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Synthesis, Characterization &Pharmacological Activities of Substituted-2-Methyl-7-Substituted-Sulphonomides /Azo / Schiff's Bases / -N-Phenylthiourea-4-Quinolones

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Abstract: *The synthesis and pharmacological activities of substituted -2-Methyl-7-substituted-sulphonomides-4-quinolones (2a-m), substituted -2-Methyl-7-substituted- azo -4-quinolones (3a- m), substituted -2-Methyl-7-substituted-Schiff bases -4-quinolones (4a-m), substituted -2-Methyl-7-substituted-N-phenylthiourea -4-quinolones (5a-m) respectively from substituted 2-Methyl-7-amino-4-quinolones (1a-m) is reported in this paper. The structures of synthesized products have been characterized on the basis of FT-IR, ^{1}HNMR, FAB-MS and elemental analysis. The title compounds are found to have antibacterial and antifungal activities.*

Keywords: *Quinolones, Schiff bases, sulphonomides, Ethyl aceto acetate, Anilines, etc.*

1. INTRODUCTION

Quinolones and their derivatives occur in excellent anti-bacterial agents[1, 2], broad spectrum antimicrobial activity and are very active against aerobic Gram-negative microorganisms but less active against Gram-positive microorganisms[3, 6]. They are extremely useful for the treatment of a variety of infectious diseases[6, 7] and also introduced as antitumor agents[8]. The coordination of these molecules with metal ions is of considerable interest from biological and pharmaceutical point of view. Quinolones exhibit potent in vitro and in vivo antimycobacterial activity[9]. Among the fluoroquinolones, norfloxacin is a synthetic, broad-spectrum antibacterial agent for oral administration. Furthermore, there was little cross-resistance between norfloxacin and agents of other antibiotic. The action mechanism of norfloxacin involves inhibition of bacterial DNA gyrase, which is essential for DNA replication[10-15]. Recently some Schiff's base found to possess anticancer activity. Schiff's bases derivatives possess wide range of pharmacological activities like antioxidant, antiinvasive, antiviral, antipyretic, anti-inflammatory, antidepressant, and blood pressure lowering etc. Azo compounds have been found to possess wide spectrum of biodynamic properties. Many of them have been reported as antibacterial[16], antimicrobial[17], diagnostic aid[18], antineoplastic[19], urinary antiseptic[20] and topical dermatologic activities. Sulphonamides have a broad spectrum of bacteriostatic activity, affecting gram positive, gram negative and many protozoan organisms. They are known to exhibit a wide variety of biological activities such as antiviral, antibacterial, antifungal[21], antitubercular, herbicidal, insecticidal[22], and to act as chelating agents[23], in catalysis[24], in anion recognition[25] and to play a role in some epoxy resin curing agents containing amino functional groups.

2. RESULT AND DISCUSSION

In view of these observations, it was thought worthwhile to synthesize several compounds in which substituted -2-Methyl-7-substituted- sulphonomides-4-quinolones , substituted -2-Methyl-7-substituted- azo -4-quinolones, substituted -2-Methyl-7-substituted-Schiff bases -4-quinolones, substituted -2-Methyl-7-substituted- N-phenylthiourea -4-quinolones have been linked with new moiety

The reaction sequence leading to the formation of desired heterocyclic compounds are outlined in **Scheme-I**. The starting material substituted 2-Methyl-7-amino-4-quinolones **(1a-m)** was prepared by the reaction of substituted anilines with ethyl aceto acetate in presence of con. H_2SO_4.

Synthesis of substituted -2-Methyl-7-substituted- sulphonomides-4-quinolones (2a-m) by reaction of substituted 2-Methyl-7-amino-4-quinolones **(1a-m)** with different sulphonil chlorides in presence of ethanol. The compound **1(a-m)** which on coupling with different aromatic hydroxyl compounds in presence of $NaNO_2$ and HCl at 0-5^{0}C yielded substituted -2-Methyl-7-substituted-azo -4-quinolones **(3a- m)**.The substituted -2-Methyl-7-substituted-Schiff bases -4-quinolones **(4a-m)** was prepared by condensation of material substituted 2-Methyl-7-amino-4-quinolones **(1a-m)** with different aldehydes. Synthesis of substituted -2-Methyl-7-substituted- N-phenylthiourea -4-quinolones **(5a-m)** by the reaction of phenyl thio-cyanide with substituted 2-Methyl-7-amino-4-quinolones **(1a-m)**. The UV-Vis-spectra of the substituted -2-Methyl-7-substituted- azo -4-quinolones (3a- m) were recorded and the values of absorptions (λ max) and fastness properties are shown in **Table –I**. It is apparent that the wavelength of maximum absorptions azo compound was observed at 200-500nm in EtOH solutions. Variation in λmax is being attributed to structural variation of electron–rich aromatic compounds with N=N linkage used for the preparation of these azo compounds.

Table I. *UV-VIS Section of substituted -2-Methyl-7-substituted- azo -4-quinolones (3a- m) and colour fastness properties.*

Code	**Colour**	**max**	**Fastness properties**			
			Silk		**Wool**	
			Light[a]	**wash[b]**	**Light[a]**	**Wash[b]**
3a	Red	470	2	3	2-3	3 -4
3b	Red	476	3-4	2-3	3-4	2
3c	Red	472	2	4	2	3
3d	Red	461	2-3	3-4	2-3	2 -3
3e	Red	462	4	2-3	3	3-4
3f	Orange	444	2-3	3-4	2-3	2 -3
3g	Red	430	3-4	2-3	3-4	2
3h	Red	447	2	4	3	2-3
3i	Red	471	3	2-3	3-4	3
3j	Orange	427	3-4	3	2-3	2 -3

3k	Red	422	2	3	4	2-3
3l	Red	437	3-4	2-3	3-4	2
3m	Purple	482	4	3-4	2-3	3

IN Etsolution (3a-m)

Light-Fastness: 1-minimum, 2-poor, 3-moderate, 4-family good. 6-very good.

Wash-fastness: 1-poor, 2-fair, 3-good, 4-very good and 5-excellent.

