Supporting information

Stereoselective Synthesis of Chiral IBR2 Analogues

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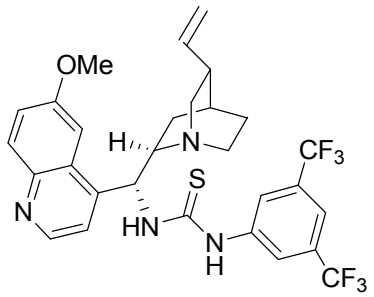
General

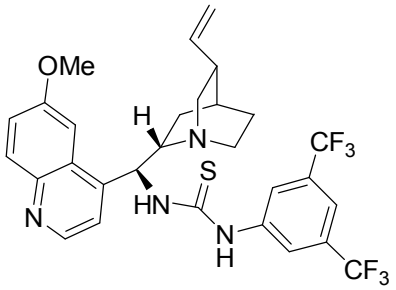
Synthesis of Cinchona-derivated thiourea alkaloid **57** was performed according the reported procedure.[1]



Cinchona-derived thiourea alkaloid (**57**)

^{1}H NMR (400 MHz, MeOH-D4) δ 8.69-8.67 (m, 1H), 8.11 (s, 2H), 8.04 (d, *J* = 1.6 Hz, 1H), 7.95-7.92 (m, 1H), 7.60 (s, 1H), 7.57 (d, *J* = 4.8 Hz, 1H), 7.44 (dd, *J* = 9.2, 3.2 Hz, 1H), 6.38 (d, *J* = 10.8 Hz, 1H), 5.96 (ddd, *J* = 17.0, 10.8, 6.0 Hz, 1H), 5.23 (dt, *J* = 17.6, 1.6 Hz, 1H), 5.15 (dt, *J* = 10.8, 2.4 Hz, 1H), 4.03 (s, 3H), 3.41-3.32 (m, 2H), 3.08-2.99 (m, 3H), 2.39-2.35 (m, 1H), 1.65-1.63 (m, 3H), 1.24-1.19 (m, 1H), 1.07-1.01 (m, 1H); ^{19}F NMR (376 MHz, MeOH-D4) δ -64.7 (s, 6F); MS (ESI) m/z 595 ($M + H^+$).

Synthesis of quinine-derivated thiourea alkaloid **58** was performed according the reported procedure.[1]



Quinine-derived thiourea alkaloid (**58**)

^{1}H NMR (400 MHz, MeOH-D4) δ 8.67 (d, *J* = 4.4 Hz, 1H), 8.10 (s, 3H), 7.94 (d, *J* = 9.2 Hz, 1H), 7.57 (d, *J* = 16.8 Hz, 1H), 7.43 (d, *J* = 7.6 Hz, 1H), 6.34 (d, *J* = 10.0 Hz, 1H), 5.88-5.80 (m, 1H), 5.04-4.90 (m, 4H), 4.02 (s, 3H), 3.59 (s, 1H), 3.42-3.25 (m, 2H), 2.80 (d, *J* = 13.2 Hz, 1H), 2.34 (s, 1H), 1.65 (d, *J* = 20.8 Hz, 3H), 1.45 (t, *J* = 12.0 Hz, 1H), 0.86 (br, 1H); ^{19}F NMR (376 MHz, MeOH-D4) δ -64.7 (s, 6F); MS (ESI) m/z 595 ($M + H^+$).

3-{(*S*)-Amino-[2-(2-benzyloxy-ethyl)-phenyl]-methyl}-indole-1-carboxylic acid *tert*-butyl ester (40). Compound **40** (350 mg, 89%) was prepared as a clear oil from the compound **28**, using the same conditions as described for the compound **29**. $[\alpha]_D^{20}$ +68.1 (*c* 1.00 $CHCl_3$); ^1H NMR (500 MHz, $CDCl_3$) δ 8.11 (br, 1H), 7.52 (d, *J* = 0.5 Hz, 1H), 7.32-7.13 (m, 11H), 7.08-7.05 (m, 1H), 5.65 (s, 1H), 4.48 (s, 2H), 3.77-3.68 (m, 2H), 3.22-3.16 (m, 1H), 3.09-3.03 (m, 1H), 1.89 (br, 2H), 1.66 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 150.0, 142.9, 138.3, 136.6, 136.1, 130.2, 129.4, 128.5, 127.8, 127.8, 127.5, 127.2, 127.1, 125.5, 124.5, 123.4, 122.6, 120.0, 115.4, 83.7, 73.2, 71.4, 48.9, 33.0, 28.4; MS (ESI) m/z 457 ($M + H^+$), 479 ($M + Na^+$), 913 ($2M + Na^+$); ESI-HRMS Calcd for $C_{29}H_{33}N_2O_3$ ($M + H^+$), 457.2491 Found: 457.2501.

3-{(*S*)-Amino-[2-(2-hydroxy-ethyl)-phenyl]-methyl}-indole-1-carboxylic acid *tert*-butyl ester (41). Compound **41** (207 mg, 89%) was prepared as a clear oil from the compound **40**, using the same conditions as described for the compound **30**. $[\alpha]_D^{20}$ +62.9 (*c* 1.10 CH_2Cl_2); ^1H NMR (400 MHz, CD_2Cl_2) δ 8.12 (d, *J* = 8.4 Hz, 1H), 7.67 (s, 1H), 7.32-7.22 (m, 3H), 7.10-7.03 (m, 4H), 5.61 (s, 1H), 4.02-3.97 (m, 1H), 3.76 (ddd, *J* = 10.2, 9.8, 4.0 Hz, 1H), 3.25 (ddd, *J* = 14.4, 9.8, 4.4 Hz, 1H), 3.06-2.98 (m, 4H), 1.70 (s, 9H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 150.3, 141.8, 139.7, 136.6, 131.0, 129.4, 128.5, 127.6, 127.1, 125.7, 125.0, 123.6, 122.9, 120.3, 115.8, 84.4, 64.3, 49.4, 36.3, 28.5; MS (ESI) m/z 389 ($M + Na^+$), 733 ($2M + H^+$); ESI-HRMS Calcd for $C_{22}H_{26}N_2O_3Na$ ($M + Na^+$), 389.1841 Found: 389.1832.

3-((*S*)-Amino-{2-[2-(*tert*-butyl-dimethyl-silanyloxy)-ethyl]-phenyl}-methyl)-indole-1-carboxylic acid *tert*-butyl ester (42). Compound **42** (245 mg, 96%) was prepared as a clear oil from the compound **41**, using the same conditions as described for the compound **31**. $[\alpha]_D^{20}$ +60.2 (*c* 1.00 $CHCl_3$); ^1H NMR (400 MHz, $CDCl_3$) δ 8.14 (d, *J* = 7.2 Hz, 1H), 7.50 (d, *J* = 0.8 Hz, 1H), 7.37 (dd, *J* = 1.6, 1.2 Hz, 1H), 7.31-7.22 (m, 4H), 7.20-7.11 (m, 2H), 5.68 (d, *J* = 0.8 Hz, 1H), 3.93-3.83 (m, 2H), 3.13-3.16 (m, 1H), 3.03-2.96 (m, 1H), 1.99 (br, 2H), 1.68 (s, 9H), 0.87 (s, 9H), 0.01, -0.01 (2s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 150.0, 142.7, 136.6, 130.6, 129.4, 127.4, 127.0, 127.0, 125.5, 124.6, 123.6, 122.6, 120.0, 115.5, 83.8, 64.7, 48.9, 36.0, 28.4, 26.2, 18.6, -5.2; MS (ESI) m/z 503 ($M + Na^+$), 961 ($2M + H^+$); ESI-HRMS Calcd for $C_{28}H_{40}N_2O_3SiNa$ ($M + Na^+$), 503.2706 Found: 503.2695.

3-((*S*)-Benzyloxycarbonylamino-{2-[2-(*tert*-butyl-dimethyl-silanyloxy)-ethyl]-phenyl}-methyl)-indole-1-carboxylic acid

***tert*-butyl ester (43).** Compound **43** (418 mg, 85%) was prepared as a white foam from the compound **42**, using the same conditions as described for the compound **32**. $[\alpha]_D^{20}$ -7.5 (*c* 1.00 $CHCl_3$); ^1H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, *J* = 7.6 Hz, 1H), 7.37-7.16 (m, 13H), 6.43 (d, *J* = 7.6 Hz, 1H), 5.56 (d, *J* = 4.0 Hz, 1H), 5.17 (dd, *J* = 12.4, 12.8 Hz, 2H); 3.95-3.88 (m, 1H), 3.83-3.78 (m, 1H), 3.05-2.97 (m, 1H), 2.91-2.86 (m, 1H), 1.66 (s, 9H), 0.82 (s, 9H), -0.05, -0.07 (2s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 155.6, 149.9, 138.6, 137.2, 136.6, 136.1, 131.2, 129.0, 128.7, 128.3, 127.9, 127.1, 126.9, 124.9, 124.6, 122.9, 122.3, 120.0, 115.5, 84.1, 67.1, 64.1, 49.2, 36.1, 28.4, 26.1, 18.5, -5.3; MS (ESI) m/z 632 ($M + NH_4^+$), 637 ($2M + Na^+$); ESI-HRMS Calcd for $C_{36}H_{46}N_2O_5SiNa$ ($M + Na^+$), 637.3074 Found: 637.3071.

3-{(*S*)-Benzyloxycarbonylamino-[2-(2-hydroxy-ethyl)-phenyl]-methyl}-indole-1-carboxylic acid *tert*-butyl ester (44). Compound **44** (324 mg, 95%) was prepared as a white foam from the compound **43**, using the same conditions as described for the compound **33**. $[\alpha]_D^{20}$ -19.4 (*c* 0.7 CH_2Cl_2); ^1H NMR (500 MHz, CD_2Cl_2) δ 8.11 (d, *J* = 8.5 Hz, 1H), 7.43 (d, *J* = 8.0 Hz, 1H), 7.38-7.25 (m, 10H), 7.21-7.18 (m, 2H), 6.49 (d, *J* = 8.0 Hz, 1H), 5.80 (d, *J* = 8.0 Hz, 1H), 5.16-5.08 (m, 2H), 3.88-3.83 (m, 2H), 2.99-2.88 (m, 2H), 2.42 (br, 1H), 1.64 (s, 9H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 156.2, 150.1, 139.7, 137.8, 137.2, 136.4, 131.1, 129.3, 129.0, 128.6, 128.5, 128.4, 127.4, 127.3, 125.3, 125.2, 123.2, 122.0, 120.2, 115.9, 84.6, 67.4, 64.1, 49.4, 36.3, 28.4; MS (ESI) m/z 518 ($M + NH_4^+$), 523 ($M + Na^+$); ESI-HRMS Calcd for $C_{30}H_{32}N_2O_5Na$ ($M + Na^+$), 523.2209 Found: 523.2193.

3-{(*S*)-Benzyloxycarbonylamino-[2-(2-methanesulfonyloxy-ethyl)-phenyl]-methyl}-indole-1-carboxylic acid *tert*-butyl ester (45). Compound **45** (350 mg, 89%) was prepared as a white foam from the compound **44**, using the same conditions as described for the compound **35**. $[\alpha]_D^{20}$ -27.0 (*c* 0.96 $CHCl_3$); ^1H NMR (500 MHz, $CDCl_3$) δ 8.14 (d, *J* = 13.5 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.49-7.27 (m, 11H), 7.14 (s, 1H), 6.46 (d, *J* = 12.0 Hz, 1H), 5.55 (d, *J* = 7.5 Hz, 1H), 5.21 (dd, *J* = 12.5, 15.0 Hz, 2H), 4.59-4.54 (m, 1H), 4.50-4.53 (m, 1H), 3.23-3.16 (m, 2H), 2.84 (s, 3H), 1.70 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 155.6, 149.8, 139.1, 136.4, 135.9, 134.0, 131.0, 128.8, 128.7, 128.4, 128.3, 128.2, 127.9, 127.0, 125.2, 123.1, 121.3, 119.7, 115.6, 84.5, 69.9, 67.3, 48.6, 37.1, 32.4, 28.3; MS (ESI) m/z 579 ($M + H^+$), 596 ($M + NH_4^+$), 601 ($M + Na^+$); ESI-HRMS Calcd for $C_{31}H_{34}N_2O_7SNa$ ($M + Na^+$), 601.1984 Found: 601.1968.

(*S*)-3-(1, 2, 3, 4-Tetrahydro-isoquinolin-1-yl)-indole-1-carboxylic acid *tert*-butyl ester (46). Compound **46** (166 mg, 92%) was prepared as a white foam from the compound **45**, using the same conditions as described for the compound **36**. $[\alpha]_D^{20}$ -17.9 (*c* 0.96 $CHCl_3$); ^1H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 7.6 Hz, 1H), 7.46-7.43 (m, 2H), 7.33-7.27 (m, 1H), 7.20-7.16 (m, 3H), 7.08-7.04 (m, 1H), 6.98 (d, *J* = 8.0 Hz, 1H), 5.43 (s, 1H), 3.30 (dt, *J* = 11.6, 5.2 Hz, 1H), 3.17-3.05 (m, 2H), 2.90 (dt, *J* = 15.6, 4.8 Hz, 1H), 2.24 (br, 1H), 1.68 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 150.0, 137.3, 136.1, 135.3, 129.5, 129.2, 127.7, 126.6, 126.0, 125.2, 124.6, 123.9, 122.8, 120.3, 115.5, 83.9, 54.0, 42.3, 29.9, 28.4; MS (ESI) m/z 349 ($M + H^+$), 697 ($2M + H^+$); ESI-HRMS Calcd for $C_{22}H_{25}N_2O_2$ ($M + H^+$), 349.1916 Found: 349.1911.

(*S*)-1-(1H-Indol-3-yl)-1, 2, 3, 4-tetrahydro-isoquinoline (47). Compound **47** (90 mg, 91%) was prepared as a white foam from the compound **46**, using the same conditions as described for the compound **39**. $[\alpha]_D^{20}$ -7.8 (*c* 1.15 CH_2Cl_2); ^1H NMR (400 MHz, CD_2Cl_2) δ 8.62 (s, 1H), 7.42 (d, *J* = 8.0 Hz, 1H), 7.33 (d, *J* = 8.4 Hz, 1H), 7.19-7.12 (m, 3H), 7.03-7.00 (m, 2H), 6.94-6.92 (m, 2H), 5.45 (s, 1H), 3.29-3.23 (m, 1H), 3.13-3.00 (m, 2H), 2.92-2.84 (m, 1H), 2.70 (br, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 139.2, 137.2, 135.9, 129.5, 128.1, 126.9, 126.6, 125.9, 124.6, 122.4, 120.2, 119.9, 119.8, 111.8, 54.6, 42.5, 30.3; MS (ESI) m/z 249 ($M + H^+$); ESI-HRMS Calcd for $C_{17}H_{17}N_2$ ($M + H^+$), 249.1392 Found: 249.1393.

(*S*)-1-(1H-Indol-3-yl)-2-phenylmethanesulfonyl-1, 2, 3, 4-tetrahydro-isoquinoline (2). Compound **2** (102 mg, 90%) was prepared as a white foam from the compound **46**, using the same conditions as described for the compound **1**. $[\alpha]_D^{20}$ -92.9 (*c* 0.63 CH_2Cl_2); ^1H NMR (400 MHz, CD_2Cl_2) δ 8.36 (s, 1H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.42 (d, *J* = 8.0 Hz, 1H), 7.27-7.11 (m, 8H), 7.03 (d, *J* = 8.0 Hz, 1H), 6.92 (d, *J* = 7.2 Hz, 2H), 6.85 (d, *J* = 2.4 Hz, 1H), 6.42 (s, 1H), 3.95-3.86 (m, 2H), 3.56 (dd, *J* = 6.4, 6.4 Hz, 1H), 3.24 (ddd, *J* = 13.6, 4.4, 4.4 Hz, 1H), 3.01 (ddd, *J* = 17.2, 6.0, 4.4 Hz, 1H), 2.77 (dd, *J* = 4.0, 2.8 Hz, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 136.9, 136.3, 134.1, 131.2, 129.6, 129.6, 128.8, 128.8, 128.7, 127.4, 126.9, 126.6, 125.9, 123.1, 120.8, 120.4, 117.7, 111.9, 59.3, 53.1, 40.0, 29.1; MS (ESI) m/z 403 ($M + H^+$), 425 ($M + Na^+$); ESI-HRMS Calcd for $C_{24}H_{23}N_2O_2S$ ($M + H^+$), 403.1480 Found: 403.1473.

