THE RELEVANCE OF CREATING NEW ANTIHISTAMINE DRUGS FOR EXTERNAL USE

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Currently, there is a high prevalence of allergic diseases, which is growing with catastrophic rapidity all over the world. The number of people suffering from allergic diseases in the European countries, according to different authors, from 20 to 50% of the population. Large-scale epidemiological studies showed that acute allergic urticaria at least once in life was noted in 20% of the population.

Allergy is called one of the diseases of civilization, and every year the number of patients diagnosed with it increases by 5%. According to WHO forecasts, the XXI century will become the century of further development of allergic pathology, and today the prevalence of allergic diseases has already reached the scale of the epidemic among children and adults. In clinical practice, a physician, regardless of specialty, inevitably encounters various manifestations of allergic diseases, with cases of intolerance to drugs, foods, and unusual reactions to chemicals. In connection with this, a qualified physician needs to have knowledge from related disciplines about etiopathogenesis, diagnostic and differential diagnostic search, know the algorithm of rendering medical, including emergency care, to patients with allergic pathology.

The main drugs in the complex treatment of allergic diseases are antihistamines. Their choice depends on the age of the patient, the specific clinical situation, the diagnosis. One of the most important mediators of the allergy, performing the function of mediating physiological and pathological reactions, is histamine. The wide spectrum of pharmacological action of histamine determines the variety of clinical manifestations associated with its release from mast cells and basophils. So, from the skin it's a feeling of itching, swelling, hyperemia, a rash; from the upper and lower respiratory tract-swelling of the nasal mucosa, hypersecretion of mucus; from the gastrointestinal tract-intestinal colic, increased production of pepsin and hydrochloric acid in the stomach, excessive formation of mucus; from the cardiovascular system - the drop in blood pressure, arrhythmia. If you do not treat the concomitant pathology, the effectiveness of therapy for an allergic disease is significantly reduced.

Given the critical role of histamine in the mechanisms of development of allergic reactions and associated symptoms of allergic diseases, antihistamines are used as antiallergic drugs. They are used for the treatment of atopic dermatitis, allergic rhinitis and conjunctivitis, urticaria, Quincke's edema, acute allergic reactions, adenoiditis, bronchial asthma, and allergen-specific immunotherapy. At present, there are 3 known subgroups of histamine (H) receptors: H_1-, H_2- and H_3-receptors. Antiallergic antihistamines are divided into preparations of the first and second generations, on the basis of increasing their selectivity with respect to H_1-receptors.

But it is necessary to note the insufficient number of effective antiallergic drugs in the modern pharmaceutical market, which must meet modern requirements for medicines. That is why, the actual task of modern medicine and pharmacy is the creation of new effective antihistamines.

The problem of the development of the pharmacy service, improving the quality of Pharmaceutical care requires pharmacies to provide services for the manufacture of medicines. The need for extemporal dosage forms, despite the widest range of medicines for industrial production, is still preserved and remains relevant. Advantages of the extemporal formulation consist in the possibility of selecting a physician's individual composition and dosage of the drug taking into account the age, weight, concomitant diseases of the patient, the characteristics of the organism, the condition of excretory functions, the tolerability of certain substances, the presence of allergies, the short duration of time between prescribing, facilities. It is important that there is no need to use preservatives to ensure the stability of the dosage form (sterile solutions for internal use by newborns); the ability to quickly meet the need for medicines in extreme situations.

In the treatment of allergic skin manifestations, such a dosage form as an emulsion for external use has been well recommended.That is why it was decided to start work on the development of a drug in the form of an emulsion.Emulsions are promising for use in medicine, since they can combine immiscible liquids, mask unpleasant taste, regulate the bioavailability of medicinal substances, eliminate irritating effects on the skin and mucous, which is peculiar to individual medicinal substances.

In the pharmaceutical practice, emulsions are used extensively. Emulsion systems are found not only in liquid dosage forms for internal or external application, but also in ointments, suppositories, pills, injections and other drugs. Fat-soluble drugs in the emulsion of o/w are easily absorbed in the body. Emulsions can be recommended as a basis for creating combined preparations, since they can be injected with hydrophilic and lipophilic drugs. By the method of use, they can be divided into emulsions for topical, oral administration and for parenteral administration.

Extemporal emulsions are described in detail in textbooks on drug technology and in the State Pharmacopoeia. For their preparation emulsifiers of animal and vegetable origin are used. The use of water-insoluble liquids in the form of emulsions with water makes them not only more convenient for reception, but also therapeutically more effective when applied both inwardly and externally. Emulsification easily solves the problem of convenient and sufficiently accurate dosing of liquids that do not mix with water.

The main problem in the manufacture of emulsions in pharmacy conditions is their instability. Emulsions are thermodynamically unstable systems. The task of preparing aggregate-stable emulsions is reduced, mainly to finding the most effective emulsifier for this combination of components. The causes of allergic reactions are diverse, but the medicines, according to the existing tactics of treating allergies, should have a pronounced antihistamine activity, as well as anti-inflammatory effect. According to the analysis of literature sources, we selected loratadine hydrochloride (antihistamine, anti-inflammatory component) as active substances, which proved to be an effective second-generation antihistaminic substance without pronounced side effects.

Based on the results of the study of literature on the problem of treating allergic diseasesand analysis of the modern pharmaceutical market for antihistaminic medicines, it has been established that the creation of new extemporaneous emulsions with antihistaminic action is relevant for modern pharmaceuticalpractice.