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Supporting Information

Efficient Routes to Chiral 2-Substituted and 2,6-Disubstituted Piperidines

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(3*S*,5*R*,8*aR*)-5-(*o*-Methylphenyl)-3-phenylperhydropyrido[2,1-*b*][1,3]oxazole (9b):

0.72 g, 82% yield, mp 60-61 °C; ¹H NMR δ 7.67 (d, 1H, *J* = 5.9 Hz), 7.10-7.31 (m, 5H), 7.01 (d, 1H, *J* = 7.4 Hz), 6.71 (d, 2H, *J* = 6.5 Hz), 4.28-4.40 (m, 3H), 4.06-4.15 (m, 1H), 3.15 (dd, 1H, *J* = 9.9, 2.4 Hz), 2.13 (d, 1H, *J* = 12.1 Hz), 1.24-1.88 (m, 8H); ¹³C NMR δ 141.0, 138.5, 135.0, 130.0, 129.0, 127.8, 127.2, 126.4, 126.3, 90.2, 71.4, 60.9, 55.9, 34.5, 30.9, 22.4, 18.8. Anal. Calcd for C₂₀H₂₃NO: C, 81.86; H, 7.91; N, 4.78. Found: C, 81.87; H, 8.29; N, 4.78.

(3*S*,5*S*)-5-(*n*-Butyl)-3-phenylperhydropyrido[2,1-*b*][1,3]oxazole (9d): 0.46 g, 58% yield, oil; [α]_D²⁰ = + 107.0° (*c* 1.71, MeOH); as a mixture of two diastereoisomers in ratio of 10:3, the data for the minor isomer is given in brackets. ¹H NMR δ 7.20-7.42 (m, 5H),

4.20-4.29 (m, 1H) [4.54-4.59 (m, 1H)], 3.98-4.16 (m, 2H) [4.32-4.43 (m, 2H)], 3.57 (t, 1H, $J = 7.2$ Hz) [3.97-4.02 (m, 1H, overlapped)], 2.83-2.92 (m, 1H) [2.14-2.23 (m, 1H)], 1.97-2.06 (m, 1H), 1.14-1.82 (m, 10H), 0.92-1.04 (m, 1H), 0.74-0.85 (m, 3H); ^{13}C NMR δ 139.5 [140.2], 128.4 [128.9], 127.9 [128.2], 127.5 [127.2], 87.6 [90.4], 73.3 [72.6], 61.3 [61.0], 52.4 [55.0], 31.6 [33.4], 29.6 [30.8], 27.3 [30.3], 22.8 [27.5], 22.0 [21.7], 17.9 [17.9], 14.0 [14.0]. Anal. Calcd for $\text{C}_{17}\text{H}_{25}\text{NO}$: C, 78.71; H, 9.72; N, 5.40. Found: C, 78.42; H, 9.87; N, 5.66.

(3*S*,5*R*)-5-(*n*-Butyl)-3-phenylperhydropyrido[2,1-*b*][1,3]oxazole (10d): 0.06 g, 8% yield, oil; $[\alpha]_{\text{D}}^{20} = +17.0^\circ$ (c 2.21, MeOH); as a mixture of two diastereoisomers in ratio of 9:5, the data for the minor isomer is given in brackets. ^1H NMR δ 7.15-7.45 (m, 5H), 4.30-4.44 (m, 1H) [4.47-4.54 (m, 1H)], 3.60-3.75 (m, 3H) [4.30-4.40 (m, 1H, overlapped), 4.10-4.20 (m, 2H)], 2.20-2.38 (m, 1H) [2.45-2.55 (m, 1H)], 1.97-2.06 (m, 1H), 1.72-1.90 (m, 1H), 0.72-1.69 (m, 13H), 0.64 (t, 3H, $J = 6.9$ Hz); ^{13}C NMR δ 144.8 [143.1], 128.2 [128.4], 127.2 [126.9], 126.7 [126.7], 96.2 [89.3], 74.7 [69.5], 65.7 [65.8], 62.4 [57.5], 34.5 [35.5], 30.0 [29.7], 30.3 [27.8], 27.6 [27.1], 22.5 [23.0], 18.3 [18.3], 13.8 [14.1]. Anal. Calcd for $\text{C}_{17}\text{H}_{25}\text{NO}$: C, 78.71; H, 9.72; N, 5.40. Found: C, 78.51; H, 10.00; N, 5.60.

