Supporting Information

A One-Pot Synthesis of Highly Functionalized Indolizines by 1,3-Dipolar Cycloaddition of Azomethine Ylides and Phosphorylated Hydroxyketenimines

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General Information

All purchased solvents and chemicals were of analytical grade and used without further purification. Melting points: Electrothermal-9100 apparatus. IR Spectra: Shimadzu-JR-460 spectrometer; \( \nu_{\text{max}} \) in cm\(^{-1}\). \( ^1\text{H}- \) and \( ^{13}\text{C}-\)NMR Spectra: Bruker DRX-500 Avance instrument using CDCl\(_3\) as applied solvent and TMS as internal standard at 500.1 and 125.7 MHz, respectively.; \( \delta \) in ppm, \( J \) in Hz. Mass spectra were recorded on a Finnigan-MAT-8430EI-MS mass spectrometer; at an ionization potential 70 eV; in \( m/z \) (rel. %). Elemental analyses for C, H, and N were performed using a Heraeus CHN-O-Rapid analyzer.

General Procedure

General procedures for the preparation of indolizine derivatives 5 and 11:
A mixture of quinaldine (0.286 g, 2 mmol), pyridine or isoquinoline (4 mmol) and I\(_2\) (0.506 g, 2 mmol) in MeCN (4 mL) was warmed to 60 °C for 2 h. (i-Pr\(_2\))\(_2\)NEt (0.541 g, 4.2 mmol) and a solution of 1 (2 mmol) in MeCN (1 mL) were then added. The resulting mixture was left at 60 °C overnight. Then, kept in a freezer for 24 h. The precipitate was filtered,
separated and recrystallized from \(n\)-hexane/AcOEt (1:5) (to give yellow crystals of indolizine 5).

**Ethyl 2-(tert-butylamino)-3-(quinolin-2-yl)indolizine-1-carboxylate (5a):**

Yellow crystals; m.p.: 225-227 °C; yield: 0.56 g (73%). IR (KBr) (\(v_{\text{max}}, \text{cm}^{-1}\)): 3431, 3110, 2929, 1696, 1602, 1547, 1511, 1449, 1261, 1194. \(^1\)H NMR (500 MHz, CDCl\(_3\)): \(\delta_H = 1.01\) (s, 9 H), 1.48 (t, \(J = 7.1\) Hz, 3 H), 4.44 (q, \(J = 7.1\) Hz, 2 H), 5.13 (br s, 1 H), 6.80 (t, \(J = 7.5\) Hz, 1 H), 7.19 (t, \(J = 7.5\) Hz, 1 H), 7.52 (t, \(J = 7.2\) Hz, 1 H), 7.72 (t, \(J = 7.2\) Hz, 1 H), 7.80 (d, \(J = 7.8\) Hz, 1 H), 8.12 (m, 2 H), 8.17 (d, \(J = 8.9\) Hz, 1 H), 8.48 (br , 1 H), 9.82 (br , 1 H). \(^{13}\)C NMR (125.7 MHz, CDCl\(_3\)): \(\delta_C = 14.4\) (Me), 29.8 (3 Me), 60.0 (CH\(_2\)O), 61.8 (C), 102.8 (C), 113.8 (CH), 114.0 (C), 118.7 (CH), 119.9 (CH), 121.3 (CH), 122.0 (CH), 124.4 (CH), 125.3 (C), 126.4 (CH), 127.6 (CH), 128.6 (CH), 129.0 (CH), 135.6 (C), 136.7 (CH), 147.4 (C), 149.8 (C), 167.2 (C=O). EI-MS: \(m/z\) (%) = 387.3 (M\(^+\), 100), 330.1 (30), 315.2 (45), 314.1 (20), 259.1 (67), 242.1 (44), 128.1 (65), 73.1 (15), 72.0 (20), 58.1 (55). Anal. Calcd for C\(_{24}\)H\(_{25}\)N\(_3\)O\(_2\) (387.47): C, 74.39; H, 6.50; N, 10.84. Found: C, 74.63; H, 6.58; N, 10.92.

