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# SYNTHESIS AND BROAD SPECTRUM ANTIBACTERIAL ACTIVITIES OF 2-PYRAZOLINES SYNTHESIZED FROM CHALCONES

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**Keywords:**

Chalcones, 2-Pyrazolines, Flavonoids, antibacterial activity, *Staphylococcus aureus*, *Escherichia coli*

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**ABSTRACT:** 2-Pyrazolines are well known, and important nitrogen-containing five-membered heterocyclic compounds which belong to the family of azoles and have been found to possess considerable activities, like anticancer, antibacterial, antifungal, immunosuppressant and antiamoebic activity. In the present work, ten novel pyrazolines were prepared from chalcones, characterized and evaluated for their antibacterial activity against *Staphylococcus aureus* and *Escherichia coli* using Ciprofloxacin as standard and using DMSO as a solvent. Their activity was evaluated by measuring the zone of inhibition in mm. All the compounds exhibited antibacterial activity against *Staphylococcus aureus* and *Escherichia coli*. Among ten derivatives of compounds synthesized, the derivative with 2-chloro and 4-chloro substituted phenyl rings attached to pyrazolines exhibited the highest activity against both types of organisms. The derivatives with an unsubstituted phenyl group attached to pyrazolines exhibited comparatively less activity. Thus it can be concluded that pyrazolines containing substituted phenyl groups are effective broad spectrum antibacterial agents, and they can be developed as effective antibacterial agents.

**INTRODUCTION:** 2-Pyrazolines are well known, and important nitrogen-containing five-membered heterocyclic compounds which belong to the family of azoles and have been found to possess considerable activities such as anticancer [1], antibacterial [2], antifungal [3], antidepressant [4], immunosuppressive [5], antidiabetic [6], anticonvulsant [7], anti-inflammatory [8], herbicidal [9], antinociceptive [10] and antiamoebic [11] activity. Pyrazolines are also used in the treatment of Parkinson's [12] Alzheimer's disease [13] and cerebral edema [14].

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In recent years a significant portion of research work in heterocyclic chemistry has been devoted to pyrazolines containing different aryl groups as substituents. Chalcones which was named by Kostanecki and Tambor [15] which constitute an important class of naturally occurring flavonoid compounds that exhibit a wide spectrum of biological activities. They consist of open chain flavonoids in which the two aromatic rings are joined by a three-carbon α, β unsaturated carbonyl system.

Chalcones are unsaturated ketone containing the reactive ketoethylenic –COCH=CH-. These are colored compounds because of the presence of the chromophore –CO-CH=CH, which depends on the presence of other auxochromes. These compounds are also known as benzalacetophenone or benzylideneacetophenone [16]. Chalcones are useful

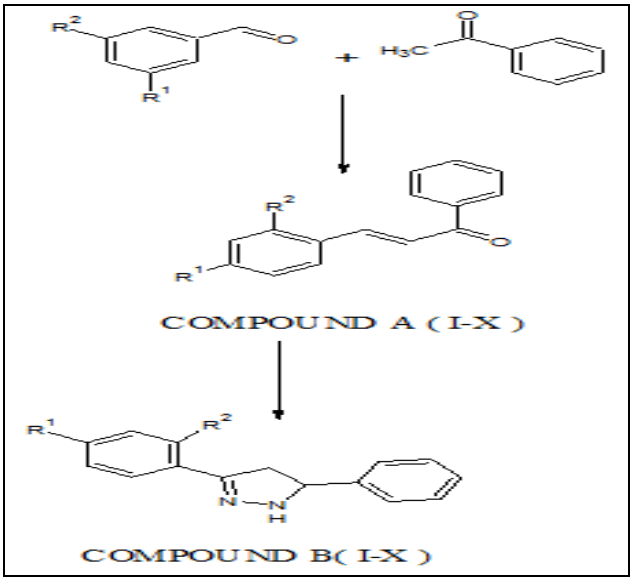
synthons in the synthesis of a large number of bioactive molecules, such as pyrazolines and isoxazoles that are well-known nitrogen-containing heterocyclic compounds [17]. Encouraged by the therapeutic diversity of pyrazolines containing moiety and the comparative ease of convertibility of chalcones to pyrazolines, we took up the synthesis of certain novel pyrazolines from chalcones and evaluated their antibacterial activity.

