

# HISTAMINE AND ANTIHISTAMINES:

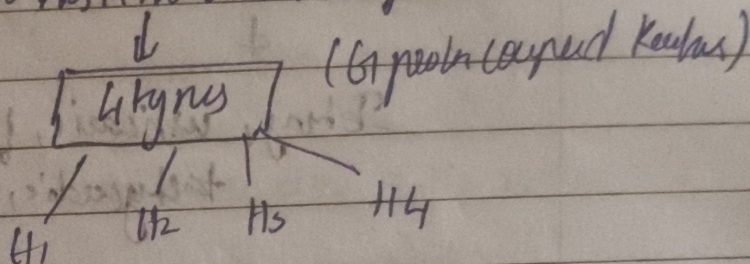
Histamine: (Imidazole ring) (amine)

syn by decarboxylation of the Amino Acid, histidine.

mainly present in storage granules of mast cell in tissues like skin, lungs, liver, gastric mucosa & placenta.

## MECHANISM OF ACTION AND EFFECTS OF HISTAMINE:

exerts effect by binding to histamine (H) Receptors.



H1:

• Vasodilation  
• ↑ V.P. & edema  
• Smooth Muscle contraction.  
• ↑  $Ca^{2+}$

H2:

• ↑ Gastric Acid secretion  
• SM Relaxation  
• Cardiac stimulation.  
• ↑ cAMP

H3:

• Neuronal histamine  
• Release of noradrenaline  
• Wakefulness, cognition  
• ↓ cAMP

H4:

• Immune cell chemotaxis  
• Lymphocyte activation  
• Bone marrow progenitor  
• ↓ cAMP

## Pharmacological Action:

→ Blood: ↑ V.P. → dilation ↑ SV ↓ BP.

↓  
• pulsating Headache.  
• edema  
• smooth muscle contraction H1 mediated.

→ Lungs: bronchoconstriction: contraindicated in Asthma  
SM contraction is H1 Response

→ Glands: ↑ Gastric secretion → primarily Acid  
↓  
direct Action of H2 Receptor  
↑ cAMP formation

→ Sensory Nerve endings → Itching occurs when it is irritated

→ CNS → does not penetrate blood brain barrier - no central effects

### Beta Histamine

Histamine Analogue that is used orally to t/t vertigo in Meniere's disease  
→ Act by improving blood flow in inner ear.

— Histamine Receptor causes

↓

Itching, urticaria, flushing, hypotension  
tachycardia, bronchospasm, Angioedema

### ANTI HISTAMINES: (H<sub>1</sub> Blockers)

#### 1st gen Agents

##### Highly sedative

- Meclozine
- Cinnarizine

##### Mild sedative

- Triprolidine
- Clemastine

#### 2nd gen agents

- Cetirizine
- Loratadine
- Acelasthine
- Mizolasthine
- Rupatadine

#### 3rd gen

- Cevimeline
- Axofenadine
- (Allegra)

L9C9 L9D9  
Medic - neuro  
Asthma

H<sub>1</sub> Blockers

## PHARMACOLOGICAL ACTION:

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- They effectively block histamine induced  $H_1$ .

• broncho. c.

• con. of s.m.

• triple Response.

↓ B.P

• Vasodilation.

- Antiallergic Actions: hyperactivity  $H_1$  are suppressed.

- Urticaria, itching Angioedema are well prevented.

- CNS → May produce CNS depression Acc to the dose of the medicine.  
efficiency.

Some individual have to Restlessness & insomnia.

- They are effective in motion sickness.

(Promethazine control vomiting of pregnancy).

- In Parkinsonism → To Reduce lower Rigidity & by promethazine.

→ Sedative & hypnotic → Promethazine used to induce sleep in children during minor surgery.

H<sub>2</sub> Blockers

- No. Anticholinergic effect

- Lack Antiemetic effect

- Does not cross blood brain barrier.

- Impair psychomotor performance

→ Relatively expensive

→ Incidence of cardiac Arrhythmias is Rare with this drug.

## Cetirizine:

- It penetrates brain poorly, mild sedation.
- Inhibit the Release of histamine & cytotoxic mediators from platelets as well as eosinophil chemotaxis during the secondary phase of the Allergic Response.

It Attain high & long lasting concentration in skin, which may be Responsible for superior efficacy in urticaria & Atopic dermatitis.

It doesnot cause Anhydrosis.

### Uses → common things

- commonly used to treat Runny nose, sneezing, itchy eyes, itching or swelling caused by hives.