Pot Economical Synthesis of Biologically Active Molecules using Organocatalyst

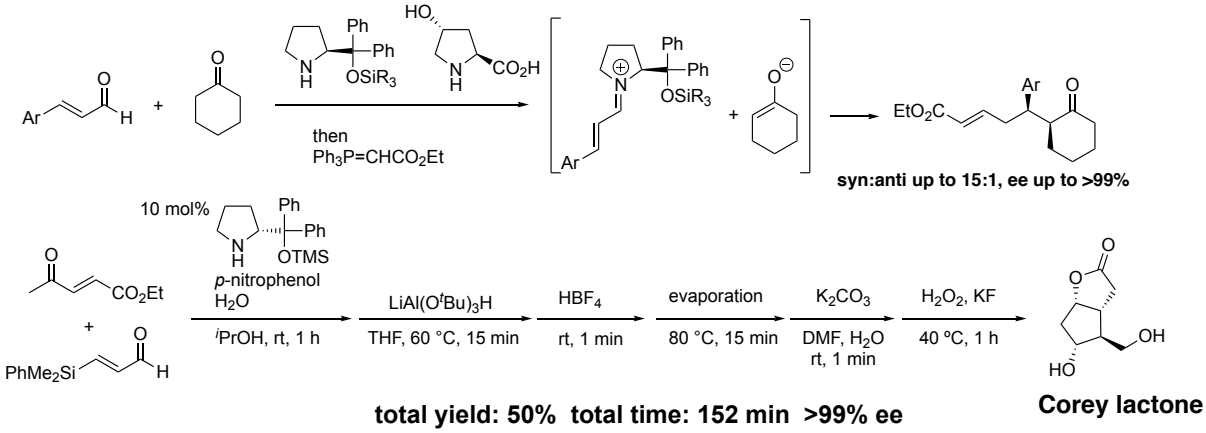
Yujiro Hayashi
Department of Chemistry, Graduate School of Science, Tohoku University, Sendai, Japan
(e-mail: yujiro.hayashi.b7@tohoku.ac.jp)

One-pot operations are an effective method for both carrying out several transformations and forming several bonds in a single-pot, while at the same time cutting out several purifications, minimizing chemical waste generation, and saving time. Thus, a one-pot reaction can be not only efficient, but also green and environmentally friendly, and "pot-economy" should be considered in planning a synthesis.[1]

On the other hand, organocatalyst is an effective catalyst to carry out several reactions in a same vessel. Our group[2] and Jørgensen's group[3] independently discovered that diphenylprolinol silyl ether is an effective organocatalyst in the reaction involving enamine and iminium ion as reactive intermediates. We have been investigating the application of this organocatalyst to the one-pot synthesis of biologically active molecules.

We have accomplished "one-pot" and 152 minutes total synthesis of Corey lactone,[4] in which a key reaction is a Michael reaction of ketone and α,β-unsaturated aldehyde. We also accomplished a five pot synthesis of (–)-quinine.[5]

In the presentation, not only the syntheses of Corey lactone and quinine, but also the reaction mechanism of asymmetric Michael reaction of ketone and α,β-unsaturated aldehyde catalyzed by similar two amine catalysts such as diphenylprolinol silyl ether and 4-hydroxyproline will be described.[6]



Scheme: One-pot 152 minutes synthesis of Corey lactone

References

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