(3*S*,5*R*)-5-Phenylethyl -3-phenylperhydropyrido[2,1-*b*][1,3]oxazole (9e): 0.60 g, 65% yield, oil; as a mixture of two diastereoisomers in ratio of 7:4, the data for the minor isomer is given in brackets. ^1H NMR δ 6.98-7.38 (m, 10H), 4.23 (dd, 1H, $J = 9.2$, 3.0 Hz) [4.55 (d, 1H, $J = 6.9$, 2.5 Hz)], 4.09 (t, 1H, $J = 7.0$ Hz) [4.39 (t, 1H, $J = 8.0$ Hz)], 4.01 (t, 1H, $J = 7.4$ Hz) [4.36 (dd, 1H, $J = 6.3$, 2.8 Hz)], 3.59 (t, 1H, $J = 7.2$ Hz) [3.97-

4.02 (m, 1H, overlapped)], 2.82-3.00 (m, 1H), 2.46-2.65 (m, 1H), 2.20-2.38 (m, 1H), 1.98-2.10 (m, 1H), 1.22-1.92 (m, 7H); ^{13}C NMR δ 142.1 [142.2], 139.3 [140.6], 128.5 [128.9], 128.3 [128.2], 128.1 [127.6], 127.9 [127.3], 87.7 [90.6], 73.3 [72.7], 61.3 [61.1], 52.1 [54.7], 33.7 [35.4], 31.6 [31.7], 27.4 [30.6], 24.7 [30.2], 18.0 [21.6]. Anal. Calcd for $\text{C}_{21}\text{H}_{25}\text{NO}$: C, 82.03; H, 8.20; N, 4.56. Found: C, 81.69; H, 8.38; N, 4.77.

(3*S*,5*S*)-5-Phenylethyl -3-phenylperhydropyrido[2,1-*b*][1,3]oxazole (10e): 0.12 g, 13% yield, oil, as a mixture of two diastereoisomers in ratio of 9:8, the data for the minor isomer is given in brackets. ^1H NMR δ 7.02-7.46 (m, 9H), 6.70 (d, 1H, $J = 6.8$ Hz), 4.20-4.38 (m, 2H) [4.53 (t, 1H, $J = 3.0$ Hz), 4.10-4.20 (m, 1H)], 3.60-3.75 (m, 2H), 2.50-2.65 (m, 2H) [2.68-2.80 (m, 1H), 2.30-2.40 (m, 1H)], 2.30-2.40 (m, 1H, overlapped), 1.50-2.10 (m, 6H), 1.20-1.45 (m, 2H); ^{13}C NMR δ 142.9 [144.8], 142.1 [142.6], 128.4 [128.3], 128.0 [127.3], 127.1 [126.9], 126.7 [126.7], 125.6 [125.4], 96.2 [89.3], 74.8 [69.9], 65.8 [65.7], 61.7 [56.9], 37.5 [35.9], 31.6 [31.4], 30.1 [31.1], 29.5 [27.1], 22.5 [18.2]. Anal. Calcd for $\text{C}_{21}\text{H}_{25}\text{NO}$: C, 82.03; H, 8.02; N, 4.56. Found: C, 82.00; H, 8.27; N, 4.56.

(3*S*,5*R*)-5-Allyl-3-phenylperhydropyrido[2,1-*b*][1,3]oxazole (9f): 0.43 g, 59% yield, oil, as a mixture of two diastereoisomers in ratio of 9:4, the data for the minor isomer is given in brackets. ^1H NMR δ 7.20-7.42 (m, 5H), 5.45-5.75 (m, 1H), 4.96 (d, 1H, $J = 19.0$ Hz), 4.94 (d, 1H, $J = 8.1$ Hz), 4.28 (dd, 1H, $J = 8.4, 3.0$ Hz) [4.56 (dd, 1H, $J = 6.3, 2.0$ Hz)], 3.98-4.15 (m, 2H) [4.32-4.42 (m, 2H)], 3.59 (t, 1H, $J = 9.0$ Hz), 2.92-3.04 (m, 1H), 2.00-2.52 (m, 2H), 1.15-1.85 (m, 6H); ^{13}C NMR δ 139.2 [140.1], 136.6 [135.0], 128.5 [128.8], 127.9 [128.3], 127.7 [127.4], 116.3 [116.7], 87.5 [90.4], 73.3 [72.6], 61.4

[61.1], 52.1 [54.4], 31.6 [38.3], 27.7 [30.7], 26.9 [30.2], 17.8 [21.6]. Anal. Calcd for $C_{16}H_{21}NO$: C, 78.96; H, 8.70; N, 5.76. Found: C, 78.74; H, 8.96; N, 6.03.

