

21PO-am297

潰瘍性大腸炎治療のための高分子-抗炎症薬結合体ナノゲルの調製と評価

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[目的] Prednisolone (PD) has been widely used as anti-inflammatory drug. However, many patients suffered the steroid side effects. Therefore, it is important to develop an adequate drug delivery system for treating ulcerative colitis (UC). In this study, we produced a colon-targeting oral drug of succinic-acid-glycol-chitosan-succinyl-prednisolone (SA-GC-SP).

[方法] In this study, the glycol chitosan(GC) was used as a macromolecular carrier in carrying the anti-inflammatory drug, prednisolone, towards to the site of action. Succinic-acid (SA) was used as a surface change modifying agent to protect the compound of glycol-chitosan- succinyl-prednisolone (GC-SP) from adhesion to stomach tissue when administered orally.

[結果] The nanogels had median particle diameter of 396.7 ± 0.47 nm and their zeta-potential were -27.93 ± 0.22 mV. In 24 h release test at 37°C, about 3 % in total in 1st fluid (pH=1.2) was released, while in 2ed fluid (pH=6.8), about 12 % in total was released. SA-GC-SP released PD faster in intestinal fluid rather than in gastric acid. In the in vivo experiment, evaluation items of the average scores were as follows: stool consistency (Control : 1.5 , PD : 1, SA-GC-SP : 0.5), bleeding (Control:1, PD:0.5, SA-GC-SP: 0) and colonic damage (Control: 3.5, PD: 2, SA-GC-SP :0). These results reveal SA-GC-SP's potential as a nano-sized drug delivery system in treatment of UC.

[考察] In this experiment, we determined the efficacy of SA-GC-SP in the treatment of UC. But in order to evaluate SA-GC-SP more accurately, we need to do more experiments such as MPO activity, measuring the time for the drug to reach to colon, and the time to remain in the colon.