(*S*)-2-(2-Fluoro-phenylmethanesulfonyl)-1-(1H-indol-3-yl)-1, 2, 3, 4-tetrahydro-isoquinoline (6). Compound **6** (40 mg, 82%) was prepared as a white foam using the same conditions as described for the compound **2**. $[\alpha]_D^{20}$ -115.3 (*c* 0.56 CH_2Cl_2); ^1H NMR

(400 MHz, CD_2Cl_2) δ 8.30 (s, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.39 (dt, *J* = 8.4, 0.8 Hz, 1H), 7.29-7.23 (m, 3H), 7.19 (ddd, *J* = 8.2, 1.2, 1.2 Hz, 1H), 7.14-7.07 (m, 3H), 7.05-7.00 (m, 2H), 6.95 (ddd, *J* = 9.8, 1.2, 1.2 Hz, 1H), 6.82 (d, *J* = 2.8 Hz, 1H), 6.41 (s, 1H), 3.98 (s, 2H), 3.77 (ddt, *J* = 6.8, 6.8, 1.6 Hz, 1H), 3.44 (ddd, *J* = 16.4, 4.4, 2.0 Hz, 1H), 3.14 (ddd, *J* = 17.8, 6.4, 6.0 Hz, 1H), 2.86 (ddd, *J* = 16.8, 1.2, 1.2 Hz, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 162.7, 160.7, 136.9, 136.2, 134.0, 133.0, 133.0, 131.0, 130.9, 129.7, 128.6, 127.5, 126.8, 126.7, 126.1, 124.6, 124.6, 123.0, 120.7, 120.4, 117.5, 117.3, 117.3, 116.0, 115.8, 111.8, 53.3, 52.0 (d, *J* = 2.0 Hz), 39.9, 28.8; ^{19}F NMR (376 MHz, CD_2Cl_2) δ -117.5 (s, 1F); MS (ESI) m/z 421 ($M + H^+$), 438 ($M + NH_4^+$), 443 ($M + Na^+$); ESI-HRMS Calcd for $C_{24}H_{21}FN_2O_2SNa$ ($M + Na^+$), 443.1205 Found: 443.1218.

(*S*)-2-(3-Fluoro-phenylmethanesulfonyl)-1-(1H-indol-3-yl)-1, 2, 3, 4-tetrahydro-isoquinoline (7). Compound **7** (38 mg, 80%) was prepared as a white foam using the same conditions as described for the compound **2**. $[\alpha]_D^{20}$ -70.5 (*c* 0.51 CH_2Cl_2); ^{1}H NMR (400 MHz, CD_2Cl_2) δ 8.36 (s, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.43 (d, *J* = 8.0 Hz, 1H), 7.25-7.19 (m, 3H), 7.16-7.10 (m, 3H), 7.02 (d, *J* = 7.6 Hz, 1H), 6.95 (td, *J* = 8.2, 2.1 Hz, 1H), 6.84 (d, *J* = 2.8 Hz), 6.70 (d, *J* = 7.6 Hz, 1H), 6.60 (d, *J* = 10.0 Hz, 1H), 6.42 (s, 1H), 3.92 (d, *J* = 13.6 Hz, 1H), 3.86 (d, *J* = 13.6 Hz, 1H), 3.57 (dd, *J* = 6.4, 6.8 Hz, 1H), 3.24 (ddd, *J* = 13.6, 4.4, 4.0 Hz, 1H), 3.01 (ddd, *J* = 17.8, 6.4, 4.8 Hz, 1H), 2.79 (dd, *J* = 16.8, 3.2 Hz, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 163.9, 161.9, 136.8, 136.2, 134.0, 132.0, 131.9, 130.4, 130.3, 129.6, 128.6, 127.5, 127.0, 127.0, 126.9, 126.7, 125.9, 123.2, 120.8, 120.3, 118.1, 117.9, 117.7, 115.8, 115.6, 111.9, 58.8 (d, *J* = 2.1 Hz), 53.1, 40.1, 29.2; ^{19}F NMR (376 MHz, CD_2Cl_2) δ -113.8 (s, 1F); MS (ESI) m/z 438 ($M + NH_4^+$), 443 ($M + Na^+$); ESI-HRMS Calcd for $C_{24}H_{21}FN_2O_2SNa$ ($M + Na^+$), 443.1205 Found: 443.1219.

(*S*)-2-(4-Fluoro-phenylmethanesulfonyl)-1-(1H-indol-3-yl)-1, 2, 3, 4-tetrahydro-isoquinoline (8). Compound **8** (39 mg, 82%) was prepared as a white foam using the same conditions as described for the compound **2**. $[\alpha]_D^{20}$ -81.4 (*c* 0.34 CH_2Cl_2); ^{1}H NMR (500 MHz, CD_2Cl_2) δ 8.33 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.42 (dt, *J* = 8.0, 1.0 Hz, 1H), 7.24-7.19 (m, 3H), 7.14-7.10 (m, 2H), 7.09 (d, *J* = 8.0 Hz, 1H), 6.88-6.82 (m, 5H), 6.39 (s, 1H), 3.93 (d, *J* = 13.5 Hz, 1H), 3.85 (d, *J* = 13.5 Hz, 1H), 3.55 (ddt, *J* = 14.0, 6.5, 1.3 Hz, 1H), 3.23 (ddd, *J* = 16.5, 4.5, 1.5 Hz, 1H), 3.01 (ddd, *J* = 18.3, 7.5, 5.5 Hz, 1H), 2.78 (dd, *J* = 4.0, 4.5 Hz, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 136.9, 136.3, 134.1, 133.0, 132.9, 129.7, 128.7, 127.5, 126.9, 126.7, 125.9, 125.6, 125.6, 123.2, 120.8, 120.4, 117.8, 115.8,

115.6, 111.9, 58.5, 53.1, 40.1, 29.2; ^{19}F NMR (376 MHz, CD_2Cl_2) δ -114.6 (s, 1F); MS (ESI) m/z 421 ($M + H^+$), 443 ($M + Na^+$), 863 ($2M + Na^+$); ESI-HRMS Calcd for $C_{24}H_{21}FN_2O_2SNa$ ($M + Na^+$), 443.1205 Found: 443.1201.

(*S*)-1-(1H-Indol-3-yl)-2-(2-trifluoromethyl-phenylmethanesulfonyl)-1, 2, 3, 4-tetrahydro-isoquinoline (12). Compound **12** (37 mg, 84%) was prepared as a white foam using the same conditions as described for the compound **2**. $[\alpha]_D^{20}$ -150.2 (*c* 0.60 CH_2Cl_2); ^{1}H NMR (400 MHz, CD_2Cl_2) δ 8.30 (s, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.65 (d, *J* = 7.6 Hz, 1H), 7.56-7.49 (m, 2H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.39 (dt, *J* = 8.0, 1.8 Hz, 1H), 7.28-7.24 (m, 2H), 7.21-7.15 (m, 2H), 7.12-7.08 (m, 2H), 6.80 (d, *J* = 2.4 Hz, 1H), 6.48 (s, 1H), 4.10 (d, *J* = 14.0 Hz, 1H), 4.03 (d, *J* = 13.6 Hz, 1H), 3.87 (ddt, *J* = 14.4, 6.8, 1.6 Hz, 1H), 3.51 (ddd, *J* = 16.8, 4.8, 2.0 Hz, 1H), 3.20 (ddd, *J* = 18.0, 6.8, 6.4 Hz, 1H), 2.92 (dd, *J* = 4.0, 4.2 Hz, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 137.0. 136.0, 133.9, 133.6, 132.4, 129.8, 129.8, 129.0, 128.7, 128.2 (q, *J* = 1.9 Hz), 127.7, 127.0 (q, *J* = 5.8 Hz), 126.8, 126.7, 126.1, 126.0, 123.5, 123.1, 123.0, 120.7, 120.7, 120.4, 120.3, 117.4, 111.8, 55.0, 53.5, 39.7, 28.4; ^{19}F NMR (376 MHz, CD_2Cl_2) δ -58.7 (s, 3F); MS (ESI) m/z 471 ($M + H^+$), 488 ($M + NH_4^+$), 493 ($M + Na^+$); ESI-HRMS Calcd for $C_{25}H_{22}F_3N_2O_2S$ ($M + H^+$), 471.1354 Found: 471.1357.

(*S*)-1-(1H-Indol-3-yl)-2-(3-trifluoromethyl-phenylmethanesulfonyl)-1, 2, 3, 4-tetrahydro-isoquinoline (13). Compound **13** (39 mg, 86%) was prepared as a white foam using the same conditions as described for the compound **2**. $[\alpha]_D^{20}$ -62.3 (*c* 0.38 CH_2Cl_2); ^{1}H NMR (400 MHz, CD_2Cl_2) δ 8.38 (s, 1H), 7.82 (dd, *J* = 7.8, 1.2 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 1H), 7.44 (dt, *J* = 8.0, 0.8 Hz, 1H), 7.33 (t, *J* = 8.0 Hz, 1H), 7.26-7.20 (m, 4H), 7.16 (ddd, *J* = 8.0, 1.2, 1.2 Hz, 1H), 7.12 (td, *J* = 7.6, 2.0 Hz, 1H), 7.03-7.01 (m, 2H), 6.83 (d, *J* = 2.4 Hz, 1H), 6.46 (s, 1H), 3.98 (d, *J* = 13.2 Hz, 1H), 3.92 (d, *J* = 13.6 Hz, 1H), 3.50 (ddt, *J* = 13.6, 6.4, 1.2 Hz, 1H), 3.20 (ddd, *J* = 13.4, 4.0, 1.2 Hz, 1H), 3.02 (ddd, *J* = 17.8, 6.4, 4.8 Hz, 1H), 2.78 (ddd, *J* = 16.6, 0.8, 1.2 Hz, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 136.8, 136.2, 134.7, 133.9, 131.6, 130.9 (q, *J* = 32.3 Hz), 130.9, 129.6, 129.5, 128.7, 127.8 (q, *J* = 5.0 Hz), 127.5, 126.9, 126.7, 125.9, 125.6 (q, *J* = 3.6 Hz), 123.3, 123.3, 120.9, 120.2, 117.7, 112.0, 58.8, 52.9, 40.1, 29.3; ^{19}F NMR (376 MHz, CD_2Cl_2) δ -63.2 (s, 3F); MS (ESI) m/z 471 ($M + H^+$), 488 ($M + NH_4^+$), 493 ($M + Na^+$); ESI-HRMS Calcd for $C_{25}H_{22}F_3N_2O_2S$ ($M + H^+$), 471.1354 Found: 471.1346.

(*S*)-1-(1H-Indol-3-yl)-2-(4-trifluoromethyl-phenylmethanesulfonyl)-1, 2, 3, 4-tetrahydro-isoquinoline (14). Compound **14** (42 mg,

83%) was prepared as a white foam using the same conditions as described for the compound **2**. $[\alpha]_D^{20}$ -67.2 (*c* 0.30 CH_2Cl_2); ^1H NMR (400 MHz, CD_2Cl_2) δ 8.34 (s, 1H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.44-7.40 (m, 3H), 7.25-7.19 (m, 3H), 7.15-7.09 (m, 2H), 7.05 (d, *J* = 7.6 Hz, 2H), 6.99 (d, *J* = 8.0 Hz, 1H), 6.83 (d, *J* = 2.4 Hz, 1H), 6.38 (s, 1H), 4.01 (d, *J* = 13.6 Hz, 1H), 3.93 (d, *J* = 13.6 Hz, 1H), 3.60 (dd, *J* = 6.4, 6.8 Hz, 1H), 3.26 (ddd, *J* = 13.6, 4.0, 4.4 Hz, 1H), 3.03 (ddd, *J* = 17.6, 6.4, 4.8 Hz, 1H), 2.81 (dd, *J* = 2.8, 4.0 Hz, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 136.9, 136.2, 133.9, 133.8, 131.6, 129.6, 128.6, 127.5, 126.8, 126.8, 126.0, 125.8 (q, *J* = 3.4 Hz), 123.5, 123.2, 120.8, 120.4, 117.6, 112.0, 58.9, 53.2, 40.1, 29.1; ^{19}F NMR (376 MHz, CD_2Cl_2) δ -63.3 (s, 3F); MS (ESI) m/z 471 ($M + H^+$), 488 ($M + NH_4^+$), 493 ($M + Na^+$), 958 ($2M + NH_4^+$); ESI-HRMS Calcd for $C_{25}H_{22}F_3N_2O_2S$ ($M + H^+$), 471.1354 Found: 471.1346.

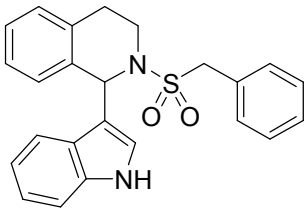
3-[(*S*)-Phenylmethanesulfonylamino-(2-vinyl-phenyl)-methyl]-indole-1-carboxylic acid *tert*-butyl ester (62). Compound **62** (230 mg, 94%) was prepared as a white foam using the same conditions as described for the compound **59**. $[\alpha]_D^{20}$ -15.5 (*c* 1.2 CH_2Cl_2); ^1H NMR (500 MHz, $CDCl_3$) δ 8.08 (d, *J* = 8.4 Hz, 1H), 7.59-7.54 (m, 2H), 7.41-7.35 (m, 3H), 7.35-7.27 (m, 3H), 7.25-7.19 (m, 3H), 7.12 (dd, *J* = 13.8, 8.4 Hz, 1H), 7.02 (d, *J* = 6.0 Hz, 2H), 6.31 (d, *J* = 6.8 Hz, 1H), 5.66 (d, *J* = 13.6 Hz, 1H), 5.39 (d, *J* = 8.8 Hz, 1H), 5.00 (d, *J* = 6.4 Hz, 1H), 4.07 (d, *J* = 14.0 Hz, 1H), 3.95 (d, *J* = 14.2 Hz, 1H), 1.65 (s, 9H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 149.8, 137.2, 136.9, 136.0, 134.0, 130.8, 128.8, 128.8, 128.7, 128.7, 128.5, 127.9, 127.5, 125.1, 125.0, 123.2, 121.1, 120.2, 118.6, 115.5, 84.4, 60.5, 51.9, 28.4; MS (ESI) m/z 520 ($M + NH_4^+$), 525 ($M + Na^+$); ESI-HRMS Calcd for $C_{29}H_{30}N_2O_4SNa$ ($M + Na^+$), 525.1824 Found: 525.1816.

