SEPTEMBER 23-27 2024
19BMOS
BRAZILIAN MEETING ON ORGANIC SYNTHESIS
BENTO GONÇALVES, RS - BRAZIL

4-acyl-1,2,3-triazoles as a platform to synthesize fused quinolone-triazole hybrids through oxidative C−H Amination

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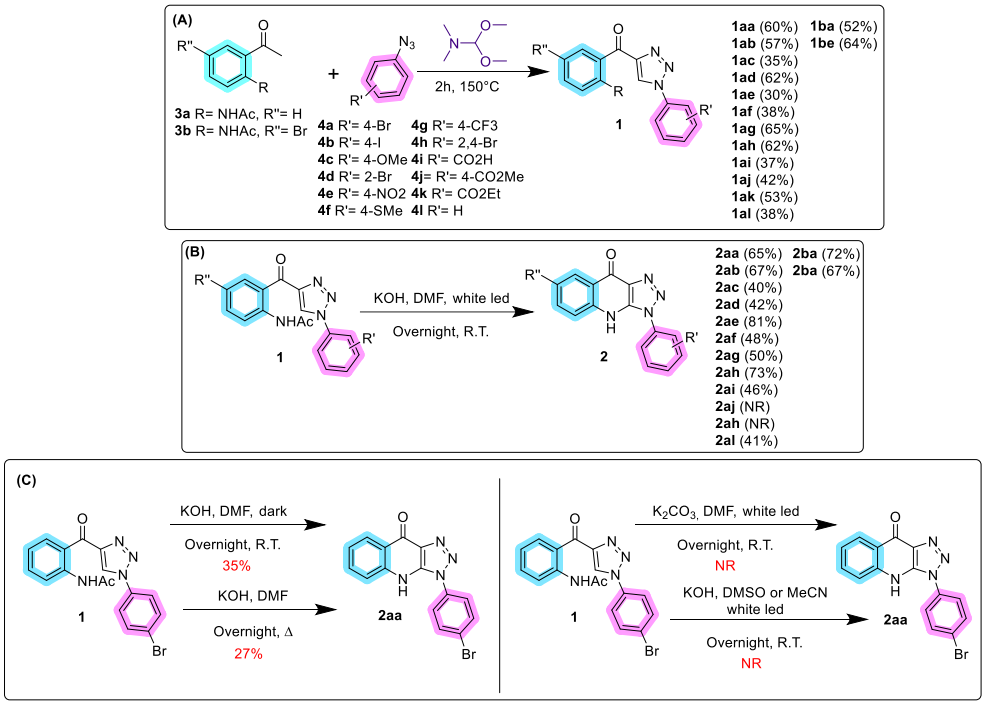
Keywords: *4-Acyl-1,2,3-Triazoles, Quinolene, fused quinolone-triazole, Oxidative C−H Amination*

ABSTRACT

Quinolones are essential in medicinal chemistry, present in many drugs.[1] Combining these structures with triazoles offers a promising blend of simplicity and effectiveness. Our research developed straightforward methods to synthesize 4-acyl-1,2,3-triazole derivatives (**1**).[2] Building on this, we explored cyclization methodologies to form C-N bonds, aiming for new fused quinolone-triazole hybrids (**2**) (Scheme 1a). Triazoles were synthesized in one step without solvents or metals by reacting acetophenone and aryl azide in DMA-DMF (Scheme 1b). After optimizing the conditions, compound **3** was obtained through Base-Mediated Intramolecular Oxidative C−H Amination using triazole **1aa**, KOH, and visible light, yielding **2a** in 65%. Various substitutions yielded 12 new compounds with yields up to 81%. Despite some limitations, control reactions were conducted to understand the pathway, resulting in an innovative methodology, the first report of this reaction in triazoles, allowing for the synthesis of new fused quinolone-triazole hybrids with good yields. good yields.



Scheme 1: (a) Solvent and metal-free synthesis of 4-acyl-1,2,3-triazoles; (b) Base-Mediated Intramolecular oxidative C−H Amination to obtain fused quinolone-triazoles; (c) control experiments.

ACKNOWLEDGEMENTS

The authors would like to thank CAPES, CNPq and FAPERJ for the financial support. Also, we link to thank PUC-Rio and CALPH for the structure that made the work possible.

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