EXECTIVE SUMMARY

"SYTHESIS AND BIOLOGICAL STUDIES OF SOME SYDNONE DERIVATIVES"

MINOR RESEARCH PROJECT

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The therapeutic effects of 1,2,4-triazole and 1,2,4-triazol-3-one containing compounds have been well studied for a number of pathological conditions including inflammation, cancer, pain, tuberculosis or hypertension. In addition, it was reported that 1,3,4-thiadiazoles exhibit various biological activities possibly due to the presence of the C=N-C-S moiety. Moreover, synthesis of triazoles fused to another heterocyclic ring has attracted wide spread attention due to their diverse applications as antibacterial, antidepressant-, antiviral, antitumorial- and anti-inflammatory agents, pesticides, herbicides dyes, lubricant and analytical reagents Among these, the commonly known systems are generally triazoles fused to pyridines, pyridazines, pyrimidines, pyrazines and triazines. Although there are not many triazoles fused to thiadiazines or thiadiazoles, a number of them are incorporated into a wide variety of therapeutically important compounds possessing a broad spectrum of biological activities. In this connection, some biheterocyclic compounds containing two 1,2,4-triazol-3-one rings or both 1,2,4-triazol-3-one and 1,3,4-thiadiazole rings have been synthesized in our laboratory as antimicrobial compounds.

Sydnones are a novel class of meso-ionic compounds with unique chemical and physical properties. A vast array of sydnone derivatives have been found to show varied biological properties, antioxidant activity and liquid crystalline properties. Furthermore, sydnones have been used as precursors in 1,3-dipolar additions, material chemistry and in battery applications. In continuation of our effort to develop benign synthetic methods for sydnone and oxadiazolines we report here a new series of 4-(5-mercapto-1,3,4-thiadiazol-2-yl)-2-phenyl-5-[(*E*)-2-phenylvinyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one containing 1,3,4-thiadiazole rings. It was interesting to study the influencing biological behaviors with various substituted oxadiazoles. Therefore, we felt it of interest to study the chemical reactivity of these heterocyclic 1,3,4-thiadiazole moieties.

Present work

The work is carried out in the investigation in synthesizing 4-(5-ethyl-2,5-dihydro-1,3,4-thiadiazol-2-yl)-2-phenyl-5-[(E)-2-phenylethenyl]-2,4 dihydro-3H-1,2,4-triazol-3-one having different substituent is outlined in the following schemes.

The required 4-(5-ethyl-2,5-dihydro-1,3,4-thiadiazol-2-yl)-2-phenyl-5-[(E)-2-phenylethenyl]-2,4-dihydro-3H-1,2,4-triazol-3-one is prepared by taking equimolar quantity of 5-methyl-3-phenyl-1,3,4-oxadiazol-2(3H)-one and 5-amino-1,3,4-thiadiazole-2-thiol in glacial acetic acid (GAA) is added and refluxed. The other derivatives are also synthesized by using the same method. To the resulting solution and opportune benzaldehyde were reacted with glacial acetic acid is added and is refluxed. The solid which separated out and it is recrystallised from dimethylformamide to give pure compound.

Results and Discussion:

Melting points were determined in one end open capillary tubes on a Buchi 530 melting point apparatus and are uncorrected. Infrared (IR) spectra were recorded for the compounds on Perkin Elmer Spectrum RXI Spectrophotometer in KBr. ^{13}C nuclear magnetic resonance (^{13}C NMR) and ^{1}H nuclear magnetic resonance (^{1}H NMR) spectra were recorded for the compounds on Advance bruker (300 MHz) instrument. Chemical shifts are reported in parts per million (ppm) using tetramethylsilane (TMS) as an internal standard. All the new compounds have given CHN analysis within ±0.4% of the theoretical values. The purity of the compounds was confirmed by thin layer chromatography using silica gel glass plates in a solvent system of benzene: ethanol (8:2). The spots were developed in an iodine chamber and visualized under ultra violet lamp.

During the present investigation required (1) the derivatives were prepared by the reaction of 5-methyl-3-phenyl-1,3,4-oxadiazol-2(3H)-one with appropriately substituted 5-amino-1,3,4-thiadiazole-2-thiol in in glacial acetic acid, which on reacted with opportune benzaldehyde gave corresponding compound in good yields. The absence of oxadiazole in IR spectra of the resulted compounds confirms the formation of product.

SUMMARY OF FINDINGS:

All the newly synthesized compounds were screened for their antimicrobial activity by cup plate method at 100 µg/ml concentration in DMF against the Bacterial strains viz., *E. Coli* & *B. Subtilis* and also against Fungal strains viz., *A Niger* and *A. Sereus*. Norfloxacin for bacteria and Griseofulvin as the reference drugs respectively. Some these compounds were less active against the bacterial strains, but some of them showed.