Facile Three-component One-pot Synthesis of Indeno-[1,2-*b*]quinolin-9,11(6*H*,10*H*)-dione Derivatives

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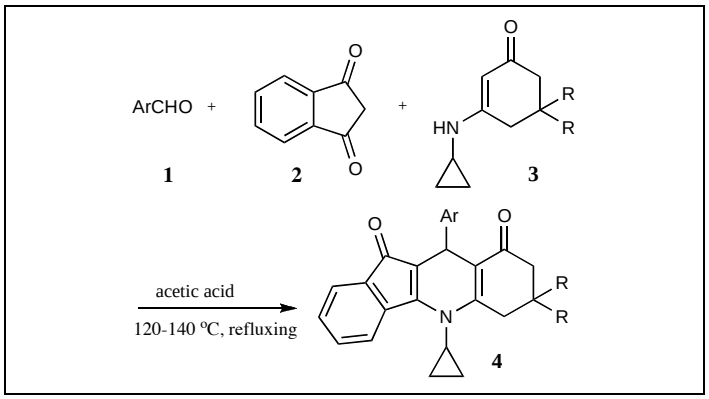

One-pot three-component cyclocondensation of aldehydes, 1,3-indanedione and enaminones proceeds in the presence of acetic acid to afford Indeno[1,2-*b*]quinolin-9,11(6*H*,10*H*)-dione derivatives, The method has the advantage of excellent yields(85-94%) and simple workup procedure.

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INTRODUCTION

Indenoquinoline derivatives have shown a diverse range of biological properties such as 5-HT-receptor binding [1] and anti-inflammatory activities [2]. They have also acted as antitumor agents [3,4], steroid reductase inhibitors [5], acetylcholinesterase inhibitors [6], antimalarials [7], and new potential topo I/II inhibitors [8]. Because of these biological activities they exhibit, these compounds have distinguished themselves as heterocycles of profound chemical and biological significance. Thus the synthesis of these molecules has attracted considerable attention [9,11]. Stankevich [12,13] *et al.* have reported the synthesis of indeno[1,2-*b*]quinolin-9,11(6*H*,10*H*)-dione derivatives *via* two-component reaction. However, the introduction of a cyclopropyl group on the nitrogen atom of indeno[1,2-*b*]quinoline skeleton is seldom investigated. In this paper, we would like to report a highly efficient method for the one-pot three-component synthesis of a series of indeno[1,2-*b*]quinolin-9,11(6*H*,10*H*)-dione derivatives with aldehydes, 1,3-indanedione and enaminones in actetic acid (Scheme 1). The initial results are summarized in Table 1.

RESULTS AND DISCUSSION

The procedure is easy to operate and the workup procedure is just simple filtrations. At the beginning, we made a search for the aromatic aldehydes substrate scope with 1,3-indanedione and enaminones **3a** as model substrates (Table 1, entries1-11), and the results indicated that aromatic aldehydes bearing functional groups such as nitro, bromo, chloro or methoxy, methy were able to affect the synthesis of compounds **4**. We have also observed delicate electronic effects: that is, aromatic aldehydes with electron-withdrawing groups (Table 1, entries 1-6) reacted rapidly, while substitution of electron-rich groups (Table 1, entries 8-11) on the benzene ring decreased the reactivity,

