JUSTIFICATION FOR THE CHOICE OF EXCIPIENTS FOR CREATING MEDICAL PENCILS

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Introduction. Import substitution is one of the key areas of domestic pharmaceutical development with the aim of expanding the range of dosage forms of active substances already used, which is aimed at reducing the side effects of active substances and increasing the convenience of their use. At the same time, medicinal products must ensure the rapid and complete release of active substances from dosage forms and the penetration of active substances into the target organ, including when applied topically.

Currently, a wide selection of drugs is presented on the pharmaceutical market, they have anti-inflammatory and wound-healing effects, however, one of the main selection criteria for practitioners and patients is ease of use. Medical pencils are one of the dosage forms that meet modern requirements for efficiency and ease of use.

Manufacturers of medicinal products are showing interest in this dosage form because of the convenience and hygiene in use and compact, economic packaging, in contrast to ointment forms. Medical pencils, when applied to the skin, retains the properties of an antiseptic for a long time, gradually dissolving or erasing without injuring the affected area of the skin. At the same time, in contrast to ointments, in this dosage form it is possible to use active substances with different physicochemical properties. All of the above advantages, such as: convenience, compactness, hygiene and portability in use, make the medicinal form of medical pencils not only an interesting object for scientists in the creation of new drugs, but also a necessity for practicing doctors and their patients.

The main medicinal forms for the treatment of skin lesions of various etiologies are solutions and ointments. Sprays, film coatings, aerosols, medicinal sticks, despite their advantages over solutions and ointments, are less common.

The interest in medicinal pencils is explained by the more convenient and compact, as well as the hygienic and economic form of packaging: mechanical packaging with a thick greasy mass supplied to a brush, special pencils in the form of felt–tip pens, pencils – pencil cases. Also, the undoubted advantage of medicinal pencils is the possibility of introducing active pharmaceutical substances of plant origin into the basis.

According to the definition of the European Pharmacopoeia, medical pencils in the form of a cylindrical or conical pencil with a rounded end, intended for external use with the purpose of providing local action and consists either only of active substances (one or more), or is represented by a suitable base in which the active substances are evenly distributed. substances. Excipients have a significant effect on the quality indicators of medical pencils, such as: stability, hardness, plasticity, uniformity, etc. [1, 2]. Therefore, the rationale for the choice of auxiliary substances that provide the necessary parameters of medical pencils, taking into account the physicochemical properties of the substance, nosological form and localization of the lesion is a necessary stage in the development of the optimal composition [3, 4].

The aim of the study was to develop a rational composition of medical pencils for the treatment of dermatological diseases with the active ingredient – eucalyptus essential oil.

Materials and research methods. The following substances were chosen as excipients for creating a dosage form for medical pencils: cetyl alcohol, stearyl alcohol, emulsion wax, paraffin and vaseline. Research methods: determination of physical compatibility, homogeneity of mixing, appearance, homogeneity of mixing, determination of opacity.

Results. Substances of various chemical nature, which are synthesized by plants, represent a rich source of medicinal and prophylactic agents with bactericidal and fungicidal properties. The peculiarity of extracts from medicinal plants lies in a certain ratio of biologically active substances that contribute to the optimal effect on the human body, and the ability to use them for a long time. In terms of chemical structure, many natural compounds are similar to physiologically active substances of microorganisms (hormones, vitamins, enzymes, etc.), which allows them, unlike synthetic drugs or antibiotics, to be more actively involved in biochemical processes. Given the similarity in the structure of cells, there is no abrupt change in the systems of chemical reactions of a living cell of a higher animal and a person, as well as there is no side effect and toxicity of substances of plant origin, which makes it possible to make an adequate replacement for antimicrobial drugs of synthetic origin.

Among the well-known herbal medicines, it is worth noting preparations of eucalyptus rod-shaped, macklea heart-shaped, sage, yellow capsule. The biological activity of the substances eucalymin, sanguirithrin, luthenurin, 7-hydroxyroyleanone exhibit antibacterial activity against the most common infectious agents.

One of the quality indicators of medical pencils is their stability during production and storage. The experimental base samples include substances with different polarities.

To ensure the possibility of their joint introduction into the base, a study of the compatibility of fusible (solid at room temperature and melted at body temperature) was carried out.

