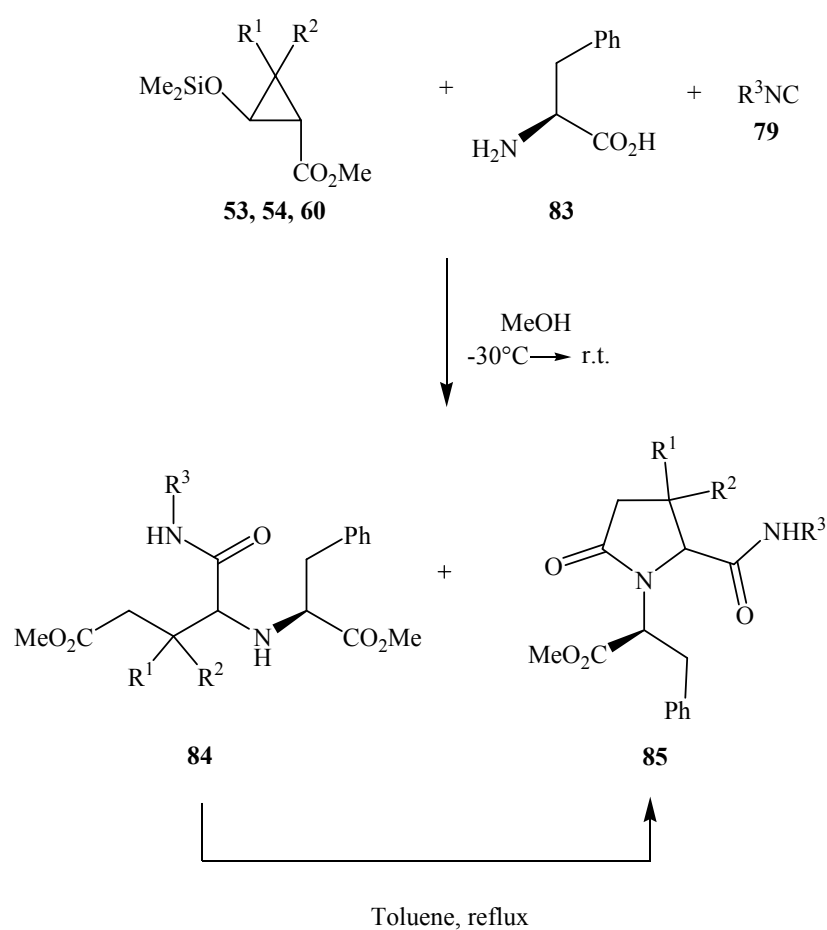
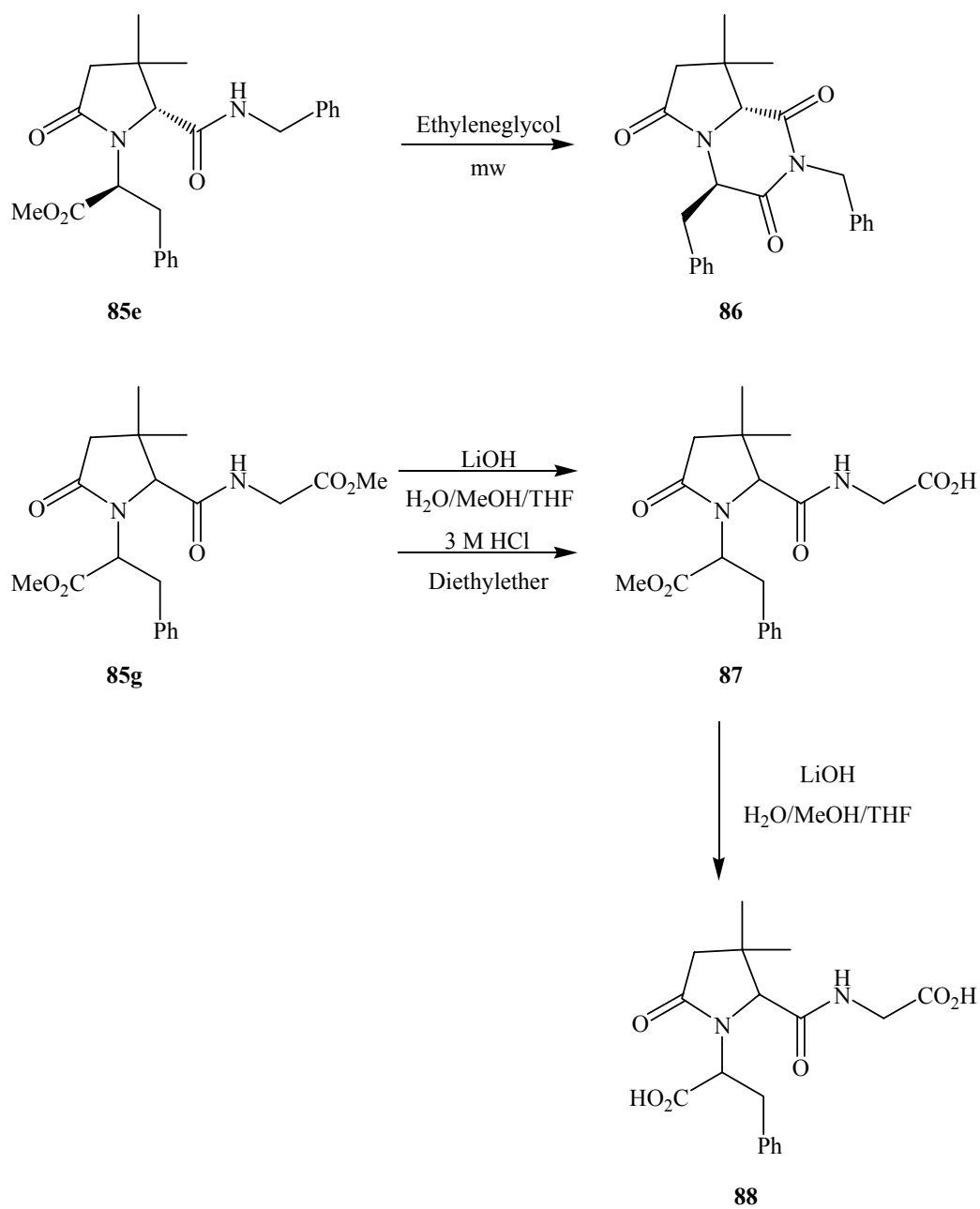