Scheme 1

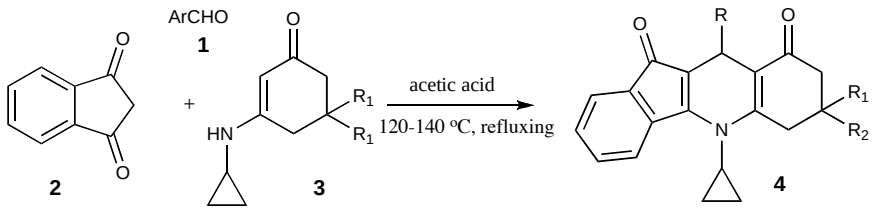


Table 1

Synthesis of Compounds **4**

entry	Compd	**Ar**	**3**	R	Time hours	Temp. (ºC)	Yield %	Mp (ºC)
1	**4a**	4-$NO_2C_6H_4$	**3a**	CH_3	2.0	120	94	268-270
2	**4b**	3-$NO_2C_6H_4$	**3a**	CH_3	2.0	120	94	258-260
3	**4c**	4-OH-3-$NO_2C_6H_3$	**3a**	CH_3	2.0	120	92	245-247
4	**4d**	4-FC_6H_4	**3a**	CH_3	2.0	120	93	264-266
5	**4e**	4-ClC_6H_4	**3a**	CH_3	2.0	120	92	295-297
6	**4f**	4-BrC_6H_4	**3a**	CH_3	2.0	120	91	297-298
7	**4g**	C_6H_5	**3a**	CH_3	2.5	130	90	275-276
8	**4h**	4-$CH_3C_6H_4$	**3a**	CH_3	3.0	140	88	286-288
9	**4i**	3,4(OCH_2O)C_6H_3	**3a**	CH_3	3.5	140	82	248-250
10	**4j**	4-$CH_3OC_6H_4$	**3a**	CH_3	2.5	140	86	220-222
11	**4k**	3,4-$(CH_3O)_2C_6H_3$	**3a**	CH_3	3.5	140	85	256-258
12	**4l**	3-$NO_2C_6H_4$	**3b**	H	2.0	120	92	256-258
13	**4m**	4-$NO_2C_6H_4$	**3b**	H	2.0	120	91	275-276
14	**4n**	4-OH-3-$NO_2C_6H_3$	**3b**	H	2.5	120	90	262-263
15	**4o**	4-FC_6H_4	**3b**	H	2.0	120	92	269-270
16	**4p**	4-$CH_3OC_6H_4$	**3b**	H	3.0	140	85	264-266
17	**4q**	4-$CH_3C_6H_4$	**3b**	H	2.5	140	87	268-270

requiring longer reaction times. In order to further expand the scope of the present method, the replacement of 3-(cyclopropylamino)-5,5-dimethylcyclohex-2-enone **3a** with 3-(cyclopropylamino)cyclohex-2-enone **3b** was examined. To our delight, under the same conditions, the reactions proceeded steadily to afford a series of new poly-substituted indeno[1,2-*b*]-quinolines in good yields (Table 1, entries 12-17).

The reaction may occur *via* condensation, addition, cyclization and elimination. The condensation between aldehydes **1** and 1,3-indanedione **2** gave 2-arylidene-indene-1,3-dione **5**, which further undergoes in situ Michael addition reaction with enaminones **3** to yield products **4** (Scheme 2).

In order to support the proposed mechanism, the compound **5** was prepared independently from p-bromo-benzaldehyde **1f**, 1,3-indanedione **2** and then employed in a two component reaction with enaminone **3** to afford product **4f** in 92% yield, which is similar to that of the above three-component method.

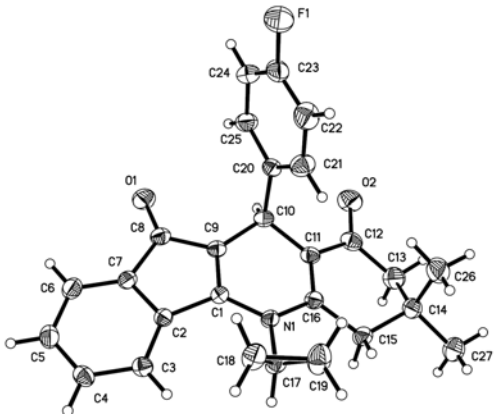
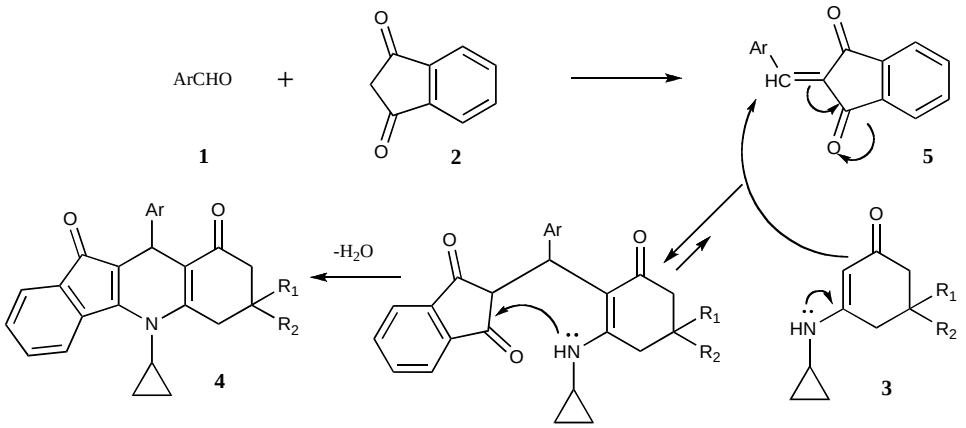


