Biodistribution of Microemulsion and Solution Containing Technetium-99m Labelled Aprotinin in Rats

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Abstract

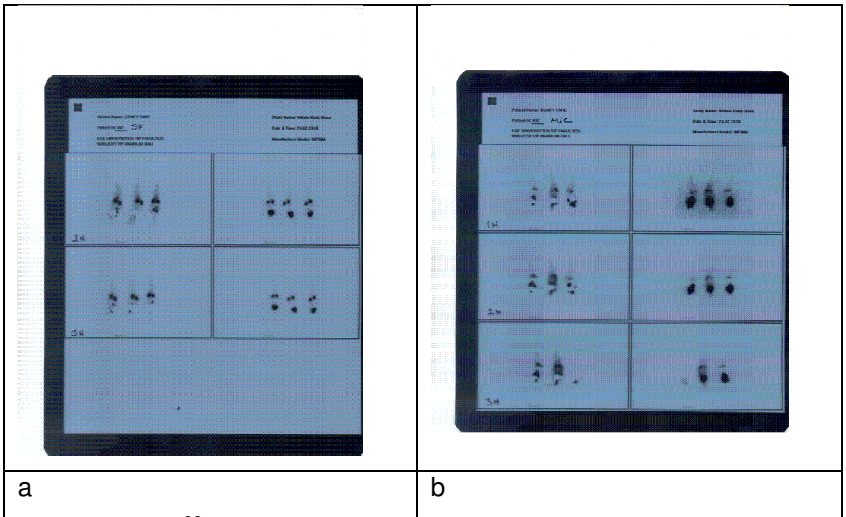
The purpose of the present study is to evaluate aprotinin microemulsion biodistribution by gamma scintigraphy in rats.

For scintigraphic studies, aprotinin was directly labeled by ^{99m}Tc with small modification on previously described methods (1-2). Both ^{99m}Tc-aprotinin solution and ^{99m}Tc-aprotinin loaded microemulsion were administered Wistar albino rats (200–250 g) via tail vein under anesthetize. Serial static images were acquired with a gamma camera at different time intervals.

For evaluation of ^{99m}Tc- Aprotinin uptake regions of interest were drawn around the interested organs and uptake was calculated dividing by the ratio of decay corrected counts per pixel in the region of target to ratio of decay corrected counts per pixel in the region of soft tissue.

For biodistribution study the rats were sacrificed, abdominal cavity was opened and interested organs radioactivity was determined as count per gram by NaI-Tl gamma scintillation detector.

Aprotinin was radiolabeled by ^{99m}Tc with a high labeling efficiency greater than 95% and the complex is stable at room temperature up to 6 h. According to the scintigraphic and biodistribution studies, i.v. administration of ^{99m}Tc- Aprotinin-microemulsion had high uptake in liver and spleen while ^{99m}Tc- Aprotinin solution distributed mostly in kidneys and bladder (Figure 1).



a | b

Figure 1:(a) ^{99m}Tc aprotinin loaded serum physgologic at 120 and 180 minutes **(b),** ^{99m}Tc loaded microemulsion at 60, 120 and 180 minutes

This comparative study indicates that; both formulations have totally different biodistribution in rats. Kidney uptake of microemulsion was slower and less than ^{99m}Tc- Aprotinin solution. In the literature knowledge aprotinin solution application has serious complications for kidney and also cause deadly heart problems and brain disease. Therefore, aprotinin loaded microemulsion may be use more safety than serum physiologic solution.

Acknowledgements

This study was supported by The Scientific and Technological Research Council of Turkey (Tubitak-108 S 083). The authors also would like to thank to the T.R. Prime Ministry State Planing Organization Foundation (Project Number: 09DPT001).

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