

Synthesis of 11*H*-Indolo[3,2-*c*]quinoline Derivatives Carrying a Substituent at the 6-Position

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A convenient synthesis of 11*H*-indolo[3,2-*c*]quinolines carrying a substituent, such as 1-hydroxyalkyl, 1-alkoxyalkyl, or 1-(dialkylamino)alkyl, at the 6-position by electrophile-mediated cyclization reactions of 2-(2-isocyanophenyl)-1-methyl-1*H*-indole is described.

We previously showed that pyrrolo[1,2-*a*]quinoxalines carrying a substituent, such as 1-hydroxyalkyl,[1] 2-hydroxyalkyl,[1] 1-alkoxyalkyl,[1] or 1-(dialkylamino)alkyl,[2] at the 4-position could be prepared from 1-(2-isocyanophenyl)pyrroles and appropriate electrophiles. We also reported on the synthesis of 2-substituted quinolines from 2-isocyanostyrene derivatives.[3] We decided to prepare 11*H*-indolo[3,2-*c*]quinoline derivatives carrying one of these functional groups at the 6-position starting with 2-(2-isocyanophenyl)-1-methyl-1*H*-indole (**3**). A number of methods for the synthesis of 11*H*-indolo[3,2-*c*]quinoline derivatives have been reported,[4] because of their potential biologically utilities.[5] Most of them, however, which require several reaction steps, involve tedious reaction conditions and incomplete generality. Moreover, there have been few reports on the synthesis of 6-substituted derivatives.[4b,d] Herein, we report on the synthesis of this new isocyano compound **3** and the results of its reactions with aldehydes, ketones, oxiranes, acetals, and iminium salts, which offer a facile synthetic route to 11*H*-indolo[3,2-*c*]quinoline derivatives carrying a functionalized substituent at the 6-position **4**, **5**, and **7**. These compounds are also of potential interest from a biological point of view.

2-(2-Isocyanophenyl)-1-methyl-1*H*-indole (**3**) was synthesized as outlined in Scheme 1. Thus, 2-(2-aminophenyl)-1-methyl-1*H*-indole (**1**) was prepared by Fisher's indole synthesis using the hydrazone derived from 1-(2-aminophenyl)ethanone and *N*-methyl-*N*-phenylhydrazine under the modified conditions reported by Cava et al.[6] Its *N*-formylation in refluxing ethyl formate gave the *N*-[2-(1-methyl-1*H*-indol-2-yl)phenyl]formamide (**2**) in good yield. Treatment of this formamide with phosphoryl chloride/triethylamine in THF at 0 °C, followed by recrystallization, gave rather stable 2-(2-isocyanophenyl)indole **3** in high yield.

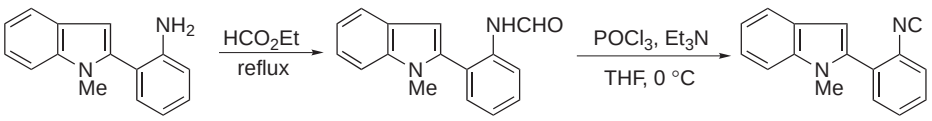
Treatment of **3** with a range of aldehydes or ketones in the presence of a catalytic amount of boron trifluoride–diethyl ether gave 11*H*-indolo[3,2-*c*]quinoline derivatives carrying a 1-hydroxyalkyl group at the 6-position **4** in moderate to fair isolated yields in general, as shown in Scheme 2. The rather poor result with pivalaldehyde can be understood in terms of the steric bulk of the *tert*-butyl group.

We next examined the Lewis acid-catalyzed reaction of **3** with acetals. When compound **3** was treated with diethyl acetals under conditions similar to those above, the expected 11*H*-indolo[3,2-*c*]quinoline derivatives carrying a 1-ethoxyalkyl group at the 6-position **5** were obtained in fair to good isolated yields, as shown in Scheme 3.

