1534

PREPARATION OF F18-FDG(F18-2-DEOXY-2-FLUORO-D-GLUCOSE) FOR MEDICAL USE. T. Irie, K. Fukushi, K. Tamate, M. Uoji, T. Yamasaki and T. Ido* National Institute of Radiological Sciences. Chiba, * Tohoku University Sendai

F18-FDG was developed as a radiopharmaceutical measuring the glucose utilization rates of the brain in man in conjunction with positron computed tomography. It is much expected for diagnosis of the function of brain. Here a routine preparation of F18 FDG for medical use will be presented. F18-F_2 was produced by deutron bombardment under the condition shown in Table 1. The labeled F_2 was introduced into the reaction vessel containing TAF(triacetylglucal) on a tube line, and Glu-F_2 was separated from the F18-adducts by a silica gel column, and hydrolyzed to give a crude F18-FDG, which was purified by an active charcoal, an ion exchange resin column and an alumina column. The preparation time required 2-3 hrs. A final product was prepared as a saline solution for injection, which had the radioactivity of 10-15 mCi(EOS), the specific activity of 15-25 mCi/mg(EOB) and the radiochemical purity of 95 % given by HPLC analysis. A routine process was almost established to prepare F18-FDG with the above values and in bacteria- and pyrogen-free.

TABLE 1.

target: Ne+F_2(0.15-0.2 %), 20-25 atom.
beam energy: 22.5 MeV(incident 16.03 MeV)
irradiation time and dose: 2-2.5 hrs
100-130 mC
theoretical yield: 750-870 mCi(EOB)

1535

A NEW F18-LABELING METHOD OF F18-6-FLUORO-PURINES. T. Irie, K. Fukushi, T. Yamasaki and T. Ido* National Institute of Radiological Sciences. Chiba, * Tohoku University Sendai

Analogues labeled with positron emitters of physiological substances are very interesting, for they are a potential radiopharmaceutical for nuclear medicine in connection with positron computed tomography. F18-labeled purines by the halogen exchange or uracils by the addition of F_2 are reported, but in these labeling methods it is difficult to obtain high radiochemical yield or high specific activity. We have recently developed a new method for F18-labeling of 6-position of purines using the reaction of the quaternary ammonium salt. The labeling procedure is as as follow; anhydrous KF18, which was prepared from aqueous F18, was dissolved in DMF containing 18-Crown-6, and the substrate was added into the DMF solution and heated to give F18-labeled purines. In this labeling, the condition such as time and temperature were studied, and F18-6-fluoropurine and F18-6-fluoro-9- -D-ribofuranosylpurine were prepared with the radiochemical yield of 20-30 % and 60-70 % respectively under the adequate labeling condition. These F18-labeled purines were also obtained in a non carrier-added state, whose radiochemical yield was less than in the case of carrier- added preparation.

1536

THE DEVELOPMENT OF THE SHORT LIVED RADIOPARMACEUTICALS AT TOHOKU UNIVERSITY. T.Ido, R.Iwata, K.Ishiwata, T.Takahashi and M. Monma. Cyclotron and Radioisotope Center, Tohoku University,Sendai

The cyclotron of CYRIC(Cyclotron and Radioisotope Center, Tohoku University) accelerates protons upto 40 MeV,deuterons to 25 MeV, alpha to 50 MeV and ^3He to 65 MeV and has produced the first external beam in December 1977. The sceduled operation of cyclotron for the research started in July 1979. The research fields of CYRIC are very wide as nuclear physics,nuclear chemistry,solid-state physics,element analysis by PIXE and the radioisotope production for nuclear medicine.

Since 1980, the radiopharmaceutical research had been started with the production of C-11,N-13,O-15 F-18,Ti-45,Kr-77,Br-77 and I-123.

The labeled compounds, glucose-fluctose[C-11], methyl propionate[C-11],octylamine[C-11],adenine[C-11],purine[C-11],Co-Q[C-11],glutamate[N-13], alanine[N-13],aspartate[N-13],5-fluorouracil[F-18], 5-fluorouridine[F-18],5-fluorodeoxyuridine[F-18],2-deoxyfluoroglucose[F-18],2-deoxyfluoromannose[F-18] and fluoromethane[F-18] have been synthesised and applied to the medical studies.

The development of the apparatus for the fully automated synthesis of labeled compounds(chemical black box) has been tried to the preparation of iodo methane[C-11],glucose-fluctose[C-11] and 2-FDG[F-18] (under investigation).

1537

SYNTHESIS OF [N-13]AMINO ACIDS USING IMMOBILIZED ENZYMES. K. Ishiwata, T. Ido, R. Iwata, T. Takahashi, M. Monma, *K. Kubota and *T. Matsuzawa Cyclotron Radioisotope Center and *Dept. Radiology & Nuclear Medicine Research Inst. Tuberculosis & Cancer, Tohoku University, Sendai.

[N-13]amino acids were synthesized by using immobilized enzymes. For synthesis of [N-13]glutamate, glutamate dyhydrogenase was immobilized on AH- or CH-Sepharose (GLDH-Sepharose) by water-soluble carbodiimide in 0.1M phosphate buffer, pH 6.5, at room temperature. GOT-Sepharose and GPT-Sepharose were also prepared from AH-Sepharose and glutamate-oxaloacetate transaminase and glutamete-pyruvate transaminase, respectively. [N-13]glutamate was synthesized almost quantitatively within 10 min by passing through the phosphate buffer, pH 7.5, solution containing NADH, oxoglutarate and [N-13]NH_3 onto the short GLDH-Sepharose column and AG 11A8 column. Other [N-13]-labeled amino acids, [N-13]aspartate and [N-13]-alanine, were also synthesis by using two immobilized enzymes, GLDH- and GOT-Sepharose and GLDH- and GPT-Sepharose. [N-13]glutamate was injected into rats and the distribution of it was investigated.