

Synthesis, Characterization and Antimicrobial Studies of Novel Pyrazoline Derivatives

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Abstract: Various substituted ketones (R1-R10) were condensed with Thiophene aldehyde in the presence of ethanol to get various chalcones (P1-P10). Resulted chalcones were then made to react with hydrazine hydrate and hydrazine hydrate derivatives to get the various Pyrazoline compounds (PP1-PP10 and AA1-AA10). The structures of these compounds are established on the basis of elemental analysis and spectral analysis (UV, IR and NMR etc.). The synthesized compounds were evaluated for antimicrobial activity. All these compounds were found effective against almost all microorganisms.

Keywords: Substituted ketones, Thiophene aldehyde, chalcones, hydrazine hydrate derivatives, Pyrazolines, and antimicrobial activity.

INTRODUCTION

Pyrazoline derivatives possess a wide range of biological activities which has fueled the interest in research activity in this area. Pyrazolines are the dihydrate form of pyrazoles and are well known five member nitrogen containing heterocyclic compounds. Various procedures have been developed for their synthesis. Pyrazolines have been reported to show various biological activities including antibacterial [1], antifungal [1], anti-inflammatory [2, 3], antitubercular [4, 5], anticancer [6-8], analgesic [11] and anticonvulsant [12] activities. The literature survey reveals that chalcones possess varied biological activities such as antitubercular, analgesic and antifungal activity, further more they are very good starting material for the synthesis of the Pyrazoline compounds.

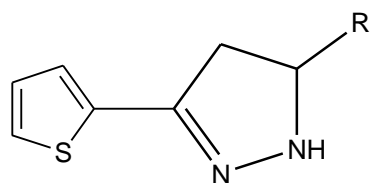
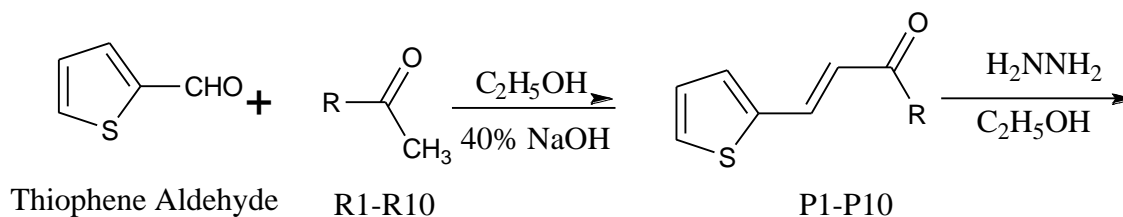
In view of these findings active heterocyclic compounds and their increasing importance in pharmaceutical and biological field it completed to synthesize some new chemical entities from the one active pharmacophore from a same intermediate and to evaluate their biological activities [9]. In this regard, chalcone would be suited for preparing Pyrazolines. Screening of newly synthesized compounds for antimicrobial studies. Various substituted ketones were condensed with Thiophene aldehyde in the presence of ethanol and 40% NaOH to get α , β -unsaturated-2-thiophenyl ketone derivatives (chalcones) [10]. Resulted chalcones were then made to react with hydrazine hydrate derivatives to get the various Pyrazoline compounds. The structures of these compounds are established on the basis of elemental analysis and spectral analysis (UV, IR and NMR etc.). The synthesized compounds were evaluated for antimicrobial activity. All these compounds were found effective against almost all microorganisms.

MATERIALS AND METHODS

Synthetic starting material, reagents and solvents were of analytical grade or of the highest quality commercially available. Melting points were recorded in open capillaries and are uncorrected. The purity of the compounds was checked by TLC using silica gel-G. IR spectra were recorded on Perkin-Elmer-710 spectrophotometer in nujol and ^1H NMR spectra on Bruker DRX-300 at 300 MHz were recorded in DMSO- d_6 . Elemental analysis was recorded on Carlo Erba 1108. The reaction sequences of formation of substituted phenyl Pyrazolines is given in Scheme-1

