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# Synthesis of 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives by annulation of *N*,*N*-dialkyl[2-(pyrrol-1-yl)benzylidene]ammonium salts in the presence of an isocyanide

Kazuhiro Kobayashi,* Atsushi Takanohashi, Kenichi Hashimoto, Osamu Morikawa and Hisatoshi Konishi

*Department of Materials Science, Faculty of Engineering, Tottori University, 4-101 Koyama-minami, Tottori 680-8552, Japan*

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**Abstract**—A facile synthetic method for 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives has been developed. Treatment of 2-(pyrrol-1-yl)-benzaldehydes with secondary amine hydrochloride/NaI/TMSCl/$Et_3N$ in the presence of an isocyano compound leads to the formation of 4-alkyl(or aryl)amino-5-dialkylaminopyrrolo[1,2-*a*]quinolines.
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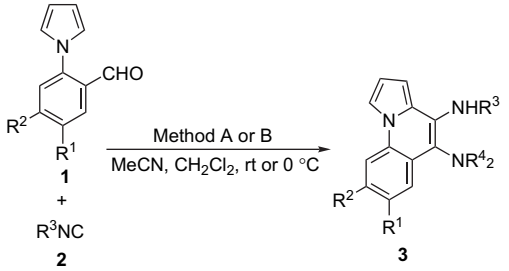
## 1. Introduction

We previously described the synthesis of 9-dialkylamino-9*H*-pyrrolo[1,2-*a*]indole derivatives by annulation of iminium salts generated from 2-(pyrrol-1-yl)benzaldehydes and secondary amine hydrochlorides in the presence of NaI/TMSCl/$Et_3N$.[1] In this paper we wish to report that the successful use of isocyanides in this annulation provides 4-alkyl(or aryl)amino-5-dialkylaminopyrrolo[1,2-*a*]quinolines **3**. Although a number of useful methods for the preparation of pyrrolo[1,2-*a*]quinoline derivatives have already been reported[2] due to their both practical and theoretical utilities as benzo analogues of indolizines,[3] this is the first report on the synthesis of 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives.

## 2. Results and discussion

The procedure we have developed for the synthesis of **3** is outlined in Scheme 1. First, using the following procedure (Method A), the preparation of 5-dimethyl(or diethyl)aminopyrrolo[1,2-*a*]quinoline derivatives **3a**, **3b**, **3d**, **3e**, and **3i–k** has been achieved. Sodium iodide (2.2 mmol), the secondary amine hydrochloride (1.0 mmol), triethylamine (2.1 mmol), and chlorotrimethylsilane (2.3 mmol) were mixed in acetonitrile (2.2 mL) at room temperature.[4] To this mixture were added solutions of the isocyanides **2** (1.0 mmol) and the 2-(pyrrol-1-yl)benzaldehydes **1** in dichloromethane under stirring, and stirring was continued for 4 h. After usual workup followed by separation using column chromatography on silica gel the desired products were obtained in the yields summarized in Table 1 (Entries 1, 2, 4, 5, and 9–11). Compounds **1a** and **1c** gave fair to good yields of the desired products in general. An aliphatic isocyanide, such as *tert*-butyl isocyanide (**1c**), proved to be usable in the present procedure, but the yield of the expected product **3i** was lower (Entry 9). The reaction using **1b** was carried out at lower temperature (0 °C) for a longer reaction time (overnight) by considering the lability of the methoxy substituents toward iodotrimethylsilane generated in situ (Entry 10).




