

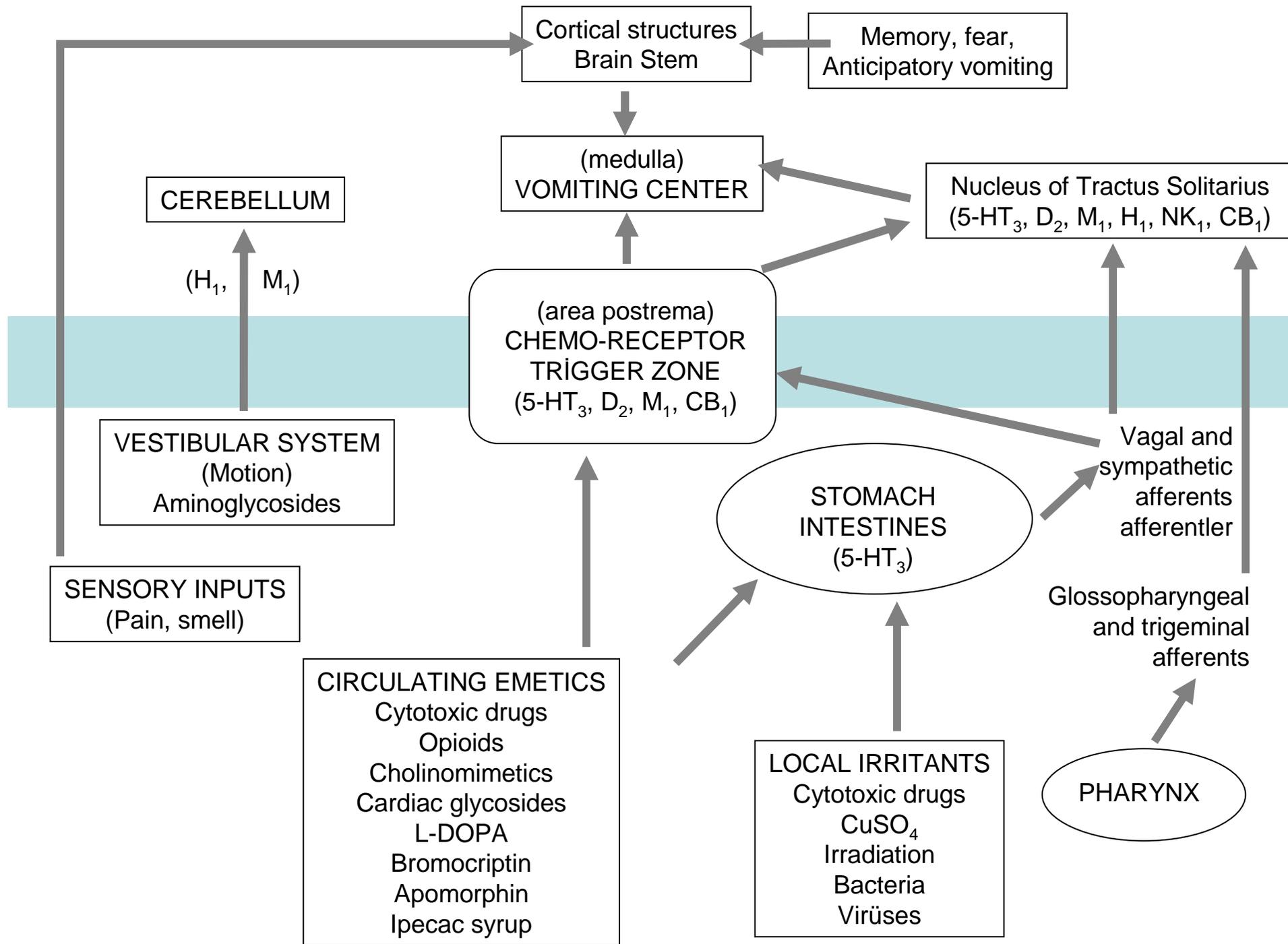
# **Antiemetic, Prokinetic, Laxative and Antidiarrheal Agents**

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## **ANTIEMETIC DRUGS**

**Antiemetics are the drugs that are used to treat nausea and vomiting. They can be subdivided into two groups:**

- Antagonists of the receptors that mediate the transmission in the afferent pathways of the emesis reflex.**
- Drugs that show antiemetic effect by unknown mechanisms**



