



Selective semi-synthesis of dihydrocucurbitacin B glycosides

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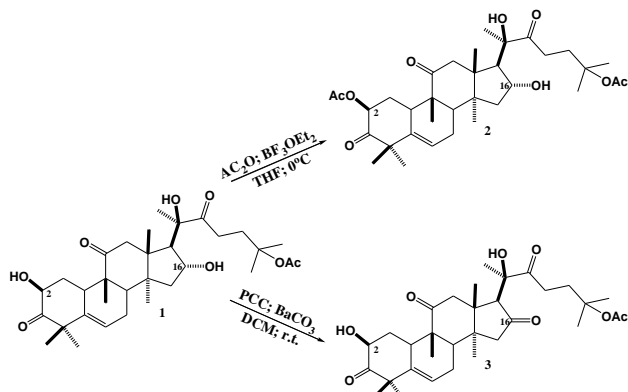
INTRODUCTION

Cucurbitacin glycosides are natural compounds which have gained attention due to their biological activities, mainly against different cancer cells⁽¹⁾. Our research group has been investigating the preparation of cucurbitacin glycosides by semi-synthesis, considering the difficulty of obtaining them from natural sources.

RESULTS AND DISCUSSION

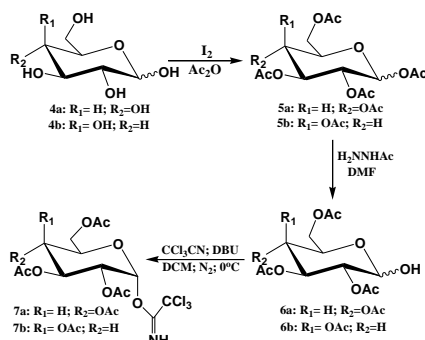
DHB acceptors were prepared in order to ensure glycosylation of only one position. Initially, DHB (**1**), isolated from roots of *Wilbrandia ebracteata*, was selectively acetylated in C- 2 or oxidized in C- 16⁽²⁾ to give the compounds **2** and **3**, respectively (scheme 1).

Scheme 1. Selective protection of C- 2 and C-16 of DHB.



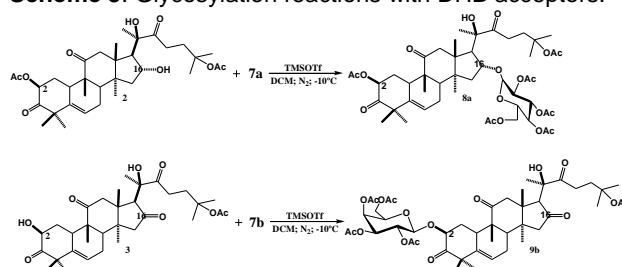
On the other hand, compounds **7a-b** were prepared according to literature^(3,4) from D-glucose (**4a**) and D-galactose (**4b**), commercially obtained (Scheme 2).

Scheme 2. Synthesis of trichloroacetimidate donors.



The acceptors **2** and **3** were submitted to glycosylation reactions with the glycosidic donors **7a** and **7b**, catalyzed by TMSOTf (Scheme 3).

Scheme 3. Glycosylation reactions with DHB acceptors.



CONCLUSION

The proposed route allowed the achievement of DHB glycosides and their citotoxic activity will be investigated. Other glycosidic units are being used for the synthesis of new derivatives.

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