Comparative Evaluation of Natural Emulsifiers in Nanoemulsions: Lecithin versus Sucrose Stearate

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Introduction

Nanoemulsions are colloidal drug delivery systems usually stabilised by lecithin. This accounts for the high skin-friendlyness of these topically applied formulations. Other natural surfactants, however, might offer comparable properties in terms of formulation development.
Therefore, the potential of a sucrose ester, namely sucrose stearate, of similar HLB value was investigated. Formulations stabilised with the lowest appropriate amount of surfactant (2.5% w/w) were compared directly in terms of formulation properties, stability and skin permeation of different model drugs. The aim of the present study was to establish the suitability of sucrose stearate as main emulsifier in nanoemulsion systems and its impact on skin permeation of drugs.

Experimental Methods

Development of the formulations
Nanoemulsions were produced by high pressure homogenisation according to a well-established method [1]. The surfactants used were lecithin E80 and sucrose stearate S970 (2.5% w/w). Drug-loaded formulations were created by dissolving the drug (1% w/w) in the oil or aqueous phase according to their HLB.

Stability assessment
The physicochemical stability of the formulations was investigated in terms of particle size and zeta potential. The mean particle size as well as the polydispersity index were measured by photon correlation spectroscopy. The zeta potential was analysed by laser Doppler electrophoresis.

Results

The developed formulations showed particle sizes between 100 - 200 nm. Zeta potential values were around -25 mV for formulations containing lecithin E80 and around -50 mV for formulations stabilised by sucrose stearate S970.
All formulations showed good physical stability over the observation period. However, the higher absolute zeta potential values of formulations stabilised by sucrose stearate indicate better long-term stability. The incorporation of model drugs had little effect on formulation properties except for minor changes in particle size and zeta potential values.
The skin permeation studies revealed that both emulsifiers are equally suitable to deliver model drugs through the skin barrier. However, the dispersion of hydrophilic drugs such as fluconazole was remarkably better in formulations containing sucrose stearate. The use of sucrose esters might therefore be a promising tool for the delivery of hydrophilic drugs from nanosized emulsions.

References
Hoeller, S., Sperger, A., Valenta, C. Lecithin based nanoemulsions: A comparative study of the influence of non-ionic surfactants and the cationic phytosphingosine on physicochemical behaviour and skin permeation. Int. J. Pharm. 370, 181-6 (2009).

Formulation	MPS (nm)	PDI	ZP (mV)
E80	186.41 ± 11.06	0.127 ± 0.045	- 21.72 ± 01.83
E80 flud	183.13 ± 05.50	0.107 ± 0.042	- 26.64 ± 04.86
E80 fluc	160.68 ± 08.21	0.058 ± 0.007	- 27.16 ± 01.32
S970	140.38 ± 07.87	0.105 ± 0.035	- 56.19 ± 09.16
S970 flud	146.66 ± 08.53	0.126 ± 0.029	- 63.38 ± 09.14
S970 fluc	136.79 ± 04.49	0.094 ± 0.032	- 56.68 ± 00.05

Table 1: Nanoemulsion properties after 16 homogenisation cycles. Influence of emulsifier on mean particle size (MPS), polydispersity index (PDI) and zeta potential (ZP).

