

Pharmacology

GI – Cards

Name: Ranitidine (Zantac)**Class:** H2 Receptor Antagonist**Mech.:** Competitive inhib. of the histamine H2 receptor, but not of the H1 receptor → inhib. of fasting and stim. acid secretion. No disruption of circadian rhythm of acid secretion. Inhib. of 80-90% of gastrin and vagal-stim. acid secretion.**Absorption:** Oral → rapid, good absorption. Single nighttime dose.**Dist.:****Metab.:** Partial hepatic metab.**Excretion, t_{1/2}:** Excreted intact in urine. 1.5-3 hr.**Toxicity/S.E.s:** Uncommon. Diarrhea, headaches, myalgias, skin rashes. Inhib. cyt. P-450 (less than cimetidine) → dose adjustment with phenytoin, warfarin, & theophylline. Occasional cardiac arrhythmias.**Utility:** PUD, Zollinger-Ellison synd., acute stress ulcers, GERD**Special Features:** Potency—famotidine > ranitidine/nizatidine > cimetidine.

Tachyphylaxis—50% less effective after 6 months. Rebound hypersecretion 2° to receptor upregulation and inhib. of ATPase recycling.

Name: Cimetidine (Tagamet)**Class:** H2 Receptor Antagonist**Mech.:** Competitive inhib. of the histamine H2 receptor, but not of the H1 receptor → inhib. of fasting and stim. acid secretion. No disruption of circadian rhythm of acid secretion. Inhib. of 80-90% of gastrin and vagal-stim. acid secretion.**Absorption:** Oral → rapid, good absorption. Single nighttime dose.**Dist.:****Metab.:** Partial hepatic metab.**Excretion, t_{1/2}:** Excreted intact in urine. 1.5-3 hr.**Toxicity/S.E.s:** Uncommon. Diarrhea, headaches, myalgias, skin rashes. Large doses over prolonged periods assoc. w/impotence & gynecomastia. Inhib. cyt. P-450 → dose adjustment with phenytoin, warfarin, & theophylline. Occasional cardiac arrhythmias.**Utility:** PUD, Zollinger-Ellison synd., acute stress ulcers, GERD**Special Features:** Potency—famotidine > ranitidine/nizatidine > cimetidine.

Tachyphylaxis—50% less effective after 6 months. Rebound hypersecretion 2° to receptor upregulation and inhib. of ATPase recycling.

Name: Nizatidine (Axid)**Class:** H2 Receptor Antagonist**Mech.:** Competitive inhib. of the histamine H2 receptor, but not of the H1 receptor → inhib. of fasting and stim. acid secretion. No disruption of circadian rhythm of acid secretion. Inhib. of 80-90% of gastrin and vagal-stim. acid secretion.**Absorption:** Oral → rapid, good absorption. Single nighttime dose.**Dist.:****Metab.:** Partial hepatic metab.**Excretion, t_{1/2}:** Excreted intact in urine. 1.5-3 hr.**Toxicity/S.E.s:** Uncommon. Diarrhea, headaches, myalgias, skin rashes. Occasional cardiac arrhythmias.**Utility:** PUD, Zollinger-Ellison synd., acute stress ulcers, GERD**Special Features:** Potency—famotidine > ranitidine/nizatidine > cimetidine.

Tachyphylaxis—50% less effective after 6 months. Rebound hypersecretion 2° to receptor upregulation and inhib. of ATPase recycling.

Name: Famotidine (Pepcid)**Class:** H2 Receptor Antagonist**Mech.:** Competitive inhib. of the histamine H2 receptor, but not of the H1 receptor → inhib. of fasting and stim. acid secretion. No disruption of circadian rhythm of acid secretion. Inhib. of 80-90% of gastrin and vagal-stim. acid secretion.**Absorption:** Oral → rapid, good absorption. Single nighttime dose.**Dist.:****Metab.:** Partial hepatic metab.**Excretion, t_{1/2}:** Excreted intact in urine. 1.5-3 hr.**Toxicity/S.E.s:** Uncommon. Diarrhea, headaches, myalgias, skin rashes. Occasional cardiac arrhythmias (more common than in other H2RAs).**Utility:** PUD, Zollinger-Ellison synd., acute stress ulcers, GERD**Special Features:** Potency—famotidine > ranitidine/nizatidine > cimetidine.

Tachyphylaxis—50% less effective after 6 months. Rebound hypersecretion 2° to receptor upregulation and inhib. of ATPase recycling.

