

Palladium-catalyzed three-component reaction of 2-alkynylbromobenzene, 2-alkynylaniline, and electrophile: an efficient pathway for the synthesis of diverse 11*H*-indeno[1,2-*c*]quinolines†

Xiaolin Pan,^a Yong Luo^a and Jie Wu^{*a,b}

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Diverse 11*H*-indeno[1,2-*c*]quinolines are produced *via* a palladium-catalyzed three-component reaction of 2-alkynylbromobenzene, 2-alkynylaniline, and electrophile. This conversion tolerates a wide variety of functionality and substitution patterns on the 11*H*-indeno[1,2-*c*]quinoline ring.

1. Introduction

Since the completion of the Human Genome Project in 2003, small molecules are in great demand in biomedical research communities for the elucidation of gene functions and the associated control of gene products.¹ Among the molecules, natural products or natural product-like compounds have been identified as therapeutic agents or functional modulators of specific biological processes. Therefore, continuous efforts are given towards the generation of natural product-like compounds with privileged substructures *via* diversity-oriented synthesis.²

The widespread use of 2-alkynylhalobenzenes in organic syntheses is attributable to their versatility in carbon–carbon bond forming reactions, which have been successfully applied in the synthesis of diverse heterocyclic systems.^{3,4} We have previously reported⁴ the discovery of a novel route for the preparation of functionalized polycyclic structures starting from 2-alkynylhalobenzenes *via* tandem reactions.⁵ During the reaction process, molecular diversity and complexity could be introduced easily in an efficient pathway. For instance, 11*H*-indeno[1,2-*c*]quinolin-11-ols could be generated through a palladium-catalyzed three-component reaction of 2-alkynylhalobenzene and 2-alkynylaniline.^{4c} A subsequent insertion of two triple bonds was involved in this transformation. 11*H*-Indeno[1,2-*c*]quinoline, which incorporates both quinoline and indene skeletons in one molecule, could be recognized as a privileged scaffold as well. It is well known that quinoline can be found in many natural products and pharmaceuticals with remarkable biological activities.⁶ Moreover, quinoline compounds are valuable synthons for the

preparation of nano and mesostructures with enhanced electronic and photonic properties.⁷ Additionally, applications of indene compounds in drug discovery programs⁸ and materials science⁹ have been demonstrated. As part of our efforts towards the generation of natural product-like compounds¹⁰ and with an expectation for the application of the 11*H*-indeno[1,2-*c*]quinoline library in different biological evaluations, efficient pathways for the preparation of diverse 11*H*-indeno[1,2-*c*]quinolines are needed. Herein, we wish to report our recent efforts for the construction of functionalized 11*H*-indeno[1,2-*c*]quinolines *via* a palladium-catalyzed three-component reaction of 2-alkynylbromobenzenes, 2-alkynylanilines, and electrophiles (such as allylic bromide, NBS, and NCS).

2. Results and discussion

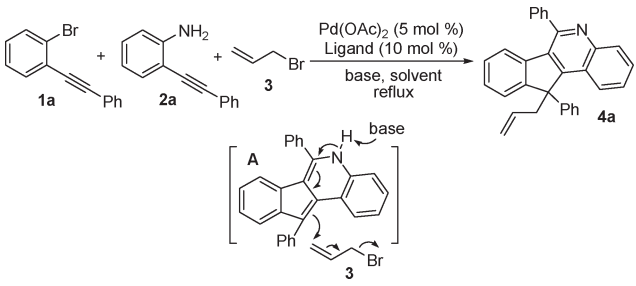
We began our investigation by reacting 2-alkynylbromobenzene **1a**, 2-alkynylaniline **2a**, with allylic bromide **3** under palladium-catalyzed reaction conditions (Table 1). As mentioned in our previous report,^{4c} 6,11-diphenyl-5*H*-indeno[1,2-*c*]quinoline **A** would be the key intermediate during the reaction process. In the presence of a base and allylic bromide, an intermolecular nucleophilic attack would occur to afford the corresponding product **4a**. After screening the effects of ligands, bases, and solvents in a combinatorial format, we rapidly noticed that the reaction worked efficiently catalyzed by palladium acetate (5 mol%) and tricyclohexylphosphine (10 mol%) in the presence of NaO*t*Bu as the base in 1,4-dioxane at 100 °C. The desired 11*H*-indeno[1,2-*c*]quinoline **4a** was isolated in 88% yield.

With the optimized reaction conditions in hand, the scope of the palladium-catalyzed three-component reaction of 2-alkynylbromobenzenes, 2-alkynylanilines, and allylic bromide was subsequently studied (Table 2). In general, these reactions worked well, giving rise to the corresponding 11*H*-indeno[1,2-*c*]quinolines **4** in moderate to good yields. 2-Alkynylanilines **2** bearing either electron-rich or electron-poor substituents in the aromatic

^aDepartment of Chemistry, Fudan University, 220 Handan Road, Shanghai 200433, China. E-mail: jie_wu@fudan.edu.cn; Fax: +86 21 6564 1740; Tel: +86 21 6510 2412

^bJiangxi Key Laboratory of Organic Chemistry, Jiangxi Science & Technology Normal University, Nanchang 330013, China

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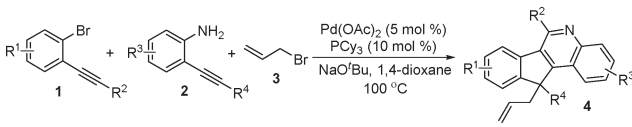
Table 1 Initial studies of the palladium-catalyzed reaction of 2-alkynylbromobenzene **1a** and 2-alkynylaniline **2a** with allylic bromide **3**


