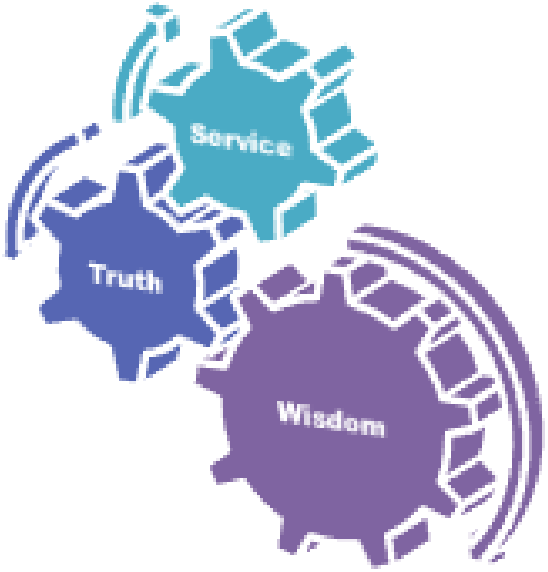

بسم الله الرحمن الرحيم
وَأَمَّا مَا يَنفَعُ ٱلنَّاسَ فَيَمْكُثُ فِى ٱلْأَرْضِ
but as for that which benefits the people, it remains on the earth.
Quran 13:17 (Surah ar-Ra'd)

