

Synthesis, characterization and antibacterial activity of new triphenyl gathered dihydro pyrazoles

Basavaiah Umesha and Yeriur Basavaiah Basavaraju*.

Department of Studies in Chemistry, University of Mysore, Manasagangotri, Mysore, Karnataka, India.

*Corresponding Author: E-Mail: basavaraju_yb@yahoo.co.in

ABSTRACT

New triphenyl gathered pyrazoles were synthesized in two-step reaction via chalcone route. The first step is the synthesis of chalcones by the reaction of acetophenone and substituted aromatic aldehydes in the presence of sodium hydroxide in water-ethanol mixture. The second step is the reaction of chalcones and phenyl hydrazine in absolute alcohol gave triphenyl gathered pyrazoles (3a-h). The synthesized compounds were screened for their antibacterial activity. It is noteworthy that compounds 3a and 3h possessed dominant antibacterial capacity than standard because of presence of *p*-thiomethyl and *p*-nitro moiety on 5-substituted phenyl ring at *para* position against *E. coli* and *S. aureus* respectively. The structure of the synthesized triphenyl gathered pyrazoles (3a-h) were confirmed by spectral and elemental analysis data.

Keywords: Acetophenone, aromatic aldehydes, chalcones, phenyl hydrazine, triphenyl gathered pyrazole derivatives, antibacterial activity.

1. INTRODUCTION

Heterocyclic Compounds having a valuable place in a heterocyclic chemistry and exhibits excellent properties such as drugs, dyes etc., They showed anti microbial, anti fungal, antibacterial, anti inflammatory, anti diabetic, anti hypertensive etc. properties [1]. Among the wide variety of heterocycles were explored for developing pharmaceutical important compounds. In heterocyclic compounds, nitrogen containing heterocycles are an important class of compounds in medicinal chemistry. Pyrazole compounds have practical application in the medicinal and agrochemical field [2, 3]. Pyrazole derivatives are well established in the literature as important biologically active heterocyclic compounds. They have a long history of application in agrochemicals and pharmaceutical industry as herbicides and active pharmaceuticals. A systematic investigation of this class of heterocycles revealed that pyrazole containing pharmacoactive agents play an important role in medicinal chemistry. The prevalence of pyrazole cores in biologically active compounds has stimulated the need for elegant and efficient ways to make these heterocycles [4]. Pyrazoles are being centre of attraction to many researchers because they possess anti-microbial [5], anti-viral [6], anti-inflammatory [7], anti-tumor [8, 9], anti-depressant [10], anti-histaminic [11], anti-

parasitic [12], anti-arthritis [13], anti-hypertensive [14], anti-cancer [15], analgesic [16], herbicide [17], insecticide and fungicide [18] activities. By keeping all these views in mind, triphenyl gathered pyrazoles were synthesized, characterized and evaluated for their antimicrobial activities.

2. EXPERIMENTAL

2.1. Materials and methods

All the reagents and chemicals were purchased from Merck Chemicals used without further purification. Melting points were taken in open capillary tubes and are uncorrected. TLC is performed with E. Merck precoated silica gel plates (60F-254). Acme, India silica gel, 60-120 mesh for column chromatography is used. IR spectra in KBr were recorded on Perkin-Elmer model 683 spectrometers. ¹H NMR (400 MHz) and ¹³C NMR (100 MHz) spectra were recorded using trimethyl silane (TMS) as an internal reference on Bruker spectrometer. Elemental analyses were performed on a Perkin-Elmer 2400. Mass spectra were obtained by Water-Q-TOF ultima spectrometer. Micro analytical data were obtained by elemental-Vario EL-III.

2.2. Synthesis

2.2.1. General procedure for the synthesis of chalcones (2a-i)

Acetophenone (1) (0.11 g, 1 mmol) and substituted aromatic aldehydes (1 mmol) were stirred in water (10 ml) and ethanol (5 ml) mixture in the presence of sodium hydroxide (0.08 g, 2 mmol) at 15-30 °C for 4 hrs. The reaction mixture was kept overnight in an ice bath. The precipitated products were filtered and recrystallized from ethanol.

