テオフィリン含有アルギン酸カルシウムゲルカプセルの調製と薬物放出性評価

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Preparation of Theophylline-Loaded Calcium Alginate Gel Capsules and Evaluation of Their Drug Release Characteristics

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ABSTRACT A method for the preparation of theophylline-loaded alginate gel capsules was developed, and their drug release characteristics were investigated. A dispersion containing theophylline and wheat starch suspended in a calcium chloride solution was dropped into a sodium alginate solution. The calcium ions then diffused out of the droplets and reacted with the alginate, resulting in the formation of a water-insoluble calcium alginate gel membrane around each droplet. In subsequent drying, spherical, glossy capsules with a smooth surface were obtained (an average diameter of 3.1 mm). The coat thickness increased with coating time, and the $CaCl_2$ concentration in the core dispersion increased. The efficiency of drug encapsulation (EE) decreased with an increase of the coating time, and increased with an increase of the $CaCl_2$ concentration and the theophylline loading dose in the core dispersion. The coat thickness and EE were almost independent of the sodium alginate concentration in coating fluids (1% and 2%). The theophylline release from the gel capsules followed zero-order kinetics, and the release rates were significantly reduced as the coat thickness increased. Furthermore, the release rates were greatly reduced compared with those of the matrix-type alginate gel beads.

抄録 アルギン酸カルシウムゲルを皮膜にもつ球状ミニカプセル（ゲルカプセル）の

簡便な調製法を確立し、カプセルからの薬物放出性を溶出試験法によって評価した。本製法で、皮膜厚みはカプセル化条件によって任意に制御可能であった。カプセルからの薬物放出は0次を示し、その速度は皮膜厚みにより制御できることを見いだした。また、従来から報告例の多いマトリックス型アルギン酸カルシウムゲル小球体に比べ、ゲルカプセルの徐放性効果が大きいことを確認した。