

Synthesis and antimicrobial activity of *N*-[4-(3-Oxo-3-phenyl-propenyl)-phenyl]-2-(4-phenyl-5-pyridine-4-yl-4*H*-[1,2,4]triazole-3-ylsulfanyl)-acetamide

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Abstract

A new series of *N*-[4-(3-Oxo-3-phenyl-propenyl)-phenyl]-2-(4-phenyl-5-pyridine-4-yl-4*H*-[1,2,4]triazole-3-ylsulfanyl)-acetamide have been synthesized by the claisen-schimidt condensation of *N*-(4-Acetyl-phenyl)-2-(4-phenyl-5-pyridin-4-yl-4*H*-[1,2,4]triazole-3-ylsulfanyl) and various aldehyde. The novel compounds structure has been established on the basis of their substituted aldehyde derivatives. All the compounds were characterized by FT-IR, Mass, and ¹H-NMR spectroscopy. These new compounds were evaluated for their in vitro antibacterial activity and anti-fungal activity.

Keywords: Chalcone, Triazole, Antimicrobial activity

INTRODUCTION

Chalcones and its derivatives have attracted particular interest during the last few decades due to use of such ring system as the core structure in many drug substances covering wide range of pharmacological application¹. Chalcone moiety is the backbone of several antiulcer², cardiovascular³ and antispasmodic⁴ drugs. Chemistry of chalcone⁵ has been recognized as a significant field of study. Chalcones possess analgesic⁶, antiulcer⁷ and antitumor⁸ activities.

The presence of reactive - unsaturated keto group in chalcones is found to be responsible for their biological activity. In the present work chalcones have been prepared according to Claisen-Schmidt condensation by condensing ketone with different aromatic aldehydes. The structures of the synthesized compounds were elucidated on the basis of their elemental analysis, IR, ^1H NMR and Mass spectroscopic data. These compounds were also screened for their antimicrobial activity. Our laboratory has previously synthesized chloroacetamide derivatives of triazole and oxadiazole^{9,10,11} by considering the above applications of chalcone derivatives an affords has been made to synthesized compounds of chalcone having triazole nucleus to obtain more potent activity against microorganism.

EXPERIMENTAL

All the Chemicals used in the synthesis were purchased from the Himedia Chemical India Pvt. Ltd. All the melting points were recorded on Cintex melting point apparatus and are uncorrected. IR spectra in KBr were recorded on Shimadzu FTIR spectrophotometer in cm^{-1} . ^1H NMR spectra were recorded in CDCl_3 or DMSO on a Bruker DRX-400 MHz NMR instrument. Chemical shifts were reported in ppm using TMS as internal standard on δ scale. Mass spectra of compounds were recorded on mass spectrometer (Agilent 1100 series). Completion of the reactions was monitored time to time by TLC using E-Merck 0.25 mm silica gel plates and toluene: acetone (9:1) as solvent system.

