### A Green Approach for the One-Pot, Three-Component Synthesis of 2-Arylpyrroloacridin-1(2*H*)-Ones using Lactic Acid as a Bio-based Catalyst under Solvent-Free Conditions

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A facile and benign synthetic strategy is proposed for the synthesis of 2-arylpyrroloacridin-1(2H)ones via a lactic acid-catalyzed three-component reaction of dimedone, various anilines, and isatins under solvent-free conditions. Avoidance of hazardous organic solvents, the use of a one-pot multicomponent procedure for the synthesis of 2-arylpyrroloacridin-1(2H)-ones, operational simplicity, no need for column chromatography, lactic acid utilization as a bio-based organic compound, reusability, homogeneity, and commercial availability of the catalyst, and superior synthetic performance are some important aspects of this methodology to access a series of pyrroloacridine motifs with potentially biological scaffolds.

Keywords: Pyrroloacridine; One-pot synthesis; Three-component reaction; Lactic acid.

#### **INTRODUCTION**

Nitrogen heterocyclic compounds, especially with the core-acridine skeleton, are very promising and noteworthy targets because of their remarkable array of bioactivity profiles such as anti-helmintic<sup>1</sup> and antitumor activities.<sup>2</sup> Acridnes are also biologically interesting compounds with antibacterial<sup>3</sup> and antifungal<sup>4</sup> properties.

In this regard, pyrroloacridine derivatives have shown notable therapeutic and pharmacological properties. Ascididemin and neoamphimedine (Figure 1), which are both extracted from ascidians and sponges, exhibit potent cytotoxic activities against tumor cells via intercalation into their DNA.<sup>5</sup> These properties have made these compounds attractive targets for developing new anticancer drugs. Acridine alkaloids such as plakinidines A, B, and C (Figure 1) exist in nature, of which the first two have demonstrated in vitro activity against *Nippostrongylus brastiliensis*. Also, plakinidine C has shown activity against reverse transcriptase.<sup>1,6</sup>

Acridines have been synthesized using several methods, of which many have utilized the Haunzch reaction of dimedone, aldehyde, and aniline or ammonium acetate.<sup>7–11</sup> Some of the pyrroloacridines were produced by the reaction between isatins and enaminones, for example, with refluxing in toluene in the presence of Lproline as catalyst,<sup>12</sup> using CAN in EtOH under ultrasound irradiation,<sup>13</sup> using silica sulfuric acid (SSA) as catalyst under microwave irradiation at 110°C,<sup>14</sup> and with refluxing in AcOH under O<sub>2</sub> and catalyzed by I<sub>2</sub>.<sup>15</sup> These reactions suffer from certain drawbacks, including hard reaction conditions and the use of volatile solvents. Several methods have been reported for the threecomponent synthesis of 2-arylpyrroloacridin-1(2H)-ones from coupling dimedone, anilines, and isatins in the presence of various catalysts including the ionic liquid [HMIm]HSO4,<sup>16</sup> Ag NPs/rGO composite,<sup>17</sup> SMSNP-CA,<sup>18</sup> tris(hydrogensulfato) boron [B(HSO<sub>4</sub>)<sub>3</sub>],<sup>19</sup> and Fe<sub>3</sub>O<sub>4</sub>@silica sulfonic acid nanocomposite.<sup>20</sup>

Owing to the vast application potential of pyrroloacridines in the synthesis of bioactive compounds, serious efforts are still needed for their synthesis using novel methodologies.

