Development of Polymeric Nanoparticle Carrier for Drug Delivery System and Design as Solid Dosage Forms

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To improve the function of drug delivery system, drug carrier, e.g. liposomes, polymeric nanoparticles, polymeric micelles and so on are widely employed. Especially, DL-lactide / glycolide copolymer (PLGA) nanoparticle has been accepted and attracted attention as a safety material for polymeric drug delivery carrier because of PLGA is biocompatible and biodegradable. Against conventional particle with micron meter order, nanoparticle with diameter in sub-micron range has several interesting features, such as intrusion into deeply mucous layer and other organs, uptake by cells with intact and so on. We have successfully developed the emulsion solvent diffusion method for preparation of PLGA nanoparticle and evaluated the several applications for the drug delivery devices, e.g. oral peptide delivery, pulmonary peptide delivery, nucleic acid delivery, antibacterial drug delivery against periodontal disease, brain targeting.

PLGA is degraded by nonenzymatically hydrolysis under in vitro and in vivo environment. Therefore, design as the solid dosage form is required considering the practical use of PLGA nanoparticle. During drying process with heat, sometimes aggregations of nanoparticle occur. Once such aggregation occurs, the function of nanoparticle disappeared. To avoid aggregation, nanoparticle is powderized with water soluble materials (e.g. mannitol, sorbitol) by freeze-drying method. However, handling of the lyophilized powder is difficult due to bulky and easy entrainment. We developed the new formulation for freeze-drying method of PLGA nanoparticle to obtain the dense powder. Such dense powder can be handled equally as general powders. Tablet containing nanoparticle can also be prepared. When lyophilized nanoparticle powder and tablet are immersed into water, the nanoparticle can be resuspended. This technique is expected to improve the convenience of nanoparticle application.

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