Environmental chemistry 2020 -Citric acid Mediated One-pot Regioselective Synthesis of N-Alkylated Indazoles: An Efficient Green Strategy: Trivikram Reddy G1- Yogi Vemana University

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Abstract

Citric acid mediated green synthetic route has been demonstrated for the regioselective synthesis of N-alkylated indazoles in good to excellent isolated yields (\sim 78-96%) from readily available starting materials 2-methylanilines ,NaNO2 and ethyl chloroacetate via diazotization, intra molecular cyclization and followed by N-alkylation in the presence of 1:1 ratio of ethanol and water in one-pot. Notably, if the substrate (1) contains - NO2 group and is reduced to - NH2 in the presence of Fe, CaCl2 in the same pot at 60-65°C for 30-40 min. The remarkable advantages of this method include cleaner reaction profile, easy to perform, high yields of products and simple work-up procedure. Besides, the reaction is step-and atom-economic and is carried out in green catalytic medium. Keywords: Citric acid; o-Toluidines; Ethyl chloroacetate; Regioselectivity; N-Alkylated Indazoles. Heterocyclic ring systems are frequently found in numerous naturally occurring compounds and they compose the core structures of many biologically active motifs as well as some industrial compounds.

diseases with high mortality rates, cancer is considered one of Among them, indazoles represent an important class of nitrogen containing heterocycles and this nucleus is of great current interest as partial structure in many synthetic drugs or drug candidates with a broad range of pharmacological activities including anti-HIV], anti-inflammatory, anti-tumor anti-depressant, analgesic and antipyretic [,anti-leukemia], anticonvulsant activity ,anti-cancer ,anti-arthritic ,anthelmintic and anti-diabetes]. Indazole moiety is present only in three natural products such as Nigellicine, Nigeglanine and Nigellidine indicates their rare presence in nature.



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