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Design of a novel carrier for Dy-166/Ho-166 in vivo generator

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Radionuclide therapy is a promising therapeutic modality for cancer treatment. Radionuclides are usually bound to conventional chelators such as DOTA and conjugated to a tumor-targeting agent to selectively kill tumor cells by locally delivering ionizing radiation while sparing healthy tissue. Holmium-166 (Ho-166, half-life=26.8 h) has been recently applied in radioembolization to treat hepatocellular carcinoma or liver metastases due to its emission of high-energy beta particles. Its mother nuclide, dysprosium-166 (Dy-166), has been considered as an alternative for Ho-166 in cancer treatment, i.e. the Dy-166/Ho-166 in vivo generator. Due to the longer half-life time of Dy-166 (half-life =81.5 h), approximately three times higher dose can be delivered to a tumor with the same amount of administrated activity as Ho-166. However, it has been reported that 72% of the Ho-166 disassociates when bound to DOTA or similar chelators due to the increase of charge number of Ho-166 ions after the internal conversion. The resulting Ho-166 ions with high charge tend to extract electrons from surrounding atoms and thus cause the rupture of the Ho-DOTA complex. The released Ho-166 might induce severe side effects to the healthy tissues. Therefore, a carrier that can prevent the loss of Ho-166 due to internal conversion has to be developed.
In this work, we prepared Dy-166 labelled gold nanoparticles via a seed-mediated growth method. First, Dy-166 was co-reduced with a gold precursor to form a Dy-Au nanoparticle seed. Then an extra gold layer was grown on top of the seed nanoparticles to form a core-shell structured nanoparticle, i.e. DyAu@Au nanoparticle. The final product had a diameter of 5 nm and a Dy-166 labelling efficiency of 60%. The Ho-166 retention tests showed that more than 95% of Ho-166 was retained for at least 72 hours at 37 ℃ in water. To the best of our knowledge, this is the first study to retain radionuclides freed due to internal conversion with gold nanoparticles. Overall, this study presents a simple, quick, and chelator-free radiolabelling method for Dy-166 with minimum loss of internally converted Ho-166.

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