Inter-IISER Chemistry Meet (IICM 2017)

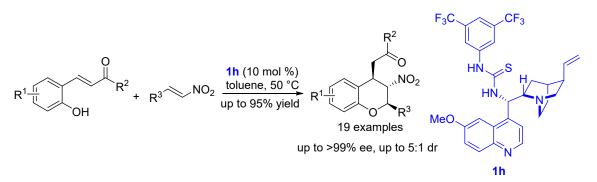
Construction of Enantioenriched Chromans via Tandem Michael/Michael Strategy with Bifunctional Organocatalyst

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Abstract:

Chiral chromans represent an important class of heterocycles which are found in many natural products and biologically active compounds. For example, Centchroman is one of the selective estrogen receptors and this compound is primarily used as oral contraceptive pills. Significant efforts have been made by several scientific groups for the enantioselective synthesis of chiral chroman derivatives. However, there was no report for asymmetric synthesis of Chromans using a tandem Oxa-Michael-Michael reaction with bifunctional thiourea organocatalyst. Thus, an enantioselective synthesis of chiral chroman derivatives *via* double Michael cascade reaction was developed in our group using bifunctional thiourea organocatalyst.



Scheme: Enantioselective Synthesis of Highly Substituted Chromans via Oxa-Michael-Michael Cascade Reaction with Bifunctional Organocatalyst.

Highly functionalized chromans were obtained in excellent enantioselectivities(up to >99%), good yields(up to 95%) and moderate diastereoselectivities(up to 5:1). The synthetic utility of our methodology was demonstrated by transforming the chroman derivatives to ring fused tricyclic chroman derivatives.

References and Notes:

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