

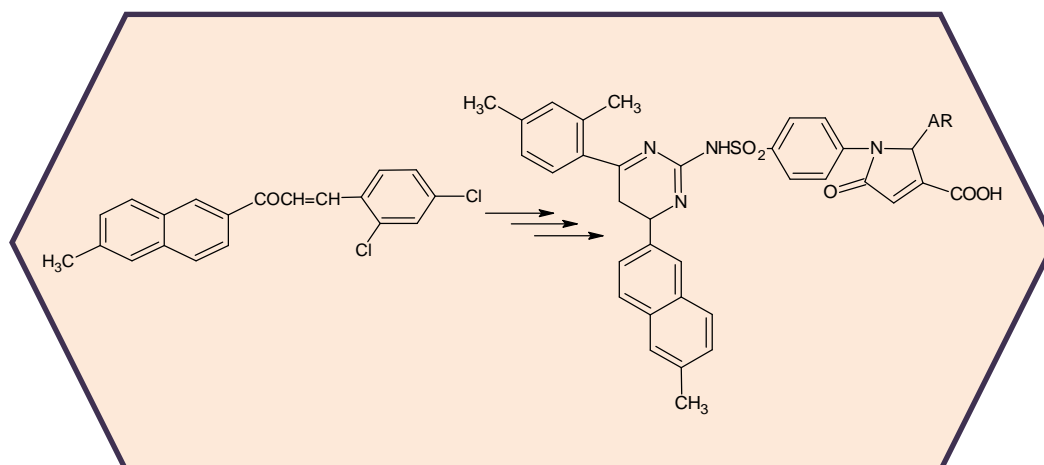
Synthesis and Biological Evaluation of 1-(4-(N-(4-(2,4-Dichlorophenyl)-6-(6-Methylnaphthalen-2-yl)Pyrimidin-2-yl)Sulfamoyl)Phenyl)-5-Oxo-2-Phenyl-2,5-Dihydro-1h-Pyrrole-3-Carboxylic Acid

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Abstract:

