood supply to it.

- Adverse Effects: Prolonged NSAID use increases the chance of developing peptic ulcers, especially in people with a history of ulcers or other risk factors like an H. pylori infection. Abdominal discomfort, bloating, and gastrointestinal bleeding are symptoms that are similar to those of H. pylori infection that can be brought on by NSAID-induced ulcers.

3. Other Factors:

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- Overindulgence in Alcohol: Alcohol can aggravate the lining of the stomach and raise the production of stomach acid, which can lead to the development of peptic ulcers.

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- Smoking: Smoking can erode the stomach's defenses and reduce blood flow to the lining, raising the risk of ulcers and slowing the healing process.
- Stress: Although stress may not be a direct cause of peptic ulcers, it can worsen symptoms and slow the healing process in those who already have ulcers.

4. Complications:

- Perforation (a hole in the stomach or duodenal wall) and gastric outlet obstruction (blockage of the stomach outflow) are examples of problems that can arise from peptic ulcers. Severe pain, nausea, vomiting, bloody or black stools, and in rare instances, life-threatening problems need emergency medical intervention are all possible outcomes of these issues.

ESOMEPRAZOLE:

Proton pump inhibitor (PPI) medication esomeprazole is sold under the Nexium name. It is used to manage gastroesophageal reflux disease (GERD), treat pathological hypersecretory diseases including Zollinger-Ellison Syndrome, and shield the stomach from the negative effects of long-term NSAID use. Furthermore, it is found in triple regimens for the treatment of H. pylori infections, which also contain metronidazole, amoxicillin, and clarithromycin.7,10 Its efficacy is juxtaposed with that of other medications belonging to the proton pump inhibitor (PPI) class, including pantoprazole, lansoprazole, rabeprazole, and dexlansoprazole.

Esomeprazole is the s-isomer of omeprazole, a racemate of the S- and R-enantiomers. By inhibiting the H+/K+-ATPase in the stomach's parietal cells, it lowers acid output. The medication works by blocking this transporter's ability to produce stomach acid.

STRUCTURE:

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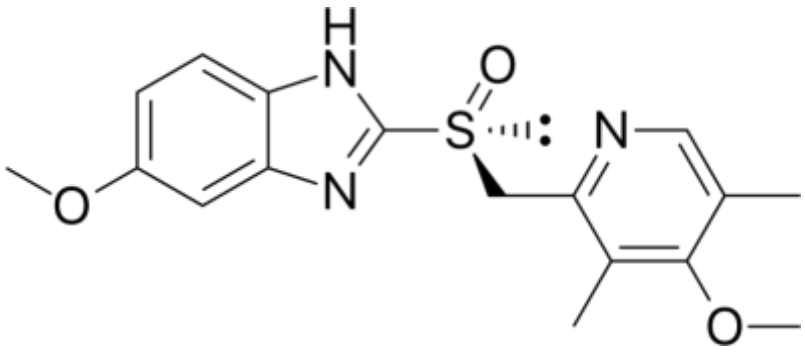

SYSTEMATIC (IUPAC) NAME

(*S*)-(−)-5-Methoxy-2-[(4-methoxy-3,5-dimethylpyridin-2-yl)methylsulfinyl]-3*H*-benzoimidazole.

Pharmacokinetic data

Bioavailability- 50 to 90%

Metabolism- Hepatic (CYP2C19, CYP3A4)

Biological half-life- 1–1.5 hours

Excretion80%Renal 20% Faecal

PHARMACOKINETICS: After many days of once-daily dosing, single oral dosages ranging from 20 to 40 mg typically result in peak plasma esomeprazole concentrations of 0.5 to 1.0 mg/l. However, these levels may increase by approximately 50%. A comparable dose administered intravenously over 30 minutes often results in peak plasma levels between 1-3 mg/l. quick excretion of pharmacologically inactive metabolites such 5-hydroxymethylesomeprazole and 5-carboxyesomeprazole through the urine is a major factor in the drug's quick removal from the body. Unless chiral methods are used,

esomeprazole and its metabolites cannot be analytically distinguished from omeprazole and the corresponding omeprazole metabolites.

DOSAGE FORM

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Omeprazole and other protodrugs that are converted to their active form in acidic environments are known as proton-pump inhibitors. Due to its weak base, omeprazole preferentially accumulates in the parietal cell's acidic secretory canaliculi, where a proton-catalyzed mechanism activates it to produce a sulphenamide. Sulfenamide inhibits the action of H+K+-ATPase by covalently interacting with the sulphydryl groups of cysteine residues in its extracellular domain, namely Cys 813. The favorable side-effect profile of proton-pump inhibitors, like omeprazole, is indicative of their precise concentration in the secretory canaliculi of the parietal cell.

