

Autacoids and related drugs

Introduction to Autacoids

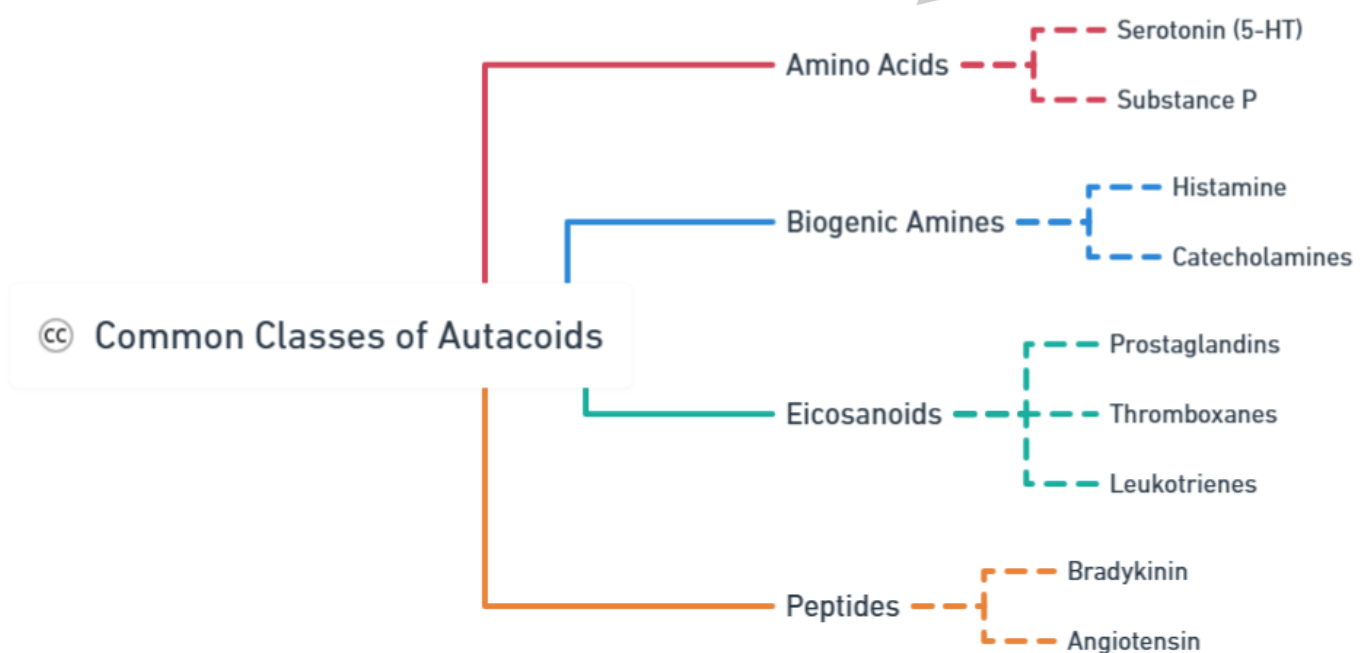
What Are Autacoids?

- Autacoids are endogenous (naturally occurring in the body) chemical mediators that are synthesized locally and act near their site of formation.
- **They are also called "local hormones" because:**
 - They are produced in tissues
 - Act locally (paracrine or autocrine action)
 - Have short duration of action
- **Unlike endocrine hormones:**
 - They are not transported through blood in large amounts
 - They act rapidly and are quickly metabolized

Characteristics of Autacoids:

- **Local Action:** They act in the vicinity of their site of production.
- **Short-lived Effects:** Rapid synthesis and degradation ensure transient effects.
- **Receptor Mediated:** Their actions are mediated through specific receptors on target cells.

Common Classes of Autacoids:



1. Biogenic Amines

- Histamine
- Serotonin (5-HT)

2. Lipid-Derived Autacoids (Eicosanoids)

- Derived from arachidonic acid:
 - Prostaglandins
 - Thromboxanes
 - Leukotrienes

3. Peptide Autacoids

- Bradykinin
- Angiotensin
- Substance P

4. Others

- Nitric oxide (NO)
- Platelet activating factor

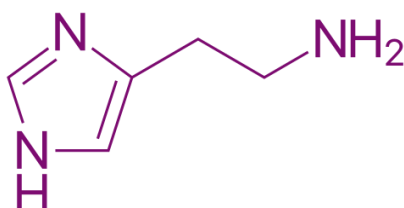
Functions of Autacoids

- Regulation of inflammation
- Regulation of vascular tone
- Gastric acid secretion
- Smooth muscle contraction
- Platelet aggregation
- Pain and fever mediation

Histamine

Introduction

- Histamine is a **biogenic amine** formed by decarboxylation of histidine (enzyme: *histidine decarboxylase*).
- **Roles:**
 - Immune responses
 - Gastric acid secretion
 - Neurotransmission



Synthesis:

- From the amino acid histidine by the enzyme histidine decarboxylase.

Storage:

- Primarily stored in mast cells (in tissues) and basophils (in blood). Also found in the brain as a neurotransmitter.

Release Triggers:

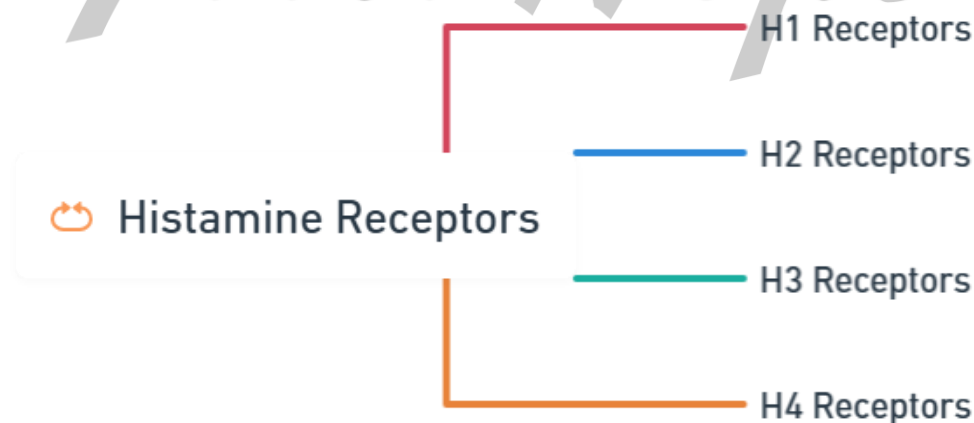
- Allergic reactions (type I hypersensitivity reactions).
- Tissue injury or mechanical trauma.
- Certain drugs and chemicals.

Functions of Histamine:

- Immune Response:** Mediates inflammation and allergic reactions by increasing vascular permeability and attracting immune cells.
- Gastric Secretion:** Stimulates parietal cells in the stomach to produce hydrochloric acid.
- Neurotransmission:** Involved in wakefulness and cognitive functions.

Histamine Receptors:

- Histamine exerts its effects through four types of receptors, designated H1 to H4, each with distinct locations and functions.



1. H1 Receptors:

- **Location:** Smooth muscle, endothelium, brain
- **Pathway:** Gq → ↑ IP3, DAG
- **Actions:** Vasodilation, ↑ permeability, bronchoconstriction, itching
- **Example:** Diphenhydramine, Cetirizine

2. H2 Receptors:

- **Location:** Parietal cells, heart, brain
- **Pathway:** Gs → ↑ cAMP

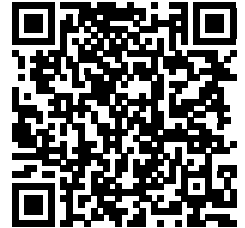


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