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ARTICLE

Synthesis, Characterization and Biological Evaluation of Some 2-[1-(1,3-Diphenyl-1*H*-Pyrazol-4-yl)-meth-(*E*)-ylidene]indan-1-one Derivatives as Antibacterial Agents

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ABSTRACT

A new series of 2-[1-(1,3-diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-indan-1-one derivatives (**5a-l**) have been synthesized through through the Knoevenagel condensation of pyrazole carbaldehydes with differently substituted 1-indanone derivatives in the presence of base. A high yielding and solvent-free method was developed for the synthesis of hydrazones from acetophenones under microwave irradiation in a very short reaction time. Structures of the newly synthesized compounds were affirmed by IR, ^{1}H & ^{13}C NMR and mass spectroscopic analysis. The confirmed structures were screened for their antibacterial potency against *S. aureus* and *E. coli* bacterial strains. Among the series, compounds **5b**, **5c** and **5f** were evoked as potent antibacterial agents.

KEYWORDS

Pyrazole carbaldehyde, 1-Indaone, Hydrazones, Vilsmeier-Haack reaction, Antibacterial activtity.

INTRODUCTION

Presence of active methylene hydrogens adjacent to carbonyl group of indanone makes it important in condensation reactions or in some organic transformations [1,2]. In past few years, indanone derivatives have been reported to possess antimicrobial [3], antibacterial [4], antiviral [5], anticancer [6], vasodilation [7], anticonvulsant [8], anti-diabetic [9], antimalarial [10] and anti-inflammatory [11] activities. Indanone derivatives also found useful in the treatment of Alzheimer disease [12]. Some of the indanone derivatives have insecticidal properties [13]. The structures of some biologically active indanone derivatives [14] are illustrated in Fig. 1.

Pyrazoles derivatives are well-known nitrogen containing heterocycles with diverse biological properties. They have also found to use as versatile building blocks in the synthesis of pharmaceutically important molecules and agrochemicals. They have been known to exhibit antimicrobial [15], anti-inflammatory [16], anticancer [17] and selective enzyme inhibitory [18] activities. Moreover, a series of pyrazole derivatives is also used as insecticides [19], fungicides [20,21] and herbicides [22-25]. The pyrazole ring is present as the core nucleus in a variety of leading drugs such as celebrex, sildenafil (viagra),

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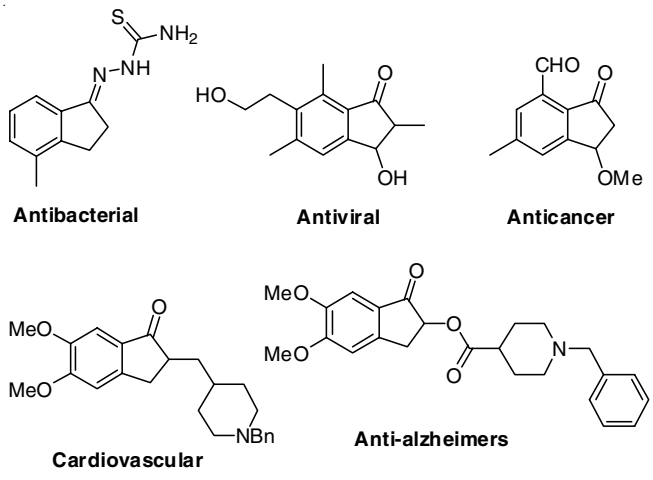


Fig. 1. Biologically active 1-indanones and their derivatives

lonazolac, rimonabant, difenamizole, *etc*. Furthermore, pyrazole derivatives, such as pyrazophos, penthiopyrad and pyraclostrobin have been used as potential antifungal agents for the control of some plant diseases (Fig. 2).

