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DEVELOPMENT OF RADIOACTIVE DRUG I-131-MIBG.
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I-131-MIBG(metaiodobenzylguanidine) is a radioiodinated analog of the adrenergic neuronal blocking agent-guanethidine, and was developed by Wieland et al. of the university of Michigan in 1980.

In 1981, Sisson et al. reported that scintigraphy using I-131-MIBG is useful in detecting adrenal and extraadrenal as well as benign and malignant pheochromocytomas. This method is safe, specific and non-invassive.

We developed the labeling method, whose radiochemical yield is > 95%,via an ammonium sulfate-facilitated exchange of MIBG with NaI-131 using solid phase reaction medium. The chemical and radiochemical properties of MIBG and I-131-MIBG showed low acute toxicity and low radiation dose.

We are now evaluting that compound clinically.

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A NEW CHEMICAL SYNTHESIS OF D-[1-C-11]GLUCOSE.
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The synthesis of D-glucose labeled with positron emitting radionuclides has recently received much attention because important applications in medical research have been found. A new chemical synthesis of the title compound has been reported.

A mixture of D-arabinose and potassium cyanide was heated for 10 min in presence of alkali, passed through a column of cation exchange resin, and evaporated to dryness under reduced pressure. The reaction mixture was then suspended in tetrahydrofuran. The suspension was added with diborane, refluxed for 10 min, and added with water to decompose an excess of diborane. After removal of ionic substances with a retardation resin column, the cold desired compound was then afforded by high performance liquid chromatography technique in a 17% yield based on cyanide.

A mixture of [C-11]cyanide and D-arabinose was treated as in the procedure described above to give the desired compound. The radiochemical yield and purity are ca. 10% and over 95%, respectively.

This synthetic method is suitable for automated synthesis of D-[1-C-11]glucose because simple apparatus and operations have been used.

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CHEMICAL SYNTHESIS AND BIODISTRIBUTION OF PURE 1-C-11-GLUCOSE.
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The usefulness of C-11-glucose for the study of regional brain glucose metabolism, has been reported. At present, C-11-glucose has been synthesized by a biosynthetic method. However, due to the poor reproducibility of the synthesis and the contamination of some plant components in the injectate, the use of the photosynthetic C-11-glucose has been limited. Thus, the development of a chemical synthetic method is most desirable. Exploitation of the classical Kiliani-Fisher synthesis was considered as an apropriate methodology for a new synthetic procedure for C-11-glucose through C-11-NaCN. C-11-NaCN was reacted with D-arabinose at pH 8 and reduction of 1-C-11-aldononitrile produced with Raney alloy in 30% formic acid at 100°C, yield a mixture of 1-C-11-glucose and 1-C-11-mannose. 1-C-11-glucose was purified by HPLC with radiochemical yield of 12%(EOB) in a synthesis time of 55min from EOB. Biodistribution of 1-C-11-glucose in mice showed very high and constant uptake. As for reference, the 1-C-11-mannose produced along with 1-C-11-glucose was also studied. This showed a low initial uptake with a steep rise after 20min. Significance of pure 1-C-11-glucose in the quantitative evaluation of glucose utilization in brain.

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NEW AUTOMATED SYNTHESIS SYSTEM OF 2-DEOXY-2-[F-18]FLUORO-D-GLUCOSE.
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The synthesis of [F-18]FDG by the reaction of 3,4,6-tri-o-acetyl-D-glucal (TAG) with [F-18]AcOF has been suggested to be most suitable. Because the procedure of the reaction is simple and the contamination of 2-deoxy-2-[F-18]fluoro-D-mannose in [F-18]FDG is least in several synthesis methods. Therefore, we have developed a new automated synthesis system of [F-18]FDG basis on the reaction of [F-18]AcOF.

The procedure of the system is as follows:(1) reaction of TAG with [F-18]AcOF in CCl_3F (2)hydrolysis (3)purification of [F-18]FDG. Temperature sensors, radioactivity sensors, optical liquid level sensors, wobbling evaporator and sterile 3-way cock actuators were used to control the system by using a microcomputer.

The automated synthesis of [F-18]FDG was carried out within 50min after the end of irradiation. A neutral, sterile and pyrogen-free [F-18]FDG solution was reproducibly synthesized with the radiochemical yield of 20-25% and the radiochemical purity of over 97% at the end of synthesis. In addition, the system can be used to produce other sugars including the fluorinations with [F-18]AcOF such as 2-deoxy-2-[F-18]fluoro-D-galactose and 2-deoxy-2-[F-18]fluoro-L-fucose.