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Supporting Information

Synthesis of Chiral Exocyclic Amines by Asymmetric Hydrogenation of Aromatic Quinolin-3-amines

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1. General:

Commercially available reagents were used without further purification. Solvents were treated prior to use according to the standard methods. ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded at room temperature in $CDCl_3$ on 400 MHz instrument with tetramethylsilane (TMS) as internal standard. Enantiomeric excess was determined by HPLC analysis, using chiral column described below in detail. Optical rotations were measured by polarimeter. Flash column chromatography was performed on silica gel (200-300 mesh).

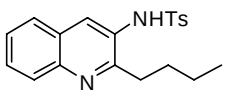
2. Synthesis of *N*-Substituted 2-Butylquinolin-3-amines

The substrates 2-toluidine, 4-methyl-*N*-*o*-tolylbenzenesulfonamide, 2-butylquinolin-3-amine are known compounds.

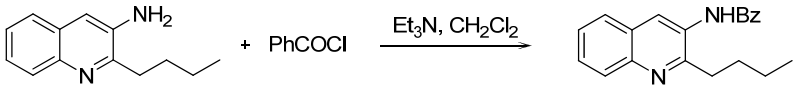
2.1. Synthesis of *N*-(2-butylquinolin-3-yl)-4-methylbenzenesulfonamide

Under an nitrogen atmosphere and at 0 ºC, a solution of 2-butylquinolin-3-amine (100 mg, 0.5 mol) in THF (5 mL) was charged with 1.0 M lithium bis(trimethylsilyl)amide in THF/PhEt (1.1 mL, 1.1 mmol), followed by 4-methylbenzene-1-sulfonyl chloride (TsCl, 114 mg, 0.6 mmol) in THF (4 mL). The cold bath was removed and the viscous mixture was allowed to stir for 5 h. The solvent was evaporated, dissolved in dichloromethane (10 mL) and washed with 0.05 M HCl (10 mL) and brine. The organic layer was dried (Na_2SO_4) and was taken to dryness under reduced pressure, the residue was purified by flash chromatography on silica gel to yield the product.

***N*-(2-Butylquinolin-3-yl)-4-methylbenzenesulfonamide:** 61% yield, light yellow solid, mp 126-127 ^{o}C, R_f = 0.50 (pure CH_2Cl_2). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.21 (s, 1H), 7.95 (d, *J* = 8.4, 1H), 7.75 (d, *J* = 8.1, 1H), 7.67 (d, *J* = 8.1, 2H), 7.62 (dd, *J* = 8.2, 7.1, 1H), 7.48 (t, *J* = 7.5, 1H), 7.24-7.04 (m, 3H), 2.72-2.62 (m, 2H), 2.35 (s, 3H), 1.58-1.45 (m, 2H), 1.31 (dq, *J* = 14.8, 7.4, 2H), 0.85 (t, *J* = 7.3, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 156.7, 145.8, 144.5, 136.4, 130.1, 129.2, 128.9, 128.7, 128.7, 127.6, 127.3, 127.2, 126.6, 34.0, 30.6, 22.9, 21.7, 14.1; HRMS Calculated for $C_{20}H_{23}N_2O_2S$ $[M+H]^+$ 355.1480, found 355.1483.

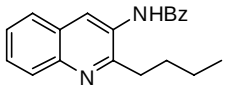


2.2. Synthesis of *N*-(2-butylquinolin-3-yl)benzamide

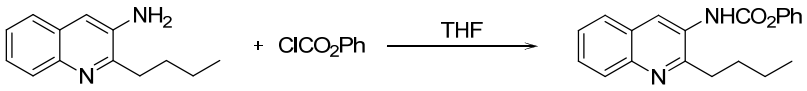


Under an nitrogen atmosphere and at 0 ºC, to a solution of 2-butylquinolin-3-amine (150 mg, 0.75 mmol) and triethylamine (92 mg, 0.13 mL) in CH_2Cl_2 (5.0 mL) was slowly added the solution of benzoyl chloride (PhCOCl, 116 mg, 0.10 mL) in CH_2Cl_2 (3.0 mL). The ice bath was allowed to warm to room temperature and the mixture was stirred for 4 h. H_2O (10 mL) was added, the organic layer was separated and dried (Na_2SO_4). Then it was taken to dryness under reduced pressure and the residue was purified by flash chromatography on silica gel to yield product.

***N*-(2-butylquinolin-3-yl)benzamide:** 90% yield, white solid, mp 130-131 °C, R_f = 0.35 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.90 (s, 1H), 8.11-7.96 (m, 2H), 7.91 (d, *J* = 7.3, 2H), 7.78 (d, *J* = 6.6, 1H), 7.70-7.40 (m, 5H), 3.03 (t, *J* = 7.0, 2H), 1.96-1.78 (m, 2H), 1.50 (dd, *J* = 14.0, 6.8, 2H), 0.98 (t, *J* = 7.3, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 166.1, 154.8, 145.3, 134.7, 132.4, 129.8, 129.2, 128.7, 128.7, 127.7, 127.6, 127.2, 127.1, 126.5, 34.8, 30.6, 23.0, 14.1; HRMS Calculated for $C_{20}H_{21}N_2O$ $[M+H]^+$ 305.1654, found 305.1657.

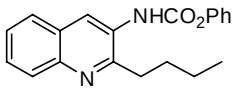


2.3. Synthesis of phenyl 2-butylquinolin-3-ylcarbamate

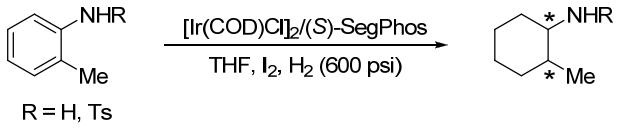


Under an nitrogen atmosphere and at 0 ºC, to a solution of 2-butylquinolin-3-amine (150 mg, 0.75 mmol) in THF (5.0 mL) was slowly added the solution of phenyl chloroformate ($ClCO_2Ph$, 129 mg, 0.10 mL) in THF (3.0 mL). The ice bath was allowed to warm to room temperature and the mixture was stirred overnight. Saturated aqueous $NaHCO_3$ (10 mL) was added, the organic layer was separated. The aqueous phase was extracted with CH_2Cl_2 (15 mL×3). The combined organic layers were dried with Na_2SO_4. After filtration, the solvent was removed under reduced pressure and the residue was purified by flash chromatography on silica gel to yield the corresponding product.

Phenyl 2-butylquinolin-3-ylcarbamate: 57% yield, white solid, mp 118-120 °C, R_f = 0.50 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.68 (s, 1H), 8.00 (d, *J* = 8.3, 1H), 7.74 (d, *J* = 8.0, 1H), 7.61 (t, *J* = 7.4, 1H), 7.53-7.37 (m, 3H), 7.29-7.19 (m, 3H), 7.05 (s, 1H), 3.01 (t, *J* = 7.6, 2H), 1.95-1.80 (m, 2H), 1.60-1.47 (m, 2H), 1.02 (t, *J* = 7.2, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 153.9, 152.0, 150.5, 144.8, 129.5, 129.3, 128.6, 128.4, 127.4, 127.4, 126.4, 126.0, 121.6, 34.3, 30.3, 22.8, 14.0; HRMS Calculated for $C_{20}H_{21}N_2O_2$ $[M+H]^+$ 321.1603, found 321.1603.



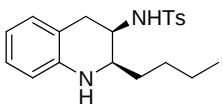
3. Asymmetric Hydrogenation of of Some Aromatic Amines (Scheme 3)



In a nitrogen-filled glove box, a mixture of $[Ir(COD)Cl]_2$ (1.3 mg, 0.002 mmol) and (*S*)-SegPhos (2.7 mg, 0.0044 mmol) in THF (1.0 mL) was stirred at room temperature for 10 min, then aromatic amine (0.10 mmol) and I_2 (2.5 mg, 0.010 mmol) together with THF (2.0 mL) were added to the reaction mixture. The hydrogenation was performed under H_2 (600 psi) in a stainless steel autoclave at 25 °C for 18 h. After carefully releasing the hydrogen, the solvent was removed

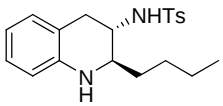
under reduced pressure. Purification was performed by a silica gel column eluted with hexane/EtOAc to give desire product. Reaction conversion and d.r. were determined by ^{1}H NMR spectroscopy.

***N*-((*cis*)-2-Butyl-1,2,3,4-tetrahydroquinolin-3-yl)-4-methylbenzenesulfonamide :** 78% yield, 62% ee, white solid, mp 164-166 ^{o}C, R_f = 0.60 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400



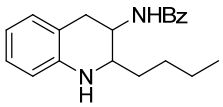
MHz, $CDCl_3$) δ = 7.72 (d, *J* = 7.5, 2H), 7.27 (d, *J* = 8.3, 2H), 6.98 (t, *J* = 7.4, 1H), 6.77 (d, *J* = 7.3, 1H), 6.63 (t, *J* = 7.1, 1H), 6.47 (d, *J* = 7.8, 1H), 4.92 (d, *J* = 9.0, 1H), 3.72 (d, *J* = 7.6, 1H), 3.62 (s, 1H), 3.18 (d, *J* = 6.2, 1H), 2.86 (d, *J* = 16.5, 1H), 2.57 (d, *J* = 16.5, 1H), 2.42 (s, 3H), 1.06-1.45 (m, 6H), 0.83 (t, *J* = 5.5, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 143.7, 143.4, 138.9, 130.6, 129.8, 127.4, 127.2, 118.6, 118.0, 114.4, 55.0, 48.5, 34.5, 31.8, 27.8, 22.8, 21.7, 14.1; HRMS Calculated for $C_{20}H_{27}N_2O_2S$ $[M+H]^+$ 359.1793, found 359.1790; Chirapak AD-H column, 254 nm, 30 ^{o}C, *n*-hexane/*i*-propanol = 80/20, flow = 0.9 mL/min, retention time 11.6 min and 13.3 min (major).

***N*-((*trans*)-2-Butyl-1,2,3,4-tetrahydroquinolin-3-yl)-4-methylbenzenesulfonamide :** 11% yield, colorless oil, R_f = 0.55 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.72



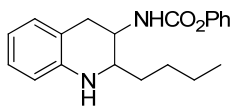
(d, *J* = 8.2, 2H), 7.28 (d, *J* = 8.1, 2H), 6.98 (t, *J* = 7.6, 1H), 6.78 (d, *J* = 7.4, 1H), 6.61 (td, *J* = 7.4, 0.8, 1H), 6.47 (d, *J* = 7.9, 1H), 4.90 (d, *J* = 9.1, 1H), 3.95 (s, 1H), 3.63 (td, *J* = 8.0, 3.6, 1H), 3.05–2.94 (m, 1H), 2.82 (dd, *J* = 16.7, 4.5, 1H), 2.52–2.44 (m, 1H), 2.43 (s, 3H), 1.38–1.13 (m, 6H), 0.84 (t, *J* = 7.0, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 143.3, 142.0, 138.6, 130.3, 129.7, 127.5, 126.9, 117.7, 116.5, 114.3, 55.1, 49.0, 33.8, 29.7, 27.7, 22.4, 21.5, 13.9; HRMS Calculated for $C_{20}H_{27}N_2O_2S$ $[M+H]^+$ 359.1793, found 359.1793.

***N*-(2-Butyl-1,2,3,4-tetrahydroquinolin-3-yl)benzamide:** 90% yield, 84% ee, d.r. 8:1, colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.69 (d, *J* = 7.8, 2H), 7.41 (dt, *J* = 15.1, 7.3, 3H),



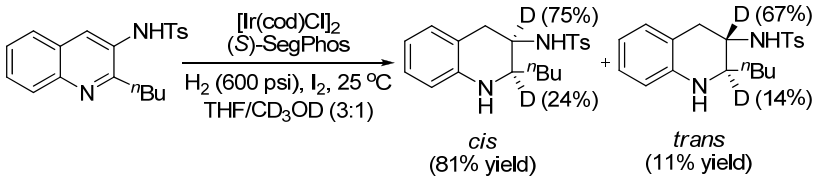
7.01 (dd, *J* = 18.1, 7.6, 2H), 6.76-6.51 (m, 3H), 4.67-4.44 (m, 1H), 3.77 (s, 1H), 3.44-3.24 (m, 1H), 3.20-3.03 (m, 1H), 2.94 (d, *J* = 16.9, 0.89H), 2.82 (d, *J* = 16.8, 0.11H), 1.73-1.56 (m, 1H), 1.53-1.28 (m, 5H), 0.92 (t, *J* = 6.9, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.3, 143.9, 140.8, 139.5, 134.8, 131.3, 131.3, 130.6, 130.5, 128.5, 128.4, 127.3, 127.0, 127.0, 126.9, 119.3, 118.7, 117.8, 117.7, 114.5, 54.3, 45.3, 44.8, 39.1, 34.0, 33.8, 32.3, 28.9, 28.1, 27.9, 22.7, 22.6, 14.0, 13.9; HRMS Calculated for $C_{20}H_{25}N_2O$ $[M+H]^+$ 309.1967, found 309.1965; Chirapak AD-H column, 254 nm, 30 ^{o}C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 7.3 min (major) and 8.5 min.

Phenyl 2-butyl-1,2,3,4-tetrahydroquinolin-3-ylcarbamate: 97% yield, 63% ee, d.r. 8:1, colorless oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.40-7.28 (m, 2H), 7.22-7.07 (m, 3H), 7.06-6.97 (m,

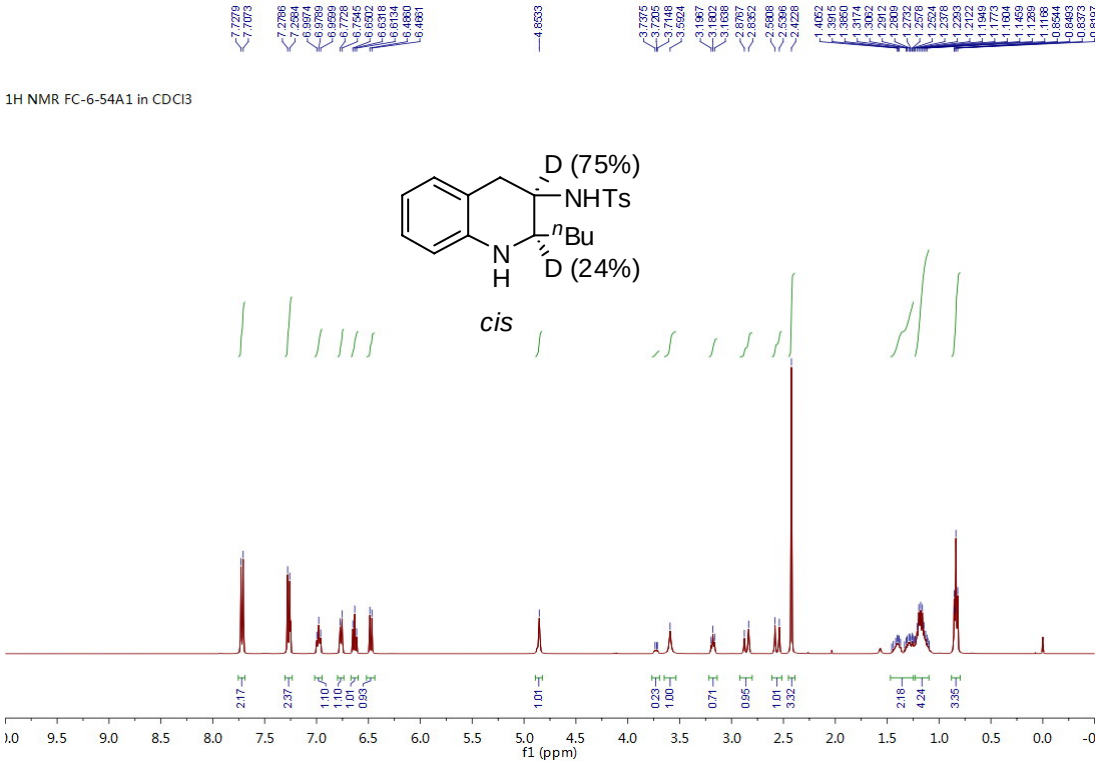


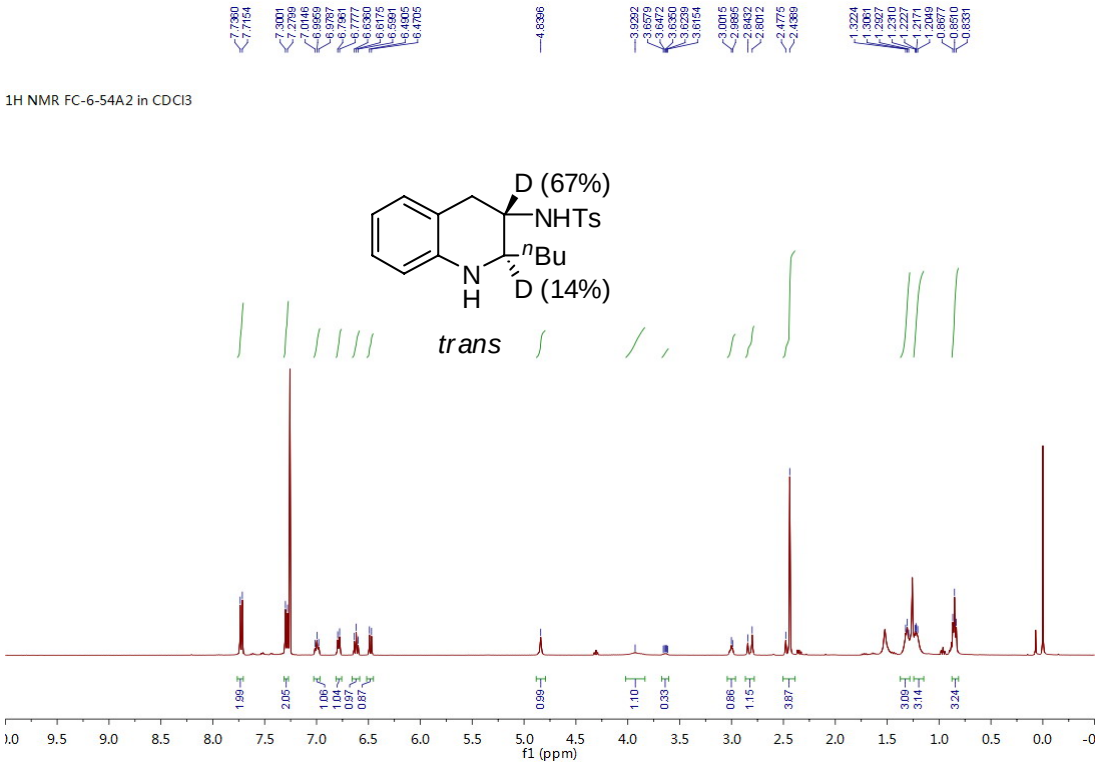
2H), 6.77-6.65 (m, 1H), 6.53 (t, *J* = 9.0, 1H), 5.45 (d, *J* = 9.0, 0.89H), 5.20 (d, *J* = 8.9, 0.11H), 4.30-4.17 (m, 1H), 3.70 (s, 1H), 3.34 (t, *J* = 6.0, 0.89H), 3.25 (d, *J* = 2.9, 0.11H), 3.18-2.99 (m, 1H), 2.97-2.75 (m, 1H), 1.76-1.57 (m, 1H), 1.55-1.29 (m, 5H), 0.99-0.87 (m, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 154.6, 151.1, 151.1, 143.8, 130.6, 130.5, 129.4, 129.2, 127.4, 127.2, 126.3, 125.5, 125.1, 121.7, 121.6, 121.5, 118.7, 118.5, 117.7, 114.4, 114.3, 54.2, 46.8, 46.4, 34.8, 34.2, 33.9, 32.1, 29.2, 28.0, 27.8, 22.7, 22.6, 14.0, 14.0; HRMS Calculated for $C_{20}H_{25}N_2O_2$ $[M+H]^+$ 325.1916, found 325.1920; HPLC: Chiracel OD-H column, 254 nm, 30 ^{o}C, *n*-hexane/*i*-propanol = 95/5, flow = 0.8 mL/min, retention time 25.4 min (major) and 28.0 min.

4. Isotopic Labeling Experiment



In a nitrogen-filled glove box, a mixture of $[Ir(COD)Cl]_2$ (1.3 mg, 0.002 mmol) and (*S*)-SegPhos (2.7 mg, 0.0044 mmol) in THF/CD_3OD (3:1, 1.0 mL) was stirred at room temperature for 10 min, then aromatic amine (0.10 mmol) and I_2 (2.5 mg, 0.010 mmol) together with THF/CD_3OD (3:1, 2.0 mL) were added to the reaction mixture. The hydrogenation was performed under H_2 (600 psi) in a stainless steel autoclave at 25 °C for 18 h. After carefully releasing the hydrogen, the solvent was removed under reduced pressure. Purification was performed by a silica gel column eluted with hexane/EtOAc to give desire product.

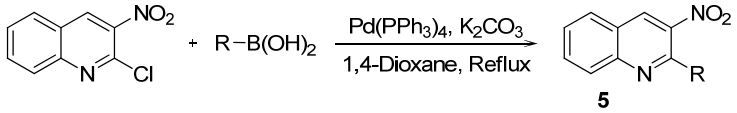




5. Synthesis of 3-Nitroquinolines 5

3-Nitroquinoline derivatives can be conveniently synthesized according to the known literature procedure.[1,2] The compounds 2-butyl-3-nitroquinoline (**5a**), 2-methyl-3-nitroquinoline (**5b**), 2-ethyl-3-nitroquinoline (**5c**), (*E*)-2-styryl-3-nitroquinoline (**5i**), 2-phenyl-3-nitroquinoline (**5j**) are known compounds.

5.1. Synthesis of 3-Nitroquinolines 5d-h *via* Suzuki Coupling



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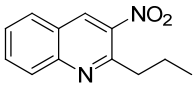
Following a known literature report:[2] A mixture of 2-chloro-3-nitroquinoline (150 mg, 0.72 mmol), boronic acid (0.86 mmol), $Pd(PPh_3)_4$ (83 mg, 0.07 mmol) and K_2CO_3 (297 mg, 2.15 mmol) in 1,4-dioxane (6 mL) was stirred at reflux for 18 h, then cooled to rt, diluted with water (15mL), then extracted with CH_2Cl_2 (15 mL×3). The combined organic layers were dried with Na_2SO_4. After filtration, the solvent was removed under reduced pressure and the residue was purified by flash chromatography on silica gel to yield the corresponding product.