**Ethyl 2-(cyclohexylamino)-3-(quinolin-2-yl)indolizine-1-carboxylate (5b):**

Yellow crystals; yield: 0.55 g (68%); m.p.: 227-229 °C. IR (KBr) (\(v_{\text{max}}, \text{cm}^{-1}\)): 3436, 3105, 2928, 2850, 1696, 1600, 1547, 1502, 1448, 1265, 1194. \(^1\)H NMR (500 MHz, CDCl\(_3\)): \(\delta_H = 0.94-1.02\) (m, 2 H), 1.11-1.26 (m, 3 H), 1.39-1.42 (m, 1 H), 1.48 (t, \(J = 7.1\) Hz, 3 H), 1.58-1.61 (m, 2 H), 1.86-1.89 (m, 2 H), 2.97-2.03 (m, 1 H), 4.44 (q, \(J = 7.1\) Hz, 2 H), 6.10 (br s, 1 H), 6.78 (t, \(J = 6.9\) Hz, 1 H), 7.17 (t, \(J = 7.8\) Hz, 1 H), 7.51 (t, \(J = 7.1\) Hz, 1 H), 7.72 (t, \(J = 7.1\) Hz, 1 H), 7.80 (d, \(J = 7.8\) Hz, 1 H), 8.08-8.13 (m, 4 H), 9.93 (d, \(J = 7.3\) Hz, 1 H). \(^{13}\)C NMR (125.7 MHz, CDCl\(_3\)): \(\delta_C = 14.6\) (Me), 24.7 (2 CH\(_2\)), 25.7 (CH), 33.7 (2 CH\(_2\)), 57.1 (CH\(_2\)O), 59.4 (CH), 94.9 (C), 111.1 (CH), 112.5 (C), 118.0 (C), 122.0 (CH), 124.2 (CH), 124.4 (CH), 125.3 (CH), 127.4 (CH), 128.6 (CH), 129.5 (CH), 135.3 (C), 136.1 (CH), 144.8 (CH), 147.3 (C), 152.3 (C), 166.3 (C=O). EI-MS: \(m/z\) (%) = 413 (M\(^+\), 100), 330 (46), 315 (53), 285 (34), 242 (30), 128 (50), 98 (40), 83 (35), 73 (55). Anal. Calcd for C\(_{26}\)H\(_{27}\)N\(_3\)O\(_2\) (413.51): C, 75.52; H, 6.58; N, 10.16. Found: C, 75.98; H, 6.64; N, 10.22.

**X-Ray Crystal-Structure Determination of 5b:** Yellowish single crystals of compound 5b suitable for SC-XRD measurement were grown (crystal dimensions: 0.18, 0.12, 0.09 mm) by
slow evaporation of an ethanol/ethyl acetate solution. The unit cell dimensions were determined from 658 reflections. The structure was solved by direct method and refined by full matrix least-squares calculations based on $F^2$ to final $R1 = 0.0404$ and $wR2$ (all data) $= 0.0805$, using SHELXL-2014 and WinGX-2013.3 programs [a] Farrugia, L. J. J. Appl. Cryst. 1999, 32, 837. b) Allen, F. H.; Johnson, O.; Shields, G. P.; Smith, B. R.; Towler, M. J. Appl. Cryst. 2004, 37, 335. c) Macrae, C. F.; Edgington, P. R.; McCabe, P.; Pidcock, E.; Shields, G. P.; Taylor, R.; Towler, ; van der Streek, J. Appl. Cryst. 2006, 39, 453. d) Burnett, M. N.; Johnson, C. K. ORTEP-III Report ORNL-6895. Oak Ridge National Laboratory, Tennessee, USA 1996. e) Spek, A. L. J. Appl. Cryst. 2003, 36, 7-13. f) Sheldrick, G. M. Acta Crystallogr., Sect. A 2008, 64, 112. g) Coppens, P.; Leiserowitz, L.; Rabinovich, D. Acta Crystallogr. 1965, 18, 1035]. Compound is crystallized at Monoclinic system and P21/n Space group. One independent molecules with molecular formula of C26H27N3O2 was found in the asymmetric unit, giving a total $Z = 4$ for the unit cell; $a = 11.911(2)$, $b = 10.311(2)$, $c = 18.106(4)$, $\beta = 90.68(3)$, cell volume $V = 2223.5(8)\text{Å}^3$, measurement temperature 293(2) K, measurement range: $2.036 < \theta < 24.713$, 3767 independent reflections and max/min residual electron density $[\text{e Å}^3]$: 0.136 / -0.13. All non-hydrogen atoms were refined with anisotropic displacement parameters. Hydrogen atoms were placed in ideal positions and refined as riding atoms with relative isotropic displacement parameters. An Ortep view of the structure is depicted in Figure 1. CCDC-1457966 contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

