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SYNTHESIS OF SUBSTITUTED HETEROCYCLIC 7,8-DIMETHYLQUINOLINE DERIVATIVES AS POTENTIAL ANTIMICROBIAL AND ANTIFUNGAL AGENTS

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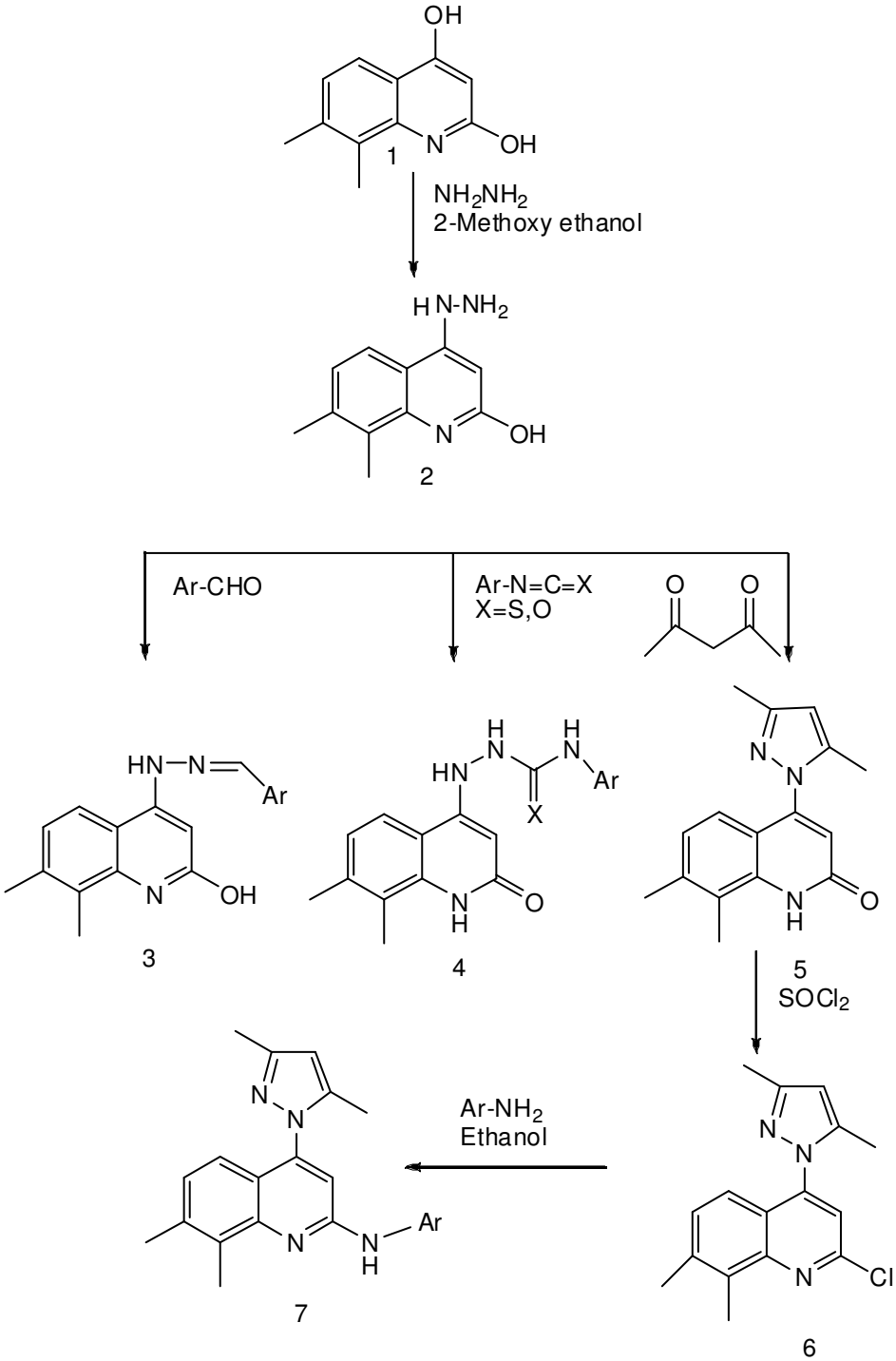
The compound 7,8-dimethylquinoline-2,4-diol (**1**) was reacted with hydrazine hydrate to give 4-hydrazinyl-7,8-dimethylquinolin-2-ol (**2**). The compound (**2**) was reacted with different heterocyclic aldehydes, isocyanates or isothiocyanates and pentane-2,4-dione to convert into Schiff's bases (**3**), urea or thiourea derivatives (**4**) and 4-(3,5-dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethylquinolin-2-ol (**5**) respectively. The compound (**5**) on chlorination with thionyl chloride afforded 2-chloro-4-(3,5-dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethylquinoline (**6**) which was then reacted with different aromatic amines to yield 4-(3,5-dimethyl-1*H*-pyrazol-1-yl)-N-(substituted phenyl)-7,8-dimethylquinolin-2-amines (**7**). 7,8-Dimethyl quinoline-2,4-diol (**1**) was cyclised to furo [3,2-*c*] quinoline (**8**) which on subsequent chlorination with phosphorus oxychloride gave (**9**). The compound (**9**) was allowed to react with different aromatic amines to furnish N-(substituted phenyl)-6,7-dimethylfuro [3,2-*c*] quinolin-4-amines (**10**). The newly synthesized compounds were characterized by spectroscopic techniques. These compounds have also been screened for their biological activity.

