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BRAIN UPTAKE OF Tc-99m-LABELED RADIOPHARMACEUTICALS : GLUCOSE-BIS(THIOSEMICARBAZONE) COMPLEX (I). A. Yokoyama, A. Yamada, Y. Arano, H. Tanaka. Dept. of Radiopharmaceutical Chemistry, Faculty of Pharmaceutical Sciences, Kyoto University. K. Horiuchi, K. Yamamoto, Y. Ishii, R. Morita and K. Torizuka. Dept. of Nuclear Medicine, Kyoto University Hospital. Kyoto, Japan.

Kethoxal-bis-(thiosemicarbazone), a bis-thiosemicarbazone (BDS) derivative, coordinates with tetravalent TcO^{2+} and generates a very stable and neutral complex. BDS, which is an easy to synthesize molecule of small size and low toxicity, was used as a bifunctional chelating agent for the synthesis of a 1,2 glucose-bis(thiosemicarbazone) (GTS). The labeling with Tc-99m was carried out with the Sn-Resin kit method, at pH 5-6.

In spite of some labeling difficulties, high labeling efficiency was obtained, upon strict control of Sn-Resin physical state.

Scintigraphic studies of intravenously injected Tc-99m-GTS to white long ear rabbit, detected high activity in the brain and in the heart at the early stage, different from the blood pool, followed by a very fast clearance. The presence of lipophilic and hydrophilic groups, as well as the neutral charge of the Tc-99m-GTS are considered as responsible.

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EVALUATION OF CHROMATOGRAPHY COLUMN SCANNING (GCS) METHOD. T. Kida*, A. Suzuki** and Y. Higuchi** * Fukushima Health Administation Center, Nippon Telegraph and Telephone Public Co. ** Department of Radiology, Fukushima Medical College.

The methodology of using gel chromatography column scanning (GCS) for quality control of Tc-99m-radiopharmaceuticals in routine clinical work and its validity are reported. The materials were Tc-99m-compounds commonly used, i.e Tc-99m-DMSA,-pertechnetate ,-DTPA,-MDP,-phytate and -HSA. The small gel columns (Dia:9mm, L:12cm) contained Sephadex G-25 Medium gel were eluted with 3.0ml 0.9% NaCl eluent in Tc-99m-DMSA,-pertechnetate, -DTPA,-MDP,-phytate,and with 1.5ml one in Tc-99m-HSA. With a scintillation camera and computer system, the radioactivity distribution of the column (GCS profile) was obtained ,and then the main peak in the GCS profile was measured. The results are as follows; 1) The main peaks for Tc-99m-DMSA,-pertechnetate,-DTPA,-MDP,-phytate and -HSA were respectively gel top,3.5, 7.5, 9.5, 10.5 and 6.3 cm. 2) The time needed for recording and data analysis was very short. That is. the approximate times with the techniques used were: sample application and elution, ca.3min.; data acquisition of one to three columns at one time, ca.2-3min.; and data analysis and documentation, a few minutes. 3) With this method, Tc-99m-compounds and various impurities are obtained in one testing procedures. 4) GCS method is a rapid and reliable method for the quality control of Tc-99m- radiopharmaceuticals.

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THE THIN LAYER CHROMATOGRAPHIC ANALYSIS OF RADIOPHARMACEUTICALS WITH AQUEOUS SOLVENT. K. Takahashi, M. Hayashi, H. Matsushima, M. Hazue Research & Development, Technical Department, NIHON MEDI-PHYSICS CO., LTD., Takarazuka

The thin layer chromatography (TLC) is a method of wide use to measure the labeling efficiency of radiopharmaceuticals because of its simplicity and convenience. Although many adsorbent-solvent systems were reported, they do not distinguish insoluble Tc-99m species from the Tc-99m labeled compounds.

The purpose of this work is to develop a more suitable TLC system for analysis of radiopharmaceuticals.

After a series of experiments, we found out a new TLC system which consists of 40% acetylated cellulose (adsorbent) and NH_4Cl (1M)-urea(5M) mixture (solvent). With this new TLC system, Tc-99m compounds (such as Tc-99m HMDP, EHDP, MDP & DMSA) migrate with Rf value of about 0.98, while insoluble Tc-99m species remain at the origin, and free pertechnetate moves with Rf 0.75.

For the analysis of In-111 chloride, we found another new TLC system which consists of cellulose (adsorbent) and 0.5M NaCl (solvent). With this system, In-111 chloride (Rf=0.32) was completely separated from In-111 hydroxide (origin). We confirmed that these two compounds (chloride and hydroxide) show entirely different biodistribution in rats, reflecting their erythroid or reticuloendothelial seeking properties.

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ENZYMATIC SYNTHESIS OF C-11-(4)-ASPARTIC ACID AND ITS TISSUE DISTRIBUTION. T. NAKAMURA,K.MATSUMOTO,M.AKISADA,T.HARA,M.IIO, AND T.NOZAKI Tsukuba University,Nakano National Chest Hospital,Institute of Physics and Chemistry Research. Ibaraki,Tokyo,Wako

There are many published studies which were researched in synthesis and medical use of C-11 or N-13 Amino Acids. We produced C-11-(4)-Aspartic Acid from C-11-CO_2 enzymatically.C-11-CO_2 was produced in a(p,α) reaction,and the synthesis was accomplished by the addition of phosphoenolpyruvate, Glutamic acid,Glutation,$MgCl_2$,PEP-Carboxylase and GOT to 2ml of 0.1M tris Buffer (Ph 8.0)containning of C-11-CO_2.After 20min incubation at 30°C,C-11-(4)-Aspartic Acid was purified by IR-120 cationexchange chromatography and characterized by TLC(EtOH: water=8:2).Reaction time was 50-60 min and radiochemical yield was 8-13%.At 30min after intravenous injection of this preparation in rats,concentrations of C-11 observed were : Brain,0.62;Heart,1.24;Lung,2.81;Liver, 2.66;Kidney,2.63;Spleen,1.53;and Pancreas, 3.51;(count/g tissue)/(count/g blood). And C-11-(4)-Aspartic Acid was metabolised to C-11-CO_2 about 60% of the injected C-11 within 1hour.

Shema of Enzymatic Shyntesis

$$\text{C-11-}CO_2 + \text{PEP} \xrightleftharpoons[\text{PEP-Carboxylase}]{} \text{C-11-Oxaloacetic Acid} + \text{Pi}$$

$$\text{C-11-Oxaloacetic Acid} + \text{Glu} \xrightleftharpoons[\text{GOT}]{} \text{C-11-Aspartic Acid} + \text{-ketoglutalate}$$