

Title: Amidated Pectin/Sodium Carboxymethyl-cellulose Microspheres as a New Carrier for Colonic Drug Targeting: Development and Optimization by Factorial Design

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The colon is a promising site for drug targeting owing to its long transit time and mild proteolytic activity. The aim of this study was to prepare new low methoxy amidated pectin/NaCMC microspheres cross-linked by a mixture of Zn^{2+} and Al^{3+} ions and test their potential for colonic targeting of progesterone. A 2^4 factorial design was carried out to optimize the preparation conditions. High drug entrapment efficiency (82–99%) was obtained and it increased with increasing drug concentration but decreased with increasing polymer concentration. Drug release rate was directly proportional to the microsphere drug content and inversely related to Al^{3+} ion concentration. Drug release was minimal during the first 3 h but was significantly improved in the presence of 1% rat caecal contents, confirming the microsphere potential for colonic delivery. The microspheres achieved >2.3-fold enhancement of colonic progesterone permeability. These results confirm the viability of the produced microspheres as colon-targeted drug delivery vehicle.