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AN AUTOMATED SYNTHESIS SYSTEM [C-11] FORMALDEHYDE. M.Shinohara,*T.Ido,*R.Iwata,and M.Iwanaga. Shimadzu Corp.Kyoto.*Cyclotron and Radioisotope Center.Tohoku University

[C-11]formaldehyde is well known as one of the useful precursors for synthesis of [C-11]radiopharmaceuticals.From [C-11]formaldehyde,various compounds of biological interest such as chlorpromazine and nicotine can be obtained with [C-11] in their metyl group.Therefore we have developed an automated synthesis system of [C-11] formaldehyde.

[C-11]CO_2 is reduced to [C-11]methanol, which is carried over a silver catalyst at 500°C under a current of the N_2/O_2 mixture (containing 2%O_2,flow rate 180ml/min).The resulting [C-11]formaldehyde is collected in a cold solvent.

This system is controlled with a microcomputer or a sequential controller.The sequence is proceeded by the signals from timer,small radioactivity sensor,pressure sensor and temperature sensor.

The advantages of this system include: fully automated system,compact design and convenience for routine production because of easy maintenance.

The time required for the synthesis by using this system was within 15min after bubbling [C-11]CO_2.

[C-11]formaldehyde was obtained with the radiochemical yield of 44%,and the radiochemical purity of 80%.

The further study on the catalytic conditions will improve the yield.

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PRODUCTION OF [C-11]CYANAMIDE AS A NEW PRECURSOR FOR [C-11]ARALKYLGUANIDINE SYNTHESIS. R.Iwata, K.Ishiwata, K.Kawashima and T. Ido Cyclotron and Radioisotope Center, Tohoku University, Sendai

The production method of [C-11]cyanamide as a new precursor for the synthesis of [C-11]guanidine derivatives has been developed. The proton irradiated calcium nitride was decomposed by the addition of water and the resulting precipitate of calcium hydroxide was filtered off. After passing the filtrate through a Chelex 100 column, [C-11]cyanamide was obtained in a calcium-free aqueous solution. The radiation effects on the yield was observed. The maximum yield of 30 mCi was obtained within 20 min after a 7 μA-30 min irradiation. A rapid synthesis method of [C-11]aralkylguanidine from [C-11]cyanamide has been also developed. A mixture of aqueous [C-11] cyanamide and corresponding aralkylamine was evaporated to dryness. An additional portion of the amine was added to the residue and then heated at 140°C for 10 min. After removal of the amine *in vacuo* and purification by extraction with ether, [C-11]aralkylguanidine(phenyl, benzyl, phenetyl, 4-chloro-benzyl, and 3,4-dichloro-benzyl) was obtained in an overall radiochemical yield of about 20 % within 60 min after the irradiation. The radiochemical purity was about 98 %. The *in vivo* distribution of the five [C-11]-aralkylguanidines showed a high retention in the adrenal and a rapid excretion in the other organs(the highest value of 4.5 % dose/g·tissue at 30 min after injection for 3,4-dichloro-benzylguanidine). The linear correlation between the adrenal concentration and the *in vitro* inhibition value of norephinephrine N-transferase was observed. They were expected to be a potential adrenal imaging agent.

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SYNTHESIS OF [C-11]COENZYME Q10. T. Ido, T. Takahashi, M. Shinohara, R. Iwata and K. Kogure. Cyclotron and Radioisotope Center, Tohoku University

Coenzyme Q10 (CoQ10) was discovered as one component of the electron transfer sequence in mitochondria, where the cellular energy was produced. It has been proved that CoQ10 not only performs the electron transfer by moving about freely in the mitochondria membrane but acts as an antioxidant towards the superoxidative reaction in vivo. In these respects, CoQ10 is expected to be used as a therapeutic agent for various ischemia, which are mainly caused by the deficient of oxygen owing to the blocking of electron transfer sequence . In practice, CoQ10 is used as a therapeutic agent for myocardial ischemia. We tried the [C-11] labeling of CoQ10 for the application of scanning of ischemia in brain and heart.

We synthesized [C-11]CoQ10 by the reaction of 3-demethyl CoQ10 with [C-11]methyl iodide. The [C-11]methyl iodide was produced from [C-11] carbon dioxide with the automated synthesis system . The trapping effect of [C-11]methyl iodide was greatly enhanced by the cooling of reaction vessel in dry ice - methanol. The mixture of 3-demethyl CoQ10 and silver oxide in DMF was reacted with [C-11]methyl iodide to give [C-11]CoQ10 in 9-12 % of the radiochemical yield. In this synthesis, it has become apparent that the concentration of the starting material is important. The low concentration of 3-demethyl CoQ10 resulted in the low radiochemical yield of [C-11]CoQ10. [C-11]CoQ10 was isolated from the radioactive impurity and the unreactive 3-demethyl CoQ10 with a short silica column . The time required for the synthesis was 50 min.

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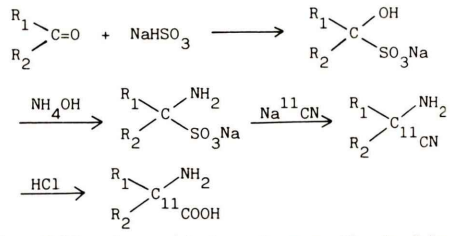
A GENERAL SYNTHETIC METHOD FOR NO CARRIER ADDED C-11-DL- AMINO ACIDS. S. Iida, R. Iwata*, T. Ido* The Japan Steel Works Ltd. Muroran, *Cyclotron and Radioisotope Center, Tohoku University. Sendai

Amino acids labelled with positron emitting radionuclide can be used as a effective diagnostic agent for pancreatic diseases or cancer. C-11-amino acids have been synthesized starting from $^{11}CO_2$ or $K^{11}CN$ usually with carrier. However, no carrier added synthesis is desirable to observe distribution of labelled compounds without disturbance of condition of body. We report here no carrier added synthesis of C-11-amino acids using displacement reaction followed by hydrolysis.



Many C-11-amino acids have been synthesized by this method with the yields ranging from 16 % to 63 %.

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