

# Synthesis of some new 1-(5-((1H-pyrazol-1-yl)methyl)-2-aryl-1,3,4-oxadiazol-3(2H)-yl) ethanone derivatives and study their antimicrobial activity

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## Research Article

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# Abstract

Ethyl 2-(1H-pyrazol-1-yl)acetate (**1**) was synthesized by the reaction of ethylchloroacetate with 1H-pyrazole, Then compound (**1**) refluxed with hydrazine hydrate to get 2-(1H-pyrazol-1-yl) acetohydrazide (**2**). Compound (**2**) was reaction with appropriate aryl aldehyde to get schiff bases N'-arylidine-2-(1H-pyrazol-1-yl)acetohydrazide derivatives (**3a-3f**). schiff's base (**3a-3e**) were cyclized by reflux with acetic anhydride to get new 1-(5-((1H-pyrazol-1-yl)methyl)-2-aryl-1,3,4-oxadiazol-3(2H)-yl)ethanone derivatives (**4a-4e**). The structures of the synthesized compounds were characterized by IR, 1H-NMR, 13C-NMR, mass spectra, and elemental analysis data. Synthesized compounds (**4a-4e**) were evaluated as antibacterial agents against some common pathogenic bacteria Gram-positive (*Staphylococcus aureus*, *Streptococcus pyogenes*) and Gram-negative bacteria (*Escherichia coli*, *Psuedomonas aeruginosa*). The result of antibacterial activity was compared with standard drugs (Ciprofloxacin and Tetracycline).

## Introduction

Heterocyclic compounds have considerable attention from researchers because of their key role in the medicinal and pharmaceutical field. Pyrazole is a five-member ring heterocyclic compound, has some structural features with two nitrogen atoms in an adjacent position, and is also known as azole [1]. It has only one endocyclic double bond and is basic. Pyrazole is a versatile lead compound for designing potent bioactive agents. The studies of pyrazole derivatives revealed that they are very useful in pharmaceutical and agrochemical research. Pyrazoline derivatives displayed various types of pharmacological activities such as antibacterial, antifungal, antitubercular antiviral [2], antihistaminic [2], antidepressant [2], anti-inflammatory, antiarthritis [3], tranquillizing [4], anticancer [5, 6], antihypertensive [7], anti-arrhythmic [8], analeptic [9], anticonvulsant [10], antidiabetic activities [11], and antioxidant etc [1–5]. The pyrazole derivatives are quite stable and have inspired chemists to synthesize the new pyrazole derivatives. Mostly pyrazole is synthesized taking ethyl acetoacetate and substituted hydrazine as starting chemicals. Pyrazolone can be considered as an intermediate compound for the synthesis of various cyclic compounds of high biological activity.

1,3,4-Oxadiazole is a heterocyclic compound containing an oxygen atom and two nitrogen atoms in a five-membered ring. Substituted 1,3,4-oxadiazole derivatives have demonstrated a broad spectrum of biological properties in both pharmaceutical and agrochemical fields. More widely studied and interest was shown by researchers because of their many important chemical and biological activities [12–15]. 1,3,4-oxadiazole derivatives have been possessed diverse biological activities such as antimicrobial, antitubercular, antimalarial, antiviral [16–18], analgesic, anti-inflammatory, CNS depressant, anticonvulsant, hypnotic, sedative, muscle relaxants [19], insecticidal, herbicidal [20], antitumor, cytotoxic [21, 22], lipid peroxidation inhibitor, diuretic, antioxidant [23], etc. Therefore, 1,3,4-oxadiazoles have attracted researchers all over the world to work in this area of new drug development. An enormous amount of research was undertaken to synthesize these classes of compounds by employing traditional

methods, introducing new innovative methods and techniques, to reach the target molecules, and study their biological applications. In this study, we considered the synthesized derivatives of oxadiazole derived from 1H-pyrazole as in the scheme (I) and study its antimicrobial effect on some and Gram-positive and Gram-negative bacteria.

