

E) Radiopharmaceuticals

On the role of iron-ascorbic-acid complex in labelling human serum albumin with ^{99m}Tc

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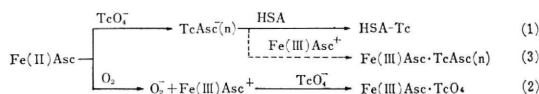
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Many studies on labelling HSA with ^{99m}Tc by the use of Fe^{3+} and ascorbic acid (H_2Asc) have been reported, all of which described that the high labelling efficiency was achieved when the labelling procedure was made under development of purple color in the solution. We have reported previously that the purple color is based on the formation of Fe(III)Asc^+ complex.

This research deals with a role of Fe-Asc complex in labelling HSA with $^{99m}\text{TcO}_4^-$.

Being presumed the following reactions as the mechanism of labelling, all experimental results could be well explained.

Fe(II)Asc is regarded as the species which acts as a suitable reducing agent of TcO_4^- . Moreover, it is easily anticipated that the presence of O_2 and Fe(III)Asc^+ may be unfavorable for labelling HSA. In the reduction of TcO_4^- , O_2 competes with TcO_4^- , and the formation of $\text{Fe(III)Asc} \cdot \text{TcO}_4^-$ results the decrease in amount of free TcO_4^- . An extremely high efficiency was achieved, when the labelling was carried out under N_2 in the presence of Desferal which scavenges Fe^{3+} through the formation of stable chelate. This result possibly supports the above-mentioned labelling reactions and at the same time, this is regarded as a new devised method of labelling which is expected to be effectively applied for the preparation of various Tc labelled compounds.



A simple, rapid and efficient preparation of ^{99m}Tc -compounds by electrolysis (I)

^{99m}Tc -Albumin, ^{99m}Tc -Millimicrosphere

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Several kinds of reductants have been used for labeling of various compounds with ^{99m}Tc . Recently, Benjamin has reported the method of labeling by electrolysis. Although his method is very useful in comparison with the other conventional methods, there are still several points

to be investigated. Then, we have further developed this method and devised a simplified apparatus for electrolysis which includes a timer and a stirrer so that we can easily get the constant current for electrolysis.

For the preparation of ^{99m}Tc -Albumin, Pt-Zr

electrodes showed a labeling efficiency of about 70% right after electrolysis, and by standing the electrolyte for about 10 min, more than 95% of ^{99m}Tc was tagged to albumin.

No differences in blood clearance rates in a rabbit could be observed between ^{99m}Tc -albumin and ^{131}I -HSA at least for three hours after simultaneous administration.

Pt-Sn electrodes gave good labeling efficiency for preparation of ^{99m}Tc -millimicrosphere. When

this labeled compound was injected into mice, more than 90% of the activity was detected in liver 5 min after administration.

As the results of these investigations, we concluded that electrolysis method for preparation of various ^{99m}Tc -compounds is one of the most useful methods, and the preparation by the apparatus which we developed has many advantages such as simplicity, rapidity and reproducibility.

A simple, rapid and efficient preparation of ^{99m}Tc -compounds by electrolysis (II)

^{99m}Tc -stannous hydroxide, ^{99m}Tc -EDTA

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As previously reported, the electrolysis method is more simple and convenient for labeling of ^{99m}Tc than the other conventional methods. We further expanded the application of this method to the other compounds and confirmed that this method can be applied for labeling of stannous hydroxide and EDTA with ^{99m}Tc . We have experimentally determined ^{99m}Tc -EDTA can be used for the measurement of GFR and ^{99m}Tc -stannous hydroxide for the liver scanning.

By using Pt-Sn electrodes, better result was obtained in labeling efficiency of both ^{99m}Tc -EDTA and ^{99m}Tc -stannous hydroxide than by Pt-Zr electrodes.

^{99m}Tc -EDTA was prepared by adding $^{99m}\text{TcO}_4^-$ soln. to Sn-EDTA soln. which was previously produced by electrolysis. The efficiency of chelation averaged more than 94% by allowing this mix-

ture for about 15 minutes. ^{99m}Tc -EDTA and ^{169}Yb -DTPA were simultaneously injected in an adult rabbit, and almost the same GFR values were obtained as 15.6 ml/min and 16.0 ml/min respectively.

As for ^{99m}Tc -stannous hydroxide, chromatography studies have shown that electrolysis with 0.1–0.3 coulomb gives a labeling efficiency of more than 98%. When injected into mice, more than 90% of this compound was taken up by liver.

As the results of further investigations, we found this electrolysis method can be applied for labeling of several other compounds such as polyphosphate and bleomycin. When this reaction is aseptically performed in sterile 0.9% NaCl soln., the resulting solution is ready for injection; this is considered to be an advantage for the medical use.