Thermal [2+2] synthesis of 3-oxocyclobutyl boronates *via* keteniminium salts

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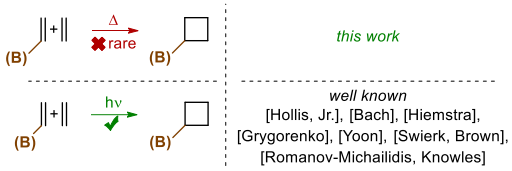
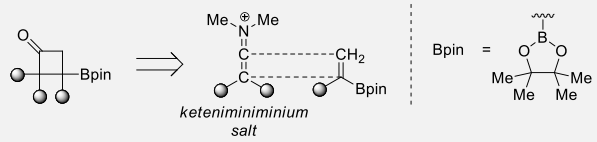
Introduction and Aim

Substituted cyclobutanes are compounds of high demand in synthetic and medicinal chemistry.[1] Among the various methods towards borylated cyclobutanes, photochemical [2+2] cycloaddition has been well explored and described.[2,3] Thermal [2+2] version of this reaction remained severely underdeveloped with only a single example published in prior literature.[4]

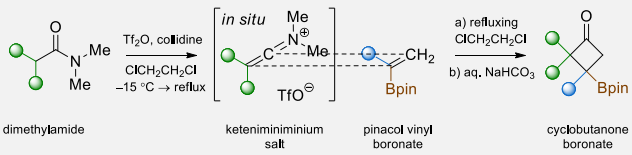


Synthesis

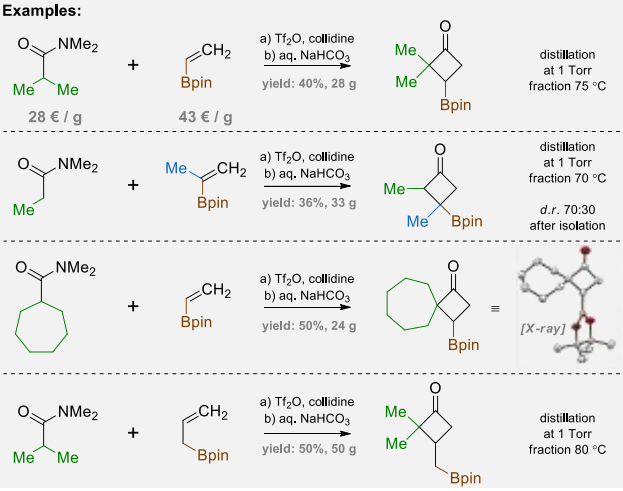
Retrosynthesis:



General reaction:

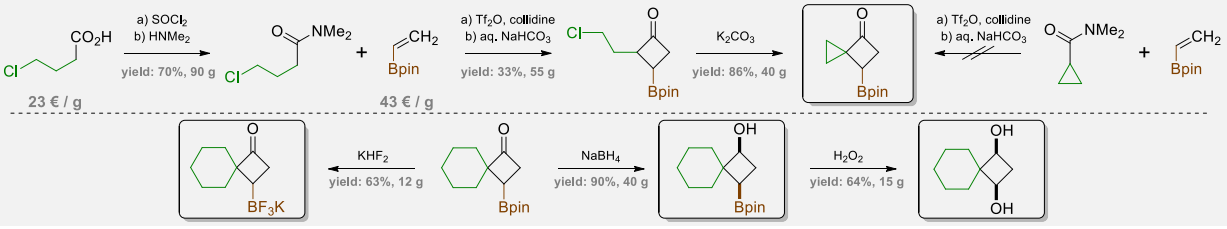


Examples:

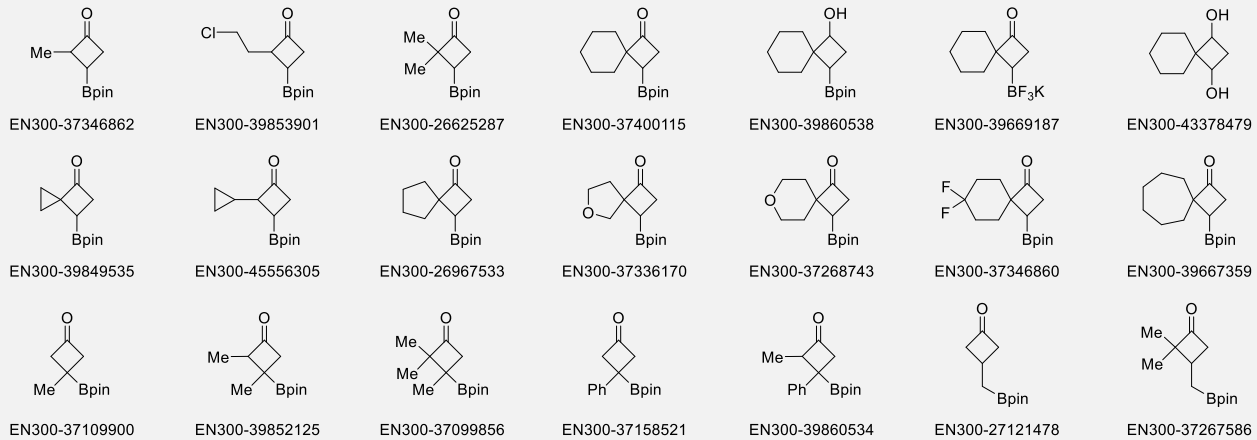


Scope: **16** compounds from vinyl- and allyl-boronates and various *N*,*N*-dimethylamides
Decagram scale: compounds prepared in 7-55 g amount per single run
Purification by vacuum distillation: most products were purified conveniently by distillation at **1 Torr**, boiling points 65-125 °C

Modifications



Results



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References

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2. O. P. Demchuk *et al*. *J. Org. Chem*. **2020**, *85*, 5927.
3. Y. Liu *et al*. *J. Am. Chem. Soc.* **2022**, *144*, 18790.
4. R. H. Fish. *J. Org. Chem*. **1969**, *34*, 1127.