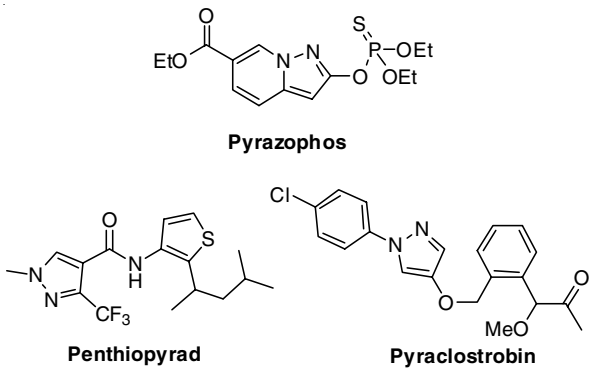


Fig. 2. Pyrazole nucleus containing marketed drugs

Amalgamation of active motifs may offer synergistic effect to improve the therapeutic potential. Based on the importance of indanone and pyrazole scaffolds and in continuation of our work [26-29] in the synthesis of new bioactive molecules, herein synthesized, characterization and antibacterial properties of 2-[1-(1,3-diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]indan-1-one derivatives is reported.

EXPERIMENTAL

All the chemicals and solvents were of analytical grade and used without purification. All the reactions were monitored by thin layer chromatography, (TLC silica gel 60 F_{254} by Merck) and were visualized under a UV lamp and using iodine vapors. The melting points were ascertained with a digital thermometer and are uncorrected. Infrared spectra were recorded on FT-IR 4600 type-A spectrophotometer and frequencies are presented as cm^{-1}. ^1H & ^{13}C NMR spectra were recorded in $CDCl_3$ on Bruker 400MHz and 100MHz spectrometer respectively using TMS as an internal standard. Mass spectra were obtained with a Shimadzu LCMS-2010 EV.

Microwave assisted synthesis of hydrazones (2a-c): A mixture of acetophenone (**1a-c**) (10 mmol) and phenyl hydrazine (10 mmol) was taken in a conical flask. The mixture was then irradiated under MW radiations in conventional microwave oven at 300W for 2 min. Cooled the reaction mixture and crystals obtained was recrystallized from ethanol to obtain pure hydrazones **2a-c** in excellent yield.

Synthesis of 1,3-diphenyl-1*H*-pyrazole-4-carbaldehydes (3a-c): A mixture of hydrazone (*N*-[1-(phenyl)-eth-(*E*)-ylidene]-N′-phenyl-hydrazine (**2a**) (5 mmol) and dimethyl formamide (5 mmol) were taken in a three neck round bottomed flask equipped with a reflux condenser under inert atmosphere. The reaction mixture was cooled to 0 ºC and treated with $POCl_3$ (5 mmol), maintaining the temperature between 10-15 ºC. After complete addition, the reaction mixture was heated on water bath for about 3 h, cooled and poured into ice water with vigorous stirring to obtain the desired compound **3a** in good yield. The product obtained was recrystallized from ethanol as yellow needless.

1,3-Diphenyl-1*H*-pyrazole-4-carbaldehyde (3a): Yield 84%; m.p.: 135-137 ºC; IR (KBr, ν_{max}, cm^{-1}): 3125 (ArC-H), 2826 (C-H in CHO), 1673 (C=O), 1521 (C-N), 1445 (C-C); ^1H NMR (400 MHz, $CDCl_3$): δ 9.92 (s, 1H, *CHO*), 8.41 (s, 1H, *Pyr-CH*), 7.68-7.71 (m, 4H, *ArH*),7.53-7.55 (m, 2H, *ArH*), 7.41-7.44 (m, 2H, *ArH)*, 7.31-7.33 (m, 1H, *ArH*).

3-(4-Chloro-phenyl)-1-phenyl-1*H*-pyrazole-4-carbaldehyde (3b): Yield 87%; m.p.: 122-124 ºC; IR (KBr, ν_{max}, cm^{-1}): 3127 (ArC-H), 2828 (C-H in CHO), 1664 (C=O), 1515 (C-N), 1447 (C-C), 673 (C-Cl); ^1H NMR (400 MHz, $CDCl_3$): δ 9.95 (s, 1H, *CHO*), 8.42 (s, 1H, *Pyr-CH*), 7.73 (d, 2H, *ArH*), 7.73 (d, 2H, *ArH*), 7.43-7.46 (t, 2H, *ArH*), 7.41 (d, 2H, *ArH*), 7.31-7.34 (t, 1H, *ArH*).

