

Available online on 15.09.2016 at <http://jddtonline.info>**Journal of Drug Delivery and Therapeutics**

An International Peer Reviewed Journal

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## RESEARCH ARTICLE

**SYNTHESIS, CHARACTERIZATION AND ANTIMICROBIAL ACTIVITY OF SOME NOVEL QUINOLINE BASED IMIDAZOLES**Sunil Vodela\*<sup>1</sup> and Venu Chakravarthula<sup>2</sup><sup>1</sup>Department of Chemistry, Talla Padmavathi College of Engineering, Warangal 506 009, Telangana, India<sup>2</sup>Department of Chemistry, Osmania University, Hyderabad, 500 037, Telangana, India\* Corresponding author: [sunil.vodela@gmail.com](mailto:sunil.vodela@gmail.com)

Received 14 June 2016; Review Completed 27 July 2016; Accepted 12 Aug 2016, Available online 15 Sep 2016

## ABSTRACT

A simple and convenient method has been developed for the synthesis of title compounds, 1-methyl-2-phenyl-1*H*-imidazo[4,5-*f*]-quinoline and derivatives (**5a-f**) in reasonable yields. The commercially available 6-nitro-quinoline-5-amine (**1**) is used as raw material and it is reduced conveniently using SnCl<sub>2</sub> to give the initial intermediate, quinoline-5,6-diamine (**2**) in good yield. Compound **2** on consecutive steps when treated on condensation followed by cyclization generated the rest of intermediates, *N*<sup>6</sup>-benzylidene-quinoline-5,6-diamines (**3a-f**) and 2-phenyl-1*H*-imidazo[4,5-*f*]-quinolines (**4a-f**) respectively. The chemical structures of all newly prepared compounds were elucidated using infrared, <sup>1</sup>H NMR and mass spectral studies as well as elemental analysis. The output of this synthetic method has been provided a series of successful biologically important structures.

**Keywords:** Quinoline, imidazole, antimicrobial activityDOI: <http://dx.doi.org/10.22270/jddt.v6i5.1278>URI: <http://jddtonline.info/index.php/jddt/article/view/1278>

## INTRODUCTION

Imidazole-based heterocyclic molecules play important roles in various biochemical processes<sup>1</sup>. Therefore, the imidazolyl moiety is being used as a building block in developing new drugs<sup>2, 3</sup>. Moreover, imidazole derivatives have wide range applications in coordination chemistry<sup>4</sup>, organometallic catalysis<sup>5</sup> and asymmetric catalysis<sup>6</sup>. Many functionalized imidazoles behave as antibiotics<sup>7</sup>, fungicides<sup>8</sup>, antiulceratives<sup>9</sup>, antidiabetics, antihypertensive and anti-inflammatory agents<sup>10</sup>. Consequently, it is not surprising that development of various strategies for their synthesis. Quinoline moiety is present in many classes of biologically active compounds<sup>11</sup>. The biological activity of these quinoline derivatives depends not only on the bicyclic hetero-aromatic pharmacophore but also on the nature of the peripheral substituent and their spatial relationship. They also exhibit antimalarial<sup>12</sup>, antitumor<sup>13</sup>, antioxidant<sup>14</sup>, antileishmanial<sup>15</sup> and antiplatelet activities<sup>16</sup>.