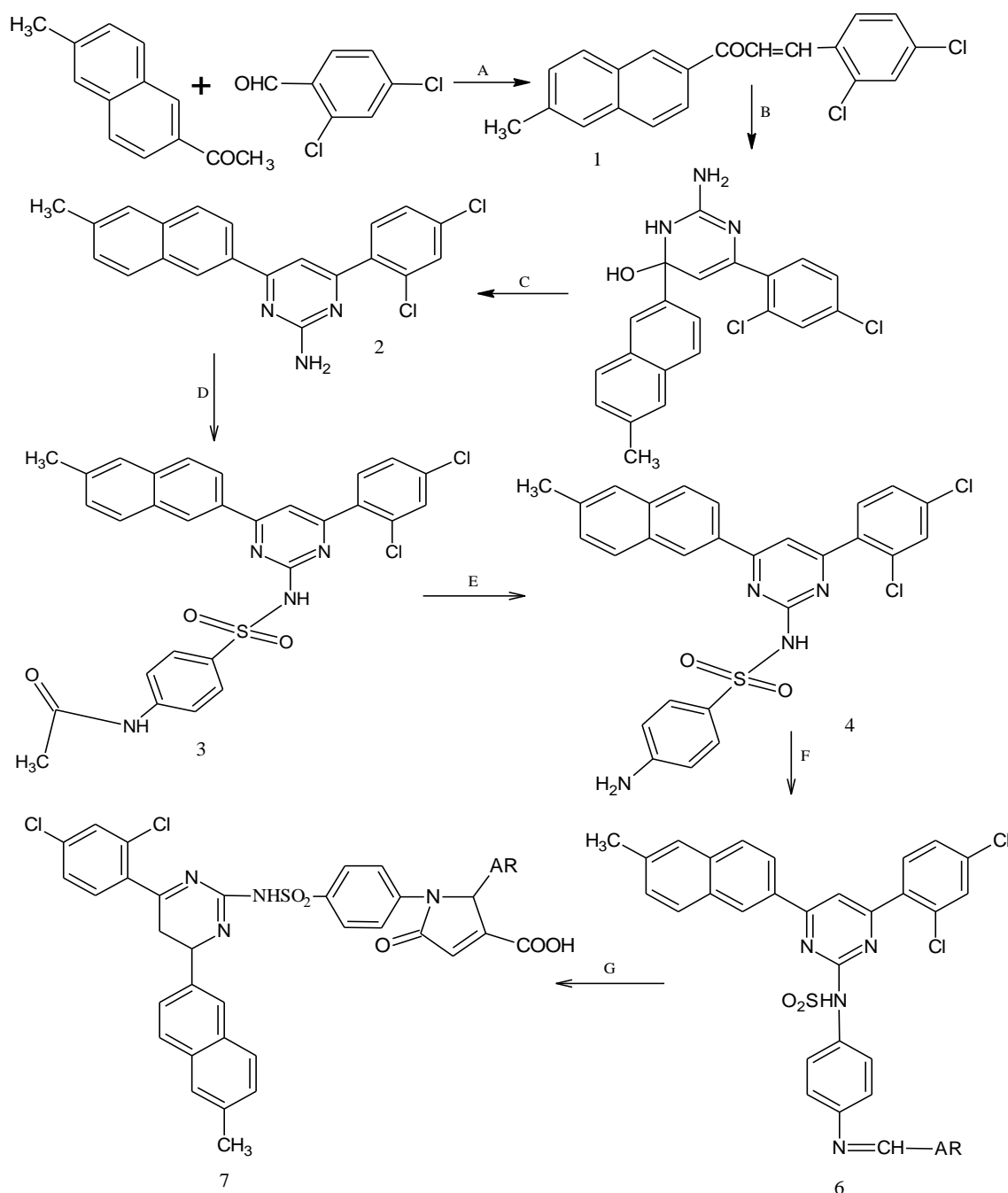


The present study deals with the heterocyclization of Schiff bases of 4-(benzylideneamino)-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide] into (2H)-Pyrrole-2-ones derivatives. Synthesized compounds were confirmed by IR, ¹H NMR, ¹³C NMR, mass spectral and elemental analysis. The reaction was performed by using ordinary condensation type, which enabled to easy work-up and good yield. All the newly synthesized compounds were evaluated for their antibacterial activities.

Keywords: Pyrrole derivatives, Cyclization method, Structural characterization, antimicrobial activity.

I. Introduction

Heterocycles are the largest class of organic compounds. Pyrroles and their derivatives are one of the most important classes of heterocyclic compounds. They exhibit extensive biological and pharmacological activities [1-4]. Pyrroles important classes of compounds with many medicinal activities [5]. Heterocycles with Five-membered are important building blocks of an extensive number of biologically active compounds [6]. Pyrroles are heterocycles of most importance because of their presence in numerous natural products like heme, chlorophyll, vitamin B12, and various cytochrome enzymes [7]. They have been also employed as antioxidants, and antibacterial, antitumor, anti-inflammatory, and antifungal agents [8-13]. The synthetic route of the abovementioned compounds is shown in reaction scheme.



Reaction Scheme

Reaction reagent and condition

A= 40% KOH, OH⁻

B= Guanidine Hydrochloride,

C= -H₂O, -H₂

D= P-Acetyl-aminobenzenesulphonyl chloride and pyridine

E= Hydrolysis

F= Different Aldehyde (5a-h)

G= Maleic anhydride

II. Methodology

Synthesis of 3-(2,4-dichlorophenyl)-1-(6-methylnaphthalen-2-yl)prop-2-en-1-one (1)

To a well stirred solution of 2,4-dichloro benzaldehyde (0.01 mole) and 1-(6-methylnaphthalen-2-yl)ethanone (0.01 mole) in ethanol (35 ml), 40% KOH added till the solution become basic. The reaction mixture was stirred for 24 hrs. The contents were poured into ice, acidified, filtered and crystallized from ethanol.

