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Palladium-catalyzed synthesis of indenoindoles *via* C-H activation and tandem synthesis of indenoisoquinolines *via* Suzuki-Miyaura coupling and annulation

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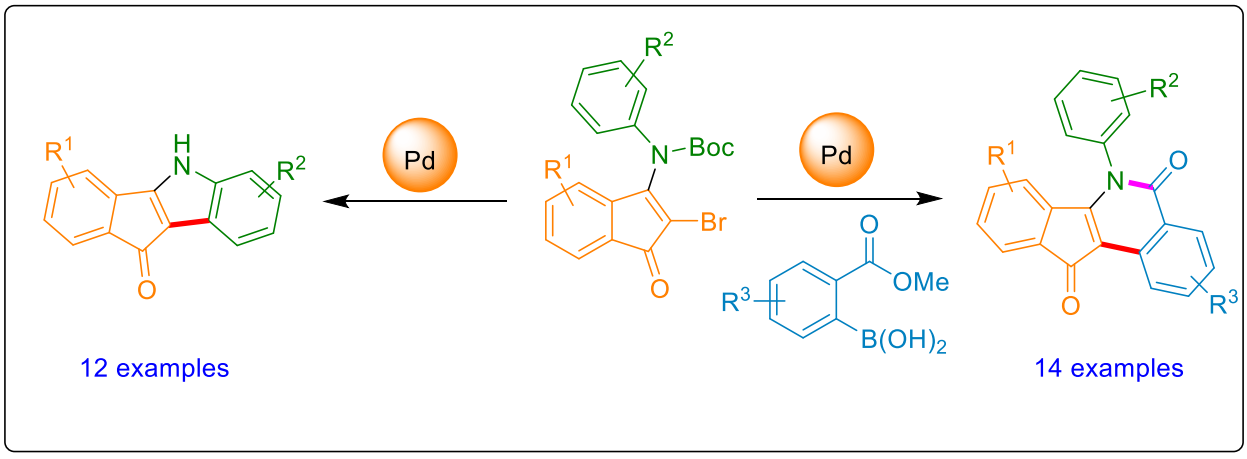
Abstract

An efficient approach for synthesizing fused nitrogen containing heterocycles has been formulated. The approach relies on the use of 2-bromo-3-(*arylamino*)-1*H*-inden-1-one derivatives as precursors for the synthesis of indenoindoles and indenoisoquoinolines. The synthesis of indenoindoles is achieved *via* palladium-catalyzed C-H activation strategy, while a sequential palladium-catalyzed intermolecular Suzuki coupling followed by an intramolecular annulation process is used to synthesize indenoisoquinolines. The developed strategy offers indenone fused polycycles in moderate to good yields.



Keywords: Indenoindole, Indenoisoquinoline, C-H activation, Suzuki-Miyaura coupling.

Introduction

Fused polycyclic derivatives containing indenone and indole substructures have generated significant interest due to their prevalence in natural products, drugs and other biologically active compounds.[1-6] Indenoindolones were reported to possess anticancer properties, protein kinase CK2 inhibition,[7] to acts as an antioxidant,[8] and also act as a ligand for the MT_3 melatonin binding site (A).[9] Additionally, indenoindoles (B, C) are potent topoisomerase II inhibitors that have proven to be effective in treating kidney cancer cells (HEK-293), with lower toxicity towards normal cells as compared to widely used anticancer drugs including etoposide and 5-fluorouracil. [10-11]

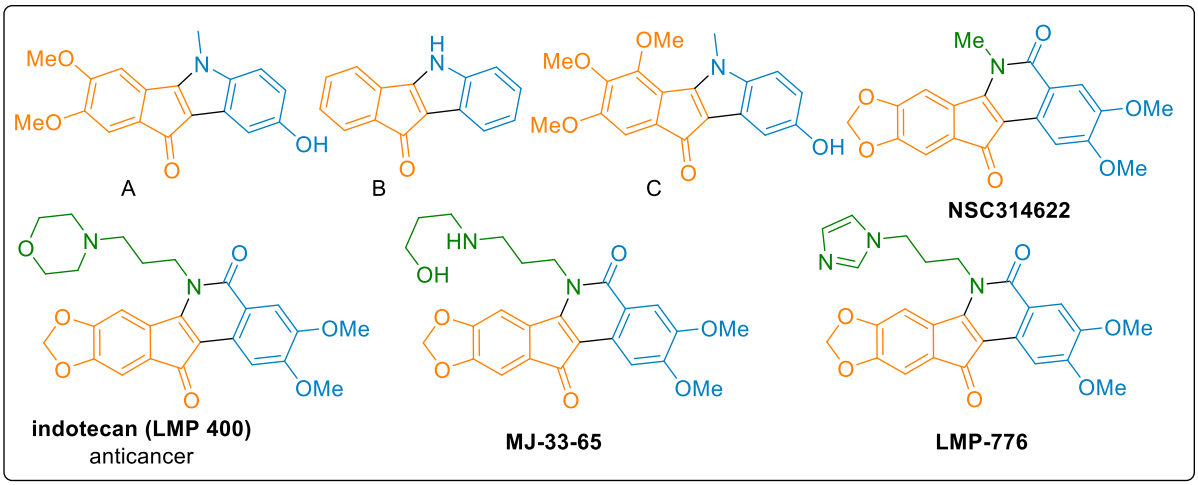
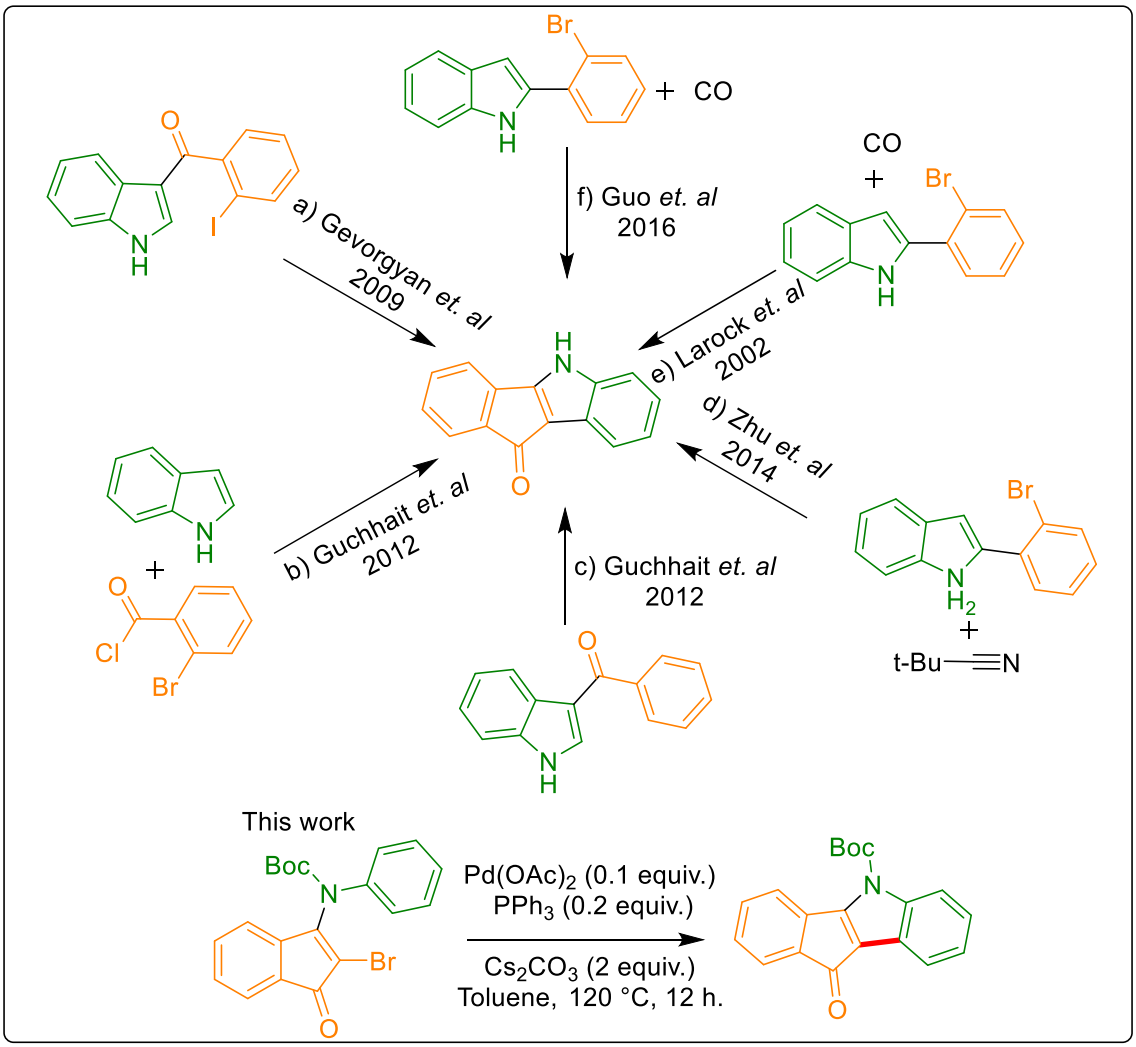


Figure 1. Biologically active compounds containing indenoindole and indenoisoquinoline scaffolds.

Additionally, indenoisoquinolines have emerged as a promising class of compounds with potent biological activities. For instance, indenoisoquinoline was obtained unexpectedly by Cushman *et al.* while attempting to synthesize nitidine chloride.[12] This serendipitous discovery resulted in a new class of indenoisoquinolines (Fig. 1), which emerged as a feasible alternatives to the anti-cancer drug camptothecin (CPT), well known for DNA topoisomerase I (Top I) inhibitory activity.[13-16] Subsequently, Cushman *et al.* developed another route to indenoisoquinolines and studied DNA Top I inhibitory activity.[17-19] Further research showed that the indenoisoquinoline unit confers several benefits compared to camptothecin, with improved DNA topoisomerase I (Top I) inhibitory activity, better stability, and resistance to reversal upon drug removal.[20-22] Moreover, subsequent studies have demonstrated the therapeutic efficacy of indenoisoquinolines in the treatment of inflammatory diseases and in combating visceral leishmaniasis.[23]

Due to their significance and potential applications, numerous synthetic routes have been developed for the synthesis of indenoindoles and indenoisoquinolines. For example, indenoindoles are primarily synthesized by Pd-catalyzed intramolecular indole-C-2-arylation of 3-(2-halobenzoyl)-indoles (route a, b)[24-25] and Pd-catalyzed intramolecular oxidative coupling of 3-indolylarylketones (route c),[26] carbonylation using *tert*-butyl isocyanide (route d)[27] and palladium catalyzed carbonyl insertion to the indole derivative (route e).[28-29] Some of the commonly employed synthetic strategies for the synthesis indenoindoles are depicted in Scheme 1.

