Asymmetric Diels-Alder reaction of anthrones with dienophiles using a basic amino alcohol organocatalyst

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Asymmetric Diels-Alder (DA) reaction of anthrones with dienophiles using a basic organocatalyst is a useful reaction for the construction of optically active cage hydroanthracenes. The cage compounds could be easily converted to chiral \Box, \Box -unsaturated lactams, which are useful synthetic intermediate for many biologically active compounds.

Chiral primary amino $alcohol^1$ organocatalysts **A** bearing silyl group at \Box -position were designed and synthesized as new organocatalysts for the enantioselective DA reactions of anthrones **1** with maleimides **2** to produce chiral hydroanthracene DA adducts **3**.

We found that chiral primary amino alcohol organocatalysts **A** showed superior catalytic activity in DA reaction for affording high optically active hydroanthracenes **3** in excellent chemical yields (up to 99%) with high enantioselectivetivities (up to 94% ee). This work will be presented and discussed in detail.



(a) Y. Sakuta, Y. Kohari, N. D. M. Romauli Hutabarat, K. Uwai, E. Kwon, Y. Okuyama, C. Seki, H. Matsuyama, N. Takano, M. Tokiwa, M. Takeshita, H. Nakano, *Heterocycles*, **2012**, *86*, 1379. (b) Y. Kohari, Y. Okuyama, E. Kwon, T. Furuyama, N. Kobayashi, T. Otuki, J. Kumagai, C. Seki, K. Uwai, G. Dai, T. Iwasa, H. Nakano, *J. Org. Chem.*, **2014**, *79*, 9500.