SYNFACTS

Highlights in Current
Synthetic Organic
Chemistry

REPRINT

Thieme

Category

Metal-Mediated Synthesis

Key words

furans

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Zinc-Catalyzed Cycloisomerizations. Synthesis of Substituted Furans and Furopyrimidine Nucleosides
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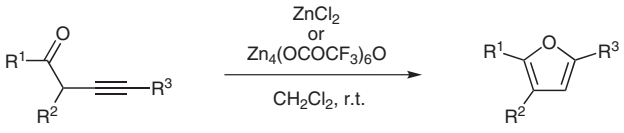
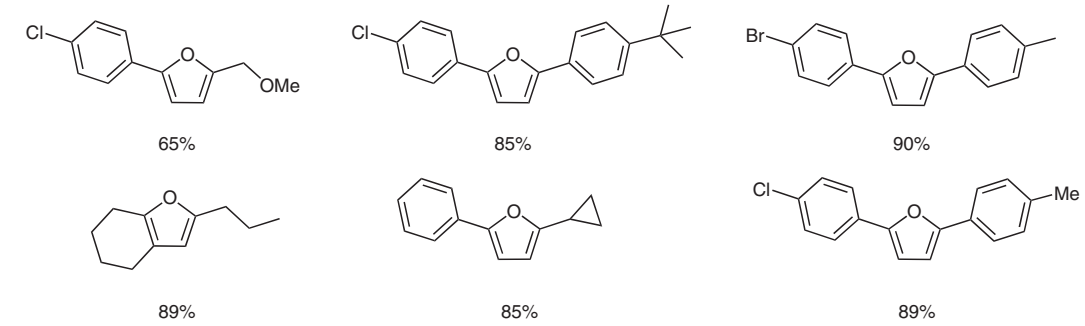
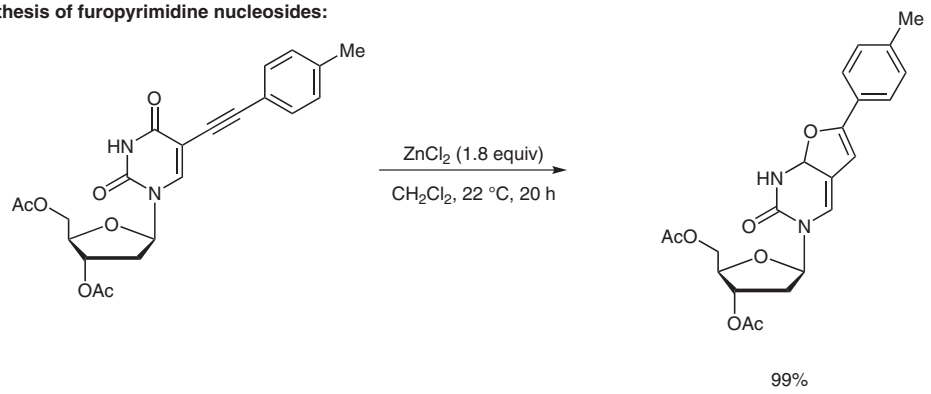
Zn-Catalyzed Cycloisomerizations in the Synthesis of Substituted Furans



Selected examples:



Synthesis of furopyrimidine nucleosides:



Significance: The 5-*endo*-dig cycloisomerization of 1,4- and 1,2,4- mostly aryl-substituted but-3-yn-1-ones in the presence of catalytic amounts of zinc chloride etherate in CH_2Cl_2 at room temperature gives 2,5-di- and 2,3,5-trisubstituted furans in high yields (85–97%). The reaction is also applicable to the synthesis of bicyclic furopyrimidine nucleosides starting from 5-alkynyl-2′-deoxyuridines.

Comment: $ZnCl_2$ and the $Zn_4(OCOCF_3)_6O$ cluster have been found to be efficient catalysts for the quantitative cycloisomerization of but-3-yn-1-ones. The reaction proceeds smoothly at ambient temperature without the addition of a base. Most functional groups present in nucleosides are tolerated which makes this protocol an interesting synthetic route to biologically active furopyrimidines.

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