# Antiemetic Drugs

5HT <sub>3</sub> Serotonin Receptor Antagonists	Ondansetron, Tropisetron, Granisetron, Dolasetron, Palonosetron
D <sub>2</sub> Dopamine / 5HT <sub>3</sub> Serotonin Receptor Antagonists	Metoclopramide
D <sub>2</sub> Dopamine Receptor Antagonists	Phenothiazines (Chlorpromazine), Butyrophenones (Haloperidol, Droperidol)
H <sub>1</sub> Histamin Receptor Antagonists	Diphenhydramine, Meclizine
M <sub>1</sub> Muscarinic Receptor Antagonists	Scopolamine, Benztropine
Cannabinoid Receptor Agonists	Dronabinol, nabilon
Neurokinin Receptor antagonists	Aprepitant, Neupitant
Benzodiazepines	Lorazepam, Alprazolam
Corticosteroids	Dexamethazone, Methylprednisolone

## **Antiemetics Effective in Severe Nausea and Vomiting**

5HT<sub>3</sub> Serotonin Receptor Antagonists  
D<sub>2</sub> Dopamine / 5HT<sub>3</sub> Serotonin Receptor Antagonists

## **Antiemetics Effective in Moderate Nausea and Vomiting**

D<sub>2</sub> Dopamine Receptor Antagonists  
Corticosteroids  
Cannabinoids

## **Antiemetics Effective in Mild Nausea and Vomiting**

H<sub>1</sub> Histamine Receptor Antagonists  
M<sub>1</sub> Muscarinic Receptor Antagonists  
Benzodiazepines

# Combinations Used in the Management of Chemotherapy-Induced Severe Nausea and Vomiting

## Before

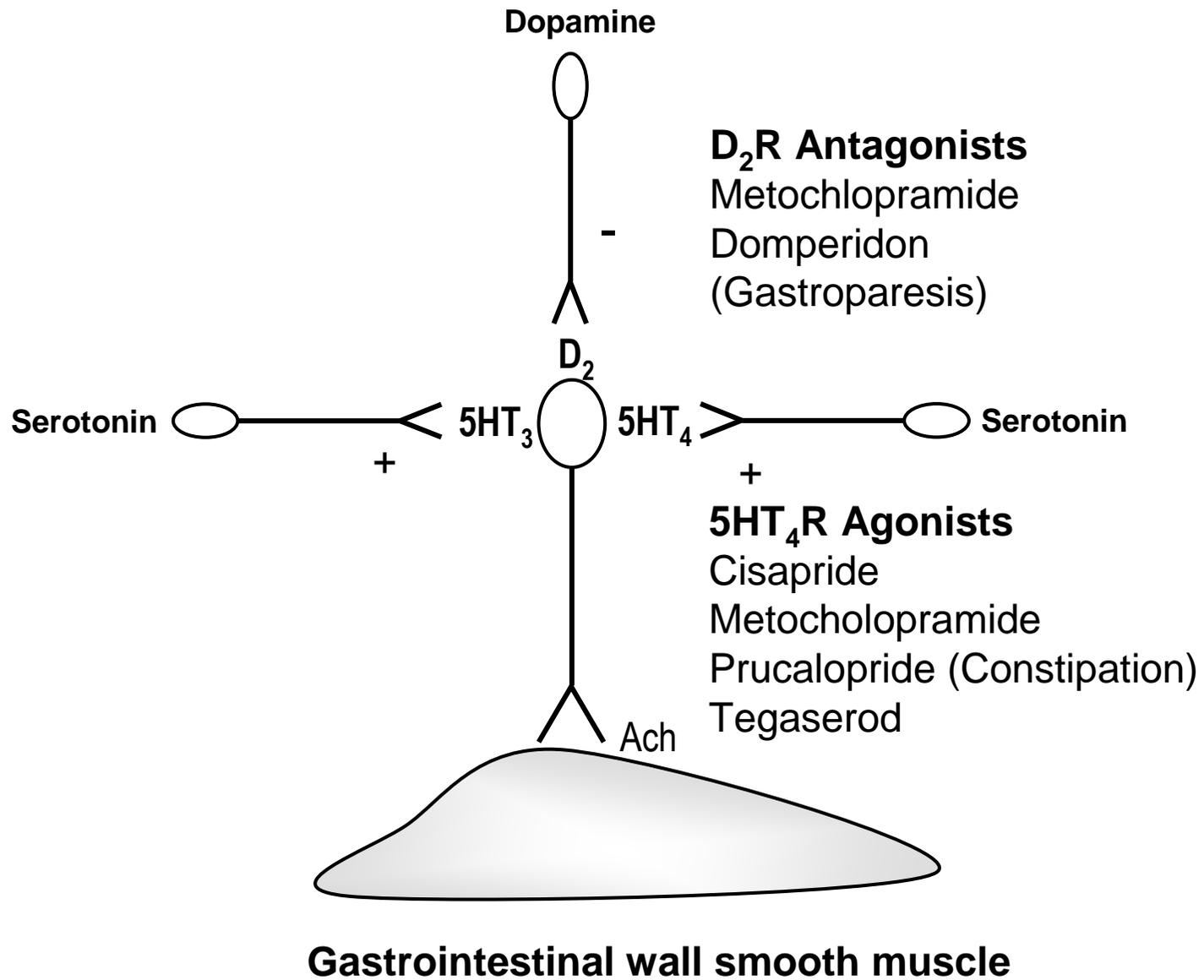
- 5HT3 antagonist + dexamethasone + NK1 antagonist ± lorazepam
- 5HT3 antagonist/NK1 antagonist + dexamethasone

