PRODUÇÃO TECNICO CIENTÍFICA DO IPEN DEVOLVER NO BALCÃO DE EMPRÉSTIMO

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ISORBE Abstracts

955

of blood elements with technetium-99m (Tc-99m). In the present work, we studied the effect of caffeine on the labeling of red blood cells and plasma proteins with Tc-99m.

Heparinized blood samples (0.5 ml) from *Wistar* rats were incubated for 60 min with 100µl of caffeine (10; 1; 0.1; 0.01 or 0.001 mg/ml) and 0.5 ml of $SnCl_2$ (1.2 µg/ml) was added and the incubation continued (60 min). Afterwards, these samples were treated with Tc-99m (10min) and were centrifugated. Plasma (P) and blood cells (BC) were isolated by centrifugation. Samples of plasma and blood cells were also precipitated with 5% trichloroacetic acid and soluble (SF) and insoluble (IF) fractions were isolated.

The results showed that caffeine did not interfere with the percentage of radioactivity (%ATI) on blood cells (93.69 \pm 4.73%). Meanwhile, the %ATI bound to FI of P (60.95 \pm 2.79%) in presence of the drug (10 mg/ml) was statistically significant lower than in control (79.4 \pm 3.75%). Caffeine did not interfere with the binding of radioactivity in FI or FS of C. These results could be explained due to the capability of the caffeine to bind and/or modify the binding sites of Tc-99m in the plasma proteins.

6. Labeling results of 188Re-Octreotide in different buffer solutions

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There are many studies with somatostatine analogs labeled with radioisotopes for diagnostic purposes, and there is increasing interest in obtaining radiotherapeutic peptide-radio-pharmaceuticals labeled with beta emitters. With this aim we studied the optimization of the direct labeling of octreotide, an octapeptide molecule, with Rhenium-188 in two different buffers.

Octreotide was provided by Novartis in salt form. It was labeled with 188Re obtained from a 188W/188Re generator, in the presence of stannous chloride as reductor. The octreotide salt was diluted in two different buffers. One was acetate/acetic acid pH 4.2 and the other was phtalate/tartrate pH 5.6. Some parameters were studied for optimization of labeling namely mass of the peptide, mass of reducing agent, reaction time, and stability.

The best radiochemical yield of 188Re-Octreotide was obtained using phtalate/tartrate buffer (97.14 \pm 1.2%), but with acetace/acetic acid buffer, findings were close to 95%. The best mass of octreotide was 250 μg . The reaction time was two hours, and the best ratio between mass of stannous chloride and octreotide was 3.5. The complex stayed stable for 4 hours, but at 24 hours the stability fell about 50%.

In conclusion, Octreotide was easily and reproducibly radiolabeled with 188Re with a high radiochemical purity but without good stability. However for the first 4 hours we proved that the complex was stable, and thus may be used for in vivo studies to evaluate its efficacy in radioimmunotherapy.

7. Binding studies with oxidized and glycated ¹²⁵I-LDL to detect receptors for modified LDL in atherosclerotic lesions G. Sobal, E.J. Menzel and H. Sinzinger

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Interactions between vascular endothelial cells and LDL play a key role in the pathogenesis of atherosclerosis. The nonenzymatic glycosylation of LDL is accelerated in patients with diabetes mellitus. It causes the formation of advanced glycosylation end products (AGE) which bind to endothelial cells and/or monocytes changing their functional behaviour in a way which could contribute to the pathogenesis of diabetic angiopathy. In this study we describe binding studies using the ligand 125 Iodine-oxidized and glycated-LDL to demonstrate the presence of scavenger-and AGE-receptors (RAGE) on monocytes/macrophages from human peripheral blood. The in-vitro oxidation of LDL was performed according to Esterbauer. The glycosylation of LDL was done by incubation of LDL with 0.5M glucose at 37°C for 31 days. LDL was labelled according to the method of McConahey and Dixon. The influence of cell activation by lipopolysaccharide (LPS) was investigated by Scatchard analysis of binding data. We found for oxidized LDL on quiescent monocytes 6.0x105 receptors/cell and a $Kd = 0.55 \times 10^{-8}M$ as compared to activated monocytes with 1.32×10^6 receptors/cell and a $K_d = 1.65 \times 10^{-8} M$. For glycated LDL we found 1.5×10^6 receptors/cell and a $K_d =$ 3.1×10^{-7} M as compared to 3.0×10^6 receptors/cell and a $K_d = 1.8 \times 10^{-8} M$ for activated monocytes. Thus, activation of monocytes resulted in a clearcut increase of receptors. In contrast, human umbilical vein endothelial cells upon activation showed only an increase in receptor density for oxLDL (2.1 \times 10^6 to 3.4×10^6 per cell; $K_d = 5.7 \times 10^{-8}$ 8M). oxLDL is predominantly found at the site of atherosclerotic lesions, where it exerts toxic activity to endothelial cells. The ligands will be used for in-vivo imaging to determine the distribution of receptors for modified LDL in atherosclerotic lesions.

8. Comparison of a Tc-99m labeled antigranulocyte antibody with In-111-labeled autologous leukocytes and bone scintigraphy in patients with painful joint replacements

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The objective of this ongoing study is to evaluate a radiolabeled monoclonal antibody for diagnosing infected joint replacements, comparing it to in-vitro labeled leukocyte and bone imaging. Patients were injected with 75 μ g–125 μ g of