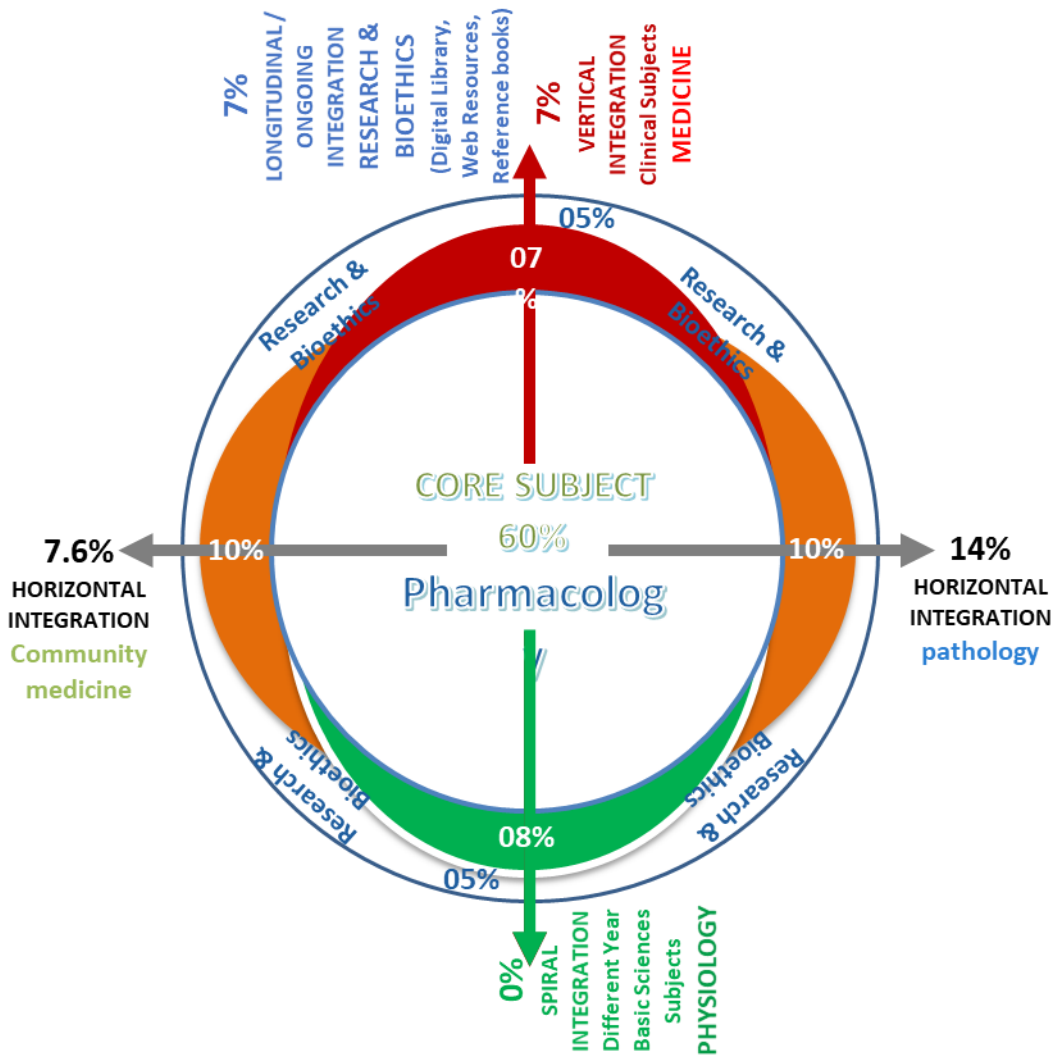
MOTTO AND VISION



To impart evidence based research oriented medical education

To provide best possible patient care

To inculcate the values of mutual respect and ethical practice of medicine



4TH Year Pharmacology LGIS(21 slides)	
Core Subject – 12 slides (57%)	
Vertical integration (Clinical Subjects)	• 3 slides (14%)
Spiral Integration (basic sciences subjects)	• 1 slide (5%)
Spiral integration	• 5 slides (24%)

HISTAMINE & ANTIHISTAMINES

OTORHINOLARYNGOLOGY MODULE

4th Year MBBS

SOURCES:
BERTRAM G. KATZUNG BASIC & CLINICAL PHARMACOLOGY 15TH INTERNATIONAL EDITION CHAPTER 16 PAGE NO.414

LEARNING OBJECTIVES

At the end of the session, the students should be able to

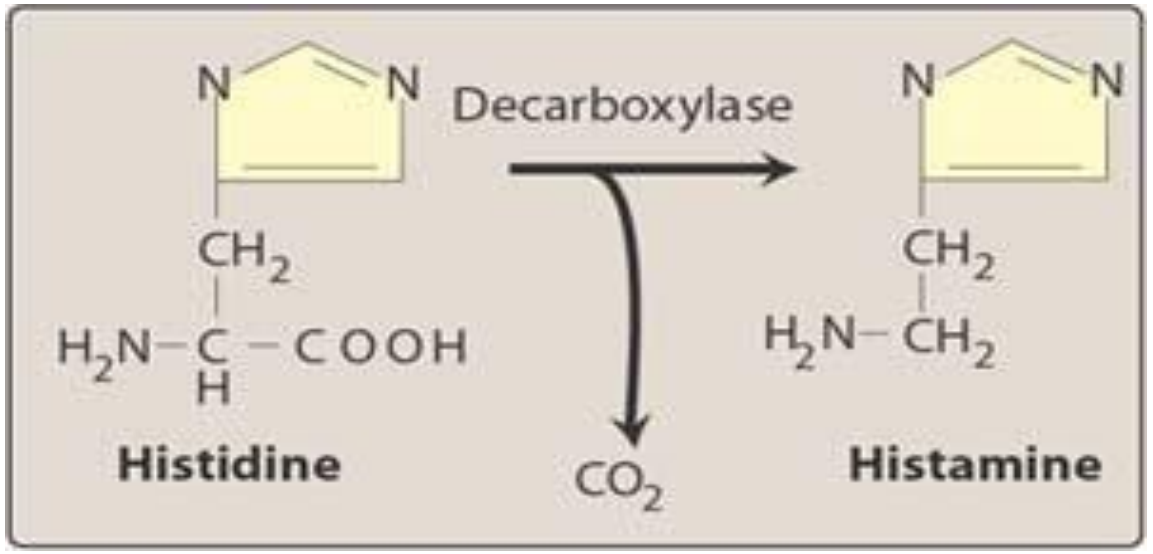
1. Classify antihistamines
2. Differentiate between 1st and 2nd generation antihistamines
3. Discuss Clinical uses and side effects of antihistamines

HISTAMINE

Biogenic amine, major mediator of inflammation, allergic reaction, gastric acid secretion