**Ethyl 2-(cyclohexylamino)-7-methyl-3-(quinolin-2-yl)indolizine-1-carboxylate (5c):**

Yellow crystals; yield: 0.64 g (75%), m.p.: 223-225 °C.; IR (KBr) $(\nu_{\text{max}}, \text{ cm}^{-1})$: 3436, 3105, 2928, 2850, 1669, 1600, 1547, 1502, 1448, 1265, 1194. $^1$H NMR (500 MHz, CDCl3): $\delta_H = 0.94-1.02$ (m, 2 H), 1.11-1.26 (m, 3 H), 1.39-1.42 (m, 1 H), 1.48 (t, $J = 7.1$ Hz, 3 H), 1.58- 1.61 (m, 2 H), 1.86-1.89 (m, 2 H), 2.41 (s, 3 H), 2.97-2.03 (m, 1 H), 4.44 (q, $J = 7.1$ Hz, 2 H), 6.05 (br s, 1 H), 6.63 (d, $J = 7.1$ Hz, 1 H), 7.49 (t, $J = 7.0$ Hz, 1 H), 7.70 (t, $J = 7.0$ Hz, 1 H), 7.78 (d, $J = 8.1$ Hz, 1 H), 7.89 (s, 1 H), 8.07-8.14 (m, 3 H), 9.90 (d, $J = 8.1$ Hz, 1 H). $^{13}$C NMR (125.7 MHz, CDCl3): $\delta_C = 14.7$ (Me), 21.5 (Me), 24.7 (2 CH2), 25.7 (CH), 33.8 (2 CH2), 57.2 (CH2O), 59.3 (CH), 94.1 (C), 110.6 (CH), 114.8 (C), 117.1 (C), 121.9 (CH), 125.1 (CH), 125.5 (C), 125.9 (CH), 127.4 (CH), 128.5 (CH), 129.4 (CH), 135.2 (CH),135.5 (C), 136.7 (CH), 144.8 (C), 147.3 (C), 152.4 (C) ,166.35 (C=O). EI-MS: $m/z$ (%): 427 (100),
Methyl 2-(cyclohexylamino)-3-(6-methylquinolin-2-yl)indolizine-1-carboxylate (5d):

Yellow crystals; yield: 0.55 g (67%), m.p.: 217-219 °C. IR (KBr) ($\nu_{\text{max}}$, cm$^{-1}$): 3434, 3107, 2929, 2853, 1668, 1598, 1548, 1500, 1436, 1234, 1195. $^1$H NMR (300 MHz, CDCl$_3$): $\delta_H$ = 0.86-1.57 (m, 10 H), 2.56 (s, 3 H), 2.96 (br s, 1H), 3.96 (s, 3 H), 6.08 (br s, 1 H), 6.77 (t, $J = 6.9$ Hz, 1 H), 7.13 (t, $J = 6.9$ Hz, 1 H), 7.53-7.57 (m, 2 H), 7.92-8.09 (m, 4 H). 13C NMR (75 MHz, CDCl$_3$): $\delta_C$ = 21.5 (Me), 24.7 (2 CH$_2$), 25.7 (CH), 33.7 (2 CH$_2$), 50.5 (MeO), 56.8 (CH), 94.5 (C), 111.0 (C), 112.4 (C), 118.0 (C), 122.2 (CH), 124.1 (CH), 125.1 (C), 126.1 (CH), 126.4 (CH), 128.3 (CH), 131.7 (C), 134.8 (CH), 135.7 (C), 135.8 (CH), 144.4 (CH), 145.8 (C), 151.4 (C), 166.6 (C=O). EI-MS: $m/z$ (%) = 427 (M$^+$, 100), 354 (32), 344 (55), 329 (40), 285 (33), 142 (55), 98 (25), 83 (33), 73 (20). Anal. Calcd for C$_{27}$H$_{29}$N$_3$O$_2$ (427.54): C, 75.85; H, 6.84; N, 9.83. Found: C, 76.20; H, 6.92; N, 9.94.