Synthesis of 4-amino-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (2)

A mixture of Chalcone (0.01 mole) in 25 ml of absolute alcohol, add Guanidine Hydrochloride (0.015 mole) and sodium hydroxide (0.045 mole in 2 ml of water) was refluxed in water bath at temp 80-90°C for 8 hr. The reaction mixture was poured into ice. The product was isolated and crystallized from ethanol.

Synthesis of N-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl)phenyl)acetamide (3)

The derivative was prepared by reactions of amino pyrimidine (2) (0.01 mole) with *P*-Acetylamino-benzenesulfonyl chloride (0.012 mole) in dry pyridine (30 ml) was heated to 70-75°C on a water bath for 5 hr. the cold reaction mixture was acidified with dil. hydrochloric acid. The solid that separated was filtered, washed several times with hot water, dried and crystallized from proper solvent.

4-amino-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (4)

N-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl)phenyl)acetamide (3) was hydrolysed by refluxing 0.5-1.0 molar solution containing 3.5 equivalents of sodium hydroxide for two hours. After this period, the mixture was cooled to room temperature and neutralized with concentrated HCl pH by approximately 6.0. The mixture was cooled in the ice bath until the total precipitation of the product, the filtered vacuum, washed with small volume of water ice and purification by recrystallization from ethanol to give white product.

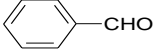
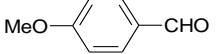
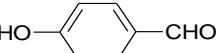
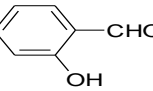
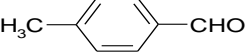
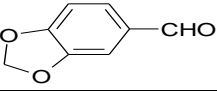
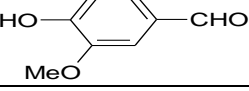
Synthesis of 4-(benzylideneamino)-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (6 a-h)

A mixture of equimolar amount (0.01 mole) of of 4-amino-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (4) and the Substituted Benzaldehydes(5 and in table 1) in absolute ethanol (70 ml) and piperidine (0.5 ml) was refluxed for 10 hr. in a water bath. The reaction mixture was concentrated, cooled and poured into ice cold water the solid obtained was filtered and Recrystallized from absolute ethanol to give white Schiff base.

Synthesis of 1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2-phenyl-2,5-dihydro-1H-pyrrole-3-carboxylic acid (7a-h)

Maleic anhydride (0.1mole) and imines (6a-h) (0.1mole) were heated at reflux in chloroform (30 ml) for about 8 hours with TLC monitoring. After the mixture was allowed to stand for 2 days, the solid was filtered. The product thus formed was recrystallized from ethanol to give pure 1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl) phenyl)-5-oxo-2-phenyl-2,5-dihydro-1H-pyrrole-3-carboxylic acid in (7a-h) good yield.

Table 1: The benzaldehyde derivatives (5a-h) used for Schiff bases formation of 4-amino-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (4)

No.	Benzaldehyde derivative	Structure
5a	Benzaldehyde	
5b	4-Methoxy benzaldehyde	
5c	4-Hydroxy benzaldehyde	
5d	2-Hydroxy benzaldehyde	
5e	4-Methyl benzaldehyde	
5f	3,4-Methylenedioxy benzaldehyde (i.e. Veretral)	
5g	4-Hydroxy-3-methoxy benzaldehyde	

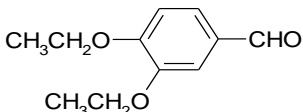
5h	3,4-Diethoxy benzaldehyde	
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Table 2: Physical characterisation constant of 4-(benzylideneamino)-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (6 a-h)

