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BENTO GONÇALVES, RS - BRAZIL

Synthesis, derivatization and radiolabeling of carbasugars for the detection of hidden infections

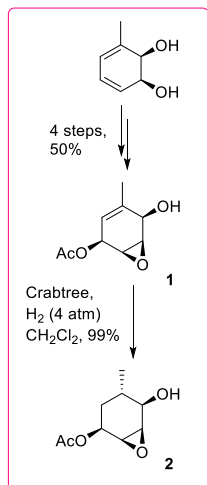
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Keywords: Ampelomins, Derivatization, Radiolabeling.

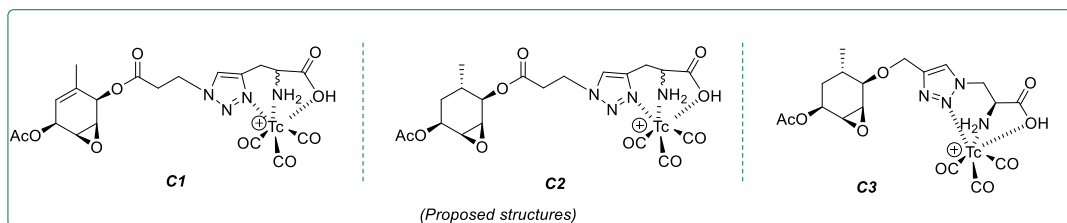
ABSTRACT

Ampelomins represent a group of carbasugars with promising biological activity. (1) Our research group is dedicated to the synthesis, derivatization and radiolabeling of these compounds with ^{99m}Tc and ¹⁸F for their potential use as diagnostic agents in detecting hidden infections through molecular imaging. The targeted ampelomins are synthesized from a common precursor **1** obtained from the toluene derived *cis*-cyclohexadienediol, resulting in an overall yield of 50% over 4 steps. (2) Building upon the successful acquisition and characterization of three ^{99m}Tc complexes in a previous stage, this study showcases the advancements achieved thus far in the derivatization and radiolabeling of **1** and its hydrogenated derivative **2** with ¹⁸F. The derivatization approach involves incorporating a linker containing an azide group or a triple bond, followed by a Huisgen cycloaddition with propargylic alcohol or bromopropanazide. Subsequently, the alcohol or bromide is substituted with [¹⁸F]F. So far, we have obtained the precursor of compound **F2** (8 steps, overall yield 25%) (Figure_1).

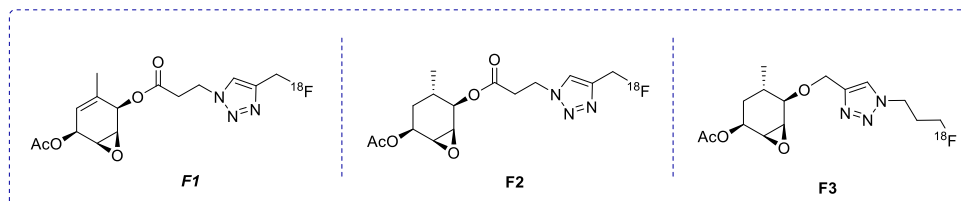
Synthetic strategy:



Derivatization strategy and ^{99m}Tc radiolabeling:



Derivatization strategy and ¹⁸F radiolabeling:



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- (2) Brindisi, C.; Vázquez, S.; Suescun, L.; Seoane, G.; Martín, V. S.; Broveto, M. Chemoenzymatic Total Synthesis and Structural Revision of Ampelomins B, D, E, and *epi*-Ampelomin B. *J. Org. Chem.* **2019**, *84*, 15997-16002.