

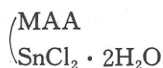
same qualities as those of  $^{131}\text{I}$ -MAA and  $^{131}\text{I}$ -HSA. (Followings are our investigation.)

#### Method

Contents of the kit

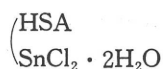
○  $^{99\text{m}}\text{Tc}$ -MAA kit

Stannous MAA vial (Frozen)



○  $^{99\text{m}}\text{Tc}$ -HSA kit

Stannous HSA vial (Lyophilized)



$^{131}\text{I}$ -MAA and  $^{131}\text{I}$ -HSA produced at our laboratory were used.

Our labeling procedure is as follows: Take the vial out of the refrigerator and allow to stand until its contents reach to the room temperature then add 5ml of  $^{99\text{m}}\text{Tc}$ -sodium pertechnetate saline solution and shake it sufficiently. The examination of radiochemical purity of the  $^{99\text{m}}\text{Tc}$  labeled compounds were performed by paperchromatography using 75% methanol, and electrophoresis using cellulose acetate film. The distribution of MAA particle size was measured with microscope, and the organ distributions of  $^{99\text{m}}\text{Tc}$ -MAA and

$^{99\text{m}}\text{Tc}$ -HSA were observed in rats after intravenous administration.

#### Results

○  $^{99\text{m}}\text{Tc}$ -MAA kit

The labeling yield of  $^{99\text{m}}\text{Tc}$  to MAA was 99% by this kit.

The quality of the contents was stable for more than four months. Over 80% of MAA particles were 10—60  $\mu$  in size.

The accumulation of  $^{99\text{m}}\text{Tc}$ -MAA into lung of rat was 99% at 5 minutes after intravenous administration, and its biological half life was about 8 hours.

○  $^{99\text{m}}\text{Tc}$ -HSA kit

The labeling yield of  $^{99\text{m}}\text{Tc}$  to HSA was 93% by this kit.

The quality of the contents was stable for more than three months.

As to the organ distribution of  $^{99\text{m}}\text{Tc}$ -HSA in rat at 10 minutes after intravenous administration, it was mostly distributed in blood, next in the order of liver and lung, The uptake of stomach was extremely low, which was almost similar to that with  $^{131}\text{I}$ -HSA.

Any colloidal substance by electrophoresis was not observed.

### $^{99\text{m}}\text{Tc}$ -KTS(Kethoxal-Dithiosemicarbazone), as a Potential Cholescintigraphic Agent

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There have been seen a several reports describing that thiol compounds labeled with  $^{99\text{m}}\text{Tc}$  such as  $^{99\text{m}}\text{Tc}$ -penicillamine are useful

as cholescintigraphic agent. However, in animal experiments the accumulation of  $^{99\text{m}}\text{Tc}$  into gall bladder is not yet reproducible. This

unwilling result might be caused by the difficulty to get a single component of  $^{99m}\text{Tc}$  labeled product. On the other hand, considering that the accumulation of  $^{99m}\text{Tc}$  in bile is observed uniquely in the  $^{99m}\text{Tc}$ -thiol compounds, it is suggested that Tc-sulfur coordinating bond is closely related with the behavior of  $^{99m}\text{Tc}$  in vivo.

Thus it is interesting to study the behavior of  $^{99m}\text{Tc}$ -KTS which is expected to be a stable chelate with similar coordinating bond between reduced Tc species and thiol group in the molecule. KTS has been introduced as antiviral and anticancer drug by Petering et al.

The labeling of KTS was performed with  $^{99m}\text{TcO}_4^-$  in the presence of  $\text{SnCl}_2$ . Based on the results obtained in TLC and electrophoresis, together with those in spectrophotometric studies, it is concluded that  $^{99m}\text{Tc}$ -KTS is

a chelate in which  $\text{Tc(IV)}(\text{TcO}^{2+})$  coordinates to KTS with the molar ratio, 1:1. This chelate with zero charge is very soluble in organic solvent such as ethyl acetate. This outstanding characteristic of such lipophilic compound has never been seen, so far in  $^{99m}\text{Tc}$ -radiopharmaceuticals. The distribution of  $^{99m}\text{Tc}$  in mice tissues is comparatively studied in  $^{99m}\text{Tc}$ -penicillamine and  $^{99m}\text{Tc}$ -KTS, showing high bile radioactivity in both cases. However, bile duct cannulation experiments in rat showed a clearly faster and reproducible accumulation data with  $^{99m}\text{Tc}$ -KTS. A superior character of the later compound over  $^{99m}\text{Tc}$ -penicillamine as a tracing agent in dynamic studies of  $^{99m}\text{Tc}$  in vivo may be suggested. Further the detailed study is under a way.