Name: Aluminum Hydroxide (Maalox)**Class:** Antacid**Mech.:** Weak base → ↓ gastric acidity. ↑ pH → ↓ peptic activity.**Absorption:****Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Constipation. Al³⁺ can form insoluble complexes w/other drugs → ↓ absorption (e.g., tetracycline).**Utility:** PUD**Special Features:****Name: Omeprazole (Prilosec)****Class:** Proton Pump Inhibitor**Mech.:** Irreversible inhib. of H⁺/K⁺ ATPase → > 95% inhib. of acid secretion.**Absorption:** Oral → 30-40% bioavail. Peak plasma levels at 0.5-3.5 hr. Give prior to meals, preferably in the morning. Additional dose, if necessary, should be given later in the day.**Dist.:****Metab.:****Excretion, t_{1/2}:** 0.5-1 hr.**Toxicity/S.E.s:** Rare headache, diarrhea, rash. Inhib. of cyt. P-450 requires altered doses of warfarin, phenytoin, diazepam, and cyclosporin. Inhib. of vitamin. B12 absorption.**Utility:** PUD, erosive esophagitis, Zollinger-Ellison synd., GERD.**Special Features:** Mismatch between pharmacokinetics & pharmacodynamics.Short t_{1/2}, but actions last > 24 hr (irreversible binding). Acid inhib. → ↑ gastrin.**Name: Al-Mg Hydroxides****Class:** Antacid**Mech.:** Weak base → ↓ gastric acidity. ↑ pH → ↓ peptic activity.**Absorption:****Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Al³⁺ can form insoluble complexes w/other drugs → ↓ absorption (e.g., tetracycline).**Utility:** PUD**Special Features:** Comb. of constipation (Al) and laxative (Mg) effects may cancel → rel. normal bowel function.

Name: Magnesium Hydroxide (Milk of Magnesia)

Class: Antacid

Mech.: Weak base → ↓ gastric acidity. ↑ pH → ↓ peptic activity.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Diarrhea.

Utility: PUD

Special Features:

Name: Misoprostol (Cytotec)

Class: Prostaglandin Analogue (PGE₁)

Mech.: Stim. mucus and bicarbonate secretion, mucosal blood flow, cell turnover. Inhib. acid secretion.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}: Longer t_{1/2} than natural prostaglandins.

Toxicity/S.E.s: ↑ intest. secretion → diarrhea. Nausea. Uterine contractions.
∴ c/i during pregnancy.

Utility: PUD, prevention of NSAID injury.

Special Features:

Name: Calcium Carbonate (Tums, Rolaids)

Class: Antacid

Mech.: Weak base → ↓ gastric acidity. ↑ pH → ↓ peptic activity.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility: PUD

Special Features: Counterproductive—Ca²⁺ stimulates gastrin release.

Name: Bismuth-Subsalicylate (Pepto-Bismol)

Class: Cytoprotective Agent/Hydrophilic Agent/Absorbent/Antimicrobial.

Mech.: Inhib. pepsin activity, ↑ mucus secretion, coats & protects ulcer.
Antimicrobial action. May have antiinflammatory action.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Binds tetracyclines. Turns stools and tongue black. Contains salicylate → additive effects w/aspirin, tinnitus. Don't use w/salicylate allergy. May cause GI impaction in debilitated patients.

Utility: PUD. Traveler's Diarrhea (at least in Mexico)—8 doses (1-2 tablets every eight hours). Prophylaxis for Traveler's Diarrhea. General diarrhea (usu. controls diarrhea w/in 24 hr).

Special Features:

Name: Sucralfate (Carafate)**Class:** Cytoprotective Agent**Mech.:** Complex of aluminum hydroxide and sulfated sucrose. Forms complex gels w/mucus → physical barrier that impairs diffusion of HCl and prevents peptic mucus degradation. Stim. prostaglandin release and secretion of mucus and bicarbonate. Inhib. acid secretion by ~50%.**Absorption:** Not absorbed.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Well tolerated.**Utility:** PUD**Special Features:** Largely supplanted by H2RAs and PPIs. Requires acidic pH for activation. ∴ should not be admin. w/antacids, PPIs, or H2RAs.**Name: Metronidazole (Flagyl)****Class:** Nitroimidazole derivative**Mech.:** Inhib. DNA synth, degrades DNA, e- acceptor for reduced substrates.**Absorption:** Complete, quick oral absorption.**Dist.:** Well distrib to all tissues and fluids (including CSF)**Metab.:** Hepatic metab.**Excretion, t_{1/2}:****Toxicity/S.E.s:** GI, metallic taste, neurotox (vertigo), disulfiram-like effect w/alcohol, neutropenia. Not for first trimester preg (mutagenic). Not for patients w/active CNS disease or hist. of blood dyscrasias.**Utility:** IV treatment of anaerobic infects. Oral for amebiasis, giardiasis, and genital infects of Trichomonas vaginalis. H. pylori (PUD).**Special Features:** Antiparasitic and antibacterial activity. All anaerobic cocci and anaerobic gram- bacilli, including Bacterioides. Trichomoniasis, amebiasis, giardiasis.**Name: Pirenzepine****Class:** -Muscarinic Antagonist**Mech.:** M₁-inhib. → suppression of gastrin-stimulated and basal acid secretion at doses that have a minimal effect on salivary glands, heart, and eyes.**Absorption:****Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:****Utility:** PUD.**Special Features:****Name: Amoxicillin (Amoxil)****Class:** Penicillin (Aminopenicillin)**Mech.:** Binds to PBPs, blocks activity of transpeptidases in terminal stages of cell wall formation. Bactericidal.**Absorption:** Acid stable. Good oral (better than ampicillin).**Distrib.:** Widely distributed, little CSF unless meninges inflamed.**Metab.:****Excretion, t_{1/2}:** Rapidly elim. by kidneys (probenecid blocks excretion), small amt. in bile.**Toxicity/S.E.s:** diarrhea (less than ampicillin), hypersensitivity (1-10%), superinfection.**Utility:** More effective against gram -s (esp. Proteus, H. influenzae, E. coli, P. mirabilis). Less active than Pen. G against gram+ cocci. H. pylori (PUD).**Special Features:** Broad spectrum.

Name: Tetracycline (Achromycin V)**Class:** Tetracycline**Mech.:** Active uptake into bacteria → inhibit protein synth by binding to 30S ribosome. Bacteriostatic**Absorption:** Oral adequate, but incomplete. Impaired by divalent cations. IM painful. IV may cause thrombophlebitis. Never intrathecal.**Distrib.:** Good CSF. Conc. in liver → enterohepatic circ. Penetrates most tissues and fluids. Crosses placenta.**Metab.:****Excretion, t_{1/2}:** filtration (1°), bile**Toxicity/S.E.s:** GI — burning, discomfort, nausea, vomiting; superinfection — due to broad spectrum, candida albicans (1°), staph enterocolitis, pseudomemb. colitis; hepatotoxicity (esp. in pregnancy); renal toxicity; Fanconi synd.; perm. brown discoloration of teeth; slowing of bone growth; phototoxicity; thrombophlebitis; hematopoietic changes; rare hypersens. rxns.**Utility:** gram - cocci, gram - bacilli, acid fast bacilli, chlamydiae, mycoplasma, rickettsia, spirochetes. No effect on viruses or fungi. Also used for acne, prophylaxis for Travelers' diarrhea. H. pylori (PUD).**Special Features:** Broad spectrum. Decreased effect of oral contraceptives.**Name: Methylcellulose****Class:** Laxative (Bulk-Forming Agent)**Mech.:** Absorbs and retains water, increases fecal volume → ↑ rate of transit.**Absorption:** Not absorbed.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Can bind other drugs → reduced absorption. Admin. > 1 hr before or after other medication.**Utility:** Laxative. Esp. useful in pts. w/alternating constipation and diarrhea (e.g., irritable bowel synd) → ↓ fluidity of liquid stools and softening of hard stools. Also useful for pts who are on low residue diets; are postpartum; are elderly; or have diverticular disease, spastic colon, or hemorrhoids.**Special Features:** Inert, hydrophilic. Introduce gradually to avoid GI impaction. Gentle agent. Requires 1/2-3 days for effect.**Name: Psyllium (Metamucil)****Class:** Laxative (Bulk-Forming Agent)**Mech.:** Absorbs and retains water, increases fecal volume → ↑ rate of transit.**Absorption:** Not absorbed.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Can bind other drugs → reduced absorption. Admin. > 1 hr before or after other medication.**Utility:** Laxative. Esp. useful in pts. w/alternating constipation and diarrhea (e.g., irritable bowel synd) → ↓ fluidity of liquid stools and softening of hard stools. Also useful for pts who are on low residue diets; are postpartum; are elderly; or have diverticular disease, spastic colon, or hemorrhoids.**Special Features:** Inert, hydrophilic. Introduce gradually to avoid GI impaction. Gentle agent. Requires 1/2-3 days for effect.**Name: Magnesium Sulfate****Class:** Laxative (Saline Cathartic)**Mech.:** Nonabsorbable ions → ↑ osmotic pressure in bowel → watery stools in 1-3 hr.**Absorption:** Not much.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Toxic levels of Mg²⁺ may accumulate in infants, old folk, and pts. w/impaired renal fxn. Large amount of Na⁺ (prob. for pts. on low Na⁺ diets). Potential problem of dehydration.**Utility:** Acute evacuation of bowel in preparation for endoscopic exam. Elim. of drugs/toxins for suspected drug/food poisoning.**Special Features:**

