

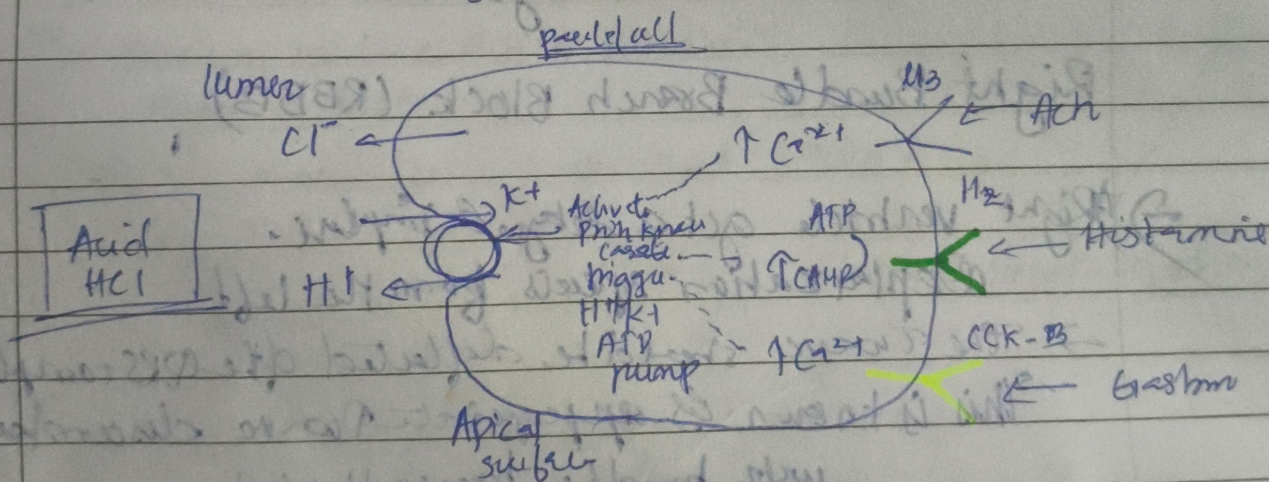
3 cells → parietal cell
 6 cells → G cell
 1 cell → ECL cell

DRUGS FOR PEPTIC DISEASE AND ULCER

Food intake
 (Vagus nerve become excited And Release ACh)

ACh indirectly effect is stomach through M_3 Receptor on parietal cell And enterochromaffin cell to enhance histamine Release of M_3 G cell to Relax gastric

histamine stimulate parietal cell by H_2 Receptor.
 Gastrin Act on ECL cells (Cholecystokinin B Receptor) to enhance histamine Release
 → Indirectly stimulate parietal cell (CCK-B Receptor)



Classification Ref

H₂ Antagonists:

→ 1st drug H₂ blocker
Cimetidine, Ranitidine, Roxatidine,
Famotidine, Pantoprazole.

- They are competitive Antagonists of histamine at the H₂ Receptor.
 - All phases (basal, psychic, neurogenic phase) inhibited
 - effective in suppressing nocturnal Acid secretion
 - They have Antiulcerogenic effect.
 - Ulcer due to NSAIDs is prevented.

PK → orally, well Absorbed, meta-liver, ex-renal.

ADR → headache, dizziness, bowel upset, dry mouth

⇒ only Cimetidine has Antiandrogenic Action, ↑ plasma prolactin
high dose for long → gynecomastia, loss of libido, dyspareunia

Ranitidine: 5 more potent than Cimetidine, no effect on male sexual function, or gynecomastia, No Antiandrogenic

Famotidine: more longer Acting.