Com. No	Molecular Formula	-Ar	Molecular Weight	Elemental analysis, Cal/Found			
				%C	%H	%N	%S
6a	C ₃₄ H ₂₄ Cl ₂ N ₄ O ₂ S	Phenyl	622.10 gm/mole	65.49	3.88	8.99	5.14
				65.19	3.57	8.89	5.10
6b	C ₃₅ H ₂₆ Cl ₂ N ₄ O ₃ S	4-Methoxy phenyl	652.11 gm/mole	64.32	4.01	8.57	4.91
				64.12	3.92	8.51	4.86
6c	C ₃₄ H ₂₄ Cl ₂ N ₄ O ₃ S	4-Hydroxy phenyl	638.09 gm/mole	63.85	3.78	8.76	5.01
				63.55	3.65	8.56	5.00
6d	C ₃₄ H ₂₄ Cl ₂ N ₄ O ₃ S	2-Hydroxy phenyl	638.09 gm/mole	63.85	3.78	8.76	5.01
				63.55	3.65	8.56	5.00
6e	C ₃₄ H ₂₆ Cl ₂ N ₄ O ₂ S	4-Methyl phenyl	636.12 gm/mole	63.93	4.11	8.89	5.03
				63.73	4.01	8.79	5.00
6f	C ₃₅ H ₂₄ Cl ₂ N ₄ O ₄ S	3,4-Methylenedioxy phenyl	666.09 gm/mole	62.97	3.62	8.39	4.80
				62.81	3.55	8.32	4.70
6g	C ₃₅ H ₂₆ Cl ₂ N ₄ O ₄ S	4-Hydroxy-3-methoxy phenyl	668.11 gm/mole	62.78	3.91	8.37	4.79
				62.68	3.76	8.30	4.73
6h	C ₃₈ H ₃₂ Cl ₂ N ₄ O ₄ S	3,4-Diethoxy phenyl	710.19 gm/mole	64.13	4.53	7.87	4.51
				64.00	4.48	7.81	4.44

Table 3: Physical characterisation constant of 1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2-phenyl-2,5-dihydro-1H-pyrrole-3-carboxylic acid (7a-h)

Com. No	Molecular Formula	-Ar	Molecular Weight	Elemental analysis, Cal/Found			
				%C	%H	%N	%S
7a	C ₃₈ H ₂₆ Cl ₂ N ₄ O ₅ S	Phenyl	720.10 gm/mole	63.25	3.63	7.76	4.44
				63.02	3.60	7.73	4.38
7b	C ₃₉ H ₂₈ Cl ₂ N ₄ O ₆ S	4-Methoxy phenyl	750.16 gm/mole	62.23	3.75	7.45	4.29
				62.12	3.71	7.35	4.20
7c	C ₃₈ H ₂₆ Cl ₂ N ₄ O ₆ S	4-Hydroxy phenyl	736.10 gm/mole	61.88	3.55	7.60	4.37
				61.82	3.47	7.52	4.31
7d	C ₃₈ H ₂₆ Cl ₂ N ₄ O ₆ S	2-Hydroxy phenyl	736.10 gm/mole	61.88	3.55	7.60	4.37
				61.77	3.45	7.58	4.29
7e	C ₃₉ H ₂₈ Cl ₂ N ₄ O ₅ S	4-Methyl phenyl	734.12 gm/mole	63.68	3.84	7.62	4.36
				63.58	3.78	7.60	4.32
7f	C ₃₉ H ₂₆ Cl ₂ N ₄ O ₇ S	3,4-Methylenedioxy phenyl	764.10 gm/mole	61.18	3.42	7.32	4.19
				61.11	3.35	7.29	4.10
7g	C ₃₉ H ₂₈ Cl ₂ N ₄ O ₇ S	4-Hydroxy-3-methoxy phenyl	766.11 gm/mole	61.02	3.68	7.30	4.18
				60.92	3.60	7.22	4.11
7h	C ₄₂ H ₃₄ Cl ₂ N ₄ O ₇ S	3,4-Diethoxy phenyl	808.5 gm/mole	62.30	4.23	6.92	3.96
				62.13	4.20	6.82	3.89

III. Results and Discussion

Spectral studies:

IR spectra of 4-amino-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (4)

Sulfa Pyrimidine is a heterocyclic compound. It is an aromatic compound thus it provides the IR frequencies. The bands due to pyrimidine are at 3220-3440 cm⁻¹ and 1610-1640cm⁻¹ corresponds to N-H (str.) and C=N groups. The peak at 1630cm⁻¹ is indicative of C=N, 1600 cm⁻¹ due to C=C cm⁻¹, and 1310 cm⁻¹ and 1150 cm⁻¹ diagnostic for the presence of the sulphonamido group(-SO₂NH-) also The corresponding N-H in plane and out of plane bending vibrations occurs at 1630 and 699 cm⁻¹ respectively.