8 Summary

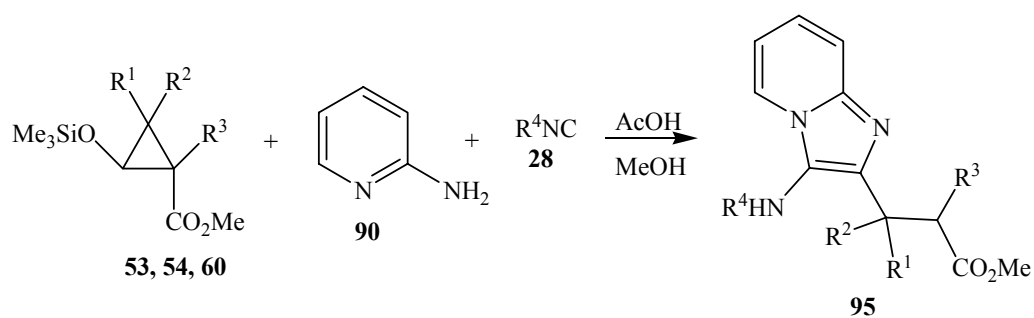
1. The Ugi 5-center 4-component reaction was used to synthesize a number of α -acylaminoimides **84** and functionalized pyrrolidinones **85** with moderate to good diastereoselectivity utilizing 2-siloxycyclopropanecarboxylates **53**, **54** and **60**, L-phenylalanine **83** and isocyanides **79** as starting materials. The best yields were obtained in reactions of 2-siloxycyclopropane **54**. The cyclized products **85** could be obtained in higher yields in a one-pot sequence, which can be classified as a 6-center 4-component reaction.



