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Layer-by-Layer Coated Dexamethasone Microcrystals for Experimental Inflammatory Bowel Disease Therapy

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Abstract: Layer-by-layer (LBL) coating has gained popularity for drug delivery of therapeutic drugs. Herein we described a novel approach for enhancing the therapeutic efficiency of the locally administered dexamethasone (Dex) for inflammatory bowel disease (IBD). We utilized a LBL-coating technique on Dex microcrystals (DexMCs) with multiple layers of polyelectrolytes composed of poly (allylamine hydrochloride) (PAH), poly (sodium 4-styrene sulfonate) (PSS) and Eudragit® S100 (ES). The successful deposition of the layers onto DexMCs surfaces were confirmed through zeta potential measurement and confocal laser scanning microscopy. The surface morphology was investigated through scanning electron microscopy. The drug encapsulation efficiency was 95% with a mean particle size of 2 μ m and negative surface charge (-40 mV). Moreover, in vitro drug release study showed a minimum release of the drug (15%) at an acidic condition during initial first 5 h, followed by sustained-release at an alkaline condition. For in vivo study, LBL-DxMCs were administered orally to ICR mice suffering from dextran sulfate sodium-induced colitis. LBL-DxMCs substantially enhanced anti-IBD activities as compared to DxMCs. Macroscopic, histological and biochemical (tumor necrosis factor- α , interleukin-6 and myeloperoxidase) examinations revealed marked improvements of colitis signs in the mice treated with LBL-DxMCs compared with those treated with DxMCs. Overall, LBL-DxMCs could be a suitable candidate for the treatment of IBD.

Keywords: dexamethasone, inflammatory bowel disease, LBL-coating, polyelectrolytes

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