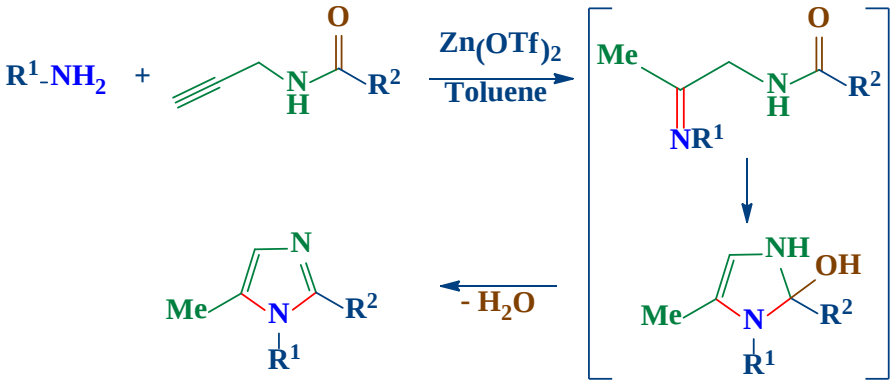
New General Synthesis of Substituted Imidazoles

Anahit Pews–Davtyan, Matthias Beller
Leibniz–Institute for Catalysis (LIKAT), Albert-Einstein Street 29a, 18059 Rostock, Germany

***Email**: matthias.beller@catalysis.de; anahit.pews-davtyan@catalysis.de- **web page**: www.catalysis.de*

Imidazole structure is present in great number of molecules characterized by a variety of biological and pharmacological activities. Natural and synthetic derivatives of substituted imidazoles are useful agents for the treatment of cancer, several CNS disorders, acute and chronic neurodegeneration, diseases associated with ion channels, cardiovascular disorders etc. Imidazoles are also widely used as organocatalysts, ionic liquids and N–heterocyclic carbenes. Because of the importance of this class of compounds their synthesis and functionalization methods have been intensively developed and continue to be a significant subject in organic synthesis. To our delight, our investigations on hydroamination reaction of protected propargylamines with primary amines yielded to the synthesis of novel imidazoles.



We have developed new general synthesis for the Zn–catalyzed synthesis of 2,5(4)–disubstituted and 1,2,5–trisubstituted imidazoles from commercially available amines and acylated propargylamides.[1] Our approach allows for the regiospecific introduction of various substituents into the imidazole ring by simply choosing the proper combination of starting materials. These are the first examples of Zn–catalyzed one–pot synthesis of imidazoles. Advantageously, broad functional group tolerance is observed and target products were obtained in up to 96 % yield as stable solid compounds. Optimization of the reaction conditions and improvements of synthesis performance will be discussed in more details.

1. Pews–Davtyan, M. Beller, *Chem. Commun.*, **2011**, 47, 2151-2154 (selected as “hot paper”). Highlighted in Synfacts 2011, 5, 0481.