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Gallium Ga 68-labeled BNOTA-PRGD2

National Cancer Institute

Source

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A radiopharmaceutical agent comprised of a pegylated arginine-glycine-aspartic acid dimer (PRGD2) labeled with gallium Ga 68, with potential alphaVbeta3 integrin imaging activity upon positron emission topography (PET) or single photon emission computed tomography (SPECT). This radiopharmaceutical is prepared by conjugating PRGD2 with chelator S-2-(4-isothiocyanatobenzyl)-1,4,7-triazacyclononane-1,4,7-triacetic acid (BNOTA) which is capable of forming a six-coordinate complex with Ga 68. After intravenous administration, gallium Ga 68-labeled BNOTA-PRGD2 binds to alphaVbeta3 integrin on the cell membrane via the cyclic tri-amino acids RGD motif. Upon PET imaging, alphaVbeta3 integrin-expressing tumor cells can be visualized and expression levels can be quantified. Compared to other radiolabeled RGD-containing peptides, this agent shows increased affinity to alphaVbeta3 integrin, enhanced tumor uptake as well as improved pharmacokinetics. alphaVbeta3 integrin is overexpressed on certain tumor cells and tumor endothelial cells while minimally or not expressed on healthy, normal cells and plays a key role in angiogenesis, tumor proliferation and survival.