Among the important heterocyclic compounds of biological and pharmacological interest, the quinoline ring is endowed with various activities such as antituberculosis[3], antimalarial[4], antiinflammatory[5], anticancer[6], antibiotic[7], antihypertensive[8], tyrokinase PDGF-RTK inhibiting agents[9] and anti HIV[10,11].

The Schiff's bases have gained importance due to their applications in pharmaceutical chemistry. These Schiff's bases are used as substrates in the preparation of a number of industrial and biologically active compounds via ring closure. Moreover Schiff's bases derived from various heterocycles have been reported to possess cytotoxic[12], anticonvulsant[13], antiproliferative[14], antimicrobial[15], anticancer[16] and antifungal activities[17,18]. Substituted hydrazinecarbothioamides are associated with immense biological activities. The scientific literature states that the substituted phenyl hydrazinecarbothioamides possess antiviral[19] and antibacterial[20,21] activities due to the presence of –NH-C(S)-NH- function in the molecule and the changes in this activity depend on the nature of the substitutents. These observations prompted us to synthesize some new Schiff's bases, carbothioamides and carboxamides of quinolin-2-ol and investigate their antibacterial and antifungal activities.

Similarly substituted pyrazoles are important biological agents with a wide range of pharmaceutical and agrochemical activities[22-26]. Pyrazole derivatives have been studied extensively because of their accessibility, diverse chemical reactivity and biological activities[27].

The furo-quinoline derivatives are of particular interest because they are isomers of the known family of furo [2,3-*b*] quinoline alkaloids which possess a broad range of biological properties such as antiviral, antimicrobial and antiplatelet aggregation activity[28]. The furo-quinolinones have shown promising blocking activities of the voltage-gated potassium channel Kv1.3[29,30].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OH
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O
HN—N=
Ar
N
OH
3
HN
N
H
N
Ar
X
N
H
O
4
N
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N
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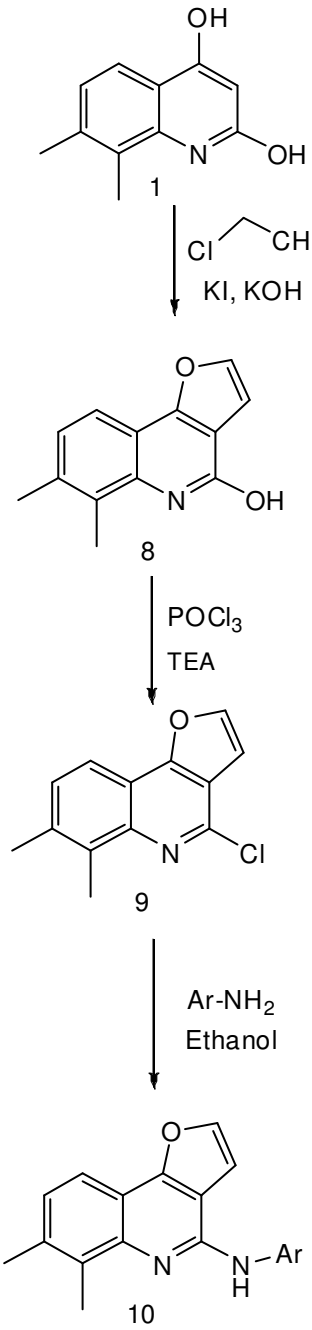
Ar
7