## Materials And Methods

### Materials

All the chemicals were procured from Merck, Loba Chem, and SD Fine Chemicals. The purity of compounds was checked by thin-layer chromatography (TLC). Infrared (IR) spectra were recorded on Bruker alpha T Spectrophotometer. <sup>1</sup>H-NMR spectra were recorded on Bruker 400 MHz instruments in CDCl<sub>3</sub>/DMSO, using tetramethylsilane (TMS) as an internal standard. Mass spectra were recorded on the Jeol JMS-D300 instrument. All the compounds have given satisfactory <sup>1</sup>H NMR, Mass, and FT-IR spectra.

### Chemistry

#### Synthesis of ethyl 2-(1H-pyrazol-1-yl)acetate (1):

A mixture of pyrazole (0.1 mol) with ethyl chloroacetate (0.1 mol) in 50 ml of dry acetone in presence of potassium carbonate (3.0 gm) was reflux for 6 hr with stirring at 80°C. The solvent evaporated and the reaction mixture was filtered and separated the product [24] and recrystallized from ethanol to get the solid product, Yield 72%. Chemical Formula: C<sub>7</sub>H<sub>10</sub>N<sub>2</sub>O<sub>2</sub>; Molecular Weight: 154.17; IR (cm<sup>-1</sup>): 2985 (C-H, pyrazole), 1735 (C = O), 1610.50 (C = N), 1486 (C = C), 1065.22 (C-O-C); <sup>1</sup>HNMR (DMSO) δ (ppm): 6.50–7.75 (m, 3H, CH pyrazine), 5.20 (s, 2H, CH<sub>2</sub>), 4.30 (t, 2H, CH<sub>2</sub>), 1.52 (3H, CH<sub>3</sub>); Ms (m/z): 154.07 (M<sup>+</sup>).

#### Synthesis of 2-(1H-pyrazol-1-yl)acetohydrazide (2):

A mixture of (0.1 mol) of compound 1 with (0.1 mol) of Hydrazine hydrate (80% in 30 ml of absolute ethanol) were reflux for 3 hr, concentrate the remaining reaction mixture and cooled [25]. The crude product was separated by filtration and re-crystallized from ethanol to get solid product. Yield (80%), Chemical Formula: C<sub>5</sub>H<sub>8</sub>N<sub>4</sub>O; Molecular Weight: 140.14; IR (cm<sup>-1</sup>): 3447.35 (NH); 2980 (Ar-C-H), 1720 (C = O), 1614.50 (C = N), 1496 (C = C); <sup>1</sup>HNMR (DMSO) δ (ppm): 8.30 (s, 1H, NH), 6.40–7.70 (m, 3H, CH pyrazine), 5.20 (s, 2H, CH<sub>2</sub>), 4.37 (s, 2H, NH<sub>2</sub>), 4.30 (t, 2H, CH<sub>2</sub>), 1.52 (3H, CH<sub>3</sub>); Ms (m/z): 140.07 (M<sup>+</sup>).

#### Synthesis of N-(arylidine)-2-(1H-pyrazole-1-yl)acetamide (3a-3e):

A mixture of compound 2 (0.1 mol) and appropriate aromatic aldehyde (0.1 mol) in (50 ml) of absolute ethanol, the mixture was reflux for 4 hrs and concentrated and cooled the reaction mixture [26]. The crude product was obtained, separated by filtration, and recrystallized from ethanol.

