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Synthesis and Biological Evaluation of ^{68}Ga -AMD3100 as a Possible PET Imaging Tracer for Cardiovascular Disease

Introduction: The role of CXCR4 receptor as therapeutic targets in cardiovascular disease was investigated. The AMD100 as a familiar antagonist to CXCR4 is contributed in recovery of defects in myocardial infarction. Noninvasive targeted-CXCR4 SPECT and PET imaging to determine cardiovascular disease may be identified regional CXCR4 upregulation in some of the cardiovascular disease. We report the development of radiolabeled CXCR4 tracer to follow cardiovascular diseases.

Methodology: [^{68}Ga] labeled 1,1'-[1,4-Phenylenebis(methylene)] bis-1,4,8,11-tetraazacyclotetradecane ([^{68}Ga]-AMD3100) was prepared using generator-based [^{68}Ga]GaCl₃ and AMD-3100 for 15 min at 60°C.

Results: Radiochemical purity: >99% ITLC/HPLC, specific activity: 50-60 GBq/mmol in acetate buffer. Stability of the radiolabeled complex was investigated in fresh human serum (37°C) up to 2h.

For survey of biodistribution studies, the radiolabeled agent was administered to wild-type mice and were followed up to 2h.

Conclusion: Our previous study on ^{67}Ga -AMD3100 and recent study on ^{68}Ga -AMD3100 would be introduced to the new series of radiolabeled tracer to CXCR4 for prognosis and following of cardiovascular defects based on CXCR4 through noninvasive SPECT and PET imaging methods.

Key words: Cardiovascular disease, ^{68}Ga -AMD3100, CXCR4

Country/Organization invited to participate

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Track Classification: Radiopharmaceutical production using cyclotrons and radionuclide generators - including good manufacturing practices and quality assurance aspects - with special reference to imaging agents for CVDs