Method A: $R^4{}_2N^+H_2Cl^-$, NaI, $Me_3SiCl$, $Et_3N$
Method B: $R^4{}_2NH$, NaI, $Me_3SiCl$, $Et_3N$, $Et_3N^+HCl^-$

**Scheme 1**.

*Keywords*: Iminium salt; Isocyanide; Pyrrole; Pyrroloquinoline; Secondary amine.
* Corresponding author. Tel./fax: +81 857 31 5263; e-mail: kkoba@chem.tottori-u.ac.jp

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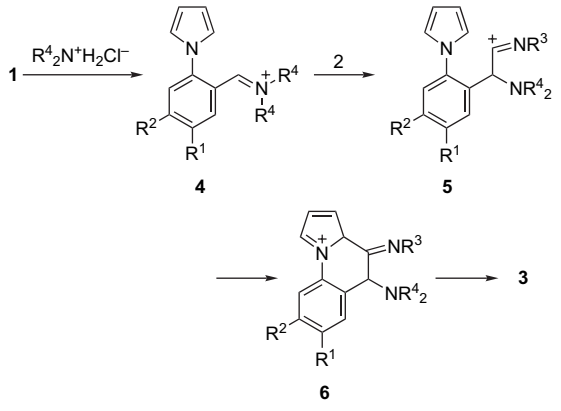
**Table 1**. Preparation of 4-alkyl(or aryl)amino-5-dialkylaminopyrrolo[1,2-*a*]quinolines **3**[a]

| Entry | Starting material **1** | Isocyanide **2** | Amine | Method | **3** (Yield/%)[b] |
|---|---|---|---|---|---|
| 1 | **1a** ($R^1$=$R^2$=H) | **2a** ($R^3$=*o*-Tol) | $HNMe_2$ | A | **3a** (57) |
| 2 | **1a** | **2a** | $HNEt_2$ | A | **3b** (57) |
| 3 | **1a** | **2a** | Piperidine | B | **3c** (59) |
| 4 | **1a** | **2b** ($R^3$=Ph) | $HNMe_2$ | A | **3d** (70) |
| 5 | **1a** | **2b** | $HNEt_2$ | A | **3e** (54) |
| 6 | **1a** | **2b** | Pyrrolidine | B | **3f** (60) |
| 7 | **1a** | **2b** | Piperidine | B | **3g** (56) |
| 8 | **1a** | **2b** | Morpholine | B | **3h** (48) |
| 9 | **1a** | **2c** ($R^3$=*t*-Bu) | $HNMe_2$ | A | **3i** (32) |
| 10 | **1b** ($R^1$=$R^2$=OMe) | **2b** | $HNMe_2$ | A | **3j** (58)[c] |
| 11 | **1c** ($R^1$=Cl, $R^2$=H) | **2b** | $HNMe_2$ | A | **3k** (65) |

[a] Reactions were conducted at room temperature for 4 h.
[b] Isolated yields by column chromatography on silica gel.
[c] Reaction was conducted at 0 °C overnight.

Subsequently, in order to investigate the generality of the present procedure, other secondary amines were used. The reactions using free secondary amines instead of secondary amine hydrochlorides under the same reaction conditions described above resulted in the formation of intractable mixtures of products including the starting material **1a**. However, in the presence of triethylamine hydrochloride (1.1 equiv), the reactions proceeded more cleanly to give moderate-to-fair yields of the desired 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives **3c** and **3f–h** (Method B; Entries 3 and 6–8). It indicates that somewhat acidic media are essential for the generation of the iminium salts **4**. Mechanistically, this annulation process appears to proceed as shown in Scheme 2. Thus, the isocyano carbon of an isocyanide attacks at the imino carbon of the iminium salts **4**, derived from **1** and secondary amine hydrochlorides in the presence of NaI/TMSCl/$Et_3N$, to generate the intermediate **5**. An intramolecular combination of the resulting cation center of **5** and the 2-carbon of the pyrrole ring affords **6**, which gives rise to **3** through tautomerization.




**Scheme 2**.

The results reported above demonstrate that 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives can be conveniently synthesized from 2-(pyrrol-1-yl)benzaldehydes, isocyanides, and secondary amines. The ready availability of the starting materials and the ease of operations make the present method attractive.

