

Self-assembled nano aggregates based on polysuccinimide based graft copolymers for pH controlled release of Doxorubicin

_____, *

(djkim@skku.edu*)

A series of biodegradable copolymers based on polyaspartamide were synthesized by grafting hydrophilic O-(2-aminoethyl)-O'-methylpoly(ethylene glycol)(MPEG), hydrophobic cholic acid(CA), and pH sensitive hydrazone segments conjugated with doxorubicin(DOX) on polysuccinimide(PSI) backbone. This hydrazone group could be cleaved effectively to release the conjugated drug, DOX, in an acidic environment. The chemical structure and the degree of substitution of each graft segment were analyzed using FT-IR and ¹H-NMR spectroscopies. The pH dependence of aggregation - de-aggregation transition behavior was characterized by light transmittance measurements, and the size and distribution of self aggregates were by dynamic light scattering(DLS) measurement. The mean diameter of MPEG/HYD/CA-g-PASPAM self aggregates increased from 125 to 200 nm at pH 7.4, as DS of CA was from 10 to 20%. At low pH The pH dependenc of release kinetics is observed for copolymer aggregates. While 30% of the total DOX loaded was released in about 30 h at pH 7.4, more than 60% was released at pH 5.0. The prepared polymer is expected to have potential applications as drug delivery carriers for intracellular.