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MPEG-hexPLA micelles as novel carriers for hypericin, a fluorescent marker for use in cancer diagnostics.

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Abstract

Ovarian cancer is the most common gynecological cancer diagnosed in Western countries. Detection of micrometastases at an early stage of the disease could lead to a cure rate of 90% by limiting the spread of the disease outside the ovaries. In this article, hypericin (Hy), a hydrophobic photosensitizer used for the photodynamic diagnosis (PD) of ovarian cancer, was efficiently incorporated into a core of micelles made from methoxy-poly(ethylene glycol) and hexyl-substituted poly(lactides) copolymers. The fate of these micelles following intravenous injection was studied *in vivo* in two ovarian tumor-bearing animal models. In the chick embryo chorioallantoic membrane model, 17 times more Hy accumulated in tumor nodules when Hy was delivered with micelles than when Hy was delivered as an ethanol solution. Studies of the biodistribution of Hy in Fisher rats revealed escape of these nanosized micelles (<32 nm) from the mononuclear phagocyte system. Hy-loaded micelles showed maximal accumulation in tumors and demonstrated the best tumor/muscle contrast visible 3 h after injection in the rat model. The rapid and highly selective accumulation of Hy in tumors that we demonstrated in this study suggests that these micelle formulations could be used for the PD of ovarian cancer in the future.

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