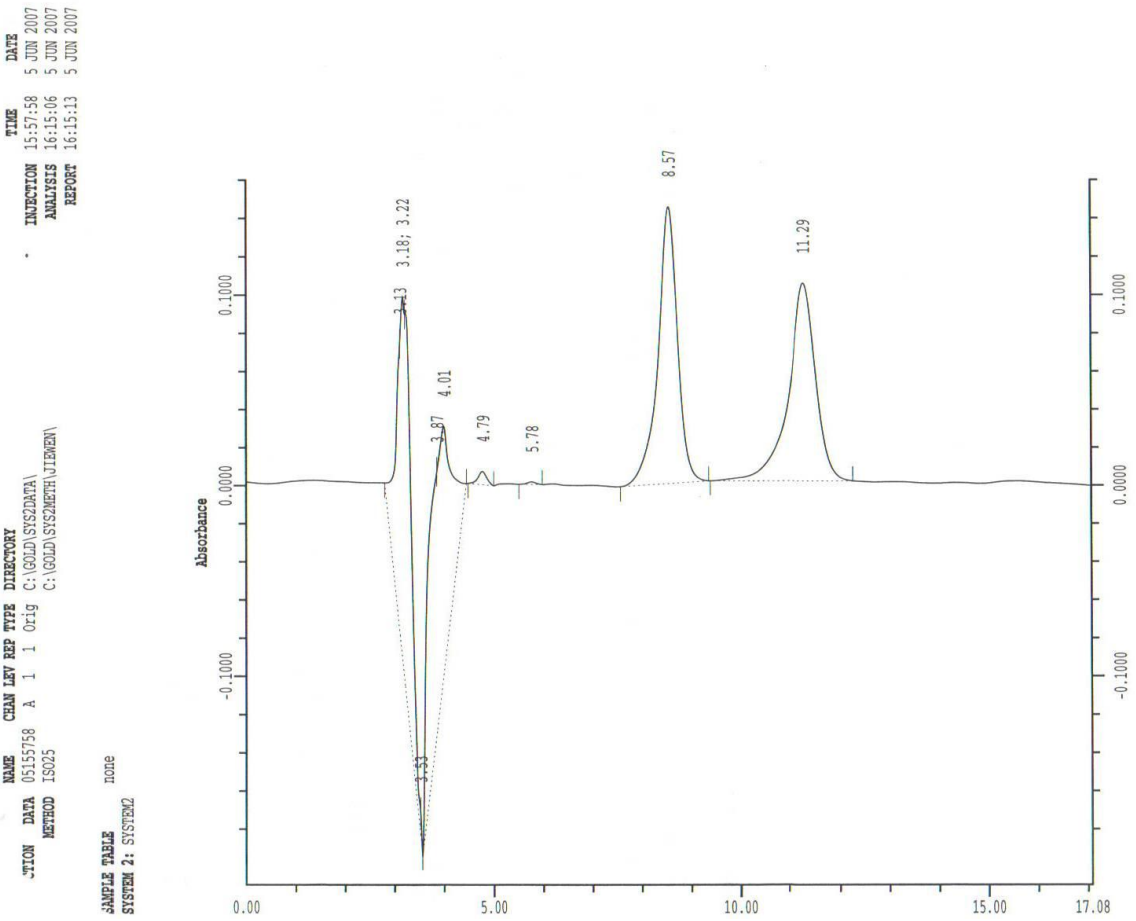
3-[(*S*)-(Allyl-phenylmethanesulfonyl-amino)-(2-vinyl-phenyl)-methyl]-indole-1-carboxylic acid *tert*-butyl ester (63). Compound **63** (215 mg, 94%) was prepared as a white foam using the same conditions as described for the compound **60**. $[\alpha]_D^{20}$ +6.7 (*c* 0.64 CH_2Cl_2); ^1H NMR (500 MHz, $CDCl_3$) δ 8.09 (d, *J* = 7.0 Hz, 1H), 7.59 (d, *J* = 7.5 Hz, 1H), 7.42 (s, 1H), 7.39-7.27 (m, 11H), 7.21-7.13 (m, 2H), 6.85 (s, 1H), 5.70 (dd, *J* = 17.3, 1.2 Hz, 1H), 5.39-5.34 (m, 2H), 4.90 (dd, *J* = 28.0, 13.5 Hz, 1H), 4.09-4.00 (m, 4H), 1.68 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$) δ 149.9, 137.8, 135.6, 134.9, 134.3, 131.1, 129.3, 129.0, 128.8, 128.8, 128.7, 128.1, 127.2, 126.4, 125.1, 123.1, 120.4, 119.8, 118.0, 115.4, 84.4, 60.7, 55.4, 49.2, 28.4; MS (ESI) m/z 560 ($M + NH_4^+$), 565 ($M + Na^+$); ESI-HRMS Calcd for $C_{32}H_{34}N_2O_4SNa$ ($M + Na^+$), 565.2137 Found: 565.2143.

3-((*S*)-2-Phenylmethanesulfonyl-2, 3-dihydro-1H-benzo[c]azepin-1-yl)-indole-1-carboxylic acid *tert*-butyl ester (64). Compound **64** (176 mg, 98%) was prepared as a white foam using the same conditions as described for the compound **61**. $[\alpha]_D^{20}$ -40.2 (*c* 0.5 CH_2Cl_2); ^{1}H NMR (500 MHz, CD_2Cl_2) δ 8.06 (d, *J* = 8.5 Hz, 1H), 7.86 (d, *J* = 7.5 Hz, 1H), 7.44-7.39 (m, 2H), 7.37-7.25 (m, 7H), 7.14-7.13 (m, 2H), 6.87 (s, 1H), 6.55 (s, 1H), 6.50 (d, *J* = 10.5 Hz, 1H), 5.61 (ddd, *J* = 12.3, 5.0, 2.0 Hz, 1H), 4.36 (ddd, *J* = 21.0, 5.0, 1.0 Hz, 1H), 3.94 (d, *J* = 13.5 Hz, 1H), 3.62 (d, *J* = 13.5 Hz, 1H), 3.58 (dt, *J* = 21.0, 2.5 Hz, 1H), 1.60 (s, 9H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 150.1, 138.8, 136.1, 135.3, 133.5, 131.2, 130.6, 130.4, 129.9, 129.4, 129.1, 128.9, 128.8, 128.5, 127.3, 125.4, 123.7, 119.9, 116.9, 115.8, 84.7, 59.6, 59.5, 46.8, 28.4; MS (ESI) m/z 532 ($M + NH_4^+$), 537 ($M + Na^+$); ESI-HRMS Calcd for $C_{30}H_{30}N_2O_4SNa$ ($M + Na^+$), 537.1824 Found: 537.1826.

(*S*)-1-(1H-Indol-3-yl)-2-phenylmethanesulfonyl-2, 3-dihydro-1H-benzo[c]azepine (16). Compound **16** (99 mg, 70%) was prepared as a white foam using the same conditions as described for the compound **15**. $[\alpha]_D^{20}$ -91.2 (*c* 0.35 CH_2Cl_2); ^{1}H NMR (500 MHz, CD_2Cl_2) δ 8.18 (s, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.40-7.36 (m, 4H), 7.32-7.22 (m, 5H), 7.19-7.14 (m, 3H), 6.62 (s, 1H), 6.49 (s, 1H), 6.48 (d, *J* = 14.0 Hz, 1H), 5.58 (ddd, *J* = 12.3, 4.8, 2.0 Hz, 1H), 4.31 (dd, *J* = 20.8, 5.0 Hz, 1H), 3.95 (d, *J* = 13.5 Hz, 1H), 3.65 (d, *J* = 14.0 Hz, 1H), 3.54 (dt, *J* = 20.5, 2.8 Hz, 1H); ^{13}C NMR (125 MHz, CD_2Cl_2) δ 140.0, 137.1, 135.3, 133.4, 131.2, 130.8, 130.5, 129.9, 129.7, 129.3, 128.9, 128.7, 128.7, 128.3, 126.1, 123.2, 120.9, 119.6, 112.5, 111.8, 59.9, 59.6, 46.5; MS (ESI) m/z 432 ($M + NH_4^+$); 437 ($M + Na^+$), 846 ($2M + NH_4^+$); ESI-HRMS Calcd for $C_{25}H_{22}N_2O_2SNa$ ($M + Na^+$), 437.1300 Found: 437.1296.

HPLC analysis of racemic compounds **1-2**.

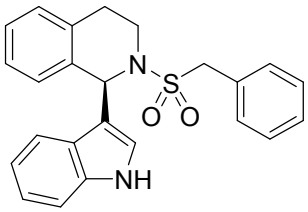


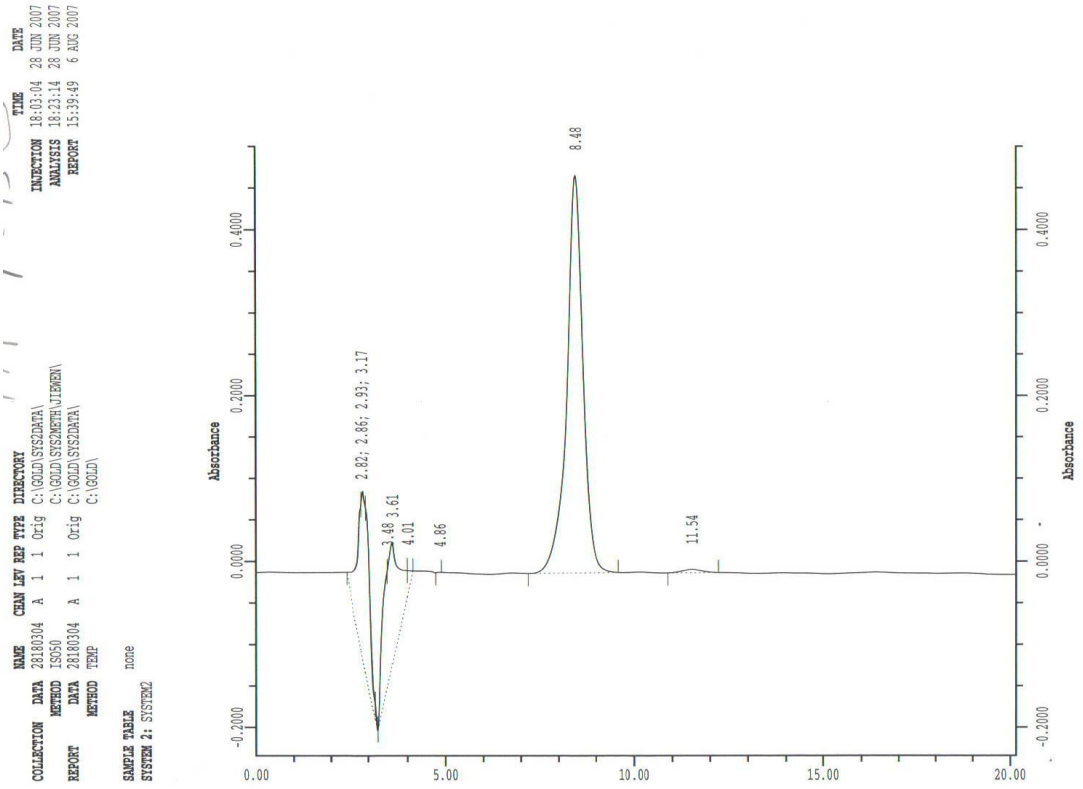


Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	3.125		0.0000	0.000	17.69033	0.15331	BNS	0.0000	0.0000	6.347	14.256
2	3.183		0.0000	0.000	18.47079	0.19304	SCS	0.0000	0.0000	6.627	17.950
3	3.225		0.0000	0.000	29.67637	0.19472	SNS	0.0000	0.0000	10.648	18.107
4	3.525		0.0000	0.000	0.16374	0.01186	SNB	0.0000	0.0000	0.059	1.102
5	3.867		0.0000	0.000	33.58060	0.13605	BNS	0.0000	0.0000	12.049	12.651
6	4.007		0.0000	0.000	43.97511	0.12933	SCB	0.0000	0.0000	15.778	12.027
7	4.788		0.0000	0.000	1.44213	0.00704	BCB	0.0000	0.0000	0.517	0.655
8	5.777		0.0000	0.000	0.26262	0.00130	BCB	0.0000	0.0000	0.094	0.121
9	8.566		0.0000	0.000	66.25912	0.14494	BCB	0.0000	0.0000	23.774	13.477
10	11.286		0.0000	0.000	67.18939	0.10382	BCB	0.0000	0.0000	24.107	9.654
TOTALS			0.0000	0.000	278.71020	1.07542				100.000	100.000

Average Efficiency: 0

HPLC analysis of chiral compound **1**.





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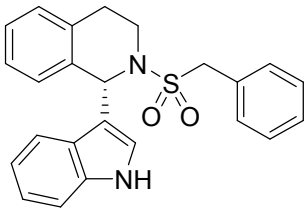
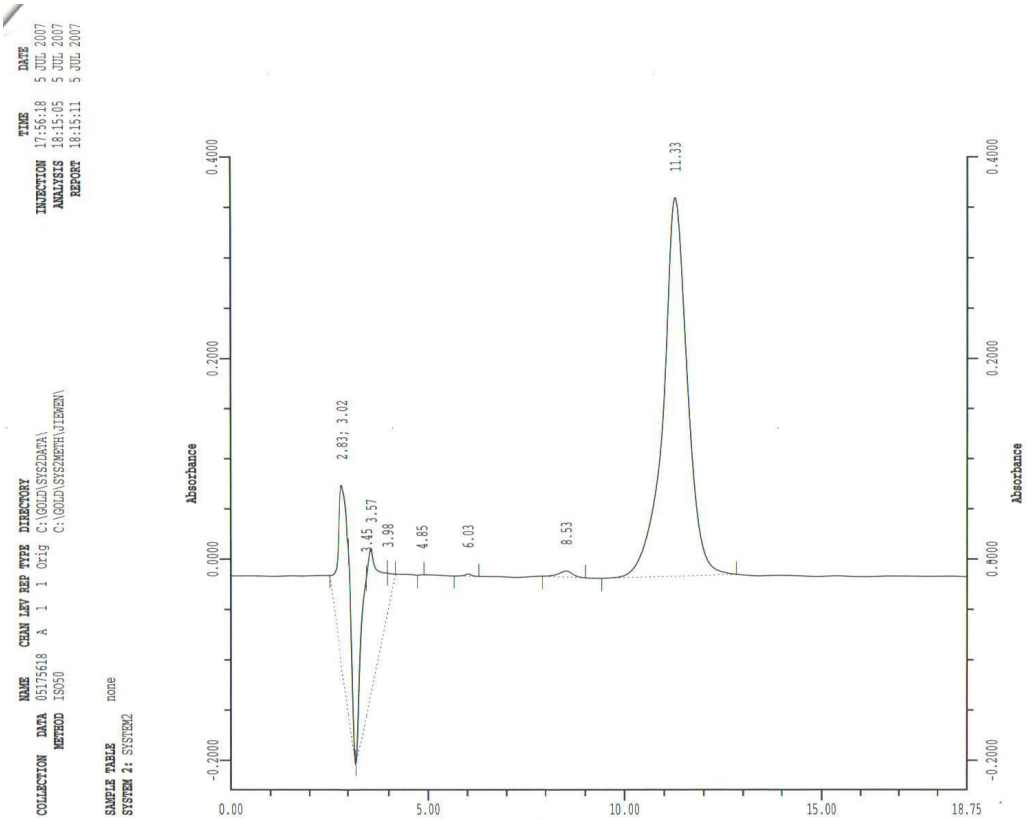
1	2.817		0.0000	0.000	24.87891	0.17499	BNS	0.0000	0.0000	6.363	12.535
2	2.863		0.0000	0.000	22.97543	0.20279	SCS	0.0000	0.0000	5.876	14.527
3	2.933		0.0000	0.000	24.88619	0.19870	SNS	0.0000	0.0000	6.364	14.234
4	3.167		0.0000	0.000	0.36232	0.01796	SNB	0.0000	0.0000	0.093	1.286
5	3.483		0.0000	0.000	24.11655	0.13933	BNS	0.0000	0.0000	6.167	9.980
6	3.610		0.0000	0.000	49.47658	0.14769	SCS	0.0000	0.0000	12.654	10.580
7	4.008		0.0000	0.000	2.21926	0.03157	SNB	0.0000	0.0000	0.567	2.261
8	4.861		0.0000	0.000	0.03157	0.00030	BCB	0.0000	0.0000	0.008	0.022
9	8.480		0.0000	0.000	239.62393	0.47865	BCB	0.0000	0.0000	61.283	34.287
Q 10	11.542		0.0000	0.000	2.44277	0.00402	BCB	0.0000	0.0000	0.625	0.288
TOTALS			0.0000	0.000	391.01351	1.39600				100.000	100.000

Average Efficiency: 0

HPLC analysis of chiral compound **2**.





Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
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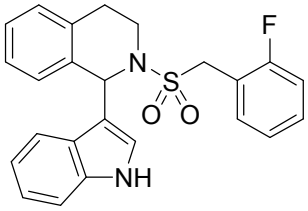
2	3.017		0.0000	0.000	12.74457	0.16120	SNB	0.0000	0.0000	3.067	15.445
3	3.450		0.0000	0.000	22.84456	0.13732	BNS	0.0000	0.0000	5.497	13.158
4	3.567		0.0000	0.000	51.44215	0.14427	SCS	0.0000	0.0000	12.379	13.823
5	3.983		0.0000	0.000	3.77932	0.03959	SNB	0.0000	0.0000	0.910	3.794
6	4.849		0.0000	0.000	0.03435	0.00029	BCB	0.0000	0.0000	0.008	0.028
7	6.031		0.0000	0.000	0.45542	0.00222	BCB	0.0000	0.0000	0.109	0.212
8	8.532		0.0000	0.000	2.58073	0.00614	BCB	0.0000	0.0000	0.621	0.589
9	11.334		0.0000	0.000	268.19446	0.37654	BCB	0.0000	0.0000	64.538	36.078
TOTALS			0.0000	0.000	415.56361	1.04367				100.000	100.000

Average Efficiency: 0

HPLC analysis of racemic compounds **3** and 6.



