

Base mediated divergent intramolecular cyclization of β -enamino diketones: a diversity-oriented synthesis of *N*-heterocycles

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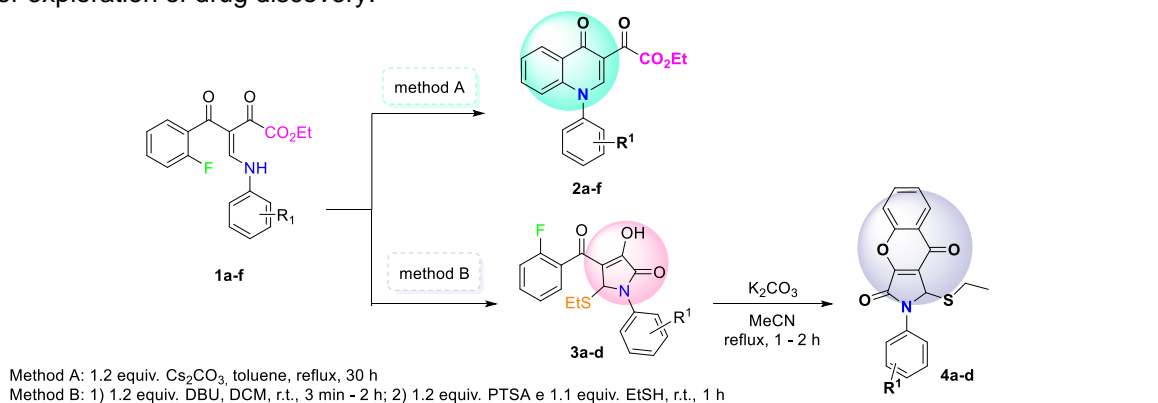
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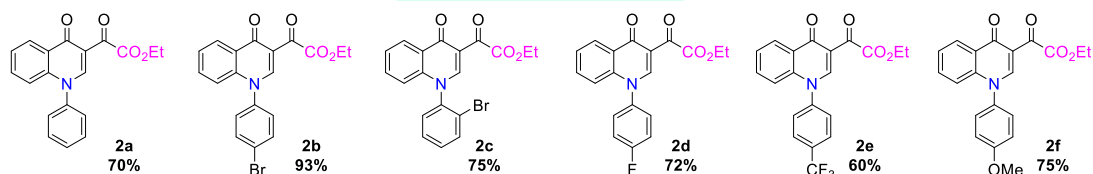
Keywords: regioselective, diversity-oriented synthesis, β -enamino diketone

ABSTRACT

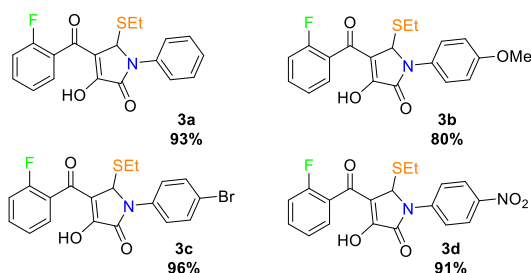
This work describes the diversity-oriented synthesis of quinolin-4(1*H*)-one vs. pyrrol-2(1*H*)-one or chromeno[2,3-*c*]pyrrole-3,9-dione derivatives through base-mediated intramolecular cyclization of β -enamino diketones. A series of 3-acetyl-1-phenylquinolin-4(1*H*)-ones **2** was prepared using Cs₂CO₃ as a promoter of the intramolecular nucleophilic aromatic substitution of β -enamino diketone **1** in toluene at reflux. In contrast, starting material **1** in DCM at room temperature in the presence of DBU¹ gave the corresponding pyrrol-2(1*H*)-one **3** via intramolecular nucleophilic acyl substitution and a sequential reaction with ethanethiol. In addition, the intramolecular annulation of **3** using K₂CO₃ in MeCN at reflux provides a fascinating fused chromeno[2,3-*c*]pyrrole-3,9-dione **4**. This diversification process provides access to an expanded chemical space for the further exploration of drug discovery.



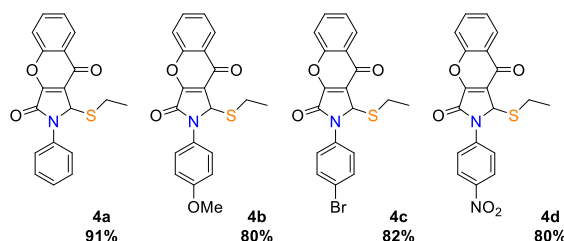
3-acetyl-1-phenylquinolin-4(1*H*)-ones



pyrrol-2(1*H*)-one



chromeno[2,3-*c*]pyrrole-3,9-dione



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REFERENCES

(1) Poletto, J.; et al. Regiodivergent Synthesis of 3,4- and 4,5-Disubstituted *N*-Methylpyrazoles from 4-Acyl-1*H*-pyrrole-2,3-dione and Methylhydrazine. *J. Org. Chem.* **2022**, *87*, 8544.