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Synthesis, characterization and antibacterial evaluation of some azetidinone derivatives of 3-methyl-1H-pyrazol-5(4H)-one

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ABSTRACT

3-Methyl-4-(arylbenzylideneamino)-(aryl)methyl)-1-phenyl-1H-pyrazol-5-(4H)-one has been prepared by the reaction of 3-methyl-1H-pyrazol-5(4H)-one, aldehydes and ammonia via Betti's condensation reaction, which on further treatment with chloroacetic acid and $POCl_3$ in the presence of triethylamine gave the 4-((3-chloro-2-(aryl)-4-oxoazetidin-1-yl)(aryl)-methyl)-3-methyl-1-phenyl-1H-pyrazol-5(4H)-one. The structures of the compounds were confirmed by using different spectroscopic techniques (IR and ^{1}H-NMR) and elemental analysis. These azetidinones analogues were screened for their antimicrobial activities against strains of different microorganisms. Some of the compounds displayed the promising antibacterial activities against some bacterial strains.

Keywords: Pyrazolone, Azetidinone, Biological Activity, Betti's reaction, heterocyclic compound.

INTRODUCTION

Pyrazolones are important class of heterocyclic compounds that occur in many drugs and is a nonsteroidal anti-inflammatory agent used in the treatment of arthritis and other musculoskeletal and joint disorders. Pyrazolones are biologically important group of compounds having different activities like antibacterial, antifungal, anti-inflammatory, antidiabetic, analgesic, antipyretic, immunosuppressive agents, hypoglycemic, antiviral, antineoplastic activity and other biological activities [1, 2]. Pyrazolone derivatives are of particular importance in pharmaceutical chemistry due to their numerous applications as analgesic, antipyretic, antiarthritic, uricosuric, antiinflammatory, and antiphlogistic properties [3, 4].

An interesting group of β-lactams are the monocyclic β-lactams, which are molecules that do not contain another ring fused to the β-lactam. 2-Azetidinones, commonly known as β-lactams, are well-known heterocyclic compounds among the organic and medicinal chemists. Azetidinones which are part of antibiotics structure are known to exhibit interesting biological activities. Review of literature reveals that 2-azetidinones are reported to possess significant antitubercular, antibacterial & antifungal activities [5, 6].

Previously, from our laboratory we have reported synthesis of different azitidinones derivatives [7-10]. Hence, in continuation to our efforts to synthesize some novel heterocyclic compounds and with a view to further assess the pharmacological profile of this class of compounds, it was thought worthwhile to synthesize some new congeners of azetidinones and pyrazolone moieties in a single molecular framework.

MATERIALS AND METHODS

General: All the chemicals and solvents were obtained from E-Merck, India (AR grade) and were used without further purification. Melting points were taken in an open capillary tube. IR spectra were recorded on a Shimadzu

Dr-8031 instrument. Elemental analyses were carried out using a Perkin-Elmer, CHN elemental analyzer model 2400. 1H NMR spectra of the synthesized compounds were recorded on a Bruker-Avance (300 MHz), Varian-Gemini (200 MHz) spectrophotometer using CDCl3 solvent and TMS as the internal standard. EI-MS spectra were determined on a LCQ ion trap mass spectrometer (Thermo Fisher, San Jose, CA, USA), equipped with an EI source.

General procedure for synthesis of Preparation of 3-methyl-4-(arylbenzylideneamino)(aryl)methyl)-1-phenyl-1H-pyrazol-5-(4H)-one (1a-1g):

In the present work, 3-methyl-4-(arylbenzylideneamino)- (aryl)methyl)-1-phenyl-1H-pyrazol-5-(4H)-ones were prepared by the reaction of 3-methyl-1H-pyrazol-5(4H)-one, aldehydes and ammonia via Betti's condensation reaction. 3-Methyl-1H-pyrazol-5(4H)-one in ethanol (0.01 mol) and aldehydes (0.02 mol) were mixed thoroughly. This mixture was slightly warmed and the solution of ammonia in ethanol was added in slightly excess amount. This reaction mixture was kept for two hours in stopper conical flask at room temperature. Compounds **(1a-1g)** were obtained after 15 hours standing of the reaction mixtures. These compounds **(1a-1g)** were recrystallized in absolute alcohol.1-((Benzylideneamino)-(aryl)methyl)-6-bromonaphthalen-2-ol **(1a-1g)** was used as the key intermediate for further synthesis.