This Lewis acid-catalyzed reaction was further investigated using epoxides in place of aldehydes, ketones, or acetals. However, all attempts to obtain 6-(2-hydroxyalkyl)-11*H*-indolo-[3,2-*c*]quinoline derivatives by the reactions of **3** with oxiranes, such as styrene oxide or propylene oxide, resulted in the formation of an intractable mixture of products in each case, probably due to their liability to oligomerize under the reaction conditions. Use of other Lewis acids such as $TiCl_4$ or $SnCl_4$ gave similar results.

Finally, we examined reactions of **3** with iminium salts. The reaction of **3** with Eschenmoser's salt (**6a**) completed without any catalyst within 10 min to provide 6-dimethylaminomethyl-11-methyl-11*H*-indolo[3,2-*c*]quinoline (**7a**) in excellent isolated yield, after treatment with aqueous $NaHCO_3$. However, a similar reaction of **3** with iminium salt **6b**[7] proceeded sluggishly to give 6-(1-dimethylaminopropyl)-11-methyl-11*H*-indolo[3,2-*c*]quinoline (**7b**) in moderate isolated yield. These results were illustrated in Scheme 4.

The pathways which led to the 6-substituted 11*H*-indolo-[3,2-*c*]quinoline derivatives from the (isocyanophenyl)indole **3** are essentially parallel to those reported for the 4-substituted pyrrolo[1,2-*a*]quinoxaline derivatives from 1-(2-isocyanophen-



1 **2** 78% **3** 82%

Scheme 1.

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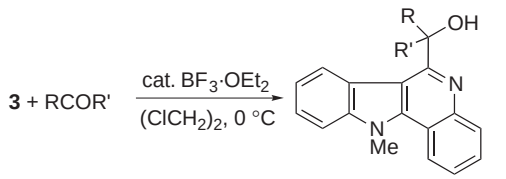
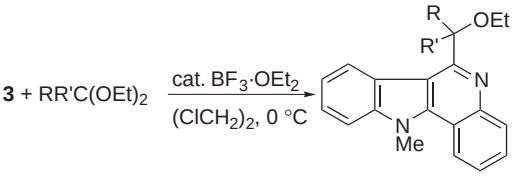


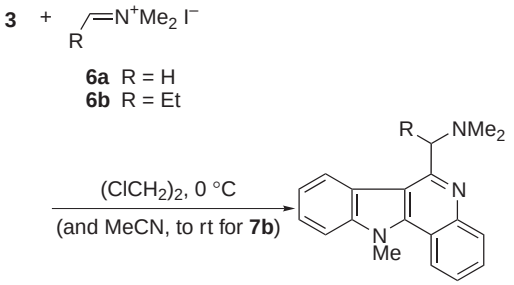
4a R = Et, R' = H 60%
4b R = *i*-Pr, R' = H 57%
4c R = *c*-Hex, R' = H 45%
4d R = *t*-Bu, R' = H 29%
4e R = R' = Me 64%
4f R = Me, R' = Et 57%
4g R = R' = Et 51%

Scheme 2.



5a R = Me, R' = H 58%
5b R = R' = Me 80%

Scheme 3.



6a R = H
6b R = Et

7a R = H 93%
7b R = Et 41%

Scheme 4.

yl)pyrroles[1,2] and 2-substituted quinolines from 2-isocyanostyrenes.[3]

In summary, a convenient synthetic route for the preparation of 11*H*-indolo[3,2-*c*]quinoline derivatives carrying a substituent, such as 1-hydroxyalkyl, 1-ethoxyalkyl, or 1-dimethylaminoalkyl group, at the 6-position, has been developed. This method may find some value in organic synthesis because of its simplicity and the ready availability of the starting materials, compared to the previously reported methods.[4]

Experimental

General. The melting points were determined on a Laboratory Devices MEL-TEMP II melting-point apparatus and are uncorrected. The IR spectra were determined for KBr disks with a Perkin-Elmer 1600 Series FT IR spectrometer. The ^{1}H NMR spectra were determined using $SiMe_4$ as an internal reference in $CDCl_3$ (unless otherwise stated) with a JEOL JNM-GX270 FT NMR spectrometer operating at 270 MHz. The ^{13}C NMR spectra were determined using $SiMe_4$ as an internal reference in $CDCl_3$ (unless otherwise stated) with a JEOL ECP500 FT NMR spectrometer operating at 125 MHz. Low-resolution mass spectra (EI) were recorded on a JEOL AUTOMASS 20 spectrometer (Center for Joint Research and Development, Tottori University). Low-resolution mass spectra (CI) were recorded on a JEOL JMS-AX505 HA spectrometer (Faculty of Agriculture, Tottori University). Thin-layer chromatography (TLC) was carried out on a Merck Kieselgel 60 PF_{254}. All of the solvents used were dried over appropriate drying agents and distilled under argon prior to use. All of the reactions were carried out under argon.