1-Phenyl-3-*p*-tolyl-1*H*-pyrazole-4-carbaldehyde (3c): Yield 86%; m.p.: 100-103 ºC; IR (KBr, ν_{max}, cm^{-1}): 3125 (Ar C-H), 2832 (C-H in CHO), 1667 (C=O), 1513 (C-N), 1446 (C-C); ^1H NMR (400 MHz, $CDCl_3$): δ 9.93 (s, 1H, *CHO*), 8.43 (s, 1H, *Pyr-CH*), 7,70 (d, 2H, *ArH*), 7.61 (d, 2H, *ArH*), 7.41-7.44 (t, 2H, *ArH*), 7.30-7.33 (t, 1H, *ArH*), 7.22 (d, 2H, *ArH*), 2.34 (s, 3H, *Ar-CH_3*).

Synthesis of 2-[1-(1,3-Diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-indan-1-one (5a): In a round bottom flask, a mixture of 1,3-diphenyl-1*H*-pyrazole-4-carbaldehyde (**3a**) (1 mmol) and 1-indanone (**4a**) (1 mmol) was dissolved in ethanol (15 mL) under stirring. To this solution was added NaOH (3 mmol) dissolved in minimum quantity of water and stirring continued for 1 h. After completion of reaction, the solid product obtained was filtered off and washed with little cold ethanol. The crude product was dried and recrystallized from ethanol to get desired product **5a** in pure form.

2-[1-(1,3-Diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-indan-1-one (5a): Yield: 88%; m.p.: 216-218 ºC; IR (KBr, ν_{max}, cm^{-1}): 1058, 1115, 1232, 1286, 1496, 1694, 2378, 2798, 2935, 3019, 3218; ^1H NMR ($CDCl_3$ 400 MHz): δ 3.90 (s, 2H, *–CH_2-*), 7.36-7.45 (m, 2H, *ArH*), 7.47 (d, *J* = 8 Hz, 2H, *ArH*), 7.49-7.56 (m, 5H, *ArH*), 7.69 (d, *J* = 8 Hz, 2H, *ArH*), 7.22-7.75 (m, 2H, 1 *ArH*, 1 *=CH-*), 7.80 (d, *J* = 8 Hz, 2H, *ArH*), 8.30 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$, 100 MHz): δ 32.67, 117.64, 119.57, 124.58, 126.12, 127.27, 127.70, 128.90, 129.07, 129.53, 129.59, 133.35, 134.42, 138.66, 138.76, 139.55, 148.57, 155.38, 193.56; MS (ESI): 363.30 (M+1).

2-[1-(1,3-Diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-6-methoxy-indan-1-one (5b): Yield: 91%; m.p.: 229-231ºC; IR (KBr, ν_{max}, cm^{-1}): 1064, 1106, 1220, 1280, 1486, 1681, 2368, 2788, 2904, 3029, 3318; ^{1}H NMR ($CDCl_3$, 400 MHz): δ 3.83 (s, 2H, -CH_2-), 3.85 (s, 3H, -OCH_3), 7.21 (dd, *J* = 8.4 Hz, 2.4 Hz, H, *ArH*), 7.32 (d, *J* = 2.4 Hz, 1H, *ArH*), 7.39 (t, *J* = 7.6 Hz, 1H, *ArH*), 7.44-7.54 (m, 5H, *ArH*), 7.70-7.73 (m, 3H, 2 × *ArH*, =*CH*-), 7.85 (d, *J* = 8 Hz, 2H, *ArH*), 8.27 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$, 100 MHz): δ 32.0, 55.64, 105.77, 117.65, 119.56, 123.66, 124.22, 127.33, 128.97, 129.60, 134.39, 139.93, 141.28, 155.25, 159.61, 193.47; MS (ESI): 393.15 (M+1).

