IAEA-SR-209/21

^{90}Y and ^{105}Rh labelled preparations : Potential therapeutic agents

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The potential of ^{90}Y and ^{105}Rh as therapeutic radionuclides has been realised since long [1-3]. Our attempts to prepare ^{90}Y complexes, ^{90}Y labelled ferrichydroxide macroaggregates and ^{105}Rh-sulphur colloid are described in this paper. ^{90}Y as chloride was from a ^{90}Sr-^{90}Y generator [4] while ^{105}Rh-chloride was processed from irradiated Ru target [5]. The purity of ^{90}Y and absence of ^{90}Sr was ascertained by following the decay of the activity.

^{90}Y complexes of DTPA (diethylene triamine pentaacetic acid), EDTMP (ethylene diamine tetramethyl phosphonate) and DOTA (1,4,7,10 tetraaza cyclododecane N,N'N",N"' tetraacetic acid) were made under optimised reaction conditions of pH, time, reagent concentrations etc. ^{90}Y-FHMA was made with an aim to use for radiation synovectomy. The complexes and the particulates were made under the optimised conditions to obtain the maximum labelling yield and the stability of the products were checked by the extent of leaching of ^{90}Y activity with time, both in phosphate buffered saline (PBS, 0.04M, pH 7.5) and in human serum.

^{105}Rh sulphur colloid was made with an aim to develop therapeutic agents for treatment by local administration both for radiation synovectomy and for hepatic carcinomas.

All the three ligands, DTPA, EDTMP and DOTA showed good complexation with ^{90}Y. In all the cases, the complexation yields were determined by paper chromatography/TLC using pyridine : ethanol : water (1:2:4) elusion. DTPA complexed ^{90}Y at a pH ~5.5 in acetate buffer to the extent of > 99% when the reaction was allowed to proceed at least for 2.5 h. A minimum of 1 μg of DTPA was required to complex trace amounts of ^{90}Y (~0.2 picomoles). However, when the complexation was carried out with ~204 pico moles (18 ng) of carrier Y (which corresponds to 0.185 GBq of ^{90}Y activity) the amount of ligand required was much higher at 80 μg corresponding to a ligand to metal ratio of 1000 : 1.

In the case of EDTMP, a much larger amount, 100 μg was required for complete complexation of trace amounts of Y and it was imperative to maintain the reaction pH at ~6-7. A cyclic phosphonate, tetraaza cyclo tetradecane N,N'N",N"' tetra methylene phosphonate (CTMP), however, did not complex Y to appreciable extent under various reaction conditions, perhaps indicating the importance of the cavity size available for the complexation, which is observed with most metal ions including Y and several lanthanides.

As reported by several workers earlier, DOTA formed stable complexes with Y, at a pH ~5.5-6. Although very low amounts (say 0.2 μg) of DOTA, complexed 0.74 MBq of n.c.a. (no carrier added) ^{90}Y, this required mild heating at ~ 40°C for ~ 30 minutes and the inter-batch variations were higher. With larger amounts of ligand, at 6000 : 1, the yields were consistantly high.

^{90}Y labelled ferrichydroxide macroaggregates (FHMA) were prepared by precipitating the ferrichydroxide as fine particulates in presence of Y under alkaline conditions [6]. 78 ± 3 % of ^{90}Y was labelled to the particles and no significant activity was lost on repeated washing with water, saline or buffer. ^{90}Y did not leach out of the particles on storage in saline, phosphate buffered saline and human serum for upto 10 days.

^{105}Rh-S colloid was prepared as reported for rhenium sulphur colloid [7]. 85 ± 4 % activity was associated with the colloid and as in the case of FHMA-^{90}Y, the particles were very stable and showed insignificant (< 3%) losses on washing and storage in buffer and human serum for upto 7 days.

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