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SYNTHESIS OF 4,5-DIAMINOPYRROLO[1,2-*a*]QUINOLINE DERIVATIVES BY A LEWIS ACID CATALYZED REACTION OF 2-(PYRROL-1-YL)BENZALDIMINES WITH ISOCYANIDES

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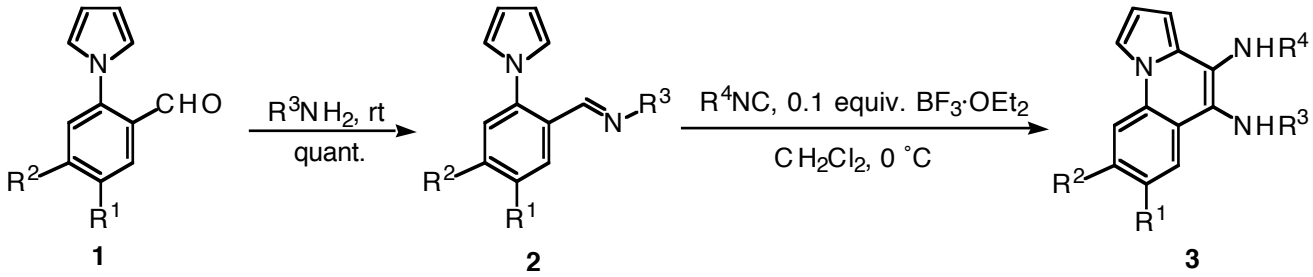
Abstract - *N*-Alkyl(or aryl)-2-(pyrrol-1-yl)benzaldimines, derived from 2-(pyrrol-1-yl)benzaldehydes and primary amines, were treated with aromatic and aliphatic isocyanides in the presence of a catalytic amount of boron trifluoride diethyl etherate to afford the corresponding 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives in generally fair to good yields.

Pyrrolo[1,2-*a*]quinolines are known to be of potentially importance for both practical and theoretical utilities as one of the benzo analogues of indolizines.[1] For recent years, efforts in our laboratory have been targeted to the development of methods for the preparation of pyrrolo[1,2-*a*]quinoline derivatives.[2] Thus, we reported a boron trifluoride diethyl etherate catalyzed synthesis of 4-aryl(or alkyl)aminopyrrolo[1,2-*a*]quinolin-5-ol derivatives from 2-(pyrrol-1-yl)benzaldehyde and isocyanides.[3] Subsequently, we demonstrated that reactions of 2-(pyrrol-1-yl)benzaldehydes with isocyanides and secondary amine hydrochlorides in the presence of NaI/TMSCl/Et_3N afforded 5-dialkylamino-4-aryl(or alkyl)aminopyrrolo[1,2-*a*]quinolines.[4] Herein we wish to report that 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives (**3**) can be obtained by a boron trifluoride diethyl etherate catalyzed reaction of 2-(pyrrol-1-yl)benzaldimines (**2**) with isocyanides.

2-(Pyrrolyl)benzaldimines (**2**) were prepared in almost quantitative yields by treating 2-(pyrrol-1-yl)benzaldehydes (**1**) with primary amines at rt. We conducted the reactions of these aldimines with isocyanides in the presence of a catalytic amount of boron trifluoride diethyl etherate (0.1 equiv.) in dichloromethane at 0 ˚C, and found that 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives (**3**) were produced as shown in Scheme 1. As can be seen from the results summarized in Table 1, generally fair to good yields of the desired products were obtained. However, bulky isocyanides, such as *o*-tolyl isocyanide and *tert*-butyl isocyanide, prove to give the desired products (**3b** and **3c**) in somewhat diminished yields (Entries 2 and 3). The reaction of *N*-*o*-tolylaldimine (**2b**) with phenyl isocyanide also



Scheme 1

Table 1: Preparation of 4,5-diaminopyrrolo[1,2-*a*]quinolone derivatives (**3**)