(3*S*,5*S*)-5-Allyl-3-phenylperhydropyrido[2,1-*b*][1,3]oxazole (10*f*): 0.06 g, 9% yield, oil, as a mixture of two diastereoisomers in ratio of 9:8, the data for the minor isomer is given in brackets. 1H NMR δ 7.12-7.46 (m, 5H), 5.40-5.60 (m, 1H) [5.72-5.90 (m, 1H)], 5.01 (d, 1H, $J = 12.3$ Hz), 4.70-4.90 (m, 1H), 4.16 (t, 1H, $J = 8.3$ Hz) [4.36 (t, 1H, $J = 7.7$ Hz)], 3.70-3.80 (m, 2H) [4.40-4.50 (m, 2H)], 3.60-3.69 (m, 1H), 2.30-2.45 (m, 1H) [2.53-2.64 (m, 1H)], 1.10-2.20 (m, 8H); ^{13}C NMR δ 144.5 [142.9], 135.6 [135.5], 128.3 [128.4], 127.1 [127.0], 126.6 [126.8], 116.4 [116.7], 96.1 [89.4], 74.7 [69.6], 65.6 [66.1], 61.8 [57.4], 39.9 [40.5], 31.2 [29.8], 30.2 [27.0], 22.3 [18.1]. Anal. Calcd for $C_{16}H_{21}NO$: C, 78.96; H, 8.70; N, 5.76. Found: C, 78.91; H, 8.32; N, 6.05.

(3*S*,5*R*)-5-[2-(1,3-Dioxolan-2-yl)ethyl]-3-phenylperhydropyrido[2,1-*b*][1,3]oxazole (9*g*): 0.63 g, 69% yield, oil, as a mixture of two diastereoisomers in ratio of 2:1, the data for the minor isomer is given in brackets. 1H NMR δ 7.20-7.42 (m, 5H), 5.68-5.80 (m, 1H), 4.20-4.38 (m, 1H) [4.52-4.58 (m, 1H)], 3.95-4.15 (m, 2H) [4.20-4.38 (m, 2H, overlapped)], 3.72-3.95 (m, 4H), 3.57 (t, 1H, $J = 6.2$ Hz) [3.72-3.95 (m, 1H, overlapped)], 2.85-2.94 (m, 1H) [2.16-2.25 (m, 1H)], 1.95-2.05 (m, 1H), 1.15-1.85 (m, 9H); ^{13}C NMR δ 139.3 [139.7], 128.3 [128.8], 127.7 [128.0], 127.4 [127.1], 104.1 [104.3], 87.3 [90.0], 73.1 [72.3], 64.7 [64.6], 61.1 [60.7], 52.1 [54.3], 31.4 [31.3], 29.1 [30.5], 27.4 [29.9], 27.1 [21.5], 17.7 [16.6]. Anal. Calcd for $C_{18}H_{25}NO_3$: C, 71.24; H, 8.31; N, 4.62. Found: C, 71.24; H, 8.61; N, 4.72.

(3*S*,5*S*)-5-[2-(1,3-Dioxolan-2-yl)ethyl]-3-phenylperhydropyrido[2,1-*b*][1,3]