LOCATION

HISTAMINE SYNTHESIS



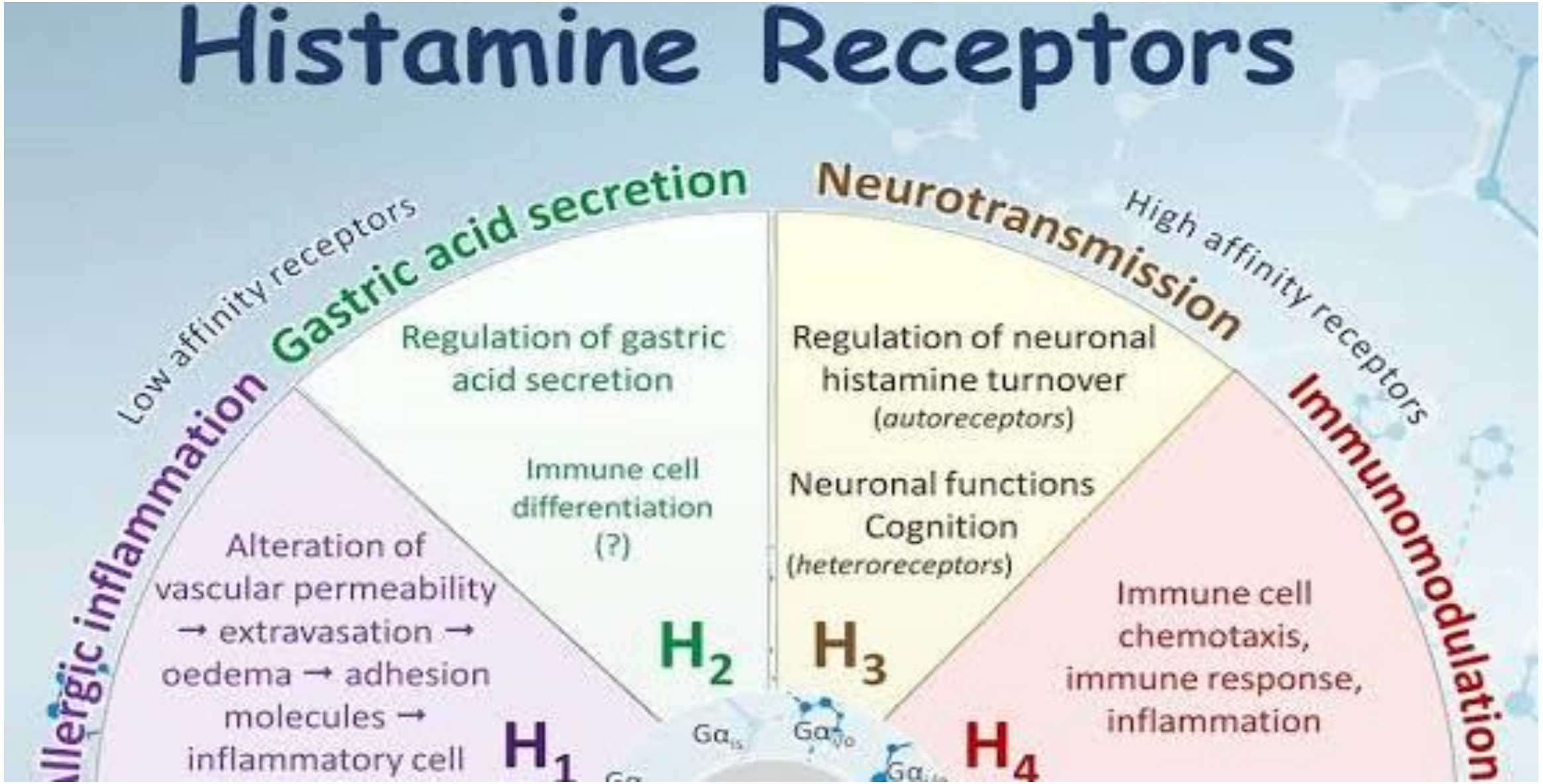
SPIRAL INTEGRATION

HISTAMINE
MECHANISM OF ACTION

Exerts its effects by binding to histamine receptors (H_1, H_2, H_3, & H_4)