Reaction Scheme

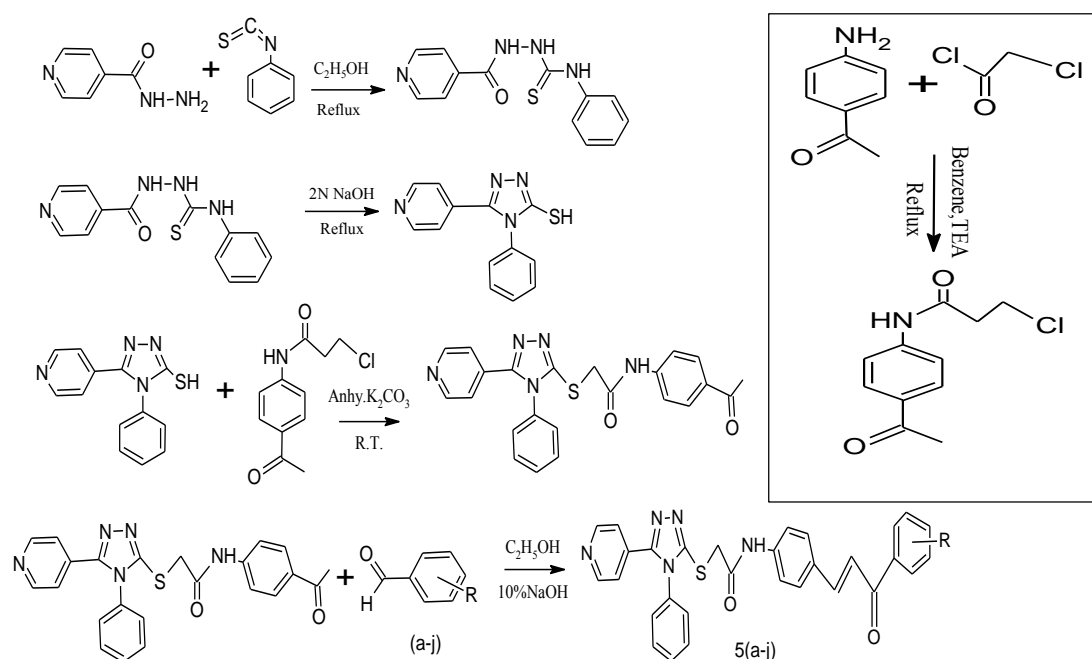
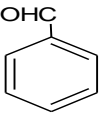
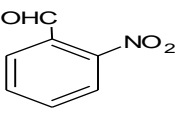
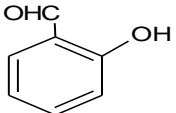
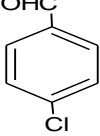
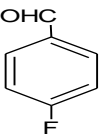
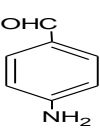
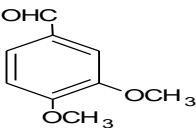
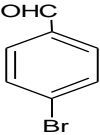
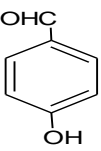
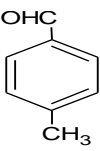


Table-1: Various aldehydes (a-j)

Code	Various aldehydes	Code	Various aldehydes
A		f	
B		g	
C		h	
D		i	
E		j	

GENERAL EXPERIMENTS

Step-1

The mixture of pyridine-4-carbohydrazide(0.1mole) and phenyl isothiocyanate (0.1mole) was refluxed in ethanol(220ml) for the 3hours.after cooling the formed product was collected by filtration and recrystallisation from ethanol. The progress of the reaction was monitored by TLC using toluene:acetone(8:2) as eluent.

Step-2

The mixture of *N*-phenyl-2-(pyridine-4-ylcarbonyl)hydrazinecarbothioamide (0.05mole) and 80ml of 2N NaOH was refluxed for 4hours.The resulting solution was cooled and poured into the ice and neutralize with 2N HCl. The precipitate was filtered and washed with cold water. Dried and recrystallized from ethanol. The progress of the reaction was monitored by TLC using toluene:acetone(8:2) as eluent.

Step-3

0.02mole of chloroacetyl chloride and 2-4 drops of triethyl amine was added in the 30ml of benzene. This mixture was stirred in ice bath. The solution of 4-amino acetophenone(0.02mole) in 30ml benzene was added drop wise and refluxed for 5hours.The resulting ppt. upon cooling were filtered and washed with benzene. recrystallized from ethanol. The progress of the reaction was monitored by TLC using toluene:acetone(8:2) as eluent.

Step-4

The mixture of 4-phenyl-5-(pyridine-4-yl)-4H-1,2,4-triazole-3-thiol(0.01mole) and *N*-(4-acetylphenyl)-3-chloropropanamide(0.01mole) in 50ml dry acetone and anhydrous K₂CO₃ (0.02mole) was stirred for 4hours at room temp. and poured into ice, The product was filtered and washed with cold water. Recrystallized from alcohol.

