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Poster Presentation

Stereoselective Synthesis of Polysubstituted Pyrrolizidine-3-ones

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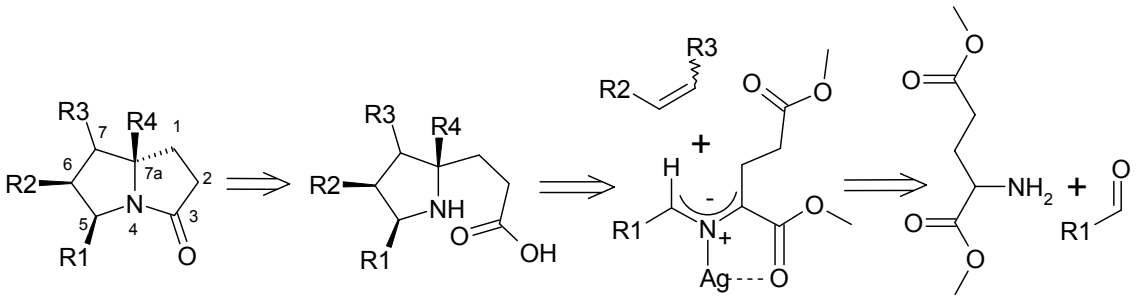
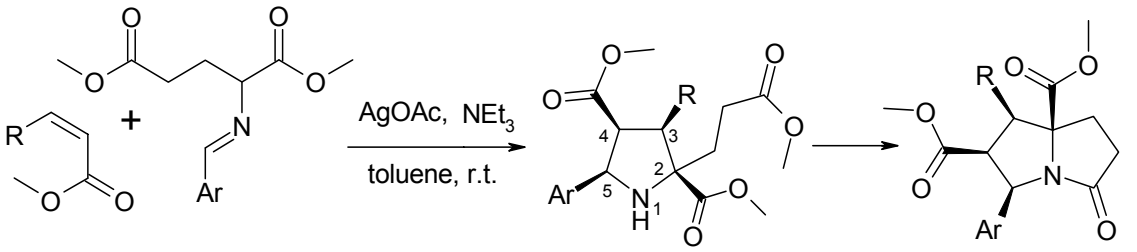
We have investigated regio- and stereoselective synthetic method directed to unnatural polysubstituted pyrrolizidines. It is based on lactamization of pyrrolidilpropionic acids **2** planned to be synthesized by 1,3-dipolar cycloaddition of metallodipole **3**, generated from *2*-(arylidene)pentadioic acid esters.



1 **2** **3**

Pyrrolidine polycarboxylic acids esters **5** were obtained with good to excellent yields as single stereoisomers from imines **4**. The lactamization of **5** (R=H) did not proceed under basic conditions. If R=COOMe the epimerization at *4*-carbon and subsequent lactamization took place upon basic treatment. Pyrrolidylpropionic acids esters **5** were transformed quantitatively to pyrrolizidinones **6** under acidic conditions.



4 **5,** 60-90% **6**

Ar=Ph; 3,4-di(MeO)Ph; 3-Pyr; R=H; COOMe