Nowadays, the utilization of the 12 principles of green chemistry in chemical syntheses is a challenging topic. To address the fifth principle, the use of any

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Fig. 1. Bioactive and natural products containing the acridine skeleton.

solvent should be suitable for organic reactions.<sup>21</sup> On the other hand, solvent-free reactions present definite benefits such as simplicity of work-up, decrease in reaction time, and increase in yield.<sup>22</sup> In addition, to accomplish green syntheses, multicomponent reactions (MCRs) have gained prominent attention as a pivotal tool for preparing libraries of diverse drug-like heterocyclic compounds because of atom and step economy, simple execution, excellent yields, and the minimum number of work-up, extraction, and purification steps, thereby eliminating the need for isolation of the intermediates and reduced waste generation.<sup>23–26</sup>

In recent years, the development of new catalytic methods based on using organocatalysis or small metalfree organic molecules has blossomed in organic chemistry, especially in the field of medicinal chemistry, as fundamental tools in the synthesis of complex molecular motifs. Compared to organometallic catalysts, enzymes or other bioorganic analogs have definite advantages such as insensitivity to oxygen and moisture in the atmosphere, extremely high enantioselectivities, increased safety of catalysis due to the nontoxic and environmentally friendly properties that lead to savings in cost, time, and energy, simplicity of the procedure, and decrease in chemical waste.<sup>27,28</sup>

As a part of our focused endeavors toward the development of greener catalytic systems for important organic conversions,<sup>29-33</sup> here we report a new and efficient three-component strategy for the rapid synthesis of 2-arylpyrroloacridin-1(2H)-ones by coupling dimedone 2, diverse anilines 1, and isatins 3 in the presence of lactic acid as a catalyst in an environmentally benign manner. Lactic acid, as a bio-based organocatalyst, plays a fundamental role in different biochemical processes. It is prepared commercially by the fermentation of carbohydrates. Lactic acid is used in the pharmaceutical industry (intravenous fluids), cosmetics (to adjust acidity), and detergent industry (as a descaler and registered antibacterial agent). It is used as a food additive in food preservatives, curing agent, and flavoring agent.<sup>34–36</sup> Therefore, lactic acid is an important and

Table 1. Optimization of the reaction condition in the presence of different catalysts



Entry	Condition	Temperature (°C)	Time (h)	Isolated yield (%)
1	$Al(H_2PO_4)_3$ (0.1 g)	70	5.0	48
2	ZnO (20 mol %)	80	6.0	28
3	ZnO NPs/EtOH	70	6.0	32
4	$TiO_2$ (20 mol %)	80	7.0	20
5	La (NO <sub>3</sub> ) <sub>3</sub> .6H <sub>2</sub> O (20 mol %)	80	6.5	25
6	CAN/EtOH	70	8.0	21
7	KHSO <sub>4</sub> (20 mol %)	80	7.0	26
8	<i>p</i> -TSA (20 mol %)	80	5.5	50
9	Benzoic acid (20 mol %)	80	3.0	59
10	AcOH (0.1 mL)	70	2.0	69
11	Lactic acid (20 mol %)/EtOH	70	1.5	63
12	Lactic acid (35 mol %)	70	1.5	82

green catalyst because it is nontoxic, commercially available, high-yielding, and inexpensive.

#### **RESULTS AND DISCUSSION**

For monitoring the optimal reaction conditions, a trial reaction as a model was carried out using dimedone, 4-chloroaniline, and isatin. Initially, the reaction was carried out at under different conditions (Table 1). As can be seen from the table, acetic acid and lactic acid gave higher yields than the other catalysts for this reaction. Lactic acid was, however, found to be even better than acetic acid not only from the viewpoint of having better yield but also for using a small amount of the material. Compared to acetic acid, its pKa is one unit smaller and the acid strength is slightly higher. Therefore, the separation of its proton is as comfortable as with acetic acid and the reaction is carried out in the presence of low concentrations of the COOH group. Next, a test reaction was accomplished in the absence of the catalyst at 80°C. In this condition, the desired product was not formed even after 24 h (Table 2, entry 1). In order to achieve the optimal conditions, the reaction was performed using various quantities of the catalyst at 80°C (Table 2). The best result was obtained with 35 mol% of lactic acid (Table 2, entry 3).

Consequently, the optimal conditions for the best results were found to be when the reaction was performed at  $80^{\circ}$ C in the presence of lactic acid (35 mol



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Scheme 1. Lactic acid-catalyzed one-pot synthesis of 2-arylpyrroloacridin-1(2*H*)-ones.

