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1-[3/-(SUBSTITUTED PHENYL) -5/- (AMINO PYRIMIDINE)]- 3- (4/-NITRO PHENYL) 5-(SUBSTITUTED PHENYL)- 2-PYRAZOLINES: SYNTHESIS, CHRACTERISATION AND ANTIMICROBIAL SCREENING

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Keywords:

Heterocycles, 2-pyrazolines, Chalcones, Amino pyrimidines, Antifungal, Antibacterial

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ABSTRACT: In the present study eight novel 2-pyrazoline - amino pyrimidine hybrids have been synthesized in order to search new chemical entities with increased pharmacological properties. The targeted analogues were synthesized in four steps; Claisen-schmidt condensation of 4-nitro acetophenone with different benzaldehydes in basic medium to yield precursor chalcone which was cyclised using hydrazine hydrates and acetic acid to form 1-acetyl-2-pyrazoline. These were condensed with substituted benzaldehydes to give corresponding pyrazolyl chalcones, which were cyclised using guanidine carbonate to obtain 2-pyrazoline-amino pyrimidine hybrids. All the synthesized compounds were characterized by elemental and spectral (^{1}H and ^{13}C NMR, FTIR and Mass) analysis. All the compounds have been screened for their anti-bacterial activity against gram positive bacteria (*Bacillus substilis*, *Streptococcus aureus*), gram negative bacteria (*Pseudomonas aeruginosa*, *Escherichia coli*) and antifungal activity against *Aspergillus niger* comparable to reference standard ciprofloxacin and fluconazole by agar-well diffusion method. All compounds 4(a-h) exhibited moderate to high activity and showed more pronounced antibacterial activity than antifungal activity. 4h showed dual effect antibacterial as well as antifungal agent.

INTRODUCTION: The development of new antimicrobial agents can be partially ascribed both to the increasing emergence of bacterial resistance to antibiotic therapy and to newly emerging pathogens [1]. To overcome this rapid development of drug resistance, new agents should preferably consist of chemical characteristics that clearly differ from those of existing agents.

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In the process of drug designing to search for new leads is comprises of the synthesis of novel molecules, which resembles the existing biologically active molecules by virtue of the presence of critical structural features. Nitrogen containing heterocycles act as highly functionalized scaffolds in medicinal chemistry and drug discovery. Heterocycles, containing 2-nitrogen atoms, pyrazoline and pyrimidine derivatives have drawn considerable attention of the researcher due to their high therapeutic values.

Pyarazoline derivatives have been reported to possess broad spectrum of pharmacological activities such as antidepressant [2], anticonvulsant activity [3], anticancer [4 - 8], antitubercular [9, 10], anti-

inflammatory [11, 12], anti-coagulant [13], anti-amoebic [14], antimalerial [15, 16], antibacterial [17], anti-microbial [10, 18], analgesic [19], cytotoxic [20 - 21], pesticide [22] and pesticidal and fungicidal activities [23]. Pyrimidine derivatives exhibited various biological activities such as anti-proliferative [24], anticancer [25, 26], anti-hypertensive [27], antioxidant [28, 29], antiviral [30], anti-inflammatory and analgesic activities [31, 32]. Certain pyrimidine derivatives play a significant role in several biological processes and show, anti-leishmanial activities [33] and CNS depressant properties [34]. On the basis of above mentioned importance of pyrazolines and pyrimidines efforts have been made to design and synthesize mutual prodrugs containing these nuclei to produce synergistic antimicrobial activity. The synthesized compounds have been subjected for *in vitro* antimicrobial activity against some selected bacterial and fungal strains.

