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Development and evaluation of a 68Ga-labeled Angiotensin peptide coupled to Rhodamine for diagnostic imaging of heart.

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Objectives: Rhodamine (Rh) is a lipophilic cation, same as 99mTc-MIBI that specifically accumulates in the myocardium. In an attempt to formulate a PET-based cardiac agent with enhanced targeting efficiency, we linked Rh to angiotensin II (Ang II), an 8 amino acid peptide that has been known to play an important role in cardiovascular function. Here we evaluate the 68Ga-labeled Rh-Ang II conjugate for its potential as a cardiac imaging agent.
Methods: Rh-Lys(DOTA)-Asp-Arg-Val-Tyr-Ile-His-Pro-Phe-CONH2 was prepared conveniently by solid-phase peptide synthesis according to Fmoc/HBTU chemistry. Rh-NHS ester was coupled to the peptide through the amino group of Lys residue and radiolabeled with 68Ga via DOTA chelator. Metabolic stability of the radiotracer was determined in human plasma and in vivo biodistribution and pharmacokinetics was conducted on Balb/c mice and Sprague Dawley rats.
Results: The Rh-Ang II conjugate was radiolabeled efficiently with 68Ga (>75%) as determined by radio-HPLC analysis and showed sufficient metabolic stability in human plasma. In mice, the radiotracer displayed efficient clearance from the blood and excreted from the body mainly through the renal route with some elimination by the hepatobiliary system. The radiotracer uptake in the heart was found to be 1.85±0.59% ID/g as early as 30 min post-injection. The accumulation in other major organs including liver, lungs, stomach, intestines and kidneys was below 8% ID/g. A high uptake by these organs may interfere with the efficient visualization of the heart. In case of rats, the radiotracer showed better pharmacokinetic characteristics, with low uptake of radioactivity in the major body organs/tissues (<4.0% ID/g). The uptake of 68Ga-Rh-Ang II in the heart, 1.91±0.65% ID/g, was higher than the uptake found in the blood and muscle resulting in good heart-to-blood and heart-to-muscle ratios. Additionally the radiotracer exhibited cardiac extraction values comparable to 99mTc-MIBI in rat hearts.
Conclusions: These results suggest that the combination of two biomolecules is an attractive approach to enhance targeting efficiency and for rapid and efficient diagnostic imaging of heart. Further studies are in progress to determine the full potential of this cardiac imaging agent.

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