NMR spectra of 4-amino-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (4)

The signal at 4.0 ppm is responsible for N-H proton of pyrimidine -SO₂NH-, signal at 6.35 ppm is responsible for -NH₂ proton, and multiple signals between 6.15-7.8 ppm are responsible for aromatic proton. While signal at 2.30 due to two -CH₃ on benzene ring.

CMR spectra of 4-amino-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (4)

The signals at 101.7 (C₅), 162.5 (C₄), 165.4 (C₆) and 169.3 (C₂) ppm are responsible for pyrimidine multiple signals between 114-140 ppm are responsible for aromatic segments. While signal at 18.8 and 19.1 are due to two -CH₃.

Finally the structure of compound conform by LC-MS compound (4) shows peak of (m/Z) at 534.07 which consistent with the calculated molecular weight of Compound (4) i.e. 534.07.

Spectral Studies of compound (6a-6h and 7a-7h)

4-(benzylideneamino)-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide 6a

Yield: 60%, MP 193⁰C, Infrared Spectral Features around cm⁻¹3030, 1500, Aromatic C-H stretching, 1600-1641 -CH=N-, 1315-1375 -SO₂-, 3250-3330 -NH- of -SO₂NH-, PMR spectral Features (δ Ppm) 6.5-8.5 multiplet, aromatic+ CH of CH=N protons + H of Pyrimidine + H of SO₂NH +), ¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 134- Ar-Cl, 160- CH=N, 162-169- pyrimidine.

N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)-4-(4-methoxybenzylideneamino)benzenesulfonamide 6b

Yield: 61%, MP 197⁰C, Infrared Spectral Features around cm⁻¹3030, 1500, Aromatic C-H stretching, 1600-1640 -CH=N-, 1315-1375 -SO₂-, 3250-3330 -NH- of -SO₂NH-, 1200- Ar-O-alkyl, PMR spectral Features (δ Ppm)6.5-8.6 (multiplet, aromatic+ CH of CH=N protons + H of Pyrimidine + H of SO₂NH) 3.85(3H, singlet, OCH₃), ¹³CMR spectral Features (δ Ppm)114-131-Benzene, 134- Ar-Cl, 160 - CH=N, 162-169 - pyrimidine, 163-C-O, 56 - CH₃

N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)-4-(4-hydroxybenzylideneamino)benzenesulfonamide 6c

Yield: 60%, MP 199⁰C, Infrared Spectral Features around cm⁻¹ 3370-OH, 3030, 1500, Aromatic C-H stretching, 1600-1640 -CH=N-, 1315-1375-SO₂-, 3250-3330 -NH-of -SO₂NH-, PMR spectral Features (δ Ppm) 6.5-8.6 (multiplet, aromatic + CH of CH=N protons + H of Pyrimidine + H of SO₂NH) 3.85 (3H, singlet, OCH₃), ¹³CMR spectral Features (δ Ppm) 114-131-Benzene, 134- Ar-Cl, 160 - CH=N, 162-169 - pyrimidine, 163 -C-O.

N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)-4-(2-hydroxybenzylideneamino)benzenesulfonamide 6d

Yield: 62%, MP 191⁰C, Infrared Spectral Features around cm⁻¹ 3370-OH, 3030, 1500, Aromatic C-H stretching, 1600-1640 -CH=N-, 1315-1375-SO₂-, 3250-3330-NH- of -SO₂NH-,PMR spectral Features (δ Ppm)6.5-8.6 (multiplet, aromatic + CH of CH=N protons + H of Pyrimidine + H of SO₂NH) 3.85 (3H, singlet, OCH₃), ¹³CMR spectral Features (δ Ppm) 114-131-Benzene, 134- Ar-Cl, 160 - CH=N, 162-169 - pyrimidine, 163 -C-O.