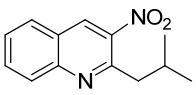
[1] M.-C. Yan, Z. Tu, C. Lin, S. Ko, J. Hsu, C.-F. Yao, *J. Org. Chem.***2004**, *69*, 1565.

[2] G. A. Molander, C.-S. Yun, *Tetrahedron* **2002**, *58*, 1465.

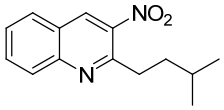
2-Propyl-3-nitroquinoline (5d): 68% yield, light brown oil, R_f = 0.70 (petroleum ether/EtOAc 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.72 (s, 1H), 8.12 (d, *J* = 8.5, 1H), 7.92 (d, *J* = 8.2, 1H), 7.84-8.90 (m, 1H), 7.67-7.60 (m, 1H), 3.29-3.18 (m, 2H), 1.94-1.84 (m, 2H), 1.06 (t, *J* = 7.4, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 155.6, 148.8, 144.0, 133.2, 132.9, 129.3, 128.9, 128.0, 125.5, 38.4, 22.5, 14.3; HRMS Calculated for $C_{12}H_{13}N_2O_2$ $[M+H]^+$ 217.0977, found 217.0970.



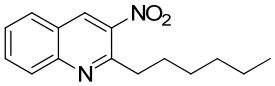
2-Isobutyl-3-nitroquinoline (5e): 33% yield, light brown oil, R_f = 0.65 (petroleum ether/EtOAc 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.69 (s, 1H), 8.12 (d, *J* = 8.5, 1H), 7.92 (d, *J* = 8.2, 1H), 7.89-7.83 (m, 1H), 7.63 (t, *J* = 7.6, 1H), 3.18 (d, *J* = 7.2, 2H), 2.26 (dp, *J* = 13.6, 6.8, 1H), 0.98 (d, *J* = 6.7, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 154.8, 148.7, 144.4, 133.0, 132.8, 129.3, 128.9, 128.0, 125.5, 44.6, 28.8, 22.7; HRMS Calculated for $C_{13}H_{15}N_2O_2$ $[M+H]^+$ 231.1134, found 231.1130.



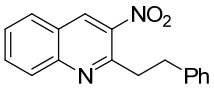
2-Isopentyl-3-nitroquinoline (5f): 67% yield, brown oil, R_f = 0.60 (petroleum ether/EtOAc 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.71 (s, 1H), 8.11 (d, *J* = 8.4, 1H), 7.99-7.80 (m, 2H), 7.62 (t, *J* = 7.5, 1H), 3.35-3.19 (m, 2H), 1.85-1.65 (m, 3H), 0.99 (d, *J* = 6.0, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 156.1, 148.8, 143.9, 133.3, 132.9, 129.2, 128.9, 127.9, 125.5, 38.1, 34.7, 28.6, 22.6; HRMS Calculated for $C_{14}H_{17}N_2O_2$ $[M+H]^+$ 245.1290, found 245.1285.



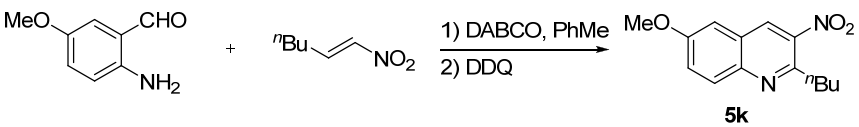
2-Hexyl-3-nitroquinoline (5g): 60% yield, brown oil, R_f = 0.60 (petroleum ether/EtOAc 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.68 (s, 1H), 8.09 (d, *J* = 8.5, 1H), 7.92-7.81 (m, 2H), 7.64-7.56 (m, 1H), 3.30-3.17 (m, 2H), 1.89-1.75 (m, 2H), 1.40-1.53 (m, 2H), 1.39-1.26 (m, 4H), 0.89 (dd, *J* = 9.4, 4.7, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 155.7, 148.8, 143.9, 133.2, 132.8, 129.2, 128.9, 127.9, 125.4, 36.5, 31.7, 29.5, 29.1, 22.7, 14.2; HRMS Calculated for $C_{15}H_{19}N_2O_2$ $[M+H]^+$ 259.1447, found 259.1443.



2-Phenethyl-3-nitroquinoline (5h): 49% yield, white solid, mp 118-120 °C, R_f = 0.45 (petroleum ether/EtOAc 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.75 (s, 1H), 8.16 (d, *J* = 8.5, 1H), 7.96-7.85 (m, 2H), 7.69-7.62 (m, 1H), 7.38-7.28 (m, 4H), 7.26-7.19 (m, 1H), 3.63-3.54 (m, 2H), 3.26-3.18 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 154.5, 148.9, 143.8, 141.4, 133.5, 133.1, 129.3, 129.0, 128.8, 128.7, 128.1, 126.3, 125.6, 38.5, 35.0; HRMS Calculated for $C_{17}H_{15}N_2O_2$ $[M+H]^+$ 279.1134, found 279.1135.



5.2. Synthesis of 3-Nitroquinolines 5k

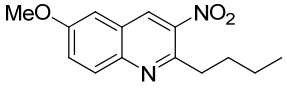


5k

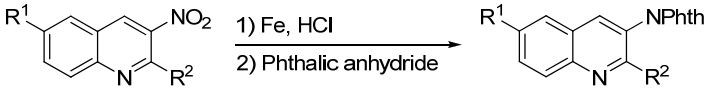
Following a known literature report:[1] To a solution of 2-amino-5-methoxybenzaldehyde (5.6 mmol) in toluene (25 mL) was added (*E*)-1-nitrohex-1-ene (6.7 mmol). The resulting mixture was placed in an oil bath and heated at 45 °C for 9 h, then 1,4-diaza-bicyclo[2.2.2]octane (DABCO, 2.8 mmol) was added, the mixture was stirred for another 5 h. After cooled to room temperature, 2,3-Dichloro-5,6-dicyano-1,4-benzoquinone (DDQ, 8.4 mmol) was added and the solution was vigorously stirred for 1.5 h. After evaporation of the solvent, the residue was purified by flash

chromatography on silica gel to yield the product **5k**.

2-Butyl-6-methoxy-3-nitroquinoline (5k): 39% yield, light brown solid, mp 66-68 °C, R_f = 0.50 (petroleum ether/EtOAc 10:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.59 (s, 1H), 7.98 (d, *J* = 9.3, 1H), 7.49 (dd, *J* = 9.3, 2.8, 1H), 7.12 (d, *J* = 2.8, 1H), 3.94 (s, 3H), 3.24-3.16 (m, 2H), 1.74-1.84 (m, 2H), 1.48 (dt, *J* = 14.9, 7.4, 2H), 0.96 (t, *J* = 7.4, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 158.6, 152.9, 145.0, 144.2, 131.6, 130.4, 126.6, 125.8, 105.4, 55.7, 35.8, 31.2, 22.8, 13.9; HRMS Calculated for $C_{14}H_{17}N_2O_3$ $[M+H]^+$ 261.1239, found 261.1235.



6. Synthesis of Quinolin-3-amines 1

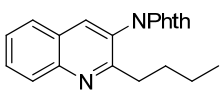


5 **1**

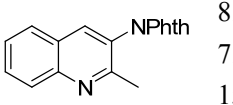
To a solution of **5** (0.60 mmol) in a mixed solvent of ethanol and H_2O with a ratio of 4/1 (5 mL) was added iron powder (134 mg, 2.40 mmol) followed by HCl (0.1 M, 0.3 mL, 0.03 mmol), and the resulting mixture was vigorously stirred at 85 °C for 0.5-1.5 h. When the reduction reaction was complete (determined by TLC), saturated $NaHCO_3$ (5 mL) was added and the mixture was filtered through celite. The combined organic layers were dried (Na_2SO_4). After filtration, the solvent was removed under reduced pressure and the crude product was pure enough for further reaction.

In a 25 mL round-bottom flask, the crude product and phthalic anhydride were combined in AcOH (5 mL). The resulting mixture was vigorously stirred at 120 °C for 18h. The solvent was removed under reduced pressure, the residue was resolved in CH_2Cl_2 (10 mL) and washed with saturated $NaHCO_3$ (15 mL). The organic layer was dried (Na_2SO_4) and was taken to dryness under reduced pressure, the residue was purified by flash chromatography on silica gel to yield the product.

2-(2-Butylquinolin-3-yl)isoindoline-1,3-dione (1a): 83% yield, white solid, mp 150-151 °C, R_f = 0.45 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.12 (d, *J* = 8.5, 1H), 8.05-7.95 (m, 3H), 7.88-7.71 (m, 4H), 7.53 (t, *J* = 7.4, 1H), 2.92-2.80 (m, 2H), 1.84-1.71 (m, 2H), 1.42-1.26 (m, 2H), 0.84 (t, *J* = 7.3, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.7, 160.8, 148.2, 136.8, 134.9, 132.0, 130.6, 129.1, 127.8, 127.0, 126.7, 124.9, 124.2, 34.6, 30.8, 22.8, 14.0; HRMS Calculated for $C_{21}H_{19}N_2O_2$ $[M+H]^+$ 331.1447, found 331.1441.

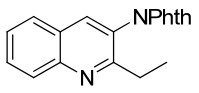


2-(2-Methylquinolin-3-yl)isoindoline-1,3-dione (1b): 80% yield, white solid, mp 249-251 °C, R_f = 0.30 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.10 (d, *J* = 8.5, 1H), 8.05 (s, 1H), 8.03-7.95 (m, 2H), 7.82 (d, *J* = 8.0, 3H), 7.76 (t, *J* = 7.7, 1H), 7.54 (t, *J* = 7.4, 1H), 2.63 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.3, 157.1, 148.0, 136.5, 134.9, 132.1, 130.7, 128.9, 127.9, 127.1, 126.8, 125.1, 124.2, 22.2; HRMS Calculated for $C_{18}H_{13}N_2O_2$ $[M+H]^+$ 289.0977, found 289.0970.

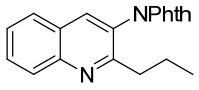


2-(2-Ethylquinolin-3-yl)isoindoline-1,3-dione (1c): 78% yield, white solid, mp 230-232 °C, R_f = 0.30 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.13 (d, *J* = 8.5, 1H),

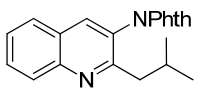
8.02 (s, 1H), 7.97 (td, *J* = 5.2, 2.1, 2H), 7.85-7.72 (m, 4H), 7.56-7.49 (m, 1H), 2.89 (q, *J* = 7.5, 2H), 1.34 (t, *J* = 7.5, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.7, 161.4, 148.2, 136.8, 134.8, 132.1, 130.6, 129.1, 127.9, 127.0, 126.7, 124.8, 124.2, 28.0, 12.8; HRMS Calculated for $C_{19}H_{15}N_2O_2$ $[M+H]^+$ 303.1134, found 303.1109.



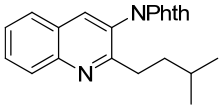
2-(2-Propylquinolin-3-yl)isoindoline-1,3-dione (1d): 75% yield, white solid, mp 120-122 °C, R_f = 0.50 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.12 (d, *J* = 8.5, 1H), 8.02 (s, 1H), 8.00 (d, *J* = 3.0, 2H), 7.82 (dd, *J* = 12.4, 7.1, 3H), 7.78-7.72 (m, 1H), 7.53 (t, *J* = 7.4, 1H), 2.92-2.75 (m, 2H), 1.83 (dd, *J* = 15.2, 7.5, 2H), 0.93 (t, *J* = 7.3, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.7, 160.5, 148.2, 136.7, 134.9, 132.0, 130.6, 129.1, 127.8, 127.0, 126.7, 124.9, 124.2, 36.9, 22.0, 14.4; HRMS Calculated for $C_{20}H_{17}N_2O_2$ $[M+H]^+$ 317.1290, found 317.1284.



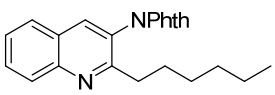
2-(2-Isobutylquinolin-3-yl)isoindoline-1,3-dione (1e): 75% yield, white solid, mp 148-150 °C, R_f = 0.55 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.13 (d, *J* = 8.1, 1H), 8.01 (d, *J* = 6.6, 3H), 7.82 (d, *J* = 18.2, 3H), 7.78-7.71 (m, 1H), 7.54 (t, *J* = 6.9, 1H), 2.75 (d, *J* = 6.7, 2H), 2.37-2.18 (m, 1H), 0.88 (d, *J* = 6.0, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.6, 160.0, 148.1, 136.6, 134.9, 132.0, 130.6, 129.1, 127.8, 126.9, 126.7, 125.3, 124.2, 43.8, 28.5, 22.9; HRMS Calculated for $C_{21}H_{19}N_2O_2$ $[M+H]^+$ 331.1447, found 331.1441.



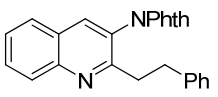
2-(2-Isopentylquinolin-3-yl)isoindoline-1,3-dione (1f): 56% yield, white solid, mp 177-178 °C, R_f = 0.55 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.12 (d, *J* = 8.5, 1H), 7.99 (dd, *J* = 8.6, 6.5, 3H), 7.71-7.87 (m, 4H), 7.52 (t, *J* = 7.4, 1H), 2.93-2.80 (m, 2H), 1.72-1.61 (m, 2H), 1.56 (tt, *J* = 13.2, 6.6, 1H), 0.81 (d, *J* = 6.5, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.7, 161.0, 148.2, 136.9, 134.9, 132.0, 130.6, 129.1, 127.8, 127.0, 126.7, 124.9, 124.1, 37.8, 33.0, 28.2, 22.5; HRMS Calculated for $C_{22}H_{21}N_2O_2$ $[M+H]^+$ 345.1603, found 345.1596.



2-(2-Hexylquinolin-3-yl)isoindoline-1,3-dione (1g): 62% yield, white solid, mp 106-107 °C, R_f = 0.55 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.12 (d, *J* = 8.4, 1H), 7.99 (dd, *J* = 9.9, 6.8, 3H), 7.88-7.70 (m, 4H), 7.52 (t, *J* = 7.4, 1H), 2.94-2.77 (m, 2H), 1.87-1.69 (m, 2H), 1.26-1.40 (m, 2H), 1.10-1.26 (m, 4H), 0.77 (t, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.6, 160.8, 148.2, 136.8, 134.8, 132.0, 130.6, 129.1, 127.8, 127.0, 126.7, 124.9, 124.2, 35.0, 31.7, 29.4, 28.7, 22.6, 14.2; HRMS Calculated for $C_{23}H_{23}N_2O_2$ [M+H]+ 359.1760, found 359.1751.

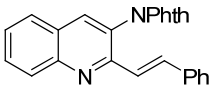


2-(2-Phenethylquinolin-3-yl)isoindoline-1,3-dione (1h): 86% yield, white solid, mp 143-144 °C, R_f = 0.45 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.18 (d, *J* = 8.5, 1H), 8.06 (s, 1H), 7.98 (dd, *J* = 5.0, 3.0, 2H), 7.81 (dd, *J* = 16.7, 6.7, 4H), 7.57 (t, *J* = 7.5, 1H), 7.25-7.10 (m, 5H), 3.28-3.13 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.5, 159.4, 148.1, 142.0, 136.8, 134.9, 132.0, 130.7, 129.2, 128.6, 128.6, 127.9, 127.1, 126.9, 126.1, 124.9, 124.2, 36.6, 34.4; HRMS Calculated for $C_{25}H_{19}N_2O_2$ $[M+H]^+$ 379.1447, found 379.1439.

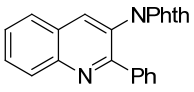


(*E*)-2-(2-Styrylquinolin-3-yl)isoindoline-1,3-dione (1i): 72% yield, white solid, mp 244-246 °C, R_f = 0.40 (petroleum ether/CH_2Cl_2 1:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.18 (d, *J* =

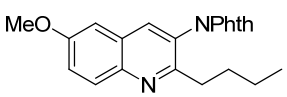
8.5, 1H), 8.13–7.99 (m, 4H), 7.90–7.85 (m, 2H), 7.84-7.75 (m, 2H), 7.58-7.46 (m, 3H), 7.34-7.26 (m, 3H), 7.08 (d, J = 15.6, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.4, 152.9, 148.2, 136.9, 136.7, 136.6, 134.8, 131.9, 130.8, 129.4, 128.8, 128.6, 127.7, 127.6, 127.3, 126.8, 124.2, 124.2, 122.0; HRMS Calculated for $C_{25}H_{17}N_2O_2$ [M+H]+ 377.1290, found 377.1281.



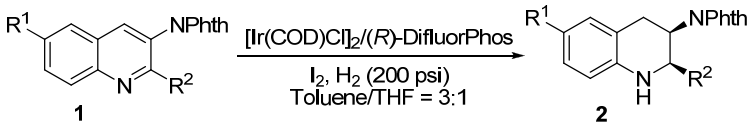
2-(2-Phenylquinolin-3-yl)isoindoline-1,3-dione (1j): 83% yield, white solid, mp 257-259 ^{o}C, R_f = 0.20 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.28-8.18 (m, 2H), 7.89 (d, J = 8.1, 1H), 7.87-7.78 (m, 3H), 7.74 (dd, J = 5.3, 3.1, 2H), 7.61 (t, J = 7.6, 1H), 7.59-7.53 (m, 2H), 7.31 (d, J = 6.2, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.4, 158.3, 148.2, 138.7, 137.6, 134.7, 131.8, 131.0, 129.9, 128.9, 128.7, 128.2, 127.9, 127.5, 124.3, 124.1; HRMS Calculated for $C_{23}H_{15}N_2O_2$ $[M+H]^+$ 351.1134, found 351.1126.



2-(2-Butyl-6-methoxyquinolin-3-yl)isoindoline-1,3-dione (1k): 67% yield, white solid, mp 130-132 ^{o}C, R_f = 0.30 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.00 (d, J = 9.4, 3H), 7.90 (s, 1H), 7.82 (d, J = 2.8, 2H), 7.39 (d, J = 9.1, 1H), 7.02 (s, 1H), 3.90 (s, 3H), 2.87-2.75 (m, 2H), 1.80-1.68 (m, 2H), 1.40-1.25 (m, 2H), 0.83 (t, J = 7.3, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 167.7, 158.0, 157.9, 144.4, 135.5, 134.8, 132.1, 130.5, 128.0, 125.2, 124.1, 123.4, 105.1, 55.7, 34.4, 30.9, 22.8, 14.0; HRMS Calculated for $C_{22}H_{21}N_2O_3$ $[M+H]^+$ 361.1552, found 361.1548.

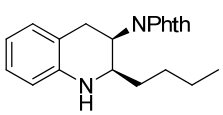


7. Asymmetric Hydrogenation of Quinolin-3-amines 1



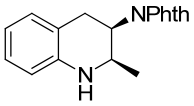
In a nitrogen-filled glove box, a mixture of $[Ir(COD)Cl]_2$ (1.3 mg, 0.002 mmol) and (*R*)-DifluorPhos (3.0 mg, 0.0044 mmol) in PhMe/THF (3:1, 1.0 mL) was stirred at room temperature for 10 min, then substrate **1** (0.10 mmol) and I_2 (1.3 mg, 0.005 mmol) together with the solvent (2.0 mL) were added to the reaction mixture. The hydrogenation was performed under H_2 (200 psi) in a stainless steel autoclave for 18 h. After carefully releasing the hydrogen, the solvent was removed under reduced pressure. Purification was performed by a silica gel column eluted with hexane/EtOAc to give desire product. The enantiomeric excesses were determined by chiral HPLC.

2-((2*R*,3*R*)-2-Butyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2a): 94% yield, 93% ee, light yellow oil, $[\alpha]^{20}_D$ = +181.6 (*c* 0.64, CH_2Cl_2), R_f = 0.60 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82 (dt, J = 7.0, 3.5, 2H), 7.76-7.66 (m, 2H), 7.01 (dd, J = 14.8, 7.3, 2H), 6.72-6.64 (m, 1H), 6.57 (d, J = 7.8, 1H), 4.78-4.87 (m, 1H), 4.06 (s, 1H), 3.93 (dd, J = 16.6, 9.6, 1H), 3.45 (d, J = 10.3, 1H), 3.04 (dd, J = 16.6, 6.2, 1H), 1.52-1.65 (m, 1H), 1.51-1.38 (m, 2H), 1.36-1.20 (m, 3H), 0.85 (t, J = 7.1, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 169.0, 143.4, 134.2, 132.0, 129.2, 127.2, 123.4, 120.0, 117.8, 114.6, 54.6, 50.6, 30.5, 28.8, 27.4, 22.9, 14.3; HRMS Calculated for

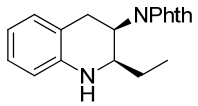


$C_{21}H_{23}N_2O_2$ $[M+H]^+$ 335.1760, found 335.1753; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 12.1 min (major) and 14.5 min.

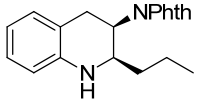
2-((2*R*,3*R*)-2-Methyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2b): 97% yield, 81% ee, light yellow solid, mp 167-169 °C, $[\alpha]^{20}_D$ = +170.2 (*c* 0.56, CH_2Cl_2), R_f = 0.40 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.87-7.78 (m, 2H), 7.76-7.66 (m, 2H), 7.02 (t, *J* = 7.8, 2H), 6.70 (t, *J* = 7.4, 1H), 6.56 (d, *J* = 7.9, 1H), 4.75-4.85 (m, 1H), 3.90 (dd, *J* = 16.6, 9.2, 2H), 3.68 (dt, *J* = 9.9, 4.9, 1H), 3.07 (dd, *J* = 16.7, 6.2, 1H), 1.22 (dd, *J* = 6.5, 2.3, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 169.0, 143.5, 134.2, 132.0, 129.0, 127.2, 123.4, 120.1, 118.0, 114.6, 50.5, 50.0, 27.0, 18.1; HRMS Calculated for $C_{18}H_{17}N_2O_2$ $[M+H]^+$ 293.1290, found 293.1286; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 21.3 min (major) and 28.0 min.