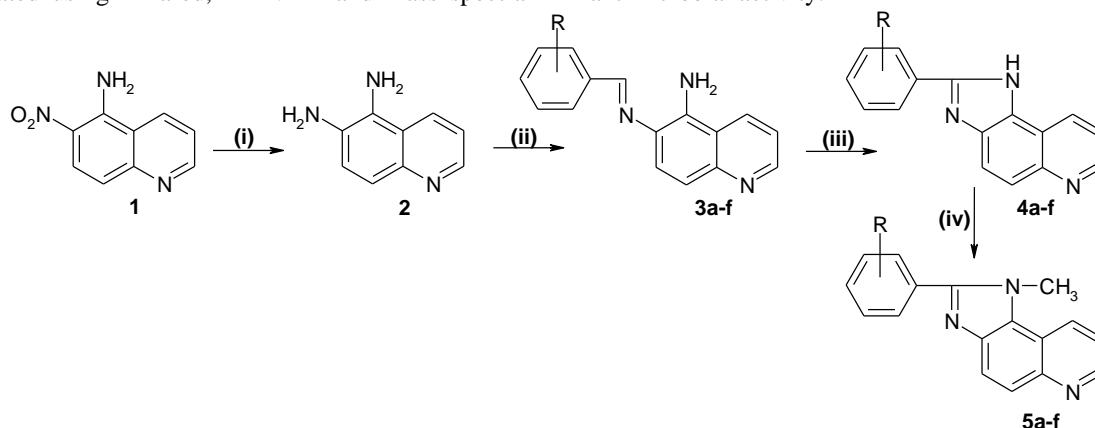
## RESULTS AND DISCUSSION

Prompted by the aforementioned findings and in the continuation of our ongoing research in the field of design, synthesis, and biological evaluation of heterocyclic derivatives, herein we described the

synthesis, characterization and evaluation of a new series of quinoline based imidazoles as potential antimicrobial agents. Based on these findings, we have decided to explore the preparation of title compounds using the commercially available 6-nitro-quinoline-5-amine (**1**). The synthetic route leading to the target compounds is outlined in Scheme 1. Thus in the initial step the raw material has been reduced successfully to generate the first intermediate, quinoline-5,6-diamine (**2**) on treatment with SnCl<sub>2</sub> in acidic aqueous ethanol under reflux with constant stirring for 4 h. Afterwards, the formation of the next intermediate, *N*<sup>6</sup>-benzylidene-quinoline-5,6-diamines (**3a-f**) was achieved when quinoline-5,6-diamine (**2**) involved in condensation with different aromatic aldehydes in refluxing ethanol with uniform stirring for 2-3 h. Subsequently, *N*<sup>6</sup>-benzylidene-quinoline-5,6-diamines (**3a-f**) on dehydrocyclization when reacted with I<sub>2</sub> in presence of DMF at reflux temperature with steady stirring for 3 h to turn into the final intermediate, 2-phenyl-1*H*-imidazo[4,5-*f*]-quinolines (**4a-f**). Finally, the title compounds, 1-methyl-2-phenyl-1*H*-imidazo[4,5-*f*]-quinolines (**5a-f**) have been synthesized on *N*-methylation when 2-phenyl-1*H*-imidazo[4,5-*f*]-quinolines (**4a-f**) reacted with dimethyl sulphate and NaOH in the existence of absolute ethanol on consistent

stirring at ambient temperature for 2 h. The chemical structures of all newly prepared compounds were elucidated using infrared,  $^1\text{H}$  NMR and mass spectral

studies as well as elemental analysis. Further, the title compounds were found to show significant antimicrobial activity.



**Scheme 1:** (i)  $\text{SnCl}_2$ ,  $\text{HCl}$ ,  $\text{EtOH}$ , Reflux, 4 h; (ii)  $\text{Ph}'\text{-CHO}$ , Ethanol, Reflux, 2-3 h; (iii)  $\text{I}_2/\text{DMF}$ , reflux, 3 h; (iv)  $\text{Me}_2\text{SO}_4$ ,  $\text{NaOH}$ ,  $\text{EtOH}$ , 2 h.

**3-5 R** a) = H, b) = 4- $\text{CH}_3$ , c) = 4- $\text{OCH}_3$ , d) = 4- $\text{Cl}$ , e) = 4- $\text{Br}$ , f) = 4- $\text{NO}_2$

### Antimicrobial activity

All the newly synthesized compounds, 1-methyl-2-phenyl-1*H*-imidazo[4,5-*f*]-quinolines (**5a-f**) were used to screen *in vitro* antibacterial activity by agar diffusion method [17] against two gram-positive bacterial strains like *Bacillus subtilis* and *Staphylococcus aureus* and against two gram-negative bacteria such as *Escherichia coli* and *Pseudomonas aeruginosa* with nutrient agar

medium by using DMSO as solvent. The antifungal activity of the same compounds was also tested against two fungal organisms like *Candida albicans* and *Aspergillus niger* by agar diffusion method<sup>17</sup> using Sabouraud dextrose agar medium by applying DMSO as solvent. The diameters of zone of inhibition were measured and compared with that of the standard drugs like Ciprofloxacin (50  $\mu\text{g/ml}$ ) for antibacterial study and Fluconazole (50  $\mu\text{g/ml}$ ) for antifungal analysis.

