MASTER'S THESIS

Solid-phase organic synthesis of sulfoxide and chemistry of α, β-unsaturated-γ-sultam

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Solid-Phase Organic Synthesis of Sulfoxide and Chemistry of α,β-Unsaturated-γ-Sultam

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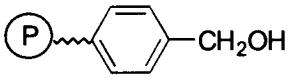
Abstract

Hydroxyl resin **a** and the modified hydroxyl resin **c** were used for the solid phase organic synthesis of sulfoxide. They were first treated with thionyl chloride. The excess reagent was removed under vacuum. For the synthesis of the symmetric sulfoxides, three folds excess the organometallic reagents should be used. The reaction was quite clean and by-product could be removed by washing and filtration. Simple column chromatography afforded the sulfoxides in moderate yields. This method was also applied to the synthesis of the unsymmetric sulfoxide by adding two different organometallic reagents in sequence.

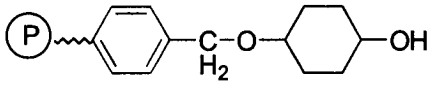
The previous unknown α , β -unsaturated γ -sultam **37** was first synthesized in our laboratory form prop-1-ene 1,3-sultam **25**. Compound **25** was reacted with primary amine and then cyclized with $POCl_3$ to form compound **42a** and **42b**. Deformylation with absolute formic acid following by alcoholic KOH hydrolysis afforded compound **37** with good yield.

The Diels-Alder reactions of compound **37** and its acylated derivatives were investigated. Lewis acid effects were also studied.

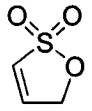
Chiral α,β-unsaturated γ-sultam **62** was prepared by reacting compound **25** with the chiral amine and then treated with $POCl_3$. New tricyclic sultam chiral auxiliaries (**65** and **66**) were synthesized from the Diels-Alder cycloadducts of compound **62** with substituted cyclopentadiene.



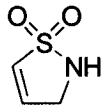
Resin **a**



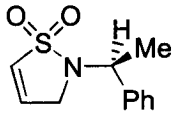
resin **c**



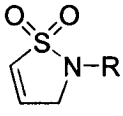
25



37



62



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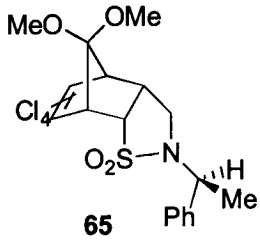
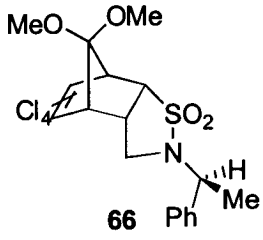
a R = CH(CH3)Ph
b = CH2Ph



65



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