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SYNTHESIS OF 4-(1-DIALKYLAMINOALKYL)PYRROLO[1,2-*a*]QUINOXALINES

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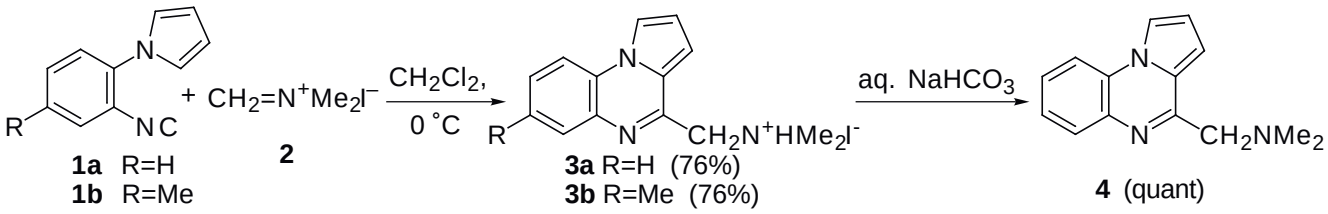
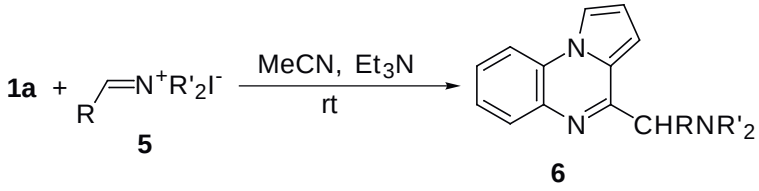
Abstract- The reaction of 1-(2-isocyanophenyl)pyrroles (**1**), which were readily prepared from commercially available or known 1-(2-aminophenyl)pyrroles by formylation in refluxing ethyl formate followed by dehydration with $POCl_3/Et_3N$ in THF, with Eschenmoser's salt (**2**) proceeded smoothly at room temperature to give the dimethyl(pyrrolo[1,2-*a*]quinoxalin-4-ylmethyl)ammonium iodides (**3**) in good yields (74–75%). 1-(2-isocyanophenyl)pyrrole (**1a**) was also found to react with a range of iminium salts (**5**) and (**7**), derived from secondary amines and aldehydes in the presence of $Me_3SiCl/NaI/Et_3N$, to give 4-(1-dialkylaminoalkyl)pyrrolo[1,2-*a*]quinoxaline derivatives (**6**), (**8**), and (**9**) in isolated yields ranging from 47 to 99%.

We have previously reported that 4-(1-hydroxyalkyl)pyrrolo[1,2-*a*]quinoxalines are readily prepared by reacting 1-(2-isocyanophenyl)pyrroles (**1**) with aldehydes or ketones in the presence of a catalytic amount of boron trifluoride diethyl etherate.[1] In continuation of this work, we decided to develop the preparation of pyrrolo[1,2-*a*]quinoxalines bearing 1-dialkylaminoalkyl group at the 4-position, and attempted the reactions of the isocyanides (**1**) with Eschenmoser's salt (**2**) or other iminium salts (**5**) and (**7**), derived from secondary amines and aldehydes.[2] The reactions of **1** with these iminium salts proceeded without any catalyst to allow formation of 4-(1-dialkylaminoalkyl)pyrrolo[1,2-*a*]quinoxaline derivatives (**3**), (**4**), (**6**), (**8**), and (**9**) in fair to good yields.[3,4]

The reaction of isocyanides (**1**) with Eschenmoser's salt (**2**) proceeded smoothly at 0 ˚C in dichloromethane to give dimethyl(pyrrolo[1,2-*a*]quinoxalin-4-ylmethyl)ammonium iodides (**3**), which could be isolated by filtration after addition of diethyl ether in good yield and readily converted into the corresponding free amine derivative (**4**) quantitatively by treating with aqueous $NaHCO_3$ (Scheme 1).



