

ENANTIOSELECTIVE DIELS-ALDER METHODOLOGY IN THE SYNTHESIS OF AZASUGARS

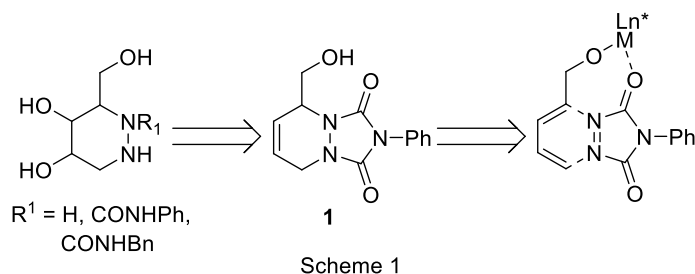
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Abstract

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.



The combination of 2,4-pentadienol and PTAD in the presence of a titanium bound to a TADDOL ligand type³ afforded the best results. The concentration and solvent effect were examined and the results of enantioselectivity obtained will be presented.

References

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Acknowledgements

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