Ethyl 2-(cyclohexylamino)-3-(6-methylquinolin-2-yl)indolizine-1-carboxylate (5e):

Yellow crystals; yield: 0.53 g (63%), m.p.: 215-218 °C. IR (KBr) ($\nu_{\text{max}}$, cm$^{-1}$): 3434, 3107, 2929, 2853, 1668, 1598, 1548, 1500, 1436, 1234, 1195. $^1$H NMR (300 MHz, CDCl$_3$): $\delta_H$ = 0.94-1.02 (m, 2 H), 1.11-1.26 (m, 3 H), 1.39-1.42 (m, 1 H), 1.48 (t, $J = 7.1$ Hz, 3 H), 1.50-1.61 (m, 2 H), 1.84-1.89 (m, 2 H), 2.56 (s, 3 H), 2.95 (br s, 1 H), 4.43 (q, $J = 7.1$ Hz, 2 H), 6.09 (br s, 1 H), 6.77 (t, $J = 6.9$ Hz, 1 H), 7.15 (t, $J = 6.9$ Hz, 1 H), 7.54-7.57 (m, 2 H), 7.99-8.09 (m, 4 H), 9.84 (d, $J = 6.9$ Hz, 1 H). 13C NMR (75 MHz, CDCl$_3$): $\delta_C$ = 14.6 (Me), 21.5 (Me), 24.7 (2 CH$_2$), 25.7 (CH), 33.7 (2 CH$_2$), 56.9 (CH$_2$O), 59.3 (CH), 94.7 (C), 111.0 (C), 112.4 (C), 118.0 (C), 122.2 (CH), 124.0 (CH), 125.1 (C), 126.0 (CH), 126.4 (CH), 128.3 (CH), 131.7 (C), 134.8 (CH), 135.7 (C), 135.9 (CH), 144.4 (CH), 145.8 (C), 151.5 (C), 166.4 (C=O). EI-MS: $m/z$ (%) = 427 (M$^+$, 100), 354 (25), 344 (63), 329 (44), 285 (17), 142 (58), 98 (32), 83 (15), 73 (30). Anal. Calcd for C$_{27}$H$_{29}$N$_3$O$_2$ (427.54): C, 75.85; H, 6.84; N, 9.83. Found: C, 76.11; H, 6.91; N, 9.90.
Formation of isomeric 5f and 5f’ in 6:1 ratio: Yellow powder; 141-148 °C; yield: 0.58 g (75%). IR (KBr) (νmax, cm⁻¹): 3340, 3112, 3056, 2957, 1700, 1599, 1548, 1487, 1296, 1195.

Major isomer: Ethyl 2-(tert-butylamino)-8-methyl-3-(quinolin-2-yl)indolizine-1-carboxylate (5f):

Isolated as yellow crystals; m.p.: 206-208 °C; yield: 0.49 g (62%). IR (KBr) (νmax, cm⁻¹): 3340, 3112, 3056, 2957, 1700, 1599, 1548, 1487, 1296, 1195. ¹H NMR (500 MHz, CDCl₃): δH= 1.06 (s, 9 H), 1.48 (t, J = 7.1 Hz, 3 H), 2.58 (s, 3 H), 4.44 (q, J = 7.1 Hz, 2 H), 4.61 (br s, 1 H), 6.69 (t, J = 7.0 Hz, 1 H), 6.85 (d, J = 7.0 Hz, 1 H), 7.52 (t, J = 7.3 Hz, 1 H), 7.70 (t, J = 7.3 Hz, 1 H), 7.79 (d, J = 7.6 Hz, 1 H), 8.10-8.14 (m, 2 H), 8.48 (br s, 1 H), 9.71 (br s, 1 H). ¹³C NMR (125.7 MHz, CDCl₃): δc = 14.4 (Me), 21.8 (Me), 29.7 (3 Me), 55.9 (CH₂), 60.3 (C), 112.3 (C), 113.2 (C), 118.2 (C), 122.6 (CH), 123.3 (CH), 124.9 (C), 126.5 (CH), 127.7 (CH), 128.7 (CH), 129.5 (CH), 130.0 (CH), 133.4 (CH), 134.9 (CH), 137.1 (C), 147.3 (C), 149.8 (C), 153.5 (C), 165.3 (C=O). EI-MS: m/z (%) = 401.5 (M⁺, 100), 344.1 (30), 329.2 (45), 328.1 (20), 273.1 (67), 242.1 (55), 128.1 (35), 73.1 (15), 72.0 (24), 58.1 (55). Anal. Calcd for C₂₅H₂₇N₃O₂ (401.50): C, 74.79; H, 6.78; N, 10.47. Found: C, 75.13; H, 6.82; N, 10.55.