Name: Polycarbophil**Class:** Laxative (Bulk-Forming Agent)**Mech.:** Absorbs and retains water, increases fecal volume → ↑ rate of transit.**Absorption:** Not absorbed.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Can bind other drugs → reduced absorption. Admin. > 1 hr before or after other medication.**Utility:** Laxative. Esp. useful in pts. w/alternating constipation and diarrhea (e.g., irritable bowel synd) → ↓ fluidity of liquid stools and softening of hard stools. Also useful for pts who are on low residue diets; are postpartum; are elderly; or have diverticular disease, spastic colon, or hemorrhoids.**Special Features:** Inert, hydrophilic. Introduce gradually to avoid GI impaction. Gentle agent. Requires 1/2-3 days for effect.

And this is the Noble Truth of Sorrow. Birth is sorrow, age is sorrow, disease is sorrow, death is sorrow; contact with the unpleasant is sorrow, separation from the pleasant is sorrow, every wish unfulfilled is sorrow—in short all the five components of individuality are sorrow.

And this is the Noble Truth of the Arising of Sorrow. It arises from craving, which leads to rebirth, which brings delight and passion, and seeks pleasure now here, now there—the craving for sensual pleasure, the craving for continued life, the craving for power.

And this is the Noble Truth of the Stopping of Sorrow. It is the complete stopping of that craving, so that no passion remains, leaving it, being emancipated from it, being released from it, giving no place to it.

And this is the Noble Truth of the Way to the Stopping of Sorrow. It is the Noble Eightfold Path—Right Views, Right Resolve, Right Speech, Right Conduct, Right Livelihood, Right Effort, Right Mindfulness, and Right Concentration.

—The Buddha

Name: Magnesium Hydroxide**Class:** Laxative (Saline Cathartic)**Mech.:** Nonabsorbable ions → ↑ osmotic pressure in bowel → watery stools in 1-3 hr.**Absorption:** Not much.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Toxic levels of Mg²⁺ may accumulate in infants, old folk, and pts. w/impaired renal fxn. Large amount of Na⁺ (prob. for pts. on low Na⁺ diets). Potential problem of dehydration.**Utility:** Acute evacuation of bowel in preparation for endoscopic exam. Elim. of drugs/toxins for suspected drug/food poisoning.**Special Features:****Name: Magnesium Citrate****Class:** Laxative (Saline Cathartic)**Mech.:** Nonabsorbable ions → ↑ osmotic pressure in bowel → watery stools in 1-3 hr.**Absorption:** Not much.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Toxic levels of Mg²⁺ may accumulate in infants, old folk, and pts. w/impaired renal fxn. Large amount of Na⁺ (prob. for pts. on low Na⁺ diets). Potential problem of dehydration.**Utility:** Acute evacuation of bowel in preparation for endoscopic exam. Elim. of drugs/toxins for suspected drug/food poisoning.**Special Features:**