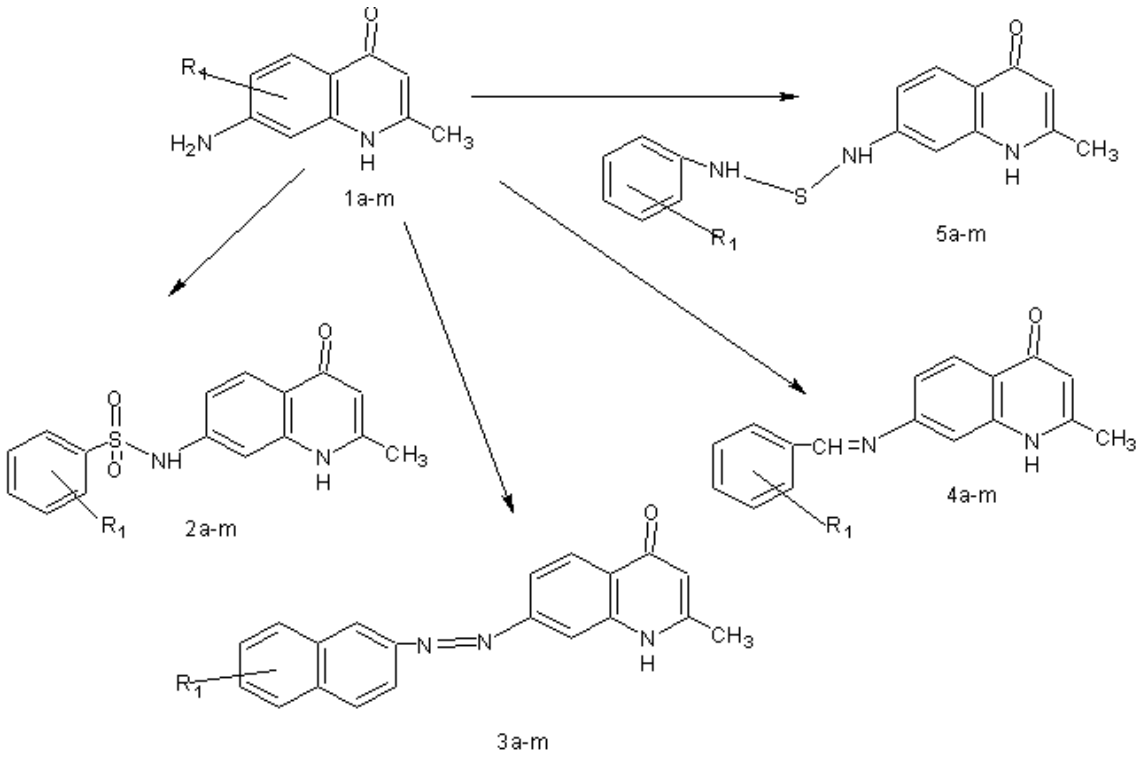
3. BIOLOGICAL ACTIVITIES

Comparative study of substituted -2-Methyl-7-substituted- sulphonomides-4-quinolones (2a-m), substituted -2-Methyl-7-substituted-Schiff bases -4-quinolones (4a-m), substituted -2-Methyl-7-substituted- N-phenylthiourea -4-quinolones (5a-m) respectively from substituted 2-Methyl-7-amino-4-quinolones (1a-m) have been observed by using Norfloxacine and Griseofulvine as standards. The enhancement in biological activity of compound as compared with the newly synthesized has been observed. The synthesized compounds were tested at 100 g/ml concentration against Escherichia coli, Staphylococcus aureus, Ps. acruginosa, P.vulgaris, A. niger and C. albicans for its antibacterial and antifungal screening as shown in Table-II.

Table2. *Data for in vitro antibacterial and anti Fungal activities (in mm) (NA=not active, --=no inhibition of growth)*

Comp.	**Minimum inhibitory concentration's g/ml**					
	E. Coli	**S. aurous**	**Ps. aeruginoa**	**P. Vulgaris**	**A.niger**	**C. albicans**
1a	14	12	9	17	22	14
1b	11	15	10	12	22	12
1c	16	10	11	13	19	NA
1d	13	9	10	15	17	NA
1e	14	12	13	-	12	22
1f	11	15	10	12	22	12
1g	11	9	15	12	22	12
1h	14	10	9	8	NA	11
1i	12	9	NA	10	18	NA
1j	11	12	10	12	22	12
1k	11	9	10	12	22	12
1l	16	10	11	13	19	NA
1m	13	9	10	11	17	NA
2a	14	12	13	-	12	22
2b	15	11	-	9	14	21
2c	11	9	10	12	22	12
2d	NA	10	5	8	NA	11
2e	12	15	NA	10	18	NA
2f	17	7	12	14	12	-
2g	15	10	15	14	16	15
2h	11	15	10	12	22	12
2i	16	10	11	13	19	NA
2j	13	9	10	11	17	NA
2k	14	12	13	-	12	22
2l	11	9	10	12	22	12

2m	11	12	10	12	22	12
4a	NA	10	5	12	NA	11
4b	12	9	NA	10	18	NA
4c	17	7	-	14	12	10
4d	11	13	10	12	22	12
4e	11	9	10	12	22	12
4f	16	10	11	13	19	NA
4g	13	9	10	11	17	NA
4h	14	12	13	-	12	22
4i	15	11	10	9	-	21
4j	11	9	10	12	22	12
4k	NA	10	5	8	NA	11
4l	12	9	NA	10	18	NA
4m	15	10	10	9	10	21
5a	12	9	NA	10	18	NA
5b	17	7	-	14	12	-
5c	-	10	15	14	16	15
5d	11	17	10	12	22	12
5e	16	10	11	13	19	NA
5f	13	9	10	11	17	NA
5g	14	12	13	-	12	22
5h	15	11	13	9	7	21
5i	11	9	10	12	22	12
5j	NA	10	5	8	NA	11
5k	11	9	22	12	22	12
5l	10	10	5	8	NA	11
5m	11	15	22	12	20	12



Where,

	R_1
a	H
b	2-OH
c	3-OH
d	4-OH
e	2-NO_2
f	3-NO_2
g	4-NO_2
h	2-CL
i	3--CL
j	3-OCH_3
k	4-OCH_3
l	3, 4, 5-$(OCH_3)_3$
m	-$N(CH_3)_2$

Scheme-I

4. EXPERIMENTAL SECTION

The melting points are uncorrected. Purity of the compounds was checked on silica gel G plates using iodine vapour as visualizing agent. Synthesized compound was characterized by IR spectra, run in KBr on a Perkin – Elmer infrared spectrophotometer. ^{1}H NMR spectra on Brucker AC–300F (300Hz) NMR spectrometer using DMSO-d_6 as a solvent and tetra methyl silane as internal standard.