2-[1-(1,3-Diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-5,6-dimethoxy-indan-1-one (5c): Yield: 86%; m.p.: 247-250 ºC; IR (KBr, ν_{max}, cm^{-1}): 1070, 1249, 1301, 1502, 1685, 2358, 2854, 2935, 3257, 3461; ^{1}H NMR ($CDCl_3$ 400 MHz): δ 3.81 (s, 2H, -CH_2-), 3.91 (s, 3H, -OCH_3), 3.97 (s, 3H, -OCH_3), 6.96 (s, 1H, *ArH*), 7.39 (d, *J* = 1.6 Hz, 1H, *ArH*), 7.45-7.54 (m, 5H, *ArH*), 7.68 (s, 1H, =*CH*-), 7.70 (d, *J* = 8.4 Hz, 2H, *ArH*), 7.83 (d, *J* = 8.4 Hz, 2H, *ArH*), 8.24 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$, 100 MHz):δ 32.36, 56.25, 104.99, 107.18, 117.1, 119.49, 122.70, 127.24, 128.99, 132.06, 134.36, 139.55, 143.67, 149.58, 155.04, 192.43; MS (ESI): 423.16 (M+1).

5-Bromo-2-[1-(1,3-Diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-indan-1-one (5d): Yield: 89% ; m.p.: 218-220 ºC; IR (KBr, ν_{max}, cm^{-1}): 1098, 1216, 1371, 1673, 1722, 2364 2969, 3158; ^{1}H NMR ($CDCl_3$, 400 MHz): δ 3.89 (s, 2H, -CH_2-), 7.39 (t, 1H, *ArH*), 7.44-7.58 (m, 6H, *ArH*), 7.69 (d, *J* = 8 Hz, 2H, *ArH*), 7.73-7.77 (m, 3H, 2 × *ArH*, 1 =*CH*-), 7.83 (d, *J* = 8 Hz, 2H, *ArH*), 8.27 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$, 100 MHz): δ 32.32, 117.48, 119.61, 125.57, 127.46, 128.85, 129.41, 129.64, 131.86, 132.71, 137.59, 139.43, 150.12, 155.39, 192.30; MS (ESI): 442.06 (M+1).

(*E*)-2-((1-Phenyl-3-(*p*-tolyl)-1*H*-pyrazol-4-yl)methylene)-2,3-dihydro-1*H*-inden-1-one (5e): Yield: 84%; m.p.: 200-202 ºC; IR (KBr, ν_{max}, cm^{-1}): 1104, 1220, 1369, 1509, 1635, 1737, 2327, 2917, 3041, 3120; ^{1}H NMR ($CDCl_3$, 400 MHz): δ 2.44 (s, 3H, -CH_3), 3.92 (s, 2H, -CH_2-), .33-7.45 (m, 2H, *ArH*), 7.53 (d, J = 8.4 Hz, 2H, *ArH*), 7.54-7.64 (m, 5H, *ArH*), 7.77 (s, 1H, =*CH*-), 7.83 (d, J = 7.6 Hz, 2H, *ArH*), 7.86 (d, J = 7.6 Hz, 2H, *ArH*), 8.29 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$, 100 MHz): δ 21.39, 32.67, 117.64, 119.57, 124.33, 126.12, 127.70, 128.90, 129.07, 129.59, 133.35, 134.42, 138.76, 139.55, 148.57, 155.38, 193.56; MS (ESI): 377.16 (M+1).

(*E*)-6-methoxy-2-((1-phenyl-3-(*p*-tolyl)-1*H*-pyrazol-4-yl)methylene)-2,3-dihydro-1*H*-inden-1-one (5f): Yield: 90%; m.p.: 201-203ºC; IR (KBr, ν_{max}, cm^{-1}): 1029, 1180, 1284, 1540, 1693, 2368, 2854, 2923, 3066, 3226; ^{1}H NMR ($CDCl_3$, 400 MHz): δ 2.44 (s, 3H, -CH_3), 3.82 (s, 2H, -CH_2-), 3.86 (s, 3H, -OCH_3), 7.21 (dd, *J* = 8.4 Hz, 2,4 Hz, 1H, *ArH*), 7.32 (d, *J* = 7.6 Hz, 2H, *ArH*), 7.36 (t, *J* = 7.6 Hz, 2H, *ArH*), 7.43 (d, *J* = 8.4 Hz, 2H, *ArH*), 7.51 (t, *J* = 8 Hz, 1H, *ArH*), 7.61 (d, *J* = 8 Hz, 2H, *ArH*), 7.70 (s, 1H, =*CH*-), 7.84 (d, *J* = 7.6 Hz, 2H, *ArH*), 8.26 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$ 100 MHz): δ 21.38, 32.0, 5564, 105.77, 117.60, 119.54, 123.62, 124.43, 127.28, 128.90, 129.58, 134.21, 138.63, 139.96, 141.29, 159.59, 193.50; MS (ESI): 407.17 (M+1).

