〔Chem. Pharm. Bull., 38, 1680 (1990)〕

Indomethacin Sustained-Release Suppsitories Containing Sugar Ester in Polyethylene Glycol Base.

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Indomethacin (IM) sustained-release suppositories were prepared by the fusion method using sugar ester and polyethylene glycol 4000 (PEG). The suppositories were evaluated by *in vitro* release testing, X-ray analysis and *in vivo* absorption testing in rabbits. X-ray analysis showed that IM was amorphous in PEG-base suppositories. In a release test, slow-release was obtained when the sugar ester content of a suppository was 60%. The IM plasma level following the administration of the suppository was well sustained in the absorption test. The main slow-release mechanism is considered to be the release of IM from the matrix composed of sugar ester and PEG, which is represented by the Higuchi equation.

〔Chem. Pharm. Bull., 38, 2537 (1990)〕

Particle Design of Enoxacin by Spherical Crystallization Technique. I. Principle of Ammonia Diffusion System (ADS).

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Agglomerated crystals of enoxacin were prepared by a novel spherical crystallization technique using ammonia diffusion system (ADS). This technique made it possible to agglomerate amphoteric drugs like enoxacin which could not be agglomerated by the conventional means. When an ammonia water solution of enoxacin was poured into the mixture of acetone and a water-immiscible solvent such as dichloromethane under agitation, a small amount of ammonia water was liberated in the system. The ammonia water played a role both as a good solvent for enoxacin and a bridging liquid, which collected fine crystals precipitated into spherical agglomerates in one step. Moreover, agglomerates of the stable crystalline form were obtained by selecting the proper solvent.

〔Advanced Powder Technol., 1, 25 (1990)〕

Application of a newly defined capping index in evaluation of the compressibility of pharmaceutical powders.

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The compressibility of pharmaceutical powders was evaluated using the capping index which was newly defined as the ratio of the residual die wall pressure to the binding strength of compacts.

As the compaction pressure was increased, the residual die wall pressure increased while the binding strength reached a plateau, and the resultant capping index increased. These facts explained the capping behaviors during tableting under various compaction pressures.

In the case of a binary powder mixture, the residual die wall pressure changed in a simple manner with a change in powder composition while the binding strength changed in a complex manner.