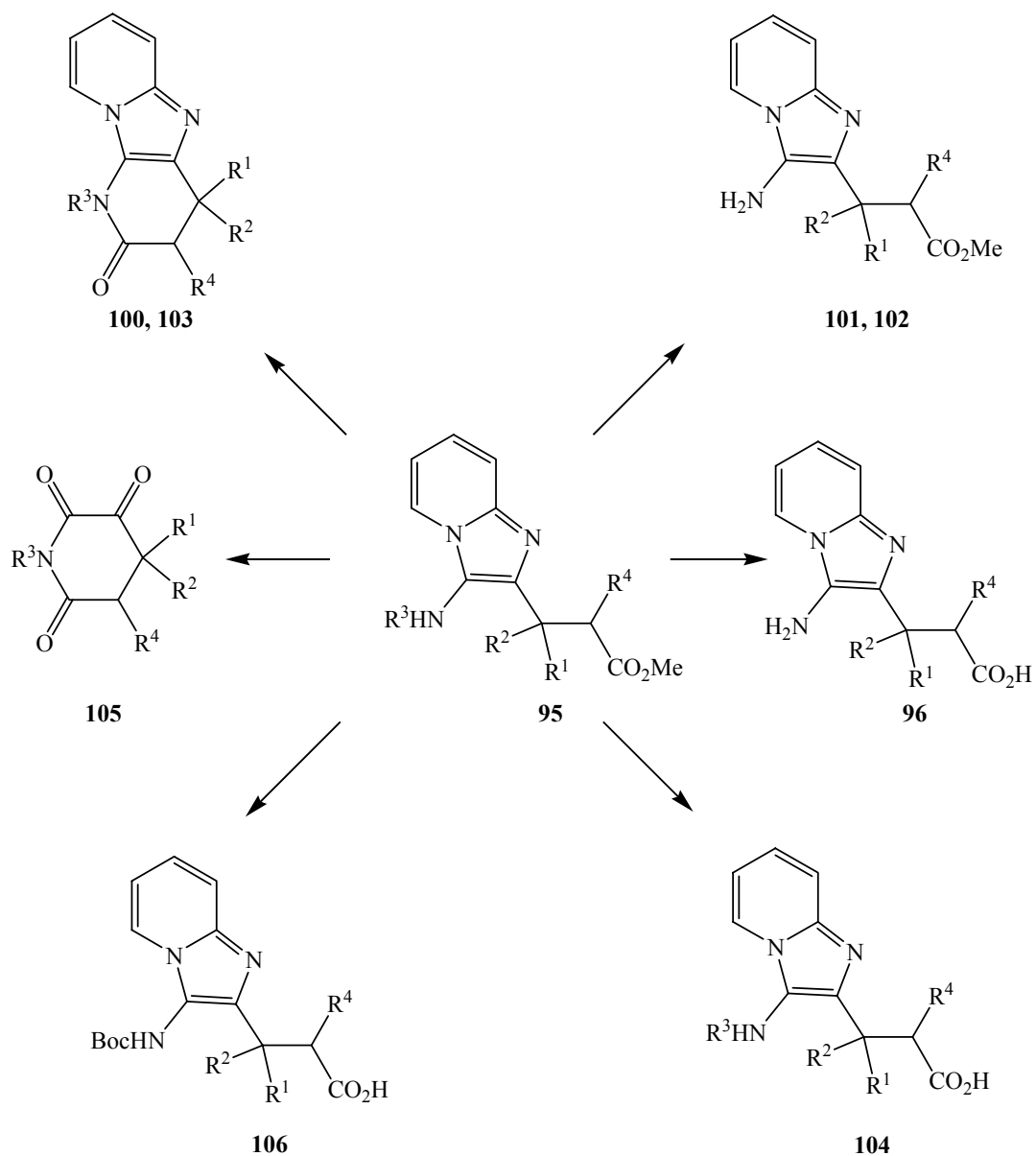
2. Starting from the corresponding pyrrolidinones **85e** and **85g**, interesting bicyclic compounds such as **86** and mono- and diacid derivatives like **87** and **88** could be prepared.



