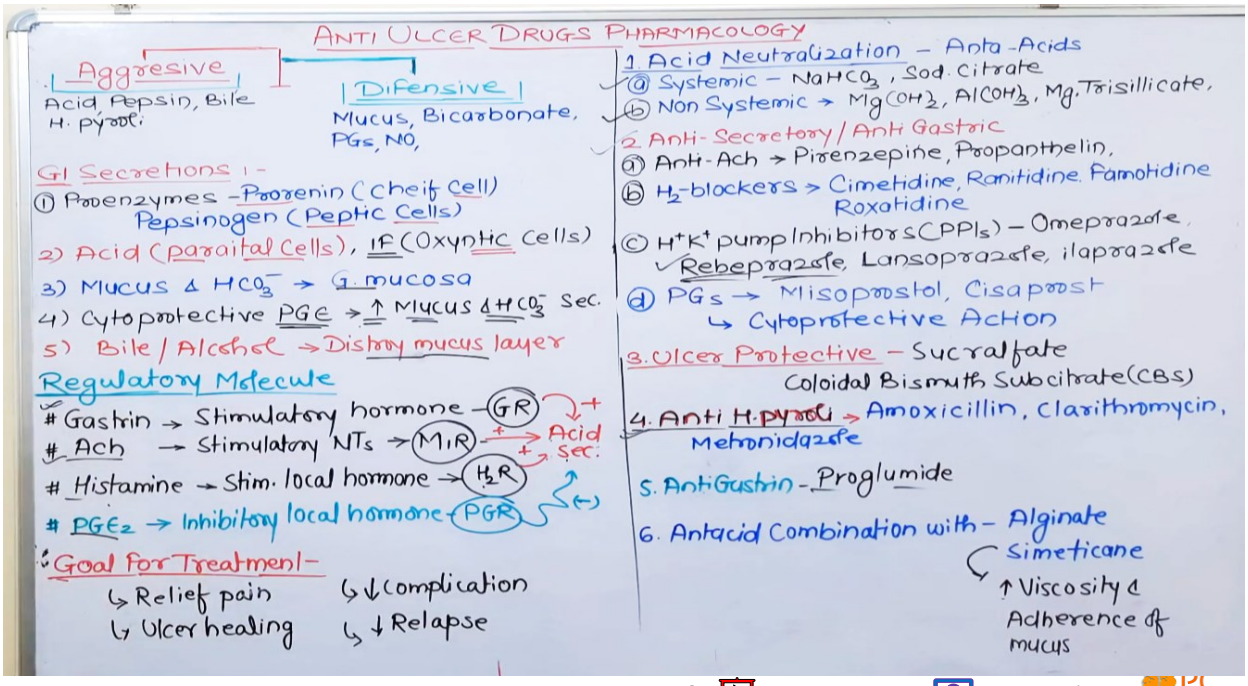
Antiulcer Drugs

Website

Videos

Pharmacology 3 | U 2



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H_2 RECEPTOR BLOCKERS (ANTI ULCER DRUGS)

Cimetidine*, Ranitidine, Roxatidine, Famotidine

MOA = Competitive Antagonise H_2R (GsPCR) → ↓ Response; Partialy non competitive Antagonist

- # Gastric → ↓ Acid Secretion
- # Heart → ↓HR (Bradycardia)*
- # Broncha- Spasm *
- # Uterine - Contraction
- # BP ↑ → At high dose

H_2R (GsPCR), H_1R (GqPCR) → (Contract)

- * H_2 blocker ↓ gastric Secretion induced by histamin & also ↓ by (Ach), Gastrin, Alcohol & Insulin
- * Also reduce gastric volume, pepsin & (IF)
- They do not affect GI Mofility

Use = Antiulcerogenic effect, ↓ Gastric ulcer induced by stress, NSAIDs, Cholinergic & histamin.

ADR* High IV Dose → Can release histamine
↳ Bradycardia, Arrythmia, cardiac arrest
↳ Confusion, hallucination, convulsion
& Always given slowly

- # Headach, diziness, Bowel upsat, dry mouth
- * Only in cimetidine :-
  1. Anti Androgenic effect - Gynaecomastia
  2. ↑ prolactin secretion
  3. ↓ Estradiol degradation in Liver
  4. Marked hep. Metabolic Enz Inhibitor
  5. Cross placenta & poorly in BBB

Drug Interaction

- # ↓ Metabolism of - Theophyllin, phenytoin, Barbiturate, Sulfonylurea, warfarin & Lead to their toxic effect
- # Antacids ↓ Absorptn of H_2 blocker, So need to 2h gap if nacessary

P'kinetic *

"Ranitidine" (Furan ring) - 5 time more potent and lesser side effect

"Famotidine" - "Thiazole ring"

Use → ① Ulcer
② Zollinger-Ellison Syndrome
③ GERD
④ prophylaxis of Aspiration Pneumonia

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PROTON PUMP INHIBITORS PHARMACOLOGY

PPIs - Omeprazole, Esomeprazole, Lansoprazole, Pentoperazole, Rabeprazole, Ilaprazole

MOA = H^+K^+ ATPase pumb Inhibitors (Irreversible)

"Omeprazole" - Benzimidazole, Prototype drug

- # Omp. supress the acid Secretion dose dependently
- # PPIs do not effects (very little) on pepsin, IF, Gastric juice volume unlike H_2 blockers.
- # They donot affect cholinergic and histaminergic transmission
- # They abolish HCl Secretion, both in resting as well as stimulated by foods.
- # Omp. inactive at neutral pH, At < 5 pH

Omeprazole → Sulphenic acid + Sulphenamide → react covalently with -SH group of H^+K^+ ATPase pumb (+ve in apical membrane of parital cell)

PPIs → Inactivate Irriversibly → ↓ H^+ (K^+ in, H^+ out, Cl^- in, Cl^- out)

P'KINETICS - All PPIs administered orally in eteric coated Form.

Omp. → 50% BA at stomach due to acidic pH, if pH rises → Abs/BA - ↑ 3-4 times
= BA ↓ by Food (Thus taken in emply stomach)
= Metabolized by CYP-2C19 & 3A4

- # Inhibits CYP 2C19 & alter the metabolism of others like ↓ Oxdn of Diazepam, Phenytoin, Warfarin

ADR - Long term use can cause →

- # Atrophic Gastritis (Carcinoid tumors)
- # ↓ Testosterone level # Erectile dysfunctn
- # Gynaecomastia
- # ↓ Ca^{2+} Abs - Osteophoresis

Uses - # Peptic Ulcer
Zolinger Ellison Syndrome (First choice)
↳ Tumor → (+) Gastrin → ↑ Acid Secretn
GERD # Aspiration Pneumonia

Esomeprazole → S-enantiomer of Omp., High BA & better control GERD

Lansoprazole - Partially riversible inhibitor, lesser Cyp Inhibitor

Pentoprazole → "Acid Stable" High BA. (S-pentoprazole)

Rabeprazole → Fast acid Supression,
Higher pKa - Fast ionised at site and convert it active form

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