## **N'-(4-hydroxybenzylidene)-2-(1H-pyrazol-1-yl)acetohydrazide (3a):**

Yield (65 %), Chemical Formula:  $C_{12}H_{12}N_4O_2$ ; Molecular Weight: 244.25; IR  $\nu$   $cm^{-1}$  (KBr): 1677 (-(OC)N), 1450, 1556 (C = C), 1210 (-CN=), 1604 (C = N), 3450 (OH);  $^1H$ NMR  $\delta$  ppm: 8.4 (s, 1H, CH), 8.30 (s, 1H, NH), 6.8-8.0 (m, 7H, ArH), 5.6 (s, 1H, CH), 5.3 (s, 1H, OH);  $^{13}C$ -NMR  $\delta$  ppm: 170.0 (CO) 143 (N = CH), 160, 130.6, 126.3, 116 (6C, Ar); 140, 130, 1.06 (3C, pyrazole), 64 (CH<sub>2</sub>); Ms (m/z): 244.10 (M<sup>+</sup>).

## **N'-(4-(dimethylamino)benzylidene)-2-(1H-pyrazol-1-yl)acetohydrazide (3b):**

Yield (68%); Chemical Formula:  $C_{14}H_{17}N_5O$ ; Molecular Weight: 271.32; IR  $\nu$   $cm^{-1}$  (KBr): 1692 ((OC)N-), 1458, 1560 (C = C), 1229 (CN), 1600 (C = N), 2800 – 2290 (C-H aliphatic);  $^1H$ NMR  $\delta$  ppm:  $^1H$ NMR  $\delta$  ppm: 8.38 (s, 1H, CH), 8.30 (s, 1H, NH), 6.5-8.0 (m, 7H, ArH), 5.60 (s, 1H, CH), 2.98 (s, 6H, CH<sub>3</sub>);  $^{13}C$ -NMR  $\delta$  ppm: 170.10 (CO) 144 (N = CH), 154, 128.6, 123.3, 112 (6C, Ar); 140, 130, 1.06 (3C, pyrazole), 41.4 (CH<sub>3</sub>); Ms (m/z): 271.14 (M<sup>+</sup>).

## **N'-(4-nitrobenzylidene)-2-(1H-pyrazol-1-yl)acetohydrazide (3c):**

Yield (70%); Chemical Formula:  $C_{12}H_{11}N_5O_3$ ; Molecular Weight: 273.25; IR  $\nu$   $cm^{-1}$  (KBr): 1685(-(OC)N-), 1448, 1552(-C = C-), 1200 (CN), 1635(C = N), 1248 (C-O-C), 1102, (C-O-C);  $^1H$ NMR  $\delta$  ppm: 8.0 (s, 1H, NH) 8.3 (s, 1H, N = CH), 6.3–8.2 (m, 7H, ArH), 2.55 (s, 3H, CH<sub>3</sub>);  $^{13}C$ -NMR  $\delta$  ppm: 168 (CO), 150, 140, 124 (6C, Ar), 144 (N = CH), 140, 130, 1.06 (3C, pyrazole), 64 (CH<sub>2</sub>); Ms (m/z): 273.09 (M<sup>+</sup>).

## **N'-(4-methoxybenzylidene)-2-(1H-pyrazol-1-yl)acetohydrazide (3d):**

Yield (65%); Chemical Formula:  $C_{13}H_{14}N_4O_2$ ; Molecular Weight: 258.28; IR  $\nu$   $cm^{-1}$  (KBr): 1690 ((OC)N-), 1456–1558 (C = C), 1230 (CN), 1602 (C = N), 2805–2905 (C-H, aliphatic);  $^1H$ NMR  $\delta$  ppm: 8.6 (s, 1H, NH), 8.1 (s, 1H, N = CH) 6.00–8.0 (m, 7H, ArH), 4.0 (s, 3H, CH<sub>3</sub>);  $^{13}C$ -NMR  $\delta$  ppm: 168 (CO), 145 (N = CH), 163, 130, 126, 114 (6C, ArH), 140, 130, 105 (3C, pyrazole); Ms (m/z): 258.11 (M<sup>+</sup>).

