INFLUENCE OF THE TRITERPENE FRIEDELINE IN THE TRANSCUTANEOUS PENETRATION OF TWO MODEL SUBSTANCES

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INTRODUCTION

There is an increasing academic, commercial, regulatory and public interest in medicinal plants and in the last two decades there has been a dramatic increase in the inclusion of plant extracts and essential oils in cosmetics. This trend can be explained, amongst other factors, by the satisfaction that consumers experience when buying "naturals", since they are perceived as being safer than "synthetic" ingredients. Nevertheless, dermatologists have often reported adverse effects resulting from the use of such products.

This work aims to study the dermatocosmetic applicability of the plant Prunus lusitanica L. (fig.1), the Portuguese cherry laurel. Preliminary investigations have identified a high content (around 50%) in a triterpene- friedeline (fig.2). The literature contains copious references to the use of terpenes as enhancers or retardants of transcutaneous permeation. In this study, the influence of friedeline in the permeation of two model molecules (caffeine and ibuprofen) was investigated.

Figure 1- Prunus lusitanica L.

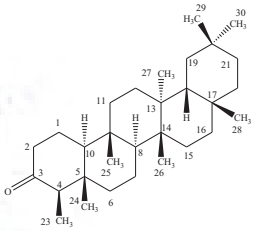

Figure 2- Friedeline

METHODS

In vitro diffusion studies with Franz cells were conducted, using human epidermal membranes.Four different formulations were prepared: 1% ibuprofen in decanol; 1% caffeine in decanol;1% ibuprofen + 0.5% friedeline in decanol; 1% caffeine + 0.5% friedeline in decanol. 800 μL of each solution were placed in the donor compartment. Samples of the receptor phase were collected every 2 hours for 10 hours.

RESULTS

The steady-state fluxes of caffeine and ibuprofen in each system were determined (table 1). A statistically significant difference was found between the fluxes of ibuprofen alone and in combination with friedeline.

Results indicate that the terpene increased the permeation of the model lipophilic drug through human epidermis (fig. 3). The permeation of caffeine was unaffected by the presence of friedeline (fig. 4).

Table 1- Steady-state fluxes of caffeine in the different formulations (n=4)

FORMULATION	FLUX ($\mu g/cm^2.h^{-1}$) SD	
IBUPROFEN	9.15 1.81	p=0.047
IBUPROFEN+FRIEDELINE	12.09 2.60	
CAFFEINE	3.44 0.46	p=0.754
CAFFEINE+FRIEDELINE	3.72 0.96	

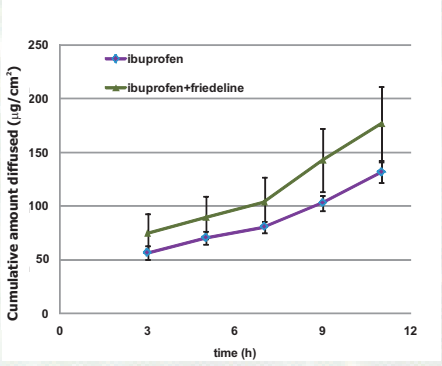

Figure 3- Permeation profiles of ibuprofen in the formulations tested (mean values, n=4)

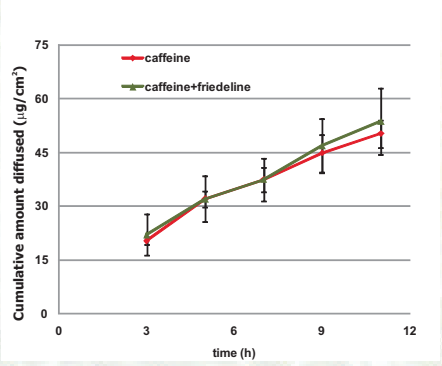

Figure 4- Permeation profiles of caffeine in the formulations tested (mean values, n=4)

CONCLUSION

Friedeline was able to enhance the penetration of the lipophilic drug but did not influence the hydrophilic permeant. This seems to suggest that the Prunus lusitanica L. extract can be successfully used in formulations where the promotion of percutaneous penetration is intended.

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