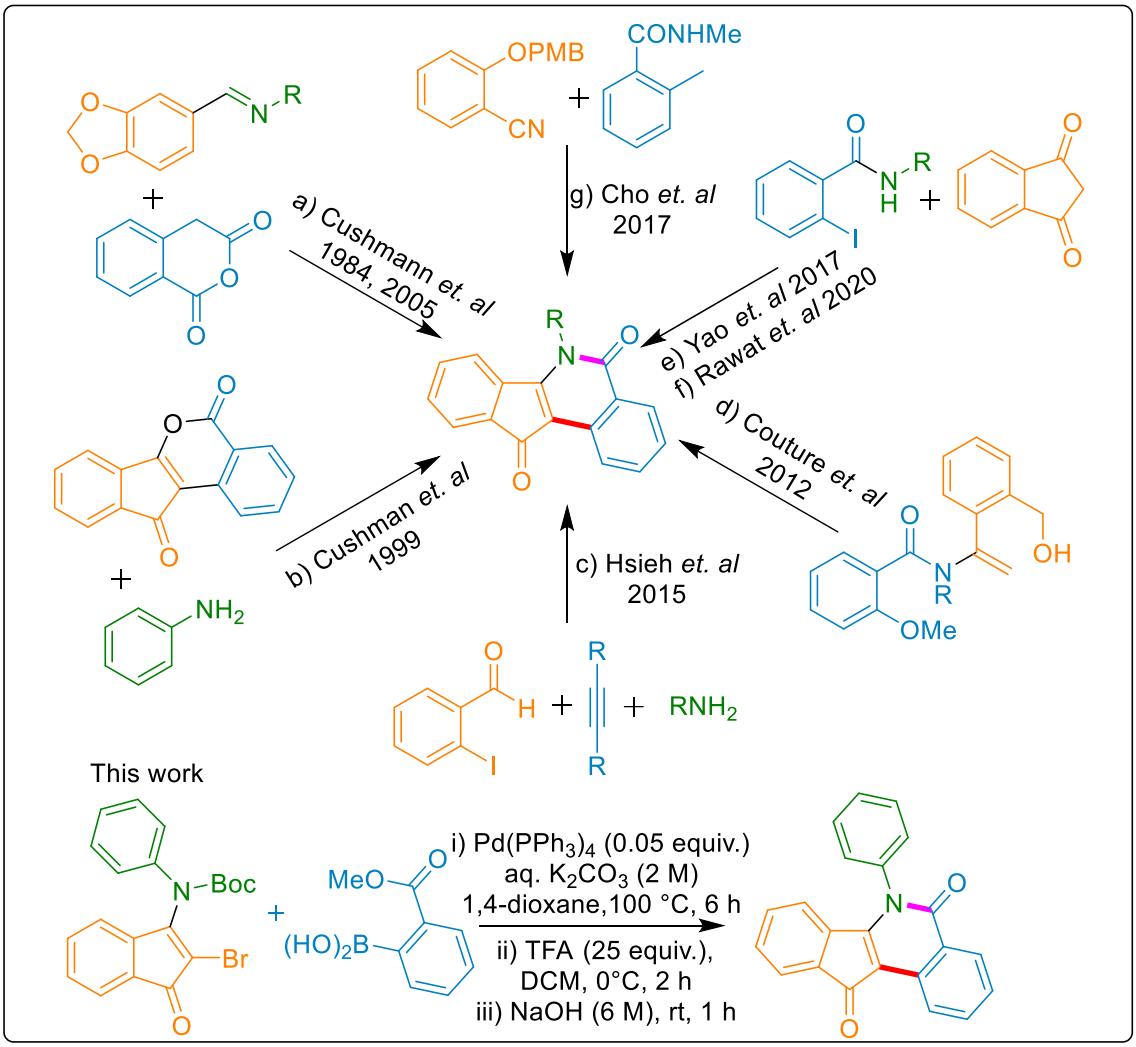


Scheme 1. Commonly employed synthetic strategies to synthesize indenoindoles.

Many research groups have reported various methodologies for synthesizing indenoisoquinolines. Notably, the research group of Cushman has pioneered methodologies for synthesizing indenoisoquinoline derivatives (Scheme 2) using indeno[1,2-*c*]isochromene-5,11-diones and amines,[30-34] and also using 1,3-isochromandione and arylmethanimines.[35-36] In the recent past, many novel synthetic methodologies have been developed with different strategies using various starting materials involving the ring-closing metathesis reaction of polyenic benzamides and intramolecular cyclization reactions of N,2-dimethylbenzamide and 2-((4-methoxybenzyl)oxy)benzonitrile.[37] Additionally, Hsieh *et al.* revealed a method for synthesizing indeno[1,2-*c*]isoquinoline derivatives through the annulation of 2- halobenzaldimines with terminal alkynes followed by the utilization of an oxidation strategy.[38] Yao *et al.* and Rawat *et al.* have reported the utilization of copper chloride and CuO/NiO nanocomposite catalyst respectively, in their studies involving the reaction between 2-iodobenzamide and 1,3-indanedione.[39-40] Scheme 2 illustrates the frequently utilized synthetic methods for making indenoisoquinolines.

Despite the availability of synthetic methods for indenoindoles and indenoisoquinolines, as depicted in Schemes 1 and 2, there is scope to develop alternative strategies. In view of the importance of indenoindoles and indenoisoquinolines, we envisioned a strategy based on 2-bromo-3-(*arylamino*)-1*H*-inden-1-one derivatives as common building blocks to synthesize these structures. The 2-bromo-3-(*arylamino*)-1*H*-inden-1-

ones are accessible from 2,3-dibromoindenone. Over the past years, our group has developed synthetic methodologies using 2,3-dibromoindenone as a precursor towards the synthesis of diverse molecules of various structures.[41-45]



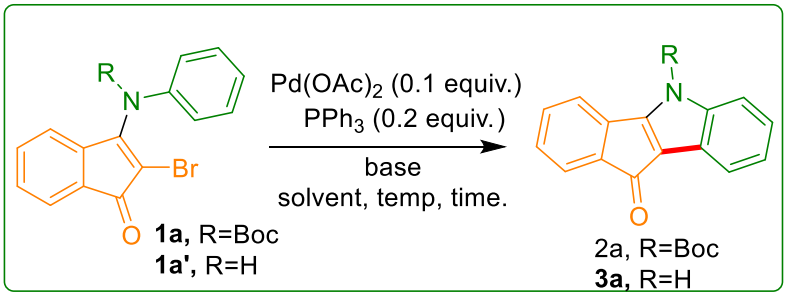
Scheme 2. Commonly employed synthetic strategies to synthesize indenoisoquinoline.

Herein, we report a convenient palladium-catalyzed intramolecular C-H activation strategy for indenoindole frameworks using easily accessible starting materials, while Suzuki-Miyaura coupling followed by the cyclization furnishing indenoisoquinoline derivatives.

Results and Discussion

Based on the literature,[24-29] initial screening studies for the synthesis of indenoindole **3a** were conducted using **1a'** (unprotected free -NH **1a'**, R=H). The compound **1a'** was subjected to an intramolecular Heck cross-coupling reaction. Unfortunately, the expected indenoindole was not detected (entry 1, Table 1). Assuming that the free -NH in **1a'** might be responsible for the outcome, we decided to protect the same. Hence, further optimization studies were conducted using *N*-Boc-protected **1a**, R=Boc to get the cyclized product **2a**.

Table 1. Optimization of reaction conditions[a-h]



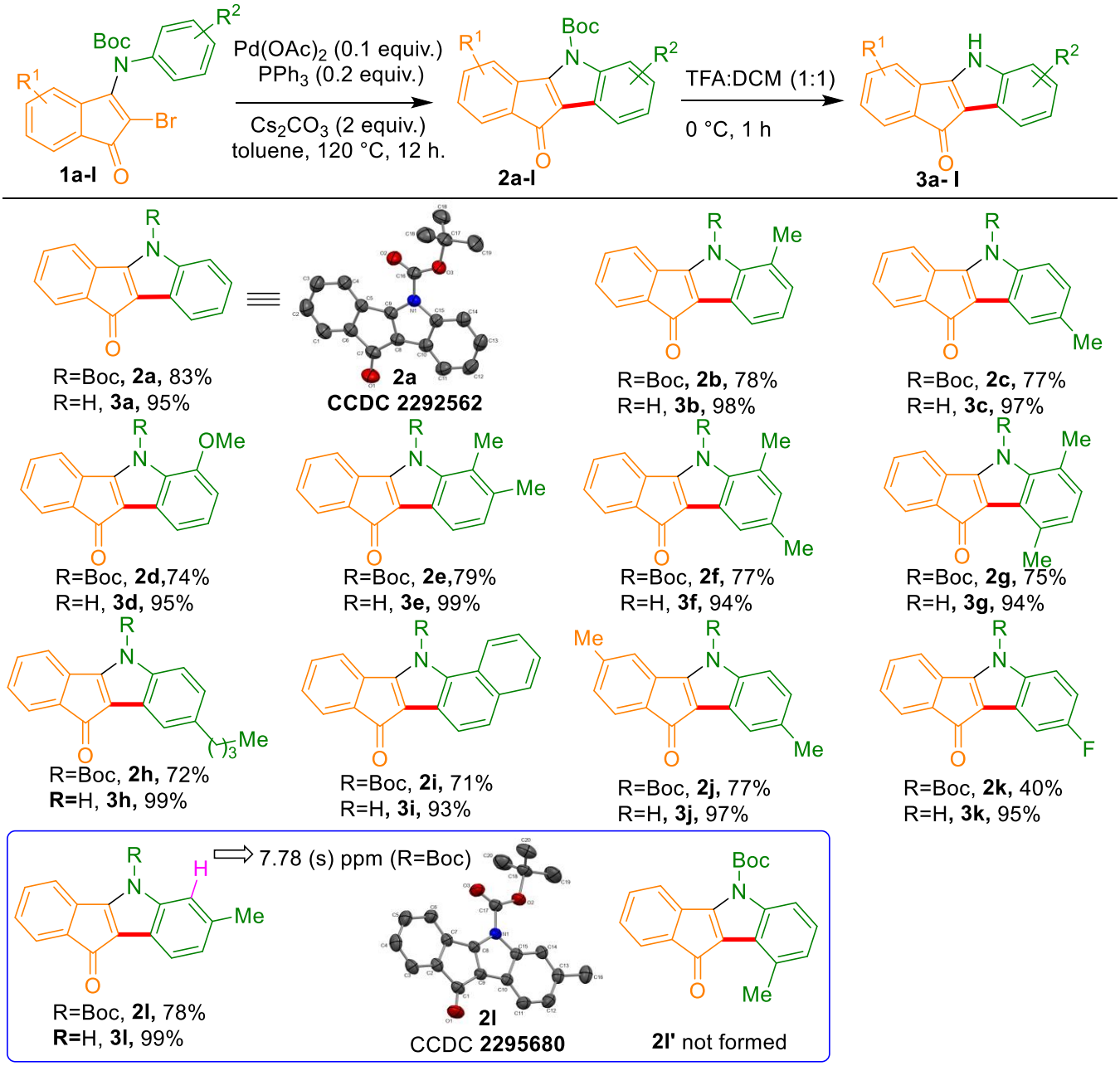
Entry	Base	Solvent	Temp	Time (h)	Yield (%)[h]
1[b]	K_2CO_3	DMF	120 °C	24	ND
2	K_2CO_3	DMF	120 °C	12	22
3	Cs_2CO_3	DMF	120 °C	24	24
4	K_2CO_3	DMA	120 °C	24	46
5	K_2CO_3	1, 4-dioxane	120 °C	24	60
6	K_2CO_3	toluene	120 °C	24	62
7	KOAc	toluene	120 °C	24	13
8	DBU	toluene	120 °C	24	27
9	NaH	toluene	120 °C	24	45
10	K_3PO_4	toluene	120 °C	24	40
11	Cs_2CO_3	toluene	120 °C	12	83
12[c]	Cs_2CO_3	toluene	120 °C	24	84
13	Cs_2CO_3	toluene	140 °C	24	46
14	Cs_2CO_3	xylne	140 °C	24	30
15[d]	Cs_2CO_3	toluene	120 °C	24	64
16[e]	Cs_2CO_3	toluene	120 °C	24	69
17[f]	Cs_2CO_3	toluene	120 °C	24	52
18[g]	Cs_2CO_3	toluene	120 °C	24	49

[a]Reaction conditions: **1a** (0.25 mmol), $Pd(OAc)_2$ (0.1 equiv.) PPh_3 (0.2 equiv), base (2 equiv.) solvent(2 mL) under N_2 atmosphere. [b]R = H, [C]Cs_2CO_3 (2 equiv.), [d] PCy_3 (0.2 equiv), [e]P(O-tolyl)$_3$ [f]$Pd(PPh)_4$ (o.1 equiv), [g] $Pd(OAc)_2$ (0.05 equiv.), PPh_3 (0.1 equiv), [h]isolated yields.

