

INVESTIGATION OF CONDITIONS FOR LABELLING LIGANDS WITH NSO DONOR ATOM SET USING THE TECHNETIUM (I) TRICARBONYL SYNTHON

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AIM: The use of [^{99m}Tc(CO)₃(H₂O)₃]⁺ as a radiopharmaceutical precursor opens new routes in labeling biomolecules. The three coordinated molecules of water are labile and could be readily exchanged with various mono-, bi- and tridentate ligands. We examined the capability for labeling ligands (2-benzimidazolylmethylthio) acetic acid (NSC), (2-Imidazolidinyl-methylthio) acetic acid (NSC2) and N-1-Ethyl-(2-Imidazolidinyl methylthio) acetic acid (NSC5) with Tc (I)-precursor as well as the stability of the complexes formed.

MATERIAL AND METHODS: The labeling reactions were performed at pH-7 with heating in boiling water bath 30 min. The Tc (I) complexes have been characterized using gradient HPLC equipped with UV and radioactive γ -detector on Nucleosil 100-5 C-18 column. The 0.1% solution of TFA (trifluoroacetic acid) in H₂O and 0.1% of TFA in acetonitrile were used as mobile phases.

RESULTS: The reactions produced a single product with yields greater than 95%. The values of retention times varied for each complex. The identity of the ^{99m}Tc complexes was established by comparative HPLC studies using samples of the well characterized rhenium (I) complexes as reference. At 1, 6 and 24 hours post labeling the radiochemical purity of the formulations remained high and practically unchanged. Also, the complexes are resistant against histidine challenge.

CONCLUSION: The studied ligands, having a NSO donor atom set, were easily coordinated with ^{99m}Tc tricarbonyl core in aqueous solution in high yield and radiochemical purity, forming neutral complexes that are stable for at least 24 hours. Moreover, the derivatization of the imidazolidine ring on the N-1 with an ethyl group did not reduce the labeling efficiency of the ligand as well as the stability of its Tc(I) complex. This study showed that these ligands can be derivatized in order to modify the biological behavior by attaching the appropriate biomolecule on the N-1.