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Efficient synthesis of new 2,3-dihydrooxazole-spirooxindoles hybrids as antimicrobial agents.

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Abstract

Two series of new 2,3-dihydrooxazole-spirooxindole derivatives were efficiently synthesized starting from N´-(2-oxoindolin-3-ylidene) benzohydrazide/N´-(2-oxoindolin-3-ylidene)-2-phenoxyacetohydrazide using designed synthetic route. Newly synthesized 2,3-dihydrooxazole-spirooxindole derivatives were screened for their antibacterial and antifungal activity against different pathogenic strain of bacteria and fungi. The minimum inhibitory concentration (MIC), minimum Bactericidal concentration (MBC) and minimum fungicidal concentration (MFC) were determined for the test compounds as well as for reference standards. Compounds **4e, 4g, 7g** have shown good antibacterial activity whereas compounds **4f, 7b, 7d** have displayed better antifungal activity.

Keywords

2,3-dihydrooxazole-spirooxindole, Isatin, spirooxindole, hydrazide, schiff base, antimicrobial antibacterial activity and antifungal activity.

Efficient synthesis of drug-like small molecules has been the focus of the research for medicinal chemists and chemical biologists because, they play very important role in drug discovery processes.[1] These different drugs like bioactive compounds are broadly used to modulate enzyme or receptor function and can serve as important leads for drug development.[2] Isatin (1H-indole-2,3-dione) and its derivatives have been proven as privileged core structures and are found in many bioactive natural products and in various pharmaceutical agents.[3] The synthetic versatility of the isatin, due to its privileged scaffold, has led to the generation of a large number of structurally diverse derivatives which include analogues derived from either C-3 carbonyl modification with mono-, di-, and trisubstitution of the aryl ring and/or those obtained by derivatisation of the nitrogen of isatin.[4]

The most fascinating derivatization of isatin analogues is undoubtly reaction on C-3 carbonyl center instead of C-2 carbonyl. This selective and smooth derivatization is possible because C-3 prochiral carbonyl center is more reactive towards nucleophilic addition than C-2 carbonyl.[5] The reactions on the C-3 carbonyl group of isatins, mostly by nucleophilic additions or spiro