PREPARATION AND CHARACTERIZATION OF GEMCITABINE PARTICLES FOR ORAL DELIVERY

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ABSTRACT

Gemcitabine HCl, a clinically effective nucleoside anticancer agent is used for the treatment of various solid tumors. Although this drug has been demonstrated to display anticancer activity against a wide variety of tumors, it is needed to be administered at high doses to elicit the required therapeutic response, simultaneously leading to severe adverse effects. Extensive degradation of gemcitabine by cytidine deaminase to an inactive metabolite 2', 2'-difluorodeoxyuridine in the liver affects its activity adversely. Many different approaches have been tried to improve the metabolic stability. In this study, gemcitabine microparticles were prepared using Span85 and kecithin for surfactant, chitosan for mucoadhesive polymer and PLGA for copolymer by a double emulsion method. The particle size and surface charge were determined by a zeta-meter. The surface morphology was evaluated by scanning electron microscopy. The loading and entrapment efficiencies were evaluated by HPLC. Differential scanning calorimetry was used to determine the physical state of gemcitabine HCl from the particles. Based on these results, we will find a suitable sort of surfactant for preparation of gemcitabine particles, which will be tested to identify whether the oral absorption could be increased.

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