Gastrointestinal Pharmacology Comprehensive Reference Guide

CLINICAL REVIEW OF DRUG CLASSES, MECHANISMS OF ACTION, AND CRITICAL MEDICAL ALERTS

ACID-PEPTIC DISEASE MEDICATIONS

DRUG CLASS	DRUG NAME(S)	*MECHANISM OF ACTION*	MAIN CLINICAL USES	KEY POINT / WARNING / SAFETY
Antacids	**Aluminum salts**	*Neutralizes existing stomach acid*	Heartburn, dyspepsia	Causes constipation
Antacids	**Magnesium salts**	*Neutralizes existing stomach acid*	Heartburn, dyspepsia	Causes diarrhea, acid rebound
Antacids	**Calcium carbonate**	*Neutralizes existing stomach acid*	Heartburn, dyspepsia	Acid rebound; Milk-alkali syndrome (with overuse)
Antacids	**Sodium bicarbonate**	*Neutralizes existing stomach acid*	Heartburn, dyspepsia	Systemically absorbed → alkalosis; contraindicated in hypertension
H2 Receptor Antagonists	**Cimetidine, Ranitidine, Famotidine, Nizatidine**	*Block histamine H2 receptors on parietal cells*	Peptic ulcer, GERD (older drugs)	Inhibit basal & nocturnal acid, weak against meal-stimulated acid. Cimetidine has many drug interactions.
Proton Pump Inhibitors (PPIs)	**Omeprazole, Lansoprazole, Pantoprazole, Rabeprazole, Esomeprazole**	*Irreversibly inhibit H^+/K^+-ATPase (the final common pathway)*	First-line for: GERD, peptic ulcer, H. pylori (with antibiotics), stress gastritis	Most potent. Take 1 hour before food. Pantoprazole & Rabeprazole have fewer drug interactions.

LAXATIVES AND GI MOTILITY AGENTS

DRUG CLASS	DRUG NAME(S)	*MECHANISM OF ACTION*	MAIN CLINICAL USES	KEY POINT / WARNING / SAFETY
Laxatives (Bulk-Forming)	**Psyllium, Methylcellulose, Polycarbophil**	*Absorb water, increase stool bulk, distend colon*	Chronic constipation	Safest for long-term use. Must drink plenty of water.

DRUG CLASS	DRUG NAME(S)	*MECHANISM OF ACTION*	MAIN CLINICAL USES	KEY POINT / WARNING / SAFETY
Laxatives (Stool Softeners)	**Docusate, Glycerin suppositories**	*Allow water/lipids to penetrate stool*	Constipation, prevent straining	Mild effect. No stimulation of bowels.
Laxatives (Stool Softeners)	**Mineral oil**	*Lubricates fecal material, retards water absorption*	Fecal impaction	Risk of lipoid pneumonia (aspiration). Impairs fat-soluble vitamin absorption.
Laxatives (Osmotic)	**Magnesium hydroxide (Milk of Magnesia)**	*Non-absorbable, draws water into intestine*	Constipation, bowel prep	Can cause hypermagnesemia (risk in renal failure).
Laxatives (Osmotic)	**Lactulose, Sorbitol**	*Non-absorbable sugars, draw water, fermented by bacteria*	Constipation, hepatic encephalopathy (Lactulose)	Causes gas, cramps.
Laxatives (Osmotic)	**Polyethylene Glycol (PEG)**	*Inert, non-absorbable, osmotically active*	Bowel prep for colonoscopy, chronic constipation	Safest osmotic: no gas, no electrolyte shifts.
Laxatives (Stimulant)	**Senna, Cascara, Aloe (Anthraquinones)**	*Stimulate enteric nervous system, increase fluid secretion*	Constipation (short-term, special cases)	Can cause dependence & melanosis coli. Not for regular use.
Laxatives (Stimulant)	**Castor oil**	*Hydrolyzed to ricinoleic acid (local irritant)*	Bowel prep (historically)	Very strong purgative.
Prokinetic (IBS-C)	**Tegaserod**	$5\text{-}HT_4$ *receptor partial agonist*	IBS with constipation (IBS-C) in women	Enhances gastric emptying & transit. Expensive.

ANTIDIARRHEAL AGENTS

DRUG CLASS	DRUG NAME(S)	*MECHANISM OF ACTION*	MAIN CLINICAL USES	KEY POINT / WARNING / SAFETY
Antidiarrheals (Opioid agonists)	**Loperamide**	*Inhibits presynaptic cholinergic nerves in gut*	Non-infectious diarrhea	Does NOT cross BBB → no addiction/ analgesia. Preferred.
Antidiarrheals (Opioid agonists)	**Diphenoxylate (with atropine)**	*Same as loperamide, but crosses CNS*	Non-infectious diarrhea	Can cause CNS effects & dependence. Atropine is added to discourage abuse.

