P-310 Optimization of the labeling procedure of ¹⁸⁸Re(V)-DMSA

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Objectives: The aim of this work was the optimization of the labeling of DMSA (dimercaptosuccinic acid) with ¹⁸⁸Re.

Methods: ¹⁸⁸Re was eluted from an ¹⁸⁸W - ¹⁸⁸Re generator (from POLATOM). The initial formulation used for the labeling of DMSA with ¹⁸⁸Re consisted of: 2.5mg of DMSA, 1.0mg of SnCl₂.2H₂O, 30mg of sodium oxalate and the pH was adjusted to about 5 with 37% HCl. After labeling, the solution was stirred and incubated for 15 min at room temperature. The variables studied in order to optimize the formulation and the method were mass of reducing agent (0.5mg, 1.0mg, 2.0mg), mass of sodium oxalate(5mg, 10mg, 20mg, 30mg), mass of DMSA(1.0mg, 2.5mg, 5.0mg), pH (5-4-3-2-1), reaction time (15 min-30min-60min-90min), volume of ¹⁸⁸Re (1mL, 2mL). Ciclodextrin was also added to the formulation, for a better conformation of the molecule. The radiochemical purity was determined using TLC-SG developed with two different solvent systems. Acetone was used in order to separate ReO₄ (R_f 1) from ¹⁸⁸Re(V)-DMSA and ReO₂ (R_f 0) and 5% glycine was used in order to separate ReO₂ (R_f 0) from 188 Re(V)-DMSA and ReO₄ (R_f 1).

Results: The ideal formulation and method was 2.5mg of DMSA, 1.00mg of SnCl₂.2H₂O, 10 mg of sodium oxalate, 10mg of ciclodextrin and the pH was adjusted to about 1 with 37% HCl. The solution was labeled with 2 ml of ¹⁸⁸Re, stirred and incubated for 30 minutes at room temperature. The radiopharmaceutical was stable at room temperature up to 6 hours (Figure 1).

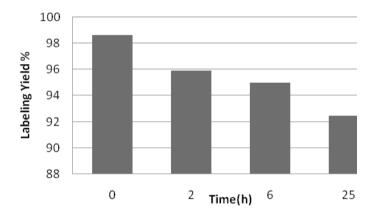


Figure 1. Stability of ¹⁸⁸Re(V)-DMSA

Conclusions: The results showed that the study of the variables achieved a formulation that can provide high labeling yields of ¹⁸⁸Re(V)-DMSA. Further studies are necessary concerning the *in vitro* and *in vivo* stability of the radiopharmaceutical.

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References: BOLZATI, C,; BOSCHI,A.; UCCELLI, L; DUATTI, A.; FRANCESCHINI, R,; An Alternative Approach to the Preparation of ¹⁸⁸Re Radiopharmaceuticals from Generator-Produced[¹⁸⁸ReO₄]: Efficient Synthesis of ¹⁸⁸Re(V) – mesmo-2,3- Dimercaptosuccinic Acid