2.2.1.2. (E)-3-(4-(methylthio)phenyl)-1-phenylprop-2-en-1-one (2a)

Color: Light yellow solid. M.p. 85-87 °C. IR (KBr, ν , cm^{-1}): 3110-2950, (Ar-CH), 1655 (C=O), 1570 (C=C); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.01 (d, 1H, β -CH), 7.81-7.38 (m, 9H, Ar-H), 7.12 (d, 1H, α -CH), 2.43 (s, 3H, SCH_3); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 189.3, 145.5, 138.1, 137.4, 134.8, 131.9, 129.4, 128.4, 128.1, 126.9, 121.8, 14.4; MS (ESI) m/z : 254.31 (M^+). *Anal.* Calcd. for $\text{C}_{16}\text{H}_{14}\text{OS}$: C, 75.55; H, 5.55. found: C, 75.52; H, 5.51 %.

2.2.1.3. (E)-1,3-Diphenyl-2-propen-1-one (2b)

Color: Light yellow solid. M.p. 79-81 °C; IR (KBr, ν , cm^{-1}): 3094-2979 (Ar-CH), 1668 (C=O), 1585 (C=C); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.01 (d, 1H, $J = 8.3$ Hz, β -CH), 7.82-7.34 (m, 10H, Ar-H), 7.12 (d, 1H, $J = 8.0$ Hz, α -CH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 189.2, 145.5, 137.3, 135.1, 134.9, 129.5, 128.2, 128.7, 127.8, 121.6; MS (ESI) m/z : 208.01 (M^+). *Anal.* Calcd. for $\text{C}_{15}\text{H}_{12}\text{O}$: C, 86.51; H, 5.81. found: C, 86.49; H, 5.79 %.

2.2.1.4. (E)-1-phenyl-3-(3,4,5-trimethoxyphenyl)prop-2-en-1-one (2c)

Color: Light yellow solid. M.p. 97-99 °C. IR (KBr, ν , cm^{-1}): 3126-2975, (Ar-CH), 1660 (C=O), 1574 (C=C); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 7.93 (d, 1H, β -CH), 7.83-6.75 (m, 7H, Ar-H), 6.62 (d, 1H, α -CH), 3.69 (s, 9H, OCH_3); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 189.5, 153.4, 145.5, 138.1, 137.6, 134.7, 129.6, 128.2, 126.4, 121.5, 103.4, 60.3, 56.4; MS (ESI) m/z : 298.30 (M^+). *Anal.* Calcd. for $\text{C}_{18}\text{H}_{18}\text{O}_4$: C, 72.47; H, 6.08. found: C, 72.45; H, 6.04 %.

2.2.1.5. (E)-3-(2-isopropylphenyl)-1-phenylprop-2-en-1-one (2d)

Color: Light yellow solid. M.p. 132-134 °C. IR (KBr, ν , cm^{-1}): 3135-2975, (Ar-CH), 1659 (C=O), 1563 (C=C); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.26 (d, 1H, β -CH), 7.82-7.20 (m, 9H, Ar-H), 7.12 (d, 1H, α -CH), 2.89 (d, 1H, CH_3CH), 1.12 (m, 6H, CH_3); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 189.5, 145.6, 141.3, 137.8, 134.6, 133.6, 129.4, 128.6, 127.9, 126.4, 125.9, 125.4, 121.5, 29.2, 23.4; MS (ESI) m/z : 250.25 (M^+). *Anal.* Calcd. for $\text{C}_{18}\text{H}_{18}\text{O}$: C, 86.36; H, 7.25. found: C, 86.34; H, 7.22 %.

2.2.1.6. (E)-1-phenyl-3-p-tolylprop-2-en-1-one (2e)

Color: Light yellow solid. M.p. 118-120 °C. IR (KBr, ν , cm^{-1}): 3130-2960, (Ar-CH), 1669 (C=O), 1559 (C=C); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 7.98 (d, 1H, β -CH), 7.81-7.28 (m, 9H, Ar-H), 7.12 (d, 1H, α -CH), 2.35 (s, 3H, CH_3); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 189.2, 145.3, 137.6, 137.2, 134.7, 132.0, 129.7, 128.6, 128.3, 121.4; MS (ESI) m/z : 222.22 (M^+). *Anal.* Calcd. for $\text{C}_{16}\text{H}_{14}\text{O}$: C, 86.45; H, 6.35. found: C, 86.42; H, 6.31 %.