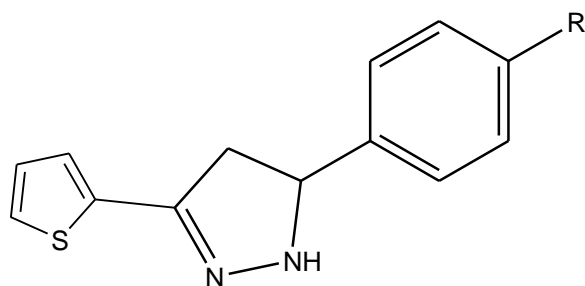
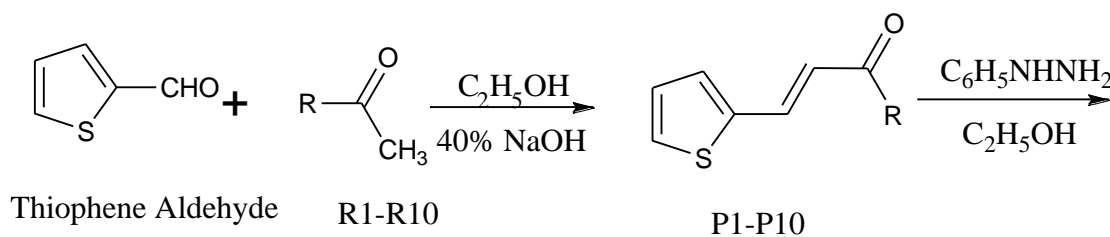
SCHEMES FOR SYNTHESIS

Scheme 1



PP1-PP10

Scheme 2



AA1-AA10

code	code	R
PP1	AA1	Ar-2,4-OH
PP2	AA2	Ar-4-OH
PP3	AA3	Ar-3-Br
PP4	AA4	Ar-3-NH ₂
PP5	AA5	Ar-4-NH ₂
PP6	AA6	Ar-4-Br
PP7	AA7	Ar-4-Cl
PP8	AA8	Ar-3-OH
PP9	AA9	Ar-4-OCH ₃
PP10	AA10	Ar-4-NO ₂

General Procedure: Scheme 1

- 1) **Synthesis of Chalcones:** A clear solution of Thiophene aldehyde (0.01 mole), in 10mL of ethanol was added to the mixture of acetone (1.6g, 0.01 mole) in 15 mL of ethanol and aqueous NaOH (40%, 6mL) with stirring at 20°C and stirring was continued at room temperature for 24 hr. the contents were poured into about 200 g of crushed ice and the solid product separated was filtered, dried and recrystallized from ethyl acetate.

- 2) **Synthesis of Pyrazoline derivatives:** To the chalcones (0.01 mole) added 80% of hydrazine hydrate (0.012 mole) in 25 mL of ethanol and refluxed on water bath for 5-6 hr. the reaction mixture was then poured into 200 ml of ice cold water. The solid obtained was filtered, washed with water and dried. Then purified by crystallization from ethanol.

General Procedure: Scheme 2

- 1) **Synthesis of Chalcones:** A clear solution of Thiophene aldehyde (0.01 mole), in 10mL of ethanol was added to the mixture of acetone (1.6g, 0.01 mole) in 15 mL of ethanol and aqueous NaOH (40%, 6mL) with stirring at 20°C and stirring was continued at room temperature for 24 hr. the contents were poured into about 200 g of crushed ice and the solid product separated was filtered, dried and recrystallized from ethyl acetate.
- 2) **Synthesis of Pyrazoline derivatives:** To the chalcones (0.01 mole) added 80% of Phenyl hydrazine (0.012 mole) in 25 mL of ethanol and refluxed on water bath for 5-6 hr. the reaction mixture was then poured into 200 ml of ice cold water. The solid obtained was filtered, washed with water and dried. Then purified by crystallization from ethanol.

CHARECTERISATION DATA

Table-1: indicates % yield and M.P. of various corresponding chalcones and Pyrazolines synthesized.

