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Title: Exploring The Catalytic Potential of "8-Hydroxyquinoline" for Azide-Alkyne Cycloaddition Reaction (Click Chemistry)

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Keywords: Triazoles

Catalyzed Heterocyclic

Issue Date: Apr-2024

Publisher: IISER Mohali

Abstract:

1,2,3-Triazole scaffolds are not obtained in nature, but they are still intensely investigated by synthetic chemists in various fields due to their excellent properties and green synthetic routes. v- triazoles are N-bearing heterocycles that have found wide applications in medicinal as well as agrochemical industries. Considering the relevance of these compounds, the research interests in triazole synthesis have witnessed major resurgences with the advent of click chemistry and metal- catalyzed (3+2) cycloaddition reactions (CuAAC, RuAAC, etc.). There are several literature reports available on CuAACs as they result in the regioselective product, i.e, 1,4-disubstituted- 1,2,3-triazoles. However, the requirement of elevated temperature and pressure and the expense of production of the transition metal catalyst called for the implementation of better alternative methods. It led to the discovery of organocatalyzed triazole synthesis reactions among which azide-alkyne cycloadditions (AACs) grabbed our attention. Encouraged by the literature results of various azide-alkyne cycloaddition reactions, herein we tried to explore the efficiency of 8-hydroxyquinoline (8HQ) as a catalyst in 1,3-dipolar cycloaddition reaction (DCR) of azides with alkynes. We could find that it is a regioselective reaction that resulted in 1,4-disubstituted 1,2,3-triazoles as the major product. The optimization was done by taking mesityl azide and phenylacetylene as model substrates. After optimizing the best-suited catalytic protocol, the substrate scope was examined and the triazole products were characterized by 1 H and 13 C{ 1 H} NMR measurements. Based on the previous literature, a plausible mechanism was proposed. A set of control experiments were performed to prove the proposed mechanistic pathway. The reaction chemistry seems to be one of the best organocatalysis for the synthesis of 1,4-disubstituted 1,2,3-triazoles.

Description: Under Embargo Period

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