%), with the relative ratio of the substrate dimedone/4chloroaniline/isatin of 1.0 mmol :1.0 mmol: 1.0 mmol. As a result, the reaction between dimedone, 4-chloroaniline, and isatin was carried out under the above optimized condition.

For further evaluation of the scope and limitation of this strategy, a variety of functionalized anilines (1) were reacted with dimedone (2) and isatin or 5bromoisatin (3) under the optimized reaction conditions (Scheme 1). The results are summarized in Table 3. As expected, aromatic aldehydes containing electrondonating and/or electron-withdrawing groups were applied in the presence of lactic acid to form the corresponding 2-arylpyrroloacridin-1(2*H*)-ones in good to high yields (4a–4m).

In order to highlight the unique features of our procedure, we have compared our results from the synthesis of 2-arylpyrroloacridin-1(2H)-ones, catalyzed by lactic acid, with those of other reported methods in the

Table 2. Effect of the catalyst level and temperature on the reaction between dimedone, 4-chloroaniline, and isatin



Entry	Temperature (°C)	Catalyst (mol %)	Time (min)	Isolated yield (%)
1	80	_	24 (h)	_
2	80	25	30	75
3	80	35	30	91
4	80	45	30	90
5	80	50	30	89
6	70	35	90	82
7	60	35	24 (h)	67
8	r.t.	35	24 (h)	Trace

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literature,<sup>[12]</sup> as shown in Table 4. Pyrroloacridine derivatives have extensively been used in the synthesis of drugs and pharmaceuticals, so the elimination of residual metal species plays a pivotal role when a metal-containing catalyst is applied. So, some of the advantages of this method, when compared to existing methods, are the use of lactic acid as an efficient, nontoxic, inexpensive organocatalyst, reusability and commercial availability of the catalyst, avoidance of the use of any

solvent (solvent free conditions), and no hard conditions.

The melting points as well as the IR and NMR spectra all of known products clearly indicated the formation of desirable compounds. The structures of the products were confirmed through comparison with those reported in the literature. The structures of new products **4I** and **4m** were deduced from IR, <sup>1</sup>H-NMR, and <sup>13</sup>C-NMR spectroscopic methods. For example,

 Table 4. Comparison of the results obtained from the synthesis of 2-arylpyrroloacridin-1(2H)-ones using the reaction between dimedone, 4-chloroaniline, and isatin in the presence of lactic acid with those obtained via other catalysts

Catalyst	Reaction condition	Time (min)	Yield (%)	Ref.
[HMIm]HSO <sub>4</sub>	80°C	35	88	16
Ag NPs/rGO	EtOH/MW	2	90	17
SMSNP-CA	Reflux in EtOH	6 h	91	18
$[B(HSO_4)_3]$	Reflux in EtOH	7	92	19
Fe <sub>3</sub> O <sub>4</sub> @SiO <sub>2</sub> -SO <sub>3</sub> H NPs	$80^{\circ}C$	14	88	20
Lactic acid	80°C/solvent-free	30	91	This work

the <sup>1</sup>H NMR spectrum of the new compound **4I** (Figure 2) showed one sharp singlet at 1.31 ppm, which is related to the protons of the two methyl groups. A singlet was observed at 3.19 ppm, which was related to the methylene protons. The peak due to the vinyl proton appeared at 5.61 ppm as a singlet. The chemical shift values and coupling constants of aromatic protons have shown the presence of seven protons related to aromatic protons. The <sup>13</sup>C NMR spectrum of compound **4I** exhibited 21 signals, in agreement with the suggested motifs. The IR spectrum of compound **4I** showed absorption bands at 1700 cm<sup>-1</sup> for the carbonyl groups and at 1655 cm<sup>-1</sup> related to the C=N group in the cyclic system.