Sr. No	code	Molecular Formula	Physical nature	% yield	M.P.(°C)
01	PP1	C ₁₃ H ₁₂ N ₂ O ₂ S	White Crystalline solid	64	204-206
02	PP2	C ₁₃ H ₁₂ N ₂ OS	White Crystalline solid	58	220-222
03	PP3	C ₁₃ H ₁₁ BrN ₂ S	Pale Yellow crystals	68	214-216
04	PP4	C ₁₃ H ₁₃ N ₃ S	Pale Yellow crystals	70	138-140
05	PP5	C ₁₃ H ₁₃ N ₃ S	Pale Yellow crystals	62	110-112
06	PP6	C ₁₃ H ₁₁ BrN ₂ S	White Crystalline solid	67	104-106
07	PP7	C ₁₃ H ₁₁ ClN ₂ S	White Crystalline solid	60	102-104
08	PP8	C ₁₃ H ₁₂ N ₂ OS	White Crystalline solid	65	198-200
09	PP9	C ₁₄ H ₁₄ N ₂ OS	White Crystalline solid	68	110-112
10	PP10	C ₁₃ H ₁₁ N ₃ O ₂ S	Light Orange color crystals	71	178-180
11	AA1	C ₁₉ H ₁₆ N ₂ O ₂ S	White Crystalline solid	64	220-222
12	AA2	C ₁₉ H ₁₄ N ₂ OS	White Crystalline solid	60	190-192
13	AA3	C ₁₉ H ₁₅ BrN ₂ S	Pale Yellow crystals	66	202-204
14	AA4	C ₁₉ H ₁₇ N ₃ S	Pale Yellow crystals	59	202-204
15	AA5	C ₁₉ H ₁₇ N ₃ S	Pale Yellow crystals	70	228-230
16	AA6	C ₁₉ H ₁₅ BrN ₂ S	White Crystalline solid	65	214-216
17	AA7	C ₁₉ H ₁₅ ClN ₂ S	White Crystalline solid	60	240-242
18	AA8	C ₁₉ H ₁₆ N ₂ OS	White Crystalline solid	64	214-216
19	AA9	C ₂₀ H ₁₈ N ₂ OS	White Crystalline solid	62	234-236
20	AA10	C ₁₉ H ₁₅ N ₃ O ₂ S	Orange color crystals	67	216-218

All the compounds gave CHN analysis results within the permissible limits and were confirmed on the basis of spectral data wherever necessary

SPECTRAL DATA FOR VARIOUS SYNTHESIZED COMPOUNDS

4-(4,5-dihydro-3-(thiophen-2-yl)-1H-pyrazol-5-yl)benzene-1,3-diol (PP1): IR(KBr, cm⁻¹):3200(N-H Pyrazoline), 3354(O-H), 1596(C=N),1439(C=C_{Ar}),1410(C=C Thiophene); ¹H-NMR(CDCl₃) ¹H NMR: δ 2.92 (1H, dd, J = 8.1, 7.9 Hz), 3.12 (1H, dd, J = 7.9, 4.3 Hz), 5.15 (1H, dd, J = 8.1, 4.3 Hz), 6.54 (1H, dd, J = 2.7, 0.5 Hz), 6.63 (1H, dd, J = 7.6, 2.7 Hz), 6.89 (1H, dd, J = 7.4, 1.1 Hz), 7.00 (1H, dd, J = 7.4, 4.9 Hz), 7.16-7.21 (2H, 7.18 (dd, J = 7.6, 0.5 Hz), 7.18 (dd, J = 4.9, 1.1 Hz).; ¹³C-NMR δ:43.6,44.9,103.4,108.3,123.5,124.4,125.8,127.4, 155.4,155.6157.9; HR-EST-MS: calculated for C₁₃H₁₂N₂O₂S(260.31) found (260.06)M⁺ Na; Anal. calcd for C₁₃H₁₂N₂O₂S:C,59.88; H,4.65;N,10.76;O,12.29 and S, 12.32 and found C,59.70;H,4.45; N,10.56;O,12.10 and S,12.22

4-(4,5-dihydro-3-(thiophen-2-yl)-1H-pyrazol-5-yl) phenol (PP2): IR(KBr, cm⁻¹):3178(N-H Pyrazoline), 3350(O-H), 1589(C=N),1510(C=C_{Ar}) 1412(C=C Thiophene); ¹H NMR: δ 2.82-2.95 (2H, 2.87 (dd, J = 8.1, 7.2 Hz), 2.92 (dd, J = 7.2, 4.3 Hz)), 5.10 (1H, dd, J = 8.1, 4.3 Hz), 6.72 (2H, ddd, J = 8.2, 2.2, 0.5 Hz), 6.89 (1H, dd, J = 7.4, 1.1 Hz), 7.00 (1H, dd, J = 7.4, 4.9 Hz), 7.18 (1H, dd, J = 4.9, 1.1 Hz), 7.23 (2H, ddd, J = 8.2, 1.0, 0.5 Hz).; ¹³C-NMR δ:43.3, 51.4, 115.7, 125.8, 127.0,127.2 127.4,136.1,155.6,156.5; HR-EST-MS: calculated for C₁₃H₁₂N₂OS(244.31) found (244.07)M⁺ Na; Anal. calcd for C₁₃H₁₂N₂OS:C,63.91; H,4.95;N,11.47;O,6.55 and S, 13.12 and found C,63.74;H,4.65; N,10.45;O,6.18 and S,12.04

