ENANTIOSELECTIVE DIELS-ALDER METHODOLOGY IN THE SYNTHESIS OF AZASUGARS

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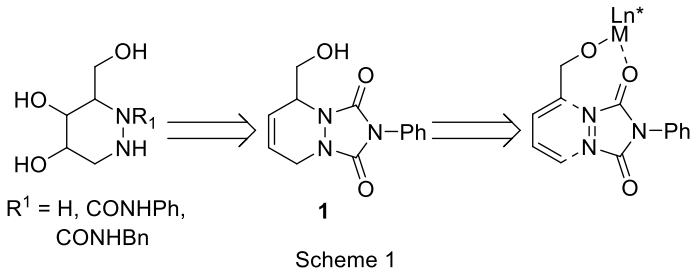
Abstract

Imino sugars, also known azasugars, are a group of compounds that have received a lot of attention in recent years because they typically exhibit excellent inhibitory properties over a range of enzymes involved in carbohydrate handling and carbohydrate recognizing receptors, widely found in living organisms.[1]

In our previous work we have been able to obtain optically pure precursor of some important azasugars, like 1-azafagomine and 1-azalactofagomine, by a diastereoselective Diels-Alder strategy using the appropriate 1-glucosyl 1,3-butadiene and 4-phenyl-1,2,4-triazole-3,5-dione (PTAD).[2] However, the overall yield obtained in this process was low. In an attempt to overcome this problem, we planned an enantioselective synthesis of precursor **1** as depicted in Scheme 1.



Scheme 1

The combination of 2,4-pentadienol and PTAD in the presence of a titanium bound to a TADDOL ligand type[3] afforded the best results. The concentration and solvent effect were examined and the results of enantioselectivity obtained will be presented.

References

[1] Alves, M. J., Azoia, N. G. (2008) In *Stereochemistry Research Trends*, Nova Science Publishers.

[2] Duarte, V. C. M.; Gil Fortes, A.; Alves, M. J. (2010) communication presented at *46th RICT: Interfacing Chemical Biology and Drug Discovery,* Reims – França.

[3] Bienaymé, H. (1997) Enantioselective Diels-Alder Cycloaddition by Preorganization on a Chiral Lewis Acid Template *Angew. Chem. Int. Ed. Engl.*, *36*, 2670-2673.

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