

$\alpha V\beta_3$ -Targeted radiotracers: From discovery chemistry to clinical practice

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Integrin $\alpha V\beta_3$ is a receptor for extracellular matrix proteins with the arginine-glycine-aspartic (RGD) tripeptide sequence, and plays a significant role in tumor angiogenesis. The $\alpha V\beta_3$ is expressed at low levels on epithelial cells and mature endothelial cells, but it is overexpressed on the activated endothelial cells of tumor neovasculature and some tumor cells. The restricted $\alpha V\beta_3$ expression during tumor growth, invasion and metastasis present an interesting molecular target for early cancer detection and noninvasive monitoring of antiangiogenic therapy. Over last decade, many radiolabeled cyclic RGD peptides have been evaluated as $\alpha V\beta_3$ -targeted radiotracers. Significant progress has been made on their use for imaging integrin $\alpha V\beta_3$ -positive tumors by SPECT or PET. This presentation will focus on our own experiences (from bench chemistry to clinical practice) in the development of radiolabeled multimeric cyclic RGD peptides as integrin $\alpha V\beta_3$ -targeted radiotracers. It will discuss different approaches to maximize the targeting capability of RGD peptides and to improve the radiotracer excretion kinetics from non-cancerous organs. Improvement of tumor uptake and tumor-to-background ratios is important for early detection and noninvasive monitoring of therapeutic efficacy of antiangiogenic therapy.

Biography

Dr. Liu earned his Ph.D. from Memorial University of Newfoundland. He spent three years as a postdoctoral fellow at University of British Columbia. Dr. Liu worked at DuPont for 9 years, and has extensive experiences in developing new molecular imaging probes. Dr. Liu joined Purdue University in 2002, and became a full professor in 2010 in School of Health Sciences. Dr. Liu is the author/co-author of >140 journal publications, and the inventor/co-inventor of 35 US patents or PCTs. In 2009, Dr. Liu was the most-prolific author who had published in Bioconjugate Chemistry over the last 20 years.

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