Figure 1. Molecular structure of **4d**

Scheme 2



The structures of all the synthesized compounds were established on the basis of their spectroscopic data. The IR spectrum of compound **4h** showed strong absorptions at 1686 and 1634 cm^{-1} due to C=O groups. The ^1H NMR spectrum of **4h** showed a singlet at δ 2.19 due to CH_3 (3H), and a singlet at δ 4.74 due to CH, and a singlet at δ 1.04 due to CH_3 (6H). Furthermore, the structure of **4d** (Figure 1) [14] were established by an X-ray.

In conclusion, we have disclosed a facile method that offers a simple and efficient route for the one-pot, three-component synthesis of highly functionalized indeno[1,2-*b*]quinolin derivatives of potential biological importance in good yields. Particularly valuable features of this method included the broad substrate scope, high yield, as well as simple workup procedure. Most importantly, the series of indeno[1,2-*b*]quinolin derivatives may prove to be biological interest and provide new classes of biological active compounds for biomedical screening.

EXPERIMENTAL

IR spectra were recorded on a TENSOR 27 spectrometer in KBr. ^1H NMR spectra were measured on a DPX 400 spectrometer operating at 400 MHz, using DMSO-d_6 as solvent and TMS as internal standard. Elemental analyses were determined by using a Perkin-Elmer 240c elemental analysis instrument. X-ray crystallographic analysis was performed with a Siemens SMART CCD and a Semens P4 diffractometer.

General Procedure for the synthesis of 5-cyclopropyl-10-aryl-7,7-dimethyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10 *H*)-diones (4a-4k) and 5-cyclopropyl-10-aryl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-diones (4l-4q). A solution of the appropriate aromatic aldehyde (1 mmol), 1,3-indanedione (1 mmol), enaminones (1 mmol) and actetic acid (5 mL) was introduced into a 25 mL round-bottom flask, heated in 120~140°C oil bath under reflux for 2-4 hour. The reaction mixture was cooled to room temperature, then poured into water (50 mL), filtered to give the crude product, which was further purified by recrystallization from 95%EtOH. All the products (**4a-4q**) were characterized by IR, ^1H NMR and elemental analysis.

5-Cyclopropyl-7,7-dimethyl-10-(4-nitrophenyl)-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4a). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1677, 1647 cm^{-1}; ^1H nmr: δ 8.10 (d, 2H, ArH, J = 8.4 Hz), 7.83 (d, 1H, ArH, J = 7.6 Hz), 7.46-7.48 (m, 2H, ArH), 7.36 (d, 2H, ArH, J = 8.4 Hz), 7.32 (d, 1H, ArH, J = 7.6 Hz), 4.62 (s, 1H, CH), 3.59-3.62 (m, 1H, CH), 3.12 (d, 1H, CH_2, J = 17.2 Hz), 2.75 (d, 1H, CH_2, J = 17.2 Hz), 2.27 (d, 1H, CH_2, J = 16.0 Hz), 2.20 (d, 1H, CH_2, J = 16.0 Hz), 1.26-1.32(m, 2H, CH_2), 1.05 (s, 6H, CH_3), 0.86-1.02 (m, 2H, CH_2). *Anal.* Calcd. for $C_{27}H_{24}N_2O_4$: C, 73.62; H, 5.49; N, 6.36. found C, 73.81; H, 5.43; N, 6.51.