## **N'-benzylidene-2-(1H-pyrazol-1-yl)acetohydrazide (3e):**

Yield (71%); Chemical Formula:  $C_{12}H_{12}N_4O$ ; Molecular Weight: 228.25; IR  $\nu$   $cm^{-1}$  (KBr): 1684 ((OC)N-), 1438–1510 (C = C), 1169(CN), 1610 (C = N);  $^1H$ NMR  $\delta$  ppm: 8.5 (s, 1H, NH), 8.2 (s, 1H, N = CH) 6.20–8.0 (m, 7H, ArH), 5.60 (s, 2H, CH<sub>2</sub>);  $^{13}C$ -NMR  $\delta$  ppm: 168 (CO), 145 (N = CH), 134, 131, 129.2, 128.8 (6C, ArH), 140, 130, 106 (3C, pyrazole); Ms (m/z): 228.10 (M<sup>+</sup>).

## Synthesis of 5-(1H-pyrazol-1-yl)methyl)3-N-acetyl-2-(aryl)-1,3,4-(2H)-oxadiazole (4a-4e):

A mixture of appropriate Schiff base (A3-8) (0.1 mol) with acetic anhydride (50 ml) was refluxed for 6 hr, then the solvent evaporated. The residue was poured into crushed ice [26]. The crude product was obtained, was separated by filtration, and recrystallized from ethanol.

### 1-(5-((1H-pyrazol-1-yl)methyl)-2-(4-hydroxyphenyl)-1,3,4-oxadiazol-3(2H)-yl)ethanone(4a):

Yield (70%); Chemical Formula:  $C_{14}H_{14}N_4O_3$ ; Molecular Weight: 286.29; IR  $\nu$   $cm^{-1}$ (KBr): 1710 ((OC)-N-), 1450, 1558 (C = C), 1210 (CN), 1610(C = N), 1103 (COC), 3460 (OH);  $^1H$ NMR  $\delta$  ppm: 6.20–7.80 (m, 7H, ArH), 6.8 (s, 1H, CH), 5.30 (s, 1H, OH), 4.90 (s, 2H,  $CH_2$ ), 2.4 (s, 3H,  $CH_3$ );  $^{13}C$ -NMR  $\delta$  ppm: 168 (CO), 156.5, 133, 128.3, 115.7 (6C, ArH), 139.6, 129.6, 105.9 (3C, pyrazole), 158.4, 83.5 (2C, oxadiazole), 58.9 (2C,  $CH_2$ ), 23.4 (3C,  $CH_3$ ); Ms (m/z): 286.11 ( $M^+$ ).

### 1-(5-((1H-pyrazol-1-yl)methyl)-2-(4-(dimethylamino)phenyl)-1,3,4-oxadiazol-3(2H)-yl)ethanone (4b):

Yield (68%); Chemical Formula:  $C_{16}H_{19}N_5O_2$ ; Molecular Weight: 313.35; IR  $\nu$   $cm^{-1}$ (KBr): 1710 (-(OC)-N-), 1456, 1558 (C = C), 1229(CN), 1598 (C = N), 1125 (COC), 2800–2900 (C-H aliphatic);  $^1H$ NMR  $\delta$  ppm: 6.20–7.5 (m, 7H, ArH), 6.62 (s, 1H, oxadiazole), 4.90 (s, 2H,  $CH_2$ ), 2.50 (s, 3H,  $CH_3$ ) 2.4 (s, 3H,  $CH_3$ );  $^{13}C$ -NMR  $\delta$  ppm: 169 (CO), 150.2, 130, 127.3, 112.7 (6C, ArH), 139.6, 129.6, 105.9 (3C, pyrazole), 158.4, 83.5 (2C, oxadiazole), 58.9 (2C,  $CH_2$ ), 23.4 (3C,  $CH_3$ ), 41.3 (6C,  $CH_3$ ); Ms (m/z): 313.15 ( $M^+$ ).

