

Pharmacological profiles of antihistamines and the future prospects

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At present, anti-histamines are classified as the first-generation and the second-generation antihistamines. Diphenhydramine and chlorpheniramine are the first-generation antihistamines. These drugs have a potent antihistaminic activity and they are used as remedies for allergic rhinitis, nettle rash, itching with skin disease and allergic conjunctivitis in clinicals. However, the first-generation antihistamines can both stimulate and depress the central nervous system. On the other hand, the second-generation antihistamines showed no central nervous system depressant properties such as sleepiness and fatigue. They also caused an inhibition of histamine release from mast cells. Azelastine, levocabastine, loratadine, fexofenadine and epinastine are the second-generation antihistamines. In the present symposium, pharmacological profiles of antihistamines will be reported using atopic dermatitis, allergic rhinitis, experimental asthma and allergic conjunctivitis models. In addition, the importance of histamine H₁ receptors on these disease will be also reported using H₁ receptor knock out mice developed by Dr. Takeshi Watanabe, Kyushu University. On the other hand, H₃ receptors are known as new receptors which participate in histamine synthesis and release. It seems likely that H₃ receptor agonists show pharmacological effects resemblance to H₁ receptor antagonists. Therefore, the role of H₃ receptors on allergic inflammation will be also discussed.