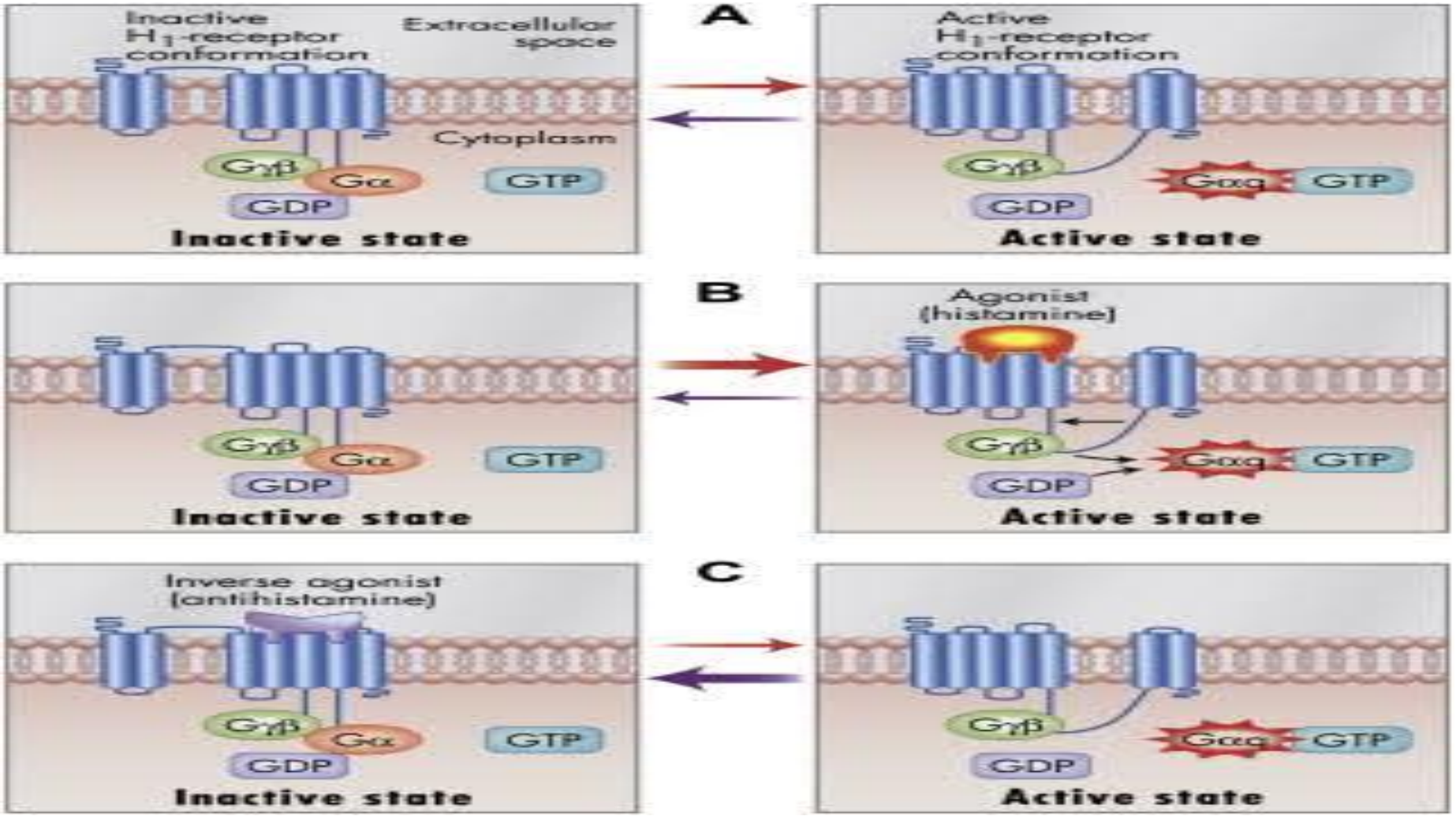
H_1 and H_2 receptors are widely expressed

	LOCATION	TYPE OF RECEPTOR
H_1	Smooth muscles, endothelial cells, CNS	G_q ↑ IP3, DAG
H_2	Gastric parietal cells, cardiac muscle, mast cells, CNS	G_s ↑ cAMP
H_3	CNS: Presynaptic autoreceptors	G_i ↓ cAMP
H_4	Cells of hematopoietic origin such as Eosinophils, neutrophils	G_i ↓ cAMP



