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PREPARATION AND CHARACTERIZATION OF TOPICAL NANOEMULSIONS CONTAINING *ACHYROCLINE SATUREIODES* EXTRACTS

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Introduction: *Achyrocline satureioides* (AS) – Asteraceae is a medicinal plant whose inflorescences are widely used in folk medicine. The antiherpetic activity of the AS extracts against the Herpes Simplex Virus has been recently demonstrated in recent reports.^{1,2} Such an activity was attributed to the polyphenolic compounds, especially flavonoids.³ The development of nanoemulsions containing AS extracts and their main flavonoids, quercetin (Q) and methylquercetin (MQ), is currently under investigation by our research group.^{4,5}

Objective: The present work aimed to prepare and characterize nanoemulsions containing AS extracts obtained from different ethanol proportions.

Materials and methods: The AS extracts were obtained from inflorescences at 7.5% (w/w) by maceration from different ethanol/water proportions, 0:100 to 0:100, over an 8-days period. The Q and MQ content were determined using a validated LC method.⁶ Formulations composed of octyldodecanol, egg-lecithin, water, and increasing amounts of AS-extracts were prepared through the spontaneous emulsification procedure. The mean droplet size and the ζ -potential were evaluated through photon correlation spectroscopy and electrophoretic mobility, respectively. The morphology of the oil droplet was examined through transmission electron microscopy (TEM). To determine the association efficiency, the Q and MQ contents were determined by means of the LC method in both the nanoemulsion and the water phase after separation on ultrafiltration membranes.

Results and Discussion: In a first step, the effect of increasing amounts of ethanol on the flavonoid content was evaluated in order to optimize their concentration in the formulations. Flavonoid content increased progressively with the gradual addition of ethanol until reaching a plateau at 80:20 (ethanol:water). In this condition, the flavonoid content was 298.84 ± 16.14 $\mu\text{g/mL}$ (for Q) and 700.22 ± 4.25 $\mu\text{g/mL}$ (for MQ). Regardless of the amount of the AS-extract added, the procedure yielded monodisperse nanoemulsions exhibiting droplet sizes within a range of 200-300nm. TEM investigations of the oil droplets showed the typical appearance of an oil-water emulsion with droplets displaying a size according to the PCS experiments. The flavonoid content in nanoemulsions remained quite similar to that found in the extracts. The flavonoid association efficiency appears to be nearly 100%, given that no free flavonoid in the water phase after ultrafiltration/centrifugation procedure could be detected. These findings may well be related to the low water solubility of flavonoids, thus promoting the partitioning (incorporation) of Q or MQ into oil core of nanoemulsions.

Conclusions: This study demonstrates the suitability of nanoemulsions as a delivery system for the incorporation of non-glycosidic flavonoids (Q and MQ) extracted from *Achyrocline satureioides*.

References

- 1 C.M.O. Simões *et al.*, *Phytotherapy Research*, **13** (1999).
- 2 J.M.R. Bettega *et al.*, *Phytotherapy Research*, **18** (2004).
- 3 M.T.H. Khan *et al.*, *Antiviral Research*, **67** (2005).
- 4 E.L.S. Carvalho *et al.*, *PI0805156-9* (2008).
- 5 D. Fasolo *et al.*, *Journal of Pharmaceutical and Biomedical Analysis*, **44**, 5 (2007)
- 6 V.C. BICA, *Dissertação (Mestrado em Farmácia) PPGCF, Universidade Federal do Rio Grande do Sul* (2009)

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