Area % Report

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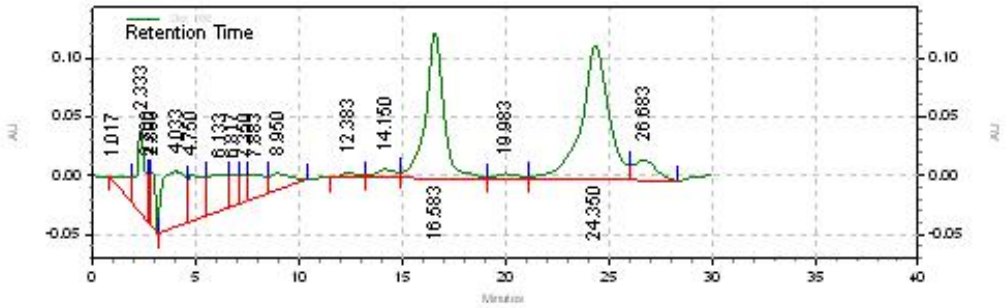

Det 166 Results

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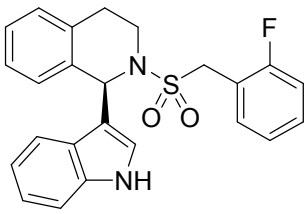
2.883	709015	2.02	46159	7.28
4.033	3654932	10.43	47015	7.42
4.750	1813638	5.18	40066	6.32
6.133	2054156	5.86	30362	4.79
6.817	839616	2.40	25792	4.07
7.350	555821	1.59	22232	3.51
7.883	987711	2.82	19027	3.00
8.950	975368	2.78	13851	2.19
12.383	221711	0.63	4273	0.67
14.150	490737	1.40	7204	1.14
16.583	7539196	21.52	124045	19.57
19.983	337380	0.96	4284	0.68
24.350	10553867	30.12	113815	17.96
26.683	1306508	3.73	17335	2.73
Totals	35037713	100.00	633877	100.00

HPLC analysis of chiral compound **3**.



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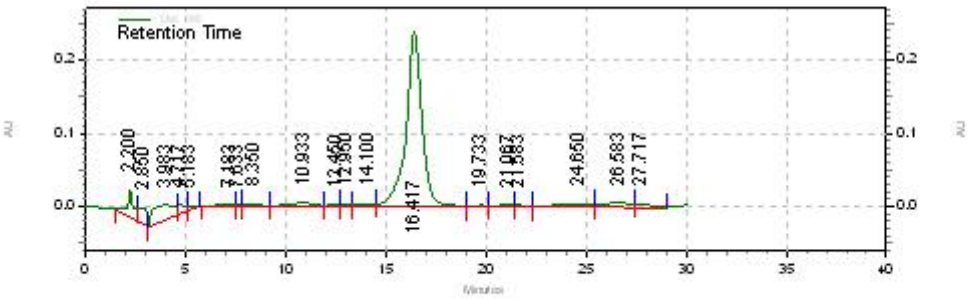

Det 166 Results

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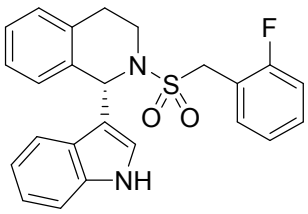
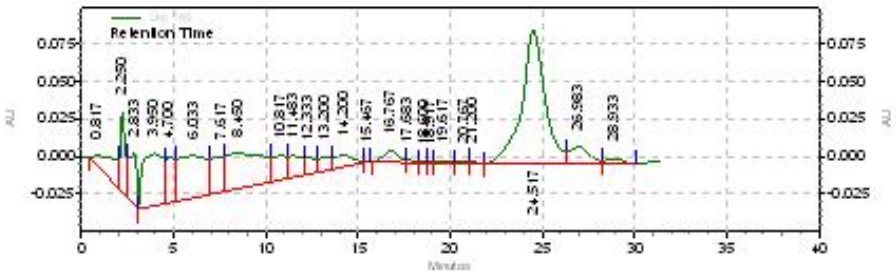
4.717	320081	1.62	12099	3.18
5.183	105979	0.54	5792	1.52
7.183	133950	0.68	2156	0.57
7.633	34202	0.17	2040	0.54
8.350	170582	0.86	2924	0.77
10.933	448278	2.27	4441	1.17
12.450	101476	0.51	2930	0.77
12.950	113437	0.57	3005	0.79
14.100	271478	1.37	4379	1.15
16.417	13286360	67.18	238325	62.55
19.733	118736	0.60	2139	0.56
21.067	169765	0.86	2492	0.65
21.583	89885	0.45	2490	0.65
24.650	583241	2.95	4846	1.27
26.583	606063	3.06	6092	1.60
27.717	236624	1.20	4710	1.24
Totals	19777970	100.00	381008	100.00

HPLC analysis of chiral compound **6**.



Area % Report

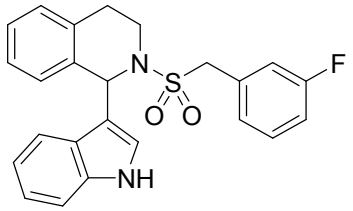
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-126-1.dat
Method: C:\32Karat Projects\Default\Method System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 6:50:05 PM
Printed: 4/17/2008 7:23:05 PM



Det 166 Results

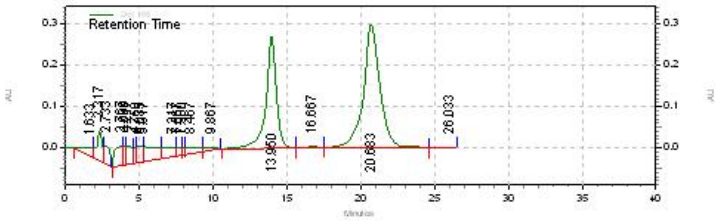
Time	Area	Area %	Height	Height %
0.817	935541	3.52	6426	1.55
2.250	1056015	3.97	53254	12.84
2.833	916602	3.45	34064	8.21
3.950	2716553	10.22	35133	8.47
4.700	914472	3.44	31253	7.54
6.033	2943139	11.07	28022	6.76
7.617	1186322	4.46	23619	5.69
8.450	3167570	11.91	23581	5.69
10.817	929515	3.50	15920	3.84
11.483	672441	2.53	14092	3.40
12.333	417164	1.57	10803	2.60
13.200	413266	1.55	8872	2.14
14.200	589307	2.22	8271	1.99
15.467	14808	0.06	899	0.22
16.767	397578	1.50	7610	1.83
17.683	53828	0.20	1600	0.39
18.600	22650	0.09	804	0.19
18.917	13824	0.05	883	0.21
19.617	87829	0.33	1515	0.37
20.767	73343	0.28	1939	0.47
21.200	62045	0.23	2107	0.51
24.517	7882046	29.64	88804	21.41
26.983	891849	3.35	11432	2.76
28.933	232305	0.87	3862	0.93
Totals	26590012	100.00	414765	100.00

HPLC analysis of racemic compound **4** and **7**.



Area % Report

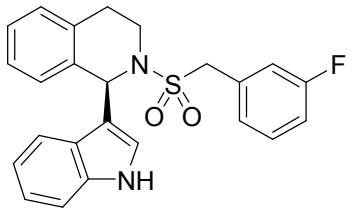
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-127-q-3-117m-1.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 9:00:21 PM
Printed: 4/17/2008 9:27:32 PM



Det 166 Results

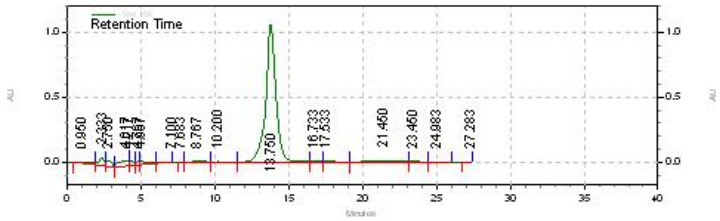
Time	Area	Area %	Height	Height %
1.633	989090	1.88	20521	1.96
2.317	1812320	3.45	72353	6.91
2.733	1218555	2.32	44706	4.27
3.767	1716314	3.26	47709	4.55
4.000	588366	1.12	45507	4.34
4.217	1307678	2.49	44836	4.28
4.750	533970	1.02	39597	3.78
5.083	941729	1.79	37554	3.59
5.317	2323173	4.42	35799	3.42
7.317	1435044	2.73	22546	2.15
7.567	466584	0.89	21068	2.01
7.900	252399	0.48	18502	1.77
8.467	959150	1.82	15321	1.46
9.867	413822	0.79	6307	0.60
13.950	13470044	25.62	270440	25.82
16.667	310829	0.59	3503	0.33
20.683	23802314	45.27	300645	28.70
26.033	40122	0.08	521	0.05
Totals	52581503	100.00	1047435	100.00

HPLC analysis of chiral compound **4**.



Area % Report

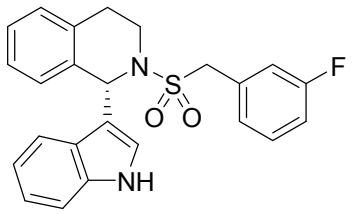
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-117-1.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 7:59:05 PM
Printed: 4/17/2008 8:27:12 PM



Det 166 Results

Time	Area	Area %	Height	Height %
0.950	1038777	1.69	9672	0.73
2.333	1622349	2.63	67199	5.06
2.750	1070111	1.74	37738	2.84
4.017	1942929	3.15	36371	2.74
4.217	885712	1.44	33523	2.53
4.767	390403	0.63	25658	1.93
4.967	1111930	1.80	22910	1.73
7.100	369044	0.60	378	0.03
7.683	4643	0.01	319	0.02
8.767	141105	0.23	1975	0.15
10.200	135509	0.22	1939	0.15
13.750	50989567	82.73	1063977	80.16
16.733	240936	0.39	5138	0.39
17.533	235143	0.38	4009	0.30
21.450	1218965	1.98	12535	0.94
23.450	137915	0.22	2272	0.17
24.983	90457	0.15	1441	0.11
27.283	5627	0.01	188	0.01
Totals	61631122	100.00	1327242	100.00

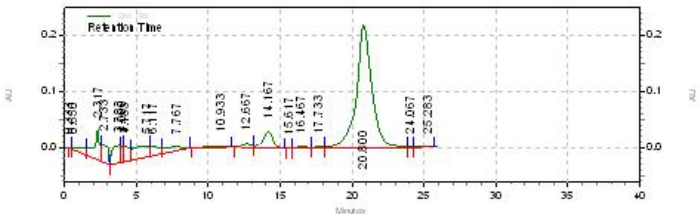
HPLC analysis of chiral compound **7**.



7

Area % Report

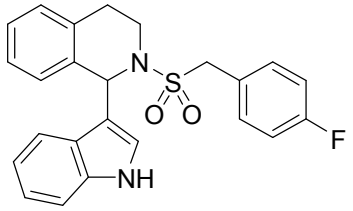
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-127-1.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 8:28:55 PM
Printed: 4/17/2008 8:56:13 PM

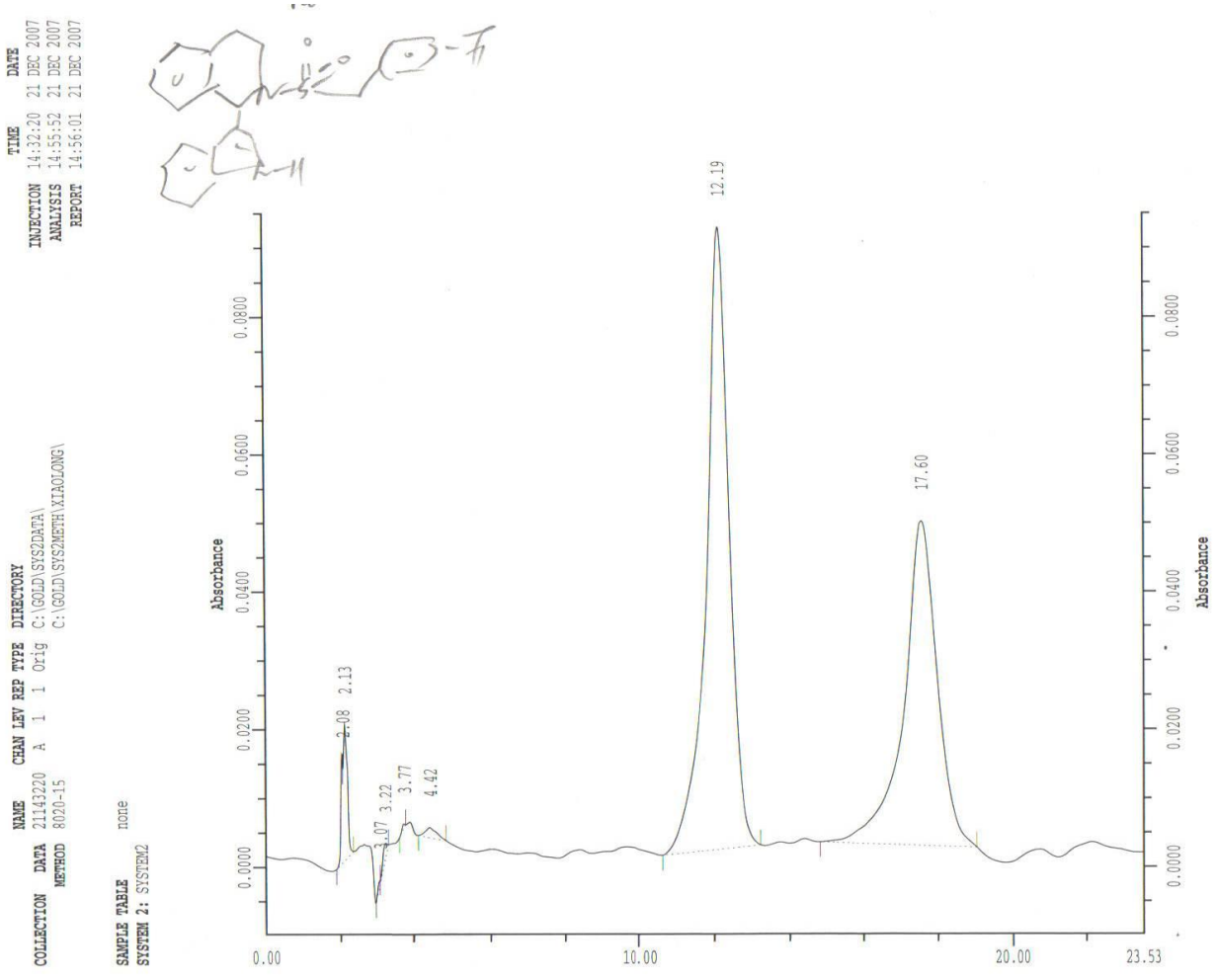


Det 166 Results

Time	Area	Area %	Height	Height %
0.333	15568	0.06	947	0.20
0.650	510215	1.91	4372	0.90
2.317	1485202	5.55	53527	11.04
2.733	951022	3.55	32323	6.66
3.783	1183140	4.42	31803	6.56
4.000	406445	1.52	29346	6.05
4.183	758928	2.84	27791	5.73
5.717	1546615	5.78	18958	3.91
6.117	753739	2.82	16868	3.48
7.767	750086	2.80	7830	1.61
10.933	181937	0.68	2264	0.47
12.667	282038	1.05	6087	1.26
14.167	1346061	5.03	28802	5.94
15.617	1349	0.01	98	0.02
16.467	115953	0.43	2272	0.47
17.733	96492	0.36	2087	0.43
20.800	16331083	61.03	218675	45.09
24.067	7214	0.03	346	0.07
25.283	34636	0.13	623	0.13
Totals	26757723	100.00	485019	100.00

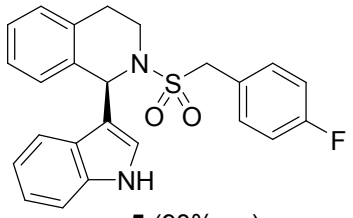
HPLC analysis of racemic compounds **5**, **8**.