MATERIALS AND METHODS: All the chemicals and solvents of Sigma Aldrich were AR grade and used without further purification. Melting Points were determined in open glass capillaries in an electrical melting point apparatus and are uncorrected. Purity was checked by thin layer chromatography on silica gel G plates using UV light as visualizing agent. IR spectra were recorded on FTIR spectrophotometer Shimadzu 8201 PC (4000 - 400 cm^{-1}) using KBr pallet technique. ^{1}H and ^{13}C NMR spectra were recorded on Bruker Avance-II 400 MHz NMR spectrometer using DMSO-*d*6 as a solvent and tetra methyl silane as internal standard. Mass spectra were recorded on Waters, Q-TOF, Micromass (LC-MS spectrometer) and Elemental analyser used for CHN analysis. All the synthesized compounds were screened for their antibacterial and antifungal activity using agar-well diffusion method.

General Procedure for Preparation of Compounds:

1) Synthesis of 1-(4′-nitro phenyl)-3-(substituted phenyl) prop-2-en-1-one (1): Equimolar quantity of 4-nitro acetophenone (0.01 mole) and aromatic aldehydes (0.01 mole) were dissolved in minimum amount of alcohol below 25 °C. NaOH (10 ml, 40%) was added drop wise. The reaction mixture was stirred vigorously for 2 - 3 hours and neutralized with concentrated hydrochloric acid. The solid obtained was recrystallized with suitable solvent. Similarly other compounds were also prepared.

2) Synthesis of 1-acetyl-3-(4′-nitro phenyl)-5-(substituted phenyl)-2-pyrazolines (2): A mixture of chalcones (10 mmoles), 99% Hydrazine hydrate (50 mmole) and glacial acetic acid (60 ml) was refluxed for 3 - 6 hour in water bath, then poured on to crushed ice. The resulting solid was washed and crystallized from ethanol to obtained the 2-pyrazoline derivatives.

3) Synthesis of 1-(substituted chalcone)-3-(4′-nitro phenyl)-5-(substituted phenyl)-2-pyrazolines (3): Equimolar quantity of synthesized 1-acetyl-3-(4′-nitro phenyl)-5-(substituted phenyl)-2-pyrazolines (0.01 mole) and different aromatic aldehydes (0.01 mole) were dissolved in minimum amount of alcohol with the dropwise addition of NaOH solution (10 ml, 40%). The reaction mixture was stirred vigorously for 2 - 3 hours and neutralized with concentrated Hydrochloric Acid. The solid obtained was washed with cold water and recrystalised with suitable solvent.

4) Synthesis of 1-(3′-substituted phenyl-5′-amino pyrimidine)- 3- (4′-nitro phenyl)-5 -(substituted phenyl)-2-pyrazolines [4(a-h)]: A mixture of 1-(substituted chalcone)- 3- (4′-nitro phenyl)- 5-(substituted phenyl)- 2- pyrazoline (0.01 mole), guanidine carbonate (0.01 mole) and NaOH (0.01 mole, 0.4 g) was dissolved in DMF (40 ml). The reaction mixture was stirred and refluxed for 5 hours on water bath then poured on to crushed ice. The solid obtained was washed with cold water and purified by recrystalisation from ethanol.

TABLE 1: PHYSICOCHEMICAL CHARACTERIZATION DATA OF SYNTHESIZED COMPOUNDS 4(a-h)

Compound ID	R_1	R_2	Molecular Formula	Molecular Weight	M. P. °C	Yield %	Analysis C%	H%	N%
4a	$4N(CH_3)_2$	4-OH	$C_{27}H_{25}N_7O_3$	495	132	66	65.45	5.05	19.80
							65.44	5.09	19.88
4b	$4N(CH_3)_2$	4-OCH_3	$C_{28}H_{27}N_7O_3$	509	147	70	66.01	5.31	19.25
							66.10	5.39	19.32