oxazole (10g): 0.03 g, 3% yield, oil, as a mixture of two diastereoisomers in ratio of 1:1, the data for the isomer is given in brackets. ¹H NMR δ 7.14-7.46 (m, 5H), 4.76-4.82 (m, 1H) [4.49-4.52 (m, 1H)], 4.38-4.45 (m, 1H) [4.28-4.36 (m, 1H)], 3.85-3.96 (m, 1H) [4.28-4.36 (m, 1H, overlapped)], 3.58-3.82 (m, 6H), 2.52-2.64 (m, 1H) [2.33-2.44 (m, 1H)], 0.92-2.08 (m, 10H); ¹³C NMR δ 144.5 [142.7], 128.3 [128.2], 127.2 [126.8], 126.7 [126.7], 104.5 [104.1], 96.0 [89.0], 74.6 [69.6], 65.5 [65.4], 64.7 [64.6], 61.9 [56.7], 30.8 [30.1], 29.8 [29.5], 29.4 [29.3], 28.5 [27.0], 22.3 [18.1]. Anal. Calcd for C₁₈H₂₅NO₃: C, 71.24; H, 8.31; N, 4.62. Found: C, 71.24; H, 8.61; N, 4.72.

(2*S*)-2-[(2*R*, 6*R*)-2-Methyl-6-(*o*-methylphenyl)piperidinyl]-2-phenyl-1-ethanol

(16b): 0.82 g, 89% yield, mp 86-87 °C; ¹H NMR δ 7.62 (d, 1H, J = 7.7 Hz), 7.10-7.38 (m, 8H), 3.90-4.08 (m, 3H), 3.53-3.66 (m, 1H), 3.20 (br s, 1H), 2.92-3.03 (m, 1H), 2.40 (s, 3H), 1.52-1.72 (m, 3H), 1.34-1.42 (m, 3H), 1.33 (d, 3H, J = 6.3 Hz); ¹³C NMR δ 143.2, 137.0, 134.7, 130.8, 129.0, 128.2, 127.5, 127.2, 126.6, 126.4, 63.5, 62.6, 59.4, 50.1, 33.8, 31.8, 24.3, 20.9, 19.4. Anal. Calcd for C₂₁H₂₇NO: C, 81.50; H, 8.80; N, 4.53. Found: C, 81.33; H, 8.90; N, 4.56.

(2*S*)-2-[(2*R*,6*R*)-2-Butyl-6-(*o*-methylphenyl)piperidinyl]-2-phenyl-1-ethanol

(16c): 0.87 g, 83% yield, oil; $[\alpha]^{20}_D$ = +60.0° (c 2.11, MeOH); ¹H NMR δ 7.66 (d, 1H, J = 7.7 Hz), 7.10-7.40 (m, 8H), 4.06-4.14 (m, 1H), 3.99 (t, 1H, J = 10.2 Hz), 3.10-3.20 (m, 1H), 2.79 (br s, 1H), 2.42 (s, 3H), 1.20-1.77 (m, 12H), 0.96 (t, 3H, J = 6.4 Hz); ¹³C NMR δ 143.7, 137.2, 134.8, 130.6, 128.8, 128.2, 127.6, 126.8, 126.6, 126.4, 64.4, 61.9, 55.8,

51.4, 38.7, 31.1, 29.6, 22.9, 22.7, 19.2, 16.9, 14.2. HRMS Calcd for $C_{24}H_{33}NO$: 352.2640 ($M+H^+$). Found 352.2644.

(2S)-2-[(2S,6S)-2-Methyl-6-propylpiperidiny]-2-phenyl-1-ethanol (16d): 0.77 g, 98%, oil; $[\alpha]_D^{20} = +18.3^\circ$ (c 1.16, EtOH); 1H NMR δ 7.22-7.38 (m, 5H), 4.01 (t, 1H, $J = 6.9$ Hz), 3.84 (dd, 1H, $J = 10.4, 7.7$ Hz), 3.73 (dd, 1H, $J = 10.4, 5.3$ Hz), 3.12-3.23 (m, 1H), 2.74-2.82 (m, 1H), 0.92-1.70 (m, 10H), 1.13 (d, 3H, $J = 7.0$ Hz, overlapped), 0.82 (t, 3H, $J = 7.1$ Hz); ^{13}C NMR δ 140.4, 128.3, 128.2, 127.4, 66.0, 61.9, 56.4, 47.5, 35.9, 30.5, 28.2, 20.8, 20.7, 15.8, 14.3. Anal. Calcd for $C_{17}H_{27}NO$: C, 78.10; H, 10.42; N, 5.36. Found: C, 78.23; H, 10.61; N, 5.69.