Thus, the reaction was carried out using **1a** (0.25 mmol) as a model substrate in the presence of K_2CO_3 (2 equiv.), $Pd(OAc)_2$ (0.1 equiv), PPh_3 (0.2 equiv.), in DMF as a solvent at 120 °C for 24 h. Product **2a** was formed in 22% yield (entry 2, Table 1). After having initial confirmation of product formation, we carried out further optimization with different reaction conditions in order to improve the yield. Reaction using Cs_2CO_3 as a base in DMF afforded product **2a** in a poor yield of 24% (entry 3, Table 1). Further, we studied the optimization in different solvents such as DMA, 1,4-dioxane, and toluene using K_2CO_3 as a base (entries 4-6, Table 1). Comparatively better yields of product **2a** were obtained using toluene, with a yield of 62%. Next, we screened various bases such as K_3PO_4, NaH, DBU, KOAc, and Cs_2CO_3 in toluene (entries 7-11, Table 1). Among these, the reaction using Cs_2CO_3 in toluene afforded a good yield of 83% in 12 h (entry 11, Table 1). Unfortunately, no improvement in yield was observed even after 24 h with the use of 3 equivalent of Cs_2CO_3 (entry 12, Table 1). Subsequently, the reaction was conducted in toluene and xylene at a temperature of 140 °C, but it did not

result in any further improvement in the yield (46% and 30% respectively, entries 13 & 14, Table 1). Additionally, the reaction was investigated with different ligands, including PCy_3 and P(*O*-tolyl)$_3$ which provided yields of 64% and 69% respectively (entries 15 & 16, Table 1).

Table 2. Substrate scope for indenoindoles



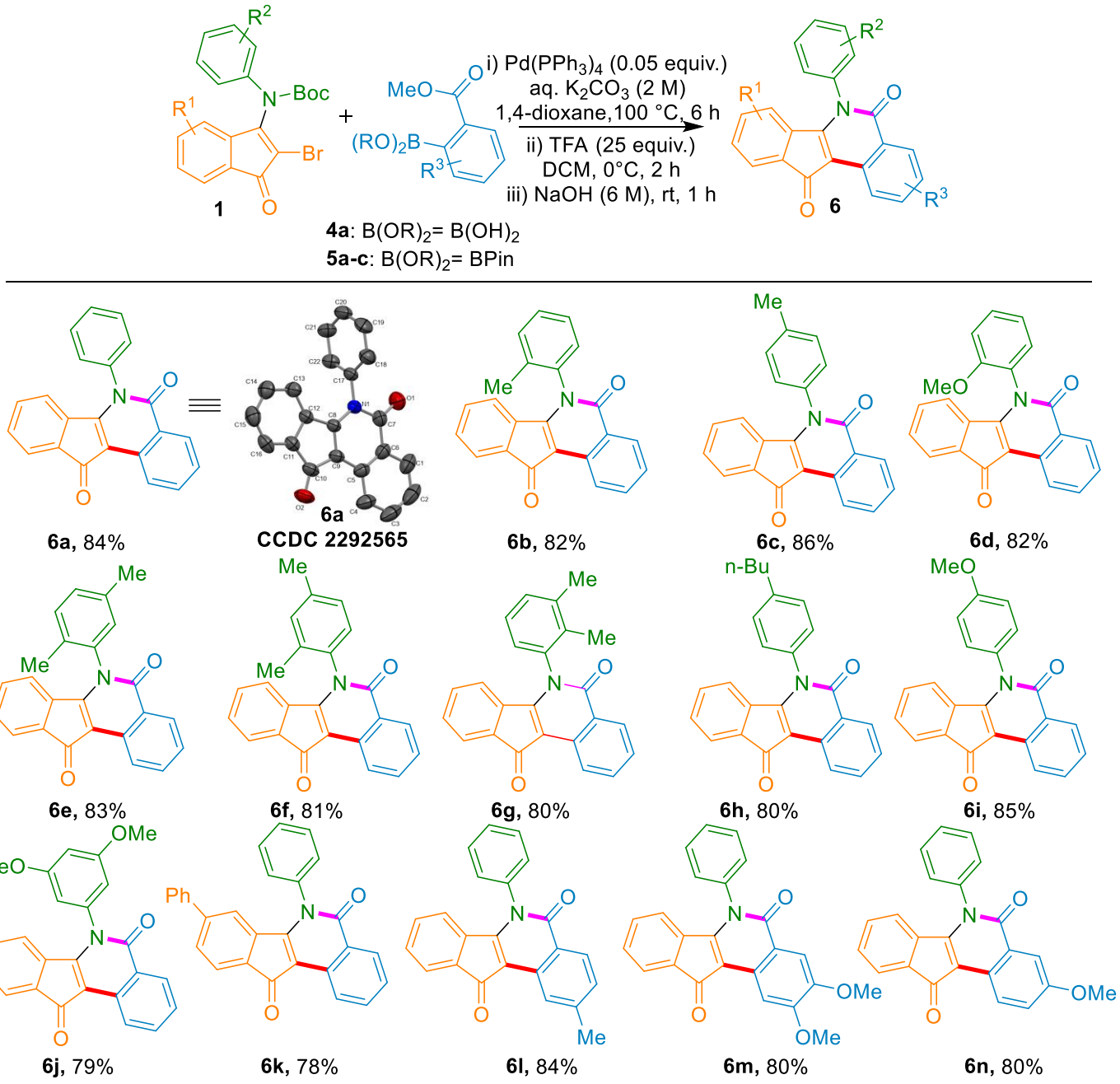
Reaction conditions: **1**, (0.25 m.mol), $Pd(OAc)_2$ (0.1 equiv.), PPh_3 (0.2 equiv.), Cs_2CO_3 (2 equiv.) toluene (1 mL) under N_2 atmosphere, isolated yields.

Also, the reaction with $Pd(PPh_3)_4$ provided a moderate yield of 52% (entry 17, Table 1). Reducing the catalyst loading of $Pd(OAc)_2$ to 5 mol % resulted in a lower yield of 49% (entry 18, Table 1). Eventually, it was confirmed that the conditions shown in entry 11 (Table 1) was found to be best in furnishing product **2a**. The structure was confirmed by using ^{1}H, ^{13}C NMR and HRMS data analysis. Disappearance of one proton from the aromatic region in the ^{1}H NMR of **2a** and appearance of new quaternary carbon in the ^{13}C NMR of **2a** indicates

the new C-C bond formation and the structure was unambiguously confirmed by using single crystal X-ray data analysis of **2a**.

Interestingly, compound **2l** was obtained as a single regioisomer although there is the possibility of formation of another regioisomer **2l'** (Table 2). The structural assignment of **2l** was made using ^{1}H NMR; appearance of a sharp singlet at 7.78 ppm (s, 1H) in ^{1}H NMR indicates formation of the regioisomer **2l**. Also, the structure was further confirmed using single crystal X-ray data analysis of **2l** (Table 2).

Table 3. Substrate scope for indenoisoquinoline



Upon attaining optimized reaction conditions, the reaction scope was investigated for the synthesis of diverse *N*-Boc indenoindoles **2a-l** by using different groups installed at various positions of starting materials

1a-l. All the synthesized *N*-Boc indenoindoles **2a-l** were obtained in good yields. Further, N-Boc indenoindole **2a-l** were treated with TFA in DCM affording indenoindole **3a-l** in excellent yields.

This potential application of the starting material triggered our interest to synthesize indenoisoquoinoline **6a-n** using **1a-h, 1m-o** and (2-(methoxycarbonyl)phenyl)boronic acid **4a, 5a-c** employing Suzuki coupling followed by intramolecular cyclization. This plan requires a sequential orchestration of Pd-catalyzed Suzuki reaction between boronic acid and bromo indenone moieties followed by an acid-mediated deprotection of Boc and then cyclization in the same pot to afford indenoisoquinoline.

Accordingly, the model substrate **1a** and (2-(methoxycarbonl)phenyl)boronic acid **4a** were utilized for the synthesis of indenoisoquinoline in the presence of $Pd(PPh_3)_4$ (5 mol %), aq. K_2CO_3 (2M) in 1,4-dioxane at 100 °C. After 6 h (TLC monitoring), the reaction mixture was treated with TFA (25 equiv.) in DCM and stirred for 2 h. Neutralizing the reaction mixture with NaOH (6M) furnished **6a** in good yield (84%). The product formation was confirmed by using ^{1}H ,^{13}C NMR and HRMS data analysis. The appearance of characteristic doublet in the range of 5.49 ppm (d, 1H) in the ^{1}H NMR of compound **6a** is also consistent in all the synthesized indenoisoquinoline derivatives. Finally, the structure was unambiguously confirmed with single crystal X-ray data analysis of **6a**. This methodology was further explored to synthesize various indenoisoquinoline derivatives in good yields (Table 3).

Conclusions

In conclusion, we have developed a convenient method for the synthesis of various derivatives of indenoindole and indenoisoquinoline heterocycles using a palladium catalyst, from a common precursor 2-bromo-3-*(arylamino)*-1*H*-inden-1-ones. Significantly, the syntheses of indenoindoles were achieved by the Heck coupling, which upon deprotection has given the indenoindole derivatives. Similarly, the Suzuki-Miyaura coupling, deprotection, and cyclization sequence led to the syntheses of indenoisoquinolines in good yields.

Experimental Section

General. All reactions were performed using oven dried glassware. Commercial grade solvents were distilled before use. Solvents used for reaction were dried according to literature procedures.[46] The progress of the reactions was examined by TLC by using Merck silica gel GF 254 on microscopic glass slide coated with silica gel and visualization of the TLC were accomplished under UV-chamber and iodine. Purification of products were carried out by flash chromatography using Merck silica gel with ethyl acetate and hexane solvent mixture as eluent. Melting points were recorded in open capillary tubes using electrothermal melting point apparatus. IR spectra were recorded on an FTIR spectrophotometer. ^{1}H-NMR spectra were recorded on a 400 MHz and 600 MHz Bruker spectrometer in $CDCl_3$; chemical shifts (δ ppm) and coupling constants (Hz) are reported in a standard fashion about either internal standard tetramethylsilane (TMS) (δ_H 0.00 ppm) or $CHCl_3$ (δ_H 7.26 ppm). ^{13}C-NMR spectra were recorded on a 100 MHz and 150 MHz Bruker spectrometer in $CDCl_3$; chemical shifts (δ ppm) are reported relative to $CHCl_3$ [δ_C 77.00 ppm (central line of triplet)]. In the ^{1}H-NMR data, the following abbreviations were used: s = singlet, d = doublet, t = triplet, dd = doublet of doublets, m = multiplet and br.s. = broad singlet. The assignment of signals was confirmed by ^{1}H, ^{13}C NMR spectra. High-resolution mass spectra (HR-MS) were recorded using electron spray ionization (ESI) method using HRMS QTOF 6538.

