

Synthesis of [1,2,3]triazolo[1,5-a]quinolines promoted by organocatalyst and base

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Keywords: Organocatalysis, 1,2,3-triazole, quinoline.

ABSTRACT

Here, we present a one-pot sequential method for the synthesis of fused [1,2,3]triazolo[1,5-a]quinolines through successive cyclization and condensation. In this synthetic strategy, the intermolecular [3+2]-cycloaddition occurs between 1,3-dicarbonyl compounds and *o*-carbonyl-substituted phenylazide compounds, for the formation of the 1,2,3-triazole intermediates. Subsequently, an intramolecular condensation reaction generates the fused quinoline ring by the new C–C bond formation.

β -keto esters and 1,3-diketones were efficiently reacted in the presence of 20 mol% of 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU) as catalyst in DMSO at 120 °C for 24 h, obtaining the target products (27 examples) generally in good yields (5-92%). Posteriorly, we adapted the protocol to synthesize secondary and tertiary [1,2,3]triazolo[1,5-a]quinoline 3-carboxamides in good yields (48-96 %) applying β -keto amides as starting material through a two-step synthetic strategy. The first step uses organocatalysis (10 mol% of diethylamine or DBU), while the second step uses inorganic base (1.2 or 0.1 equiv. of KOH) in short time of reaction (Figure 1).

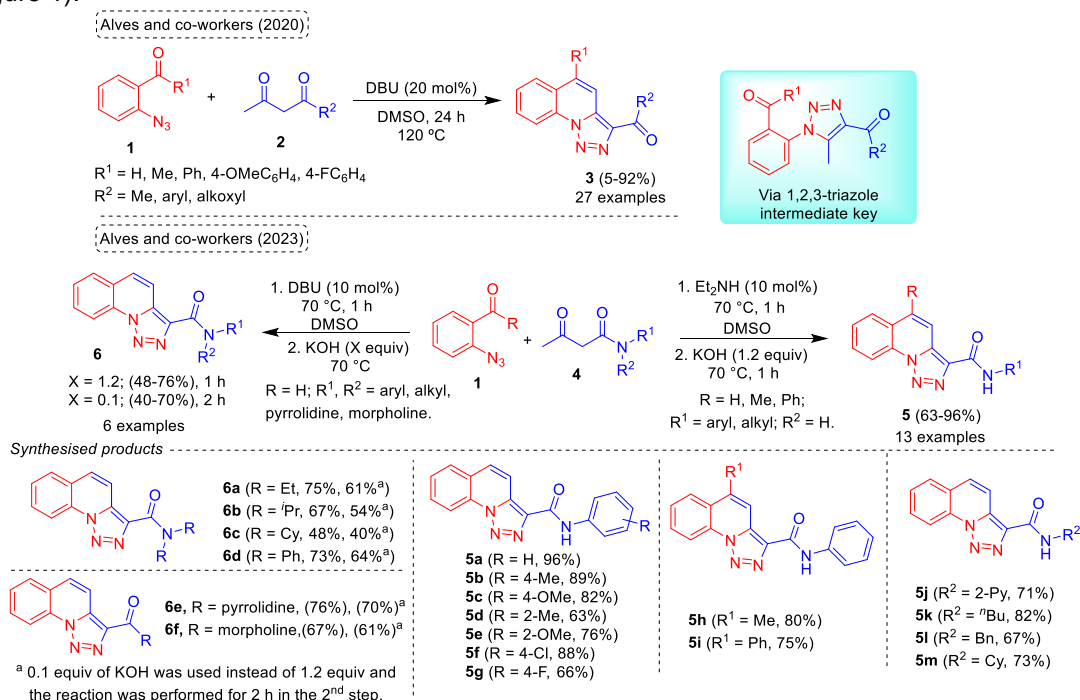


Figure 1

ACKNOWLEDGEMENTS

The authors are grateful for the financial support and scholarships from the Brazilian agencies CNPq (Grants 409782/2018-1 and 308015/2019-3) and FAPERGS (PRONEM 16/2551-0000240-1). CNPq is also acknowledged for the fellowship for D.A.. This study was partially financed by CAPES-Finance Code 001.

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