

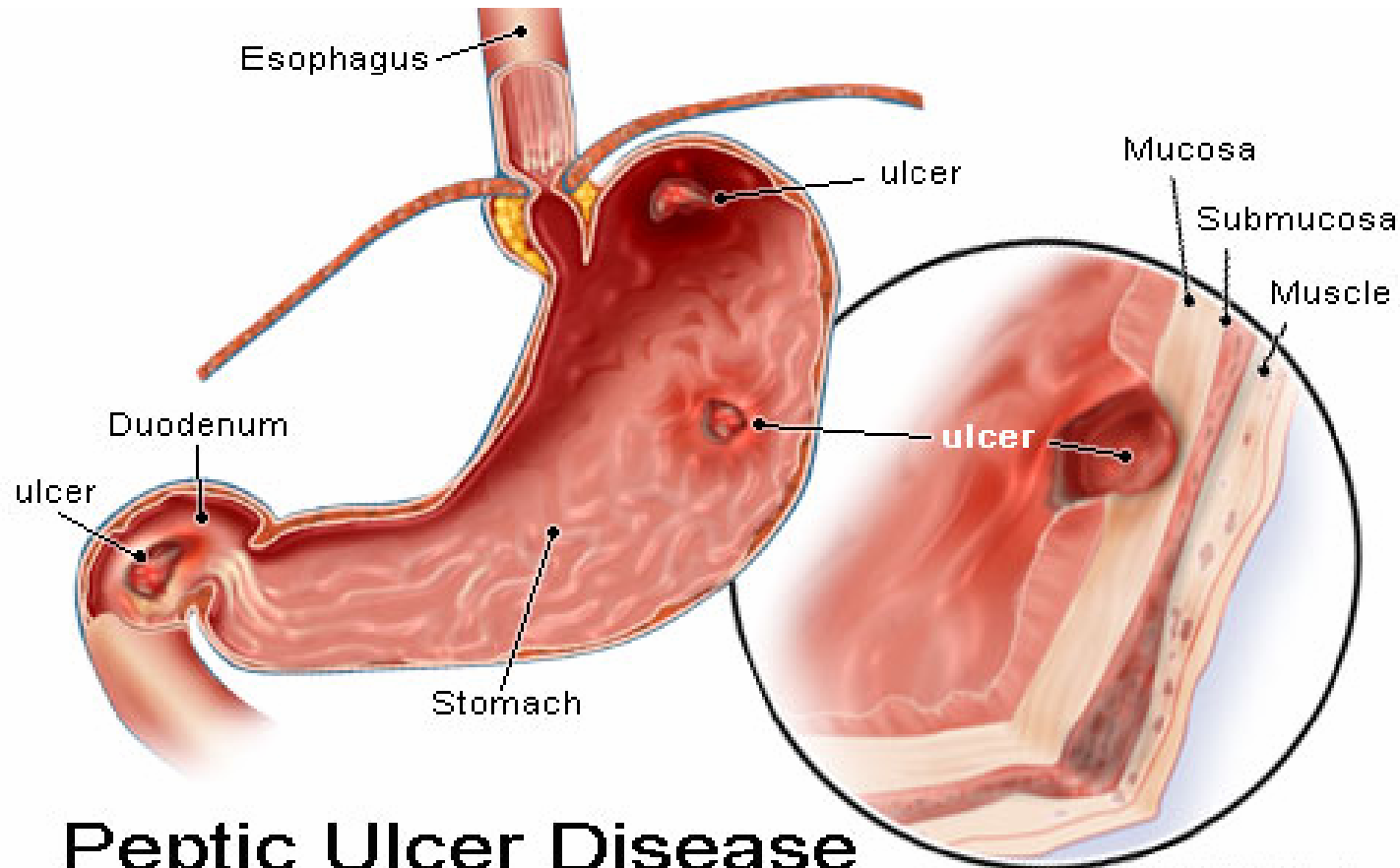
Antiulcer Agents

Tomáš Goněc

28.11.2011

