

H2 Receptor Blockers

I. Drugs

- A. Cimetidine
- B. Famotidine
- C. Nizatidine
- D. Ranitidine

II. Applications

- A. Peptic ulcers/Stress ulcers
- B. GERD

III. Mechanism

- A. Blocks H2 Receptors
 - 1. ↓Gastric acid secretion

IV. Adverse Effects

- A. Cimetidine: CYP450 metabolism, gynecomastia
- B. Ranitidine: Withdrawn for cancer risk

Proton Pump Inhibitors

I. Drugs

- A. Dexlansoprazole
- B. Esomeprazole
- C. Lansoprazole
- D. Omeprazole
- E. Pantoprazole
- F. Rabeprazole

II. Applications

- A. GERD
- B. Ulcers
- C. Esophagitis
- D. H. Pylori treatment

III. Mechanism

- A. Irreversible binds/inhibits H⁺/K⁺ ATPase
 - 1. Decreases gastric acid production

IV. Adverse Effects

- A. Osteoporosis
- B. B12 Deficiency
- C. ↑Pneumonia/C. Dif. risk

Mucosal Protectants

I. Drugs

- A. Sucralfate
 - 1. Application: Ulcer treatment
 - 2. Mech: Binds mucosal proteins, forms protective gel
 - 3. Adv. Effects
 - a) Limits med absorption
 - b) Do not use with acid suppression, low pH needed for activation

B. Bismuth subsalicylate

- 1. Applications
 - a) Ulcer treatment
 - b) H. Pylori treatment
 - c) Antidiarrheal
- 2. Mechanism
 - a) Increases mucus secretion
 - b) Inhibits pepsin
 - c) Coats ulcers
 - d) Antimicrobial effect (active against H. pylori)

Phenothiazines

I. Drugs

- A. Prochlorperazine

II. Applications

- A. Antiemetic

III. Mechanism

- A. Dopamine receptor antagonist
 - 1. Also antagonizes: histamine, cholinergic, & noradrenergic receptors

IV. Adverse Effects

- A. Akathisia
- B. Tardive dyskinesia
- C. Dystonia

5-HT3 Receptor Blockers

I. Drugs

- A. Granisetron
- B. Ondansetron
- C. Palonosetron

II. Applications

- A. Chemotherapy induced nausea
- B. Antiemetic

III. Mechanism

- A. Blocks 5-HT3 receptors peripherally & centrally

IV. Adverse Effects

- A. Somnolence

Prokinetic Dopamine Receptor Antagonists

I. Drugs

- A. Metoclopramide

II. Applications

- A. Chemotherapy induced nausea
- B. Gastroparesis

III. Mechanism

- A. Dopamine receptor antagonist centrally & peripherally
 - 1. Peripheral inhibition: ↑LES tone, ↑gastric contractility & motility

IV. Adverse Effects

- A. Akathisia
- B. Tardive dyskinesia
- C. Dystonia
- D. Black box warning: do not use in setting of bowel obstruction

Butyrophenones

I. Drugs

- A. Droperidol

II. Applications

- A. Antiemetic
- B. Agitation

III. Mechanism

- A. Dopamine receptor antagonist

IV. Adverse Effects

- A. Akathisia
- B. Prolonged QT Interval

Neurokinin-1 Receptor Blockers

I. Drugs

- A. Aprepitant

II. Applications

- A. Chemotherapy induced nausea

III. Mechanism

- A. Central neurokinin receptor blocker

IV. Adverse Effects

- A. CYP3A4 metabolism

Antimotility Agents

I. Drugs

- A. Diphenoxylate
- B. Loperamide

II. Applications

- A. Diarrhea

III. Mechanism

- A. Activates presynaptic opioid receptors
 1. ↓ ACh release, ↑ transit time

IV. Adverse Effects

- A. Do not use in severe colitis
- B. Do not use in young children (↑ risk of ileus, lethargy, or death)

GI Adsorbents

I. Drugs

- A. Methylcellulose
- B. Aluminum Hydroxide

II. Applications

- A. Diarrhea

III. Mechanism

- A. Absorbs excess secretions/toxins

GI Stimulants

I. Drugs

- A. Senna – stimulates peristalsis
- B. Bisacodyl – stimulates colonic nerves to evacuate colon
- C. Castor Oil – metabolized to ricinoleic acid, irritates intestinal lining

II. Applications

- A. Constipation

III. Adverse Effects

- A. Decreased absorption of other drugs
- B. Castor Oil – may stimulate uterine contractions – do not use in pregnancy

Osmotic Laxatives

I. Drugs

- A. Magnesium Citrate/Hydroxide
- B. Polyethylene Glycol
- C. Lactulose

II. Applications

- A. Constipation
- B. Lactulose: Hepatic encephalopathy

III. Mechanism

- A. Increases osmotic pressure in intestinal lumen, drawing fluid to the lumen
- B. Lactulose – colonic bacteria metabolize to acids, increasing osmotic pressure; reduces ammonia levels

IV. Adverse Effects

- A. Reduces absorption of other drugs

Stool Softeners

I. Drugs

- A. Docusate

II. Applications

- A. Constipation

III. Mechanism

- A. Emulsifies with stool, easing passage

IV. Adverse Effects

- A. Effects take days

GI Lubricants

I. Drugs

- A. Mineral Oil
- B. Glycerin suppositories

II. Applications

- A. Constipation

III. Mechanism

- A. Lubricates GI tract

IV. Adverse Effects

- A. Mineral oil: avoid in patients with aspiration risk, can cause chemical pneumonitis

Chloride Channel Activators

I. Drugs

- A. Lubiprostone

II. Applications

- A. Constipation

III. Mechanism

- A. Activates gut chloride channels, increasing secretion of fluid into lumen

Opioid Induced Constipation Treatment

I. Drugs

- A. Naldemedine
- B. Naloxegol

II. Applications

- A. Opioid induced constipation

III. Mechanism

- A. Blocks mu receptors in bowel

IV. Adverse Effects

- A. Do not use in bowel obstruction
- B. CYP3A4 metabolism

Guanylate Cyclase-C Receptor Agonists

I. Drugs

- A. Linaclotide

II. Applications

- A. Constipation
- B. Intestinal cramping

III. Mechanism

- A. Stimulates GCC receptor, promotes secretion of HCO_3^- and Cl^- , increasing intestinal fluids
- B. Inhibits gut nociceptors, reducing pain

IV. Adverse Effects

- A. Diarrhea
- B. Abdominal discomfort