4c	$4N(CH_3)_2$	3- NO_2	$C_{27}H_{24}N_8O_4$	524	125	71	61.83	4.58	21.37
							61.79	4.65	21.39
4d	$4N(CH_3)_2$	4-$N(CH_3)_2$	$C_{29}H_{30}N_8O_2$	522	110	76	66.67	5.75	21.46
							66.64	5.78	21.51
4e	3-NO_2	4-OH	$C_{25}H_{19}N_7O_5$	497	109	82	60.36	3.82	19.72
							60.30	3.90	19.66
4f	3-NO_2	4-OCH_3	$C_{26}H_{21}N_7O_5$	511	94	76	61.06	4.11	19.18
							61.11	4.09	19.21
4g	3-NO_2	3- NO_2	$C_{25}H_{18}N_8O_6$	526	88	67	57.03	3.42	21.29
							57.10	3.49	21.22
4h	4-OH	2,4-$(Cl)_2$	$C_{25}H_{18}N_6O_3Cl_2$	521	135	58	57.69	3.46	16.15
							57.64	3.44	16.19

TABLE 2: THE *IN VITRO* ANTIMICROBIAL ACTIVITY OF COMPOUNDS, 4(a-h)

S. no.	Derivative	Diameter of zone of inhibition (mm) for organism				
		Bacterial Strains				Fungal strains
		Gram negative		Gram positive		
		E. coli	*P. Aeruginosa*	*S. Aurius*	*B. Subtilis*	*A. niger*
1	4a	16	20	20	14	19
2	4b	17	19	18	15	17
3	4c	17	20	19	16	17
4	4d	16	22	22	15	19
5	4e	15	20	21	18	18
6	4f	14	20	19	16	16
7	4g	15	19	18	16	17
8	4h	21	21	21	20	23
9	Ciprofloxacin	48	51	41	40	--
10	Fluconazole	--	--	--	--	40

Diameter of inhibition zone measured in mm, inhibition zone measured including well diameter. 0 - 12 = resistant, 13 - 18 = moderately active, above 19 = highly active.

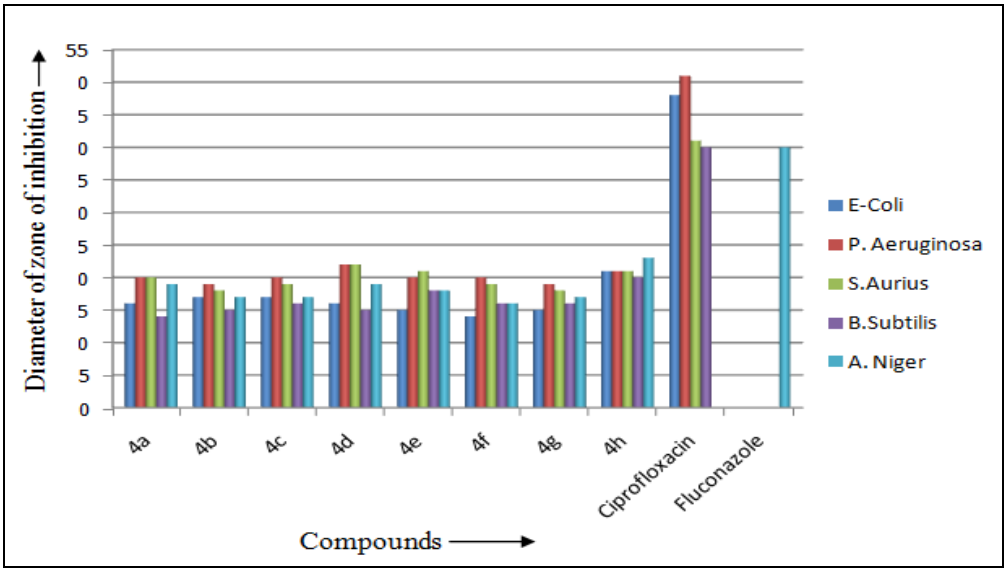


FIG. 1: COMPARISON OF DIAMETER OF ZONE OF INHIBITION OF 4(a-h) WITH STANDARD "CIPROFLOXACIN" AND "FLUCONAZOLE"

The spectral data of synthesized compound 4(a-h):

