

scintigraphy were excellent in delineation of site of tumor in the head and neck before the radiation therapy. 2) After the radiation therapy, the accumulation of the ^{57}Co become

obscure or almost none, and then these findings were considered to be valuable for the evaluation of radiation therapy.

Development of $^{99\text{m}}\text{Tc}$ -Labeled Tumor Imaging Agents: Comparative Studies on Various Derivatives of Bleomycin and Other Antitumor Antibiotics

T. ODORI, T. SAKAMOTO, T. MORI, K. HAMAMOTO,
K. TORIZUKA, and A. YOKOYAMA

*Department of Radiology and Central Clinical Radioisotope Division, Kyoto
University School of Medicine, and Department of Radiopharmaceuticals
Faculty of Pharmaceutical Sciences, Kyoto University, Kyoto, Japan.*

Commercially available bleomycin (BLM) used in tumor scanning as $^{99\text{m}}\text{Tc}$ labeled compound is known as A_2 and B_2 derivative in 2:1 ratio. In the present work, the following derivatives of BLM such as A_2 , dimethyl A_2 , A_5 , and B_5 have been labeled with $^{99\text{m}}\text{Tc}$, respectively, in the same way as the conventional $^{99\text{m}}\text{Tc}$ -BLM and a comparative analysis has been made with the above mentioned complex BLM.

Thin layer chromatography (Methanol: Ammonium acetate 1:1) analysis showed that in each case, a pure labeled compound was obtained with negligible free $^{99\text{m}}\text{TcO}_4^-$. Studies on radioactivity distribution in tissues and organs of tumor-bearing mice was comparatively described. Although B_2 derivative has presented a better blood clearance and A_5 a higher liver uptake, no significant difference has been estimated among each other. Within 1 hr after i.v. injection the radioactivity in the tumor reached 1–1.5% dose/g tissue and it tended to decline gradually but 5–6 times the activity of control muscle was observed by 24 hrs.

Colaborating with the Daiichi Radioisotope Lab., development of an instant labeling $^{99\text{m}}\text{Tc}$ bleomycin kit has been studied. With or even without ascorbic acid, provided kit has been shown a rapid and easy way of labeling this polimical and complicated complex with a reliable reproducibility on quality control and distribution study in mice. However, the addition of ascorbic acid into the reaction mixture seems to contribute to the stability of $^{99\text{m}}\text{Tc}$ -BLM both in vitro and in vivo.

On the other hand, the preliminary study with other oncostatic agent "VINCRISTINE" labeled with $^{99\text{m}}\text{Tc}$, with the same procedure as $^{99\text{m}}\text{Tc}$ -BLM, has revealed only 0.7% activity in the tumor and this activity was 2 and 5 times higher than that of blood and muscle, respectively. The reticuloendothelial system has shown a higher uptake than that observed with $^{99\text{m}}\text{Tc}$ -BLM. An improved method for labeling this compound or a development of other desirable tumor detection agent is in progress.