

# ANTI-CONSTIPATIVES

PRESENTED BY: Hafiz Muhammad Zubair



# Treatment of constipation

## General measures:

**Definition:** Fiber is that part of food that resists enzymatic digestion

**Effect of fiber:** Fiber reaches the colon unchanged.

Colonic bacteria → Fermentation →

Short-chain fatty acids (→ prokinetic effect)

1) Increase the amount of **fiber** consumed daily (fruits, vegetables, bran and cereals).

Increased bacterial mass (→ increased stool bulk).

Fiber that is not fermented → osmotic effect  
→ increases stool bulk.

2. Increasing **fluid** intake.

3. Regulation of bowel habits
4. Regular exercise.
5. Treatment of the cause
6. For drug causes of constipation, a non constipating alternatives should be used. If no alternatives exist, lower the dose.



# What Can You Do?

- Eat more fiber
  - More beans, whole grains and bran cereals, fresh fruits, vegetables
  - Limit foods with no fiber (cheese, meat, sweets, processed foods)



- Drink more water and other liquids
  - Be sure to drink at least 8 to 10 glasses of water everyday
  - Liquid helps keep the stool soft








**Become more  
physically active**



- 
- Give laxatives only if really necessary
  - **Laxatives can cause:**
    - Poor absorption of vitamins and minerals
    - Loss of water, sodium and potassium
    - Damage to your intestines

# Pharmacological management of constipation

- Laxatives
- Cathartics
- Purgatives





When stimulant laxatives are used, they should be administered at the lowest effective dosage and for the shortest period of time to avoid abuse

## Definitions

| Laxatives   | Cathartics  |
|---|---|
| Drugs that help evacuation of formed fecal material from the rectum | Drugs that help evacuation of unformed, usually watery fecal material from the entire colon |

