

Radiolabelling of a novel NODAPA-tyrosine derivative

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Introduction: A novel Tyrosin-conjugate of the recently introduced chelator NODAPA is labeled with gallium 68. Stability of the conjugate is determined in a DTPA and a PBS-challenge experiment.

Materials and Methods: A 1 mg/ml stock solution of Tyr-NODAPA was prepared in Millipore water. This solution was used in all experiments. Experiments were carried out adding the 400 μ l elution from the generator to 5 mL of water. The radiochemical yield was determined using radio-TLC. Stability was examined with isolated radiochelate after a reaction time of 10 min using 4 concentrations of DTPA and 2 concentrations of PBS. Samples were withdrawn after 1, 15, 30, 60 and 120 min. Each experiment were performed in triplicate

Results and Discussion:

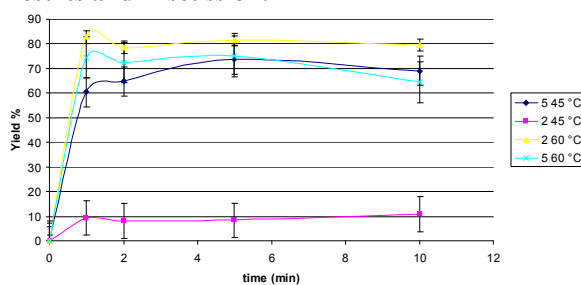


Fig. 1. Tyr-NODAPA in water system results for 2 and 5 μ g at 45 and 60 °C

Yields for the 2 μ g concentration at 60 °C are appreciable higher the ones obtained for 5 μ g and Low concentrations are more suitable to change with temperature while bigger concentrations aren't. A posterior attention must be paid to this fact. Yields has a tendency to decrease in the last 5 minutes at every concentration or temperature, indicating a thermal instability of the conjugate.

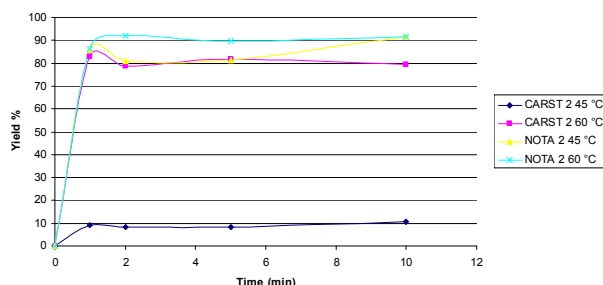


Fig. 2. Tyr-NODAPA + NOTA comparison for 2 μ g at 45 and 60 °C

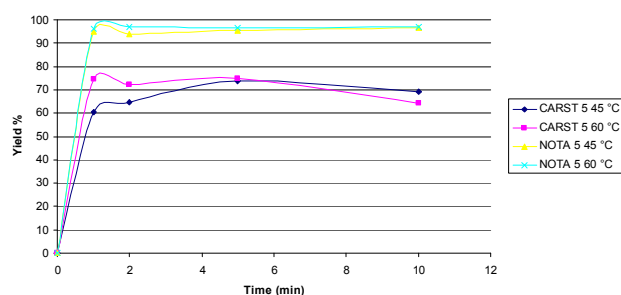


Fig. 3. Tyr-NODAPA + NOTA comparison for 5 μ g at 45 and 60 °C

The yields obtained for Tyr-NODAPA are lower than the ones for NOTA. Differences depend on chelator concentration used but general behavior is that yields are 10 – 20 % lower for the conjugate except for the 2 μ g at 45 °C. Labeling curves display a strong temperature dependence instead of pH for each concentration and system having a turning point in a define temperature were it varies dramatically. Fixing the concentration and make an experiment using temperature as the independent variable seems to be interesting for future work.

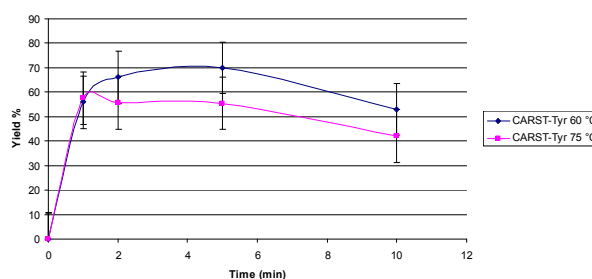


Fig. 4. Tyr-NODAPA results at 60 and 75 °C in water

Yields are over 60 % for both temperatures and once again it is very important to observe the yield decreasing in time, so further attention must be paid to this problem. Yields are lower at higher temperatures, but also some lose CARST-⁶⁸Ga was found in 75 °C so it might be happening that the CARST-Tyr is not stable in high temperatures.

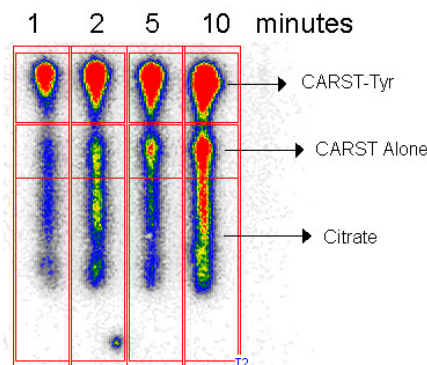


Fig. 5 Tyr-NODAPA (CARST-Tyr) degradation at 75 °C