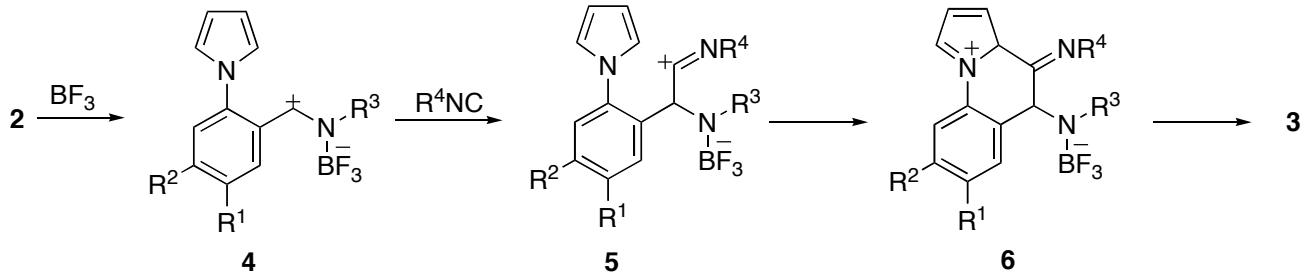
Entry	**1**	R^3	**2**	R^4	**3** (Yield/%)[a]
1	**1a** ($R^1 = R^2 = H$)	Ph	**2a**	Ph	**3a** (66)
2	**1a**	Ph	**2a**	*o*-Tol	**3b** (50)
3	**1a**	Ph	**2a**	*t*-Bu	**3c** (49)
4	**1a**	*o*-Tol	**2b**	Ph	**3d** (54)
5	**1a**	4-(*i*-Pr)C_6H_4	**2c**	Ph	**3e** (68)
6	**1a**	4-MeOC_6H_4	**2d**	Ph	**3f** (72)
7	**1b** ($R^1 = R^2 =$ OMe)	Ph	**2e**	Ph	**3g** (44)
8	**1c** ($R^1 =$ Cl, $R^2 = H$)	Ph	**2f**	Ph	**3h** (63)
9	**1c**	*n*-Bu	**2g**	Ph	**3i** (27)

[a]Isolated yields from **1**.

gave a similar result, probably due to a bulky *o*-tolyl substituent (Entry 4). It should be noted that the use of *N*-phenyl-4,5-dimethoxy-2-(pyrrol-1-yl)benzaldehyde (**1b**) gave a somewhat poorer result (Entry 7); probably resulting from the decreased electrophilicity of the imino carbon due to two methoxy groups. We also should note that the use of *N*-butylaldimine (**2g**) considerably decreased the yield of the desired product **3i** (Entry 9); the starting imine was less reactive under present reaction conditions and a fair amount of the imine was recovered. Neither higher reaction temperatures nor longer reaction times improved the yield of the desired product. The use of more than 0.1 equiv. of the catalyst also did not give any better results.

The probable pathway which transforms 2-(pyrrol-1-yl)benzaldimines (**2**) and isocyanides into pyrrolo[1,2-*a*]quinoline derivatives (**3**) is outlined in Scheme 2. Thus, attack of the isocyano carbon of an isocyanide to the activated imine (**4**) generates the iminyl cation intermediate (**5**). Intramolecular combination of the 2-carbon of the pyrrole ring and the cation center of **5** affords the intermediate (**6**), which gives rise to **3** through tautomerizations.

In conclusion, we have demonstrated that 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives can be obtained in satisfactory yields in two steps from readily available 2-(pyrrol-1-yl)benzaldehydes. This method may find efficiency in its simplicity and the ready availability of the starting materials.