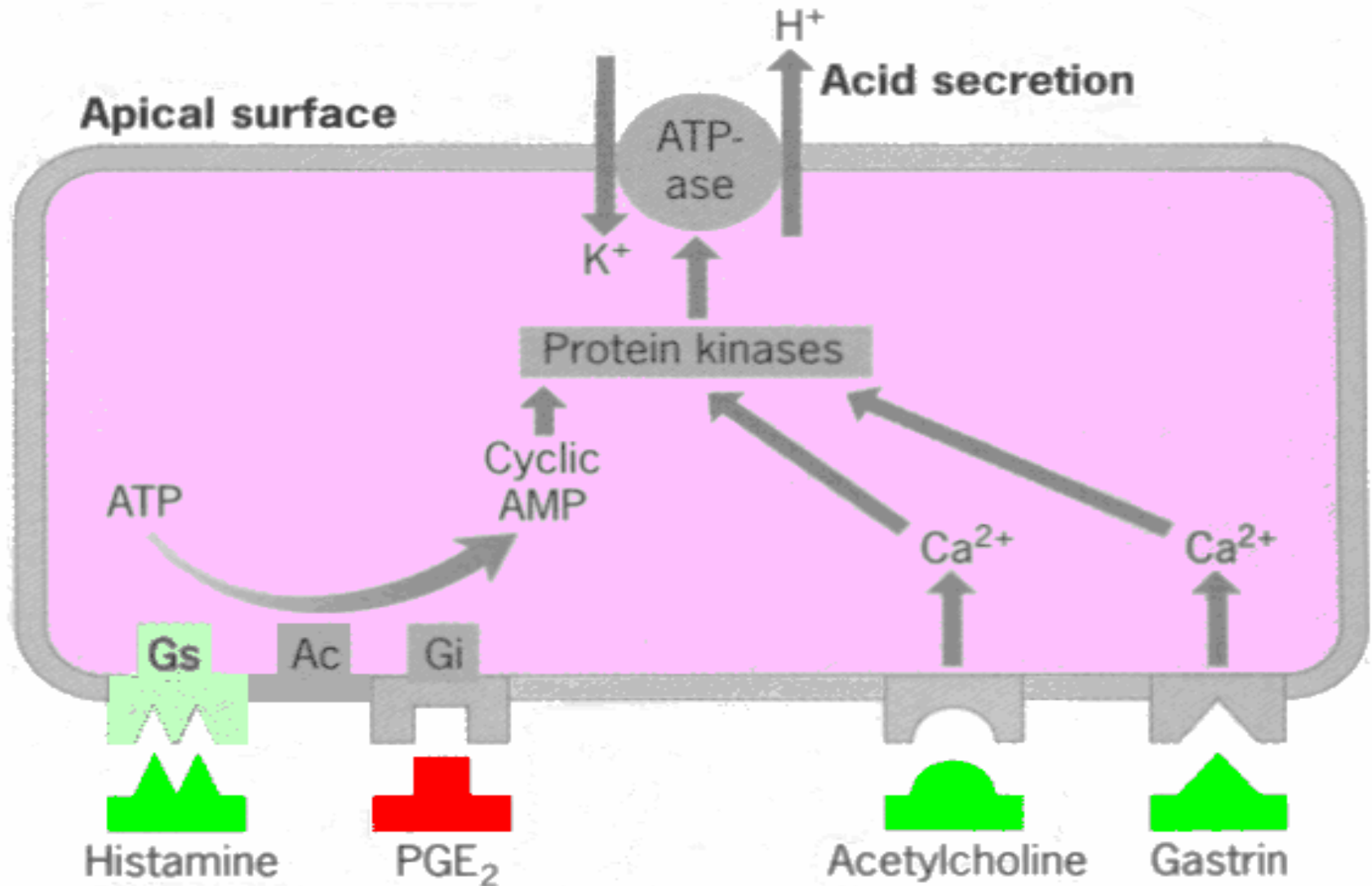
Peptic ulceration

□ Mucose degradation



Peptic Ulcer Disease