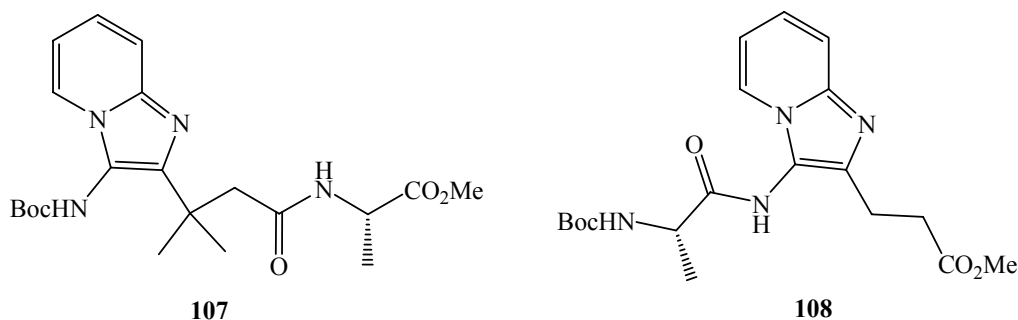
3. A number of 3-aminoimidazo[1,2-*a*]pyridines **95** have been synthesized exploiting the Ugi-type three component condensation of methyl 2-siloxycyclopropanecarboxylates **53**, **54** and **60** with 2-aminopyridine **90** and four isocyanides **28** in moderate to good yields.



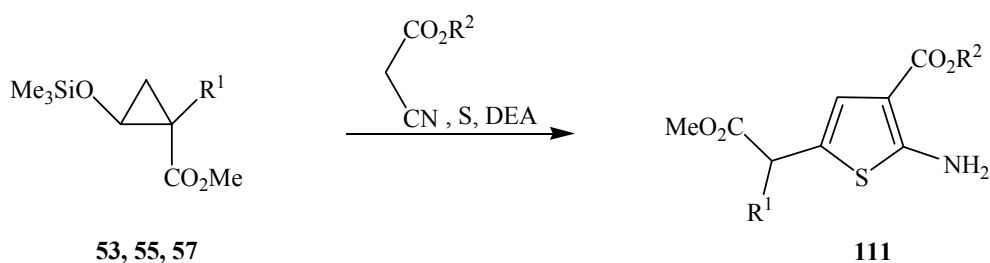
4. These compounds could be further converted into several products, for example lactams **100** and **103**, δ -amino esters **101** and **102**, carboxylic acids **96**, **104** and **106** and compound **105**.



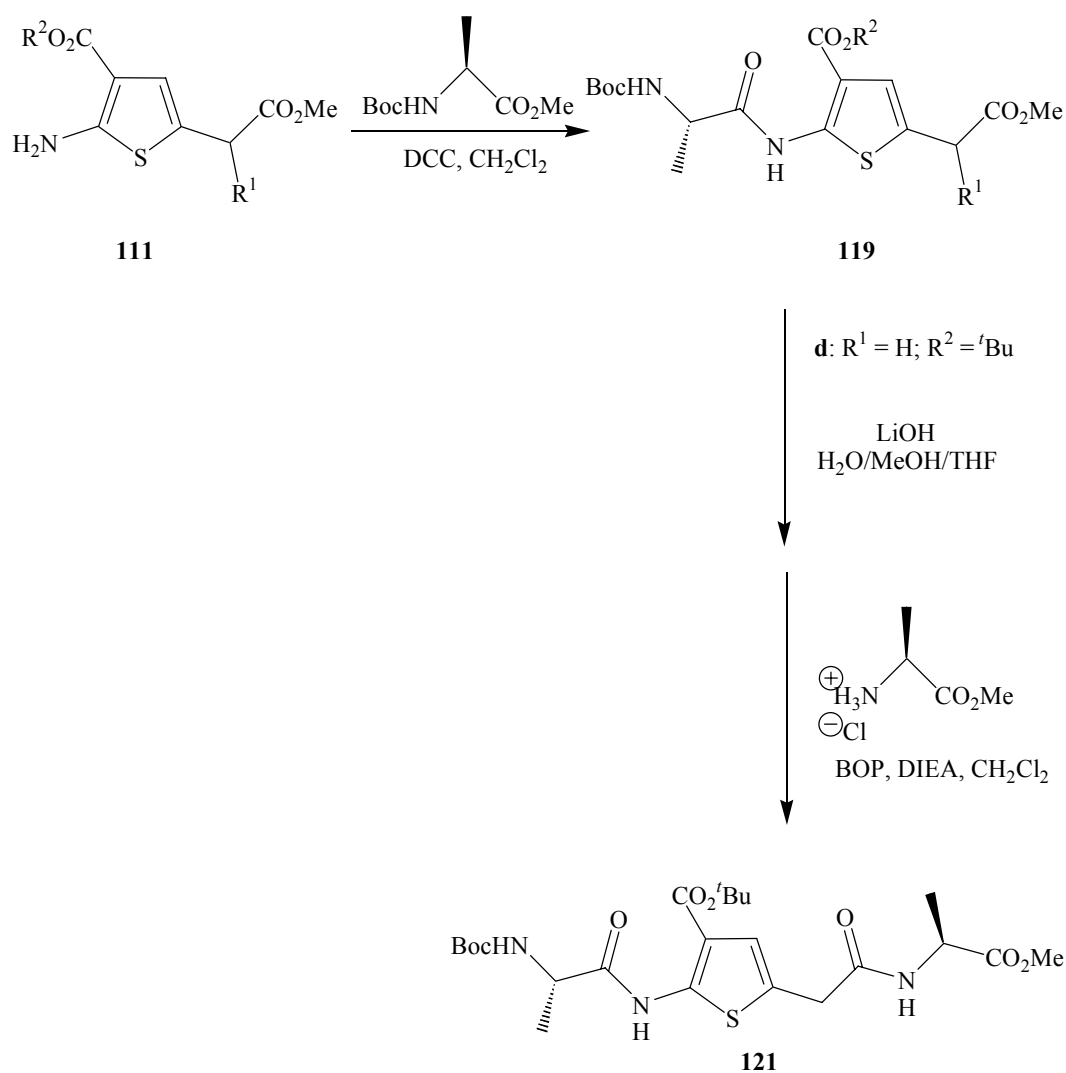
5. The novel building blocks have been utilized for the synthesis of peptidomimetics **107** and **108** applying the coupling reagents BOP and TFFH, respectively.



