Study on Tumor Affinity of ^{99m}Tc-labeled Radiopharmaceuticals The Comprehensive Investigation of Various ^{99m}Tc-labelde Radiopharmaceuticals for Tumor Affinity in Contrast to Biologic Behavoir of ^{67}Ga-Citrate

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Biologic characteristics of various ^{99m}Tc-labeled radiopharmaceuticals have been comprehensively investigated to get a promising indicator for tumor imaging in contrast to biologic behaviour of ^{67}Ga-citrate in Ehrlich's tumorbearing mice. In this paper were included the following eleven preparations: ^{99m}Tc-HSA (human serum albumin), $^{99m}TcO_4$ (pertechnetate), ^{99m}Tc-TSL (trasylol), ^{99m}Tc-EHDP (diphosphonate), ^{99m}Tc-DMSA (dimercaprosuccinic acid), ^{99m}Tc-DSDP (diethyl stilbestrol diphosphate), ^{99m}Tc-LASP (L-asparaginase), ^{99m}Tc-BLM (bieomycin), ^{99m}Tc-UK (urokinase), ^{99m}Tc-Man (mannitol), ^{99m}Tc-Con A (concanavaline A). Each one has been comprehensively investigated about the following principal biologic characteristics: 1) an absolute concentration in tumor tissue (expressed as a percent administered dose per gm. of tissue weight), 2) a concentration ratio of tumor tissue to organ, 3) the clearance from blood and skeletal muscle tissue, 4) the relative superiority index (RSI) calculated from the comparison with biologic characteristics of ^{67}Ga-citrate.

It could be concluded from this prelusive investigation that ^{99m}Tc-labeled radiopharmaceuticals such as ^{99m}Tc-Man and ^{99m}Tc-BLM which possess the biologic characteristics with the faster blood disappearance and without the accumulation to specific organs may be more preferable and practical for tumor imaging, considering the short physical half life of technetium-99m and the hazardous radiation to patients due to the considerable extensive administration.

On a Current Progress of Labelling Method with F-18

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In developing a clinical application of cyclotron produced short-life radio nucleides, it is important to establish the labelling methods with their nuclides. We studied improvment of specific activity, shortening of preparation time, radiation safty and convenient handling in labelling of various fluoro-compounds.

The nuclear reaction, (A) ^{20}Ne(d, α)^{18}F, (B) ^{20}Ne(^{3}He, αn)^{18}F, produced anhydrous, carrier-free ^{18}F and the reaction (C) ^{16}O(α, pn)^{18}F, produced ^{18}F in water. The reaction (A) is most adapted for labelling synthesis.

Isotopic exchange was used to incorprate ^{18}F into fluorinating agent or precursor of fluoro-compounds. Anhydrous, carrier-free ^{18}F was eluted semi-automatically with AgF, diazonium salts or BF_3-ether complex in some anhydrous organic solvents. ^{18}F in water was also used for organic synthesis, by effective trapping with quarz sand and drying up.

^{18}F-benzoic acid (1), ^{18}F-hippuric acid (2), ^{18}F-phenylalanine (3) and ^{18}F-tryptophan (4) were synthesized by Schiemann decomposition of their diazonium fluoroborates. 2- and 6-^{18}F-purine derivatives (5) were prepared by halogen exchange of AgF with chloro-derivatives. 3-acetoxy-5-hydroxy-6-fluorocholestane-^{18}F (6) was given by the reaction of BF_3-ether with its epoxide. Amino acids were obtained as salt-free solution by Amberlite IR-4B or Porapak Q column chromatography. Moreover it was succeed in preparation of bioactive, L-form of 5-F-tryptophan by enzyme reaction.