5. SYNTHESIS OF SUBSTITUTED 2-METHYL-7-AMINO-4-QUINOLONES (1A-M)

Substituted ethyl aceto acetate (0.05 mole), different anilines (0.05 mole), and con. H_2SO_4 in presence of ethanol (20mL) were taken in a 250mL round bottom flask. The reaction mixture was refluxed for 8hr.on water bath. The reaction was checked by thin layer chromatography. The mixture was evaporated to its half and left over night. The product precipitated was filtered, washed with water, dried and crystallized from ethanol.

1**a:** Yield 77%: M.P.211°C: IR (KBr): 3153(NH), 1631, 1732, 1332:^{1}HNMR (300MHz DMSO) δ 7.00–7.91 (m, 3H, NH_2), 8.9(1H, s, -NH).^{13}C NMR(300MHz, DMSO-d_6), 12.3, 13.4, 13.9, 23.0, 37.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 163.0.

1b: (M. P. 201° yield 63%). IR(KBr): 3341.6(N – H),3314 (N-H), 2917 (C-H-Aromatic stretch), 1712.9, 1714, 1650, 1552, 1312, 785; H^{1}NMR (300MHz DMSO) δ7.00–7.11 (m, 3H, NH_2), 8.1(1H, s, -NH), 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2.

1c :(M. P. 167° yield 60 %.). IR(KBr): 3346, 3324, 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1654(-C=O, ester), 1553, 1336, 785; H^{1}NMR (300MHz DMSO) δ 8.20–7.90 (m, 3H, NH_2), 8.9(1H, s, -NH), 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6) 12.3, 15.4, 15.9, 37.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 170.6.

1d: (M. P. 222° yield 72 %.). IR(KBr): 3442.6, 3327, 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1332, 785, 706; H^{1}NMR (300MHz DMSO) δ 8.10–7.66(m, 3H, NH_2),

8.9(1H, s, -NH), 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6), 14.3, 15.4, 15.9, 23.0, 34.9, 35.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 170.2, 177.3.

1e: (M. P. 145° yield 50 %.). IR(KBr): 3342.6,3320, 2960 (C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1332, 785 736; H^{1}NMR (300MHz DMSO) δ 8.00–8.81 (m, 3H, NH_2), 8.9(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 145.3, 169.2, 170.1.

1f: (M. P. 117° yield 57 %.). IR(KBr): 3348.6, 3326, 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1332, 785,726; H^{1}NMR (300MHz DMSO) δ 9.00–8.91 (m, 3H, NH_2), 9.9(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 12.9, 25.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 123.2, 156.3, 171.2, 180.3.

1g: (M. P. 109° yield 62 %.). IR(KBr): 3452.6, 3352 (N-H), 2969 (C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1332, 785; H^{1}NMR (300MHz DMSO) δ 9.00–9.00 (m, 3H, NH_2), 9.3(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 15.3, 15.4, 16.9, 17.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 114.8, 116.1, 126.2, 137.3, 176.2, 185.0.

1h: (M. P. 221° yield 91 %.). IR (KBr): 3362.6, 3390 (N-H), 2967(C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1339, 785. H^{1}NMR (300MHz DMSO) δ7.00–7.91 (m, 3H, NH_2),8.9,2.56,4.28,3.54,^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,27.0,38.9,39.2,39.5,39.7,40.0,40.3,58.5,6.8,77.2,77.6,111.8,119.1,126.2,137.3,162.2..

1i: (M. P. 118^0 yields 61 %.). IR(KBr): 3342.6,3342 (N-H), 2961 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1332, 785, 518; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54;^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,7.0,38.9,9.2,39.5,39.7,40.0,40.3,58.5,76.8,77.2, 77.6,111.8,119.1,133.2,146.0.

1j :(M. P. 124° yield 64 %.). IR(KBr): 3342.6, 3323 (N-H), 2965 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1555, 1514 1332, 785; H^{1}NMR (300MHz DMSO) δ 8.00–8.91 (m, 3H, NH_2), 9.1(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6) 16.3, 17.5, 17.6, 27.0, 39.9, 40.2, 55.5, 56.4, 57.0, , 58.5, 76.8, 77.2, 77.6, 111.8, 159.1, 126.2, 137.3, 162.2, 177.1.

1k: (M. P. 272° yield 52%). IR(KBr): 3342.6(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9(CONH), 1714 ($COOC_2H_5$), 1650(-C=O, ester), 1552 (-NO_2), 1332(-CH_3), 785 (C-S); H^{1}NMR (300MHz DMSO) δ 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

1l: (M. P. 167° yield 61 %.). IR(KBr): 3346, 3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714 , 1650(-C=O, ester), 1553, 1336, 785; H^{1}NMR (300MHz DMSO) δ 8.50–8.91 (m, 3H, NH_2), 9.9(1H, s, -NH), 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6) 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 165.2, 165.6.

1m: (M. P. 138° yield 71 %.). IR(KBr): 3442.6 ,3327 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1332, 785, 706; H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m,

3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0,38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 167.2, 177.3.