Cimetidine

→ H₂ blocker, less potent

Ranitidine (more suitable for hepatic)
→ More potent
→ longer duration
→ no Antiandrogenic effect

Therapeutic Uses:

- 1) Peptic ulcer → H. pylori Associated ulcer.
 - ↳ stress ulcer are commonly seen.
 - ↳ NSAID induced ulcers → healing of NSAID induced ulcers.
- 2) Gastroesophageal Reflux disease
- 3) Zollinger Ellison syndrome - PPIs or H₂ blockers.
- 4) H₂-Blockers are used preoperatively → Risk of Aspiration pneumonia
- 5) Urticaria

Proton Pump Inhibitors (PPIs)

RANKA
Esomeprazole
Lansoprazole, Pantoprazole
Rabeprazole
Ilaprazole
(most potent)

⇒ Proton pump (H^+ , H^+ -ATPase) is a membrane-bound enzyme that plays an important role in final step of gastric Acid secretion.

OMEPRAZOLE: - most powerful Inhibitor of Gastric acid secretion.

Absorbed in ST → blood diffuses into parietal cell → canalliculi of the cell (Acidic pH)

→ converted to sulphenamide (Active) → The Activated form (sulphenamide) binds covalently with SH group of proton pump and irreversibly inactivates it.

→ They Act in the final step of Acid secretion.

→ PK → enteric coated tab, orally, taken in empty stomach 1 hr by

$t_{1/2} = 1-2$ hours. 1 hour meal

on Repeated dose 3-4 days - $t_{1/2}$

ADR → Nausea, loose stools, sleeplessness, leukopenia, hepatic dysfunction, All osteoporosis.

hyperprolactin → long term use of esomeprazole

esomeprazole → GERD (curative)

USES:

peptic ulcer → more effective than H_2 block.

integral component of Ant. H pylori therapy.

Fasten Healing Process.

PPI + NSAID Reduce Recurrence of NSAID ulcer.

Bleeding peptic ulcer → Acid enhance clot - dissolution promoting ulcer bleed.

Suppression of gastric Acid → Reduce Blood loss

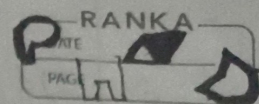
stress ulcer → IV, pantoprazole / Rabeprazole

GERD

Aspiration pneumonia

Zollinger-Ellison Syndrome → A Rare tumor secreting gastrin.

Drug interaction $\rightarrow$ omeprazole x phenytoin, warfarin
 $\downarrow$ BA



ANTICHOLINERGIC AGENTS: Pirenzepine And telenzepine
H₁ Receptor blockers inhibit Acid secretion

PROSTAGLANDIN ANALOGUES: Misoprostol - t/t of NSAID ulcer. of duodenal ulcers
 $\uparrow$ mucus of bicarbonate secretion.

C/In pregnancy:

ULCER PROTECTIVE:

Sucralfate $\rightarrow$ undergo polymerization to form a sticky polymer that Adheres to the ulcer base And protect it.

$\downarrow$

It Also precipitates proteins at the ulcer base form a barrier against Acid-pepsin

$\rightarrow$ It Release of PGs & epidermal growth factor locally produce cytoprotective effect

$\uparrow$ mucus of bicarbonate secretion.

ANTI H. PYLORI REGIMEN:

Gram negative Rod-shaped bacterium. Associated gastritis > duodenal ulcer, gastric ulcer, gastric carcinoma.

$\Rightarrow$ It attach to surface epithelium beneath the mucus. possess high urease Activity - produce Ammonia - which maintains neutral microenvironment around the bacteria, promote back diffusion of H⁺ ions.

$\Rightarrow$ 1st line Regimen 7 day

• PPI, Amoxicillin, clarithromycin.

$\Rightarrow$ 2nd line Regimen 7d

• PPI + Amoxicillin, clarithromycin or Metronidazole

$\Rightarrow$ Alternate 2nd line 7d

PPI + Amoxicillin, Gemfibrozil or Levofloxacin

$\Rightarrow$ parallel therapy 7d

PPI, clarithromycin, Metronidazole

epinephrine.

atant

3rd line drug 4 days 10 days

PPI + Amoxicillin, Bismuth subcitrate, clarithromycin / Rebamipide

ANTACIDS: