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(C-11)LABELING OF N,N-DIMETHYLETHANOLAMINE DERIVATIVES AND THEIR TISSUE DISTRIBUTIONS. T. Takahashi, T. Ido, K. Yanai, K. Ishiwata and K. Kawashima Cyclotron and Radioisotope Center, Tohoku University.

We have studied (C-11)labeling of choline derivatives (acetylcholine (Ach), choline (Ch), CDP-choline) and their tissue distributions. These (C-11) Ch compounds were disadvantageous in the study of Ach receptor in brain because of their poor brain uptakes owing to the quaternary ammonium structures.

For the development of available positron emitting radiopharmaceuticals in the brain study, we tried (C-11)labeling a few N,N-dimethylethanolamine derivatives (N,N-dimethylethanolamine (1), O-acetyl-N,N-dimethylethanolamine (2), 2-methoxy-N,N-dimethylethylamine (3)). 1 and 2 are regarded as precursors of Ach or Ch.

The (C-11)labeling method is as follows :

- (i) Trap of (C-11)MeI
- (ii) Reaction of starting materials with (C-11)MeI
- (iii) Separation of (C-11)labeled products by a silica-gel column

(Radiochemical yield ; 1 : 12-22 %, 2 : 9-14 %, 3 : 39-45 %) The time required for the syntheses was approximately 85 min from the end of (C-11)MeI trapping.

By administrating these (C-11)labeled 1, 2 and 3 to rats, it has been demonstrated that they are mainly accumulated in the kidney, liver and adrenal and their brain uptakes are higher than those of (C-11)labeled Ch derivatives. The regional accumulation of (C-11)labeled 2 was also examined in the rat brain in vivo by means of autoradiography.

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SYNTHESIS OF ¹¹C-PARGYLINE AND ITS BIODISTRIBUTION IN MICE AND A RABBIT. K. Ishiwata, T. Ido, K. Yanai, K. Kawashima, Y. Miura, M. Monma, S. Watanuki, T. Takahashi and R. Iwata. Division of Radioisotope Research, Cyclotron and Radioisotope Center, Tohoku University, Sendai.

[¹¹C]Pargyline which is a suicide inactivator of type B monoamine oxidase (MAO) was synthesized by the reaction of N-demethylpargyline with ¹¹CH₃I. Biodistribution was investigated in mice, and positron tomographic images of the heart and lung in a rabbit were obtained. The [¹¹C]pargyline was incorporated into many organs and cleared rapidly from blood. After 30 min its concentrations in the organs were maintained. Subcellular distribution study in the brain, lung, liver and kidney showed that 59%-70% of the ¹¹C became acid-insoluble and 9%-33% of the ¹¹C was present in the crude mitochondrial fraction at 60 min after the injection. However, high loading dose had an influence on the subcellular distribution but little influence on tissue distribution. The relative uptakes of the [¹¹C]pargyline in each organ was not necessarily coincident with the relative in vitro enzymatic activity of type B MAO in the same organ. In high loading dose the non-specific uptake was observed.