Core subject

H_1-RECEPTOR ANTAGONISTS



- Are inverse agonists that reduce constitutive activity of receptor & compete with histamine
- Divided into first-generation & second-generation

Core subject

CLASSIFICATION
1st Generation (Classical) Anti-histamines

Ethanolamines
- Diphenhydramine(benadryl)
- Dimenhydrinate
- Carbinoxamine

Alkylamines
- Brompheniramine
- Chlorpheniramine

Piperazines
- Cyclizine, Hydroxyzine
- Meclizine

Phenothiazines
- Promethazine (Phenegran)

Miscellaneous
- Cyproheptadine

Core subject

CLASSIFICATION
2nd Generation Anti-histamines

Terfenadine

Fexofenadine

Loratadine

Desloratadine

Cetirizine (zyrtec)

Astemizole

Core subject

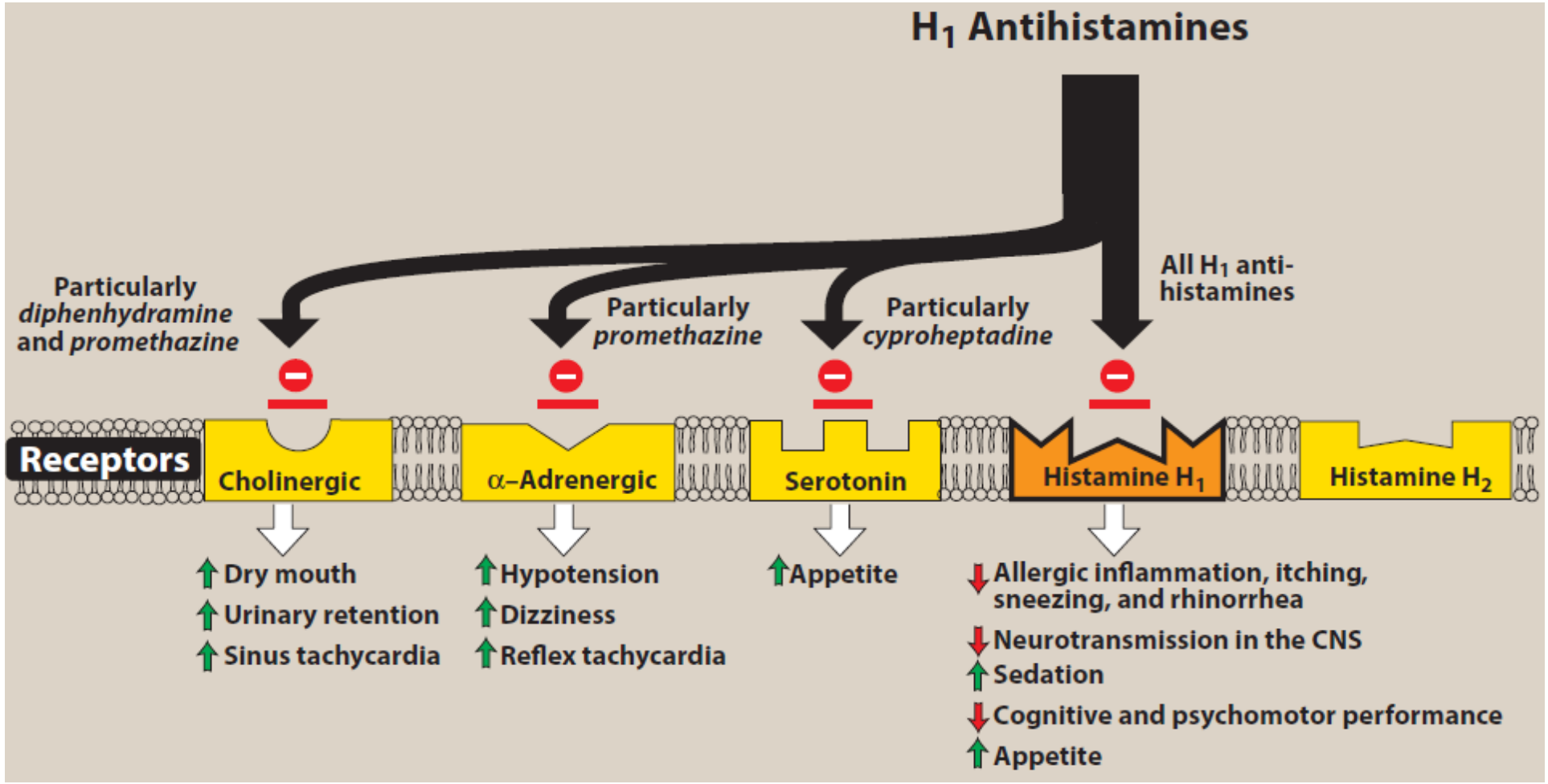
Pharmacokinetics

- Absorption
- Plasma peak level 1–2 Hours