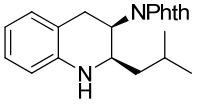
2-((2*R*,3*R*)-2-Ethyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2c): 97% yield, 90% ee, light yellow solid, mp 161-163 °C, $[\alpha]^{20}_D$ = +197.7 (*c* 0.60, CH_2Cl_2), R_f = 0.45 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.86-7.78 (m, 2H), 7.74-7.66 (m, 2H), 7.02 (dd, *J* = 15.1, 7.5, 2H), 6.69 (dd, *J* = 10.7, 4.0, 1H), 6.58 (d, *J* = 7.9, 1H), 4.81-4.89 (m, 1H), 4.11 (s, 1H), 3.95 (dd, *J* = 16.5, 9.8, 1H), 3.36 (dt, *J* = 9.7, 3.6, 1H), 3.02 (dd, *J* = 16.6, 6.2, 1H), 1.68-1.45 (m, 2H), 0.97 (t, *J* = 7.4, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 169.1, 143.3, 134.2, 132.0, 129.2, 127.2, 123.4, 120.2, 117.8, 114.6, 56.2, 50.5, 27.2, 23.6, 10.9; HRMS Calculated for $C_{19}H_{19}N_2O_2$ $[M+H]^+$ 307.1447, found 307.1443; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 14.0 min (major) and 21.2 min.



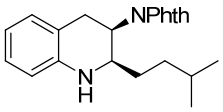
2-((2*R*,3*R*)-2-Propyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2d): 94% yield, 92% ee, light yellow solid, mp 157-159 °C, $[\alpha]^{20}_D$ = +204.3 (*c* 0.60, CH_2Cl_2), R_f = 0.45 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.86-7.78 (m, 2H), 7.72 (td, *J* = 5.2, 2.0, 2H), 7.02 (dd, *J* = 14.3, 7.4, 2H), 6.68 (t, *J* = 7.4, 1H), 6.57 (d, *J* = 7.9, 1H), 4.78-4.87 (m, 1H), 4.06 (s, 1H), 3.94 (dd, *J* = 16.6, 9.6, 1H), 3.47 (dt, *J* = 10.2, 3.2, 1H), 3.04 (dd, *J* = 16.6, 6.2, 1H), 1.65-1.24 (m, 4H), 0.89 (t, *J* = 7.1, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 169.0, 143.4, 134.2, 132.0, 129.2, 127.2, 123.4, 120.2, 117.8, 114.6, 54.3, 50.6, 32.8, 27.3, 19.7, 14.2; HRMS Calculated for $C_{20}H_{21}N_2O_2$ $[M+H]^+$ 321.1603, found 321.1595; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 12.8 min (major) and 17.1 min.



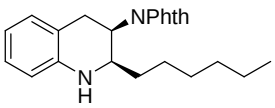
2-((2*R*,3*R*)-2-Isobutyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2e): 99% yield, 94% ee, light yellow oil, $[\alpha]^{20}_D$ = +203.2 (*c* 0.66, CH_2Cl_2), R_f = 0.65 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.83 (dd, *J* = 5.4, 3.0, 2H), 7.71 (dd, *J* = 5.5, 2.9, 2H), 7.02 (dd, *J* = 14.2, 7.2, 2H), 6.69 (t, *J* = 7.4, 1H), 6.57 (d, *J* = 7.9, 1H), 4.86-4.75 (m, 1H), 4.00 (s, 1H), 3.87 (dd, *J* = 16.7, 9.1, 1H), 3.62-3.51 (m, 1H), 3.08 (dd, *J* = 16.7, 6.3, 1H), 1.81-1.65 (m, 1H), 1.64-1.50 (m, 1H), 1.29-1.15 (m, 1H), 0.88 (dd, *J* = 21.4, 6.6, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 169.0, 143.6, 134.2, 131.9, 129.1, 127.2, 123.5, 120.3, 117.9, 114.7, 52.2, 50.6, 39.7, 27.6, 24.7, 24.1, 21.6; HRMS Calculated for $C_{21}H_{23}N_2O_2$ $[M+H]^+$ 335.1760, found 335.1755; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 10.2 min (major) and 17.9 min.



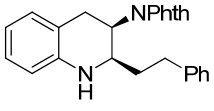
2-((2*R*,3*R*)-2-Isopentyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2f): 97% yield, 88% ee, yellow oil, $[\alpha]^{20}_D$ = +176.8 (*c* 0.68, CH_2Cl_2), R_f = 0.65 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.83 (dd, *J* = 4.7, 3.1, 2H), 7.76-7.62 (m, 2H), 7.02 (dd, *J* = 15.5, 7.4, 2H), 6.69 (t, *J* = 7.1, 1H), 6.57 (d, *J* = 7.8, 1H), 4.88-4.74 (m, 1H), 4.03 (s, 1H), 3.90 (dd, *J* = 16.5, 9.4, 1H), 3.47-3.34 (m, 1H), 3.05 (dd, *J* = 16.6, 5.8, 1H), 1.58-1.41 (m, 3H), 1.29-1.38 (m, 1H), 1.22-1.12 (m, 1H), 0.85-0.77 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 169.0, 143.5, 134.2, 132.0, 129.1, 127.2, 123.4, 120.2, 117.8, 114.6, 55.0, 50.5, 35.8, 28.7, 28.2, 27.6, 23.0, 22.6; HRMS Calculated for $C_{22}H_{25}N_2O_2$ $[M+H]^+$ 349.1916, found 349.1910; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.6 mL/min, retention time 13.4 min (major) and 15.3 min.



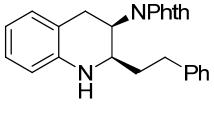
2-((2*R*,3*R*)-2-Hexyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2g): 97% yield, 92% ee, yellow oil, $[\alpha]^{20}_D$ = +180.4 (*c* 0.70, CH_2Cl_2), R_f = 0.70 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.82 (dt, *J* = 6.9, 3.5, 2H), 7.75-7.67 (m, 2H), 7.01 (dd, *J* = 15.1, 7.4, 2H), 6.68 (t, *J* = 7.3, 1H), 6.57 (d, *J* = 7.9, 1H), 4.77-4.88 (m, 1H), 4.05 (s, 1H), 3.92 (dd, *J* = 16.6, 9.6, 1H), 3.45 (d, *J* = 10.2, 1H), 3.04 (dd, *J* = 16.6, 6.2, 1H), 1.53-1.64 (m, 1H), 1.44 (t, *J* = 9.8, 2H), 1.31-1.18 (m, 7H), 0.83 (t, *J* = 6.7, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 168.8, 143.3, 134.0, 131.8, 129.0, 127.0, 123.2, 120.0, 117.6, 114.5, 54.4, 50.4, 31.8, 30.6, 29.3, 27.2, 26.5, 22.6, 14.0; HRMS Calculated for $C_{23}H_{27}N_2O_2$ $[M+H]^+$ 363.2073, found 363.2066; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 11.3 min (major) and 12.8 min.



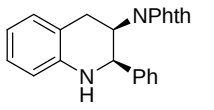
2-((2*R*,3*R*)-2-Phenethyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2h): 99% yield, 93% ee, yellow oil, $[\alpha]^{20}_D$ = +156.0 (*c* 0.76, CH_2Cl_2), R_f = 0.50 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.86-7.77 (m, 2H), 7.74-7.65 (m, 2H), 7.20 (t, *J* = 7.2, 2H), 7.12 (t, *J* = 8.5, 3H), 7.01 (dd, *J* = 13.1, 7.0, 2H), 6.69 (t, *J* = 7.0, 1H), 6.48 (d, *J* = 7.9, 1H), 4.77-4.85 (m, 1H), 3.94 (dd, *J* = 16.6, 9.7, 2H), 3.49 (dt, *J* = 9.2, 3.5, 1H), 3.03 (dd, *J* = 16.6, 6.2, 1H), 2.74-2.95 (m, 1H), 2.71-2.58 (m, 1H), 2.00-1.79 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 168.8, 143.0, 141.4, 134.0, 131.8, 123.0, 128.5, 128.4, 127.1, 126.0, 123.3, 120.0, 117.8, 114.7, 54.1, 50.4, 32.9, 32.0, 27.2; HRMS Calculated for $C_{25}H_{23}N_2O_2$ $[M+H]^+$ 383.1760, found 383.1753; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 20.2 min (major) and 57.8 min.



2-((2*R*,3*R*)-2-Phenethyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione [2h, for the asymmetric hydrogenation of (*E*)-2-(2-styrylquinolin-3-yl)isoindoline-1,3-dione **(1i)]:** 97% yield, 90% ee, yellow oil, R_f = 0.50 (petroleum ether/EtOAc 5:1). HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 20.3 min (major) and 58.5 min.

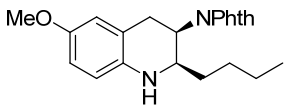


2-((2*R*,3*R*)-2-Phenyl-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2j): 97% yield, 40% ee, light yellow solid, mp 253-255 °C, $[\alpha]^{20}_D$ = +135.4 (*c* 0.70, CH_2Cl_2), R_f = 0.40 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.77-7.63 (m, 4H), 7.24-7.00 (m, 7H), 6.72 (t, *J* = 7.4, 1H), 6.62 (d, *J* = 7.9, 1H), 4.97 (dt, *J* = 10.4, 5.1, 1H), 4.76 (d, *J* = 4.6, 1H), 4.31 (s, 1H), 3.95 (dd, *J* = 16.3, 10.6,

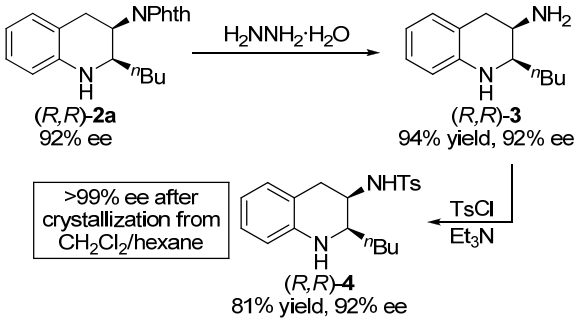


1H), 3.04 (dd, *J* = 16.3, 5.3, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 168.3, 143.7, 141.3, 134.1, 131.7, 129.2, 128.5, 128.1, 127.6, 127.5, 123.3, 119.5, 117.7, 113.6, 57.9, 51.2, 26.7; HRMS Calculated for $C_{23}H_{19}N_2O_2$ $[M+H]^+$ 355.1447, found 355.1439; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 18.7 min (major) and 33.0 min.

2-((2*R*,3*R*)-2-Butyl-6-methoxy-1,2,3,4-tetrahydroquinolin-3-yl)isoindoline-1,3-dione (2k): 97% yield, 86% ee, yellow oil, $[\alpha]^{20}_D$ = +146.3 (*c* 0.70, CH_2Cl_2), R_f = 0.45 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.85-7.79 (m, 2H), 7.71 (td, *J* = 5.2, 2.1, 2H), 6.66 (dd, *J* = 8.6, 2.8, 1H), 6.60 (d, *J* = 2.7, 1H), 6.55 (d, *J* = 8.6, 1H), 4.77-4.85 (m, 1H), 3.78 (dd, *J* = 17.0, 8.3, 1H), 3.74 (s, 3H), 3.38 (dt, *J* = 7.0, 3.2, 1H), 3.09 (dd, *J*=17.0, 6.8, 1H), 1.53-1.42 (m, 2H), 1.36-1.23 (m, 4H), 0.85 (t, *J* = 7.1, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 168.8, 152.3, 137.5, 134.0, 131.8, 123.2, 121.7, 115.9, 114.1, 113.1, 55.7, 55.0, 50.3, 30.2, 28.6, 28.0, 22.7, 14.1; HRMS Calculated for $C_{22}H_{25}N_2O_3$ $[M+H]^+$ 365.1865, found 365.1861; HPLC: Chiracel OD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 70/30, flow = 0.7 mL/min, retention time 12.8 min (major) and 24.2 min.



8. Removal of Phth Group and Determination of Absolute Configuration of 2a



Following a known literature report:[3] A magnetically stirred suspension of **2a** (26 mg, 0.08 mmol) in EtOH (3 mL) was treated with hydrazine monohydrate (0.10 mL) and the resulting mixture stirred at 60 °C under N_2 for 2 h. The cooled mixture was concentrated under reduced pressure and the residue partitioned between CH_2Cl_2 (10 mL) and H_2O (10 mL). The separated aqueous phase was then extracted with CH_2Cl_2 (10 mL×2), the combined organic fractions dried ($MgSO_4$) and concentrated under reduced pressure. The ensuing residue was subjected to flash chromatography to afford **3** as a colourless oil.

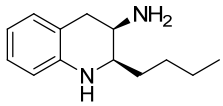
In a 25 mL round-bottom flask, **3** and triethylamine (9.1 mg, 13 μL) were combined in CH_2Cl_2 (4.0 mL) under N_2. The flask was cooled in an ice bath, to which was slowly added the solution of *p*-toluene sulfonyl chloride (TsCl) in CH_2Cl_2 (4.0 mL). The ice bath was allowed to warm to room temperature and the mixture was stirred for 2 h. The reaction mixture was washed with HCl (1 M) and brine. The organic layer was dried (Na_2SO_4) and was taken to dryness under reduced pressure and the residue was purified by flash chromatography on silica gel to yield product **4**.

After recrystallization from solvent CH_2Cl_2/hexane, >99% ee was obtained. The absolute configuration was determined to be *cis*-(*R*,*R*) based on single-crystal X-ray diffraction analysis of

[3] M. Davoust, J. A. Kitching, M. J. Fleming, M. Lautens, *Chem. Eur. J.* **2010**, *16*, 50.

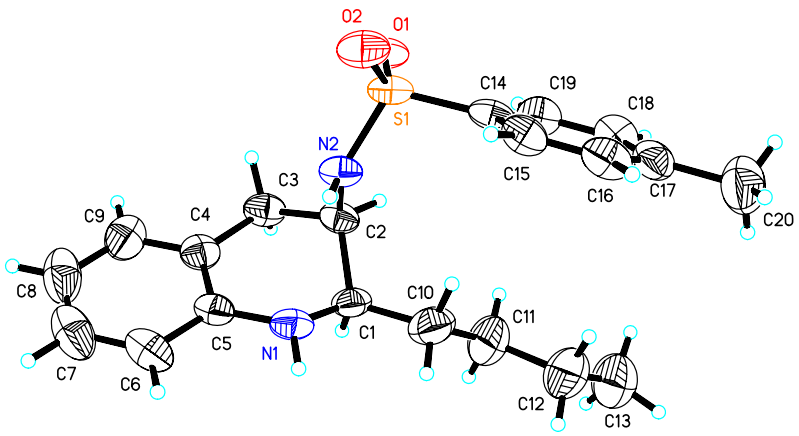
4. CCDC 962689 contains the supplementary crystallographic data. These can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk.

(2*R*,3*R*)-2-Butyl-1,2,3,4-tetrahydroquinolin-3-amine (3): 94% yield, 92% ee, light yellow solid, mp 83-85 °C, $[\alpha]^{20}_{D}$ = +29.6 (*c* 0.22, CH_2Cl_2). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 6.97 (t, *J* = 8.1, 2H), 6.63 (t, *J* = 7.3, 1H), 6.49 (d, *J* = 7.8, 1H), 3.23 (t, *J* = 6.7, 1H), 3.17 (s, 1H), 3.07 (dd, *J* = 16.3, 4.5, 1H), 2.63 (dd, *J* = 16.3, 3.0, 1H), 1.61-1.52 (m, 1H), 1.47 (dd, *J* = 14.3, 7.6, 1H), 1.38 (dd, *J* = 8.1, 5.1, 4H), 0.94 (t, *J* = 7.0, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ = 143.8, 130.6, 126.9, 118.7, 117.6, 113.8, 55.2, 46.2, 36.8, 31.9, 28.1, 22.8, 14.1; HRMS Calculated for $C_{13}H_{21}N_2$ $[M+H]^+$ 205.1705, found 205.1701; HPLC (corresponding *N*-4-toluenesulfonyl derivative): Chirapak AD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 80/20, flow = 0.9 mL/min, retention time 11.7 min (major) and 13.7 min.

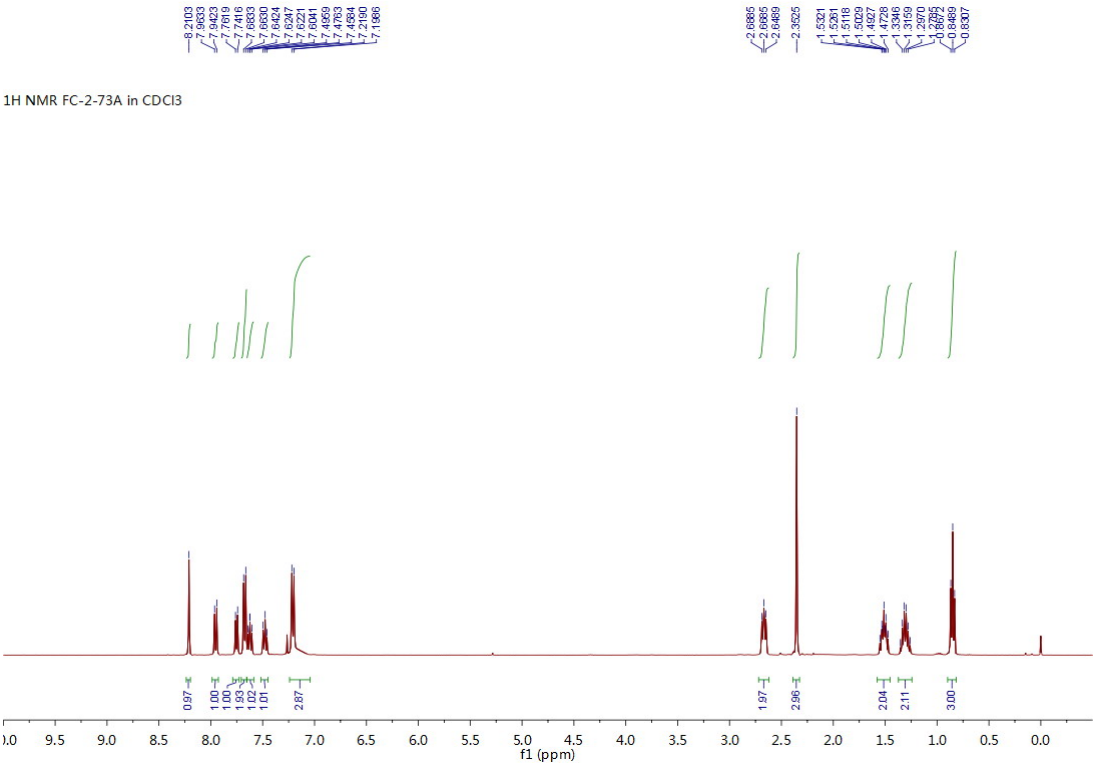


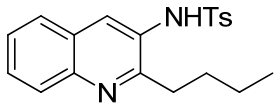
4-Methyl-*N*-((2*S*,3*S*)-2-phenyl-1,2,3,4-tetrahydroquinolin-3-yl)benzenesulfonamide (4): 81% yield, >99% ee, white solid, mp 164-166 °C, $[\alpha]^{20}_{D}$ = -46.5 (*c* 0.20, CH_2Cl_2), R_f = 0.60 (petroleum ether/EtOAc 5:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ = 7.72 (d, *J* = 7.5, 2H), 7.27 (d, *J* = 8.3, 2H), 6.98 (t, *J* = 7.4, 1H), 6.77 (d, *J* = 7.3, 1H), 6.63 (t, *J* = 7.1, 1H), 6.47 (d, *J* = 7.8, 1H), 4.92 (d, *J* = 9.0, 1H), 3.72 (d, *J* = 7.6, 1H), 3.62 (s, 1H), 3.18 (d, *J* = 6.2, 1H), 2.86 (d, *J* = 16.5, 1H), 2.57 (d, *J* = 16.5, 1H), 2.42 (s, 3H), 1.06-1.45 (m, 6H), 0.83 (t, *J* = 5.5, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ = 143.7, 143.4, 138.9, 130.6, 129.8, 127.4, 127.2, 118.6, 118.0, 114.4, 55.0, 48.5, 34.5, 31.8, 27.8, 22.8, 21.7, 14.1; HRMS Calculated for $C_{20}H_{27}N_2O_2S$ $[M+H]^+$ 359.1793, found 359.1790; Chirapak AD-H column, 254 nm, 30 °C, *n*-hexane/*i*-propanol = 80/20, flow = 0.9 mL/min, retention time 11.7 min (major).

Figure 1. The Absolute Configuration of **4**.