6. SYNTHESIS OF SUBSTITUTED-2-METHYL-7-SUBSTITUTED-SULPHONOMIDES-4-QUINOLONES (2A-M).

A mixture of Substituted 2-Methyl-7-amino-4-quinolones (1) (0.01 mole) and different sulphonil chloride in appropriate amounts in excess of DMF was magnetically stirred for 8 hours. The resulting mixture was allowed to stand for 1 hour keeping the internal temperature between 5 – 10°C. The mixture was refluxed for 3 hours. The solvent was removed under vacuum to obtain the crude product which was washed with water followed by ethanol (10ml) and crystallized from appropriate solvents (70% aqueous ethanol).

2a: M.P. 187°, yield 72%. ; IR (KBr): 3342.6(NH), 1792.9, 1712, 1650. !649, 1322; H^{1}NMR (300MHz DMSO) δ 7.90–7.91, 8.1(1H, s, -NH)2.56, 4.28, 3.54; ^{13}C NMR (300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

2b: (M. P. 212° yield 62%). IR(KBr): 3342.6(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1552, 1332, 785; H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

2c :(M. P. 267° yield 68%.). IR(KBr): 3346.(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1553, 1336, 785; H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6) 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 164.6.

2d: (M. P. 238° yield 70 %.). IR(KBr): 3442.6 (N – H),3327 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1332, 785, 706; H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.3.

2e: (M. P. 245° yield 58 %.). IR(KBr): 3342.6 (N – H),3320 (N-H), 2960 (C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1332, 785, 736; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.1.

2f: (M. P. 217° yield 55 %.). IR(KBr): 3348.6(N – H),3326 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1332, 785,726; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54: ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 12.9, 25.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 123.2, 137.3, 164.2, 165.3.

2g: (M. P. 209° yield 66 %.). IR(KBr): 3452.6 (N – H),3352 (N-H), 2969 (C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1332, 785; H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H,

NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

2h: (M. P. 251° yield 92 %.). IR (KBr): 3362.6 (N – H), 3390 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650 (-C=O, ester), 1339, 785. H^{1}NMR (300MHz DMSO) δ 2.56 (6H, s, 2xCH_3),4.28,3.54;^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,27.0,38.9,39.2,39.5,39.7,40.0,40.3, 58.5,6.8,77.2,77.6,111.8,119.1,126.2,137.3,162.2.

2i: (M. P. 218^0 yields 71 %.). IR(KBr): 3362.6, 3332 (N-H), 2961 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1332, 785, 518; H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H,NH_2),8.1,2.56,3.54;^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,7.0,38.9,9.2,39.5,39.7,40.0,40 .3,58.5,76.8,77.2,77.6,111.8,119.1,126.2,137.

2j: (M. P. 224° yield 65 %.). IR(KBr): 3342.6(N – H),3323 (N-H), 2965 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1555, 1514, 1332, 785; H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6) 14.3, 13.5, 13.6, 22.0, 37.9, 38.2, 34.5, 39.4, 40.0, , 58.5, 76.8, 77.2, 77.6, 111.8, 159.1, 126.2, 137.3, 162.2, 162.1.

2k: (M. P. 212° yield 62%). IR(KBr): 3342.6(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9(CONH), 1714 ($COOC_2H_5$), 1650(-C=O, ester), 1552 (-NO_2), 1332(-CH_3), 785 (C-S); H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

2l: (M. P. 267° yield 68 %.). IR(KBr): 3346.(N – H), 3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9(CONH), 1714 (COO CH_2CH_3), 1650(-C=O, ester), 1553 (-NO2), 1336(-CH_3), 785 (C-S); H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH);^{13}C NMR(300MHz, DMSO-d_6) 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 164.6.

2m: (M. P. 238° yield 70 %.). IR(KBr): 3442.6 (N – H),3327 (N-H), 2967 (C-H-Aromatic stretch), 1792.9 (CONH), 1714 ($COOC_2H_5$), 1650 (-C=O, ester), 1332 (-CH_3), 785 (C-S), 706 (-Cl); H^{1}NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56 (6H, s, 2 x CH_3), 4.28 (5H, q, COO CH_2CH_3), 3.54 (1H, s, -CONH);^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.3.

7. SYNTHESIS OF SUBSTITUTED -2-METHYL-7-SUBSTITUTED- AZO -4-QUINOLONES (3A-M)

A mixture of Aniline (0.1mol) was dissolved in (20ml) 4% HCl and the solution was cooled to 0-5°C. To this saturated sodium nitrite solution was added drop wise followed by addition of substituted 2-Methyl-7-amino-4-quinolones (1) (0.1mol) in 20ml of 7% NaOH for a period of 10min till the coloured solution is obtained. The solution was stirred for 30min and then neutralized to pH 7 by adding 10% HCl, the solid separated out, filtered dried and crystallized from suitable sovent.

3a: (M. P. 170° yield 72 %.); IR (KBr): 3393.0, 3306.3 (N-H), 1693.8, 1682 (C=N, Azomethine), 1573.5; H^1NMR (300MHz DMSO).δ_H7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH) 2.10, 3.31, 3.42, 8.93, 8.1(4H, ArH); ^{13}C NMR(300MHz, DMSO-d_6) 36.93, 39.20, 39.48, 39.76, 40.03, 77.74, 78.18, 78.62, 116.13, 117.4, 118.9, 131.09, 132.51, 158.60, 163.02.

3b: (M. P. 217° yield 55 %.). IR(KBr): 3348.6(N – H),3326 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785 (C-S),726; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54(1H, s, -NH); ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 12.9, 25.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 123.2, 137.3, 164.2, 165.3.

3c: (M. P. 209° yield 66 %.). IR(KBr): 3452.6 (N – H),3352 (N-H), 2969 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332 (-CH_3), 785 (C-S); H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56(6H, s, 2 x CH_3), 4.28(5H, q, $COOCH_2CH_3$), 3.54 (1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

3d: (M. P. 251° yield 92 %.). IR (KBr): 3362.6 (N – H), 3390 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1339, 785. H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56 (6H, s, 2 x CH_3), 4.28 (5H, q, COO CH_2CH_3), 3.54 (1H, s, -CONH),^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,27.0,38.9,39.2,39.5,39.7,40.0,40.3,58.5,6.8, 77.2,77.6,111.8,119.1,126.2,137.3,162.2..