Step-5

N-(4-acetylphenyl)-2-{[4-phenyl-5-(pyridin-4-yl)-4H-1,2,4-triazol-3-yl]sulfanyl}acetamide and various aromatic aldehydes were reacted at room temperature in presence of 10% NaOH solution in ethyl alcohol. The reaction mixture was stirred 2hour at room temp. And then this reaction mixture was kept overnight at room temp. The progress of the reaction was maintained by TLC using acetone: toluene mobile phase. Synthesized compounds were purified and recrystallized using ethyl alcohol

***N*-[4-(3-Oxo-3-phenyl-propenyl)-phenyl]-2-(4-phenyl-5-pyridine-4yl-4H-[1,2,4]triazole-3-ylsulfanyl)-acetamide:**Yield 61.8%, white solid, m.p.105□107 oC;H¹ NMR(DMSO, 400 MHz) :10.74ppm (S,1H, Ar-NH)4.30ppm (s, 2H, Ar-CH₂), 8.57-8.56ppm (d, 1H, Ar-H), 7.96-7.92ppm(d, 1H,Ar-H), 7.47-7.46ppm (d,m,Ar-H), 7.30-7.28ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.52-7.50ppm(d,1H,-CH=CH-)7.52-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm⁻¹ :3056, -CH Str. in -CH₂,1600-1430,-C=C- & -C=N- Str. in ring, 1665, -C=O Str. in carbonyl, 1599, -S-C=O in thio ether, 2918, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1540,-C=N str. in triazole,0MS (70eV) *m/z* (%): 335 (M+., 100), 228(6.7).

***N*-{4-[3-(2-Hydroxy-phenyl)-3-oxo-propenyl]-phenyl}-2-(4-phenyl-5-pyridine-4yl-4H-[1,2,4]triazole-3-ylsulfanyl)-acetamide:**Yield 61.8%, white solid, m.p.105□107 oC;H¹ NMR(DMSO, 400 MHz) :10.68ppm (S,1H, Ar-NH)4.35ppm (s, 2H, Ar-CH₂), 8.55-8.58ppm (d, 1H, Ar-H), 7.94-7.93ppm(d, 1H,Ar-H), 7.46-7.45ppm (d,m,Ar-H), 7.30-7.28ppm (m, 1H, Ar-H), 7.60-7.61ppm(d,1H,Ar-H),7.50-

7.48ppm(d,1H,-CH=CH-)7.52-7.51ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3054, -CH Str. in $-\text{CH}_2$,1612-1430,-C=C- & -C=N- Str. in ring, 1665, -C=O Str. in carbonyl, 1599, -S-C=O in thio ether, 2918, -Ch Str. in methyl, 3412, -NH Str. in Sec. amine, 1540,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

N-{4-[3-(4-Dimethylamino-phenyl)-3-oxo-propenyl]-phenyl}-2-(4-phenyl-5-pyridine-4yl-4H-[1,2,4]triazole-3-ylsulfanyl)-acetamide:Yield 61.8%, white solid, m.p.105□107 oC; H^1 NMR(DMSO, 400 MHz) :10.68ppm (S,1H, Ar-NH),4.28ppm (s, 2H, Ar- CH_2), 8.57-8.56ppm (d, 1H, Ar-H), 7.90-7.92ppm(d, 1H,Ar-H), 7.45-7.46ppm (d,m,Ar-H), 7.30-7.28ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.50-7.48ppm(d,1H,-CH=CH-)7.48-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3056, -CH Str. in $-\text{CH}_2$,1618-1432,-C=C- & -C=N- Str. in ring, 1666, -C=O Str. in carbonyl, 1589, -S-C=O in thio ether, 2912, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1542,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

