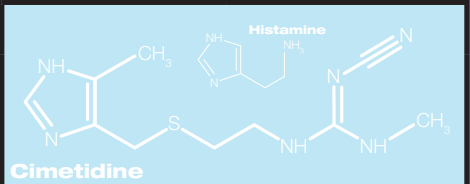
CRIB SHEET

See *Mol. Interv.* **9** *(1), 12-13 (2009).*

Cimetidine

NOTES:



Rx for:

Reflux & ulcer diseases — Acute stress ulcers

Zollinger-Ellison Syndrome

Proton pump inhibitors:

Omeprazole	Rabeprazole
Esomeprazole	Pantoprazole
Lansoprazole	

Prototype of other H_2 antagonists:

ranitidine, famotidine, nizatidine

Side FX:

Gynecomastia	Headache
Hepatic metabolism	

Mechanism: H_2 Receptor Antagonist

↓ cAMP in parietal cells ↓ gastric acid production

↓ K^+/H^+ pump activity

Cimetidine (Tagamet®)

Cimetidine, the prototypical H_2 receptor antagonist, culminated from the groundbreaking efforts of pharmacologist James Black and chemists Robin Ganellin and Graham Durant, working at Smith, Kline & French. One of the first drugs to be developed through rational drug design, it remains a stellar example of the power of pharmacology, not only to provide efficacious drugs, but also to reveal the basic underpinnings of human physiology in health and disease.

Indicated Uses

- acute duodenal ulcer
- maintenance therapy after duodenal ulcer
- acute benign gastric ulcer
- erosive gastroesophageal reflux disease (GERD)
- prevention of upper gastrointestinal bleeding
- pathological hypersecretory conditions (i.e., Zollinger-Ellison Syndrome, systemic mastocytosis, and multiple endocrine adenomas)

Ins and outs

The principal route of excretion is in urine (t ½ ~ 2 h). Following parenteral administration, most of the drug is excreted as the parent compound; metabolic turnover is more extensive following oral administration, the sulfoxide product predominates. After 24 hours, 48 % of an orally given dose is recovered from urine.