Minor isomer 5f’: Ethyl 2-(tert-butylamino)-6-methyl-3-(quinolin-2-yl)indolizine-1-carboxylate (15%) The NMR data was extracted from the mixture of 5f and 5f. ¹H NMR (500 MHz, CDCl₃): δH= 1.00 (s, 9 H), 1.47 (t, J = 7.1 Hz, 3 H), 2.30 (s, 3 H), 4.42 (q, J = 7.1 Hz, 2 H), 4.61 (br s, 1 H), 6.66 (t, J = 6.9 Hz, 1 H), 7.07 (d, J = 6.9 Hz, 1 H), 7.51 (t, J = 7.2 Hz, 1 H), 7.70 (t, J = 7.2 Hz, 1 H), 7.76 (d, J = 7.9 Hz, 1 H), 8.06- 8.11 (m, 2 H), 8.45 (d, J = 8.7 Hz, 1 H), 9.62 (s, 1 H). ¹³C NMR (125.7 MHz, CDCl₃): δc = 14.7 (Me), 21.7 (Me), 30.0 (3 Me), 56.3 (CH₂O), 59.3 (C), 99.1 (C), 103.7 (CH), 112.1 (C), 118.2 (C), 123.2 (CH), 124.8 (CH), 125.9 (C), 126.5 (CH), 127.5 (CH), 127.9 (CH), 128.6 (CH), 129.2 (CH), 133.3 (CH), 135.0 (C), 140.9 (C), 147.4 (C), 153.7 (C), 165.9 (C=O).

Formation of isomeric 5g and 5g’ in 17:3 ratio: Yellow powder; m.p.: 184-188 °C; yield: 0.59 g (70%). IR (KBr) (νmax, cm⁻¹): 3435, 3105, 2928, 2850, 1691, 1598, 1547, 1502, 1448, 1265, 1194. EI-MS: m/z (%) = 427 (M⁺, 100), 344 (33), 329 (40), 354 (61), 299 (75), 256 (65), 128 (55), 98 (32), 83 (28), 73 (15). Anal. Calcd for C₂₇H₂₉N₃O₂ (427.54): C, 75.85; H, 6.84; N, 9.83. Found: C, 76.15; H, 6.79; N, 9.94.
Major isomer 5g: ethyl 2-(cyclohexylamino)-8-methyl-3-(quinolin-2-yl)indolizine-1-carboxylate (85%)

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\begin{align*}
\text{1H NMR (500 MHz, CDCl}_3\text{): } & \delta_H = 0.92-0.99 \text{ (m, 2 H), 1.09-1.15 \text{ (m, 3 H), 1.39-1.40 \text{ (m, 1 H), 1.44 \text{ (t, J = 7.1 Hz, 3 H), 1.55-1.58 \text{ (m, 2 H), 1.85-1.88 \text{ (m, 2 H), 2.58 \text{ (s, 3 H), 2.84 \text{ (br, 1 H), 4.41 \text{ (q, J = 7.1 Hz, 2 H), 5.70 \text{ (br s, 1 H), 6.70 \text{ (t, J = 6.9 Hz, 1 H), 6.94 \text{ (d, J = 6.9 Hz, 1 H), 7.50 \text{ (t, J = 7.2 Hz, 1 H), 7.74 \text{ (t, J = 7.2 Hz, 1 H), 7.78 \text{ (d, J = 7.8 Hz, 1 H), 8.10 \text{ (d, J = 7.8 Hz, 2 H), 8.25 \text{ (d, J = 7.8 Hz, 1 H), 9.87 \text{ (d, J = 6.9 Hz, 1 H).}}}}}}}}
\text{13C NMR (125.7 MHz, CDCl}_3\text{): } & \delta_C = 14.5 \text{ (Me), 22.2 \text{ (Me), 24.7 \text{ (2 CH}_2\text{), 25.7 \text{ (CH), 33.4 \text{ (2 CH}_2\text{), 57.6 \text{ (CH}_2\text{O), 59.9 \text{ (CH), 98.3 \text{ (C), 111.7 \text{ (CH), 112.2 \text{ (C), 117.6 \text{ (C), 122.2 \text{ (CH), 125.7 \text{ (C), 126.1 \text{ (CH), 127.1 \text{ (CH), 127.4 \text{ (CH), 127.5 \text{ (CH), 128.6 \text{ (CH), 129.4 \text{ (CH), 134.6 \text{ (C), 135.3 \text{ (CH), 143.7 \text{ (C), 147.3 \text{ (C), 152.4 \text{ (C), 165.9 \text{ (C=O).}}}}}}}}}}}}}}
\end{align*}
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Minor isomer 5g': ethyl 2-(cyclohexylamino)-6-methyl-3-(quinolin-2-yl)indolizine-1-carboxylate (15%)