### 1-(5-((1H-pyrazol-1-yl)methyl)-2-(4-nitrophenyl)-1,3,4-oxadiazol-3(2H)-yl)ethanone (4c):

Yield (62%); Chemical Formula:  $C_{14}H_{13}N_5O_4$ ; Molecular Weight: 315.28; IR  $\nu$   $cm^{-1}$ (KBr): 1705 ((OC)-N-), 1452,1558 (C = C), 1205 (CN), 1640 (C = N), 1120 (COC), 1250 (C-O-C), 1100 (C-O-C);  $^1H$ NMR  $\delta$  ppm: 6.20–8.5 (m, 7H, ArH), 6.61 (s, 1H, oxadiazole), 4.92 (s, 2H,  $CH_2$ ), 2.6 (s, 3H,  $CH_3$ ), 2.4 (s, 3H,  $CH_3$ );  $^{13}C$ -NMR  $\delta$  ppm: 168.9 (CO), 146.2, 145.8, 128.4, 125 (6C, ArH), 139.6, 129.6, 105.9 (3C, pyrazole), 158.2, 83.6 (2C, oxadiazole), 58.9 (2C,  $CH_2$ ), 23.4 (3C,  $CH_3$ ); Ms (m/z): 315.10 ( $M^+$ ).

### 1-(5-((1H-pyrazol-1-yl)methyl)-2-(4-methoxyphenyl)-1,3,4-oxadiazol-3(2H)-yl)ethanone (4d):

Yield (58%); Chemical Formula:  $C_{15}H_{16}N_4O_3$ ; Molecular Weight: 300.31; IR  $\nu$   $cm^{-1}$ (KBr): 1705 ((OC)-N-), 1457–1559 (C = C), 1228 (CN), 1604 (C = N), 1120 (COC), 2810–2905 (C-H aliphatic);  $^1H$ NMR  $\delta$  ppm: 6.25–7.8 (m, 7H, ArH), 6.6 (s, 1H, oxadiazole), 4.93 (s, 2H,  $CH_2$ ), 3.9 (s,3H,  $OCH_3$ ), 2.62 (s, 3H,  $CH_3$ ), 2.4 (s,

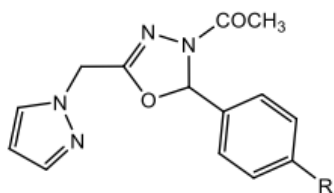
3H, CH<sub>3</sub>); <sup>13</sup>C-NMR δ ppm: 168.9 (CO), 158.2, 132.8, 127.9, 114.2 (6C, ArH), 139.6, 129.6, 105.9 (3C, pyrazole), 158.2, 83.6 (2C, oxadiazole), 58.9 (2C, CH<sub>2</sub>), 23.4 (3C, CH<sub>3</sub>); Ms (m/z): 300.12 (M<sup>+</sup>).

## 1-(5-((1H-pyrazol-1-yl)methyl)-2-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone (4e):

Yield (64%); Chemical Formula: C<sub>14</sub>H<sub>14</sub>N<sub>4</sub>O<sub>2</sub>; Molecular Weight: 270.29; IR ν cm<sup>-1</sup>(KBr): 1710 ((OC)-N-), 1438, 1515 (C = C), 1169 (CN), 1606(C = N), 1105 (COC); <sup>1</sup>HNMR δ ppm: 6.25–7.9 (m, 7H, ArH), 6.61 (s, 1H, oxadiazole), 4.91 (s, 2H, CH<sub>2</sub>), 2.7 (s, 3H, CH<sub>3</sub>); <sup>13</sup>C-NMR δ ppm: 168.9 (CO), 140.2, 128.5, 126.9, 126.7 (6C, ArH), 139.6, 129.6, 105.9 (3C, pyrazole), 158.2, 83.6 (2C, oxadiazole), 58.9 (2C, CH<sub>2</sub>), 23.4 (3C, CH<sub>3</sub>); Ms (m/z): 270.11 (M<sup>+</sup>).

