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A facile synthesis of 2H-indazoles under neat conditions and further transformation into aza-γ-carboline alkaloid analogues in a tandem one-pot fashion

Duddu S Sharada, Shinde Vidyacharan and Arepally Sagar
Indian Institute of Technology Hyderabad, India

Heterocycles are widely distributed in natural compounds and have vast applications. Among them, nitrogen-containing heterocycles play a key role as alkaloids in plant kingdom and have biological significance. Thus, there is a need for developing facile, efficient and nonpolluting synthetic procedures to build novel heterocycles reducing the use of organic solvents and toxic reagents. Nowadays every synthetic chemist is finding a way to develop reaction in greener way. Indazoles being N-heterocycles are gaining a lot of importance in the field of medicinal and organic chemistry as they exhibit a broad spectrum of pharmacological and biological activities. 2H-indazoles are widely used in pharmacy sector than 1H-indazoles due to their potent bioactivities like anti-tumor, anti-microbial, anti-inflammatory, HIV protease inhibition etc. For example, drugs like MK-4827 (anticancer) and pazopanib (tyrosine kinase inhibitor), incorporate this basic scaffold. A number of synthetic methods have been reported in the literature to build indazole scaffolds, however, selective synthesis of 2H-indazoles is difficult. Hence, considering the potent bioactivities of compounds possessing a 2H-indazole core and in continuation of our interest in developing novel heterocyclic compounds under catalyst-free and solvent-free conditions (*CFR* & SFR), herein, for the first time a facile and green protocol has been developed for the synthesis of 2H-indazoles. The key features of this methodology are operational simplicity, no purifications, excellent product yields and environmental friendliness. We further developed an expedient one-pot protocol for C-C bond formation at C-3 position of indazole via Pictet-Spengler strategy leading to Indazolo quinoxaline from arylazide. Thus, this CFR & SFR, one-pot methodology should prove useful for facile synthesis of such scaffolds on industrial scale.

Biography

The primary research interest of Dr. D. S. Sharada involves development of new synthetic methodologies, with main focus on multicomponent reactions (MCRs) for the expedient creation of chemical libraries of drug-like compounds with complexity and diversity. She is also involved in developing new and environmentally compatible methods for the formation of C-C and C-heteroatom bonds through Cross-Dehydrogenating-Coupling (CDC) strategies. At present she is guiding six PhD students.

sharada@iith.ac.in