Entry	Ligand	Base	Solvent	Yield (%) ^a
1	PCy ₃	K ₃ PO ₄	1,4-dioxane	nr
2	PCy ₃	Cs ₂ CO ₃	1,4-dioxane	nr
3	PCy ₃	KOH	1,4-dioxane	nr
4	PCy ₃	K ₂ CO ₃	1,4-dioxane	nr
5	PCy ₃	NaOMe	1,4-dioxane	trace
6	PCy ₃	<i>t</i> -BuONa	1,4-dioxane	88
7	DPE Phos	<i>t</i> -BuONa	1,4-dioxane	50
8	Xant Phos	<i>t</i> -BuONa	1,4-dioxane	70
9	X-Phos	<i>t</i> -BuONa	1,4-dioxane	trace
10	PPh ₃	<i>t</i> -BuONa	1,4-dioxane	nr
11	PCy ₃	<i>t</i> -BuONa	DMF	nr
12	PCy ₃	<i>t</i> -BuONa	toluene	nr

^a Isolated yield based on 2-alkynylaniline **2**.

ring were converted to the desired products with good reactivity. For instance, 2-alkynyl-4-methylaniline reacted with 2-alkynylbromobenzene **1a** and allylic bromide **3**, leading to compound **4b** in 83% yield (Table 2, entry 2). When 2-alkynyl-4-chloroaniline was used as a replacement in the above reaction, the expected 11*H*-indeno[1,2-*c*]quinolines **4c** was produced in 72% yield (Table 2, entry 3). For the reactions of 2-alkynylaniline **2** with other substituents at the R⁴ position, good yields were observed (Table 2, entries 4–7). For example, reaction of 2-alkynylbromobenzene **1a**, allylic bromide, and 2-alkynyl-4-methylaniline with a 4-methylphenyl group at the R⁴ position afforded the desired product **4f** in 78% yield (Table 2, entry 6). However, the reaction employing chloro-substituted 2-alkynylaniline in the above conversion furnished product **4h** in 50% yield (Table 2, entry 8). A lower yield was obtained when methyl-substituted 2-alkynylbromobenzene was utilized in the palladium-catalyzed three-component reaction (Table 2, entry 9). We noticed that an excellent result was generated for the reaction of 2-alkynylbromobenzene with a 4-chlorophenyl group at the R² position (93% yield, Table 2, entry 12). The reactivity was diminished when the R² position was replaced by a 4-methyl- or 4-methoxyphenyl group (Table 2, entries 10 and 11). A low yield (36%) was obtained when 2-alkynylbromobenzene with a *n*-butyl group attached on the R² position was used (Table 2, entry 13).

In an effort to further expand the scope of this reaction, we next explored the palladium-catalyzed three-component reaction of 2-alkynylbromobenzene and 2-alkynylaniline with NBS or NCS (Table 3). The reactions proceeded under the standard conditions shown in Table 1. Reaction of 2-alkynylbromobenzene **1a**, 2-alkynylaniline **2a**, with NBS afforded the bromo-substituted 11*H*-indeno[1,2-*c*]quinoline **5a** in 82% yield

Table 2 Palladium-catalyzed three-component reaction of 2-alkynylbromobenzene **1**, 2-alkynylaniline **2**, with allylic bromide **3**^a


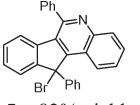
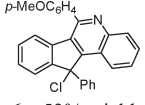
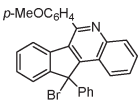
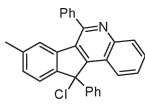
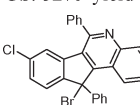
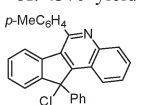
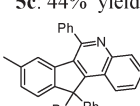
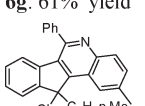
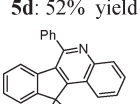
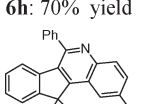
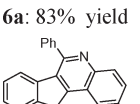
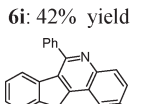
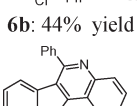
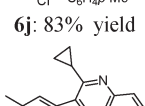
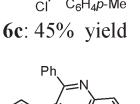
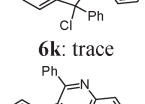
Entry	Product	Entry	Product
1	4a : 88% yield	8	4h : 50% yield
2	4b : 83% yield	9	4i : 46% yield
3	4c : 72% yield	10	4j : 60% yield
4	4d : 87% yield	11	4k : 48% yield
5	4e : 82% yield	12	4l : 93% yield
6	4f : 78% yield	13	4m : 36% yield
7	4g : 87% yield		

^a Isolated yield based on 2-alkynylaniline **2**.

(Table 3, entry 1). Moderate yields were obtained when other 2-alkynylbromobenzenes were employed in the transformation (Table 3, entries 2–4). NCS was a suitable reactant as well, and the corresponding products **6** were prepared in moderate to good yields (Table 3, entries 5–14). However, only a trace amount of product was detected for the 2-alkynylbromobenzene or 2-alkynylaniline with an alkyl group attached at the R² or R⁴ position (Table 3, entries 15 and 16).

