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Chapter

Eco-Friendly, Green Approach for Synthesis of Bio-Active Novel 3-Aminoindazole Derivatives

Chandrashekhhar Devkate, Satish Kola, Mohammad Idrees, Naqui J. Siddiqui and Roshan D. Nasare

Abstract

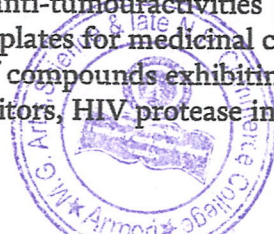
In present chapter we have reported green and highly efficient method for synthesize novel series of substituted -1H-indazol-3-amine derivative (3a-h) by cyclocondensation reaction of substituted benzonitrile (1a-h) and substituted Hydrazine (2a-h) using ceric (IV) ammonium nitrate (CAN) as a catalyst, EtOH-H₂O as a ecofriendly media and reaction was carried out under ultrasound irradiation green method. The structures of newly synthesized indazole derivative (3a-h) were corroborated through spectral investigation such as elemental analysis and spectral studies like IR, C¹³ NMR, Mass spectra and ¹H NMR. The compounds were assessed for their in-vitro antimicrobial activity with pathogenic microbe comprising Gram positive bacterial strains, *S. aureus* and Gram negative strains *E.coli*, *P.vulgaris*, and *S. typhi* at different concentration. The consequence of bioassay is compared with standard drug Chloramphenicol.

Keywords: indazol, ceric (IV) ammonium nitrate catalyst, ultrasound irradiation, ecofriendly media, antimicrobial screening

1. Introduction

Indazole was first defined as a “pyrazole ring fused with the benzene ring” by the scientist Emil Fisher. It is broadly studied due to its remarkable chemical and biological properties. Indazole is from the azoles family containing carbon, hydrogen and nitrogen atoms. Indazole also called as benzpyrazole or isoindazolone which containing two nitrogen atoms. It is ten π -electron aromatic heterocyclic systems as a pyrazole molecule. The structure of indazole is given below in cylindrical bonds is as (Figure 1).

Indazole derivatives are pharmacologically significant as they form the fundamental structure of numerous drug molecules, like Benzydamine used as anti-inflammatory agent and Granisetron, 5HT₃ receptor antagonist for anti-emetic in cancer chemotherapy. Two nitrogen atoms in indazole can be able to be functionalized with high selectivity at different positions. Indazole show a range of biological activity such as anti-HIV, anti-cancer, anti-platelet, anti-inflammatory, serotonin 5-HT₃ receptor antagonist and anti-tumouractivities [1–6]. 3-Aminoindazoles which are valuable templates for medicinal chemistry. The scaffold is found in a huge number of compounds exhibiting a large number of biological activities including kinase inhibitors, HIV protease inhibitors, MCH



macromolecules like cytoplasmic membrane covering cytoplasm which acts selective barrier to control internal composition of cell. Amino indazole derivative particularly interrupted such functional roles of cytoplasmic membrane and ionic outflow that resulted cell destruction/death. Synthesized potent bioactive substituted indazole derivatives may open new possibilities in the successful treatment of several diseases due to promising antibacterial profile. So, ample scope exists in further research of this heterocycle especially innate selectivity of these compounds needs to carry out their chemotherapy as potent antibacterial aims to target cell membrane of range of Gram-negative bacteria as to derive novel drugs of inventive era. Among the synthesized compounds most of the compounds exhibited good to moderate activity against selected strains *S. aureus*, *P. vulgaris* and *E. coli* while poor activity was evaluated for *S. typhi* (Figure 5). This variation in toxicity it may attribute due to union of different substituent attached to the core 3-aminoindazole which may enhance the biological activities of parent nucleus.

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Conflict of interest

The authors declare no conflict of interest.

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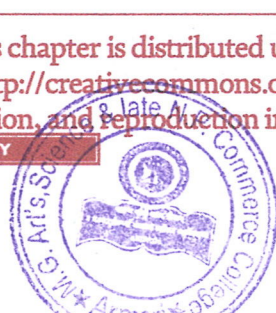
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