2.2.1.7. (E)-3-(3,4-dimethylphenyl)-1-phenylprop-2-en-1-one (2f)

Color: Light yellow solid. M.p. 102-104 °C. IR (KBr, ν , cm^{-1}): 3120-2968, (Ar-CH), 1661 (C=O), 1553 (C=C); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.09 (d, 1H, β -CH), 7.87-6.93 (m, 8H, Ar-H), 7.60 (d, 1H, α -CH), 2.31 (s, 6H, CH_3); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 189.9, 145.3, 137.4, 136.5, 136.2, 134.7, 132.4, 132.0, 129.8, 128.3, 125.4, 121.5, 19.4, 18.4; MS (ESI) m/z : 236.36 (M^+). *Anal.* Calcd. for $\text{C}_{17}\text{H}_{16}\text{O}$: C, 86.40; H, 6.82. found: C, 86.37; H, 6.80 %.

2.2.1.8. (E)-3-(3,4-dimethoxyphenyl)-1-phenylprop-2-en-1-one (2g)

Color: Light yellow solid. M.p. 130-132 °C. IR (KBr, ν , cm^{-1}): 3130-2962, (Ar-CH), 1657 (C=O), 1558 (C=C); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 7.96 (d, 1H, β -CH), 7.83-6.91 (m, 8H, Ar-H), 6.53 (d, 1H, α -CH), 3.73 (s, 6H, OCH_3); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 189.6, 149.9, 149.1, 145.5, 137.8, 134.6, 129.6, 128.5, 127.5, 122.4, 121.4, 111.9, 111.5, 56.4; MS (ESI) m/z : 268.33 (M^+). *Anal.* Calcd. for $\text{C}_{17}\text{H}_{16}\text{O}_3$: C, 76.10; H, 6.01. found: C, 76.06; H, 5.98 %.

2.2.1.9. (E)-3-(4-nitrophenyl)-1-phenylprop-2-en-1-one (2h)

Color: Light yellow solid. M.p. 96-98 °C. IR (KBr, ν , cm^{-1}): 3145-2920, (Ar-CH), 1660 (C=O), 1567 (C=C); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.17 (d, 1H, β -CH), 8.84-7.70 (m, 9H, Ar-H), 7.35 (d, 1H, α -CH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 189.2, 147.3, 145.4, 141.1, 137.9, 134.2, 129.4, 128.7, 123.9, 121.5; MS (ESI) m/z : 253.20 (M^+). *Anal.* Calcd. for $\text{C}_{15}\text{H}_{11}\text{NO}_3$: C, 71.14; H, 4.38; N, 5.53. found: C, 71.11; H, 4.36; N, 5.52 %.

2.2.2. General procedure for the synthesis of triphenylpyrazole derivatives (3a-h)

A mixture of chalcones (2a-h) (1 mmol) and phenyl hydrazine (0.06 g, 1.2 mmol) in absolute ethanol was refluxed for 3 hrs. The reaction mixture was cooled with cold water. The precipitate thus obtained was filtered, washed with water and purified by recrystallization from ethanol.

2.2.2.1. 5-(4-(methylthio)phenyl)-1,3-diphenyl-2,3-dihydro-1H-pyrazole (3a)

Color: Dark brown solid. M.p. 138-140 °C. IR (KBr, ν , cm^{-1}): 3328 (N-H), 3145-2970 (Ar-CH); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.09-7.40 (m, 14H, Ar-H), 7.08 (s, 1H, pyrazole-CH), 5.61 (d, 1H, C^3 -H), 4.53 (d, 1H, C^4 -H), 2.42 (s, 3H, SCH_3), 2.05 (s, 1H, NH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 151.4, 144.5, 139.9, 139.5, 133.2, 129.5, 129.1, 129.0, 128.9, 127.4, 127.3, 127.1, 126.4, 124.7, 106.0, 14.4; MS (ESI) m/z : 342.41 (M^+). *Anal.* Calcd. for $\text{C}_{22}\text{H}_{20}\text{N}_2\text{S}$: C, 76.71; H, 5.85; N, 8.13. found: C, 77.68; H, 5.81; N, 8.10 %.