In conclusion, we have developed an efficient route for the synthesis of diverse 11*H*-indeno[1,2-*c*]quinolines through a palladium-catalyzed three-component reaction of 2-alkynylbromobenzene, 2-alkynylaniline, and electrophile (such as allylic bromide, NBS and NCS). This conversion tolerates a wide variety of functionality and substitution patterns on the 11*H*-

Table 3 Palladium-catalyzed three-component reaction of 2-alkynylbromobenzene **1** and 2-alkynylaniline **2** with NBS or NCS^a

$ \begin{array}{c} \text{R}^1-\text{C}_6\text{H}_3(\text{Br})-\text{C}\equiv\text{C}-\text{R}^2 + \text{R}^3-\text{C}_6\text{H}_4(\text{NH}_2)-\text{C}\equiv\text{C}-\text{R}^4 + \text{NCS or NBS} \\ \text{1} \qquad \qquad \qquad \text{2} \\ \xrightarrow[\text{NaO}^t\text{Bu, 1,4-dioxane, 100 }^\circ\text{C}]{\text{Pd(OAc)}_2 (5 \text{ mol } \%), \text{PCy}_3 (10 \text{ mol } \%) } \end{array} $			
Entry	Product	Entry	Product
1	 5a : 82% yield	9	 6e : 53% yield
2	 5b : 52% yield	10	 6f : 43% yield
3	 5c : 44% yield	11	 6g : 61% yield
4	 5d : 52% yield	12	 6h : 70% yield
5	 6a : 83% yield	13	 6i : 42% yield
6	 6b : 44% yield	14	 6j : 83% yield
7	 6c : 45% yield	15	 6k : trace
8	 6d : 43% yield	16	 6l : trace

^a Isolated yield based on 2-alkynylaniline **2**.

indeno[1,2-*c*]quinoline ring. Exploration of other transformations of 2-alkynylhalobenzenes is in progress in our laboratory.

Experimental section

All reactions were performed in test tubes under nitrogen atmosphere. Flash column chromatography was performed using silica gel (60 Å pore size, 32–63 µm, standard grade). Analytical thin-layer chromatography was performed using glass plates pre-

coated with 0.25 mm 230–400 mesh silica gel impregnated with a fluorescent indicator (254 nm). Thin layer chromatography plates were visualized by exposure to ultraviolet light. Organic solutions were concentrated at ~20 Torr (house vacuum) at 25–35 °C. Solvents were re-distilled prior to use in the reactions. Other commercial reagents were used as received. ¹H and ¹³C NMR spectra were recorded in CDCl₃ on a Bruker DRX-400 spectrometer operating at 400 MHz and 100 MHz, respectively. All chemical shift values are quoted in ppm and coupling constants quoted in Hz. High resolution mass spectrometry (HRMS) spectra were obtained on a microTOF II Instrument. IR spectra were run on a FTIR-360 spectrophotometer.

General experimental procedure for palladium-catalyzed three-component reaction of 2-alkynylbromobenzene, 2-alkynylaniline, and electrophile

2-Alkynylbromobenzene (0.24 mmol) was added to a mixture of Pd(OAc)₂ (5 mol%), tricyclohexylphosphine (10 mol%), *t*-BuONa (0.8 mmol), and 2-alkynylaniline (0.20 mmol) in 1,4-dioxane (2.0 mL). The mixture was heated at 100 °C. After 2-alkynylaniline was consumed completely, an electrophile (allylic bromide, NBS or NCS, 0.3 mmol) was added to the mixture. After completion of the reaction as indicated by TLC, the reaction was cooled and the solvent was diluted by EtOAc (10 mL), washed with saturated brine (2 × 10 mL), and dried by anhydrous Na₂SO₄. Evaporation of the solvent followed by purification on silica gel provides the product (11*H*-indeno[1,2-*c*]quinolines **4–6**).

11-Allyl-6,11-diphenyl-11*H*-indeno[1,2-*c*]quinoline (4a). IR 3058.3, 2922.9, 2845.6, 1637.9, 1599.9, 1496.0, 1456.7, 1442.5 cm⁻¹. ¹H NMR (400 MHz, CDCl₃) δ 8.24–8.22 (m, 1H), 7.77–7.76 (m, 2H), 7.63–7.56 (m, 5H), 7.37–7.33 (m, 1H), 7.26–7.16 (m, 7H), 7.08–7.04 (m, 1H), 7.00–6.98 (m, 1H), 4.84–4.75 (m, 1H), 4.56–4.47 (m, 2H), 3.58 (d, *J* = 7.2 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 155.8, 155.7, 152.9, 147.2, 142.7, 140.5, 138.4, 132.7, 131.6, 130.4, 128.8, 128.7, 128.6, 127.6, 127.0, 126.9, 126.5, 126.3, 124.0, 123.9, 123.6, 122.8, 117.9, 59.4, 41.3. HRMS (ESI) calcd for C₃₁H₂₃N: 410.1909 (*M* + *H*⁺), found: 410.1880.

11-Allyl-2-methyl-6,11-diphenyl-11*H*-indeno[1,2-*c*]quinoline (4b). IR 3058.7, 2922.9, 2854.0, 1634.6, 1594.9, 1561.3, 1495.0, 1467.1, 1443.0, 1364.9 cm⁻¹. ¹H NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 8.8 Hz, 1H), 7.76–7.74 (m, 2H), 7.59–7.54 (m, 3H), 7.44 (d, *J* = 8.8 Hz, 1H), 7.36 (s, 1H), 7.26–7.15 (m, 7H), 7.05 (t, *J* = 7.6 Hz, 1H), 6.99–6.97 (m, 1H), 4.84–4.75 (m, 1H), 4.58–4.48 (m, 2H), 3.58 (dd, *J* = 6.8, 3.2 Hz, 2H), 2.37 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 154.9, 153.0, 147.3, 145.8, 142.8, 140.7, 138.6, 136.2, 132.6, 131.8, 131.1, 130.1, 128.9, 128.8, 128.7, 128.6, 127.5, 126.9, 126.8, 126.3, 124.0, 123.6, 122.8, 117.8, 59.3, 41.1, 22.0. HRMS (ESI) calcd for C₃₂H₂₅N: 424.2065 (*M* + *H*⁺), found: 424.2066.

