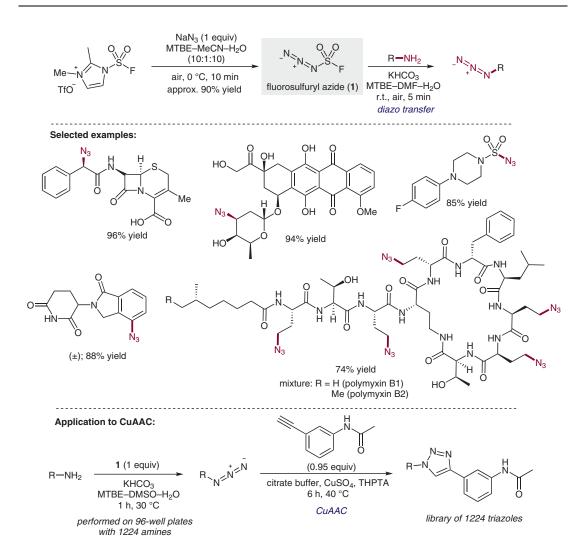
G. MENG, T. GUO, T. MA, J. ZHANG, Y. SHEN, K. B. SHARPLESS*, J. DONG* (SHANGHAI INSTITUTE OF ORGANIC CHEMISTRY, P. R. OF CHINA)

Modular Click Chemistry Libraries for Functional Screens using a Diazotizing Reagent Nature 2019, 574, 86-89.

Click Chemistry for the Synthesis of Azides



Significance: Copper-catalyzed azide alkyne click chemistry (CuAAC) is a powerful bioorthogonal reaction that provides triazole products in very high yields. A significant limitation is the restricted access to the azide precursors because azide preparation with NaN₃ or TfN₃ suffers from long reaction times, toxicity, or risk of explosion. The authors present a new, highly reactive diazo transfer reagent that enables rapid and safe access to azides from amines.

Comment: Previously reported fluorosulfuryl imidazolium triflate salt (Guo et al. Angew. Chem. Int. Ed. 2018, 57, 2605) was treated with NaN₃ to generate fluorosulfuryl azide 1 in situ. In an optimized solvent system (DMF-MTBE-H₂O), 1 undergoes diazo transfer to a broad variety of amines in a click chemistry fashion.

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diazo transfer click chemistry chemical library

