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CONTAMINATION OF 2-DEOXY-2-[F-18]FLUORO-D-MANNOSE IN 2-DEOXY-2-[F-18]FLUORO-D-GLUCOSE.

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Several synthesis methods of ^{18}FDG have been developed. Recent serious problem is contamination of 2-deoxy-2-[F-18]fluoro-D-mannose(^{18}FDM) in the ^{18}FDG preparations.

^{18}FDG was synthesized by the reaction of tri-O-acetyl glucal(TAG) with $^{18}F_2$ using an automated synthesis system(Methods A & B), and in the Method C [F-18]glucopyranosyl difluoride(^{18}FGP) was separated by HPLC. In the Methods D-J, ^{18}FDG was synthesized by the reaction of TAG with liquid AcO^{18}F or gaseous AcO^{18}F. Each ^{18}FDG preparation was acetylated and analyzed by HPLC on silica gel column. Results were summarized in a table. The Method E is the most suitable. In the $^{18}F_2$ method, the amount of ^{18}FDM was reduced to be 5% by the careful chromatographic separation of ^{18}FGP.

Method	Temperature	Solvent	Run	FDG:FDM
A $^{18}F_2$	-40°C	CCl_3F	3	92: 8
B $^{18}F_2$a)	-40°C	CCl_3F	10	95: 5
C $^{18}F_2$	-78°C	CCl_3F	1	100: 0
D AcO^{18}F(l)	room temp.	AcOH	4	80:20
E AcO^{18}F(g)	room temp.	CCl_3F	2	98: 2
F AcO^{18}F(g)	-78°C	CCl_3F	3	97: 3
G AcO^{18}F(g)	room temp.	CCl_3FCClF_2	1	93: 7
H AcO^{18}F(g)	room temp.	CCl_3CF_3	1	90:10
I AcO^{18}F(g)	room temp.	CCl_3H	1	89:11
J AcO^{18}F(g)	room temp.	CCl_2H_2	1	87:13

a) Careful chromatographic separation of ^{18}FGP.

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COMPARATIVE STUDIES OF [U-C-11]- AND [1-C-11]GLUCOSE AND [F-18]FDG AS TRACERS FOR GLUCOSE METABOLISM.

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Biodistribution of [U-C-11]glucose(Glc), [1-C-11]Glc and [F-18]FDG in rats were inves-tigated as basic studies for positron emit-tion tomography.

[U-C-11]Glc and [1-C-11]Glc were synthe-sized by photosynthetic methods and a modifi-cation of the classical Kiliani-Fisher cyanohydrin synthesis using H^{11}CN, respec-tively.

[1-C-11]Glc was more accumulated in the brain and liver than [U-C-11]Glc. Conse-quently, the amounts of expired $^{11}CO_2$ was significantly much just after injection of the [U-C-11]Glc. Other organ distributions were similar. Among three tracers, uptakes in the brain increased with time in order of [1-C-11]Glc>[F-18]FDG>[U-C-11]Glc. The up-take of [F-18]FDG in the heart increased, but those of two Glc preparations decreased. By double-tracer autoradiography([U-C-11]-Glc and [1-C-14]Glc, and [1-C-14]Glc and [F-18]FDG) in the brain, uptake of [1-C-14]-Glc was more different in each region than those of[F-18]FDG and [U-C-11]Glc. In con-clusion, characteristics of three tracers for glucose metabolism were shown for organ and regional cerebral distributions in rats.

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BIOCHEMICAL STUDIES OF 2-DEOXY-2-[^{18}F] FLUORO-L-FUCOSE AS THE TRACER ASSOCIATED WITH GLYCOPROTEIN SYNTHESIS AND ITS VALIDITY FOR EXPERIMENTAL TUMORS.

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The objective of this work was to synthe-size 2-deoxy-2-[^{18}F]fluoro-fucose (^{18}FDF) as the analogue of L-fucose in relation to glycoprotein synthesis and was to study its biochemical properties in experimental tumors (EA285s/c, EL4, AH109A). The uptake of ^{18}FDF was 0.38% dose/g in rat glioma cells (EA285s/c) sacrificed at 60min and tumor/brain ratio was 6.13. The isolated tumor tissues of rats injected with ^{18}FDF were homogenized and the proteins pre-cipitated with perchloric acid and the acid-soluble fraction was analized on radio-HPLC and TLC.

The most part of the accumulation of total radioactivity in the tumor tissue was found in the acid soluble fraction (97%) and two radioactive peaks were observed. L-fucose is an excellent precussor of glycoprotein because L-fucose is not converted to other monosaccharides and is mainly incorporated to glycoprotein.

These results suggest that ^{18}FDF can be trapped in the cells which has rapid turn-over of the fucosyl glycoprotein synthesis such as tumor cells as a result of anabo-lizing the fucose analogue.

^{18}FDF as a positron emitter will be useful to evaluate a tumor for glycoprotein synthe-sis.

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Development of the Synthetic System for the Production of F-18 Labelled Compounds

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A synthetic system for the preparation of F-18 fluorining reagent from F-18 anion was developed. This system is also equipped with a device to recover the expensive O-18 enriched target water. By using this system, we have effectively prepared F-18 KF/crown ether as a fluorining reagent, and at the same time recovered O-18 enriched water in good yield. Furthermore, F-18 fluoropurine and F-18 6-fluoro-9-benzylpurine were synthsized in this system.