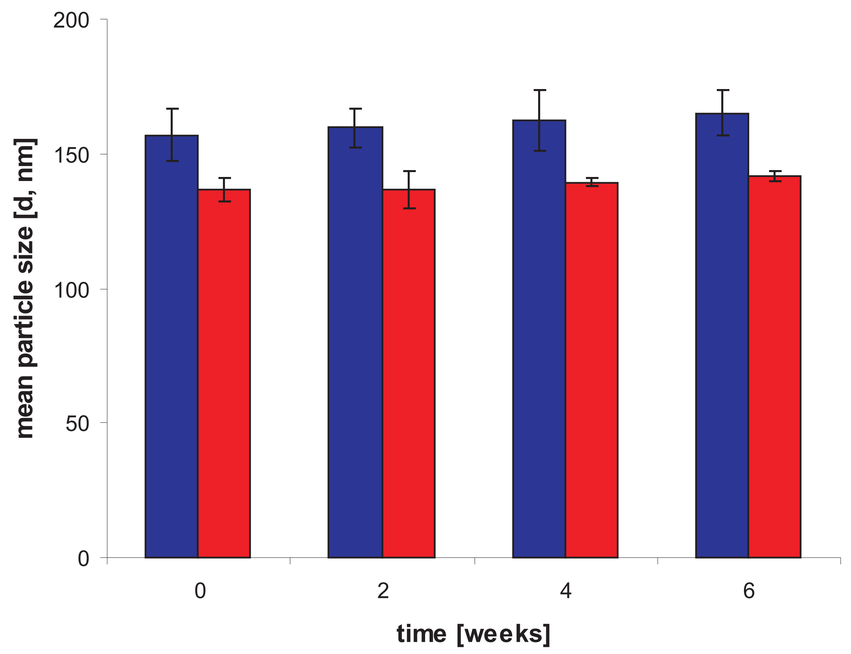

Figure 1: Particle size of nanoemulsions with fluconazole. Red bars: with sucrose stearate S970, blue bars: with lecithin E80.

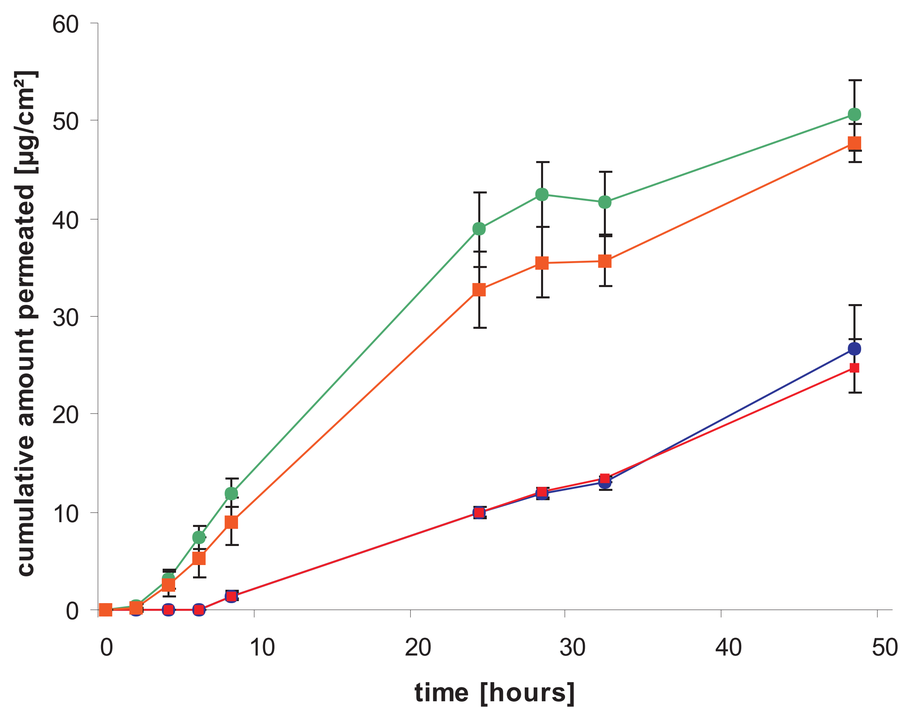

Figure 2: Skin permeation rates of fluconazole (F) and fludrocortisone acetate (FA) from nanoemulsions with lecithin or sucrose stearate. Red line: FA with sucrose stearate, blue line: FA with lecithin; orange line: F with sucrose stearate, green line: F with lecithin.

Conclusion

Sucrose stearate can indeed be used as main emulsifier in nanoemulsions instead of lecithin. Formulation properties as well as skin permeation rates of both lipophilic and hydrophilic drugs were comparable. However, the viscosity of the formulations stabilised by sucrose stearate was different from that of standard lecithin nanoemulsions. This point will be the subject of further investigations in order to improve drug dispersion within the nanoemulsion systems as well as their practical applicability.