ІПКСФ НФаУ

Міністерство охорони здоров'я України
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Інститут підвищення кваліфікації спеціалістів фармації

Матеріали

II Науково-практичної Інтернет-конференції з міжнародною участю

ФАРМАЦЕВТИЧНІ ТЕХНОЛОГІЇ, СТАНДАРТИЗАЦІЯ ТА ЗАБЕЗПЕЧЕННЯ ЯКОСТІ ЛІКАРСЬКИХ ЗАСОБІВ

Харків, 22 травня 2025

CHARACTERISTICS OF MODERN ANTIALLERGIC DRUGS

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Introduction. Pharmacotherapy of allergic diseases are one of important clinical problems of medicine. Modern epidemiological data show that today allergic diseases are one of the most common groups of diseases in the world. According to WHO, almost 90% of the world's population has experienced an allergic reaction at least once in their lives. According to forecasts of the European Commission on Allergy, in the 21st century about half of the world's population may suffer from allergies.

Pharmacotherapy of allergic diseases includes such groups of medicines as H_1-histamine receptor blockers, serotonin receptor blockers, leukotriene receptor antagonists, mast cell membrane stabilizers and glucocorticoids. Each group of anti-allergic drugs has its own advantages and disadvantages.

The doctor and pharmacist must be having information Knowledge of pharmacological characteristic of every group of anti-allergic drugs is necessary for rational choice of drug for individual patient.

Purpose – to provide comparative characteristics of different groups of modern antiallergic drugs.

Research methods. We provided a comparative description of H_1-histamine receptor blockers, serotonin receptor blockers, leukotriene receptor antagonists, mast cell membrane stabilizers and glucocorticoids, using data from literature sources and information from well-known evidence-based medicine databases: Cochrane Library, Trip Database, Medline, PubMed, MedlinePlus and others.

Results. The mechanism of action of antiallergic drugs is associated with their influence on various links in the pathogenesis of allergy. Antihistamines by the type of competitive antagonism with histamine block histamine receptors and thereby eliminate the increased sensitivity of cell membranes of smooth muscles to free histamine: I generation drugs block H_1- and H_2-histamine receptors, II-III generation – mainly H_1-histamine receptors.

Membrane stabilizers block the entry of Ca^{2+} into mast cells, inhibit their degranulation and stimulate their excretion, thereby preventing the release of mediators of allergy and inflammation. Inhibit phosphodiesterase of mast cells, which leads to the accumulation of cyclic aminomonophosphate (cAMP) in them and stabilization of membranes. Antimediator agents reduce the production of various pro-inflammatory mediators: cytokines, arachidonic acid derivatives, free radicals.

Selective leukotriene receptor antagonists are competitive antagonists of leukotrienes receptors (a component of the slow-reacting substance of anaphylaxis). The drugs reduce the content of cellular and extracellular factors of the inflammatory reaction in the respiratory tract, suppress the contractile activity of the smooth muscles of the respiratory tract.

Glucocorticoids, by increasing the content of cAMP, potentiate the action of catecholamines, which prevent bronchospasm; due to a decrease in the activity of

cGMP, inhibit the cholinergic effect; reduce the synthesis of histamine (which depends on the ratio of cAMP/cGMP), serotonin and other mediators of allergy; prevent the interaction of immunoglobulines E with the Fc-receptor on the surface of mast cells and basophils; inhibit the outflow of plasma and granulocytes from capillaries; reduce the content of leukocytes, eosinophils, neutrophils, lymphocytes in the focus of inflammation; inhibit phospholipase A2, reducing the release of arachidonic acid and the formation of its pro-inflammatory metabolites (prostaglandines, leukotrienes). Glucocorticoids are the most effective antiallergic drugs, but their use is limited by numerous side effects.

The main indications for the use of antihistaminic drugs are conditions that requires desensitization of the body, treatment of immediate allergies: urticaria, allergic dermatitis and conjunctivitis, asthmatic bronchitis, quincke's edema, serum sickness, capillary toxicosis, etc. In anaphylactic shock, bronchial asthma (BA) is ineffective, since histamine release and its interaction with H_1-histamine receptors have already occurred. Anti-mediator agents, membrane stabilizers are prescribed only for prevention of BA attacks, allergic rhinitis.

Leukotriene receptor antagonists administered for prevention and therapy of BA (including "aspirinic asthma"), especially with insufficient effectiveness of β_2-adrenomimetics. The indications for glucocorticosteroids are all allergic reactions, but due to pronounced side effects, they are used only for severe (anaphylactic shock) and moderate (serum sickness, Quincke's edema) allergic diseases.

I generation of antihistamines are effective for hay fever, allergic rhinitis, sneezing, and ocular symptoms of allergies; less effective for nasal congestion and symptoms of bacterial/viral rhinitis not associated with endogenous histamine release.

II generation of antihistamines are the first-line treatment for urticarial.

III generation drugs are used to treat allergic rhinoconjunctivitis, rhinorrhea, itching, and sneezing, and have a prolonged effect.

II and III generation H1-histamine blockers have a higher affinity for H1-histamine receptors than I generation drugs, so they do not affect other types of receptors. III generation antihistamines are active metabolites of II generation drugs (fexofenadine is the active metabolite of terfenadine, norastemizole is astemizole, desloratadine is loratadine).

All drugs are highly selective blockers of H1-histamine receptors, which have antiallergic and anti-inflammatory effects, do not penetrate the blood-brain barrier, do not exhibit sedative, hypnotic, cardiotoxic and some other undesirable effects, therefore they have a significantly better safety profile. They are rapidly absorbed in the gastrointestinal tract, are characterized by prolonged action and are prescribed 1 a day.

Conclutions. Thus, the most popular antiallergic drugs are antihistamines of the II and III generations, drugs with a selective mechanism of action that do not depress the CNS, have a prolonged effect and a high level of safety. The creation, study and implementation of selective H_3- and H_4-histamine receptor blockers into clinical practice is one of the perspective directions of therapy and prevention of allergic diseases.