## 3. Experimental

### 3.1. General

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

### 3.2. Starting materials

2-(Pyrrol-1-yl)benzaldehydes **1a–c** were prepared as described in our recent report.[1] Isocyanides **2a** and **2b** were prepared by a modification[5a] of Ugi's method.[5b] All other chemicals used in this study were commercially available.

### 3.3. Typical procedure for the preparation of 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives 3 under Method A

**3.3.1. 5-Dimethylamino-4-[(2-methylphenyl)amino]pyrrolo[1,2-*a*]quinoline (3a).** To a stirred mixture of NaI (0.34 g, 2.2 mmol), dimethylamine hydrochloride (83 mg, 1.0 mmol), $Et_3N$ (0.21 g, 2.1 mmol), and $Me_3SiCl$ (0.25 g, 2.3 mmol) in acetonitrile (2.2 mL) (Risch's conditions)[4] at room temperature was added a solution of *o*-tolyl isocyanide (**2a**) (0.12 g, 1.0 mmol) and 2-(pyrrol-1-yl)benzaldehyde (**1a**) (0.15 g, 0.90 mmol) in $CH_2Cl_2$ (5 mL). After stirring for 4 h at the same temperature, the reaction mixture was diluted with $CH_2Cl_2$ (20 mL), washed with saturated aqueous $NaHCO_3$ and then brine, and dried over anhydrous $Na_2SO_4$. Evaporation of the solvent gave a residue, which was

purified by column chromatography on silica gel to give the title compound **3a** (0.16 g, 57%); a pale-yellow oil; $R_f$ 0.28 (1:3 $CH_2Cl_2$/hexane); IR (neat) 3306, 1601 $cm^{-1}$; $^1H$ NMR $\delta$ 2.41 (3H, s), 2.98 (6H, s), 5.99 (1H, dd, $J$=3.6, 1.3 Hz), 6.30 (1H, br s), 6.60 (1H, dd, $J$=3.6, 3.0 Hz), 6.73 (1H, d, $J$=7.9 Hz), 6.90 (1H, td, $J$=7.9, 1.0 Hz), 7.01 (1H, dd, $J$=7.9, 7.3 Hz), 7.20 (1H, d, $J$=7.3 Hz), 7.25–7.45 (2H, m), 7.77 (1H, dd, $J$=3.0, 1.3 Hz), 7.8–7.95 (2H, m); MS $m/z$ 315 ($M^+$, 100). Calcd for $C_{21}H_{21}N_3$: C, 79.97; H, 6.71; N, 13.32. Found: C, 79.92; H, 6.62; N, 13.36.

**3.3.2. 5-Diethylamino-4-[(2-methylphenyl)amino]pyrrolo[1,2-*a*]quinoline (3b).** A pale-yellow oil; $R_f$ 0.28 (1:1 $CH_2Cl_2$/hexane); IR (neat) 3288, 1602 $cm^{-1}$; $^1H$ NMR $\delta$ 1.05 (6H, t, $J$=7.3 Hz), 2.42 (3H, s), 3.2–3.4 (4H, m), 5.98 (1H, dd, $J$=4.0, 1.6 Hz), 6.61 (1H, dd, $J$=4.0, 2.6 Hz), 6.74 (1H, d, $J$=7.9 Hz), 6.85–7.05 (3H, m), 7.21 (1H, d, $J$=6.9 Hz), 7.25–7.4 (2H, m), 7.74 (1H, dd, $J$=7.9, 1.6 Hz), 7.79 (1H, dd, $J$=2.6, 1.6 Hz), 7.90 (1H, dd, $J$=7.9, 1.3 Hz); MS $m/z$ 343 ($M^+$, 100). HRMS Calcd for $C_{23}H_{25}N_3$: M, 343.2048. Found: $m/z$ 343.2052.

