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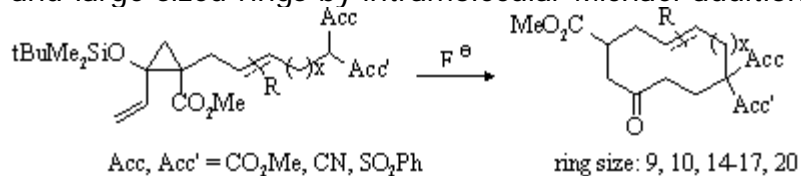
An Efficient One-Pot Synthesis of Functionalized Medium and Large Rings from 2-Siloxycyclopropanes

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Abstract: In this paper we report an efficient one-pot synthesis of a great variety of functionalized medium and large sized rings by intramolecular Michael-addition.



Keywords: intramolecular Michael-addition, medium and large sized rings

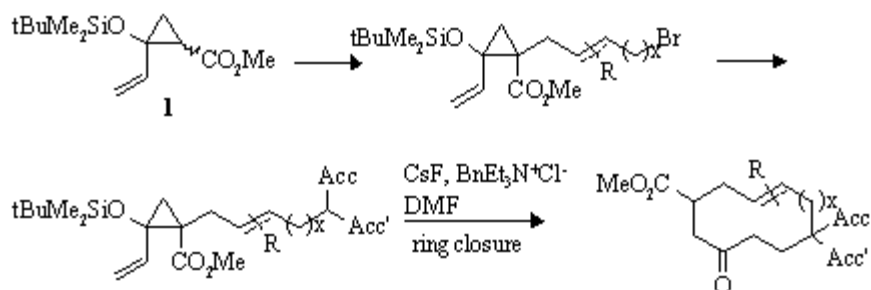
Introduction:

Medium and large sized rings are of considerable interest for synthetic chemists since they occur as structural elements in many different natural products. here we report on our success to prepare several functionalized medium and large sized rings with a great variety of ring sizes.

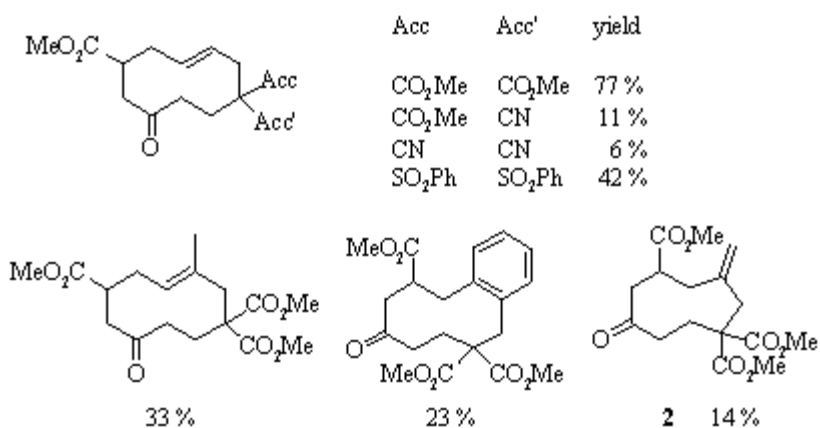
Results and Discussion:

Synthesis of Medium Sized Rings

Precursor siloxycyclopropanes were synthesized in an efficient way including several alkylation steps. First, 2-vinyl-2-siloxycyclopropane **1** was deprotonated and alkylated with suitable dibromides. The following treatment with nucleophiles like sodium dimethyl malonate provided precursors for nine- and tenmembered rings which were cyclized in a fluoride-induced one-pot procedure.

