Studies on the Instant Labeling Kit Preparation of ^{99m}-Tc Bleomycin

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99m-Tc labeled bleomycin was found useful for the scintigraphic detection of malignant tumors. (J Nucl Med 14:431, 1973) In the present study the possibility to develope instant labeling kit for this radiopharmaceutical was investigated.

The labeled compound contains labeled bleomycin and some possible contaminants of colloidal components and/or inorganic pertechnetate. For the separation of these substances, silica-gel plate thin layer chromatography was proved quite satisfactory. Using 1 to 1 mixture of 10% ammonium acetate and methanol as solvent, 12 cm ascending chromatography was achieved within 2.5 hours and colloidal components, Bleomycin A_2 and B_2, and pertechnetate was found to be well separated having their Rf values at 0, 0.35, 0.68 and 0.88, respectively. As to the concentration of stannous chloride, original 500 μg (Jap J Nucl Med 10:110, 1973) was found excess against 15 mg eq of bleomycin and reduction to 100 μg gave the recovery of more than 80% of radioactivity. Even under this condition, final millipore filtration was necessary to eliminate colloidal components. Filtered material was certainly useful for clinical scintigraphy but significant radioactivity in the liver was also observed suggesting in vivo unstability. In the original procedure, addition of ascorbic acid was useful to avoid this unstability. However, treatment of bleomycin with both stannous chloride and ascorbic acid resulted in the formation of denatured bleomycin. Further trial was performed using less concentration of stannous chloride. The labeling efficiency was much improved down to 2.5 μg of stannous chloride. The labeled was found quite stable for 6 hours after labeling, but standing at low pH (2.2) produced inorganic pertechnetate and final pH adjustment seemed still necessary.

Effect of lyophilization or possibility to eliminate final pH adjustment and/or millipore filtration are still under investigation.