2.2.2.2. 1,3,5-triphenyl-2,3-dihydro-1H-pyrazole (3b)

Color: Dark brown solid. M.p. 155-157 °C. IR (KBr, ν , cm^{-1}): 3346 (N-H), 3120-2970 (Ar-CH); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.07-7.43 (m, 15H, Ar-H), 7.01 (s, 1H, pyrazole-CH), 5.64 (d, 1H, C^3 -H), 4.56 (d, 1H, C^4 -H), 2.02 (s, 1H, NH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 151.7, 144.8, 139.5, 133.4, 129.4, 129.2, 129.0, 128.5, 127.8, 126.4, 124.7, 106.0; MS (ESI) m/z : 296.32 (M^+). *Anal.* Calcd. for $\text{C}_{21}\text{H}_{18}\text{N}_2$: C, 84.53; H, 6.08; N, 9.39. found: C, 85.50; H, 5.07; N, 9.37 %.

2.2.2.3. 1,3-diphenyl-5-(3,4,5-trimethoxyphenyl)-2,3-dihydro-1H-pyrazole (3c)

Color: Dark brown solid. M.p. 143-145 °C. IR (KBr, ν , cm^{-1}): 3349 (N-H), 3120-2976 (Ar-CH); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.01-6.75 (m, 12H, Ar-H), 7.03 (s, 1H, pyrazole-CH), 5.63 (d, 1H, C^3 -H), 4.55 (d, 1H, C^4 -H), 3.81 (s, 9H, OCH_3), 2.07 (s, 1H, NH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 153.5, 151.3, 144.5, 139.4, 139.1, 133.5, 129.7, 129.4, 128.9, 127.3, 127.0, 126.5, 124.4, 106.4, 100.5, 60.4, 56.8; MS (ESI) m/z : 386.40 (M^+). *Anal.* Calcd. for $\text{C}_{24}\text{H}_{24}\text{N}_2\text{O}_3$: C, 74.21; H, 6.23; N, 7.21. found: C, 74.20; H, 6.20; N, 7.19 %.

2.2.2.4. 5-(4-isopropylphenyl)-1,3-diphenyl-2,3-dihydro-1H-pyrazole (3d)

Color: Dark brown solid. M.p. 161-163 °C. IR (KBr, ν , cm^{-1}): 3353 (N-H), 3136-2984 (Ar-CH); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.00-7.38 (m, 14H, Ar-H), 7.06 (s, 1H, pyrazole-CH), 5.60 (d, 1H, C^3 -H), 4.57 (d, 1H, C^4 -H), 2.65 (d, 1H, CH_3CH), 2.01 (s, 1H, NH), 1.27 (m, 6H, CH_3); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 151.8, 146.7, 144.5, 139.9, 131.0, 133.3, 129.5, 129.0, 128.9, 128.5, 127.6, 126.9, 126.5, 126.4, 124.4, 122.4, 106.4, 28.7, 23.9; MS (ESI) m/z : 338.49 (M^+). *Anal.* Calcd. for $\text{C}_{24}\text{H}_{24}\text{N}_2$: C, 84.67; H, 7.11; N, 8.23. found: C, 85.65; H, 7.10; N, 8.21 %.

2.2.2.5. 1,3-diphenyl-5-p-tolyl-2,3-dihydro-1H-pyrazole (3e)

Color: Dark brown solid. M.p. 151-153 °C. IR (KBr, ν , cm^{-1}): 3327 (N-H), 3129-2985 (Ar-CH); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.04-7.44 (m,

14H, Ar-H), 7.03 (s, 1H, pyrazole-CH), 5.68 (d, 1H, C^3 -H), 4.56 (d, 1H, C^4 -H), 2.46 (m, 3H, CH_3), 2.03 (s, 1H, NH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 151.6, 144.0, 139.8, 133.5, 131.8, 130.4, 129.8, 129.4, 129.0, 128.7, 127.9, 126.3, 125.6, 124.1, 106.6, 21.5; MS (ESI) m/z : 310.34 (M^+). *Anal.* Calcd. for $\text{C}_{22}\text{H}_{20}\text{N}_2$: C, 84.58; H, 6.45; N, 8.97. found: C, 84.54; H, 6.42; N, 8.96 %.