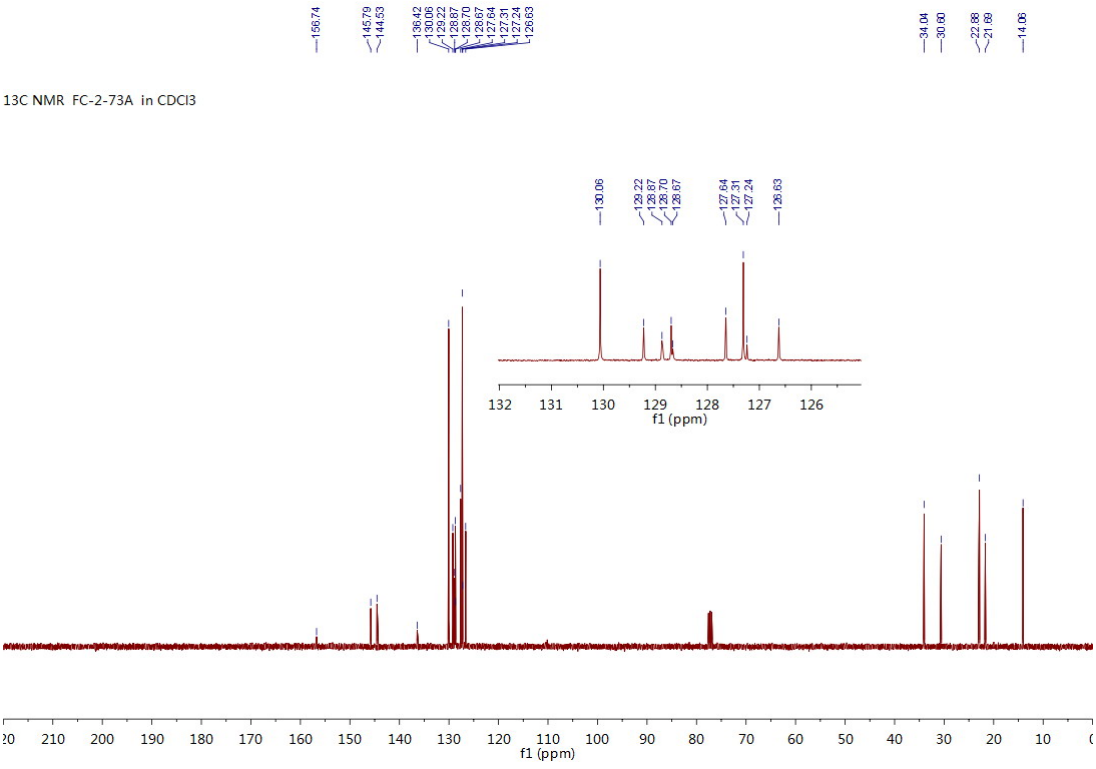


9. Copy of NMR and HPLC for racemic and chiral compounds

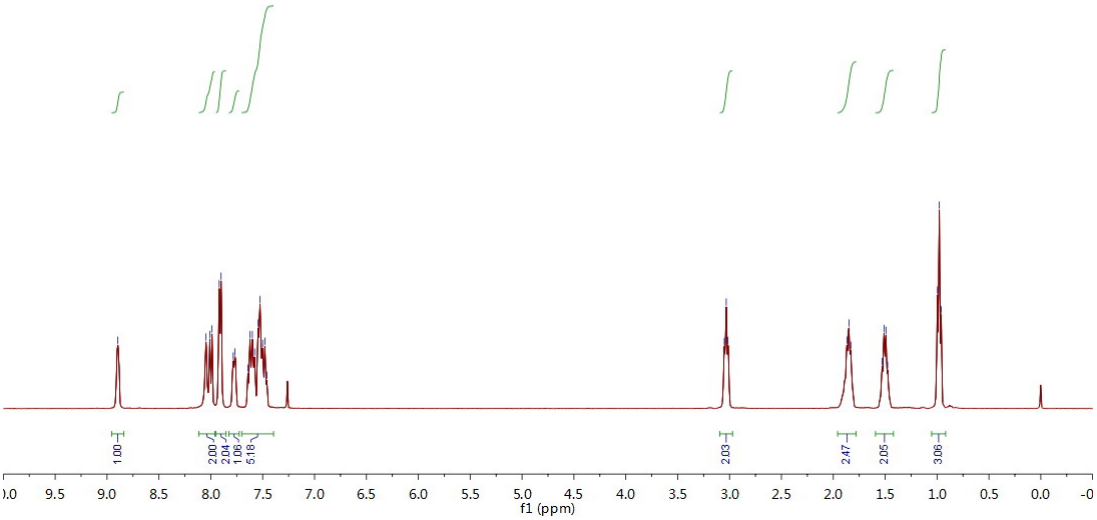


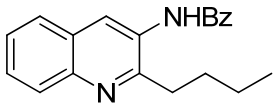


^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)



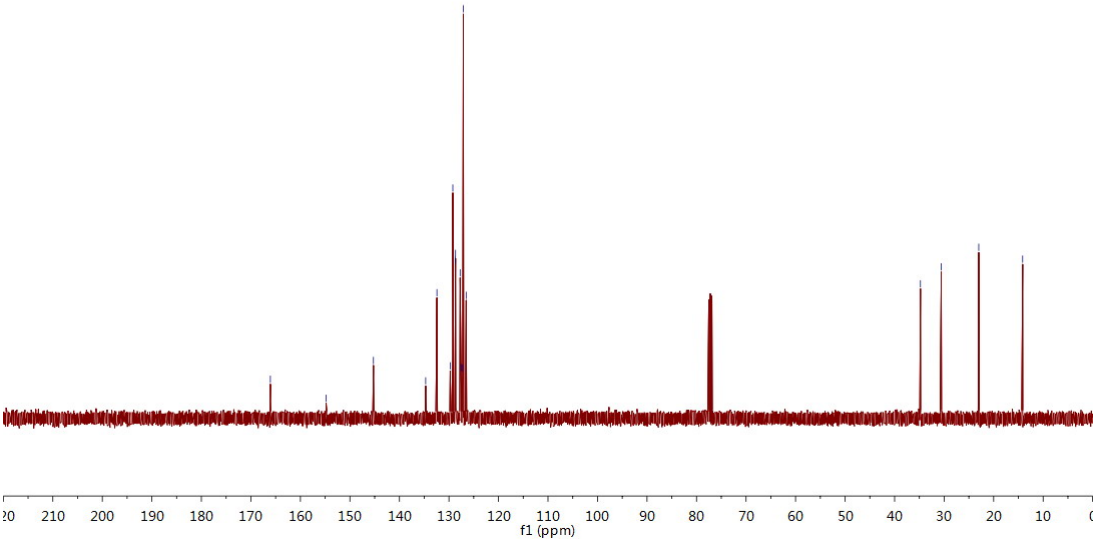
1H NMR FC-6-7A in CDCl3



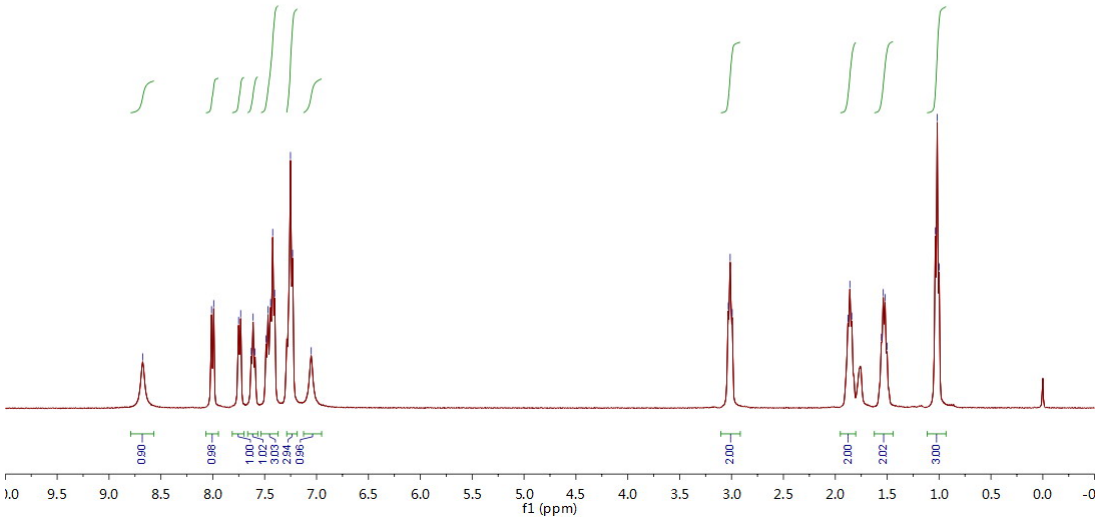


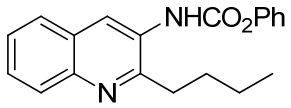
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-6-7A in CDCl3



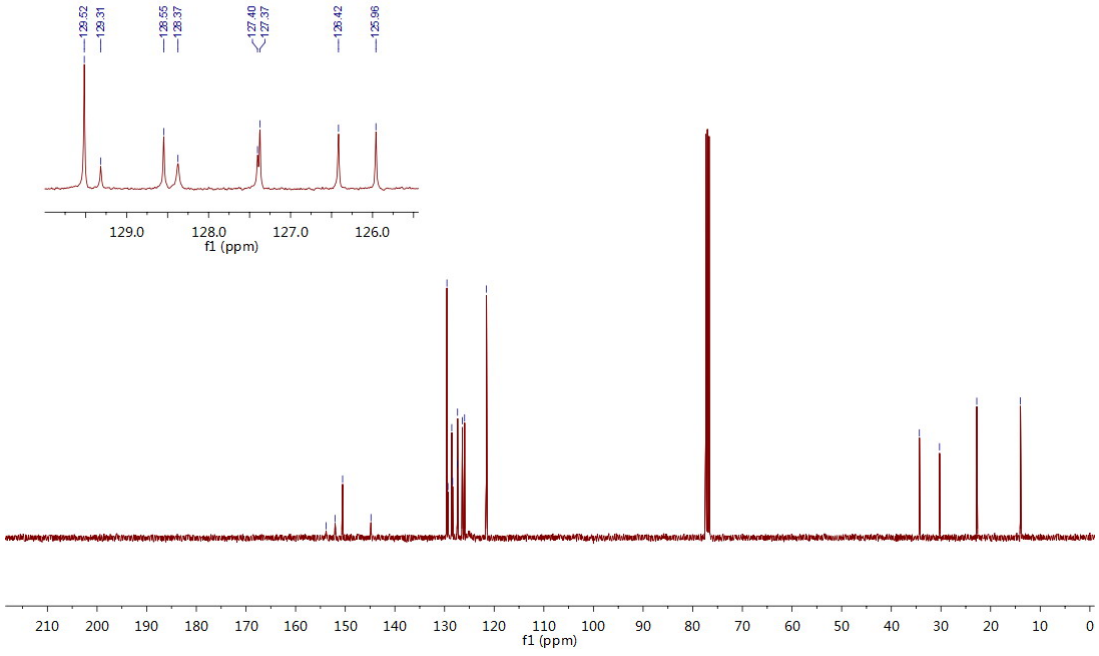
1H NMR FC-6-7B in CDCl3



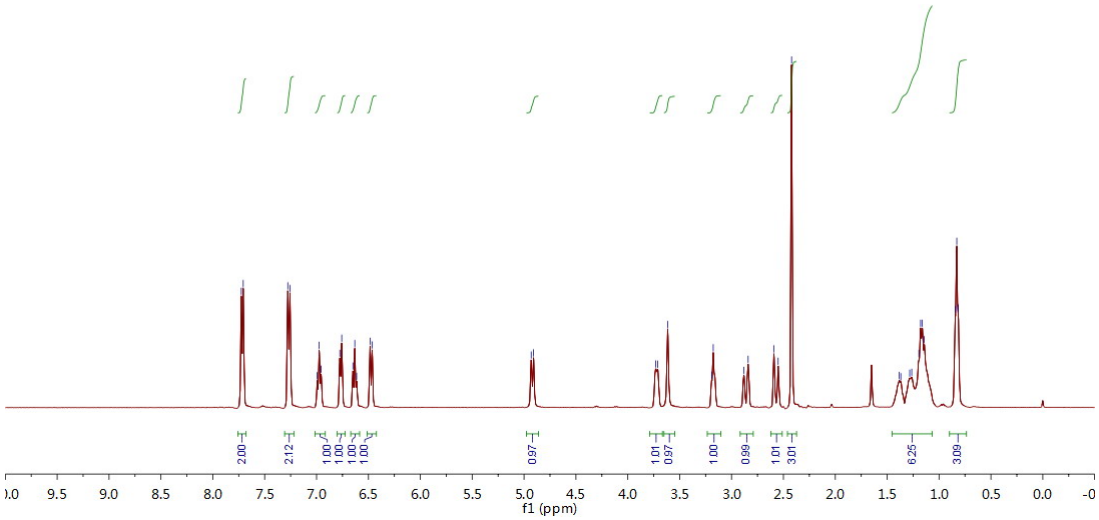


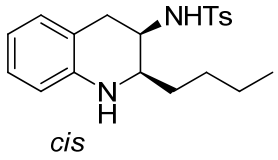
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-6-7B in CDCl3



1H NMR FC-7-76E1 in CDCl3

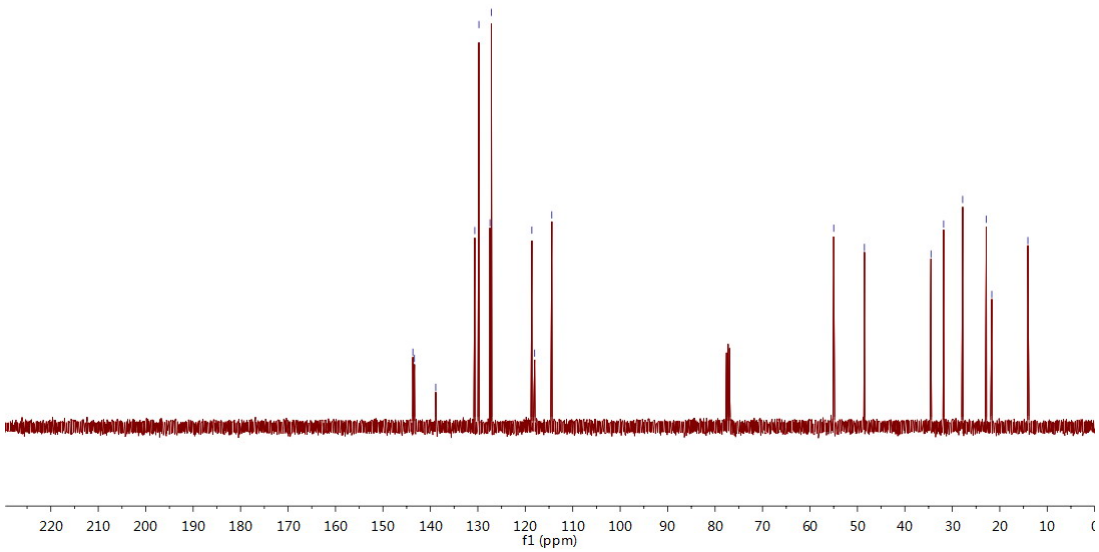




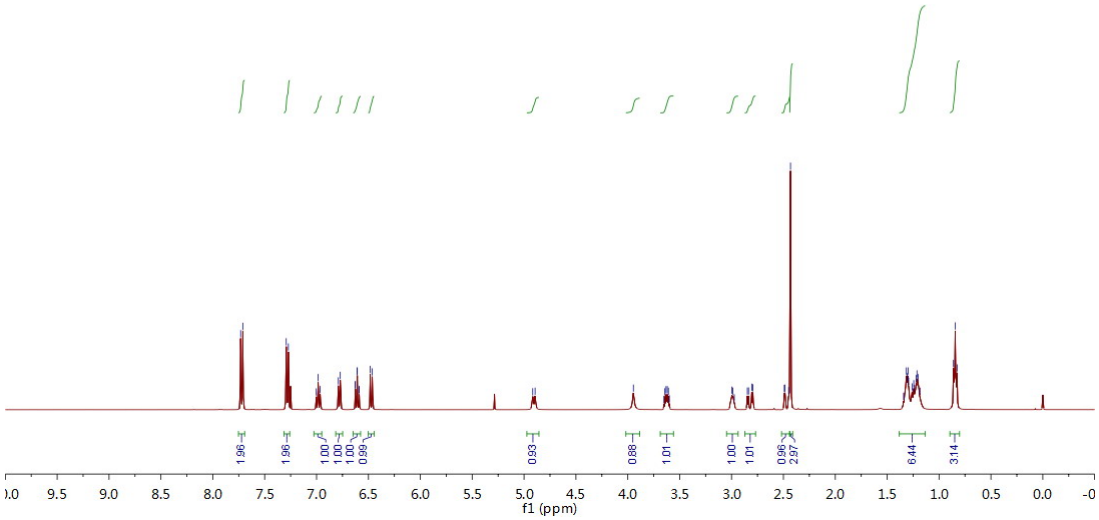
cis

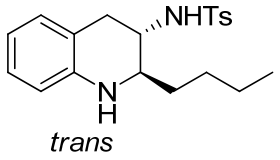
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-2-76E1 in CDCl3



1H NMR FC-6-44C2 in CDCl3
//Yzc/g/新 NMR 2013/1359/fid

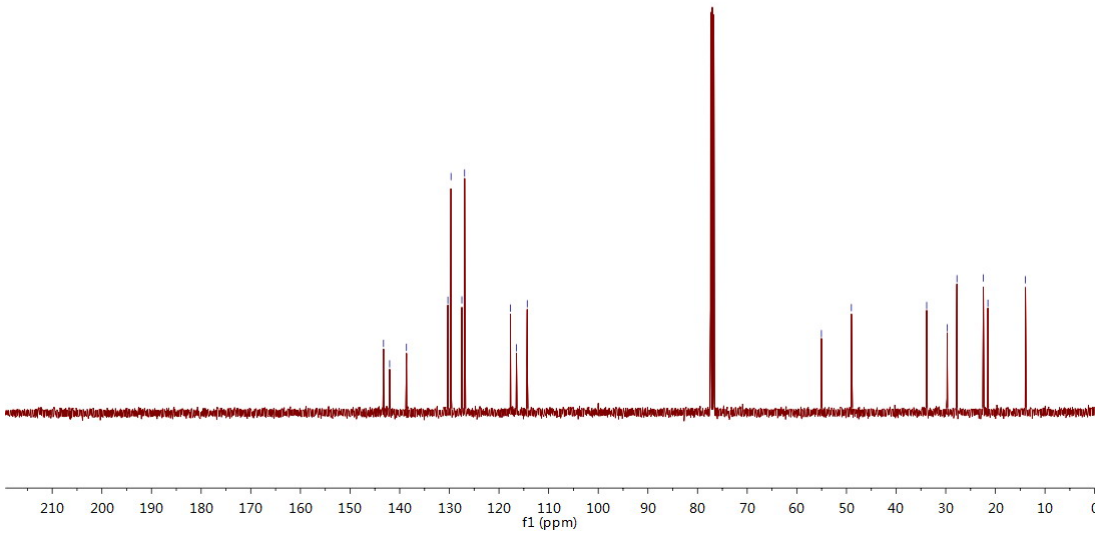




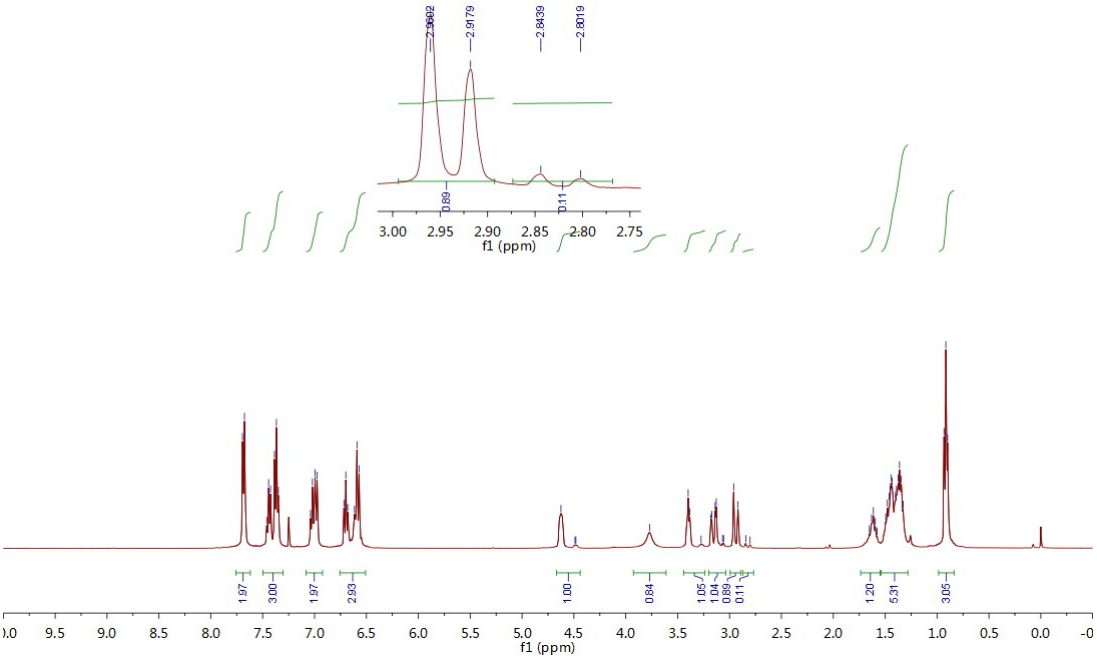
trans

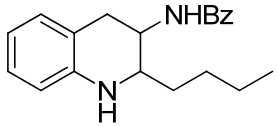
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-6-44C2 in CDCl3
//Yzc/g/新 NMR 2013/1360/fid