Scheme 1



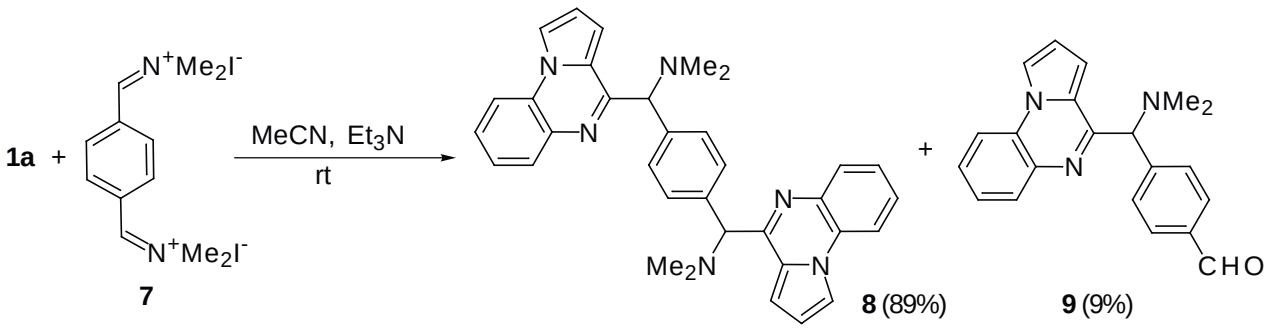
Scheme 2

Table. Yields of 4-(1-dialkylaminoalkyl)pyrrolo[1,2-*a*]quinoxalines (**6**) by the reactions of 1-(2-isocyanophenyl)pyrrole (**1a**) with iminium salts (**5**)

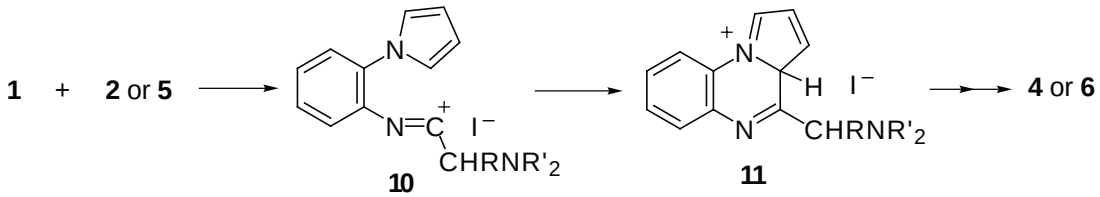
Entry	**5**	**6** (Yield/%)[a]
1	**5a** (R = Et, R' = Me)	**6a** (98)
2	**5b** [R = *i*-Pr, R'$_2$ = $(CH_2)_5$]	**6b** (73)
3	**5c** (R = *t*-Bu, R' = Me)	**6c** (47)
4	**5d** (R = Ph, R' = Me)	**6d** (83)
5	**5e** [R = Ph, R'$_2$ = $(CH_2)_4$]	**6e** (85)
6	**5f** (R = *p*-$MeOC_6H_4$, R' = Me)	**6f** (99)
7	**5g** (R = *p*-ClC_6H_4, R' = Me)	**6g** (74)
8	**5h** (R = *p*-$O_2NC_6H_4$, R' = Me)	**6h** (81)
9	**5i** (R = 2-furyl, R' = Me)	**6i** (57)

[a] Isolated yields based on purification by column chromatography on SiO_2.

The iminium salts (**5**), prepared *in situ* by the treatment of a range of aldehydes with secondary amines in the presence of chlorotrimethylsilane, sodium iodide, and triethylamine according to the procedure reported by Arend and Risch,[2] reacted slowly with the isocyanide (**1a**) at room temperature to give, after workup with aqueous sodium hydrogen carbonate followed by separation using column chromatography on silica gel, the 4-(1-dialkylaminoalkyl)pyrrolo[1,2-*a*]quinoxalines (**6**) (Scheme 2). The results are summarized in the Table, which indicates that the yields of the products (**6a**,**b**, and **d**-**f**) were generally good-to-excellent.