SCHEME-1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O
N
Cl
9
Ar-NH2
Ethanol
O
N
N
H
Ar
10

SCHEME-2

Table-1
Characterization data of the compounds (3a-j) & (4a-j)

Compd	Ar	M.P. (^{0}C)	Yield (%)
3a	6-methoxypyridin-3-yl	228	86
3b	4-methoxypyridin-3-yl	215	85
3c	2-fluoropyridin-3-yl	195	82
3d	2-methylpyridin-3-yl	204	88
3e	4-methyl-1*H*-imidazol-5-yl	260	71
3f	6-fluoro-4-oxo-4*H*-chromen-3-yl	251	75
3g	6-methoxy-4-oxo-4*H*-chromen-3-yl	264	70
3h	6-methyl-4-oxo-4*H*-chromen-3-yl	245	72
3i	6,8-dimethyl-4-oxo-4*H*-chromen-3-yl	253	78
3j	6-bromo-4-oxo-4*H*-chromen-3-yl	210	80
4a	3-(trifluoromethyl) phenyl	243	80
4b	3-methoxyphenyl	201	82
4c	4-methylphenyl	209	84
4d	2,4-dimethoxyphenyl	226	85
4e	4-chlorophenyl	211	88
4f	phenyl	248	90
4g	2,5-dimethoxyphenyl	235	85
4h	4-(trifluoromethoxy) phenyl	215	80
4i	3-chlorophenyl	208	83
4j	4-fluorophenyl	211	81

On the basis of these observations and as a part of our general program in the continued research for new antibacterial and antifungal agents[31,32], we have designed some new quinoline derivatives wherein active pharmacophores viz. pyrazoles, hydrazones, ureas, thioureas and furan derivatives have been attached at the 4-position of the quinoline ring and amine linkage at 2-position of the ring considering that the newly designed molecules would exhibit improved biological activity.

Table-2
Characterization data of the compounds (7a-h) and (10a-h)

Compd	Ar	M.P. (^{0}C)	Yield (%)
7a	phenyl	205	59
7b	4-fluorophenyl	193	60
7c	4-methoxyphenyl	213	55
7d	3,4-dimethoxyphenyl	198	58
7e	4-chlorophenyl	204	62
7f	4-bromophenyl	212	55
7g	4-cyanophenyl	236	50
7h	4-nitrophenyl	216	48
10a	phenyl	242	52
10b	4-fluorophenyl	255	58
10c	4-methoxyphenyl	265	53
10d	3,4-dimethoxyphenyl	238	55
10e	4-chlorophenyl	219	54
10f	4-bromophenyl	203	50
10g	4-cyanophenyl	239	44
10h	4-nitrophenyl	258	45

The reaction sequence employed for synthesis of the key scaffold 4-hydrazinyl -7,8-dimethylquinolin-2-ol (**2**) is shown in Scheme-1. The starting material 2,3-dimethylaniline was cyclized to 7,8-dimethylquinoline-2,4-diol (**1**) as per reported method[35]. The compound (**1**) was reacted with

Table-3
Antibacterial and antifungal activity data of 3a-j and 4a-j

Compd	Antibacterial activity								Antifungal activity							
	S. aureus		*E. coli*		*B. subtilis*		*S. typhosa*		*A. niger*		*C. albicans*		*C. neoformans*		*T. paradoxa*	
	2mg/ml	5mg/ml	2mg/ml	5mg/ml	2mg/ml	5mg/ml	2mg/ml	5mg/ml	2mg/ml	5mg/ml	2mg/ml	5mg/ml	2mg/ml	5mg/ml	2mg/ml	5mg/ml
3a	-	+	+	++	-	+	-	+	-	+	-	+	-	+	-	-
3b	-	+	-	-	-	+	-	-	-	+	-	-	-	+	-	-
3c	-	-	+	++	-	+	-	+	-	+	+	++	+	+	+	+
3d	-	+	-	-	-	+	+	+	+	+	+	+	+	+	-	+
3e	-	-	-	+	+	+	-	+	-	+	-	-	+	+	-	-
3f	-	+	+	+	-	-	-	+	+	+	-	-	+	+	+	+
3g	+	+	+	++	-	+	-	+	+	+	+	+	+	++	-	-
3h	+	+	+	+	-	+	-	-	-	+	-	-	+	+	-	-
3i	-	-	-	+	-	+	-	+	+	+	+	++	+	+	+	+
3j	+	+	+	+	-	+	+	+	+	+	+	+	-	+	-	+
4a	-	-	-	+	+	+	-	+	-	+	-	-	-	+	-	-
4b	-	+	-	+	-	-	-	+	-	+	-	-	+	+	+	+
4c	+	+	+	+	-	+	-	+	-	-	-	+	-	+	-	-
4d	+	+	+	++	-	+	-	-	-	+	-	-	+	+	-	-
4e	-	-	-	+	-	+	-	+	-	+	+	+	+	+	-	+
4f	+	+	+	+	-	+	+	+	+	+	+	+	-	+	-	+
4g	-	-	+	+	+	+	-	+	-	+	-	-	-	+	-	-
4h	-	+	+	+	-	+	+	+	-	-	-	-	-	+	+	+
4i	-	-	-	+	+	+	-	+	-	+	-	-	+	+	-	-
4j	-	+	-	+	-	-	-	+	-	+	-	-	-	+	-	+