## EXPERIMENTAL:

**Materials and Methods:** All the reagents were of A.R grade and were procured from the spectrum, S.D Fine Chem. The melting points were determined in open capillary tubes and are uncorrected.

IR spectrum was recorded using Avatar 370, and an NMR spectrum was recorded using Bruker Avancell with TMS as an internal standard. Mass spectra were recorded using Q-Tof mass spectrometer. TLC was performed on glass plates coated with silica gel G. Mobile phase employed was ethyl acetate: n-hexane in the ratio 8:2. For antimicrobial activity screening, the strains of microorganisms were procured from NCIM, Pune.

**Scheme of Synthesis:**




**SCHEME 1: SYNTHESIS OF COMPOUND A & B**

**Step I:**

**Synthesis of Chalcones:** A mixture of the specified substituted benzaldehyde (0.01 mol) and acetophenone (0.01 mol) was dissolved in 10 ml rectified spirit in 250 ml flask equipped with a magnetic stirrer. Then 10 ml of 10 % sodium hydroxide solution was added dropwise to the reaction mixture with vigorous stirring for thirty minutes, and the solution becomes turbid. The reaction temperature was maintained between 20-25° C using a cold water bath on the magnetic stirrer. After vigorous stirring for 4-5 h, the reaction mixture was neutralized by 0.1-0.2 N hydrochloric acid whereby the precipitation occurs. The crude chalcones were filtered off, dried in air and recrystallized by rectified spirit.

**Synthesis of 1, 3-Diphenyl Prop-2-En-1-One:** A mixture of benzaldehyde (0.01 mol) and acetophenone (0.01 mol) was dissolved in 10 ml rectified spirit in 250 ml flask equipped with a magnetic stirrer. Then 10 ml of 10 % sodium hydroxide solution was added dropwise to the reaction mixture with vigorous stirring for thirty minutes, and the solution becomes turbid. The reaction temperature was maintained between 20-25 °C using a cold water bath on the magnetic stirrer. After vigorous stirring for 4-5 h, the reaction mixture was neutralized by 0.1-0.2 N hydrochloric acid whereby the precipitation occurs. The crude chalcones were filtered off, dried in air and recrystallized by rectified spirit.

IR: 1640, (CH=CH bending) 1685, 493, 3298, 763, OH, (out of plane bending), 650 (out of plane bending). NMR: (OH, singlet) 6.65, (Aromatic) 6.4 3, (NH, s), 3.25 (NCH) 3, s. MS: 208 ($M^+$) C H N Analysis: calcd; C (86.51%) H (5.81%) O (7.68%) found C (86.41%) H (5.83%) O (7.63%)

**3-(4-Methoxy Phenyl) 1-Phenyl Prop-2-En-1-One:** IR: 1640, (CH=CH bending) 1723, 450, 3288, 695, OH, (out of plane bending), 664 (out of plane bending). NMR: 5.5, (OH, singlet) 6.85, (Aromatic) 6.55, (NH, s), 3.45 $(NCH)_3$, s. MS: 238 ($M^+$). C H N Analysis: calcd; C (80.65%) H (5.92%) O (13.43%) found: C (80.51%) H (5.82%) O (13.22%).

**3-(2-Methoxy Phenyl) 1-Phenyl Prop-2-En-1-One:** IR: 1655, (CH=CH bending) 1695, 493, 3025, 770, OH, (out of plane bending), 655 (out of plane bending). NMR: 6.16, (OH, singlet) 6.95, (Aromatic) 6.53, (NH, s), 3.25 $(NCH)_3$, S. MS: 238 ($M^+$). C H N Analysis: calcd; C (80.65%) H (5.92%) O (13.43%) found: C (80.51%) H (5.82%) O (13.22%).