Scheme 3



Scheme 4

However, with iminium salts derived from 2,2-dimethylpropanal (Entry 3) or 2-furancarbaldehyde (Entry 9) yields of the expected products were somewhat lower than those using other iminium salts. The reduced yield of former may be attributable to the bulkiness of *tert*-butyl group, which caused the reaction proceed more reluctantly. In the latter case, the yield after workup was good, as judged by the ^{1}H NMR spectra. The lower yield is presumably due to the instability of the product during isolation procedure.

Similar treatment of bis-iminium salt (**7**) with 2 molar amounts of isocyanide (**1a**) under conditions similar to those described for the preparation of **6** gave high yield of the doubly aminoalkylated product, 1,4-bis[1-dimethylamino-1-(pyrrolo[1,2-*a*]quinoxalin-4-yl)methyl]benzene (**8**), as a *ca*. 1:1 mixture of diastereomers, accompanied by a small quantity of the mono(aminoalkylated) product (**9**), as shown in Scheme 3. The stereochemistry of each diastereomer is not determined yet.

The reaction sequence involves the addition of isocyano carbon to iminium salts, followed by cyclization by the attack of pyrrole ring at the 2-position to the resulting imino iodide (**10**). The products (**4**) and (**6**) are formed through intermediate (**11**),(Scheme 4).

In summary, the present procedure can offer a convenient route to 4-(1-dialkylaminioalkyl)pyrrolo[1,2-*a*]quinoxalines. This method has advantages of simple manipulations as well as readily available starting materials and may find some value in organic synthesis.

EXPERIMENTAL

The mps were determined on a Laboratory Devices MEL-TEMP II melting point apparatus and are uncorrected. The IR spectra were determined with a Perkin-Elmer 1600 Series FT IR spectrophotometer. The ^{1}H NMR spectra were determined using $SiMe_4$ as an internal reference with either a JEOL JNM-GX270 FT NMR spectrometer operating at 270 MHz in $CDCl_3$, unless stated otherwise. *J* Values are given in Hz. Low-resolution MS spectra were recorded on a JEOL AUTOMASS 20 spectrometer (Center for Joint Research and Development, this University). High-resolution MS analyses were performed with a JEOL JMX-AX505HA spectrometer (Faculty of Agriculture, this University). 1-(2-Isocyanophenyl)pyrroles (**1a**) and (**1b**) were prepared by formylation of the respective 1-(2-aminophenyl)pyrroles [1-(2-aminophenyl)pyrrole was commercially available and 1-(2-amino-4-methylphenyl)pyrrole was prepared by the reported method[5]] in refluxing ethyl formate, followed by the dehydration of the resulting 1-(2-formylaminophenyl)pyrroles with $POCl_3/Et_3N$ in THF at 0 ˚C. **1a:** mp 42–43 ˚C (hexane); ν_{max}/cm^{-1} (KBr disk) 2122; δ_H 6.39 (2H, t, *J* = 2.1), 7.02 (2H, t, *J* = 2.1), 7.3-7.55 (4H, m); MS (EI) *m/z* 168 (M^+, 100). Anal. Calcd for $C_{11}H_8N_2$: C, 78.55; H, 4.79; N, 16.66. Found: C, 78.21; H, 5.00; N, 16.80. **1b:** mp 97–99 ˚C (hexane); ν_{max}/cm^{-1} (KBr disk) 2125; δ_H 2.39 (3H, s), 6.36 (2H, t, *J* = 2.1), 6.97 (2H, t, *J* = 2.1), 7.25 (2H, s), 7.31 (1H, s); MS (EI) *m/z* 182 (M^+, 100). Anal. Calcd for $C_{12}H_{10}N_2$: C, 79.10; H, 5.53; N, 15.37. Found: C, 79.13; H, 5.54; N, 15.67. Iminium salts (**5**) and (**7**) were prepared *in situ* according to the procedure reported by Arend and Risch.[2] All of the other chemicals used in this study were commercially available.