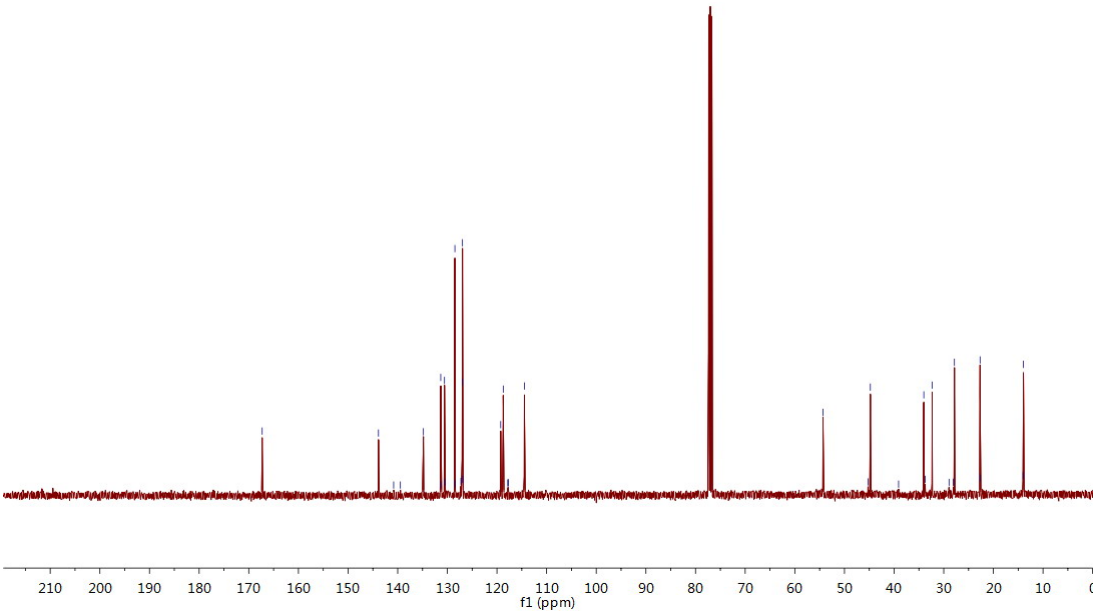
1H NMR FC-6-53A in CDCl3

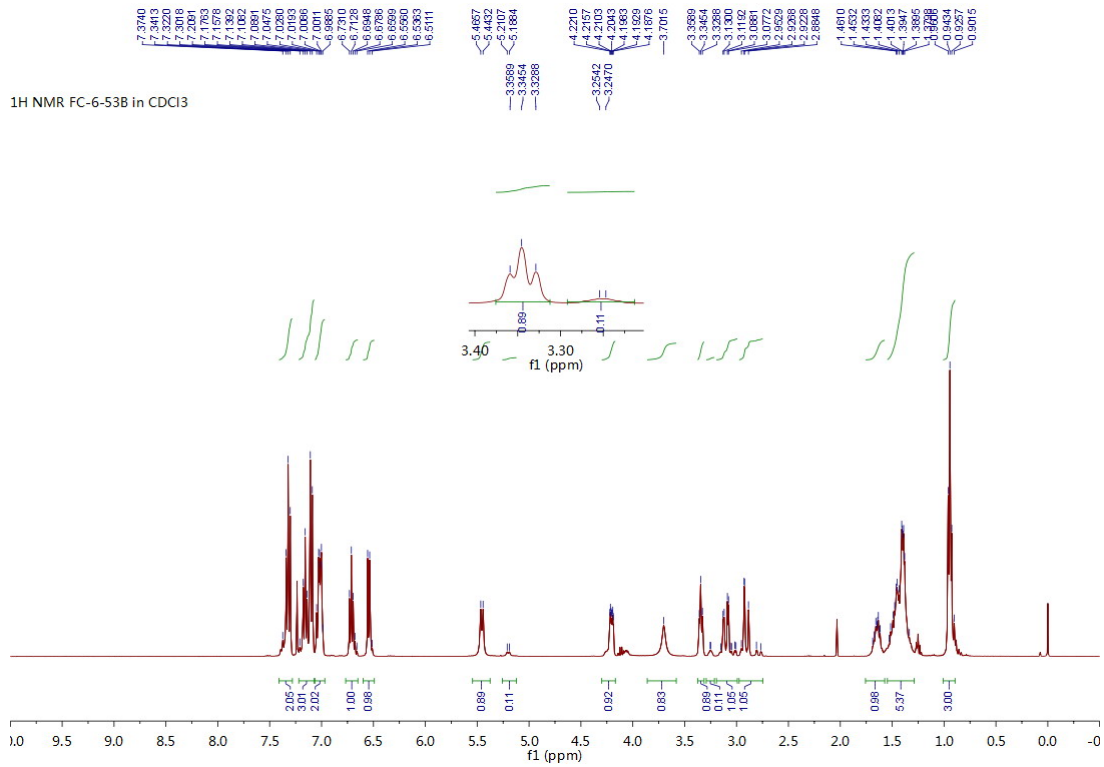


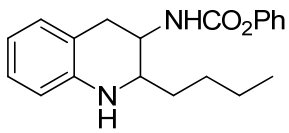


^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

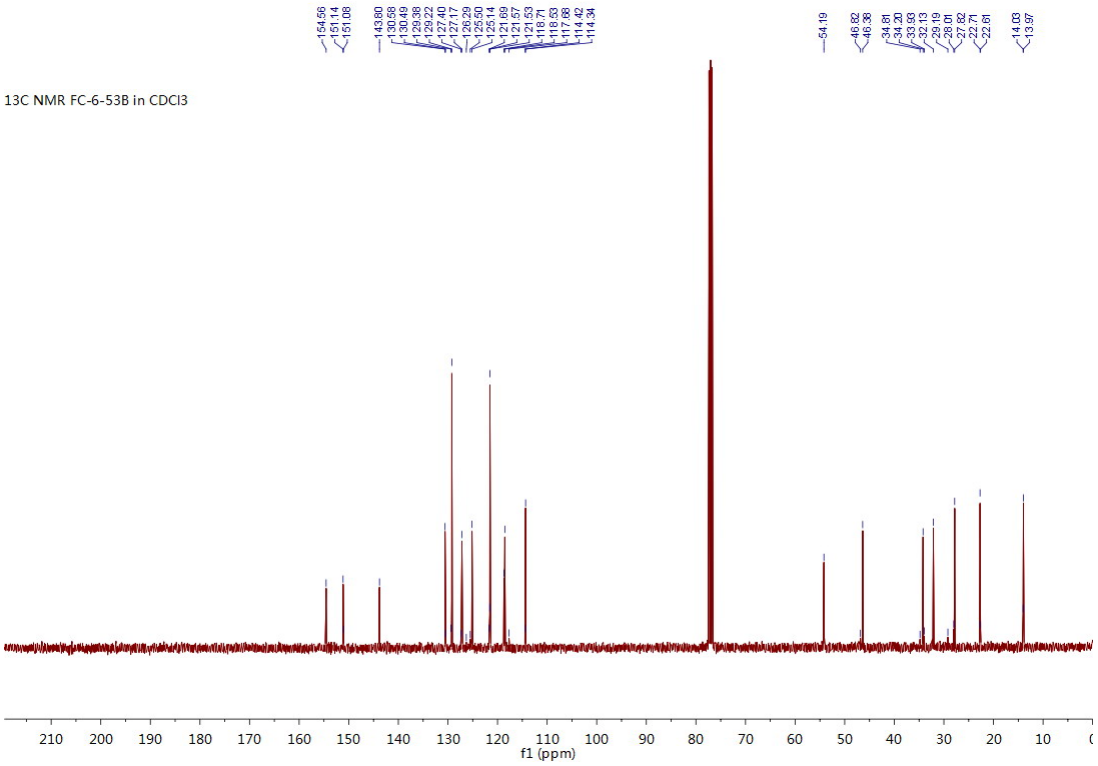
13C NMR FC-6-53A in CDCl3



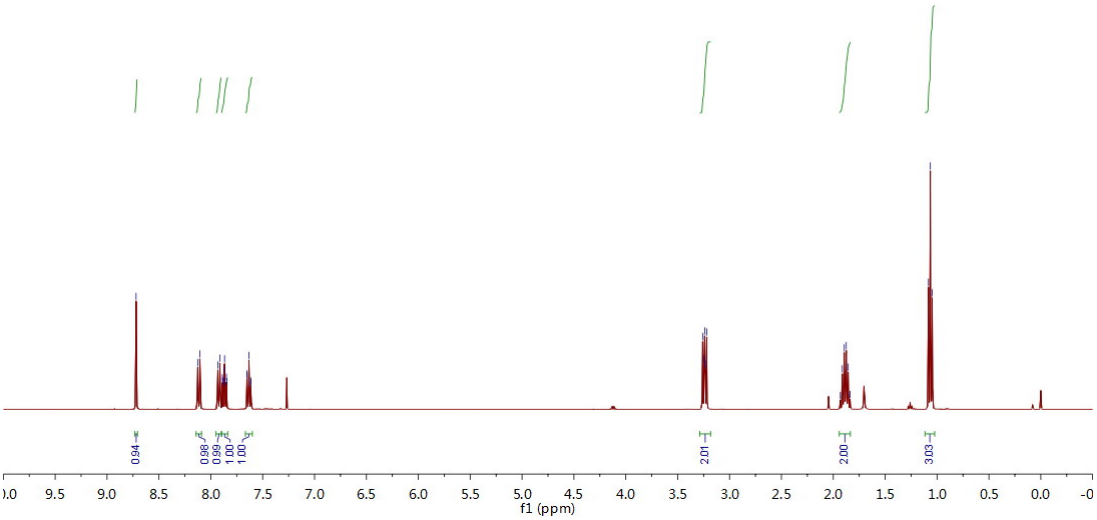


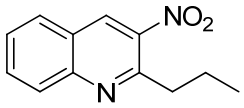


^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)



1H NMR FC-4-83A in CDCl3//Yzc/g/NMR 2013/7553/fid

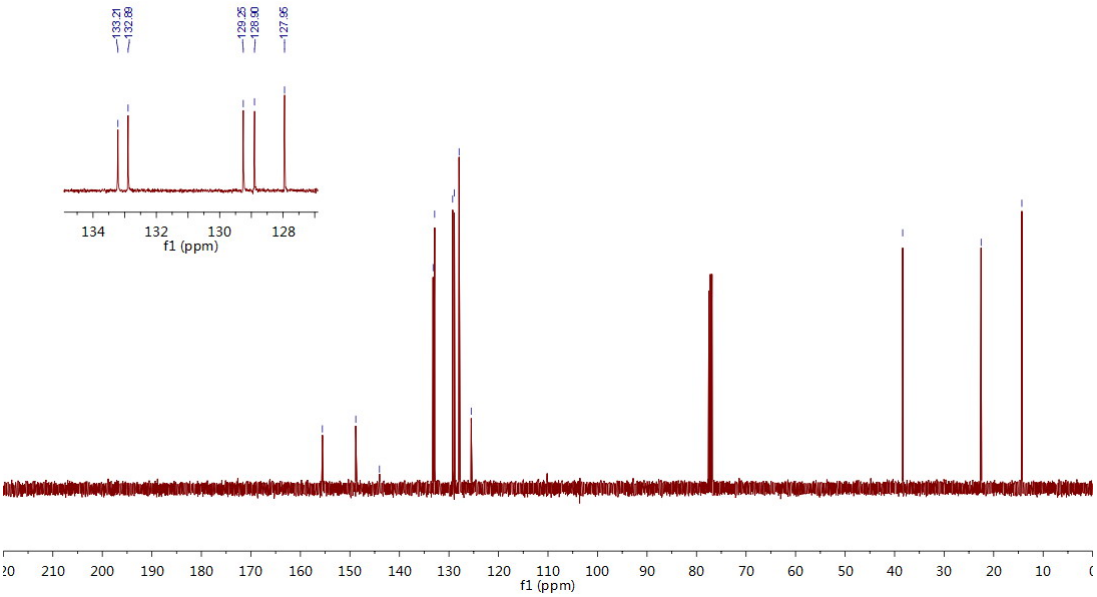


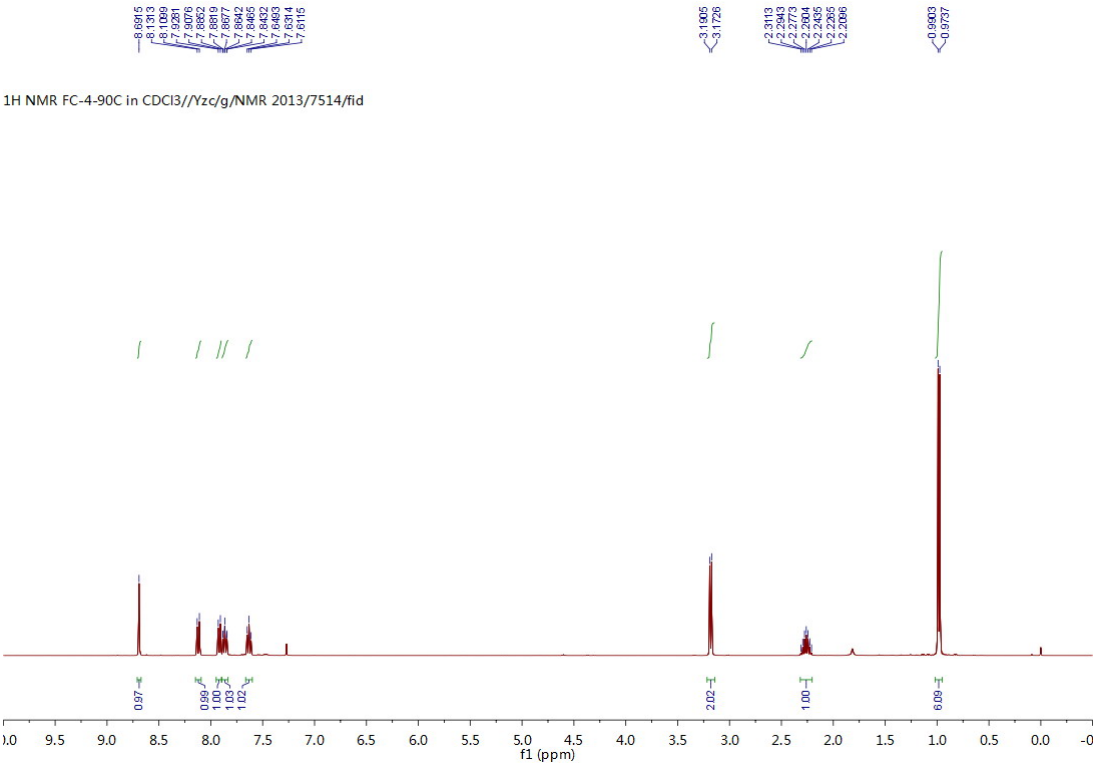


5d

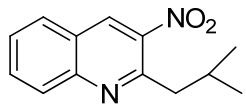
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-4-83A in CDCl3//Yzc/g/NMR 2013/2071/fid





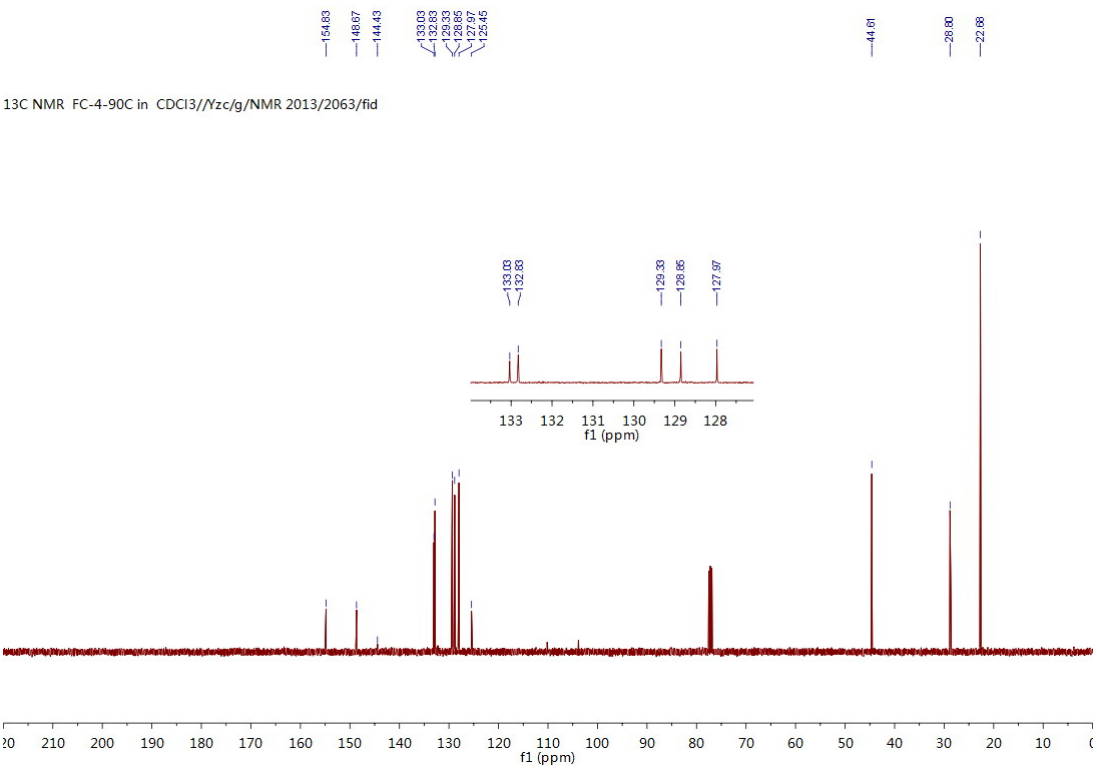
1H NMR FC-4-90C in CDCl3//Yzc/g/NMR 2013/7514/fid



5e

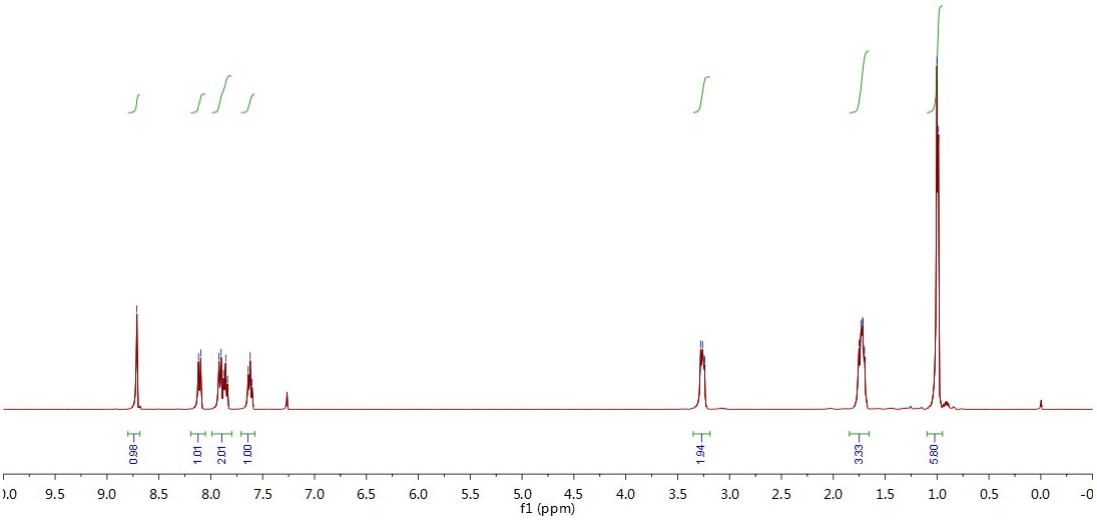
^{1}H NMR (400 MHz, $CDCl_3$)

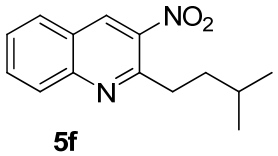
^{13}C NMR (100 MHz, $CDCl_3$)



13C NMR FC-4-90C in CDCl3//Yzc/g/NMR 2013/2063/fid

1H NMR FC-5-25C in CDCl3

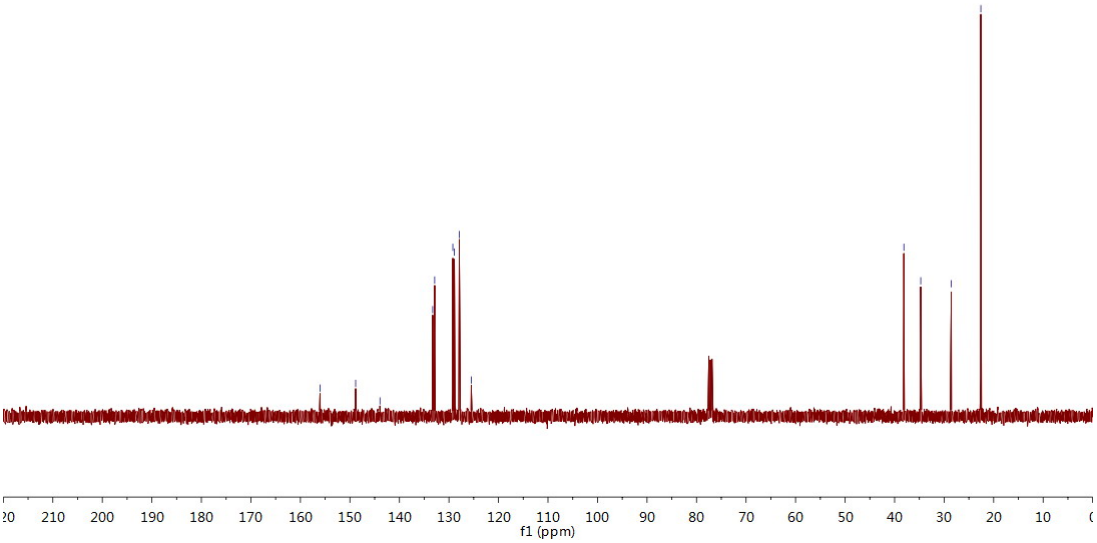




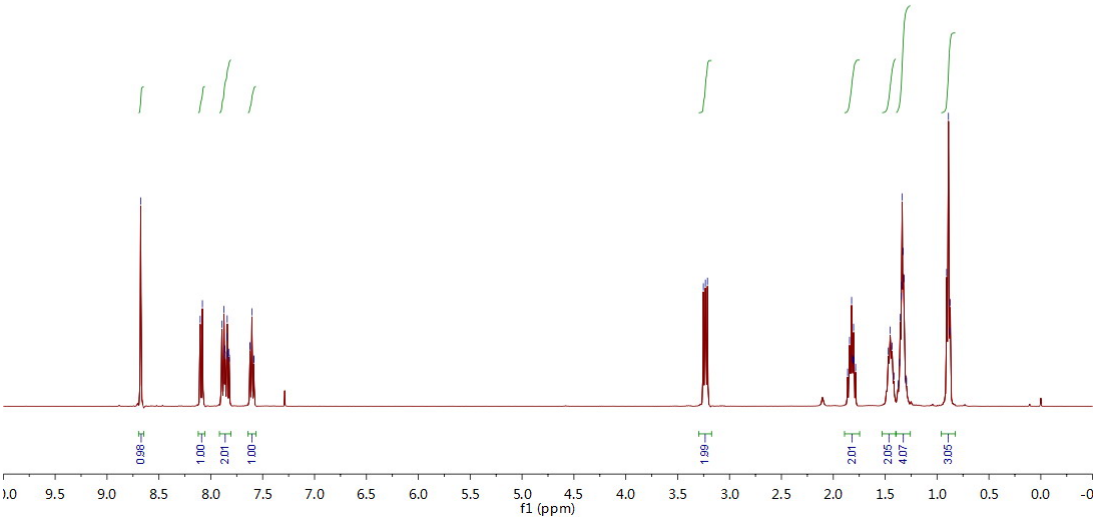
5f

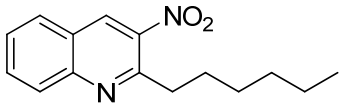
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-25C in CDCl3