3e: (M. P. 218^0 yields 71 %.). IR(KBr): 3362.6(N – H),3332 (N-H), 2961 (C-H-Aromatic stretch), 1792.9(CONH), 1714 (COO CH_2CH_3), 1650(-C=O, ester), 1332(-CH_3), 785 (C-S), 518 (-Br); H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH),2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3),3.54(1H,s,CONH);^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,7.0,38.9,9.2,39.5,39.7,40.0,40.3,58.5,76.8,77.2,77.6,111.8,119.1,126.2,137.

3f: (M. P. 224° yield 65 %.). IR(KBr): 3342.6(N – H),3323 (N-H), 2965 (C-H-Aromatic stretch), 1792.9(CONH), 1714 (COO CH_2CH_3), 1650(-C=O, ester), 1555 (-NO_2)1514 (-NO_2) 1332(-CH_3), 785 (C-S); H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6) 14.3, 13.5, 13.6, 22.0, 37.9, 38.2, 34.5, 39.4, 40.0, , 58.5, 76.8, 77.2, 77.6, 111.8, 159.1, 126.2, 137.3, 162.2, 162.1.

3g: (M. P. 212° yield 62%). IR(KBr): 3342.6(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9(CONH), 1714 ($COOC_2H_5$), 1650(-C=O, ester), 1552 (-NO_2), 1332(-CH_3), 785 (C-S); H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH) 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

3h: (M. P. 267° yield 68 %.). IR(KBr): 3346.(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9(CONH), 1714 (COO CH_2CH_3), 1650(-C=O, ester), 1553 (-NO2), 1336(-CH_3), 785 (C-S); H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH) 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH);^{13}C NMR(300MHz, DMSO-d_6) 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 164.6.

3i: (M. P. 238° yield 70 %.). IR(KBr): 3442.6 (N – H),3327 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785, 706; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.3.

3j: (M. P. 245° yield 58 %.). IR(KBr): 3342.6 (N – H),3320 (N-H), 2960 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785 736; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.1.

3k: (M. P. 217° yield 55 %.). IR(KBr): 3348.6(N – H),3326 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785,726 ; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 12.9, 25.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 123.2, 137.3, 164.2, 165.3.

3l: (M. P. 209° yield 66 %.). IR(KBr): 3452.6 (N – H),3352 (N-H), 2969 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

3m: (M. P. 251° yield 92 %.). IR (KBr): 3362.6, 3390 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1339, 785. H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28,3.54;^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,27.0,38.9,39.2,39.5,39.7,40.0,40.3,58.5,6.8 ,77.2,77.6,111.8,119.1,126.2,137.3,162.2.

8. SYNTHESIS OF 2, 5-DISUBSTITUTED PHENYL-6-AZO-PYRIMIDINES (4A-M):

A mixture of substituted 2-Methyl-7-amino-4-quinolones (1) (0.05mole) and different aromatic aldehydes (0.05mole) in absolute ethanol (100ml) was heated under reflux in the presence of con. H_2SO_4 (1-2dropes) for 3 hr. on a water bath. On cooling a solid mass separated out which was washed repeatedly with acidified water to remove inorganic materials. It was filtered off, dried and crystallized from ethanol

4a: Yield 65%:M.P.83°C: IR (KBr): 3385, 3130 (NH), 1618 (C= 0), 1520(N=N), 1577cm^{-1} , 3144cm^{-1}; ^{1}H NMR (DMSO-d_6); 7.90–7.91, 8.1, 5.3, 6.8–8.2(Ar-H), 8.1(d, 1H, NH);^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,7.0,38.9,9.2,39.5,39.7,40.0,40.3,58.5,76.8,77.2, 77.6,111.8,119.1,126.2,137.

4b: (M. P. 224° yield 65 %.). IR(KBr): 3342.6(N – H),3323 (N-H), 2965 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1555, 1514, 1332, 785; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6) 14.3, 13.5, 13.6, 22.0, 37.9, 38.2, 34.5, 39.4, 40.0, , 58.5, 76.8, 77.2, 77.6, 111.8, 159.1, 126.2, 137.3, 162.2, 162.1.

4c: (M. P. 212° yield 62%). IR(KBr): 3342.6(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1552, 1332, 785; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

4d: (M. P. 267° yield 68 %). IR(KBr): 3346.(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1553, 1336, 785; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH), 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6) 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 164.6.

4e: (M. P. 238° yield 70 %.). IR(KBr): 3442.6 (N – H),3327 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785 , 706; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH),2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.3.

4f: (M. P. 245° yield 58 %.). IR(KBr): 3342.6 (N – H),3320 (N-H), 2960 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785 736; H^1NMR (300MHz DMSO) δ 7.90–7.91 (m, 3H, NH_2), 8.1(1H, s, -NH),2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.1.

4g: (M. P. 217° yield 55 %.). IR(KBr): 3348.6(N – H),3326 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785,726; H^1NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 12.9, 25.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 123.2, 137.3, 164.2, 165.3.

4h: (M. P. 209° yield 66 %.). IR(KBr): 3452.6 (N – H),3352 (N-H), 2969 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785; H^1NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

4i: (M. P. 251° yield 92 %.). IR (KBr): 3362.6 (N – H), 3390 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1339, 785; H^1NMR (300MHz DMSO) δ 2.56, 4.28, 3.54;^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,27.0,38.9,39.2,39.5,39.7,40.0,40.3,58.5,6.8,77.2 ,77.6,111.8,119.1,126.2,137.3,162.2..

4j: (M. P. 218^0 yields 71 %.). IR(KBr): 3362.6(N – H),3332 (N-H), 2961 (C-H-Aromatic stretch), 1792.9(CONH), 1714 (COO CH_2CH_3), 1650(-C=O, ester), 1332(-CH_3), 785 (C-S), 518 (-Br); H^1NMR (300MHz DMSO) δ 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H,s,CONH);^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,7.0,38.9,9.2,39.5,39.7,40.0,40.3,5 8.5,76.8,77.2,77.6,111.8,119.1,126.2,137.