2.2.2.6. 5-(3,4-dimethylphenyl)-1,3-diphenyl-2,3-dihydro-1H-pyrazole (3f)

Color: Dark brown solid. M.p. 168-170 °C. IR (KBr, ν , cm^{-1}): 3413 (N-H), 3129-2986 (Ar-CH); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.05-7.24 (m, 13H, Ar-H), 7.01 (s, 1H, pyrazole-CH), 5.65 (d, 1H, C^3 -H), 4.50 (d, 1H, C^4 -H), 2.34 (m, 6H, CH_3), 2.00 (s, 1H, NH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 151.4, 144.2, 139.4, 137.5, 136.7, 133.4, 130.8, 129.9, 129.7, 129.4, 129.0, 128.8, 127.6, 126.4, 124.6, 122.6, 106.0, 19.5, 18.3; MS (ESI) m/z : 324.40 (M^+). *Anal.* Calcd. for $\text{C}_{23}\text{H}_{22}\text{N}_2$: C, 84.63; H, 6.79; N, 8.58. found: C, 84.61; H, 6.75; N, 8.57 %.

2.2.2.7. 5-(3,4-dimethoxyphenyl)-1,3-diphenyl-2,3-dihydro-1H-pyrazole (3g)

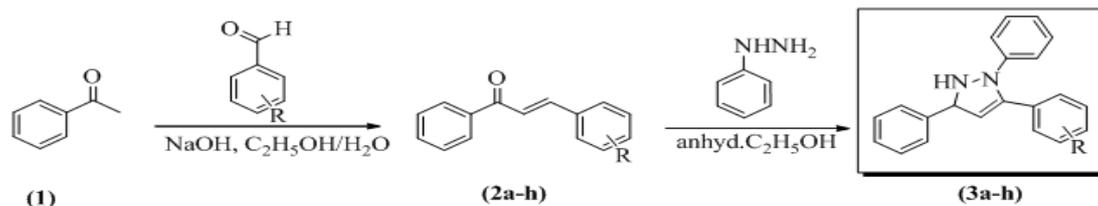
Color: Dark brown solid. M.p. 164-166 °C. IR (KBr, ν , cm^{-1}): 3385 (N-H), 3120-2980 (Ar-CH); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.05-6.90 (m, 13H, Ar-H), 7.08 (s, 1H, pyrazole-CH), 5.66 (d, 1H, C^3 -H), 4.59 (d, 1H, C^4 -H), 3.76 (m, 6H, OCH_3), 2.09 (s, 1H, NH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 151.3, 150.6, 149.8, 144.6, 139.9, 133.7, 129.9, 129.5, 129.3, 128.6, 127.7, 126.6, 126.0, 124.6, 120.4, 111.3, 108.7, 106.8, 56.4; MS (ESI) m/z : 356.40 (M^+). *Anal.* Calcd. for $\text{C}_{23}\text{H}_{22}\text{N}_2\text{O}_2$: C, 77.07; H, 6.19; N, 7.82. found: C, 77.05; H, 6.18; N, 7.80 %.