(*E*)-5,6-Dimethoxy-2-((1-phenyl-3-(*p*-tolyl)-1*H*-pyrazol-4-yl)methylene)-2,3-dihydro-1*H*-inden-1-one (5g): Yield: 97%; m.p.: 224-227ºC; IR (KBr, ν_{max}, cm^{-1}): 1066, 1130, 1249, 1303, 1502, 1681, 1778, 2368, 2852, 2925, 3041, 3261; ^{1}H NMR ($CDCl_3$, 400 MHz): δ 2.44 (s, 3H, -CH_3), 3.83 (s, 2H, -CH_2-), 3.94 (s, 3H, -OCH_3), 3.99 (s, 3H, -OCH_3), 7.31-7.38 (m, 5H, 2 × *ArH Indanone*, 3x ArH), 7.61 (d, *J* = 8 Hz, 4H, *ArH*), 7.66 (s, 1H, =*CH*-), 7.84 (d, *J* = 7.6 Hz, 2H, -*ArH*), 8.25 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$ 100 MHz): δ 21.38, 32.37, 56.26, 105.06, 107.20, 117.71, 119.48, 122.94, 127.16, 128.86, 131.80, 134.19, 138.56, 139.60, 143.64, 149.59, 155.19, 192.42; MS (ESI): 437.18 (M+1).

(*E*)-5-Bromo-2-((1-phenyl-3-(*p*-tolyl)-1*H*-pyrazol-4-yl)-methylene)-2,3-dihydro-1*H*-inden-1-one (5h): Yield: 89%; m.p.: 207-210ºC; IR (KBr, ν_{max}, cm^{-1}): 1045, 1133, 1259, 1315, 1526, 1688, 1789, 2358, 2866, 2930, 3034, 3121; ^{1}H NMR ($CDCl_3$ 400 MHz): δ 2.44 (s, 3H, -CH_3), 3.90 (s, 2H, -CH_2-), 7.33 (d, *J* = 8 Hz, 1H, *ArH*), 7.40 (t, 1H, *ArH*), 7.51-7.63 (m, 6H, *ArH*), 7.74-7.83 (m, 3H, 2 × *ArH*, =CH-), 7.85 (d, *J* = 7.6 Hz, 2H, *ArH*), .27 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$, 100 MHz): δ 21.38, 32.32, 117.48, 119.61, 125.57, 127.46, 128.85, 129.41, 129.64, 131.86, 132.71, 137.59, 139.43, 150.12, 155.39, 192.30; MS (ESI): 456.07 (M+1).

(*E*)-2-((3-(4-Chlorophenyl)-1-phenyl-1*H*-pyrazol-4-yl)methylene)-2,3-dihydro-1*H*-inden-1-one (5i): Yield: 96%; m.p.: 209-212ºC; IR (KBr, ν_{max}, cm^{-1}): 1201, 1259, 1301, 145, 1502, 1583, 1704, 2360, 2948, 3062, 3234; ^{1}H NMR ($CDCl_3$ 400 MHz): δ 3.91 (s, 2H, -CH_2-), 7.39-7.45 (m, 2H, *ArH)*, 7.47 (d, *J* = 8 Hz, 2H, *ArH*), 7.51-7.58 (m, 3H, *ArH*), 7.61 (d, *J* = 8Hz, 1H, *ArH*), 7.65 (d, *J* = 8Hz, 2H, *ArH*), 7.70 (s, 1H, =*CH*-), 7.82 (d, *J* = 8Hz, 2H, *ArH*), 7.89 (d, *J* = 8Hz, 1H, *ArH*), 8.29 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$ 100 MHz): δ 32.61, 117.62, 119.60, 123.76, 124.39, 126.13, 127.51, 129.66, 130.51, 133.85, 134.86, 138.62, 139.40, 148.53, 153.98, 193.47; MS (ESI): 397.10 (M+1).