General procedure for the synthesis of compounds 1a-o. To a solution of 2,3-dibromo-1*H*-inden-1-one (100 mg 0.347 mmol) in dry acetonitrile (3 mL) was added N-Boc aniline (268 mg, 1.388 mmol), Cs_2CO_3 (225 mg, 0.694 mmol) under N_2 atmosphere and the mixture refluxed at 80 °C for 2 h. After the complete consumption of the starting material, the resultant mixture was diluted with water (15 mL), and the aqueous layer was extracted with DCM (3×10 mL). The organic layer was washed with saturated brine dried over Na_2SO_4 and the solvent was evaporated at reduced pressure. The product was isolated using flash column chromatography (300-400 mesh) using petroleum ether/ethyl acetate as eluent to afford pure product.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(phenyl)carbamate (1a).** Yellow solid (115 mg, 83%); mp 104-105 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.50 (dd, *J* = 2.0, 6.4 Hz, 1H), 7.39-7.30 (m, 4H), 7.30-7.24 (m, 1H), 7.23-7.19 (m, 2H), 6.68 (dd, *J* = 1.7, 5.1 Hz, 1H), 1.53 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.5, 157.3, 151.0, 142.2, 138.8, 133.5, 130.1, 129.1, 129.0, 127.1, 126.0, 122.9, 120.6, 114.1, 83.6, 28.0. IR ν_{max} (KBr):2925,1718, 1456, 1371, 1306, 1151, 765; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{20}H_{19}BrNO_3$ 400.0548, found: 400.0550.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(2-methylphenyl)carbamate (1b).** Yellow solid (109 mg, 76%); mp 110-112 °C; ^{1}H-NMR (400 MHz $CDCl_3$) δ 7.42-7.36 (m, 1H), 7.17 (d, *J* = 7.3 Hz, 2H), 7.13 (dd, *J* = 5.9, 7.8 Hz, 2H), 7.11-7.09 (m, 1H), 7.08-7.05 (m, 1H), 6.61 (d, *J* = 6.8 Hz, 1H), 2.26 (s, 3H), 1.44 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.5, 157.5, 151.0, 142.2, 137.8, 136.0, 133.4, 131.3, 130.2, 129.0, 128.3, 127.7, 126.8, 122.6, 120.7, 111.4, 83.6, 28.0, 18.5. IR ν_{max} (KBr): 2975, 1719, 1567,1313, 1156, 767; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{21}H_{21}BrNO_3$ 414.0705, found: 414.0707.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(4-methylphenyl)carbamate (1c).** Yellow solid (108 mg, 75%); mp 109-111 °C ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (dd, *J* = 6.6, 1.6 Hz, 1H), 7.25 – 7.14 (m, 6H), 6.68 (dd, *J* = 6.0, 2.1 Hz, 1H), 2.35 (s, 3H), 1.52 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 188.7, 157.5, 151.3, 142.4, 137.3, 136.3, 133.5, 130.3, 129.8, 129.0, 126.1, 122.9, 120.8, 113.8, 83.6, 28.1, 21.2. IR ν_{max} (KBr): 2978, 1718, 1612, 1512, 1370, 1303, 765; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{21}H_{21}BrNO_3$ 414.0707, found: 414.0711.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(2-methoxyphenyl)carbamate (1d).** Yellow solid (110 mg, 74%); mp 128-130 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.46 (d, *J* = 6.8 Hz, 1H), 7.34-7.28 (m, 1H), 7.26-7.21 (m, 1H), 7.21-7.15 (m, 2H), 6.99-6.90 (m, 2H), 6.79 (d, *J* = 7.3 Hz, 1H), 3.85 (s, 3H), 1.49 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.8, 158.0, 155.0, 151.0, 142.2, 133.3, 130.2, 129.4, 128.8, 128.7, 127.9, 122.3, 120.8, 120.7, 111.8, 111.0, 83.0, 55.6, 27.9. IR ν_{max} (KBr):2975, 1721, 1604, 1503, 1457, 1320, 1022, 751; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{21}H_{21}BrNO_4$ 430.0654, found: 432.0645.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(2,3-dimethylphenyl)carbamate(1e).** Yellow solid (117 mg, 79%); mp 100-101 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.50-7.46 (m, 1H), 7.25-7.17 (m, 2H), 7.17-7.13 (m,1H), 7.07 (t, *J* = 7.6 Hz, 1H), 7.01-6.97 (m, 1H), 6.68 (d, *J* = 6.4 Hz, 1H), 2.34 (s, 3H), 2.23 (s, 3H), 1.51 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.6, 157.7, 151.2, 142.2, 138.3, 137.8, 134.7, 133.3, 130.3, 129.8, 128.9, 126.1, 125.1, 122.6, 120.6, 111.3, 83.5, 28.0, 20.6, 15.3. IR ν_{max} (KBr): 2972, 1722,1601, 1561,1369,1278,1150, 777; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{23}BrNO_3$ 428.0861, found: 428.0866.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(2,4-dimethylphenyl)carbamate (1f).** Yellow solid (118 mg, 80%); mp 153-154 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.50-7.42 (m, 1H), 7.21 (dt, *J* = 1.2, 6.5 Hz, 2H), 7.08 (s, 1H), 7.04-7.00 (m, 1H), 7.00-6.94 (m, 1H), 6.70-6.66 (m, 1H), 2.32 (s, 3H), 2.29 (s, 3H), 1.51 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.6, 157.5, 151.1, 142.2, 138.2, 135.5, 135.1, 133.3, 132.0, 130.3, 128.9, 127.5, 127.4, 122.5, 120.7, 111.1, 83.4, 28.0, 21.1, 18.4. IR ν_{max} (KBr):2971, 1726, 1713, 1600, 1563, 1504, 1367, 1110, 847, 756; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{23}BrNO_3$ 428.0860, found: 428.0860.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(2,5-dimethylphenyl)carbamate (1g).** Yellow solid (118 mg, 80%); mp 130-131 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.50 – 7.45 (m, 1H), 7.21 (pd, *J* = 7.8, 1.4 Hz, 2H), 7.08 (s, 1H), 7.05 – 6.95 (m, 2H), 6.68 (dd, *J* = 6.4, 1.3 Hz, 1H), 2.33 (s, 3H), 2.29 (s, 3H), 1.51 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ

188.7, 157.6, 151.2, 142.3, 138.3, 135.6, 135.2, 133.4, 132.1, 130.4, 129.0, 127.6, 127.5, 122.6, 120.8, 111.2, 83.6, 28.1, 21.2, 18.5. IR ν_{max} (KBr):3324, 1698, 1582, 1490, 1158, 763; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{23}BrNO_3$ 428.0861, found: 428.0863.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(4-butylphenyl)carbamate (1h).** Yellow solid (114 mg, 72%); mp 127 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.50-7.47 (m, 1H), 7.22 (d, *J* = 1.5 Hz, 1H), 7.21-7.18 (m, 3H), 7.18-7.13 (m, 2H), 6.69-6.66 (m, 1H), 2.63-2.57 (m, 2H), 1.61-1.55 (m, 2H), 1.54-1.50 (m, 9H), 1.33 (dd, *J*= 7.6, 14.9 Hz, 2H), 0.91 (t, *J*=7.3 Hz, 3H), ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.6, 157.3, 151.2, 142.3, 142.1, 136.3, 133.4, 130.2, 129.0, 128.9, 125.9, 122.7, 120.6, 113.7, 83.5, 35.2, 33.4, 28.0, 22.2, 13.9. IR ν_{max} (KBr): 3069, 1722, 1597, 1537, 1436, 1404, 1051, 915, 856; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{15}H_9O_2$ 221.0597, found: 221.0584.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(naphthalen-1-yl)carbamate (1i).** Yellow solid (133 mg, 83%); mp 176-178 °C^{1}H NMR (400 MHz, $CDCl_3$) δ 7.97 (d, *J* = 8.2 Hz, 1H), 7.93 (d, *J* = 7.8 Hz, 1H), 7.86 (d, *J* = 7.7 Hz, 1H), 7.64 – 7.53 (m, 2H), 7.47 (d, *J* = 7.1 Hz, 1H), 7.45 – 7.37 (m, 2H), 7.14 (d, *J* = 7.3 Hz, 1H), 7.09 (d, *J* = 7.4 Hz, 1H), 6.57 (d, *J* = 7.3 Hz, 1H), 1.45 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.7, 158.0, 151.4, 142.1, 135.6, 134.4, 133.5, 130.4, 130.3, 129.2, 129.0, 128.9, 127.1, 126.6, 125.5, 125.3, 123.2, 122.8, 120.5, 111.9, 83.7, 27.9. IR ν_{max} (KBr):2979, 1719, 1603, 1455, 1396, 1286, 1151, 1102, 792; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{24}H_{21}BrNO_3$ 450.0705, found: 450.0705.

***Tert*-butyl (2-bromo-5-methyl-1-oxo-1*H*-inden-3-yl)(p-tolyl)carbamate (1j).** Yellow solid (114 mg, 77%); mp 115-116 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.38 (d, *J* = 7.3 Hz, 1H), 7.23 - 7.12 (m, 4H), 6.99 (d, *J* = 7.3 Hz, 1H), 6.56 (s, 1H), 2.35 (s, 3H), 2.25 (s, 3H), 1.51 (s, 9H), ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.3, 157.1, 151.3, 144.5, 142.7, 137.0, 136.3, 129.6, 128.9, 127.6, 125.8, 122.9, 121.8, 114.3, 83.3, 28.0, 22.1, 21.1. IR ν_{max} (KBr): 2973, 1727, 1606, 1573, 1315, 1255, 1107, 770; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{23}BrNO_3$ 428.0861, found: 428.0864.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(4-fluorophenyl)carbamate (1k).** Yellow solid (86 mg, 46%); mp 148-150 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.44 (d, *J* = 6.0 Hz, 1H), 7.25 – 7.20 (m, 2H), 7.17 (dd, *J* = 8.5, 7.2 Hz, 2H), 7.02 – 6.95 (m, 2H), 6.65 (d, *J* = 6.4 Hz, 1H), 1.45 (s, 9H).^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.48, 162.55, 157.26, 151.20, 142.15, 133.67, 130.16, 129.21, 128.03, 127.94, 123.12, 120.61, 116.22, 116.00, 114.20, 83.99, 28.13. IR ν_{max} (KBr):3071, 2979, 2930, 1722, 1606, 1571, 1508, 1368, 1153, 839; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{20}H_{18}BrFNO_3$ 418.0449, found: 418.0445

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(3-methyl)carbamate(1l).** Yellow solid (118 mg, 82%); mp 110-112 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ = 7.52-7.47 (m, 1H), 7.26-7.19 (m, 3H), 7.15-7.10 (m, 2H), 7.08 (d, *J* = 7.3 Hz, 1H), 6.72-6.67 (m, 1H), 2.34 (s, 3H), 1.52 (s, 9H), ^{13}C NMR (100 MHz, $CDCl_3$) δ 157.3, 151.1, 142.3, 139.1, 138.7, 133.5, 130.1, 128.9, 128.8, 128.0, 126.5, 123.2, 122.8, 120.6, 113.9, 83.5, 77.4, 28.0, 21.4 IR ν_{max} (KBr): 2978, 1721, 1571,1319, 1167, 780; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{21}H_{21}BrNO_3$ 414.0705, found: 414.0709.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(4-methoxyphenyl)carbamate (1m)**. Yellow solid (97 mg, 82%); mp 134-136 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.49 (d, *J* = 6.8 Hz, 1H), 7.27-7.19 (m, 4H), 6.88 (d, *J* = 9.3 Hz, 2H), 6.68 (s, 1H), 3.80 (s, 3H), 1.52 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 188.6, 158.6, 157.3, 151.3, 142.2, 133.4, 131.6, 130.2, 128.9, 127.6, 122.7, 120.7, 114.3, 113.2, 83.5, 55.5, 28.0. IR ν_{max} (KBr): 2970, 1725, 1603, 1501, 1455, 1311, 1009, 756; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{21}H_{21}BrNO_4$ 430.0654, found: 432.0645.