11-Allyl-2-chloro-6,11-diphenyl-11*H*-indeno[1,2-*c*]quinoline (4c). IR 3060.6, 2925.5, 2853.5, 1640.7, 1601.3, 1563.4, 1491.8, 1462.3, 1444.3 cm⁻¹. ¹H NMR (400 MHz, CDCl₃) δ 8.15 (d, *J* = 8.8 Hz, 1H), 7.76–7.74 (m, 2H), 7.61–7.53 (m, 5H), 7.29–7.17 (m, 7H), 7.10–7.06 (m, 1H), 7.02–7.00 (m, 1H),

4.85–4.74 (m, 1H), 4.60–4.50 (m, 2H), 3.58–3.54 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.0, 154.9, 153.1, 145.5, 142.0, 140.2, 138.1, 133.5, 132.2, 132.1, 131.4, 129.0, 128.9, 128.8, 128.8, 128.7, 128.0, 127.3, 127.0, 126.3, 124.6, 123.7, 123.0, 122.6, 118.2, 59.4, 41.1. HRMS (ESI) calcd for $\text{C}_{31}\text{H}_{22}\text{ClN}$: 444.1519 ($\text{M} + \text{H}^+$), found: 444.1503.

11-Allyl-6-phenyl-11-(*p*-tolyl)-11*H*-indeno[1,2-*c*]quinoline (4d). IR 3059.2, 2921.7, 2847.8, 1634.6, 1561.4, 1509.6, 1491.3, 1466.9, 1436.4, 1366.3 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.22 (d, $J = 8.4$ Hz, 1H), 7.77–7.75 (m, 2H), 7.65–7.63 (m, 1H), 7.61–7.55 (m, 3H), 7.35 (t, $J = 8.0$ Hz, 1H), 7.22–7.15 (m, 2H), 7.09–7.06 (m, 6H), 7.00–6.98 (m, 1H), 4.83–4.77 (m, 1H), 4.56–4.46 (m, 2H), 3.56 (d, $J = 6.8$ Hz, 2H), 2.27 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.8, 155.07, 153.1, 147.2, 140.6, 139.6, 138.4, 136.5, 132.6, 131.8, 130.4, 129.5, 128.8, 128.8, 128.7, 128.6, 127.6, 126.8, 126.4, 126.2, 124.0, 123.9, 123.5, 122.8, 117.8, 59.1, 41.3, 20.9. HRMS (ESI) calcd for $\text{C}_{32}\text{H}_{25}\text{N}$: 424.2065 ($\text{M} + \text{H}^+$), found: 424.2070.

11-Allyl-11-(4-chlorophenyl)-6-phenyl-11*H*-indeno[1,2-*c*]quinoline (4e). IR 3061.1, 2923.6, 2852.1, 1640.2, 1565.4, 1491.6, 1463.7, 1444.0 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.24 (d, $J = 8.8$ Hz, 1H), 7.76–7.75 (m, 2H), 7.65–7.57 (m, 5H), 7.40–7.36 (m, 1H), 7.23–7.18 (m, 4H), 7.13–7.11 (m, 2H), 7.09–7.05 (m, 1H), 7.00 (d, $J = 7.6$ Hz, 1H), 4.82–4.74 (m, 1H), 4.56–4.48 (m, 2H), 3.54 (d, $J = 7.2$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.8, 155.1, 152.4, 147.2, 141.4, 140.4, 138.4, 132.8, 132.7, 131.3, 130.6, 129.0, 128.9, 128.9, 128.8, 128.7, 127.8, 127.7, 127.1, 126.6, 123.7, 123.5, 122.9, 118.2, 58.9, 41.2. HRMS (ESI) calcd for $\text{C}_{31}\text{H}_{22}\text{ClN}$: 444.1519 ($\text{M} + \text{H}^+$), found: 444.1508.

11-Allyl-2-methyl-6-phenyl-11-(*p*-tolyl)-11*H*-indeno[1,2-*c*]quinoline (4f). IR 3057.4, 2921.0, 2855.6, 1640.6, 1622.4, 1561.4, 1497.7, 1467.0, 1442.8, 1366.3 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.11 (d, $J = 8.4$ Hz, 1H), 7.75 (d, $J = 6.8$ Hz, 2H), 7.58–7.56 (m, 3H), 7.46–7.40 (m, 2H), 7.20–7.14 (m, 2H), 7.09–7.03 (m, 5H), 6.98–6.96 (m, 1H), 4.83–4.75 (m, 1H), 4.57–4.47 (m, 2H), 3.58–3.55 (m, 2H), 2.38 (s, 3H), 2.27 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.0, 154.8, 153.1, 145.8, 140.7, 139.7, 138.6, 136.4, 136.2, 132.6, 131.9, 131.1, 130.1, 129.4, 128.9, 128.7, 128.6, 127.5, 126.7, 126.1, 124.0, 123.5, 122.8, 122.7, 117.7, 59.1, 41.1, 22.0, 21.0. HRMS (ESI) calcd for $\text{C}_{33}\text{H}_{27}\text{N}$: 438.2222 ($\text{M} + \text{H}^+$), found: 438.2208.

11-Allyl-11-(4-chlorophenyl)-2-methyl-6-phenyl-11*H*-indeno[1,2-*c*]quinoline (4g). IR 3060.3, 2921.7, 2854.4, 1643.7, 1622.4, 1561.4, 1492.0, 1467.6, 1442.2, 1364.8 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.12 (d, $J = 8.8$ Hz, 1H), 7.74 (d, $J = 6.4$ Hz, 2H), 7.59–7.54 (m, 3H), 7.48–7.45 (m, 1H), 7.32 (s, 1H), 7.24–7.21 (m, 2H), 7.18–7.11 (m, 4H), 7.08–7.04 (m, 1H), 6.99–6.97 (m, 1H), 4.82–4.74 (m, 1H), 4.58–4.48 (m, 2H), 3.56–3.52 (m, 2H), 2.40 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.9, 154.2, 152.4, 145.9, 141.5, 140.5, 138.5, 136.5, 132.7, 132.6, 131.4, 131.3, 130.3, 128.9, 128.8, 128.7, 128.6, 127.7, 127.6, 127.0, 123.8, 123.4, 122.9, 122.5, 118.1, 58.8, 41.1, 22.0. HRMS (ESI) calcd for $\text{C}_{32}\text{H}_{24}\text{ClN}$: 458.1676 ($\text{M} + \text{H}^+$), found: 458.1678.