Dimethyl[(pyrrolo[1,2-*a*]quinoxalin-4-yl)methyl]ammonium Iodide (3a). To a stirred solution of *N,N*-dimethylmethyleneammonium iodide (**2**) (0.20 g, 1.1 mmol) in CH_2Cl_2 (10 mL) under argon at rt was added a solution of 1-(2-isocyanophenyl)pyrrole (**1a**) (0.17 g, 1.0 mmol) in CH_2Cl_2 (3 mL). After 45 min stirring, the mixture was diluted by adding Et_2O (30 mL). The precipitate was collected by filtration and recrystallized from EtOH to give **3a** (0.27 g, 76%) as pale-pink needles; mp 216–217 ˚C (decomp); ν_{max}/cm^{-1} (KBr disk) 3127, 2805, 1616; δ_H (D_2O) 3.29 (6H, s), 4.89 (2H, s), 7.23 (1H, dd, *J* = 3.7 and 3.2), 7.32 (1H, d, *J* = 3.7), 7.75 (1H, t, *J* = 7.4), 7.86 (1H, t, *J* = 7.4), 8.13 (1H, d, *J* = 7.4), 8.24 (1H, d, *J* = 7.4), 8.43 (1H, d, *J* = 3.2). Anal. Calcd for $C_{14}H_{16}N_3I$: C, 47.61; H, 4.57; N, 11.90. Found: C, 47.36; H, 4.86; N, 11.60.

Dimethyl[(7-methyl-4-pyrrolo[1,2-*a*]quinoxalinyl)methyl]ammonium Iodide (3b): prepared from the isocyanide (**1b**) and the iminium iodide (**2**) in a manner similar to that described above for the preparation of **3a**; pale-pink needles; mp 244–247 ˚C (decomp) (EtOH); ν_{max}/cm^{-1} (KBr disk) 3103, 2806, 1620; δ_H (DMSO-d_6) 2.50 (3H, s), 3.03 (6H, s), 4.82 (2H, s), 6.95–7.0 (1H, m), 7.13 (1H, m), 7.50 (1H, d, *J* = 8.4), 7.75 (1H, s), 8.22 (1H, dd, *J* = 8.4 and 1.1), 8.50 (1H, dd, *J* = 2.6 and 1.1). Anal. Calcd for $C_{15}H_{18}N_3I$: C, 49.06; H, 4.94; N, 11.44. Found: C, 49.23; H, 5.02; N, 11.44.

4-[(Dimethylamino)methyl]pyrrolo[1,2-*a*]quinoxaline (4). A suspension of

(pyrroloquinoxalinyl)ammonium iodide (**3a**) (0.21 g, 0.60 mol) in saturated aqueous $NaHCO_3$ (2 mL) was stirred at rt for 30 min. The resulting solution was extracted with CH_2Cl_2 and the extract was dried over anhydrous Na_2SO_4. Evaporation of the solvent gave **4** (0.13 g): a pale-yellow viscous oil; R_f 0.03 (EtOAc-hexane 1: 2); ν_{max}/cm^{-1} (neat) 3130, 1614; δ_H 2.43 (6H, s), 3.84 (2H, s), 6.86 (1H, dd, *J* = 3.9 and 3.0), 7.11 (1H, d, *J* = 3.9 and 1.3), 7.43 (1H, td, *J* = 7.7 and 1.7), 7.50 (1H, td, *J* = 7.7 and 1.7), 7.85 (1H, dd, *J* = 7.7 and 1.7), 7.92 (1H, dd, *J* = 3.0 and 1.3), 8.00 (1H, dd, *J* = 7.7 and 1.7); MS (EI) *m/z* 225 (M^+, 0.46), 182 (100). Anal. Calcd for $C_{14}H_{15}N_3$: C, 74.64; H, 6.71; N, 18.65. Found: C, 75.01; H, 6.59; N, 18.49.

