

538

EVALUATION OF LIVER SCINTIGRAPHY WITH I-123- AND Tc-99m-LABELED NEOGLYCOPROTEIN. S.Kawa, M.Nakazawa, M.Kojima, Y.Nishiyama, T.Hasegawa, Y.Tanaka, Y.Kubota, Y.Samejima, Y.Tashiro and H.Washino*. Kansai Medical University, Moriguchi and Nihon Medit Physics*, Takarazuka.

Neoglycoproteins are bound by receptors specific to liver parenchyma and degraded by lysosomes in liver cells. We reported on experiments using Tc-99m-Gal27-HSA in normal rabbits at the 23rd general assembly. In the present study, I-123- and Tc-99m-labeled Gal30-HSA were intravenously administered to normal rabbits and those with D-galactosamin-induced acute liver dysfunction. Serial measurements of radioactivity revealed equal accumulation of I-123 and Tc-99m in the liver despite their different elimination routes. The liver images and the radioactivity-time curve obtained with Tc-99m-labeled Gal30-HSA were sufficiently clear for evaluation. The clearance T_{1/2} in the heart, as well as uptake T_{1/2} and T_{max} in the liver were significantly prolonged in the rabbits with acute liver dysfunction. Also these indices correlated well with the number of receptors in the liver directly measured by binding assay. Tc-99m-Gal-HSA can be useful for examination of liver disorders in which hepatic binding protein receptors decrease.

539

¹¹C-COENZYME Q₁₀: A NEW HEART-IMAGING TRACER FOR POSITRON EMISSION TOMOGRAPHY. K.Ishiwata, T.Ido, T.Takahashi, Y.Miura, K.Kawashima, K.Yanai, M.Monma and R.Iwata. Division of Radioisotope Research, Cyclotron and Radioisotope Center, Tohoku University, Sendai.

Coenzyme Q₁₀ is a co-factor of a mitochondrial electron transfer system. ¹¹C-Labeled coenzyme Q₁₀ (¹¹C-CoQ₁₀) was synthesized and its biodistribution in rats was examined by two preparation methods. ¹¹C-CoQ₁₀ prepared with polyoxyethylene hydrogenated castor oil was present in the highest concentration in the blood at 30 min. However, the ¹¹C-CoQ₁₀ prepared with liposomes was rapidly cleared from the blood. The liver and spleen uptakes were high probably by endocytosis, which reflects the characteristics of liposomes. The heart uptake was also high just after the administration, and the concentration ratio of heart-to-blood is over 10 after 5 min. Therefore, the ¹¹C-CoQ₁₀ has established to be a hopeful heart-imaging tracer. Preliminary positron emission tomography in a dog could localize the heart image. Several characteristics of the ¹¹C-CoQ₁₀ prepared with liposomes are also described.

540

SYNTHESIS OF N-C-11-METHYL SPIPERON. A.Tanaka, M.Iio, K.Suzuki*, O.Inoue* and T.Yamazaki*. Sumitomo Heavy Industries and National Institute of Radiological Sciences*, Chiba.

Recently, spiperon or N-methyl spiperon labelled with C-11 or F-18 has been useful in binding studies for measuring dopamine receptors both *in vivo* and *in vitro*. We report a rapid and mild procedure for the preparation of N-C-11 methyl spiperon using C-11-methyl iodide as a precursor. Spiperon has tertiary amines in its structure and ordinary method of methylation promote to form quaternary ammonium salts. So, spiperon is treated with strong base and the catalyst is added to a solution of spiperon in unhydrous solvent and heated at 50°C in the presence of C-11-methyl iodide for 5 min. N-C-11 methyl spiperon is isolated and purified by HPLC and the elution is dried up. The yield of the N-C-11-methyl spiperon is up to 90% and the radiochemical purity is 100%. The entire synthesis was accomplished about 50 min. after E.O.B.

541

CARRIER EFFECT OF ³H-DMPEA ON THE METABOLIC TRAPPING RATE IN THE BRAIN. O.Inoue, T.Irie, T.Yamazaki and T.Ito*. National Institute of Radiological Sciences, Chiba-shi, *Nihon Medical School, Tokyo.

Carrier-effect of biotransformed type radiotracer on the metabolic-trapping rate in the brain was investigated in control and stress-loaded (forced-swimming at 15°) mice. ³H-DMPEA was rapidly incorporated into the brain, and then transformed to ³H-dimethylamine by MAO-B. The brain radioactivity curve was mainly dependent upon the elimination rate of the substrate (k₁), the enzymatic reaction rate (k₂) and the elimination rate of the labeled metabolite from the brain (k₃). In the case of carrier-free state, as the substrate concentration was much lower than Km value, the enzymatic reaction rate (k₂) could be estimated by curve fitting tecnic. k₂=1/S₀·B₀·(k₁+k₂-k₃) where S₀:initial substrate concentration, B₀: the intercept of slow component.

When 15mg/kg of carrier DMPEA was loaded in control mice, the amount of labeled metabolite produced in the brain was significantly reduced, however the k₂ value could not be determined by this simplified analysis.

A significant different brain radioactivity curve of ³H-DMPEA in stressloaded mice was also observed.

We are now developing more suitable analysis method for the determination of the *in vivo* enzymatic reaction rate.