**Table 1: Antimicrobial activity of compounds 5a-f (Zone of inhibition, mm)**

Entry	Antibacterial activity				Antifungal activity	
	<i>B.subtilis</i>	<i>S.aureus</i>	<i>E.coli</i>	<i>P.aeruginosa</i>	<i>C.albicans</i>	<i>A.niger</i>
5a	13	08	07	09	11	10
5b	14	11	08	14	15	13
5c	09	09	09	08	11	08
5d	21	22	16	24	13	18
5e	22	23	21	16	16	17
5f	24	22	19	14	19	20

The consequences of the antimicrobial study are displayed in Table 1. As per the results, all the tested compounds performed varying degrees of inhibition against the tested microorganisms. Thus the compound 5f with *para* nitro aromatic ring against *B.subtilis*, product 5e with *para* bromo aromatic ring moiety towards *S.aureus* and *E.coli* and compound 5d with *para* chloro aryl group against *P.aeruginosa* disclosed the highest antibacterial activity. Further, the compound 5e against *B.subtilis*, the compound 5d and 5f towards *S.aureus* and the compound 5f against *E.coli* performed the high antibacterial activity. Similarly, the least

antibacterial activity has been reported by the compound 5c bearing *para* methoxy aromatic ring against *B.subtilis* and *P.aeruginosa* and compound 5a without any substituted group on aromatic ring towards *S.aureus* and *E.coli*. The rest of compounds exhibited moderate to good antibacterial activity against the tested microorganisms. Finally, the highest antifungal activity against both fungal organisms was showed by the compound 5f and the least antifungal activity was performed by 5a and 5c towards *C.albicans* and the compound 5a towards *A.niger*. The remaining

compounds reported moderate to good antifungal activity against the tested microorganisms.

### Experimental section

All reagents and solvents were used as purchased without further purification. Melting points were determined on a Fisher–Johns melting point apparatus and are uncorrected. Crude products were purified by column chromatography on silica gel of 60–120 mesh. IR spectra were obtained on a PerkinElmer BX series FT-IR 5000 spectrometer using KBr pellet.  $^1\text{H}$  NMR spectra were recorded on a Varian 300 MHz spectrometer. The chemical shifts were reported as ppm down field using TMS as an internal standard. Mass spectra were recorded on a VG-Micromass 7070H spectrometer operating at 70 eV.

### Preparation of quinoline-5,6-diamine (2)

A solution of 6-nitro-quinoline-5-amine (**1**) (0.01 mol),  $\text{SnCl}_2$  (0.04 mol) and aqueous HCl (10 ml) in absolute ethanol (15 ml) was refluxed on water bath for 4 h with constant stirring. After consumed the whole starting material completely in the reaction (identified by the TLC), water (10 ml) was added to the reaction mixture and the obtained solid was filtered, collected, washed with cold-water and recrystallized from ethyl acetate to get quinoline-5,6-diamine (**2**) in pure form.

### Preparation of *N*<sup>6</sup>-benzylidene-quinoline-5,6-diamines (3a-f)

A mixture of suitable aromatic aldehyde (0.01 mol) and quinoline-5,6-diamine (**2**) (0.01 mol) in ethanol (20 ml) was refluxed on water bath with uniform stirring for 2-3 h. After completion of the reaction (monitored by the TLC), the mixture was cooled to room temperature and the solvent was evaporated. The formed crude product was washed with cold water and it is purified by recrystallization from ethanol to afford the corresponding pure *N*<sup>6</sup>-benzylidene-quinoline-5,6-diamines (**3a-f**).

