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LABELLING OF ETHYLENEDICYSTEINE (EC) WITH ^{99m}Tc PRELIMINARY DISTRIBUTION STUDIES IN MICE

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The 99m-Tc-Ethylenedicysteine (99m-Tc-L,L-EC) is a potential alternative for renal function studies. We studied the optimal conditions for labeling L,L-EC with 99m-Tc and the biological evaluation of this tracer agent in mice. The L,L-EC was synthesized as previously described (1). Labelling studies were performed by mixing: 1 ml of L,L-EC (1mg/ml), pH 7-12, 2.5-200µg $SnCl_2.2H_2O$ in 0.05N HCl, 1-6ml of pertechnetate (37-3700MBq). After an incubation period of 1-15 min. the pH was adjusted to 6-7 with 0.5M H_3PO_4. Radiochemical purity was determined by ITLC-SG with acetone and 0.5M acetic acid and RP-HPLC on a Nucleosil C-18 column (5µm, 250x46mm) eluted with gradient mixtures of phosphate buffer pH 2.5 and ethanol Biodistribution was performed in mice after I.V. administration of the complex. Plasma protein binding was determined by precipitation method in 10% trichloroacetic acid.

L,L-EC was labeled very easily and efficiently at room temperature, resulting in a preparation with excellent radiochemical purity and stability. The reaction mixture at pH 12 results in the formation of a single radiochemical form by HPLC, which shows the mentioned favourable renal excretion. Labelling at pH 10, a second complex was formed and the percent of the desired radiochemical form decrease with decreasing pH, reaching about 50% at pH7. Plasmatic clearance of the complex labeled at pH 12 is rapid and the compound is great excreted in urine after 30 min. (90%). The kidney retention is minimal and the uptake in other avaiable organs as liver and intestines are negligible. Plasma protein binding approaches 35.52%, 62.56% and 25.76% respectively at 15, 30 and 60 minutes plasma samples. Preliminary studies suggest further biological investigations to elucidate the clinical use of 99m-Tc-L,L-EC.

(1)Blondeau P., Berse C., Gravel D., Can.J.Chem., 45:49-52, 1967.