Boron Nano Capsules for Neutron Capture Therapy

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Boron neutron capture therapy (BNCT) is a binary cancer treatment based on the nuclear reaction of two essentially nontoxic species, ^{10}B and thermal neutrons. The neutron capture reaction by ^{10}B produces an α-particle and a lithium-7 ion bearing approximately 2.4 MeV, and these high linear energy transfer particles afford precise cell killing. Therefore, high accumulation and selective delivery of boron into tumor tissue are the most important requirements to achieve efficient neutron capture therapy of cancers. There are three most important parameters for development of boron compounds: (1) achieving tumor concentrations in the range of 20-35 μg ^{10}B/g; (2) a tumor/normal tissue differential greater than 3-5; (3) sufficiently low toxicity. We focused on liposomal boron delivery system in order to achieve a large amount of boron delivery to tumor. We succeeded in the synthesis of the double-tailed boron cluster lipids, which has a $B_{12}H_{11}S$-moiety as a hydrophilic function, by *S*-alkylation of $B_{12}H_{11}SH$ (BSH) with bromoacetyl and chloroacetocarbamate derivatives of diacylglycerols. Size distribution of liposomes prepared from the boron cluster lipid 4b, DMPC, PEG-DSPE, and cholesterol was determined as 100 nm in diameter by an electrophoretic light scattering spectrophotometer. Calcein-encapsulation experiments revealed that these boronated liposomes are stable at 37 °C in FBS solution for 24 h. The detailed biological studies will be presented.

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