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Abstract

Design, Synthesis And Antimicrobial Evaluation of 1,3,4-oxadiazole/1,2,4-triazole-Substituted Thiophenes

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Abstract:

The ballooning level of antimicrobial resistance in pathogenic bacteria, together with the lack of new potential drug scaffolds in the pipeline, make the problem of infectious diseases a major public health concern. Thus, in this context, a novel series of 1,3,4-oxadiazole-substituted thiophenes (4a-m) and 1,2,4-triazole (6a-m) substituted thiophene derivatives were synthesized in order to develop new compounds with improved efficacy, and to overcome the problem of drug resistance. Characterization of all the synthesized derivatives was done by various spectroscopic techniques such as 1H NMR, 13C NMR and mass spectroscopy, and evaluated for antimicrobial activity against various pathological strains such as gram positive and gram negative bacteria. The results obtained from antimicrobial evaluation of synthesized compounds revealed that all the compounds displayed moderate to significant antimicrobial activity. In particular, compound 6e and 4e exhibited significant inhibitory potential with MIC ranging from 2-7 µg/ml against S. aureus, B. subtilis, P. aeruginosa and E. coli. Additionally, compound 6e was found to be highly potent against methicillin resistant S. aureus (MRSA; MIC = 2 µg/ml). Molecular docking studies were also performed to confer the possible mode of action and association studies indicate the binding of potent active compound with DHFR enzyme. Further, the mechanism of action has also been explored by atomic force microscopy (AFM), which reveals the bacterial cell wall deformity and cell wall rupturing that may lead to bacteria cell death. Additionally, in silico ADME prediction study suggested the drug like properties of active compounds.

Biography:

Nishu Singla had completed M. Pharmacy (Pharmaceutical Chemistry) from I.F.C.P.Moga. She was the University gold medalist in M.Pharmacy. She also qualified in Graduate Pharmacy Aptitude Test (GPAT) in 2011. Presently she is pursuing her PhD (Pharmaceutical Chemistry), project entitled "Design synthesis and evaluation of anticancer activity of some novel heterocyclic compounds" under the supervision of Prof. Satvinder Kaur.

Currently working as an Assistant Professor at UIPS, Chandigarh University, Gharaun. She has 3 research papers and 1 review paper in international journals with total impact factor 10 with 3 H-index. She attended more than 20 national and international conferences.

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