

ISSN 0484 - 811 X
Volume LII (n.º3)
Suplemento

Revista Portuguesa de Farmácia

Edição da Sociedade Portuguesa de Ciências Farmacêuticas

1.º Encontro Nacional de Química Terapêutica
1st National Meeting on Medicinal Chemistry

13-15 Novembro '08
PORTO, PORTUGAL

LIVRO DE RESUMOS
BOOK OF ABSTRACTS

INCORPORATION OF 2-STYRYLCHROMONES IN LIPOSOMES: PRELIMINARIES STUDIES

M. Carlos^a§, D. Almeida^a, A. Gomes^b, E. Fernandes^b, J. L. F. C. Lima^b, A. M. S. Silva^c, D. C. G. A. Pinto^c, C. M. M. Santos^c, J. A. S. Cavaleiro^c, R. Gaspar^a, M. L. Corvo^{a,d}

^a*iMed.UL, 2i-Med.UL Research Institute for Medicines and Pharmaceutical Sciences, Faculdade de Farmácia, Universidade de Lisboa, Portugal*

^b*REQUIMTE, Departamento de Química-Física, Faculdade de Farmácia, Universidade do Porto, Portugal*

^c*Departamento de Química, Universidade de Aveiro, 3810-193 Aveiro, Portugal*

^d*UNFAB-INETI Lisboa, Portugal*

§ miscarlos@ff.ul.pt

Abstract

Several compounds with a 2-styrylchromone chemical structure have been shown to hold a high antioxidant activity *in vitro*, at low concentrations, which indicates a potential therapeutic value that needs to be confirmed *in vivo* [1-2]. The evaluation of the antioxidant potential of 2-styrylcromones, *in vivo*, is expected to benefit from a formulation that delivers the compound to specific target sites. Due to the structural analogies of 2-styrylcromones with flavonoids, a controlled delivery system – liposomes - was chosen to take advantage of the well known pharmacokinetic behaviour of liposome-incorporated flavonoids. Liposomes are lamellar lipidic structures which form almost spontaneously when certain lipids are hydrated in aqueous media [3,4].

This work presents, for the first time, the results of the incorporation of 2-styrylcromones in liposomes. The characterization of the obtained formulations was based in the study of the encapsulation efficiency of the compound in liposomes, the knowledge of the primary concentration of compound in the incorporation process and the effect of the addition of freeze-drying protector, threahose, to the formulation.

The obtained results showed that 2-styrylcromones could be encapsulated in liposomes with high incorporation efficiency. The highest encapsulation efficiency was achieved with a concentration of drug of 1µmol per 10 µmol of total lipid. The results concerning the addition of threahose to the formulation indicated that the use of the freeze-drying protector reduces the effects caused by freeze-drying, such as the growth of the vesicles.

This liposomal formulation is expected to allow the diffusion of these compounds to the target site in therapeutic concentrations.

References

- [1] Fernandes, E.; Carvalho, F.; Silva, A.M.S.; Santos, C.M.M.; Pinto, D.C.G.A.; Cavaleiro, J.A.S.; Bastos, M.L., "2-styrylcromones As Novel Inhibitors of Xanthine Oxidase. A Structure-activity Study", *Enzyme Inhib. Med. Chem.*, 2002, 17, 45-48.
- [2] Gomes, A.; Fernandes, E.; Silva, A.M.S.; Santos, C.M.M.; Pinto, D.C.G.A.; Cavaleiro, J.A.S.; Lima, J. L. C., "2-Styrylchromones: Novel strong scavengers of reactive oxygen and nitrogen species", *Bioorganic & Med. Chem.*, 2007, 15, 6027-6036
- [3] Sharma, A.; Sharma, U. S., "Liposomes in drug delivery: progress and limitation", *Int. J. Pharmaceutics.*, 1997, 154, 123-140.
- [4] Cruz, M. E. M.; Almeida, A.J.; Corvo, M.L. "Sistemas de Liberação Controlada de Fármacos" In: Lima, N., Mota, M. (eds.). *Biotecnologia. Fundamentos e Aplicações*. p. 359-375, Lidel Edições Técnicas, Lisboa.