Characterization of (E)-4-((benzylideneamino)(phenyl) methyl)-3-methyl-1H-pyrazol-5(4H)-one (1a):

IR (KBr) cm^{-1}: 1210 (C-N, streching); 1430 (C-C, streching); 1540 (C=C, streching); 1590 (N-H); 1620 (N=C); 1670 (O=C, pyrazolone ring); 1H NMR: δ =1.90 (s, 3H, Ar-CH_3); 2.80 (d, 1H, Ar-CH); 4.60 (d, 1H, Ar-CH); 7.10 (s, 1H, Ar- NH); 7.30-7.60 (m, 8H, Ar-CH); 7.80 (d, 2H, Ar-CH); 8.80 (s, 1H, N=CH); Anal. Calcd. For $C_{18}H_{17}N_3O$: C, 74.20; H, 5.88; N, 14.42; Found: C, 74.10; H, 5.60; N, 14.20; Mass spectra, m/z = 291.10 (100%).

Characterization of (E)-4-((2-hydroxybenzylideneamino) (phenyl)methy)-3-methyl-1H-pyrazol-5(4H)-one (1b):

IR (KBr) cm^{-1}: 1230 (C-N, streching); 1410 (C-C, streching); 1500 (C=C, streching); 1580 (N-H); 1600 (N=C); 1680 (O=C, pyrazolone ring); 3000-3400 (Ar-OH). 2.10 (s, 3H, Ar-CH_3); 2.80 (d, 1H, Ar-CH); 4.60 (d, 1H, Ar-CH); 6.90-7.20 (m, 7H, Ar-CH); 7.50(t, 1H, Ar-CH); 7.70 (t, 1H, Ar-CH); 8.70 (s, 1H, N=CH); 9.70 (s, 1H, Ar-OH); 11.30 (s, 1H, Ar-OH); Anal. Calcd.: For $C_{18}H_{17}N_3O_3$ C; 66.68, H; 5.30, N; 13.00; Found C; 66.20, H; 5.10, N; 12.90. Mass spectra, m/z = 307.10 (100%).

Characterization of (E)-4-((4-hydroxybenzylideneamino) (phenyl)methy)-3-methyl-1H-pyrazol-5(4H)-one (1c):

IR (KBr) cm^{-1}: 1220 (C-N, streching); 1420 (C-C, streching); 1500 (C=C, streching); 1570 (N-H); 1600 (N=C); 1690 (O=C, pyrazolone ring); 3100-3400 (Ar-OH). 2.20 (s, 3H, Ar-CH_3); 2.80 (d, 1H, Ar-CH); 4.70 (d, 1H, Ar-CH); 6.90-7.30 (m, 7H, Ar-CH); 7.40(t, 1H, Ar-CH); 7.70 (t, 1H, Ar-CH); 8.90 (s, 1H, N=CH); 9.80 (s, 1H, Ar-OH); 11.20 (s, 1H, Ar-OH); Anal. Calcd.: For $C_{18}H_{17}N_3O_3$ C; 66.68, H; 5.30, N; 13.00; Found C; 66.40, H; 5.20, N; 12.60. Mass spectra, m/z = 307.00 (100%).

Characterization of (E)-3-methyl-4-((2-nitrobenzylidene-amino)(2-nitrophenyl)methyl)-1H-pyrazol-5(4H)-one (1d):

IR (KBr) cm^{-1}: 1230 (C-N, streching); 1440 (C-C, streching); 1530 (C=C, streching); 1590 (N-H); 1620 (N=C); 1650 (O=C, pyrazolone ring); 1H NMR: δ =2.20 (s, 3H, Ar-CH_3); 2.70 (d, 1H, Ar-CH); 4.60 (d, 1H, Ar-CH); 6.80 (s, 1H, Ar- NH); 7.20-7.60 (m, 6H, Ar-CH); 7.90 (t, 3H, Ar-CH); 8.80 (s, 1H, N=CH); Anal. Calcd. For $C_{18}H_{16}N_4O_3$: C, 64.28; H, 4.79; N, 16.66; Found: C, 64.10; H, 4.60; N, 16.30 ; Mass spectra, m/z = 336.10 (100%).