1H NMR FC-5-25A in CDCl3



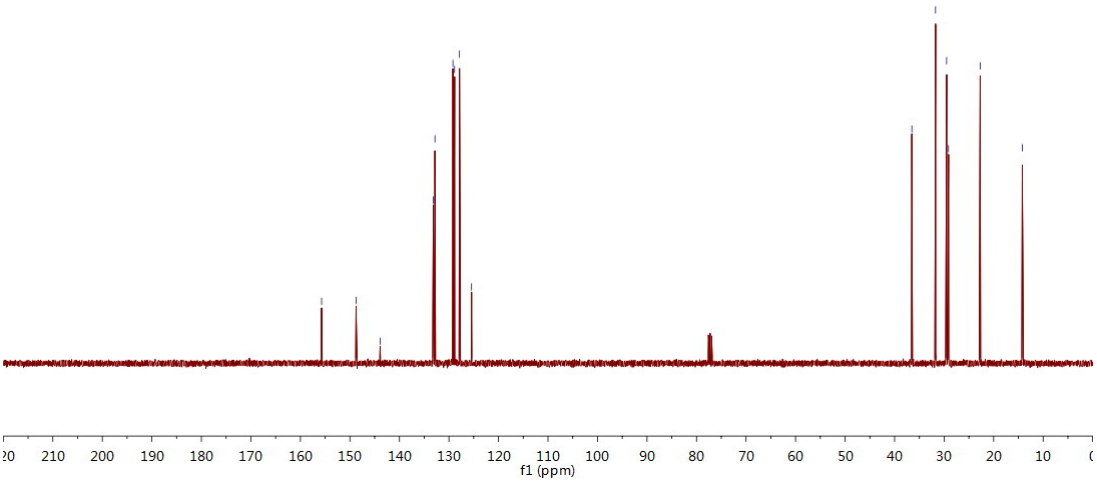


5g

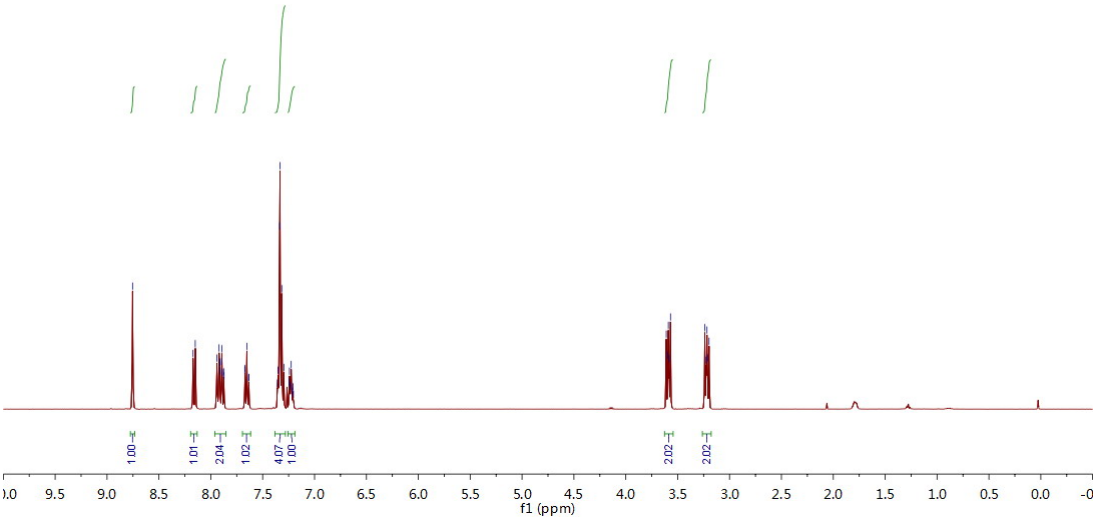
^{1}H NMR (400 MHz, $CDCl_3$)

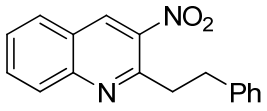
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-25A in CDCl3



1H NMR FC-5-25B in CDCl3



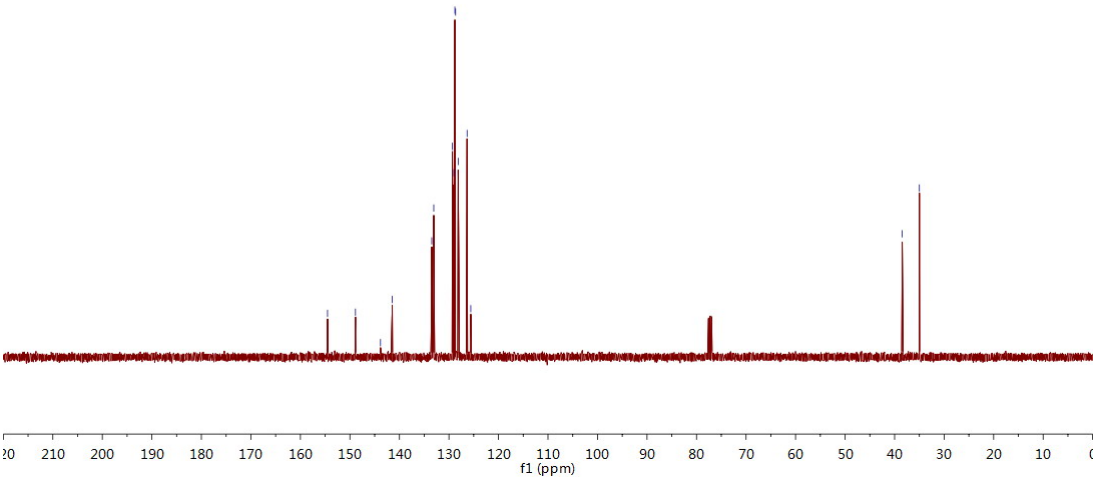


5h

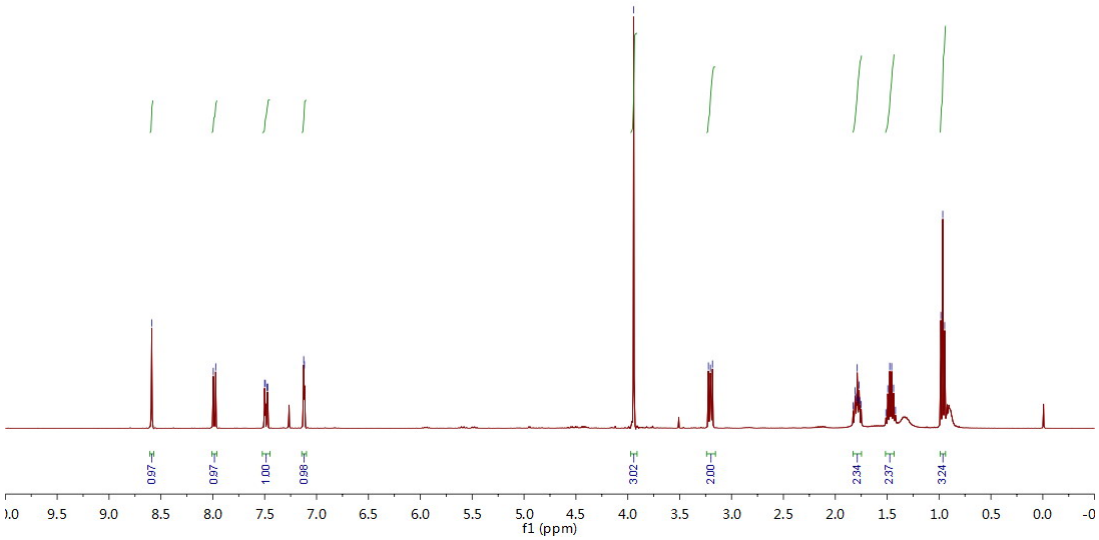
^{1}H NMR (400 MHz, $CDCl_3$)

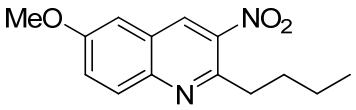
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-25B in CDCl3



1H NMR FC-5-24B in CDCl3

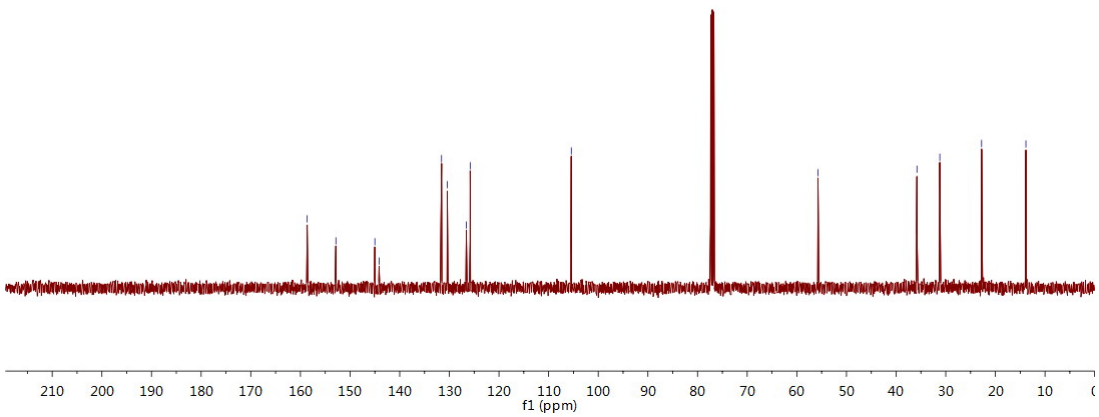




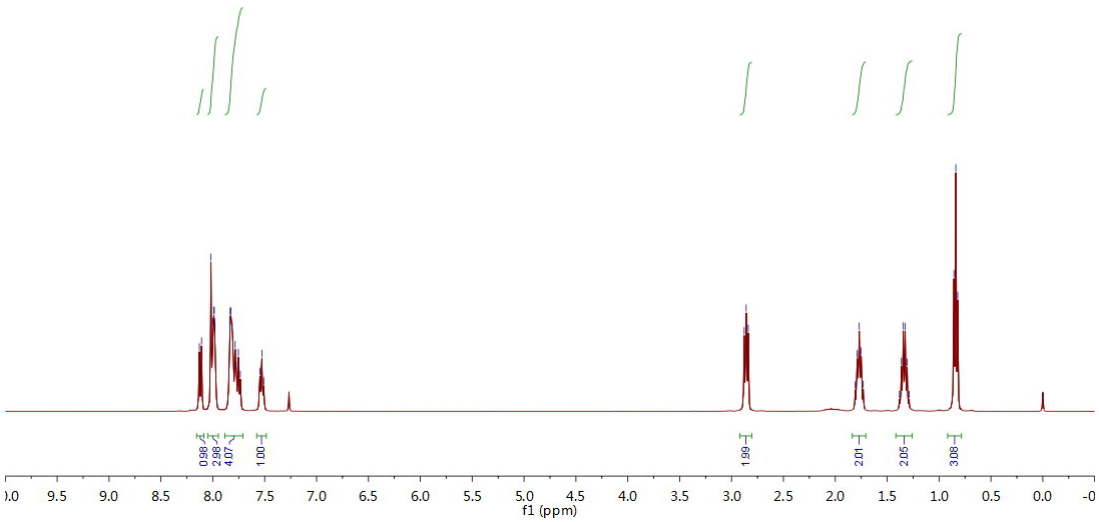
5k

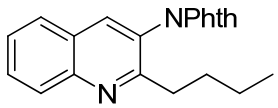
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

FC-5-24B 13C NMR in CDCl3



1H NMR FC-4-66 in CDCl3//Yzc/g/NMR 2013/7511/fid

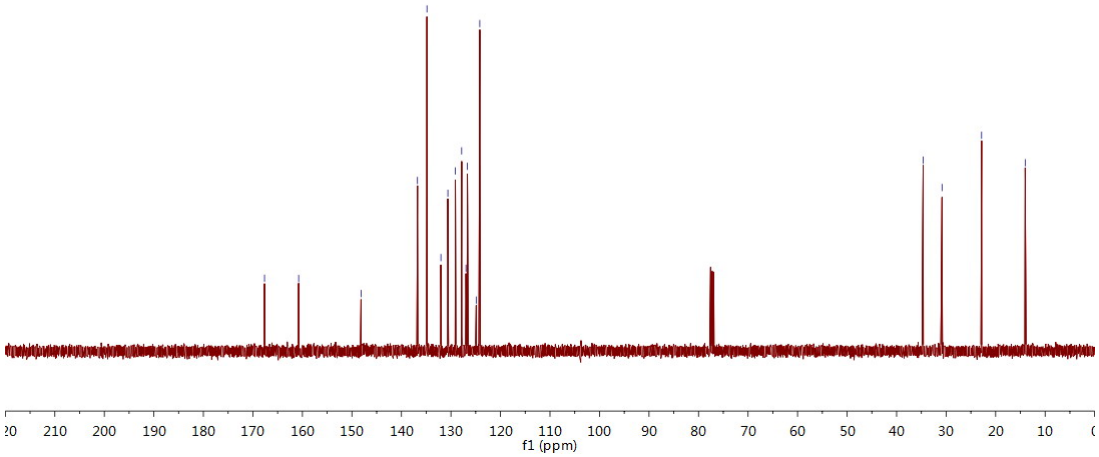




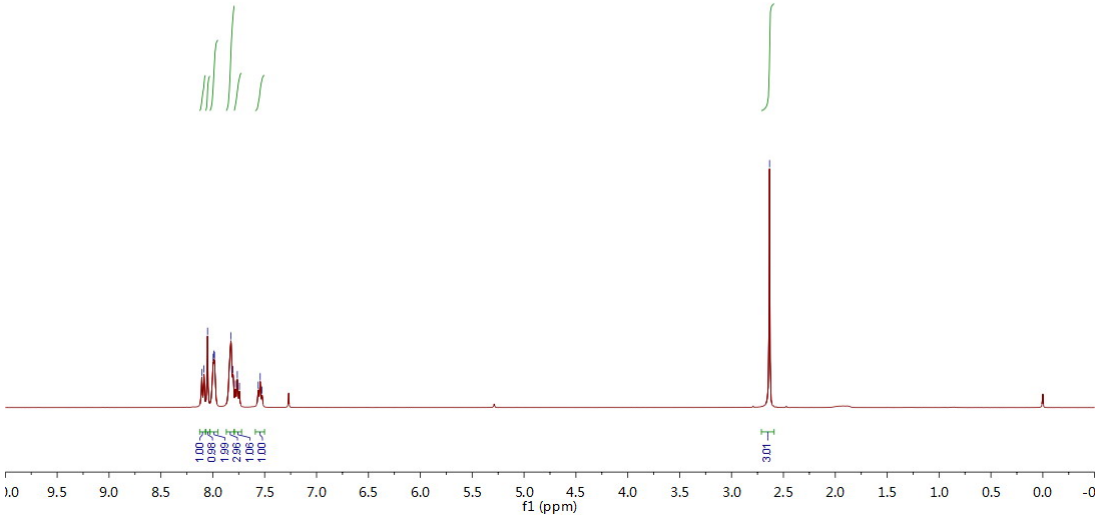
1a

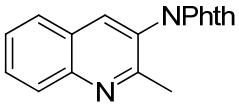
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-4-66 in CDCl3//Yzc/g/NMR 2013/2060/fid



1H NMR FC-5-9A in CDCl3



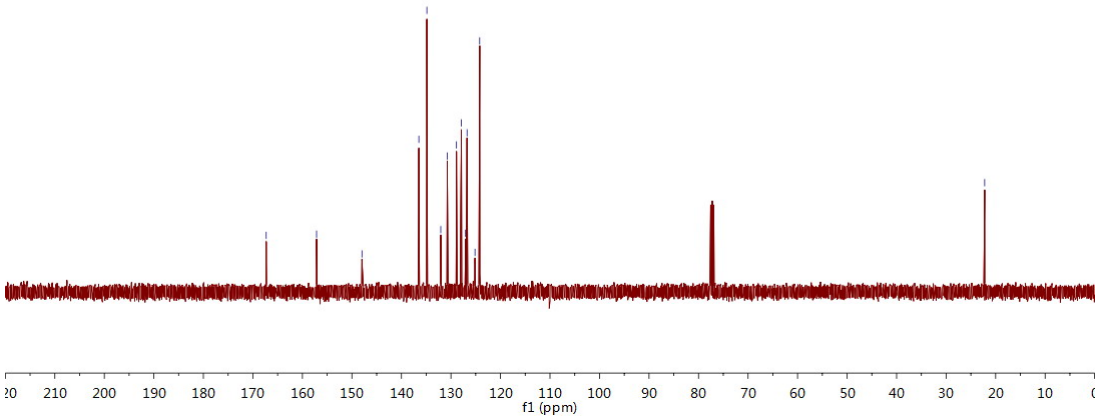


1b

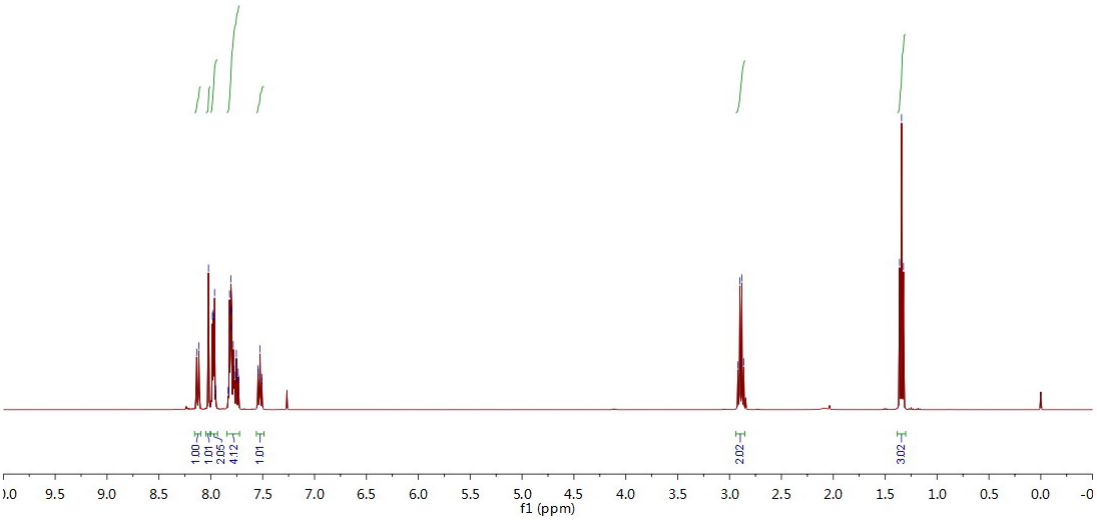
^{1}H NMR (400 MHz, $CDCl_3$)

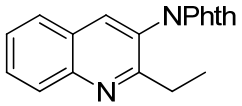
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-9A in CDCl3



1H NMR FC-4-99A in CDCl3//Yzc/g/NMR 2013/7538/fid



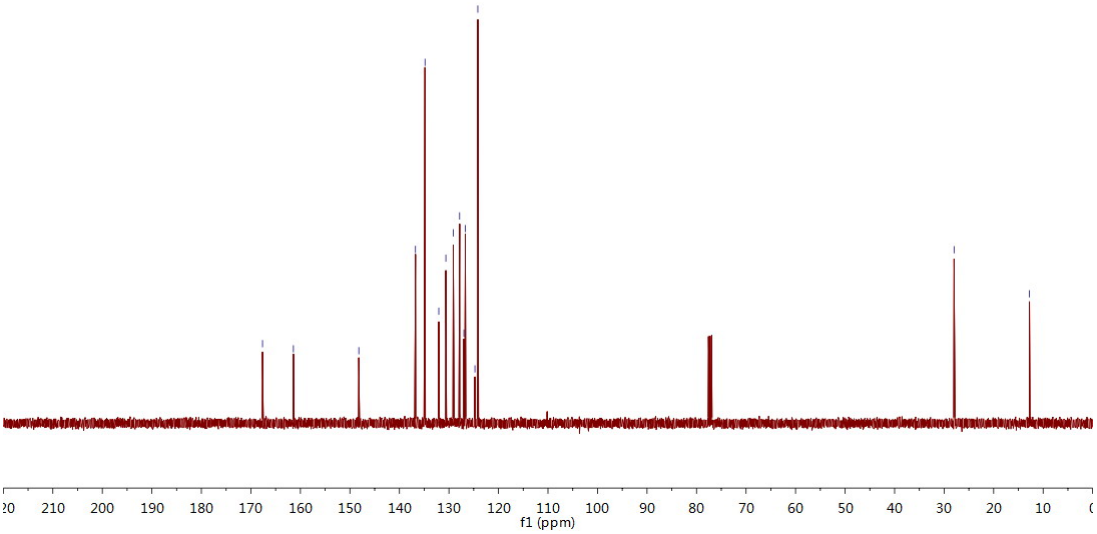


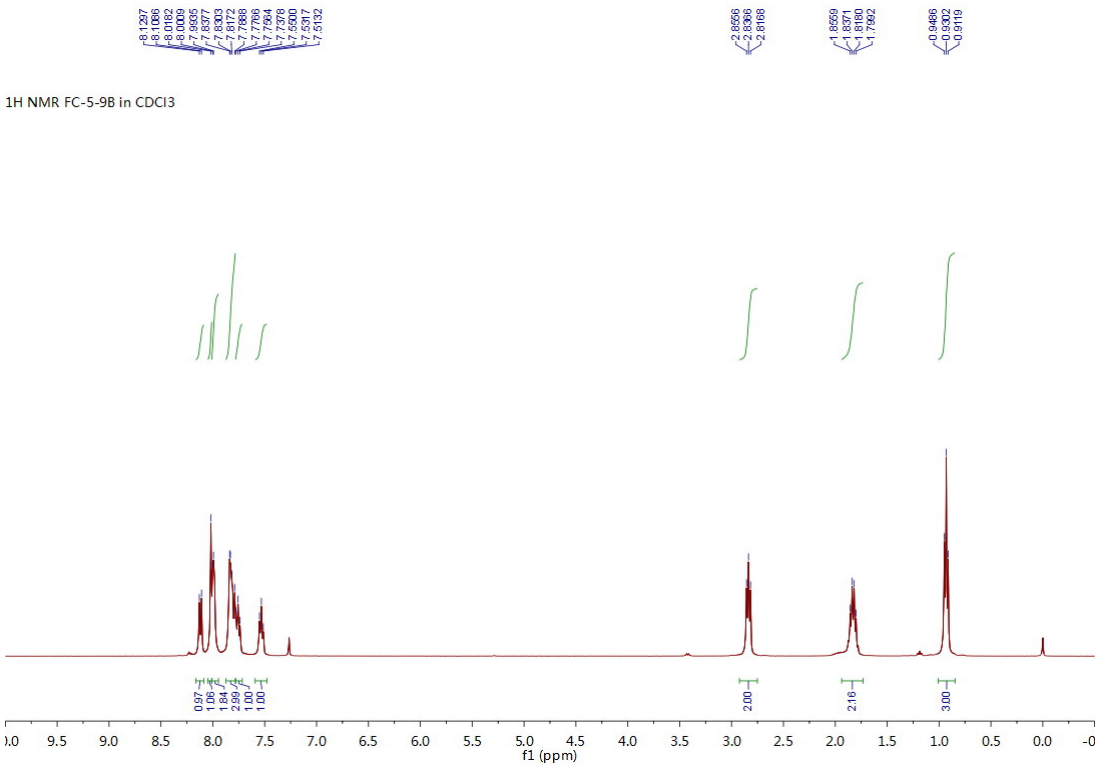
1c

^{1}H NMR (400 MHz, $CDCl_3$)

