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1 Introduction

The synthesis of 2-methoxypyrimidine-5-carboxylic acid (2) with a [14C] label at 2-position of pyrimidine ring is an alternative choice. A number of synthetic methods are reported in the literature for pyrimidine 5-carboxylic acid derivatives. However, a few direct approaches leading to pyrimidine ring which lacks substitution at 4- position have been reported. Our approach to compound 2 is as depicted in Scheme 1.

[14C] Barium cyanamide (5) was converted to [14C] cyanamide (6) in about 75% yield by a reaction of 5 with aqueous sulfuric acid. Compound 6 was treated with anhydrous methanol in the presence of dry hydrogen chloride gas for 3 days according to the literature method to give [14C] O-methylisourea hydrochloride (7) in moderate yield.

On the other hand sodium salt of 3, 3-dimethoxy-2-methoxycarbonylpropen-1-ol (9) was synthesized by the condensation of methyl formate with methyl 3, 3-dimethoxy-propionate (8) using sodium hydride. The sodium salt 9 was then reacted with [14C] O-methyl-isourea hydrochloride (7) to give [2-14C] methyl 2-methoxypyrimidine-5-carboxylic acid (10) in 70% yield.

2 Results and Discussions

The employed methodology lead to a successful labeling of 2-methoxypyrimidine-5-carboxylic acid in the 2-position with 14C. This method also offers an approach to pyrimidine carboxylic acid derivatives 3 with 14C label at 4-, 5-, 6- position as well as the carboxyl function by using appropriately 14C labeled methyl formate or methyl 3, 3-dimethoxypropionate.

3 References