Characterization of (E)-3-methyl-4-((3-nitrobenzylidene-amino)(2-nitrophenyl)methyl)-1H-pyrazol-5(4H)-one (1e):

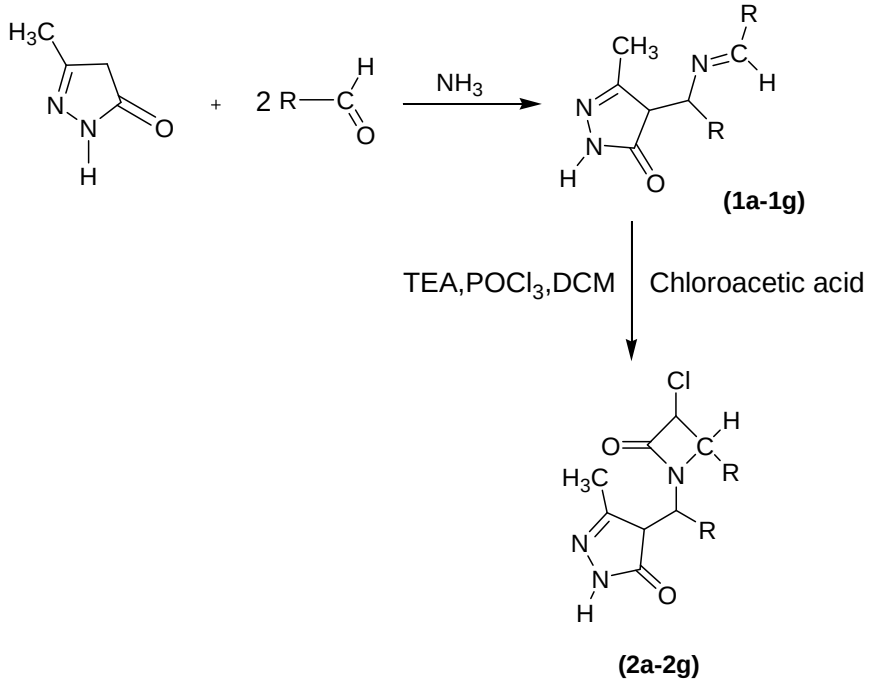
IR (KBr) cm^{-1}: 1210 (C-N, streching); 1420 (C-C, streching); 1510 (C=C, streching); 1570 (N-H); 1630 (N=C); 1670 (O=C, pyrazolone ring); 1H NMR: δ =2.10 (s, 3H, Ar-CH_3); 2.80 (d, 1H, Ar-CH); 4.70 (d, 1H, Ar-CH); 6.60 (s, 1H, Ar- NH); 7.30-7.60 (m, 6H, Ar-CH); 7.90 (t, 3H, Ar-CH); 8.60 (s, 1H, N=CH); Anal. Calcd. For $C_{18}H_{16}N_4O_3$: C, 64.28; H, 4.79; N, 16.66; Found: C, 64.20; H, 4.30; N, 16.40; Mass spectra, m/z = 336.00 (100%).

Characterization of (E)-4-((4-(dimethylamino)benzylidene- amino)(phenyl)methyl)-3-methyl-1H-pyrazol-5(4H)-one (1f):

IR (KBr) cm^{-1}: 1220 (C-N, streching); 1430 (C-C, streching); 1540 (C=C, streching); 1580 (N-H); 1640 (N=C); 1680 (O=C, pyrazolone ring); 1H NMR: δ =2.30 (s, 3H, Ar-CH_3); 2.70 (d, 1H, Ar-CH); 3.70 (s, 6H, Ar-N(CH_3)$_2$); 4.60 (d, 1H, Ar-CH); 6.90(d, 2H, Ar-CH); 7.10 (s, 1H, Ar- NH); 7.20-7.50 (m, 7H, Ar-CH); 8.80 (s, 1H, N=CH); Anal. Calcd. For $C_{20}H_{22}N_4O$: C, 71.83; H, 6.63; N, 16.75; Found: C, 71.30; H, 6.40; N, 16.20 ; Mass spectra, m/z = 334.10 (100%).