Name: Sodium Phosphate**Class:** Laxative (Saline Cathartic)**Mech.:** Nonabsorbable ions → ↑ osmotic pressure in bowel → watery stools in 1-3 hr.**Absorption:** Not much.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Large amount of Na⁺ (prob. for pts. on low Na⁺ diets). Potential problem of dehydration.**Utility:** Acute evacuation of bowel in preparation for endoscopic exam. Elim. of drugs/toxins for suspected drug/food poisoning.**Special Features:****Name: Phenolphthalein (Ex-Lax)****Class:** Laxative (Contact Cathartic) (Diphenylmethane Cathartic)**Mech.:** Act on colon → ↑ peristalsis. Effects take at least 6 hr. to manifest.**Absorption:** Oral → 15% absorption**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Fluid and electrolyte depletion, abdominal cramping, ashes, osteomalacia. Potential for atonic colon w/prolonged use.**Utility:** Laxative.**Special Features:** Indiv. effective doses vary 4-8x. Acid/base indicator → pink/red urine. Not effective in pts. who lack bile. Contact cathartics are most commonly involved in prolonged cathartic abuse. Should never be used > 1 wk of regular therapy. Not recommended for initial therapy.**Name: Bisacodyl (Dulcolax)****Class:** Laxative (Contact Cathartic) (Diphenylmethane Cathartic)**Mech.:** Act on colon → ↑ peristalsis. Effects take at least 6 hr. to manifest.**Absorption:** Oral → 5% absorption. Enema, suppositories (can be irritating).**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Fluid and electrolyte depletion, abdominal cramping, metabolic acidosis or alkalosis, hypocalcemia, tetany. Potential for atonic colon w/prolonged use.**Utility:** Preparation of colon for surgery or X-ray.**Special Features:** Most useful contact cathartic. Indiv. effective doses vary 4-8x. Acid/base indicator → pink/red urine. Contact cathartics are most commonly involved in prolonged cathartic abuse. Should never be used > 1 wk of regular therapy. Not recommended for initial therapy.**Name: Bisacodyl Tannex (Clysodrast)****Class:** Laxative (Contact Cathartic) (Diphenylmethane Cathartic)**Mech.:** Act on colon → ↑ peristalsis.**Absorption:** Enema.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Fluid and electrolyte depletion, abdominal cramping, metabolic acidosis or alkalosis, hypocalcemia, tetany. Tannic acid in large amounts is hepatotoxic. Use caution w/multiple enemas. Don't use in pts. w/colonic ulcers or in kids < 10 y.o. Don't use > 7.5 g at a time or > 10 g over 72 hr.**Utility:** Preparation of colon for surgery or X-ray.**Special Features:** Indiv. effective doses vary 4-8x. Acid/base indicator → pink/red urine.

Name: Anthraquinones (senna, cascara, danthron, aloe)

Class: Laxative (Contact Cathartic) (Anthraquinone Cathartic)

Mech.: Emodin, an anthraquinone, stimulates peristalsis in the colon. Effects take > 6 hr. to develop.

Absorption:

Dist.: Breast milk.

Metab.:

Excretion, t_{1/2}: Partial kidney excretion (may color urine).

Toxicity/S.E.s: Excessive catharsis. Colored urine. Not to be used by nursing mothers.

Utility: Laxative

Special Features: Activated by intestinal microflora. More complete evacuation than diphenylmethanes. Contact cathartics are most commonly involved in prolonged cathartic abuse. Should never be used > 1 wk of regular therapy. Not recommended for initial therapy.

Name: Castor Oil

Class: Laxative (Contact Cathartic)

Mech.: Broken down in small intest. to ricinoleic acid (anionic surfactant) → gut irritation → ↑ peristalsis. ↓ small intest. absorption of electrolytes and water, ↑ speed of transit through GI tract. Effective in as little as 2 hr.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Must not be used chronically → ↓ nutrient absorption. Violent uterine and abdominal cramping.

Utility: Laxative

Special Features: Contact cathartics are most commonly involved in prolonged cathartic abuse. Should never be used > 1 wk of regular therapy. Not recommended for initial therapy.

Name: Lactulose (Constilac, Cephulac)

Class: Laxative (Osmotic Laxative)

Mech.: Galactose-fructose disaccharide → osmotic effect in small intest. In colon, metab. by bacteria to lactic, formic, and acetic acids → osmotic effect.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Not for use in pts on low galactose diets. Antacids can block fecal acidification (→ ↓ effect on portal-systemic encephalopathy). Neomycin interferes w/lactulose action.

Utility: 1^o use = symptomatic treatment of portal-systemic encephalopathy assoc. w/chronic liver disease. Acidified feces → ↑ NH₄⁺ excretion. Routine purgation.

Special Features: May be preferred for elderly patients for routine purgation, but expensive.

Name: Lubricant Oils (mineral oil, olive oil, etc.)

Class: Laxative

Mech.: Coat stomach contents, change consistency of stool, reduce water absorption.

Absorption: Oral, enema.

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Oil absorption → foreign body rxn. Possible lipid pneumonia. Decreased absorption of fat-soluble nutrients.

Utility: Laxative. Mineral oil enemas relieve fecal impaction.

Special Features: Seldom given orally, as better agents are available.

Name: Docusates (Colace, Doxinate)

Class: Laxative

Mech.: Anionic surfactant. Becomes emulsified w/stool → softer feces, easier passage. Requires 1-3 days for action.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: May increase intestinal absorption of other drugs. Don't use w/lubricant oils. May be mutagenic to cultured liver cells.

Utility: Laxative. Use limited to keeping stool soft.

Special Features: Only a minimal laxative effect at recommended dosage.