5-Cyclopropyl-7,7-dimethyl-10-(3-nitrophenyl)-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4b). This compound was obtained according to above general procedure; ir (potassium bromide): C=O 1680, 1631 cm^{-1}; ^1H nmr: δ 7.99 (d, 1H, ArH, J = 7.6 Hz), 7.82-7.85 (m, 2H, ArH), 7.54-7.57 (m, 2H, ArH), 7.45-7.49 (m, 1H, ArH), 7.31-7.38 (m, 2H, ArH), 4.90 (s, 1H, CH), 3.62-3.64 (m, 1H, CH), 3.14 (d, 1H, CH_2, J = 17.2 Hz), 2.75 (d, 1H, CH_2, J = 17.2 Hz), 2.26 (d, 1H, CH_2, J = 16.0 Hz), 2.21 (d, 1H, CH_2, J = 16.0 Hz), 1.31-1.34 (m, 2H, CH_2), 1.07 (s, 3H, CH_3), 1.05 (s, 3H, CH_3), 0.99-1.02 (m, 1H, CH_2), 0.85-0.88 (m, 1H, CH_2). *Anal.* Calcd. for $C_{27}H_{24}N_2O_4$: C, 73.62; H, 5.49; N 6.36. found C, 73.80; H, 5.58; N, 6.27.

5-Cyclopropyl-10-(4-hydroxy-3-nitrophenyl)-7,7-dimethyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4c). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1676, 1647 cm^{-1}; ^1H nmr: δ 10.78 (s, 1H, OH), 7.80 (d, 1H, ArH, J = 7.6 Hz), 7.44-7.49 (m, 2H, ArH), 7.28-7.37 (m, 3H, ArH), 7.01 (d, 1H, ArH, J = 8.8 Hz), 4.74 (s, 1H, CH), 3.59-3.61 (m, 1H, CH), 3.11 (d, 1H, CH_2, J = 17.2 Hz), 2.72 (d, 1H, CH_2, J = 17.2 Hz), 2.26 (d, 1H, CH_2, J = 16.4 Hz), 2.23 (d, 1H, CH_2, J = 16.4 Hz), 1.29-1.32 (m, 2H, CH_2), 1.05 (s, 6H, CH_3), 1.96-0.99 (m, 1H, CH_2), 0.78-0.82 (m, 1H, CH_2). *Anal.* Calcd. for $C_{27}H_{24}N_2O_5$, C, 71.04; H, 5.30; N, 6.14. found C, 71.20; H, 5.21; N, 6.29.

5-Cyclopropyl-10-(4-fluorophenyl)-7,7-dimethyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4d). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1678, 1649 cm^{-1}; ^1H nmr: δ 7.79 (d, 1H, ArH, J = 7.2 Hz), 7.43-7.47 (m, 1H, ArH), 7.30-7.37 (m, 2H, ArH), 7.09-7.12 (m, 2H, ArH), 7.01-7.05 (m, 2H, ArH), 4.78 (s, 1H, CH), 3.57-3.59 (m, 1H, CH), 3.10 (d, 1H, CH_2, J = 17.2 Hz), 2.72 (d, 1H, CH_2, J = 17.2 Hz), 2.26 (d, 1H, CH_2, J = 16.0 Hz), 2.18 (d, 1H, CH_2, J = 16.0 Hz), 1.24-1.29 (m, 2H, CH_2), 1.04 (s, 6H, CH_3), 0.94-0.97 (m, 1H, CH_2), 0.79-0.82 (m, 1H, CH_2). *Anal.* Calcd. for $C_{27}H_{24}FNO_2$: C, 78.43; H, 5.85; N, 3.39. found C, 78.61; H, 5.79; N 3.27.