**3-(4-Chloro Phenyl)-1-Phenyl Prop-2-En-1-One:** IR: 1701 (CH=CH bending) 1655, 493, 3125, 733, OH, (out of plane bending), 625 (out of plane bending). NMR: 6.15, (OH, singlet) 7.05, (Aromatic) 7.1, (NH, s), 3.3 0 $(NCH)_3$, s. MS: 242($M^+$). C H N Analysis: calcd; C (74.23%) H (4.57%) Cl (14.61%) O (6.59%) found: C (74.23%) H (4.57%) Cl (14.61%) O (6.59%) found: C (74.43%) H (4.59%) Cl (14.71%) O (6.52%)

**3-(2-Chloro Phenyl)-1-Phenyl Prop-2-En-1-One:** IR: 1655, (CH=CH bending) 1685, 485, 3218, 750, OH, (out of plane bending), 705 (out of plane bending). NMR: 5.75, (OH, singlet) 7.15, (Aromatic) 6.60, (NH, s), 3.45 (NCH)3, s. MS: 242($M^+$). C H N Analysis: calcd; C (74.23%) H (4.57%) Cl (14.61%) O (6.59%) found: C (74.23%) H (4.57%) Cl (14.61%) O (6.59%) found: C (74.43%) H (4.59%), Cl (14.71%) O (6.52%).

**3-(2-Fluoro Phenyl)-1-Phenyl Prop-2-En-1-One:** IR: 1632, (CH=CH bending) 1665, 486, 3298, 786, OH, (out of plane bending), 645 (out of plane bending). NMR: 5.75, (OH, singlet) 7.15, (Aromatic) 6.53, (NH, s), 3.55 (NCH) 3, s. MS: 242($M^+$). C H N Analysis: calcd; C (74.23%) H (4.57%) F (14.61%) O (6.59%) found: C (74.23%) H (4.57%) Cl (14.61%) O (6.59%) found: C (74.43%) H (4.59%) F (14.71%) O (6.52%).

**3-(2-Hydroxy Phenyl)-1-Phenyl Prop-2-En-1-One:** IR: 1640, (CH=CH bending) 1685, 493, 3298, 763, OH, (out of plane bending), 650 (out of plane bending). NMR: 5.59, (OH, singlet) 6.95, (Aromatic) 6.55, (NH, s), 3.15 $(NCH)_3$, s. MS: 242($M^+$). C H N Analysis: calcd; C (74.23%) H (4.57%) O (6.59%) found: C (74.33%) H (4.67%) O (6.539%).

**3-(4-Hydroxy Phenyl)-1-Phenyl Prop-2-En-1-One:** IR: 1710 (CH=CH bending) 1705, 480, 3298, 745 OH, (out of plane bending), 625 (out of plane bending). NMR: 5.59, (OH, singlet) 6.95, (Aromatic) 6.53, (NH, s), 3.15 (NCH)3, s. MS: 242($M^+$). C H N Analysis: calcd; C (74.23%) H (4.57%) Cl (14.61%) O (6.59%) found: C (74.33%) H (4.67%) Cl (14.66%) O (6.539%).

**3-[4-Dimethyl Amino) Phenyl]-1-Phenyl Prop-2-En-1-One:** IR: 1731, (CH=CH bending) 1685, 493, 3298, 763, OH, (out of plane bending), 625 (out of plane bending). NMR: 5.55, (OH, singlet) 6.95, (Aromatic) 6.53, (NH, s), 3.25 $(NCH)_3$,s. MS: 251($M^+$). C H N Analysis: calcd; C (81.24%) H (6.82%) N (5.57%) O (6.37%), found: C (80.34%) H (6.92%) N (5.55%) O (6.27%).