2.2.2.8. 5-(4-nitrophenyl)-1,3-diphenyl-2,3-dihydro-1H-pyrazole (3h)

Color: Dark brown solid. M.p. 159-161 °C. IR (KBr, ν , cm^{-1}): 3348 (N-H), 3110-2970 (Ar-CH); ^1H NMR (CDCl_3 -400 MHz) δ ppm: 8.35-7.45 (m, 14H, Ar-H), 7.03 (s, 1H, pyrazole-CH), 5.65 (d, 1H, C^3 -H), 4.50 (d, 1H, C^4 -H), 2.05 (s, 1H, NH); ^{13}C NMR (CDCl_3 -100 MHz) δ ppm: 151.1, 147.5, 144.6, 139.7, 139.4, 133.6, 129.4, 129.1, 128.9, 127.4, 126.7, 124.3, 124.0, 106.5; MS (ESI) m/z : 341.30 (M^+). *Anal.* Calcd. for $\text{C}_{21}\text{H}_{17}\text{N}_3\text{O}_2$: C, 73.45; H, 4.99; N, 12.24. found: C, 73.41; H, 4.96; N, 12.20 %.

2.3. Antibacterial studies

The antibacterial activities of the newly synthesized compounds were evaluated by well plated method [18]. All the bacterial cultures were adjusted to 0.5 McFarland standards, which is visually comparable to a microbial suspension of approximately 1.5×10^8 cfu/ml. 20 ml of agar media was poured into each Petri plate and plates were swabbed with 100 ml inocula of the test microorganisms and kept for 15 min for



Scheme - 1: Synthesis of new triphenyl gathered pyrazoles

Table - 1: Chemical structure and yield of synthesized compounds (3a-h)

Compound No.	Aldehydes	Yield (%)	Compound No	Aldehydes	Yield (%)
3a		72.06	3e		88.71
3b		91.09	3f		69.83
3c		72.45	3g		67.42
3d		62.94	3h		71.05

Table - 2: Antibacterial activity of the compounds (3a-h). Inhibitory zone (diameter) mm of the synthesized compounds against tested bacterial strains by well plate method.

Comp. No.	<i>E. coli</i>	<i>P. aeruginosa</i>	<i>B. subtilis</i>	<i>S. aureus</i>
	1.0 mg/ml	1.0 mg/ml	1.0 mg/ml	1.0 mg/ml
Control	00	00	00	00
Gentamicin	22±0.29	20±0.08	30±0.16	20±0.22
3a	24±0.01	19±0.22	16±0.21	25±0.13
3b	12±0.13	14±0.09	12±0.16	13±0.04
3c	12±0.18	20±0.24	-	12±0.09
3d	10±0.16	14±0.14	10±0.18	14±0.12
3e	10±0.26	12±0.17	-	13±0.25
3f	12±0.12	10±0.11	14±0.22	12±0.17
3g	20±0.15	21±0.15	-	14±0.02
3h	23±0.19	16±0.12	12±0.13	22±0.09

Values are Mean of triplicates, Standard 10µg/well, “-“No activity

adsorption. Using sterile cork borer of 8 mm diameter, wells were bored into the seeded agar plates and these were loaded with a 100 ml volume with concentration of 1.0 mg/ml of each compound reconstituted in the dimethylformamide (DMF). All the plates were incubated at 37 °C for 24 h. Antimicrobial activity of all the synthesized compounds was evaluated by measuring the zone of growth inhibition against the test organisms with zone reader (Hi antibiotic zone scale). The medium with dimethylformamide (DMF) as solvent was used as a negative control whereas media with Gentamycin (standard antibiotic) was used as positive control. The experiments were performed in triplicates.

4. RESULTS AND DISCUSSION

4.1. Chemistry

The new triphenyl gathered pyrazoles were synthesized in two steps as shown in the scheme given below. In the first step, base catalyzed Claisen-Schmidt reaction of acetophenone (1) with substituted aromatic aldehydes in the presence of sodium hydroxide in water-ethanol mixture afforded chalcones (2a-h) in good yields [19, 20]. Generally, chalcones are considered to be useful intermediate in several cyclisation reactions to produce different types of heterocyclic compounds of diverse biological importance, according to the reactants used and the reaction condition used. In the next step, cyclocondensation of compounds (2a-h) with phenyl hydrazine in absolute ethanol gave the corresponding pyrazole derivatives (3a-h) [21]. The structures of the compounds (3a-h) were confirmed by IR, ¹H NMR, ¹³C NMR, mass spectra and elemental analysis studies. The synthesized compounds were screened for antibacterial activity.