Mechanism of acid secretion



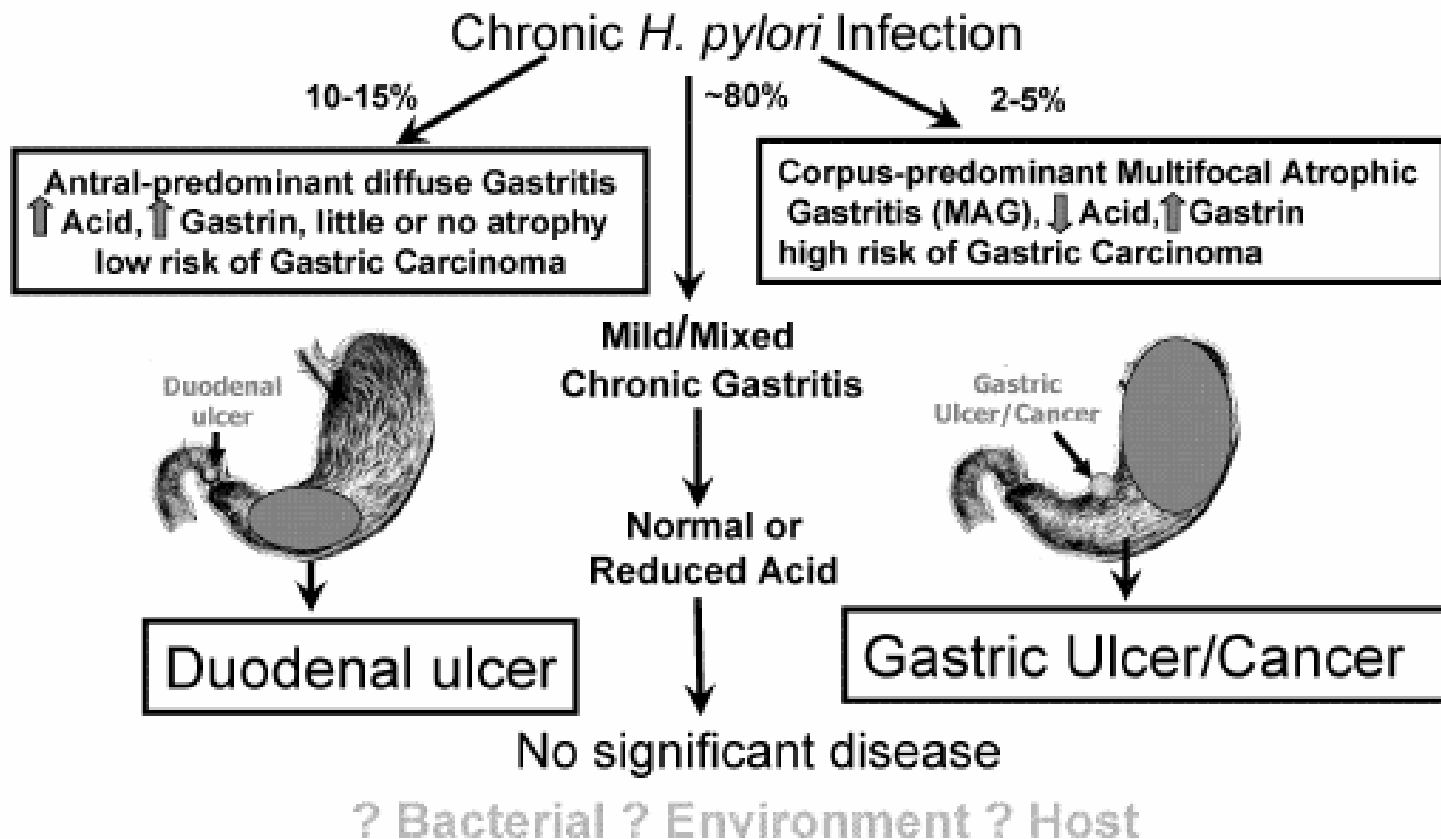
Peptic ulceration

□ multifactorial disease:

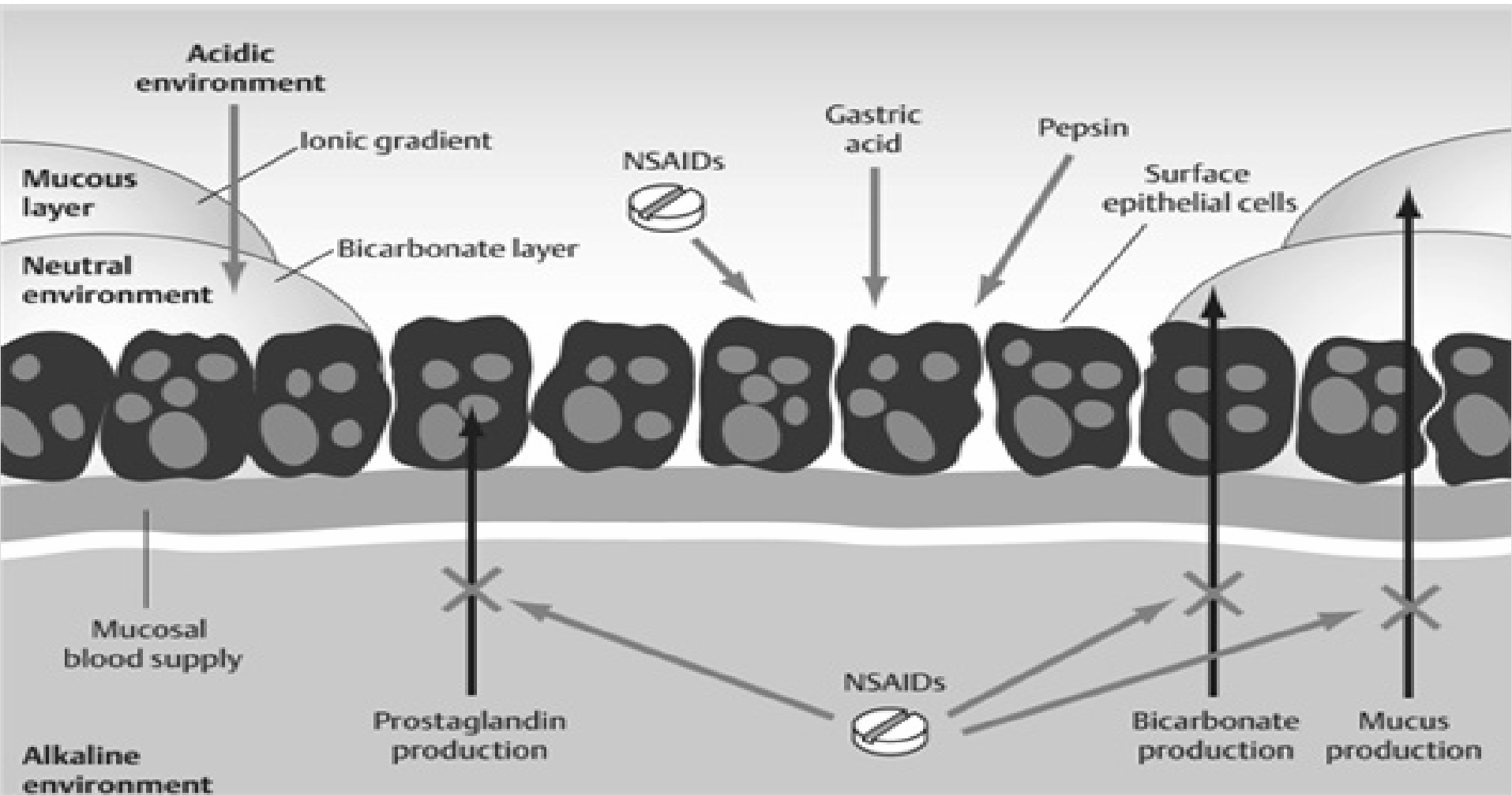
- increased acid production and enzyme activity
 - decreased acid production and enzyme activity
 - *Helicobacter pylori* infection
 - long-term NSAID medication
-
- leads to damage of mucose protective layer and ulcer formation