**3-[2-Dimethyl Amino) Phenyl]-1-Phenyl Prop-2-En-1-One:** IR: 1640, (CH=CH bending) 1685, 493, 3298, 763, OH, (out of plane bending), 625 (out of plane bending). NMR: 5.59, (OH, singlet) 6.95, (Aromatic) 6.53, (NH, s), 3.15$(NCH)_3$, s. MS: 251($M^+$). C H N Analysis: calcd; C (81.24%) H (6.82%) N (5.57%) O (6.37%) found: C (80.34%) H (6.92%) N (5.55%) O (6.27%).

**Step II:**

**Synthesis of Pyrazolines:** To a stirred solution of chalcone (1.0 mmol), obtained from the first step in 10 ml ethanol, hydrazine hydrate and methanoic acid (2.5 ml) was added. The reaction mixture was refluxed for appropriate time. The progress of the reaction was monitored by TLC. The residue formed was recrystallized from ethanol to get the pure product.

**3,5-Diphenyl -4,5 Dihydro-1 *H*-Pyrazoline:** IR: 3324 (N-H str), 3165 (CH=Ar, stretching) 1700 (CH=CH bending) 1685, 493, 3298,763, OH, (out of plane bending),715 (out of plane bending). NMR: 5.59, (OH, singlet) 6.70, (10mH, m, Aromatic) 6.53, (NH, s), 3.15 (NCH)3, s. MS: 221 ($M^+$). C H N Analysis: calcd; C (76.16%) H (6.39%) N (11.10%) O (6.34%), found: C (76.36%) H (6.33%) N (11.17%) O (6.54%).

**3-(4-Methoxy Phenyl)-5-Phenyl -4,5-Dihydro -1 *H* Pyrazoline:** IR: 3294 (N-H str), 3195 (CH=Ar, stretching) 1655, (CH=CH bending) 1685, 493, 763, OH, (out of plane bending), 705 (out of plane bending). NMR: 5.59, (OH, singlet) 7.25, (10H, m, Aromatic) 6.53, (NH, s), 3.15 (NCH)3, s. MS: 252($M^+$). C H N Analysis: calcd; C (81.24%) H (6.82%) N (5.57%) O (6.37%) found: C (81.44%) H (6.84%) N (5.58%) O (6.36%).

**3-(2-Methoxy Phenyl)-5-Phenyl -4,5-Dihydro -1 *H* Pyrazoline:** IR: 3310 (N-H str), 3164 (CH=Ar, stretching) 1635, (CH=CH bending) 1685, 493, 3298, 750, OH, (out of plane bending), 695 (out of plane bending). NMR: 5.59, (OH, singlet) 7.15, (10 H, m, Aromatic) 6.53, (NH, s), 3.15 (NCH)3, s. MS: 252($M^+$). C H N Analysis: calcd; C (81.24%)

H (6.82%) N (5.57%) O (6.37%) found: C (81.44%) H (6.84%) N (5.58%) O (6.36%).

**3-(4-Chloro Phenyl)-5-Phenyl -4,5-Dihydro -1 *H* Pyrazoline:** IR: 3824 (N-H str), 3184 (CH=Ar, stretching) 1705, (CH=CH bending) 3164 (CH=Ar, stretching) 1685, 513, 3298, 740, OH, (out of plane bending), 650 (out of plane bending). NMR: 5.59, (OH, singlet) 6.85 (10H, m, Aromatic) 6.53, (NH, s), 3.15 (NCH)3, s. MS: 257 ($M^{+1}$). C H N analysis: calcd; C (70.18%) H (5.10%) Cl (13.81%) N (10.91%) found: C (70.15%) H (5.20%) Cl (13.79%) N (10.81%).

**3-(2-Chloro Phenyl)-5-Phenyl -4,5-Dihydro -1 *H* Pyrazoline:** IR: 3318 (N-H str), 3154 (CH=Ar, stretching), 1640, (CH=CH bending) 1685, 510, 3298, 763, OH, (out of plane bending), 685 (out of plane bending). NMR: 5.59, (OH, singlet) 7.10, (10H, m, Aromatic) 6.53, (NH, s), 3.15(NCH)3, s. MS: 257($M^{+1}$). C H N Analysis: calcd; C (70.18%) H (5.10%) Cl (13.81%) N (10.91%) found: C (70.15%) H (5.20%) Cl (13.79%) N (10.81%).

