[*S.T.P. Pharm. Sci.*, **10**, 173-179 (2000)] [Lab. of Pharm. Engineering]

Drug Releasing Properties and Tensile Strength of Dry-Coated Tablets Prepared with Spray-Dried Composite Particles of Lactose and Sodium Alginate.

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Dry-coated tablets with pH-sensitive, time-controlled release properties were prepared using spray-dried (SD) composite particles, which had been produced by co-spray-drying lactose and sodium alginate to improve the compactibility of polymer for direct tabletting. Drug release was restricted in JP No.1, while that observed in JP No.2 consisted of an induction period and then rapid drug release. The induction period for drug release was controlled by the sodium alginate content of the SD composite particles forming the coating layer of tablets and the molecular weight of the polymer. The tensile strength of dry-coated tablets depended on the shape of the core tablet. If the weight of the core tablet was changed, the tensile strength of resulting tablet decreased in line with an increase in the cross sectional area ratio of the core tablet to the resulting dry-coated tablet (Score/Stotal), if easily compactible powders such as SD composite particles were used as the coating layer of dry-coaled tablets.

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Mucoadhesive Liposomes: Physicochemical Properties and Release Behavior of Water-Soluble Drugs from Chitosan-Coated Liposomes.

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Chitosan-coated MLV liposomes endowed with mucoadhesive properties were prepared by mixing a chitosan solution with a drug-loaded liposomal suspension. The amount of chitosan coating the liposomal surface increased in proportion to the concentration of chitosan used for coating. A linear correlation was found between the amount of chitosan used for coating and the percentage adhesion of chitosan-coated liposomes to the initial burst of carboxy fluorescein release, and sustained carboxy the intestinal sac. Chitosan-coating of the liposomal surface reduced fluorescein release was observed over a 24 h period. The insulin release rate from chitosan-coated liposomes was lower than that from non-coated liposomes. It is suggested that the sustained blood glucose decreasing action of chitosan-coated liposomes containing insulin reported previously could be attributed to a combination of the actions of mucoadhesion and sustained drug release from chitosan-coated liposomes.

[*Yakuzaigaku.*, **60**, 253-260 (2000)] [Lab. of Pharm. Engineering]

Formulation of Gel-Formation Ointments with Hydrophilic Polymers for Treatment of Recovery Stage of Bedsore.

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To develop an ointment formulation suitable during the recovery stages of bedsores, including the proliferation period of granulation and the formative period of epidermis, the physicochemical properties of macrogol ointment (MO) containing various hydrophilic polymers, which have gel-forming ability, were tested. The resultant ointments were evaluated in drug-releasing property, hardness, and amount of water absorbed. Carbopol (CP) added MO showed the highest water absorbing property, and the drug release from the base was also sustained (T_{50} = 65 min). However, its hardness was too high to he clinically applied. When the mixture of CP and (hydroxypropyl cellulose) HPC was added to MO instead of CP, the hardness of the resultant ointment became a suitable value, and the sustained drug release property was also improved more (T_{50}= 90min) compared with the CP-added MO, The improved drug-releasing property was attributed to the improved water-absorbing rate of the ointment by the presence of HPC.

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Mucoadhesive DL-Lactide/Glycolide Copolymer Nanospheres Coated with Chitosan to Improve Oral Delivery of Elcatonin.

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The purpose of this work was to develop a novel mucoadhesive DL-lactide/glycolide copolymer (PLGA) nanosphere system to improve peptide absorption and prolong the physiological activity following oral administration. The desired PLGA nanospheres with elcatonin were prepared by the emulsion solvent diffusion method to coat the surface of the resultant nanospheres with a mucoadhesive polymer such as chitosan, poly(acrylic acid), and sodium alginate. The chitosan-coaled nanospheres showed higher mucoadhesion to the everted intestinal tract in saline than the other polymer-coated nanospheres in vitro rat everted intestine method. The chitosan-coated nanospheres with elcatonin were administered intragastrically to fasted Wistar rats. The chitosan-coated nanosphere reduced significantly the blood calcium level compared with elcatonin solution and uncoated nanospheres, and the reduced calcium level was sustained for a period of 48 hr. Even under no fasting conditions, the mucoadhesion of chitosan-coated nanospheres was unaltered and the reduction in blood Ca levels was maintained satisfactorily.