4a: 1-[3′-(4″-hydroxy phenyl)-5′-amino pyrimidine]-3-(4′-nitro phenyl)-5-(4′-dimethyl amino phenyl)-2-pyrazoline; IR (KBr, γ_{max}, cm^{-1}): 3533.21, 3415.83 (NH_2), 3084.19, 3038.30 (Ar-H), 2903.23, 2830.22 (C-H, asymmetric and symmetric stretching), 1662.12,1599.25 (C=N), 1551.42 (C=C), 1530.81, 1372.42 (Ar-NO_2), 1160.28 (C-N); ^{1}H NMR (DMSO) 400 MHz, δ ppm: 9.67 (s, 1H,-OH), 8.19-6.71 (m,13H, Ar-H), 5.62-5.59 (dd, 1H, C_5 pyrazoline), 4.67 (s,2H,-NH_2), 3.70-3.63 (dd, 1H, C_{4cis} pyrazoline), 3.18-3.14 (dd,1H,C_{4trans} pyrazoline), 3.03 (s, 6H, -$N(CH_3)_2$); ^{13}C NMR (DMSO) 100 MHz, δ ppm: 165.20 (C_1 pyrimidine), 162.60 (C_5

pyrimidine), 158.25 (C_3 pyrimidine), 152.43 (C_3 pyrazoline), 160.16 - 110.20 (18C, phenyl ring), 92.98 (C_2 pyrimidine), 59.61 (C_5 pyrazoline), 41.08 (C_4 pyrazoline), 40.03 ($N(CH_3)_2$); Mass Spectra: MS: m/z 495 (M^+).

4b: 1-[3′-(4″-methoxy phenyl)-5′-amino pyrimidine]-3-(4′-nitro phenyl)-5-(4′-dimethyl amino phenyl)-2-pyrazoline; IR (KBr, γ_{max}, cm^{-1}): 3514.26, 3421.92 (NH_2), 3089.91, 3033.18 (Ar-H), 2938.60, 2841.70 (C-H, asymmetric and symmetric stretching), 1663.92, 1598.35 (C=N), 1549.21 (C=C), 1535.71, 1372.14 (Ar-NO_2), 1155.12 (C-N); ^{1}H NMR (DMSO) 400 MHz, δ ppm: 8.22-6.66(m, 13H, Ar-H), 5.61-5.54 (dd, 1H, C_5 pyrazoline), 4.38 (s, 2H, -NH_2), 3.69-3.62 (dd, 1H, C_{4cis} pyrazoline), 3.21-3.16 (dd, 1H, C_{4trans} pyrazoline), 3.05 (s, 6H, -$N(CH_3)_2$); ^{13}C NMR (DMSO) 100 MHz, δ ppm: 164.98 (C_1 pyrimidine), 162.69 (C_5 pyrimidine), 158.33 (C_3 pyrimidine), 151.98 (C_3 pyrazoline), 158.33 – 110.60 (18C, phenyl ring), 93.07 (C_2 pyrimidine), 59.72 (C_5 pyrazoline), 55.32 (1C, -OCH_3), 41.09 (C_4 pyrazoline), 40.23 ($N(CH_3)_2$); Mass Spectra: MS: m/z 509 (M^+).

4c: 1-[3′-(3″-nitro phenyl)-5′-amino pyrimidine]-3-(4′-nitro phenyl)-5-(4′-dimethyl amino phenyl)-2-pyrazoline; IR (KBr, γ_{max}, cm^{-1}): 3532.45, 3406.88 (NH_2), 3086.20, 3049.28 (Ar-H), 2961.19, 2865.20 (C-H, asymmetric and symmetric stretching), 1663.72,1599.46 (C=N), 1551.52 (C=C), 1540.48, 1371.92 (Ar-NO_2), 1158.31 (C-N); ^{1}H NMR (DMSO) 400 MHz, δ ppm: 8.65-6.68 (m, 13H, Ar-H), 5.64-5.58 (dd, 1H, C_5 pyrazoline), 4.40 (s, 2H, -NH_2), 3.68-3.60 (dd, 1H, C_{4cis} pyrazoline), 3.23-3.19 (dd, 1H, C_{4trans} pyrazoline), 3.04 (s, 6H, -$N(CH_3)_2$); ^{13}C NMR (DMSO) 100 MHz, δ ppm: 165.04 (C_1 pyrimidine), 162.60 (C_5 pyrimidine), 157.87 (C_3 pyrimidine), 152.08 (C_3 pyrazoline), 151.48 – 110.55 (18C, phenyl ring), 93.67 (C_2 pyrimidine), 59.80 (C_5 pyrazoline), 41.20 (C_4 pyrazoline), 40.09 ($N(CH_3)_2$); Mass Spectra: MS: m/z 524 (M^+).