**3-(2-Fluoro Phenyl)-5-Phenyl -4,5-Dihydro -1 *H* Pyrazoline:** IR: 3910 (N-H str), 3170 (CH=Ar, stretching) 1640, (CH=CH bending) 1510 (C=N stretching), 1685, 493, 3298, 763, OH, (CH-out of plane bending), 635 (CH-out of plane bending). NMR: 5.59, (OH, singlet) 6.50, (10H, m, Aromatic) 6.53, (NH,s), 3.15(NCH)3, s. MS: 257($M^{+1}$). C H N Analysis: calcd; C (70.18%) H (5.10%) Cl (13. 81%) N (10.91%) found: C (70.15%) H (5.20%) Cl (13.79%) N (10.81%).

**2-(5-Phenyl -4,5-Dihydro -1 *H* –Pyrazolin-3-Yl) Phenol:** IR: 3287 (N-H str) 3170 (CH=Ar, str) 1640, (CH=CH bending) 1685, 493, 3298, 763, OH, (out of plane bending), 663 (out of plane bending). NMR: 5.59, (OH, singlet) 6.50, (10 H, m, Aromatic) 6.53, (NH, s), 3.15 (NCH)3, s. MS: 239($M^{+1}$). C H N Analysis: calcd; C (75.61%) H (5.92%) N (11.76%) O (6.71%) found: C (75.41%) H (5.82%) N (11.56%) O (6.79%).

**4-(5-Phenyl -4,5-Dihydro -1 *H* –Pyrazol-3-Yl) Phenol:** IR: 3320 (N-H str), 3269 (CH=Ar, stretching) 1658, (CH=CH bending) 1685, 490, 3298, 763, OH, (out of plane bending), 630 (out of plane bending). NMR: 5.59, (OH, singlet) 7.25, (10 H, m, Aromatic) 6.53, (NH,s), 3.15 (NCH)3, s. MS: 239($M^{+1}$). C H N Analysis: calcd; C (75.61%) H (5.92%) N (11.76%) O (6.71%) found: C (75.41%) H (5.82%) N (11.56%) O (6.79%).

**N.N- Dimethyl -4, (5-Phenyl-4,5-Dihydro-1 *H*-Pyrazol-3-Yl) Aniline:** IR: 3305 (N-H str), 3170 (CH=Ar, stretching) 1685, (CH=CH bending) 1685, 493, 3298, 763, OH, (out of plane bending), 660 (out of plane bending). NMR: 5.59, (OH, singlet) 6.95, (10 H, Aromatic) 6.53, (NH, s), 3.15 $(NCH)_3$, s. MS: 266($M^{+1}$). C H N Analysis: calcd; C (76.95%) H (7.22%) N (15.84%) found: C (76.65%) H (7.24%) N (15.74%).