***Tert*-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(3,5-dimethoxyphenyl)carbamate (1n)**. Yellow solid (128 mg, 80%); mp 106-108 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.49 (d, *J* = 5.9 Hz, H), 7.27-7.18 (m, 2H), 6.78-6.74 (m, 1H), 6.49 (d, *J* = 2.4 Hz, 2H), 6.38 (t, *J* = 2.2Hz, 1 H), 3.77 (s, 6H), 1.53 (s, 9H), ^{13}C-NMR (100 Hz, $CDCl_3$) δ 188.8, 160.9, 157.1, 150.7, 142.2, 140.3, 133.6, 130.0, 129.0, 122.9, 120.5, 114.2, 104.7, 98.9, 83.6, 55.5, 28.3. IR ν_{max} (KBr):2969, 1729, 1714, 1594, 1577, 1307, 1105, 843; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{22}BrNO_5$ 459.0681, found: 459.0681.

***Tert*-butyl (2-bromo-1-oxo-5-phenyl-1*H*-inden-3-yl)(phenyl)carbamate (1o)**. Yellow solid (107 mg, 82%); mp 117-119 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.5 Hz, 1H), 7.43-7.34 (m, 10H), 7.32-7.28 (m, 2H), 6.89 (d, *J* = 1.3 Hz, 1H), 1.53 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 188.3, 156.8, 151.2, 146.7, 143.1, 139.7, 139.0, 129.2, 129.0, 128.8, 128.6, 127.4, 127.3, 127.0, 126.2, 123.4, 119.9, 114.7, 83.8, 28.1. IR ν_{max} (KBr):2974, 1724, 1719, 1603, 1572, 1310, 1101, 837; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{26}H_{23}BrNO_3$ 476.0856, found: 476.0849.

General procedure for the synthesis of compound 1a'. To a solution of 2,3-dibromo-1*H*-inden-1-one (100 mg 0.347 mmol) in acetonitrile was added aniline (33 mg, 0.347 mmol) stirred at rt for 2 h, the reaction monitored by TLC. After the complete consumption of starting material, the reaction was quenched with ice water then filtered through Buckner funnel to afford pure product **1a'.**

2-Bromo-3-(phenylamino)-1*H*-inden-1-one (1a') Red solid (99 mg, 95%); mp 168-170 °C; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.22 (s, 1H), 7.39 (m, 9H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 186.1, 157.1, 138.5, 137.0, 133.0, 131.5, 130.1, 128.5, 126.4, 126.2, 120.1, 119.5, 85.1. IR ν_{max} (KBr): 3342 2973, 1721, 1615, 1543, 1245, 1103, 746; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for C15H10BrNO 300.0019, found: 300.0011.

General procedure for the synthesis of compounds (2a-l). To a solution of **1a** tert-butyl (2-bromo-1-oxo-1*H*-inden-3-yl)(phenyl)carbamate (100 mg, 0.25 mmol) in toluene (1 mL) was added Cs_2CO_3 (162 mg, 0.5 mmol), palladium acetate (5.6 mg 0.025 mmol) and triphenylphosphine (13 mg 0.05 mmol) under N_2 atmosphere. The reaction vial was connected to a vacuum/nitrogen manifold, evacuated and backfilled with nitrogen and the reaction mixture was stirred at 120 °C for 12 h. (Reaction monitored by TLC) After the complete consumption of compound **1a**, the reaction was diluted with water (10 mL), and the aqueous layer was extracted with ethyl acetate (3×15 mL). The organic layer was washed with saturated brine then dried over Na_2SO_4 and solvent was evaporated at reduced pressure. The product was isolated using flash column chromatography (300-400 mesh silica) using petroleum ether/ethyl acetate as eluent to afford the pure product.

***Tert*-butyl 10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2a).** Reddish yellow solid (66 mg, 83%); mp 174-176 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.01-7.93 (m, 2H), 7.83-7.80 (m, 1H), 7.48-7.44 (m, 1H), 7.35-7.26 (m, 3H), 7.25-7.18 (m, 1H), 1.78 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 186.9, 158.3, 149.3, 140.5, 138.8, 135.8, 133.2, 129.6, 125.0, 124.9, 123.9, 123.2, 123.0, 120.5, 120.3, 116.4, 86.4, 28.2. IR ν_{max} (KBr):2925, 1752, 1596, 1454, 1395, 1352, 1135, 735; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{20}H_{18}NO3$ 320.1287, found: 320.1282.

***Tert*-butyl 6-methyl-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2b).** Reddish yellow solid (63 mg, 78%); mp 136-138 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.66 (d, *J* = 7.8 Hz, 1H), 7.62 (d, *J* = 7.3 Hz, 1H), 7.48-7.44 (m, 1H), 7.29 (dt, *J* = 1.2, 7.5 Hz, 1H), 7.24-7.17 (m, 2H), 7.05 (d, *J* = 7.3 Hz, 1H), 2.50 (s, 3H), 1.73 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 186.4, 158.1, 149.7, 140.1, 139.2, 135.4, 132.7, 129.6, 127.8, 125.0, 125.0, 123.9, 123.1, 121.2, 119.0, 118.3, 86.9, 27.9, 20.8. IR ν_{max} (KBr): 2979, 1752, 1694, 1603, 1455, 1332, 1151, 754; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{20}H_{21}NO_3$ 334.1438, found: 334.1438.

***Tert*-butyl 8-methyl-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2c).** Reddish Yellow solid (62 mg, 77%); mp 302-304 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.98 (d, *J* = 7.3 Hz, 1H), 7.81 (d, *J* = 8.8 Hz, 1H), 7.61 (s, 1H), 7.49 - 7.42 (m, 1H), 7.34 - 7.29 (m, 1H), 7.23 - 7.17 (m, 1H), 7.08 (dd, *J* = 1.5, 8.8 Hz, 1H), 2.42 (s, 3H), 1.77 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 187.1, 158.3, 149.3, 138.8, 138.7, 135.9, 134.7, 133.1, 129.5, 126.4, 123.8, 123.3, 123.0, 120.4, 120.1, 116.0, 86.1, 28.2, 21.2. IR ν_{max} (KBr): 2980, 1748, 1689, 1601, 1455, 1416, 1367, 1169, 754; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{20}H_{21}NO_3$ 334.1438, found: 334.1438.

***Tert*-butyl 6-methoxy-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2d).** Reddish yellow solid (60 mg, 74%); mp 170-171 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.61 (d, *J* = 7.3 Hz, 1H), 7.45 (d, *J* = 6.4 Hz, 1H), 7.40 (dd, *J* = 1.0, 7.8 Hz, 1H), 7.32-7.26 (m, 1H), 7.24-7.18 (m, 2H), 6.75 (d, *J* = 7.3 Hz, 1H), 3.94 (s, 3H), 1.66 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 186.2, 158.1, 149.6, 147.9, 139.4, 135.0, 132.8, 129.7, 129.7, 125.7, 125.2, 123.1, 121.3,

118.4, 113.1, 106.4, 85.6, 55.2, 27.6. IR ν_{max} (KBr): 2940, 1740, 1702, 1607, 1528, 1488, 1353, 1211, 1O52, 837, 768; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{21}H_{20}NO_4$ 350.1392, found: 350.1372.

***Tert*-butyl 6,7-dimethyl-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2e).** Reddish Yellow solid (64 mg, 79%); mp 142-144 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.62 (d, *J* = 7.3 Hz, 1H), 7.52 (d, *J* = 7.8 Hz, 1H), 7.44-7.40 (m, 1H), 7.29-7.23 (m, 1H), 7.19-7.14 (m, 1H), 7.10 (d, *J* = 7.8 Hz, 1H), 2.35 (s, 3H), 2.31 (s, 3H), 1.69 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 186.5, 158.4, 150.1, 141.2, 139.1, 135.6, 134.1, 132.7, 129.4, 127.5, 123.5, 123.0, 122.2, 121.1, 119.2, 117.6, 86.6, 27.9, 27.8, 20.2, 17.2. IR ν_{max} (KBr):2979, 1752, 1694, 1603, 1515, 1455, 1332, 1284, 1151, 755; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{22}NO_2$ 348.1600, found: 348.1594.

***Tert*-butyl 6,9-dimethyl-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2f).** Reddish yellow solid (60 mg, 75%); mp 148-149 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.51 (d, *J* = 7.3 Hz, 1H), 7.38 (d, *J* = 6.4 Hz, 1H), 7.23-7.16 (m, 1H), 7.15-7.09 (m, 1H), 6.91-6.84 (m, 2H), 2.67 (s, 3H), 2.36 (s, 3H), 1.63 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 185.6, 157.8, 149.8, 140.0, 139.0, 135.1, 132.7, 129.6, 129.5, 127.9, 125.7, 124.7, 123.1, 121.5, 120.7, 119.4, 86.8, 27.8, 20.5, 20.3. IR ν_{max} (KBr):2974, 1759, 1695, 1603, 1490, 1293, 809; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{22}NO_2$ 348.1600, found: 348.1594.

***Tert*-butyl 6,8-dimethyl-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate(2g).** Reddish yellow solid (62 mg, 77%); mp 140-142 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.62 (d, *J* = 7.3 Hz, 1H), 7.48-7.43 (m, 2H), 7.31-7.26 (m, 1H), 7.22-7.17 (m, 1H), 6.88 (s, 1H), 2.45 (s, 3H), 2.38 (s, 3H), 1.71 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 186.5, 158.2, 149.8, 139.3, 138.4, 135.6, 134.9, 132.7, 129.5, 129.2, 124.6, 124.2, 123.1, 121.2, 118.8, 118.3, 86.7, 27.9, 21.0, 20.8. IR ν_{max} (KBr):2981, 1748, 1694, 1602, 1443, 1375, 1253, 1155, 755; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{22}NO_2$ 348.1600, found: 348.1598.