N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)-4-(4-methylbenzylideneamino)benzenesulfonamide 6e

Yield: 62%, MP 193⁰C, Infrared Spectral Features around cm⁻¹ 2950, 1370 - CH₃, 3030, 1500, Aromatic C-H stretching, 1600-1640 -CH=N-, 1315-1375 -SO₂-, 3250-3330 -NH- of -SO₂NH-, PMR spectral Features (δ Ppm) 6.5-8.7 (multiplet, aromatic+ CH of CH=N protons + H of Pyrimidine + H of SO₂NH) 2.34 (3H, singlet, CH₃), ¹³CMR spectral Features (δ Ppm) 114-131-Benzene, 134- Ar-Cl, 160 - CH=N, 162-169 - pyrimidine, 21-CH₃.

4-(benzo[d][1,3]dioxol-5-ylmethyleneamino)-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide 6f

Yield: 60%, MP 201⁰C, Infrared Spectral Features around cm⁻¹2920, 2850 - CH₂-, 1450, 3030, 1500, Aromatic C-H stretching, 1600-1640 -CH=N-, 1315-1365 -SO₂-, 3250-3330 -NH- of -SO₂NH-, PMR spectral Features (δ Ppm) 6.5-8.7 (multiplet, aromatic + CH of CH=N protons + H of Pyrimidine + H of SO₂NH) 6.07 (2H, singlet, -O-CH₂-O-), ¹³CMR spectral Features (δ Ppm) 101.2 -CH₂-O-, 114-131-Benzene, 134- Ar-Cl, 160 -CH=N, 162-169 - pyrimidine, 21 -CH₃.

N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)-4-(3-hydroxy-4-methoxybenzylideneamino)benzenesulfonamide 6g

Yield: 57%, MP 199⁰C, Infrared Spectral Features around cm⁻¹ 3370 -OH, 2950,1370 -CH₃, 3030,1500, Aromatic C-H stretching, 1600-1640 -CH=N-, 1315-1375 -SO₂-, 3250-3330 -NH- of -SO₂NH-, PMR spectral Features (δ Ppm) 6.5-8.7 (multiplet, aromatic + CH of CH=N protons + H of Pyrimidine + H of SO₂NH) 3.83 (3H, singlet, -O-CH₃) 5.35 (1H, Singlet, -OH), ¹³CMR spectral Features (δ Ppm) 114-131-Benzene, 134- Ar-Cl, 160 - CH=N, 162-169 -pyrimidine, 149-151 -C - O, 56-OCH₃.

N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)-4-(3,4-diethoxybenzylideneamino)benzenesulfonamide 6h

Yield: 60%, MP 190⁰C, Infrared Spectral Features around cm⁻¹ 2950,2820, -CH₂-, 1450,3030,1500, Aromatic C-H stretching, 1600-1640 -CH=N-, 1315-1375 -SO₂-, 3250-3330 -NH- of -SO₂NH-, PMR spectral Features (δ Ppm) 6.5-8.7 (multiplet, aromatic + CH of CH=N protons + H of Pyrimidine + H of SO₂NH) 4.0 (4H, quartet, 2CH₂) 1.33 (6H, triplet, 2CH₃), ¹³CMR spectral Features (δ Ppm) 114-131-Benzene, 134- Ar-Cl, 160 - CH=N, 162-169 - pyrimidine, 149-151 -C-O.

1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl) phenyl)-5-oxo-2-phenyl-2,5-dihydro-1H-pyrrole-3-carboxylic acid 7a

Yield: 63%, MP 190-91⁰C, Infrared Spectral Features around cm⁻¹3054, 1600, 1532 Aromatic C-H stretching, 1667 C=O of COOH, 1717 C=O of pyrrole-2-one, and other band are similar to schiff bases. NMR spectral Features (δ Ppm) 6.5-7.9 (multiplet, aromatic + H of Pyrimidine +H of SO₂NH), 7.14 (H, s, C₄H), 5.56 (H, s, C₂H), 11.02 (H, s, COOH), ¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 163-169- pyrimidine, 171.3 C of COOH, 161.6 C of CO, 55.5 C₂H of pyrrol ring, 137.4 C₄H of pyrrol ring