11-Allyl-2-chloro-6-phenyl-11-(*p*-tolyl)-11*H*-indeno[1,2-*c*]quinoline (4h). IR 3051.6, 2925.3, 2855.1, 1643.7, 1608.8, 1563.1, 1491.5, 1462.3, 1444.6, 1362.8 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.14 (d, $J = 8.8$ Hz, 1H), 7.76–7.74 (m, 2H), 7.61–7.53 (m, 5H), 7.21–7.20 (m, 2H), 7.09–7.05 (m, 5H), 7.01–6.99 (m, 1H), 4.82–4.74 (m, 1H), 4.59–4.49 (m, 2H), 3.55–3.53 (m, 2H), 2.29 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.0, 155.0, 153.3, 145.5, 140.3, 138.9, 138.0, 136.9, 133.5, 132.1, 132.0, 131.5, 129.7, 129.0, 128.8, 128.7, 128.0, 126.9, 126.1, 124.7, 123.6, 123.0, 122.7, 118.1, 59.2, 41.2, 21.0. HRMS (ESI) calcd for $\text{C}_{32}\text{H}_{24}\text{ClN}$: 458.1676 ($\text{M} + \text{H}^+$), found: 458.1670.

11-Allyl-8-methyl-6,11-diphenyl-11*H*-indeno[1,2-*c*]quinoline (4i). IR 3058.2, 2921.8, 2853.8, 1634.6, 1607.1, 1567.6, 1498.3, 1463.3, 1443.8, 1372.8 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.23–8.21 (m, 1H), 7.77–7.75 (m, 2H), 7.62–7.58 (m, 5H), 7.37–7.33 (m, 1H), 7.26–7.18 (m, 5H), 7.10 (d, $J = 7.6$ Hz, 1H), 7.00 (d, $J = 8.0$ Hz, 1H), 6.77 (s, 1H), 4.85–4.79 (m, 1H), 4.57–4.47 (m, 2H), 3.56 (d, $J = 6.8$ Hz, 2H), 2.17 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.0, 155.8, 150.2, 147.2, 143.0, 140.6, 138.6, 136.5, 132.8, 131.9, 130.5, 128.9, 128.8, 128.8, 128.7, 128.6, 128.5, 126.9, 126.4, 126.3, 125.2, 124.0, 123.6, 123.3, 117.8, 59.1, 41.3, 21.6. HRMS (ESI) calcd for $\text{C}_{32}\text{H}_{25}\text{N}$: 424.2065 ($\text{M} + \text{H}^+$), found: 424.2057.

11-Allyl-11-phenyl-6-(*p*-tolyl)-11*H*-indeno[1,2-*c*]quinoline (4j). IR 3060.4, 2922.5, 2853.2, 1640.4, 1608.8, 1582.5, 1500.1, 1464.1, 1445.1, 1414.0 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.22–8.20 (m, 1H), 7.66 (d, $J = 7.6$ Hz, 2H), 7.61–7.59 (m, 2H), 7.39 (d, $J = 8.0$ Hz, 2H), 7.34 (t, $J = 7.6$ Hz, 1H), 7.26–7.18 (m, 7H), 7.09 (s, 2H), 4.83–4.77 (m, 1H), 4.56–4.46 (m, 2H), 3.58 (d, $J = 7.2$ Hz, 2H), 2.50 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.9, 155.6, 152.9, 147.3, 142.8, 138.6, 137.7, 132.7, 131.7, 130.4, 129.3, 128.8, 128.7, 127.5, 127.0, 126.8, 126.4, 126.3, 124.0, 123.9, 123.6, 122.9, 117.9, 59.4, 41.3, 21.5. HRMS (ESI) calcd for $\text{C}_{32}\text{H}_{25}\text{N}$: 424.2065 ($\text{M} + \text{H}^+$), found: 424.2062.

11-Allyl-6-(4-methoxyphenyl)-11-phenyl-11*H*-indeno[1,2-*c*]quinoline (4k). IR 3053.7, 2928.3, 2853.7, 1641.5, 1608.9, 1573.1, 1501.7, 1465.1, 1444.3, 1377.8, 1265.1, 1032.4 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.21 (d, $J = 8.8$ Hz, 1H), 7.72 (d, $J = 8.8$ Hz, 2H), 7.60 (d, $J = 7.6$ Hz, 2H), 7.36–7.32 (m, 1H), 7.26–7.19 (m, 7H), 7.13–7.09 (m, 4H), 4.83–4.75 (m, 1H), 4.57–4.47 (m, 2H), 3.94 (s, 3H), 3.58 (d, $J = 6.8$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 160.1, 155.7, 155.6, 152.9, 147.3, 142.8, 138.7, 133.1, 132.8, 131.7, 130.4, 130.3, 128.8, 128.7, 127.6, 127.0, 126.9, 126.4, 126.3, 124.0, 123.8, 123.6, 122.9, 117.9, 114.0, 59.4, 41.3, 29.7. HRMS (ESI) calcd for $\text{C}_{32}\text{H}_{25}\text{NO}$: 440.2014 ($\text{M} + \text{H}^+$), found: 440.2000.

