

# PHARMACOLOGY-III

## UNIT-I

### TOPIC: Pharmacology of Drugs for constipation and diarrhoea



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## Drugs for constipation and diarrhea

### Anti-diarrhoeal agents

- Diarrhoea: frequent passage of liquid or semisolid stools is called diarrhoea.
- Causes: enteric infection, food toxins, malnutrition, inflammation, drugs like reserpine, prostaglandins, metoclopramide, domperidone, cholinergic drugs, quinidine and purgatives.
- Dysentery: abdominal pain and passage of bloody stools and mucous due to infection or inflammation.

### Management of diarrhea

1. Non-specific therapy:
  - a) Oral and parenteral rehydration
  - b) Anti-motility and anti-secretory agents:
    - i) Opioids: codeine, diphenoxylate, loperamide
    - ii)  $\alpha$ -adrenergic receptor agonist: clonidine
    - iii) Octreotide.
2. Specific therapy: Antimicrobial agents
3. Antispasmodics: Atropine & oxyphenonium (antrenyl)
4. Adsorbants: Kaolin, pectin and chalk, bismuth subsalicylate

### Non-specific therapy

Oral rehydration solution (ORS): 2.6 g NaCl, 1.5 g KCl, 2.9 g sodium citrate, 13.5 g glucose dissolved in 1 liter of water.

Super ORS: (boiled rice powder used instead of glucose)-also decreases frequency of diarrhoea along with rehydration.

### Antimotility and antisecretory agents

- **Codeine:** opium alkaloid, reduces GI motility, also have antisecretory effects. Diphenoxylate: structurally related to pethidine, combined with small doses with atropine, side effects are constipation, paralytic ileus, banned in many countries.
- **Loperamide:** opiate analogue and important antidiarrhoeal than morphine.
- Interact with  $\mu$ -receptor in the gut, reduces GI motility and increase anal sphincter tone.

## Drugs for constipation

### LAXATIVES

(Aperients, Purgatives, Cathartics)

These are drugs that promote evacuation of bowels. A distinction is sometimes made

according to the intensity of action.

- (a) Laxative or aperient: milder action, elimination of soft but formed stools.
- (b) Purgative or cathartic: stronger action resulting in more fluid evacuation.

Many drugs in low doses act as laxative and in larger doses as purgative.

#### CLASSIFICATION

1. Bulk forming: Dietary fibre: Bran, Psyllium (Plantago) Ispaghula, Methylcellulose
2. Stool softener : Docusates (DOSS), Liquid paraffin
3. Stimulant purgatives (a) Diphenylmethanes, Phenolphthalein, Bisacodyl Sodium picosulfate  
(b) Anthraquinones (Emodins) Senna, Cascara sagrada  
(c) 5-HT<sub>4</sub> agonist Tegaserod (d) Fixed oil, Castor oil
4. Osmotic purgatives Magnesium salts: sulfate, hydroxide , Sodium salts: sulfate, phosphate  
Sod. pot. Tartrate Lactulose

#### MECHANISM OF ACTION

All purgatives increase the water content of faeces by:

- (a) A hydrophilic or osmotic action, retaining water and electrolytes in the intestinal lumen-increase volume of colonic content and make it easily propelled.
- (b) Acting on intestinal mucosa, decrease net absorption of water and electrolyte; intestinal transit is enhanced indirectly by the fluid bulk.
- (c) Increasing propulsive activity as primary action-allowing less time for absorption of salt and water as a secondary effect.

Laxatives modify the fluid dynamics of the mucosal cell and may cause fluid accumulation in gut lumen by one or more of following mechanisms:

- (a) Inhibiting Na<sup>+</sup>K<sup>+</sup>ATPase of villous cells impairing electrolyte and water absorption.
- (b) Stimulating adenylyl cyclase in crypt cells increasing water and electrolyte secretion.
- (c) Enhancing PG synthesis in mucosa which increases secretion.
- (d) Structural injury to the absorbing intestinal mucosal cells