Name: Kaolin-Pectin (Kaopectate)

Class: Antidiarrheal Drug (Hydrophilic Agent/Absorbent)

Mech.: Kaolin + pectin. Absorb water, bacteria, virus, toxins, bile acids. Decrease fluidity of formed stool.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: May increase water and electrolyte loss. May absorb nutrients, folate, drugs.

Utility: Treat diarrhea.

Special Features: Not terribly effective.

Objections, digressions, gay mistrust, the delight in mockery are signs of health: everything unconditional belongs in pathology.

—Nietzsche

Name: Diphenoxylate-Atropine (Lomotil)

Class: Opioid (Antidiarrheal)

Mech.: Increased gastric tone → delayed gastric emptying. ↑ tone and ↓ propulsive peristaltic waves in large intest. → ↓ gut motility. Effects due to inhib. of ACh release by neurons in the intest. wall. Naloxone sensitive.

Absorption: Oral

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Recommended dose → dizziness, drowsiness, mild euphoria.

Excessive doses → pronounced euphoria, potentially serious respiratory depression (may not be evident until 12-30 hr later). ↓ peristalsis → ↓ evacuation of bacteria and toxins. Use w/great caution in kids. Potentiates effects of barbiturate, tranquilizers, alcohol, other narcotics. Hypertensive crisis w/MAOI.

Utility: Antidiarrheal.

Special Features: Atropine included primarily to prevent drug abuse. Kids esp. sensitive to atropine toxicity.

Name: Loperamide (Imodium)**Class:** Opioid (Antidiarrheal) (OTC)**Mech.:** Increased gastric tone → delayed gastric emptying. Increase tone and decreased propulsive peristaltic waves in large intest. → decreased gut motility. Effects due to inhib. of ACh release by neurons in the intest. wall. Naloxone sensitive. Anti-secretory effect (non-naloxone sensitive).**Absorption:** Oral**Dist.:** 90% → GI tract and liver. Very little CNS.**Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** ↓ peristalsis → ↓ evacuation of bacteria and toxins.**Utility:** Antidiarrheal. Traveler's Diarrhea.**Special Features:** No abuse liability. Preferred anti-diarrheal of the opioids. Less potential for analgesia, respiratory depression, and addiction than other opioids. Much safer than other opioids. Longer lasting effects than**Name: Paregoric****Class:** Opioid (Antidiarrheal)**Mech.:** Preparation of oral morphine, anise oil, benzoic acid, camphor, diluted alcohol, and glycerin. Increased gastric tone → delayed gastric emptying. ↑ tone and ↓ propulsive peristaltic waves in large intest. → ↓ gut motility. Effects due to inhib. of ACh release by neurons in the intest. wall. Naloxone sensitive.**Absorption:** Oral**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:****Utility:** Antidiarrheal.**Special Features:****Name: DifenoXin-Atropine (Motofen)****Class:** Opioid (Antidiarrheal)**Mech.:** Increased gastric tone → delayed gastric emptying. ↑ tone and ↓ propulsive peristaltic waves in large intest. → ↓ gut motility. Effects due to inhib. of ACh release by neurons in the intest. wall. Naloxone sensitive.**Absorption:** Oral**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Recommended dose → dizziness, drowsiness, mild euphoria.

Excessive doses → pronounced euphoria, potentially serious respiratory depression (may not be evident until 12-30 hr later). ↓ peristalsis → ↓ evacuation of bacteria and toxins. Use w/great caution in kids. Potentiates effects of barbiturate, tranquilizers, alcohol, other narcotics. Hypertensive crisis w/MAOI.

Utility: Antidiarrheal.**Special Features:** DifenoXin has 5x potency of diphenoxylate. Atropine included primarily to prevent drug abuse. Kids esp. sensitive to atropine toxicity.**Name: Norfloxacin (Noroxin)****Class:** Fluorinated quinolone**Mech.:** Inhib bact. DNA gyrase (topoisomerase II). Bactericidal.**Absorption:** Oral admin.**Distrib.:** Good tissue penetration.**Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Usu. not severe. GI, CNS. Not for pregnant or nursing women or prepubertal children.**Utility:** UTIs due to Enterobacteriaceae, Enterococcus, Staph, Pseudomonas. Infectious diarrhea.**Special Features:** Broader spectrum than nonfluorinated quinolones. For diarrhea, treat until symptoms resolve, or no longer than 3 days.

