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Cyclotron produced short-lived isotopes in nuclear medicine. Carbon-11 Amino Acids

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Short-lived radionuclides ($t_{\frac{1}{2}} < 15$ hr) have distinct advantages as labels for radiopharmaceuticals in Nuclear Medicine. The reduced radiation dose, to which the patient is subjected is perhaps the most important advantage.

Radionuclides of short half-life can be prepared in various ways but transport from source to patient is a limiting factor. Transport over long distances is only possible if a suitable parent-short-lived daughter generator system is available.

Until recently even simple organic compounds were not available as radiopharmaceuticals containing short-lived radionuclides. A recent development is the preparation of organic radiopharmaceuticals labelled with cyclotron-produced radionuclides of short half-life, whose radiation is measurable outside the patient's body. Examples are ^{11}C ($t_{\frac{1}{2}} = 20.4$ min), ^{13}N ($t_{\frac{1}{2}} = 10$ min), ^{18}F ($t_{\frac{1}{2}} = 110$ min) and ^{123}I ($t_{\frac{1}{2}} = 13.3$ hr). The preparation and use of these organic radiopharmaceuticals must be seen as a multi-step process requiring an interdisciplinary approach. Three of the most important steps are:

- the cyclotron production of a radioactive precursor
- the preparation of a radiopharmaceutical from this precursor
- administration of the radiopharmaceutical to the patient and the subsequent scintigraphic examination of specific areas of the patient's body.

The short half-life makes particular demands on the whole procedure. Since an upper limit of only three half-lives of the radionuclide is available for the entire multi-step procedure, high demands are made on the scientific, technical and organisational skill of the entire team.

Obviously a major advantage of this rapid decay lies in the possibility of readministration of the radiopharmaceutical and re-examination of the patient on the same day.

The occurrence of the element carbon in nearly every biological compound, the short half-life and the nuclear properties of carbon-11 make the latter one of the most useful radionuclides in Nuclear Medicine.

The aim of this investigation was the preparation of some carbon-11 labelled amino acids and to test these compounds as radiopharmaceuticals for pancreas scintigraphy. Therefore we developed a new, rapid amino acid synthesis based on the carboxylation of α -lithioisocyanides with $^{11}\text{CO}_2$, followed by hydrolysis of the intermediate reaction product to the desired amino acid. By this method DL- α -phenylalanine-1- ^{11}C was obtained within 66 minutes and DL- α -phenylglycine-1- ^{11}C within 40 minutes. The chemical yields calculated with respect to the isocyanides were respectively 32 % and 78 %.

The $^{11}\text{CO}_2$ used for the syntheses was prepared by a cyclotron with a yield of 1.5 mCi/ $\mu\text{A}\cdot\text{min}$ via the nuclear reaction $^{14}\text{N}(\text{p}, \alpha)^{11}\text{C}$. A flow of nitrogen gas (mixed with 0.1 % oxygen) was bombarded with 20 MeV protons at a target gas pressure of 3 atmospheres. The construction

intravenously to rats and the distribution over pancreas, liver, spleen, kidneys and blood was measured after several time intervals. From these results the ratio of the concentration in pancreas and liver was calculated and compared with the corresponding figures from the literature for some ^{18}F -labelled aromatic amino acids and with the data for L-selenomethionine- ^{75}Se . The results point out that DL- α -phenylalanine-1- ^{11}C is better suited and DL- α -phenylglycine-1- ^{11}C is less well suited to pancreas scintigraphy than L-selenomethionine- ^{75}Se . However from the data in the literature and from our results we conclude that DL-6-fluorotryptophan- ^{18}F is perhaps more suitable for visualisation of the pancreas than DL- α -phenylalanine-1- ^{11}C . The percentage of the administered dose accumulating in the pancreas for both amino acids is the same but the pancreas to liver ratio for DL-6-fluorotryptophan- ^{18}F is higher than for DL- α -phenylalanine-1- ^{11}C .

This investigation indicates that the rapid synthesis of organic compounds containing short-lived radionuclides is feasible and that further developments in the synthesis of organ specific organic radiopharmaceuticals can be expected in the future.

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