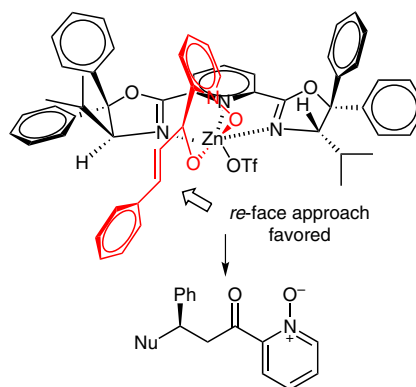
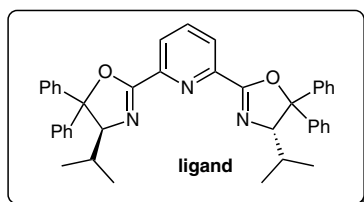
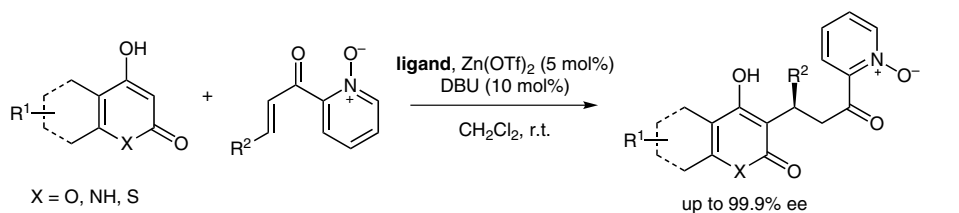


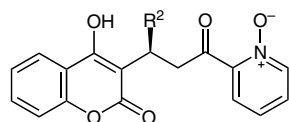
S. K. RAY, P. K. SINGH, N. MOLLETI, V. K. SINGH* (INDIAN INSTITUTE OF TECHNOLOGY KANPUR AND INDIAN INSTITUTE OF SCIENCE EDUCATION AND RESEARCH BHOPAL, INDIA)

Enantioselective Synthesis of Coumarin Derivatives by PYBOX–DIPH–Zn(II) Complex Catalyzed Michael Reaction
J. Org. Chem. **2012**, *77*, 8802–8808.

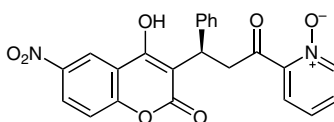
Zinc-Catalyzed Synthesis of Coumarin Derivatives by Asymmetric Michael Reaction



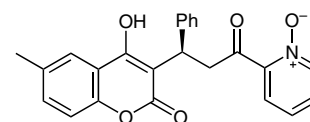
Selected examples:



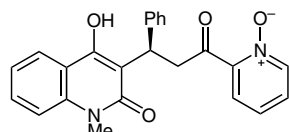
R² = Ph 99% yield, 88% ee
R² = 2-O₂NC₆H₄ 95% yield, 91% ee
R² = 1-Naph 95% yield, 53% ee



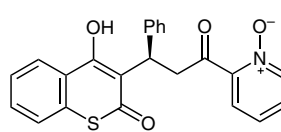
97% yield, 85% ee



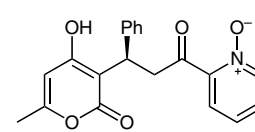
93% yield, 80% ee



93% yield, 97% ee



87% yield, 70% ee



90% yield, 96% ee

Significance: Coumarin derivatives are a broad class of biologically interesting molecules. The zinc-catalyzed system presented provides an efficient access to the direct precursors of such compounds with excellent yield (up to 99%) and enantioselectivity (up to 97%).

Comment: The authors report a PYBOX–DIPH–Zn(II) catalyzed asymmetric Michael reaction and its successful application in the synthesis of coumarin derivatives. This method can tolerate a wide range of cyclic 1,3-dicarbonyl compounds. The resulting products can be easily converted into bioactive molecules such as warfarin and acenocoumarol without loss of enantiopurity.

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