N-{4-[3-(4-Fluoro-phenyl)-3-oxo-propenyl]-phenyl}-2-(4-phenyl-5-pyridine-4yl-4H-[1,2,4]triazole-3-ylsulfanyl)-acetamide:Yield 61.8%, white solid, m.p.105□107 oC; H^1 NMR(DMSO, 400 MHz) :10.72ppm (S,1H, Ar-NH)4.24ppm (s, 2H, Ar- CH_2), 8.57-8.56ppm (d, 1H, Ar-H), 7.88-7.90ppm(d, 1H,Ar-H), 7.47-7.46ppm (d,m,Ar-H), 7.30-7.28ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.52-7.50ppm(d,1H,-CH=CH-), 7.52-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3056, -CH Str. in $-\text{CH}_2$,1608-1427,-C=C- & -C=N- Str. in ring, 1665, -C=O Str. in carbonyl, 1594, -S-C=O in thio ether, 2916, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1543,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

N-{4-[3-(3,4-Dimethoxy-phenyl)-3-oxo-propenyl]-phenyl}-2-(4-phenyl-5-pyridine-4yl-4H-[1,2,4]triazole-3-ylsulfanyl)-acetamide:Yield 61.8%, white solid, m.p.105□107 oC; H^1 NMR(DMSO, 400 MHz) :10.66ppm (S,1H, Ar-NH)4.26ppm (s, 2H, Ar- CH_2), 8.55-8.54ppm (d, 1H, Ar-H), 7.88-7.92ppm(d, 1H,Ar-H), 7.47-7.46ppm (d,m,Ar-H), 7.30-7.28ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.52-7.50ppm(d,1H,-CH=CH-)7.52-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3058, -CH Str. in $-\text{CH}_2$,1612-1432,-C=C- & -C=N- Str. in ring, 1667, -C=O Str. in carbonyl, 1598, -S-C=O in thio ether, 2918, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1540,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

N-{4-[3-(2-Nitro-phenyl)-3-oxo-propenyl]-phenyl}-2-(4-phenyl-5-pyridine-4yl-4H-[1,2,4]triazole-3-ylsulfanyl)-acetamide:Yield 61.8%, white solid, m.p.105□107 oC; H^1 NMR(DMSO, 400 MHz) :10.74ppm (S,1H, Ar-NH) 4.30ppm (s, 2H, Ar- CH_2), 8.57-8.56ppm (d, 1H, Ar-H), 7.96-7.92ppm(d, 1H,Ar-H), 7.47-7.46ppm (d,m,Ar-H),

7.30-7.28ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.52-7.50ppm(d,1H,-CH=CH-)7.52-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3056, -CH Str. in -CH₂,1600-1430,-C=C- & -C=N- Str. in ring, 1665, -C=O Str. in carbonyl, 1599, -S-C=O in thio ether, 2918, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1540,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

***N*-{4-[3-(2-Chloro-phenyl)-3-oxo-propenyl]-phenyl}-2-(4-phenyl-5-pyridine-4yl-4*H*-[1,2,4]triazole-3-ylsulfanyl)-acetamide**:Yield 61.8%, white solid, m.p.105□107 °C;¹H NMR(DMSO, 400 MHz) :10.74ppm (s,1H, Ar-NH)4.30ppm (s, 2H, Ar-CH₂), 8.57-8.56ppm (d, 1H, Ar-H), 7.96-7.92ppm(d, 1H,Ar-H), 7.47-7.46ppm (d,m,Ar-H), 7.30-7.28ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.52-7.50ppm(d,1H,-CH=CH-)7.52-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3056, -CH Str. in -CH₂,1600-1430,-C=C- & -C=N- Str. in ring, 1665, -C=O Str. in carbonyl, 1599, -S-C=O in thio ether, 2918, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1540,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

