Journal of Labelled Compounds and Radiopharmaceuticals
J Label Compd Radiopharm 2007; **50**: 565–566.
Published online in Wiley InterScience
(www.interscience.wiley.com). DOI: 10.1002/jlcr.1277

JLCR

Short Research Article

Radiolabelling of meso-2,3-DMSA with ^{177}Lu. Preliminary results regarding the stability and biospecificity of ^{177}Lu–DMSA†

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Received 27 July 2006; Revised 16 January 2007; Accepted 20 January 2007

Keywords: lutetium-177; DMSA; radiolabelling; stability; biospecificity

Introduction

In this paper we present the radiolabelling methods of meso-2,3-dimercaptosuccinic acid (DMSA) with ^{177}Lu (45 Ci/mg specific activity) from Nordion Canada as therapeutic radionuclide ($T_{1/2} = 6,7$ days, $E_\beta =$ 0.5 MeV, $E_\gamma = 0.208$ MeV). The following kinetics parameters were studied: pH (between 5 and 9 range, in sodium acetate buffer and sodium bicarbonate buffer, respectively) and incubation temperature (room temperature and 100°C). The DMSA quantity (1 mg) used in the radiolabelling process and the incubation time (1 h) were maintained constant in all the kinetic studies.[1–5]

Results and discussion

The quality control studies by paper and thin layer chromatography show that the radiolabelling gave high yield (>95%) and radiochemical purity (>95%) in sodium bicarbonate buffer and 1 h at 100°C incubation conditions. The stability studies show that radiochemical purity of the ^{177}Lu–DMSA kept 48 h in room temperature conditions is higher than 95%; after this time the radiochemical purity of ^{177}Lu–DMSA decreases below 80% (Table 1). For the evaluation of the biological affinity of ^{177}Lu–DMSA were used the HRS1 tumor bearing rats. The serial scintigrams were obtained at 3 h, 12 h, 24 h, after i.v. injection with 200–300 μCi of ^{177}Lu–DMSA. The images (Figures 1–3) show: fast blood clearance; significant uptake and stability of ^{177}Lu–DMSA in the tumor and low bone accumulation in excellent bone to tumor radioactive ratios. The obtained results are promising and encourage further investigations for estimation of favorable properties of ^{177}Lu–DMSA as a potential agent for targeted radiotherapy of cancer.

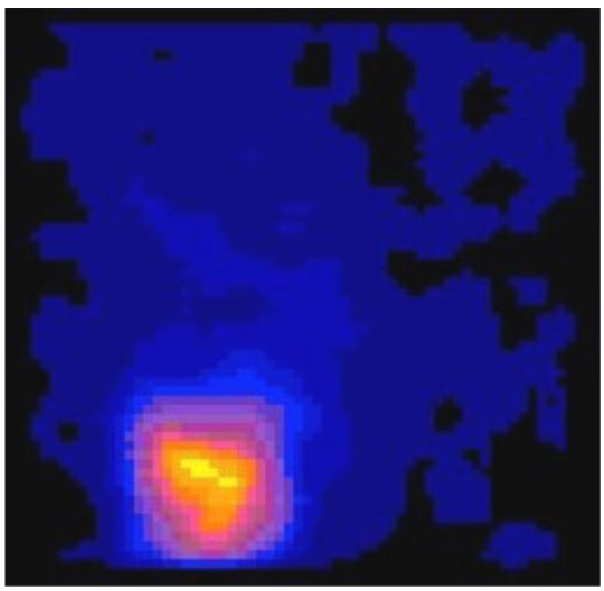

Figure 1 Image of HRS1 bearing tumor rat at 3 h after iv injection with ^{177}Lu–DMSA. Figure available in colour online at www.interscience.wiley.com

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†Proceedings of the Ninth International Symposium on the Synthesis and Applications of Isotopically Labelled Compounds, Edinburgh, 16–20 July 2006.

Table 1 Radiochemical Purity of ^{177}Lu–DMSA

Samples	Solvents	Radiochemical purity (%)
DMSA–^{177}Lu, pH = 5	0.1 M sodium citrate, pH = 5	62
DMSA–^{177}Lu, pH = 9	10% ammonium acetate: MeOH = 30:70	57
DMSA–^{177}Lu, pH = 9	0.1 M sodium citrate, pH = 5	98

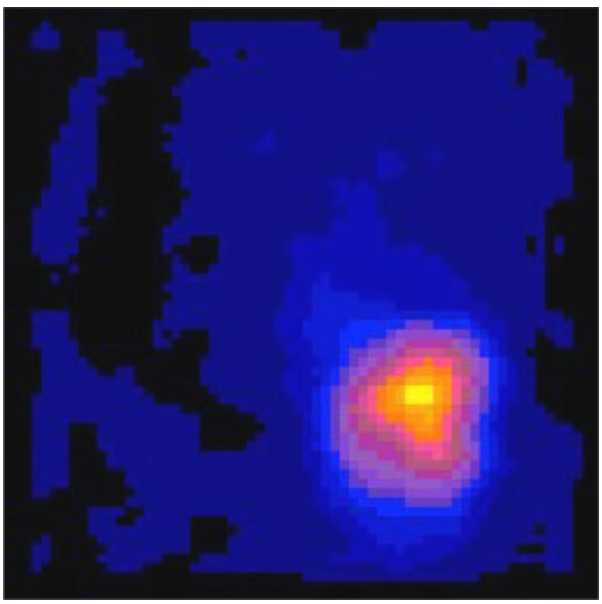

Figure 2 Image of HRS1 bearing tumor rat at 12 h after iv injection with^{177}Lu–DMSA. Figure available in colour online at www.interscience.wiley.com

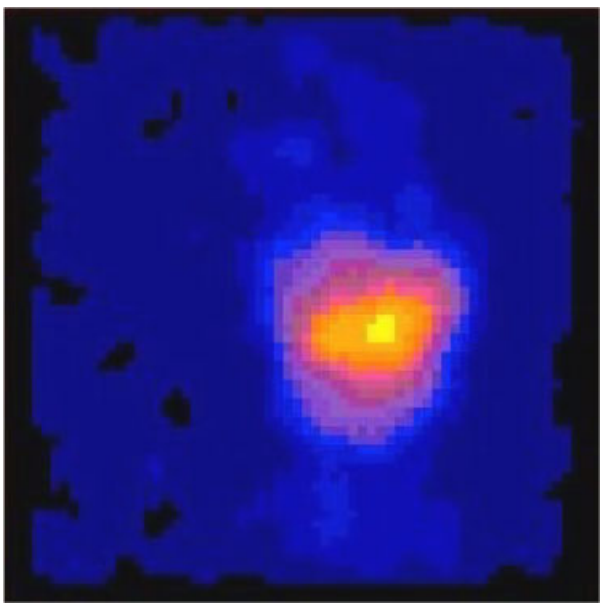

Figure 3 Image of HRS1 bearing tumor rat at 24 h after iv injection with ^{177}Lu–DMSA. Figure available in colour online at www.interscience.wiley.com

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DOI: 10.1002.jlcr