Diastereoselectivity in the Synthesis of Unnatural α-Amino Acid Esters by Phase Transfer Catalysis

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Two unnatural α-amino acid esters were prepared in good yields *via* phase transfer catalyzed Michael addition of ethyl N-acetylaminocyanoacetate to chalcone and benzalketone. For both α-enones, a progressive increase in product diastereomeric excess (d.e.) was observed during the course of reaction, even in the absence of quaternary ammonium salt. However, for a fixed reaction time, higher d.e. values were obtained under phase transfer catalytic condition. Analogous reactions were performed using S-aryl thiocinnamates as Michael acceptors, affording a 2-pyrrolidinone in good yield but low d.e. These results were interpreted on the basis of the reversibility of the Michael reaction.

Key words: Phase Transfer Catalysis, Unnatural α-Amino Acid, Diastereoselectivity