11-Allyl-6-(4-chlorophenyl)-11-phenyl-11*H*-indeno[1,2-*c*]quinoline (4l). IR 3060.8, 2924.4, 2853.6, 1646.7, 1596.6, 1565.3, 1491.4, 1464.8, 1445.0 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.21–8.19 (m, 1H), 7.73 (d, $J = 8.4$ Hz, 2H), 7.63–7.56 (m, 4H), 7.37–7.34 (m, 1H), 7.26–7.18 (m, 7H), 7.13–7.06 (m, 2H), 4.84–4.74 (m, 1H), 4.55–4.46 (m, 2H), 3.58 (d, $J = 7.2$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.0, 154.4, 152.9, 147.2, 142.6, 139.0, 138.2, 134.9, 132.5, 131.6, 130.4, 129.0, 128.9,

128.8, 127.8, 127.0, 126.9, 126.7, 126.3, 124.0, 123.7, 122.6, 118.0, 59.4, 41.3. HRMS (ESI) calcd for $C_{31}H_{22}ClN$: 444.1519 ($M + H^+$), found: 444.1516.

11-Allyl-6-butyl-11-phenyl-11H-indeno[1,2-c]quinoline (4m). IR 3055.7, 2926.1, 2857.6, 1646.7, 1598.6, 1573.6, 1494.3, 1466.9, 1442.5, 1405.9 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, $J = 8.2$ Hz, 1H), 7.92 (d, $J = 7.8$ Hz, 1H), 7.62–7.54 (m, 2H), 7.44–7.38 (m, 1H), 7.29–7.21 (m, 6H), 7.14–7.12 (m, 2H), 4.69–4.65 (m, 1H), 4.51–4.47 (m, 1H), 4.41–4.39 (m, 1H), 3.55–3.45 (m, 2H), 1.96–1.94 (m, 2H), 1.69–1.61 (m, 3H), 1.26 (s, 1H), 1.07–1.04 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 158.2, 155.1, 153.2, 147.2, 142.8, 138.8, 128.8, 128.5, 127.5, 127.4, 126.3, 124.0, 123.8, 122.7, 117.8, 59.3, 41.2, 37.9, 30.6, 23.1. HRMS (ESI) calcd for $C_{29}H_{27}N$: 390.2222 ($M + H^+$), found: 390.2200.

11-Bromo-6,11-diphenyl-11H-indeno[1,2-c]quinoline (5a). IR 3058.8, 2926.0, 2854.5, 1596.5, 1583.2, 1499.3, 1444.7 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.26 (d, $J = 8.4$ Hz, 1H), 7.78–7.75 (m, 3H), 7.68–7.64 (m, 1H), 7.61–7.57 (m, 3H), 7.52–7.42 (m, 4H), 7.28–7.27 (m, 3H), 7.24–7.19 (m, 1H), 7.10–7.06 (m, 1H), 6.99–6.97 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.0, 153.0, 151.3, 148.1, 140.0, 139.1, 135.7, 130.3, 129.6, 129.1, 128.9, 128.8, 128.7, 128.6, 128.5, 128.4, 128.3, 127.1, 126.7, 125.5, 125.1, 122.8, 123.4, 65.5. HRMS (ESI) calcd for $C_{28}H_{18}BrN$: 448.0701 ($M + H^+$), found: 448.0696.

11-Bromo-6-(4-methoxyphenyl)-11-phenyl-11H-indeno[1,2-c]quinoline (5b). IR 3054.4, 2986.1, 2932.0, 1609.0, 1563.1, 1501.9, 1465.4, 1442.4, 1265.2, 1034.0 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.25 (d, $J = 8.4$ Hz, 1H), 7.77–7.71 (m, 3H), 7.67–7.63 (m, 1H), 7.51–7.49 (m, 3H), 7.44–7.41 (m, 1H), 7.28–7.27 (m, 3H), 7.25–7.20 (m, 1H), 7.13–7.11 (m, 4H), 3.93 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.3, 155.7, 153.1, 151.3, 148.1, 139.1, 135.9, 132.4, 130.3, 130.2, 129.8, 129.5, 128.7, 128.6, 128.5, 128.3, 127.1, 126.6, 125.5, 123.4, 122.6, 114.1, 65.6, 55.4. HRMS (ESI) calcd for $C_{29}H_{20}BrNO$: 478.0807 ($M + H^+$), found: 478.0784.

11-Bromo-8-chloro-6,11-diphenyl-11H-indeno[1,2-c]quinoline (5c). IR 3059.6, 2924.7, 2851.9, 1597.2, 1576.5, 1492.1, 1460.4 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.27 (d, $J = 8.8$ Hz, 1H), 7.77–7.75 (m, 3H), 7.72–7.67 (m, 1H), 7.63–7.61 (m, 3H), 7.49–7.44 (m, 1H), 7.38 (d, $J = 8.4$ Hz, 3H), 7.30–7.28 (m, 3H), 7.18 (dd, $J = 8.4, 2.0$ Hz, 1H), 6.94 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.9, 153.7, 149.5, 148.4, 139.5, 138.5, 137.5, 134.6, 130.4, 130.0, 129.4, 128.9, 128.8, 128.7, 128.6, 128.5, 127.0, 126.9, 126.5, 125.1, 123.6, 122.6, 67.0. HRMS (ESI) calcd for $C_{28}H_{17}BrClN$: 482.0311 ($M + H^+$), found: 482.0305.

11-Bromo-8-methyl-6,11-diphenyl-11H-indeno[1,2-c]quinoline (5d). IR 3058.5, 2924.1, 2852.2, 1610.2, 1567.5, 1492.8, 1469.9, 1444.2, 1369.7 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.25 (d, $J = 8.4$ Hz, 1H), 7.78–7.75 (m, 3H), 7.68–7.64 (m, 1H), 7.61–7.58 (m, 3H), 7.51–7.49 (m, 2H), 7.46–7.42 (m, 1H), 7.36 (d, $J = 8.0$ Hz, 1H), 7.28–7.26 (m, 3H), 7.03 (d, $J = 7.6$ Hz, 1H), 6.77 (s, 1H), 2.16 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.0, 153.4, 148.6, 148.1, 140.1, 139.3, 138.6, 135.9, 130.3, 129.7, 129.5, 129.4, 129.1, 128.8, 128.7, 128.2, 127.0, 126.7,

125.2, 125.1, 124.1, 122.8, 67.0, 21.6. HRMS (ESI) calcd for $C_{29}H_{20}BrN$: 462.0857 ($M + H^+$), found: 462.0849.