**3.3.3. 5-Dimethylamino-4-(phenylamino)pyrrolo[1,2-*a*]quinoline (3d).** A pale-yellow oil; $R_f$ 0.62 (1:1 $CH_2Cl_2$/hexane); IR (neat) 3296, 1601 $cm^{-1}$; $^1H$ NMR $\delta$ 2.97 (6H, s), 6.11 (1H, dd, $J$=4.0, 1.6 Hz), 6.53 (1H, br s), 6.63 (1H, dd, $J$=4.0, 2.6 Hz), 6.85–6.95 (3H, m), 7.21 (2H, t, $J$=7.9 Hz), 7.3–7.45 (2H, m), 7.77 (1H, dd, $J$=2.6, 1.6 Hz), 7.86 (1H, dd, $J$=7.6, 1.6 Hz), 7.89 (1H, dd, $J$=7.9, 1.3 Hz); MS $m/z$ 301 ($M^+$, 100). Calcd for $C_{20}H_{19}N_3$: C, 79.70; H, 6.35; N, 13.94. Found: C, 79.59; H, 6.49; N, 13.59.

**3.3.4. 5-Diethylamino-4-(phenylamino)pyrrolo[1,2-*a*]quinoline (3e).** A pale-yellow oil; $R_f$ 0.54 (2:3 $CH_2Cl_2$/hexane); IR (neat) 3315, 1602 $cm^{-1}$; $^1H$ NMR $\delta$ 1.03 (6H, t, $J$=7.3 Hz), 3.15–3.4 (4H, m), 6.08 (1H, dd, $J$=4.0, 1.6 Hz), 6.64 (1H, dd, $J$=4.0, 2.6 Hz), 6.9–7.0 (3H, m), 7.15–7.45 (5H, m), 7.77 (1H, dd, $J$=7.9, 1.3 Hz), 7.80 (1H, dd, $J$=2.6, 1.6 Hz), 7.91 (1H, dd, $J$=8.2, 1.3 Hz); MS $m/z$ 329 ($M^+$, 100). Calcd for $C_{22}H_{23}N_3$: C, 80.21; H, 7.04; N, 12.76. Found: C, 80.14; H, 7.23; N, 12.54.

**3.3.5. 5-Dimethylamino-4-(1,1-dimethylethylamino)pyrrolo[1,2-*a*]quinoline (3i).** A pale-yellow oil; $R_f$ 0.54 (1:5 AcOEt/hexane); IR (neat) 3293, 1602 $cm^{-1}$; $^1H$ NMR $\delta$ 1.37 (9H, s), 2.99 (6H, s), 6.35 (1H, br s), 6.70 (1H, dd, $J$=4.0, 3.0 Hz), 6.77 (1H, dd, $J$=4.0, 1.6 Hz), 7.2–7.4 (2H, m), 7.66 (1H, dd, $J$=7.9, 1.3 Hz), 7.75 (1H, dd, $J$=3.0, 1.6 Hz), 7.85 (1H, dd, $J$=7.9, 1.3 Hz); MS $m/z$ 281 ($M^+$, 94), 183 (100). Calcd for $C_{18}H_{23}N_3$: C, 76.83; H, 8.24; N, 14.93. Found: C, 76.70; H, 8.24; N, 14.94.

**3.3.6. 7,8-Dimethoxy-5-dimethylamino-4-(phenylamino)-pyrrolo[1,2-*a*]quinoline (3j).** Preparation of this compound was carried out at 0 °C overnight. A pale-yellow solid; mp 183 °C (hexane/$CH_2Cl_2$); IR (KBr disk) 3380, 1620, 1600 $cm^{-1}$; $^1H$ NMR $\delta$ 2.96 (6H, s), 4.00 (3H, s), 4.04 (3H, s), 6.03 (1H, br s), 6.13 (1H, dd, $J$=4.0, 1.3 Hz), 6.64 (1H, dd, $J$=4.0, 3.0 Hz), 6.8–6.9 (3H, m), 7.19 (2H, dd, $J$=8.3, 7.6 Hz), 7.31 (1H, s), 7.40 (1H, s), 7.63 (1H, dd, $J$=3.0, 1.3 Hz); MS $m/z$ 361 ($M^+$, 100). Calcd for $C_{22}H_{23}N_3O_2$: C, 73.11; H, 6.41; N, 11.63. Found: C, 73.08; H, 6.57; N, 11.39.

