Novel strategy for the formulation of poorly water-soluble drugs: Nystatin microencapsulation.

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Background: In recent years, a growing concern about resistance to anti-infective agents has emerged. One of the most common microbial agents is *Candida albicans*. Under certain conditions, *C. albicans* can cause infections of skin and mucosal tissues. Nystatin (Nys) is a broad spectrum antifungal, which is indicated for the treatment of mucosal infections caused by *Candida* ssp such as patients under radiological treatment. Nys is a photosensitive drug and very poorly soluble in aqueous media. Therefore, microencapsulation can be the solution for its limiting factors[1-2].

Purpose: The aim of this work was to design, develop and characterize two types of microparticles as appropriate nystatin delivery systems for topical use: alginate microparticles (AM) and chitosan coated alginate microparticles (CCM).

Methods: The formulation of the microparticles was based on the emulsification/internal gelation methodology with modification[3]. First, a W/O emulsion was elaborated. Sodium alginate aqueous solution, $CaCO_3$ and Nys were the ingredients of the internal phase, and vegetable oil the external phase. The resulting microparticles were characterized in terms of particle size, percentage yield (PY), loading capacity (LD), encapsulation efficiency (EE) and mucoadhesion ability.

Results and Discussion: Microparticles ranged from 51.21 µm for AM to 57.20 µm for CCM. The PY values were 83.26% and for 79.67% AM and CCM, respectively. The LD values for the inside/surface were 6.78%/0.40% for AM and 4.87%/0.91% for CCM. The values of EE for inside and surface were 81.12%/12.07% for AM and 85.08%/9.19% for CCM. CCM was the system that exhibited the best mucoadhesive properties.

Conclusions: The ability of these systems to adhere mucous membranes has great appeal for the treatment of localized infections. Thus these microparticulate systems could be proposed as a suitable vehicle for this kind of mucosal infections being an alternative therapy.

References:

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