A tentative mechanism for the synthesis of 2-arylpyrroloacridin-1(2*H*)-ones is depicted in Scheme 2, which is in agreement with those in the literature.<sup>11</sup> At first, enaminone is formed via the condensation of dimedone and anilines, and subsequent addition of isatins generates the intermediate **A**. Next, intermediate **A** affords intermediate **B** with the elimination of one H<sub>2</sub>O molecule. Finally, intermediate **B** undergoes subsequent stages intramolecular cyclization/ring-opening/ recyclization to give the desired product (**4a–m**).

As shown in Figure 1, the reusability of lactic acid was examined in the synthesis of 2-arylpyrroloacridin-1 (2H)-one **4d** as an example. It was observed that the yield of product gets reduced slightly in the fourth and fifth runs.

#### CONCLUSIONS

In summary, with the aim of achieving green synthesis, we have developed a one-pot, three-component, and ecofriendly route to functionalize 2-arylpyrroloacridin-1(2H)-ones from the reaction of dimedone, various anilines, and isatins in the presence of lactic acid as a



Fig. 2. NMR data of compound 4l.



Scheme 2. Proposed mechanism for the synthesis of 2-arylpyrroloacridin-1(2*H*)-ones using lactic acid.

bio-based compound, which is a benign and commercially available catalyst, under solvent-free conditions. The unique aspects of this protocol are the simplicity of operation, avoidance of the use of any hazardous organic solvent, and the generation of the desired product in high yields without any troublesome byproducts, in addition to the ease of work-up and purification.

### EXPERIMENTAL

#### General

Melting points and IR spectra of all compounds were determined by an Electrothermal 9100 apparatus and a JASCO-460 plus FT-IR spectrometer, respectively. The <sup>1</sup>H and <sup>13</sup>C NMR spectra of the compounds were recorded on a Bruker DRX-400 Avance instrument in DMSO at 300 MHz. All chemicals were obtained from Merck (Darmastadt, Germany) and Fluka (Buchs, Switzerland) and used without further purification.



### General procedure for the preparation of 2arylpyrroloacridin-1(2*H*)-ones

A mixture of dimedone (1.0 mmol), anilines (1.0 mmol), and isatins (1.0 mmol) in the presence of lactic acid (35 mol %) was stirred at 80°C under solvent-free conditions in an oil bath for 20–45 min. The completion of the reaction was monitored through thin-layer chromatography (TLC). After cooling the reaction mixture to room temperature, water was added and the catalyst, and the solution was filtered to separate the desired product. After washing with EtOH (5 mL), and the product was separated. Finally, for high purification, the solid product was recrystallized from ethanol to give the products 4a-m. The solvent of the aqueous layer was evaporated to obtain pure lactic acid. The spectra of compounds have been reported in supporting information.

#### Characterization data of selected compound

#### 4,4-Dimethyl-2-phenyl-4,5-dihydropyrrolo[2,3,4-kl]acridin-1

(2*H*)-one (4a). Yellow powder; M.p.:  $189-191^{\circ}$ C (Lit.:  $191-193^{\circ}$ C)<sup>17</sup>; IR (KBr, cm<sup>-1</sup>): 3060, 2956, 1696, 1496, 1647, 1496, 1345, 1136, 777., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.35 (6H, s, 2CH<sub>3</sub>), 3.24 (2H, s, CH<sub>2</sub>), 5.65 (1H, s, CH), 7.41-7.45 (1H, m, ArH), 7.52-7.60 (4H, m, ArH), 7.68 (1H, t, *J* = 7.5 Hz, ArH), 7.78 (1H, dt, *J* = 7.6 Hz, *J* = 1.5 Hz, ArH), 8.19 (1H, d, *J* = 8.0 Hz, ArH), 8.75 (1H, dd, *J* = 8.0 Hz, *J* = 1.2 Hz, ArH).