Name: Ciprofloxacin (Cipro)**Class:** Fluorinated quinolone**Mech.:** Inhib bact. DNA gyrase (topoisomerase II). Bactericidal.**Absorption:** Rapid absorption after oral admin.**Distrib.:** Good tissue penetration. Poor CSF.**Metab.:** Partial hepatic metab.**Excretion, t_{1/2}:** Glomerular filtration, secretion. Also feces, bile, sputum. 4 hr.**Toxicity/S.E.s:** Usu. not severe. GI, CNS, arthropathy. Not for pregnant or nursing women or prepubertal children.**Utility:** Upper and lower UTIs, DOC for Pseudomonas UTIs. Active against aerobic gram- bacilli, H. influenzae, Neisseria. Good for several causes of infectious diarrhea, osteomyelitis, and patients w/CF.**Special Features:** Broader spectrum than nonfluorinated quinolones. For diarrhea, treat until symptoms resolve, or no longer than 3 days.**Name: Trimethoprim-Sulfamethoxazole (Bactrim, Septra)****Class:** Antimicrobial**Mech.:** Acts on two sequential steps in synth of folic acid. PABA competitive inhib, dihydrofolate reductase inhib. Bacteriostatic.**Absorption:** Oral, IV**Distrib.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Megaloblastic anemia, leukopenia, granulocytopenia (prevented by admin. of folic acid)**Utility:** Uncomp. UTIs, otitis media, acute exacerbations of chronic bronchitis, various pneumonias. DOC for Travelers' diarrhea (esp. in kids), P. carinii pneumonia, Shigella enteritis, systemic Salmonella infects, prostatitis.**Special Features:** Trimethoprim = highly selective inhib. of bacterial dihydrofolate reductase. For diarrhea, treat until symptoms resolve, or no longer than 3 days.**Name: Ofloxacin (Floxin)****Class:** Fluorinated quinolone**Mech.:** Inhib bact. DNA gyrase (topoisomerase II). Bactericidal.**Absorption:** Oral admin.**Distrib.:** Good tissue penetration.**Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Usu. not severe. GI, CNS. Not for pregnant or nursing women or prepubertal children.**Utility:** UTIs due to Enterobacteriaceae, Enterococcus, Staph, Pseudomonas. Infectious diarrhea.**Special Features:** Broader spectrum than nonfluorinated quinolones. For diarrhea, treat until symptoms resolve, or no longer than 3 days.**Name: Vitamin D****Class:****Mech.:** Facilitates intest. absorption of Ca²⁺ and PO₄³⁻, and mineralization of bone.**Absorption:****Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Megadose—hypercalcemia.**Utility:****Special Features:** Quasi-vitamin—synthesized in humans. RDA inversely proportional to amount of UV light exposure. Deficiency → rickets (kids), osteomalacia (adults).

Name: Vitamin C

Class:

Mech.:

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Diarrhea. Megadose—diarrhea, kidney stones, precipitation of sickle cell crisis, transient infertility, altered renal secretion of weak acids and bases.

Utility: Large doses may reduce rate of buildup of atherosclerotic plaques in coronary arteries and protect against stroke and heart disease.

Special Features: TB pts. probably need 2x normal amount of vitamin C.

Name: Vitamin A

Class:

Mech.: Component of visual pigment. Maintains specialized epithelia and resistance to infxn.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Megadose—teratogenic (face, head, brain, heart), thickening of the leg bones, ↑ intracranial pressure.

Utility:

Special Features: Deficiency → night blindness, xerophthalmia, blindness, squamous metaplasia, infxn vulnerability (esp. measles).
Liver damage → ↑ need.

Name: Vitamin E

Class:

Mech.: Fat soluble antioxidant. Scavenges free radicals. Conc. in adipose tissue.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Diarrhea, headache.

Utility: Large doses may reduce rate of buildup of atherosclerotic plaques in coronary arteries and protect against stroke and heart disease.

Special Features: RDA directly proportional to intake of polyunsaturated fatty acids. Deficiency → spinocerebellar degeneration.

Name: Folic Acid

Class:

Mech.: Essential for transfer and utilization of 1-carbon units in DNA synth.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility: Recommended for all premenopausal women at dose of 2 x RDA → reduced risk of neural tube defects. Lowers homocysteine, reduces risk of heart disease. May lower risk of cervical cancer. Treat folate-responsive schizophrenia (50-150 x RDA → ↓ buildup of urinary homocysteine → ↓ psychiatric symptoms).

Special Features: Deficiency → megaloblastic anemia. Alcoholism → ↓ folate absorption. Pregnancy → ↑ folate demand.

Name: Vitamin K

Class:

Mech.: Cofactor in hepatic carboxylation of procoagulants—factors II, VII, IX, and X.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility: Antagonize coumarin anticoagulation (min. dose = 60-100 x RDA).
Infants given injxn (infant GI tract lacks microbes that produce vitamin K).