4.2. Antibacterial activity

As regards the relationships between the structure of the heterocyclic scaffold and the detected antibacterial properties, it showed varied biological activity. The presence of different substituents in the 5-phenyl ring causes a certain change in activity. Compounds **3a** and **3h** have *p*-thiomethyl and *p*-nitro moiety on 5-substituted phenyl ring at *para* position, which is accounted for the enhanced antibacterial activity against *E. coli* and *S. aureus*. Similarly compounds **3c** and **3g** have showed significant activity against *P. aeruginosa*, which are active at the same concentration as that of the standard drug. Compounds **3c** and **3g** have 3, 4, 5, trimethoxy and 3, 4, dimethoxy moiety on 5-substituted phenyl ring at *para* position respectively, which is accounted for the activity of the compounds. On

the other hand, the remaining compounds have showed less activity against all the four tested bacterial strains. From the obtained results, it is clear that the major role for antibacterial activity is played by substituents on 5-substituted phenyl ring at *para* position moiety. It is evident that triphenylpyrazole derivatives are the most active compounds.

5. CONCLUSION

In conclusion, the new triphenyl gathered pyrazoles (3a-h) were synthesized in good yields from chalcones. They were screened for their antibacterial activity. Chalcones were synthesized by Claisen-Schmidt reaction of acetophenone with substituted aromatic aldehydes. In order to improve the pharmacological activity of triphenylpyrazole derivatives (3a-h) with 5-substituted aromatic aldehydes were successfully done by the reaction of chalcones and phenyl hydrazine. The synthesized compounds were screened for their antibacterial activity. It is noteworthy that compounds **3a** and **3h** possessed dominant antibacterial capacity compared to all other remaining compounds and standard because of having *p*-thiomethyl and *p*-nitro moiety on 5-substituted phenyl ring at *para* position against *E. coli* and *S. aureus* respectively. The structure of synthesized compounds were confirmed and characterized by analytical data's such as IR, ¹H-NMR, Mass spectra and elemental studies.

Acknowledgement

The authors are thankful to IIT Hyderabad for providing spectral data.

6. REFERENCES

1. Pinka P, Deepa G and Patel PS. Synthesis, Characterization and Antimicrobial Activity of N-[[4-[2-{5-(4-chlorophenyl)-3-(4-methoxyphenyl)-4, 5-dihydro-pyrazol-1-yl]-2-oxoethoxy]phenyl] methylene]substituted aniline. **Journal of chemical and pharmaceutical research**. 2012; 4: 2906-2910.
2. Krikpatrick WE, Okabe T, Hillyard IW, Robins RK, Dren AT and Novinson T. 3-Halo-5,7-dimethylpyrazolo[1,5-a]pyrimidines, a Nonbenzodiazepinoid Class of Antianxiety Agents Devoid of Potentiation of Central Nervous System Depressant Effects of Ethanol or Barbiturates. **Journal of Medicinal Chemistry**. 1977; 20: 386-93.
3. Elagamey AA, El-Taweel FA, Amer FA and Zoorob HH. Reactions with 4-(Cyanoacetyl)phenazone: Synthesis of Novel Thiazole, Hydrazinopyrazole and

