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CHAPTER 3

Nitrogen-containing Heterocycles as Anti-allergy Agents

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Abstract: Nitrogen-containing heterocycles exhibit a diverse range of biological activities and are widely explored and utilized by the pharmaceutical industry for drug discovery. There are a lot of synthesized N-Containing heterocyclic compounds that showed antiallergic/antihistamine activities. A series of imidazole derivatives (Such as cimetidine), benzimidazole derivatives (Such as Astemizole, Bilastine, Emedastine, Mizolastine, and Clemizole), and 2-methylpropanamide and benzamide derivatives of carboxyterfenadine are synthesized and evaluated as H_1 antihistamine activity. Quinazolinone derivatives, pteridinones related compounds, and piperidine derivatives (such as Fexofenadine) also have strong antihistamine activity with a low sedative effect. Antihistaminic activity of the synthesized compounds was studied on the histamine-induced contractions of guinea-pig ileum tissues.

Keywords: Anti-allergic, Antihistaminic, Drugs, Heterocycles, Nitrogen.

INTRODUCTION

Histamine is a physiologically active β-imidazolylethylamine derivative found in many tissues, including mast cells, basophils, lymphocytes, neurons, and gastric enterochromaffin-like cells [1 - 4]. Histamine is a major mediator of the allergic and inflammatory process and also has significant roles in regulating gastric acid secretion, neurotransmission, and immune modulation [5]. It is synthesized from the amino acid histidine in a decarboxylation reaction with the enzyme histidine decarboxylase [6, 7]. Histamine exerts its actions by combining with specific cellular receptors located on cells. Histamine receptors belong to the family of G-protein coupled receptors (GPCRs). The subtypes of histamine receptors are H_1, H_2, H_3, and H_4 [8 - 15].

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