Special Features: Deficiency → bleeding diathesis. Some antibiotics kill gut microbes that synthesize vitamin K. Bishydroxycoumarin antagonizes effects of vitamin K. Prolonged use of large dose salicylates block vitamin K actions in prothrombin synth. → hypoprothrombinemia.

Name: Vitamin B₂ (Riboflavin)

Class:

Mech.: Converted to coenzymes FMN and FAD.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Deficiency → ariboflavinosis, cheilosis, stomatitis, glossitis, dermatitis, corneal vascularization.

Name: Vitamin B₁ (Thiamine)

Class:

Mech.: Coenzyme in decarboxylation rxns. Facilitates conduction of impulses in peripheral nerves.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Deficiency → dry & wet beriberi, Wernicke-Korsakoff's synd.
RDA directly proportional to caloric intake. Deficiency common in alcoholics.

Name: Vitamin B₆ (Pyridoxine)

Class:

Mech.: Derivatives serve as coenzymes in many intermed. rxns.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Megadose—severe (often irreversible) sensory neuropathy

Utility:

Special Features: Deficiency → cheilosis, glossitis, dermatitis, peripheral neuropathy. Liver damage → ↑ need. Penicillamine, hydralazine, and isoniazid complex w/B₆ → ↓ B₆. B₆ is a cofactor of tryptophan → nicotinic acid conversion. Results in ↓ B₃. Pregnancy → ↑ B₆ demand.

Name: Vitamin B₃ (Niacin)

Class:

Mech.: Incorporated into NAD and NADP.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Deficiency → pellagra (dementia, dermatitis, diarrhea).
Penicillamine, hydralazine, and isoniazid complex w/B₆ → ↓
B₆. B₆ is a cofactor of tryptophan → nicotinic acid
conversion. Results in ↓ B₃.

Name: Biotin

Class:

Mech.: Incorporated in coenzyme A.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Some antibiotics kill gut microbes that synthesize biotin.

Name: Vitamin B₁₂ (Cyanocobalamin)

Class:

Mech.: Necessary for folate metabolism and DNA synth. Maintains
myelination of spinal cord tracts.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Vegan diet → deficiency → megaloblastic pernicious anemia
and degeneration of posterolateral spinal cord tracts. If
patient is deficient in B₁₂ and folate, replace B₁₂ first to avoid
irreversible neuro damage. Liver damage → ↑ need.

Name: Iodine

Class:

Mech.: 80% contained in thyroglobulin.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Deficiency → endemic goiter. Excess (>20 x RDA) →
blocked organification of iodine → myxedema.

Name: Zinc

Class:

Mech.: Part of many metalloproteins (e.g., “zinc fingers”). Element of carbonic anhydrase.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Kids w/low Zinc often grow poorly and have a poor appetite (prob. 2° to impaired taste).

Name: Copper

Class:

Mech.: Present in muscle, bone, liver, blood. In blood, almost entirely bound to ceruloplasmin. Component of many metalloenzymes such as cytochrome oxidase and tyrosinase.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Excess plasma free copper may be due to Wilson’s Disease (defective ceruloplasmin) or excessive intake.

Name: Selenium

Class:

Mech.: Present in glutathione peroxidase which destroys peroxides derived from unsaturated fatty acids. Probably has a close functional relationship w/vitamin E.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: RDA probably directly proportional to intake of polyunsaturated fatty acids.

Name: Fluoride

Class:

Mech.: Present in bones and teeth. Fluoridation of drinking water at 1 ppm → ↓ dental caries by 30-40%. Hardens outer layers of tooth enamel. Makes enamel more resistant to demineralization.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Excess (> 10 ppm in water) → fluorosis (mottling of teeth) due to excess accumulation in teeth and bones.

Name: Manganese

Class:

Mech.: Present in several enzymes, required for normal bone structure.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: No reported deficiencies. Excess limited to manganese miners.

Name: Cobalt

Class:

Mech.: Present in vitamin B₁₂.

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: No known deficiency states.

Name: Chromium

Class:

Mech.: Part of several metalloenzymes

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s:

Utility:

Special Features: Deficiencies only in severely protein-deprived pts and pts. w/prolonged parenteral feeding.

For one thing is needful: that a human being attain satisfaction with himself - whether it be by this or by that poetry and art; only then is a human being at all tolerable to behold. Whoever is dissatisfied with himself is always ready to revenge himself; and therefore we will be his victims if only by always having to stand his ugly sight. For the sight of the ugly makes men bad and gloomy.

- Nietzsche