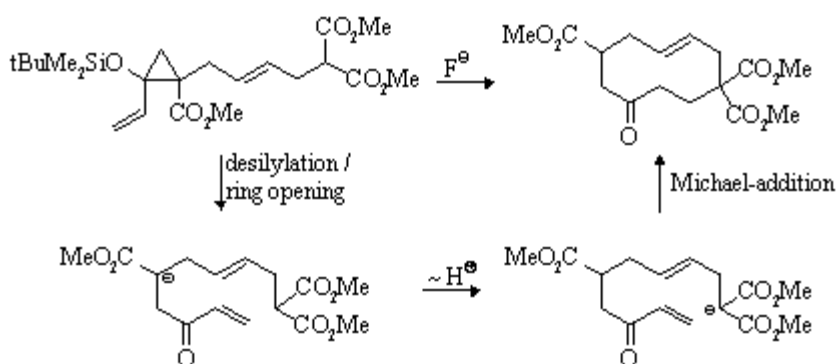


typical examples:



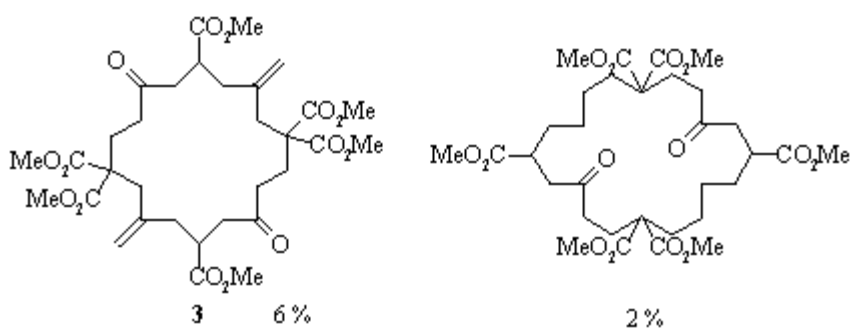
Mechanism

This one-pot procedure involves ring opening of the siloxycyclopropanecarboxylates followed by proton transfer and intramolecular Michael-addition.

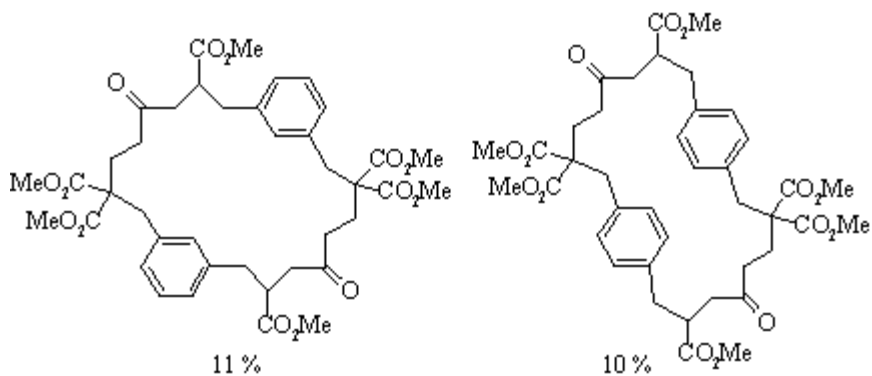


Formation of Dimers

In the case of synthesis of cyclononane **2** we found the corresponding dimer **3** as a side product. Our attempt to synthesize a saturated tenmembered ring was unsuccessful. We obtained the dimerization product in 2 % yield.

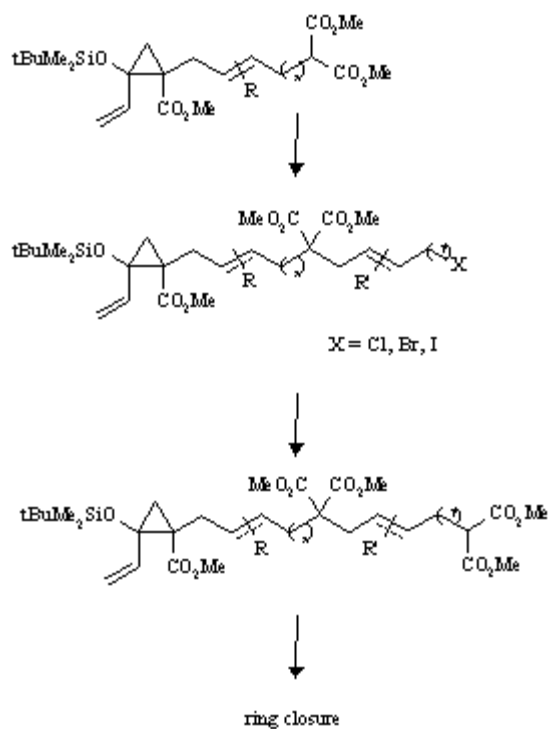


Cyclisation of precursors which contain *m*- or *p*-substituted phenyl-groups leads to dimerization exclusively.