1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl) phenyl)-2-(4-methoxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid 7b

Yield: 60%, MP 188-89⁰C, Infrared Spectral Features around cm⁻¹3054, 1600, 1532 Aromatic C-H stretching, 1667 C=O of COOH, 1717 C=O of pyrrole-2-one, 1200 Ar-O-CH₃ and other band are similar to schiff bases. NMR spectral Features (δ Ppm) 6.5-7.9 (multiplet, aromatic + H of Pyrimidine +H of SO₂NH), 7.14 (H, s, C₄H),5.56 (H, s, C₂H), 11.02 (H, s, COOH), 2.34 (3H singlet, OCH₃). ¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 163-169- pyrimidine, 171.3 C of COOH, 161.6 C of CO,158-159 -C-O-.

1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl) phenyl)-2-(4-hydroxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid 7c

Yield: 58%, MP 190-91⁰C, Infrared Spectral Features around cm⁻¹3054, 1600, 1532 Aromatic C-H stretching, 1667 C=O of COOH, 1717 C=O of pyrrole-2-one, 3200-2600 -OH phenolic and other band are similar to schiff bases. NMR spectral Features (δ Ppm) 6.5-7.9 (multiplet, aromatic + H of Pyrimidine + H of SO₂NH), 7.14 (H, s, C₄H),5.56 (H, s, C₂H), 11.02 (H, s, COOH), 5.35 (H of OH).¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 163-169- pyrimidine, 171.3 C of COOH, 161.6 C of CO,134 Ar-Cl.

1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(2-hydroxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid 7d

Yield: 55%, MP 185-86⁰C, Infrared Spectral Features around cm⁻¹ 3054, 1600, 1532 Aromatic C-H stretching, 1667 C=O of COOH, 1717 C=O of pyrrole-2-one, 3200-2600 -OH phenolic and other band are similar to schiff bases. NMR spectral Features (δ Ppm) 6.5-7.9 (multiplet, aromatic + H of Pyrimidine + H of SO₂NH), 7.14 (H, s, C₄H),5.56 (H, s, C₂H), 11.02 (H, s, COOH), 5.35 (H of OH).¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 163-169- pyrimidine, 171.3 C of COOH, 161.6 C of CO,134 Ar-Cl.

1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl) phenyl)-5-oxo-2-p-tolyl-2,5-dihydro-1H-pyrrole-3-carboxylic acid 7e

Yield: 60%, MP 190-91⁰C, Infrared Spectral Features around cm⁻¹ 3030, 1600, 1500 Aromatic C-H stretching, 1670 C=O of COOH, 1717 C=O of pyrrole-2-one, 2950, 1370 -CH₃, other band are similar to schiff bases. NMR spectral Features (δ Ppm) 6.5-7.9 (multiplet, aromatic + H of Pyrimidine + H of SO₂NH), 7.14 (H, s, C₄H),5.56 (H, s, C₂H), 11.02 (H, s, COOH), 2.34 (3H singlet, CH₃).¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 163-169- pyrimidine, 171.3 C of COOH,21.3 -CH₃, 161.6 C of CO, 55.5 CH.

2-(benzo[d][1,3]dioxol-5-yl)-1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid 7f

Yield: 61%, MP 188-89^oC, Infrared Spectral Features around cm⁻¹3030, 1600, 1500 Aromatic C-H stretching, 1670 C=O of COOH, 1717 C=O of pyrrole-2-one, 3200-2600 –OH, 1200 Aryl-alkyl ether, other band are similar to schiff bases. NMR spectral Features (δ Ppm) 6.5-7.9 (multiplet, aromatic + H of Pyrimidine+ H of SO₂NH), 7.14 (H, s, C₄H),5.56 (H, s, C₂H), 11.02 (H, s, COOH), 6.10 (2H, s, O-CH₂-O). ¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 163-169- pyrimidine, 171.3 C of COOH,101.2 O-CH₂-O, 161.6 C of CO, 55.5CH.