(2S)-2-[(2S,6S)-2-Butyl-6-phenylpiperidiny]-2-phenyl-1-ethanol (16e): 0.92 g, 91%, mp 63-64 $^\circ C$; $[\alpha]_D^{20} = +32.4^\circ$ (c 1.78, MeOH); 1H NMR δ 7.13-7.38 (m, 10H), 4.21 (t, 1H, $J = 7.1$ Hz), 3.64-3.73 (m, 1H), 3.44-3.62 (m, 2H), 2.88-2.98 (m, 1H), 2.53 (br s, 1H), 1.69-1.90 (m, 2H), 1.18-1.68 (m, 10H), 0.87 (t, 3H, $J = 6.0$ Hz); ^{13}C NMR δ 146.6, 139.2, 128.8, 128.0, 127.9, 127.2, 127.1, 126.6, 64.2, 61.0, 60.0, 58.4, 36.3, 33.7, 29.6, 28.6, 22.9, 20.5, 14.1. Anal. Calcd for $C_{23}H_{31}NO$: C, 81.84; H, 9.26; N, 4.15. Found: C, 81.57; H, 9.69; N, 4.12.

(2S)-2-[(2S,6R)-2-Butyl-6-(2-phenylethyl)piperidiny]-2-phenyl-1-ethanol (16f): 1.02 g, 93% yield, oil; $[\alpha]_D^{20} = -10.95^\circ$ (c 5.01, CH_2Cl_2); 1H NMR δ 7.10-7.36 (m, 10H), 3.85-3.93 (m, 1H), 3.60-3.70 (m, 1H), 3.50-3.60 (m, 1H), 2.46-2.98 (m, 5H), 1.76-1.80 (m, 2H), 1.13-1.64 (m, 10H), 0.94-1.10 (m, 2H), 0.85 (t, 3H, $J = 6.8$ Hz); ^{13}C NMR δ 142.2, 140.3, 128.5, 128.4, 128.3, 127.5, 125.8, 67.5, 61.7, 56.3, 51.2, 36.5, 34.3, 33.8,

29.9, 27.5, 25.7, 22.8, 15.0, 14.1. Anal. Calcd for $C_{25}H_{35}NO$: C, 82.13; H, 9.66; N, 3.83.

Found: C, 81.78; H, 9.86; N, 3.91.

(2*R*)-2-(*o*-Methylphenyl)piperidine Hydrochloride Salt (12b): mp 263-266 °C; $[\alpha]^{20}_D = -1.4^\circ$ (*c* 1.0, MeOH), 0.19 g, 91% yield; 1H NMR δ 9.50 (br s, 2H), 7.78-7.86 (m, 1H), 7.10-7.24 (m, 3H), 4.10 (t, *J* = 10.9 Hz, 1H), 2.96-3.08 (m, 1H), 2.61-2.78 (m, 1H), 2.42 (s, 3H), 1.80-2.20 (m, 4H), 1.64-1.77 (m, 1H), 1.46-1.63 (m, 1H); ^{13}C NMR δ 135.6, 135.1, 130.8, 128.6, 126.9 (2C), 57.1, 45.9, 30.3, 23.5, 21.7, 19.5. Anal. Calcd for $C_{12}H_{18}NCl$: C, 68.21; H, 8.59; N, 6.63. Found: C, 68.17; H, 8.80; N, 6.58.

(2*S*)-2-Propylpiperidine Hydrochloride Salt (12c): mp 216-219 °C; $[\alpha]^{20}_D = +6.47^\circ$ (*c* 1.19, EtOH) [Lit¹ mp 219-218 °C, $[\alpha]^{20}_D = +5.2^\circ$ (*c* 1.0, EtOH)]; 0.14 g, 83% yield; 1H NMR δ 9.53 (br s, 1H), 9.23 (br s, 1H), 3.38-3.53 (m, 1H), 2.75-3.02 (m, 2H), 1.37-2.08 (m, 10H), 0.95 (t, 3H, *J* = 6.9 Hz); ^{13}C NMR δ 57.2, 44.8, 35.4, 28.2, 22.5, 22.3, 18.6, 13.8.