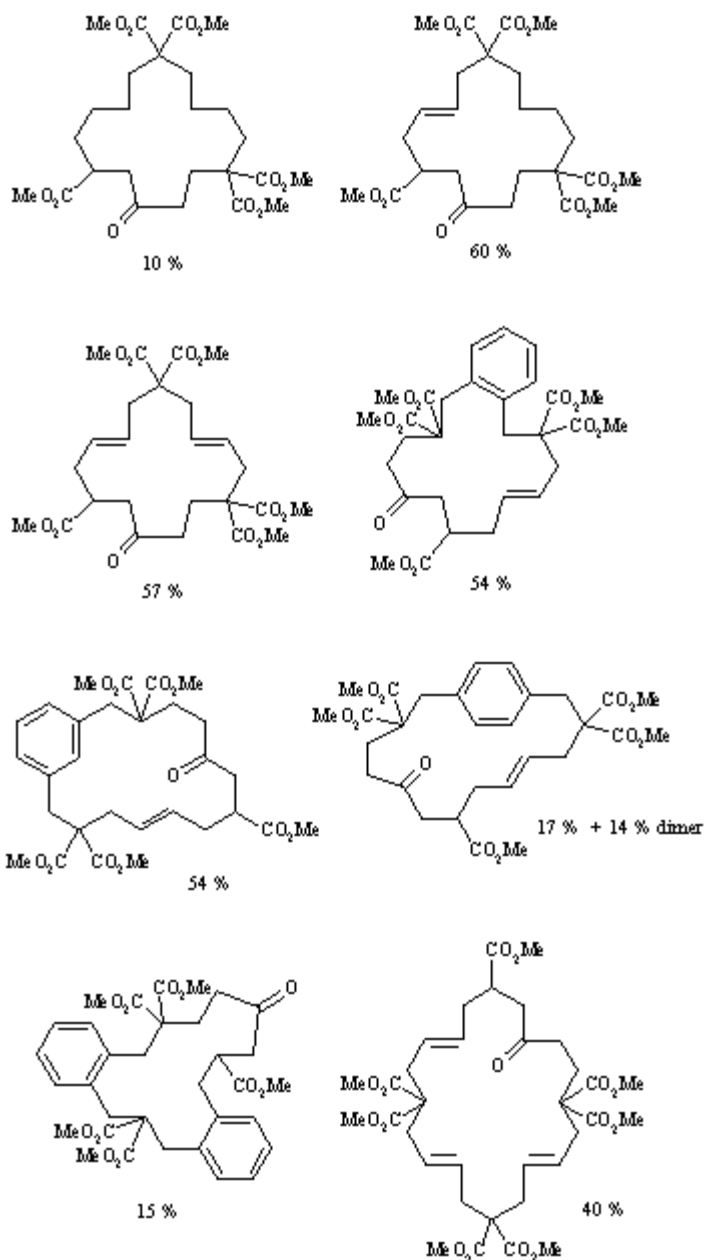


Synthesis of Large Rings

For the synthesis of larger ring systems, the double alkylation procedure was repeated. Thus, a great variety of functionalized macrocycles was accessible.



typical examples:



Conclusion:

The synthetic route described is an efficient and highly flexible way for synthesis of medium and large ring-systems. The obtained cyclic structures are apt precursors for transannular reactions. Investigations in this area are in progress.

Experimental part:

For a typical cyclization-procedure see reference 3.

References

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- 2) A. Ullmann, *Diplomarbeit*, Technische Universitaet Dresden, **1995**.
- 3) J. Schnaubelt, A. Ullmann, H.-U. Reissig, *Synlett* **1995**, 1223-1225.

Comments

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