**4,4-Dimethyl-2**-*p*-tolyl-4,5-dihydro-2*H*-pyrrolo[2,3,4-*k*]acridin-**1-one (4b).** Yellow powder; M.p.: 214–217°C (Lit.: 218–220°C)<sup>17</sup>; IR (KBr, cm<sup>-1</sup>): 3036, 2958, 1697, 1648, 1515, 1346, 1135, 820, 776., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.35 (6H, s, CH<sub>3</sub>), 2.46 (3H, s, CH<sub>3</sub>), 3.23 (2H, s, CH<sub>2</sub>), 5.62 (1H, s, CH), 7.37 (4H, q, J = 8.4 Hz, ArH), 7.67 (1H, t, J = 6.9 Hz, ArH), 7.78 (1H, t, J = 7.0 Hz, J = 1.5 Hz, ArH), 8.19 (1H, d, J = 8.4 Hz, J = 1.5 Hz, ArH), 8.75 (1H, d, J = 7.2 Hz, ArH).

**2-(4-Methoxyphenyl)-4,4-dimethyl-4,5-dihydropyrrolo[2,3,4-***kI*] **acridin-1(2***H***)-one (4c). Yellow powder; M.p.: 186–188°C (Lit.: 185–187°C)<sup>18</sup>; IR (KBr, cm<sup>-1</sup>): 3053, 2954, 1712, 1651, 1514, 1348, 1257, 1117, 829, 777., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.35 (6H, s, 2CH<sub>3</sub>), 3.23 (2H, s, CH<sub>2</sub>), 3.90 (3H, s, OCH<sub>3</sub>), 5.58 (1H, s, CH), 7.08 (2H, d, J = 8.9 Hz, ArH), 7.43 (2H, d, J = 9.0 Hz, ArH), 7.68 (1H, t, J = 7.5 Hz, ArH), 7.78**  Fatahpour et al.

(1H, dt, J = 7.6 Hz, J = 1.5 Hz, ArH), 8.19 (1H, d, J = 8.0 Hz, ArH), 8.75 (1H, dd, J = 8.0 Hz, J = 1.2 Hz, ArH).

#### 2-(4-Chlorophenyl)-4,5-dihydro-4,4-dimethylpyrrolo[2,3,4-kl]

acridin-1(2*H*)-one (4d). Yellow powder; M.p.: 184–187°C (Lit.: 189–190°C)<sup>16</sup>; IR (KBr, cm<sup>-1</sup>): 3046, 2966, 1703, 1649, 1494, 1345, 1090, 824, 774, 506., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.31 (6H, s, 2CH<sub>3</sub>), 3.19 (2H, s, CH<sub>2</sub>), 5.56 (1H, s, CH), 7.46 (4H, q, J = 7.8 Hz, ArH), 7.64 (1H, dt, J = 7.5 Hz, ArH), 7.74 (1H, dt, J = 7.8 Hz, J = 1.2 Hz, ArH), 8.15 (1H, d, J = 8.1 Hz, ArH), 8.62 (1H, dd, J = 7.9 Hz, J = 1.2 Hz, ArH).

**2-(4-Bromophenyl)-4,4-dimethyl-4,5-dihydro-2***H***-pyrrolo[2,3,4***kI***]acridin-1-one (4e). Yellow powder; M.p.: 195–198°C (Lit.: 191–192°C)<sup>19</sup>; IR (KBr, cm<sup>-1</sup>): 3035, 2964, 1703, 1649, 1492, 1345, 1075, 822, 774, 501., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.36 (6H, s, 2CH<sub>3</sub>), 3.24 (2H, s, CH<sub>2</sub>), 5.64 (1H, s, CH), 7.43 (2H, d, J = 8.7 Hz, ArH), 7.67–7.72 (3H, m, ArH), 7.79 (1H, dt, J = 7.2 Hz, J = 1.5 Hz, ArH), 8.20 (1H, d, J = 8.4 Hz, ArH), 8.73 (1H, dd, J = 8.1 Hz, J = 1.2 Hz, ArH).** 

