6

Vodela et al

Journal of Drug Delivery & Therapeutics. 2016; 6(5):6-10

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

An International Peer Reviewed Journal

**Open access to Pharmaceutical and Medical research** 

© 2016, publisher and licensee JDDT, This is an Open Access article which permits unrestricted noncommercial use, provided the original

work is properly cited

# **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>1</sup>Department of Chemistry, Osmania University, Hyderabad, 500 037, Telangana, India

\* Corresponding author: <u>sunil.vodela@gmail.com</u>

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,  $N^6$ 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 activity

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

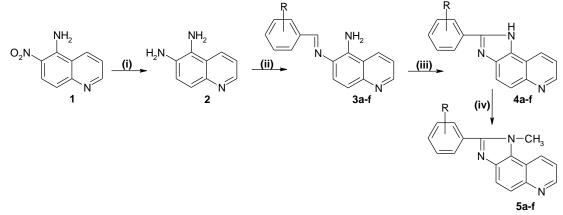
URI: http://jddtonline.info/index.php/jddt/article/view/1278

#### **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>, antiulceretics<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 They also exhibit antimalarial $^{12}$ , relationship. 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-5amine (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  $SnCl_2$  in acidic aqueous ethanol under reflux with constant stirring for 4 h. Afterwards, the formation of the next intermediate,  $N^6$ -benzylidenequinoline-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,  $N^6$ -(**3a-f**) benzylidene-quinoline-5,6-diamines dehydrocyclization when reacted with I2 in presence of DMF at reflux temperature with steady stirring for 3 h to turn into the final intermediate, 2-phenyl-1Himidazo[4,5-f]-quinolines (4a-f). Finally, the title 1-methyl-2-phenyl-1*H*-imidazo[4,5-*f*]compounds, quinolines (5a-f) have been synthesized on Nmethylation when 2-phenyl-1H-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, <sup>1</sup>H NMR and mass spectral studies as well as elemental analysis. Further, the title found to compounds were show significant antimicrobial activity.



Scheme 1: (i) SnCl<sub>2</sub>, HCl, EtOH, Reflux, 4 h; (ii) Ph'-CHO, Ethanol, Reflux, 2-3 h; (iii) I<sub>2</sub>/DMF, reflux, 3 h; (iv) Me<sub>2</sub>SO<sub>4</sub>, NaOH, EtOH, 2 h.

**3-5 R** a) = H, b) = 4-CH<sub>3</sub>, c) = 4-OCH<sub>3</sub>, d) = 4-Cl, e) = 4-Br, f) = 4-NO<sub>2</sub>

#### Antimicrobial activity

All the newly synthesized compounds, 1-methyl-2phenyl-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 aeuroginosa 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 µg/ml) for antibacterial study and Fuoconazole (50 µg/ml) for antifungal analysis.

Entry	Antibacterial activity			Antifungal activity		
	B.subtilis	S.aureus	E.coli	P.aeuroginosa	C.albicans	A.niger
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

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

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.aeuroginosa 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.aeuroginosa 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. <sup>1</sup>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), SnCl2 (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-5,6diamines (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  $N^{\circ}$ -benzylidene-quinoline-5,6diamines (3a-f).

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

A solution of  $N^6$ -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) cm<sup>-1</sup>: 3212 (N-H), 3024 (C-H, Ar), 1699(C=N), 1588 (C=C, Ar); <sup>1</sup>H-NMR (CDCl<sub>3</sub>) δ: 5.42 (2H, s, NH<sub>2</sub>), 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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>16</sub>H<sub>13</sub>N<sub>3</sub>: C-77.71, H-5.30, N-16.99. Found: C-76.87, H-5.28, N-16.79.