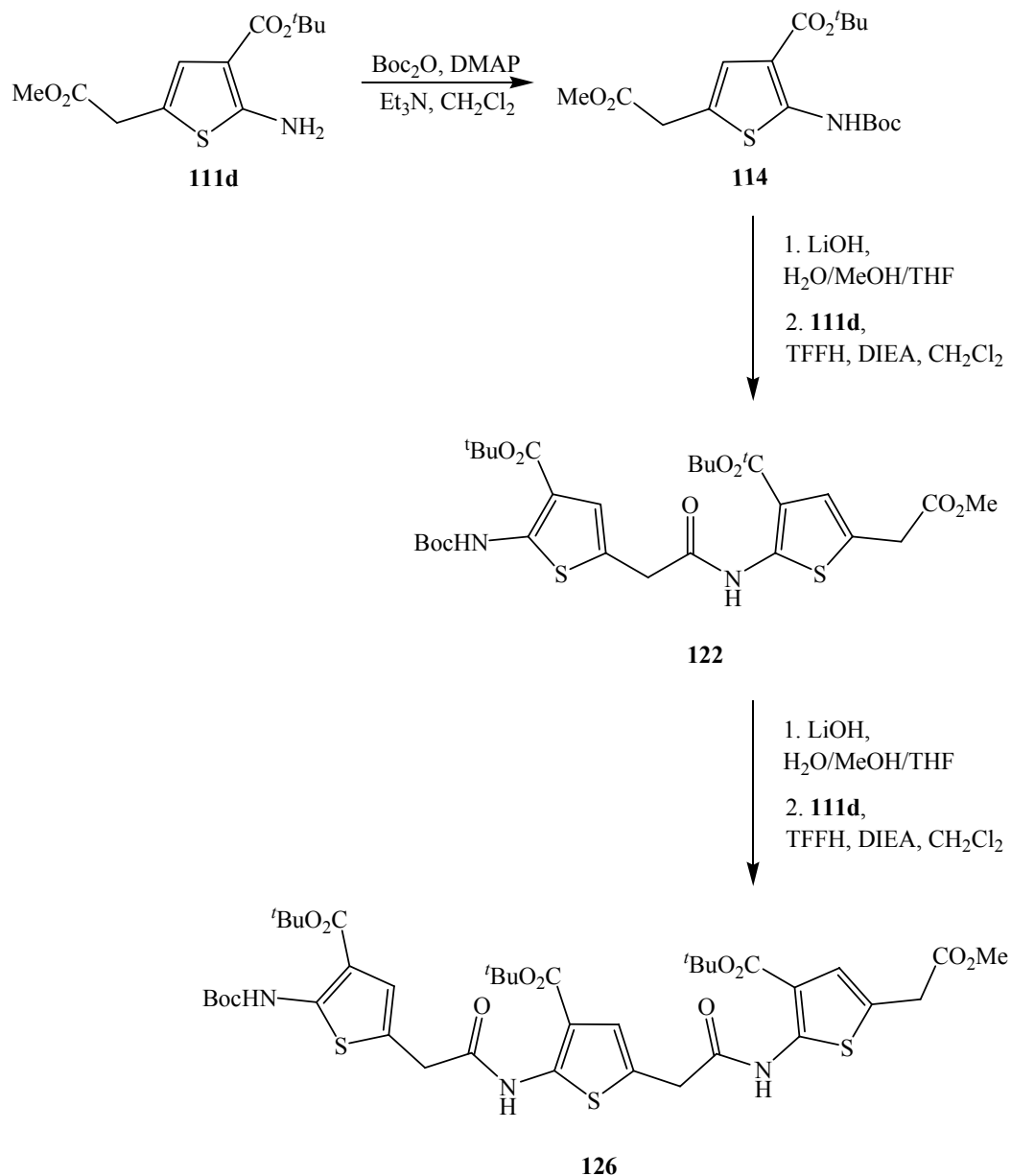
6. Methyl 2-siloxycyclopropanecarboxylates **53**, **55** and **57** have been utilized as precursor compounds in the Gewald's reaction of cyanoacetic ester derivatives with sulfur to synthesize 2-aminothiophenes **111**.



