Protectives and Adsorbents

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MSc. Pharmaceutical chemistry
Protectives and Adsorbents

• This group of gastrointestinal agents is commonly used for the treatment of mild diarrhea.

Diarrhea: Abnormal frequent passage of loose stool or Abnormal passage of stools with increased frequency, fluidity, and weight, or with increased stool water excretion
Causes of Diarrhea

• Diarrhea may be acute or chronic.
• Acute diarrhea can be caused by bacterial toxins, chemical poisons, drugs, allergy, and disease.
• Chronic diarrhea can result from gastrointestinal surgery, carcinomas, chronic inflammatory conditions, and various absorptive defects.
• With chronic diarrhea, there is usually more time to locate the cause.
**Acute diarrhea**
- Sudden onset in a previously healthy person
- Lasts from 3 days to 2 weeks
- Self-limiting
- Resolves without sequelae

**Chronic diarrhea**
- Lasts for more than 3 weeks
- Associated with recurring passage of diarrheal stools, fever, loss of appetite, nausea, vomiting, weight loss, and chronic weakness
Antidiarrheal Agents

The antidiarrheal agents will only treat the symptoms and occasionally the cause, but they will not treat the complications.

Classified according to their mechanism of action into:

1- Adsorbents
2- Anticholinergic
3- Opiates
Antidiarrheal
Mechanism of Action of Adsorbents

• Coat the walls of the GI tract
• Bind to the causative bacteria or toxin, which is then eliminated through the stool.

• Examples: bismuth subsalicylate (Pepto-Bismol), kaolin-pectin, activated charcoal, attapulgite (Kaopectate)
Most products for the treatment of diarrhea will consist of:

- an adsorbent protective
- an antispasmodic
- and possibly an antibacterial agent.

The adsorbent-protective supposedly adsorb toxins, bacteria, and viruses along with providing a protective coating of the intestinal mucosa.

They include bismuth salts, special clays, and activated charcoal.
1-Bismuth-Containing Products

• The use of bismuth salts as antidiarrheals seems to be supported chiefly by tradition. Bismuth subcarbonate has also found some use as an antacid.

• Although the bismuth salts used as antidiarrheals are considered to be water insoluble, a small amount does go into solution.

• Intestinal hydrogen sulfide acts upon the bismuth salts to form bismuth sulfide; hence, the black stools resulting from the oral administration of bismuth-containing preparations.
1- Bismuth-Containing Products

- **Bismuth Subcarbonate** ($\text{BiO}_2\text{CO}_3$)

- **Uses**: Topically as protective in lotions and ointments, Internally as astringent and absorbent. It is also used as adsorbent in enteritis, diarrhoea, dysentery, ulcerative colitis, in wound dressing.

- **Bismuth Subnitrate**

- **Milk of Bismuth**

- **Non official Bismuth Compounds**

- **Bismuth Subgallate**, **Bismuth Subsalicylate** and **Bismuth Ammonium Citrate**.
2- Activated Clays and Other Adsorbents

**Kaolin** It is usually found together with the vegetable carbohydrate, pectin and used as an adsorbent. Kaolin-containing products have been reported to interfere with the intestinal absorption of lincomycin.

**Activated Charcoal** has been used as an adsorbent in the treatment of diarrhea. It is now a recommended antidote in certain types of poisoning.
Other Antidiarrheal agents

Mechanism of Action of Anticholinergic

• Decrease intestinal muscle tone and peristalsis of GI tract
• Result: slowing the movement of fecal matter through the GI tract
• Examples: belladonna alkaloids (Donnatal), atropine
Antidiarrheal Agent (cont.)

Mechanism of Action of Opiates

• Decrease bowel motility and relieve rectal spasms
• Decrease transit time through the bowel, allowing more time for water and electrolytes to be absorbed

• Examples: paregoric, opium tincture, codeine, loperamide (Imodium), diphenoxylate (Lomotil)
Antidiarrheal Agents: Side Effects

Adsorbents

• Increased bleeding time
• Constipation, dark stools
• Confusion, twitching
• Hearing loss, tinnitus, metallic taste.
Antidiarrheal Agents: Interactions

• Adsorbents decrease the absorption of many agents, including digoxin, clindamycin, quinidine, and hypoglycemic agents.

