

LIPENGOLTS-1: Boron clusters as promising boron carriers for BNCT

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The efficacy of BNCT in curing cancer highly depends on the boron carrier used. L-boron phenylalanine (BPA) and sodium borocaptate (BSH) proved to be effective pharmaceuticals for BNCT of some types of tumors but their specificity to malignancies is not good enough and varies greatly from patient to patient. Development of new effective tumor specific boron carriers is the key to successful treatment of tumors with BNCT. Boron clusters is a unique class of boron compounds with low molecular weight (<140 Da) and boron content up to 55%. BSH is the most known in BNCT representative of boron clusters. A lot of derivatives with absolutely different chemical and pharmacological properties can be synthesized with boron clusters. Primary screening of 62 boron clusters based compounds was performed among them 29 compounds were studied for toxicity and tumor uptake in murine tumor models. Tumor-to-normal and tumor-to-blood ratios were determined. Two compounds showed better boron uptake in murine melanoma B16F10 and higher T/N ratio value than BPA and BSH. T/N ratio of 10.6 was achieved in 12 hours after the compound administration with ¹⁰B concentration in tumor of 56 µg/g. Intracellular distribution study of some boron clusters derivatives was also made. It was shown that amphiphilic boron cluster derivative has significant uptake and retention in tumor cells nuclei better than BSH, thus making this class of boron cluster derivatives more efficient for killing tumor cells with BNCT. High boron content in boron clusters, good water solubility, promising pharmacological properties and opportunity for boron clusters chemical modification with a variety of substitutes make boron cluster a promising basis for development of a spectrum of new boron carrier pharmaceutical effective in curing different type of malignant tumors with BNCT.