4d: 1-[3′-(4″-dimethyl amino phenyl)- 5′- amino pyrimidine]- 3- (4′- nitro phenyl)- 5- (4′-dimethyl amino phenyl)-2-pyrazoline; IR (KBr, γ_{max}, cm^{-1}): 3549.43, 3415.78 (NH_2), 3085.90, 3041.21 (Ar-H), 2921.62, 2862.38 (C-H, asymmetric and symmetric stretching), 1663.62, 1598.64 (C=N), 1554.61 (C=C), 1532.81, 1371.62 (Ar-NO_2), 1161.44 (C-N); ^{1}H NMR (DMSO) 400 MHz, δ ppm: 8.23-6.72 (m, 13H, Ar-H), 5.66-5.62 (dd, 1H, C_5 pyrazoline), 4.52 (s, 2H, -NH_2), 3.66-3.61 (dd, 1H, C_{4cis} pyrazoline), 3.20-3.15 (dd, 1H, C_{4trans} pyrazoline), 3.04 (s, 12H, [-$N(CH_3)_2]_2$); ^{13}C NMR (DMSO) 100 MHz, δ ppm: 165.10 (C_1 pyrimidine), 162.58 (C_5 pyrimidine), 158.01 (C_3 pyrimidine), 152.18 (C_3 pyrazoline), 151.60-110.62 (18C, phenyl ring), 92.78 (C_2 pyrimidine), 59.77 (C_5 pyrazoline), 41.10 (C_4 pyrazoline), 40.23 ($N(CH_3)_2$); Mass Spectra: MS: m/z 522 (M^+).

4e: 1-[3′-(4″-hydroxy phenyl)-5′-amino pyrimidine] -3-(4′-nitro phenyl)-5-(3′-nitro phenyl)-2-pyrazoline; IR (KBr, γ_{max}, cm^{-1}): 3522.28, 3423.92 (NH_2), 3269.51 (Ar-OH), 3086.23, 3034.91 (Ar-H), 1662.79,1596.92 (C=N), 1562.11 (C=C), 1535.82, 1369.19 (Ar-NO_2), 1163.40 (C-N); ^{1}H NMR (DMSO) 400 MHz, δ ppm: 8.86 (s, 1H,-OH), 8.23-6.78 (m,13H,Ar-H), 5.64-5.60 (dd, 1H, C_5 pyrazoline), 4.48 (s, 2H, -NH_2), 3.69-3.63 (dd, 1H, C_{4cis} pyrazoline), 3.19-3.14 (dd, 1H, C_{4trans} pyrazoline); ^{13}C NMR (DMSO) 100 MHz, δ ppm: 164.99 (C_1 pyrimidine), 162.68 (C_5 pyrimidine), 158.32 (C_3 pyrimidine), 152.23 (C_3 pyrazoline), 160.17-115.68 (18C, phenyl ring), 92.96 (C_2 pyrimidine), 59.72 (C_5 pyrazoline), 41.09 (C_4 pyrazoline); Mass Spectra: MS: m/z 497 (M^+).

