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Tc-99m LABELING OF MONOCLONAL ANTIBODY USING BIFUNCTIONAL CHELATING AGENT. T.Furukawa, M.Fukunaga, R.Rorita, Y.Arano, A.Yokoyama, K.Endo and K.Torizuka. Kawasaki Medical School, Kurashiki and Kyoto University, Kyoto.

Labeling of monoclonal antibodies (MoAbs) with radioactive metals for radioimmunodetection is now actively being investigated. We previously reported that the conjugation of the bifunctional chelating agent, p-carboxyethylphenylglyoxal bis(N-methylthiosemicarbazone) (CE-DTS), to anti-thyroglobulin MoAb and the Tc-99m labeling of CE-DTS-MoAb conjugates did not alter the immunoreactivity, and that the labeled MoAb was stable.

In the present experiment, anti-hCG MoAb was labeled with Tc-99m and the labeling conditions were studied. CE-DTS-MoAb conjugates were labeled at pH 4.5-6.2 in acetate buffer using either SnCl₂/ascorbic acid solution or SnCl₂/tartrate buffer. With the highest yield stable Tc-99m labeled MoAb was obtained under the condition using SnCl₂/tartrate buffer at pH 6.2. And it was observed that the Tc-99m labeled MoAb prepared under the new labeling condition showed the faster clearance from the liver than that obtained using SnCl₂/ascorbic acid solution at pH 4.5 as yet, and that the biodistribution of Tc-99m labeled F(ab')₂ in mice was similar to that of Ga-67 labeled F(ab')₂.

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ACCUMULATION OF 2-DEOXY-2-[F-18]FLUORO-D-GALACTOSE IN THE LIVER BY METABOLIC TRAPPING. K.Ishiwata, Y.Imahori and T.Ido, Cyclotron & Radioisotope Center, Tohoku Univ., Sendai. M.Tada and T.Matsuzawa, Research Institute for Tuberculosis and Cancer, Tohoku Univ., Sendai.

2-Deoxy-2-[F-18]fluoro-D-galactose (¹⁸FdGal) has shown extremely high accumulation in the liver (Fukuda et al. Jpn. J. Nucl. Med. 20(1983) 602). In this paper, metabolic pathway of FdGal was investigated in rats. ¹⁸FdGal was synthesized by the reaction of tri-O-acetyl galactal with [F-18] acetyl hypofluorite. Metabolites of ¹⁸FdGal were analyzed by HPLC and TLC. The ¹⁸F was scarcely incorporated in the acid-insoluble materials. As metabolites, ¹⁸FdGal-1-P and UDP-¹⁸FdGal, which were identified enzymatically, were detected. In the liver the amount of ¹⁸FdGal was below 10% and most of the ¹⁸F was detected as ¹⁸FdGal-1-P at 10 min after the injection, and UDP-¹⁸FdGal increased with time. In other organs, these metabolites were also detected, but metabolic rates seemed slow reflecting enzymatic activity in each organ. In the serum, 5% of the ¹⁸F was detected as metabolite(s) at 60 min.

In conclusion, it has been confirmed that ¹⁸FdGal is metabolized by galactokinase and then galactose-1-phosphate uridylyltransferase and is trapped in the organs, and ¹⁸FdGal is expected to be a radiopharmaceutical for the liver function studies by positron emission tomography.

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DEVELOPMENT OF IODO LABELED GLUCOSE DERIVATIVE FOR BRAIN DIAGNOSIS. Y.Magata, Y.Arano, K.Horiuchi, A.Yokoyama, H.Saji, K.Torizuka. Faculty of Pharmaceutical Sciences and School of Medicine. Kyoto University, Kyoto.

In recent year, research on labeling glucose derivatives for regional brain glucose availability is attracting great interest. In preliminary work with glucose-1,2-bis(thiosemicarbazone)(GBT), a bifunctional radiopharmaceutical with thiosemicarbazide substituted at C-1 and C-2 position of D-glucose, its presence in the brain at the very early period post intravenous injection has been recognized. Considering the well known applicability found with D-glucose derivatives labeled at C-2 position (2-FDG), a glucose derivative containing a neutral p-iodobenzyl group at C-2 position was synthesized. This compound was labeled with I-125 by the exchange reaction and its purity tested by thin layer chromatography (purity >98%). Mice biodistribution of this compound showed its retention in brain for more than 60 min. Brain uptake inhibition studies carried out with phlorizin, an inhibitor of glucose transport system of the blood brain barrier, showed appropriate response for estimating the involvement of this glucose derivative in the glucose transport system of the blood brain barrier; a good index for this glucose derivative as being a useful agent for measuring the glucose transport availability through the blood brain barrier.

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RELATION BETWEEN THE LOCATION OF ELEMENTS IN THE PERIODIC TABLE AND CARDIAC MUSCLE-UP TAKE RATE. A.Ando, I.Ando, T.Hiraki and K.Hisada. Kanazawa University, Kanazawa.

Forty-eight elements and fifty five radioactive compounds were examined to determine cardiac muscle uptake rate of rats 3, 24 and 48 hours after i.v. injection of these compounds. They were prepared as carrier-free nuclides or as those containing little stable nuclide.

Generally speaking, alkaline metal compounds (except for sodium compounds), Mn-54 chloride, Tl-201 chloride, Sodium selenite Se-75, Sodium tellurite Te-127m, revealed a high uptake rate in cardiac muscle, and Ru-103 chloride, Ta-182 oxalate, Nb-95 oxalate, In-111 citrate and Zr-95 nitrate showed a considerably high uptake rate in the muscle. Other compounds except for them showed a low uptake rate in the muscle. These uptake rates decreased with time after administration. To elucidate the mechanism of cardiac muscle uptake of radioactive cations, ionic potentials (valency/ionic radii) of these cations were calculated, and the relation between cardiac muscle retention ratio (value for 24 hours/value for 3 hours) and ionic potential are investigated. For hard acids except for mono valent cations, cardiac muscle retention ratios were shown as a function of ionic potentials. Concerning monovalent cations, ionic potentials of alkaline metals, Tl and Ag were small, although the cardiac muscle retention ratio for these elements had a wide range of value.