***Tert*-butyl 8-butyl-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2h).** Reddish yellow solid (58 mg, 72%); mp 170-172 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.8 Hz, 1H), 7.72 (d, *J* = 8.3 Hz, 1H), 7.50 (s, 1H), 7.34 (d, *J* = 6.8 Hz, 1H), 7.22-7.16 (m, 1H), 7.11-7.05 (m, 1H), 7.00-6.95 (m, 1H), 2.58 (t, *J* = 7.6 Hz, 2H), 1.67 (s, 9H), 1.59-1.50 (m, 2H), 1.33-1.22 (m, 2H), 0.88-0.81 (m, 3H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 187.1, 158.3, 149.3, 139.8, 138.8, 138.8, 135.9, 133.1, 129.4, 125.8, 123.8, 123.3, 122.9, 120.1, 119.8, 116.0, 86.1, 35.4, 33.9, 28.2, 22.3, 14.0. IR ν_{max} (KBr):2956, 1742, 1695, 1603, 1456, 1415, 1148, 761; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{24}H_{26}NO_3$ 376.1913, found: 376.1905.

***Tert*-butyl 7-oxobenzo[g]indeno[1,2-*b*]indole-12(7*H*)-carboxylate (2i).** Reddish yellow solid (58 mg, 71%); mp 345-347 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.3 Hz, 1H), 7.91-7.83 (m, 2H), 7.74-7.67 (m, 2H), 7.53-7.40 (m, 3H), 7.30 (dt, *J* = 1.0, 7.6 Hz, 1H), 7.22-7.16 (m, 1H), 1.70 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 186.7, 157.4, 150.2, 139.1, 135.7, 135.3, 133.1, 132.2, 129.4, 129.3, 126.9, 125.5, 124.7, 123.3, 123.2, 122.9, 121.5, 121.3, 119.9, 118.9, 87.3, 27.8. IR ν_{max} (KBr):2950, 1754, 1698, 1604, 1498, 1321, 1301, 1139, 752; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{24}H_{20}NO_2$ 370.1443, found: 370.1427.

***Tert*-butyl 3,8-dimethyl-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2j).** Reddish yellow solid (62mg, 77%); mp 166-168 °C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.79 (s, 1H), 7.74 (s, 1H), 7.66 (d, *J* = 7.8 Hz, 1H), 7.31 (d, *J* = 7.3 Hz, 1H), 7.10 (d, *J* = 7.3 Hz, 1H), 6.95 (d, *J* = 7.3 Hz, 1H), 2.44 (s, 3H), 2.36 (s, 3H), 1.78 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 187.0, 157.4, 149.3, 143.8, 140.9, 136.3, 136.2, 134.9, 129.1, 126.1, 124.9, 123.0, 120.9, 120.8, 119.9, 116.7, 86.1, 28.2, 22.2, 22.1. IR ν_{max} (KBr): 2922, 1747, 1694, 1604, 1416, 1351, 1120, 807; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{22}H_{22}NO_2$ 348.1600, found: 348.1595.

***Tert*-butyl 8-fluoro-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2k).** Reddish orange solid (40%); mp 160-162° C; ^{1}H-NMR (400 MHz, $CDCl_3$ 1 drop DMSO-d_6) δ 7.94 (d, *J* = 7.5 Hz, 1H), 7.83 (dd, *J* = 9.1, 4.4 Hz, 1H), 7.42 – 7.32 (m, 2H), 7.30 (dd, *J* = 6.1, 4.9 Hz, 1H), 7.17 (t, *J* = 7.3 Hz, 1H), 6.91 (td, *J* = 9.0, 2.5 Hz, 1H), 1.71 (s, 9H). ^{13}C-NMR (100 MHz, $CDCl_3$) δ 186.26, 181.98, 148.67, 138.36, 136.54, 135.24, 134.91, 133.19, 129.74, 124.08, 122.93, 117.47, 117.37, 112.65, 112.40, 105.91, 105.66, 86.69, 27.94. IR ν_{max} (KBr): 2920, 2853, 1748,

1701, 1609, 1422, 1366, 1148, 858; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{20}H_{17}FNO_3$ 338.1187, found: 338.1186

***Tert*-butyl 7-methyl-10-oxoindeno[1,2-*b*]indole-5(10*H*)-carboxylate (2l).** Reddish orange solid (62mg, 78%); mp 297-298°C; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.92 (d, *J* = 7.8 Hz, 1H), 7.78 (s, 1H), 7.66 (d, *J* = 7.8 Hz, 1H), 7.45 - 7.40 (m, 1H), 7.29 (dt, *J* = 1.5, 7.6 Hz, 1H), 7.20 - 7.14 (m, 1H), 7.11 (d, *J* = 7.3 Hz, 1H), 2.44 (s, 3H), 1.78 (s, 10H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 187.0, 157.8, 149.3, 140.9, 138.7, 136.0, 135.1, 133.1, 129.3, 126.2, 123.6, 122.9, 120.8, 120.3, 120.0, 116.7, 86.2, 28.2, 22.2. IR ν_{max} (KBr): 2976, 1718, 1699, 1605, 1356, 1159, 852; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{20}H_{21}NO_3$ 334.1438, found: 334.1435.

General procedure for the synthesis of compounds (3a-l). To a solution of tert-butyl 10-oxoindeno[1,2-b]indole-5(10H)-carboxylate **2a** (50 mg, 0.156 mmol) in DCM (1 mL) was added TFA (1 mL) TFA dropwise at 0 °C under N_2 atmosphere. Then the reaction mixture was stirred at rt for 2 h. (Reaction monitored by TLC) After the complete consumption of compound **2a**, the reaction was diluted with water (5 mL), and the aqueous layer was extracted with ethyl acetate (3×10 mL). The organic layer was washed with saturated brine then dried over Na_2SO_4 and solvent was evaporated at reduced pressure. The product was isolated by using flash column chromatography (300-400 mesh) using petroleum ether/ethyl acetate as eluent to afford the pure product.

Indeno[1,2-*b*]indol-10(5*H*)-one (3a). Red solid (32 mg, 95%); mp 315-317 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ 12.57 (br. s., 1H), 7.61 - 7.55 (m, 1H), 7.50 - 7.44 (m, 1H), 7.42 - 7.36 (m, 1H), 7.36 - 7.29 (m, 2H), 7.29 - 7.23 (m, 1H), 7.19 - 7.13 (m, 2H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ184.5, 158.6, 141.7, 140.4, 134.6, 132.6, 129.7, 123.1, 122.9, 122.4, 122.4, 119.3, 119.0, 114.1, 113.6. IR ν_{max} (KBr):2962, 1661, 1606, 1482, 1261, 1261, 1065, 802; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{15}H_{10}NO$ 220.0762, found: 220.0751.

6-Methylindeno[1,2-*b*]indol-10(5*H*)-one (3b). Red solid (34 mg, 98%); mp 322-324 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ12.38 (br. s., 1H), 7.42 - 7.31 (m, 4H), 7.26 (dd, *J* = 1.0, 7.3 Hz, 1H), 7.06 (t, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 7.3 Hz, 1H), 2.49 (s, 3H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ184.6, 158.3, 141.2, 140.4, 134.8, 132.5, 129.6, 123.7, 123.1, 122.9, 122.3, 122.2, 119.1, 116.9, 114.5, 16.7. IR ν_{max} (KBr): 3069, 1722, 1597, 1537, 1436, 1404, 1051, 915, 856; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{16}H_{12}NO$ 234.0913, found: 234.091.

8-Methylindeno[1,2-*b*]indol-10(5*H*)-one (3c). Red solid (34 mg, 97%); mp 296-297 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ12.48 (br. s., 1H), 7.40 - 7.35 (m, 2H), 7.35 - 7.30 (m, 2H), 7.29 - 7.22 (m, 2H), 6.98 (dd, *J* = 1.0, 8.3 Hz, 1H), 2.36 (s, 3H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ189.8, 163.7, 145.7, 145.2, 139.9, 137.8, 137.5, 134.9, 129.6, 127.9, 127.6, 124.5, 124.2, 119.0, 118.0 26.3 IR ν_{max} (KBr):3216, 2909, 1662, 1608, 1530, 1275, 1199, 1058,705; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{16}H_{11}KNO$ 272.0478, found: 272.0464.

6-Methoxyindeno[1,2-*b*]indol-10(5*H*)-one (3d). Red solid (33 mg, 95%); mp 262-264 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ12.69 (br. s., 1H), 7.39 - 7.35 (m, 2H), 7.34 - 7.31 (m, 1H), 7.25 (td, *J* = 3.7, 4.9 Hz, 1H), 7.16 (d, *J* = 7.3 Hz, 1H), 7.09 (t, *J* = 7.8 Hz, 1H), 6.77 (d, *J* = 7.8 Hz, 1H), 3.96 (s, 3H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ184.7, 157.9, 146.8, 140.4, 134.6, 132.6, 131.2, 129.6, 123.9, 123.7, 122.4, 119.2, 114.6, 112.0, 104.1, 55.4. IR ν_{max} (KBr): 3230,1677, 1608, 1522, 1431, 1260, 763; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{16}H_{12}NO_2$ 250.0868, found: 250.0862.

6,7-Dimethylindeno[1,2-*b*]indol-10(5*H*)-one (3e). Red solid (35 mg, 99%); mp 310-312 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ12.23 (s, 1H), 7.43 - 7.35 (m, 2H), 7.35 - 7.29 (m, 2H), 7.27 (dd, *J* = 1.0, 7.3 Hz, 1H), 7.00 (d, *J* = 7.8 Hz, 1H), 2.43 (s, 3H), 2.33 (s, 3H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ 184.6, 158.1, 141.9, 140.4, 134.9, 132.5, 130.5, 129.4, 125.4, 122.2, 120.9, 120.5, 118.9, 116.3, 114.5, 19.0, 13.3. IR ν_{max} (KBr):3272, 2920, 1671, 1591, 1431, 1072, 903,756; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{17}H_{14}NO$ 248.1075, found: 248.1066.

6,8-Dimethylindeno[1,2-*b*]indol-10(5*H*)-one (3f). Red solid (33 mg, 94%); mp 306-308 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ12.23 (s, 1H), 7.36 (dd, *J* = 1.0, 7.3 Hz, 1H), 7.33 - 7.28 (m, 2H), 7.26 - 7.20 (m, 1H), 7.19 (s, 1H),

6.76 (s, 1H), 2.43 (s, 3H), 2.31 (s, 3H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ 184.6, 158.1, 140.5, 139.5, 134.8, 132.5, 132.2, 129.4, 125.2, 122.4, 122.4, 122.2, 118.9, 116.8, 114.1, 21.0, 16.6. IR ν_{max} (KBr): 3255, 2921, 1669, 1609, 1446, 1304, 758; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{17}H_{14}NO$ 248.1075, found: 248.1073.

6,9-Dimethylindeno[1,2-*b*]indol-10(5*H*)-one (3g). Red solid (33 mg, 94%); mp 295-297 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ 12.29 (s, 1H), 7.42 - 7.37 (m, 1H), 7.36 - 7.30 (m, 2H), 7.28 - 7.22 (m, 1H), 6.87 - 6.80 (m, 2H), 2.62 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (100 MHz, DMSO-d_6) δ 184.60, 158.13, 140.51, 139.51, 134.88, 132.50, 132.22, 129.46, 125.23, 122.47, 122.42, 122.24, 118.96, 116.85, 114.17, 21.03, 16.65. IR ν_{max} (KBr):3267, 2915, 1665, 1605, 1449, 1376, 1174, 1074, 799; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{17}H_{14}NO$ 248.1075, found: 248.1067.

