〔Enzyme, **37**, 108 (1987)〕

Inhibition of Hepatic 17β-and 3α-Hydroxysteroid Dehydrogenases by Antiinflammatory Drugs and Nonsteroidal Estrogens.

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Antiinflammatory agents and estrogens have been tested as inhibitors of two isozymes of guinea pig liver testosterone 17β-dehydrogenase and rat liver 3α-hydroxysteroid dehydrogenase. Antiinflammatory steroids and estradiols were highly inhibitory to 3α-hydroxysteroid dehydrogenase and one isozyme of testosterone 17β-dehydrogenase, respectively, but nonsteroidal antiinflammatory agents and nonsteroidal estrogens showed potent inhibitions on all the enzymes. No additive effect in double inhibitor experiments with indomethacin and the nonsteroidal estrogens was observed. The compounds were all competitive inhibitors with respect to steroidal substrate.

〔J. Soc. Powder Tech. Jpn., **24**, 601 (1987)〕

The Preparation of Functional Microspheres of Pharmaceuticals with Acrylic Polymer (Eudragit®) by a Novel Spherical Crystallization technique.

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The crystal of pharmaceuticals, e.g. ibuprofen and ketoprofen, were directly modified during the crystallization process with acrylic polymer (Eudragit®) using a novel spherical crystallization technique. The resultant crystals were microspheres agglomerated with the polymer, which were highly flowable and compressible. The drug release rate from the microspheres was pH-dependent and controlled quantitatively by the type and the amount of the polymer employed. In addition, the microspheres improved the bioavailability of the drug in dogs.

〔Chem. Pharm. Bull., **35**, 748 (1987)〕

Lyophilized Liposomes Prepared by a Modified Reversed-Phase Evaporation Method.

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A modification of the reversed-phase evaporation method (modified REV method) was developed for the preparation of lyophilized unilamellar liposomes. The encapsulation efficiencies of the liposomes after a dehydration (lyophilization)-rehydration procedure were satisfactorily high, and the liposome sizes were maintained nearly constant throughout the procedure. These resutlts are different from those obtained with liposome samples prepared by the reversed-phase evaporation method. In the latter case, marked enlargement of liposome size and extensive leakage from liposomes were observed. The small amount of residual ether in the modified REV liposomes keeps the lipid membranes fluid even at freezing temperature.