### Preparation of 2-phenyl-1*H*-imidazo [4, 5-*f*]-quinolines (4a-f)

A solution of *N*<sup>6</sup>-benzylidene-quinoline-5, 6-diamines (**3a-f**) (0.01 ml) and iodine (0.02 mol) in dimethyl formamide (DMF) (10 ml) has been refluxed on water bath with stable stirring for 3 h. After achievement of the reaction (scanned by the TLC), the resulting mixture was poured into ice-cold water (20 ml) and the resulted solid was filtered, dried and recrystallized from ethyl acetate to obtain 2-phenyl-1*H*-imidazo[4,5-*f*]-quinolines (**4a-f**) in pure form.

### Preparation of 1-methyl-2-phenyl-1*H*-imidazo [4, 5-*f*]-quinolines (5a-f)

To a solution of 2-phenyl-1*H*-imidazo[4,5-*f*]-quinolines (**4a-f**) (0.01 ml) in ethanol (10 ml) was added dimethyl sulfate (5 ml) followed by aqueous solution of NaOH (8 ml) and the reaction mixture was stirred for 2 h at room temperature with steady stirring. After fulfillment of the reaction (inspected by the TLC), the formed solid was collected by filtration, dried in the oven and

recrystallized from DMF to offer pure 1-methyl-2-phenyl-1*H*-imidazo[4,5-*f*]-quinolines (**5a-f**).

### Physical and spectral data

***N*<sup>6</sup>-Benzylidene-quinoline-5,6-diamine (3a)** Yellow solid; yield 78%; mp 142-43 °C; IR (KBr)  $\text{cm}^{-1}$ : 3212 (N-H), 3024 (C-H, Ar), 1699(C=N), 1588 (C=C, Ar);  $^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$ : 5.42 (2H, s,  $\text{NH}_2$ ), 7.42 (1H, d,  $J = 7.4$  Hz, Ar-H), 7.39-7.62 (8H, m, Ar-H), 7.54 (1H, s, CH=), 7.85 (1H, d,  $J = 7.4$  Hz, Ar-H); MS  $m/z$ : 247 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{16}\text{H}_{13}\text{N}_3$ : C-77.71, H-5.30, N-16.99. Found: C-76.87, H-5.28, N-16.79.

***N*<sup>6</sup>-(4-Methyl-benzylidene)-quinoline-5,6-diamine (3b)** Pale yellow solid; yield 72%; mp 187-189 °C; IR (KBr)  $\text{cm}^{-1}$ : 3318 (N-H), 3065 (C-H, Ar-H), 2984 (C-H,  $\text{CH}_3$ ), 1645 (C=N), 1548 (C=C, Ar);  $^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$ : 2.84 (3H, s,  $\text{CH}_3$ ), 5.30 (2H, s,  $\text{NH}_2$ ), 7.38 (2H, d,  $J = 7.2$  Hz, Ar-H), 7.42-7.64 (3H, m, Ar-H), 7.58 (1H, s, CH=), 7.67 (1H, d,  $J = 7.5$  Hz, Ar-H), 7.70 (1H, d,  $J = 7.5$  Hz, Ar-H), 7.79 (2H, d,  $J = 7.2$  Hz, Ar-H); MS  $m/z$ : 261 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{17}\text{H}_{15}\text{N}_3$ : C-78.13, H-5.79, N-16.08. Found: C-77.82, H-5.75, N-15.89.

### *N*<sup>6</sup>-(4-Methoxy-benzylidene)-quinoline-5,6-diamine (3c)

Pale yellow solid; yield 74%; mp 152-154 °C; IR (KBr)  $\text{cm}^{-1}$ : 3236 (N-H), 3028 (C-H, Ar), 2958 (C-H,  $\text{CH}_3$ ), 1648 (C=N), 1559 (C=C, Ar), 1155 (C-O);  $^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$ : 2.25 (3H, s,  $\text{OCH}_3$ ), 5.48 (2H, s,  $\text{NH}_2$ ), 7.35 (2H, d,  $J = 7.6$  Hz, Ar-H), 7.41-7.58 (3H, m, Ar-H), 7.51 (1H, s, CH=), 7.79 (2H, d,  $J = 7.6$  Hz, Ar-H), 7.58 (1H, d,  $J = 7.1$  Hz, Ar-H), 7.64 (1H, d,  $J = 7.1$  Hz, Ar-H); MS  $m/z$ : 277 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{17}\text{H}_{15}\text{N}_3\text{O}$ : C-73.63, H-5.45, N-15.15, O-5.77. Found: C-72.98, H-5.44, N-15.08, O-5.75.