4f: 1-[3′-(4″-methoxy phenyl)-5′-amino pyrimidine]-3-(4′-nitro phenyl)-5-(3′-nitro phenyl)-2-pyrazoline; IR (KBr, γ_{max}, cm^{-1}): 3521.02,3413.82 (NH_2), 3089.80, 3042.72 (Ar-H), 2719.62, 2678.23 (C-H, asymmetric and symmetric stretching), 1662.82, 1596.12 (C=N), 1550.11 (C=C), 1542.11, 1372.12 (Ar-NO_2), 1161.90 (C-N); ^{1}H NMR (DMSO) 400 MHz, δ ppm: 8.22-6.85 (m, 13H, Ar-H), 5.62-5.58 (dd, 1H,C_5 pyrazoline), 4.36 (s, 2H, -NH_2), 3.71-3.65 (dd, 1H, C_{4cis} pyrazoline), 3.80 (s, 3H, -OCH_3), 3.20-3.15 (dd, 1H, C_{4trans} pyrazoline); ^{13}C NMR (DMSO) 100 MHz, δ ppm: 164.89 (C_1 pyrimidine), 162.48 (C_5 pyrimidine), 158.22 (C_3 pyrimidine), 152.44 (C_3 pyrazoline), 159.92-114.02 (18C, phenyl ring), 92.94 (C_2 pyrimidine), 59.60 (C_5 pyrazoline), 55.45 (1C, -OCH_3), 41.23 (C_4 pyrazoline); Mass Spectra: MS: m/z 511 (M^+).

4g: 1-[3′-(3″-nitro phenyl)-5′-amino pyrimidine]-3-(4′-nitro phenyl)-5-(3′-nitro phenyl)-2-Pyrazoline; IR (KBr, γ_{max}, cm^{-1}): 3531.47, 3441.16 (NH_2), 3079.99, 3049.19 (Ar-H), 1662.89, 1599.20 (C=N),

1559.23 (C=C), 1535.11, 1375.21 (Ar-NO_2), 1160.82 (C-N); ^{1}H NMR (DMSO) 400 MHz, δ ppm: 8.78-7.74 (m, 13H, Ar-H), 5.62-5.58 (dd, 1H, C_5 pyrazoline), 4.41 (s, 2H, -NH_2), 3.69-3.63 (dd, 1H, C_{4cis} pyrazoline), 3.20-3.15 (dd, 1H, C_{4trans} pyrazoline); ^{13}C NMR (DMSO) 100 MHz, δ ppm: 164.90 (C_1 pyrimidine), 162.70 (C_5 pyrimidine), 158.42 (C_3 pyrimidine), 152.62 (C_3 pyrazoline), 148.97-121.44 (18C, phenyl ring), 93.67 (C_2 pyrimidine), 59.66 (C_5 pyrazoline), 41.34 (C_4 pyrazoline); Mass Spectra: MS: m/z 526 (M^+).

4h: 1- [3′- (2″,4″-dichloro phenyl)- 5′- amino pyrimidine]- 3- (4′- nitro phenyl)- 5- (4′- hydroxy phenyl)-2-pyrazoline; IR (KBr, γ_{max}, cm^{-1}): 3539.82, 3419.32 (NH_2), 3315.61 (Ar-OH), 3088.20, 3058.19 (Ar-H), 1662.90,1598.21 (C=N), 1553.25 (C=C), 1538.18, 1379.20 (Ar-NO_2), 1160.80 (C-N); 728.14 (C-Cl) ^{1}H NMR (DMSO) 400 MHz, δ ppm: 9.3 (s, 1H, -OH), 8.20-6.68 (m, 12H, Ar-H), 5.61-5.57 (dd, 1H, C_5 pyrazoline), 4.24 (s, 2H, -NH_2), 3.66-3.60 (dd, 1H, C_{4cis} pyrazoline), 3.19-3.14 (dd, 1H, C_{4trans} pyrazoline); ^{13}C NMR (DMSO) 100 MHz, δ ppm: 164.80 (C_1 pyrimidine), 162.77 (C_5 pyrimidine), 158.47 (C_3 pyrimidine), 152.44 (C_3 pyrazoline), 157.78-116.22 (18C, phenyl ring), 93.44 (C_2 pyrimidine), 59.88 (C_5 pyrazoline), 41.22 (C_4 pyrazoline); Mass Spectra: MS: m/z 520 (M^+).

