Chapter-8
Pharmacology & Toxicology

D.Pharma 2nd Year Notes

Chapter- 8

Drugs Acting on the Gastro Intestinal Tract

Definition, classification, pharmacological actions, dose, indications and contraindications of

1. **Anti-ulcer drugs**
2. **Anti-emetics**
3. **Laxatives and purgatives**
4. **Anti-diarrheal drugs**

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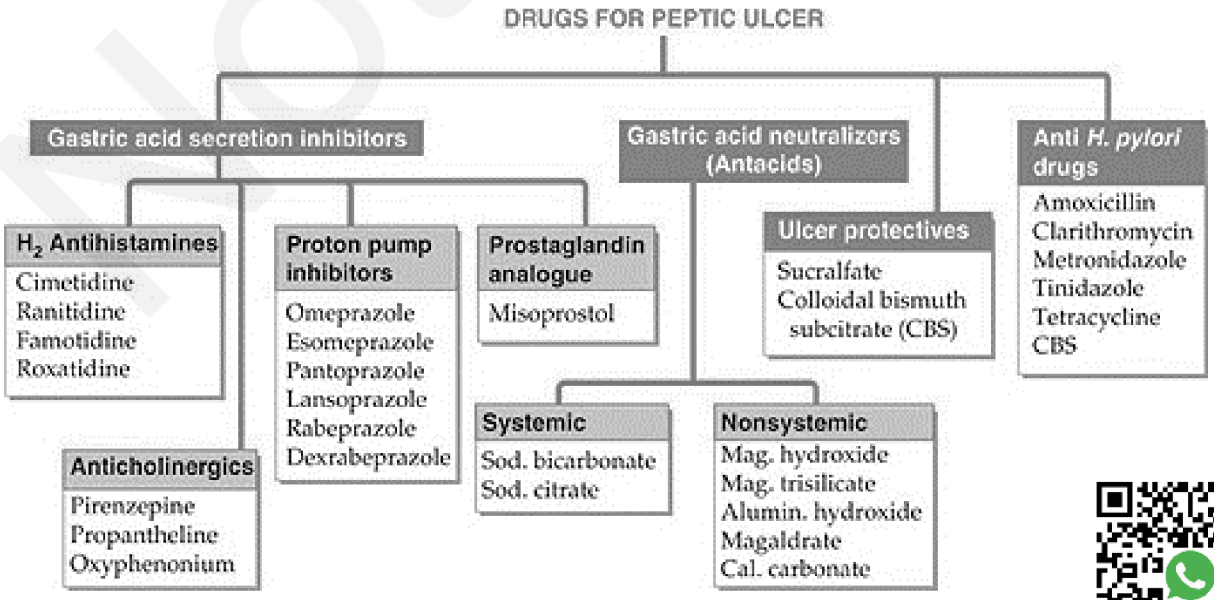
Gastro Intestinal Tract:

- Gastro-intestinal system is a long tube through which food passes.
- It commences at the mouth and terminates at the anus.
- There are various groups of drugs acting on GIT at different levels and exerts their pharmacological and clinical actions.

1. Anti-ulcer drugs:

- Anti-ulcer drugs are medications that are used to treat ulcers in the stomach and small intestine.
- Anti-ulcer drugs, also known as acid-suppressing drugs or ulcer healing drugs, are medications that are used to treat and prevent the formation of stomach and duodenal ulcers.
- They work by reducing the amount of acid produced by the stomach or by promoting the healing of existing ulcers.
- Examples of anti-ulcer drugs include proton pump inhibitors (PPIs), H2 receptor antagonists, and mucosal protectants.
- These drugs are usually taken orally, and they can be prescribed by a doctor to help manage symptoms of ulcers and prevent recurrence of the ulcers.

Classification:



Omeprazole:

- Omeprazole is a proton pump inhibitor (PPI) medication used to reduce the amount of acid produced by the stomach.
- It is used to treat symptoms of Gastro Esophageal Reflux Disease (GERD), such as heartburn and acid indigestion, as well as to treat stomach and duodenal ulcers.

Pharmacological Action:

- Omeprazole works by blocking the action of the enzyme in the stomach that produces acid, called H+/K+-ATPase.
- This reduces the amount of acid in the stomach, which helps to alleviate symptoms and promote healing of ulcers.

Dose:

- Omeprazole is available in both over-the-counter and prescription forms and is typically taken once or twice daily before a meal.

Amoxicillin:

- Amoxicillin is an antibiotic medication in the penicillin class used to treat a variety of bacterial infections.

