

Enantioselective Conjugate Addition of Aldehydes and Ketones to Maleimides Catalyzed by a Chiral Bifunctional N-benzoimidazol-cyclohexanediamine

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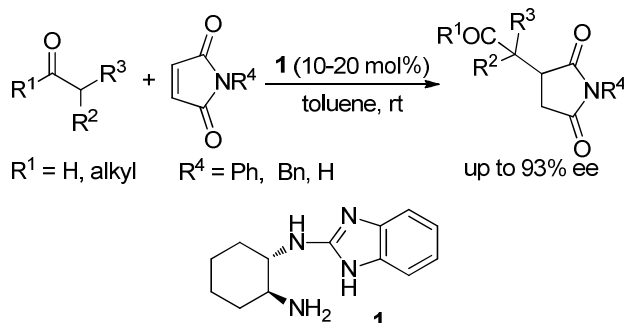
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INTRODUCTION

In recent years a wide variety of new chiral organocatalysts have been synthesized and these small molecules efficiently promoted numerous asymmetric transformations, showing the impressive progress exhibited in this area.¹ Despite the remarkable development observed for the organocatalytic enantioselective conjugate addition reactions,² few studies have been reported on the addition to maleimides, an interesting C4-unity.³ Functionalized maleimides have been used as intermediate in organic synthesis.

RESULTS AND DISCUSSION

As a part of our research program aiming the use of aminobenzoimidazols based organocatalyst in conjugate additions,⁴ herein we describe the enantioselective addition of aldehydes and ketones to maleimides. Among the organocatalysts tested, aminobenzoimidazol **1**, able to activate aldehydes and ketone through imine formation, led to the best preliminary results (Scheme 1).



Scheme 1. Enantioselective conjugate addition to maleimides

Some products obtained in these reactions, with the yields and ee, are showed in the Figure 1. In particular, conjugate addition of cyclohexanone to N-phenyl and N-

benzyl maleimides led to adducts with very good ee for the main product.

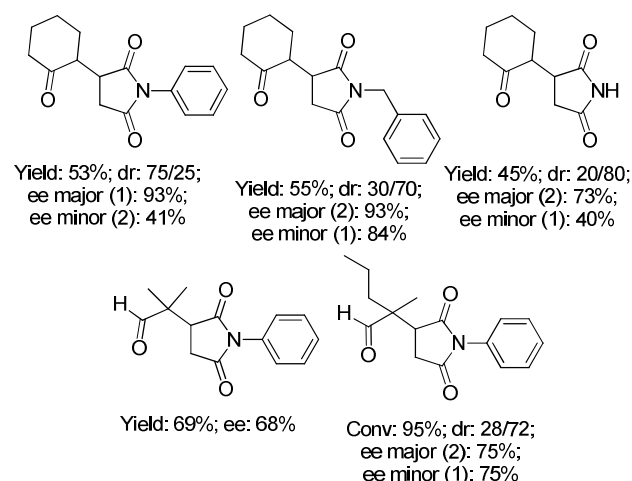


Figure 1. Products obtained by Michael addition

CONCLUSION

The catalyst **1** can be used in a satisfactory way for enantioselectives Michael Additions.

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