***N*-[4-(3-(2-Furan-2yl-3-oxo-propenyl)-phenyl)-2-(4-phenyl-5-pyridine-4yl-4*H*-[1,2,4]triazole-3-ylsulfanyl)-acetamide**:Yield 61.8%, white solid, m.p.105□107 °C;¹H NMR(DMSO, 400 MHz) :10.74ppm (s,1H, Ar-NH)4.30ppm (s, 2H, Ar-CH₂), 8.57-8.56ppm (d, 1H, Ar-H), 7.96-7.92ppm(d, 1H,Ar-H), 7.47-7.46ppm (d,m,Ar-H), 7.30-7.28ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.52-7.50ppm(d,1H,-CH=CH-)7.52-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3056, -CH Str. in -CH₂,1600-1430,-C=C- & -C=N- Str. in ring, 1665, -C=O Str. in carbonyl, 1599, -S-C=O in thio ether, 2918, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1540,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

***N*-{4-[3-(4-Amino-phenyl)-3-oxo-propenyl]-phenyl}-2-(4-phenyl-5-pyridine-4yl-4*H*-[1,2,4]triazole-3-ylsulfanyl)-acetamide**:Yield 61.8%, white solid, m.p.105□107 °C;¹H NMR(DMSO, 400 MHz) :10.77ppm (s,1H, Ar-NH)4.26ppm (s, 2H, Ar-CH₂), 8.57-8.56ppm (d, 1H, Ar-H), 7.96-7.92ppm(d, 1H,Ar-H), 7.47-7.46ppm (d,m,Ar-H), 7.30-7.28ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.52-7.50ppm(d,1H,-CH=CH-)7.52-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3056, -CH Str. in -CH₂,1600-1430,-C=C- & -C=N- Str. in ring, 1665, -C=O Str. in carbonyl, 1599, -S-C=O in thio ether, 2918, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1540,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

***N*-{4-[3-(2-Bromo-phenyl)-3-oxo-propenyl]-phenyl}-2-(4-phenyl-5-pyridine-4yl-4*H*-[1,2,4]triazole-3-ylsulfanyl)-acetamide**:Yield 71%, white solid, m.p.105□107 °C;¹H NMR(DMSO, 400 MHz) :10.78ppm (s,1H, Ar-NH)4.34ppm (s, 2H, Ar-CH₂),

8.54-8.56ppm (d, 1H, Ar-H), 7.94-7.90ppm(d, 1H,Ar-H), 7.47-7.46ppm (d,m,Ar-H), 7.28-7.26ppm (m, 1H, Ar-H), 7.61-7.60ppm(d,1H,Ar-H),7.52-7.50ppm(d,1H,-CH=CH-),7.52-7.50ppm (d, 1H,Ar-H), IR (KBr) ν in cm^{-1} :3022, -CH Str. in -CH₂,1608-1426,-C=C- & -C=N- Str. in ring, 1667, -C=O Str. in carbonyl, 1589, -S-C=O in thio ether, 2918, -Ch Str. in methyl, 3414, -NH Str. in Sec. amine, 1540,-C=N str. in triazole,0MS (70eV) m/z (%): 335 (M+., 100), 228(6.7).

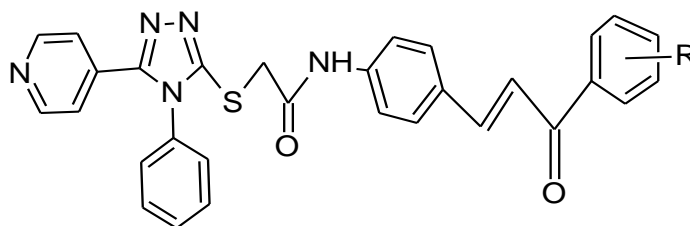
SAR STUDY

The use of SAR study helped in concluding that the different substitutions on the aromatic ring exerted varied biological activity. The substitutions were so selected as to confer different electronic environments of the molecules. Both electron-withdrawing and electron-donating groups were chosen as substituents on the chemical structure of the targeted compound. In major, compounds with electron donating groups such as methoxy and methyl exhibited lower MIC value than the reference drug used. Compounds 5a, 5e and 5g with substitutions 4-CH₃, 4-OCH₃ and 3-CH₃ showed lower MIC value against *S. aureus*, *E. coli*, and *C. albicans* as compared to the standards used for antimicrobial screening as described in Table. On the other hand, the derivatives with electron withdrawing group like chloro, bromo, and Fluro did not execute lower MIC values as compared to the reference when treated with the fungal strains. From the above results, it can be concluded that the derivatives with electron donating substitutions like methyl and methoxy are the most efficient compounds as antimicrobial agents. The results in Table;2 strongly support the report that the electron-donating group having the capacity to increase the electron density makes the compound more effective toward the microorganisms. Thus, It is inevitable for a compound to possess an optimum electron density to achieve a significant antimicrobial activity.

