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Synthesis And Biological Evaluation of New Triazolyl Analogues Derived From 1-Oxaspiro[4.4]Nona-3,6-Dien-6-Ylmethanol

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ABSTRACT

A series of 4-[(1-oxaspiro[4.4]nona-3,6-dien-6-ylmethoxy)methyl]-1-phenyl-1H-1,2,3-triazolyl congeners have been designed and synthesized in an attempt to develop potent antimicrobial and antifungal agents. A regioselective approach using Huisgen 1,3-dipolar cycloaddition reaction of 1-oxaspiro[4.4]nona-3,6-dien-6-ylmethanol-alkyne derivative with various aromatic azides was employed to target an array of triazolyl derivatives in an efficient manner. Their structures were confirmed by using proton, ¹³C NMR and ESI-MS spectral analysis. All the compounds were evaluated for the antimicrobial and antifungal activity by disc diffusion method. The antibacterial and antifungal activity was evaluated against, A. niger, C. albicans (fungal strains), E. coli and P. aeruginosa (Gram negative bacteria), S. aureus and S. pyogenes (Gram positive bacteria) using Nystatin (for fungi) and ciprofloxacin (for bacteria) as the standard drugs. The pharmacological results showed that some of the compounds displayed high level of antimicrobial and antifungal activity compared with parent compound. Compounds 8b, 8c, 8f and 8g were found to be the most potent compounds in this study.

Keywords: 1-oxaspiro[4.4]nona-3,6-dien-6-ylmethanol, Huisgen 1,3-dipolar cycloaddition, regioselective approach, antimicrobial activity, antifungal activity.