Starting Materials. 2-(2-Aminophenyl)-1-methyl-1*H*-indole (**1**)[8] was prepared in 79% yield from 1-(2-aminophenyl)ethanone and *N*-methyl-*N*-phenylhydrazine according to the method reported by Cava et al.[6] **1**: mp 132–133 °C (hexane–EtOH) (lit.,[8] 99–100 °C); IR 3432, 3368, and 1616 cm^{-1}; ^{1}H NMR δ 3.60 (3H, s), 3.81 (2H, brs), 6.54 (1H, d, J = 1.0 Hz), 6.75–6.9 (2H, m), 7.1–7.3 (4H, m), 7.37 (1H, dd, J = 8.2 and 0.7 Hz), and 7.64 (1H, dt, J = 7.6 and 1.0 Hz). All other chemicals were commercially available.

***N*-[2-(1-Methyl-1*H*-indol-2-yl)phenyl]formamide (2).** A solution of **1** (3.0 g, 14 mmol) in ethyl formate (52 mL) was heated at reflux temperature for 4 days. After removal of the solvent under reduced pressure the residue was recrystallized from Et_2O to give **2** (2.7 g, 78%) as a white solid; mp 127 °C; IR 3244, 1693, and 1662 cm^{-1}; ^{1}H NMR δ 3.55 (3H, s), 6.54 and 6.58 (combined 1H, 2s), 7.15–7.5 (7H, m), 7.64 and 7.67 (combined 1H, 2d, J = 7.9 Hz each), and 8.25–8.85 (2H, m). Found: C, 76.75; H, 5.65; N, 11.14%. Calcd for $C_{16}H_{14}N_2O$: C, 76.78; H, 5.64; N, 11.19%.

2-(2-Isocyanophenyl)-1-methyl-1*H*-indole (3). To a stirred solution of **2** (2.5 g, 9.8 mmol) and Et_3N (4.4 g, 44 mmol) in THF (45 mL) at 0 °C was added $POCl_3$ (1.5 g, 9.8 mmol) dropwise. After 30 min, saturated aqueous $NaHCO_3$ (20 mL) was added and organic materials were extracted with Et_2O three times (20 mL each). The combined extracts were washed with brine and dried over anhydrous K_2CO_3. After evaporation of the solvent, residual solid was recrystallized from hexane–CH_2Cl_2 to give **3** (1.9 g, 82%) as a pale-yellow solid; mp 125–126 °C (Et_2O–hexane); IR 2121 and 1602 cm^{-1}; ^{1}H NMR δ 3.67 (3H, s), 6.64 (1H, d, J = 1.0 Hz), 7.16 (1H, ddd, J = 7.9, 7.6, and 1.3 Hz), 7.29 (1H, ddd, J = 8.2, 7.6, and 1.3 Hz), 7.39 (1H, dd, J = 8.2 and 1.3 Hz), 7.4–7.6 (4H, m), and 7.67 (1H, ddd, J = 7.9, 1.3, and 1.0 Hz). Found: C, 82.68; H, 5.40; N, 11.99%. Calcd for $C_{16}H_{12}N_2$: C, 82.73; H, 5.21; N, 12.06%.

