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Reproductive alkylative decarboxylation of amino acids with the retention of the optical activity; New approach to α-amino compounds

Highly stereoselective synthesis of α-amino compounds via radical process is presented. α-Amino compounds are important to modify the peptide backbone by replacing amino end of the peptide. Also α-amino compounds are important intermediates for the synthesis of N- containing pharmacologically active compounds.

Synthesis of α-amino compounds via reductive and alkylative decarboxylation of isoindolinone derivative of phenylalanine and L-serin is presented. The synthesis via acyclic system derived from phenylalanine was not stereoselective while the synthesis via cyclic system derived from phenylalanine was not stereoselective while the synthesis via cyclic system derived from L-serin was highly selective. The nature of the radical traps has a strong influence on the stereo chemical outcome of the reactions. Nuclephilic radical traps such as Bu_3SnD showed *exo* selectivity while electrophilic radical traps such as [2-(methoxycarbonyl) propenyltributylstannane showed *endo* selectivity. The stereo electronic factor of the tricyclic. Enhancement of the diastereoselectivity with the temperature was observed for both the radical allylation and radical deuterization. The entropy of the activation plays the role for this stereo chemical outcome at higher temperature.