5-(brominin-3-yl)-4,5-dihydro-3-(thiophen-2-yl)-1H-pyrazole (PP3): IR(KBr, cm^{-1}):3240(N-H Pyrazoline), 1586(C=N),1488(C=C_{Ar}) ,1410(C=C Thiophene); ^1H NMR: δ 2.92-3.03 (2H, 2.97 (dd, $J = 10.8, 8.1$ Hz), 2.98 (dd, $J = 10.8, 4.3$ Hz)), 5.23 (1H, dd, $J = 8.1, 4.3$ Hz), 6.89 (1H, dd, $J = 7.4, 1.1$ Hz), 6.96-7.06 (2H, 7.03 (ddd, $J = 8.0, 1.5, 1.1$ Hz), 7.00 (dd, $J = 7.4, 4.9$ Hz)), 7.18 (1H, dd, $J = 4.9, 1.1$ Hz), 7.26-7.36 (3H, 7.32 (td, $J = 1.5, 0.5$ Hz), 7.32 (td, $J = 8.0, 0.5$ Hz), 7.29 (ddd, $J = 8.1, 1.5, 1.1$ Hz)). ^{13}C -NMR δ :43.3, 50.4, 122.9,124.4, 125.8,125.9,127.4 129.3,129.6,145.7,155.6; HR-EST-MS: calculated for $\text{C}_{12}\text{H}_{11}\text{BrN}_2\text{S}$ (295.2) found (295.98) M^+ Na; Anal. calcd for $\text{C}_{12}\text{H}_{11}\text{BrN}_2\text{S}$:C,48.82; H,3.76;N,9.49 and S, 10.86 and found C,47.77;H,3.56; N,9.45; and S,10.06.

3-(4,5-dihydro-3-(thiophen-2-yl)-1H-pyrazol-5-yl)benzenamine (PP4): IR(KBr, cm^{-1}):3320(N-H Pyrazoline), 1601(C=N),1491(C=C_{Ar}) ,1408(C=C Thiophene); ^1H NMR: δ 2.91-3.05 (2H, 2.97 (dd, $J = 10.9, 8.1$ Hz), 3.00 (dd, $J = 10.9, 4.3$ Hz)), 5.25 (1H, dd, $J = 8.1, 4.3$ Hz), 6.80-6.92 (3H, 6.83 (ddd, $J = 8.2, 2.3, 1.4$ Hz), 6.89 (dd, $J = 7.4, 1.1$ Hz), 6.89 (ddd, $J = 8.2, 2.3, 2.3$ Hz)), 7.00 (1H, dd, $J = 7.4, 4.9$ Hz), 7.10-7.20 (3H, 7.18 (ddd, $J = 2.3, 1.4, 0.5$ Hz), 7.18 (dd, $J = 4.9, 1.1$ Hz), 7.15 (td, $J = 8.2, 0.5$ Hz)). ^{13}C -NMR δ :43.3, 51.1, 113.0,116.9, 124.4,125.8,127.4 144.3,148.2,155.6; HR-EST-MS: calculated for $\text{C}_{13}\text{H}_{13}\text{N}_3\text{S}$ (243.3) found (243.08) M^+ Na; Anal. calcd for $\text{C}_{13}\text{H}_{13}\text{N}_3\text{S}$:C,64.17; H,5.39;N,17.27 and S, 13.18 and found C,63.74;H,5.06; N,17.15; and S,12.14.