4k: (M. P. 224° yield 65 %.). IR(KBr): 3342.6(N – H),3323 (N-H), 2965 (C-H-Aromatic stretch), 1792.9(CONH), 1714 (COO CH_2CH_3), 1650(-C=O, ester), 1555 (-NO_2)1514 (-NO_2) 1332(-CH_3), 785 (C-S); H^1NMR (300MHz DMSO) δ 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6) 14.3, 13.5, 13.6, 22.0, 37.9, 38.2, 34.5, 39.4, 40.0, , 58.5, 76.8, 77.2, 77.6, 111.8, 159.1, 126.2, 137.3, 162.2, 162.1.

4l: (M. P. 212° yield 62%). IR(KBr): 3342.6(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9(CONH), 1714 ($COOC_2H_5$), 1650(-C=O, ester), 1552 (-NO_2), 1332(-CH_3), 785 (C-S); H^1NMR (300MHz DMSO) δ 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH); ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

4m: (M. P. 267° yield 68 %.). IR(KBr): 3346.(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650(-C=O, ester), 1553, 1336, 785; H^1NMR (300MHz DMSO) δ 2.56(6H, s, 2 x CH_3), 4.28(5H, q, COO CH_2CH_3), 3.54(1H, s, -CONH);^{13}C NMR(300MHz, DMSO-d_6) 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 164.6.

9. SYNTHESIS OF 2, 5-DISUBSTITUTED PHENYL-6-N-PHENYLTHIOUREA-PYRIMIDINES (5A-M):

Substituted 2-Methyl-7-amino-4-quinolones (1) (0.05 mole), phenyl thiocynete (0.05 mole), and ethanol (20mL) were taken in a 100mL round bottom flask. The reaction mixture was refluxed for 4hr.on water bath. The reaction was checked by thin layer chromatography. The mixture was evaporated to its half and left over night. The product precipitated was filtered, washed with water, dried and crystallized from ethanol.

5a: Yield 70%: M.P.216°C: IR (KBr): 3153(NH), 1621, 1712, 1322:^{1}HNMR (300MHz DMSO) δ 7.82–7.91, 8.9; ^{13C} NMR (300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.3.

5b :(M. P. 245° yield 58 %.). IR(KBr): 3342.6 (N – H),3320 (N-H), 2960 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785 736 ; H^1NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.1.

5c: (M. P. 217° yield 55 %.). IR(KBr): 3348.6(N – H),3326 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785,726; H^1NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 12.9, 25.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 123.2, 137.3, 164.2, 165.3.

5d: (M. P. 209° yield 66 %.). IR(KBr): 3452.6 (N – H),3352 (N-H), 2969 (C-H-Aromatic stretch), 1792.9, 1714 1650, 1332, 785; H^1NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

5e :(M. P. 251° yield 92%.). IR (KBr): 3362.6 (N – H), 3390 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1339, 785. H^1NMR (300MHz DMSO) δ 2.56, 4.28,

3.54;^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,27.0,38.9,39.2,39.5,39.7,40.0,40.3,58.5,6.8,77.2,77.6,111.8,119.1,126.2,137.3,162.2..

5f: (M. P. 218^0 yield 71 %.). IR(KBr): 3362.6(N – H),3332 (N-H), 2961 (C-H-Aromatic stretch), 1792.9(CONH), 1714, 1650, 1332, 785, 518; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54(1H,s,CONH);^{13}CNMR(300MHz,DMSOd_6),11.3,13.4,13.9,7.0,38.9,9.2,39.5,39.7,40.0,40.3,58.5,76.8,77.2,77.6,111.8,119.1,126.2,137.

5g: (M. P. 224° yield 65 %.). IR(KBr): 3342.6(N – H),3323 (N-H), 2965 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1555, 1514 1332, 785; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6) 14.3, 13.5, 13.6, 22.0, 37.9, 38.2, 34.5, 39.4, 40.0, , 58.5, 76.8, 77.2, 77.6, 111.8, 159.1, 126.2, 137.3, 162.2, 162.1.

5h: (M. P. 212° yield 62%). IR(KBr): 3342.6(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1552, 1332, 785; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

5i: (M. P. 267° yield 68 %.). IR(KBr): 3346.(N – H),3324 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1553, 1336, 785; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6) 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 164.6.

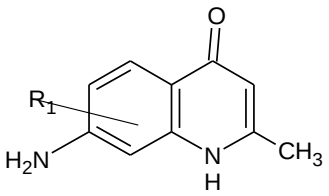
5j: (M. P. 238° yield 70 %.). IR(KBr): 3442.6 (N – H),3327 (N-H), 2967 (C-H-Aromatic stretch), 1792.9 (CONH), 1714, 1650 , 1332, 785, 706; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54;^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 34.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.3.

5k: (M. P. 245° yield 58 %.). IR(KBr): 3342.6 (N – H),3320 (N-H), 2960 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785, 736; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.1.

5l: (M. P. 217° yield 55 %.). IR(KBr): 3348.6(N – H),3326 (N-H), 2967 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785,726; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 12.9, 25.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 123.2, 137.3, 164.2, 165.3.

5m: (M. P. 209° yield 66 %.). IR(KBr): 3452.6 (N – H),3352 (N-H), 2969 (C-H-Aromatic stretch), 1792.9, 1714, 1650, 1332, 785; H^{1}NMR (300MHz DMSO) δ 2.56, 4.28, 3.54; ^{13}C NMR(300MHz, DMSO-d_6), 11.3, 13.4, 13.9, 27.0, 38.9, 39.2, 39.5, 39.7, 40.0, 40.3, 58.5, 76.8, 77.2, 77.6, 111.8, 119.1, 126.2, 137.3, 162.2, 165.0.