7. δ -Amino esters **111** could be coupled with N-Boc L-alanine to obtain tripeptide analogues **119**. The compound **119d** has also been obtained in a one-pot procedure starting from siloxycyclopropanecarboxylate **53**. Base-mediated hydrolysis of methyl ester **119d** provided free carboxylic acid which could be coupled with L-alanine methyl ester to obtain tetrapeptide analogue **121**.

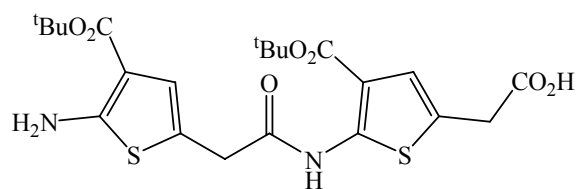


8. The amino-group of compound **111d** was N-Boc-protected. Subsequent base-mediated hydrolysis of the methoxycarbonyl group afforded N-Boc protected carboxylic acid which could be coupled with δ -amino ester **111d** to obtain tetrapeptide analogue **122** utilizing TFFH in the presence of DIEA. A subsequent base-mediated hydrolysis and coupling with **111d** afforded hexapeptide analogue **126**.

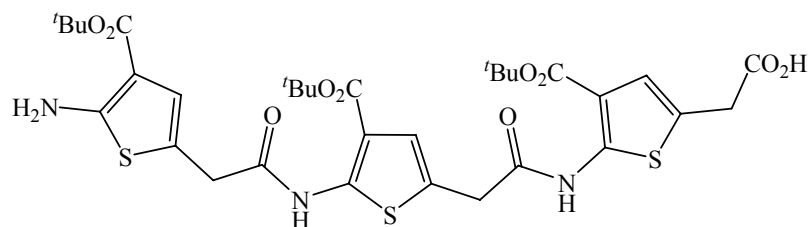


9. Chemoselective deprotection of N-Boc-group with trimethylsilyltrifluoromethanesulfonate in the presence of 2,6-lutidine and saponification of the ester with lithium hydroxide allowed preparation of deprotected tetra- and hexapeptide analogues **125** and **128**.

Cyclization of trimer **128** has been attempted utilizing TFFH in the presence of DIEA, and BOP in the presence of DMAP. Unfortunately no cyclic trimer was obtained.



125



128

10. δ -Amino ester **111** was coupled with compound **96**, which incorporates a pyridinoimidazolo moiety, and tetrapeptide analogue **130** was obtained in the presence of TFFH and DIEA.

