



# Addition of Amino Acids to oxopyrrolidin compounds

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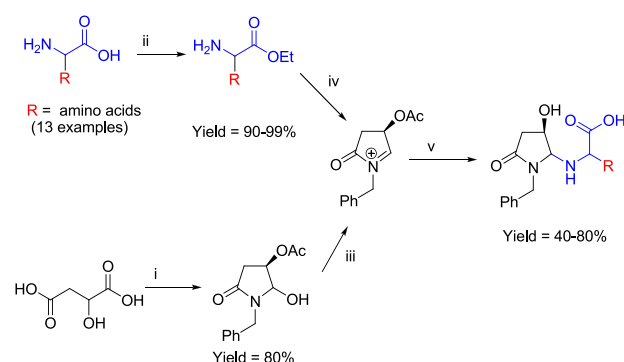
## INTRODUCTION

Amino acids are building blocks of proteins. G. J. Mulder first discovered protein in 1838. He described that proteins are most vital among ever known organic substances, without which life cannot sustain. Amino acids are very many in number but among them 20 are found in human body. Our group has been working in oxopyrrolidin compounds [1, 2]. So, addition of amino acids to oxopyrrolidin has created great interest. For nucleophilic addition of nitrogen of amino acids as nucleophiles, we have generated *N*-acyliminium ions.  $\text{BF}_3$  generates *N*-acyliminium ions, which play an important role in the reactive intermediates for the nucleophilic addition to form nitrogen heterocycles. For this purpose, we have added more than 10 amino acids successfully. Here protection of amino acids, formation of oxopyrrolidin compounds, generation of *N*-acyliminium ions, addition of amino acids and deprotection of amino acids is presented.

## RESULTS AND DISCUSSION

We hypothesized that nucleophilic addition of amino esters to oxopyrrolidin 4-acetoxy, 5-hydroxy-pyrrolidin-2-one readily undergo addition reactions resulting in a diverse library of pyrrolidin-aminoacids respectively, shown in general synthetic Figure 1.

**Figure1.** General synthetic diagram



i: 1.  $\text{AcCl}$ ,  $50^\circ\text{C}$ , 24h, 2.  $\text{BnNH}_2$ , THF, rt, 3h, 3.  $\text{NaBH}_4$ , THF/EtOH (1:1),  $-35^\circ\text{C}$ , 30 min; ii:  $\text{SOCl}_2$ /EtOH,  $0^\circ\text{C}$  - rt, 0.5-2h; iii:  $\text{BF}_3\cdot\text{Et}_2\text{O}$ , DCM,  $-40^\circ\text{C}$ , 5 min; iv:  $\text{K}_2\text{CO}_3$ ,  $50^\circ\text{C}$ , 2h; v: MeOH, high probe ultra sonic, 1-2h

## CONCLUSION

We have successfully synthesized the library of ((2*R*,3*R*)-1-benzyl-3-hydroxy-5-oxopyrrolidin-2-yl)amino acids from corresponding amino esters and oxopyrrolidin

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