

pH-sensitive drug release behavior of polyaspartamide derivatives grafted with 1-(3-aminopropyl)imidazole

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Amphiphilic polyaspartamide derivatives were synthesized by a successive graft reaction of octaceylamine, O-(2-aminoethyl)-O'-methylpolyethylene glycol, and 1-(3-aminopropyl)imidazole on polysuccinimide. The prepared polymers self-assembled like micelle, whose size is from 100nm to 200nm. In polymers with low DS of C18, an aggregation was observed at pH above 7, while the aggregates was dissociated at pH below 7 by ionization and deionization of imidazole groups, whose pKa value is 6.5. In polymer with high DS of C18, stable polymer aggregates were formed in pH range from 4 to 9. A paclitaxel, one of best anti-neoplastic drugs, was loaded into graft copolymers using simple method of controlling pH of polymer solution. In polymer with C18 DS of 32%, the release pattern of paclitaxel follows nearly the first order kinetics and the release rate is accelerated by decreasing pH, while the polymer with C18 DS of 8% showed the triggered drug release behavior up to about 90% of the total paclitaxel amount loaded in polymer for initial 5hours at pH 5. These polymers are expected to have potential applications as pH-sensitive nano-carriers for anticancer drug delivery.