**TABLE 1: PHYSICAL DATA OF CHALCONES**

| S. no. | Codes of Compounds | IUPAC names of Compounds | Melting Point | Molecular Formula | Molecular Weight | $R_f$ Value | Yield |
|---|---|---|---|---|---|---|---|
| 1 | CH1 | 1,3-diphenyl prop-2-en-1-one | 276 | $C_{15}H_{12}O$ | 208 | 0.78 | 56 |
| 2 | CH2 | 3-(4-methoxy phenyl) 1-phenyl prop-2-en-1-one | 260 | $C_{16}H_{14}O_2$ | 238 | 0.67 | 62 |
| 3 | CH3 | 3-(4-methoxy phenyl) 1-phenyl prop-2-en-1-one | 254 | $C_{16}H_{14}O_2$ | 238 | 0.72 | 54 |
| 4 | CH4 | 3-(4-chloro phenyl)-1-phenyl prop-2-en-1-one | 256 | $C_{15}H_{11}ClO$ | 242 | 0.70 | 64 |
| 5 | CH5 | 3-(2-chloro phenyl)-1-phenyl prop-2-en-1-one | 256 | $C_{15}H_{11}ClO$ | 242 | 0.75 | 65 |
| 6 | CH6 | 3-(2-fluoro phenyl)-1-phenyl prop-2-en-1-one | 270 | $C_{15}H_{11}FO$ | 226 | 0.65 | 61 |
| 7 | CH7 | 3-(4-hydroxy phenyl)-1-phenyl prop-2-en-1-one | 278 | $C_{15}H_{12}O_2$ | 224 | 0.69 | 60 |
| 8 | CH8 | 3-(2-hydroxy phenyl)-1-phenyl prop-2-en-1-one | 235 | $C_{15}H_{12}O_2$ | 224 | 0.75 | 58 |
| 9 | CH9 | 3-[4-dimethyl amino) phenyl ]-1-phenyl prop-2-en-1-one | 245 | $C_{17}H_{17}NO$ | 251 | 0.65 | 54 |
| 10 | CH10 | 3-[-2-dimethyl amino) phenyl ]-1-phenyl prop-2-en-1-one | 266 | $C_{17}H_{17}NO$ | 251 | 0.56 | 55 |

**TABLE 2: PHYSICAL DATA OF PYRAZOLINES**

| S. no. | Codes of Compounds | IUPAC names of Compounds | Melting Points (Centigrade) | Molecular Formula | Molecular Weight | $R_f$ Value | Yield |
|---|---|---|---|---|---|---|---|
| 1 | PY 1 | 3,5-diphenyl -4,5 dihydro-1 H-pyrazole | 276 | $C_{15}H_{14}N_2$ | 222 | 0.78 | 52 |
| 2 | PY2 | 3-(4-methoxy phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 260 | $C_{16}H_{16}N_2O$ | 252 | 0.67 | 56 |
| 3 | PY3 | 3-(2-methoxy phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 254 | $C_{16}H_{16}N_2O$ | 252 | 0.72 | 53 |
| 4 | PY4 | 3-(4-chloro phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 256 | $C_{15}H_{13}ClN_2$ | 256 | 0.70 | 61 |
| 5 | PY5 | 3-(2-chloro phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 256 | $C_{15}H_{13}ClN_2$ | 256 | 0.75 | 62 |
| 6 | PY6 | 3-(2-fluoro phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 270 | $C_{15}H_{13}FN_2$ | 240 | 0.65 | 64 |
| 7 | PY7 | 3-(4-hydroxy phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 278 | $C_{15}H_{14}N_2O$ | 238 | 0.69 | 60 |
| 8 | PY8 | 3-(4-hydroxy phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 235 | $C_{15}H_{14}N_2O$ | 238 | 0.75 | 58 |
| 9 | PY9 | 3-(4-dimethyl amino phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 245 | $C_{17}H_{19}N_3$ | 265 | 0.65 | 57 |
| 10 | PY10 | 3-(-2-dimethyl amino phenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole | 266 | $C_{17}H_{19}N_3$ | 265 | 0.56 | 58 |

**Antimicrobial Screening:** The purified and recrystallized pyrazolines were tested for the antibacterial activity by Kirby Baur method [18] against the microorganisms *Staphylococcus aureus* and *Escherichia coli*. Small filter paper discs containing the newly synthesized compounds were placed onto plates upon which bacteria were growing. If the compounds are sensitive to the sample, a clear ring or zone of inhibition is seen around the disc, indicating poor bacterial growth. The table showing zone of inhibitions of the synthesized compounds against *Staphylococcus aureus* and *Escherichia coli* are depicted in **Table 3**.

