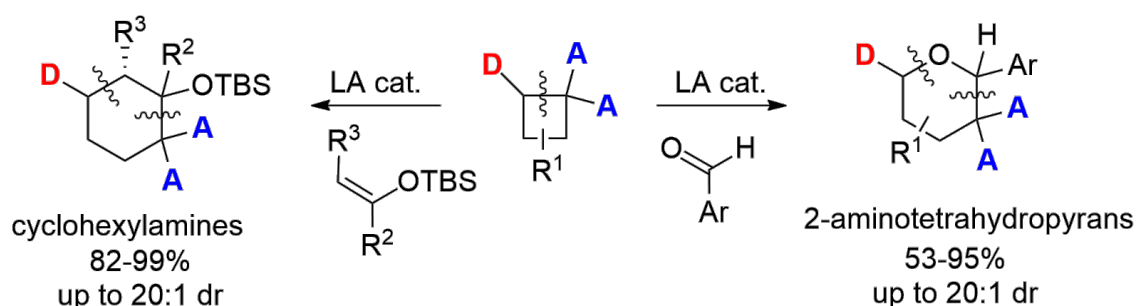
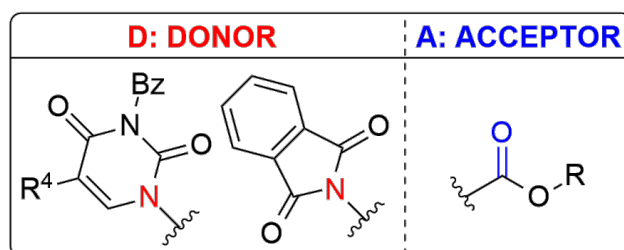


**[4+2]-Annulations of Aminocyclobutanes**D. Perrotta<sup>1</sup>, S. Racine<sup>1</sup>, J. Vuilleumier<sup>1</sup>, F. de Nanteuil<sup>1</sup>, J. Waser<sup>1\*</sup><sup>1</sup>EPF Lausanne

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.<sup>1</sup> 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, J  r  my Vuilleumier, Florian de Nanteuil, J  r  me Waser, *Org. Lett.* **2015**, 17, 1030.