Helicobacter pylori infection

Divergent mucosal and secretory responses to *H. pylori* infection



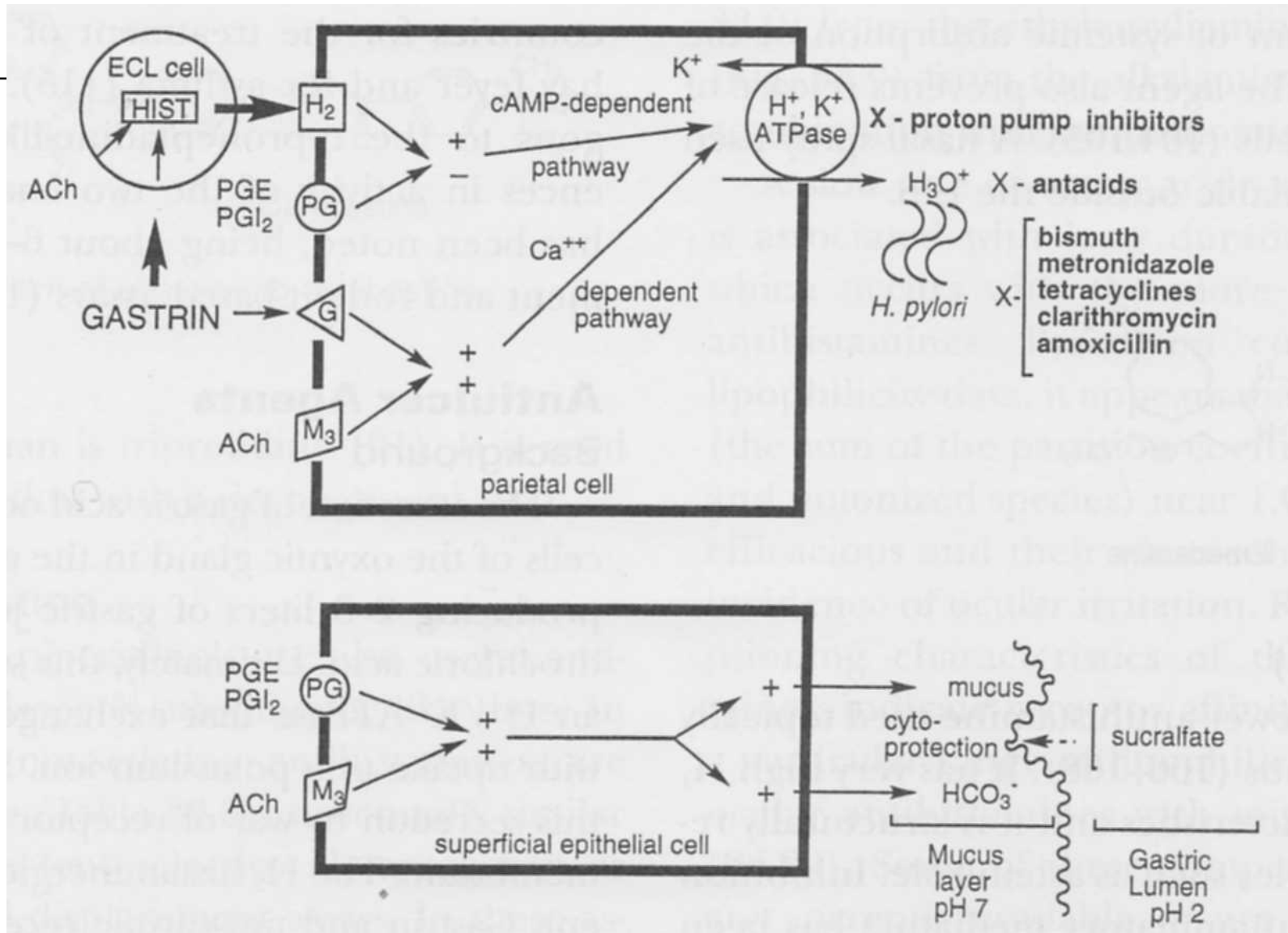
Long-term NSAID administration



Therapy

- acids and digestives
- direct antacids
- indirect antacids
- mucoprotective drugs
- drugs for *Helicobacter pylori* eradication

Mechanism of action



Acids

- achlorhydria – low or no HCl secretion
- increased pH damages mucosa
- citric acid, betaine hydrochloride

