362

6-HALO-9-BENZYLPURINE(6-XBP), AN AGENT FOR BRAIN HALIDE EFFLUX TRANSPORT. K.Fukushi, T.Irie, O.Inoue, T.Yamasaki and *T.Nozaki. National Institute of Radiological Sciences, Chiba. *The Institute of Physical and Chemical Research. Wako.

6-XBP was exchange-labeled with Br-77 and I-125 and their potentials as a brain imaging agent were evaluated with mice. At 1 min, brain uptakes were 8.6 and 7.6% dose/g for bromo and iodo compound, respectively. Radiochemical analyses of the brain tissue showed rapid rate of defluorination, 80% at 1 min and 100% at 5 min postinjection, of both compounds.
The activity of halide-form was cleared from the brain following a single exponential curve. The half time of clearance was 6.2 min for iodide, 40 min for bromide and 76 min for fluoride in mice.
Such a difference can be explained by the fact that carrier-mediated active transport systems (iodide pump) are present in the brain. Thus, 6-XBP acts as carriers of radioactive halogen ions into the brain. In vivo measurement of brain halide efflux may be useful for the study of brain disorders and functions.

363

BRAIN UPTAKE OF [C-11]-N,N-DIMETHYL-TRYPTAMINE IN VIVO. K.Yanai,K.Ishiwata, T.Takahashi,K.Takahashi,K.Kawashima,R.Iwata, and T. do.Cyclotron and Radioisotope Center Tohoku University. Sendai.

[C-11]labeled indolealkylamines are expected to play an important role in the study of psychiatry and hallucinogen.[C-11]-N,N-dimethyltryptamine([C-11]DMT),[C-11]-N-methyltryptamine,[C-11]-5-methoxy-N,N-dimethyltryptamine,and [C-11]bufotenine were prepared with [C-11]CH_3I.The overall radiochemical yields of [C-11]DMT,[C-11]-N-methyl-tryptamine,[C-11]-5-methoxy-N,N-dimethyl-tryptamine,and [C-11]bufotenine were 46%,2.2%,18%,and 8.9%,respectively.The specific activity of [C-11]DMT was about 100 Ci/mmol at the end of [C-11]CH_3I trapping.The tissue distributions of these indolealkylamines were investigated.The brain uptake of [C-11]DMT was the highest among all drugs and that of [C-11]bufotenine was the lowest.The regional accumulation of DMT and the effect of reserpine on the brain uptake were examined in rat in vivo by means of autoradiography and punch sampling procedure .The uptake of DMT was higher in cerebral cortex,thalamus,and amygdala.The DMT concentration of cerebral cortex increased linearly in the lower serum concentration and it was saturated in the higher serum concentration(more than 5nmol/ml).Reserpine decreased the accumulation of DMT in brain ,but the ratio of brain to serum concentration of DMT was not changed.

364

BODY DISTRIBUTION OF [I-^{14}C]-MONOIODOACETIC ACID OF THE MICE REVEALED BY COLOR AUTO-RADIOGRAPHS — COMPARATIVE STUDIES OF NORMAL WITH TUMOR BEARING MICE —. M.Akisada*, N.Ishikawa*, T.Nakajima*, A.Hatori** and E.Hayama**. * Department of Radiology, University of Tsukuba. ** Institute of Whole Body Metabolism(Chiba Pref.)

Acetic acid ($CH_3\ ^{14}COOH$) shows rapid metabolic turnover in the body and is finally expired in the form of $^{14}CO_2$, whereas, monoiodoacetic acid ($CH_2I^{14}COOH$) (M.I.A.) ceases its reaction by inhibiting CoA enzyme activity in the tissue by the following reaction.

$$CoA\text{-}SH+ICH_2COO^- = CoA\text{-}S\text{-}CH_2COO^-+HI$$

M.I.A., then, remains in the target organ without further reactions and therefore it will become available as a promising scanning agent if it would be possible to be routinely synthesized.

2×10^6 cells of S-180 sarcoma and 10% homogenates of B-16 melanoma cells were implanted into the subcutaneous tissue of the back of the ICR mouse and C_{57} black mouse respectively.

A solution of 2.5 μCi M.I.A. was injected intravenously and radioactive distribution in the body was estimated by a whole body autoradiograph.

Color autoradiograph was evaluated more easily than conventional autoradiography in comparision with H.E. stained specimen of the whole body. The characteristics of the radioactive distribution in the tumor bearing mice was discussed.

365

BIODISTRIBUTION AND METABOLISM OF VARIOUS C-14 LABELED TUMOR SEEKING AGENTS. K.Shiba,H.Mori, and K.Hisada* Radioisotope Center Kanazawa University. Kanazawa. *Kanazawa University of medicine. Kanazawa.

As tumor seeking agents,glucose analogues,natural amino acids,synthetic nonmetabolized amino acids and nucleic acids,etc,labeled with positoron emitter, such as C-11 and F-18 have been recently investigated. However, there are very few reports concerning comparative study of tumor uptake and tissue distribution of these agents. This preliminary paper describes comparative distribution, whole-body autoradiography and metabolism studies of these agents. C-14 labeled Deoxy-2-fluoro-D-glucose(FDG), L-, D.L-Leucine, 1-Aminocyclopentane carboxylic acid(ACPC) and Thymidine were intravenously injected through tail vein into separate groups of the experimental animals. For the experimental animals, the mice with Ehrlich tumor, the rats with Yoshida sarcoma and with Hepatoma AH109A were used. Among these agents, ACPC had the highest tumor uptake and tumor to tissue ratios, although ACPC was inferior to FDG in related to tumor to blood and tumor to pancreas ratios. Radioautoradiogram of ACPC showed very clear tumor image as well as that of FDG. The time course study indicated that tumor uptake of ACPC increased with time, whereas that of other agents decreased with time or reached a plateau. The above data suggest that synthetic nonmetabolized amino acids, such as ACPC, may be promising for tumor seeking agents.