**TABLE 3: ZONE OF INHIBITION AGAINST MICROORGANISMS**

| S. no. | Codes of compounds | Zone of inhibition against microorganisms (mm) | | | |
|---|---|---|---|---|---|
| | | *Staphylococcus aureus* | | *E. coli* | |
| | | 50 µgm/disc | 100 µgm/disc | 50 µgm/disc | 100 µgm/disc |
| 1 | PY 1 | Nil | Nil | Nil | Nil |
| 2 | PY 2 | 4 | 7 | 3 | 5 |
| 3 | PY 3 | 4 | 6 | 3 | 5 |
| 4 | PY 4 | 14 | 22 | 18 | 19 |
| 5 | PY 5 | 12 | 20 | 18 | 16 |
| 6 | PY 5 | 8 | 12 | 6 | 11 |
| 7 | PY 6 | 9 | 15 | 7 | 12 |
| 8 | PY 7 | 2 | 3 | 1 | 2 |
| 9 | PY 8 | 1 | 2 | 0 | 1 |
| 10 | PY 9 | 2 | 3 | 1 | 3 |
| 11 | Ciprofloxacin (30 µgm/disc) | 28 | | 30 | |
| 12 | Solvent (DMSO) | Nil | | Nil | |

**RESULTS:** All the newly synthesized and purified compounds were screened for *in-vitro* antibacterial activity, by testing against two species of bacteria *Staphylococcus aureus* and *Escherichia coli*. Out of the ten compounds synthesized, six of the resultant compounds showed antibacterial activity against *Staphylococcus aureus* whereas five compounds exhibited activity against *Escherichia coli*. The compounds were tested at concentrations of 50 µg/ml and 1000 µg/ml in DMSO using ciprofloxacin 30 µg/disc as standard. The activity was found out by measuring the zone of inhibition at the end of 24 h. Ten new compounds of pyrazolines by conventional methods and then

purified and screened for antibacterial activity against gram-positive and gram-negative bacteria, *Staphylococcus aureus*, and *Escherichia coli* respectively. Among the screened compounds, the compound 3-(4-chloro phenyl)-5-phenyl-4, 5 dihydro -1 H-pyrazole (PY4) exhibited highest antibacterial activity against both gram-negative and gram-positive bacteria, which was followed by 3-(2-chlorophenyl)-5-phenyl -4,5-dihydro -1 *H* pyrazole. (PY5), The compound with least activity was, 5-diphenyl -4,5 dihydro-1 H-pyrazole. (PY1). The zone of inhibition of all other compounds was found to be negligible when compared with the standards.

**DISCUSSION AND CONCLUSION:** The antibacterial agents that are in therapeutic use are either too expensive or have developed resistance to various microorganisms. This has necessitated the development of newer antibacterial agents. The present work was focussed on the synthesis of various pyrazolines and their screening the screening of their antibacterial activities.

From the studies conducted, we concluded that the derivative 3-(4-chlorophenyl)-5-phenyl-4, 5 dihydro -1 H-pyrazole exhibited the highest antibacterial activity against both *Staphylococcus aureus* and *Escherichia coli.* This was followed by 3-(2-chlorophenyl)-5-phenyl -4, 5-dihydro -1 *H* pyrazole. From the results, it can be concluded that phenyl derivatives substituted at the $4^{th}$ and $2^{nd}$ position with choro groups were found to exhibit broad-spectrum antibacterial activity. As the compound 5-diphenyl -4,5 dihydro-1 H-pyrazole. (PY1) exhibited practically no zone of inhibition, it can also be concluded that the derivatives with unsubstituted phenyl ring lack antibacterial activity and a substituent at the phenyl ring is a pre-requisite for activity.

As the existing broad-spectrum antibacterial agents are expensive and it can be concluded that this molecule can be considered as a promising lead for antibacterial drug discovery.

