Liposome encapsulation reduces cantharidin toxicity.

Chang CC, Liu DZ, Lin SY, Liang HJ, Hou WC, Huang WJ, Chang CH, Ho FM, Liang YC.

Abstract

Several reports have demonstrated that cantharidin is a strong anticancer compound in vitro; however, its in vivo usefulness is often limited due to its high systemic toxicity. In this study, we encapsulated cantharidin into pegylated liposomes and studied its activity against human breast cancer MCF-7 cells in vitro and its systemic toxicity in mice. Another two methods were also used to reduce the dosage of cantharidin, including labeling liposomal cantharidin with octreotide and exposing cells to hyperbaric oxygen. The cytotoxic activity of pegylated liposomal cantharidin was drastically reduced compared with free cantharidin in vitro. Octreotide-labeled pegylated liposomal cantharidin induced cell death by specifically targeting somatostatin receptors in MCF-7 cells. Cell death was augmented with a low dose of cantharidin under hyperbaric oxygen. Liposomal cantharidin had significantly less systemic toxicity than free cantharidin in vivo and also exhibited a high efficacy against antitumor growth in nude mice. These results suggest that the systemic toxicity of cantharidin can be mitigated by liposome encapsulation; however, that did not decrease its antitumor activity.