

IPEN / CNEN - SP
BIBLIOTECA
Produção Científica

PTu875

B.L. Faintuch, M.A.T.M. Almeida, E. Muramoto, O.G. Carvalho, E.B. Araujo, Dept. Radiopharmacy, Institute of Nuclear and Energetic Research (IPEN/CNEN), University of São Paulo, São Paulo, SP, Brazil

^{99m}Tc-N-ACETYLCYSTEINE LABELLING AND BIODISTRIBUTION

N-Acetylcysteine (NAC) is a recognized antioxidant, mucolytic and chemopreventive amino acid. Unlike cysteine, it has not been well studied as a potential radiopharmaceutical for clinical use. Aiming at the labelling of this molecule with Tc-^{99m}, a complex was prepared by the reduction of ^{99m}TcO₄ with stannous chloride, in the presence of the ligand. Radiochemical purity of the product was confirmed by TLC-SG using acetone and 0.9% saline as solvents. Animal studies were performed in male Wistar rats (n=48). After administration of 100 µCi of the tracer, lots of 6 animals were sacrificed at respectively 1, 5, 10, 15, 30, 60, 90 and 120 minutes. Distribution was determined in kidney, liver, heart, lung, spleen, stomach, small and large bowel, muscle, blood and plasma. Labelling yield of ^{99m}Tc-NAC was 97.6 ± 1.1% (n=10). The highest concentration of the dose/g tissue was found in the kidney, with a peak at 90 minutes (21.9 ± 4.5%). Lower but significant values were observed in lung, heart, liver and other viscera, with the highest findings corresponding to the first minutes, followed by slow reduction. Blood clearance of ^{99m}Tc-NAC was fast, decreasing from 29.9 ± 8.8% in the first minute to 18.2 ± 5.8% at five minutes, and 1.8 ± 0.4% after two hours. Plasma and whole blood activities were quite similar, and protein binding (TCA precipitation) was 55.6 ± 6.2%. It is concluded that: 1) Labelling of NAC by ^{99m}Tc was achieved by a convenient and highly successful procedure; 2) The kidney was identified as the preferred target organ, but distribution to all principal structures had acceptable concentrations; 3) The rapid blood clearance and probable active metabolic role of this amino acid in many tissues suggest potential applications not only for renal imaging, but also in the diagnosis of malignant tumors.