### *N*<sup>6</sup>-(4-Chloro-benzylidene)-quinoline-5, 6-diamine (3d)

White solid; yield 72%; mp 147-149 °C; IR (KBr)  $\text{cm}^{-1}$ : 3248 (N-H), 3018 (C-H, Ar-H), 1662 (C=N), 1552 (C=C, Ar);  $^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$ : 5.85 (2H, s,  $\text{NH}_2$ ), 7.37 (2H, d,  $J = 7.3$  Hz, Ar-H), 7.45-7.74 (3H, m, Ar-H), 7.54 (1H, s, CH=), 7.62 (1H, d,  $J = 7.0$  Hz, Ar-H), 7.75 (1H, d,  $J = 7.0$  Hz, Ar-H), 7.80 (2H, d,  $J = 7.3$  Hz, Ar-H); MS  $m/z$ : 281 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{16}\text{H}_{12}\text{ClN}_3$ : C-68.21, H-4.29, Cl-12.58, N-14.91. Found: C-67.85, H-4.28, Cl-12.50, N-14.84.

### *N*<sup>6</sup>-(4-Bromo-benzylidene)-quinoline-5,6-diamine (3e)

Pale yellow solid; yield 75%; mp 135-137 °C; IR (KBr)  $\text{cm}^{-1}$ : 3245 (N-H), 3018 (C-H, Ar-H), 1638 (C=N), 1548 (C=C, Ar);  $^1\text{H}$ -NMR ( $\text{CDCl}_3$ )  $\delta$ : 5.84 (2H, s,  $\text{NH}_2$ ), 7.32 (2H, d,  $J = 6.8$  Hz, Ar-H), 7.41-7.74 (3H, m, Ar-H), 7.61 (1H, s, CH=), 7.66 (1H, d,  $J = 7.2$  Hz, Ar-H), 7.71 (1H, d,  $J = 7.2$  Hz, Ar-H), 7.79 (2H, d,  $J = 6.8$  Hz, Ar-H); MS  $m/z$ : 326 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{16}\text{H}_{12}\text{BrN}_3$ : C-58.91, H-3.71, Br-24.05, N-12.88. Found: C-57.95, H-3.70, Br-23.87, N-12.51.

**N<sup>6</sup>-(4-Nitro-benzylidene)-quinoline-5,6-diamine (3f)**

Yellow solid; yield 80%; mp 140-142 °C; IR (KBr)  $\text{cm}^{-1}$ : 3239 (N-H), 3024 (C-H, Ar-H), 1642 (C=N), 1568 (C=C, Ar), 1550 (N-O);  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 5.86 (2H, s, NH<sub>2</sub>), 7.29 (2H, d,  $J = 7.0$  Hz, Ar-H), 7.32 (1H, d,  $J = 7.2$  Hz, Ar-H), 7.40 (1H, d,  $J = 7.2$  Hz, Ar-H), 7.42-7.81 (3H, m, Ar-H), 7.59 (1H, s, CH=), 7.85 (2H, d,  $J = 7.0$  Hz, Ar-H); MS  $m/z$ : 292 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{16}\text{H}_{12}\text{N}_4\text{O}_2$ : C-65.75, H-4.14, N-19.17, O-10.95. Found: C-64.98, H-4.13, N-19.01, O-10.68.

**2-Phenyl-1H-imidazo-[4,5-f]-quinoline (4a)**

Yellow solid; yield 74%; mp 126-128 °C; IR (KBr)  $\text{cm}^{-1}$ : 3240 (N-H), 3028 (C-H, Ar-H), 1654 (C=N), 1595 (C=C, Ar);  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 7.26 (1H, d,  $J = 7.4$  Hz, Ar-H), 7.38 (1H, d,  $J = 7.4$  Hz, Ar-H), 7.46-7.76 (8H, m, Ar-H), 10.92 (1H, s, NH); MS  $m/z$ : 245 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{16}\text{H}_{11}\text{N}_3$ : C-78.35, H-4.52, N-17.13. Found: C-77.69, H-4.50, N-17.01.