(2*S*)-2-Butylpiperidine Hydrochloride Salt (12d): mp 185-186 °C; $[\alpha]^{20}_D = +3.52^\circ$ (*c* 1.22, MeOH); 0.16 g, 88% yield; 1H NMR δ 9.52 (br s, 1H), 9.22 (br s, 1H), 3.36-3.50 (m, 1H), 2.72-3.00 (m, 2H), 1.53-2.10 (m, 7H), 1.24-1.54 (m, 5H), 0.91 (t, 3H, *J* = 6.7 Hz); ^{13}C NMR δ 57.3, 44.7, 33.0, 28.1, 27.4, 22.4, 22.3, 22.2, 13.8. Anal. Calcd for $C_9H_{20}NCl$: C, 60.97; H, 11.38; N, 7.91. Found: C, 61.06; H, 11.58; N, 7.87.

(2*R*)-2-(Phenylethyl)piperidine Hydrochloride Salt (12e): mp 149-150 °C; $[\alpha]^{20}_D = +11.1^\circ$ (*c* 0.65, MeOH); 0.20 g, 89% yield; 1H NMR δ 9.60 (br s, 1H), 9.35 (br s, 1H), 7.05-7.35 (m, 5H), 3.32-3.50 (m, 1H), 2.42-3.00 (m, 4H), 2.30-2.45 (m, 1H), 1.60-2.10 (m, 5H), 1.20-1.50 (m, 1H); ^{13}C NMR δ 141.7, 130.1, 130.0, 127.8, 58.3, 46.3, 36.2,

32.8, 29.9, 24.0, 23.8. Anal. Calcd for $C_{13}H_{20}NCl$: C, 69.29; H, 8.95; N, 6.22. Found: C, 69.34; H, 9.36; N, 6.22.

(2R)-2-[2-(1,3-Dioxolan-2-yl)ethyl]piperidine (12g): oil; $[\alpha]^{20}_D = +5.10^\circ$ (*c* 1.04, MeOH); 0.17 g, 92% yield; 1H NMR δ 4.86 (t, *J* = 4.7 Hz, 1H), 3.80-4.00 (m, 4H), 3.00-3.10 (m, 1H), 2.55-2.68 (m, 1H), 2.41-2.52 (m, 1H), 1.24-1.82 (m, 10H), 1.00-1.15 (m, 1H); ^{13}C NMR δ 104.3, 64.5, 56.3, 46.9, 32.6, 31.3, 30.0, 26.3, 24.6. Anal. Calcd for $C_{10}H_{19}NO_2$: C, 64.82; H, 10.34; N, 7.56. Found: C, 64.21; H, 10.67; N, 7.63.

(2R)-2-Butylpiperidine Hydrochloride Salt (13d): mp 182-184 °C; $[\alpha]^{20}_D = -5.03^\circ$ (*c* 0.95, MeOH); 0.15 g, 87% yield; 1H NMR δ 9.48 (br s, 1H), 9.18 (br s, 1H), 3.38-3.50 (m, 1H), 2.75-3.00 (m, 2H), 1.53-2.05 (m, 7H), 1.24-1.54 (m, 5H), 0.91 (t, 3H, *J* = 6.7 Hz); ^{13}C NMR δ 57.3, 44.7, 33.0, 28.1, 27.3, 22.4, 22.3, 22.2, 13.8. Anal. Calcd for $C_9H_{20}NCl$: C, 60.97; H, 11.38; N, 7.91. Found: C, 60.97; H, 11.74; N, 7.92.

(2S)-2-(Phenylethyl)piperidine Hydrochloride Salt (13e): mp 145-146 °C; $[\alpha]^{20}_D = -11.3^\circ$ (*c* 0.95, MeOH); 0.21 g, 91% yield; 1H NMR δ 9.59 (br s, 1H), 9.34 (br s, 1H), 7.10-7.35 (m, 5H), 3.36-3.47 (m, 1H), 2.60-2.98 (m, 4H), 2.30-2.43 (m, 1H), 1.64-2.10 (m, 6H), 1.30-1.50 (m, 1H); ^{13}C NMR δ 140.1, 128.5, 128.4, 126.2, 56.6, 44.7, 34.6, 31.1, 28.3, 22.3, 22.2. Anal. Calcd for $C_{13}H_{20}NCl$: C, 69.29; H, 8.95; N, 6.22. Found: C, 69.09; H, 9.22; N, 6.24.