Pharmacological Action:

- It works by inhibiting the growth and replication of bacteria by interfering with the formation of their cell walls.

Uses:

- Amoxicillin is commonly used to treat respiratory tract infections such as bronchitis and pneumonia, skin infections such as cellulitis, urinary tract infections, and infections of the middle ear.
- It can also be used to prevent bacterial infections in certain individuals.

Dose:

- Amoxicillin is available in oral and injectable forms.
- It is usually taken every 8 hours, or 3 times a day, and should be taken with food to reduce stomach upset.
- The length of treatment depends on the type and severity of the infection, but it typically ranges from 5 to 14 days.

Ranitidine—

Indications for use of anti-ulcer drugs include:

- Peptic ulcer disease
- Gastroesophageal reflux disease (GERD)
- Zollinger-Ellison Syndrome
- Non-steroidal anti-inflammatory drug (NSAID) induced ulcers

Contraindications for anti-ulcer drugs include:

- Allergy to the specific medication
- Pregnancy and breastfeeding
- In combination with certain other medications, such as blood thinners
- Pre-existing conditions such as liver or kidney disease.
- It is important to consult a healthcare professional before taking these medications to ensure they are appropriate for you and to discuss any potential risks or interactions with other medications you may be taking.

2. Anti-emetics

Anti-emetics are medications used to prevent or reduce nausea and vomiting.

They work by blocking the action of certain chemicals in the brain that trigger the sensation of nausea and vomiting.

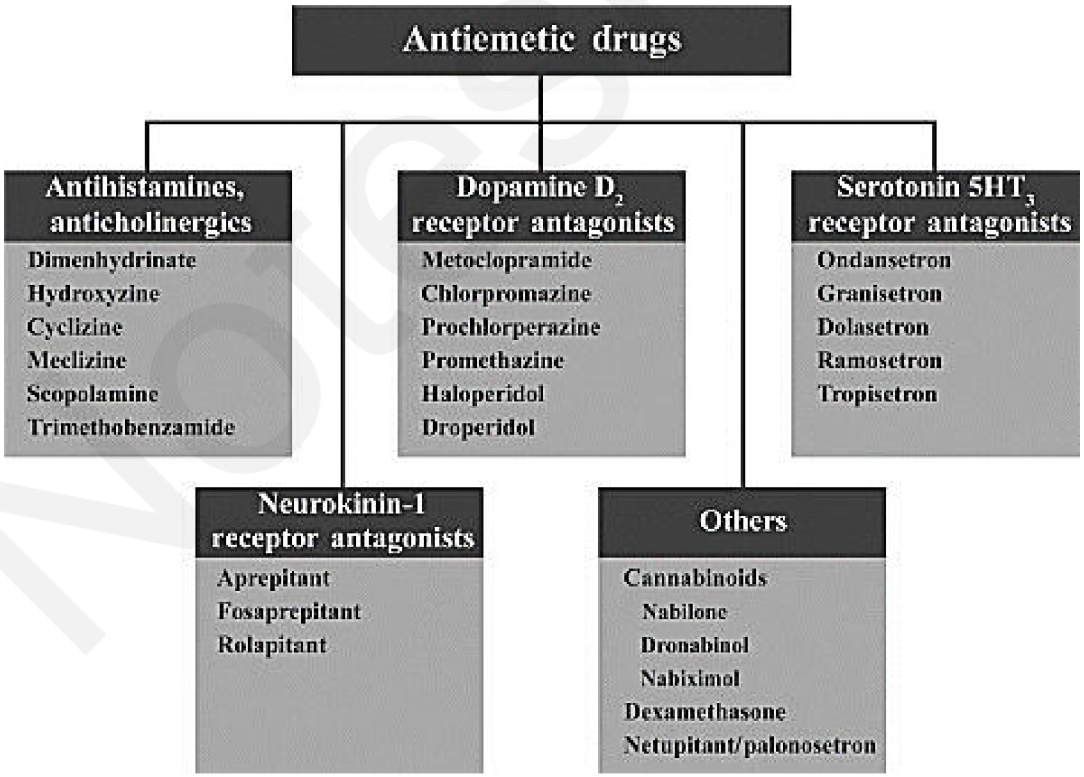
Common types of anti-emetics include

- Serotonin receptor antagonists
- Dopamine receptor antagonists
- Antihistamines

These medications can be used to prevent nausea and vomiting caused by chemotherapy, radiation therapy, surgery, and other medical conditions.

