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RAPID MINIATURIZED PAPER CHROMATOGRAPHIC RADIOCHEMICAL QUALITY-CONTROL PROCEDURES FOR Tc-99m RADIOPHARMACEUTICALS (THIRD REPORT).

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The radiochemical quality control for Tc-99m radiopharmaceuticals (on-site preparations) should be carried out before administration to patients. Our initial experiment of quality control for Tc-99m radiopharmaceuticals with rapid miniaturized paper chromatography (mini PC) was reported in 1975. The present study is undertaken to evaluate the efficacy of the mini PC in the quality control of Tc-99m-labeled DTPA, DMSA, HSA, MAA and Sn-colloid.

The apparatus of mini PC consists paper strip (5mmX55mm Toyo filter paper No.50), developing tube(15mmφX80mm test tube) and its stopple. Radiochemical impurities in the Tc-99m radiopharmaceuticals were also determined for comparison purposes using conventional chromatographic methods described by the Welfare Ministry (TLC-PC) and High-performance Liquid Chromatography(HPLC).

The mini PC using 80 and 90% acetone clearly separated free pertechnetate from the Tc-99m radiopharmaceuticals, and radiochemical impurities determined by this procedure were almost identical with the results of TLC-PC and HPLC.

The mini PC presented is reliable, rapid, easy and inexpensive to perform, and useful for routine determination of impurity in various Tc-99m radiopharmaceuticals.

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EVALUATION OF A NEW Tc-99m REDUCING AGENT, $NaBH_4$.

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A new Tc-99m reducing agent, sodium borohydride, $NaBH_4$, was evaluated.

1-10 mg of $NaBH_4$ dissolved in 100 μl of 1N NaOH was added to Tc-99m-TcO_4^- containing saline and the reaction mixture was heated at 60-70°C. This heating step was important for the stability of the reduced Tc-99m. Tc-99m-B complex formed was extracted with methanol by reflux. And then, to the methanol solution, catecholamine-related substances such as norepinephrine, L-dopa etc. in acetic acid were added. The reaction was surveyed with HPLC. For analysis of the resulting compounds at each step, TLC was also used.

For complete reduction of 5-10 mCi of Tc-99m-TcO_4^-, 5-10 mg of $NaBH_4$ was required. Tc-99m-B-norepinephrine or Tc-99m-norepinephrine(or L-dopa) complex was demonstrated to be formed in the reaction mixture. However it was also demonstrated that these complexes were very short-lived and quickly decomposed($T1/2 \simeq 3$ min.), which was probably due to the existence of competing ions or functional groups in the reaction system. Besides such complex form, various states of Tc-99m, ionic and nonionic, were observed.

Reaction mechanism taking place among Tc-99m, B, and catecholamine-related substances in such reaction system and the improved methodology are now under investigation.

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SYNTHESIS AND BIODISTRIBUTION OF Tc-99m LABELED FATTY ACID.

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Fatty acid analogues, I-123 labeled ω-phenyl fatty acid and C-11 labeled palmitic acid have attracted great interest as myocardium imaging agents or metabolic tracers. However, development of Tc-99m labeled fatty acid has been most desirable; so design of a new fatty acid (FA) derivatives containing a di-thiosemicarbazone (DTS) as a chelating site was considered of interest.

Synthesis of the DTS-FA was carried out based on previous methods reported. Then upon the studies on the Tc-99m labeling procedure, mice biodistribution of this Tc-99m-DTS-FA was comparatively studied with radioiodinated ω-phenyl FA (I-131-IPDA) and Cu-64-DTS-FA. An early phase of myocardial accumulation was detected with Tc-99m-DTS-FA similar to that of I-131-IPDA but with slower blood clearance. The Cu-64-DTS-FA also showed a close myocardial uptake with faster blood clearance, offering a heart to blood ratio of 1.7 after 60 min.

Gathered results offered good potentiality of Tc-99m-DTS-FA as a new myocardial imaging agent. Differences observed with those radiometallic nuclides and radioiodinated FA is discussed.

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DEVELOPMENT OF NEW TUMOR DIAGNOSIS RADIOPHARMACEUTICAL : C-11 AND Tc-99m LABELED 1-THIOGLUCOSE.

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Well known increased glycolysis in cancer cells has cleared in the use of F-18-FDG as for tumor detection. On similar basis, among various glucose analogs of our interest, preliminary screenig on 1-thioglucose(TG) was estimated. A plausible different utilization of metabolically active tumor cells of TG labeled under two methodology, as for their exploitation in diagnostic purposes, is attempted: (a) Methylation using C-11-CH_3I by simple mixing for 2-3 min under stirring. (b) Coordination of metallic radionuclide Tc-99m, under condition favorable for the formation of pentavalent, polynuclear complex. Good radiochemical yield and purity prompted a screening on Ehrlich tumor bearing mice. Biodistribution of C-11-methyl TG showed relatively high activity accumulated in tumor, reaching a tumor/blood ratio and tumor/muscle ratio of 1.3 and 1.5, respectively in 1 hr post-injection. Instead, the Tc-99m labeled TG required more than 3 hrs to reach a similar ratio. As high ratio of 3.0 and 7.0 was obtained only after 24 hrs. Methodology involved in the labeling procedures and their implication in mice bearing tumor biodistribution is discussed; this preliminary screening is considered of great interest as for future studies with other glucose derivatives in our search for tumor imaging agent.