#### 2-(4-Fluorophenyl)-4,4-dimethyl-4,5-dihydro-2*H*-pyrrolo[2,3,4-

*kl*<sub>J</sub>acridin-1-one (4f). Yellow powder; M.p.: 195–197°C (Lit.: 190–191°C)<sup>20</sup>; IR (KBr, cm<sup>-1</sup>): 3069, 2958, 1700, 1638, 1511, 1224, 842, 774., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.36 (6H, s, 2CH<sub>3</sub>), 3.24 (2H, s, CH<sub>2</sub>), 5.60 (1H, s, CH), 7.26 (2H, t, J = 8.1 Hz, ArH), 7.48–7.53 (2H, m, ArH), 7.69 (1H, d, J = 7.6 Hz, ArH), 7.79 (1H, dt, J = 7.0 Hz, J = 1.5 Hz, ArH), 7.79 (1H, dt, J = 7.0 Hz, J = 1.5 Hz, ArH), 7.79 (1H, dt, J = 7.0 Hz, J = 1.2 Hz, ArH).

**4,4-Dimethyl-2-(4-nitrophenyl)-4,5-dihydropyrrolo**[**2,3,4-***k***/**]acridin-1(2*H*)-one (4g). Yellow powder; M.p.: 176–179°C (Lit.: 175–177°C)<sup>19</sup>; IR (KBr, cm<sup>-1</sup>): 3071, 2961, 1708, 1652, 1524, 1344, 1081, 838, 774., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.38 (6H, s, 2CH<sub>3</sub>), 3.26 (2H, s, CH<sub>2</sub>), 5.78 (1H, s, CH), 7.43 (1H, t, J = 7.2 Hz, ArH), 7.78–7.83 (3H, m, ArH), 8.21 (1H, d, J = 8.4 Hz, ArH), 8.44 (2H, d, J = 9 Hz, ArH), 8.71 (1H, d, J = 7.3 Hz, ArH).

2-(2-Methyl-4-nitrophenyl)-4,4-dimethyl-4,5-dihydro-2H-pyr-

rolo[2,3,4-*kl*]acridin-1-one (4h). Yellow powder; M.p.: 182–185°C (Lit.: 185–186°C)<sup>16</sup>; IR (KBr, cm<sup>-1</sup>): 3065, 2960, 1714, 1650, 1465, 1349, 1142, 771., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.35 (3H, s, CH<sub>3</sub>), 1.36 (3H,

#### 9-Bromo-2-(4-chlorophenyl)-4,4-dimethyl-4,5-dihydropyrrolo

[2,3,4-*k*/]acridin-1(2*H*)-one (4i). Yellow powder; M.p.: 214–217°C (Lit.: 219–221°C)<sup>16</sup>; IR (KBr, cm<sup>-1</sup>): 3043, 2959, 1699, 1645, 1505, 1365, 1091, 826, 499., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.35 (6H, s, 2CH<sub>3</sub>), 3.21 (2H, s, CH<sub>2</sub>), 5.67 (1H, s, CH), 7.45–7.55 (4H, m, ArH), 7.83 (1H, d, J = 8.4 Hz, ArH), 8.03 (1H, d, J = 8.9 Hz, ArH), 8.85 (1H, s, ArH).

#### 9-Bromo-2-(4-bromophenyl)-4,4-dimethyl-4,5-dihydropyrrolo

[2,3,4-*k1*]acridin-1(2*H*)-one (4j). Yellow powder; M.p.: 184–186°C (Lit.: 184–186°C)<sup>12</sup>; IR (KBr, cm<sup>-1</sup>): 3067, 2957, 1699, 1645, 1505, 1364, 825, 498., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.36 (6H, s, 2CH<sub>3</sub>), 3.21 (2H, s, CH<sub>2</sub>), 5.68 (1H, s, CH), 7.41 (2H, d, J = 8.4 Hz, ArH), 7.69 (2H, d, J = 8.7 Hz, ArH), 7.84 (1H, dd, J = 9.0 Hz, J = 2.1 Hz, ArH), 8.03 (1H, d, J = 9.0 Hz, ArH), 8.86 (1H, s, ArH).