Characterization of (E)-4-((4-methoxybenzylideneamino)-(phenyl)methyl)-3-methyl-1H-pyrazol-5(4H)-one (1g):
IR (KBr) cm^{-1}: 1230 (C-N, streching); 1440 (C-C, streching); 1520 (C=C, streching); 1550 (N-H); 1610 (N=C); 1690 (O=C, pyrazolone ring); 1H NMR: δ =2.20 (s, 3H, Ar-CH_3); 2.60 (d, 1H, Ar-CH); 3.40 (s, 3H, Ar-OCH3); 4.50 (d, 1H, Ar-CH); 6.80 (s, 1H, Ar- NH); 7.10 (d, 2H, Ar-CH); 7.30-7.50 (m, 5H, Ar-CH); 7.90 (d, 2H, Ar-CH); 8.50 (s, 1H, N=CH); Anal. Calcd. For $C_{19}H_{19}N_3O_2$: C, 71.00; H, 5.96; N, 13.00; Found: C, 70.90; H, 5.50; N, 12.70 ; Mass spectra, m/z = 321.10 (100%).

General procedure for synthesis of Preparation of 4-((3-chloro-2-(aryl)-4-oxoazetidin-1-yl)(aryl)methyl)-3-methyl-1-phenyl-1H-pyrazol-5(4H)-one:
Compound **(1a-1g)** (0.01 mol) and chloroacetic acid (0.01 mol) was dissolved in dichloromethane (10 ml) in stoppered conical flask, cooledand stirred. In cold condition of the reaction mixture, triethylamine [TEA, 0.01 mol] was added in it, followed by dropwise addition of $POCl_3$ (0.01 mol) in dichloromethane with vigorous stirring. The reaction mixture was then stirred for additional 16 hr. The completion of the reaction was monitored by TLC. The reaction mixture was washed with water and dried over sodium sulphate. Thus compound 4-((3-chloro-2-(aryl)-4-oxoazetidin-1-yl)(aryl)-methyl)-3-methyl-1-phenyl-1H-pyrazol-5(4H)-one (**2a-2g**) were obtained. The products that were obtained after removing the solvent were purified from chloroform. The synthetic route to obtain 3-methyl-4-(arylbenzylideneamino)(aryl)methyl)-1-phenyl-1H-pyrazol-5-(4H)-one (**1a-1g**) and 4-((3-chloro-2-(aryl)-4-oxoazetidin-1-yl)(aryl)methyl)-3-methyl-1-phenyl-1H-pyrazol-5(4H)-one (**2a-2g**) have been depicted in following **Scheme 1**.



Scheme 1

Where R for compounds **1a-1g** and **2a-2g** are:-
1a: C_6H_5 **1b**: 2-OHC_6H_4 **1c**: 4-OHC_6H_4
1d: 2-$NO_2C_6H_4$ **1e**: 3-$NO_2C_6H_4$ **1f**: 4-$N(CH_3)_2C_6H_4$
1g: 4-OCH_3-C_6H_4

Characterization of 4-(3-chloro-2-oxo-4-phenylazetidin-1-yl)(phenyl)methyl)-3-methyl)-3-methyl-1H-pyrazol-5(4H)-one (2a) :
IR (KBr) cm^{-1}: 1210 (C-N), 1340 (C-N, β-lactam ring), 1440 (C-C, streching); 1520 (C=C), 1550 (N-H); 1620 (N=C, pyrazolone ring); 1690 (O=C, pyrazolone ring); 1720 (C=O β-lactam), 2849 (Ar-CH); 1H NMR: δ =1.20 (s, 3H, Ar-CH_3); 3.30 (d, 1H, Ar-CH); 4.60 (d, 1H, Ar-CH); 5.10 (d, 1H, Ar-CH); 5.30 (d, 1H, Ar- NH); 7.10 (s, 1H, Ar-NH); 7.20-7.40 (m, 10H, Ar-CH); Anal. Calcd. For $C_{20}H_{18}N_3O_2Cl$: C, 65.31; H, 4.93; N, 11.42; Found: C, 65.10; H, 4.60; N, 11.20 ; Mass spectra, m/z = 367.10 (100%).