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**CONFLICTS OF INTEREST:** Nil

## REFERENCES:

1. Karabacak M, Altıntop MD, Çiftçi Hİ, Koga R, Otsuka M, Fujita M and Özdemir A: Synthesis and evaluation of new Pyrazoline derivatives as potential anticancer agents, Molecules 2015; 20: 19066-84.
2. Rani M, Yusuf M, Ahmad Khan S and Sahota KP: Synthesis, studies and in-vitro antibacterial activity of N-substituted 5-(furan-2-yl)-phenyl pyrazolines. Arabian Journal of Chemistry 2015; 8(2): 174-80.
3. Hassan YS: Synthesis, antibacterial and antifungal activity of aome new Pyrazoline and Pyrazole Derivatives. Molecules 2018; 18(3): 2683-711.
4. Rao S, Salim M, Bhupesu V and Prasad K: Anticonvulsant and antidepressant activity studies of synthesized some new 1, 3, 5-trisubstituted-2-pyrazolines. International Journal of Pharm Tech Research 2014; 6(3): 1113-23.
5. Singh P, Negi S, Pant G, Rawat M and Budakoti A: Synthesis and Characterization of a Novel 2-Pyrazoline. Molbank 2009; M614.
6. Emayavaramban M, Santhi N, Gopi C, Manivannan C and Raguraman A: Synthesis, characterization and anti-diabetic activity of 1, 3, 5-triaryl-2-pyrazolines in acetic acid solution under Ultrasound Irradiation. International Letters of Chemistry, Physics and Astronomy 2013; 14: 172-85.
7. Beyhan N, Kaymalkcioglu K, Gumru S and Arizioyglu F: Synthesis and anticonvulsant activity of some 2-pyrazolines derived from chalcones. 2017; 10(2): S2073-S2081.
8. Jiquiang H, Liang M, Zhu J, Peng F, Mingfeng F, Lei L, Lin H, Tang M, Linghong H and Lijuan C: Synthesis and biological evaluation of novel pyrazoline derivatives as potent anti-inflammatory agents, 2015; 25(11): 2429-33.
9. Gaikwad N, Patil S and Bobade V: Synthesis and antimicrobial activity of novel thiazole substituted pyrazole derivatives. Heterocycl Chem 2013; 50: 519-527.
10. Kaplancikli Z, Zitouni G, Ozdemir A, Can O and Chevallet P: Synthesis and anti-nociceptive activities of some pyrazoline derivatives, European Journal of Medicinal Chemistry 2008; 44(6): 2606-10
11. Bhat AR, Athar F and Azam A: Bis-pyrazolines: synthesis, characterization and antiamoebic activity as inhibitors of growth of *Entamoeba histolytica*. European Journal of Medicinal Chemistry 2009; 44(1): 426-31.
12. Singh R, Thota S and Bansal R: Studies on 16, 17-pyrazoline substituted heterosteroids as Anti-Alzheimer and Anti-Parkinsonian agents using LPS induced neuro-inflammation models of mice and rats.ACS Chem Neuro Sci 2018; 9(2): 272-83.
13. Ucar G, Gokhan N, Yesilada A and Bilgin AA: 1-N-substituted thicarbonyl-3-phenyl-5-thienyl-2-pyrazolines: annovel cholinesterase and selective monoamine oxidase B inhibitors for the treatment of Parkinson's and Alzheimer's diseases. Neuro Sci Lett 2005; 382(3): 327-31.
14. Maleki B, Azarifar D and Moghaddam MKV: Synthesis and characterization of a series of 1, 3, 5-trisubstituted-2-pyrazoline derivatives using methanoic acid under thermal condition. J Serb Chem Soc 2009; 74(12): 1371-76.
15. Choudhary A and Juyal V: Synthesis of Chalcones and their derivatives as antimicrobial agents. Int J Pharm Pharm Sci 2011; 3(3): 125-28.
16. Zhuang C, Zang W, Sheng C, Zang W, Xing C and Miao Z: Chalcone a privileged structure in medicinal chemistry. Chem Rev 2017; 117(12): 7762-10.

17. Yazdan SK, Vidya Sagar D and Shaik AB: Chemical & Biological potentials of Chalcones. A Review, Organic and Medicinal Chemistry IJ 2015; 1(1): 555553-58.

18. Barry AL: The antimicrobial susceptibility test: Principles and Practices. (Philadelphia Pa. The USA) Biol Abstr 1976, 64: 257.

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