(2R,6R)-2-Methyl-6-(*o*-methylphenyl)piperidine Hydrochloride Salt (17b): mp 258-260 °C; $[\alpha]^{20}_D = -5.23^\circ$ (*c* 1.07, MeOH); 0.21 g, 93% yield; 1H NMR δ 9.39 (br s, 1H), 8.97 (br s, 1H), 7.93 (d, 1H, *J* = 7.1 Hz), 7.02-7.20 (m, 3H), 4.00-4.16 (m, 1H), 2.94-3.10 (m, 1H), 2.45 (s, 3H), 2.08-2.26 (m, 1H), 1.48-2.07 (m, 5H), 1.04 (d, 3H, *J* = 6.5

Hz); ^{13}C NMR δ 136.2, 134.8, 130.5, 128.5, 127.9, 126.7, 57.9, 56.0, 29.9, 29.7, 23.8, 19.9, 18.5. Anal. Calcd for $\text{C}_{13}\text{H}_{20}\text{NCl}$: C, 69.29; H, 8.95; N, 6.22. Found: C, 69.03; H, 9.22; N, 6.16.

(2*R*, 6*R*)-2-Butyl-6-(*o*-methylphenyl)piperidine Hydrochloride Salt (17c): mp 238-239 °C; $[\alpha]_D^{20} = -2.88^\circ$ (*c* 1.04, MeOH); 0.24 g, 90% yield; ^1H NMR δ 9.05 (br s, 2H), 8.01 (d, 1H, $J = 7.2$ Hz), 7.00-7.18 (m, 3H), 4.10-4.23 (m, 1H), 2.95-3.12 (m, 1H), 2.41 (s, 3H), 1.64-2.20 (m, 7H), 1.44-1.61 (m, 1H), 1.03-1.30 (m, 4H), 0.85 (t, 3H, $J = 6.5$ Hz); ^{13}C NMR δ 135.5, 130.3, 128.1, 127.5, 126.7, 59.8, 58.5, 31.8, 30.5, 27.6, 26.3, 23.8, 21.9, 19.8, 14.1. Anal. Calcd for $\text{C}_{16}\text{H}_{26}\text{NCl}$: C, 71.86; H, 9.81; N, 5.24. Found: C, 71.95; H, 10.22; N, 5.27.

(2*R*,6*S*)-2-Methyl-6-propylpiperidine Hydrochloride Salt (17d): mp 190-194 °C; $[\alpha]_D^{20} = +11.9^\circ$ (*c* 0.69, EtOH), [Lit¹ $[\alpha]_D^{20} = +12.5^\circ$ (*c* 1.0, EtOH)]; 0.15 g, 85% yield; ^1H NMR δ 9.41 (br s, 1H), 9.05 (br s, 1H), 3.03-3.18 (m, 1H), 2.86-3.00 (m, 2H), 1.26-2.20 (m, 12H), 0.92 (t, 3H, $J = 7.2$ Hz); ^{13}C NMR δ 58.4, 54.5, 35.2, 30.7, 27.5, 22.9, 19.4, 18.8, 13.7.

(2*S*,6*S*)-2-Butyl-6-phenylpiperidine Hydrochloride Salt (17e): mp 236-238 °C; $[\alpha]_D^{20} = +1.5^\circ$ (*c* 1.0, MeOH); 0.24 g, 93% yield; ^1H NMR δ 9.24 (br s, 1H), 8.88 (br s, 1H), 7.65 (d, 2H, $J = 3.9$ Hz), 7.20-7.30 (m, 3H), 3.89 (t, 1H, $J = 11.0$ Hz), 2.98-3.15 (m, 1H), 2.18-2.34 (m, 1H), 1.42-2.03 (m, 7H), 1.02-1.30 (m, 4H), 0.80-0.92 (m, 3H); ^{13}C NMR δ 136.5, 128.8, 128.6, 62.7, 59.7, 31.9, 30.1, 27.6, 26.6, 23.5, 21.9, 14.1. Anal. Calcd for $\text{C}_{15}\text{H}_{24}\text{NCl}$: C, 71.10; H, 9.55; N, 5.53. Found: C, 71.03; H, 9.81; N, 5.50.

References

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