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A Comparative Study of the Physicochemical Characteristics of Certain Fatty Bases in Topical Formulation

Abstract

Purpose: To investigate the physicochemical characteristics of shea butter and theobroma fats using wool fat as a standard base in the formulation of topical applications.

Methods: Aqueous emulsions of shea butter and theobroma fats were made using wool fat as a standard base. Physical parameters such as absorption capacity in aqueous and organic media, slip-up point, density, water uptake capacity, acid value and peroxide values were evaluated. The globule structural stability of the formulations was evaluated in terms of sizes, coalescence and changes in the viscosity on storage for six weeks.

Results: Melting of the shea butter, theobroma and wool fat commenced at 33 ± 0.6 °C, 31 ± 0.2 °C and 38 ± 0.4 °C, respectively. The respective densities were $0.84 \pm 0.01 \text{ g.cm}^{-3}$, $0.89 \pm 0.01 \text{ g.cm}^{-3}$ and $0.87 \pm 0.04 \text{ g.cm}^{-3}$. Water uptake capacity of shea butter was 19.16 ± 1.6 and this compared favourably with that of theobroma fat (26.6 ± 0.4) which was about half the capacity of wool fat (54 ± 1.1). Acid value of shea butter fat was relatively higher than those of wool fat (2.9 ± 1.1) and theobroma fat (1.5 ± 0.1). Emulsions formed from the fats were found to be stable within the first two weeks of storage after which creaming occurred and they finally coalesced to form a heterogenous system. Generally, all the emulsions manifested decreases in viscosities which were more marked in the shea butter and theobroma than the wool fat.

Conclusion: In the formulation of topical preparations, both shea butter and theobroma demonstrated closely related physicochemical and emulsion properties with the standard wool fat and therefore can be substituted for wool fat.

Keywords: Shea butter, Theobroma, Fatty bases, Topical formulation, Viscosity

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Introduction

Topical formulations are normally applied externally to the skin for various purposes such as the treatment of ailments on the skin as protectives, systemic infections in the blood and/or for aesthetic or cosmetic purposes to keep

the skin supple and fresh. Such emulsified preparations are frequently composed of two immiscible phases (oil and water) and are usually made into creams or lotions that often require the use of fatty bases in their formulations. They provide a very convenient means of drug delivery system for both hydrophilic and hydrophobic

drugs as well. Mineral oils which are obtained from hydrocarbon sources such as liquid, soft and hard paraffins (employed in various compositions to obtain the required consistency), glycerin and the cetostearyl alcohols are commonly used in the formulation of such preparations [1]. The major setback with the mineral oils is that they restrict the systemic absorption of drugs and they generally produce uncomfortable feeling of warmth, and are only useful as protective in formulations intended for treatment of eczematous conditions. Other naturally occurring oils such as wool fat and bees wax have been known to have nutritional benefits over the mineral oils [2]. However, many individuals are known to develop hypersensitivity reactions to these fats and besides they are highly prone to rancidity.

Shea butter fat is obtained from the seeds of *Butyrospermum paradoxum* tree which grows well in the guinea savannah agroecological zones in the middle belt of Nigeria. Previous studies showed that the oil is very rich in free fatty acids and therefore has nutritional values hence it is used in the preparation of local diets [3]; it is also used as fuel in local lanterns and it has also been reported to have some medicinal values in the treatment of certain skin ailments in domestic animals and as a topical balm for inflammation of the skin [4].

The majority of bases employed in topical formulations (whether from natural or mineral sources) are usually imported into Nigeria and a lot of foreign exchange is spent on their importation. As part of government's policy to encourage local processing and production of pharmaceuticals and hence discourage importation, emphasis is now being shifted to locally sourced raw materials for use as pharmaceuticals. This has resulted in the need to source for local alternative cream bases without these shortcomings. We therefore investigated the physicochemical characteristics and the emulsion properties of shea butter and theobroma fats in comparison with wool fat as a standard fatty base in the formulation of topical preparations.

