

Surfactants Used in Pharmacy as Emulsifiers for Ointment Bases and Emulsions

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ABSTRACT

The article contains information about the bases of some active ointments used in medicine and their emulsifying surfactants, the incomparable role of emulsifiers in maintaining the quality and stability of the bases of ointments.

Individual drugs in the form of ointments, suspensions, pastes and emulsions have a short shelf life, exfoliate during storage and lose stability, change color. In this regard, the development of methods for stabilizing such dosage forms (DF) and extending their shelf life are of considerable interest and are of theoretical and practical importance [1].

Relatively little research has been done on these issues.

The nature of their carrier, i. bases for ointments [2]. The distribution of ointment bases into different groups within the same classification system by different authors in textbooks, manuals, reviews and articles do not match.

Considering the properties of LF carriers in ointments from the point of view of their ability to interact with water (dissolution, swelling, adsorption, emulsification), it seems appropriate, and first of all, to divide all carriers into hydrophobic and hydrophilic, and then in each group to distinguish subgroups.

Hydrophobic bases:

- 1) fatty (pork, seal, modified, vegetable oils, fats);
- 2) hydrocarbon (vaseline), they are recommended in cases where the base of the ointment is not indicated in the recipe. Vaseline hydrocarbons, when fused, mix well with each other, with waxes and fats, but they are not absorbed by the skin, mucous membranes and are most often

suitable for use by people with healthy skin. It has been established [2] that the greatest number of cases of sensitization, allergy symptoms or irritant effects when using ointments on petroleum jelly is observed in patients with various dermatosis and eczema;

- 3) silicone-containing anhydrous (silicone liquids, surfactants);
- 4) polyethylene and propylene gels (mixtures of polyethylene and polypropylene with vaseline oil);
- 5) adsorption (mixtures containing fats, hydrocarbons, silicone liquids and other hydrophobic components in combination with anhydrous lanolin, esters of higher fatty acids, spans, tweens and other surfactants);
- 6) emulsion type water/oil (w/m) (contain: emulsifier, hydrophobic substance and water).

Hydrophilic bases:

- 1) solutions and gels of polysaccharides (cellulose ethers - methylcellulose (MC), sodium salt of carboxymethylcellulose (Na-CMC), etc.). Cellulose, swelling in water, forms viscous-plastic gels, which are used in the preparation of mzaev bases;
- 2) polyethylene glycol (mixtures of liquid and solid monomers obtained by polymerization of ethylene oxide);
- 3) solutions of oligoesters (liquid and high-viscosity oligoesters with a molecular weight of 400 to 1100, i.e. OE-34, -35, -42, -45 and -50);
- 4) phytosterol gels (12-15% phytosterol and 35-38% water);
- 5) gels of clay minerals (montmorillonites, sodium forms of montmorillonites);
- 6) solutions and gels of proteins (gelatin 1-3%, glycerin 30%, and water 70-80%).

But, in the post-war years, gelatin glycerogels lost their importance as the basis for ointments). In the CIS countries and abroad, work is being carried out (I.A. Sichenikov, E.V. Botashova and others since 1976) in order to obtain bases for ointments containing collagen. Together with fats, it gives a good plasticizing, softly spreading base, i.e. is a structural element of the skin.

- 7) hydrophilic adsorption bases. By analogy with adsorption hydrophobic ones, they are anhydrous compositions of hydrophilic substances with surfactants and other fillers.

The literature describes compositions containing bentonite, MC, Na-CMC, pectin, cetyl alcohol, and other surfactants, which should be classified as hydrophilic adsorption bases:

- 8) emulsion, oil-water type (M \ W) (hydrophobic component - water and one or more surfactants).

In recent years, adsorption bases made with the help of ionic and nonionic surfactants have become widespread all over the world, in view of a number of their advantages over other ointment bases. Studies by many authors (G.S. Bashura, A.G. Bashura, M.T. Alyushin, M.Kh. Gluzman, V. M. Gretskey, G.P. Gryadunova, M.A. Zhakova, V.N. Kutumova, Z.A. Nazarova, S.N. Aminov and others) showed that the study of hydrophilic and adsorption systems as bases for ointments contributes to their better therapeutic effect compared to fat-based ointments.

No matter how little oil is dispersed in water, rapid separation occurs. In practice, it is important to disperse tens of percent of the oil, and to obtain a stable emulsion, it is necessary to add an emulsifier (surfactant) [3].

The latter must meet the following requirements: firstly, the emulsifier molecules cannot be non-polar. They must necessarily be polar, but with a special structure and must consist of a hydrophilic part, prone to dissolving in water, and an oleophilic or lipophilic part, soluble in oil. Getting into a medium consisting of water and oil, the molecule will be located in such a way

that the hydrophilic end of the molecule will be immersed in water, and the hydrophobic end in oil. If oil is dispersed in water, then such an emulsion is called oil in water (O / W), on the contrary, if water is dispersed in oil, then we are dealing with a water in oil (W / O) emulsion.