Typical Procedure for the BF_3-Catalyzed Reactions of 3 with Aldehydes, Ketones, or Acetals Leading to 6-(1-Hydroxy- or Ethoxyalkyl)-11*H*-indolo[3,2-*c*]quinolines 4 or 5. 6-(1-Hydroxypropyl)-11-methyl-11*H*-indolo[3,2-*c*]quinoline (4a): To a stirred solution of **3** (0.23 g, 1.0 mmol) and propanal (58 mg, 1.0 mmol) in 1,2-dichloroethane (10 mL) at 0 °C was added dropwise three portions of 14 mg (0.10 mmol) each of boron trifluoride–diethyl ether at 30 min intervals. After completing the addition of boron trifluoride–diethyl ether, stirring was continued for an additional 30 min at the same temperature. Saturated aqueous $NaHCO_3$ (10 mL) was added to the resulting reaction mixture. The organic layer was separated, and the aqueous layer was extracted with 1,2-dichloroethane (10 mL). The combined extracts were dried over anhydrous Na_2SO_4 and evaporated. The residue was purified by column chromatography on silica gel (1:3 AcOEt–hexane) to give **4a** (0.17 g, 60%) as a pale-yellow solid; mp 284–285 °C (decomp) (EtOAc–acetone); IR 3518 and 3264 cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 1.09 (3H, t, J = 7.3 Hz), 1.85–2.2 (2H, m), 4.57 (3H, s), 5.8–5.9 (2H, m), 7.63 (1H, td, J = 8.2 and 1.0 Hz), 7.79 (1H, td, J = 8.2 and 1.0 Hz), 7.95 (1H, ddd, J = 8.2, 6.9, and 1.3 Hz), 8.07 (1H, ddd, J = 8.2, 6.9, and 1.3 Hz), 8.14

(1H, d, $J = 8.2$ Hz), 8.31 (1H, d, $J = 7.9$ Hz), 8.72 (1H, d, $J = 7.9$ Hz), and 9.04 (1H, dd, $J = 8.2$ and 1.0 Hz); ^{13}C NMR (125 MHz, DMSO-d_6) δ 9.54, 28.66, 34.32, 69.50, 110.03, 112.01, 115.82, 120.13, 121.36, 122.74, 123.81, 124.39, 127.56, 127.80, 131.57, 135.76, 141.79, 142.90, and 157.05; MS (EI) m/z (%) 290 (M^+, 19) and 261 (100). Found: C, 78.89; H, 6.14; N, 9.34%. Calcd for $C_{19}H_{18}N_2O$: C, 78.59; H, 6.25; N, 9.65%.

6-(1-Hydroxy-2-methylpropyl)-11-methyl-11*H*-indolo[3,2-*c*]-quinoline (4b): A pale-yellow solid; mp 208–209 °C (hexane–AcOEt); IR 3367 cm^{-1}; ^{1}H NMR δ 0.61 (3H, d, $J = 6.6$ Hz), 1.41 (3H, d, $J = 6.6$ Hz), 2.4–2.55 (1H, m), 4.42 (3H, s), 5.54 (1H, brs), 5.62 (1H, br), 7.44 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.5–7.65 (3H, m), 7.73 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.03 (1H, d, $J = 7.9$ Hz), 8.27 (1H, dd, $J = 8.2$ and 1.3 Hz), and 8.64 (1H, dd, $J = 8.6$ and 1.0 Hz); ^{13}C NMR δ 14.17, 20.99, 32.44, 33.60, 74.82, 109.49, 111.31, 117.68, 120.97, 121.32, 121.77, 122.14, 125.18, 125.21, 127.85, 129.95, 140.51, 140.68, 144.53, and 157.42; MS (EI) m/z (%) 304 (M^+, 12) and 261 (100). Found: C, 78.75; H, 6.70; N, 9.11%. Calcd for $C_{20}H_{20}N_2O$: C, 78.92; H, 6.62; N, 9.20%.

