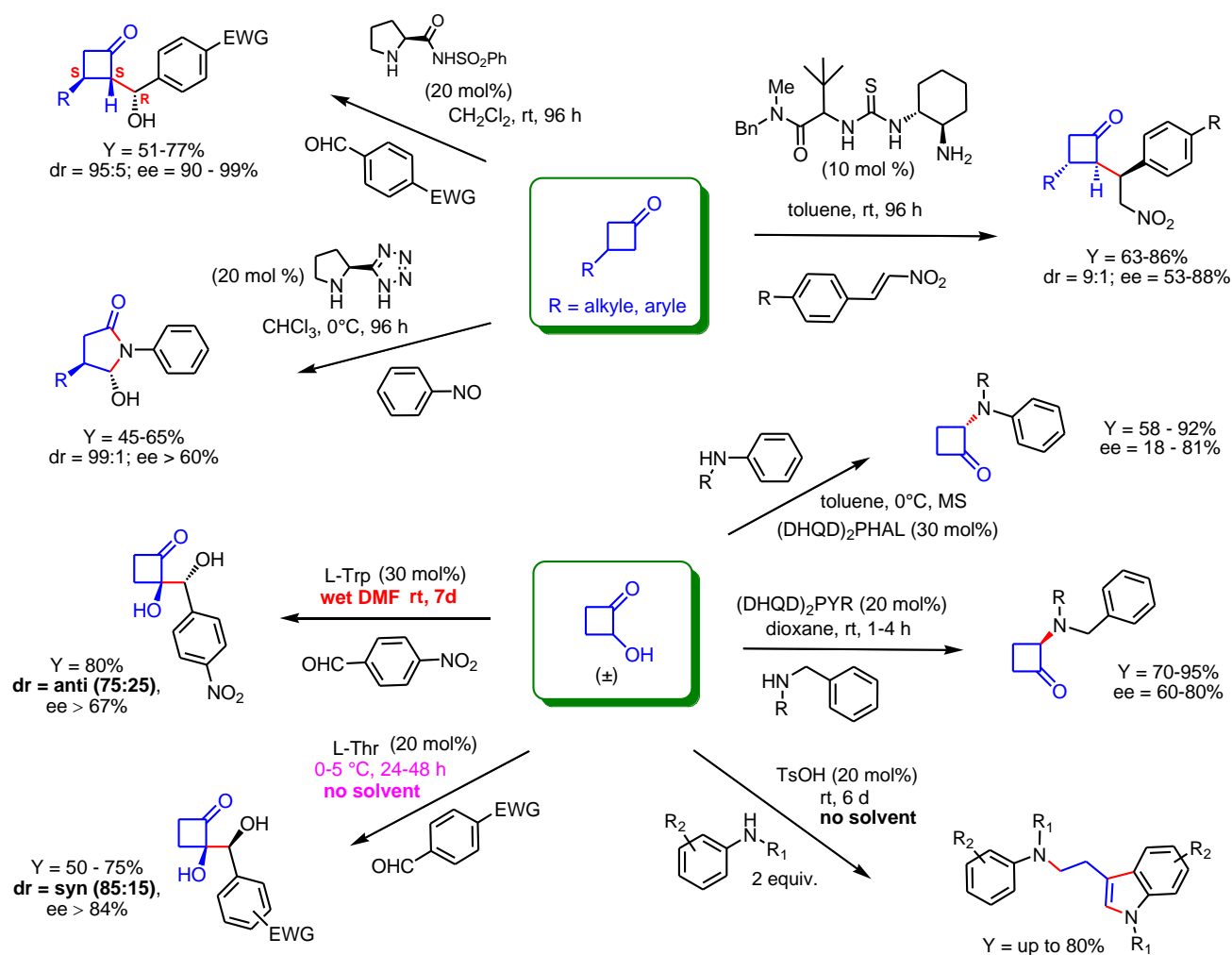


ORGANOCATALYTIC TRANSFORMATIONS OF CYCLOBUTANONES

Cyclobutanones are a useful family of small ring building blocks for organic synthesis. Part of the organocatalysis programme carried out in the CP3A group is focused on new transformations of cyclobutanones to access more complex intermediates in a stereoselective fashion. Using prochiral 3-substituted cyclobutanones as substrates, desymmetrizing transformations are performed stereoselectively, sometimes accompanied by ring opening processes. With racemic 2-hydroxycyclobutanone as the starting material, aldol or Amadori-Heyns-type reactions are conducted with controlled selectivities, while recently a Brønsted acid catalysed tandem reaction with anilines was discovered, leading directly to tryptamine derivatives.

This work constitutes a long-standing collaboration with the research group of Profs. P. P Piras & A. Frongia of the University of Cagliari (Italy).

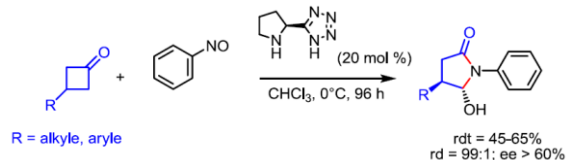
Overview of results



List of publications

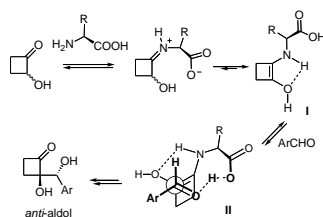
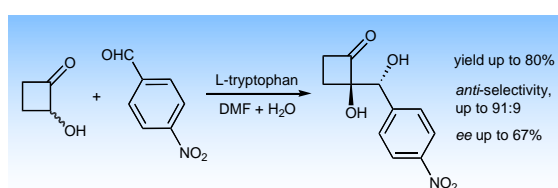
Unexpected formation of optically active 4-substituted 5-hydroxy- γ -lactams by organocatalyzed reaction of 3-substituted cyclobutanones with nitrosobenzene