They can be administered orally, intravenously, intramuscularly or rectally and may be used alone or in combination with other medications.

Classification of Anti-emetics:



Pharmacological Action:

Anti-emetics work by targeting specific neurotransmitters and receptors in the brain and gut that are involved in the control of nausea and vomiting.

1. **Serotonin receptor antagonists (5-HT3 receptor antagonists):** These medications block the action of serotonin, a neurotransmitter that plays a key role in the control of emesis, on the 5- HT3 receptors in the brain and gut.
    - By blocking these receptors, these drugs prevent the activation of the vomiting center in the brain and reduce nausea. Examples include ondansetron, granisetron, dolasetron, and palonosetron.
2. **Dopamine receptor antagonists:** These medications block the action of dopamine, another neurotransmitter that is involved in the control of emesis, on the dopamine receptors in the brain.
    - They can be used to prevent nausea and vomiting caused by chemotherapy and surgery. Examples include metoclopramide, prochlorperazine, and haloperidol.
3. **Anti-histamines:** These medications block the action of histamine, a chemical involved in the control of emesis, on the H1 receptors in the brain.

They can be used to prevent nausea and vomiting caused by motion sickness and other causes. **Examples include meclizine, promethazine and Diphenhydramine.**

Metoclopramide:

Metoclopramide is an effective antiemetic. Acting on the CTZ it blocks apomorphine induced vomiting.

The gastrokinetic action may contribute to the antiemetic effect. However, it has no chlorpromazine (CPZ) like antipsychotic property, though it does share the extrapyramidal and prolactin secretion augmenting actions of CPZ.

- It normally acts to delay gastric emptying when food is present in the stomach. It also appears to cause gastric dilatation and LES relaxation attending nausea and vomiting.

Dose—10 mg TDS oral (children 0.2 to 0.5 mg/kg).

Indications:

- Nonspecific nausea and vomiting.
- Chemotherapy-induced nausea and vomiting.
- Vertigo (e.g., vestibular neuritis, Ménière's disease)
- Motion sickness.
- Gastrointestinal motility disorder (e.g., due to diabetic gastroparesis)

Contraindication:

- Allergic reactions
- Gastrointestinal obstruction
- Seizure disorders
- Pregnancy and breastfeeding

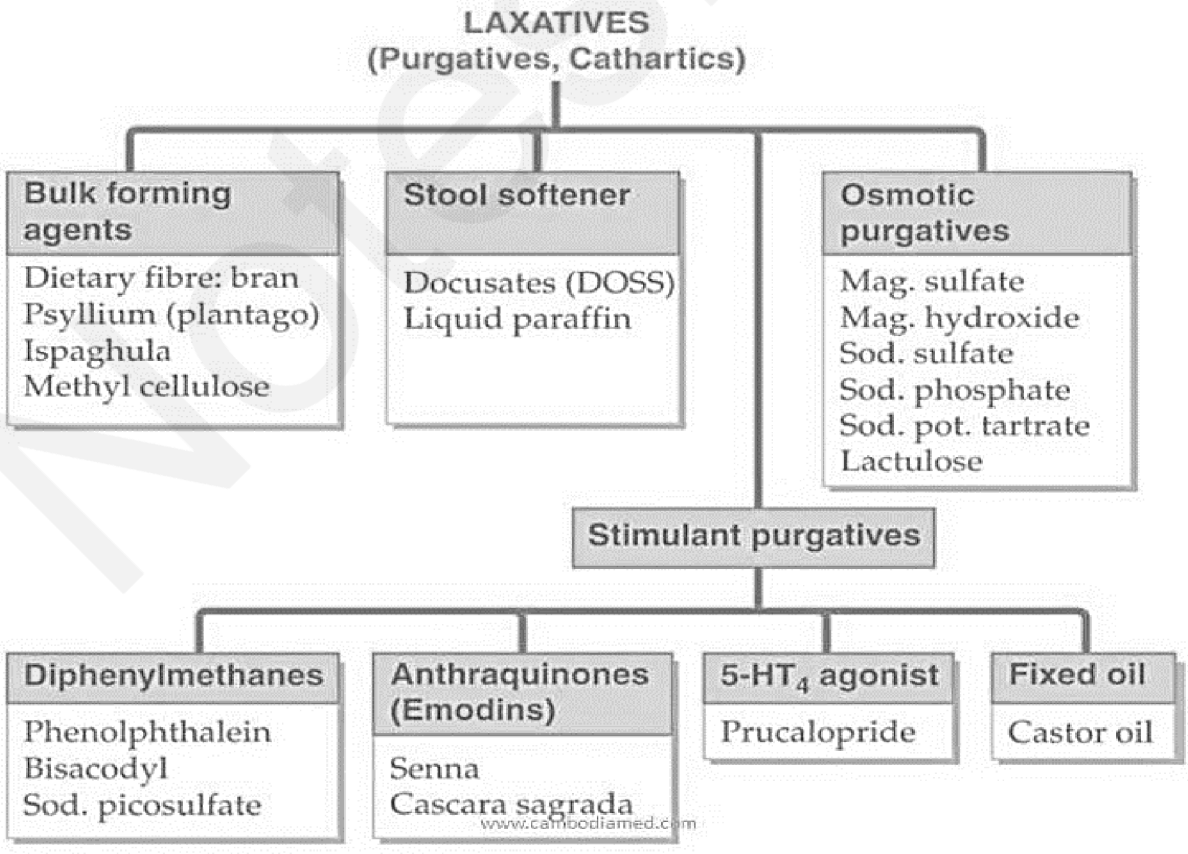
3. Laxatives and purgatives:

