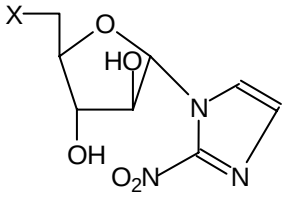
Microwave-Assisted Radiohalogenation of Nitroimidazole-based Hypoxia Markers

P. Kumar*, D. Beiki[1], M. Asikoglu[2], M. Tandon, A.J.B. McEwan and L.I. Wiebe

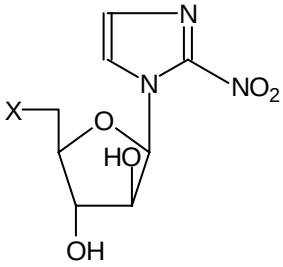
Faculty of Pharmacy and Pharmaceutical Sciences, University of Alberta, and Cross Cancer Institute, Edmonton, Alberta, Canada T6G 2N8. Current address: [1]Faculty of Pharmacy, Tehran University, Tehran, Iran, and [2]Ege University, Faculty of Pharmacy, Bornova, Izmir, 35100 Turkey.

The production of radiopharmaceuticals labelled with short-lived radionuclides requires a procedure that affords the labelled product in a short reaction time without major decomposition or side reactions. Microwave heating has been reported to be useful in such syntheses, where the labelling time dictates either chemical or radiochemical yield, or both. Optimization of microwave-assisted radiolabelling protocols depends on parameters such as size of the magnetron cavity, solvent polarity, reaction time, temperature, molar ratios of reagents, and substrate chemistry.

α-[^{123}I]-IAZA has been used clinically as a radiodiagnostic of regional hypoxia in cancer, arthritis and peripheral vascular disease. New oxygen mimetic azomycin nucleosides include α-FAZA, β-5'-FAZA, β-2'-IAZA and β-5'-IAZA. The utilization of nucleophilic leaving group chemistry for radiohalogenation, to afford 5'-α-[^{125}I]-IAZA, 5'-α-FAZA and 2'-β-[^{125}I]-IAZA by conventional as well as microwave-assisted procedures, is now described. Microwave-assisted radiohalogenation provided improved yields without major secondary products, relative to conventional heating methods. This work, now being extended to [^{124}I] and [^{18}F]-labelling, will be reported in detail.



X= I; α-IAZA
X= F; α-FAZA



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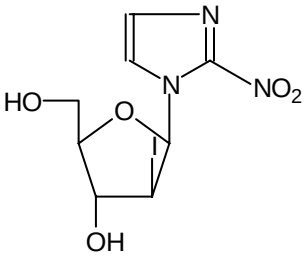
X= F; β-FAZA



2'-β-IAZA