Inhibition zone diameter in mm : (-) <11 mm
(+) 11-14 mm
(++) 15-18 mm

hydrazine hydrate in 2-methoxy ethanol at 140^0 for 36 hr to give 4-hydrazinyl-7,8-dimethyl quinolin-2-ol (**2**) in good yields. IR peak at 1648 cm^{-1} showed keto-form will be the predominant as compared to enol-form. The intermediate (**2**) was readily converted to different hydrazones (**3**a-j) by reacting it with heterocyclic aromatic aldehydes in presence of catalytic amount of gl acetic acid in ethanol. The reaction was carried out at reflux temp for 2-8 hr to get the expected product. Different aldehydes like pyridine, chromone and imidazole heterocyclic aldehydes have been used for hydrazones formation.

The 4-hydrazinyl-7,8-dimethylquinolin-2-ol (**2**) was reacted with different isocyanates or isothiocyanates using tetrahydrofuran as a solvent to give the corresponding ureas or thioureas (**4**) respectively. Further the compound (**2**) was cyclised using pentane-2,4-dione to furnish 4-(3,5-dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethylquinolin-2-ol (**5**). As per literature[36] methyl group at 3-position in pyrazole is more active, so we have chosen (**5**) for further reaction of amines coupling. The conversion of 4-(3,5-dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethylquinolin-2-ol (**5**) into 2-chloro-4-(3,5-dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethylquinoline (**6**) was achieved with thionyl chloride by conventional heating at 60^0 for 3 hr. After chlorination the compound (**6**) was reacted with different aromatic amines to yield 4-(3,5-dimethyl-1H-pyrazol-1-yl)-N-(substituted phenyl)-7,8-dimethyl quinolin-2-amines (**7**a-h).

In Scheme-2 the compound 7,8-dimethylquinoline-2,4-diol (**1**) was treated with chloroacetaldehyde in an alkaline medium and potassium iodide to give cyclized 6,7-dimethylfuro [3,2-*c*] quinolin-4-ol (**8**) which on chlorination with freshly distilled phosphorus oxychloride yielded the corresponding 4-chloro-6,7-dimethylfuro [3,2-*c*] quinoline (**9**). The compound (**9**) was allowed to react with substituted amines to obtain the condensed product N-(substituted phenyl)-6,7-dimethylfuro [3,2-*c*] quinolin-4-amines (**10**a-h). The structures of newly synthesized compounds were elucidated by their IR, NMR, LC-MS and elemental analysis.

Antibacterial activity

All the newly synthesized quinolines (**3,4,7** and **10**) were screened *in vitro* for their antibacterial activity against *Staphylococcus aureus, Escherichia coli, Bacillus subtilis* and *Salmonella typhosa* by the ditch-plate technique[33] using concentrations of 2 and 5 mg/ml. Nutrient agar was employed as culture media and DMF was used as solvent control for antibacterial activity.

The compounds (**3**a,c) and (**4**d,h) showed moderate activity against *Escherichia coli* and *Staphylococcus aureus*. The compound (**7**f) exhibited high activity against *Escherichia coli* and moderate activity against *Staphylococcus aureus*. The compounds (**3**b, d), (**10**a,c) and (**7**b,c,d) possess weak activity against both *Escherichia coli* and *Staphylococcus aureus* (Table-3).

