Asymmetric α-arylation of carbonyl compounds with chiral diaryliodonium salts

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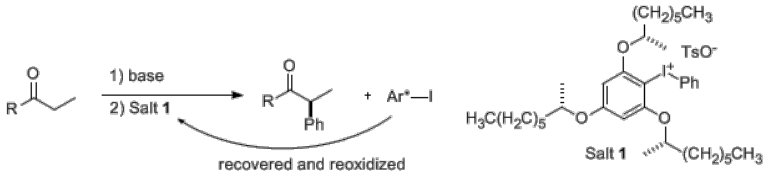
Nazli Jalalian, nazli@organ.su.se and Berit Olofsson, berit@organ.su.se. Department of Organic Chemistry, Stockholm University, Arrhenius laboratory, SE-106 91, Stockholm, Sweden

α-Arylated ketones are abundant substructures in natural products and pharmaceutically active compounds, and can easily be derivatized to the corresponding alcohols or amines. Although α-alkylations of enolates have been thoroughly investigated, direct arylation reactions of enolates have been reported only recently, employing Pd catalysis with excess base and prolonged heating. Chiral, unsymmetric diaryliodonium salts have been synthesized from an enantiopure, electronrich arene. The use of these salts in asymmetric α-arylations of ketones leads to selective transfer of the phenyl group, with the electron rich moiety group behaving as chiral ligand. As the formed aryl iodide can easily be recovered and reoxidized to iodine(III), this approach combines the benefits of chiral auxiliaries (covalent attachment of the chiral group often gives high asymmetric induction) and chiral reagents/ catalysts (no extra steps required for attachment/ cleavage).



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