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\begin{align*}
\text{1H NMR (500 MHz, CDCl}_3\text{): } & \delta_H = 0.92-0.99 \text{ (m, 2 H), 1.09-1.15 \text{ (m, 3 H), 1.39-1.40 \text{ (m, 1 H), 1.46 \text{ (t, J = 7.1 Hz, 3 H), 1.55-1.58 \text{ (m, 2 H), 1.85-1.88 \text{ (m, 2 H), 2.30 \text{ (s, 3 H), 2.95 \text{ (br, 1 H), 4.42 \text{ (q, J = 7.1 Hz, 2 H), 6.10 \text{ (br s, 1 H), 6.70 \text{ (t, J = 6.9 Hz, 1 H), 7.03 \text{ (d, J = 7.9 Hz, 1 H), 7.52 \text{ (d, J = 7.2 Hz, 1 H), 7.74 \text{ (t, J = 6.9 Hz, 1 H), 7.79 \text{ (d, J = 7.9 Hz, 1 H), 7.99 \text{ (d, J = 8.7 Hz, 1 H), 8.10 \text{ (d, J = 7.2 Hz, 1 H), 8.25 \text{ (d, J = 8.7 Hz, 1 H), 9.78 \text{ (s, 1 H).}}}}}}}}}
\text{13C NMR (125.7 MHz, CDCl}_3\text{): } & \delta_C = 14.4 \text{ (Me), 22.3 \text{ (Me), 24.8 \text{ (2 CH}_2\text{), 25.6 \text{ (CH), 33.7 \text{ (2 CH}_2\text{), 57.0 \text{ (CH}_2\text{O), 59.3 \text{ (CH), 94.6 \text{ (C), 110.9 \text{ (CH), 112.3 \text{ (C), 117.6 \text{ (C), 122.0 \text{ (CH), 125.9 \text{ (C), 126.0 \text{ (CH), 126.9 \text{ (CH), 127.4 \text{ (CH), 127.5 \text{ (CH), 128.5 \text{ (CH), 129.5 \text{ (CH), 134.7 \text{ (C), 135.3 \text{ (CH), 143.7 \text{ (C), 147.3 \text{ (C), 152.4 \text{ (C), 166.3 \text{ (C=O).}}}}}}}}}}}}}}
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Methyl 2-(cyclohexylamino)-3-(quinolin-2-yl)pyrrolo[2,1-afisoquinoline-1-carboxylate (11a):