4-(4,5-dihydro-3-(thiophen-2-yl)-1H-pyrazol-5-yl)benzenamine (PP5): IR(KBr, cm^{-1}):3347(N-H Pyrazoline), 1587(C=N),1510(C=C_{Ar}) ,1410(C=C Thiophene); ^1H NMR: δ 2.86 (1H, dd, $J = 8.1, 7.2$ Hz), 2.96 (1H, dd, $J = 7.2, 4.3$ Hz), 5.07 (1H, dd, $J = 8.1, 4.3$ Hz), 6.70 (2H, ddd, $J = 8.2, 1.2, 0.6$ Hz), 6.89 (1H, dd, $J = 7.4, 1.1$ Hz), 7.00 (1H, dd, $J = 7.4, 4.9$ Hz), 7.16-7.24 (3H, 7.21 (ddd, $J = 8.2, 1.1, 0.6$ Hz), 7.18 (dd, $J = 4.9, 1.1$ Hz)). ^{13}C -NMR δ :43.3, 51.1, 115.0, 124.4,125.8, 127.2,127.4 146.4,155.6; HR-EST-MS: calculated for $\text{C}_{13}\text{H}_{13}\text{N}_3\text{S}$ (243.3) found (243.08) M^+ Na; Anal. calcd for $\text{C}_{13}\text{H}_{13}\text{N}_3\text{S}$:C,64.17; H,5.39;N,17.27 and S, 13.18 and found C,63.74;H,5.06; N,17.15; and S,12.14.

5-(4-bromophenyl)-4,5-dihydro-3-(thiophen-2-yl)-1H-pyrazole (PP6): IR(KBr, cm^{-1}):3178(N-H Pyrazoline), 3329(O-H), 1589(C=N),1490(C=C_{Ar}) 1410(C=C Thiophene),816(C-Br); ^1H NMR: δ 2.87 (1H, dd, $J = 10.8, 4.3$ Hz), 2.97 (1H, dd, $J = 10.8, 8.1$ Hz), 5.20 (1H, dd, $J = 8.1, 4.3$ Hz), 6.89 (1H, dd, $J = 7.4, 1.1$ Hz), 7.00 (1H, dd, $J = 7.4, 4.9$ Hz), 7.18 (1H, dd, $J = 4.9, 1.1$ Hz), 7.34 (2H, ddd, $J = 7.8, 1.4, 0.6$ Hz), 7.48 (2H, ddd, $J = 7.8, 1.5, 0.6$ Hz).; ^{13}C -NMR δ :43.3,51.1,121.1,124.4,125.8,127.2,127.4,131.4,142.5,155.6; HR-EST-MS: calculated for $\text{C}_{13}\text{H}_{11}\text{BrN}_2\text{S}$ (305.98) found (307.21) M^+ Na; Anal. calcd for $\text{C}_{13}\text{H}_{11}\text{BrN}_2\text{S}$:C,50.83; H,3.61; Br,26.01; N,9.12; and S, 10.44 and found C,50.74;H,3.65; N,9.45; and S,10.04

5-(4-chlorophenyl)-4,5-dihydro-3-(thiophen-2-yl)-1H-pyrazole (PP7): IR(KBr, cm^{-1}):3178(N-H Pyrazoline), 3329(O-H), 1589(C=N),1490(C=C_{Ar}) 1410(C=C Thiophene),816(C-Br); ^1H NMR: δ 2.88-3.02 (2H, 2.97 (dd, $J = 10.8, 8.1$ Hz), 2.93 (dd, $J = 10.8, 4.3$ Hz)), 5.22 (1H, dd, $J = 8.1, 4.3$ Hz), 6.86-6.94 (2H, 6.90 (ddd, $J = 8.2, 2.9, 2.6$ Hz), 6.89 (dd, $J = 7.4, 1.1$ Hz)), 6.96-7.05 (3H, 7.01 (ddd, $J = 7.9, 2.6, 2.5$ Hz), 7.00 (dd, $J = 7.4, 4.9$ Hz), 6.98 (ddd, $J = 2.9, 2.5, 0.5$ Hz)), 7.16-7.28 (2H, 7.23 (ddd, $J = 8.2, 7.9, 0.5$ Hz), 7.18 (dd, $J = 4.9, 1.1$ Hz)). ^{13}C -NMR δ :43.3,51.4,124.4,125.8, 127.2,127.4, 132.3,141.6,155.6; HR-EST-MS: calculated for $\text{C}_{13}\text{H}_{11}\text{ClN}_2\text{S}$ (262.03) found (262.76) M^+ Na; Anal. calcd for $\text{C}_{13}\text{H}_{11}\text{ClN}_2\text{S}$:C,59.42; H,4.22; Cl,13.49; N,10.66; and S, 12.20 and found C,59.74;H,4.65;Cl,13.45; N,10.45; and S,12.04