- Pyrazolo[5.1-c][1.2.4]triazine Derivatives. **Archa Der Pharmica**. 1987; 320: 246-252.
- Vishnuvardan Rao T, Om Lakshmi Prasanna K, Jalaja M, Irfan A. Mohammed, Sarvani B and Vuday Kiran A. Design, Synthesis and Biological activity of a new series of 1-(5-methyl-4H-pyrazol-3-yl) methanamine. **International Journal of Research in Pharmaceutical and Biomedical Sciences**. 2011; 2: 547-549.
 - Pimerova EV and Voronina EV. Antimicrobial activity of pyrazoles and pyridazines obtained by interaction of 4-aryl-3-arylhydrazono-2,4-dioxobutanoic acids and their esters with hydrazines. **Pharmaceutical Chemistry Journal**. 2001; 35: 18-20.
 - Janus SL, Magdif AZ, Erik BP and Claus N. Synthesis of triazenopyrazole derivatives as potential inhibitors of HIV-1. **Monatshefte für Chemie - Chemical Monthly**. 1999; 130: 1167-1174.
 - Nugent RA, Murphy M, Schlachter ST, Dunn CJ, Smith RJ, Staite ND, Galinet LA, Shields SK, Aspar DG and Richard KA. Pyrazoline bisphosphonate esters as novel antiinflammatory and antiarthritic agents. **Journal of Medicinal Chemistry**. 1993; 36: 134-139.
 - Park HJ, Lee K, Park S, Ahn B, Lee JC, Cho HY and Lee KI. Identification of antitumor activity of pyrazole oxime ethers. **Bioorganic Medicinal Chemistry Letter**. 2005; 15: 3307-3312.
 - Bouabdallah I, M'barek LA, Zyad A, Ramadan A, Zidane I and Melhaoui A. Anticancer effect of three pyrazole derivatives. **Natural Product Research**. 2006; 20:1024-1030.
 - Bailey DM, Hansen PE, Hlavac AG, Baizman ER, Pearl J, Defelice AF and Feigenson ME. 3,4-Diphenyl-1H-pyrazole-1-propanamine antidepressants. **Journal of Medicinal Chemistry**. 1985; 28: 256-260.
 - Yildirim I, Ozdemir N, Akçamur Y, Dinçer M and Andac O. 4-Benzoyl- 1,5-diphenyl-1H-pyrazole-3-carboxylic acid methanol solvate. **Acta Crystallographica**. 2005; E61: 256-258.
 - Henry TA. **The plant alkaloids**. anmol publication Pvt Ltd, New Delhi. 1999; pp. 16-17.
 - DiParsia MT, Suarez C, Vitolo MJ, Marquez VE, Beyer B, Urbina C and Hurtado I. Synthesis and study of the potential antiallergic activity of some pyrazole derivatives **Journal of Medicinal Chemistry**. 1981; 24: 117-119.
 - Turan-Zitouni G, Chevallet P, Kiliç FS and Erol K. Synthesis of some thiazolyl-pyrazoline derivatives and preliminary investigation of their hypotensive activity. **European Journal of Medicinal Chemistry**. 2000; 35: 635-41.
 - Abbs TF, Reji F, Devi SKC, Thomas KK, Sreejalekshmi KG, Manju SL, Francis M, Philip SK, Bharathan A and Rajasekharan KN. Synthesis and cytotoxicity studies of thiazole analogs of the anticancer marine alkaloid dendrodoine. **Indian Journal of Chemistry**. 2008; 47: 1145-1150.
 - Basavaraja KM, Somasekhar B and Appalaraju S.) Synthesis and biological activity of some 2-[3-substituted-2-thione-1,3,4-thiadiazole-5-yl] aminobenzothiazoles. **Indian Journal of Heterocycl Chemistry**. 2008; 18: 69-72.
 - Xue SJ, Zou JS and Yang H J. Synthesis and Herbicidal Activities of N' (substituted pyrimidin-2-yl)-N-Substituted Phenoxyacetyl Thiourea Derivatives. **Chinese Chemical Letter**. 2000; 11: 19-20.
 - Chu CK and Cutler J. Chemistry and antiviral activities of acyclonucleosides. **Indian Journal of Heterocycl Chemistry**. 1986; 23:289-319.
 - Furniss BS, Hannaford AJ, Smith PWG and Tatchell A. Vogel's text book of practical organic Chemistry. Published by ELBS with Longman. 1989; 5th ed. pp. 1034.
 - Basavaraju YB and Devaraju. Synthesis of analogues of podophyllotoxin: Tetralones as intermediates for the synthesis of analogues of apopicropodophyllin. **Indian Journal of Heterocycl Chemistry**. 2002; 11: 229-232.
 - Amin KM, Kamel MM, Anwar MM, Khedr M and Syam YM. Synthesis, biological evaluation and molecular docking of novel series of spiro [(2H, 3H) quinazoline-2,1'- cyclohexan]-4(1H)- one derivatives as anti-inflammatory and analgesic agents. **European Journal of Medicinal Chemistry**. 2010; 45: 2117-31.