Scheme 2

EXPERIMENTAL

All melting points were obtained on a Laboratory Devices MEL-TEMP II melting apparatus and are uncorrected. IR spectra were determined with a Shimadzu FTIR-8300 spectrophotometer. The ^{1}H NMR spectra were determined in $CDCl_3$ using TMS as an internal reference with a JEOL JNM-GX270 FT NMR spectrometer operating at 270 MHz. *J* values are given in Hz. The ^{13}C NMR spectra were determined using $SiMe_4$ as an internal reference with a JEOL ECP500 FT NMR spectrometer operating at 125 MHz in $CDCl_3$. Low-resolution MS spectra were measured by a JEOL AUTOMASS 20 spectrometer (Center for Joint Research and Development, this University). TLC was carried out on a Merck Kieselgel 60 PF_{254}. Column chromatography was performed using Merck Kieselgel 60 (0.063–0.200 mm). All of the organic solvents used in this study were dried over appropriate drying agents and distilled prior to use.

Starting Materials. 2-(1-Pyrrolyl)benzaldehydes (**1**) were prepared following the procedure reported by us.[5] Isocyanides were prepared by a modification[6a] of Ugi's method.[6b]

***N*-Phenyl-2-(pyrrol-1-yl)phenylmethylenamine (2a). Typical Procedure for the Preparation of Imines (2).** A mixture of 2-(1-pyrrolyl)benzaldehyde (**1a**) (0.17 g, 1.0 mmol) and aniline (0.86 g, 2.0 mmol)) was stirred at rt overnight. Excess aniline was removed under reduced pressure. The resulting crude imine (**2a**) was used in the next step without further purification. **2a**: a yellow oil; R_f 0.63 (3:1 hexane–EtOAc); IR (neat) 1621, 1601 cm^{-1}; ^{1}H NMR δ 6.35 (2H, dd, *J* 2.3, 2.0), 6.90 (2H, dd, *J* 2.3, 2.0), 7.1–7.6 (8H, m), 8.23 (1H, s), 8.29 (1H, dd, *J* 7.6, 2.0).

***N*-(2-Methylphenyl)-2-(pyrrol-1-yl)phenylmethylenamine (2b):** a yellow oil; R_f 0.69 (5:1 hexane–EtOAc); IR (neat) 1622, 1600 cm^{-1}; ^{1}H NMR δ 2.37 (3H, s), 6.35 (2H, dd, *J* 2.3, 2.0), 6.79 (1H, dd, *J* 7.3, 1.6), 6.90 (2H, dd, *J* 2.3, 2.0), 7.0–7.25 (3H, m), 7.38 (1H, dd, *J* 7.3, 2.0), 7.4–7.6 (2H, m), 8.14 (1H, s), 8.33 (1H, dd, *J* 7.3, 2.0).

***N*-[4-(1-Methylethyl)phenyl]-2-(pyrrol-1-yl)phenylmethylenamine (2c):** a yellow oil; R_f 0.69 (5:1 hexane–EtOAc); IR (neat) 1621, 1596 cm^{-1}; ^{1}H NMR δ 1.25 (6H, d, *J* 6.9), 2.91 (1H, septet, *J* 6.9), 6.35 (2H, dd, *J* 2.3, 2.0), 6.89 (2H, dd, *J* 2.3, 2.0), 7.09 (2H, d, *J* 8.6), 7.21 (2H, d, *J* 8.6), 7.37 (1H, dd, *J* 8.6, 1.3), 7.4–7.6 (2H, m), 8.25 (1H, s), 8.29 (1H, dd, *J* 7.6, 2.0).

***N*-(4-Methoxyphenyl)-2-(pyrrol-1-yl)phenylmethylenamine (2d):** a yellow solid; mp 75–76 °C (hexane–CH_2Cl_2); IR (KBr disk) 1618, 1601 cm^{-1}; ^{1}H NMR δ 3.81 (3H, s), 6.36 (2H, dd, *J* 2.3, 2.0),

6.85–6.95 (4H, m), 7.15 (2H, d, *J* 9.0), 7.37 (1H, dd, *J* 7.3, 2.0), 7.4–7.55 (2H, m), 8.24 (1H, s), 8.28 (1H, dd, *J* 7.3, 2.0).

