

Applications of Olefination Reactions to Cassiol Synthesis

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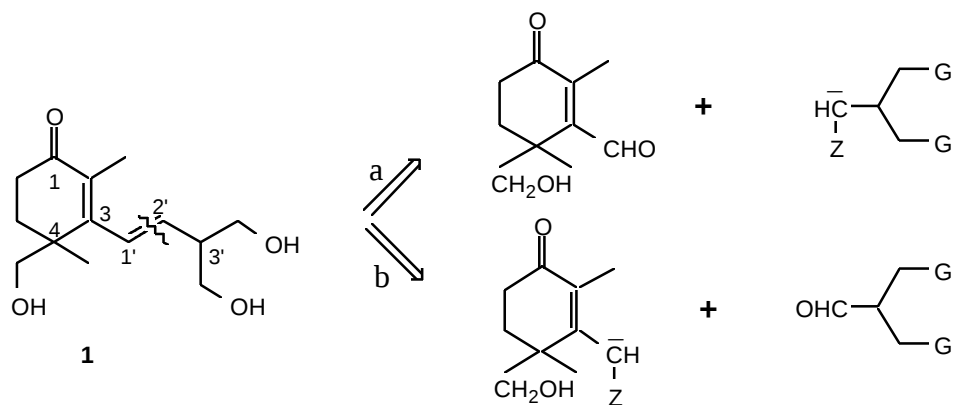
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Abstract: Olefination reactions directed to the synthesis of cassiol from compounds 2-5 will be discussed.

Introduction

Cassiol (**1**), which exhibits a potent antiulcer activity, contains a functionalized cyclohexenone moiety with a quaternary stereogenic center at C-4 and a 2-vinyl-1,3-diol chain, which is connected at the C-3 position. Because of its structural features and pharmacological activity, a number of synthesis have been recorded [1]. Our approach toward the synthesis of **1** involves the C-1'-C-2' double bond disconnection through a carbonyl olefination procedure [2]. This sequence allow us to explore the olefination reaction in two different ways, switching the polarity of the coupling partners as shown in the following scheme.

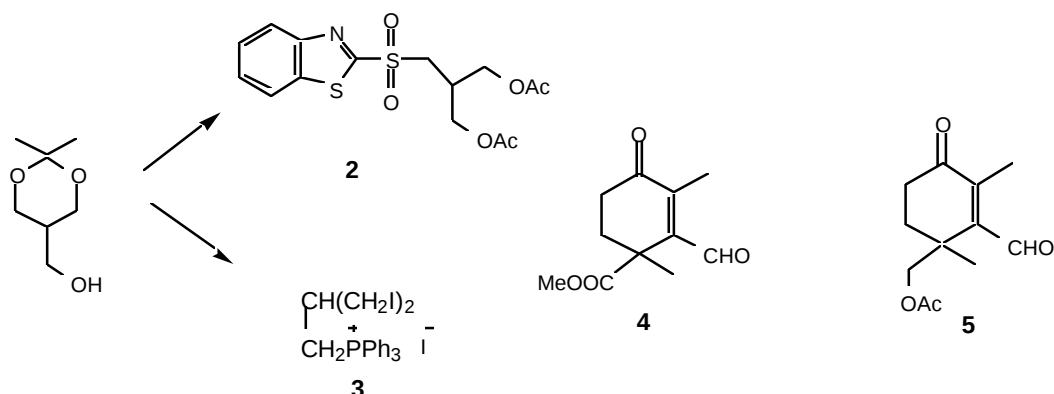


G= Protecting group, Z= Ph₃P; MBTSO₂- (2-mercaptobenzothiazolylsulfone)

By following approach **a**, a precursor of cassiol (**1**) was obtained in our laboratory, but unfortunately in an unsatisfactory low yield [3]. In order to improve the yield of the coupling reaction, compounds 2-5 were then selected for study.

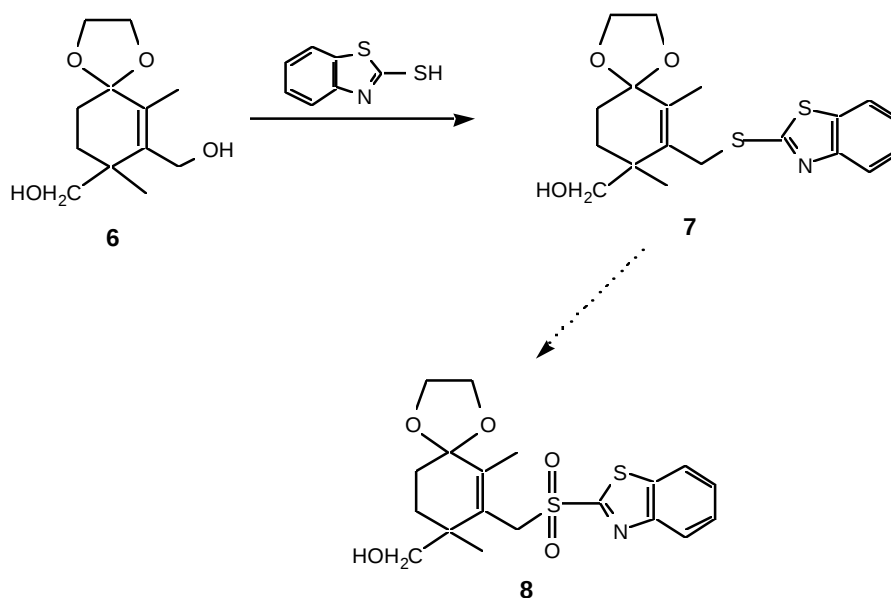
Experimental

Compounds 2-5 were prepared according to standard methods.



Discussion

Due to lack of success in the coupling of 4-5 with 2 and 3 by using different conditions of solvents and bases we turned our attention to approach **b**. Starting with compound 4, the diol 6 has been obtained. Treatment of 6 with mercaptobenzothiazole provided the corresponding sulfide 7. Starting with 7 and through the corresponding sulfone 8 we hope to improve the yield of the coupling product, on the basis of the recent report of Hart and Kozikowski et al [4].



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References and Notes

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3. Colombo, M.I.; Bacigaluppo, J.A.; Rúveda, E.A. *An. Asoc. Quim. Argent.* **1998**, *86*, 312.
4. Hart, D.J.; Li, J.; Wu, W-L.; Kozikowski, A.P. *J. Org. Chem.* **1997**, *62*, 5023.