10-(4-Chlorophenyl)-5-cyclopropyl-7,7-dimethyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4e). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1684, 1645 cm^{-1}; ^1H nmr: δ 7.80 (d, 1H, ArH, J = 7.2 Hz), 7.43-7.47 (m, 1H, ArH), 7.41 (d, 2H, ArH, J = 8.4 Hz), 7.30-7.35 (m, 2H, ArH), 7.04 (d, 2H, ArH, J = 8.4 Hz), 4.76 (s, 1H, CH), 3.57-3.60 (m, 1H, CH), 3.10 (d, 1H, CH_2, J = 17.2 Hz), 2.72 (d, 1H, CH_2, J = 17.2 Hz), 2.26 (d, 1H, CH_2, J = 16.0 Hz), 2.19 (d, 1H, CH_2, J = 16.0 Hz), 1.28-1.30(m, 2H, CH_2), 1.05 (s, 6H, CH_3), 0.94-0.96 (m, 1H, CH_2), 0.79-0.83(m, 1H, CH_2). *Anal.* Calcd. for $C_{27}H_{24}ClNO_2$: C, 75.43; H, 5.63; N, 3.26. found C, 75.62; H, 5.58; N, 3.38.

10-(4-Bromophenyl)-5-cyclopropyl-7,7-dimethyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4f). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1684, 1650 cm^{-1}; ^1H nmr: δ 7.80 (d, 1H, ArH, J = 7.6 Hz) 7.43-7.47 (m, 1H, ArH), 7.41 (d, 2H, ArH, J = 8.4 Hz), 7.30-7.35 (m, 2H, ArH), 7.04 (d, 2H, ArH, J = 8.0 Hz), 4.76 (s, 1H, CH), 3.57-3.59 (m, 1H, CH), 3.10 (d, 1H, CH_2, J = 17.2 Hz), 2.72 (d, 1H, CH_2, J = 17.2 Hz), 2.26 (d, 1H, CH_2, J = 16.0 Hz), 2.19 (d, 1H, CH_2, J = 16.0 Hz), 1.28-1.29 (m, 2H, CH_2), 1.04 (s, 6H, CH_3), 0.94-0.99 (m, 1H, CH_2), 0.79-0.81 (m, 1H, CH_2). *Anal.* Calcd. for $C_{27}H_{24}BrNO_2$: C, 68.36; H, 5.10; N, 2.95. found C, 68.49; H, 5.01; N, 3.02.

5-Cyclopropyl-7,7-dimethyl-10-phenyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4g). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1679, 1644 cm^{-1}; ^1H nmr: δ 7.78-7.82 (m, 1H, ArH), 7.42-7.46 (m, 1H, ArH), 7.29-7.36 (m, 2H, ArH), 7.19-7.23 (m, 2H, ArH), 7.07-7.12 (m, 3H, ArH), 4.78 (s, 1H, CH), 3.58-3.60 (m, 1H, CH), 3.12 (d, 1H, CH_2, J = 17.2 Hz), 2.72 (d, 1H, CH_2, J = 17.2 Hz), 2.26 (d, 1H, CH_2, J = 16.0 Hz), 2.19 (d, 1H, CH_2, J = 16.0 Hz), 1.24-1.31 (m, 2H, CH_2), 1.05 (s,

6H, CH_3), 0.89-0.94 (m, 1H, CH_2), 0.79-0.82 (m, 1H, CH_2). *Anal*. Calcd. for $C_{27}H_{25}NO_2$: C, 82.00; H, 6.37; N, 3.54. found C, 82.18; H, 6.33; N, 3.72.

5-Cyclopropyl-7,7-dimethyl-10-*p*-tolyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4h). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1686, 1634 cm^{-1}; ^1H nmr: δ 7.78 (d, 1H, ArH, J = 7.2 Hz), 7.42-7.45 (m, 1H, ArH), 7.28-7.35 (m, 2H, ArH), 7.01 (d, 2H, ArH, J = 8.0 Hz), 6.95 (d, 2H, ArH, J = 7.6 Hz), 4.74 (s, 1H, CH), 3.57-3.59 (m, 1H, CH), 3.10 (d, 1H, CH_2, J = 17.2 Hz), 2.70 (d, 1H, CH_2, J = 17.2 Hz), 2.23-2.27(m, 1H, CH_2), 2.19 (s, 3H, CH_3), 2.16- 2.18(m, 1H, CH_2), 1.25-1.32 (m, 2H, CH_2), 1.04 (s, 6H, CH_3), 0.86-0.91 (m, 1H, CH_2), 0.76-0.79 (m, 1H, CH_2). *Anal*. Calcd. for $C_{28}H_{27}NO_2$: C, 82.12; H, 6.65; N, 3.42. found C, 81.98; H, 6.69; N, 3.28.