***N*-Phenyl-4,5-dimethoxy-2-(pyrrol-1-yl)phenylmethylenamine (2e):** a yellow solid; mp 137–138 °C (hexane–CH_2Cl_2); IR (KBr disk) 1603, 1582 cm^{-1}; ^1H NMR δ 3.94 (3H, s), 4.04 (3H, s), 6.33 (2H, dd, *J* 2.3, 2.0), 6.85 (1H, s), 6.87 (2H, dd, *J* 2.3, 2.0), 7.10 (2H, dd, *J* 7.6, 1.3), 7.18 (1H, tt, *J* 7.6, 1.3), 7.34 (2H, t, *J* 7.6), 7.79 (1H, s), 8.09 (1H, s).

***N*-Phenyl-5-chloro-2-(pyrrol-1-yl)phenylmethylenamine (2f):** a yellow oil; R_f 0.78 (5:1 hexane–EtOAc); IR (neat) 1622, 1587 cm^{-1}; ^1H NMR δ 6.36 (2H, dd, *J* 2.3, 2.0), 6.86 (2H, dd, *J* 2.3, 2.0), 7.14 (2H, dd, *J* 7.6, 1.3), 7.23 (1H, tt, *J* 7.3, 1.3), 7.3–7.4 (3H, m), 7.47 (1H, dd, *J* 8.4, 2.3), 8.17 (1H, s), 8.29 (1H, d, *J* 2.3).

***N*-Butyl-5-chloro-2-(pyrrol-1-yl)phenylmethylenamine (2g):** a yellow oil; R_f 0.51 (5:1 hexane–EtOAc); IR (neat) 1640 cm^{-1}; ^1H NMR δ 0.93 (3H, t, *J* 7.3), 1.35 (2H, sextet, *J* 7.3), 1.65 (2H, quint, *J* 7.3), 3.54 (2H, td, *J* 7.3, 1.3), 6.35 (2H, *J* 2.4, 2.0), 6.80 (2H, dd, *J* 2.4, 2.0), 7.25 (1H, d, *J* 8.6), 7.41 (1H, dd, *J* 8.6, 2.6), 7.94 (1H, t, *J* 1.3), 8.05 (1H, d, *J* 2.6).

4,5-Bis(phenylamino)pyrrolo[1,2-*a*]quinoline (3a). Typical Procedure for the Preparation of Diaminopyrroloquinolines (3). To a stirred solution of **2a** (0.27 g, 1.1 mmol) and phenyl isocyanide (0.17 g, 1.7 mmol) in CH_2Cl_2 (6 mL) at 0 °C under argon was added $BF_3{\cdot}OEt_2$ (16 mg, 0.11 mmol). After 5 min, the mixture was diluted with CH_2Cl_2 (10 mL), washed successively with saturated aqueous $NaHCO_3$ and brine, dried over anhydrous $MgSO_4$, and evaporated. The residue was purified by column chromatography on silica gel (1:2 CH_2Cl_2-hexane) to give **3a** (0.25 g, 66%) as a yellow solid: mp 150 °C (decomp) (hexane–EtOAc); IR (KBr disk) 3319, 1598 cm^{-1}; ^1H NMR δ 5.29 (1H, br), 6.00 (1H, br), 6.25 (1H, d, *J* 4.0), 6.62 (2H, d, *J* = 7.6), 6.69 (1H, dd, *J* 3.7, 3.0), 6.77 (1H, t, *J* 7.3), 6.85 (2H, dd, *J* 7.3, 1.3), 6.91 (1H, t, *J* 7.3), 7.05–7.3 (5H, m), 7.43 (1H, t, *J* 7.3), 7.70 (1H, d, *J* 7.9), 7.87 (1H, br s), 7.91 (1H, d, *J* 7.9); ^{13}C NMR δ 103.58, 112.53, 112.64, 114.24 (two overlapped C's), 117.80, 118.45, 119.00, 121.05, 122.96, 123.85, 124.51, 126.27, 127.64, 128.92, 129.13, 129.35, 131.81, 143.79, 146.59; MS *m*/*z* 349 (M^+, 100). Anal. Calcd for $C_{24}H_{19}N_3$: C, 82.49; H, 5.48; N, 12.03. Found: C, 82.47; H, 5.52; N, 11.86.

