A HYDROGENATE OF COTTONSEED OIL AS A BASE FOR SUPPOSITORIES

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The compositions of two samples of hydrogenated cottonseed oil recommended as fatty bases for suppositories have been studied. Their physicochemical indices have been determined.

Until recently, solid vegetable fats or high-melting vegetable oils such as cocoa butter, palm oil, palm kernel oil, etc., having mps of 34-37°C, solidification temperatures of about 29°C, and hardnesses of 400-600 kg/cm have been used as suppository bases [1].

As analogues of vegetable fats we have tried to use hydrogenated refined cottonseed oil, the initial characteristics of which were as follows: iodine No. -106.72% I₂; acid No. -0.2 mg KOH; levels of fatty acids (% GLC): 10:0 - 0.4; 12:0 - 0.3; 14:0 - 1.2; 16:0 - 24.7; 16:1 - tr.; 18:0 - 1.1; 18:1 - 20.3; 18:2 - 52.0. The indices of the hydrogenates obtained are given in Table 1.

Hydrogenate 1 contained 2% less stearic acid and 3% less monoenoic acids, while the amount of octadecadienoic acid was 3.6% greater than for hydrogenate 2. In spite of the small difference in fatty acids, the hydrogenates differed considerably in melting points and hardnesses. It is known that these indices are affected not only by the melting points of the saturated acids (palmitic, 63.1°C; stearic, 69.6°C) but also by the content of *trans*-isomers of unsaturated acids, which have higher melting points than the corresponding *cis*-isomers. Thus, the *trans*-isomer of oleic acid — elaidic acid — has mp 46.5° C, while oleic acid is liquid.

We determined the levels of *trans*-unsaturated acids in the samples obtained and showed that hydrogenate 1 contained 51.7% of them, and hydrogenate 2 67.8%, which explains the considerably higher hardness index and melting point of the hydrogenates.

The solid fats obtained have been used as suppository bases and have been tested in experiments on animals. In experiments on mice and rats, suppositories were introduced into the animals in doses of 0.1, 0.25, and 0.5 g on the body weight [sic]. A control group of animals (6 individuals) received doses of 0.25 and 0.5 [sic] of cocoa butter.

In another series of experiments we studied the influence of the base on rabbits. Suppositories were administered to the animals in a dose of 0.05-0.1 g per body weight [sic].

The results showed that the bases studied were not toxic and hydrogenate 1 was absorbable in a similar way to cocoa butter (hydrogenate 2 was absorbed with far greater difficulty). We therefore recommend the use of hydrogenate 1 as a suppository base.

EXPERIMENTAL

Hydrogenation was conducted under laboratory conditions with a copper-nickel catalyst having a Ni:Cu ratio of 3:1 at 240°C and at a rate of stirring of 1500 rpm. The amount of catalyst, calculated as nickel, was 0.2% on the weight of the oil. In experiment 1, the oil was hydrogenated for 45 min, and in experiment 2 for 75 min. Before being fed into the hydrogenation apparatus, the hydrogen was dried by passage through a flask filled with calcium chloride and through an empty flask in order to eliminate contamination with CaCl₂ dust. The rate of feed of hydrogen was 1 liter per 100 ml of oil per minute.

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TABLE 1. Physicochemical Indices of the Hydrogenates

Catalyst No.	Acid					Hardness, kg/cm	mp, °C	Solidification temperature,	Iodine No. % Ia
	14:0	16:0	18:0	18:1	18:2	7		°C	<i>7</i> ⁰ 12
1	1.4	24.9	23.1	46.1	4.5	397	37	28.0	49.1
2	1.0	23.7	25.2	49.2	0.9	600	40	33.0	38.3

The hydrogenate obtained was freed from metal by filtration through a double blue filter into a dry flask at 80°C. Fatty acids were isolated as in [2], and were methylated with diazomethane [3]. Iodine and acid Nos. were determined as in [4].

IR spectra of the fatty acid methyl esters were taken on a UR-10 double-beam spectrophotometer with an NaCl prism. The spectral width of the slit in the 1050-900 cm⁻¹ interval was 3 cm⁻¹ and the rate of scanning 50 cm⁻¹/min. Undismountable cells with NaCl windows and an absorbing layer 0.0106 cm thick were used. The solvent was purified CCl₄. The presence of a *trans*-acid was judged from an absorption band at 970 cm⁻¹ [4].

GLC was conducted on a Chrom-41 instrument with a flame-ionization detector at a column temperature of 198°C. The column, with dimensions of 4×2000 mm, was filled with polyethyleneglycol succinate on Chromaton W, and the carrier gas was helium.

Compositions of suppository bases have been made on the basis of the hydrogenates obtained, and these are undergoing pharmacological rests at the present time.

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