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Asymmetric Catalysis: Resin-Bound Hydroxyprolylthreonine Derivatives in Enamine-Mediated Reactions *Angew. Chem. Int. Ed.* **2008**, *47*, 6407-6410.

Resin-Bound Pyrrolidine Catalysts for Enamine-Mediated Reactions



Significance: Tentagel-bound asymmetric pyrrolidine catalysts 1 and 2 are reported for the preparation of optically active chromanones 5. The catalysts mediated the asymmetric tandem aldol– Michael reactions with high enantioselectivity and complete diastereoselectivity. Thus, the reaction of 3 and cycloalkanones (4 and 6) was carried out with 1 in MeOH. The reaction mixture was then heated under microwave irradiation to give 5a–h in 71–90% yield with up to 97% ee (8 examples). The reaction with 2 under similar conditions afforded *ent*-5b–g and 5h in 77–94% yield with up to 99% ee (7 examples).

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Comment: The polymeric catalysts were also effective for kinetic resolution of racemic 3-methylcycloalkanones **4** with **3** (2 equiv) to give the unreacted 3-methylcycloalkanone in 35–43% yield with 95–99% ee. Enantioselective aldol, Michael, and Robinson annulations, as well as Mannich reactions with catalysts **1** and **2** are also described. Category

Polymer-Supported Synthesis

Key words

organocatalysis

pyrrolidine catalyst

asymmetric catalysis

enamine-mediated reaction



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