PRODUCTION AND CHARACTERIZATION OF A RADIOACTIVE ANTITUMORAL 2-ACETYLPYRIDINE THIOSEMICARBAZONE

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We have demonstrated the potent antitumoral activity of 2-acetylpyridine thiosemicarbazones. Our group have worked in the development of new radiopharmaceuticals for tumor detection and therapy. Nowadays, molecular imaging using radiopharmaceuticals based on positron emitters has been a technique of choice for tumor diagnosis due to its higher sensitivity and resolution. ^{18}FDG has been the major positron emitter used in PET diagnosis, however it has been shown that some tumors such as prostate cancer, do not uptake ^{18}FDG significantly to permit early detection. Search for radiopharmaceuticals more specific and carrying long life positron emitters such as ^{64}Cu has increased in the least years. This work presents the production and characterization of a radiolabelled copper complex of 2-acetylpyridine thiosemicarbazone (Culac*). Thiosemicarbazones The compound was irradiated at the rotary rack of the TRIGA-IPR-R1 reactor at CDTN/CNEN, Belo Horizonte, Brazil, for Neutron Activation Analysis. At this irradiation facility the thermal neutronic flux is $6{,}6\times10^{11}$ n.cm^{-2}.s^{-1}. Samples were irradiated for 5 min and 8h for analysis of short, medium and long half live radionuclides. The analysis demonstrated the good chemical purity of the Culac. The Cu concentration was found to be 18 ± 1 wt % which assures the compound stoichiometry and specific activity of 21μCi/Culac mg . In order to produce a higher specific activity compound, native Culac samples were irradiated at the Central position of the TRIGA-IPR-R1 for 8h with a thermal neutronic flux of $4{,}1\times10^{12}$ n.cm^{-2}.s^{-1}. The induced activity obtained of the ^{64}Cu was around 140μCi/Culac mg at the end of the irradiation.

In this work it was produced, for the first time, a positron emitter antitumoral derived from cooper complex of 2-acetylpyridine thiosemicarbazones. The maintenance of chemical stability and biological activity upon activation suggest that ^{64}Culac may be an useful tool for application in imaging and therapeutical trial studies in vivo.