14

AUTOMATIC PRODUCTION SYSTEM FOR ^{18}F-2-DEOXY-2-FLUORO-D-GLUCOSE.

S.Nagamachi, K.Ishimatsu, T.Irie, O.Inoue* and T.Yamasaki*
Hitachi Medical Corp., Kashiwa-city
*National Institute of Radiological Sciences, Chiba-city.

In NIRS, ^{18}F-2FDG have been prepared for clinical use from autum in 1980. Following the manual method of ^{18}F-2FDG synthesis, we are developing the automatic production system. This system has a manual controller for remote control mode that is also connected with a microcomputer system for automatic production mode. For this system, we developed the liquid sensor and the radiation sensor. The liquid sensor consists of a LED and a photo transister. We can distinguish the conditions in glass tube or teflon tube. The radiation sensors consists of small NaI scintillator and photo diode without high voltage. With these sensors, we can monitor the transfer of liquids and activities in this system.

We have been developing this system by remote control mode, which have been used for clinical use from July 1982. Mean chemical yield from the recovery is about 8 % and synthesis time from EOB to EOS is about 2 hours.

15

FULLY AUTOMATED SYNTHESIS OF [F-18]-2-FLUORO-2-DEOXY-GLUCOSE(FDG).

R.Iwata, T.Takahashi, M.Monma, M.Shinohara, T.Ido and T.Yamada*, Cyclotron and Radioisotope Center Tohoku University, Sendai and *Japan Steel Works, Muroran

[F-18]FDG is well known as an excellent radiopharmaceutical in nuclear medicine. The fully automated synthesis system by micro-computer control has been developed for its routine medical use. The synthetic procedure used for the automation is (1) reaction of [F-18]FF with 3,4,5-triacetylglucal(TAG) in Freon-11(67 mg/10 ml) at -20°C (2) column chromatographic separation of [F-18]glucopyranosyl difluoride from TAG and [F-18]mannopyranosyl difluride on silica gel with (a)n-hexane and (b)n-hexane/ether(1:1) (3)acid hydrolysis of [F-18]glucopyranosyl difluoride with 1 N HCl(5 ml) at 150°C (4)purification of [F-18]FDG by column chromatography on active charcoal, ion exchange resin(AG 11A8) and alumina. The system consists of the micro-computer(HP9826) as a controller, the [F-18]FF production unit, the synthesis unit and so on. It was designed to have the function of the self-diagnosis by using sensors so as to check the setup conditions before starting the synthesis. The pressure sensor was used for monitoring the target pressure and the solvent evaporation, the activity detector for fractionation of the chromatographic eluate and the optical liquid-level sensor for detection of the liquid transfer. It automatically controls the whole procedure from the [F-18]-FF production to the collection of a final product. The present system was also designed to provide a sterile and pyrogen-free [F-18]FDG solution without reducing convenience by using disposable tubes and pinch valves. Thus, the system allows to provide [F-18]FDG within 90 min after the irradiation.

16

SYNTHESIS OF F-18-LABELED MONOSACCHARIDES.

M.Tada, T.Matsuzawa, H.Fukuda, T.Ido, T.Takahashi and M.Shinohara. Research Institute for Tuberculosis and Cancer, and Cyclotron Radioisotope Center, Tohoku University. Sendai.

Chemical syntheses of various new F-18-labeled 2-deoxy-2-fluoro monosaccharides for the ideal diagnoses of the diseases of brain and heart, and cancer were attempted. 1,2-Unsaturated hexose derivatives (e.g. D-galactal, D-allal, and L-glucal) were prepared by the usual ways, and the addition of the unsaturated sugars with fluorine gas resulted in the formation of difluoro derivatives. These difluoro compounds were then hydrolyzed with hydrochloric acid to afford the desired 2-deoxy-2-fluoro-D-galactose, -D-allose, and -L-glucose, respectively.

These addition reactions were employed for labeling 2-deoxy-2-fluoro hexoses using anhydrous fluorine-18 produced in the Tohoku University Cyclotron. The products were characterized by high performance liquid chromatography. In this manner, F-18-2-deoxy-2-fluoro-D-galactose, F-18-2-deoxy-2-fluoro-D-allose, and F-18-2-deoxy-2-fluoro-L-glucose were yielded in high radiochemical purity, respectively. Their tissue distribution and imaging study by positron computer tomography are currently under investigation.

17

METABOLIC STUDY OF [F-18]-LABELED PYRIMIDINES.

K.Ishiwata, T.Ido, M.Murakami, K.Kawashima, Y.Abe* and T.Matsuzawa*. Cyclotron and Radioisotope Center and The Research Institute for Tuberculosis and Cancer*, Tohoku University, Sendai.

We investigated the metabolic behaviors of [F-18]-labeled 5-fluorouracil(FUra), 5-fluoro-2'-deoxyuridine(FdUrd) and 5-fluorouridine(FUrd) in the tumor(AH109A)-bearing rats.

At 2 hours after administrating [F-18]-labeled pyrimidine in rats, the tumor, spleen, small intestine and liver were fractionated by the two methods. The tissues were fractionated in the acid-insoluble(A), nucleotides(B), and other fractions in the first method and in the nuclear(a). mitochondrial(b), microsomal(c) and cytosol(d) fractions in the second method. In the tumor the ratio of [F-18]-activity was larger in the nuclear, microsomal and acid-insoluble fractions than in the other tissues. These results showed that the accumulation of [F-18]-pyrimidines in the tumor reflected the nucleic acid metabolism.

Table : Distribution of the [F-18]-activity in the tumor.

	A	B	C	a	b	d	c
FUra	17%	24%	59%	3%	1%	13%	83%
FdUrd	24%	22%	54%	9%	2%	6%	84%
FUrd	34%	23%	43%	11%	2%	14%	73%

Metabolites in the blood, urine and bile were analyzed by HPLC. FdUrd or FUrd was degraded into FUra and metabolized α-fluoro-β-alanine, fluoride and unknown components.