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

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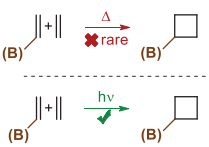
## Introduction

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 synthesis remained severely underdeveloped with only a single example published in prior literature.[4]



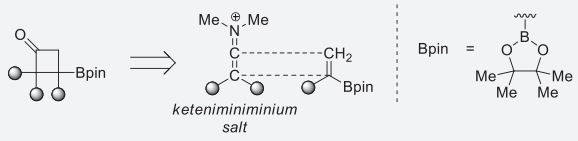

*this work*

*well known*

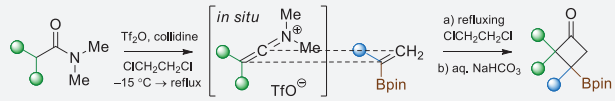
[Hollis, Jr.], [Bach], [Hiemstra], [Grygorenko], [Yoon], [Swierk, Brown], [Romanov-Michailidis, Knowles]

## Synthesis

**Retrosynthesis:**



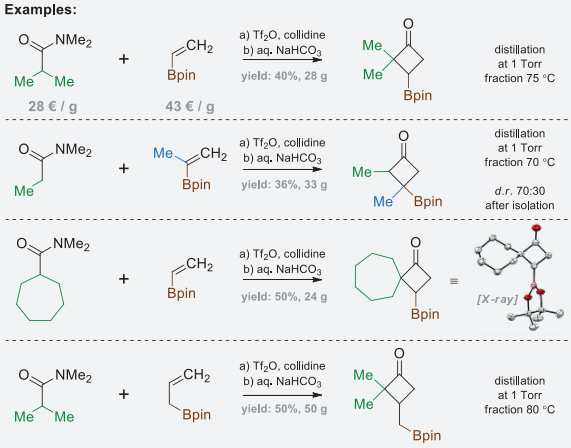

**General reaction:**



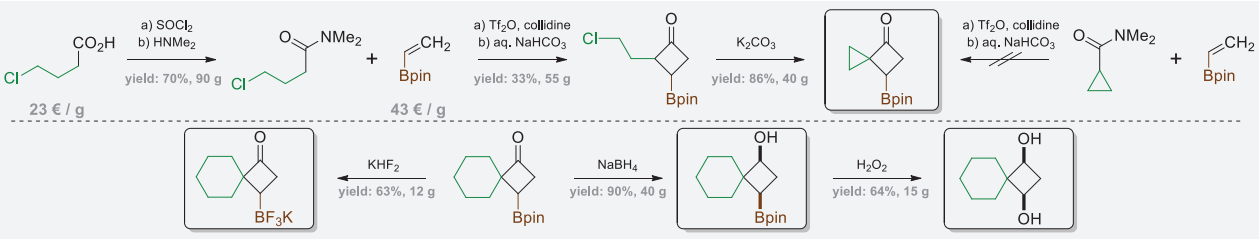

dimethylamide | keteniminiminium salt | pinacol vinyl boronate | cyclobutanone boronate

**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

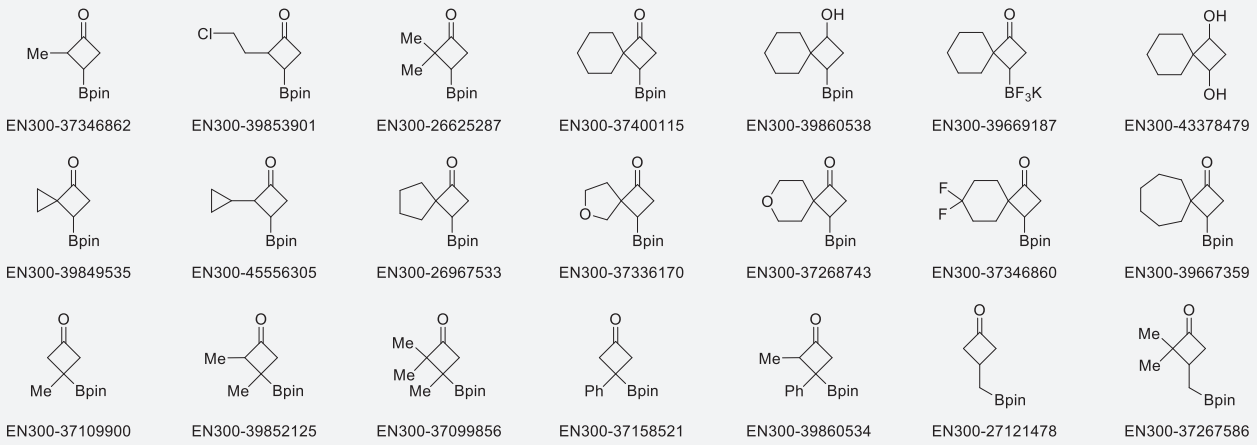
**Examples:**




## 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.