

Autocoid Pharmacology

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- Autocoids are **locally acting biological substances** that are produced in the body and act near their site of synthesis.
- They are not stored in large amounts; instead, they are synthesized when needed and act quickly.

Basic Characteristics of Autocoids

- Act locally (paracrine or autocrine action)
- Have short duration of action
- Rapidly metabolized or inactivated
- Play roles in both normal physiology and disease (pathology)

Major Types of Autocoids

1. Histamine
2. Serotonin (5-HT)
3. Kinins (e.g., Bradykinin)
4. Prostaglandins and related compounds
5. Opioid peptides

General Functions

- **Autocoids regulate many body processes:**
 - Inflammation
 - Allergic reactions
 - Pain perception
 - Gastric secretion
 - Blood Vessel Tone
 - Platelet function

Mechanism of Action

- **Autocoids act by binding to specific receptors on target cells:**
 - G-protein coupled receptors (most common)
 - Lead to second messenger activation (cAMP, IP3, DAG, Ca²⁺)

Clinical Importance

- **Involved in diseases like:**

- Allergy
- Asthma
- Migraine
- Gastric ulcers
- **Many drugs act by:**
 - Blocking their receptors
 - Inhibiting their synthesis
 - Enhancing or mimicking their action

Histamine (Autocoid Pharmacology)

- Histamine is an endogenous (naturally produced) chemical mediator stored mainly in mast cells and basophils.
- It plays a major role in allergic reactions, gastric acid secretion, neurotransmission, and inflammation.

Synthesis and Storage

- Formed from the amino acid histidine by the enzyme histidine decarboxylase
- **Stored in granules of:**
 - Mast cells (in tissues)
 - Basophils (in blood)
- **Released during:**
 - Allergic reactions (IgE-mediated)
 - Tissue injury
 - Inflammation

Histamine Receptors and Their Actions

Histamine Receptors



1. H1 Receptors

- Located in smooth muscle, endothelium, CNS

Effects

- Vasodilation → redness
- Increased vascular permeability → edema
- Bronchoconstriction
- Itching and pain (sensory nerve stimulation)

2. H2 Receptors

- Located in gastric parietal cells, heart

Effects

- Increased gastric acid secretion
- Mild vasodilation
- Increased heart rate

3. H3 Receptors

- Located in CNS (presynaptic neurons)

Effects

- Decrease release of neurotransmitters

4. H4 Receptors

- Found in immune cells

Effects

- Role in inflammation and chemotaxis

Physiological Roles

- Regulation of gastric secretion
- Neurotransmission in brain
- Local immune response

Pathological Roles

1. Allergy and Hypersensitivity

- Histamine is the main mediator of allergic reactions
- **Causes:**
 - Redness
 - Swelling
 - Itching
 - Bronchospasm

2. Anaphylaxis

- Severe systemic allergic reaction
- **Leads to:**
 - Hypotension
 - Airway obstruction

3. Gastric Disorders

- Excess histamine → increased acid → ulcers

Termination of Action

- **Metabolized by:**
 - Histamine-N-methyltransferase
 - Diamine oxidase

Serotonin (5-HT): Physiological and Pathological Role

- Serotonin (**5-hydroxytryptamine, 5-HT**) is a neurotransmitter and autocrine involved in mood, gastrointestinal function, vascular tone, and platelet aggregation.

Synthesis and Location

- Synthesized from tryptophan
- **Found in:**
 - CNS (brain)
 - Enterochromaffin cells (GIT)
 - Platelets

Serotonin Receptors (Important Types)

1. 5-HT₁

- Located in brain and blood vessels

Effects

- Vasoconstriction
- Inhibit neurotransmitter release

2. 5-HT₂

- Found in smooth muscle and platelets

Effects

- Vasoconstriction
- Platelet aggregation

- Smooth muscle contraction

3. 5-HT3

- Found in GIT and CNS

Effects

- Vomiting reflex
- Pain transmission

4. 5-HT4

- Located in GIT

Effects

- Increase gut motility

Physiological Roles

1. CNS Functions

- Mood regulation
- Sleep
- Appetite
- Behavior

2. Gastrointestinal System

- Increases intestinal motility
- Promotes secretion

3. Cardiovascular System

- Causes vasoconstriction or vasodilation depending on site
- Involved in platelet aggregation

Pathological Roles

1. Migraine

- **Fluctuation in serotonin levels causes:**
 - Vasodilation of cranial vessels
 - Headache

2. Depression

- Low serotonin levels associated with mood disorders

3. Carcinoid Syndrome



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