4-[1-(Dimethylamino)propyl]pyrrolo[1,2-*a*]quinoxaline (6a). General Procedure. The imminium salt (**5a**) was prepared from EtCHO (60 mg, 1.0 mmol), Me_2NH hydrochloride (85 mg, 1.0 mmol), Me_3SiCl (0.25 g, 2.3 mmol), NaI (0.34 g, 2.3 mmol), and Et_3N (0.21 g, 2.1 mmol) in acetonitrile (2.3 mL) according to the procedure reported by Arend and Risch.[3] To this CH_2Cl_2 (5 mL) was added. The mixture was cooled to 0 ˚C and a solution of the isocyanide (**1a**) (0.17 g, 1.0 mmol) in CH_2Cl_2 (5 mL) was added under stirring. After stirring overnight at rt, saturated aqueous $NaHCO_3$ was added and the resulting mixture was extracted with CH_2Cl_2. The extract was dried over anhydrous Na_2SO_4 and the solvent was evaporated. The residue was subjected to column chromatography on SiO_2 to give **6a** (0.26 g, 98%) as a pale–yellow viscous oil; R_f 0.11 (EtOAc–hexane 1: 1); ν_{max}/cm^{-1} (neat) 3146, 1613; δ_H 0.82 (3H, t, *J* = 7.3), 2.0–2.25 (2H, m), 2.37 (6H, s), 3.67 (1H, dd, *J* = 9.4 and 4.8), 6.84 (1H, dd, *J* = 3.9 and 2.6), 7.09 (1H, dd, *J* = 3.9 and 1.3), 7.35–7.55 (2H, m), 7.84 (1H, dd, *J* = 7.7 and 1.7), 7.91 (1H, dd, *J* = 2.6 and 1.3), 8.01 (1H, dd, *J* = 7.7 and 1.7); MS (CI) *m/z* 254 [$(M+1)^+$, 100]. Anal. Calcd for $C_{16}H_{19}N_3$: C, 75.85; H, 7.56; N, 16.59. Found: C, 75.82; H, 7.61; N, 16.71.

4-(2-Methyl-1-piperidinylpropyl)pyrrolo[1,2-*a*]quinoxaline (6b): a pale-yellow viscous oil; R_f 0.65 (EtOAc–hexane 1: 2); ν_{max}/cm^{-1} (neat) 3134, 1612; δ_H 0.76 (3H, d, *J* = 6.9), 1.14 (3H, d, *J* = 6.9), 1.25–1.35 (2H, m), 1.45–1.6 (5H, m), 2.5–2.6 (4H, m), 3.63 (1H, d, *J* = 9.8), 6.82 (1H, dd, *J* = 3.9 and 3.0), 6.98 (1H, dd, *J* = 3.9 and 1.6), 7.4–7.5 (2H, m), 7.83 (1H, dd, *J* = 7.7 and 1.2), 7.89 (1H, dd, *J* = 3.0 and 1.6), 7.96 (1H, dd, *J* = 7.7 and 1.2); MS (EI) *m/z* 307 (M^+, 0.02), 224 (71), 209 (100). Anal. Calcd for $C_{20}H_{25}N_3$: C, 78.14; H, 8.20; N, 13.67. Found: C, 78.00; H, 8.28; N, 14.00.

4-(1-Dimethylamino-2,2-dimethylpropyl)pyrrolo[1,2-*a*]quinoxaline (6c): a pale-yellow viscous oil; R_f 0.49 (EtOAc–hexane 1: 2); ν_{max}/cm^{-1} (neat) 3136, 1614; δ_H 1.18 (9H, s), 2.47 (6H, s), 3.80 (1H, m), 6.82 (1H, dd, *J* = 3.8 and 2.7), 6.90 (1H, dd, *J* = 3.8 and 1.4), 7.40 (1H, td, *J* = 7.3 and 1.6), 7.47 (1H, td, *J* = 7.3 and 1.6), 7.82 (1H, dd, *J* = 7.3 and 1.6), 7.89 (1H, dd, *J* = 2.7 and 1.4), 7.95 (1H, dd, *J* = 7.3 and 1.6); MS (CI) *m/z* 282 [$(M+1)^+$, 100]. Anal. Calcd for $C_{18}H_{23}N_3$: C, 76.83; H, 8.24; N, 14.93. Found: C, 77.15; H, 8.30; N, 14.85.

