The title: Formation of quinoline skeleton from chalcone: The effect of amino protective group to the reactivity of 2-aminochalcones

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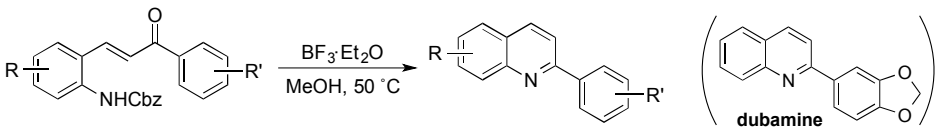
A number of natural products and drugs with quinoline skeleton have useful bioactivities such as antibacterial, anticancer and so on. Many synthetic methods for quinoline syntheses have been reported, and various substrates were employed for the precursor of quinolines. In the case of non-protected 2-aminochalcone as a starting material,[1,2)] it is necessary to isomerize olefin geometry from *E* to *Z* for the reaction progress. The reaction conditions such as *hv*[1)] or NIS,[2)] therefore, were required for isomerization of the olefin in situ in addition to cyclization.

During the study for the reactivity of 2-aminochalcones, we found that the protecting group on amino function significantly affected the reactivity of 2-amoinochalcone and *N*-Cbz-2-aminochalcones were cyclized to give the corresponding quinoline by treatment with BF_3•Et_2O. Other *N*-protected 2-aminochalcone was not suitable for this reaction, although *N*-$COCF_3$ 2-aminochalcones were effective for the formation of indole ring via the rearrangement reaction by hypervalent iodine reagent.

The substrates bearing electron-donating or withdrawing substituents on aromatic ring successfully underwent the cyclization reaction to give the quinolines under the conditions. This method was applicable to the synthesis of dubamine, which was isolated from plant and has antibacterial activity.



1) T. Horaguchi *et al*. *J. Heterocyclic Chem*. **2002**, *39*, 61.

2) K. Okuma *et al*. *Bull. Chem. Soc. Jpn*. **2007**, *80*, 1824.