RESULT AND DISCUSSION

N-[4-(3-Oxo-3-phenyl-propenyl)-phenyl]-2-(4-phenyl-5-pyridine-4yl)-4*H*-[1,2,4]triazole-3-ylsulfanyl-acetamide were obtained in 66-83% yield by converting isoniazide to the thiosemicarbazide and 4-phenyl-5-(pyridin-4-yl)-4*H*-1,2,4-triazole-3-thiol by reaction with phenyl thio isocyanate and sodium hydroxide, respectively. phenyl-5-(pyridin-4-yl)-4*H*-1,2,4-triazole-3-thiol was converted to *N*-(4-acetylphenyl)-2- {[4-phenyl-5-(pyridin-4-yl)-4*H*-1,2,4-triazol-3-yl]sulfanyl} acetamide by reacting with *N*-(4-acetylphenyl)-3-chloropropanamide. *N*-(4-acetylphenyl)-2- {[4-

phenyl-5-(pyridin-4-yl)-4*H*-1,2,4-triazol-3-yl]sulfanyl}acetamide was then reacted with various aldehyde to give *N*-[4-(3-Oxo-3-phenyl-propenyl)-phenyl]-2-(4-phenyl-5-pyridine-4yl-4*H*-[1,2,4]triazole-3-ylsulfanyl)-acetamide. Mass spectral data support the proposed structures. The mass spectrum showed various characteristic peaks. A peak at m/z 415 was assigned to the molecular ion.



The FTIR spectrum showed absorption bands at 1540 cm^{-1} (C=N stretching in triazole), $1600\text{--}1430\text{ cm}^{-1}$ (C=C- and C=N- stretching in ring), 1665 cm^{-1} (C=O stretching in carbonyl), 3414 cm^{-1} (NH- stretching in amide), 1599 cm^{-1} (S-C=O stretching in thioether linkage), 3056 cm^{-1} (C-CH₃ stretching in methylene), 2918 cm^{-1} (CH stretching in methyl) respectively. Further disappearance of peak at 2600 cm^{-1} (SH Stretching) in final compound affirmed the completion of reaction.

The ¹H-NMR spectrums of (5a-j) showed characteristic signals at 7.09 to 7.44 ppm which were assigned to the aromatic protons. A signal at 4.03 ppm was assigned to the methylene proton. A signal at 7.52-7.50 ppm was assigned to the -CH=CH- proton. The singlet 3.92-3.95 ppm was assigned to the methoxy protons, respectively.

Antimicrobial Activity

The Compound 1-10 were tested for their antimicrobial activity against gram positive bacteria and gram negative bacteria and the fungal Strains the resulted MIC values are depicted in table-2.

The sample were tested by standard protocol like micro dilution/Broth titer method the screening of the antimicrobial activity was carried out by diluting the solution and preparing the sets consecutively from 1000,500,250,125,62.5,31.25,15.62 up to 7.8mg/ml. It was observed that more than half of the compounds showing good activity in comparison to the standard drug used and remaining compounds were showing moderate to poor activity in comparison to the standard drug used. The standard drug used for antifungal screening was fluconazole and ciprofloxacin was used as standard for anti-bacterial assay. The MIC value for fluconazole against *candida albicans* was recorded to be 125 $\mu\text{g/ml}$ were as against *aspergillus niger* the MIC was obtain 62.5 $\mu\text{g/ml}$. The MIC Values for Ciprofloxacin used as a Standard

against gram positive bacteria *S.aureus* and *A.faecallis* were 62.5 µg/ml. and µg/ml. respectively. When the standard drug ciprofloxacin was used against gram negative bacteria strains *E.Coli* and *P.aeruginosa* MIC was observed to be 125µg/ml.

