## Cimetidine

**NOTES:**

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### Proton pump inhibitors:

<table>
<thead>
<tr>
<th>Medication</th>
<th>Description</th>
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</thead>
<tbody>
<tr>
<td>Omeprazole</td>
<td>Reflux &amp; ulcer diseases</td>
</tr>
<tr>
<td>Rabeprazole</td>
<td>Acute stress ulcers</td>
</tr>
<tr>
<td>Esomeprazole</td>
<td>Zollinger-Ellison Syndrome</td>
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<tr>
<td>Pantoprazole</td>
<td></td>
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<tr>
<td>Lansoprazole</td>
<td></td>
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</tbody>
</table>

### Side FX:

- Gynecomastia
- Headache
- Hepatic metabolism

### Mechanism:

- **Receptor Antagonist**
  - cAMP in parietal cells
  - *↑* gastric acid production
  - *↓* K⁺/H⁺ pump activity

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**Rx for:**

- Reflux & ulcer diseases
- Acute stress ulcers
- Zollinger-Ellison Syndrome

**Prototype of other H₂ antagonists:**

- Ranitidine, famotidine, nizatidine

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Cimetidine (Tagamet®)

Cimetidine, the prototypical H₂ 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 1/2 ~ 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.