

Electrophilic Iododestannylation of *N*-(4-(2-Azanorborn-2-yl)butyl)-4-trimethylstannylbenzamide as a Route to a Novel Radioiodinated Compound for Malignant Melanoma¹

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Abstract—*N*-(4-(2-Azanorborn-2-yl)butyl)-4-[¹²⁵I]iodobenzamide was prepared by the reaction of its trimethylstannyl precursor with Na¹²⁵I in the presence of various oxidizing agents such as lactoperoxidase, Chloramine T, and Iodogen. The effect of reaction parameters such as temperature, reaction time, oxidizing agent concentration, pH, and substrate concentration was examined. The stability of the labeled product was evaluated. Chromatographic analysis of the reaction mixture by TLC using ethanol : ethyl acetate (1 : 1) and by HPLC using methanol : water (55 : 45) as eluent showed that the optimum radiochemical yield of the final product is 94% and the radiochemical purity is 99%. Also HPLC chromatographic analysis showed that the content of undesired by-products, especially of chlorinated products, in case of Chloramine T was higher than that in case of other oxidizing agents. With the lactoperoxidase method, the amount of undesired by-products was minimal and, therefore, the radiochemical purity was high.

Keywords: radiopharmaceuticals of benzamide series, oxidizing agents, reaction parameters, TLC, HPLC, radiochemical yield, radiochemical purity

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