• Adsorbents cause increased bleeding time when given with anticoagulants.

• Antacids can decrease effects of anticholinergic antidiarrheal agents.
Saline Cathartics

Saline cathartics or purgatives are agents that quicken and increase evacuation from the bowel. Laxatives are mild cathartics.

Cathartics are used:

- To ease defecation in patients with painful hemorrhoids or other rectal disorders and to avoid excessive straining and concurrent increase in abdominal pressure in patients with hernias
- To avoid potentially hazardous rise in B.P. during defecation in patients with hypertension, cerebral coronary or other arterial disease
- To relieve acute constipation
- To remove solid material from intestinal tract prior to certain roentgenographic studies.
Laxatives
Laxative should only be used for short term therapy as prolonged use may lead to loss of spontaneous bowel rhythm upon which normal evacuation depends, causing patient to become dependent on laxatives, the so called laxative effect.

Constipation is the infrequent or difficult evacuation of the feces. It may be due to a person resisting the natural urge to defecate, causing the fecal material which remains in the colon to lose fluid and to become relatively dry and hard. Constipation can also be due to intestinal spasm, emotions, drugs and diet. Its Symptom, not a disease
Types of laxatives

Five types of laxatives are known:
1. Bulk forming
2. Stimulants
3. Emollient
4. Saline cathartics
5. Hyperosmotic
Laxatives: Mechanism of Action

**Bulk forming**

• High fiber
• Absorbs water to increase bulk
• Distends bowel to initiate reflex bowel activity
• Examples:
  • psyllium (Metamucil)
  • methylcellulose (Citruce)
  • Polycarbophil
Laxatives: Mechanism of Action (cont'd)

**Stimulant**

- Increases peristalsis via intestinal nerve stimulation
- Examples:
  - castor oil
  - senna
  - cascara
**Laxatives: Mechanism of Action (cont'd)**

**Emollient**
- Stool softeners and lubricants
- Promote more water and fat in the stools
- Lubricate the fecal material and intestinal walls
- **Examples:**
  - Stool softeners: docusate salts
  - Lubricants: mineral oil
Laxatives: Mechanism of Action (cont'd)

**Hyperosmotic**

- Increase fecal water content
- Result: bowel distention, increased peristalsis, and evacuation
- Examples:
  - polyethylene glycol
  - sorbitol (increases fluid movement into intestine)
  - glycerin
  - lactulose
Laxatives: Mechanism of Action (cont'd)

Saline

• Increase osmotic pressure within the intestinal tract, causing more water to enter the intestines
• Result: bowel distention, increased peristalsis, and evacuation
• Examples:
  • magnesium sulfate
  • magnesium hydroxide
  • magnesium citrate
  • sodium phosphate
## Laxatives: Indications

<table>
<thead>
<tr>
<th>Laxative Group</th>
<th>Use</th>
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<tbody>
<tr>
<td>Bulk forming</td>
<td>Acute and chronic constipation, Irritable bowel syndrome</td>
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<tr>
<td></td>
<td>Diverticulosis, Acute and chronic constipation</td>
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<tr>
<td>Emollient</td>
<td>Softening of fecal impaction; facilitation of BMs in anorectal conditions</td>
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<tr>
<td>Hyperosmotic</td>
<td>Chronic constipation, Diagnostic and surgical preps</td>
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<tr>
<td>Saline</td>
<td>Constipation, Diagnostic and surgical preps</td>
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<tr>
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<td>Removal of helminths and parasites</td>
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<tr>
<td>Stimulant</td>
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## Laxatives: Side Effects

<table>
<thead>
<tr>
<th>Laxative Type</th>
<th>Side Effects</th>
</tr>
</thead>
</table>
| **Bulk forming** | - Impaction  
- Fluid overload |
| **Emollient** | - Skin rashes  
- Decreased absorption of vitamins |
| **Hyperosmotic** | - Abdominal bloating  
- Rectal irritation |
| **Saline** | - Magnesium toxicity (with renal insufficiency)  
- Cramping  
- Diarrhea  
- Increased thirst |
| **Stimulant** | - Nutrient malabsorption  
- Skin rashes  
- Gastric irritation  
- Rectal irritation |