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11. Radiopharmacy.

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11.02 Evaluation of different parameters for labeling ciprofloxacin with technetium-99m.

OBJECTIVES - Ciprofloxacin, a quinolone antimicrobial drug, labeled with the radioisotope technetium-99m has been proposed as a sensitive and specific tool for radiopharmaceutical distinction between infection and inflammation. The aim of this work was the study of different conditions for ciprofloxacin labeling with technetium-99m, to obtain the best radiochemical yield and uptake by the infected site.

METHODS - The labeling of a standard amount of ligand (2mg of Cipro®) with 99mTc was investigated by varying the mass of reducing agent (5,33-53,3uM of SnCl2.H2O), pH of the final solution (using tartrate/phtalate or phosphate buffer or no buffer solution). The incubation time was 30 minutes at room temperature. Radiochemical yield was checked by Whatman 3MM paper, ITLC-SG and TLC-Al with methyl ethyl ketone, ethanol and 0,9% saline as mobile phase. Biodistribution studies were acquired 4 hours after intravenous administration by invasive and non-invasive analyses in normal and infected animals.

RESULTS - Radiochemical yield (93%) for the complex obtained in pH 2 (without buffer solution in the preparation) was achieved using 8.89uM of stannous chloride. Better radiochemical yield (99.5%) was achieved using the buffer solutions with the same concentration of the reducing agent. A higher concentration of stannous chloride is associated with a greater radiochemical impurity of radiocolloid. *In vivo* studies, no uptake was observed at the infection site, when the complex used was in acidic pH. Products in phtalate/tartrate buffer were associated with better imaging than those in phosphate buffer, with few bone uptake. In all cases high uptake by the kidneys was observed.

CONCLUSIONS - [Tc-99m] -Ciprofloxacin labeling, at different pH conditions and with varying amounts of reducing agent, has shown that the best complex profile was obtained with a tartrate/phthalate buffer with a small amount of reducing agent.

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