6-(1-Cyclohexyl-1-hydroxymethyl)-11-methyl-11*H*-indolo-[3,2-*c*]quinoline (4c): A pale-yellow solid; mp 263–265 °C (hexane–CH_2Cl_2); IR 3382 cm^{-1}; ^{1}H NMR δ 0.85–1.4 (5H, m), 1.5–1.6 (2H, m), 1.8–1.9 (2H, m), 2.0–2.1 (2H, m), 4.40 (3H, s), 5.49 (1H, brs), 5.60 (1H, br), 7.44 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.5–7.65 (3H, m), 7.72 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.01 (1H, d, $J = 7.9$ Hz), 8.24 (1H, dd, $J = 8.2$ and 1.3 Hz), and 8.62 (1H, dd, $J = 7.9$ and 1.3 Hz); ^{13}C NMR δ 24.66, 25.89, 26.31, 26.82, 31.28, 33.65, 42.66, 74.86, 109.50, 111.44, 117.69, 121.09, 121.38, 121.80, 122.14, 125.18, 125.19, 127.88, 129.95, 140.57, 140.73, 144.53, and 157.26; MS (EI) m/z (%) 344 (M^+, 24) and 262 (100). Found: C, 80.15; H, 7.02; N, 8.05%. Calcd for $C_{23}H_{24}$-N_2O: C, 80.20; H, 7.02; N, 8.13%.

6-(1-Hydroxy-2,2-dimethylpropyl)-11-methyl-11*H*-indolo-[3,2-*c*]quinoline (4d): A pale-yellow solid; mp 225–228 °C (hexane–EtOAc); IR 3432 cm^{-1}; ^{1}H NMR δ 1.06 (9H, s), 4.41 (3H, s), 4.90 (1H, br), 5.55 (1H, brs), 7.41 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.5–7.65 (3H, m), 7.72 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.24 (1H, dd, $J = 8.2$ and 1.0 Hz), 8.35 (1H, d, $J = 8.2$ Hz), and 8.65 (1H, dd, $J = 8.2$ and 1.0 Hz); ^{13}C NMR δ 26.37, 33.66, 38.41, 77.20, 109.40, 113.70, 117.50, 120.84, 121.59, 121.81, 123.03, 125.12, 125.17, 127.76, 130.07, 140.23, 140.70, 144.95, and 157.57; MS (EI) m/z (%) 318 (M^+, 8.0) and 261 (100). Found: C, 79.23; H, 7.12; N, 8.58%. Calcd for $C_{21}H_{22}N_2O$: C, 79.21; H, 6.96; N, 8.80%.

6-(1-Hydroxy-1-methylethyl)-11-methyl-11*H*-indolo[3,2-*c*]-quinoline (4e): A pale-yellow solid; mp 228 °C (hexane–CH_2Cl_2); IR 3224 cm^{-1}; ^{1}H NMR δ 2.00 (6H, s), 4.47 (3H, s), 7.34 (1H, br), 7.46 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.55–7.7 (3H, m), 7.75 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.27 (1H, d, $J = 8.2$ Hz), 8.43 (1H, d, $J = 8.2$ Hz), and 8.69 (1H, d, $J = 8.6$ Hz); ^{13}C NMR δ 28.18, 33.98, 71.52, 109.57, 110.63, 117.52, 120.40, 121.09, 121.83, 124.35, 125.18, 125.47, 128.11, 129.75, 140.81, 142.01, 143.54, and 160.45; MS (EI) m/z (%) 290 (M^+, 19) and 275 (100). Found: C, 78.71; H, 6.21; N, 9.47%. Calcd for $C_{19}H_{18}N_2O$: C, 78.59; H, 6.25; N, 9.65%.

6-(1-Hydroxy1-1-methylpropyl)-11-methyl-11*H*-indolo[3,2-*c*]quinoline (4f): A pale-yellow solid; mp 171–172 °C (hexane–Et_2O); IR 3232 cm^{-1}; ^{1}H NMR δ 0.83 (3H, t, $J = 7.3$ Hz), 2.00 (3H, s), 2.3–2.55 (2H, m), 4.51 (3H, s), 7.28 (1H, br), 7.44 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.5–7.7 (3H, m), 7.74 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.27 (1H, dd, $J = 8.2$ and 1.0 Hz), 8.40 (1H, d, $J = 8.2$ Hz), and 8.68 (1H, dd, $J = 8.2$ and 1.0 Hz); ^{13}C NMR δ 8.30, 26.08, 32.27, 34.00, 73.89, 109.58, 110.85, 117.45, 120.48, 121.04, 121.86, 124.31, 125.15, 125.43, 128.07, 129.74, 140.79, 141.97, 143.44, and 159.97; MS (EI) m/z (%) 304 (M^+, 11) and 275 (100). Found: C, 78.93; H, 6.64; N, 9.18%. Calcd for $C_{20}H_{20}N_2O$: C, 78.92; H, 6.62; N, 9.20%.