Antifungal activity

The compounds (**3,4,7** and **10**) synthesized were screened *in vitro* for their antifungal activity against *Aspergillus niger, Candida albicans, Cryptococcus neoformans* and *Thielaviopsis paradoxa* by paper-disc diffusion method[34] at conc of 2 and 5 mg/ml. Nutrient agar was employed as culture media and DMF was used as solvent control for antifungal activity.

The compounds (**3**d,f), (**10**b,c,g) and (**7**a,e) showed marked activity against *Aspergillus niger, Candida albicans* and *Cryptococcus neoformans*. The compounds (**3**a,b), (**4**c,j), (**10**a,d,e) and (**7**b,c,f) showed moderate activity against *Aspergillus niger, Cryptococcus neoformans* and weak activity against *Candida albicans* and *Thielaviopsis paradoxa* (Table-3).

Experimental

The reactions were monitored on TLC (silica gel) and column chromatographic purifications were performed on silica gel and on Combiflash R_f instrument. Melting points were taken in open capillary tubes and are uncorrected. The IR spectra in KBr were recorded on a Perkin-Elmer 257 spectrophotometer. ^{1}H NMR spectra in DMSO-d_6 and $CDCl_3$ were recorded

on a VXR-300 MHz and Brucker AMX-300 MHz spectrophotometers using TMS as internal standard. Mass spectra were recorded on a Agilent LCMS (ESI-MS) and Shimadzu Q-5050. CHN analysis of all compounds was found to be satisfactory.

4-Hydrazinyl-7,8-dimethylquinolin-2-ol (2)

A mixture of 7,8-dimethylquinoline-2,4-diol (**1**) (1.0g, 5.29 mol) and hydrazine hydrate (5.0 cm^3) was refluxed at 140^0 for 36 hr. It was then cooled to room temp and the solid obtained was filtered, washed with *n*-hexane and crystallized from chloroform-methanol (60:40) to give (**2**), yield (0.7g, 65%), m.p. 283^0. IR (KBr): 3305, 3263 (NH-NH_2 str), 1648 (C=O str), 1572, 1528, 1457 (C=C aromatic); ^{1}H NMR (DMSO-d_6): δ 2.2 (s, 3H, CH_3), 3.3 (s, 3H, CH_3), 4.6 (s, 2H, NH_2), 5.8 (s, 1H, NH), 6.0 (s, 1H, CH quinoline at C-3), 68-7.0 (d, 2H, ArH), 11.4 (s, 1H, OH); Mass : m/z 204 (M^++1). [Found : C, 65.00, H, 6.42, N, 20.65 $C_{11}H_{13}N_3O$ requires C, 65.01, H, 6.45, N, 20.68%].

4-(2-[(Substituted aromatic) methylene] hydrazinyl)-7,8-dimethylquinolin-2-ols (3)

4-Hydrazinyl-7,8-dimethylquinolin-2-ol (**2**) (0.20g, 0.98 mmol) and aromatic aldehyde (0.98 mmol) was dissolved in ethanol (5.0 cm^3) to which catalytic amount of gl acetic acid (0.10 cm^3) was added. The reaction mixture was refluxed for 2-8 hr. The progress of the reaction was monitored on TLC. After completion of the reaction, the reaction mixture was cooled and the solid was separated. The solid obtained was filtered, washed with cold ethanol and recrystallized from methanol to give (**3**). The compounds (**3**a-j) were prepared in a similar way. (**3**a): IR (KBr) 3212 (NH str), 2946 (C-H, aromatic), 1598, 1499, 1405 (C=C, aromatic), 1598 (C=N str); ^{1}H NMR (DMSO-d_6): 2.3 (s, 6H, $2CH_3$), 3.9 (s, 3H, OCH_3), 6.2 (s, 1H, CH quinoline at C-3), 6.9-8.4 (m, 5H, ArH), 8.3 (s, 1H, CH=N), 9.9 (s, 1H, NH), 10.7 (s, 1H, NH-C=O); Mass : m/z 323 (M^++1) .

Characterisation data of compounds (**3**a-j) are given in Table-1.

