Asymmetric Transfer Hydrogenation of α-Aryloxy-β-Ketoesters: Expeditious Approach to the Biologically Active Lignins Sharing Vicinal Stereocenters

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Abstract:
Lignans (**1-5**) are widely distributed secondary metabolites containing two phenylpropane units. It has been used in traditional Chinese medicine as an antipyretic, diuretic, and *anti*-inflammatory[1a] agent to treat diseases such as edema, jaundice, and gonorrhea.[1a] Few congeners of this family have shown inhibitory activity against high-glucose induced reactive oxygen species (*ROS*) production in renal cells,[1b] neuroprotective activity,[1b] *anti*-Alzheimer's effects,[1c] and *anti*-hyperglycemic activity.[1c] Therefore, there is growing interest for synthetic approaches to dineolignans. In the literature two elegant approaches are reported for **1a-b**, one by Hanessian[2a] and another by Dewhirst.[2b]

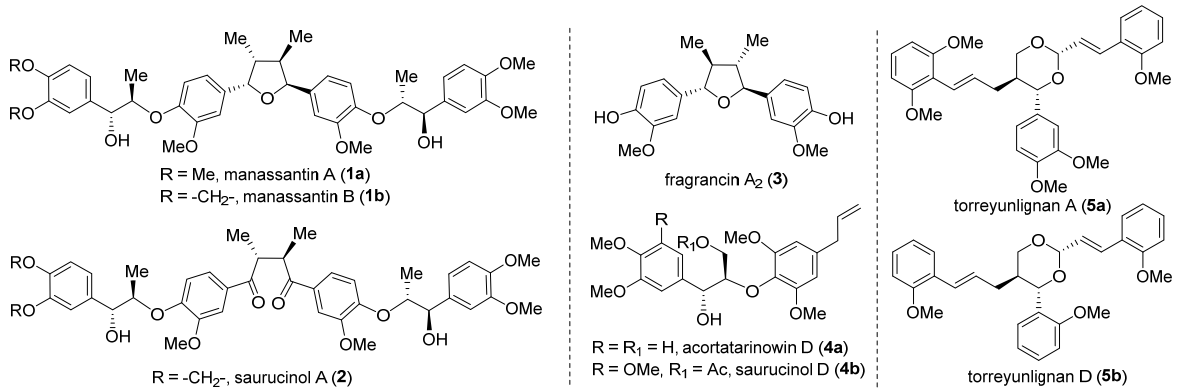
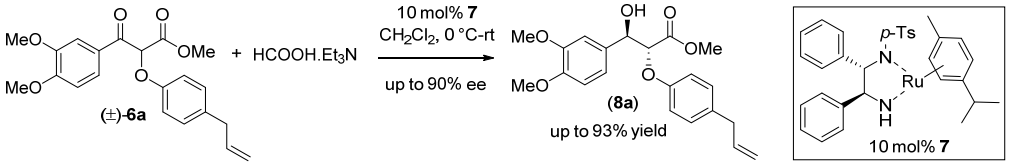


Figure 1. Selected bioactive dineolignans.

In this poster, I would like to discuss an efficient divergent synthetic strategy for the synthesis of lignans via a Dynamic Kinetic Asymmetric Transformation (*DYKAT*) following key Ru(II)-catalyzed enantioselective asymmetric transfer hydrogenation (*ATH*) (Scheme 1). This reaction sets vicinal stereocenter required for these secondary metabolites (Scheme 1).



Scheme 1. Ru(II)-catalyzed DYKAT via asymmetric transfer hydrogenation (*ATH*).

References and Notes:

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2. (a) Hanessian, S.; Reddy, G. J.; Chahal, N. *Org. Lett.* **2006**, *8*, 5477. (b) Kim, H.; Kasper, A. C.; Moon, E. J.; Park, Y.; Wooten, C. M.; Dewhirst, M. W.; Hong, J. *Org. Lett.* **2009**, *11*, 89.
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