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34º CONGRESSO BRASILEIRO DE  
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### 34º CONGRESSO BRASILEIRO DE MEDICINA NUCLEAR

**Forma de apresentação** APRESENTAÇÃO ORAL

**Eixo / Subeixo** RADIOFARMÁCIA / TRABALHOS CIENTÍFICOS

**Código do trabalho** 99

**Título** RADIOCHEMISTRY AND PHARMACOKINETICS OF PECTIN (MCP); A MOLECULE WITH THERANOSTICS POTENTIAL

**Autores** FÁBIO FERNANDO ALVES DA SILVA, Sofia Nascimento dos Santos, Martha Sahylí Ortega Pijeira, Emerson Soares Bernardes

**Instituição** IPEN-USP

#### **Trabalhos Científicos: Objetivo - Relatos de Casos: Introdução**

Pectin (MCP) is a polysaccharide of galacturonic acid that has anti-cancer activity and can act synergistically with other treatments to reduce tumor growth, stimulate programmed cell death and reduce the number of metastases. In addition, pectin prevents acute and severe renal syndromes caused by radiation and chemotherapy. All of these effects was reported to its ability to specifically bind to the Galectin-3 protein and inhibit its activity. Galectin-3 is a multifunctional lectin with 32-35KDa, with a carbohydrate recognition domain that can bind to  $\beta$ -galactoside sugars through the N-terminal or C-terminal region and modulates numerous biological processes such as inhibition of apoptosis and activation of cell proliferation. In this work, we aim to radiolabel MCP with  $^{99m}\text{Tc}$  and to characterize pharmacokinetically  $^{99m}\text{Tc}$ -MCP *in vivo* using C57/B16WT and C57/B16KO/Gal3 mice (knockout for gal3).

#### **Trabalhos Científicos: Materiais e Métodos - Relatos de Casos: Relato**

MCP radiolabeling was done in saline with  $\text{SnCl}_2$ , HCl, NaOH,  $^{99m}\text{Tc}$  3mCi (pH7) incubated for 30min. The marking efficiency was determined by ITLC-SG ( $\geq 95\%$ ). The affinity study was carried out using a Sepharose/rhgal-3 column and 100mM lactose eluent. The radiometabolite analysis was performed with blood samples collected at 5, 15, 30, 45 and 60min the activity in the blood cell, protein and plasma fractions was determined. Stability was measured by ITLC-SG from plasma fractions at 5, 15, 30, 45 and 60min. The effective

half-life, apparent volume of distribution (Vd) and clearance (Cl) was calculated by kinetics up to 24h. Biodistribution was performed with 10 MBq of  $^{99m}\text{Tc}$ -MCP in 1 hour.

### **Trabalhos Científicos: Resultados e Conclusões - Relatos de Casos: Discussão e Comentários finais**

**Results:** The radiochemical purity of  $^{99m}\text{Tc}$ -MCP was 95.4% ( $\pm 1.7\%$ ) with 1.3% ( $\pm 0.3\%$ ) of  $^{99m}\text{TcO}_4^-$  and 3.4% ( $\pm 1.8\%$ ) of colloids (R/H $^{99m}\text{Tc}$ ). 100mM lactose eluted 19.9% ( $\pm 0.063\%$ ) of the  $^{99m}\text{Tc}$ -MCP that passed through the Sepharose/rhgal-3 column. The blood metabolism analyze showed that at 5, 15, 30, 45 and 60min the  $^{99m}\text{Tc}$ -MCP remains stable. The blood compartment distribution analyze indicates a high affinity of  $^{99m}\text{Tc}$ -MCP for plasma proteins and blood cells. The effective distribution half-life of  $^{99m}\text{Tc}$ -MCP was 0.77min and effective elimination half-life was 60.8min, apparent distribution volume (Vd) was 0.008L and Clearance (Cl) was 0.85ml/min. Biodistribution of  $^{99m}\text{Tc}$ -MCP showed a pattern of renal/hepatobiliary depuration. **Conclusions:** In this work, we demonstrate in an unprecedented way the efficient  $^{99m}\text{Tc}$ -MCP radiolabeling, its affinity with galectin-3, and characterize *in vivo* the pharmacokinetic pattern of this molecule, its stability in plasma, its effective half-life, Vd, Cl and pattern of depuration, thus contributing to the complementation of pharmacodynamic studies with MCP.

### **Palavras Chave**

Pectin (MCP), $^{99m}\text{Tc}$ ,Galectin-3