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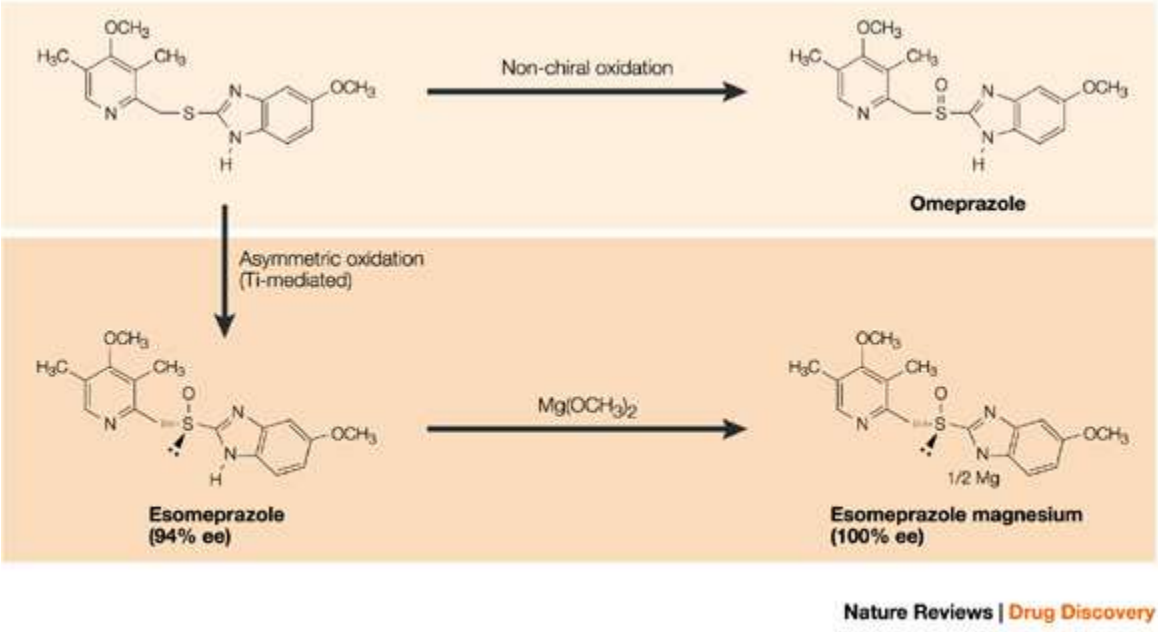

INTERACTIONS:

Since esomeprazole is a competitive inhibitor of CYP2C19, it may interact with medications like warfarin and diazepam that rely on this enzyme for metabolism. If these medications are taken concurrently with esomeprazole, the quantities of these medications may rise. On the other hand, CYP2C19 is partially responsible for the conversion of clopidogrel (Plavix), an inactive prodrug, into its active form. By inhibiting CYP2C19, clopidogrel's activation is blocked, which reduces its effects. Omeprazole may interact with medications that are dependent on the pH within the stomach for absorption. Medication that requires an acidic environment, like ketoconazole or atazanavir, will be poorly absorbed, while medication that breaks down in an acidic environment, like erythromycin, will be absorbed more than usual.

ADVERSE EFFECTS: Headache, diarrhea, nausea, flatulence, decreased appetite, constipation, dry mouth, and abdominal pain are typical adverse effects. Severe allergic responses, chest pain, dark urine, rapid heartbeat, fever, paresthesia, persistent sore throat, severe stomach pain, unusual bleeding or

bruising, unusual fatigue, and yellowing of the eyes or skin are considered more serious side effects. Proton pump inhibitors may increase the risk of hip fractures and diarrhea linked to Clostridium difficile. The medications are commonly given to patients in critical care as a preventative strategy against ulcers, but this use is also linked to a 30% rise in pneumonia cases.

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MEDICAL USE: Esomeprazole is primarily used to treat and manage erosive esophagitis, treat duodenal ulcers caused by H. pylori, prevent gastric ulcers in patients receiving long-term NSAID therapy, and treat gastrointestinal ulcers related to Crohn's disease.

PRESCRIPTION ANTI-ULCER MEDICATIONS DURING PREGNANCY: Proton pump inhibitors (PPIs) are still essential for treating illnesses involving acid suppression and are generally regarded as safe. Heartburn affects about two thirds of pregnant patients. While there are several contributing factors, the main one is the reduction in lower esophageal sphincter pressure brought on by female sex hormones, particularly progesterone.

During pregnancy, symptoms of gastroesophageal reflux disease should be treated, even if major reflux issues are uncommon. Therapy is based on a step-up algorithm (which proceeds in a single direction as opposed to a typical algorithm's several directions), starting with dietary and lifestyle adjustments and progressing to antacids or sucralfate as first-line medicine. PPIs might potentially be used in treatment. Pregnancy safety information primarily concerns omeprazole, the oldest PPI in this class. It's also important to take note of certain recent data on pantoprazole and lansoprazole in pregnant women.

CONCLUSION

The mucus membrane of the stomach secretes more acids, such as HCl, when there are stomach issues like acidity or gastritis. General antacids can be used to treat or relieve this issue. However, using antacids is only a short-term treatment for gastritis; it is not a cure. Therefore, long-term antacid use has no effect on acidity and may cause chronic disorders where the stomach walls are exposed to acids for an extended period of time, which can develop to a serious condition called "PEPTIC ULCER." But modern drug design has advanced to a peer position, leading to the development of the medication "ESOMEPRAZOL," a non-surgical treatment for chronic disesase peptic ulcers.Even though the field of pharmaceutical sciences is developing too quickly, it is best to heed the adage "prevention is better than cure." This can be accomplished by adopting a healthy and balanced eating routine. By doing this, one can prevent ulcers by learning about vitamin- and mineral-rich foods and avoiding junk food and overly spicy meals.

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