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 alkyne-carbonyl derivatives 1. In contrast to 2, other Lewis bases such as DABCO or Bu3P led to decomposition.

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.

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