We have developed a highly efficient method for utilizing liposomes as imaging agents for positron emission tomography (PET) giving high resolution images and allowing direct quantification of tissue distribution and blood clearance. Our approach is based on remote loading of a copper-radiouclide (64Cu) using a new ionophore, 2-hydroxyquinoline, to carry 64Cu(II) across the membrane of preformed liposomes and deliver it to an encapsulated copper-chelator. Using this ionophore we achieved very efficient loading (95.5 ± 1.6%) and retention stability (>99%), which makes the 64Cu-liposomes highly applicable as PET imaging agents. We show the utility of the 64Cu-liposomes for quantitative in vivo imaging of healthy and tumor-bearing mice using PET. This remote loading method is a powerful tool for characterizing the in vivo performance of liposome based nanomedicine, and has great potential in diagnostic and therapeutic applications.
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