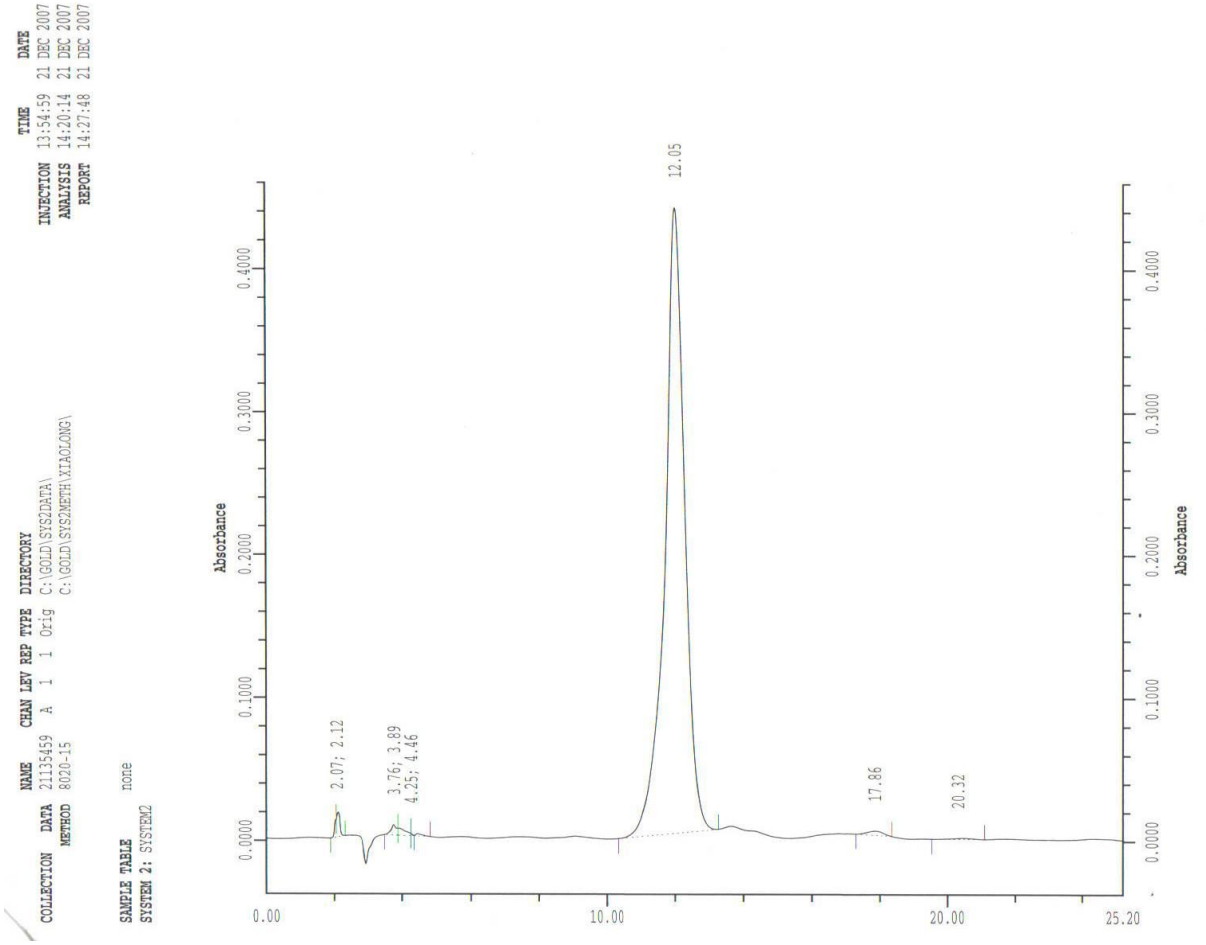


Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	2.075		0.0000	0.000	0.99040	0.01363	BNS	0.0000	0.0000	0.842	7.759
2	2.133		0.0000	0.000	2.42365	0.01962	SCB	0.0000	0.0000	2.061	11.170
3	3.067		0.0000	0.000	0.08019	0.00057	BNS	0.0000	0.0000	0.068	0.322
4	3.219		0.0000	0.000	0.33542	0.00212	SCB	0.0000	0.0000	0.285	1.208
5	3.769		0.0000	0.000	0.06748	0.00065	BCB	0.0000	0.0000	0.057	0.372
6	4.424		0.0000	0.000	0.50605	0.00149	BCB	0.0000	0.0000	0.431	0.850
7	12.191		0.0000	0.000	63.92815	0.09040	BCB	0.0000	0.0000	54.354	51.470
8	17.596		0.0000	0.000	49.28283	0.04716	BCB	0.0000	0.0000	41.902	26.849
TOTALS			0.0000	0.000	117.61417	0.17563				100.000	100.000

HPLC analysis of chiral compound **5**.



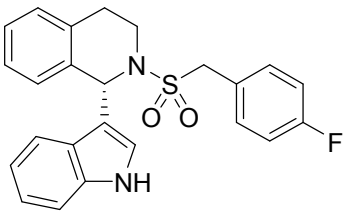
5 (98% *ee*)



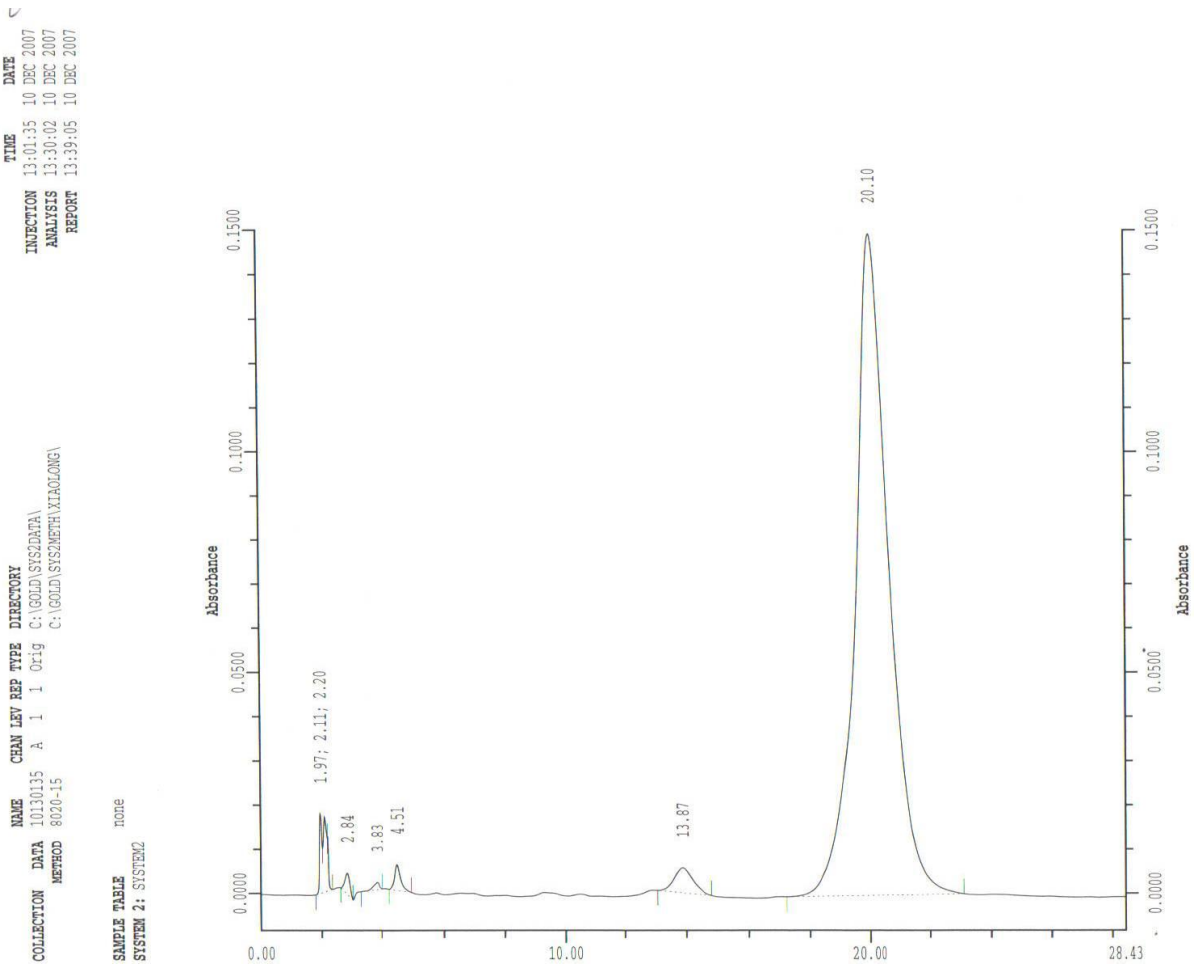
Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	2.067		0.0000	0.000	0.79605	0.01247	BNS	0.0000	0.0000	0.264	2.567
2	2.122		0.0000	0.000	1.87911	0.01724	SCB	0.0000	0.0000	0.625	3.548
3	3.763		0.0000	0.000	1.40143	0.00717	BCS	0.0000	0.0000	0.465	1.476
4	3.892		0.0000	0.000	1.21752	0.00476	SNS	0.0000	0.0000	0.405	0.980
5	4.250		0.0000	0.000	0.07325	0.00157	SNV	0.0000	0.0000	0.024	0.324
6	4.457		0.0000	0.000	0.39914	0.00168	VCB	0.0000	0.0000	0.133	0.346
Q 7	12.047		0.0000	0.000	293.01859	0.43744	BCB	0.0000	0.0000	97.351	90.029
Q 8	17.858		0.0000	0.000	1.63010	0.00288	BCB	0.0000	0.0000	0.541	0.592
Q 9	20.317		0.0000	0.000	0.57662	0.00067	BCB	0.0000	0.0000	0.192	0.138
TOTALS			0.0000	0.000	300.99181	0.48589				100.000	100.000

Average Efficiency: 0

HPLC analysis of chiral compound **8**.



8 (96% *ee*)



Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	1.971		0.0000	0.000	1.75344	0.01815	BCV	0.0000	0.0000	0.866	8.457
2	2.111		0.0000	0.000	2.22709	0.01701	VCS	0.0000	0.0000	1.099	7.926
3	2.200		0.0000	0.000	0.42113	0.01185	SNB	0.0000	0.0000	0.208	5.525
4	2.841		0.0000	0.000	0.91461	0.00482	BCB	0.0000	0.0000	0.451	2.247
5	3.830		0.0000	0.000	0.37544	0.00163	BCB	0.0000	0.0000	0.186	0.762
6	4.512		0.0000	0.000	1.25976	0.00587	BCB	0.0000	0.0000	0.622	2.734
Q 7	13.873		0.0000	0.000	4.14572	0.00567	BCB	0.0000	0.0000	2.046	2.641
Q 8	20.103		0.0000	0.000	191.47437	0.14958	BCB	0.0000	0.0000	94.522	69.708
TOTALS			0.0000	0.000	202.57155	0.21458				100.000	100.000

Average Efficiency: 0

HPLC analysis of racemic compounds **9**, **12.**

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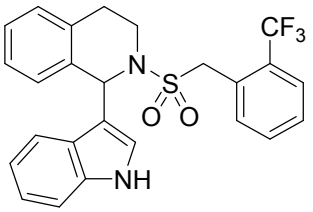

Area % Report

Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-115A-Q-3-130M-1.dat
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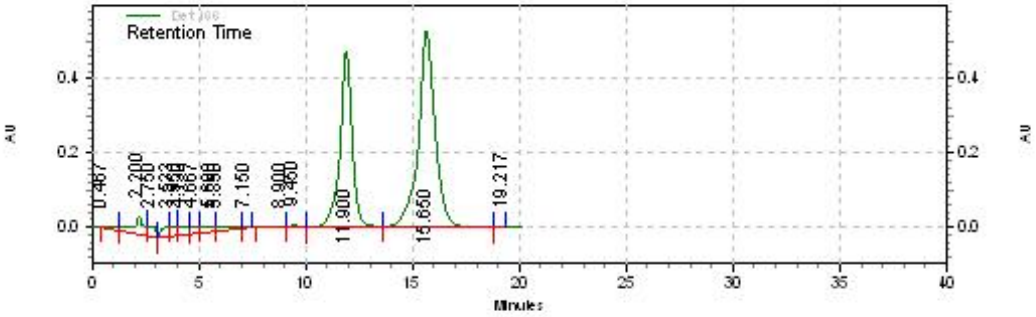
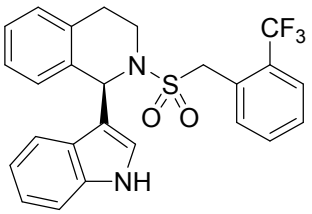
Acquired: 4/17/2008 5:51:35 PM
Printed: 4/17/2008 6:13:00 PM



Det 166 Results

Time	Area	Area %	Height	Height %
0.467	195569	0.36	899	0.07
2.200	1718215	3.14	47746	3.93
2.750	643420	1.17	27299	2.25
3.533	690420	1.26	25533	2.10
3.950	623457	1.14	25373	2.09
4.133	658976	1.20	24211	1.99
4.667	506876	0.93	19734	1.62
5.600	666345	1.22	13703	1.13
5.850	620229	1.13	12014	0.99
7.150	47761	0.09	2565	0.21
8.900	139252	0.25	3642	0.30
9.450	168326	0.31	5668	0.47
11.900	19030396	34.75	475841	39.18
15.650	29060686	53.06	530206	43.66
19.217	867	0.00	46	0.00
Totals	54770795	100.00	1214480	100.00

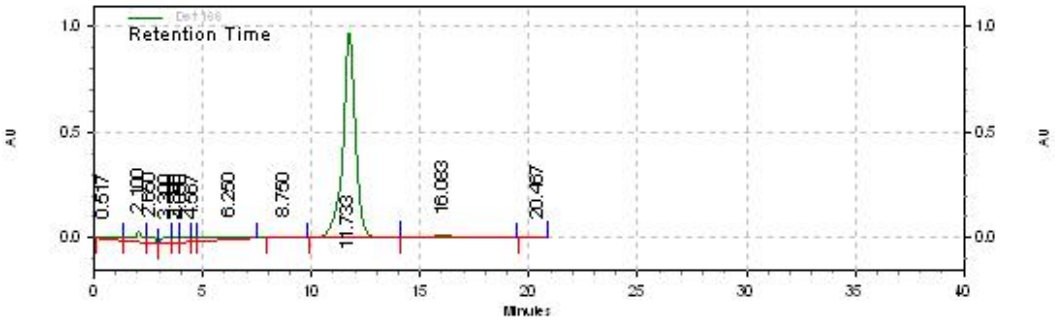
HPLC analysis of chiral compound **9**.



9 (97.1% *ee*)

Area % Report

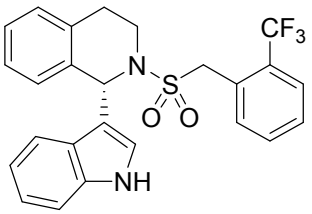
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-115A-1.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 5:23:41 PM
Printed: 4/17/2008 5:45:22 PM



Det 166 Results

Time	Area	Area %	Height	Height %
0.517	500820	1.06	5209	0.44
2.100	1498945	3.16	49667	4.22
2.650	743690	1.57	28692	2.44
3.300	809539	1.71	26033	2.21
3.800	565486	1.19	24127	2.05
4.050	554253	1.17	21662	1.84
4.567	322070	0.68	19038	1.62
6.250	1718826	3.62	10724	0.91
8.750	134227	0.28	4316	0.37
11.733	39119542	82.42	971712	82.58
16.083	1449152	3.05	14399	1.22
20.467	44563	0.09	1158	0.10
Totals	47461113	100.00	1176737	100.00

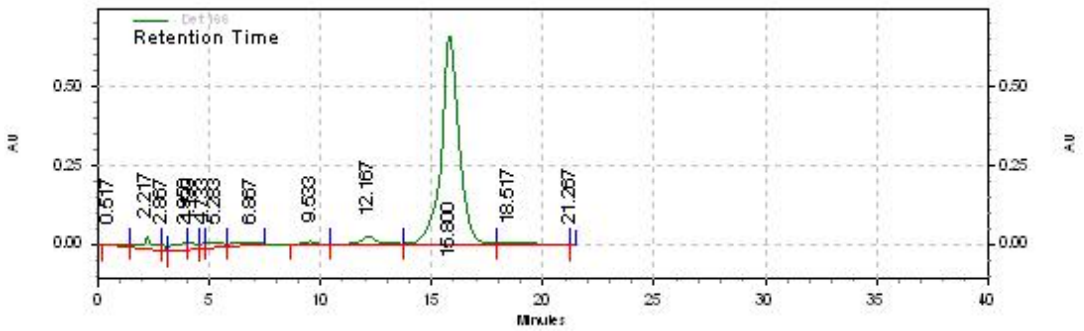
HPLC analysis of chiral compound **12**.



