[Pharm. Research, **10**, 351-355 (1993)] [Lab. of Pharm. Engineering]

Low-Substituted Hydroxypropylcellulose as a Sustained-Drug Release Matrix Base or Disintegrant Depending on Its Particle Size and Loading in Formulation.

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Tablets of acetaminophen as a model drug were prepared with low-substituted hydroxypropylcellulose (L-HPC) of various particle sizes at various loadings in the formulation. Drug release into an aqueous dissolution medium (pH 1.2) was remarkably sustained from tablets prepared with fine L-HPC (LH41) at loadings of more than 20%. Tablets prepared with less than 20% LH41 or with coarse L-HPCs (LH11, LH21, and LH31) disintegrated in the medium, resulting in rapid release of the drug.

[Yakuzaigaku, **53**, 35-43 (1993)] [Lab. of Pharm. Engineering]

The Particle Design of Aspirin for Direct Compression.

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Novel nonaqueous agglomerated crystallization techniques were developed in order to design aspirin (a drug decomposed by the existence of water) crystals so as to be directly compounded during their formulation. Agitation of a mixture of heptane-pentane-methanol containing aspirin yielded spherically agglomerated aspirin crystals. It was found that aspirin participated in the phase separation of methanol or pentane in this process. The proposed techniques could directly transform the fine precipitated crystals into free-flowing spherical agglomerates without the degradation of aspirin during crystallization. The dissolution rate of the agglomerates was faster than that of intact aspirin crystals.

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The effects of particle size, degree of hydroxypropoxyl substitution and moisture content of low-substituted hydroxypropylcellulose on the compactibility of acetaminophen and the drug release rate of the resultant tablets.

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The effects of particle size, degree of hydroxypropoxyl substitution and moisture content of low-substituted hydroxypropylcellulose or microcrystalline cellulose on the compactibility of acetaminophen coformulated and the drug release properties of the resultant tablets were investigated. The crushing strength of tablets increased with decreasing the particle size and the degree of hydroxypropoxyl substitution of the excipients.