2-(2-Hydroxy-7,8-dimethylquinolin-4-yl)-*N*-(substituted phenyl) hydrazine carbox (thio) amides (4)

4-Hydrazinyl-7,8-dimethylquinolin-2-ol (**2**) (0.20g, 0.98 mmol) and substituted iso (thio) cyanate (0.98 mmol) were dissolved in dry tetrahydrofuran (10.0 cm^3) to which catalytic amount of gl acetic acid (0.10 cm^3) was added. The reaction mixture was refluxed for 1-3 hr. The progress of the reaction was monitored on TLC. The solid obtained on cooling was filtered, washed with *n*-hexane and recrystallized from methanol to give (**4**). The compounds (**4**a-j) were prepared in a similar manner. (**4**a): IR (KBr): 3245, 3215 (NH str), 1658 (NH.C=O str), 1555, 1436 (C=C, aromatic), 1221 (C=S str); ^{1}H NMR (DMSO-d_6): 2.3 (s, 6H, $2CH_3$), 5.5 (s, 1H, CH quinoline at C-3), 7.0-7.8 (m, 6H, ArH), 9.2 (s, 1H, -C(S)NH), 9.3 (s, 1H, NH), 10.0 (s, 1H, NH), 11.1 (s, 1H, NH.C=O); Mass : m/z 407 (M^++1).

Characterization data of compounds (**4**a-j) are given in Table-1.

4-(3,5-Dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethylquinolin-2-ol (5)

4-Hydrazinyl-7,8-dimethylquinolin-2-ol (**2**) (1.0g, 4.9 mmol) was added to pentane-2,4-dione (5.0 cm^3) and refluxed for 3 hr. The reaction mixture was cooled and the solid obtained was filtered, washed with *n*-hexane and recrystallized from chloroform : methanol (7:3) to give (**5**), yield (0.85g, 65%), m.p. 231^0. IR (KBr) 3189 (NH str), 2976 (C-H, aromatic), 1662 (NH.C=O str), 1578, 1502, 1467 (CH, aromatic), 1548 (C=N str); ^{1}H NMR (DMSO-d_6): 1.9 (s, 3H, CH_3 pyrazole), 2.1 (s, 3H, CH_3 pyrazole), 2.3 (s, 3H, CH_3 quinoline), 2.37 (s, 3H, CH_3 quinoline), 6.1 (s, 1H, CH pyrazole), 6.4 (s, 1H, CH quinoline at C-3), 6.8-7.0 (d, 2H, ArH), 11.0 (s, 1H, OH); Mass : m/z 268 (M^++1). [Found : C, 71.87, H, 6.40, N, 15.70 $C_{16}H_{17}N_3O$ requires C, 71.89, H, 6.41, N, 15.72%].

2-Chloro-4-(3,5-dimethyl-1*H*-pyrazol-2-yl)-7,8-dimethylquinoline (6)

4-(3,5-Dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethylquinolin-2-ol (**5**) (1.0g, 3.74 mmol) was heated with thionyl chloride (5.0 cm^3) at 60^0 for 3.0 hr. Excess of thionyl chloride was removed under reduced pressure. The reaction mixture was triturated with an excess of saturated sodium bicarbonate solution. The product obtained was extracted with chloroform. The organic phase was washed with water followed by brine wash and dried over anhyd sodium sulphate. The solvent was removed under reduced pressure and the solid obtained was recrystallized from ethyl acetate : *n*-hexane (5:5) to give (**6**), yield (0.64g, 60%), m.p. 128^0. IR (KBr): 2923, 2858 (C-H str), 1591, 1513 (C=C, aromatic), 1588 (C=N str.); ^{1}H NMR (DMSO-d_6): 1.9 (s, 3H, CH_3 pyrazole), 2.2 (s, 3H, CH_3 pyrazole), 2.3 (s, 3H, CH_3 quinoline), 2.4 (s, 3H, CH_3 quinoline), 6.2 (s, 1H, CH pyrazole), 6.9 (s, 1H, CH quinoline at C-3), 7.2-7.5 (d, 2H, ArH); Mass : m/z 286 (M^++1). [Found : C, 67.21, H, 5.61, N, 14.67 $C_{16}H_{16}ClN_3$ requires C, 67.25, H, 5.64, N, 14.70%].