F. CAPITTA, A. FRONGIA, J. OLLIVIER, P. P. PIRAS, F. SECCI, *Synlett*, **2011**, 89-93.



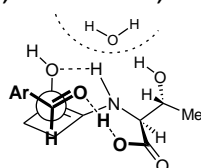
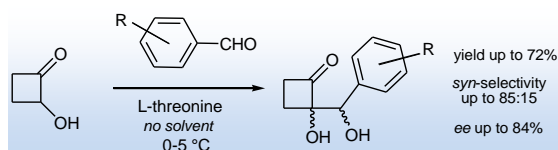
The first organocatalysed direct aldol reaction of 2-hydroxycyclobutanone

D. J. AITKEN, F. CAPITTA, A. FRONGIA, D. GORI, R. GUILLOT, J. OLLIVIER, P. P. PIRAS, F. SECCI, M. SPIGA, *Synlett*, **2011**, 712-716.



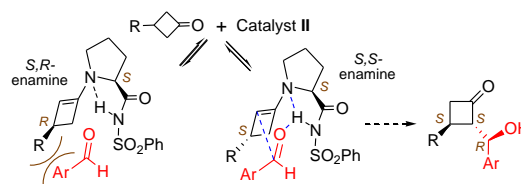
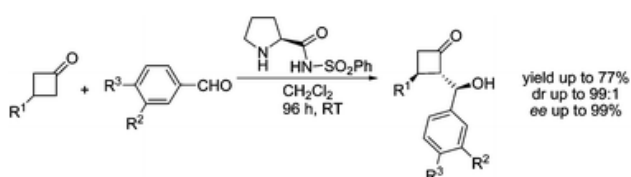
Solvent-free stereoselective organocatalyzed aldol reaction of 2-hydroxycyclobutanone

D. J. AITKEN, F. CAPITTA, A. FRONGIA, J. OLLIVIER, P. P. PIRAS, F. SECCI, *Synlett*, **2012**, 727-730.



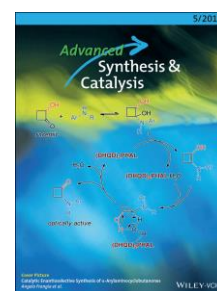
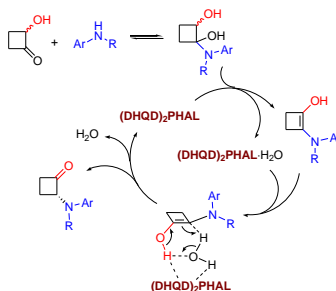
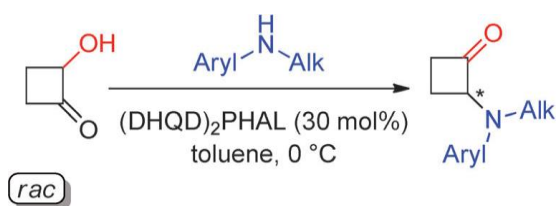
Very high stereoselectivity in organocatalyzed desymmetrizing aldol reactions of 3-substituted cyclobutanones

D. J. AITKEN, A. M. BERNARD, F. CAPITTA, A. FRONGIA, R. GUILLOT, J. OLLIVIER, P. P. PIRAS, F. SECCI, M. SPIGA, *Org. Biomol. Chem.*, **2012**, 10, 5045-5048.



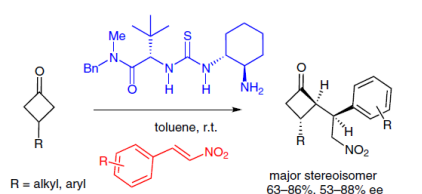
Catalytic enantioselective synthesis of α -arylamino cyclobutanones

D. J. AITKEN, P. CABONI, H. EIJSBERG, A. FRONGIA, R. GUILLOT, J. OLLIVIER, P. P. PIRAS, F. SECCI, *Adv. Synth. Catal.*, **2014**, 356, 941-945.



Enantioselective organocatalyzed desymmetrization of 3-substituted cyclobutanones via Michael addition to nitroalkenes

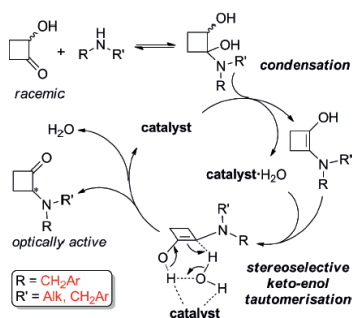
F. CAPITTA, A. FRONGIA, J. OLLIVIER, D. J. AITKEN, F. SECCI, P. P. PIRAS, R. GUILLOT, *Synlett*, **2015**, 26, 123-126.



Catalytic enantioselective synthesis of α -benzylamino cyclobutanones

N. MELIS, L. GHISU, R. GUILLOT, P. CABONI, F. SECCI, D. J. AITKEN, A. FRONGIA, *Eur. J. Org. Chem.*, **2015**, 4358-4366.

An organocatalytic enantioselective synthesis of α -(benzylamino)cyclobutanones has been achieved by employing a tandem condensation/intramolecular rearrangement/proton transfer reaction. The reaction sequence began from readily available racemic α -hydroxycyclobutanone and a variety of benzylamines to afford the products in good to high yields and with moderate to high stereoselectivities.



Synthesis of functionalized tryptamines by Brønsted acid catalyzed cascade reactions

N. MELIS, F. SECCI, T. BODDAERT, D. J. AITKEN, A. FRONGIA, *Chem. Commun.*, **2015**, 51, Advance Article (in press): DOI: 10.1039/C5CC06855J