11-Chloro-6,11-diphenyl-11H-indeno[1,2-c]quinoline (6a). IR 3059.7, 2927.0, 2852.6, 1599.4, 1584.3, 1493.3, 1445.4 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.26 (d, $J = 8.4$ Hz, 1H), 7.79–7.77 (m, 3H), 7.68–7.65 (m, 1H), 7.60–7.59 (m, 3H), 7.49–7.40 (m, 4H), 7.30–7.28 (m, 3H), 7.24–7.19 (m, 1H), 7.10 (t, $J = 7.6$ Hz, 1H), 7.00–6.98 (d, $J = 7.6$ Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.7, 152.9, 150.8, 147.9, 139.7, 139.2, 136.3, 130.6, 130.2, 129.6, 129.2, 128.8, 128.7, 128.6, 128.5, 128.3, 127.1, 126.0, 125.0, 124.7, 123.3, 122.7, 67.0. HRMS (ESI) calcd for $C_{28}H_{18}ClN$: 404.1206 ($M + H^+$), found: 404.1182.

2,11-Dichloro-6,11-diphenyl-11H-indeno[1,2-c]quinoline (6b). IR 3056.5, 2925.3, 2855.3, 1607.1, 1573.6, 1491.3, 1462.5 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.16 (d, $J = 9.2$ Hz, 1H), 7.77–7.73 (m, 3H), 7.61–7.58 (m, 4H), 7.47–7.41 (m, 3H), 7.34–7.31 (m, 3H), 7.26–7.22 (m, 1H), 7.12 (t, $J = 8.0$ Hz, 1H), 7.01 (d, $J = 7.6$ Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 156.0, 151.2, 150.9, 146.4, 139.6, 138.6, 136.0, 132.9, 131.9, 131.4, 130.5, 129.3, 129.0, 128.9, 128.8, 128.7, 128.5, 125.9, 125.0, 123.5, 123.4, 67.0. HRMS (ESI) calcd for $C_{28}H_{17}Cl_2N$: 438.0816 ($M + H^+$), found: 438.0820.

11-Chloro-6-phenyl-11-(p-tolyl)-11H-indeno[1,2-c]quinoline (6c). IR 3058.1, 2926.4, 2853.3, 1608.2, 1570.8, 1509.1, 1467.8, 1446.0, 1360.2 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.20 (d, $J = 8.4$ Hz, 1H), 7.81–7.75 (m, 3H), 7.68–7.65 (m, 1H), 7.60–7.58 (m, 3H), 7.43–7.41 (m, 2H), 7.36–7.34 (m, 2H), 7.24–7.19 (m, 1H), 7.10–7.09 (m, 3H), 7.98–7.97 (m, 1H), 2.30 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.8, 152.7, 151.0, 148.1, 140.1, 138.1, 136.3, 136.2, 130.3, 129.5, 129.4, 129.1, 128.9, 128.8, 128.7, 128.6, 127.0, 125.90, 124.9, 124.8, 123.3, 122.8, 73.5, 21.0. HRMS (ESI) calcd for $C_{29}H_{20}ClN$: 418.1363 ($M + H^+$), found: 418.1384.

11-Chloro-11-(4-chlorophenyl)-6-phenyl-11H-indeno[1,2-c]quinoline (6d). IR 3058.1, 2924.4, 2847.8, 1582.7, 1579.7, 1491.3, 1451.7 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.24 (d, $J = 9.2$ Hz, 1H), 7.77–7.67 (m, 4H), 7.61–7.59 (m, 3H), 7.48–7.38 (m, 4H), 7.29–7.21 (m, 3H), 7.15–7.11 (m, 1H), 7.00 (d, $J = 8.0$ Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 155.8, 152.1, 150.4, 148.1, 139.9, 138.0, 136.4, 134.3, 130.5, 129.7, 129.2, 129.0, 128.9, 128.8, 128.7, 127.5, 127.2, 124.9, 124.5, 123.4, 122.5, 72.9. HRMS (ESI) calcd for $C_{28}H_{17}Cl_2N$: 438.0816 ($M + H^+$), found: 438.0817.

11-Chloro-6-(4-methoxyphenyl)-11-phenyl-11H-indeno[1,2-c]quinoline (6e). IR 3061.4, 2927.4, 2852.7, 1608.0, 1572.2, 1501.3, 1445.1, 1366.0, 1249.3, 1030.9 cm^{-1} . 1H NMR (400 MHz, $CDCl_3$) δ 8.22 (d, $J = 8.4$ Hz, 1H), 7.77–7.71 (m, 3H), 7.67–7.63 (m, 1H), 7.49–7.46 (m, 2H), 7.42–7.38 (m, 2H), 7.30–7.28 (m, 3H), 7.25–7.20 (m, 1H), 7.14–7.11 (m, 4H), 3.94 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.3, 155.6, 152.6, 150.8, 148.2, 139.3, 136.6, 132.5, 130.7, 130.3, 129.5, 128.8, 128.7, 128.5, 128.2, 126.9, 126.0, 125.0, 124.7, 123.4, 122.5, 114.1, 73.5, 55.4. HRMS (ESI) calcd for $C_{29}H_{20}ClNO$: 434.1312 ($M + H^+$), found: 434.1340.

