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Photocatalytic synthesis of Δ^1-pyrrolines under continuous flow conditions

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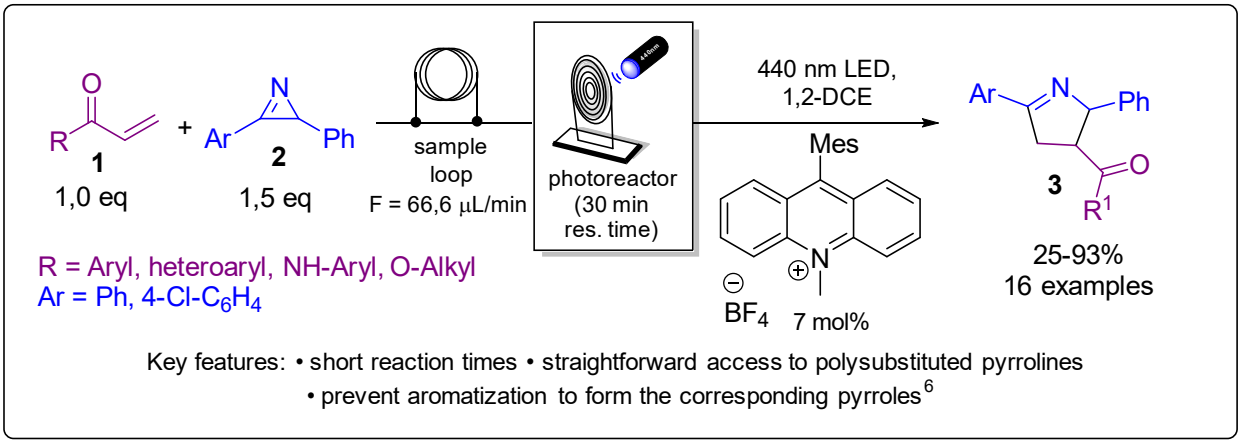
ABSTRACT

Five-membered *N*-heterocycles are ubiquitous substructures in drugs and biologically active natural products.[1] With the resurgence of organic photochemistry[2] and the recent development of flow chemistry[3], there is a growing interest in developing methods combining these technologies, since flow chemistry can enhance the efficiency and scalability of photocatalytic reactions.[4] The inherent reactivity of three-membered rings makes them a valuable building block for the synthesis of other heterocyclic motifs of biological relevance.[5] In this sense, herein, we report a continuous flow photocatalyzed formal (3+2) cycloaddition of *2H*-azirines **2** and enones **1** to afford Δ^1-pyrrolines **3** (Scheme 1).



Scheme 1. Photocatalytic formal (3+2) cycloaddition of *2H*-azirines and enones.

Several conditions, starting materials, and catalysts were screened, and the best combination is displayed in Scheme 1. Up to this point, 16 examples of Δ^1-pirrolines **3** have been prepared with yields ranging from 25 to 93%. Studies to further evaluate the scope and limitations of this method are underway.

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