# Laxatives/cathartics/Purgatives:

## Laxatives:

- promote a soft stool

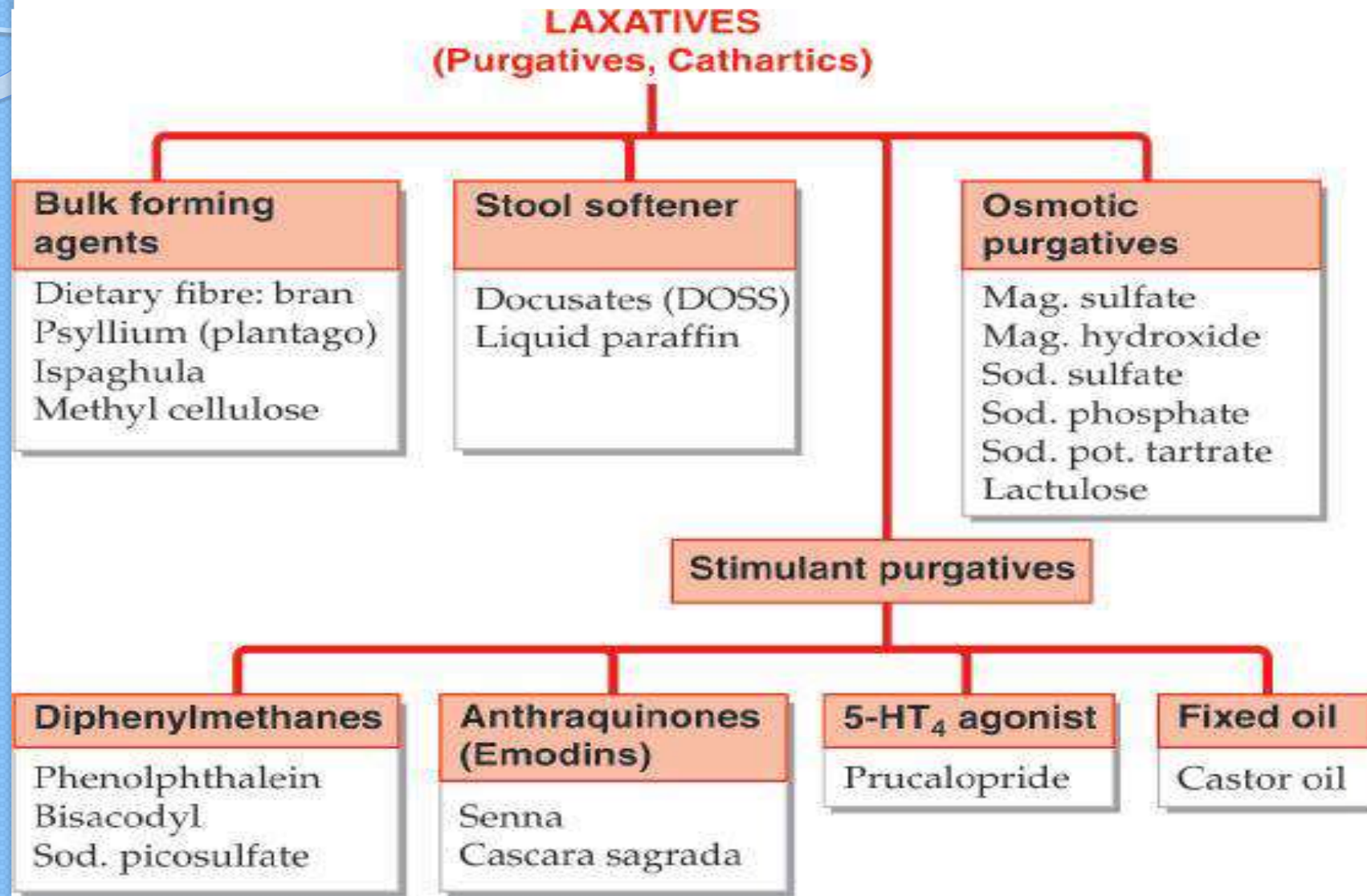
## Cathartics

- Results in a soft to watery stool with some cramping

## Purgative:

- is a harsh cathartic causing a watery stool with abdominal cramping

# TYPES OF LAXATIVES



## **According to their mode of action.**

- Bulk forming laxatives
- Osmotic laxatives
- Stimulant laxatives
- Fecal softeners
- Lubricants



# **Bulk forming**


# Bulk forming laxatives

- **Natural:** plant gum: agar, psyllium, and bran.
- **Synthetic:** cellulose compounds  
methylcellulose and carboxy  
methylcellulose, Polysaccharide polymers.



# MOA

- Absorb water into the intestine increasing fecal bulk and peristalsis
- Dissolve or swell in the intestines ----- stimulate peristalsis

- 
- **Onset of action: 8-24 hours**
  - **Peak action: 3 days**

## The benefits of bulk-forming laxatives are

- **not absorbed from the intestines** into the body
- safe for **long-term use**.
- **safe** for **elderly patients**.
- Helpful in patients with **irritable bowel syndrome, diverticulosis, and colostomies**.

# Precaution

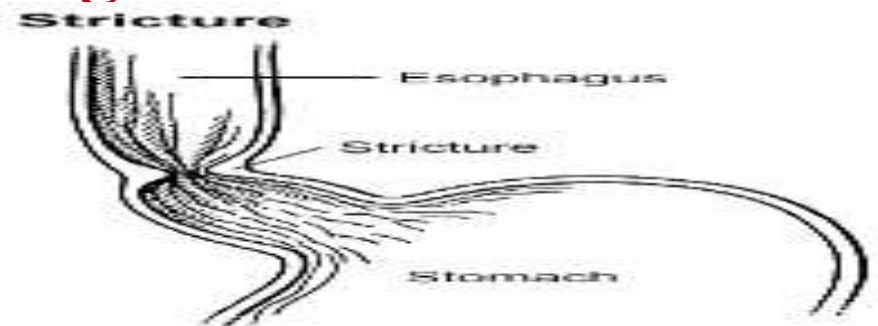
- Should be mixed in a glass of water or juice, stirred and drunk immediately followed by a half to a full glass of water.
- **Why with water or juice?**

## Because

- Insufficient fluid intake cause the drug to solidify in GI tract results in intestinal obstruction

# Precautions for using bulk-forming laxatives.

- ----- may not be appropriate for patients who must restrict oral fluid intake (such as patients with kidney failure).
- Patients with narrowing of the digestive tract (including esophageal stricture, intestinal stricture, or severe adhesions)
- ----- risk of blockage of the intestine or the esophagus.





# Osmotic laxatives



# Osmotic laxatives

## Include

- Salts or saline products
- Lactulose
- Glycerin

# Osmotic laxatives

- Lactulose
- Saline products
- Magnesium citrate
- Magnesium hydroxide (milk of magnesia)
- Magnesium oxide
- Magnesium sulphate
- Sodium biphospahte (Kleen enema)
- Sodium phosphate

# MOA

## **Hyperosmolar salts or saline products :**

insoluble, remain in lumen pull water into colon and increase water in the feces to increase bulk – increase peristalsis

## **Lactulose:**

- draws water into intestine and promotes water and electrolytes retention
- Decreases serum ammonia level

## **Glycerin •**

- acts like Lactulose increases water in the feces in large intestine

# Therapeutic Uses

- **Preparation of bowel for colonoscopy, sigmoidoscopy, and barium enema.**
- **Lactulose: liver disease (Hepatic encephalopathy)**

# SIDE EFFECTS

- **HYPERMAGNESEMIA :**

drowsiness, weakness, paralysis, complete heart block, hypotension, flushing, respiratory depression.