11-Chloro-8-methyl-6,11-diphenyl-11H-indeno[1,2-c]quinoline (6f). IR 3058.3, 2925.5, 2853.2, 1604.1, 1573.6, 1488.2, 1466.9, 1445.2, 1369.4 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.23 (d, $J = 8.4$ Hz, 1H), 7.77–7.76 (m, 3H), 7.69–7.64 (m, 1H), 7.61–7.59 (m, 3H), 7.48–7.42 (m, 3H), 7.30–7.25 (m, 4H), 7.04 (d, $J = 7.6$ Hz, 1H), 2.17 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 155.8, 153.1, 148.1, 148.0, 140.0, 139.5, 138.8, 136.6, 130.7, 130.3, 129.5, 129.4, 129.1, 128.9, 128.7, 128.6, 128.2, 127.0, 126.0, 124.7, 124.6, 124.1, 122.7, 73.5, 21.6. HRMS (ESI) calcd for $\text{C}_{29}\text{H}_{20}\text{ClN}$: 418.1363 ($\text{M} + \text{H}^+$), found: 418.1367.

11-Chloro-11-phenyl-6-(p-tolyl)-11H-indeno[1,2-c]quinoline (6g). IR 3059.4, 2923.3, 2854.1, 1610.2, 1570.6, 1500.9, 1467.9, 1445.7, 1366.0 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.22 (d, $J = 8.4$ Hz, 1H), 7.76 (d, $J = 8.0$ Hz, 1H), 7.67–7.63 (m, 3H), 7.49–7.46 (m, 2H), 7.42–7.39 (m, 4H), 7.31–7.28 (m, 3H), 7.24–7.19 (m, 1H), 7.14–7.07 (m, 2H), 2.51 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.0, 152.6, 150.8, 148.2, 139.3, 139.0, 137.1, 136.6, 130.6, 130.3, 129.5, 129.4, 128.8, 128.7, 128.5, 128.2, 126.9, 126.0, 125.0, 124.7, 123.4, 122.6, 73.5, 21.5. HRMS (ESI) calcd for $\text{C}_{29}\text{H}_{20}\text{ClN}$: 418.1363 ($\text{M} + \text{H}^+$), found: 418.1365.

11-Chloro-2-methyl-6-phenyl-11-(p-tolyl)-11H-indeno[1,2-c]quinoline (6h). IR 3056.4, 2924.5, 2854.6, 1628.5, 1570.5, 1494.3, 1466.9, 1442.5, 1366.3 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.12 (d, $J = 8.8$ Hz, 1H), 7.76–7.74 (m, 2H), 7.58–7.55 (m, 4H), 7.49 (d, $J = 8.8$ Hz, 1H), 7.41 (d, $J = 7.6$ Hz, 1H), 7.35 (d, $J = 8.0$ Hz, 2H), 7.19 (t, $J = 7.6$ Hz, 1H), 7.10–7.06 (m, 3H), 6.96 (d, $J = 7.6$ Hz, 1H), 2.40 (s, 3H), 2.30 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.8, 151.8, 151.0, 146.8, 140.2, 138.0, 137.0, 136.4, 136.3, 131.9, 130.4, 130.0, 129.4, 128.9, 128.8, 128.7, 128.6, 128.4, 125.9, 124.9, 123.5, 123.2, 122.8, 73.6, 22.1, 21.0. HRMS (ESI) calcd for $\text{C}_{30}\text{H}_{22}\text{ClN}$: 432.1519 ($\text{M} + \text{H}^+$), found: 432.1508.

11-Chloro-11-(4-chlorophenyl)-2-methyl-6-phenyl-11H-indeno[1,2-c]quinoline (6i). IR 3058.5, 2924.9, 2853.6, 1588.0, 1569.1, 1490.0, 1468.3, 1444.7, 1366.1 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.14 (d, $J = 8.8$ Hz, 1H), 7.76–7.74 (m, 2H), 7.60–7.58 (m, 3H), 7.53–7.48 (m, 2H), 7.42–7.37 (m, 3H), 7.29–7.20 (m, 3H), 7.13–7.09 (m, 1H), 6.98 (d, $J = 7.6$ Hz, 1H), 2.43 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.8, 150.4, 147.3, 146.8, 139.9, 138.1, 137.4, 136.5, 134.2, 132.1, 130.1, 129.1, 129.0, 128.9, 128.8, 128.7, 128.6, 127.5, 124.8, 123.4, 123.1, 122.6, 67.0, 22.1. HRMS (ESI) calcd for $\text{C}_{29}\text{H}_{19}\text{Cl}_2\text{N}$: 452.0973 ($\text{M} + \text{H}^+$), found: 452.0971.

2,11-Dichloro-6-phenyl-11-(p-tolyl)-11H-indeno[1,2-c]quinoline (6j). IR 3056.1, 2925.8, 2852.8, 1613.2, 1566.4, 1491.7, 1462.2, 1445.3, 1364.6 cm^{-1} . ^1H NMR (400 MHz, CDCl_3) δ 8.15 (d, $J = 9.2$ Hz, 1H), 7.78–7.75 (m, 3H), 7.60–7.58 (m, 4H), 7.41 (d, $J = 7.6$ Hz, 1H), 7.33 (d, $J = 8.0$ Hz, 2H), 7.24–7.21 (m, 1H), 7.12–7.08 (m, 3H), 7.00 (d, $J = 8.0$ Hz, 1H), 2.31 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 156.0, 151.9, 151.1, 146.4, 139.7, 138.3, 135.9, 135.7, 132.8, 131.9, 131.3, 130.5, 129.6, 129.2, 128.9, 128.8, 128.7, 125.8, 125.0, 123.4, 67.0, 21.0. HRMS (ESI) calcd for $\text{C}_{29}\text{H}_{19}\text{Cl}_2\text{N}$: 452.0973 ($\text{M} + \text{H}^+$), found: 452.0983.

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