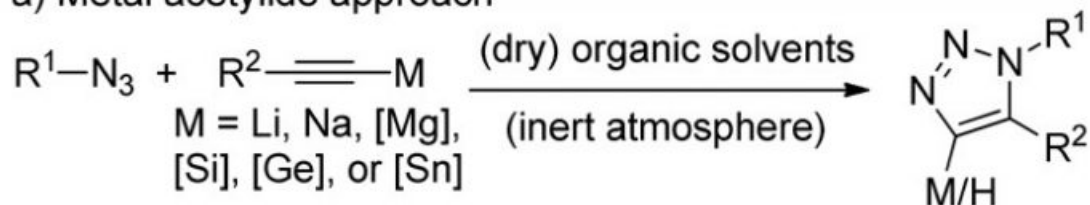


Team presents new synthesis method for click chemistry

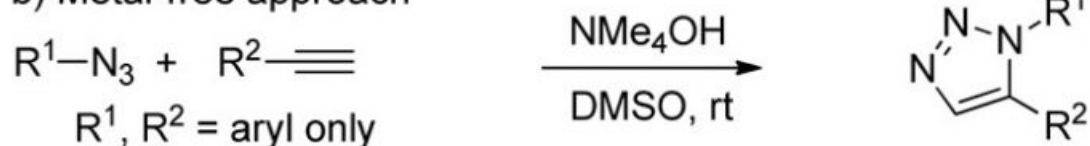
September 26 2017, by Joo Hyeon Heo

Previous work

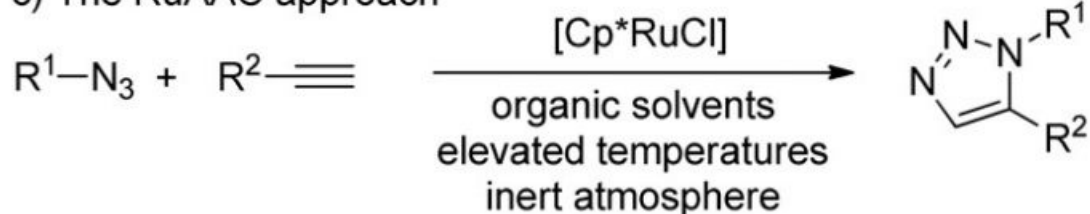
a) Metal acetylide approach



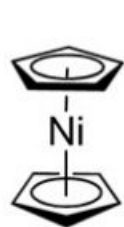
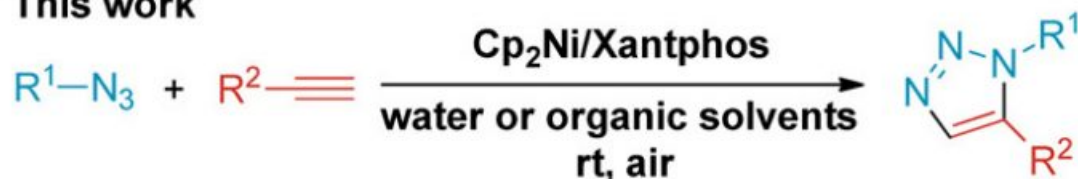
b) Metal-free approach



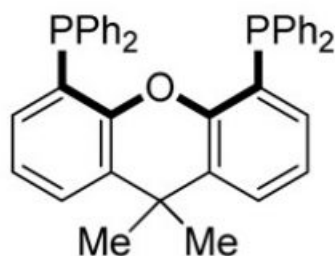
c) The RuAAC approach



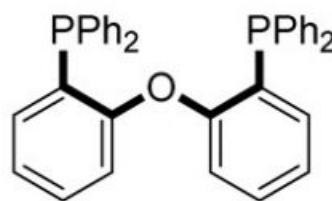
This work



Cp₂Ni



Xantphos



DPEphos

- **Wide substrate scope including carbohydrates and amino acids**
- **Operational simplicity: compatible with water and air**
- **Good functional group tolerance and high regioselectivity**

The comparison of the existing synthesis method and the newly developed

synthesis method by Professor Hong. Credit: UNIST

A recent study by researchers affiliated with UNIST has presented a new way to advance the click chemistry. This has applications in the synthetic chemistry of new drugs and the development of functional high molecules and bio-imaging.

In the study, the research team has introduced a new synthetic method to obtain a novel triazole structure used for the production of drugs and high molecules. This breakthrough makes it possible to produce triazoles using water as a solvent at room temperature, instead of using a high-temperature organic solvent.

This study was led by Professor Sung You Hong in the School of Energy and Chemical Engineering at UNIST in collaboration with Dr. Gonçalo J. L. Bernardes' group at University of Cambridge, Professor Sebyung Kang in the School of Life Sciences, as well as Professor Jung-Min Kee, Professor Jan-Uwe Rohde and Professor Wonyoung Choe in the School of Natural Science at UNIST.

The name triazole refers to any of the heterocyclic compounds with molecular formula $C_2H_3N_3$ containing five atoms within the ring structure. The presence of three nitrogen hetero-atoms in five-membered ring systems defines the class of triazole. One of these is the 1,4-substituted 1,2,3-triazole compounds, a new synthetic method developed by Karl Barry Sharpless, the 2001 Nobel Prize laureate in [chemistry](#), and has been widely applied in various fields, such as pharmacology, biology, and materials science.

The Huisgen 1,3-dipolar cycloaddition is a chemical reaction to access 1,2,3-triazoles, yet it suffers from the low regioselectivity. The Sharpless

group demonstrated an elegant copper-catalyzed method to yield 1,4-disubstituted 1,2,3-triazoles in high yields under the mild conditions. The copper-catalyzed azide-alkyne cycloaddition (CuAAC) has become the key example of [click chemistry](#) and has been widely utilized in medicinal chemistry, biochemistry, polymer chemistry and materials science.

In 2005, ruthenium-based chemistry to access complimentary 1,5-disubstituted 1,2,3-triazoles was reported. Yet, the RuAAC is often sensitive to air and moisture and it requires elevated temperatures.

In the study, the research team reported nickel-catalyzed cycloaddition reaction to afford 1,5-disubstituted 1,2,3-triazoles. The reactions proceed in water and air at room temperature. Authors employed nickelocene precatalyst and Xantphos ligand to react the building units, an organic azide and an alkyne.

"The NiAAC reaction is performed under the mild reaction conditions. Therefore this approach can be applied in various research fields including chemical biology and [materials science](#)," says Woo Gyum Kim in the Combined M.S/Ph.D. of Energy and Chemical Engineering, the first author of the study.

More information: Woo Gyum Kim et al, Nickel-Catalyzed Azide–Alkyne Cycloaddition To Access 1,5-Disubstituted 1,2,3-Triazoles in Air and Water, *Journal of the American Chemical Society* (2017). [DOI: 10.1021/jacs.7b06338](https://doi.org/10.1021/jacs.7b06338)

Provided by Ulsan National Institute of Science and Technology

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