SNS COLLEGE OF PHARMACY AND HEALTH SCIENCES

MEDICINAL CHEMISTRY II

UNIT 1

TOPIC: H_1 ANTAGONIST

PUZZLES CASE STUDY

Case Study Puzzle 1: The Allergic Reaction Riddle

Scenario: A 25-year-old woman presents to the emergency room with sudden onset of itchy hives, swelling around her eyes, and difficulty breathing after eating shellfish. Her symptoms include urticaria and bronchoconstriction. Lab tests confirm elevated histamine levels from mast cell degranulation.

Puzzle Question: Which histamine receptor is primarily responsible for these symptoms, and where are these receptors distributed in the human body? Suggest an appropriate H1-antagonist from the list for immediate relief, explaining its mechanism and any potential side effects.

Answer: The H1 receptor is primarily responsible, mediating smooth muscle contraction (bronchoconstriction), increased vascular permeability (swelling), and pruritus (itching). H1 receptors are widely distributed in the skin (causing hives), respiratory tract (bronchi), gastrointestinal tract, and central nervous system. An appropriate H1-antagonist is Diphenhydramine hydrochloride, which competitively blocks H1 receptors, reducing histamine-induced effects.

Mechanism: It prevents histamine binding, stabilizing mast cells indirectly.

Side effects: Sedation (CNS penetration), dry mouth, and dizziness due to anticholinergic properties; advantages include rapid onset for acute allergies.

Case Study Puzzle 2: The Motion Sickness Mystery

Scenario:A 40-year-old man experiences severe nausea, vomiting, and dizziness during a boat trip. He has no history of allergies but reports similar symptoms on car rides. His doctor suspects vestibular involvement leading to histamine release in the inner ear and brainstem.

Puzzle Question: Explain the role of histamine and its receptors in motion sickness. From the listed H1-antagonists, which one is best suited for prophylaxis, and why? Discuss its advantages and disadvantages.

Case Study Puzzle 3: The Insomnia Conundrum

Scenario:An elderly patient with seasonal allergies is prescribed an antihistamine but complains of excessive daytime sleepiness and confusion. The drug was chosen for its availability over-the-counter. Upon review, it's a first-generation agent crossing the blood-brain barrier.

Puzzle Question: Identify the likely drug from the H1-antagonists list and explain how histamine receptor distribution in the CNS contributes to this side effect. Suggest a non-sedating alternative and its rationale.

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Case Study Puzzle 4: The Appetite Anomaly

Scenario: A child with chronic allergies is treated with an H1-antagonist that also has ant serotonergic properties. After a few weeks, the parents notice increased appetite and weight gain, which is beneficial as the child was underweight.

Puzzle Question: Which H1-antagonist from the list is responsible, and how does its interaction with histamine receptors contribute? Relate to receptor distribution and provide advantages/disadvantages for this off-label use.

Case Study Puzzle 5: The Asthma Prevention Puzzle

Scenario: A patient with allergic asthma uses an inhaler to prevent exercise-induced bronchospasm. The agent stabilizes mast cells, preventing histamine release rather than blocking receptors. It's not an H1-antagonist but is listed in the unit.

Puzzle Question:Identify the agent and explain its mechanism in relation to histamine. How does it differ from H1-antagonists like Cetirizine? Discuss distribution of histamine-releasing cells and advantages.

Case Study Puzzle 6: The Drug Interaction Dilemma

Scenario:A patient on an antipsychotic reports worsened sedation when starting an allergy medication. The allergy drug is a first-generation H1-antagonist with strong CNS effects, exacerbating the antipsychotic's side effects.

Puzzle Question: Which H1-antagonist from the list is likely involved, and why, based on histamine receptor distribution? Suggest a safer alternative like Levocetirizine and its benefits.

Case Study Puzzle 7: The Itchy Eye Enigma

Scenario: A contact lens wearer develops red, itchy eyes during pollen season. Oral therapy is preferred over drops to avoid lens interference. The chosen drug should have minimal sedation and cover peripheral symptoms.

Puzzle Question: Select an H1-antagonist from the list suitable for allergic conjunctivitis, explaining histamine's role and receptor distribution in the eyes. Outline advantages and any disadvantages.

Case Study Puzzle 8: The Travel Sickness Twist

Scenario: A pregnant woman experiences nausea during flights. She needs a safe H1-antagonist with antiemetic properties. The drug should have a good safety profile in pregnancy and address vestibular histamine release.

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Puzzle Question: From the list, which is appropriate (e.g., Dimenhydrinate), and how does it relate to histamine receptors? Discuss distribution, advantages, and disadvantages in this context.