Characterization of 4-((3-chloro-2-(2-hydroxyphenyl)-4-oxo-azetidin-1-yl)(2-hydroxyphenyl)methyl)-3-methyl)-1H-pyrazol-5(4H)-one (2b):
IR (KBr) cm^{-1}: 1230 (C-N), 1340 (C-N, β-lactam ring), 1460 (C-C, streching); 1510 (C=C), 1560 (N-H); 1630 (N=C, pyrazolone ring); 1660 (O=C, pyrazolone ring); 1710 (C=O β-lactam), 2840 (Ar-CH); 1H NMR: δ =1.10 (s,

3H, Ar-CH_3); 3.40 (d, 1H, Ar-CH); 4.70 (d, 1H, Ar-CH); 5.10 (s, 2H, (Ar-$OH)_2$); 5.30 (d, 1H, Ar- CH); 5.50 (d, 1H, Ar- CH); 6.10 (s, 1H, Ar-NH); 6.60-7.10 (m, 7H, Ar-CH); Anal. Calcd. For $C_{20}H_{18}N_3O_4Cl$: C, 60.68; H, 4.54; N, 10.51; Found: C, 60.30; H, 4.20; N, 10.40 ; Mass spectra, m/z = 399.10 (100%).

Characterization of 4-((3-chloro-2-(4-hydroxyphenyl)-4-oxo-azetidin-1-yl)(4-hydroxyphenyl)methyl)-3-methyl)-1H-pyrazol-5(4H)-one (2c):
IR (KBr) cm^{-1}: 1240 (C-N), 1350 (C-N, β-lactam ring), 1470 (C-C, streching); 1530 (C=C), 1580 (N-H); 1650 (N=C, pyrazolone ring); 1680 (O=C, pyrazolone ring); 1720 (C=O β-lactam), 2860 (Ar-CH); 1H NMR: δ =1.20 (s, 3H, Ar-CH_3); 3.60 (d, 1H, Ar-CH); 4.50 (d, 1H, Ar-CH); 5.30 (s, 2H, (Ar-$OH)_2$); 5.50 (d, 1H, Ar- CH); 5.70 (d, 1H, Ar- CH); 6.30 (s, 1H, Ar-NH); 6.70-7.20 (m, 7H, Ar-CH); Anal. Calcd. For $C_{20}H_{18}N_3O_4Cl$: C, 60.68; H, 4.54; N, 10.51; Found: C, 60.40; H, 4.10; N, 10.20 ; Mass spectra, m/z = 399.00 (100%).

Characterization of 4-((3-chloro-2-(2-nitrophenyl)-4-oxo-azetidin-1-yl)(2-nitrophenyl)methyl)-3-methyl)-1H-pyrazol-5(4H)-one (2d):
IR (KBr) cm^{-1}: 1210 (C-N), 1340 (C-N, β-lactam ring), 1450 (C-C, streching); 1510 (C=C), 1570 (N-H); 1660 (N=C, pyrazolone ring); 1690 (O=C, pyrazolone ring); 1730 (C=O β-lactam), 2840 (Ar-CH); 1H NMR: δ =1.30 (s, 3H, Ar-CH_3); 3.30 (d, 1H, Ar-CH); 4.50 (d, 1H, Ar-CH); 4.90 (d, 1H, Ar- CH); 5.20 (d, 1H, Ar- CH); 6.90 (s, 1H, Ar-NH); 7.20-7.40 (m, 7H, Ar-CH); Anal. Calcd. For $C_{20}H_{16}N_5O_6Cl$: C, 52.47; H, 3.52; N, 15.30; Found: C, 52.10; H, 3.30; N, 15.10 ; Mass spectra, m/z = 457.00 (100%).