6-(1-Ethyl-1-hydroxypropyl)-11-methyl-11*H*-indolo[3,2-*c*]-quinoline (4g): A pale-yellow solid; mp 242–243 °C (hexane–CH_2Cl_2); IR 3423 cm^{-1}; ^{1}H NMR δ 0.73 (6H, t, $J = 7.3$ Hz), 2.2–2.4 (2H, m), 2.55–2.7 (2H, m), 4.46 (3H, s), 7.25 (1H, br), 7.44 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.55–7.7 (3H, m), 7.75 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.28 (1H, dd, $J = 8.2$ and 1.0 Hz), 8.44 (1H, d, $J = 7.9$ Hz), and 8.69 (1H, dd, $J = 8.2$ and 1.0 Hz); ^{13}C NMR δ 8.15, 30.88, 34.10, 76.67, 109.60, 111.19, 117.41, 120.58, 121.00, 121.91, 124.38, 125.15, 125.41, 128.05, 129.80, 140.82, 141.93, 143.36, and 159.10; MS (EI) m/z (%) 318 (M^+, 7.5) and 289 (100). Found: C, 79.33; H, 6.86; N, 8.75%. Calcd for $C_{21}H_{22}N_2O$: C, 79.21; H, 6.96; N, 8.80%.

6-(1-Ethoxyethyl)-11-methyl-11*H*-indolo[3,2-*c*]quinoline (5a): Colorless needles; mp 143–144 °C (hexane–EtOAc); IR 1612 cm^{-1}; ^{1}H NMR δ 1.19 (3H, t, $J = 6.9$ Hz), 1.81 (3H, d, $J = 6.9$ Hz), 3.4–3.65 (2H, m), 4.44 (3H, s), 5.30 (1H, q, $J = 6.9$ Hz), 7.41 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.5–7.65 (3H, m), 7.73 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.30 (1H, dd, $J = 8.2$ and 1.3 Hz), 8.66 (1H, d, $J = 8.2$ Hz), and 8.81 (1H, d, $J = 7.9$ Hz); ^{13}C NMR (DMSO-d_6) δ 15.64, 19.97, 33.75, 64.52, 80.74, 108.95, 112.40, 117.81, 120.87, 121.09, 121.74, 125.15, 125.18, 125.21, 127.70, 130.33, 140.64, 141.23, 145.87, and 159.08; MS (EI) m/z (%) 304 (M^+, 0.71) and 260 (100). Found: C, 78.91; H, 6.64; N, 9.20%. Calcd for $C_{20}H_{20}N_2O$: C, 78.92; H, 6.62; N, 9.20%.

6-(1-Ethoxy-1-methylethyl)-11-methyl-11*H*-indolo[3,2-*c*]-quinoline (5b): Colorless needles; mp 162 °C (hexane–Et_2O); IR 1613 cm^{-1}; ^{1}H NMR δ 1.01 (3H, t, $J = 6.9$ Hz), 1.92 (6H, s), 3.27 (2H, q, $J = 6.9$ Hz), 4.44 (3H, s), 7.39 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.5–7.65 (3H, m), 7.70 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.24 (1H, dd, $J = 8.2$ and 1.3 Hz), 8.67 (1H, d, $J = 8.2$ Hz), and 9.19 (1H, d, $J = 8.2$ Hz); ^{13}C NMR δ 15.99, 26.34, 33.88, 59.12, 80.68, 108.59, 112.85, 117.71, 120.40, 121.25, 121.72, 125.02, 125.21, 127.08, 127.42, 130.72, 140.60, 141.38, 145.34, and 160.40; MS (EI) m/z (%) 318 (M^+, 1.2) and 274 (100). Found: C, 79.10; H, 6.96; N, 8.79%. Calcd for $C_{21}H_{22}N_2O$: C, 79.21; H, 6.96; N, 8.80%.

