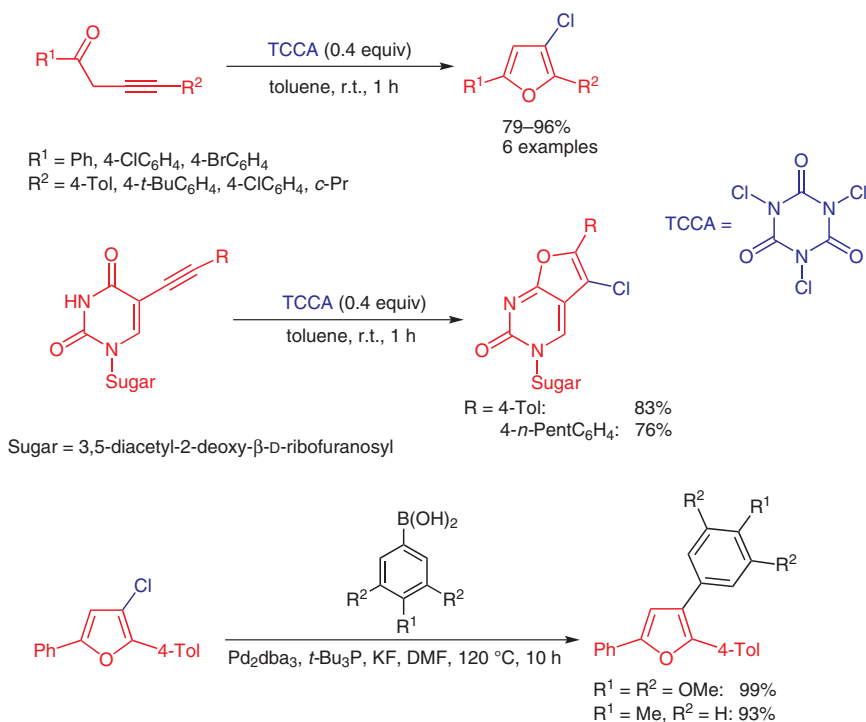


Synthesis of 3-Chlorofurans and 5-Chlorofuropyrimidine Nucleosides



Significance: Reported is a simple and convenient procedure for electrophilic chlorocyclization of propargylic ketones leading to 3-chlorofurans in excellent preparative yields. The reaction was extended for the synthesis of furopyrimidine nucleosides from readily available 5-alkynyl-2'-deoxyuridine with equally good results. As a further application, the resulting 3-chlorofurans were subjected to typical Fu conditions for the Suzuki–Miyaura reaction to afford 3-aryl-5-chlorofuran derivatives.

Comment: The present method provides unsymmetrical 2,5-disubstituted 3-halofurans with excellent regiocontrol and in high yields. Previously, this family of compounds was prepared by direct halogenation which generally leads to mixtures of regioisomers (C. W. Rees, T.-Y. Yue *J. Chem. Soc., Perkin Trans. 1* **1997**, 2247). Furthermore, and perhaps more significantly, the method is an excellent pathway to substituted furopyrimidine nucleosides which constitute potent and selective antiviral agents with high specific activity against varicellazoster virus (VZV) (C. McGuigan, J. Balzarini *Antiviral Res.* **2006**, 71, 149).