Characterization of 4-((3-chloro-2-(3-nitrophenyl)-4-oxo-azetidin-1-yl)(3-nitrophenyl)methyl)-3-methyl)-1H-pyrazol-5(4H)-one (2e):
IR (KBr) cm^{-1}: 1230 (C-N), 1310 (C-N, β-lactam ring), 1420 (C-C, streching); 1550 (C=C), 1590 (N-H); 1630 (N=C, pyrazolone ring); 1670 (O=C, pyrazolone ring); 1750 (C=O β-lactam), 2830 (Ar-CH); 1H NMR: δ =1.40 (s, 3H, Ar-CH_3); 3.10 (d, 1H, Ar-CH); 4.20 (d, 1H, Ar-CH); 4.50 (d, 1H, Ar- CH); 5.10 (d, 1H, Ar- CH); 6.70 (s, 1H, Ar-NH); 7.10-7.40 (m, 7H, Ar-CH); Anal. Calcd. For $C_{20}H_{16}N_5O_6Cl$: C, 52.47; H, 3.52; N, 15.30; Found: C, 52.30; H, 3.10; N, 15.20 ; Mass spectra, m/z = 457.00 (100%).

Characterization of 4-((3-chloro-2-(4(dimethylamino)-phenyl)-4-oxo-azetidin-1-yl)(4-(dimethylamino)phenyl) methyl)-3-methyl)-1H-pyrazol-5(4H)-one (2f):
IR (KBr) cm^{-1}: 1260 (C-N), 1320 (C-N, β-lactam ring), 1430 (C-C, streching); 1580 (C=C), 1590 (N-H); 1640 (N=C, pyrazolone ring); 1690 (O=C, pyrazolone ring); 1760 (C=O β-lactam), 2850 (Ar-CH); 1H NMR: δ =1.20 (s, 3H, Ar-CH_3); 2.90 (s, 12H, Ar-N$(CH_3)_2$); 3.40 (d, 1H, Ar-CH); 4.80 (d, 1H, Ar- CH); 5.20 (d, 1H, Ar- CH); 5.40 (d, 1H, Ar- CH); 6.60 (m, 4H, Ar-CH); 6.90 (m, 7H, Ar-CH); 7.10 (s, 1H, Ar-NH); Anal. Calcd. For $C_{24}H_{28}N_5O_2Cl$: C, 63.50; H, 6.22; N, 15.43; Found: C, 63.20; H, 6.10; N, 15.30 ; Mass spectra, m/z = 453.10 (100%).

Characterization of 4-((3-chloro-2-(4-methoxyphenyl)-4-oxo-azetidin-1-yl)(4-methoxyphenyl)methyl)-3-methyl)-1H-pyrazol-5(4H)-one (2g):
IR (KBr) cm^{-1}: 1270 (C-N), 1350 (C-N, β-lactam ring), 1410 (C-C, streching); 1530 (C=C), 1560 (N-H); 1650 (N=C, pyrazolone ring); 1710 (O=C, pyrazolone ring); 1780 (C=O β-lactam), 2880 (Ar-CH); 1H NMR: δ =1.40 (s, 3H, Ar-CH_3); 3.30 (d, 1H, Ar-CH); 3.80 (s, 6H, Ar-OCH_3); 4.60 (d, 1H, Ar- CH); 5.10 (d, 1H, Ar- CH); 5.30 (d, 1H, Ar- CH); 6.70-6.90 (m, 8H, Ar-CH); 7.20 (s, 1H, Ar-NH); Anal. Calcd. For $C_{22}H_{22}N_3O_4Cl$: C, 61.75; H, 5.18; N, 9.82; Found: C, 61.40; H, 5.10; N, 9.50 ; Mass spectra, m/z = 427.10 (100%).