6-Dimethylaminomethyl-11-methyl-11*H*-indolo[3,2-*c*]quinoline (7a). To a stirred solution of *N*,*N*-dimethylmethaniminium iodide (**6a**) (0.17 g, 0.92 mmol) in 1,2-dichloroethane (10 mL) at 0 °C was added a solution of the isocyanide **3** (0.21 g, 0.92 mmol) in 1,2-dichloroethane (3 mL). After stirring for 10 min, the white precipitate was collected by suction and treated with saturated aqueous $NaHCO_3$. The mixture was extracted with 1,2-dichloroethane twice (10 mL each) and the extracts were dried over anhydrous Na_2SO_4. Evaporation of the solvent gave a residual solid, which was recrystallized from hexane–CH_2Cl_2 to give **7a** (0.25 g, 93%) as pale-yellow needles; mp 153–154 °C; IR 1613 cm^{-1}; ^{1}H NMR δ 2.46 (6H, s), 4.23 (2H, s), 4.43 (3H, s), 7.43 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.5–7.65 (3H, m), 7.72 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.3–8.4 (2H, m), and 8.66 (1H, dd, $J = 8.2$ and 1.3 Hz); ^{13}C NMR δ 33.50, 45.90, 65.70, 109.00, 114.17, 117.75, 121.08, 121.64 (two overlapped C's), 123.38, 125.09, 125.12, 127.50, 130.41, 140.54, 140.58, 146.00, and 154.88; MS (CI) m/z (%) 290 [$(M + 1)^+$, 100]. Found: C, 78.83; H, 6.78; N, 14.51%. Calcd for $C_{19}H_{19}N_3$: C, 78.86; H, 6.62; N, 14.52%.

6-(1-Dimethylaminopropyl)-11-methyl-11*H*-indolo[3,2-*c*]-

quinoline (7b). *N*,*N*-Dimethyl-1-propaniminium iodide (**6b**) was prepared from EtCHO (58 mg, 1.0 mmol), Me_2NH hydrochloride (85 mg, 1.0 mmol), Me_3SiCl (0.24 g, 2.2 mmol), NaI (0.33 g, 2.2 mmol), and Et_3N (0.20 g, 2.0 mmol) in acetonitrile (2.2 mL) according to the procedure reported by Arend and Risch.[7] To this 1,2-dichloroethane (5 mL) was added. The mixture was cooled to 0 °C and a solution of the isocyanide **3** (0.23 g, 1.0 mmol) in 1,2-dichloroethane (5 mL) was added under stirring. After stirring overnight at rt, saturated aqueous $NaHCO_3$ (10 mL) was added and the resulting mixture was extracted with 1,2-dichloroethane twice (15 mL each). The combined extracts were dried over anhydrous Na_2SO_4 and the solvent was evaporated. The residue was subjected to column chromatography on SiO_2 (1:1 hexane–AcOEt) to give **7b** (0.13 g, 41%) as a pale-yellow solid; mp 170–171 °C (hexane–AcOEt); IR 1611 cm^{-1}; ^1H NMR δ 0.77 (3H, t, $J = 7.3$ Hz), 2.05–2.2 (1H, m), 2.35–2.55 (combined 7H, m including s at δ 2.43), 4.3–4.45 (combined 4H, m including s at δ 4.42), 7.41 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 7.5–7.65 (3H, m), 7.71 (1H, ddd, $J = 8.2$, 6.9, and 1.3 Hz), 8.34 (1H, dd, $J = 8.2$ and 1.3 Hz), and 8.6–8.7 (2H, m); ^{13}C NMR δ 10.89, 22.45, 33.69, 43.33, 77.21, 109.11, 114.43, 117.39, 120.72, 121.63, 121.66, 124.02, 124.85, 124.92, 127.40, 130.77, 140.64, 140.72, 146.03, and 158.25; MS (CI) m/z (%) [318 $(M + 1)^+$, 100]. Found: C, 79.44; H, 7.38; N, 13.09%. Calcd for $C_{21}H_{23}N_3$: C, 79.46; H, 7.30; N, 13.24%.

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