Internal radiotherapy and dosimetric study for 111 in 177 Lu-pegylated liposomes conjugates in tumor-bearing mice

鄧文炳
Wang HE;Yu HM;Lu YC;Heish NN;Tseng YL;Huang KL;Chuang KT;Chen CH;Hwang JJ;Lin WJ;Wang SJ;Ting G;Peng JW;Deng WP

Abstract

In vivo characterization and dosimetric analysis has been performed to evaluate the potential of pegylated liposomes as carriers of radionuclides in tumor internal radiotherapy. Methods: The DTPA/PEG-liposomes were synthesized with a medium size of 110 nm, conjugated with 111In/177Lu-(oxine)3 to afford 111 In/177Lu-liposome. The stability of 111In/177Lu-liposome in serum was investigated. The biodistribution, scintigraphic imaging and pharmacokinetics of 111In/177Lu-liposomes after intravenous(i.v.) injection into C-26 tumor-bearing BALB/cByJ mice were studied. Radiation dose was estimated by MIRD-III program. Results: The incorporation efficiency of 111In/177Lu into liposomes was 95%. After incubation at 37 °C for 72h in serum, more than 83% of radioactivity was still retained in the intact 111In/177Lu-liposomes. The biodistribution of 111In-liposomes showed that the radioactivity in the blood decreased from 23.14±8.16%ID/g at 1 h to 0.02±0.00%ID/g at 72h post-injection (p.i.), while reaching its maximum accumulation in tumors at 48 h p.i., with half-life in blood of 10.2 h. The results were supported by that of 177Lu-liposomes. Scintigraphic imaging with "'In-liposomes showed unambiguous tumor images at 48 h p.i. Dose estimation showed that the absorbed dose in tumor from 177Lu-liposomes was 5.74 × 10-5 Gy/MBq. Conclusions: This study provides an in vivo characterization and dosimetric evaluation for the use of liposome systems as carriers in targeted radionuclide therapy. The results suggest that adequate tumor targeting as well as dose delivered to tumors could be achieved by the use of radionuclide targeted liposomes.