Methods

Materials

Shea butter fat, a pale-yellow, semi-solid vegetable fat, was purchased from a local market in Benin City, Nigeria while theobroma fat (cocoa butter) was obtained from Cocoa Industries Ltd (Lagos, Nigeria). Wool fat (reagent grade) was obtained from Evans Medical Ltd (Liverpool, UK) and was used as standard fat for comparison with shea butter and theobroma fats. Polysorbate-80 (reagent grade) obtained from British Drug House, England was used as surface-active agent to stabilize the formulation of emulsions. All other reagents employed in the study were of reagent grades.

Purification of shea butter oil

Shea butter oil was purified before use by heating a sample (650 g) with 20 g activated charcoal over a hot water bath at 60 °C for 1h. The molten mixture was stirred while heating. The mixture was filtered while hot with the aid of a vacuum pump. This procedure was repeated three times and the filtrate was allowed to set overnight at room temperature.

Physicochemical characteristics of the fats

The fats were subjected to various physicochemical characterizations as follows:

The slip-up points: A melting point capillary tube with one end previously sealed was half filled with the sample of the fat and heated in a melting point apparatus. The time taken for the sample to go into its liquid state was taken as the slip-up point. A triplicate determination was made for each fat and mean value was recorded.

Specific gravity: This was determined by using the fluid displacement method which involved the use of the specific gravity bottle method using water as a non solvent for the fats. In the determination, the empty specific bottle was weighed, filled with water and the weight was determined. The bottle was then filled with molten fat and the weight was noted and the

weight difference was used to compute the value as reported previously [5].

The other tests (i.e. acid value, peroxide value and the saponification value) including their water uptake capacity were determined, following the BP 1988 procedure [6]. Triplicate determinations were carried out in each case and mean values are reported.

Preparation and evaluation of the emulsions

A 50ml of fat was mixed with an equal volume of the aqueous fluid of the polysorbate-80 solution (5%) heated to the same temperature over a hot water bath maintained at 60 °C until the fat melted. The mixture was stirred with a Silverson mixer; model 18214 operated at 1,000 rev.min⁻¹ for 30 mins. The resulting emulsions were subjected to a ten-fold dilution and stained with water soluble dye (methylene blue) followed by microscopic examination in order to identify the type of emulsion formed.

Determination of globule size and structure

In another aspect of the study, a sample of the dye stained emulsion was diluted 1 in 4 and a few drops smeared on the glass slide mounted on a light microscope (Kyowa, Model: 745917, Tokyo Japan) and examined at a magnification of x40. The number of globule per field of view was counted and sized with the aid of a graduated eyepiece lens from three different fields of view randomly. The mean globule size (\bar{x}) was obtained from the expression [7] as shown below:

$$\bar{x} = \frac{\sum fx}{\sum f} \quad (1)$$

where f is the frequency of each size x and mean results are reported.

The emulsions were also evaluated by storing in calibrated measuring cylinders and stored for a period of six weeks at room temperature 28±2 °C followed by periodical examinations in order to determine the rate of creaming as well as phase separation (coalescence), Bullock et al [8]. These parameters were evaluated at selected time intervals over six weeks.

Determination of viscosity of the emulsion

Viscosities of the emulsions were determined by the method previously described, in which the viscosities of the emulsions related directly to the time (s) taken for a sample volume of the emulsion to flow through the capillary tube [9].

Results and Discussion

Treatment of the shea butter fat with activated charcoal resulted to brighter colour and a marked reduction in the previous obnoxious odour. It was not necessary to subject the other two fats to this preliminary treatment since they were in purified form already. The results of the physico-chemical characteristics of the fats are presented in Table 1. Physical characteristics revealed that all fats were insoluble in water, but highly soluble in chloroform an organic solvent used in this investigation. The slip-off point (i.e. the temperature at which melting commences) for the for shea butter, theobroma and wool fat were 33±0.6 °C, 31±0.2 °C and 38±0.4 °C, respectively and their respective specific densities were 0.84±0.01 g.cm⁻³, 0.89±0.01 g.cm⁻³ and 0.87

