アルギン酸ゲル小球体からのイミプラミン放出

冨田久夫、水尾　力、中村千恵、吉柳節夫

Chem. Pharm. Bull. **41**(8), 1475−1477 (1993)

Imipramine Release from Ca-Alginate Gel Beads

Hisao TOMIDA, Chikara MIZUO, Chie NAKAMURA, and Setsuo KIRYU

ABSTRACT Ca-alginate gel beads loaded with a model cationic drug imipramine were prepared, and their drug release characteristics were examined. By soaking plain Ca-alginate gel beads in an imipramine solution, the slightly translucent beads were transformed into white beads, seemingly due to the precipitation of a drug-alginate complex. The amount of drug uptake in the beads increased linearly as the initial drug concentration increased (1–10 mg/ml). However, no significant difference in uptake efficiency was observed between the beads prepared over various periods of curing time. The drug release rate from the beads was in the following order: JP X II disintegration test solution No.1 (pH 1.2)>0.9%(w/v) NaCl=test solution No.2 (pH 6.8)>distilled water. The release rates were also measured in HCl solutions, NaCl solutions, and acetate buffers with varying pHs. It was suggested that imipramine ions interacting with the acidic residues of alginates were replaced by cations in the release medium and diffused out of the beads. Therefore, Ca-alginate gel could be a useful vehicle for the controlled release of water-soluble cationic drugs.

抄録　塩基性薬物と酸性多糖間の水不溶性複合体形成に着目して、塩基性薬物の放出制御を目的とした多糖ゲル小球体の調製を試みた。即ち、Ca架橋アルギン酸ゲル小球体を塩酸イミプラミン水溶液中に浸漬することによって、定量的にかつ効率良くイミプラミンをゲル小球体に取り込むことができた。また、ゲル小球体からの薬物放出は、複合体解離および溶出液中の陽イオンとイミプラミンイオンとのイオン交換機構で進行することが判明した。