4-(2-Methylphenyl)amino-5-(phenylamino)pyrrolo[1,2-*a*]quinoline (3b): a yellow solid; mp 119 °C (decomp) (hexane–EtOAc); IR (KBr disk) 3358, 1601 cm^{-1}; ^1H NMR δ 2.17 (3H, s), 5.18 (1H, br s), 5.72 (1H, br s), 6.14 (1H, dd, *J* 3.8, 1.6), 6.61 (2H, dd, *J* 8.6, 1.0), 6.67 (1H, dd, *J* 3.8, 3.0), 6.7-6.8 (2H, m), 6.89 (1H, ddd, *J* 7.6, 7.3, 1.4), 7.02 (1H, t, *J* 8.1), 7.12 (2H, t, *J* 8.6), 7.25 (1H, td, *J* 7.6, 1.2), 7.72 (1H, dd, *J* 7.9, 1.3), 7.87 (1H, dd, *J* 3.0, 1.6), 7.90 (1H, d, *J* 8.3); ^{13}C NMR δ 17.77, 103.34, 112.52, 112.68, 114.12, 114.21, 116.32, 118.95, 119.01, 121.72, 123.50, 123.89, 124.16, 125.91, 126.38, 127.65, 128.03, 129.36, 130.24, 130.42, 131.53, 141.82, 146.70; MS *m*/*z* 363 (M^+, 100). Anal. Calcd for $C_{25}H_{21}N_3$: C, 82.61; H, 5.82; N, 11.56. Found: C, 82.75; H, 6.09; N, 11.39.

4-(*tert*-Butylamino)-5-(phenylamino)pyrrolo[1,2-*a*]quinoline (3c): a yellow solid; mp 149 °C (decomp)

(hexane–EtOAc); IR (KBr disk) 3435, 3369, 1601 cm^{-1}; ^1H NMR δ 1.26 (9H, s), 1.55–1.65 (1H, br), 5.62 (1H, br s), 6.6–6.85 (5H, m), 7.1–7.25 (3H, m), 7.39 (1H, ddd, *J* 8.2, 6.9, 1.3), 7.62 (1H, dd, *J* 8.2, 1.3), 7.83 (1H, *J* 2.6, 1.6), 7.86 (1H, d, *J* 8.2); ^{13}C NMR δ 1.01, 31.41, 103.57, 112.30, 112.42, 114.14, 114.79, 118.90, 121.44, 122.63, 123.45, 125.19, 126.37, 129.30, 131.65, 131.73, 132.07, 146.86; MS *m/z* 329 (M^+, 32), 272 (100). Anal. Calcd for $C_{22}H_{23}N_3$: C, 80.21; H, 7.04; N, 12.76. Found: C, 79.95; H, 7.12; N, 12.75.

5-(2-Methylphenyl)amino-4-(phenylamino)pyrrolo[1,2-*a*]quinoline (3d): yellow needles; mp 164 °C (decomp) (hexane–EtOAc); IR (KBr disk) 3383, 1595 cm^{-1}; ^1H NMR δ 2.18 (3H, s), 5.07 (1H, br s), 5.87 (1H, br s), 6.30 (1H, dd, *J* 4.0, 1.4), 6.33 (1H, d, *J* 8.9), 6.65–6.75 (2H, m), 6.84 (2H, dd, *J* 8.6, 1.0), 6.91 (2H, t, *J* 8.6), 7.10 (1H, d, *J* 6.9), 7.15–7.3 (4H, m), 7.44 (1H, td, *J* 8.2, 1.3), 7.61 (1H, dd, *J* 7.9, 1.3), 7.88 (1H, dd, *J* 3.0, 1.4), 7.92 (1H, d, *J* 8.6); ^{13}C NMR δ 17.42, 102.93, 112.52, 112.67, 112.75, 114.23, 117.94, 118.54, 118.70, 120.88, 122.82, 122.87, 123.83, 124.60, 126.37, 127.01, 128.11, 128.27, 129.02, 130.31, 131.85. 143.86, 144.43; MS *m/z* 363 (M^+, 100). Anal. Calcd for $C_{25}H_{21}N_3$: C, 82.61; H, 5.82; N, 11.56. Found; C, 82.52; H, 6.08; N, 11.50.