Secondly, none of the ends of the emulsifier molecule should dominate the other end to such an extent as to completely drown out its influence. If, for example, the hydrophilic part of the molecule completely dampens the influence of the hydrophobic part, then this substance will be completely soluble in water and not soluble in oil. Such a substance cannot be an emulsifier.

In addition, the emulsifier is required to be resistant to bacteria and chemicals. If it decomposes during storage, the emulsion will separate.

It is also important that the emulsifier used for medical purposes is non-toxic. Finally, the emulsifier must be odorless, tasteless and colorless. Its cost, availability, and the possibility of obtaining it from domestic raw materials also play an important role [3].

Theoretically and experimentally substantiated [4] the use of new domestic surfactants (potassium soaps [3], sodium, triethanolamine-TEA and high-molecular fatty acids-HFA) in pharmaceutical technology. Based on them, the compositions, technology and research of a number of dosage forms (aerosols, ointments, emulsions, solutions) for use in medicine have been developed.

The work [3] presents an extensive group of emulsifiers of the 1st and 2nd kind, solubilizers.

Soaps are widely used in pharmacy as an emulsifier due to their availability, low cost, good emulsifying and solubilizing properties. They are in many cases used in systems consisting of vaseline and water. One of the oldest bases adopted by the pharmacy of all countries is lanolin [3].

The British Pharmaceutical Code describes zinc cream, which is an oily calamine lotion.

The USP describes another zinc cream, consisting of calamine, lanolin, oleic acid, peanut oil and lime water [3]. However, there have been reports in the literature indicating adverse effects of lanolin on the skin. Workers engaged in sheep shearing had eczema on the skin of the inner surface of the legs.

Well-known experts in the field of cosmetic creams, with some exaggeration, believe [3] that a new age for cosmetics has opened with the use of TEA. Emulsions with soap-TEA are easy to prepare.

It is noted [3,5] that the addition of 0.01-0.05% TEA to solid soaps has an antioxidant effect. Along with TEA soaps, mono- and diethanolamine soaps or mixtures thereof are also used. The addition of lower ethanolamines reduces the viscosity of the emulsions.

In addition to participating in the formation of organic soaps, ethanolamines act as a cation that replaces sodium in other anionic surfactants. Thus, for example, ethanolamine and triethanolamine indodecyl sulfate, triethanolamine salts of alkyl aryl sulfonic acids, and TEA salts of CMC were obtained.

Esters of sulfuric acid (sulfates, sulfonates) are obtained by: oxidation of mercaptans, hydrogenation of sulfurized olefins followed by their oxidation, direct sulfonation of aliphatic hydrocarbons with sulfuric anhydride in the vapor phase, addition of sodium bisulfite to unsaturated compounds and are used in pharmaceutical and cosmetic creams and ointments.

It is possible to prepare a hydrophilic ointment containing, on the one hand, cocoa butter and peanut butter, and on the other hand, glycerin and water [4].

Drews [5] studied a large number of emulsion creams prepared with sodium lauryl sulfate and came to the conclusion that it is necessary to select the optimal amount of emulsifier in each

individual case, since the composition of the latter is not constant. The literature describes many dosage forms prepared using lauryl sulfates. Sodium lauryl sulfate has proven itself well as an emulsifier for emulsion bases. However, it must be taken into account that sodium lauryl sulfate irritates the skin in some people, especially when it or emulsions prepared with it are consumed for a long time.

For this reason, in the American hydrophilic ointment, sodium lauryl sulfate is replaced by non-ionic emulsifiers Bria 30 and 35.

In medicine, cationic surfactants (SAS) are used not only because of their surface-active properties, but mainly due to their bactericidal, fungicidal and disinfectant properties.

The polar character of surfactants is the reason for some limitation of their application due to possible chemical interaction. So, for example, benzalkonium chloride used for surface treatment of the skin is inactivated in the presence of soaps, since the benzalkonium stearate formed as a result of mutual exchange precipitates from the solution.

It should be noted that emulsions prepared using surfactants are not very stable and often delaminate, and the addition of substances such as pectin, fatty alcohols, alginates, or gums prevent them from delamination. In [3], it is indicated that surfactants are incompatible with such cationic dyes as acriflamin, prolavin, and 5-amino-acridine, since the latter interact with them.

Lane and Blenk [6] also attribute the appearance of the irritating effect to another cause, the alkalinity of the medium. This idea is opposed by other authors who have shown that at the same pH values, surfactants of equal classes irritate the skin in different ways. Apparently, the irritating effect is to some extent associated with the ionic nature of the surfactant, and not the presence of alkali.

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