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Organocatalyzed synthesis of 1,5-diaryl-1*H*-1,2,3-triazolyl pyridines from α-2-pyridinyl-acetophenones and aryl azides

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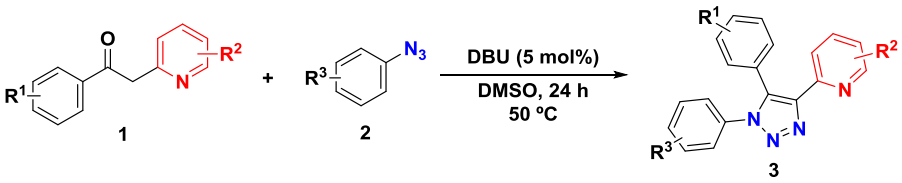
ABSTRACT

1,2,3-Triazoles are significant nitrogen-based heterocycles studied extensively for drug and material synthesis.[1] Recent research aims to develop metal-free methods, including organocatalytic [3+2]-cycloaddition, for functionalized 1,2,3-triazole synthesis.[2] Designing an efficient and environmentally friendly synthesis using accessible substrates remains a challenge in organic chemistry. To date, organocatalytic synthesis of 1,2,3-triazolyl-pyridines has not been explored. This study focuses on synthesizing 1,5-diaryl-1H-1,2,3-triazolyl pyridines 3 via the reaction of α-2-pyridinyl-acetophenones 1 with aryl azides 2, utilizing DBU as an organocatalyst (Scheme 1).



Scheme 1. General scheme of the reaction.

Scheme 1 outlines the optimal synthesis conditions for 1,5-diaryl-1H-1,2,3-triazolyl pyridines 3: α-2-pyridinyl-acetophenones 1 (0.3 mmol), aryl azides 2 (0.375 mmol), and DBU (5 mol%) in DMSO (0.5 mL), stirred at 50°C for 24 hours under ambient air. This method yielded various 1,2,3-triazolyl-pyridines with yields ranging from 7% to 93%. Notably, the reaction demonstrated excellent tolerance to diverse electron-donating and -withdrawing groups on the aromatic ring, underscoring its potential utility in organic synthesis.

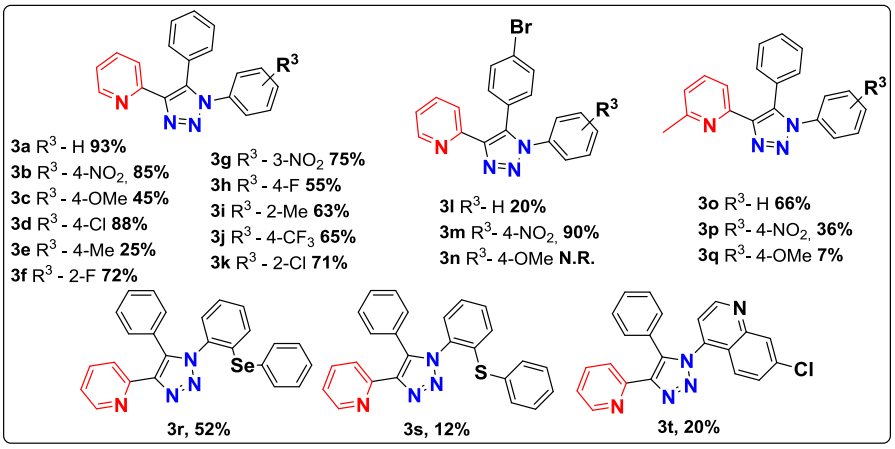


Figure 1. Synthesis of 1,5-diaryl-1*H*-1,2,3-triazolyl pyridines 3a-t.

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REFERENCES

(1) Alves, D.; Goldani, B.; Lenardão, E. J.; Perin, G.; Schumacher, R. F.; Paixão, M. W. *Chem. Rec.* **2018**, *18*, 527. (2) Anebouselvy, K.; Ramachary, B. D. *Synthesis of Substituted 1,2,3-Triazoles through Organocatalysis. In Click Reactions in Organic Synthesis*, S. Chandrasekaran (Ed.), Wiley-VCH, **2016**.