8-Butylindeno[1,2-*b*]indol-10(5*H*)-one (3h). Red solid (36 mg, 99%); mp 205-207 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ 12.46 (br. s., 1H), 7.39 - 7.30 (m, 4H), 7.28 (d, *J* = 6.8 Hz, 1H), 7.27 - 7.22 (m, 1H), 7.00 - 6.94 (m, 1H), 2.61 (t, *J* = 7.6 Hz, 2H), 1.61 - 1.51 (m, 2H), 1.35 - 1.23 (m, 2H), 0.89 (dt, *J* = 1.0, 7.3 Hz, 3H) ^{13}C-NMR (100 MHz, DMSO-d_6) δ 184.5, 158.5, 140.5, 140.2, 137.3, 134.7, 132.5, 129.6, 123.7, 122.6, 122.3, 118.9, 118.6, 113.9, 113.2, 34.9, 33.6, 21.7, 13.8. IR ν_{max} (KBr):3208, 2924, 1662, 1611, 1504, 1476, 1276, 1055, 891, 761; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{19}H_{18}NO$ 276.1388, found: 276.1379.

Benzo[g]indeno[1,2-*b*]indol-7(12*H*)-one (3i). Red solid (34 mg, 93%); mp 355-357 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ 8.29 (d, *J* = 8.3 Hz, 1H), 7.97 (d, *J* = 7.8 Hz, 1H), 7.73 - 7.66 (m, 2H), 7.66 - 7.61 (m, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.41 (d, *J* = 7.8 Hz, 1H), 7.38 - 7.33 (m, 2H), 7.26 (d, *J* = 7.8 Hz, 1H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ 190.6, 161.5, 145.2, 141.5, 140.4, 138.2, 135.4, 134.0, 131.9, 129.9, 129.0, 128.0, 127.6, 125.7, 125.6, 124.0, 124.0, 124.0, 121.2; IR ν_{max} (KBr):3232, 1672, 1590, 1566, 1395, 1306, 807, 756; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{19}H_{12}NO$ 270.0919, found: 270.0915.

3,8-Dimethylindeno[1,2-*b*]indol-10(5*H*)-one (3j). Red solid (33 mg, 97%); mp 340-342 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ 12.34 (br. s., 1H), 7.43 (d, *J* = 8.3 Hz, 1H), 7.25 (s, 1 H), 7.19 (d, *J* = 6.8 Hz, 1H), 7.07 (s, 1H), 7.02 (d, *J* = 7.3 Hz, 1H), 7.00 - 6.96 (m, 1H), 2.39 (s, 3H), 2.32 (s, 3H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ 184.5, 157.9, 142.6, 142.1, 137.8, 135.1, 132.3, 129.2, 124.5, 122.3, 120.3, 119.9, 118.9, 114.4, 113.4, 21.3, 21.2. IR ν_{max} (KBr): 3247, 2910, 1664, 1602, 1446, 1375, 1170, 1070, 766; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{17}H_{14}NO$ 248.1075, found: 248.1075.

8-Fluoroindeno[1,2-*b*]indol-10(5*H*)-one (3k). Red solid (15mg, 95%); mp 230-232 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ 12.34 (br. s., 1H), 7.43 (d, *J* = 8.3 Hz, 1H), 7.25 (s, 1 H), 7.19 (d, *J* = 6.8 Hz, 1H), 7.07 (s, 1H), 7.02 (d, *J* = 7.3 Hz, 1H), 7.00 - 6.96 (m, 1H), 2.39 (s, 3H), 2.32 (s, 3H)**.** ^{13}C-NMR (100 MHz, DMSO-d_6) δ 184.5, 160.7, 160.0, 140.4, 138.5, 134.4, 133.0, 130.3, 123.1, 123.0, 122.8, 119.6, 115.1, 115.0, 114.2, 114.2, 111.1, 110.9, 105.0, 104.7. IR ν_{max} (KBr): 3218, 2922, 2857, 1733, 1673, 1607, 1451, 1253, 1033, 801; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{15}H_9FNO$ 238.0663, found: 238.0661.

8-methylindeno[1,2-*b*]indol-10(5*H*)-one (3l). Red solid (23mg 99%); mp 310-312 °C; ^{1}H-NMR (400 MHz, DMSO-d_6) δ 12.41 (s, 1H), 7.43 (d, *J* = 8.0 Hz, 1H), 7.38 – 7.33 (m, 1H), 7.32 – 7.19 (m, 4H), 6.98 (dd, *J* = 7.9, 0.7 Hz, 1H), 2.37 (s, 3H). ^{13}C-NMR (100 MHz, DMSO-d_6) ^{13}C NMR (100 MHz, DMSO-d_6) δ 184.5, 158.4, 142.2, 140.4, 134.82, 132.6, 132.5, 129.6, 124.7, 122.3, 120.3, 119.1, 118.8, 114.1, 113.5, 40.2, 39.9, 39.7, 39.5, 39.3, 39.10, 38.9, 21.2. IR ν_{max} (KBr): 3213, 2917, 2856, 1726, 1660, 1598, 1285, 1194, 890, 697. HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{16}H_{12}NO$ 234.0913, found: 234.0894.

General procedure for the synthesis of compound (6a-n) To a solution of **1a** *tert*-butyl (2-bromo-1-oxo-1H-inden-3-yl)(phenyl)carbamate (50 mg, 0.125 mmol) in 1,4-dioxane was added (2-(methoxycarbonyl)phenyl)boronic acid (25 mg, 0.137 mmol), $Pd(PPh_3)_4$ (7 mg, 0.0125 mmol) and aq. K_2CO_3 (2M) under N_2 atmosphere. The reaction vial was connected to a vacuum/nitrogen manifold, evacuated, and backfilled with nitrogen. The reaction mixture was stirred at 100 °C for 6 h. Reaction monitored by TLC. After

the complete conversion of compound **1a**, the reaction mixture was filtered through a Celite pad using DCM and concentrated at reduced pressure. Then 1 ml dry DCM and TFA (356 mg 3.125 m.mol) was added dropwise at 0 °C under N_2 atmosphere. Then reaction mixture was stirred at rt for 2 h. (reaction monitored by TLC). After complete consumption of intermediate, the reaction was quenched with NaOH and again stirred for 1 h. Then the reaction mixture diluted with water (10 mL), and the aqueous layer was extracted with DCM (3×10 mL). The organic layer was washed with saturated brine then dried over Na_2SO_4 and solvent was evaporated at reduced pressure. The product was isolated by using flash column chromatography (300-400 mesh silica) using petroleum ether/ethyl acetate as eluent to afford the pure product.

6-Phenyl-5H-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6a).[39] Red solid (35 mg, 84%); mp 239-241 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.72 (d, *J* = 8.2 Hz, 1H), 8.37 – 8.31 (m, 1H), 7.80 – 7.74 (m, 1H), 7.67 – 7.62 (m, 3H), 7.53 (d, *J* = 6.6 Hz, 1H), 7.49 (dd, *J* = 8.2, 0.9 Hz, 1H), 7.46 (d, *J* = 1.9 Hz, 1H), 7.44 (dd, *J* = 3.6, 1.7 Hz, 1H), 7.25 – 7.19 (m, 1H), 6.99 (td, *J* = 7.6, 1.1 Hz, 1H), 5.48 (d, *J* = 7.7 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.8, 163.7, 155.5, 137.6, 137.2, 134.9, 134.3, 132.9, 132.8, 130.8, 130.2, 128.9, 128.8, 127.4, 124.2, 123.8, 122.9, 122.5, 108.3; IR ν_{max} (KBr):3064, 2924, 1671, 1608, 1549, 1498, 1413, 1311, 1189, 1021, 755; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{17}H_{14}NO$ 324.1025, found: 324.1033.

6-(2-Methylphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6b). Red solid (35 mg, 82%); mp 228-230 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.76 – 8.73 (m, 1H), 8.38 (ddd, *J* = 8.0, 1.3, 0.6 Hz, 1H), 7.78 (ddd, *J* = 8.3, 7.2, 1.4 Hz, 1H), 7.55 (dd, *J* = 7.4, 1.0 Hz, 2H), 7.52 – 7.47 (m, 2H), 7.47 – 7.43 (m, 1H), 7.33 (dd, *J* = 7.8, 1.2 Hz, 1H), 7.25 – 7.22 (m, 1H), 7.00 (td, *J* = 7.7, 1.2 Hz, 1H), 5.45 (d, *J* = 7.6 Hz, 1H), 2.17 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 190.8, 163.1, 155.5, 137.1, 136.7, 136.5, 134.9, 134.3, 133.1, 133.0, 131.7, 131.0, 130.5, 129.0, 128.7, 127.9, 127.4, 124.2, 123.8, 122.9, 121.8, 108.3, 17.7; IR ν_{max} (KBr):3061, 2924, 1667, 1608, 1546, 141, 13011, 1071, 755; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{16}NO_2$ 338.1176, found: 338.1177.

6-(4-Methylphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6c). Red solid (33 mg, 82%); mp 270-272 ° C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.70 (d, *J* = 8.1 Hz, 1H), 8.34 (d, *J* = 7.7 Hz, 1H), 7.78 – 7.70 (m, 1H), 7.52 (d, *J* = 7.1 Hz, 1H), 7.49 – 7.40 (m, 3H), 7.31 (d, *J* = 8.2 Hz, 2H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.01 (td, *J* = 7.7, 0.9 Hz, 1H), 5.58 (d, *J* = 7.5 Hz, 1H), 2.54 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.8, 163.9, 155.7, 140.4, 137.3, 134.9, 134.9, 134.2, 132.8, 132.8, 130.8, 130.8, 128.9, 128.4, 127.3, 124.1, 123.7, 122.8, 122.6, 108.2, 21.6. IR ν_{max} (KBr): 2923, 1670, 1605, 1501, 1421, 1259, 1081, 754; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{16}NO_2$ 338.1176, found: 338.1178.

6-(2-Methoxyphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6d). Red solid (35 mg, 82%); mp 270-272° C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.71 (d, *J* = 8.0 Hz, 1H), 8.35 (d, *J* = 7.9 Hz, 1H), 7.75 (dd, *J* = 11.7, 4.7 Hz, 1H), 7.54 (d, *J* = 7.1 Hz, 1H), 7.48 (dd, *J* = 11.3, 3.9 Hz, 1H), 7.34 (d, *J* = 8.8 Hz, 2H), 7.23 (t, *J* = 7.4 Hz, 1H), 7.16 – 7.09 (m, 2H), 7.04 (dd, *J* = 11.1, 4.1 Hz, 1H), 5.65 (d, *J* = 7.5 Hz, 1H), 3.95 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.8, 164.1, 160.7, 155.9, 137.4, 135.0, 134.3, 132.9, 130.8, 130.1, 129.7, 128.9, 127.3, 124.2, 123.76, 122.9, 122.7, 115.4, 108.2, 55.8; IR ν_{max} (KBr):3061, 2923, 2855, 1671, 1606, 1505, 1414, 1235, 1022, 802; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{16}NO_3$ 354.1125, found: 354.1147.

