CHITOSAN COATED POLYMERIC NANOCAPSULES FOR ENHANCING THE PERMEATION OF CELECOXIB IN VAGINAL TISSUE

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Background: Vaginal administration of drugs suffers from many limitations, e.g., the short contact time of the drug with the mucosa or continuous carrier wash-out. Thus, the development of new carriers for gynecological use is necessary. Celecoxib, a selective cyclo-oxygenase-2 inhibitor, has been administered orally as an anti-inflammatory drug. It is a poorly water-soluble drug with oral bioavailability of around 40%. Besides, long-term oral administration of celecoxib produces gastrointestinal side effects. The hypothesis of the present work was to augment the permeation of celecoxib through the vaginal mucosa via its incorporation within chitosan-coated polymeric nanocapsules formulation.

Methods: The chitosan-coated polymeric nanocapsules were prepared by the nanoprecipitation method followed by ultrasonication. Chitosan was used as a coating agent to provide mucoadhesive properties and long residence time on the vaginal mucosa. The developed nanocapsules were characterized in terms of nanocapsules size, surface charge, encapsulation efficiency %, morphology, and mucoadhesive force. In vitro drug release and drug permeability across rabbit vaginal mucosa were also assessed.

Results: The optimized formulation comprised of celecoxib (20 mg), oleic acid (500 mg), lecithin (20 mg), Tween®40 (30 mg), cetyl trimethyl ammonium bromide (CTAB) (7.5 mg) and chitosan (0.3% w/v) displayed a particle size of 574.9 ± 13.06 nm, zeta potential of +34.56 ± 3.65 mV, encapsulation efficiency of 88.54 ± 0.18%, and mucoadhesive force of 2.6 ± 0.56 Pas. The transmission electron microscope images revealed a spherical shape of the optimized chitosan-coated polymeric nanocapsules. In vitro release studies displayed a sustained release pattern of celecoxib from both the non-coated and chitosan-coated polymeric nanocapsules compared to the free drug dispersion. An in vitro vaginal permeation study showed better permeation-enhancing ability of the developed celecoxib laden chitosan-coated polymeric nanocapsules than that of un-coated formulation and free drug dispersion. In addition, the amount of celecoxib uptaken through rabbit vaginal mucosa for the optimized coated formulation was higher than that of the uncoated formula and the free drug dispersion.

Conclusions: The developed chitosan-coated polymeric nanocapsules might provide a promising carrier for vaginal drug delivery and for improved control of inflammation.