Pharmacology:

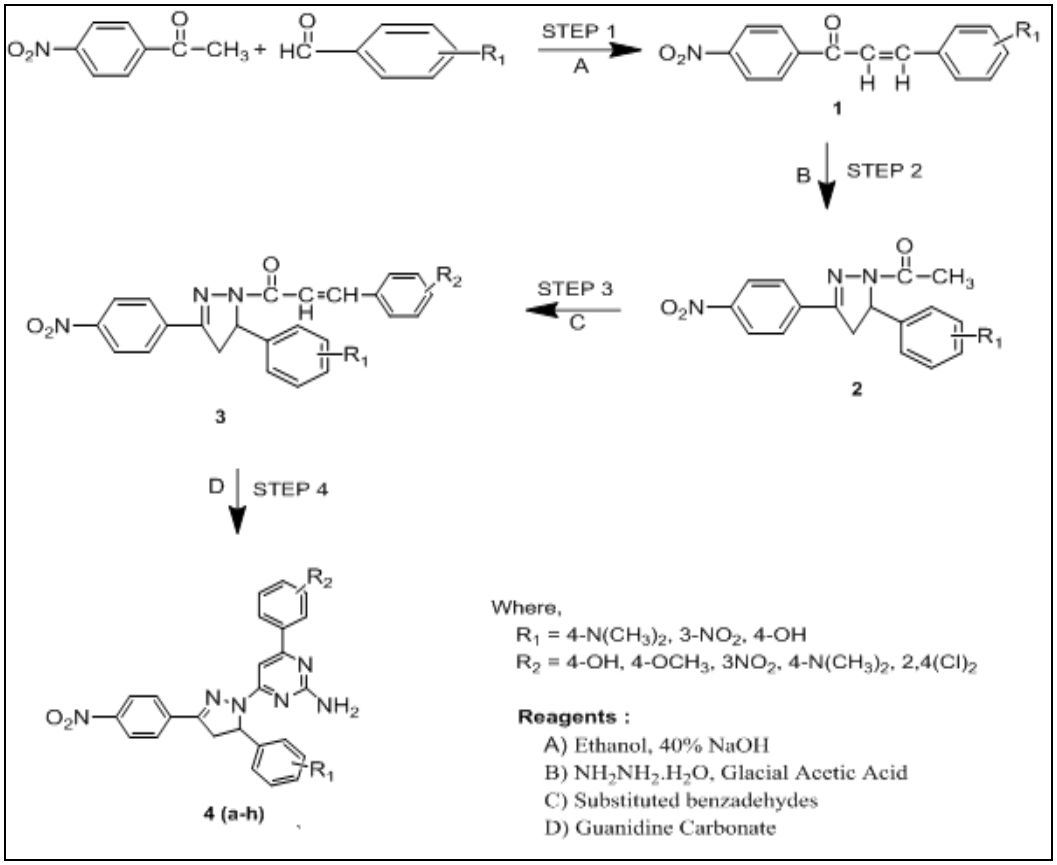
Evaluation of Antimicrobial Activity: The *in vitro* antimicrobial studies were carried out by agar well diffusion method [35, 36]. Preparation of nutrient broth, subculture and agar medium were done as per standard procedure. Each petri dish containing Muller-Hinton agar medium was inoculated with one bacterial culture by spreading the suspension of the organism with a sterile glass rod with a bended tip. For fungal culture potato-dextrose-agar (PDA) medium was used. In each plate wells of 10 mm diameter were made at equal distances using sterile cork borer. Each test compound (5 mg) was dissolved in dimethyl sulfoxide (5 ml) to give a concentration of 1000 µg/ml. All the compounds and standard were tested at (0.2 ml) 200 µg dose level and DMSO used as a control. One well was filled with 0.2 ml of standard drug and DMSO dissolved compounds were added into the other wells by using sterile pipettes simultaneously. The standard antibiotics ciprofloxacin for antibacterial activity and fluconazole for antifungal activity were also prepared at a concentration of 1000 µg/ml in sterilized distilled water and tested against the pathogen. The plates were incubated at 37 °C for 24 hour for bacteria and at 28 °C for 48 hour for fungi. After appropriate incubation the diameter of zone of inhibition of each well was measured. Duplicated were maintained and the average values were calculated for eventual anti-microbial activity.