4-(3,5-Dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethyl-*N*-substituted phenylquinolin-2-amines (7)

A mixture of 2-chloro-4-(3,5-dimethyl-1*H*-pyrazol-1-yl)-7,8-dimethylquinoline (**6**) (0.20g, 0.70 mmol) and substituted anilines (1.75 mmol) were taken in ethanol (25.0 cm^3) and then the reaction mixture was refluxed for 8-15 hr. After completion of reaction, the solvent was removed under reduced pressure and the solid obtained was purified by column chromatography to give (**7**). The compounds (**7**a-h) were prepared in a similar way. (**7**b): IR (KBr) 3325 (NH str), 2923, 2853 (C-H str), 1546, 1507, 1408 (C=C aromatic); ^{1}H NMR (DMSO-d_6): 1.9 (s, 3H, CH_3 pyrazole), 2.1 (s, 3H, CH_3 pyrazole), 2.4 (s, 3H, CH_3 quinoline), 2.6 (s, 3H, CH_3 quinoline), 6.1 (s, 1H, CH pyrazole), 6.9 (s, 1H, CH quinoline at C-3), 7.0-8.0 (m, 6H, ArH), 9.5 (s, 1H, NH); ^{13}C NMR (DMSO-d_6): 13.8, 14.5, 17.8, 18.1, 100.7, 105.6, 110.2, 116.4, 121.5, 124.6, 125.3, 129.4, 133.7, 138.4, 144.1, 142.7, 143.9, 154.2, 155.2, 157.0; Mass : m/z 361 (M^+).

Characterization data of compounds (**7**a-h) are given in Table-2.

6,7-Dimethylfuro [3,2-*c*] quinolin-4-ol (8)

A mixture of 7,8-dimethylquinoline-2,4-diol (**1**) (1.0g, 5.2 mmol), KI (0.50g) and 55% chloroacetaldehyde (2.48g, 15.8 mmol) in 1N KOH (20 cm^3) solution was refluxed for 6 hr. The progress of the reaction was monitored on TLC. After completion of the reaction, the reaction mixture was cooled and the resulting solid was filtered, washed with water and purified by recrystallization from ethanol to give (**8**), (0.62g, 55%), m.p. 256^0. IR (KBr): 3189 (NH str), 2945 (C-H str), 1638, 1551, 1508 (C=C, aromatic), 1581 (C=N str); ^{1}H NMR (DMSO-d_6): 2.3 (s, 6H, $2CH_3$ quinoline), 7.2-8.1 (m, 4H, ArH), 11.0 (s, 1H, OH); Mass : m/z 214 (M^++1). [Found : C, 73.20, H, 5.17, N, 6.52 $C_{13}H_{11}NO_2$ requires C, 73.23, H, 5.20, N, 6.57%].

4-Chloro-6,7-dimethylfuro [3,2-*c*] quinoline (9)

6,7-Dimethylfuro [3,2-*c*] quinolin-4-ol (8) (0.20g, 0.94 mmol), phosphorus oxychloride (4.0 cm^3) and triethylamine (1.0 cm^3) were heated at 110^0 for 8 hr. The reaction mixture was cooled and poured into ice water (20 cm^3). The reaction mass was neutralized with 10N NaOH solution. The solid so obtained was filtered, washed with cold water and purified by column chromatography to give (**9**), (0.113g, 52%), m.p. 124^0. IR (KBr): 2936 (C-H str), 1548, 1517, 1453 (C=C, aromatic), 1558 (C=N str); ^{1}H NMR (DMSO-d_6): 2.3 (s, 6H, $2CH_3$ quinoline), 7.2-8.3 (m, 4H, ArH); Mass : m/z 231 (M^+). [Found : C, 67.35, H, 4.31, N, 6.01 $C_{13}H_{10}ClNO$ requires C, 67.39, H, 4.35, N, 6.05%].

***N*-(Substituted phenyl)-6,7-dimethylfuro [3,2-*c*] quinolin-4-amines (10)**

4-Chloro-6,7-dimethylfuro [3,2-*c*] quinoline (**9**) (0.20g, 0.86 mmol) and substituted anilines (2.15 mmol) were taken in ethanol (25.0 cm^3) and the reaction mixture was refluxed for 8-15 hr. After completion of reaction, the solvent was removed under reduced pressure. The solid obtained was filtered and

purified by column chromatography to give (**10**). The compounds (**10**a-h) were prepared in a similar way. (**10**c): IR (KBr) 3188 (NH str), 2873 (C-H str), 1555, 1447, 1437 (C=C, aromatic); ^{1}H NMR (DMSO-d_6): 2.3 (s, 6H, $2CH_3$ quinoline), 3.8 (s, 3H, OCH_3), 3.8 (s, 3H, OCH_3), 7.0-8.1 (m, 8H, ArH), 9.9 (s, 1H, NH); Mass: m/z 319 (M^++1).

Characterization data of compounds (**10**a-h) are given in Table-2.

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