#### 9-Bromo-4,4-dimethyl-2-(p-tolyl)-4,5-dihydropyrrolo[2,3,4-kl]

acridin-1(2*H*)-one (4k). Yellow powder; M.p.: 199–202°C (Lit.: 204–206°C)<sup>16</sup>; IR (KBr, cm<sup>-1</sup>): 3039, 2959, 1701, 1645, 1515, 1365, 828, 498., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.34 (6H, s, 2CH<sub>3</sub>), 2.46 (3H, s, CH<sub>3</sub>), 3.20 (2H, s, CH<sub>2</sub>), 5.65 (1H, s, CH), 7.38 (4H, s, ArH), 7.83 (1H, dd, J = 8.4 Hz, J = 1.5 Hz, ArH), 8.03 (1H, d, J = 8.9 Hz, ArH), 8.89 (1H, s, ArH).

#### 2-(3,4-Dichlorophenyl)-4,5-dihydro-4,4-dimethylpyrrolo[2,3,4-

*kl*|acridin-1(2*H*)-one (4l). Yellow powder; M.p.: 188–191°C (This work); IR (KBr, cm<sup>-1</sup>): 3047, 2961, 1703, 1650, 1479, 1227, 1088, 825, 776., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.31 (6H, s, CH<sub>3</sub>), 3.19 (2H, s, CH<sub>2</sub>), 5.61 (1H, s, CH), 7.36 (1H, dd, J = 8.7 Hz, J = 2.4 Hz, ArH), 7.57 (1H, d, J = 8.7 Hz, ArH), 7.60–7.67 (2H, m, ArH), 7.75 (1H, dt, J = 6.9 Hz, J = 1.5 Hz, ArH), 8.15 (1H, d, J = 8.4 Hz, ArH), 8.66 (1H, dd, J = 8.1 Hz, J = 1.2 Hz, ArH), <sup>13</sup>C NMR (75.65 MHz, CDCl<sub>3</sub>): δ 30.8, 37.1, 44.0, 118.5, 122.3,124.1, 124.5, 125.4, 126.3, 127.9, 128.0, 129.5,129.7 ,131.0, 131.4, 132.7, 133.3, 134.1, 149.7, 154.4, 166.3; Anal. calcd for  $C_{22}H_{16}C_{12}N_2O$ : C, 66.85; H, 4.08; N, 7.09; Found: C, 67.13; H, 4.21; N, 7.26.

#### 2-(2-Cyanophenyl)-4,5-dihydro-4,4-dimethylpyrrolo[2,3,4-kl]

Brown powder; acridin-1(2*H*)-one (4m). M.p.: 244–246°C (This work); IR (KBr, cm<sup>-1</sup>): 3037, 2968, 2227, 1715, 1658, 1494, 1348, 1137, 841, 771., <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): 1.30 (3H, s, CH<sub>3</sub>), 1.34 (3H, s, CH<sub>3</sub>), 3.20 (1H, s, CH<sub>2</sub>), 3.22 (1H, s, CH<sub>2</sub>), 5.42 (1H, s, CH), 7.55 (2H, t, J = 7.3 Hz, ArH), 7.59 (1H, t, J = 7.2 Hz, ArH), 7.76 (2H, q, J = 7.5 Hz, ArH), 7.85 (1H, d, J = 7.5 Hz, ArH), 8.16 (1H, d, J = 8.4 Hz, ArH), 8.67 (1H, d, J = 8.1 Hz, ArH). <sup>13</sup>C NMR (75.65 MHz, CDCl<sub>3</sub>): δ 30.8, 37.2, 44.1, 112.6, 116.1, 118.4, 122.4, 124.2, 124.5, 126.9, 128.0, 128.8, 129.4, 129.5, 129.7, 132.6, 133.9, 134.1, 137.3, 149.7, 154.5, 166.3; Anal. calcd for C<sub>23</sub>H<sub>17</sub>N<sub>3</sub>O: C, 78.61; H, 4.88: N. 11.96: Found: C. 78.99: H. 5.03: N. 12.19.

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#### Supporting information

Additional supporting information is available in the online version of this article.

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