Digestives

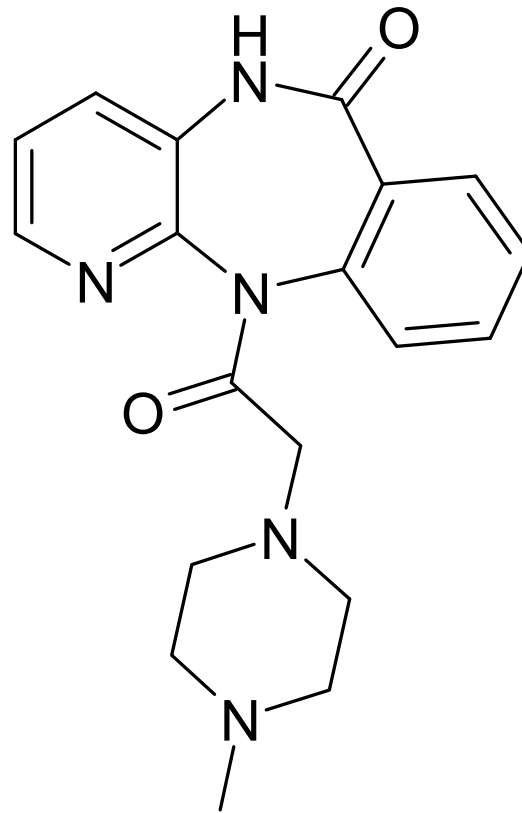
- insufficient digestion – longer pass through of food – gastritis, ulceration risk
- pepsine, pancreatine, trypsine chymotripsine, amylase, lipase

Direct antacids

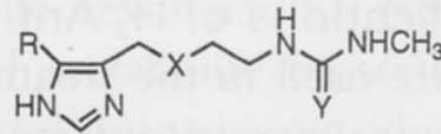
- Carbonates: NaHCO_3 , CaCO_3 , MgCO_3
- Oxides and hydroxides: MgO , MgO_2 ,
 Mg(OH)_2
- aluminium compounds: AlPO_4 ,
 Al(OH)_3 (algedrate),
 $\text{Al}_5\text{Mg}_{10}(\text{OH})_{31}(\text{SO}_4)_2 \cdot \text{H}_2\text{O}$ (magaldrate)

Antimuscarinic agents

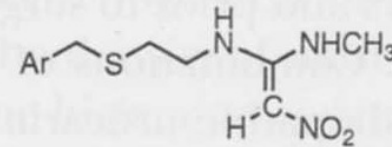
□ Pirenzepine



Selective H₂ histamine receptor antagonists



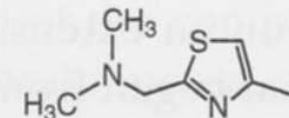
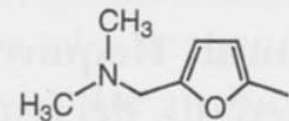
Drug	Trade Name	R	X	Y
Burimamide		H	CH ₂	S
Cimetidine	Tagamet	CH ₃	S	N-C≡N
Metiamide		CH ₃	S	S



Drug	Trade Name	Ar
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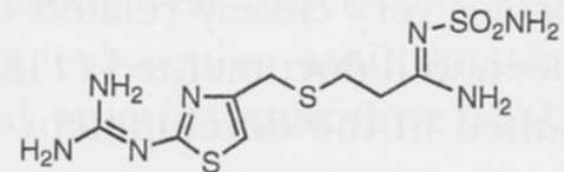
Ranitidine
Nizatidine

Zantac
Axid



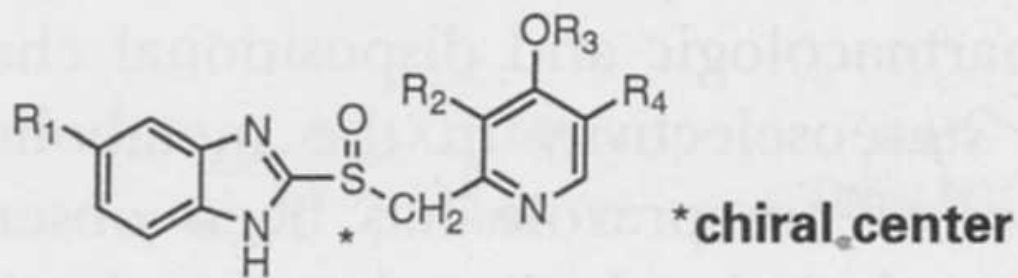
Famotidine

Pepcid



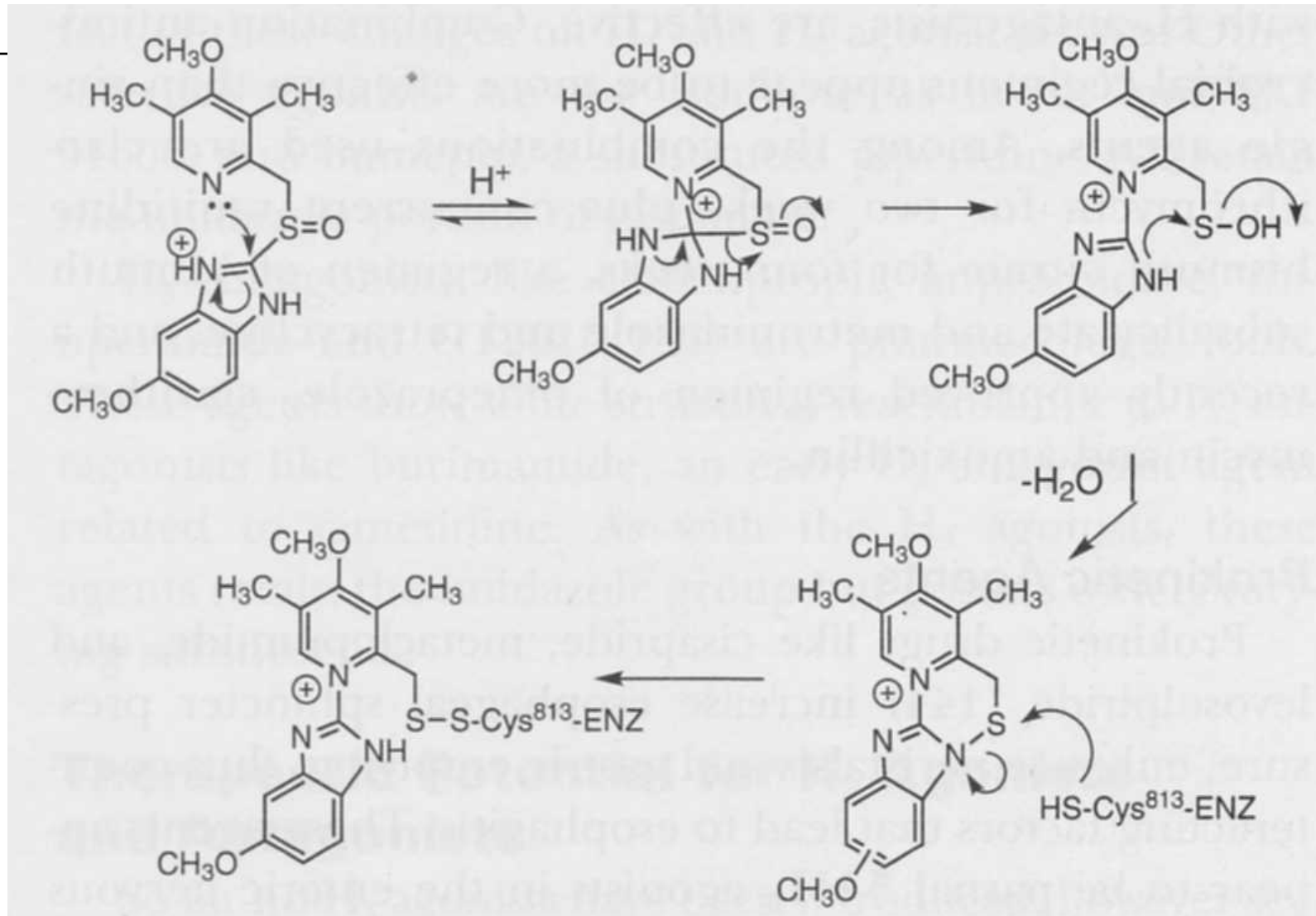
Proton pump inhibitors

Table 33.10. H⁺/K⁺-ATPase Proton Pump Inhibitors



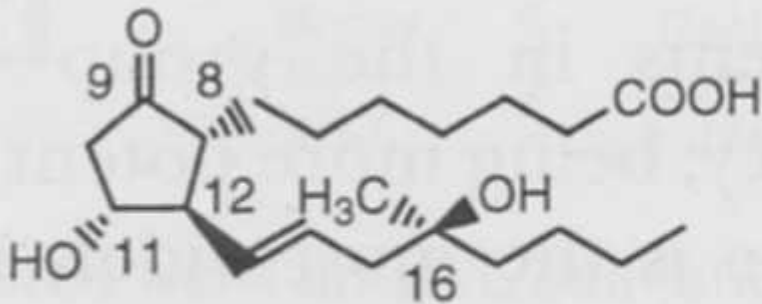
Drugs	Trade Name	R ₁	R ₂	R ₃	R ₄
Omeprazole	Prilosec	OCH ₃	CH ₃	CH ₃	CH ₃
Esomeprazole (S-enantiomer)	Nexium	OCH ₃	CH ₃	CH ₃	CH ₃
Lansoprazole	Prevacid	H	CH ₃	CH ₂ CF ₃	H
Rabeprazole	Aciphex	H	CH ₃	(CH ₂) ₃ OCH ₃	H
Pantoprazole	Protonix	OCHF ₂	OCH ₃	CH ₃	H

Mechanism of action

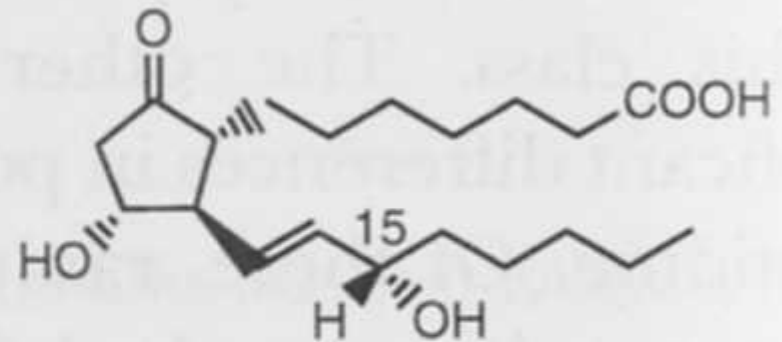


Mucoprotective agents

- misoprostol



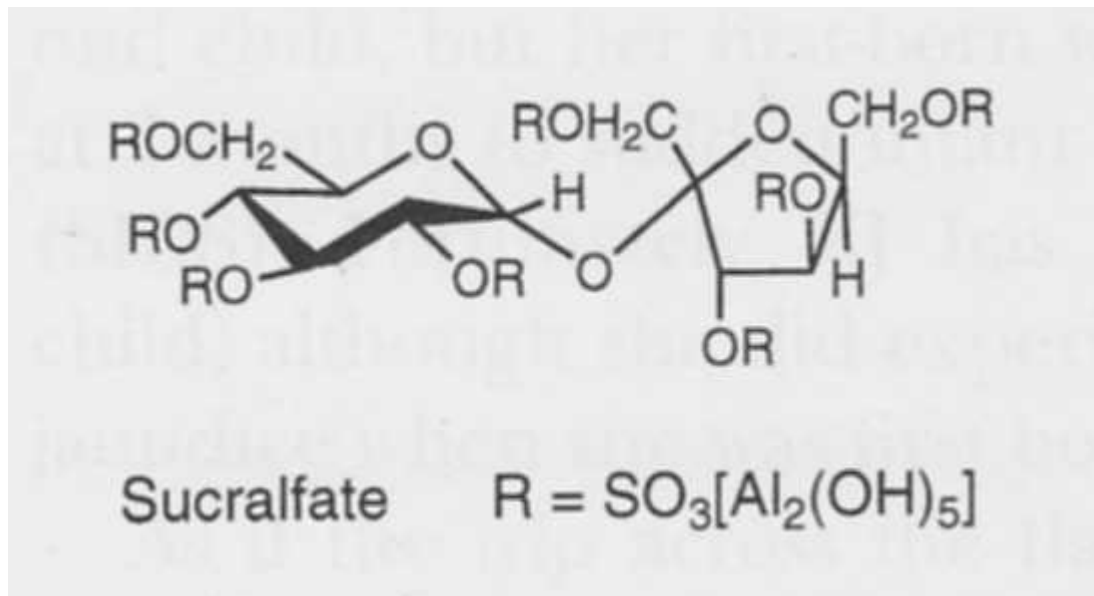
Misoprostol



Prostaglandin E₁

Mucoprotective agents

- alginic acid, pectines (natural drugs)
- sucralfate



Drugs for *Helicobacter pylori* eradication

- metronidazole
- azithromycine, clarithromycine
- amoxiciline
- tetracycline
- bismuth salts: subcitrate, subsalicylate