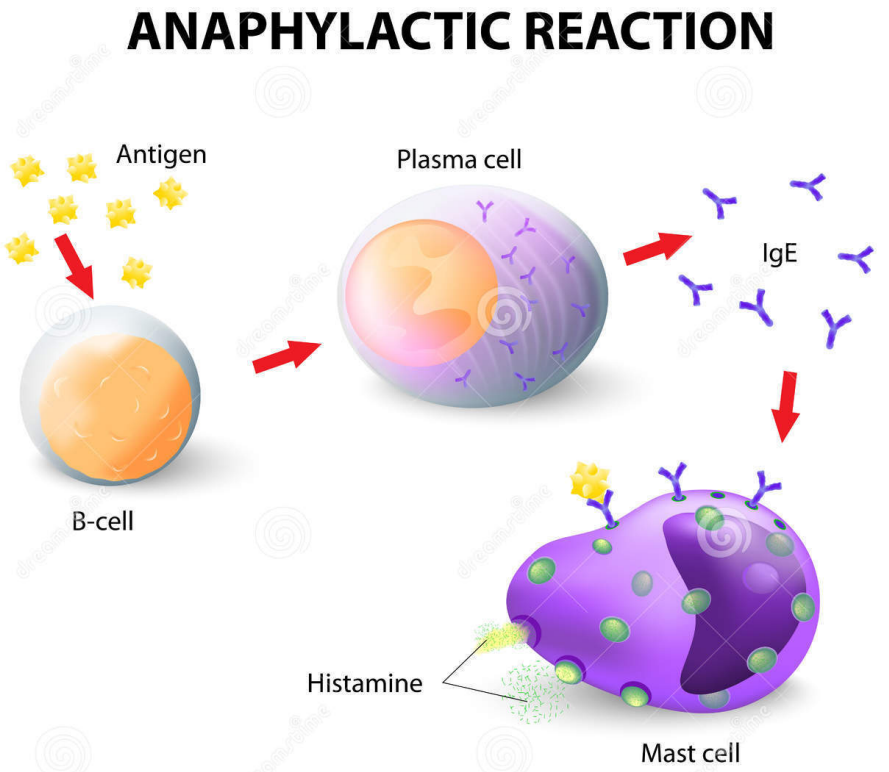
Pharmacology
Pharmacy Department
4th Stage

Antihistamines

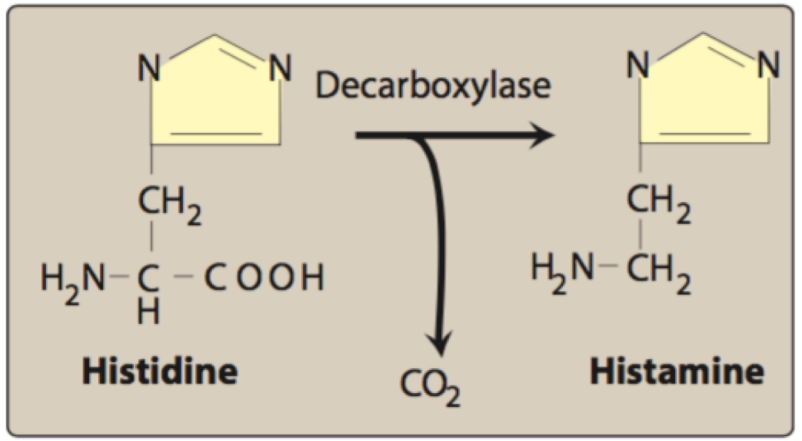


Dr. Ali Al-Athari

Histamine:

- **Histamine is a chemical messenger mostly generated in mast cells.**
- Histamine, via multiple receptor systems, mediates a wide range of cellular responses, **including allergic and inflammatory reactions, gastric acid secretion, and neurotransmission in parts of the brain**.
- Histamine has no clinical applications, but agents that inhibit the action of histamine **(antihistamines or histamine receptor blockers)** have important therapeutic applications.

- **A. Location, synthesis, and release of histamine:**
- **1. Location:** Histamine is present in practically all tissues, with significant amounts in the **lungs, skin, blood vessels, and GI tract**. It is found at high concentration in **mast cells and basophils**. **Histamine functions as a neurotransmitter in the brain**. It also occurs as a component of venoms and in secretions from insect stings.
- **2. Synthesis: Histamine is an amine formed by the decarboxylation of the amino acid histidine by the enzyme histidine decarboxylase**, which is expressed in cells throughout the body, including **neurons, gastric parietal cells, mast cells, and basophils .**
- **In mast cells, histamine is stored in granules. If histamine is not stored, it is rapidly inactivated by the enzyme amine oxidase.**



- **HISTAMINE H_2-RECEPTOR BLOCKERS:**
- **Histamine H_2-receptor blockers have little, if any, affinity for H1 receptors.**
- The histamine H2 receptor (H2 antagonists or H2-receptor blockers) block the actions of histamine at all H2 receptors.
- **Their chief clinical use is as inhibitors of gastric acid secretion in the treatment of ulcers and heartburn.**
- The four H2-receptor blockers are ***cimetidine, ranitidine, famotidine, and nizatidine***


Thank
you!