J. XU, X. CHEN, M. WANG, P. ZHENG, B.-A. SONG, Y. R. CHI* (NANYANG TECHNOLOGICAL UNIVERSITY, SINGAPORE AND GUIZHOU UNIVERSITY, GUIYANG, P.R. OF CHINA) Aminomethylation of Enals through Carbene and Acid Cooperative Catalysis: Concise Access to β^2 -Amino Acids Angew. Chem. Int. Ed. **2015**, *54*, 5161–5165.

Facile Access to β^2 -Amino Esters by NHC Catalysis

Significance: A novel, straightforward route for the enantioselective synthesis of β^2 -amino acids is reported by the Chi group. The methodology is promoted by an N-heterocyclic carbene catalyst generated from precatalyst **A** and converts enals **1** into the corresponding amino ester products **3** by a postulated cooperative catalytic mechanism. In fact, the mild Brønsted acid formed in situ converts the *N*,*O*-acetal substrate into a suitable electrophile for the nucleophilic attack of the enolate intermediate. A final esterification reaction concludes the redox neutral process, regenerating the precatalyst.

Comment: The synthetic interest in the development of scalable procedures for the synthesis of β -amino acids is due to their wide occurrence in natural products and pharmaceuticals. Here, the authors report a concise access to this class of compounds in the field of asymmetric NHC organocatalysis. The transformation proceeds smoothly under mild conditions delivering the desired products in moderate to good yields and good enantioselectivities. An application to the synthesis of protected β -homophenylalanine on a gram scale is also presented, further highlighting the synthetic robustness of the reported methodology.

SYNFACTS Contributors: Benjamin List, Mattia Riccardo Monaco Synfacts 2015, 11(5), 0543 Published online: 17.04.2015 **DOI:** 10.1055/s-0034-1380574; **Reg-No.:** B02415SF

Category

Organo- and Biocatalysis

Key words

N-heterocyclic carbenes

amino acids

cooperative catalysis