## $N^{6}$ -(4-Methyl-benzylidene)-quinoline-5,6-diamine

(3b) Pale yellow solid; yield 72%; mp 187-189 °C; IR (KBr) cm<sup>-1</sup>: 3318 (N-H), 3065 (C-H, Ar-H), 2984 (C-H, CH<sub>3</sub>), 1645 (C=N), 1548 (C=C, Ar); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 2.84 (3H, s, CH<sub>3</sub>), 5.30 (2H, s, NH<sub>2</sub>), 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); MS *m*/*z*: 261 (M<sup>+</sup>); Elemental analysis calculated for C<sub>17</sub>H<sub>15</sub>N<sub>3</sub>: 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) cm<sup>-1</sup>: 3236 (N-H), 3028 (C-H, Ar), 2958 (C-H, CH<sub>3</sub>), 1648 (C=N), 1559 (C=C, Ar), 1155 (C-O); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 2.25 (3H, s, OCH<sub>3</sub>), 5.48 (2H, s, NH<sub>2</sub>), 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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>17</sub>H<sub>15</sub>N<sub>3</sub>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.

# $N^{6}$ -(4-Chloro-benzylidene)-quinoline-5, 6-diamine (3d)

White solid; yield 72%; mp 147-149 °C; IR (KBr) cm<sup>-1</sup>: 3248 (N-H), 3018 (C-H, Ar-H), 1662 (C=N), 1552 (C=C, Ar); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 5.85 (2H, s, NH<sub>2</sub>), 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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>16</sub>H<sub>12</sub>ClN<sub>3</sub>: 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) cm<sup>-1</sup>: 3245 (N-H), 3018 (C-H, Ar-H), 1638 (C=N), 1548 (C=C, Ar); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 5.84 (2H, s, NH<sub>2</sub>), 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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>16</sub>H<sub>12</sub>BrN<sub>3</sub>: 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.

### $N^{6}$ -(4-Nitro-benzylidene)-quinoline-5,6-diamine (3f)

Yellow solid; yield 80%; mp 140-142 °C; IR (KBr) cm<sup>-1</sup>: 3239 (N-H), 3024 (C-H, Ar-H), 1642 (C=N), 1568 (C=C, Ar), 1550 (N-O); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>16</sub>H<sub>12</sub>N<sub>4</sub>O<sub>2</sub>: 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) cm<sup>-1</sup>: 3240 (N-H), 3028 (C-H, Ar-H), 1654 (C=N), 1595 (C=C, Ar); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>16</sub>H<sub>11</sub>N<sub>3</sub>: 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) cm<sup>-1</sup>: 3258 (N-H), 3032 (C-H, Ar-H), 2962 (C-H, CH<sub>3</sub>), 1664 (C=N), 1565 (C=C, Ar); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>17</sub>H<sub>13</sub>N<sub>3</sub>: C-78.74, H-5.05, N-16.20. Found: C-77.45, H-5.00, N-16.02.

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

White solid; yield 79%; mp 141-143 °C; IR (KBr) cm<sup>-1</sup>: 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); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 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), 7.78 (1H, d, J = 6.8 Hz, Ar-H), 11.08 (1H, s, NH); MS *m*/*z*: 275 (M<sup>+</sup>); Elemental analysis calculated for C<sub>17</sub>H<sub>13</sub>N<sub>3</sub>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)-1*H*-imidazo-[4,5-f]-quinoline (4d)

White solid; yield 77%; mp 120-122 °C; IR (KBr) cm<sup>-1</sup>: 3256 (N-H), 3042 (C-H, Ar-H), 1665 (C=N), 1548 (C=C, Ar); <sup>1</sup>H-NMR (CDCl<sub>3</sub>)  $\delta$ : 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), 7.45 (2H, d, *J* = 7.4 Hz, Ar-H), 7.84 (1H, d, *J* = 7.0 Hz, Ar-H), 11.10 (1H, s, NH); MS *m*/*z*: 279 (M<sup>+</sup>); Elemental analysis calculated for C<sub>16</sub>H<sub>10</sub>ClN<sub>3</sub>: 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)-1*H*-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) cm<sup>-1</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>):  $\delta$  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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>16</sub>H<sub>10</sub>BrN<sub>3</sub>: 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) cm<sup>-1</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>):  $\delta$  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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>16</sub>H<sub>10</sub>N<sub>4</sub>O<sub>2</sub>: 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-1*H*-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) cm<sup>-1</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>):  $\delta$  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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>17</sub>H<sub>13</sub>N<sub>3</sub>: C-78.74, H-5.05, N-16.20. Found: C-77.98, H-5.04, N-16.02.

