Visible-Light-Induced Carboamination of Styrenes with Carboxylic Acids and (Diarylmethylene)aminobenziodoxolones

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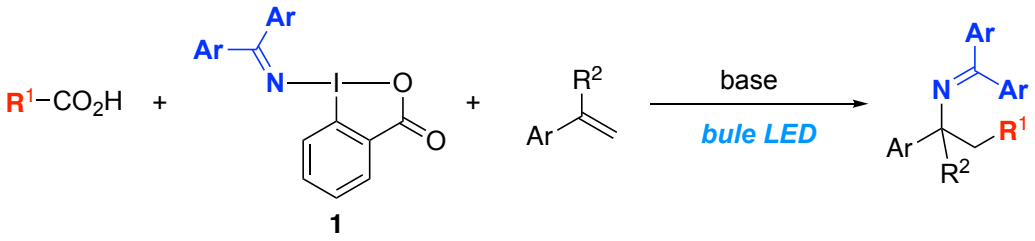
Amines are an important class of compounds that have wide applications in organic synthesis, medicinal chemistry, and material science. An intermolecular carboamination of alkenes that enables the simultaneous construction of C−C and C−N bonds is one of the most attractive strategies for the rapid synthesis of complex amines. Although a radical carboamination using readily available carboxylic acids as a carbon radical precursor would be a useful method, existing methods require the pre-preparation of carboxylic acid derivatives such as redox-active esters, diacyl peroxides, and oxime esters.[1,2] Carboxylic acids have never been used directly as a carbon radical precursor for carboamination.

We recently reported the synthesis of (diarylmethylene)aminobenziodoxolones (**1**) and their application in the radical amination of silyl ketene acetals.[3] In this reaction, the iodine reagent **1** was found to function both as a single-electron oxidant and an iminyl radical source. In addition, we also found that **1** can function as a photoexcited oxidant under the irradiation of visible-light[4] and enables single-electron oxidation of carboxylates to generate an alkyl radical species. Based on these findings, we have achieved the carboamination of styrenes using carboxylic acids and **1** in the presence of a base under irradiation of blue LEDs. This method allows the use of various styrene derivatives and aliphatic carboxylic acids generating primary, secondary, and tertiary alkyl radicals, leading to a wide range of complex amines. In addition, (diarylmethylene)amino groups in the products could be easily transformed by acid hydrolysis and hydride reduction, providing the corresponding primary amines and (diarylmethyl)amines, respectively.



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