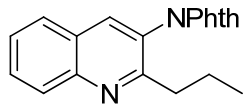
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-4-99A in CDCl3//Yzc/g/NMR 2013/2066/fid





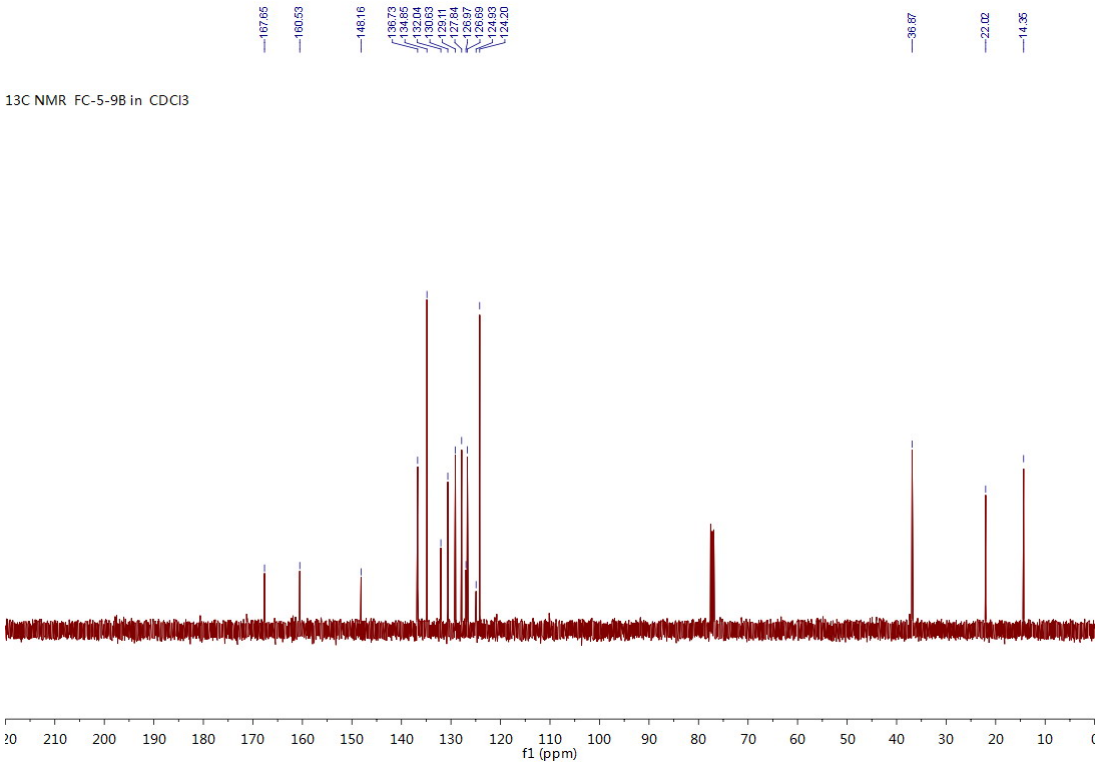
1H NMR FC-5-9B in CDCl3



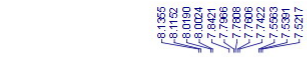
1d

^{1}H NMR (400 MHz, $CDCl_3$)

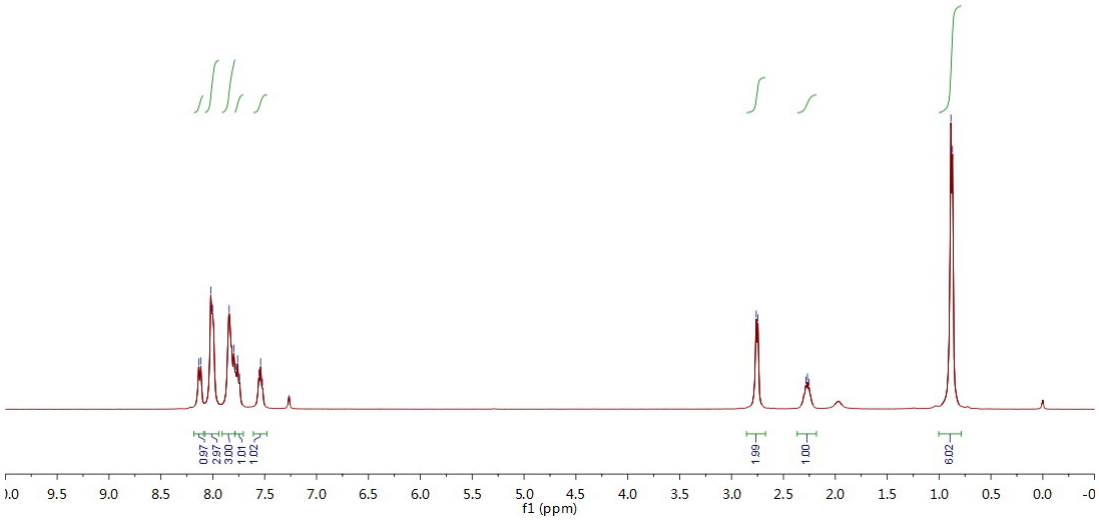
^{13}C NMR (100 MHz, $CDCl_3$)

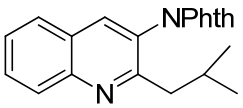


13C NMR FC-5-9B in CDCl3



1H NMR FC-5-9C in CDCl3

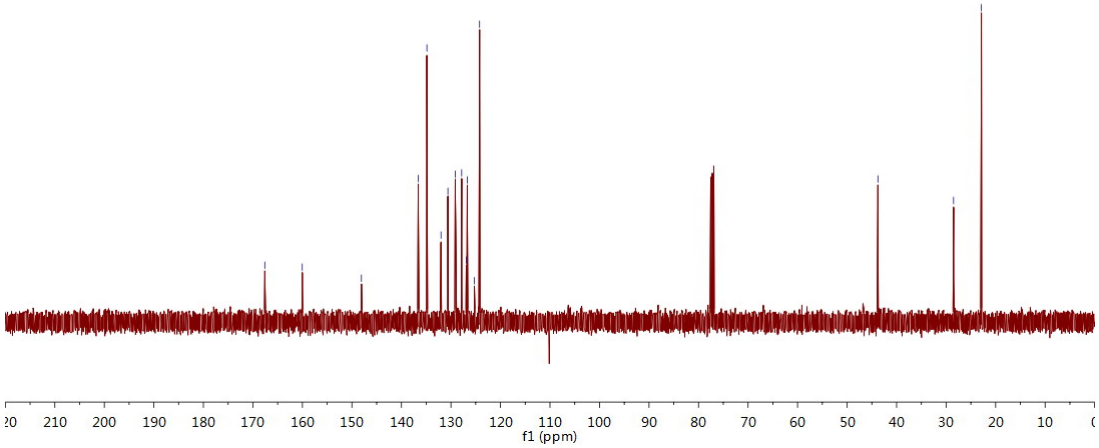




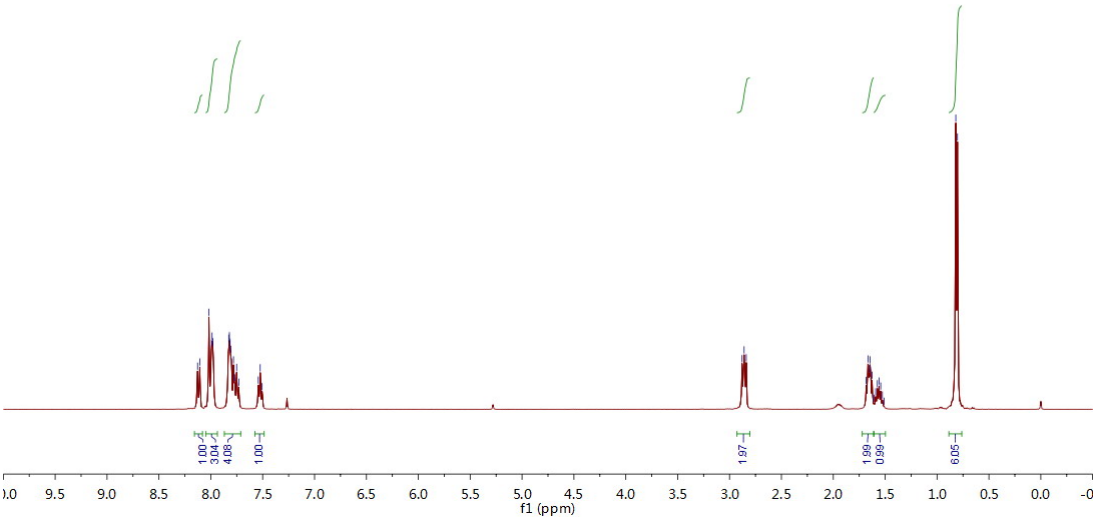
1e

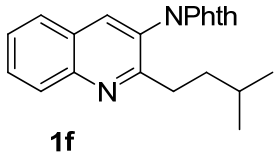
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-9C in CDCl3



1H NMR FC-5-29C in CDCl3//Yzc/g/NMR 2013/7838/fid

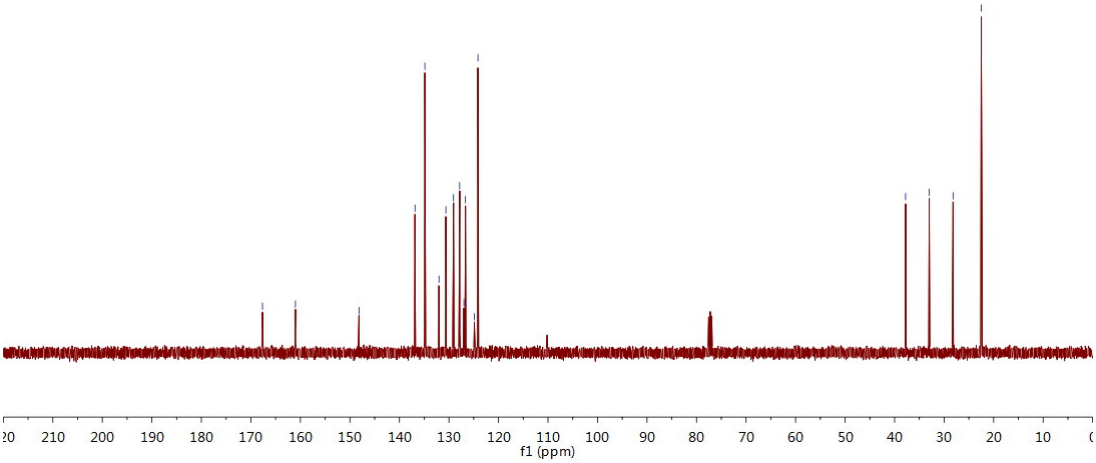




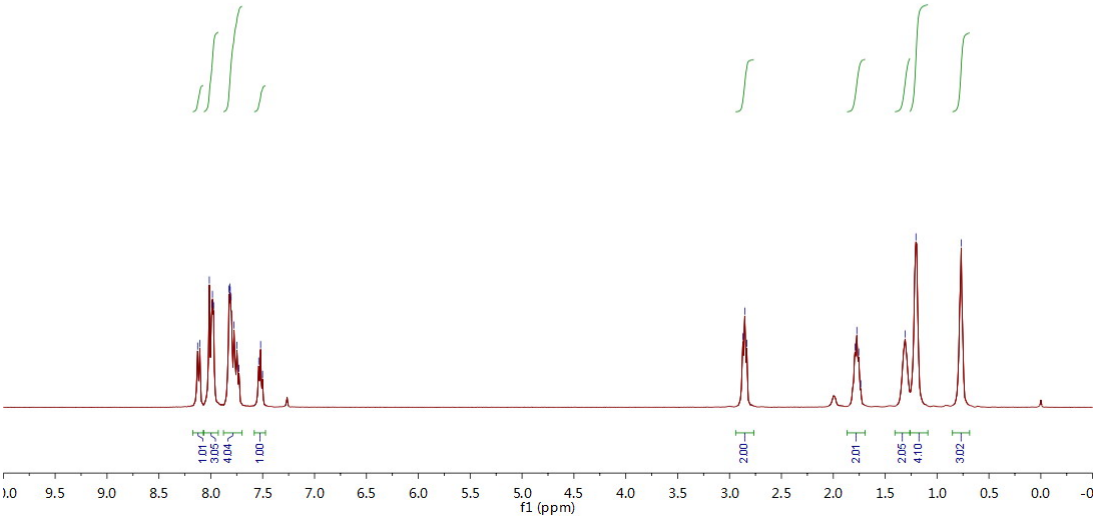
1f

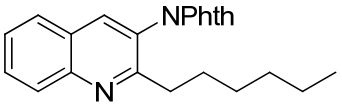
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-29C in CDCl3//Yzc/g/NMR 2013/21035/fid



1H NMR FC-5-29A in CDCl3//Yzc/g/NMR 2013/7836/fid



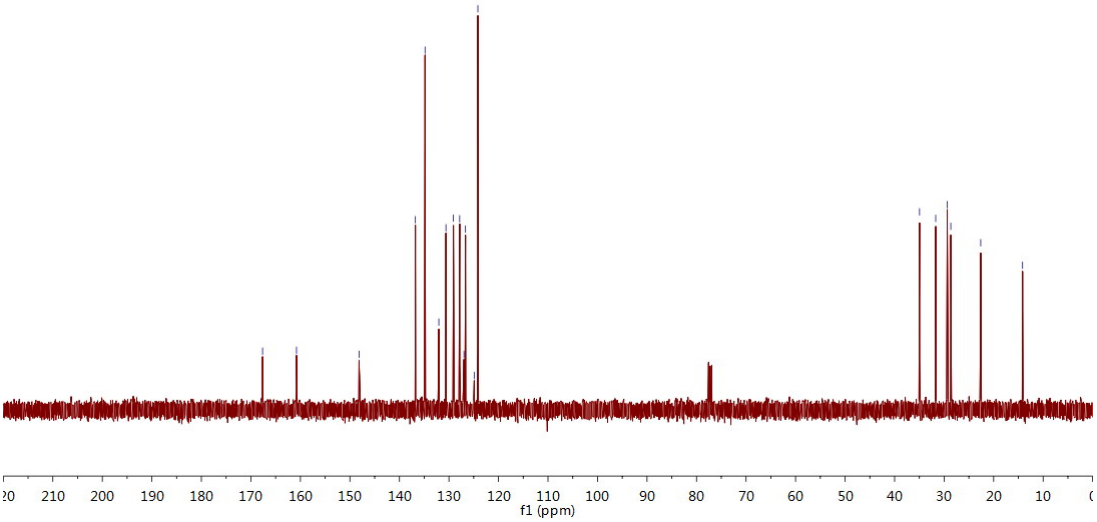


1g

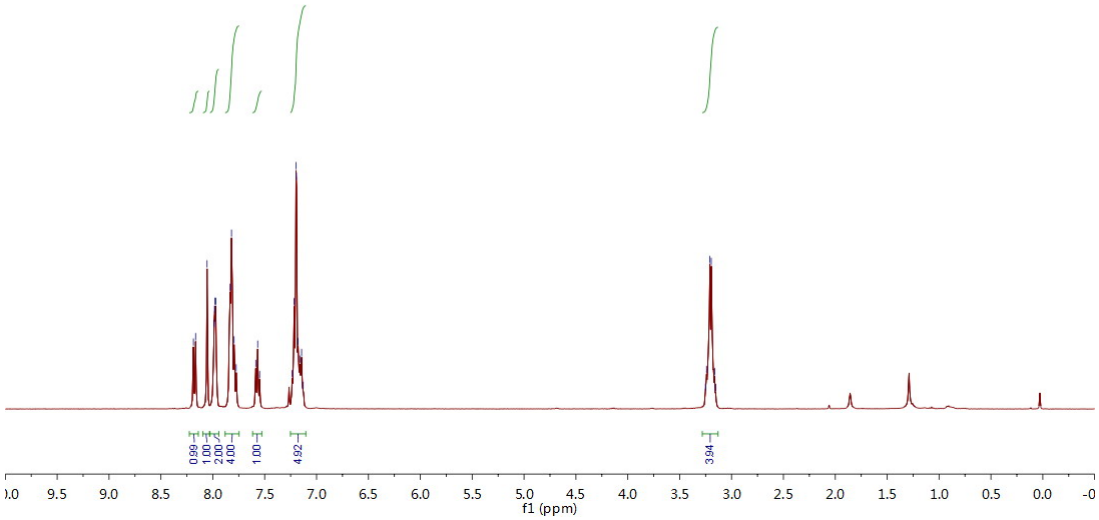
^{1}H NMR (400 MHz, $CDCl_3$)

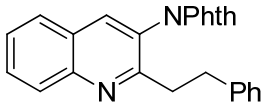
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-29A in CDCl3//Yzc/g/NMR 2013/21033/fid



1H NMR FC-5-29B in CDCl3//Yzc/g/NMR 2013/7837/fid



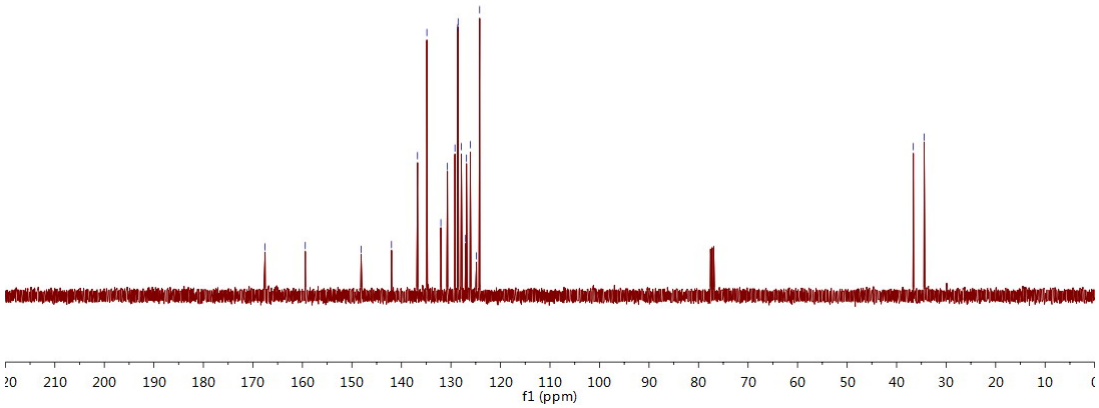


1h

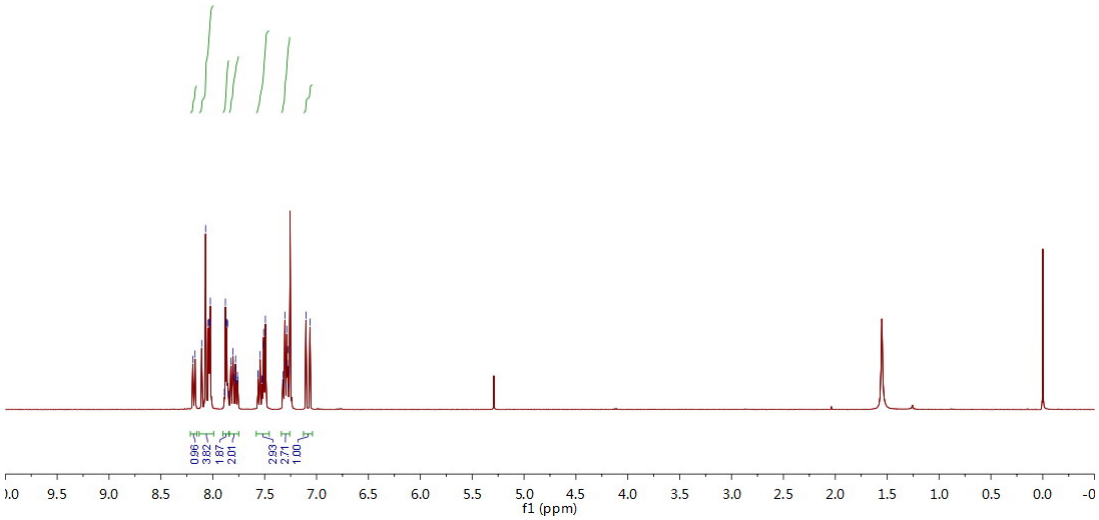
^{1}H NMR (400 MHz, $CDCl_3$)

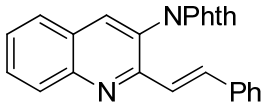
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-29B in CDCl3//Yzc/g/NMR 2013/21034/fid



1H NMR FC-5-39 in CDCl3
//Yzc/g/新 NMR 2013/835/fid

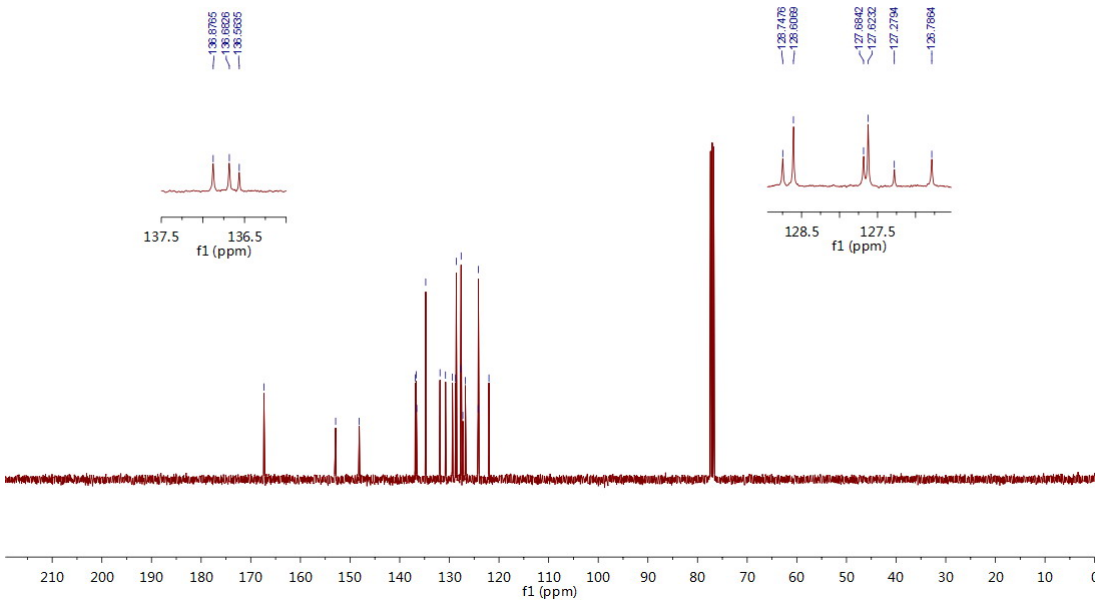




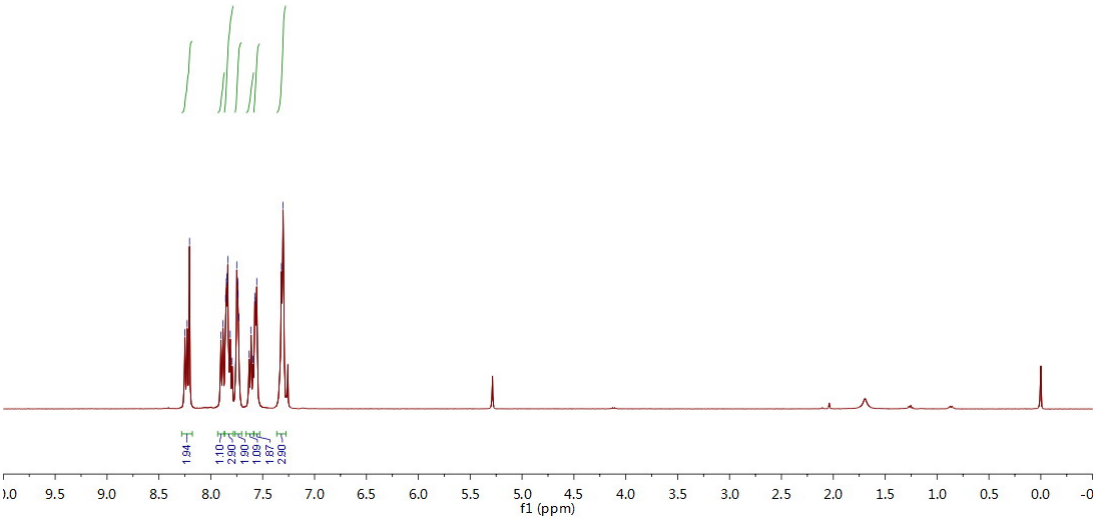
1i

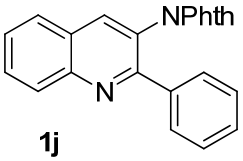
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