**3.3.7. 7-Chloro-5-dimethylamino-4-phenylaminopyrrolo[1,2-*a*]quinoline (3k).** A pale-yellow oil; $R_f$ 0.36 (1:3 AcOEt/hexane); IR (neat) 3388, 1602 $cm^{-1}$; $^1H$ NMR $\delta$ 2.96 (6H, s), 6.10 (1H, dd, $J$=3.6, 1.3 Hz), 6.53 (1H, br s), 6.62 (1H, dd, $J$=3.6, 3.0 Hz), 6.9–7.0 (3H, m), 7.23 (2H, dd, $J$=8.3, 7.6 Hz), 7.34 (1H, dd, $J$=8.9, 2.3 Hz), 7.72 (1H, dd, $J$=3.0, 1.3 Hz), 7.75–7.85 (2H, m); MS $m/z$ 335 ($M^+$, 100). Calcd for $C_{20}H_{18}ClN_3$: C, 71.53; H, 5.40; N, 12.51. Found: C, 71.52; H, 5.52; N, 12.50.

### 3.4. Typical procedure for the preparation of 4,5-diaminopyrrolo[1,2-*a*]quinoline derivatives 3 under Method B

**3.4.1. 4-[(2-Methylphenyl)amino]-5-piperidinopyrrolo-[1,2-*a*]quinoline (3c).** To a stirred mixture of NaI (0.34 g, 2.3 mmol), triethylamine hydrochloride (0.15 g, 1.1 mmol), pyrrolidine (72 mg, 1.0 mmol), $Et_3N$ (0.22 g, 2.2 mmol), and $Me_3SiCl$ (0.26 g, 2.4 mmol) in acetonitrile (2.2 mL) at room temperature was added a solution of *o*-tolyl isocyanide (**2a**) (0.12 g, 1.0 mmol) and 2-(pyrrol-1-yl)benzaldehyde (**1a**) (0.16 g, 1.0 mmol) in $CH_2Cl_2$ (5 mL). After stirring for 4 h at the same temperature, the reaction mixture was worked up in a manner similar to that described for the preparation of **3a**. Chromatographic separation of the crude product gave the title compound **3c** (0.21 g, 59%); a pale-yellow oil; $R_f$ 0.63 (1:1 $CH_2Cl_2$/hexane); IR (neat) 3288, 1605 $cm^{-1}$; $^1H$ NMR $\delta$ 1.55–1.75 (6H, m), 2.43 (3H, s), 3.0–3.1 (2H, m), 3.3–3.4 (2H, m), 6.05 (1H, dd, $J$=4.0, 1.6 Hz), 6.40 (1H, br s), 6.61 (1H, dd, $J$=4.0, 2.6 Hz), 6.68 (1H, d, $J$=7.9 Hz), 6.86 (1H, t, $J$=8.6 Hz), 6.97 (1H, t, $J$=8.6 Hz), 7.19 (1H, d, $J$=7.9 Hz), 7.25–7.45 (2H, m), 7.76 (1H, dd, $J$=2.6, 1.6 Hz), 7.87 (1H, dd, $J$=7.9, 1.3 Hz), 7.98 (1H, dd, $J$=7.9, 1.3 Hz); MS $m/z$ 355 ($M^+$, 86), 298 (100). Calcd for $C_{24}H_{25}N_3$: C, 81.09; H, 7.09; N, 11.82. Found: C, 80.95; H, 7.11; N, 11.82.