3-(4,5-dihydro-3-(thiophen-2-yl)-1H-pyrazol-5-yl)phenol (PP8): IR(KBr, cm^{-1}):3178(N-H Pyrazoline), 3329(O-H), 1589(C=N),1490(C=C_{Ar}) 1410(C=C Thiophene),816(C-Br); ^1H NMR: δ 2.88-3.02 (2H, 2.97 (dd, $J = 10.8, 8.1$ Hz), 2.93 (dd, $J = 10.8, 4.3$ Hz)), 5.22 (1H, dd, $J = 8.1, 4.3$ Hz), 6.86-6.94 (2H, 6.90 (ddd, $J = 8.2, 2.9, 2.6$ Hz), 6.89 (dd, $J = 7.4, 1.1$ Hz)), 6.96-7.05 (3H, 7.01 (ddd, $J = 7.9, 2.6, 2.5$ Hz), 7.00 (dd, $J = 7.4, 4.9$ Hz), 6.98 (ddd, $J = 2.9, 2.5, 0.5$ Hz)), 7.16-7.28 (2H, 7.23 (ddd, $J = 8.2, 7.9, 0.5$ Hz), 7.18 (dd, $J = 4.9, 1.1$ Hz)). ^{13}C -NMR δ :43.3, 51.4,119.5; 124.4,125.8, 127.2,127.4,129.9; 144.9,156.8; HR-EST-MS: calculated for $\text{C}_{13}\text{H}_{12}\text{N}_2\text{OS}$ (244.07) found (244.31) M^+ Na; Anal. calcd for $\text{C}_{13}\text{H}_{12}\text{N}_2\text{OS}$:C,63.91; H,4.95; N,11.47; O,6.55; and S, 13.12 and found C,63.84; H,4.75; N,11.42; O,6.52 and S,13.04

4,5-dihydro-5-(4-methoxyphenyl)-3-(thiophen-2-yl)-1H-pyrazole (PP9): IR(KBr, cm^{-1}):3178(N-H Pyrazoline), 3329(O-H), 1589(C=N),1490(C=C_{Ar}) 1410(C=C Thiophene),816(C-Br); ^1H NMR: δ 2.81-2.90 (2H, 2.85 (dd, $J = 8.1, 7.4$ Hz), 2.86 (dd, $J = 7.4, 4.3$ Hz)), 3.74 (3H, s), 5.05 (1H, dd, $J = 8.1, 4.3$ Hz), 6.81 (2H, ddd, $J = 8.6, 1.1, 0.6$ Hz), 6.89 (1H, dd, $J = 7.4, 1.1$ Hz), 7.00 (1H, dd, $J = 7.4, 4.9$ Hz), 7.16-7.25 (3H, 7.22 (ddd, $J = 8.6, 1.0, 0.6$ Hz), 7.18 (dd, $J = 4.9, 1.1$ Hz)). ^{13}C -NMR δ : 43.3, 51.1,55.8, 114.1; 124.4,125.8, 126.6,127.2,127.4,135.8,155.6, 156.8; HR-EST-MS: calculated for $\text{C}_{14}\text{H}_{14}\text{N}_2\text{OS}$ (258.08) found (258.34) M^+ Na; Anal. calcd for $\text{C}_{14}\text{H}_{14}\text{N}_2\text{OS}$:C,65.09; H,5.46; N,10.84; O,6.19; and S, 12.41 and found C,65.04; H,5.45; N,10.82; O,6.12 and S,12.54