Table 1: Physicochemical characteristic of the fats

Parameters evaluated	Shea butter fat	Theobroma oil	Wool fat
Aqueous solubility (%w/w)	2.5	2.1	3.2
Organic solvent solubility (%w/w)	133.6	118.3	138
Slip-up point °C	33±0.6	31±0.2	38±0.4
Density	0.84±0.01	0.89±0.01	0.87±0.04
Water uptake capacity	19.16±1.6	22±1.3	54±1.1
Acid value	26.6±0.4	2.9±1.1	1.5±0.1
Peroxide value	2.9±0.1	1.8±0.1	16.9±0.2

Table 2: Structural characteristics of emulsion globules with time

Parameters evaluated	Shea butter fat	Theobroma oil	Wool fat
Globule size (mean \pm μ m)	16.7 \pm 0.3	27.5 \pm 1.3	5.4 \pm 0.7
Globule number per field of view	430 \pm 6.2	350 \pm 8.2	317 \pm 4.6

$\pm 0.04 \text{ g.cm}^{-3}$, respectively. These were indications that the fats had closely related physico-chemical characteristics to each other. The water uptake capacity of shea butter was 19.16 ± 1.6 and this compared favourably with that of theobroma fat (22 ± 1.3) which was about half the capacity of wool fat (54 ± 1.1). It is a well-established fact that wool fat can absorb considerable quantity of water [10]. The acid value of shea butter (26.6 ± 0.4) was relatively higher than those of wool fat (2.9 ± 1.1) and theobroma fat (1.5 ± 0.1) indicating higher saponification of the shea butter. The peroxide value was much higher for wool fat compared with shea butter. Peroxides being free radicals potentiate auto oxidation processes of chemical degradation. The results indicated that shea butter oil was less prone to auto oxidation and with a resultant tendency to resist rancidity; hence it would be preferred in the formulation of topical preparations than its counterpart wool fat.

The results of the structural properties of the emulsions are shown in Table 2. The samples of the emulsions as seen in the microscope revealed that the globules were uniformly distributed and uniformly sized. The size ranged from 5 ---27 μ m) in all samples. The emulsions were generally stable with no evidence of creaming or phase separation within the first two weeks of storage. However, creaming preceded total coalescence eventually after the sixth week of storage. It had been reported earlier that emulsion stability with respect to creaming and coalescence depended on droplet size distribution, aggregation and the flow properties of the aqueous dispersion medium [11]. There was a marked increase in globular sizes from the first week up to the second week; the number of globules per field of view decreased with time of storage and disappeared totally after the fourth week of storage. The rate of increase in globule sizes and change in globule structure was taken as a measure of the globule coalescence rate and hence a measure of instability of the emulsion. This may be attributed

to a break down and rupturing of globules (i.e. internal droplet coalescence and shrinkage) which may have resulted from osmotic influx or shrinkage of the internal globules. A similar mechanism had been reported earlier as being responsible for breakdown in multiple water-oil-in-water emulsified system [12].

The results of the effect of ageing on the viscosities of the emulsions are presented in figure 1. It can be seen that the viscosity indices were markedly reduced for shea butter and theobroma fats with increase in storage time. There was no marked difference in viscosity in plot obtained from wool fats. However, the decrease in the case of the wool fat was not as pronounced as that of the other fats. This decrease in viscosity index may be explained in terms of globule structural breakdown with ageing.

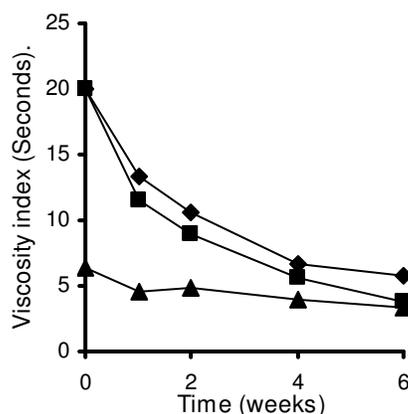


Figure 1: Effect of ageing on the viscosities of emulsions (shea butter fat, ♦; theobroma fat, ■; and wool fat, ▲)

Conclusion

Shea butter and theobroma fats demonstrated closely related physicochemical properties with the standard wool fat. They had good emulsion properties with standard wool fat and therefore

they can be employed as potential substitutes in the formulation of topical preparations.

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