4-(α-Dimethylaminobenzyl)pyrrolo[1,2-*a*]quinoxaline (6d): pale-yellow needles; mp 116–118

˚C (hexane); ν_{max}/cm^{-1} (KBr disk) 3136, 1612; δ_H 2.34 (6H, s), 4.60 (1H, s), 6.81 (1H, dd, *J* = 4.3 and 2.6), 7.1–7.3 (4H, m), 7.35–7.5 (2H, m), 7.65–7.75 (2H, m), 7.77 (1H, dd, *J* = 7.9 and 1.7), 7.86 (1H, dd, *J* = 2.6 and 1.6), 8.11 (1H, dd, *J* = 7.9 and 1.7); MS (EI) *m/z* 301 (M^+, 0.02), 258 (100). Anal. Calcd for $C_{20}H_{19}N_3$: C, 79.70; H, 6.35; N, 13.94. Found: C, 80.03; H, 6.35; N, 13.74.

4-[α-(1-Pyrrolidinyl)benzyl]pyrrolo[1,2-*a*]quinoxaline (6e): a pale-yellow viscous oil; R_f 0.12 (EtOAc–hexane 1:2); ν_{max}/cm^{-1} (neat) 3146, 1612; δ_H 1.8–1.9 (4H, m), 2.5–2.75 (4H, m), 4.73 (1H, s), 6.81 (1H, dd, *J* = 4.1 and 3.0), 7.15–7.35 (4H, m), 7.35–7.5 (2H, m), 7.65–7.8 (3H, m), 7.86 (1H, dd, *J* = 3.0 and 1.4), 8.09 (1H, dd, *J* = 7.9 and 1.7); MS (EI) *m/z* 327 (M^+, 0.09), 258 (100). Anal. Calcd for $C_{22}H_{21}N_3$: C, 80.70; H, 6.46; N, 12.83. Found: C, 80.67; H, 6.50; N, 12.85.

4-(α-Dimethylamino-4-methoxybenzyl)pyrrolo[1,2-*a*]quinoxaline (6f): pale-yellow needles; mp 169–172 ˚C (EtOAc–hexane); ν_{max}/cm^{-1} (KBr disk) 3125, 1607; δ_H 2.33 (6H, s), 3.73 (3H, s), 4.55 (1H, s), 6.75–6.85 (3H, m), 7.22 (1H, dd, *J* = 4.1 and 1.4), 7.4–7.5 (2H, m), 7.61 (2H, d, *J* = 9.0), 7.78 (1H, d, *J* = 7.3), 7.86 (1H, dd, *J* = 3.0 and 1.4), 8.11 (1H, dd, *J* = 7.3 and 2.1); MS (EI) *m/z* 331 (M^+, 0.25), 288 (100). Anal. Calcd for $C_{21}H_{21}N_3O$: C, 76.10; H, 6.39; N, 12.68. Found: C, 75.71; H, 6.15; N, 12.38.

4-(4-Chloro-α-dimethylaminobenzyl)pyrrolo[1,2-*a*]quinoxaline (6g): a pale-yellow solid; mp 152–153 ˚C (hexane); ν_{max}/cm^{-1} (KBr disk) 3147, 1610; δ_H 2.33 (6H, s), 4.58 (1H, s), 6.83 (1H, dd, *J* = 4.2 and 3.2), 7.2–7.3 (3H, m), 7.35–7.5 (2H, m), 7.65 (2H, d, *J* = 8.4), 7.79 (1H, dd, *J* = 7.9 and 1.6), 7.88 (1H, dd, *J* = 3.2 and 1.4), 8.09 (1H, dd, *J* = 7.9 and 1.6); MS (CI) *m/z* 336 [$(M+1)^+$, 100]. Anal. Calcd for $C_{20}H_{18}N_3Cl$: C, 71.53; H, 5.40; N, 12.51. Found: C, 71.33; H, 5.40; N, 12.51.

