

46

AN OUTSTANDING 67-Ga LABELED HSA. A.Yoko-yama, Y.Omomo, H.Tanaka, H.Ishii, H.Saji and K.Torizuka. Pharmaceutical Sciences and School of Medicine, Kyoto University, Kyoto.

Deferoxamine (DF) is a well known chelator of trivalent metal ions, such as Fe(III), Ga(III) and In(III) with 1 : 1 molar ratio. The presence of free amino group in its molecule is regarded as an available functional group for coupling reaction with protein. These features appeared as promising for the development of bifunctional chelating agents.

The coupling reaction of DF with HSA was carried out in the presence of glutaraldehyde. The DF-HSA conjugate was stable for more than three months. The labeling reaction of DF-HSA conjugate with $^{67}\text{GaCl}_3$ was performed at pH 7.4. A very stable complex with a labeling efficiency near 100 % was obtained. The entire reaction required only 10-15 min after the addition of Ga.

Comparative in vivo studies of this 67-Ga DF-HSA complex with the commercially available RIHSA in rats showed a superior physiological behavior with blood clearance half life of 234 min as opposed to 121 min obtained with RIHSA. The great in vivo stability could be observed for more than three hr.

Development of this new bifunctional chelating agent of DF is an outstanding achievement since ^{68}Ga , a positron emitter is already available from a generator. Also, its use as RIHSA substitute or as prospective enzyme, hormone, antibody radiopharmaceuticals hold considerable promise.

47

ON THE PRODUCTION AND USE OF FLUORINE-18 BY THE O-18(p,n)F-18 REACTION. T.Irie, K.Fukushi and T.Ido. National Institute of Radiological Sciences. Chiba.

In the production of F-18, the thick target yield for the O-18(p,n)F-18 reaction gives a higher yield than the other production methods. This method is the most suitable for F-18 production by "a baby cyclotron". In this method enriched O-18 has to be used as a target material and the produced F-18 is aqueous when a material is O-18 water, which is a high price. The practical yield of F-18 produced by the (p,n) reaction and the method of trapping F-18 and recovering O-18 water and the use for labeling were studied. Various concentration of 8 ml of O-18 water were irradiated by proton beam which energy was 17.2 MeV(incident), and which current was 3-10 uA. Experimental data gave 262.9 mCi/uA·sat. as the production yield, which was calculated in the case of 100 % of O-18 water. Under these irradiation condition a reduced quantity of target water by radiolysis was negligible. The separation of F-18 and recovery of O-18 water were studied by two methods which were distillation and adsorption with resin. Both methods resulted in complete trapping of F-18 and satisfactory recovering of O-18 water. The trapped F-18 as KF-18 or resin-F-18 were applicable to label F-18-amino acid and F-18-steroid in a similar manner as conventional.

48

PREPARATION OF CARRIER-FREE PLATINUM-195m FOR MEDICAL USE. R.Amano(1), M.Yagi(2), A.Ando(1), H.Mori(3), and K.Hisada(4). (1) School of Paramedicine, Kanazawa University, (2) Laboratory of Nuclear Science, Tohoku University, (3) Radioisotope Center, Kanazawa University and (4) Department of Nuclear Medicine, Kanazawa University. Kanazawa and Sendai.

The $^{197}\text{Au}(\gamma, \text{pn})^{195\text{m}}\text{Pt}$ reaction has proved to be useful for the preparation of carrier-free platinum-195m in a high radio-nuclidic purity. The production rates of Pt-195m and other contaminants of Au-196m and Au-196 were determined as a function of the maximum bremsstrahlung energies between 30 and 60 MeV, and the chemical separation of carrier-free Pt-195m was also studied by means of the solvent extraction using methyl isobutyl ketone as an organic solvent, and the cation-exchange resin method. As a result, the production rates of Pt-195m at an electron energy of 60 MeV, and at an average electron-beam current of 150 μA was found to be 38 $\mu\text{Ci/g}$ of gold metal per hr of irradiation. Carrier-free Pt-195m for use in preparing radiopharmaceuticals was obtained with a 90% yield within 4hr after the end of bombardment.

These results suggest that the applied photonuclear reaction and the chemical procedures are quite suitable for the preparation of carrier-free Pt-195m for medical and biological applications.

49

A RAPID AND FLOW SYNTHESIS OF 10-MIN N-13 GLUTAMATE USING GLUTAMATE DEHYDROGENASE IMMOBILIZED ON CPG AND SEPHAROSE-4B. K.Fukushi, K.Tamate, K.Suzuki, T.Ido, R.Iwata, T.Irie. National Institute of Radiological Sciences. Chiba.

No carrier added N-13 glutamate has been prepared by immobilized glutamate dehydrogenase (GDH). Enzymatic synthesis of N-13 amino acid has the advantage of being rapid and specific, and gives a high radiochemical yield. Automated flow-synthesis can be much easily established by the use of immobilized enzyme. Derivatized CPG, N-hydroxysuccinimide ester of CPG, and CNBr activated Sepharose-4B were examined as possible enzyme carriers. The coupling condition of GDH with activated CPG was as follows; GDH(10mg), N-hydroxysuccinimide ester of CPG(0.8g), 0.2M Tricine buffer(pH8.2, 5ml). Ten mg of GDH was reacted with one gram of CNBr activated Sepharose-4B in 0.1M Sodium bicarbonate-0.5M NaCl soln. After the coupling reaction was completed, two ml of 2.5M ethanolamine was added to the reaction soln to block remaining sites, and non covalent enzymes were washed off. In the flow synthesis of N-13 glutamate, mixed soln of N-13 ammonium, NADH(0.15mM), OxCo(5mM), EDTA(0.1mM), ADP(0.1mM), Tris-HCl buffer(200mM, pH7.6) was passed through the enzyme column, and unreacted N-13 ammonium cation was trapped by Dowex50w-X8 column. Preliminary studies showed that N-13 glutamate could be prepared with 60-90% yield in less than 10 min by GDH immobilized on CPG and Sepharose.