- Duration of Action

H_1-RECEPTOR ANTAGONISTS
Effects on Physiological Systems

- Smooth Muscles
- Capillary Permeability
- Flare & Itch
- Exocrine Glands
- Anti-allergic Action
- CNS

H1-BLOCKERS



Core subject

H_1-RECEPTOR ANTAGONISTS
Effects on Physiological Systems

- Anticholinergic Effects
- Alpha-receptor-blocking Action
- Antiparkinsonism Effects
- Serotonin-blocking Actions
- Local Anesthesia
- Antinausea & Antiemetic Action

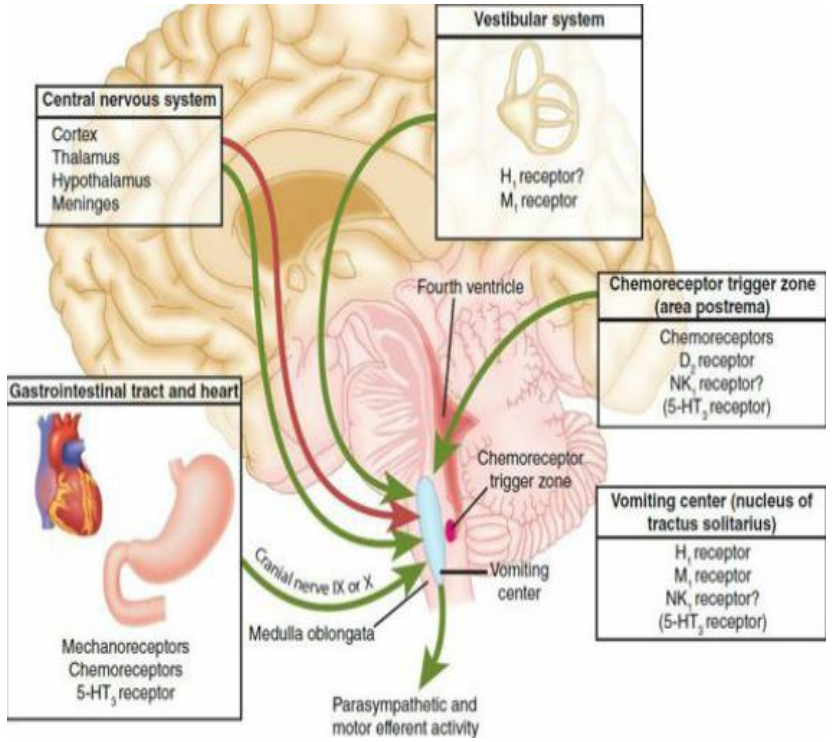
THERAPEUTIC USES

Allergic Diseases

Vertical integration

THERAPEUTIC USES IN ENT

- Motion Sickness, Vertigo & Sedation
- Allergic Rhinitis
- Common cold
- Acute and chronic sinusitis
- Otitis media with effusion
- Anaphylaxis and angioedema
- Postnasal drip and chronic Cough