(*E*)-2-((3-(4-Chlorophenyl)-1-phenyl-1*H*-pyrazol-4-yl)methylene)-6-methoxy-2,3-dihydro-1*H*-inden-1-one (5j): Yield: 84%; m.p.: 224-226ºC; IR (KBr, ν_{max}, cm^{-1}): 1340, 1378, 1542, 1652, 2514, 2358, 2813, 2908, 3021, 3251; ^{1}H NMR ($CDCl_3$, 400 MHz): δ 3.84 (s, 2H, -CH_2-), 3.87 (s, 3H, -OCH_3), 7.22 (dd, *J* = 8 Hz, 1.6 Hz, 1H, *ArH*), 7.33 (s, 1H, *ArH*), 7.39 (t, *J* = 7.2 Hz, 1H, *ArH*), 7.44 (s, 1H, =*CH*-), 7.46-7.55 (m, 5H, *ArH*), 7.65 (d, *J* = 8 Hz, 2H, *ArH*), 7.83 (d, *J* = 8 Hz, 2H, *ArH*), 8.28 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$ 100 MHz): δ 31.96, 55.66, 105.80, 117.62, 119.59, 123.80, 126.86, 127.50, 129.65, 130.52, 134.85, 139.86, 141.25, 153.97, 159.66, 193.40; MS (ESI): 427.12 (M+1).

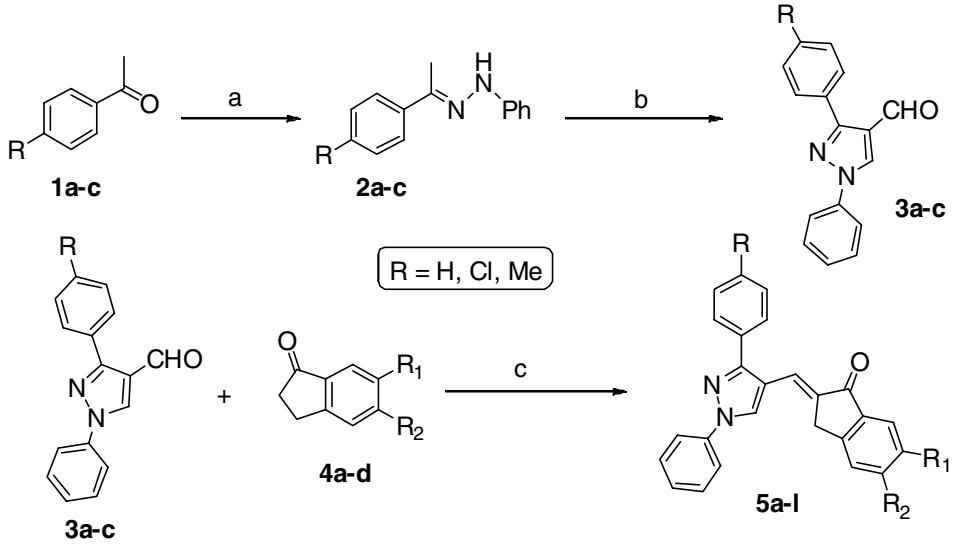
(*E*)-2-((3-(4-Chlorophenyl)-1-phenyl-1*H*-pyrazol-4-yl)methylene)-5,6-dimethoxy-2,3-dihydro-1*H*-inden-1-one (5k): Yield: 88%; m.p.: 237-239ºC; IR (KBr, ν_{max}, cm^{-1}): 1066, 1126, 1218, 1292, 1498, 1594, 1689, 2360, 2923; ^{1}H NMR ($CDCl_3$, 400 MHz): ä 3.82 (s, 2H, -CH_2-), 3.87 (s, 3H, -OCH_3), 3.99 (s, 3H, -OCH_3), 7.29 (s, 1H, *ArH*), 7.40 (t, J = 7.2 Hz, 1H, *ArH*), 7.47-7.57 (m, 5H, *ArH*), 7.59 (s, 1H, =*CH*-), 7.63 (d, *J* = 8 Hz, 2H, *ArH*), 7.81 (d, *J* = 8 Hz, 2H, *ArH*), 8.26 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$, 100 MHz): δ 32.32, 56.28, 104.21, 105.05, 107.17, 117.10, 119.52, 122.15, 127.42, 128.45, 129.42, 130.29, 131.67, 134.77, 139.45, 143.66, 147.87, 149.4, 152.43, 153.77, 155.91, 192.33; MS (ESI): 457.13 (M+1).

