〔J. Chem. Soc. Jpn., **1987**, 2194〕

Effects of Gel-Liquid Crystalline Phase Transition and Cholesterol on Size Control of Liposomes.

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One step size control of large multilamellar liposomes (LMLV) was accomplished by the reconstitution of liposomes through polycarbonate filter with homogeneous pores of 0.6 μm. Pressure difference across the filter was, at most 1 atom. Size control of LMLV composed of phosphatidylcholine was well-completed when the membrane was in liquid crystalline state. Addition of cholesterol gave negative effects on the reconstitution of membrane in liquid crystalline state, while cholesterol improved the size control of phosphatidylcholine membrane in gel state. Various hydrophilic compounds, dyes and proteins, were encapsulated in liposomes by freeze-thawing method.

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Spherical Solid Dispersion Containing Amorphous Tolbutamide Embedded in Enteric Coating Polymers or Colloidal Silica Prepared by Spray-Drying Technique.

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Spherical solid dispersion particles ot tolbutamide were prepared by spray-drying a diluted ammonia solution of the drug with additives. Enteric coating polymers and colloidal silica were useful as additives for the solid dispersions. Most of the tolbutamide was dispersed in the amorphous state in the solid dispersion particles when the drug and the additive were formulated in the ratio of 1 : 1. It was a characteristic of the spray-dried solid dispersions that the additive content was smaller than that of dispersions prepared by conventional solvent evaporation or by a grinding method. The dissolution rate from the solid dispersions was markedly improved compared with that from the original or spray-dried drug powder.

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Enhancement of the Dissolution Rate of a Poorly Water-Soluble Drug (Tolbutamide) by a Spray-Drying Solvent Deposition Method and Disintegrants.

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The dissolution rate of a poorly water-soluble drug, tolbutamide, was improved by spray-drying a diluted ammonia solution of the drug containing either a low-substituted hydroxypropylcellulose (L-HPC) or partly pregelatinized corn starch (PCS) as disintegrants. The deposited drug crystals were very fine because the rapid solvent evaporation restricted crystal growth. The spray-dried particles prepared with PCS had a structure similar to that of an ordered mix. The drug dissolution rate from the spray-dried particles was more rapid than that of the powdered drug alone or with disintegrant and could be attributed to separation of the layer of fine drug crystals from the surface of the particles by swelling of disintegrant.