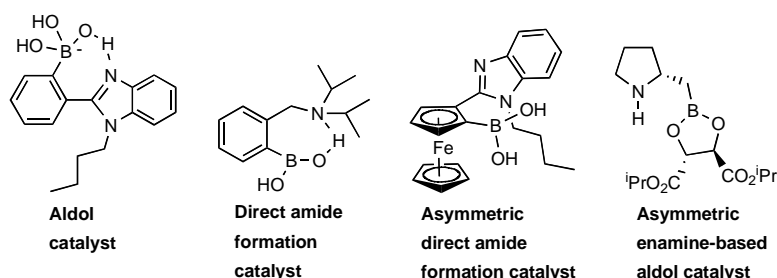


Dr A. Whiting, Durham University

Synthesis And Characterisation Of Bifunctional Aminoboronic Acid Compounds

Recurrent themes in our recent work is the synthesis and characterisation of bifunctional aminoboronic acid compounds, such as those shown below. These types of compounds are used as catalysts for bifunctional-organocatalysis and we frequently access both free boronic acids, and their corresponding ester derivatives. While the ester systems are reasonably easy to characterise and handle, the corresponding free aminoboronic acids are notoriously difficult to deal with, as are their complexes. This stems from the ability of these systems to form various anhydrides etc which provides various challenges in terms of characterisation and particularly with respect to mass spectrometry. However, enabled by the Swansea service, we have isolated and fully characterised several unusual bifunctional amino-boronate systems, as reported in papers 1-3. Using these systems, we have developed the first examples of catalytic in situ boron enolate formation in water, the first example of a catalytic asymmetric direct amide formation, and the first example of enamine generation in the presence of an in situ Lewis acid, resulting in an asymmetric aldol reaction.



Key papers from the group in 2008:

1. A catalytic aldol reaction and condensation *via in situ* boron "ate"-complex enolate generation in water, K. Aelvoet, A. S. Batsanov, A. J. Blatch, L. G. F. Patrick, C. A. Smethurst and A. Whiting, *Angew. Chem. Int. Edn.*, **2008**, *47*, 768-770.
2. Asymmetric direct amide synthesis *via* kinetic resolution: A chiral bifunctional amino-boronic acid catalyzed reaction between a racemic amine and achiral carboxylic acid, K. Arnold, B. Davies, D. Hérault and A. Whiting, *Angew. Chem. Int. Edn.*, **2008**, *47*, 2673-2676.
3. The first example of enamine-Lewis acid cooperative bifunctional catalysis: Application to the asymmetric aldol reaction, K. Arnold, A. S. Batsanov, B. Davies, C. Grosjean, T. Schütz, A. Whiting and K. Zawatzky, *Chem. Commun.*, **2008**, 3879-3881.