1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(4-hydroxy-3-methoxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid 7g

Yield: 62%, MP 190-91^oC, Infrared Spectral Features around cm⁻¹ 3030, 1600, 1500 Aromatic C-H stretching, 1670 C=O of COOH, 1717 C=O of pyrrole-2-one, 3200-2600 –OH, 1200 Aryl-alkyl ether, other band are similar to schiff bases. NMR spectral Features (δ Ppm) 6.5-7.9 (multiplet, aromatic + H of Pyrimidine+ H of SO₂NH), 7.14 (H, s, C₄H),5.56 (H, s, C₂H), 11.02 (H, s, COOH), 3.83 (3H for OCH₃).¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 163-169- pyrimidine, 171.3 C of COOH,56.1 OCH₃, 161.6 C of CO, 55.5 CH.

1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl) phenyl)-2-(3,4-diethoxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid 7h

Yield: 58%, MP 189-90^oC,Infrared Spectral Features around cm⁻¹3030, 1600, 1500 Aromatic C-H stretching, 1670 C=O of COOH, 1717 C=O of pyrrole-2-one, 1200 Aryl-alkyl ether, other band are similar to schiff bases.NMR spectral Features (δ Ppm) 6.5-7.9 (multiplet, aromatic + H of Pyrimidine + H of SO₂NH), 7.14 (H,s, C₄H),5.56 (H, s, C₂H), 11.02 (H, s, COOH), 1.32 (6H for 2 CH₃), 4.10 (4H for 2 CH₂), ¹³CMR spectral Features (δ Ppm) 114-131- Benzene, 163-169- pyrimidine, 171.3- C of COOH,65 OCH₂, 161.6 C of CO.

Table 4: Antimicrobial activity of Standards and Solvent (DMF)

No.	Name of compound	Zone of inhibition (in mm)			
		Gram positive		Gram negative	
		<i>B.Subtilis</i>	<i>S.Aureus</i>	<i>E.Coli</i>	<i>Ps.Aeruginosa</i>
1	DMF	8	5	6	7
2	Ampicillin	15	12	20	20
3	Tetracyclin	21	22	15	18
4	Gentamycin	20	19	18	22
5	Chloramphenicol	21	23	17	24

Table 5: Antimicrobial activity of 4-(arylideneamino)-N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)benzenesulfonamide (6a-h)

Compound (Designation)	Zone of Inhibition (in mm)			
	Gram positive		Gram negative	
	<i>B.Subtilis</i>	<i>S.Aureus</i>	<i>E.Coli</i>	<i>Ps.Aeruginosa</i>
6a	12	13	08	12
6b	10	12	10	10
6c	14	14	15	10
6d	10	10	08	09
6e	06	16	12	20
6f	13	11	10	14
6g	21	19	14	16
6h	14	14	18	17

Table 6: Antimicrobial activity Synthesis of 1-(4-(N-(4-(2,4-dichlorophenyl)-6-(6-methylnaphthalen-2-yl)pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2-phenyl-2,5-dihydro-1H-pyrrole-3-carboxylic acid (7a-h)

Compound (designation)	Zone of Inhibition (in mm)			
	Gram positive		Gram negative	
	<i>B.Subtilis</i>	<i>S.Aureus</i>	<i>E.Coli</i>	<i>Ps.Aeruginosa</i>
7a	10	16	10	22
7b	18	13	13	13
7c	20	15	14	11
7d	21	20	16	17
7e	13	17	16	06
7f	12	11	12	12
7g	14	14	04	17
7h	19	14	15	14

IV. Conclusions

In conclusion, a new series of compound 6(a-h) and 7(a-h) were synthesized, compounds screened for their spectral study and biological study. The investigation of antimicrobial activities data revealed that the compounds (7d), (7e), (7f), (7g) and (7h), displayed excellent activity, the compounds(7a), (7b) and (7e) showed moderate activity and rested compounds showed less activity compared with standard drugs.

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