12 (93.5% *ee*)

Area % Report

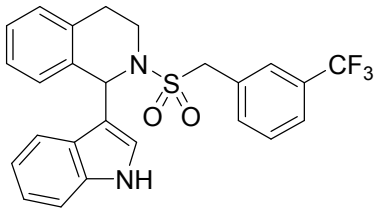
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-130-1.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 4:59:06 PM
Printed: 4/17/2008 5:21:10 PM



Det 166 Results

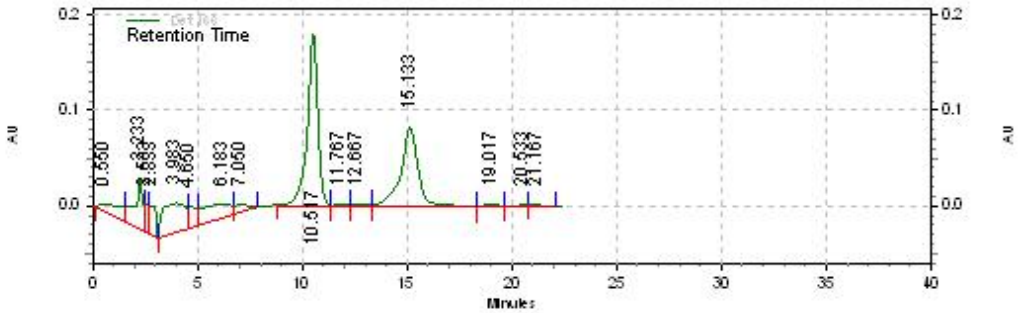
Time	Area	Area %	Height	Height %
0.517	350176	0.80	2592	0.31
2.217	1409359	3.22	38485	4.62
2.867	287097	0.66	20160	2.42
3.950	1055447	2.41	21176	2.54
4.133	562678	1.29	19936	2.39
4.733	226322	0.52	15728	1.89
5.283	718598	1.64	12677	1.52
6.867	494596	1.13	4084	0.49
9.533	260153	0.59	7780	0.93
12.167	1254254	2.87	25121	3.01
15.800	36547704	83.52	661244	79.30
18.517	587942	1.34	4410	0.53
21.267	6461	0.01	488	0.06
Totals	43760787	100.00	833881	100.00

HPLC analysis of racemic compounds **10**, **13.**



Area % Report

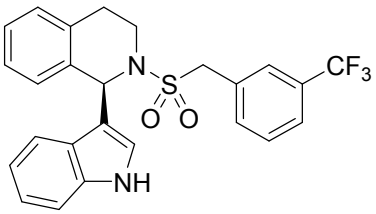
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-92-q-3-128m-80-20-1.5ml-min-40min-2.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-97-3-1ml-40total min-3.met
Acquired: 4/17/2008 1:59:22 PM
Printed: 6/4/2008 12:39:03 PM



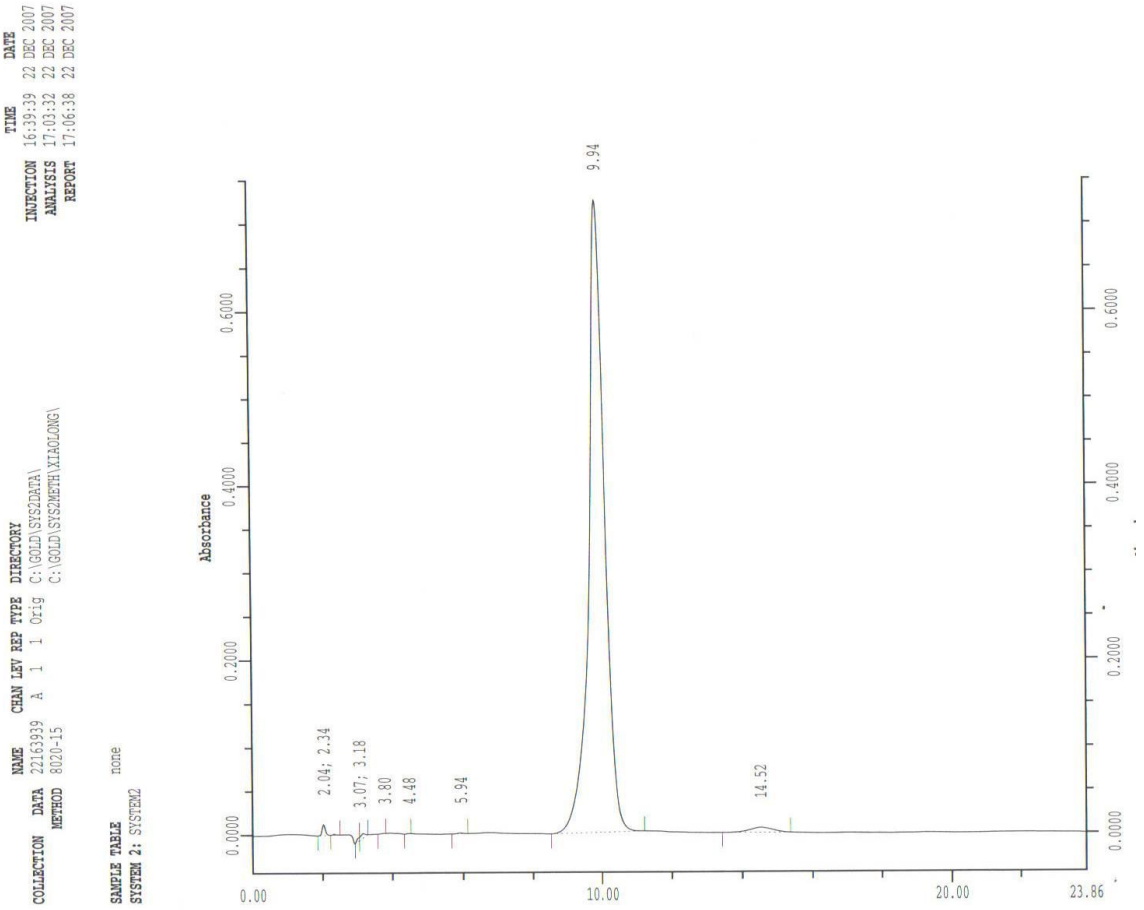
Det 166 Results

Time	Area	Area %	Height	Height %
0.550	772170	3.94	6496	1.39
2.233	1369280	6.99	51563	11.06
2.533	376450	1.92	29438	6.31
2.833	689954	3.52	31291	6.71
3.983	2299001	11.74	30758	6.59
4.650	636511	3.25	22938	4.92
6.183	1460064	7.45	13867	2.97
7.050	337744	1.72	7154	1.53
10.517	6314291	32.24	179261	38.44
11.767	73286	0.37	1964	0.42
12.667	100810	0.51	1977	0.42
15.133	4842269	24.72	83431	17.89
19.017	136889	0.70	2616	0.56
20.533	88770	0.45	1691	0.36
21.167	89461	0.46	1945	0.42
Totals	19586950	100.00	466390	100.00

HPLC analysis of chiral compound **10.**



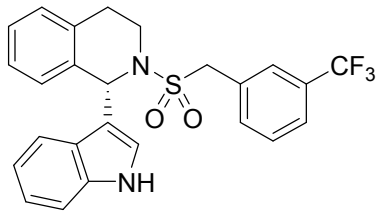
10 (97.7% *ee*)



Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	2.040		0.0000	0.000	1.36579	0.01260	BCV	0.0000	0.0000	0.347	1.669
2	2.340		0.0000	0.000	0.11748	0.00118	VCB	0.0000	0.0000	0.030	0.157
3	3.067		0.0000	0.000	0.38994	0.00401	BNS	0.0000	0.0000	0.098	0.531
4	3.179		0.0000	0.000	0.80998	0.00503	SCB	0.0000	0.0000	0.206	0.667
5	3.798		0.0000	0.000	0.02792	0.00019	BCB	0.0000	0.0000	0.007	0.026
6	4.480		0.0000	0.000	0.02992	0.00025	BCB	0.0000	0.0000	0.008	0.033
7	5.940		0.0000	0.000	0.16186	0.00093	BCB	0.0000	0.0000	0.041	0.122
Q 8	9.937		0.0000	0.000	386.63806	0.72488	BCB	0.0000	0.0000	98.142	96.042
Q 9	14.523		0.0000	0.000	4.41528	0.00568	BCB	0.0000	0.0000	1.121	0.753
TOTALS			0.0000	0.000	393.95624	0.75476				100.000	100.000

Average Efficiency: 0

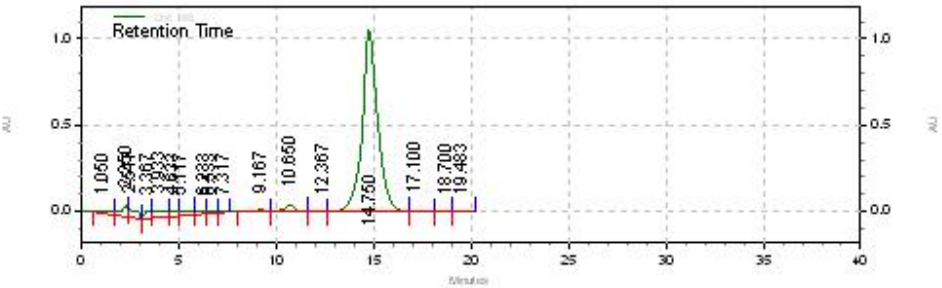
HPLC analysis of chiral compound **13.**



13 (93.0% *ee*)

Area % Report

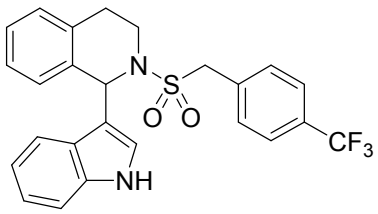
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-128m-80-20-1.5ml-min-40min---2.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 2:35:34 PM
Printed: 4/17/2008 2:57:22 PM



Det 166 Results

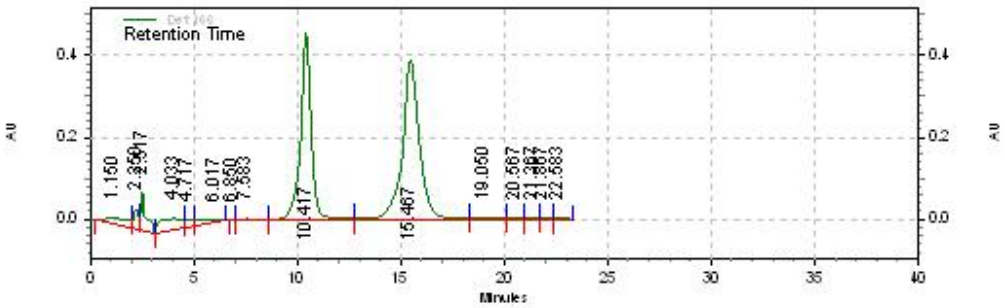
Time	Area	Area %	Height	Height %
1.050	643273	0.92	8814	0.64
2.250	1454420	2.09	66756	4.81
2.517	1369208	1.97	43787	3.16
3.367	935907	1.34	40575	2.92
3.933	1967309	2.83	37655	2.71
4.633	760301	1.09	29232	2.11
5.117	1086556	1.56	23947	1.73
6.233	459335	0.66	13364	0.96
6.533	330093	0.47	10585	0.76
7.317	131737	0.19	3450	0.25
9.167	433717	0.62	12350	0.89
10.650	1500246	2.16	40555	2.92
12.367	42399	0.06	961	0.07
14.750	58215809	83.65	1049664	75.66
17.100	168289	0.24	3459	0.25
18.700	30311	0.04	839	0.06
19.483	62923	0.09	1343	0.10
Totals	69591833	100.00	1387336	100.00

HPLC analysis of chiral compound **11, 14.**



Area % Report

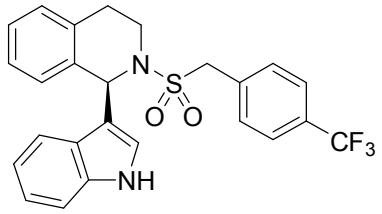
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-115b-q-3-129m-80-20-1.5ml-min-40min----2.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-97-3-1ml-40total min-3.met
Acquired: 4/17/2008 4:32:12 PM
Printed: 6/4/2008 1:43:02 PM



Det 166 Results

Time	Area	Area %	Height	Height %
1.150	1163977	2.52	12266	1.15
2.250	766271	1.66	49680	4.66
2.517	1641660	3.56	92943	8.73
4.033	2104433	4.56	27200	2.55
4.717	428531	0.93	18257	1.71
6.017	757962	1.64	7022	0.66
6.850	7231	0.02	613	0.06
7.583	103531	0.22	1859	0.17
10.417	16278627	35.28	454726	42.70
15.467	22272168	48.27	389191	36.54
19.050	342777	0.74	4497	0.42
20.567	87716	0.19	1906	0.18
21.367	90119	0.20	2166	0.20
21.867	52273	0.11	1728	0.16
22.583	44057	0.10	952	0.09
Totals	46141333	100.00	1065006	100.00

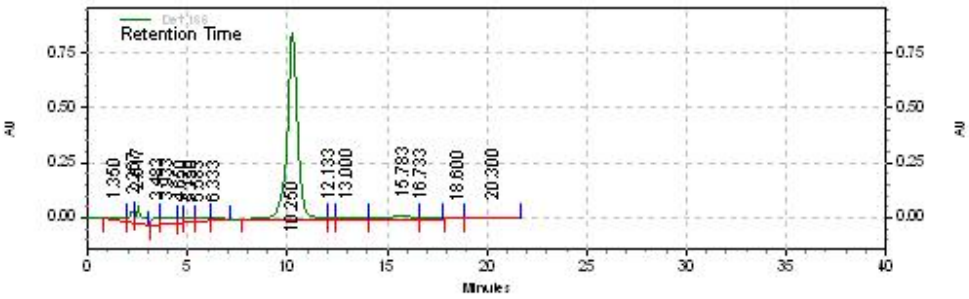
HPLC analysis of chiral compound **11.**



11 (96.3% *ee*)

Area % Report

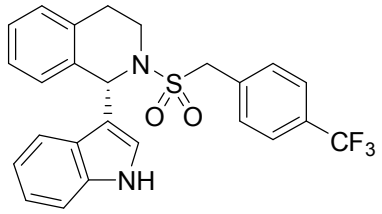
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-115b-80-20-1.5ml-min-40min---2.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 3:38:13 PM
Printed: 4/17/2008 4:00:51 PM



Det 166 Results

Time	Area	Area %	Height	Height %
1.350	620768	1.56	9166	0.80
2.267	823472	2.07	52297	4.58
2.517	1492090	3.74	76713	6.72
3.483	878243	2.20	29843	2.61
3.933	1301062	3.26	28146	2.47
4.650	316539	0.79	21022	1.84
5.150	594945	1.49	17092	1.50
5.583	591913	1.49	14166	1.24
6.333	321475	0.81	8534	0.75
10.250	30707077	77.05	849535	74.44
12.133	116232	0.29	4903	0.43
13.000	412510	1.04	5658	0.50
15.783	1193758	3.00	16145	1.41
16.733	146566	0.37	4111	0.36
18.600	36220	0.09	1083	0.09
20.300	301748	0.76	2861	0.25
Totals	39854618	100.00	1141275	100.00