- **Lactulose :**

flatulence, diarrhea, abdominal cramps, nausea, vomiting, disturbance in diabetes

# Precaution

- **Patients with congestive heart failure, kidney disease, and high blood pressure, should not use laxatives that contain sodium**






# **Stimulant or Irritant laxatives**

# Stimulant or Irritant laxatives

| CLASS              | DRUGS   |
|--------------------|---|
| DI-PHENYL-METHANES | SODIUM PICOSULFATE<br>PHENOL-PHTHALEIN<br>BISACODYL |
| ANTHRA-QUINONES    | SENNA<br>CASCARA<br>SAGRADA                         |
| 5-HT4 AGONIST      | PRUCALOPRIDE  |
| FIXED OIL          | CASTOR OIL  |

# MOA


- **Increasing water and electrolyte secretion by the mucosa**
- **By increasing peristalsis ---- by stimulating sensory nerve endings in GI mucosa**

- 
- **The feces are moved through the bowel too rapidly to allow colonic absorption of fecal water so a watery stool is eliminated**

# Bisacodyl (Dulcolax)

- **Can be given:** orally , suppository or enema. Onset of action
- **Oral form :** 6 to 10 hours.
- **Suppository:** 15 to 30 min

# Senna, Cascara sagrada, Aloe

- Drug passes unchanged into the colon
- laxatives  into active compounds (anthracene derivative emodin).
- The active compounds then absorb and have a direct stimulant effect on myenteric plexus ----- contraction of colon muscles.
- Onset of action: 6 to 8 hours.



# Castor oil

- Is hydrolyzed in upper small intestine to **ricinoleic acid** a Local irritant that increases Intestinal motility
- **Onset of action:** usually within 2 to 6 hours.

# Therapeutic Use

- Cleansing the colon for colonoscopies, barium enemas, and intestinal surgeries.

# Side effects

- **Phenolphthalein**: Nausea, abdominal cramps, weakness, reddish brown urine)
- **Bisacodyl**: Fluid and electrolyte imbalance (Potassium and calcium) Mild cramping, and diarrhea
- **Castor oil**: stimulates uterine contraction  
---- spontaneous abortion
- **Senna**: damage nerves--- loss of intestinal muscular tone

# Precaution

- Chronic, long-term use of stimulant laxatives can lead to:
- loss of colon function (**cathartic colon**).
- Consequently, constipation becomes increasingly worse and unresponsive to laxatives.



# **Stool softeners / Lubricants**

# Stool Softeners (Emollient laxatives)

- The active ingredient in most stool softeners is a medicine called **docusate**.

# MOA

- Prevent hardening of the feces by adding moisture to the stool.
- Become emulsified with stool.
- They decrease surface tension of the fecal mass to allow water to penetrate into the stool.

# Therapeutic use

- Used to **prevent constipation**
- commonly recommended for patients who should avoid straining while defecating, including:

## Patients who are recovering from

- abdominal, pelvic, or rectal surgery,
- childbirth,
- or a heart attack;
- persons with severe high blood pressure or abdominal hernias; and
- patients with painful hemorrhoids and/or anal fissures.



# Mineral oil

- Lubricant laxative
- Coats and softens stool
- Soften stool by retarding colonic absorption of fecal water
- Exact mechanism is unknown

# Therapeutic use

Used by patients who need to avoid straining

- hernia repair,
- hemorrhoid surgery,
- heart attacks, and
- childbirth.

# Precautions for using lubricant laxatives

- Mineral oil **should be avoided in patients taking blood thinners, such as Coumadin.**
- Mineral oil **decreases the absorption of vitamin K** (important in forming clotting factors in the blood) from the intestines.
- Mineral oil **should not be taken during pregnancy** since it may inhibit vitamin absorption and decrease the availability of vitamin K to the fetus.
- Mineral oil can cause **pneumonia** if it leaks into the lungs.



# **Enemas and Suppositories**

# Therapeutic Uses

- **to relieve constipation** and rectal fecal impaction(blockage of the rectum by hard, compacted stool).
- **in conjunction with oral laxatives** in cleansing the colon in preparation for barium enema studies.

# Examples of enemas and suppositories

- **Microenema**, which contains docusate,
- **Ducolax Suppository**, which contains bisacodyl,
- **Kleen Enema**, which contains sodium biphosphate.

# REFERENCES

- Roger Walker Clinical Pharmacy and Therapeutics -5<sup>th</sup> Edition.
- Oxford Handbook Of Clinical Pharmacy.
- Internet Sources.