5-[4-(2-Methylethyl)phenyl]amino-4-(phenylamino)pyrrolo[1,2-*a*]quinoline (3e): a yellow solid; mp 158 °C (decomp) (hexane–EtOAc); IR (KBr disk) 3354, 1613, 1600 cm^{-1}; ^1H NMR δ 1.19 (6H, d, *J* 6.6), 2.79 (1H, septet, *J* 6.6), 5.24 (1H, br s), 6.00 (1H, br s), 6.23 (1H, dd, *J* 4.0, 1.1), 6.57 (2H, d, *J* 8.4), 6.69 (1H, dd, *J* 4.0, 2.9), 6.84 (1H, dd, *J* 8.4, 1.1), 6.91 (1H, t, *J* 7.3), 6.99 (2H, d, *J* 8.4), 7.15–7.3 (3H, m), 7.42 (1H, t, *J* 8.4), 7.70 (1H, dd, *J* 8.0, 1.1), 7.86 (1H, dd, *J* 2.9, 1.1), 7.91 (1H, d, *J* 8.4); ^{13}C NMR δ 24.15, 33.16, 103.41, 112.50, 114.21, 114.42, 118.30, 118.73, 120.90, 122.93, 123.79, 124.71, 126.26, 127.20, 127.78, 128.66, 128.91 (two overlapped C's), 131.86, 139.60, 143.95, 144.46; MS *m/z* 363 (M^+, 100). Anal. Calcd for $C_{27}H_{25}N_3$: C, 82.83; H, 6.44; N, 10.73. Found; C, 82.57; H, 6.51; N, 10.70.

5-[(4-Methoxyphenyl)amino]-4-(phenylamino)pyrrolo[1,2-*a*]quinoline (3f): a pale-brown solid; mp 140 °C (decomp) (hexane–CH_2Cl_2); IR (KBr disk) 3354, 1599 cm^{-1}; ^1H NMR δ 3.72 (3H, s), 5.22 (1H, br s), 5.92 (1H, br s), 6.24 (1H, dd, *J* 4.0, 1.3), 6.60 (2H, d, *J* 9.2), 6.65–6.75 (3H, m), 6.83 (2H, dd, *J* 8.3, 1.3), 6.90 (1H, t, *J* 7.3), 7.15-7.3 (3H, m), 7.43 (1H, td, *J* 8.3, 1.3), 7.69 (1H, dd, *J* 8.3, 1.3), 7.85 (1H, dd, *J* 3.0, 1.3), 7.91 (1H, d, *J* 7.9); ^{13}C NMR δ 55.63, 102.92, 112.45, 112.51, 114.25, 114.76, 116.01, 117.82, 120.02, 120.74, 122.64, 123.71, 124.81, 126.40, 127.64, 128.08, 128.98, 132.01, 140.31, 144.11, 153.20; MS *m/z* 379 (M^+, 100). Anal. Calcd for $C_{25}H_{21}N_3O$: C, 79.13; H, 5.58; N, 11.07. Found; C, 78.88; H, 5.62; N, 11.02.