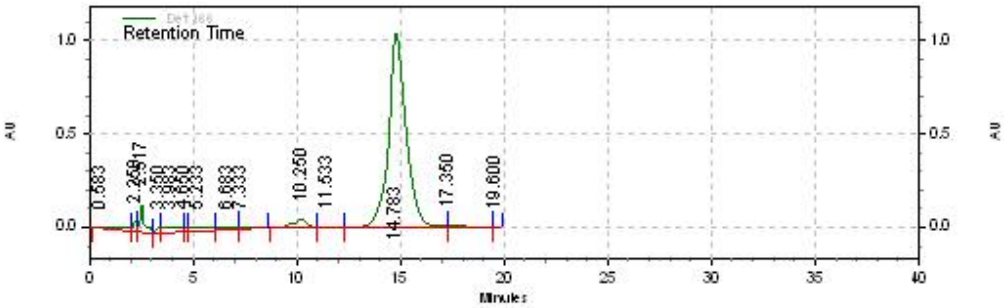
HPLC analysis of chiral compound **14.**



14 (91.5% *ee*)

Area % Report

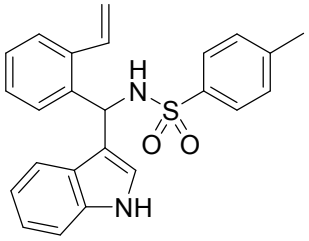
Data File: C:\Documents and Settings\Administrator\My Documents\qiu data\q-3-129-80-20-1.5ml-min-40min---2.dat
Method: C:\32Karat\Projects\Default\Method\System 2 Methods\qiu\Method5-80-20-1.5ml-40total min-2.met
Acquired: 4/17/2008 3:14:49 PM
Printed: 4/17/2008 3:35:25 PM



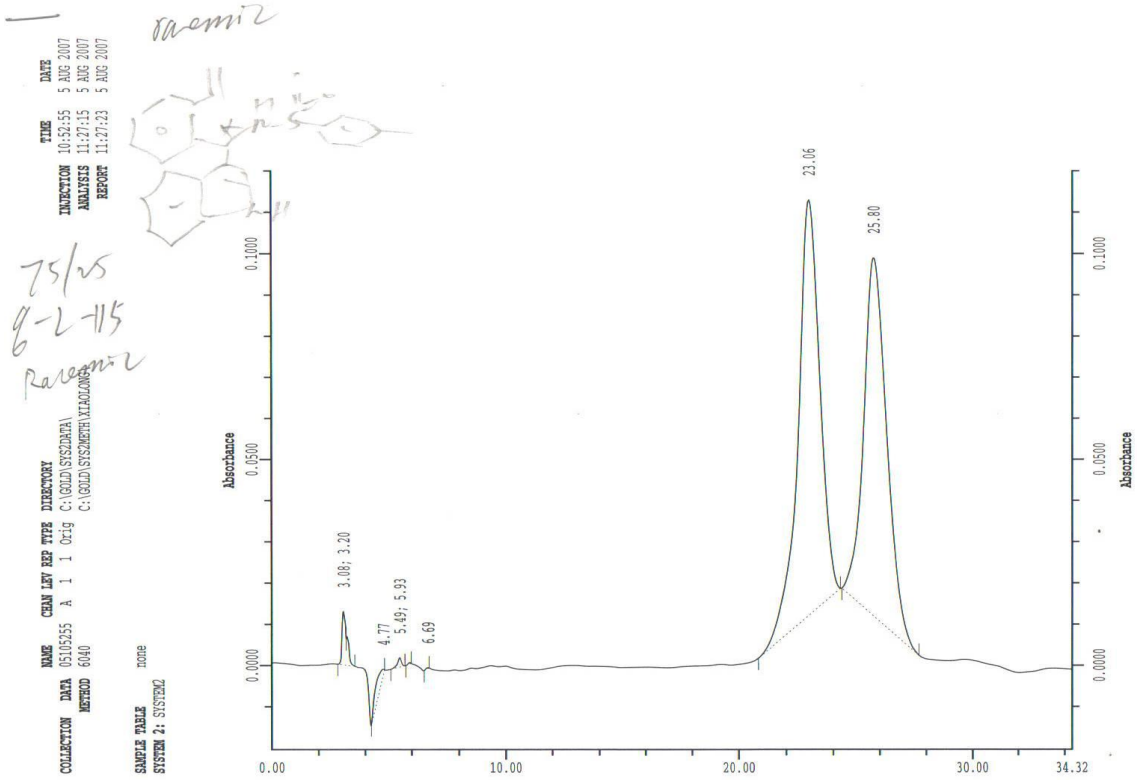
Det 166 Results

Time	Area	Area %	Height	Height %
0.583	1281679	1.75	7158	0.49
2.250	758752	1.04	53421	3.69
2.517	2126976	2.91	150519	10.40
3.350	469328	0.64	32252	2.23
3.983	1930490	2.64	31027	2.14
4.650	372637	0.51	26119	1.80
5.233	1629827	2.23	22995	1.59
6.683	946832	1.30	15569	1.08
7.333	463619	0.63	11057	0.76
10.250	2018456	2.76	46351	3.20
11.533	137842	0.19	2488	0.17
14.783	60622273	82.94	1043400	72.06
17.350	325993	0.45	5271	0.36
19.600	5457	0.01	319	0.02
Totals	73090161	100.00	1447946	100.00

HPLC analysis of racemic compound **54.**



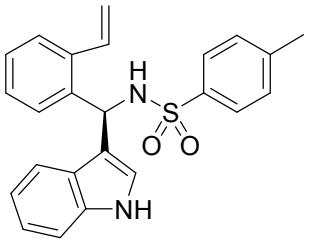
racemic **54**



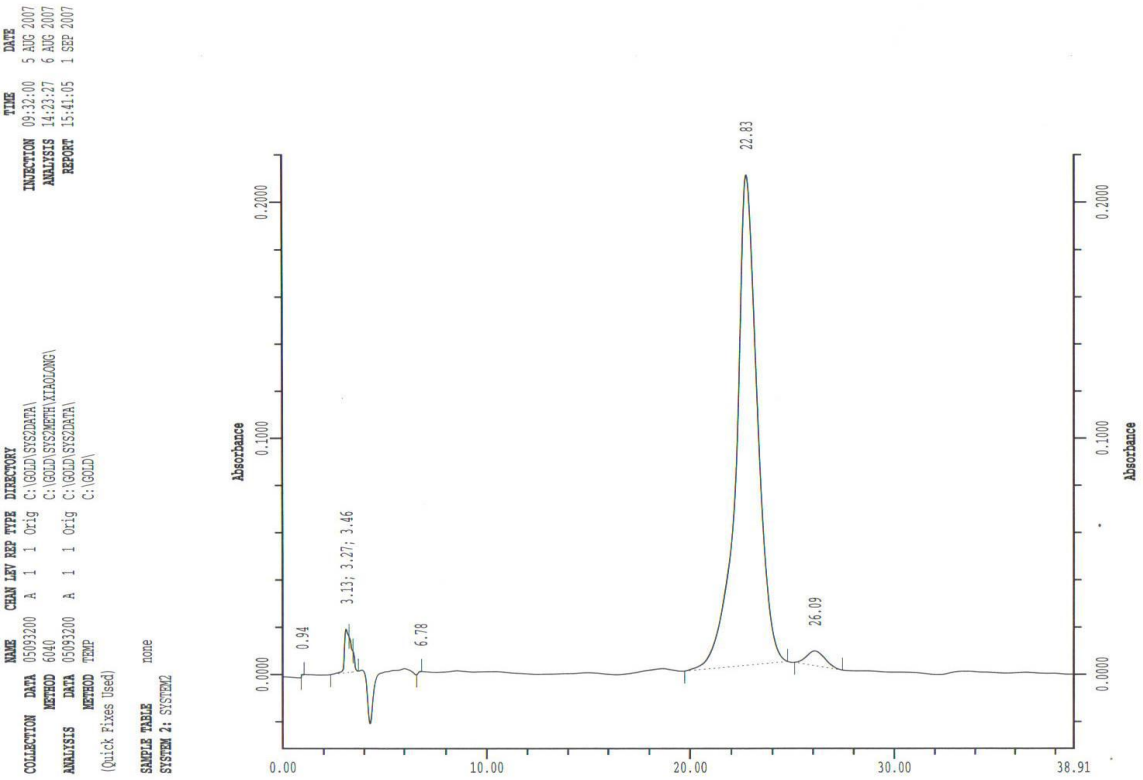
Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	3.076		0.0000	0.000	2.24247	0.01293	BCS	0.0000	0.0000	1.049	6.116
2	3.200		0.0000	0.000	0.93332	0.00647	SNB	0.0000	0.0000	0.436	3.058
3	4.775		0.0000	0.000	1.83159	0.00151	BCB	0.0000	0.0000	0.857	0.715
4	5.488		0.0000	0.000	0.46981	0.00227	BCB	0.0000	0.0000	0.220	1.072
5	5.930		0.0000	0.000	0.03742	0.00034	BCB	0.0000	0.0000	0.017	0.161
6	6.689		0.0000	0.000	0.03631	0.00027	BCB	0.0000	0.0000	0.017	0.128
7	23.058		0.0000	0.000	108.11897	0.10044	BCB	0.0000	0.0000	50.568	47.506
8	25.805		0.0000	0.000	100.13936	0.08721	BCB	0.0000	0.0000	46.836	41.244
TOTALS			0.0000	0.000	213.80926	0.21144				100.000	100.000

Average Efficiency: 0

HPLC analysis of chiral compound (*R*)-**54.**



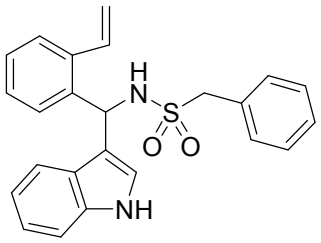
(*R*)-**54** (94.9% *ee*)



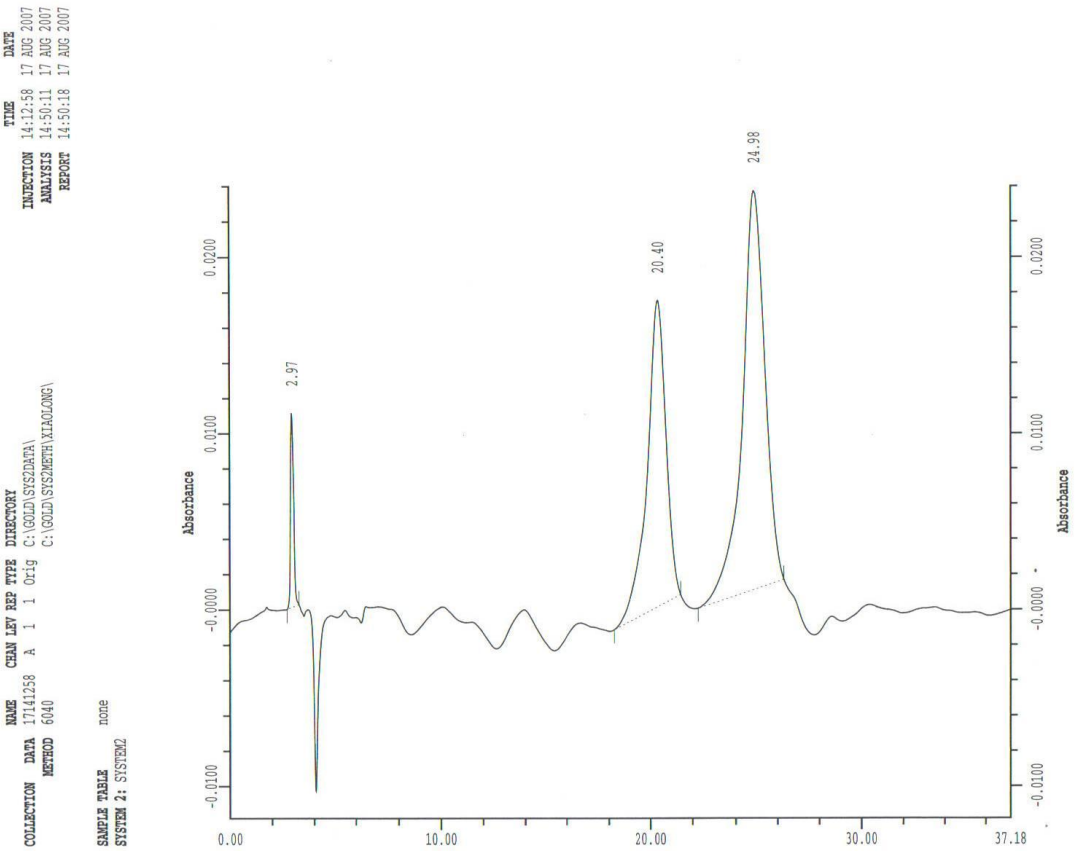
Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	0.939		0.0000	0.000	0.07599	0.00113	BCB	0.0000	0.0000	0.029	0.437
2	3.125		0.0000	0.000	4.31556	0.01845	BCS	0.0000	0.0000	1.636	7.153
3	3.267		0.0000	0.000	2.21744	0.01523	SNS	0.0000	0.0000	0.840	5.907
4	3.458		0.0000	0.000	0.74168	0.00883	SNB	0.0000	0.0000	0.281	3.425
5	6.783		0.0000	0.000	0.07249	0.00039	BCB	0.0000	0.0000	0.028	0.150
Q 6	22.832		0.0000	0.000	249.87103	0.20764	BCB	0.0000	0.0000	94.711	80.515
Q 7	26.092		0.0000	0.000	6.52932	0.00622	BCB	0.0000	0.0000	2.475	2.413
TOTALS			0.0000	0.000	263.82351	0.25789				100.000	100.000

Average Efficiency: 0

HPLC analysis of racemic compound **55.**



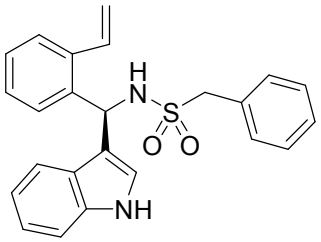
racemic **55**



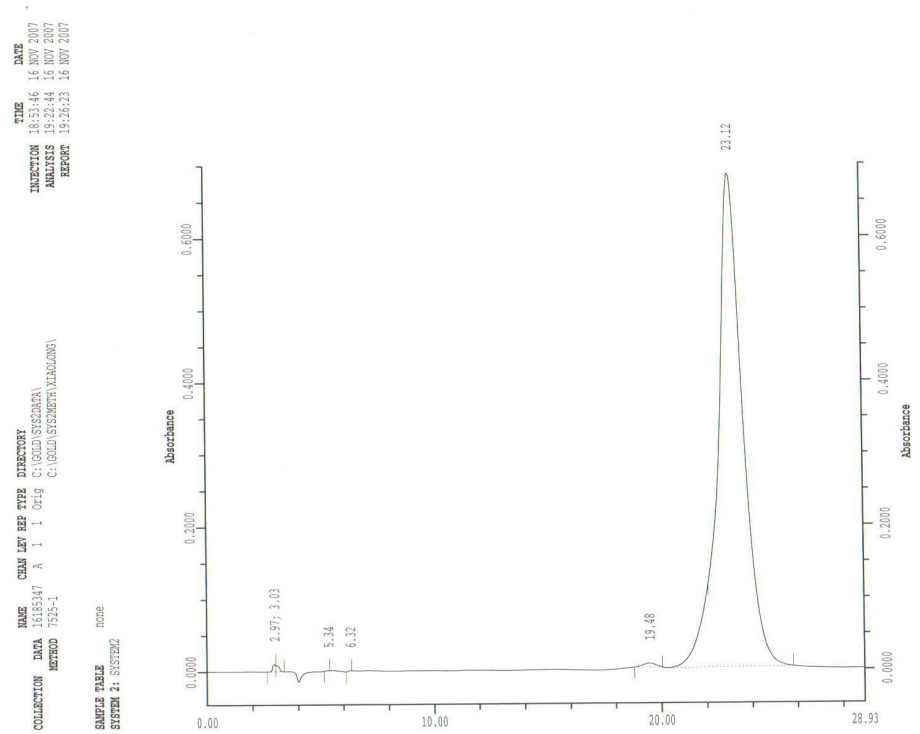
Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	2.971		0.0000	0.000	1.93075	0.01103	BCB	0.0000	0.0000	4.019	21.631
2	20.395		0.0000	0.000	18.02657	0.01737	BCB	0.0000	0.0000	37.520	34.066
3	24.978		0.0000	0.000	28.08727	0.02258	BCB	0.0000	0.0000	58.461	44.303
TOTALS			0.0000	0.000	48.04459	0.05098				100.000	100.000