Table – III - *Characterization data of newly synthesized compounds (1a-m).*



1a-m

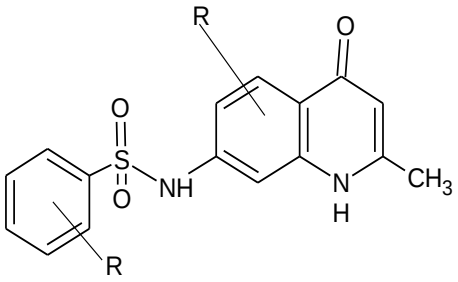
Compound	Molecule Formula	Wt.	RF Value	R_1	M.P. (°C)	Yield (%)	Analysis (Cal) (found)		
							C	H	N
1a	$C_{10}H_{10}ON_2$	174	0.23	H	211°	77%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1b	$C_{10}H_{10}O_2N_2$	190	0.37	2-OH	201°	66%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1c	$C_{10}H_{10}O_2N_2$	190	0.39	3-OH	167°	60%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1d	$C_{10}H_{10}O_2N_2$	190	0.45	4-OH	222°	72%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1e	$C_{10}H_9O_3N_3$	219	0.24	2-NO_2	145°	50%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1f	$C_{10}H_9O_3N_3$	219	0.23	3-NO_2	117°	57%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1g	$C_{10}H_9O_3N_3$	219	0.34	4-NO_2	109°	62%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1h	$C_{10}H_9ON_2Cl$	208	0.45	2-Cl	221°	91%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1i	$C_{10}H_9ON_2Cl$	208	0.23	3-Cl	118°	61%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1j	$C_{11}H_{12}O_2N_2$	204	0.32	3-OCH_3	124°	64%	65.70 (65.8)	5.0 (5.95)	12.9 (12.

									0)
1k	$C_{11}H_{12}O_2N_2$	204	0.34	4-OCH_3	272°	52%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1l	$C_{10}H_9ON_2Br$	252.09	0.38	3,4,5-(OCH_3)	167°	61%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
1m	$C_{12}H_{15}ON_3$	217	0.22	-$N(CH_3)_2$	138°	71%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)

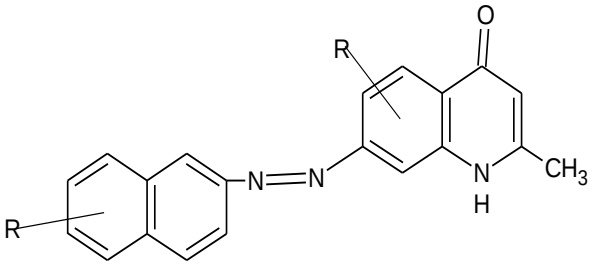
* Eluents for TLC : ethyl acetate – acetone (6 : 4) for **1a, 1b, 1c,1e,**; ethyl acetate – chloroform (8:2) for **1d, 1f, 1g , 1h, 1i, 1j, 1k, 1l, 1m**.

★ Solvent for crystallization; aq. ethanol for **1a –m.**

Table – IV - *Characterization data of newly synthesized compounds 2a-m & 3a-m.*



2a-m



3a-m

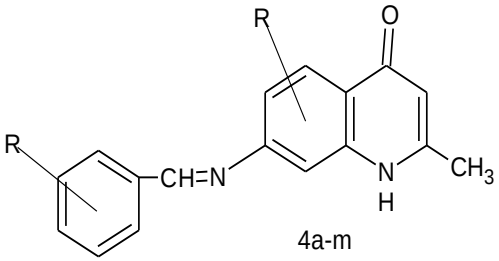
Compound	**Molecule Formula**	**Wt.**	**RF Value**	**R_1**	**M.P. (°C)**	**Yield (%)**	**Analysis (Cal) (found)**		
							C	**H**	**N**
2a	$C_{16}H_{14}O_3N_2S$	314.06	0.41	H	212°	62%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2b	$C_{16}H_{14}O_4N_2S$	330.06	0.32	2-OH	217°	59%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2c	$C_{16}H_{14}O_4N_2S$	330.06	0.43	3-OH	214°	43%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2d	$C_{16}H_{14}O_4N_2S$	330.06	0.23	4-OH	218°	49%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2e	$C_{16}H_{13}O_5N_3S$	359.06	0.35	2-NO_2	215°	80%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2f	$C_{16}H_{13}O_5N_3S$	359.06	0.36	3-NO_2	215°	62%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2g	$C_{16}H_{13}O_5N_3S$	359.06	0.56	4-NO_2	115°	75%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)

2h	$C_{16}H_{13}O_3N_2SCl$	348.00	0.35	2-Cl	175°	75%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2i	$C_{16}H_{13}O_3N_2SCl$	348.00	0.65	3-Cl	275°	72%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2j	$C_{17}H_{16}O_4N_2S$	344.04	0.12	3-OCH_3	245°	85%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2k	$C_{17}H_{16}O_4N_2S$	344.04	0.45	4-OCH_3	145°	44%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2l	$C_{19}H_{19}O_6N_2S$	403.04	0.33	3,4,5-(OCH_3)	155°	55%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
2m	$C_{18}H_{19}O_3N_3S$	345.00	0.31	-$N(CH_3)_2$	135°	67%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3a	$C_{22}H_{15}O_2N_3$	353.00	0.21	H	219°	75%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3b	$C_{22}H_{15}O_3N_3$	369.00	0.63	2-OH	214°	86%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3c	$C_{22}H_{15}O_3N_3$	369.00	0.67	3-OH	233°	80%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3d	$C_{22}H_{15}O_3N_3$	369.00	0.34	4-OH	245°	42%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3e	$C_{22}H_{14}O_4N_4$	398.06	0.22	2-NO_2	235°	59%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3f	$C_{22}H_{14}O_4N_4$	398.06	0.32	3-NO_2	265°	75%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3g	$C_{22}H_{14}O_4N_4$	398.06	0.33	4-NO_2	175°	45%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3h	$C_{22}H_{14}O_2N_3Cl$	387.00	0.34	2-Cl	255°	58%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3i	$C_{22}H_{14}O_2N_3Cl$	387.00	0.46	3-Cl	185°	60%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3j	$C_{23}H_{17}O_3N_3$	383.06	0.45	3-OCH_3	175°	55%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3k	$C_{23}H_{17}O_3N_3$	383.06	0.55	4-OCH_3	134°	64%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3l	$C_{25}H_{18}O_5N_3$	440.00	0.43	3,4,5-(OCH_3)	225°	63%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
3m	$C_{24}H_{18}O_2N_4$	394.06	0.32	-$N(CH_3)_2$	186°	74%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)