RESULT AND DISCUSSION: The reaction sequence leading to the synthesis of targeted analogue 4(a-h) are outline in **Scheme 1.** These compounds were characterized by IR, ^{1}H NMR, ^{13}C NMR, mass spectra and elemental analysis. The physicochemical characterization data of synthesized compound 4(a-h) were given in **Table 1**. Elemental analysis showed that the percentage of nitrogen, hydrogen and carbon was found experimentally is equivalent to the calculated values in all compounds. The IR spectra showed 2 peaks in the region 3550–3400 cm^{-1} due to NH_2 group and no C=O stretching. Ar-H vibrations are also observed in the region 3100-3030 cm^{-1}. The peaks were found in the region 1664-1549 cm^{-1} due to C=N and C=C groups.

The ^{1}H NMR (DMSO) spectra showed multi-plates in the range δ8.8-6.6 ppm due to aromatic protons. Singlet at δ4.4, δ3.8, δ3.04 ppm and δ9.6-δ8.5 ppm due to –NH_2 of pyrimidine ring, -OCH_3, –$N(CH_3)_2$, and –OH substituents at phenyl ring respectively. The ^{13}C NMR (DMSO) spectra showed peaks in the range of δ41.10, δ59.60 and δ92.7 ppm for C_4-pyrazoline, C_5-pyrazoline and C_5-pyrimidine respectively. IR peaks proved the presence of particular functional groups and mass spectra helps to find the molecular weight of the synthesized compounds. The molecular ion peaks were equivalent to the molecular weight of proposed compounds. Hence m/z value confirms the molecular weight of respective synthesized compound.

The synthesized pyrazoline derivatives 4(a-h) were evaluated for antimicrobial activity against bacterial and fungal strains by agar well diffusion method. The micro-organisms selected for antibacterial activity was *Bacillus substilis*, *Streptococcus aureus*: gram positive strain and *Pseudomonas aeruginosa*, *Escherichia coli*: gram

negative strain. *Aspergillus niger* was selected for antifungal activity. All compounds emerged as active and showed moderate to high activity against both bacterial and fungal strains. 4h is highly active for all micro-organisms selected for study. All compounds were highly active for *Pseudomonas aeruginosa* and *Streptococcus aureus*. 4a, 4b, 4c, 4d, 4e, 4f, 4g are moderately active for *Escherichia coli* and *Bacillus substilis*. 4a, 4d and 4h showed high activity for *Aspergillus niger* whereas others are moderately active. Thus it can be observed that all compounds exhibited higher antibacterial activity as compared to antifungal activity **Table 2.** Comparison of diameter of zone of inhibition of 4(a-h) with standard "Ciprofloxacin" and "Fluconazole" are represented in **Fig. 1**.



SCHEME 1: SYNTHESIS OF 1-[3/-(SUBSTITUTED PHENYL)-5/-(AMINO PYRIMIDINE)]-3-(4/ NITRO PHENYL)-5-(SUBSTITUTED PHENYL)-2-PYRAZOLINES

CONCLUSION: All compounds were found to be sensitive against all selected microorganisms and showed moderate to high activity. 4h was found to be most effective against all selected microorganisms. The antibacterial activity was found to be better than antifungal activity.

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CONFLICT OF INTEREST: There is no conflict of interest.

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