10-(benzo[*d*][1,3]dioxol-5-yl)-5-cyclopropyl-7,7-dimethyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4i). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1678, 1647 cm^{-1}; ^1H nmr: δ 7.78 (d, 1H, ArH, J = 7.2 Hz), 7.42-7.46 (m, 1H, ArH), 7.30-7.36 (m, 2H, ArH), 6.73 (d, 1H, ArH, J = 7.6 Hz), 6.59 (s, 1H, ArH), 6.50-6.53 (m, 1H, ArH), 5.92 (s, 2H, CH_2), 4.71 (s, 1H, CH), 3.57-3.60 (m, 1H, CH), 3.12 (d, 1H, CH_2, J = 17.2 Hz), 2.70 (d, 1H, CH_2, J = 17.2 Hz), 2.28 (d, 1H, CH_2, J = 16.0 Hz), 2.18 (d, 1H, CH_2, J = 16.0 Hz), 1.23-1.32 (m, 2H, CH_2), 1.06 (s, 3H, CH_3), 1.04 (s, 3H, CH_3), 0.89-0.92 (m, 1H, CH_2), 0.76-0.79 (m, 1H, CH_2). *Anal.* Calcd. for $C_{28}H_{25}NO_4$: C, 76.52; H, 5.73; N, 3.19. found C, 76.36; H, 5.68; N, 3.33.

5-Cyclopropyl-10-(4-methoxyphenyl)-7,7-dimethyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4j). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1683, 1632 cm^{-1}; ^1H nmr: δ 7.78 (d, 1H, ArH, J = 7.6 Hz), 7.46-7.42(m, 1H, ArH), 7.35-7.28 (m, 2H, ArH), 6.98 (d, 2H, ArH, J = 8.0 Hz), 6.77 (d, 2H, ArH, J = 8.0 Hz), 4.72 (s, 1H, CH), 3.66 (s, 3H, OCH_3), 3.55-3.57 (m, 1H, CH), 3.10 (d, 1H, CH_2, J = 17.2 Hz), 2.70 (d, 1H, CH_2, J = 17.2 Hz), 2.30 (d, 1H, CH_2, J = 16.4 Hz), 2.18 (d, 1H, CH_2, J = 16.4 Hz), 1.30-1.29 (m, 2H, CH_2), 1.05 (s, 6H, CH_3), 0.93-0.91 (m, 1H, CH_2), 0.80-0.77 (m, 1H, CH_2). *Anal.* Calcd. for $C_{28}H_{27}NO_3$: C, 79.03; H, 6.40; N, 3.29. found C, 78.89; H, 6.49; N, 3.23.

5-Cyclopropyl-10-(3,4-dimethoxyphenyl)-7,7-dimethyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4k). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1687, 1633 cm^{-1}; ^1H nmr: δ 7.78 (d, 1H, ArH, J = 7.6 Hz), 7.42-7.46 (m, 1H, ArH), 7.31-7.34 (m, 2H, ArH), 6.78 (d, 1H, J = 8.0 Hz, ArH), 6.69 (d, 2H, J = 8.0 Hz, ArH), 4.71 (s, 1H, CH), 3.66 (s, 6H, OCH_3), 3.57-3.62(m, 1H, CH), 3.14 (d, 1H, CH_2, J = 17.2 Hz), 2.71 (d, 1H, CH_2, J = 17.6 Hz), 2.26 (d, 1H, CH_2, J = 16.0 Hz), 2.19 (d, 1H, CH_2, J = 16.0 Hz), 1.24-1.31 (m, 2H, CH_2), 1.06 (s, 6H, CH_3), 0.90-0.95 (m, 1H, CH_2), 0.76-0.79 (m, 1H, CH_2). *Anal.* Calcd. for $C_{29}H_{29}NO_4$: C, 76.46; H, 6.42; N, 3.07. found C, 76.29; H, 6.37; N, 3.19.