The determination was carried out by mixing the components in various ratios after preliminary melting in a water bath of fusible components at a temperature of 2–3 °C higher than the melting point of a refractory component, which at room temperature are in a solid state, have the following melting points: cetyl alcohol – 54.6 °C, stearyl alcohol – 57.9 °C, emulsion wax – 40–45 °C, paraffin – 45–65 ° C, petroleum jelly – 38–60 °C [5].

Samples of experimental bases and its organoleptic control are presented in table 1. As a result, the obtained molten mixtures are visually examined during 30 days during observation for one month and are considered compatible if they are completely mixed (remained homogeneous in a liquid state at the stage of base preparation and after cooling the alloy does not delaminate).

Studying the physical compatibility of the components in various ratios for medical pencils, it was found that an increase in the amount of paraffin leads to a violation of the integrity of the pencils on the 10th day of observation.

An increase in the concentration of petroleum jelly leads to a change in the homogeneity of the experimental samples on the 20th day of observation. An increase in the concentration of emulsion wax leads to the formation of stable systems with a ratio of components No. 1, 2, 3, 4, 5 indicated in the table in the amount of 15.0 g, 15.0 g, 45.0 g, 10.0 g, 15 0 g.

Table 1

Experimental samples of bases for medicinal pencils

Sample no.	Component name	Experimental samples / Number of components, g				
		1	2	3	4	5
1	Cetyl alcohol	20.0	30.0	10.0	10.0	15.0
2	Stearyl alcohol	20.0	10.0	30.0	10.0	15.0
3	Emulsion wax	20.0	25.0	30.0	30.0	45.0
4	Paraffin	20.0	5.0	15.0	5.0	10.0
5	Vaseline	20.0	30.0	15.0	10.0	15.0
Organoleptic control						
1–3, 7, 10, 14, 16	White samples, homogeneous					
4	White sample, liquid, not frozen in refrigerator					
5	The sample is white, has an inhomogeneous structure, contains air cavities					
6	The sample is white, has an inhomogeneous structure, contains cracks					
8	The sample is light yellow, very greasy, unpleasant to the touch, the surface in the hands is deformed (sticks)					
9	The sample is white, has an inhomogeneous structure, liquid, not frozen in the refrigerator					
11, 15, 18–20	Samples are light yellow, homogeneous					
12	The sample is white, has a non–uniform structure, no regular shape, contains a large amount air cavities					
13	The sample is light yellow in color, greasy, unpleasant to the touch; when stored in the refrigerator, the shape is deformed (destroyed)					
17	The sample is light yellow, stratified into 2 phases, cannot be removed from the mold without deformation					

The obtained samples were evaluated according to the following indicators: appearance, mixing uniformity, determination of covering, pH, covering ability.

Based on the results of organoleptic control for 30 days, it was established that experimental samples No. 5, 6, 8, 9, 12, 13, 17 have unsatisfactory performance due to the violation of the integrity of the pencils due to the lack of the possibility of formation, the formation of sticky masses, cracks and air cavities [5].

Thus, for further research, the compositions were selected № 1–3, 7, 10, 11, 14–16, 18–20. The results of determining the covering ability values of the experimental samples of the pencil bases are presented in the table 2. The data presented in table 3 indicate that the experimental compositions of the bases numbered 1, 2, 3, 14, 18–20

have a coverage value in the range from 3.23 to 17.65 and have unsatisfactory performance.

Table 2

Indicators of the covering ability of experimental samples of pencil bases

Sample no.	Substrate weight without application, g	Substrate weight after application, g	Substrate weight after application, g	X, %
1	0.3624	0.3641	0.3638	17.65
2	0.3529	0.3554	0.3550	16.00
3	0.2960	0.2971	0.2970	9.09
7	0.2885	0.2890	0.2888	40.00
10	0.2890	0.2892	0.2891	50.00
11	0.2802	0.2811	0.2807	44.44
14	0.3523	0.3548	0.3544	16.00
15	0.3638	0.3677	0.3659	46.15
16	0.3595	0.3630	0.3620	28.57
18	0.3555	0.3563	0.3562	12.50
19	0.3543	0.3555	0.3553	16.67
20	0.3546	0.3577	0.3576	3.23

The highest values of the covering set for the test specimens Nos. 7, 10, 11, 15 and 16 are subject to further tests.

Conclusions. Thus, for further research, compositions No. 7, 10, 11, 15 and 16 were selected, which include tween–80, peach oil, emulsion wax, vaseline oil, propylene glycol, as auxiliary substances for the manufacture of the dosage form, medicinal pencils.

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