(*E*)-5-Bromo-2-((3-(4-chlorophenyl)-1-phenyl-1*H*-pyrazol-4-yl)methylene)-2,3-dihydro-1*H*-inden-1-one (5l): Yield: 94%; m.p.: 200-202ºC; IR (KBr, ν_{max}, cm^{-1}): 1056, 1120, 1259, 1321, 1525, 1681, 1719, 2358, 2832, 2915, 3021, 3245; ^{1}H NMR ($CDCl_3$ 400 MHz): δ 3.89 (s, 2H, -CH_2-), 7.42 (t, 1H, *ArH*), 7.46-7.60 (m, 6H, *ArH*), 7.64 (d, *J* = 8 Hz, 2H, *ArH*), 7.73-7.77 (m, 2H, 1 × *ArH*, =*CH*-), 7.83 (d, *J* = 8 Hz, 2H, *ArH*), 8.27 (s, 1H, *Pyrazole-H*); ^{13}C NMR ($CDCl_3$, 100 MHz): δ 32.32, 117.48, 119.61, 125.16, 125.57, 127.36, 127.46, 128.85, 129.03, 129.46, 129.64, 131.33, 131.86, 132.71, 137.59, 139.43, 150.12, 155.39, 192.30; MS (ESI): 476.23 (M+1).

RESULTS AND DISCUSSION

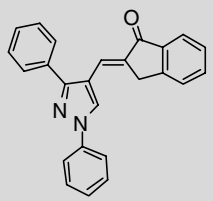
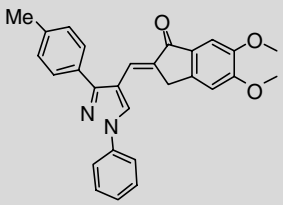
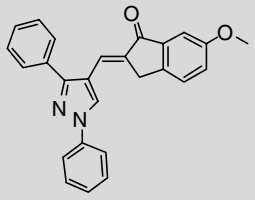
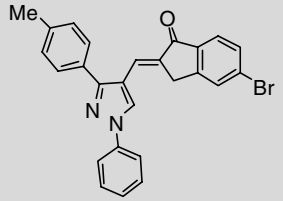
The microwave assisted, solvent-free and high yielding synthesis of hydrazones (**2a-c)** was achieved by the reaction between acetophenone (**1a-c)** and phenyl hydrazine in conventional microwave oven at 300 W within a very short reaction time (2 min). The obtained hydrazones **2a-c** on Vilsmeier-Haack reaction using DMF-$POCl_3$ gave 1,3-diphenyl-1*H*-pyrazole-4-carbaldehydes (**3a-c)**. Pyrazole aldehydes **3a-c** on subsequent condensation with differently substituted 1-indanone derivatives **4a-d** in the presence of NaOH gave 2-[1-(1,3-diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-indan-1-one derivatives (**5a-l**) in good to excellent yields (**Scheme-I**). The structures of all the newly synthesized compounds were established using IR, ^{1}H & ^{13}C NMR and LCMS spectral data.

