Category

Organo- and Biocatalysis

Key words

thiophene

highly substituted furans

nucleophilic activation

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Organocatalytic Synthesis of Highly Substituted Furfuryl Alcohols and Amines *Angew. Chem. Int. Ed.* **2012**, *51*, 12128–12131.

Organocatalytic Synthesis of Substituted Furfuryl Alcohols and Amines

Significance: The authors present an organocatalytic approach for the synthesis of highly substituted furfuryl alcohols and amines in good to excellent yield. The reaction is catalyzed by tetrahydrothiophene (2), using a Lewis base for the activation of the alkynylcarbonyl derivatives 1. In contrast to 2, other Lewis bases such as DABCO or Bu₃P led to decomposition.

SYNFACTS Contributors: Benjamin List, Lisa Kötzner Synfacts 2013, 9(1), 0100 Published online: 17.12.2012 **DOI:** 10.1055/s-0032-1317898; **Reg-No.:** B11112SF

Comment: While electrophilic metal-catalyzed furan syntheses are common, organocatalytic approaches are rare. Inspired by the work of Krische (*J. Am. Soc. Chem.* 2004, 4118) and Kuroda (*Tetrahedron* 2004, 1913), Clark and co-workers applied a Lewis base activation concept for their reaction. By using tetrahydrothiophene (2) as a catalyst, an enolate is formed that cyclizes to a sulfur ylide containing furan which can react with different nucleophiles. This method can also be used for a multi-component domino synthesis of substituted furans.