Laxatives and purgatives are medications that are used to treat constipation and other bowel-related conditions.

- **Laxatives** are medications that help to stimulate bowel movements and promote the passage of stool.
- They work by various mechanisms such as increasing the bulk of the stool, lubricating the stool to make it easier to pass, or by stimulating the muscles of the intestinal wall to contract and push the stool out.
- **Purgatives**, also known as cathartics, are medications that are used to cause a bowel movement, usually within a short time frame.

They are usually used in cases of severe constipation or when a bowel movement is needed urgently. Some examples of purgatives are Bisacodyl, Senna, castor oil, and magnesium citrate.

Classification of Laxatives and purgatives:



Pharmacological actions:

The pharmacological actions of laxatives and purgatives vary depending on the type of medication.

- **Bulk-forming laxatives:** These medications work by absorbing water and increasing the bulk of the stool, making it easier to pass. Examples include psyllium, methylcellulose, and polycarbophil.
- **Lubricant laxatives:** These medications work by coating the stool with a slippery substance, making it easier to pass. Examples include mineral oil and glycerin.
- **Stool softeners:** These medications work by increasing the water content of the stool, making it softer and easier to pass. Examples include docusate sodium and docusate calcium.
- **Stimulant laxatives:** These medications work by stimulating the muscles of the intestinal wall to contract and push the stool out. Examples include bisacodyl, senna, and cascara.
- **Osmotic laxatives:** These medications work by drawing water into the colon and increasing the volume of the stool, making it easier to pass. Examples include lactulose, polyethylene glycol, and magnesium citrate.
- **Purgatives:** These medications work by rapidly increasing the fluid content of the colon, causing strong contractions of the colon and rectum muscles, and promoting the passage of stool. Examples include senna, castor oil, and magnesium citrate.

Indications:

- Constipation
- Preoperative bowel preparation
- Fecal impaction
- Hemorrhoids
- Anal fissures

Contraindications:

Laxatives and purgatives are generally considered safe medications, but there are certain situations in which they should not be used. Some of the common contraindications of laxatives and purgatives include:

Abdominal pain	Gastrointestinal obstruction
Nausea, and vomiting	Diarrhea
Rectal bleeding	Inflammatory bowel disease

4. Anti-diarrheal drugs:

- Anti-diarrheal drugs are medications that are used to treat diarrhea, which is defined as an increase in the frequency, volume, or fluid content of stools.
- They work by slowing down the movement of the muscles in the gut, which helps to reduce the frequency and urgency of bowel movements.
- They also can reduce the amount of fluid in the stool, making the stools more solid.
- They may also work by adsorbing toxins or bacteria in the gut, by inhibiting the secretion of fluid in the gut or by altering gut motility.

