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Gallium Ga 68-NOTA-BBN(7-14)-RGD

National Cancer Institute

Source

National Cancer Institute. *Gallium Ga 68-NOTA-BBN(7-14)-RGD*. NCI Thesaurus. Code C128035.

A radioconjugate containing the bombesin (BBN) fragment BBN(7-14) comprised of the amino acid sequence Gln-Trp-Ala-Val-Gly-His-Leu-Met-NH₂, which targets the gastrin-releasing peptide receptor (GRPR), and linked, via a glutamate linker, to the cyclic arginine-glycine-aspartic acid (RGD) sequence-based peptide cyclo[Arg-Gly-Asp-D-Tyr-Lys] (c(RGDyK)), which targets integrin αvβ3 (αvβ3), and labeled with the radionuclide gallium (Ga) 68 through the macrocyclic chelating agent, 1,4,7-triazacyclononane-N,N',N''-triacetic acid (NOTA), with potential use in diagnostic imaging using positron emission tomography/computed tomography (PET/CT). Upon administration of gallium Ga 68-NOTA-BBN(7-14)-RGD, the BBN peptide moiety of the RGD-BBN heterodimer specifically targets and binds to GRPR while the RGD moiety specifically binds to the αvβ3. Upon PET/CT, GRPR- and/or αvβ3-expressing tumor cells can be visualized and expression levels can be quantified. GRPR, also called bombesin receptor 2 (BB2), is a seven-transmembrane G protein-coupled receptor belonging to the bombesin receptor family. αvβ3, an integrin receptor, plays a key role in angiogenesis, tumor proliferation and survival. Both are overexpressed in certain types of cancers.