5-Cyclopropyl-10-(3-nitrophenyl)-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4l). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1686, 1647 cm^{-1}; ^1H nmr: δ 7.99 (d, 1H, ArH, J = 7.6 Hz), 7.86 (s, 1H, ArH), 7.83(d, 1H, ArH, J =7.6 Hz), 7.53-7.57 (m, 2H, ArH), 7.44-7.48 (m, 1H, ArH), 7.31-7.38 (m, 2H, ArH), 4.90 (s, 1H, CH), 3.62-3.64 (m, 1H, CH), 3.15-3.22 (m, 1H, CH_2), 2.85-2.92 (m, 1H, CH_2), 2.33-2.36 (m, 2H, CH_2), 2.00-2.03 (m, 2H, CH_2), 1.26-1.30(m, 2H, CH_2), 0.90-1.00 (m, 2H, CH_2). *Anal.* Calcd. for $C_{25}H_{20}N_2O_4$: C, 72.80; H, 4.89; N, 6.79. found C, 72.65; H, 4.93; N, 6.70.

5-Cyclopropyl-10-(4-nitrophenyl)-7,8-dihydro-5*H*-indeno-[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4m). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1681, 1633 cm^{-1}; cm^{-1}; ^1H nmr: δ 8.09 (d, 2H, ArH, J = 8.4 Hz), 7.82 (d, 1H, ArH, J = 7.6 Hz), 7.44-7.47 (m, 1H, ArH), 7.37 (d, 2H, ArH, J = 8.4 Hz), 7.31-7.34 (m, 2H, ArH), 4.91 (s, 1H, CH), 3.58-3.61 (m, 1H, CH), 3.14-3.20 (m, 1H, CH_2), 2.85-2.98 (m, 1H, CH_2), 2.28-2.36(m, 2H, CH_2), 1.92-2.01 (m, 2H, CH_2), 1.23-1.30 (m, 2H, CH_2), 0.92-1.00 (m, 2H, CH_2). *Anal.* Calcd. for $C_{25}H_{20}N_2O_4$: C, 72.80; H, 4.89; N, 6.79. found C, 72.62; H, 4.92; N, 6.65.

5-Cyclopropyl-10-(4-hydroxy-3-nitrophenyl)-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4n). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1681, 1630 cm^{-1}; ^1H nmr: δ 10.77 (s, 1H, OH), 7.80 (d, 1H, ArH, J = 7.2 Hz), 7.44-7.49 (m, 2H, ArH), 7.28-7.37 (m, 3H, ArH), 7.00 (d, 1H, ArH, J = 8.4 Hz), 4.74 (s, 1H, CH), 3.59-3.62 (m, 1H, CH), 3.12-3.20 (m, 1H, CH_2), 2.83-2.88 (m, 1H, CH_2), 2.31-2.35 (m, 2H, CH_2), 1.99-2.02 (m, 2H, CH_2), 1.24-1.28 (m, 2H, CH_2), 0.85-0.98 (m, 2H, CH_2). *Anal.* Calcd. for $C_{25}H_{20}N_2O_5$: C, 70.08; H, 4.71; N, 6.54. found C, 70.25; H, 4.68; N, 6.40.

5-Cyclopropyl-10-(4-fluorophenyl)-7,8-dihydro-5*H*-indeno-[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4o). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1680, 1632 cm^{-1}; ^1H nmr: δ 7.79 (d, 1H, ArH, J = 7.2 Hz), 7.42-7.46 (m, 1H, ArH), 7.29-7.36 (m, 2H, ArH), 7.08-7.12 (m, 2H, ArH), 7.00-7.04 (m, 2H, ArH), 4.78 (s, 1H, CH), 3.57-3.60 (m, 1H, CH), 3.13-3.21 (m, 1H, CH_2), 2.83-2.87 (m, 1H, CH_2), 2.30-2.35 (m, 2H, CH_2), 1.99-2.02 (m, 2H, CH_2), 1.25-1.27 (m, 2H, CH_2), 0.85-0.94 (m, 2H, CH_2). *Anal.* Calcd. for $C_{25}H_{20}FNO_2$: C, 77.90; H, 5.23; N, 3.63. found C, 77.72; H, 5.08; N, 3.69.