4,5-dihydro-5-(4-nitrophenyl)-3-(thiophen-2-yl)-1H-pyrazole (PP10): IR(KBr, cm^{-1}):3178(N-H Pyrazoline), 3329(O-H), 1589(C=N),1490(C=C_{Ar}) 1410(C=C Thiophene),816(C-Br); ^1H NMR: δ 2.86 (1H, dd, $J = 4.3, 4.0$ Hz), 2.97 (1H, dd, $J = 8.1, 4.0$ Hz), 5.20 (1H, dd, $J = 8.1, 4.3$ Hz), 6.89 (1H, dd, $J = 7.4, 1.1$ Hz), 7.00 (1H, dd, $J = 7.4, 4.9$ Hz),

7.18 (1H, dd, $J = 4.9, 1.1$ Hz), 7.37 (2H, ddd, $J = 8.5, 1.6, 0.5$ Hz), 8.06 (2H, ddd, $J = 8.5, 1.9, 0.5$ Hz). $^{13}\text{C-NMR}$ δ : 43.3, 51.1, 123.4, 123.7, 124.4, 125.8, 127.2, 127.4, 149.6, 155.6; HR-EST-MS: calculated for $\text{C}_{13}\text{H}_{11}\text{N}_3\text{O}_2\text{S}$ (273.06) found (273.31) M^+Na ; Anal. calcd for $\text{C}_{13}\text{H}_{11}\text{N}_3\text{O}_2\text{S}$: C, 57.13; H, 4.06; N, 15.37; O, 11.71; and S, 11.73 and found C, 57.13; H, 4.15; N, 15.32; O, 11.62 and S, 11.64

ANTIMICROBIAL ACTIVITY

Synthesized compounds were screened for their *in-vitro* antibacterial activity against *P. aeruginosa* ATCC 2853, *E. coli* ATCC 25922, *S. aureus* ATCC 9144, *B. subtilis* at 100 $\mu\text{g/mL}$ and *in-vitro* antifungal activity against *Candida albicans* ATCC 2091 and *Aspergillus niger* ATCC 9029 activities at 100 $\mu\text{g/mL}$ concentrations. Standard antibacterial Ciprofloxacin (Dr. Reddy's Laboratories, Batch No: IC666E04, India) and standard antifungal ketoconazole (Wuhan Shengmao Corporation, Batch No: SBML/403, China) were also screened under similar conditions for comparison. DMF was used as a solvent control. The culture media was nutrient agar and method employed was cup plate method. All the tested compounds showed significant activity comparable with that of the standard. The antimicrobial activity studies shown in Table-2 & 3.

RESULTS AND DISCUSSION

Table-2: Results of Anti-bacterial activity (PP1-PP10, AA1-AA10)

Sl. No	code	Diameter of zone of inhibition (in mm)			
		<i>P.aeruginosa</i>	<i>E.coli</i>	<i>S.aureus</i>	<i>B.subtilis</i>
01	PP1	09	09	12	11
02	PP2	10	10	11	10
03	PP3	12	10	14	13
04	PP4	14	11	15	12
05	PP5	16	11	16	14
06	PP6	18	14	16	14
07	PP7	17	16	18	18
08	PP8	15	12	11	14
09	PP9	18	16	16	18
10	PP10	10	12	10	12
11	AA1	09	09	12	11
12	AA2	10	10	11	10
13	AA3	12	10	14	13
14	AA4	14	11	15	12
15	AA5	16	11	16	14
16	AA6	18	14	16	14
17	AA7	17	16	18	18
18	AA8	15	12	11	14
19	AA9	18	16	16	18
20	AA10	09	09	12	11
21	Ampicillin	21	20	22	20
22	DMSO	-	-	-	-

Table-3: Results of Anti-fungal activity (PP1-PP10, AA1-AA10)

Sl. No	code	Diameter of zone of inhibition (in mm)	
		<i>Candida albicans</i>	<i>Aspergillus niger</i>
01	PP1	07	07
02	PP2	08	07
03	PP3	07	08
04	PP4	10	09
05	PP5	12	12
06	PP6	12	13
07	PP7	13	14
08	PP8	09	11
09	PP9	12	12
10	PP10	11	12
11	AA1	07	07
12	AA2	08	07
13	AA3	07	08
14	AA4	10	09
15	AA5	12	12
16	AA6	12	13
17	AA7	13	14
18	AA8	09	11
19	AA9	12	12
20	AA10	12	11
21	Ketoconazole	16	18
22	DMSO	-	-

