Analytical profiling, modeling and transdermal/transmucosal characteristics of bioactive N-alkylamides

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INTRODUCTION

A HPLC/UV/ESI-MS N-alkylamide profiling of a commercial 65% ethanolic Spilanthes extract was performed using a prevail RPC18 (250 × 4.6 mm, 5 µm) column with an optimized gradient. In-vitro penetration studies using static Franz diffusion cells (diffusional area = 0.64 cm²) were performed. The EtOH in the extract was evaporated and the residue was redissolved in different PG aqueous solutions. 500 µL of these liquid formulations and 0.5 g of the extract was evaporated and the residue was redissolved in different PG aqueous solutions. 500 µL of these liquid formulations and 0.5 g of

EXPERIMENTAL

RESULTS and DISCUSSION

For the first time, it is demonstrated that spilanthol permeates mucosa (Fig. 3). Gel 1 (Indolphar®) is adequate to achieve local effects, while gel 2 (Buccaldol®) realizes more systemic effects. A solvent influence is seen with a two times lower permeability for spilanthol in the 65% ethanolic extract compared to 10 and 30% PG based extracts. No influence of the percentage PG (in a range of 10 to 30%) was observed (Fig. 4).

CONCLUSIONS

Using HPLC-ESI-MS, 11 N-alkylamides were detected in ethanolic Spilanthes extract: eight N-isobutylamides, two 2-methylbutylamides and one 2-phenylethylamide. Two new N-isobutylamides were identified. Moreover, we demonstrated and quantified that spilanthol can permeate both skin and mucosa in a formulation dependent way.

REFERENCES