**2-p-Tolyl-1H-imidazo-[4,5-f]-quinoline (4b)**

White solid; yield 72%; mp 132-134 °C; IR (KBr)  $\text{cm}^{-1}$ : 3258 (N-H), 3032 (C-H, Ar-H), 2962 (C-H, CH<sub>3</sub>), 1664 (C=N), 1565 (C=C, Ar);  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 2.36 (3H, s, CH<sub>3</sub>), 7.16 (1H, d,  $J = 7.5$  Hz, Ar-H), 7.26 (2H, d,  $J = 7.3$  Hz, Ar-H), 7.34 (2H, d,  $J = 7.3$  Hz, Ar-H), 7.40-7.68 (3H, m, Ar-H), 7.72 (1H, d,  $J = 7.5$  Hz, Ar-H), 10.86 (1H, s, NH); MS  $m/z$ : 259 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{17}\text{H}_{13}\text{N}_3$ : C-78.74, H-5.05, N-16.20. Found: C-77.45, H-5.00, N-16.02.

**2-(4-Methoxy-phenyl)-1H-imidazo-[4,5-f]-quinoline (4c)**

White solid; yield 79%; mp 141-143 °C; IR (KBr)  $\text{cm}^{-1}$ : 3262 (N-H), 3027 (C-H, Ar-H), 2945 (C-H, CH<sub>3</sub>), 1652 (C=N), 1556 (C=C, Ar), 1169 (C-O);  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 3.21 (3H, s, CH<sub>3</sub>), 7.26 (1H, d,  $J = 6.8$  Hz, Ar-H), 7.31 (2H, d,  $J = 7.2$  Hz, Ar-H), 7.38 (2H, d,  $J = 7.2$  Hz, Ar-H), 7.45-7.72 (3H, m, Ar-H), 7.78 (1H, d,  $J = 6.8$  Hz, Ar-H), 11.08 (1H, s, NH); MS  $m/z$ : 275 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{17}\text{H}_{13}\text{N}_3\text{O}$ : C-74.17, H-4.76, N-15.26, O-5.81. Found: C-73.58, H-4.75, N-15.21, O-5.79.

**2-(4-Chloro-phenyl)-1H-imidazo-[4,5-f]-quinoline (4d)**

White solid; yield 77%; mp 120-122 °C; IR (KBr)  $\text{cm}^{-1}$ : 3256 (N-H), 3042 (C-H, Ar-H), 1665 (C=N), 1548 (C=C, Ar);  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 7.22 (1H, d,  $J = 7.0$  Hz, Ar-H), 7.35 (2H, d,  $J = 7.4$  Hz, Ar-H), 7.40 (2H, d,  $J = 7.4$  Hz, Ar-H), 7.48-7.78 (3H, m, Ar-H), 7.84 (1H, d,  $J = 7.0$  Hz, Ar-H), 11.10 (1H, s, NH); MS  $m/z$ : 279 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{16}\text{H}_{10}\text{ClN}_3$ : C-68.70, H-3.60, Cl-12.67, N-15.02. Found: C-67.89, H-3.59, Cl-12.54, N-14.92.

**2-(4-Bromo-phenyl)-1H-imidazo-[4,5-f]-quinoline (4e)**

Brown solid, yield: 76%, mp: 117-119 °C; IR (KBr): 3212 (N-H), 3024 (C-H, Ar), 1645 (C=N), 1580 (C=C, Ar)  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (300 MHz, DMSO- $d_6$ ):  $\delta$  7.28 (1H, d,  $J = 7.3$  Hz, Ar-H), 7.38 (2H, d,  $J = 7.2$  Hz, Ar-H),

7.45 (2H, d,  $J = 7.2$  Hz, Ar-H), 7.50-7.81 (3H, m, Ar-H), 7.86 (1H, d,  $J = 7.3$  Hz, Ar-H), 11.14 (1H, s, NH); MS  $m/z$ : 324 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{16}\text{H}_{10}\text{BrN}_3$ : C-59.28, H-3.11, Br-24.65, N-12.96. Found: C-58.95, H-3.10, Br-24.54, N-12.79.