Classification of Anti-diarrheal drugs

- **Non antimicrobial anti-diahrrhoeals**
    I. Antimotility agents: diphenoxylate, loperamide, codeine.
    II. Anticholinergic agents: atropine, scopolamine
- **Specific anti-infective agents**
    I. Antimicrobials: co-trimaxozole, norfloxacin, doxycycline, erythromycin, metronidazole
    II. Antisecretary agents: sulfasalazine, mesalazine

Metronidazole—

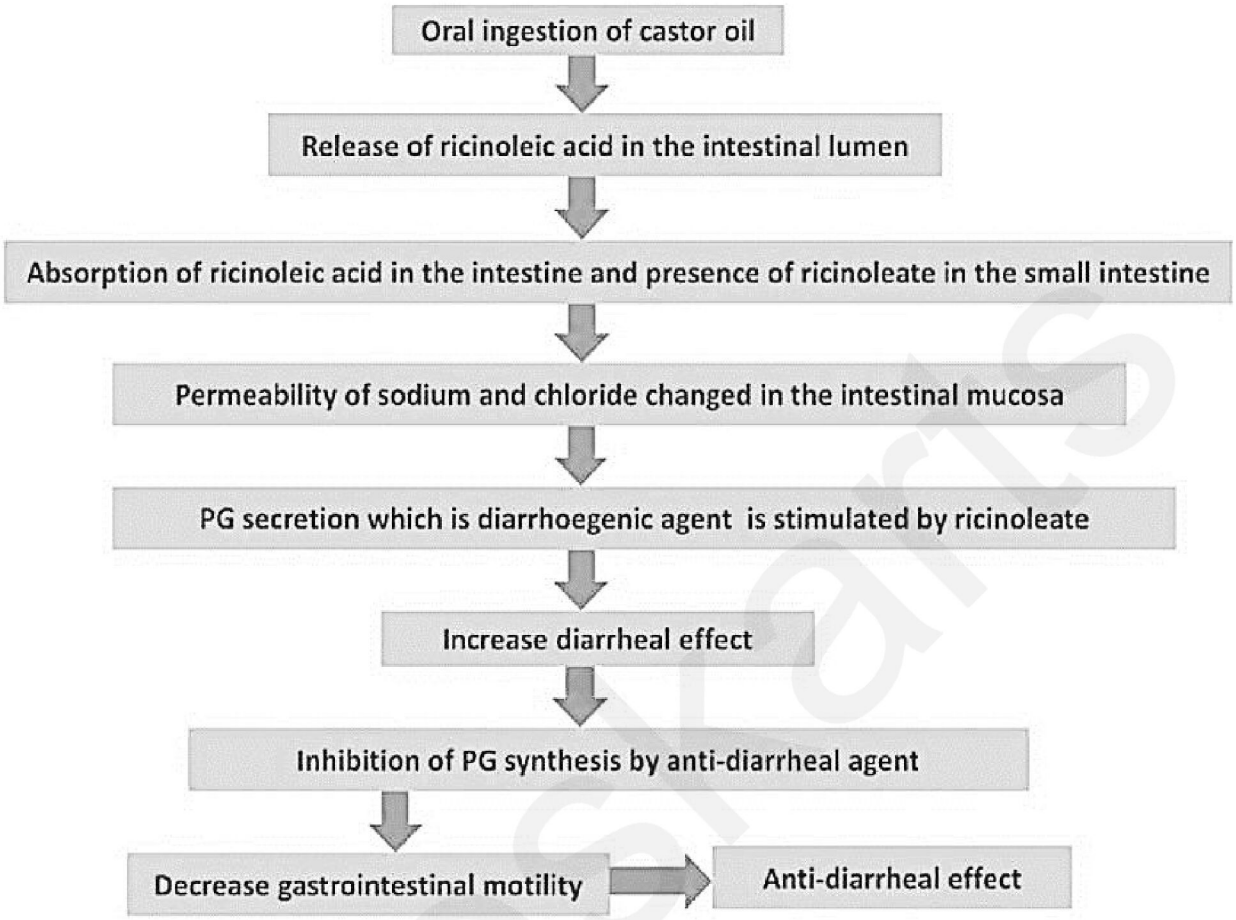
It is an antiprotozoal and antibiotic medication commonly used during the diarrhea cause by the protozoan.

It is used either alone or with other antibiotics to treat pelvic inflammatory disease, endocarditis, and bacterial vaginosis.

It is effective for dracunculiasis, giardiasis, trichomoniasois and amebiasis.

Dose— 400 mg BD or 7.5 mg/ kg.

Pharmacological action of Antidiarrheal drugs:



Indication of Antidiarrheal drugs:

Some of the common indications for the use of anti-diarrheal drugs include:

- Acute/chronic diarrhea
- Pre-radiographic procedure
- Traveler's diarrhea

Contraindication of Antidiarrheal drugs:

- Gastrointestinal obstruction
- Inflammatory bowel disease
- Allergic reactions
- Renal and hepatic impairment
- Fecal incontinence