DRUG CLASS	DRUG NAME(S)	*MECHANISM OF ACTION*	MAIN CLINICAL USES	KEY POINT / WARNING / SAFETY
Antidiarrheals (Adsorbents)	**Kaolin & Pectin**	*Absorb bacteria, toxins, and water*	Mild diarrhea, food poisoning	Non-specific; take 2 hours apart from other drugs.
Antidiarrheals (Bile salt binders)	**Cholestyramine (not named, but implied)**	*Bind bile salts in intestine*	Diarrhea due to bile salt malabsorption	Can cause bloating, constipation, fat malabsorption.
Antidiarrheals (Somatostatin analog)	**Octreotide**	*Mimics somatostatin: inhibits hormones, reduces secretions*	Severe secretory diarrhea (carcinoid, dumping syndrome, AIDS)	Also used for GI bleeding and pituitary tumors.

ANTIEMETICS (NAUSEA & VOMITING)

DRUG CLASS	DRUG NAME(S)	*MECHANISM OF ACTION*	MAIN CLINICAL USES	KEY POINT / WARNING / SAFETY
Antiemetics (5-HT3 antagonists)	**Ondansetron, Granisetron**	*Block central & peripheral* $5\text{-}HT_3$ *receptors (mainly vagal)*	Chemotherapy-induced, post-operative nausea/vomiting	Poor for motion sickness. Side effects: headache, constipation.
Antiemetics (NK1 antagonist)	**Aprepitant**	*Blocks central* NK_1 *receptors*	Chemotherapy-induced (delayed nausea)	Used with 5-HT3 antagonist + steroid.
Antiemetics (Cannabinoids)	**Dronabinol, Nabilone**	*Unknown (CNS)*	Chemotherapy-induced vomiting	Side effects: euphoria, sedation, hallucinations.
Antiemetics (Antipsychotics)	**Prochlorperazine, Promethazine**	*Block dopamine & muscarinic receptors*	Central vomiting, motion sickness	Sedating.
Antiemetics (Benzodiazepines)	**Lorazepam, Diazepam**	*Reduce anxiety*	Anticipatory nausea, anxiety-related vomiting	Not direct antiemetics.

GASTROINTESTINAL & SYSTEMIC ANTIMICROBIALS

DRUG CLASS	DRUG NAME(S)	*MECHANISM OF ACTION*	MAIN CLINICAL USES	KEY POINT / WARNING / SAFETY
Antiprotozoals (Tissue amebicide)	**Metronidazole**	*Activated in anaerobic organisms, disrupts DNA*	All tissue amebiasis (liver, intestine), giardiasis, trichomoniasis	Does NOT kill cysts → must follow with luminal agent. Causes metallic taste.
Antiprotozoals (Tissue amebicide)	**Tinidazole**	*Same as metronidazole*	Same uses, especially complicated cases	Better tolerated (fewer CNS effects), single dose.
Antiprotozoals (Luminal amebicide)	**Diloxanide furoate, Iodoquinol, Paromomycin**	*Act in intestinal lumen*	Asymptomatic carriers, eradicate cysts after metronidazole	Non-absorbable.
Antimalarials	**Chloroquine**	*Unknown (accumulates in parasite)*	Acute malaria attack	Resistance common. Teratogenic.
Antimalarials	**Quinine**	*Multiple, toxic*	Malaria (still effective)	Oldest drug. No resistance but toxic.
Antimalarials	**Artemisinin**	*Increases oxidative stress*	Malaria (especially resistant)	Key component of ACTs.
Antimalarials	**Doxycycline**	*Inhibits protein synthesis*	Malaria prophylaxis & treatment	Antibiotic.
Anthelmintics (Nematodes)	**Mebendazole**	*Inhibits microtubule formation → ↓ glucose uptake*	Broad spectrum: pinworm, roundworm, hookworm	Safe, single dose for pinworm (repeat in 3 weeks).
Anthelmintics (Nematodes)	**Piperazine**	*GABA agonist → flaccid paralysis*	Roundworm, pinworm	May need a purgative.
Anthelmintics (Nematodes)	**Diethylcarbamazine (DEC)**	*Alters arachidonic acid metabolism → vasoconstriction*	Filariasis (microfilariae)	Causes capillary vasoconstriction, traps microfilariae.
Anthelmintics (Cestodes - tapeworms)	**Niclosamide**	*Inhibits anaerobic ATP production*	Tapeworms	Kills scolex → detachment & expulsion. Single dose.

DRUG CLASS	DRUG NAME(S)	*MECHANISM OF ACTION*	MAIN CLINICAL USES	KEY POINT / WARNING / SAFETY
Anthelmintics (Trematodes - flukes)	**Praziquantel**	*Increases Ca^{2+} permeability → spastic paralysis + exposes antigens*	All flukes (including Schistosoma)	Dual action: paralysis + immune-mediated destruction.
Antivirals (GI)	**None specific (Supportive care)**	*nan*	Rotavirus, Norovirus	No antiviral drugs. Treatment is oral rehydration (supportive). Vaccines exist for Rotavirus, not for Norovirus.