## 1-Methyl-2-*p*-tolyl-1*H*-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) cm<sup>-1</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>):  $\delta$  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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>18</sub>H<sub>15</sub>N<sub>3</sub>: 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-1*H*-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) cm<sup>-1</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>):  $\delta$  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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>18</sub>H<sub>15</sub>N<sub>3</sub>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-1*H*-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) cm<sup>-1</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>):  $\delta$  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 (M<sup>+</sup>); Elemental analysis calculated for C<sub>17</sub>H<sub>12</sub>ClN<sub>3</sub>: 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-1*H*-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>):  $\delta$  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-1*H*-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>):  $\delta$  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), 7.49 (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.

#### **SUMMERY**

In conclusion, a new series of 1-methyl-2-phenyl-1*H*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.

#### REFERENCES

- 1. Barnard EA, Stein WD, Adv. Enzym., 1958; 20:51.
- 2. Boiani M, Gomzalez M, Med. Chem., 2005, 5:409.
- Lagoja IM, Pannecouque C, Van Aerschot A, Witvrouw M, Debyser Z, Balzarini J, Herdewijn P, De Clercq E, J. Med. Chem., 2003; 46:1546.
- 4. Nieto I, Cervantes-Lee F, Smith JM, Chem. Commun., 2005, 3811.
- 5. Herrmann WA, Angew. Chem. Int. Ed., 2002; 41:1290.
- Cesar V, Bellemin-Laponnaz S, Gade LH, Chem. Soc. Rev., 2004; 33:619.
- 7. Brogden RN, Heel RC, Speight TM, Avery GS, *Drugs*, 1978; 16:387.
- Santo RD, Tafi A, Costi R, Botta M, Artico M, Corelli F, Forte M, Caporuscio F, Angiolella L, Palamara AT, J. Med. Chem., 2005; 48:5140.
- 9. Brimblecombe RW, Duncan WAM, Durant GJ, Emmett JC, Ganellin CR, Parsons ME, J. Int. Med. Res., 1975; 3: 86.

- Kanyiva KS, Lobermann F, Nakao Y, Hiyama T, Tetrahedron Lett., 2009; 50:3463.
- 11. Ramesh RD, Manian RS, Raghunathan R, Sainath S, Raghunathan M, *Bioorg. Med. Chem.*, 2009; 17: 660.
- 12. Kaur K, Jain M, Kaur T, Jain R, Bioorg. Med. Chem., 2009; 17: 3229.
- Behforouz M, Cai W, Mohammadi F, Stocksdale MG, Gu Z, Ahmadian M, Baty DE, Etling MR, Al-Anzi CH, Swiftney TM, Tanzer LR, Merriman RL, Behforouz NC, *Bioorg. Med. Chem.*, 2007; 15:495.
- 14. Abas F, Lajis NH, Israf DA, Khozirah S, Umi Kalsom Y, *Food Chemistry*, 2006; 95:566.
- Rocha LG, Almeida JRGS, Macedo RO, Barbosa-Filho JM, Phytomedicine, 2005; 12:514.
- Reen-Yen K, Fang-Rong C, Chung-Yi C, Che-Ming T, Hsin-Fu Y, Yang Chang W, *Phytochemistry*, 2001; 57:421.
- 17. Jain SR, Kar A, Planta. Med., 1971; 20:118.

#### 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