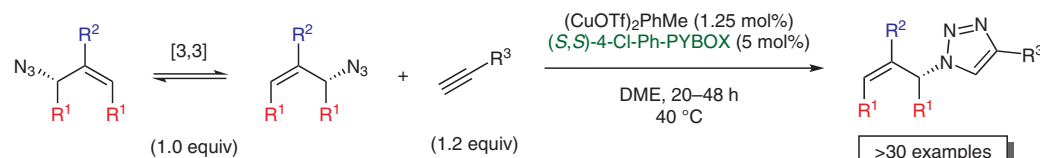


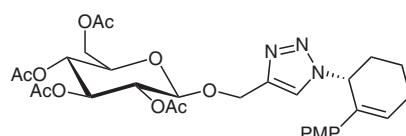
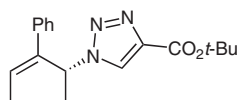
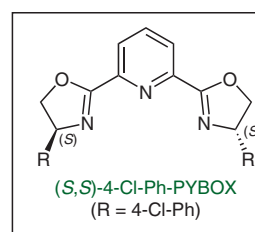
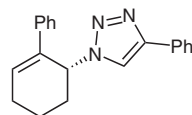
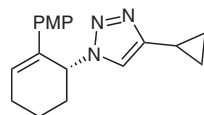
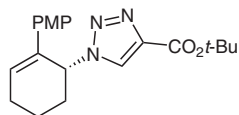
Copper-Catalyzed Alkyne–Azide Cycloaddition by Kinetic Resolution



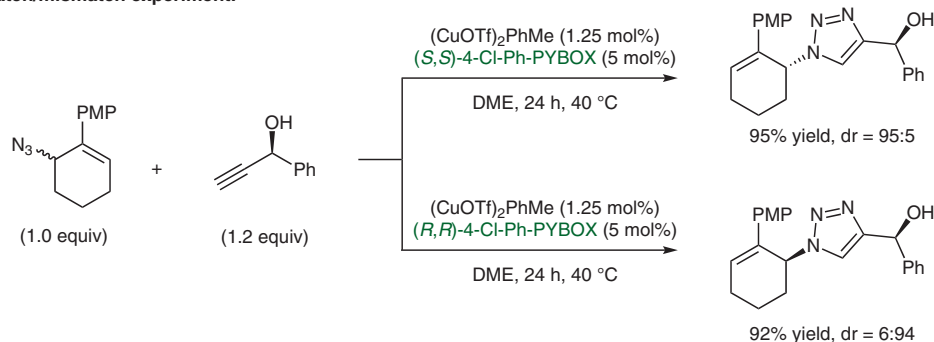
R^1 = Alk; R^2 = Alk, Ar, iodine; R^3 = Alk, Ar, ester, ether

>30 examples
up to 99% yield
up to er > 99:1

Selected examples:



Match/mismatch experiment:



Significance: Liu and Topczewski report a copper-catalyzed alkyne–azide cycloaddition by dynamic kinetic resolution (DKR) leading to α -chiral triazoles in high yields. Due to the broad scope and the extension to complex fragments, this method should be of great interest for organic synthesis.

Comment: Interestingly, the diastereoselectivity was reversed by changing the stereochemistry of the ligand, showing the utility of this method. As an extension, derivatives of vitamin E, gibberellic acid, esterone, glucose, mycophenolate mofetil and moexipril were successfully functionalized.