[4+2]-Annulations of Aminocyclobutanes

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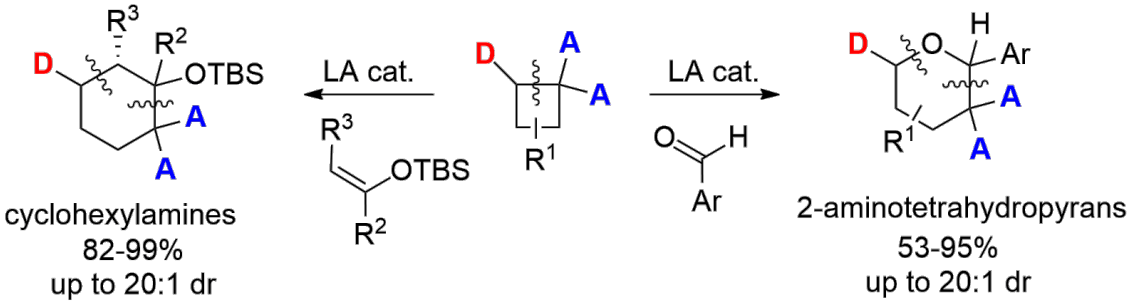
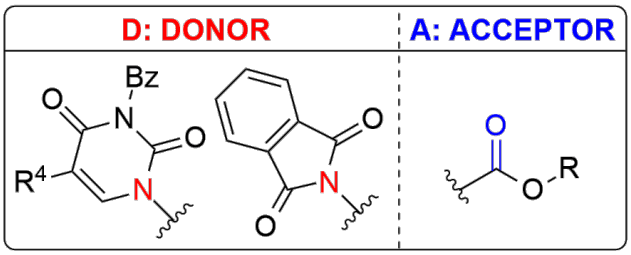
In the domain of small rings chemistry, donor-acceptor cyclopropanes have been widely used in annulations to generate complex cyclic structures. However, the use of their analogues 4-membered rings have been less investigated up to now. Herein we report for the first time the use of donor-acceptor aminocyclobutanes in [4+2]-annulations with aldehydes and silyl-enol ethers.[1] The 2-aminotetrahydropyrans and cyclohexylamines obtained are recurring motifs in biologically active molecules. [4+2]-annulation of substituted aminocyclobutanes with aldehydes delivered products bearing three stereocenters, using scandium triflate or iron trichloride as catalyst. The use of thymine- or fluorouracil-substituted cyclobutanes gave direct access to six-membered ring nucleoside analogues. Finally, the [4+2]-annulation between aminocyclobutanes and silyl enol ethers led to the corresponding cyclohexylamines. In addition, new results will be presented.



22 examples, up to **three stereocenters**



[1] Daniele Perrotta, Sophie Racine, Jérémy Vuilleumier, Florian de Nanteuil, Jérôme Waser, *Org. Lett.* **2015**, 17, 1030.