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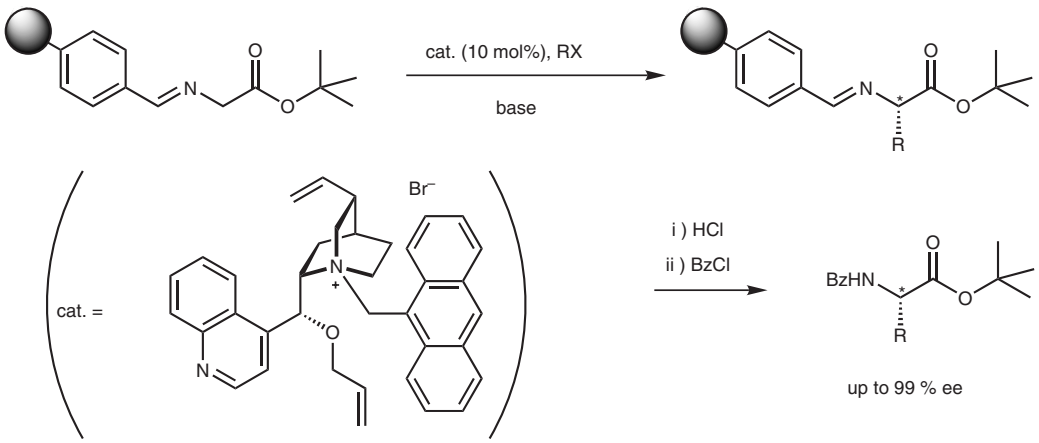
Highly Enantioselective Phase-Transfer Catalytic Alkylation in the Preparation of Non-Natural α-Amino Acids via Solid Phase Synthesis Using Aldimine Linker

J. Org. Chem. **2005**, *70*, 1904-1906.

Asymmetric PTC for Preparation of α-Amino Acids



Significance: A polystyrene resin-bound phenylmethyleneglycinimine *tert*-butyl ester was prepared from a Merrifield resin in two steps. The polymer-bound glycinimine was alkylated with alkyl halides (e.g., hexyl bromide, allyl bromide, propargyl bromide, benzyl bromide, etc.) in the presence of 10 mol% of a *cinchona*-derived phase transfer catalyst and 50% aqueous CsOH in toluene/chloroform at 0 °C, for four days to give the corresponding non-natural α-amino acids in 50–82% yields with up to 99% ee. Eleven examples of the alkylation are shown.

Comment: This method is very useful to construct the chiral non-natural α-amino acids library for combinatorial synthesis.

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Synfacts 2005, 0, 0085-0085
DOI: 10.1055/s-2005-869889; **Reg-No.:** Y01105SF