### Antibacterial activity [27]

The antibacterial activity of the synthesized compounds was determined by the cup plate method. In this method, the sample solution diffuses from a vertical-cavity through the solid agar layer of a petri dish in a manner that growth of the added microbe is prevented entirely in a circular area or a zone around the cavity containing a solution of the sample if the added sample possesses antibacterial activity. For determining antibacterial activity, freshly prepared liquid agar medium (35 mL/Petri dish) was transferred into the petri dishes and allowed the medium to solidify. Then, the 200 μL standardized culture (99 mL Nutrient broth media + 1 mL culture) of microorganism was spread on each Petri dish by L-shaped spreader. With the help of the borer (5 mm), three bores were made on each plate. The synthetic compounds diluted with dimethyl sulfoxide (DMSO) at three concentrations (50, 100, and 200 μg/mL) were added to each well separately. The Petri dishes were kept aseptically for approximately 4 to 5 h for the diffusion of the sample. Following diffusion, all the Petri dishes were incubated for 24 h at a temperature of 37° C. After the stipulated period of 24 h, the activity of compounds in terms of zone of inhibition was observed against two Gram-positive bacteria (*Staphylococcus aureus*, *Streptococcus pyogenes*) and two Gram-negative bacteria (*Escherichia coli*, *Pseudomonas aeruginosa*). The antibacterial activity of the synthesized compounds is reported in Table 1.



General structure of 1-(5-((1H-pyrazol-1-yl)methyl)-2-aryl-1,3,4-oxadiazol-3(2H)-yl)ethanone (**4a-4e**)

Table 1  
Antibacterial activity of the title compounds (4a-4e).

Compd	R	Conc. ( $\mu\text{g/mL}$ )	Zone of inhibition (in mm)			
			Gram-positive		Gram-negative	
			<i>S. aureus</i>	<i>S.pyogenes</i>	<i>E. coli</i>	<i>P. aeruginosa</i>
4a	4-OH	50	-	-	-	-
		100	-	10	11	10
		200	11	12	14	15
4b	4-N(CH <sub>3</sub> ) <sub>2</sub>	50	-	-	-	-
		100	12	10	12	14
		200	14	15	15	17
4c	4-NO <sub>2</sub>	50	-	-	-	-
		100	10	9	14	15
		200	12	14	17	19
4d	4-OCH <sub>3</sub>	50	-	-	-	-
		100	12	9	14	13
		200	17	15	14	18
4e	H	50	-	-	-	-
		100	-	-	12	13
		200	12	14	15	15
Ampicillin	-	50	25	23	27	25
-shows no antibacterial activity						

## Results And Discussion

### Chemistry

All the title compounds were synthesized according to **Scheme 1**. The structures of the synthesized compounds were confirmed by their melting point and IR, <sup>1</sup>H-NMR, <sup>13</sup>C-NMR & mass spectroscopy. Ethyl 2-(1H-pyrazol-1-yl)acetate (**1**) was synthesized from the reaction between ethyl chloroacetate and pyrazole. The IR spectrum of this compound (**1**) was showed a band at (1735 cm<sup>-1</sup>) which was assigned to the carbonyl (CO) group of ester. Moreover, this compound was exhibited a significant band in the region at (2985) belong to C-H Aromatic and the band at (1065 cm<sup>-1</sup>) due to the C-O-C for the ester. The