## After (Delayed emesis)

- Dexamethasone + aprepitant
- Dexamethasone (2–4<sup>th</sup> days) + aprepitant (2<sup>nd</sup> and 3<sup>rd</sup> days) ± lorazepam (2–4<sup>th</sup> days)

## **PROKINETIC DRUGS**

**Prokinetics are the drugs that selectively stimulate the motor function of gastrointestinal tract. They may be helpful in the gastrointestinal motility disorders such as, Gastro-esophagial reflux disease, gastroparesis, postoperative ileus and constipation.**



## **Motilin receptor agonist**

Erythromycin  
(Diabetic Gastroparesis)

## **LAXATIVE DRUGS**

Laxatives are the drugs that are used to “treat” constipation. Majority of the laxative uses are self-prescribed and unnecessary: Intermittant constipation can be prevented by high-dietary fiber, adequate fluid intake and regular exercise.

## **Laxatives;**

- Increase and soften the colonic mass by increasing its water content
- Decrease NaCl and water absorption by their direct or indirect effects
- Decrease NaCl and water absorption by increasing intestinal motility

**Laxatives can be classified according to their action mechanisms;**

- Bulk-forming laxatives
- Surfactant laxatives
- Osmotic laxatives
- Stimulant laxatives
- Prokinetic and secretory agents
- Opioid receptor antagonists

# Delay in the Laxative Effect

1-3 Days	6-8 hours	1-3 hours
<b><i>Bulk-forming laxatives</i></b>	<b><i>Stimulant Laxatives</i></b>	<b><i>Osmotic Laxatives</i></b>
Dietary fiber	Diphenylmethane derivatives	Sorbitol
Psyllium preparations	Phenolphthalein	Polyethyleneglycol
Methylcellulose	Bisacodyl	Sodium phosphates
Calcium polycarbophyl	Anthraquinone derivatives	Magnesium sulphate
<b><i>Surfactant Laxatives</i></b>	Aloe, senna, cascara	Magnesium citrate
Docusates		Magnesium hydroxide
<b>Lactulose</b>		<b><i>Castor oil</i></b>

## **Prokinetic and Secretory Agents**

### **Prucalopride**

(Chronic constipation)

### **Lubiproston**

(IBS, chronic constipation, opiate-induced constipation)

### **Linaclotide (14 aa peptide)**

(IBS, chronic constipation)

### **Plecanatide (16 aa peptide)**

(Idiopathic Chronic constipation)

## **Treatment of Opiate-Induced Constipation**

Methylnaltrexon

Naldemedine

Naloksegol

Oxycodone-Naloxone (2:1)

## **Postoperative Ileus**

Alvimopan

## **ANTIDIARRHEAL DRUGS**

Antidiarrheal agents are the drugs that are used to treat the mild and moderate acute diarrhea. They can also be helpful in the chronic diarrhea due to inflammatory bowel disease or irritable bowel syndrome.

## Drugs Used in the Treatment of Diarrhea

Loperamide  
Diphenoxylate and Difenoxin  
+  
Atropin

Colloidal bismuth compounds

Octreotide

Bile salt-binding resins