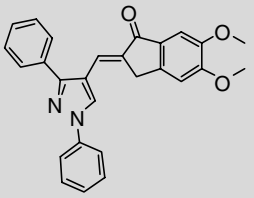
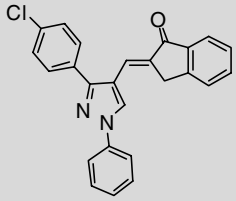
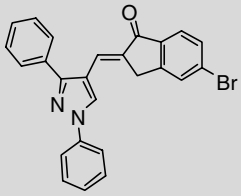
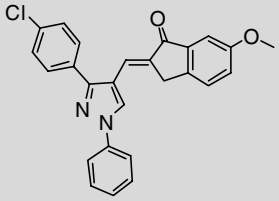
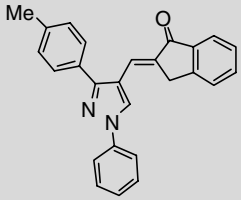
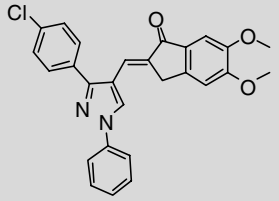
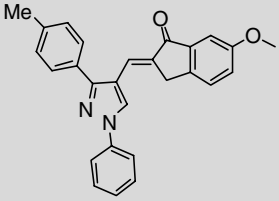
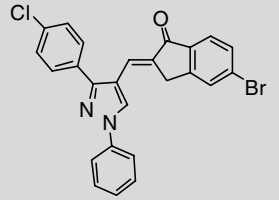
Antibacterial activity: All the newly synthesized compounds **5a-l** were screened for their *in vitro* antibacterial activity against *S. aureus* (Gram-positive) and *E. coli* (Gram-negative) bacteria using brain heart infusion broth. The minimum inhibitory concentration values were determined by dilution method and compared with ciprofloxacin, a standard drug. From the results (Table-1), it is clear that most of the tested compounds show good antibacterial activity. All the synthesized compounds revealed more potency against Gram-positive strain compared to the Gram-negative strain. Among the screened indanone derivatives, compounds **5g, 5h, 5j** and **5l** shows highest activity against *S. aureus*. However, compound **5a** showed moderate activity against *S. aureus* compared to the standard drug ciprofloxacin. On the other hand compounds **5b**, **5c** and **5f** displayed



Scheme-I: 2-[1-(1,3-Diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-indan-1-one derivatives; Reagents and conditions: (a) $PhNHNH_2$, MW, 300 W; b) DMF/$POCl_3$, reflux; (c) NaOH, EtOH, reflux

TABLE-1
MINIMUM INHIBITORY CONCENTRATION OF THE SYNTHESIZED COMPOUNDS

Compound		MIC (µg/mL)		Compound		MIC (µg/mL)	
		S. aureus	*E. coli*			*S. aureus*	*E. coli*
5a		3.12	25.0	**5g**		**0.4**	50.0
5b		0.8	1.6	**5h**		**0.4**	50.0

5c		0.8	1.6	**5i**		0.8	50.0
5d		0.8	6.25	**5j**		**0.4**	25.0
5e		0.8	6.25	**5k**		0.8	12.5
5f		0.8	1.6	**5l**		**0.4**	25.0
				Std.	Ciprofloxacin	2.0	2.0

highest activity against *E. coli* while remaining compounds exhibited moderate activity against *E. coli*. Overall, compounds **5b**, **5c** and **5f** are evoked as potent antibacterial agents against both Gram-positive and Gram-negative strains.

Conclusion

In conclusion, 2-[1-(1,3-diphenyl-1*H*-pyrazol-4-yl)-meth-(*E*)-ylidene]-indan-1-one derivatives were synthesized by combining 1,3-diaylpyrazole aldehydes with different 1-indanones under basic condition and successfully characterized. The results of bacterial study revealed that compounds **5g**, **5h**, **5j** and **5l** exhibited excellent antibacterial potency against *S. aureus*. However, compounds **5b**, **5c** and **5f** displayed significant activity against *E. coli* compared to the reference standard.

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