Yellow crystals; yield: 0.71 g (80%), m.p.: 231-233 °C; IR (KBr) (νmax cm⁻¹): 3347, 3110, 2928, 2850, 1689, 1599, 1546, 1502, 1448, 1265, 1194. \[\text{1H NMR (500 MHz, CDCl}_3\text{): } \delta_H = 0.94-1.01 \text{ (m, 2 H), 1.11-1.17 \text{ (m, 3 H), 1.39-1.42 \text{ (m, 1 H), 1.56-1.59 \text{ (m, 2}} \]
H), 1.86-1.88 (m, 2 H), 2.79-2.81 (m, 1 H), 4.04 (s, 3 H), 5.46 (br s, 1 H), 6.99 (d, J = 7.5 Hz, 1 H), 7.45 (t, J = 7.9 Hz, 1 H), 7.50 (d, J = 6.8 Hz, 1 H), 7.51 (t, J = 8.5 Hz, 1 H), 7.62 (d, J = 7.9 Hz, 1 H), 7.72 (t, J = 7.9 Hz, 1 H), 7.79 (d, J = 7.9 Hz, 1 H), 8.13 (d, J = 6.8 Hz, 1 H), 8.14 (t, J = 8.5 Hz, 1 H), 8.27 (d, J = 8.6 Hz, 1 H), 8.94 (d, J = 8.6 Hz, 1 H), 9.54 (d, J = 7.5 Hz, 1 H). 1^3C NMR (125.7 MHz, CDCl_3): δ_c = 25.1 (2 CH_2), 25.7 (CH), 33.8 (2 CH_2), 51.4 (MeO), 57.9 (CH), 101.8 (C), 112.8 (CH), 113.8 (C), 122.5 (C), 123.1 (CH), 123.2 (CH), 124.8 (C), 126.0 (CH), 126.3 (CH), 126.4 (CH), 126.6 (CH), 126.8 (CH), 127.1 (CH), 127.5 (C), 129.5 (CH), 129.9 (CH), 131.3 (C), 135.6 (CH), 141.7 (C), 147.5 (C), 152.1 (C), 166.9 (C=O). El-MS: m/z (%) = 449 (M^+, 100), 416 (42), 374 (50), 346 (30), 308 (55), 279 (30), 240 (32), 208 (30), 167 (20), 128 (50), 83 (40), 55 (45). Anal. Calcd for C_{29}H_{27}N_{3}O_{2} (449.54): C, 77.48; H, 6.05; N, 9.35. Found: C, 77.79; H, 6.10; N, 9.42.

**Ethyl 2-(tert-butylamino)-3-(quinolin-2-yl)pyrrolo[2,1-a]isoquinoline-1-carboxylate (11b):**

Yellow crystals; yield: 0.74 g (85%), m.p.: 229-231 °C; IR (KBr) (ν_max, cm⁻¹): 3426, 3109, 2928, 1700, 1600, 1546, 1502, 1448, 1265, 1194. 1^H NMR (500 MHz, CDCl_3): δ_H = 1.01 (s, 9 H), 0.93 (t, J = 7.1 Hz, 3 H), 4.51 (br s, 1 H), 4.53 (t, J = 7.1 Hz, 2 H), 6.98 (d, J = 7.5 Hz, 1 H), 7.45 (t, J = 7.2 Hz, 1 H), 7.50 (d, J = 7.2 Hz, 1 H), 7.51 (t, J = 8.5 Hz, 1 H), 7.64 (d, J = 7.9 Hz, 1 H), 7.73 (t, J = 7.2 Hz, 1 H), 7.81 (d, J = 8.0 Hz, 1 H), 8.13 (d, J = 6.8 Hz, 1 H), 8.14 (t, J = 8.5 Hz, 1 H), 8.45 (d, J = 7.9 Hz, 1 H), 8.96 (d, J = 8.0 Hz, 1 H), 9.43 (br, 1 H). 1^3C NMR (125.7 MHz, CDCl_3): δ_c = 14.4 (Me), 28.2 (3 Me), 55.7 (CH_2O), 60.5 (C), 107.1 (C), 112.7 (C), 120.5 (C), 123.3 (CH), 124.6 (C), 125.1 (CH), 125.3 (CH), 125.8 (CH), 126.2 (CH), 126.7 (CH), 126.9 (CH), 127.1 (CH), 127.5 (C), 128.0 (CH), 129.3 (CH), 129.6 (CH), 130.0 (C), 135.2 (CH), 136.6 (C), 147.5 (C), 153.2 (C), 167.0 (C=O). El-MS: m/z (%) = 437 (M^+, 100), 380 (47), 392 (45), 365 (65), 464 (37), 309 (27), 292 (68), 128 (55), 73 (43), 72 (87), 57 (20). Anal. Calcd for C_{28}H_{27}N_{3}O_{2} (437.53): C, 76.86; H, 6.22; N, 9.60. Found: C, 77.21; H, 6.27; N, 9.67.