**2-(4-Nitro-phenyl)-1H-imidazo-[4,5-f]-quinoline (4f)**

Gray solid, yield: 77%, mp: 130-132 °C; IR (KBr): 3263 (N-H), 3029 (C-H, Ar), 1581 (C=C, Ar), 1565 (N-O)  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (300 MHz, DMSO- $d_6$ ):  $\delta$  7.20 (1H, d,  $J = 7.5$  Hz, Ar-H), 7.33 (2H, d,  $J = 7.4$  Hz, Ar-H), 7.41 (2H, d,  $J = 7.4$  Hz, Ar-H), 7.46-7.74 (3H, m, Ar-H), 7.82 (1H, d,  $J = 7.5$  Hz, Ar-H), 11.16 (1H, s, NH); MS  $m/z$ : 290 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{16}\text{H}_{10}\text{N}_4\text{O}_2$ : C-66.20, H-3.47, N-19.30, O-11.02. Found: C-65.84, H-3.45, N-19.14, O-10.89.

**1-Methyl-2-phenyl-1H-imidazo-[4,5-f]-quinoline (5a)**

White solid, yield: 74%, mp: 140-142 °C; IR (KBr): 3044 (C-H, Ar), 2984 (C-H, CH<sub>3</sub>), 1610 (C=C, Ar), 1574 (C=N)  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (300 MHz, DMSO- $d_6$ ):  $\delta$  3.21 (3H, s, CH<sub>3</sub>), 7.18 (1H, d,  $J = 7.7$  Hz, Ar-H), 7.27 (1H, d,  $J = 7.7$  Hz, Ar-H), 7.38-7.54 (8H, m, Ar-H); MS  $m/z$ : 259 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{17}\text{H}_{13}\text{N}_3$ : C-78.74, H-5.05, N-16.20. Found: C-77.98, H-5.04, N-16.02.

**1-Methyl-2-p-tolyl-1H-imidazo-[4,5-f]-quinoline (5b)**

Brown solid, yield: 75%, mp: 132-134 °C; IR (KBr): 3039 (C-H, Ar), 2978 (C-H, CH<sub>3</sub>), 1605 (C=C, Ar), 1568 (C=N)  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (300 MHz, DMSO- $d_6$ ):  $\delta$  2.31 (3H, s, CH<sub>3</sub>), 3.25 (3H, s, CH<sub>3</sub>), 7.21 (1H, d,  $J = 7.3$  Hz, Ar-H), 7.29 (2H, d,  $J = 7.6$  Hz, Ar-H), 7.39 (2H, d,  $J = 7.6$  Hz, Ar-H), 7.45-7.59 (3H, m, Ar-H), 7.59 (1H, d,  $J = 7.3$  Hz, Ar-H); MS  $m/z$ : 273 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{18}\text{H}_{15}\text{N}_3$ : C-79.10, H-5.53, N-15.37. Found: C-78.45, H-5.51, N-15.21.

**2-(4-Methoxy-phenyl)-1-methyl-1H-imidazo-[4,5-f]-quinoline (5c)**

Orange solid, Yield: 77 %, mp: 125-127 °C. IR (KBr): 3065 (C-H, Ar), 2968 (C-H, CH<sub>3</sub>), 1599 (C=N), 1545 (C=C, Ar), 1110 (C-O)  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (300 MHz, DMSO- $d_6$ ):  $\delta$  3.18 (3H, s, CH<sub>3</sub>), 3.31 (3H, s, OCH<sub>3</sub>), 7.28 (1H, d,  $J = 7.1$  Hz, Ar-H), 7.34 (2H, d,  $J = 7.4$  Hz, Ar-H), 7.42 (2H, d,  $J = 7.4$  Hz, Ar-H), 7.48-7.62 (3H, m, Ar-H), 7.64 (1H, d,  $J = 7.1$  Hz, Ar-H); MS  $m/z$ : 289 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{18}\text{H}_{15}\text{N}_3\text{O}$ : C-74.72, H-5.23, N-14.52, O-5.53. Found: C-73.84, H-5.21, N-14.29, O-5.50.

