SELECTION OF EXCIPIENTS IN DEVELOPMENT OF CAPSULES FOR GELMINTOSIS TREATMENT

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Introduction. Over 270 types of helminths and 18 species of protozoa cause diseases of people in all parts of the world. Losses inflicted to the health of the world's population by helminths, rank 4th, yielding only diarrhoea, tuberculosis and coronary heart disease, which indicates the relevance of this problem.

An analysis of anti-helminth drugs available in the pharmaceutical market of Ukraine has shown the prevalence of imported synthetic drugs, indicating the prospectiveness and expediency of development of new drugs based on vegetable raw material (VRM).

Based on the analysis of anti-helminthic VRM drugs, we have offered to develop a capsulated formulation with dry extract of tansy and pumpkin, which exhibit a wide spectrum of antiparasitic activity. The study of physico-chemical and pharmaco-technological properties of active pharmaceutical ingredients showed the need for the introduction of auxiliary substances to the mass for encapsulation.

Therefore, the aim of the work was the choice of auxiliary substances to optimize the composition of hard capsules with dry extracts of tansy and pumpkin.

Materials and methods. In the course of the study used modern auxiliary substances of different groups – fillers: maltose, Tablettose 80, Prosolv SMCC 50; Moisture regulators: Neusilin US2, silica and lubricants: Precirol and calcium stearate. The pharmaco-technological and physico-chemical properties of the samples were studied in accordance with the generally accepted methods of the SPU.

Results and discussion. By microscopic studies of the mixture of dry extracts of tansy and pumpkin with different fillers, maltose has been chosen as filler, since there was a uniform distribution of the particles of extracts in it, in addition, the particles were uniform in linear sizes. The study of moisture absorption at a relative humidity of 45%, 75% and 100%, allowed to choose a rational moisture regulator – aerosil. Investigation of encapsulation mass flowability with different lubricants has revealed the feasibility of calcium stearate addition to its composition.

Conclusions. Based on the conducted physical-chemical and pharmaco-technological studies, a rational composition of auxiliary substances for the production of hard capsules with dry extract of tansy and pumpkin of anti-helminth effect has been selected.

DEVELOPMENT OF THE COMPOSITION – PART OF PHARMACEUTICAL DEVELOPMENT OF PESSARIES WITH ACYCLOVIR AND ESSENTIAL OILS

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Introduction. Realization of pharmaceutical development, namely all her aspects, that recommended by Guideline 42-3.0: 2011. Medicinal products. Pharmaceutical development (ICH Q8) is basic principle of creation of quality, safe and effective medicinal product.

The thorough study of literary data and realization of all necessary experimental works allow to set quality and quantitative composition of medicinal product, parameters of production and control of quality, to have the opportunity to produce products with the set functional descriptions.

Aim. The objects of researches of pharmaceutical development are components of medicinal products – active pharmaceutical ingredients (API) and auxiliary substances, their limits, and also medical

form, technological process and packing materials, microbiological properties and compatibility of all of the components.

For today one of the most dangerous and widespread pathologies in gynaecology is a genital herpes (GH). An important place in treatment, especially GH, is local therapy that is more effective occupies, and probability of development of different side effects diminishes considerably. At the market of antiviral facilities of Ukraine this segment is small enough and presented mainly as ointments or creams.

Materials and methods. In this connection, a study and development of the new combined medicinal products in form of suppositories of antiviral action for application in gynaecology are actual direction.

The physical, chemical and technological methods, and also mathematical methods of statistical treatment, were used for an estimation and analysis of the obtained data with the aim of development of composition during researches.

Results and discussion. The pharmaceutical substance of acyclovir and auxiliary substances (oil of tea tree, oil of thyme) that comply normative requirements were used in experimental researches. For treatment of herpesvirus, acyclovir is the universally recognized effective active ingredient. Products on the basis of acyclovir or his derivatives occupy the first place at the market of pharmaceutical preparations and in clinical practice, due to his studied pharmacological action.

Samples of suppositories (pessaries) were prepared by pouring on a solid fat basis. Acyclovir in the suppository was administered as a suspension. The concentration of acyclovir was determined on the basis of studies of anti-herpetic activity of the pessaries using the herpes virus type II. Selected effective concentration of acyclovir – 5%, which corresponds to the content of acyclovir in topical preparations produced by the pharmaceutical industry in the form of gels and creams.

The introduction of essential oils of tea tree and thyme into the composition of pessaries is due to infections caused by resistant strains of herpesvirus infection, as well as mixed infections, in particular, with concomitant candidiasis infection. In addition, the oils provide an effective preservative effect.

The combination of acyclovir and essential oils (tea tree oil) in the same dosage form offers the following advantages: the ability to reduce the dose of each ingredient in comparison with their standard dosage in the monotherapy to achieve an equivalent effect (improving the safety of treatment); possibility of expanding the therapeutic spectrum and indications for use in comparison with monotherapy.

The optimum basis for the medical-biological parameters of this disease for the developed dosage form was selected as solid fat.

At the next stage of the study, the influence of emulsifiers on the pharmaco-technological parameters of pessaries was determined. Emulsifiers were added to mix the hydrophilic and hydrophilic phases of pessaries. As emulsifiers was used lecithin, CSS and MHD. In order to determine the compatibility of API in suppositories, their qualitative and quantitative content and the presence of adjuvants of acyclovir in samples stored in vaginal capsules for three months and freshly prepared specimens were determined. Identification and quantitative determination of acyclovir in pessaries was carried out by absorption spectrophotometry in the UV area of the spectrum at a wavelength (265 ± 2) nm.

The developed samples of pessaries were analysed by quality indicators in accordance with the requirements of the SPU 2.0. On the basis of the research conducted, a composition for combined pessaries was developed for the treatment of genital herpes.

Conclusions. Based on the study of physicochemical and technological properties of substances acyclovir and auxiliary substances, the composition of the combined medicinal product has been proposed. Physicochemical studies of the quality of experimental samples allowed to confirm the rationality of this combination and to substantiate the limits of the content of medicinal substances. The results of this pharmaceutical development are a necessary part of the registration dossier, a set of documents that characterize the efficacy, safety and quality of the drug.