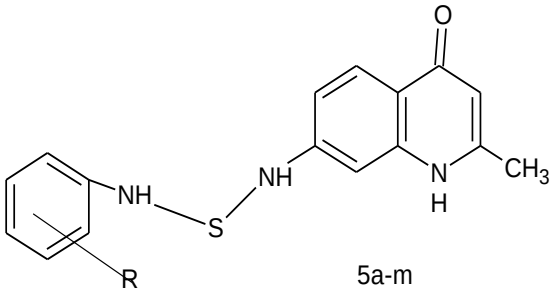
* Eluents for TLC : ethyl acetate – acetone (6 : 4) for 2a, 2b, 2c,2e,3a,3b,3c,3d, ; ethyl acetate chloroform (8:2) for 2d, 2f, 2g , 2h, 2i, 2j, 2k, 2l, 2m, 3e, 3f, 3g, 3h, 3i, 3j, 3k, 3l, 3m.

★ Solvent for crystallization; aq. ethanol for 2a –m &3a-m.

Table – V - *Characterization data of newly synthesized compounds 4a-m & 5a-m.*



4a-m



5a-m

4a-m **5a-m**

Compound	Molecule Formula	Wt.	RF Value	R_1	M.P. (°C)	Yield (%)	Analysis (Cal) (found)		
							C	H	N
4a	$C_{16}H_{14}ON_2$	250.06	0.22	H	253°	80%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4b	$C_{16}H_{14}O_2N_2$	266.06	0.23	2-OH	237°	65%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4c	$C_{16}H_{14}O_2N_2$	266.06	0.25	3-OH	162°	72%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4d	$C_{16}H_{14}O_2N_2$	266.06	0.35	4-OH	174°	45%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4e	$C_{16}H_{13}O_3N_3$	295.06	0.28	2-NO_2	261°	67%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4f	$C_{16}H_{13}O_3N_3$	295.06	0.39	3-NO_2	249°	80%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4g	$C_{16}H_{13}O_3N_3$	295.06	0.38	4-NO_2	268°	70%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4h	$C_{16}H_{13}ON_2Cl$	285.06	0.35	2-Cl	188°	77%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)

4i	$C_{16}H_{13}ON_2Cl$	285.06	0.34	3-Cl	263°	63%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4j	$C_{23}H_{22}O_2N_4S$	434.06	0.44	3-OCH_3	155°	40%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4k	$C_{23}H_{22}O_3N_4S$	434.06	0.42	4-OCH_3	225°	55%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4l	$C_{23}H_{22}O_3N_4S$	434.06	0.31	3,4,5-(OCH_3)	143°	66%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
4m	$C_{23}H_{22}O_3N_4S$	434.06	0.32	-$N(CH_3)_2$	176°	56%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5a	$C_{17}H_{15}ON_3S$	293.04	0.44	H	111°	73%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5b	$C_{17}H_{15}O_2N_3S$	325.00	0.32	2-OH	291°	64%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5c	$C_{17}H_{15}O_2N_3S$	325.00	0.33	3-OH	135°	92%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5d	$C_{17}H_{15}O_2N_3S$	325.00	0.36	4-OH	177°	55%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5e	$C_{17}H_{14}O_3N_4S$	354.00	0.37	2-NO_2	244°	68%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5f	$C_{17}H_{14}O_3N_4S$	354.00	0.38	3-NO_2	264°	79%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5g	$C_{17}H_{14}O_3N_4S$	354.00	0.48	4-NO_2	222°	48%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5h	$C_{17}H_{14}ON_3SCl$	343.00	0.32	2-Cl	215°	68%	65.70 (65.8)	5.0 (5.95)	12.9 (12.0)
5i	$C_{17}H_{14}ON_3SCl$	343.00	0.33	3-Cl	166°	58%	65.70 (65.8)	5.0 (5.95)	12.9 (12.

									0)
5j	$C_{18}H_{17}ON_3S$	323.06	0.39	3-OCH_3	232°	66%	65.70 (65.8)	5.0 (5.95)	12.9 (12. 0)
5k	$C_{18}H_{17}ON_3S$	323.06	0.38	4-OCH_3	211°	75%	65.70 (65.8)	5.0 (5.95)	12.9 (12. 0)
5l	$C_{20}H_{21}O_4N_3S$	399.04	0.35	3,4,5-(OCH_3)	225°	66%	65.70 (65.8)	5.0 (5.95)	12.9 (12. 0)
5m	$C_{18}H_{20}ON_4S$	340.00	0.34	-$N(CH_3)_2$	113°	73%	65.70 (65.8)	5.0 (5.95)	12.9 (12. 0)

* Eluents for TLC : ethyl acetate – acetone (6 : 4) for**4a, 4b, 4c,4e, 5a, 5b, 5c,5e**; ethyl acetate – chloroform (8:2) for **4d, 4f, 4g , 4h, 4i, 4j, 5d, 5f, 5g , 5h, 5i, 5j.**

★ Solvent for crystallization; aq. ethanol for **5a –j &4a-m.**

10. CONCLUSION

A series of substituted -2-Methyl-7-substituted- sulphonomides-4-quinolones **(2a-m)**, substituted -2-Methyl-7-substituted- azo -4-quinolones **(3a- m)**, substituted -2-Methyl-7-substituted-Schiff bases -4-quinolones **(4a-m)**, substituted -2-Methyl-7-substituted- N-phenylthiourea -4-quinolones **(5a-m)** respectively from substituted 2-Methyl-7-amino-4-quinolones **(1a-m)**. These compounds were screened for their antibacterial activity against S. aureus and E. coli as well as for their antifungal activity against C. albicans and A. niger Showing good result.

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