GS02-5 Design of albumin-encapsulated liposomes and its pharmaceutical application

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In order to prepare of stable liposomes with significant amounts of drugs, it is desirable to encapsulate drug into the inner aqueous phase. However, it is difficult to encapsulate hydrophobic drugs into the inner aqueous core of a liposome. We hypothesized that hydrophobic drugs bound to albumin could be encapsulated into inner aqueous core of a liposome. In this study, we prepared bovine serum albumin (BSA)-encapsulated liposomes (BSA-liposome) and evaluated its potential as a hydrophobic drug carrier. Transmission electron microscopy and small angle X-ray scattering indicated that the BSA-liposome was unilamellar vesicles encapsulating BSA in the inner aqueous phase. In addition, BSA-liposome showed high biocompatibility and improved the loading of the five hydrophobic drugs (warfarin, diazepam, paclitaxel (PTX), silibinin, tacrolimus), which are known to bind to albumin, in the inner aqueous core of the liposome. Interestingly, use of ethanol as a solubilizing agent markedly increased the loading of PTX in the inner aqueous core of the liposome by virtue of the high solubility of PTX in BSA solution. Thus, the effects of PTX-encapsulated BSA-liposome (PTX-BSA-liposome) prepared with ethanol were assessed in 2D breast tumor cells and 3D multicellular tumor spheroids. As a result, PTX-BSA-liposome showed cytotoxicity against two types of breast cancer cell (MCF-7 and MDA-MB 231) on 2D and 3D tumor model. This unique BSA-liposome has considerable promise as a novel carrier for hydrophobic drugs.