Intracellular uptake and pH dependent release of Doxorubicin from the self-assembled micelles based on amphiphilic polyaspartamide graft copolymers

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Biodegradable and pH-sensitive graft copolymers based on polysuccinimide (PSI) were synthesized as intracellular drug carriers. Hydrophobic octadecylamine (C_{18}) and hydrophilic *O*-(2-aminoethyl) polyethylene glycol (PEG, Mw 5,000) were grafted on PSI backbone for amphiphilicity, enabling the formation of self-assembled micellar structure in aqueous medium. Biotin was conjugated at the end of PEG segment as the cell penetrating ligand, and hydrazone hydrate was introduced as a cleavable linkage for the release of pH sensitive drug, doxorubicin. The chemical structure of the polymer and degree of substitution of the graft segments were confirmed by Fourier transform infrared (FTIR) and 1H NMR spectroscopy. he average diameter of the polymer micelles was 290-310 nm with a narrow distribution. Less than 30% of the total DOX loaded in the polymeric micelles was released at pH 7.4, whereas □75% was released at pH 5 in 70 h because of the cleavage of hydrazone bond in acidic condition.