6-(2,5-Dimethylphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6e). Red solid (33 mg, 80%); mp 230-232 °C^{1}H NMR (600 MHz, $CDCl_3$) δ 8.75 – 8.72 (m, 1H), 8.37 (dd, *J* = 8.1, 0.7 Hz, 1H), 7.80 – 7.75 (m, 1H), 7.55 (dd, *J* = 7.1, 0.5 Hz, 1H), 7.49 (ddd, *J* = 8.2, 7.2, 1.2 Hz, 1H), 7.29 (d, *J* = 0.5 Hz, 1H), 7.26 – 7.22 (m, 2H), 7.19 (d, *J* = 7.9 Hz, 1H), 7.03 (dd, *J* = 7.6, 1.2 Hz, 1H), 5.56 (d, *J* = 7.6 Hz, 1H), 2.49 (s, 3H), 2.11 (s, 3H).^{13}C NMR (150 MHz, $CDCl_3$) δ 190.8, 163.3, 155.8, 140.6, 137.2, 136.0, 134.9, 134.3, 134.0, 133.1, 133.0, 132.4, 130.9, 129.0, 128.5, 128.3, 127.3, 124.2, 123.8, 122.9, 121.9, 108.3, 77.4, 77.2, 77.0, 21.5, 17.6. IR ν_{max} (KBr): 2932, 1677, 1605, 1501, 1366, 1248, 758; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{24}H_{18}NO_2$ 352.1332, found: 352.1332.

6-(2,4-Dimethylphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6f). Red solid (32 mg, 79%); mp 220-222 °C; ^{1}H NMR (600 MHz, $CDCl_3$) *δ* 8.73 (d, *J* = 7.9 Hz, 1H), 8.40 – 8.34 (m, 1H), 7.77 (ddd, *J* = 8.2, 7.2, 1.3 Hz, 1H), 7.55 (d, *J* = 7.3 Hz, 1H), 7.51 – 7.46 (m, 1H), 7.29 (s, 1H), 7.24 (m, 2H), 7.19 (d, *J* = 7.9 Hz, 1H), 7.03 (td, *J* = 7.5, 1.0 Hz, 1H), 5.56 (d, *J* = 7.7 Hz, 1H), 2.49 (s, 3H), 2.11 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) *δ* 190.8, 163.3, 155.8, 140.6, 137.2, 136.0, 134.9, 134.3, 134.0, 133.1, 133.0, 132.4, 130.9, 129.0, 128.5, 128.3, 127.3, 124.2, 123.8, 122.9, 121.9, 108.3, 21.5, 17.6. IR ν_{max} (KBr): 2920, 1668, 1608, 1546, 1498, 1411, 1298, 1022, 757; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{24}H_{18}NO_2$ 352.1332, found: 352.1355.

6-(2,3-Dimethylphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6g). Red solid (34 mg, 80%); mp 200-202 °C; ^{1}H NMR (600 MHz, $CDCl_3$) *δ* 8.71 (d, *J* = 8.0 Hz, 1H), 8.35 (dd, *J* = 8.0, 0.7 Hz, 1H), 7.78 – 7.73 (m, 1H), 7.53 (d, *J* = 7.0 Hz, 1H), 7.49 – 7.45 (m, 1H), 7.38 (d, *J* = 7.9 Hz, 1H), 7.25 – 7.19 (m, 2H), 7.15 (dd, *J* = 7.9, 2.2 Hz, 1H), 7.02 (td, *J* = 7.6, 1.2 Hz, 1H), 5.61 (d, *J* = 7.6 Hz, 1H), 2.43 (s, 3H), 2.36 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 190.8, 163.9, 155.7, 139.0, 138.9, 137.4, 135.0, 135.0, 134.2, 132.9, 132.8, 131.2, 130.8, 129.4, 128.9, 127.3, 125.7, 124.2, 123.7, 122.8, 122.7, 108.1, 20.1, 19.9; IR ν_{max} (KBr): 2925, 1668, 1609, 1551, 1451, 1266, 1016, 756; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{24}H_{18}NO_2$ 352.1332, found: 352.1336.

6-(4-Butylphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6h). Red solid (34 mg, 80%); mp 160-162°C; ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.71 (d, *J* = 8.0 Hz, 1H), 8.35 (d, *J* = 8.0 Hz, 1H), 7.76 (t, *J* = 7.6 Hz, 1H), 7.53 (d, *J* = 7.0 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 1H), 7.43 (d, *J* = 8.2 Hz, 2H), 7.33 (d, *J* = 8.2 Hz, 2H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.02 – 6.95 (m, 1H), 5.51 (d, *J* = 7.6 Hz, 1H), 2.86 – 2.67 (m, 2H), 1.79 – 1.67 (m, 2H), 1.44 (dq, *J* = 14.7, 7.4 Hz, 2H), 0.99 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.8, 163.9, 155.7, 151.1, 145.3, 137.3, 135.0, 134.3, 132.9, 132.8, 130.8, 130.2, 128.9, 128.4, 127.3, 123.8, 122.8, 122.6, 108.2, 35.6, 33.5, 22.4, 14.1; IR ν_{max} (KBr):3058, 2925, 1675, 1609, 1502, 1454, 1310, 1020, 758 ; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{26}H_{22}NO_2$ 380.1645, found: 380.1671.

6-(4-Methoxyphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6i). Red solid (36 mg, 85%); mp 235-237 °C; ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.73 (d, *J* = 8.1 Hz, 1H), 8.37 (d, *J* = 8.0 Hz, 1H), 7.76 (t, *J* = 7.7 Hz, 1H), 7.61 (td, *J* = 8.3, 1.6 Hz, 1H), 7.54 (d, *J* = 7.1 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H), 7.38 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.26 – 7.19 (m, 2H), 7.17 (dd, *J* = 7.9, 4.8 Hz, 1H), 7.01 (t, *J* = 7.6 Hz, 1H), 5.65 (d, *J* = 7.6 Hz, 1H), 3.75 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) *δ* 190.9, 163.4, 156.4, 155.2, 137.5, 135.0, 134.2, 133.0, 132.9, 131.8, 130.8, 129.9, 128.9, 127.1, 126.1, 124.3, 123.7, 122.8, 121.6, 121.5, 112.6, 56.1. IR ν_{max} (KBr): 2923, 1664, 1603, 1496, 1411, 1018, 753; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{16}NO_3$ 354.1125, found: 354.1134.

6-(3,5-Dimethoxyphenyl)-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6j). Red solid (32 mg, 79%); mp 264-266 °C; ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.70 (d, *J* = 8.0 Hz, 1H), 8.38 – 8.31 (m, 1H), 7.79 – 7.71 (m, 1H), 7.53 (d, *J* = 7.0 Hz, 1H), 7.50 – 7.44 (m, 1H), 7.24 (dd, *J* = 11.2, 4.1 Hz, 1H), 7.07 (td, *J* = 7.8, 1.2 Hz, 1H), 6.71 (t, *J* = 2.2 Hz, 1H), 6.60 (d, *J* = 2.3 Hz, 2H), 5.79 (d, *J* = 7.6 Hz, 1H), 3.83 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) *δ* 190.8, 163.6, 161.8, 155.4, 139.0, 137.1, 134.8, 134.3, 133.1, 132.8, 130.8, 128.8, 127.4, 124.1, 123.7, 122.8, 122.7, 108.2, 106.8, 102.6, 55.9. IR ν_{max} (KBr):2924, 1672, 1605, 1460, 1252, 1153, 753; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{24}H_{18}NO_4$ 384.1230, found: 384.1254.

6,8-Diphenyl-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6k). Red solid (34 mg, 78%); mp 211-213 °C; ^{1}H NMR (400 MHz, $CDCl_3$) *δ* 8.71 (d, *J* = 8.0 Hz, 1H), 8.36 (d, *J* = 8.1 Hz, 1H), 7.79 – 7.71 (m, 1H), 7.71 – 7.63 (m, 3H), 7.56 (d, *J* = 7.5 Hz, 1H), 7.53 – 7.39 (m, 4H), 7.34 (m, 3H), 7.19 – 7.11 (m, 2H), 5.70 (d, *J* = 0.9 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) *δ* 190.46, 163.67, 154.96, 145.34, 139.40, 137.96, 137.75, 134.31, 133.50, 132.85, 130.3, 130.1, 129.0, 128.9, 128.9, 128.5, 127.4, 126.7, 124.2, 123.8, 123.3, 121.7, 108.9; IR ν_{max} (KBr): 3001, 1672, 1608, 1501, 1418, 1323, 1155, 1051, 756; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{28}H_{18}NO_2$ 400.1332, found: 200.5679.

2-Methyl-6-phenyl-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6l). Red solid (37 mg, 84%); mp 260-262 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (d, *J* = 8.2 Hz, 1H), 8.12 (s, 1H), 7.67 – 7.60 (m, 3H), 7.57 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.49 (d, *J* = 7.0 Hz, 1H), 7.46 – 7.42 (m, 2H), 7.18 (t, *J* = 7.6 Hz, 1H), 6.96 (td, *J* = 7.8, 1.1 Hz, 1H), 5.43 (d, *J* = 7.5 Hz, 1H), 2.47 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.9, 163.7, 154.6, 137.7, 137.6, 137.4, 135.8, 134.8, 132.8, 130.6, 130.4, 130.2, 128.8, 128.4, 124.1, 123.7, 122.8, 122.3, 108.5, 21.7; IR ν_{max} (KBr):2922, 2855, 1719, 1668, 1456, 1260, 1214, 1086, 1022, 750; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{16}NO_2$ 338.1176, found: 338.1174.

2,3-Dimethoxy-6-phenyl-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6m). Red solid (39 mg, 80%); mp 267-269 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.71 (d, *J* = 8.1 Hz, 1H), 8.38 – 8.31 (m, 1H), 7.80 – 7.72 (m, 1H), 7.54 (d, *J* = 7.1 Hz, 1H), 7.51 – 7.45 (m, 1H), 7.27 – 7.22 (m, 2H), 7.08 (td, *J* = 7.7, 1.1 Hz, 1H), 6.71 (t, *J* = 2.2 Hz, 1H), 6.60 (d, *J* = 2.3 Hz, 2H), 5.80 (d, *J* = 7.4 Hz, 1H), 3.83 (s, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.9, 163.4, 161.8, 159.1, 153.1, 137.7, 137.5, 134.6, 132.8, 130.3, 130.1, 128.7, 127.3, 126.8, 125.4, 124.4, 122.7, 122.0, 109.0, 106.8, 55.8, 55.7. IR ν_{max} (KBr): 3006, 2920, 1660, 1572, 1472, 1382, 1315, 1253, 1066, 785; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{24}H_{18}NO_4$ 384.1230, found: 384.1229.

3-Methoxy-6-phenyl-5*H*-indeno[1,2-*c*]isoquinoline-5,11(6*H*)-dione (6n). Red solid (37 mg, 80%); mp 241-243 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.72 (d, *J* = 8.0 Hz, 1H), 8.38 – 8.32 (m, 1H), 7.80 – 7.72 (m, 1H), 7.55 (d, *J* = 7.3 Hz, 1H), 7.51 – 7.45 (m, 1H), 7.37 – 7.31 (m, 2H), 7.27 – 7.21 (m, 1H), 7.15 – 7.10 (m, 2H), 7.04 (td, *J* = 7.6, 1.1 Hz, 1H), 5.65 (d, *J* = 7.5 Hz, 1H), 3.95 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.8, 164.1, 160.7, 155.9, 137.3, 134.9, 134.3, 132.9, 132.8, 130.8, 130.0, 129.7, 128.9, 127.3, 124.1, 123.7, 122.9, 122.7, 115.3, 108.2, 55.8; IR ν_{max} (KBr):2923, 2854, 1669, 1605, 1497, 1418, 1323, 1151, 1059, 953, 752 ; HRMS (ESI-TOF) *m/z*: $[M+H]^+$ calcd for $C_{23}H_{16}NO_3$ 354.1125, found: 354.1130.

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Supplementary Material

Copies of NMR spectra of all synthesized compounds are given in the Supplementary Material file associated with this manuscript.

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