Average Efficiency: 0

HPLC analysis of chiral compound (*R*)-**55.**



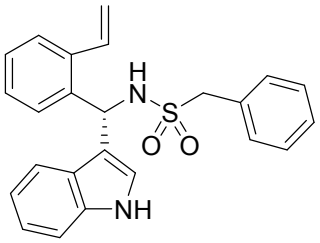
(*R*)-**55** (99.1% *ee*)



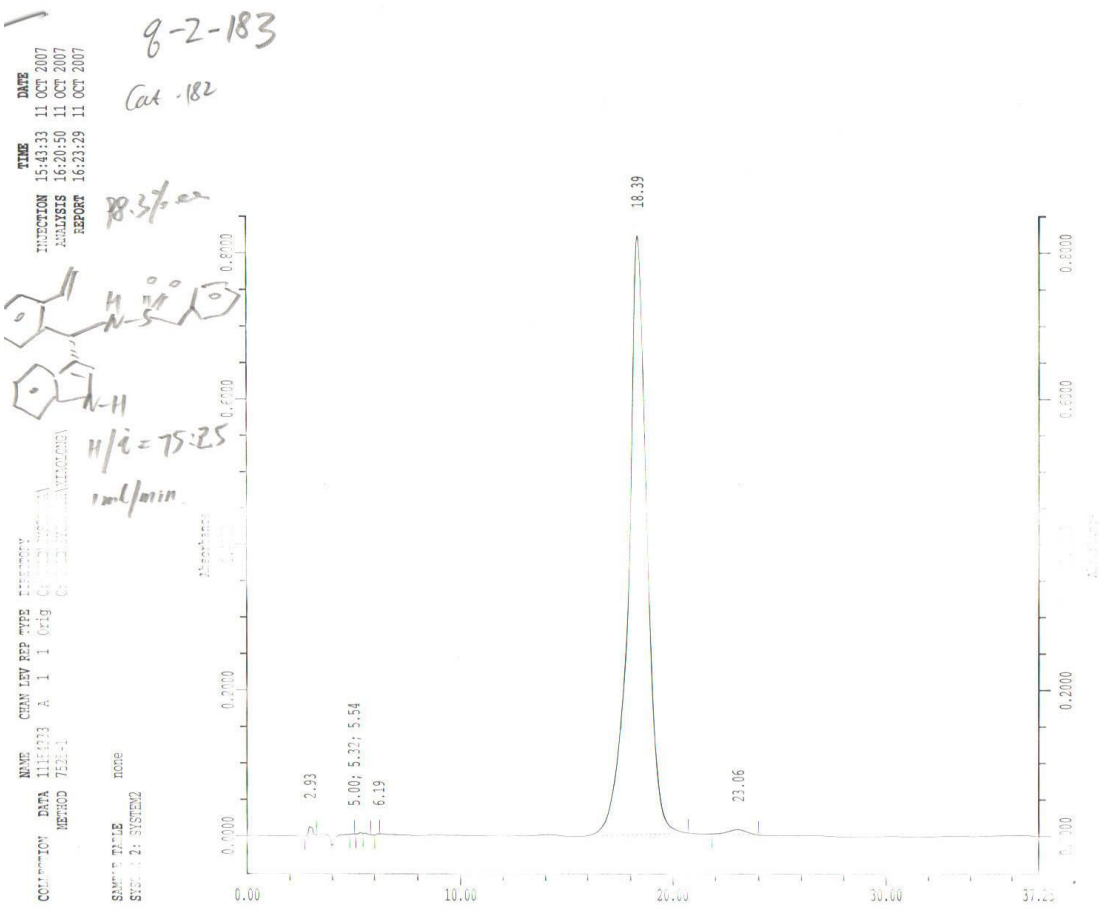
Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	2.966		0.0000	0.000	1.57206	0.01012	BCS	0.0000	0.0000	0.189	1.431
2	3.025		0.0000	0.000	1.36352	0.00854	SNB	0.0000	0.0000	0.165	1.207
3	5.342		0.0000	0.000	0.03855	0.00025	BCB	0.0000	0.0000	0.005	0.035
4	6.318		0.0000	0.000	0.08411	0.00042	BCB	0.0000	0.0000	0.010	0.060
Q 5	19.478		0.0000	0.000	3.57937	0.00551	BCB	0.0000	0.0000	0.431	0.779
6	23.122		0.0000	0.000	822.96246	0.68262	SCB	0.0000	0.0000	99.200	96.488
TOTALS			0.0000	0.000	829.60008	0.70747				100.000	100.000

Average Efficiency: 0

HPLC analysis of chiral compound (*S*)-**55.**

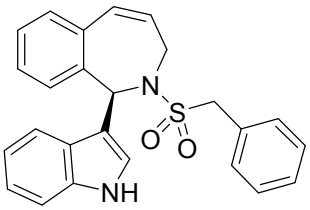


(*S*)-**55** (98.3% *ee*)

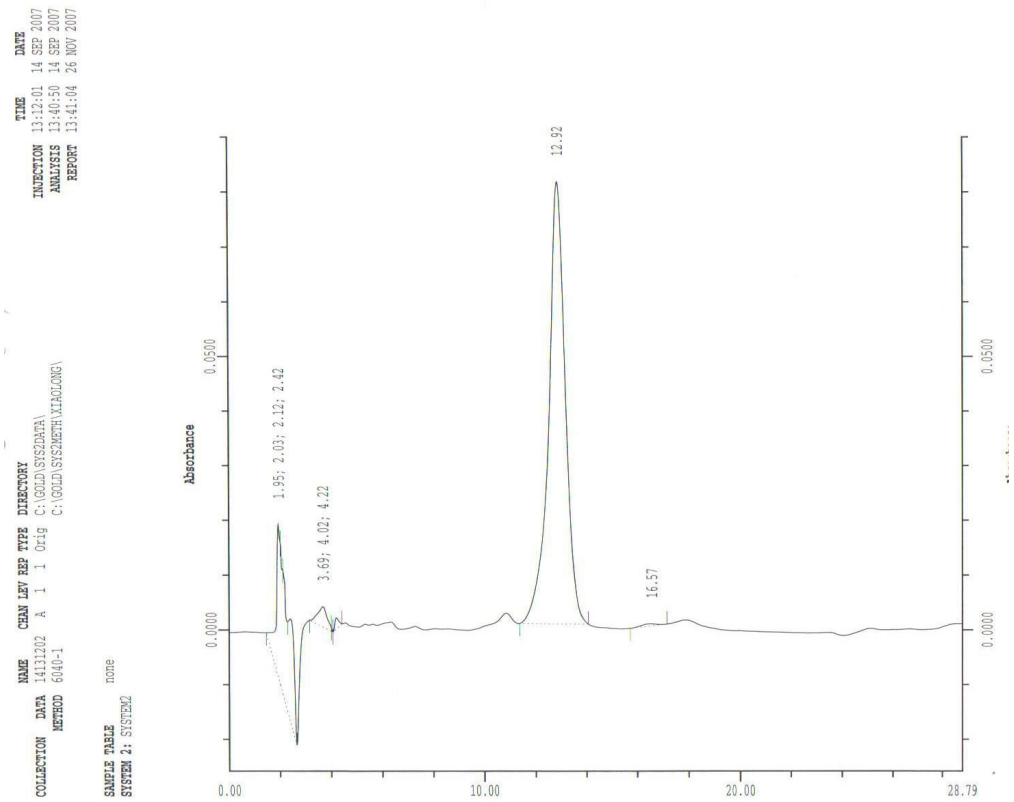


Peak Number	Retention Time	Component	Concentration			Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	2.934						0.01226	BCB	0.0000	0.0000	0.339	1.450
2	5.001						0.00020	BCB	0.0000	0.0000	0.003	0.024
3	5.323						0.00243	BCV	0.0000	0.0000	0.055	0.288
4	5.539						0.00187	VCB	0.0000	0.0000	0.044	0.221
5	6.187						0.00057	BCB	0.0000	0.0000	0.014	0.068
6	18.392						0.82164	SCB	0.0000	0.0000	98.690	97.158
Q 7	23.058						0.00669	BCB	0.0000	0.0000	0.855	0.791
											100.000	100.000

HPLC analysis of chiral compound (*R*)-**15.**



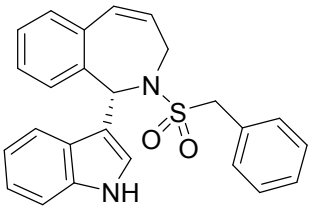
(*R*)-**15** (99.1% *ee*)



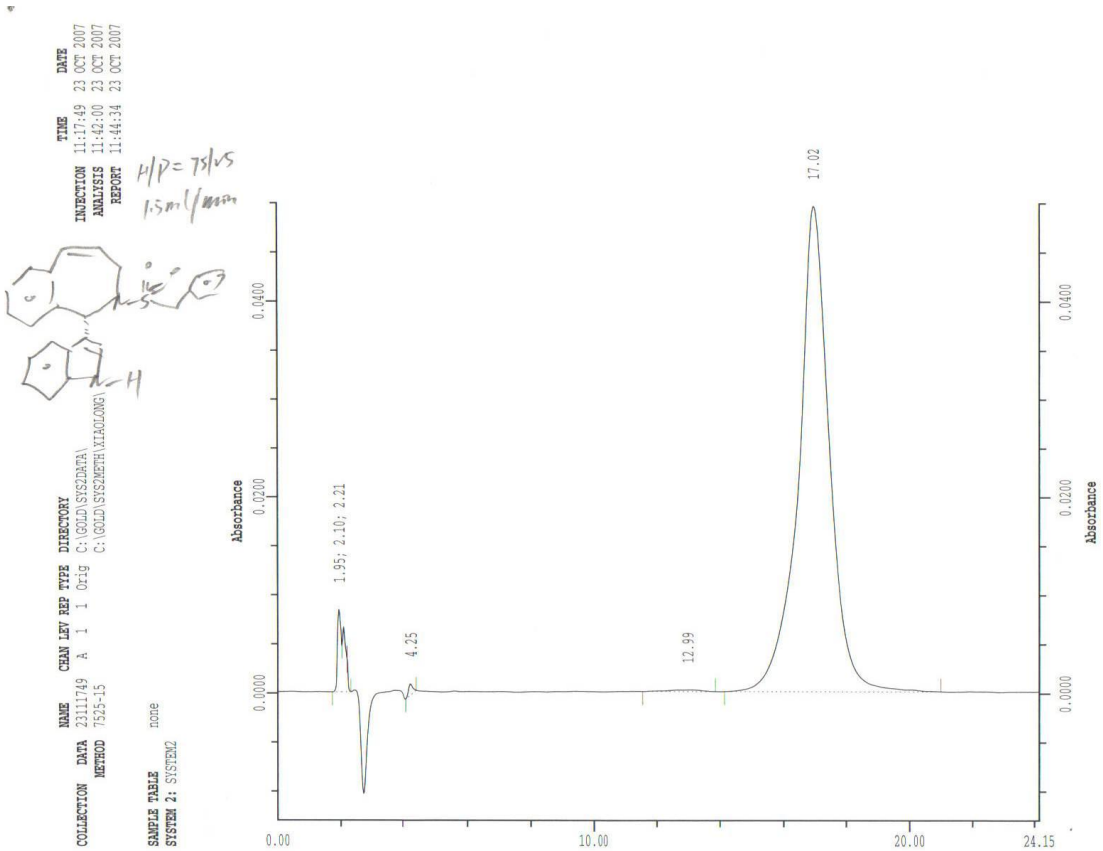
Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	1.949		0.0000	0.000	5.27562	0.02801	BCS	0.0000	0.0000	6.614	15.321
2	2.025		0.0000	0.000	2.32175	0.02588	SNS	0.0000	0.0000	2.911	14.156
3	2.125		0.0000	0.000	3.46842	0.02263	SNV	0.0000	0.0000	4.349	12.379
4	2.418		0.0000	0.000	5.05732	0.01885	VCB	0.0000	0.0000	6.340	10.312
5	3.692		0.0000	0.000	1.57919	0.00369	BCS	0.0000	0.0000	1.980	2.019
6	4.017		0.0000	0.000	0.01196	0.00061	SNB	0.0000	0.0000	0.015	0.331
7	4.217		0.0000	0.000	0.34582	0.00202	BCB	0.0000	0.0000	0.434	1.103
8	12.924		0.0000	0.000	61.42332	0.08076	BCB	0.0000	0.0000	77.010	44.172
Q 9	16.567		0.0000	0.000	0.27716	0.00038	BCB	0.0000	0.0000	0.347	0.207
TOTALS			0.0000	0.000	79.76056	0.18282				100.000	100.000

Average Efficiency: 0

HPLC analysis of chiral compound (*S*)-**16.**



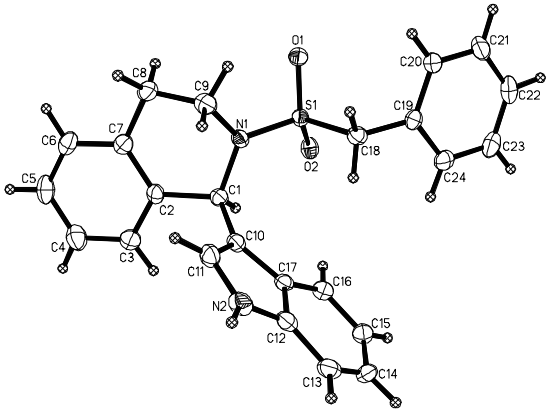
(*S*)-**16** (99.2% *ee*)



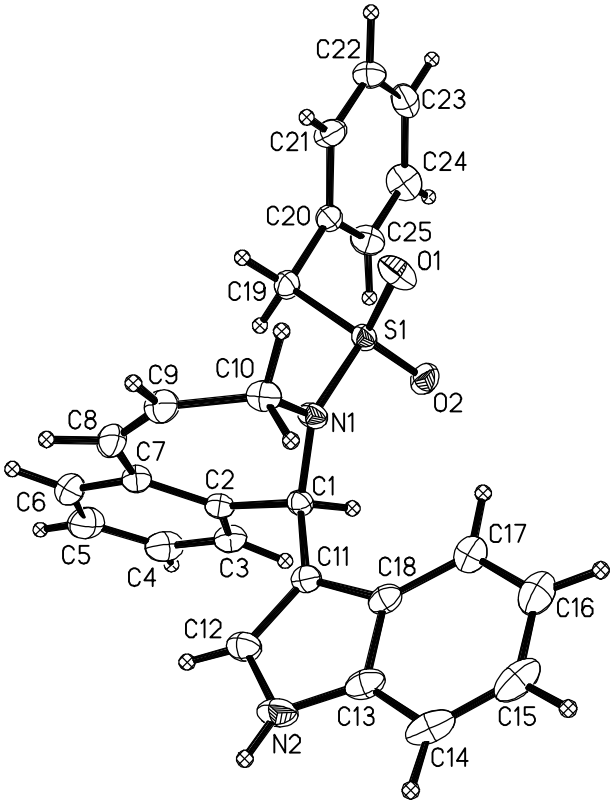
Peak Number	Retention Time	Component Name	Concentration mg / mL	Normalized Concentration	Peak Area	Peak Height	Base Code	Response Factor	Rel. Ret Time	Area Percent	Height Percent
1	1.953		0.0000	0.000	1.12397	0.00837	BCV	0.0000	0.0000	1.914	12.122
2	2.097		0.0000	0.000	0.83857	0.00657	VCS	0.0000	0.0000	1.429	9.523
3	2.208		0.0000	0.000	0.08867	0.00330	SNB	0.0000	0.0000	0.151	4.777
4	4.247		0.0000	0.000	0.16882	0.00113	BCB	0.0000	0.0000	0.287	1.638
Q 5	12.992		0.0000	0.000	0.22268	0.00016	BCB	0.0000	0.0000	0.379	0.236
Q 6	17.021		0.0000	0.000	56.27152	0.04950	BCB	0.0000	0.0000	95.840	71.704
TOTALS			0.0000	0.000	58.71422	0.06904				100.000	100.000

Average Efficiency: 0

ORTEP drawing of the X-ray crystallographic structure of chiral compound 1:



ORTEP drawing of the X-ray crystallographic structure of chiral compound 15:



Reference:

(1) Wang, Y.-Q.; Song, J.; Hong, R.; Li, H.; Deng, L. *J. Am. Chem. Soc.* **2006**, *128*, 8156-8157.