SUMMARY AND CONCLUSION

In the present study we used this strategy for the synthesis of new Pyrazoline derivatives in the hope that they may possess antimicrobial activity. The chalcones were prepared from the reaction of Thiophene aldehydes with various substituted ketones, in presence of dilute sodium hydroxide. The infrared spectra of the synthesized chalcones showed a carbonyl absorption in the region 1655-1665 cm^{-1} which is characteristic of the, β -unsaturated carbonyl group as well as olefinic C=C band in the region 1604-1611. The electronic spectra exhibited two absorption maxima in the regions 234-270nm and 294-320 nm. All the synthesized compounds were tested for their antimicrobial activity against *S.aureus*, *E.coli*, *P.aeruginosa*, *B.subtilis* and *Candida albicans* and *A. niger* by cup-plate agar diffusion method at a conc. of 50ug/ml and 100ug/ml in DMF using Ampicillin and ketoconazole as reference standards. All these compounds were found effective against almost all microorganisms.

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REFERENCES

1. Yar, S. M., Siddiqui, A. A., & Ali, A. M. (2007). Synthesis and antimycobacterial activity of novel heterocycles. *Journal of the Serbian Chemical Society*, 72(1), 5-11.
2. Adhikari, A. V. (2009). Synthesis of some new pyrazolines and isoxazoles carrying 4-methylthiophenyl moiety as potential analgesic and anti-inflammatory agents.
3. Amir, M., Kumar, H., & Khan, S. A. (2008). Synthesis and pharmacological evaluation of pyrazoline derivatives as new anti-inflammatory and analgesic agents. *Bioorganic & medicinal chemistry letters*, 18(3), 918-922.
4. Abunada, N. M., Hassaneen, H. M., Kandile, N. G., & Miqdad, O. A. (2008). Synthesis and biological activity of some new pyrazoline and pyrrolo [3, 4-c] pyrazole-4, 6-dione derivatives: reaction of nitrilimines with some dipolarophiles. *Molecules*, 13(4), 1011-1024.
5. Budakoti, A., Bhat, A. R., Athar, F., & Azam, A. (2008). Syntheses and evaluation of 3-(3-bromo phenyl)-5-phenyl-1-(thiazolo [4, 5-b] quinoxaline-2-yl)-2-pyrazoline derivatives. *European journal of medicinal chemistry*, 43(8), 1749-1757.
6. Revanasiddappa, B. C., Rao, R. N., Subrahmanyam, E. V. S., & Satyanarayana, D. (2010). Synthesis and biological evaluation of some novel 1, 3, 5-trisubstituted pyrazolines. *Journal of Chemistry*, 7(1), 295-298.
7. Havrylyuk, D., Zimenkovsky, B., Vasylenko, O., Zaprutko, L., Gzella, A., & Lesyk, R. (2009). Synthesis of novel thiazolone-based compounds containing pyrazoline moiety and evaluation of their anticancer activity. *European journal of medicinal chemistry*, 44(4), 1396-1404.

8. Maleki, B., Moghaddam, M. K., Hojati, S. M., Gholizadeh, M., & Saehabadi, H. (2009). synthesis and characterization of a series of 1, 3, 5-trisubstituted-2-pyrazolinc derivatives using methanoic acid under thermal condition. *Journal of the Serbian Chemical Society*, 74.
9. Venkataraman, S., Jain, S., Shah, K., & Upmanyu, N. (2010). Synthesis and biological activity of some novel pyrazolines. *Acta Pol. Pharm*, 67(4), 361-366.
10. Srinath, N., Prasad, Y. R., & Mukkanti, K. (2011). Synthesis and analgesic activity of some 1, 3, 5-trisubstituted-2-pyrazolines. *Int J Curr Pharm Res*, 3(1), 76-80.
11. Siddiqui, A. A., Rahman, M. A., Shaharyar, M., & Mishra, R. (2010). Synthesis and anticonvulsant activity of some substituted 3, 5-diphenyl-2-pyrazoline-1-carboxamide derivatives. *Chem Sci J*, 1, 1-10.
12. Das, B. C., Bhowmilk, D., Chiranjib, B., & Mariappan, G. (2010). Synthesis and biological evaluation of some pyrazoline derivatives. *J Pharm Res*, 3(6), 1345-1348.