Antibacterial Activity

The newly synthesized compounds were screened for their antibacterial activity against gram positive bacteria *S.aureus* and *E.faecallis* and gram negative bacteria *E.coli* and *P.aeruginosa*. In general the derivatives with substitution like p-F, m-Cl, p-Cl, o-OH, exhibit good activity against gram positive bacteria when tested and compared with standard drugs. The rest of the drug showing moderate to lower activity than reference drug. The same synthesized compounds were tested against gram negative bacteria strains *E.coli* and *P.aeruginosa* compound with substitution showed excellent activity.

Among all the compounds 5b,5c,5d and 5h Showing Excellent activity against gram positive bacteria *E.coli* and *E.faecalis*. While 5i and 5j showing good activity than the standard drug. While other showing average to poor activity against the same. Compound 5b,5c, and 5j showing Excellent activity against the *S.aureus* and *P.aeruginosa* than the standard drug used. Compound 5d and 5h showing good activity than the standard drug used against the gram negative bacteria.

Antifungal Activity

The same synthesized compounds were tested for their anti-fungal activity against two different fungal strains *C.albicans* and *A.niger*. The value of MIC found for the standard drug used against *C.albicans* was 125 µg/ml. compounds 5c and 5j showed MIC value 62.5 µg/ml which is better than the standard used against *C.albicans*. The MIC value found for the standard drug used against *A,niger* was 62.5 µg/ml and compound 5c Showed MIC 31.25 µg/ml which is better than the standard drug used. Other compounds were showed MIC moderated to poor against standard drug.

Table-2: Antibacterial and Antifungal Activity of 2-(3,4,5-Trimethoxyphenyl)-5-((1-arylamino)-2-oxoethyl)-mercapto-1,3,4-oxadiazole:

Minimum inhibition Concentration (MIC) in $\mu\text{g/ml}$						
Code No.	Gram Positive Bacteria		Gram Negative Bacteria		Fungi	
	<i>S.aureus</i> ATCC No.5923	<i>E.faecalis</i> ATCC No.29212	<i>E.coli</i> ATCC No.25922	<i>P.aeruginosa</i> ATCC No.27853	<i>C.albicans</i> ATCC No.11651	<i>A.niger</i> ATCC No.11394
5a	250	250	125	250	125	250
5b	125	250	62.5	31.5	125	125
5c	125	250	31.25	31.5	62.5	31.25
5d	15.62	31.5	62.5	62.5	125	62.5
5e	125	250	31.25	125	250	125
5f	15.62	15.62	31.25	15.62	62.5	125
5g	62.5	125	250	125	125	250
5h	15.62	125	62.5	125	62.5	62.5
5i	31.5	62.5	125	62.5	250	125
5j	31.5	62.5	31.5	31.5	62.5	125
Fluconazole	-	-	-	-	125	62.5
Ciprofloxacin	62.5	125	125	125	-	-

CONCLUSIONS

2-chloro-N-(aryl)-acetamides(4) containing different groups and obtained via aryl amines using chloroacetyl chloride and TEA were subjected to reaction with 5-(3,4,5-trimethoxyphenyl)-1,3,4-oxadiazole-2-thiol(3) to furnish 2-(3,4,5-

Trimethoxyphenyl)-5-((1-arylamino)-2-oxoethyl)-mercapto-1,3,4-oxadiazole(5 a-j). Their structures were confirmed by infrared, ¹H- and ¹³C -NMR and mass spectrometric analysis. All the synthesized compounds were screened against bacterial and fungal species the result showed that half of the compounds having good activity against bacterial and fungal species while remaining compounds were showed moderate to poor activity against bacterial and fungal species.

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