5-Cyclopropyl-10-(4-methoxyphenyl)-7,8-dihydro-5*H*-indeno [1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4p). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1682, 1642 cm^{-1}; ^1H nmr: δ 7.77 (d, 1H, ArH, J = 7.6 Hz), 7.41-7.45 (m, 1H, ArH), 7.28-7.35 (m, 2H, ArH), 6.98 (d, 2H, ArH, J = 8.4 Hz), 6.76 (d, 2H, ArH, J = 8.4 Hz), 4.72 (s, 1H, CH), 3.66 (s, 3H, OCH_3), 3.56-3.59 (m, 1H, CH), 3.14-3.18 (m, 1H, CH_2), 2.80-2.87 (m, 1H, CH_2), 2.29-2.34 (m, 2H, CH_2), 1.98-2.01 (m, 2H, CH_2), 1.20-1.33 (m, 2H, CH_2), 0.82-0.92 (m, 2H, CH_2). *Anal.* Calcd. for $C_{26}H_{23}NO_3$: C, 78.57; H, 5.83; N, 3.52. found C, 78.72; H 5.76, N, 3.58.

5-Cyclopropyl-10-*p*-tolyl-7,8-dihydro-5*H*-indeno[1,2-*b*]quinoline-9,11(6*H*,10*H*)-dione (4q). This compound was obtained according to above general procedure; ir (potassium bromide): CO 1661, 1645 cm^{-1}; ^1H nmr: δ 7.77 (d, 1H, ArH, J = 7.2 Hz), 7.41-7.45 (m, 1H, ArH), 7.28-7.35 (m, 2H, ArH), 7.00 (d, 2H, ArH, J = 8.0 Hz), 6.96 (d, 2H, ArH, J = 8.0 Hz), 4.75 **(s,** 1H, CH), 3.56-3.59 (m, 1H, CH), 3.13-3.19 (m, 1H, CH_2), 2.80-2.87 (m, 1H, CH_2), 2.19 (s, 3H, CH_3), 2.29-2.34 (m, 2H, CH_2), 1.92-2.01 (m, 2H, CH_2), 1.22-1.30 (m, 2H, CH_2), 0.81-0.90 (m, 2H, CH_2). *Anal.* Calcd. for $C_{26}H_{23}NO_2$: C, 81.86; H, 6.08; N, 3.67. found C, 81.72; H, 6.01; N. 3.75.

General Procedure for the synthesis of 2-(4-bromobenzylidene)-2*H*-indene -1,3-dione (5). A solution of the *p*-bromobenzaldehyde **1f**, 1,3-indanedione **2** and acetic acid (5 mL) was introduced into a 25 mL round-bottom flask, heated

at 120 °C under reflux for half an hour. The reaction mixture was cooled to room temperature, and then poured into water (50 mL). The solid product was collected by filtration, washed with water and EtOH (95%), and subsequently dried and recrystallization from EtOH (95%) to give the pour product **5f** in 92% yield. mp: 176-178 °C; ir (potassium bromide): CO 1714, C=C 1676 cm^{-1}; ^{1}Hnmr: δ 8.45 (d, 2H, ArH, J = 8.4 Hz), 8.02-8.04 (m, 2H, ArH), 7.97-7.99 (m, 2H, ArH), 7.85 (s, 1H, CH), 7.81 (d, 2H, ArH, J = 8.4 Hz). *Anal.* Calcd. for $C_{16}H_9BrO_2$: C, 61.37; H, 2.90. found C, 61.52; H, 2.81.

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[14] The single-crystal growth was carried out in ethanol and DMF at room temperature. X-ray crystallographic analysis was performed with a Siemens SMART CCD and a Siemens P4 diffractometer. Crystal data for **4d:** $C_{27}H_{24}FNO_2$, red, crystal dimension 0.38 x 0.36 x 0.17 mm, Monoclinic, a= 14.138(3), b = 8.952(2), c =17.140(3) Å, α = 90°, β = 102.253(3)°, γ = 90°, V = 2119.9(8) $Å^3$, Mr = 413.47, Z = 4, Dc = 1.296 g/cm^3, λ = 0.71073 Å, μ (Mokα) = 0.087 mm^{-1}, F(000) = 872, S = 1.041, R_1 = 0.0425, wR_2 = 0.0905.