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Synthesis of radiolabeled aromatic bisphosphonates and their potential application in bone metastasis pain palliation

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Introduction: Bone metastases are the most frequent complication in the 80% of patients in advanced oncological stages. They are usually incurable, produce severe pain, decreasing the expectancy and quality of life of patients. Bisphosphonates are the main compounds employed for that purpose; nevertheless, their synthesis is relatively a complex process. The aim of the present work was to develop a simple synthesis method of aromatic bisphosphonates, potentially useful to alleviate metastatic bone pain, from their respective amino acids.

Materials and Methods: L-phenylalanine was used as starting material. The synthesis had three stages: 1) Protection of the amino group with a 1: 1 mixture of formic acid (98%) and acetic anhydride; 2) phosphonation of the protected phenylalanine with a mixture of H_3PO_3 and PCl_5; 3) hydrolysis. The sodium salt of the 2-amino-3-phenyl-1-hydroxypropyl-1,1-bisphosphonic acid and the iodinated derivative thereof was obtained. The compounds obtained were characterized by infrared spectroscopy, 1H-NMR, 13C-NMR. The iodogen method was used for the labeling of the sodium salt obtained with 125I.

Results: The yield of the protection reaction of the amino group ranged between 75 and 80% and that of the phosphonation between 50 and 60%. All the compounds were obtained with a suitable purity evaluated by spectroscopic techniques.

Conclusions: A synthesis method was developed with novel modifications that allowed to obtain, both the sodium salt of the 2-amino-3-phenyl-1-hydroxypropyl-1,1-bisphosphonic acid, as well as the iodinated derivative thereof from the L -phenylalanine.

Biography

Marise Garcia Batlle has completed her graduation in Radiochemistry at the Higher Institute of Nuclear Technologies and Applied Sciences in Havana in 2014. She has worked in laboratories of preclinical studies in the Clinical Research Center in Havana under advice of Dr Prats Capote and Dr Pereda Pintado and as Assistant Professor at the Institute of Nuclear Technologies and Applied Sciences. She has worked in radio-pharmacy in search of new radio-labeled compounds for treatment of bone diseases. In 2016, she was admitted to the Master's degree in Chemical Science in the National University of Mexico, and works in the areas of radioactive contamination under advice of Dr Navarrete. She graduated as Master in Sciences in Jun 2017. Right now she's a PhD candidate at the same university.

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