**2-(4-Chloro-phenyl)-1-methyl-1H-imidazo-[4,5-f]-quinoline (5d)**

Yellow solid, Yield: 75 %, mp: 136-138 °C. IR (KBr): 3078 (C-H, Ar), 2971 (C-H, CH<sub>3</sub>), 1584 (C=N), 1568 (C=C, Ar)  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (300 MHz, DMSO- $d_6$ ):  $\delta$  3.22 (3H, s, CH<sub>3</sub>), 7.31 (1H, d,  $J = 7.3$  Hz, Ar-H), 7.37 (2H, d,  $J = 7.5$  Hz, Ar-H), 7.46 (2H, d,  $J = 7.5$  Hz, Ar-H), 7.45-7.59 (3H, m, Ar-H), 7.61 (1H, d,  $J = 7.3$  Hz, Ar-H); MS  $m/z$ : 293 ( $\text{M}^+$ ); Elemental analysis calculated for  $\text{C}_{17}\text{H}_{12}\text{ClN}_3$ : C-69.51, H-4.12, Cl-12.07, N-14.30. Found: C-68.86, H-4.10, Cl-11.84, N-14.05.

**2-(4-Bromo-phenyl)-1-methyl-1H-imidazo-[4,5-f]-quinoline (5e)**

Brown solid, yield: 77%, mp: 145-147 °C; IR (KBr): 3058 (C-H, Ar), 2970 (C-H, CH<sub>3</sub>), 1614 (C=C, Ar), 1584 (C=N) cm<sup>-1</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>): δ 3.21 (3H, s, CH<sub>3</sub>), 7.24 (1H, d, *J* = 7.5 Hz, Ar-H), 7.35 (2H, d, *J* = 7.3 Hz, Ar-H), 7.41 (2H, d, *J* = 7.3 Hz, Ar-H), 7.48-7.60 (3H, m, Ar-H), 7.65 (1H, d, *J* = 7.5 Hz, Ar-H); MS *m/z*: 338 (M<sup>+</sup>); Elemental analysis calculated for C<sub>17</sub>H<sub>12</sub>BrN<sub>3</sub>: C-60.37, H-3.58, Br-23.63, N-12.42. Found: C-59.78, H-3.56, Br-23.02, N-12.01.

**2-(4-Nitro-phenyl)-1-methyl-1H-imidazo-[4,5-f]-quinoline (5f)**

Yellow solid, Yield: 74 %, mp: 150-152 °C. IR (KBr): 3071 (C-H, Ar), 2975 (C-H, CH<sub>3</sub>), 1584 (C=N), 1584

(N-O), 1562 (C=C, Ar) cm<sup>-1</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>): δ 3.25 (3H, s, CH<sub>3</sub>), 7.36 (1H, d, *J* = 7.5 Hz, Ar-H), 7.40 (2H, d, *J* = 7.7 Hz, Ar-H), 7.48 (2H, d, *J* = 7.7 Hz, Ar-H), 7.39-7.66 (3H, m, Ar-H), 7.69 (1H, d, *J* = 7.5 Hz, Ar-H); MS *m/z*: 304 (M<sup>+</sup>); Elemental analysis calculated for C<sub>17</sub>H<sub>12</sub>N<sub>4</sub>O<sub>2</sub>: C-67.10, H-3.97, N-18.41, O-10.52. Found: C-66.65, H-3.96, N-18.12, O-10.36.

**SUMMARY**

In conclusion, a new series of 1-methyl-2-phenyl-1H-imidazo[4,5-f]-quinoline and derivatives (**5a-f**) has been synthesized and the antibacterial (MIC) activity of these compounds were also evaluated against various bacteria. Many of the synthesized compounds showed good activity against the test bacteria and emerged as potential molecules for further development.

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**Cite this article as:**

Vodela S, Chakravarthula V, **Synthesis, characterization and antimicrobial activity of some novel quinoline based imidazoles**, *Journal of Drug Delivery & Therapeutics*. 2016; 6(5):6-10

DOI: <http://dx.doi.org/10.22270/jddt.v6i5.1278>