7,8-Dimethoxy-4,5-bis(phenylamino)pyrrolo[1,2-*a*]quinoline (3g): a yellow solid; mp 180 °C (decomp) (hexane–EtOAc); IR (KBr disk) 3368, 3322, 1622, 1603 cm^{-1}; ^1H NMR δ 3.73 (3H, s), 4.05 (3H, s), 5.40 (1H, br s), 5.68 (1H, br s), 6.28 (1H, dd, *J* 4.0, 1.0 Hz), 6.61 (2H, d, *J* 7.6 Hz), 6.7–6.9 (7H, m), 7.05–7.25 (3H, m), 7.33 (1H, s), 7.73 (1H, br s); ^{13}C NMR δ 55.96, 56.26, 97.43, 101.76, 106.56, 111.48, 112.50, 114.94, 115.85, 116.71, 119.29, 120.15, 120.85, 125.56, 126.67, 128.77, 129.08, 129.21, 144.58, 146.32, 146.40, 149.03; MS *m/z* 409 (M^+, 100). Anal. Calcd for $C_{26}H_{23}N_3O_3$: C, 76.26; H, 5.66; N,

10.26. Found; C, 76.14; H, 5.54; N, 10.30.

7-Chloro-4,5-bis(phenylamino)pyrrolo[1,2-*a*]quinoline (3h): a pale-brown solid; mp 160 ˚C (decomp) (hexane–CH_2Cl_2); IR (KBr disk) 3410, 3357, 1598 cm^{-1}; ^1H NMR δ 5.05–5.25 (1H, br), 6.0–6.2 (1H, br), 6.22 (1H, dd, *J* 4.0, 1.6), 6.60 (2H, dd, *J* 8.6, 1.0), 6.69 (1H, dd, *J* 4.0, 3.0), 6.78 (1H, t, *J* 7.3), 6.87 (2H, dd, *J* 8.6, 1.0), 6.96 (1H, tt, *J* 7.3, 1.0), 7.1–7.25 (4H, m), 7.34 (1H, dd, *J* 8.6, 2.3), 7.64 (1H, d, *J* 2.3), 7.82 (combined 2H, d and dd, *J* 8.6 and *J* 3.0, 1.6, respectively); ^{13}C NMR δ 104.45, 112.80, 112.94, 113.85, 115.16, 115.56, 119.14, 119.50, 121.77, 123.31, 124.96, 125.80, 126.95, 128.87, 129.47, 129.51, 129.88, 131.11, 143.02, 146.12; MS *m/z* 383 (M^+, 100). Anal. Calcd for $C_{24}H_{18}N_3Cl$: C, 75.09; H, 4.73; N, 10.95. Found: C, 74.70; H, 4.68; N, 10.83.

5-(Butylamino)-7-chloro-4-(phenylamino)pyrrolo[1,2-*a*]quinoline (3i): a yellow viscous oil; R_f 0.54 (1:5 AcOEt–hexane); IR (neat) 3340, 1601 cm^{-1}; ^1H NMR δ 0.86 (3H, t, *J* 7.3), 1.25–1.6 (5H, m), 3.04 (2H, t, *J* = 7.3), 5.47 (1H, br s), 6.19 (1H, dd, *J* 4.0, 1.6), 6.65 (1H, dd, *J* 4.0, 3.0), 6.77 (2H, dd, *J* 7.6, 1.0), 6.85 (1H, t, *J* 7.6), 7.21 (2H, t, *J* 7.6), 7.42 (1H, dd, *J* 8.6, 2.3), 7.72 (1H, dd, *J* 3.0, 1.6), 7.80 (1H, d, *J* 8.6), 7.91 (1H, d, *J* 2.3); ^{13}C NMR δ 13.88, 20.11, 33.00, 49.46, 100.35, 111.85, 112.88, 115.30, 115.87, 119.83, 121.46, 122.99, 124.37, 126.92, 128.95, 129.35, 129.76, 130.75, 131.28, 145.28; MS *m/z* 363 (M^+, 56), 306 (100). Anal. Calcd for $C_{22}H_{22}N_3Cl$: C, 72.62; H, 6.09; N, 11.55. Found: C, 72.59; H, 6.36; N, 11.49.

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