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STUDY OF LIVER AND LUNG IMAGING AGENT BY Ga-68 CABONATE. H.Akiba,S.Uematsu,K.Uno, K.Saegusa,J.Okada,K.Imazeki and N.Arimizu. Chiba Univercity School of Medicine,Chiba.

We studied the compounds accumulated at liver and lung in use of Ga-68 which is positron emitter from Ge-68 by milking. Half life of Ga-68 is so short (68 minutes) that we tried to produce it in a short time. Stable gallium chloride is added to Ga-68 elution,then sodium hydroxide and sodium bicarbonate added to solution for adjusting pH7.0-8.0. We made Ga-68 colloid or suspension by the way of adjusting reaction tempereture and pH. The agent was injected intravenously to the rats. They were sacrified 5,15,30,60 or 120 minutes after the injection. The radioactivity of the blood,muscle,kidney,spleen,liver and lung was measured by a well-type scintillation counter. Chest and abdominal cross section imaging with Ga-68 compound was performed in rabbits by Shimadzu positron camera SET 120 W. And then three patients were investigated with Ga-68 compound by SET 120 W after 2 mCi administration.

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BASIC STUDIES OF GA-68 DF-MAA AS A LUNG PERFUSION IMAGING AGENT FOR POSITRON COMPUTED TOMOGRAPHY.
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In Kyoto Univ.Hospital, regional lung ventilation is studied using N-15 nitrogen gas with PCT(Positron computed tomography), which provides the accurate quantitative results with better resolution capability and sensitivity than SPECT.

The new lung perfusion imaging agent labeled with positron emitter is highly desirable in order to compare the lung perfusion image with the ventilation image obtained by using N-15 nitrogen gas.

In this study, we tried to establish the instant labeling method of MAA(macro aggregated albumin) with Ga-68 which is eluted from (Ge-68)-(Ga-68) generator.

Deferoxamine (DF) is an excellent bifunctional chelating agent for labeling proteins with gallium and we have already reported the labeling method of Ga-67 DF-HSA (J Nucl Med 23;909,1982).

DF-MAA conjugate was formed through similar method to that of DF-HSA.

Ga-68 DF-MAA can be obtained in short time by only mixing this DF-MAA conjugate and Ga-68 solution.

In conclusion, this radiopharmaceutical is expected to be useful for the lung perfusion study using PCT.

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EVALUATION OF LABELED PHENYLCARBAMATE DERIVATIVES AS RADIOTRACERS FOR IN VIVO STUDY OF ACETHYLCHOLINE ESTERASE ACTIVITY.
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Biotransformation of radiotracers is a interesting concept in drug-design of radiopharmaceuticals. We designed and evaluated prototype tracers for the in vivo study of brain AchE activity. Phenylcarbamate (PC) derivatives are well known reversible AchE inhibitors, and hydrolized to carbamic acid. PC derivatives would be expected to pass through the BBB due to their lipophilicity, and the moiety as carbamic acid to be trapped in cells. The hydrolysis rate of PC derivatives can be desirely changed by chemical modifications as previously reported. Based on above reasons, N-methyl labeled PC (C-14-MPC) was prepared with C-14 MeI, and organ distribution study was performed. High accumulation of the radioactivity into brain and heart was observed within 1 min after intravenous injection of the tracer,and brain radioactivity disappeared as two exponential curve. Radiochemical analysis of radioactive materials in the brain showed that C-14-MPC was metabolically trapped in the brain. PC and para nitro PC were also labeled with N-13 by ammonolysis, and biodistributions were determined. As expected, a significant difference in the metabolic-trapping rate of these two compounds was observed. In conclusion, labeled PC derivatives have high potency as new metabolic-trapping agents.

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SYNTHESIS OF BR-77-LABELLED BROMOPERIDOL AND BROMOSPIPERONE AS DOPAMINE RECEPTOR VISUALIZING AGENTS.
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For comparative study of dopamine receptor visualizing agents, Br-77-labelled bromoperidol[A] and bromospiperone[B] were synthesized. Bromine-77 was produced by the $^{75}As(\alpha,2n)^{77}Br$ reaction, separated by volatilization and dissolved in water. From this Br-77 solution, [A] was prepared by the Sandmeyer reaction and [B] was synthesized by the oxidative bromination with H_2O_2. Both of them were purified by HPLC with an ODS column. The radiochemical yield was 16% for [A] and 36% for [B]. In the synthesis of [A], as compared with that of [B], the labelled compound is formed without any notable radioactive by-product but with a variety of non-active by-products, which cannot be removed easily within a short time. Plural radioactive products were formed in the synthesis of [B] together with very few non-active by-products and the purification can be carried out easily. The Sandmeyer reaction can be used for radiobromination at any position, whenever the corresponding amine is available. In the oxidative bromination, no choice of the labelling position is possible. As for the reaction time, [A] is obtained more rapidly than [B]. The Sandmeyer synthesis is thought to be used in the Br-75 labelling.

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