<sup>1</sup>HNMR spectrum of compound (1) was also showed a signal at the frequency (1.52 δ ppm) to (3H, CH<sub>3</sub>), (4.30 δ ppm) to (2H, CH<sub>2</sub>) for the ethyl group, and (5.20 δ ppm) due to (2H, CH<sub>2</sub>) of the methyl group, as well as a signal at the range (6.50–7.75 δ ppm) to (3H pyrazole). The 2-(1H-pyrazol-1-yl) acid hydrazide (2) was synthesized from Ethyl 2-(1H-pyrazol-1-yl)acetate (1) and hydrazine hydrate. The IR spectra (KBr cm<sup>-1</sup>) of compound (2) was showed bands at (3447.35) cm<sup>-1</sup> of (NH<sub>2</sub>) group and band at 1720 cm<sup>-1</sup> of the carbonyl group (CO) for acid hydrazide and 1614.50 cm<sup>-1</sup> of (C = N) group. Various N-(arylidine)-2-(1H-pyrazole-1-yl)acetamide derivatives (3a-3e) were synthesized by the reaction of compound 2 with an appropriate aromatic aldehyde in presence of ethanol. The characteristic spectral data characterized the structures of synthesized compounds. IR absorption bands (KBr cm<sup>-1</sup>), for example, compound 3a, a band at (1677 cm<sup>-1</sup>) which was assigned to the (-OC)N group. Moreover, this compound was exhibited a significant band in the region at (1604) belong to (C = N) and the band at (3450 cm<sup>-1</sup>) due to the (OH) group. The <sup>1</sup>HNMR spectrum of compound (3a) was also showed a signal at the frequency 8.4 δ ppm to (CH), 8.30 δ ppm to (NH), 6.8-8.0 δ ppm to (pyrazole & Ar-H), 5.6 δ ppm to (CH), and 5.3 δ ppm to (OH). The <sup>13</sup>C-NMR spectrum of compound (3a) was also showed a signal at the frequency 170.0 δ ppm to (CO), 143 δ ppm to (N = CH), 160, 130.6, 126.3, 116 δ ppm (6C, Ar); 140, 130, 1.06 δ ppm to (3C, pyrazole), and 64 δ ppm to (CH<sub>2</sub>) group. Various 5-(1H-pyrazol-1-yl)methyl-3-N-acetyl-2-(aryl)-1,3,4-(2H)-oxadiazole derivatives (4a-4e) were synthesized by the reaction of compounds 3 and acetic anhydride. The characteristic spectral data characterized the structures of synthesized compounds. IR absorption bands (KBr cm<sup>-1</sup>), for example, compound 4a, a band at (1710 cm<sup>-1</sup>) which was assigned to the (-OC)N group. Moreover, this compound was exhibited a significant band in the region at (1610) belong to (C = N), (1103 cm<sup>-1</sup>) belong to (COC), (1558 cm<sup>-1</sup>) belong to (C = C), and the band at (3460 cm<sup>-1</sup>) due to the (OH) group. The <sup>1</sup>HNMR spectrum of compound (4a) was also showed a signal at the frequency 6.20–7.80 δ ppm to (pyrazole & Ar-H), 6.80 δ ppm to (CH), 5.3 δ ppm to (OH), 4.90, 4.9 δ ppm to (CH<sub>2</sub>), and 2.4 δ ppm to (CH<sub>3</sub>). The <sup>13</sup>C-NMR spectrum of compound (3a) was also showed a signal at the frequency 168 δ ppm to (CO), 156, 133, 128.3, and 115.7 δ ppm to (Ar-H), 139.6, 129.6, 105.9 δ ppm (pyrazole); 158.4, 83.5 δ ppm to (oxadiazole), and 58.9 δ ppm to (CH<sub>2</sub>), and 23.4 ppm to (CH<sub>3</sub>) group. Mass spectra were showed a base peak in (m/z) value, 286.11 (M<sup>+</sup>). It was important to state here that the synthesized compounds will be studied soon to demonstrate their anticipated biological activity.

## Antimicrobial Activity

The examination of antibacterial screening data revealed that 5-(1H-pyrazol-1-yl)methyl-3-N-acetyl-2-(aryl)-1,3,4-(2H)-oxadiazole derivatives (4a-4e) were exhibited good antibacterial activity towards both Gram-positive (*Staphylococcus aureus*, *Streptococcus pyogenes*) and Gram-negative bacteria (*Escherichia coli*, *Pseudomonas aeruginosa*) and compare antimicrobial activity with standard drugs Ampicillin. The result showed that title compounds were shown antibacterial activity in dose dependant manner and compound 4c is most active antibacterial compounds than other remaining compounds (4a, 4b, 4d, and 4e). All the title compounds (4a-4e) were more effective against Gram-negative bacteria than Gram-positive bacteria.