Antimicrobial activity:
The antimicrobial activity of the newly synthesized 4-((3-chloro-2-(aryl)-4-oxoazetidin-1-yl)(aryl)methyl)-3-methyl-1-phenyl-1H-pyrazol-5(4H)-one **(2a-2g)** were evaluated using well diffusion method against the panel of different gram positive and gram negative bacterial strains. Different bacterial strains used for the screening were *Staphylococcus aureus* (Gram Positive), *Bacillus subtilis* (Gram Positive), *Pseudomonas* sp. (Gram Negative) and *Escherichia coli* (Gram Negative).

The petri dishes and nutrient agar medium was sterilized by autoclaving at 15 lbs pressure for at least 15 minutes. To this sterilized nutrient medium, 10 ml of one day old bacterial cultures were added. Culture were inoculated at 37 ^{0}C with 25 ml nutrient medium and stirred well. This media were poured in petri dishes and allowed to set. Two well were created using a 5 mm cork borer. In this well 100 µl of extracts/standards were filled. All the nutrient agar plates were incubated at 37 ^{0}C for 24 hrs and the plates were observed for clear zone of inhibition [74]. Then diameters of the zone of inhibition for these compounds **2a-2g** were measured.

RESULTS AND DISCUSION

In the present work, 4-((3-chloro-2-(aryl)-4-oxoazetidin-1-yl)(aryl)methyl)-3-methyl-1H-pyrazol-5(4H)-one (**2a-2g**) was synthesized by using 4-((arylideneamino)(aryl)methyl)-3-methyl-1H-pyrazol-5-(4H)-one (**1a-1g**). These compounds were synthesized by the reaction of 3-methyl-1H-pyrazol-5(4H)-one in ethanol and aromatic aldehydes in presence of ammonia. In **Table 1.2** are depicted the physical data of compounds **1a-1g** and **2a-2g**.

Table 1.2. Yield and physical data of newly synthesized compounds.

Compound	Substituent (R)	m. p. (^{0}C)	Yield (%)
1a	-C_6H_5	70	68
1b	2-OH-C_6H_4	85	62
1c	4-OH-C_6H_4	90	70
1d	2-NO_2-C_6H_4	110	72
1e	3-NO_2-C_6H_4	120	60
1f	$N(CH_3)_2$- C_6H_4	130	65
1g	4-OCH_3- C_6H_4	105	72
2a	-C_6H_5	95	65
2b	2-OH-C_6H_4	105	60
2c	4-OH-C_6H_4	110	65
2d	2-NO_2-C_6H_4	130	68
2e	3-NO_2-C_6H_4	135	58
2f	$N(CH_3)_2$-C_6H_4	145	62
2g	4-OCH_3-C_6H_4	115	67

Biological activity:

The antimicrobial activity of the newly synthesized 3-(aryl)-1-(4-(quinolin-8-ylamino)phenyl)prop-2-en-1-one **(2a-2g)** were evaluated using well diffusion method by measuring the zone of inhibition on agar plates against the panel of different gram positive and gram negative bacterial strains. Different bacterial strains used for the screening were *Staphylococcus aureus* (Gram Positive), *Bacillus subtilis* (Gram Positive), *Pseudomonas* sp. (Gram Negative) and *Escherichia coli* (Gram Negative).

Table 1.3: Biological activities of 4-((3-chloro-2-(aryl)-4-oxoazetidin-1-yl)(aryl)methyl)-3-methyl-1H-pyrazol-5(4H)-one

Bacterial strain	Zone of inhibition in mm along without well diameter (5mm) Chemical compounds							
	2a	**2b**	**2c**	**2d**	**2e**	**2f**	**2g**	**Standard**
S. aureus	8	6.4	6.0	5.0	5.2	9.0	2.4	9.0
B. subtilis	2.4	5.1	5.3	3.8	3.4	5.4	1.8	6.0
Pseudomonas sp.	-	4.8	6.2	10.2	8.9	11.4	11.8	12.0
E. coil	-	6.0	8.4	11.8	12.0	14.6	15.8	17.0

"Nystatin" was used as "Standard" drug; "-" represent "not active"

From the above **Table 1.3**, it can be seen that some of the newly synthesized compounds have shown promising activity against some gram-negative and gram-positive bacteria.

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