

ISSN 0102-6593

caderno de farmácia

Órgão Oficial da Faculdade de Farmácia da Universidade Federal do Rio Grande do Sul
volume 26, Suplemento, 2010

INVESTIGATIONS ON THE MORPHOLOGICAL ASPECTS OF PENTYL GALLATE NANOEMULSIONS BY PHOTON CORRELATION SPECTROSCOPY AND TRANSMISSION ELECTRON MICROSCOPY

Kelmann R.G.^{1*}; Dias D.O.¹; Teixeira H.F.¹; Simões C.M.O.²; Koester L.S.¹

¹Laboratório de Desenvolvimento Galênico, Faculdade de Farmácia, UFRGS; ²Laboratório de Virologia Aplicada, Depto. de Ciências Farmacêuticas, UFSC.

*Doutoranda – Início: 2008/2

Introduction: Previous studies have demonstrated antiviral activity of pentyl gallate, an acid gallic n-alkyl ester, with high percentages of HSV-1 inhibition and virucidal effect to HSV-2^{1,2}. Our research group is investigating the feasibility of a pentyl gallate nanoemulsion, according to its lipophilic characteristic. Colloidal drug carriers offer a number of potential advantages as delivery systems, such as better bioavailability for poorly water-soluble drugs³. One of the most important characteristic of these systems must be the maintenance of its particle size in a submicrometric scale. To evaluate this characteristic, some analytical techniques, such as Photon Correlation Spectroscopy (PCS) and Transmission Electron Microscopy (TEM), are applied.

Objective: This study aimed to evaluate nanoemulsions containing pentyl gallate by PCS and TEM.

Materials and Methods: The nanoemulsions were prepared by spontaneous emulsification process, using soybean lecithin as the emulsifier agent. To evaluate the influence of co-emulsifier and antioxidant agent on nanoemulsions morphological characteristics, formulations were prepared with and/or without polysorbate 80 (co-emulsifier) and alpha-tocopherol (antioxidant agent), resulting in an experimental matrix of 4 formulations. All nanoemulsions were evaluated regarding its particle size and polydispersity index, determined by photon correlation spectroscopy (Zetasizer, Malvern Instruments, UK), and morphology and structure, using transmission electron microscopy, using JEOL 1010 (JEOL Ltd, Japan).

Results and Discussion: The results of PCS showed a mean particle size of 52.2 ± 5.4 nm for the nanoemulsions prepared with only soybean lecithin, 55.1 ± 8.7 nm when polysorbate 80 is added, 52.9 ± 8.5 nm when alpha-tocopherol was used and 53.6 ± 1.7 nm when both alpha-tocopherol and polysorbate 80 were used. The polydispersity index values (as a characteristic parameter for the width of the particle size distribution) for all formulations were ranging from 0.11-0.14, indicating uniformity of droplet size within each formulation. Microscopy can be used as a direct imaging technique for nanoemulsions, enabling qualitative information as the size, shape and aggregation state. Transmission electron micrograph of PG nanoemulsions illustrates the spherical shape of nanoparticles. It also shows a homogeneous monolayer at the periphery of the nanoparticles surrounding the lipid core. The TEM studies showed particle size ranging approximately from 40-100 nm, which was confirming the particle size analysis obtained with PCS.

Conclusions: In conclusion, the results revealed that all formulations exhibited submicrometric particle size, are monodispersed in size and presented well defined spherical morphology. Apparently, the alpha-tocopherol and/or polysorbate 80 addition presented no interference in the particle size of nanoemulsions.

References:

- 1 J M Kratz *et al.*, Mem. Inst. Oswaldo Cruz, **103**, 437 (2008).
- 2 J M Kratz *et al.*, Biological and Pharmaceutical Bulletin, **31**, 903 (2008).
- 3 K Jores *et al.*, J. Controlled Release, **95**, 217 (2004)

Acknowledgements: The authors are grateful to Professor Sílvia Stanisçuaski Guterres (Faculdade de Farmácia/UFRGS) for PCS measurements. Financial support and PhD scholarship from CNPq/Brazil.