

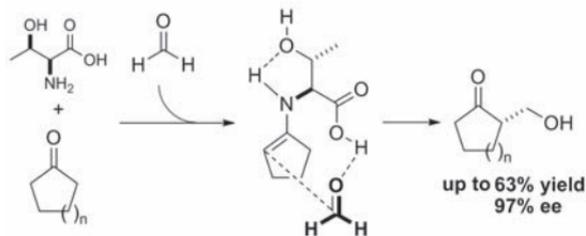
S39-1 Enantioselective direct carbon-carbon bond forming reactions by use of aldolase-type organocatalyst

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In organocatalytic aldol and/or Michael reactions with 5-membered ring substrates reactivity and enantioselectivity have been insufficient. We found that L-threonine efficiently catalyzed the direct aldol reaction and afforded the desired α -(hydroxymethyl)cycloalkanones with high enantiomeric excess. The stabilized iminium intermediate by intramolecular hydrogen bonding is tautomerized to the enamine intermediate, which reacts with formaldehyde through the enamine-based aldol transition state. In Michael reaction of cyclopentenone with dialkyl malonate high yield and enantioselectivity were observed through probably proton network between iminium cation intermediate, methanol, and malonate donor. Recent development of direct asymmetric reactions that can be performed in water, brine, and seawater without addition of organic solvents will be reported.

Aldol reaction of cycloalkanones



Michael reaction of cyclopentenone