## Conclusions

Title compounds, 5-(1H-pyrazol-1-yl)methyl)3-N-acetyl-2-(aryl)-1,3,4-(2H)-oxadiazole derivatives (**4a-4e**) were synthesized, characterized and evaluated as antimicrobial agents against some pathogenic bacteria. This work was described new derivatives for pyrazole and oxadiazole. The antimicrobial activity of these compounds was screened against Gram-positive (*S. aureus*, *S. pyogenes*), Gram-negative (*E. coli*, *P. aeruginosa*) bacteria. All the compounds were showed antimicrobial activity in a dose-dependent manner. These compounds were effective against Gram-negative bacteria.

## Declarations

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### Conflict of interest

No

### Consent for publication

Yes

### Funding

This study was not financially supported.

### Ethics approval and consent to participate

Not applicable

### Competing interests

The authors declare that they have no competing interests

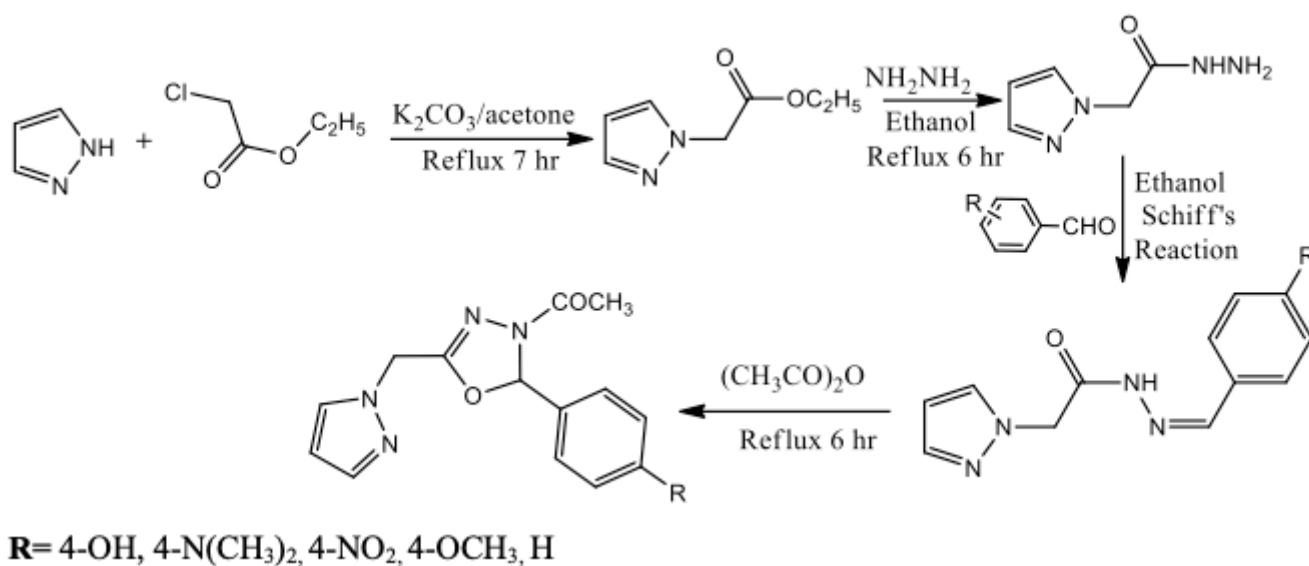
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## Figures



**Figure 1**

Scheme 1. Synthesis of 1-(5-((1H-pyrazol-1-yl)methyl)-2-aryl-1,3,4-oxadiazol-3(2H)-yl) ethanone derivatives

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