

Samarium diiodide induced cyclizations of γ -, δ - and ϵ -indolyl ketones: reductive coupling, intermolecular trapping, and subsequent transformations of indolines.

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Abstract

This comprehensive study describes our results of samarium diiodide induced 5-exo-trig to 8-exo-trig cyclization/alkylation sequences of 3'-acceptor-substituted indolyl ketones. All cyclization precursors were easily prepared by simple N-alkylation or N-acylation of indole derivatives with the corresponding iodo alkanones, acid chlorides, or lactones. After treatment of indolyl ketones with two equivalents of SmI_2 , the generated stabilized carbanionic intermediates were trapped with different electrophiles leading to a variety of highly substituted indoline derivatives in good to very good yields. In general, the cyclization products were obtained as single diastereomers bearing a newly generated quaternary center, a common structural motif in various indole alkaloids. The relative configurations of the products were established by NOE experiments and by single-crystal analysis and follow the rules already established. Furthermore, the obtained products were subjected to a series of chemical transformations, such as oxidation, reduction, and metathesis reactions resulting in a range of interesting synthetic building blocks valuable for further

applications.

Involved units

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