**3.4.2. 4-Phenylamino-5-(pyrrolidin-1-yl)pyrrolo[1,2-*a*]quinoline (3f).** A pale-yellow solid; mp 130–132 °C (hexane); IR (KBr disk) 3405, 1600 $cm^{-1}$; $^1H$ NMR $\delta$ 2.05–2.15 (4H, m), 3.25–3.35 (4H, m), 6.09 (1H, dd, $J$=4.0, 1.6 Hz), 6.63 (1H, dd, $J$=4.0, 3.0 Hz), 6.66 (1H, br s), 6.9–7.0 (3H, m), 7.21 (2H, d, $J$=8.2 Hz), 7.31 (1H, td, $J$=7.9, 1.3 Hz), 7.40 (1H, td, $J$=7.9, 1.3 Hz), 7.66 (1H, dd, $J$=7.9, 1.3 Hz), 7.79 (1H, dd, $J$=3.0, 1.6 Hz), 7.91 (1H, dd, $J$=7.9, 1.3 Hz); $^{13}C$ NMR $\delta$ 26.51, 51.09, 103.54, 111.92, 112.30, 114.75, 119.65 (two overlapped C's), 121.18, 122.83, 123.17, 123.98, 125.29, 127.44, 128.69, 131.87, 132.06, 144.77; MS $m/z$ 327 ($M^+$, 100). Calcd for $C_{22}H_{21}N_3$: C, 80.70; H, 6.46; N, 12.83. Found: C, 80.69; H, 6.44; N, 12.54.

**3.4.3. 4-Phenylamino-5-piperidinopyrrolo[1,2-*a*]quinoline (3g).** A pale-yellow oil; $R_f$ 0.59 (2:3 $CH_2Cl_2$/hexane); IR (neat) 3360, 1601 $cm^{-1}$; $^1H$ NMR $\delta$ 1.6–1.8 (6H, m), 3.0–3.2 (2H, m), 3.3–3.5 (2H, m), 6.14 (1H, dd, $J$=4.0, 1.3 Hz), 6.40 (1H, br s), 6.63 (1H, dd, $J$=4.0, 3.0 Hz), 6.85–6.95 (3H, m), 7.20 (2H, t, $J$=8.2 Hz), 7.33 (1H, td, $J$=8.2, 1.3 Hz), 7.43 (1H, td, $J$=8.2, 1.3 Hz), 7.77 (1H, dd, $J$=3.0, 1.3 Hz), 7.88 (1H, d, $J$=8.2 Hz), 8.02 (1H, dd, $J$=8.2, 1.3 Hz); MS $m/z$ 341 ($M^+$, 68), 284 (100). HRMS Calcd for $C_{23}H_{23}N_3$: M, 341.1892. Found: $m/z$ 341.1901.

**3.4.4. 5-Morpholino-4-(phenylamino)pyrrolo[1,2-*a*]-quinoline (3h).** A pale-yellow solid; mp 155–159 °C (hexane/$Et_2O$); IR (KBr disk) 3348, 1602 $cm^{-1}$; $^1H$ NMR $\delta$ 3.1–3.5 (4H, m), 3.7–4.0 (4H, m), 6.17 (1H, dd, $J$=4.0, 1.5 Hz), 6.23 (1H, br s), 6.64 (1H, dd, $J$=4.0, 2.9 Hz), 6.8–6.95 (3H, m), 7.20 (2H, t, $J$=8.2 Hz), 7.35 (1H, td, $J$=8.1, 1.1 Hz), 7.46 (1H, td, $J$=8.1, 1.1 Hz), 7.79 (1H, dd, $J$=2.9, 1.5 Hz), 7.89 (1H, dd, $J$=8.1, 1.1 Hz), 8.08 (1H, dd, $J$=8.1, 1.1 Hz); MS $m/z$ 343 ($M^+$, 100). Calcd for $C_{22}H_{21}N_3O$: C, 76.94; H, 6.16; N, 12.24. Found: C, 76.85; H, 6.08; N, 12.06.

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