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Doxorubicin-loaded polymeric nanoparticles for cancer therapy

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Polymeric nanoparticles (NPs) self-assembled from amphiphilic block copolymers have generated great interests in drug delivery. Among them, flower-like polymeric NPs are formed by the aggregation of amphiphile BAB triblock copolymers that combine two terminal hydrophobic B segments with a central hydrophilic A one. The looped hydrophilic corona often confers the flower-like NPs higher physical stability, larger core and greater encapsulation capacity than brush-like NPs, and presumably limits the possible opsonization due to the closed surface conformation. DOX-loaded flower-like polymeric NPs were prepared from PCL-PEG-PCL triblock copolymers using thin-film hydration and ultrasonic dispersion method. The morphology of the NPs was investigated by SEM and TEM. The particle size, polydispersity, and zeta potential of the drug-loaded NPs were determined by DLS. The *in vitro* release profile of DOX-loaded polymeric NPs was studied. *In vitro* cytotoxicity of DOX-loaded polymeric NPs against EMT6 mammary carcinoma cells were conducted. The cellular uptake of NPs was investigated utilizing CLSM. The biodistribution of DOX-loaded polymeric NPs was investigated ex vivo. The obtained DOX-loaded polymeric NPs exhibited apparent core-shell morphology with high drug encapsulation efficiency and satisfactory size. DOX was released in a sustained and long-term fashion, and achieved faster release in slightly acidic medium, providing the possibility for pH-triggered drug delivery in cancer therapy. Ex vivo imaging biodistribution studies indicated that DOX-loaded NPs increased drug penetration into tumors compared with normal tissues. Furthermore, DOX-loaded NPs enhanced the accumulation of DOX in the tumor tissue compared with free DOX, showing 2.38 times higher DOX fluorescence at 24 hours post-injection. The present studies indicate that the DOX-loaded polymeric NPs might have the potential to be developed as a nano-drug delivery system for cancer chemotherapy.

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