Vertical integration

THERAPEUTIC USES

- Preanesthetic medication
- Cough
- Parkinsonism
- Acute muscle dystonia

Vertical integration

DRUG INTERACTIONS

- Cardiotoxicity
- Potentiated Sedative action

Vertical integration

Core subject

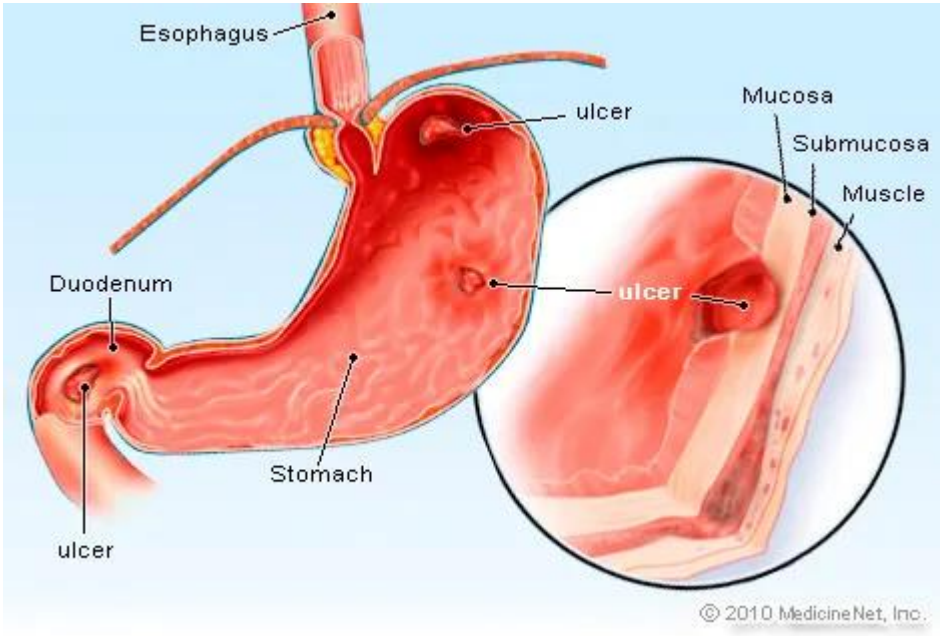
FIRST GENERATION	SECOND GENERATION
Highly lipophilic, cross BBB	Less lipophilic, poor penetration
Sedative action	Non sedating
Short to intermediate acting	Long acting
DOA 4-6hrs	DOA 12-24hrs
Have anti muscarinic action	No
α- blocking effect	No
Block serotonin receptors	No
Cheap	Relatively expensive
Used in allergic diseases & other clinical diseases	Used in allergic diseases mainly

Core subject

H2 BLOCKERS

ACID PEPTIC DISEASE (APD)

H2 blockers
FAMOTIDINE



Vertical integration

FURTHER READING

Prince AA, Rosenfeld RM, Shin JJ. Antihistamine use for otitis media with effusion: ongoing opportunities for quality improvement. Otolaryngology–Head and Neck Surgery. 2015 Dec;153(6):935-42.

Hunter BR, Wang AZ, Bucca AW, Musey PI, Strachan CC, Roumpf SK, Propst SL, Croft A, Menard LM, Kirschner JM. Efficacy of benzodiazepines or antihistamines for patients with acute vertigo: a systematic review and meta-analysis. JAMA neurology. 2022 Sep 1;79(9):846-55.

Beneficence

The principle of beneficence is

1. The obligation of physician to act for the **benefit of the patient.**
2. To protect and defend the right of others, prevent harm.

TAKE HOME MESSAGE

Spiral integration

Q1. Many antihistamines(H1 blockers) have additional non- histamine related effects, these are likely to include which of the following?

a) Muscarinic increase in bladder tone

b) General anesthetic effects if the drug is injected

c) Anti-motion sickness effects

d) Increase in total peripheral resistance

e) Insomnia

End of lecture assesment

Q2. which of the following is most effective in the treatment of peptic ulcer disease?

a) Bromocriptine
b) Cimetidine
c) Ketanserin
d) Ondansetron
e) Sumatriptan

End of lecture assesment

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1. Steps to Access HEC Digital Library
2. Go to the website of HEC National Digital Library.
3. On Home Page, click on the INSTITUTES.
4. A page will appear showing the universities from Public and Private Sector and other Institutes which have access to HEC National Digital Library HNDL.
5. Select your desired Institute.
6. 5. A page will appear showing the resources of the institution
7. 6. Journals and Researches will appear
8. 7. You can find a Journal by clicking on JOURNALS AND DATABASE and enter keyword to search for your desired journal.

Further reading


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