4-(α-Dimethylamino-4-nitrobenzyl)pyrrolo[1,2-*a*]quinoxaline (6h): a pale-yellow solid; mp 149–150 ˚C (hexane); ν_{max}/cm^{-1} (KBr disk) 3159, 1605, 1345; δ_H 2.36 (6H, s), 4.74 (1H, s), 6.86 (1H, dd, *J* = 4.1 and 2.7), 7.27 (1H, dd, *J* = 4.1 and 1.3), 7.4–7.55 (2H, m), 7.80 (1H, dd, *J* = 8.2 and 1.7), 7.85–7.95 (3H, m), 8.06 (1H, dd, *J* = 8.2 and 1.7), 8.13 (2H, d, *J* = 9.0); MS (CI) *m/z* 347 [$(M+1)^+$, 100]. Anal. Calcd for $C_{20}H_{18}N_4O_2$: C, 69.35; H, 5.24; N, 16.17. Found: C, 69.67; H, 5.26; N, 16.08.

4-[1-(Dimethylamino)-1-(furan-2-yl)methyl]pyrrolo[1,2-*a*]quinoxaline (6i): light-brown needles; mp 178–180 ˚C (EtOAc-hexane); ν_{max}/cm^{-1} (KBr disk) 3145, 1648; δ_H 2.36 (6H, s), 4.84 (1H, s), 6.29 (1H, dd, *J* = 4.1 and 3.2), 6.47 (1H, d, *J* = 3.0), 6.83 (1H, dd, *J* = 3.9 and 3.0), 7.25 (1H, dd, *J* = 4.1 and 1.9), 7.35 (1H, br s), 7.38–7.55 (2H, m), 7.81 (1H, dd, *J* = 8.2 and 1.7), 7.90 (1H, dd, *J* = 3.0 and 1.1), 8.06 (1H, dd, *J* = 8.2 and 1.7); MS (CI) *m/z* 292 [$(M+1)^+$, 100]. Anal. Calcd for $C_{18}H_{17}N_3O$: C, 74.20; H, 5.88; N, 14.42. Found: C, 74.11; H, 5.90; N, 14.50.

1,4-Bis[1-(dimethylamino)-1-pyrrolo[1,2-*a*]quinoxalin-4-yl)methyl]benzene (8): a mixture of diastereomers (*ca.* 1:1); pale-yellow needles; mp 209–213 ˚C (EtOAc–hexane); ν_{max}/cm^{-1} (KBr disk) 3136, 1612; δ_H 2.33 and 2.34 (combined 12H, 2s), 4.65 (2H, br s), 6.7–6.75 (2H, m), 7.1–7.15 (2H,

m), 7.3–7.4 (4H, m), 7.6–7.65 (6H, m), 7.7–7.75 (2H, m), 8.0–8.1 (2H, m); MS (CI) *m/z* 525 [$(M+1)^+$, 100]. Anal. Calcd for $C_{34}H_{32}N_6$: C, 76.83; H, 6.15; N, 16.02. Found: C, 76.80; H, 6.39; N, 15.78.

4-[1-(Dimethylamino)-1-(4-pyrrolo[1,2-*a*]quinoxalinyl)methyl]benzaldehyde (9): a pale-yellow viscous oil; R_f 0.16 (EtOAc–hexane 1:2); ν_{max}/cm^{-1} (neat) 3188, 2821, 2774, 1605; δ_H 2.36 (6H, s), 4.71 (1H, s), 6.85 (1H, dd, *J* = 3.9 and 3.0), 7.26 (1H, dd, *J* = 3.9 and 1.3), 7.4–7.55 (2H, m), 7.75–7.8 (3H, m), 7.85–7.95 (3H, m), 8.08 (1H, dd, *J* = 7.7 and 1.7), 9.93 (1H, s); MS (CI) *m/z* 330 [$(M+1)^+$, 100]. Anal. Calcd for $C_{21}H_{19}N_3O$: C, 76.57; H, 5.81; N, 12.76. Found: C, 76.33; H, 6.01; N, 12.54.

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