FC-5-39 13C NMR in CDCl3



1H NMR FC-4-99C in CDCl3//Yzc/g/NMR 2013/7554/fid



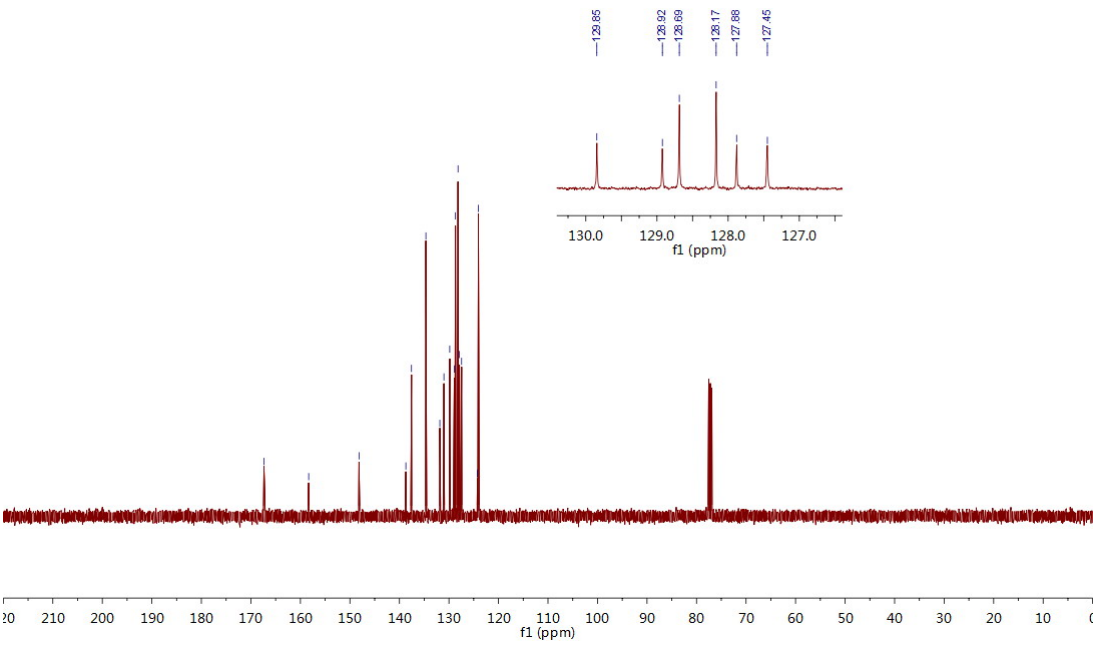


1j

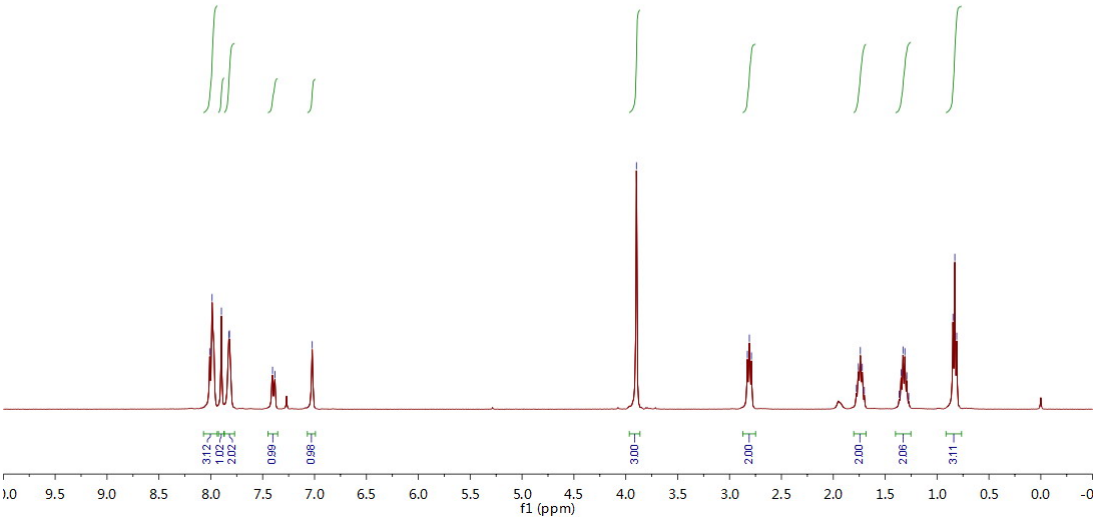
^{1}H NMR (400 MHz, $CDCl_3$)

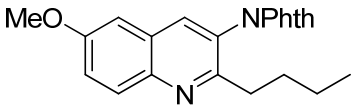
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-4-99Cin CDCl3//Yzc/g/NMR 2013/2072/fid



1H NMR FC-5-26 in CDCl3//Yzc/g/NMR 2013/7835/fid

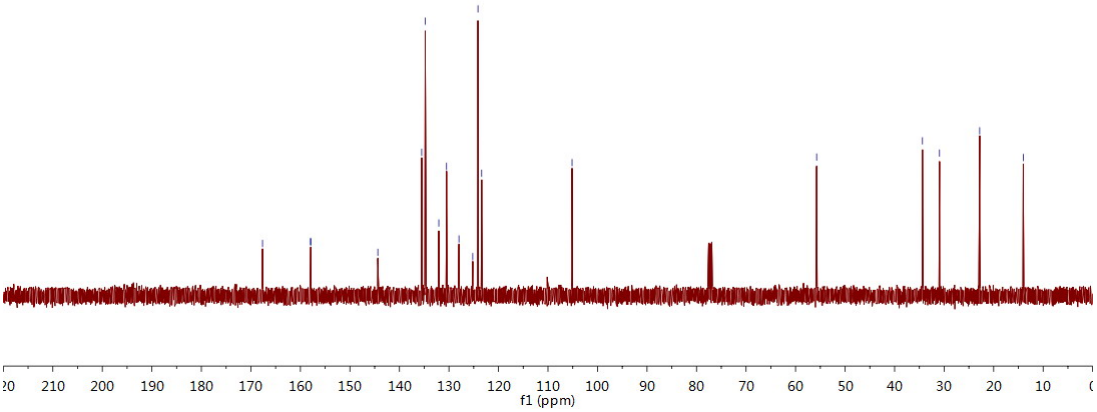


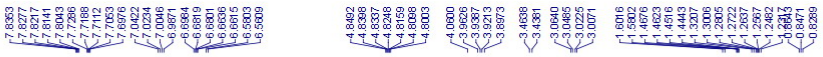


1k

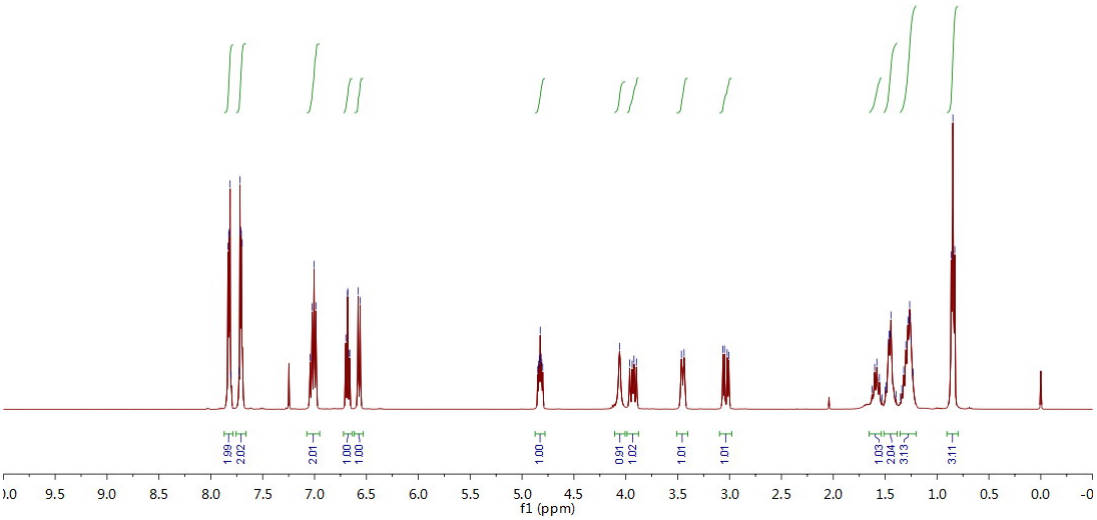
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

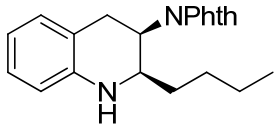
13C NMR FC-5-26 in CDCl3//Yzc/g/NMR 2013/21032/fid





1H NMR FC-4-71 in CDCl3//Yzc/g/NMR 2013/7512/fid

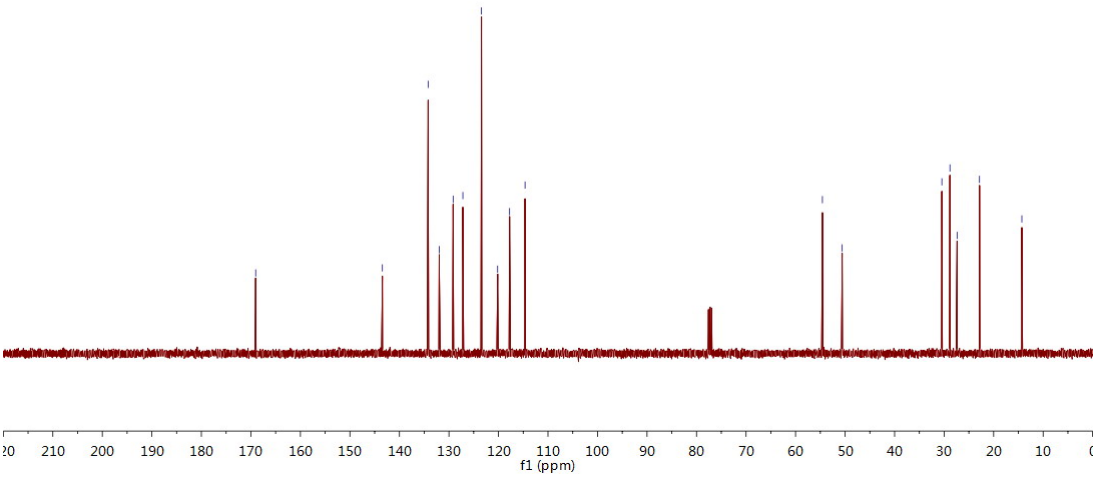




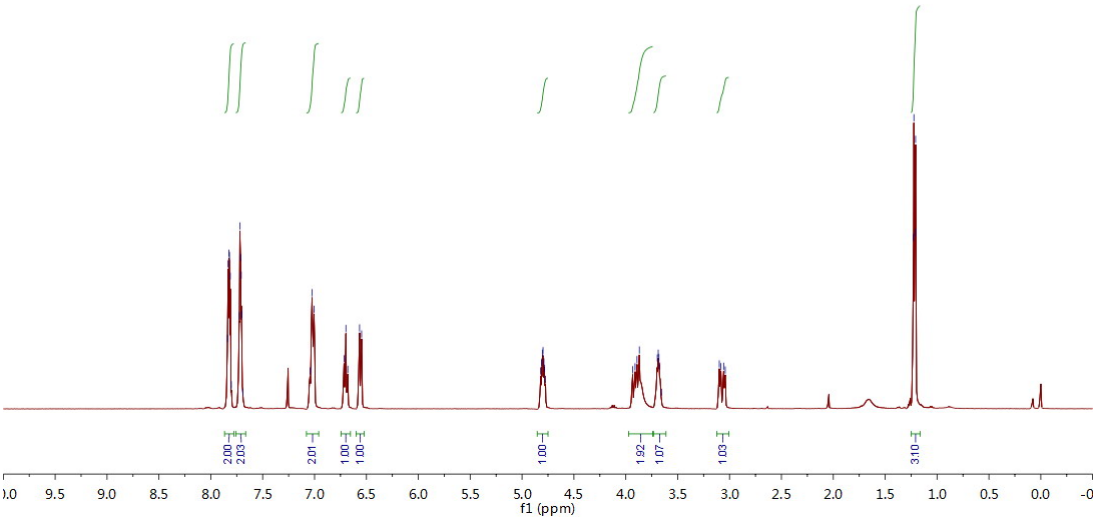
2a

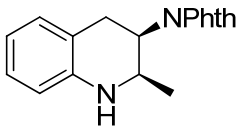
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-4-71 in CDCl3//Yzc/g/NMR 2013/2061/fid



1H NMR FC-5-15F in CDCl3

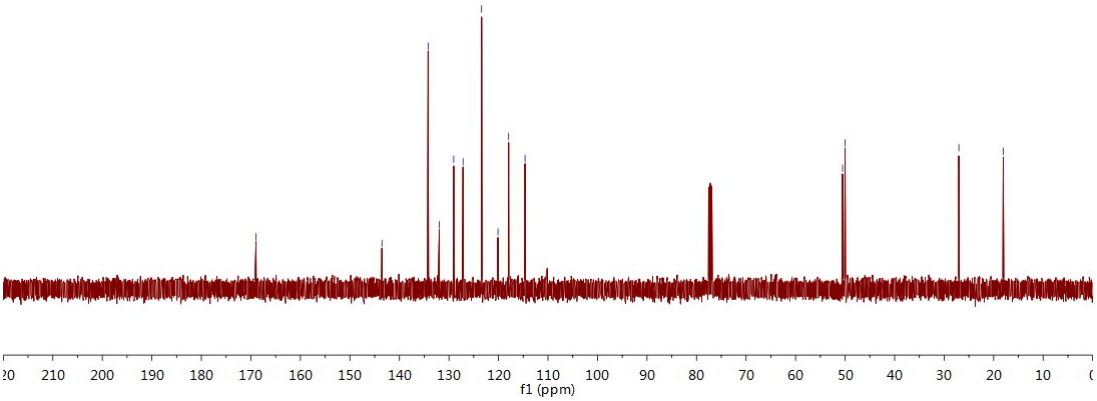


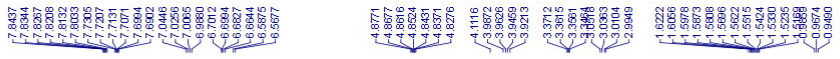


2b

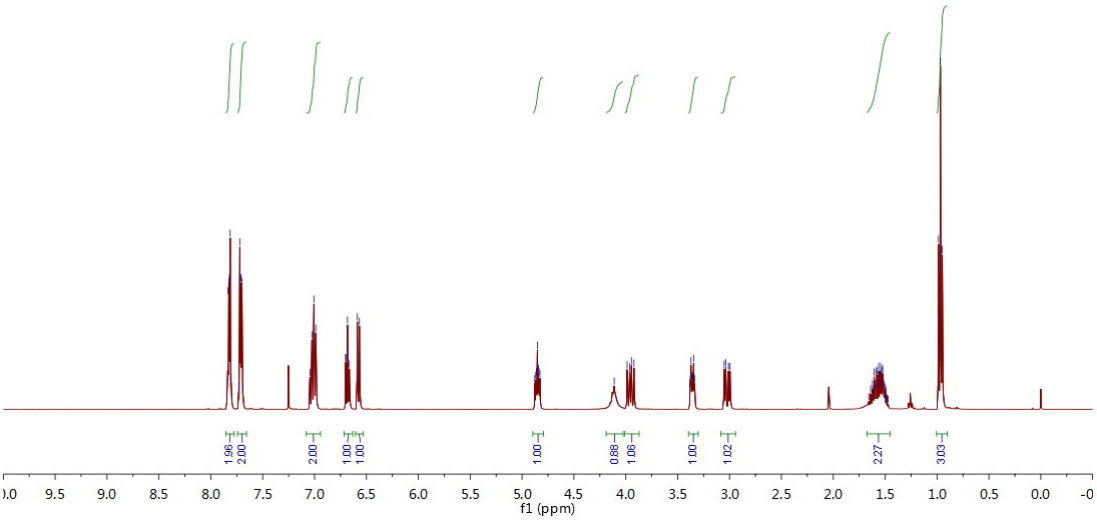
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

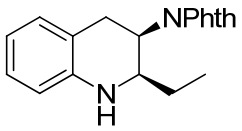
13C NMR FC-5-15F in CDCl3//Yzc/g/NMR 2013/2088/fid





1H NMR FC-5-15A in CDCl3

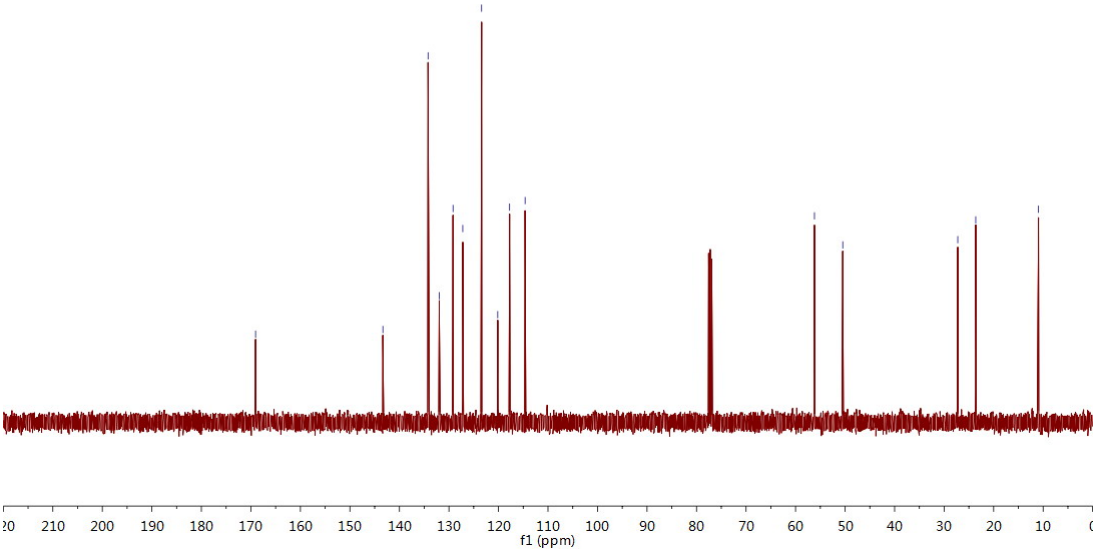




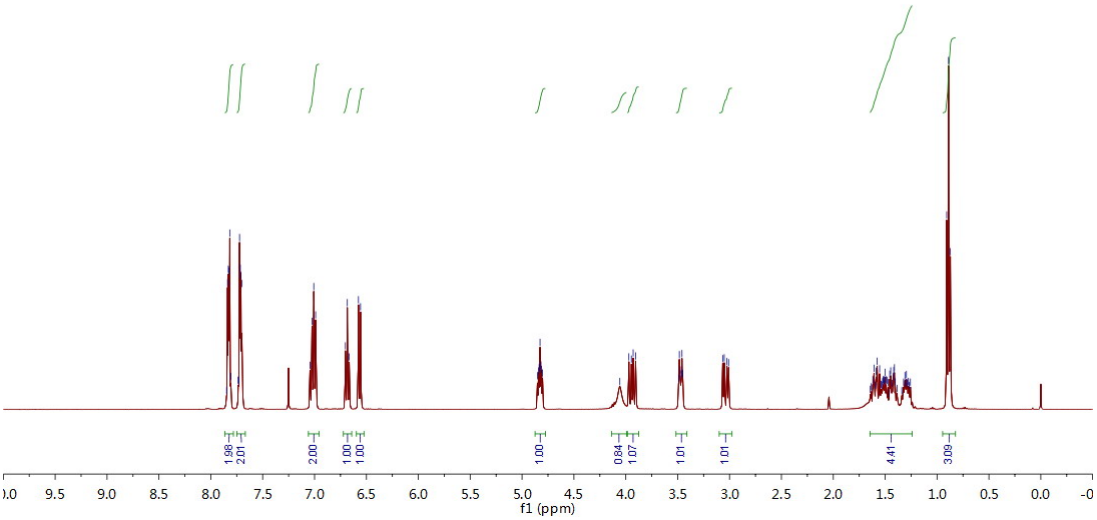
2c

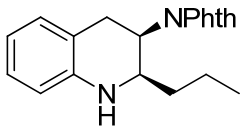
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-15A in CDCl3//Yzc/g/NMR 2013/2085/fid



1H NMR FC-5-15B in CDCl3

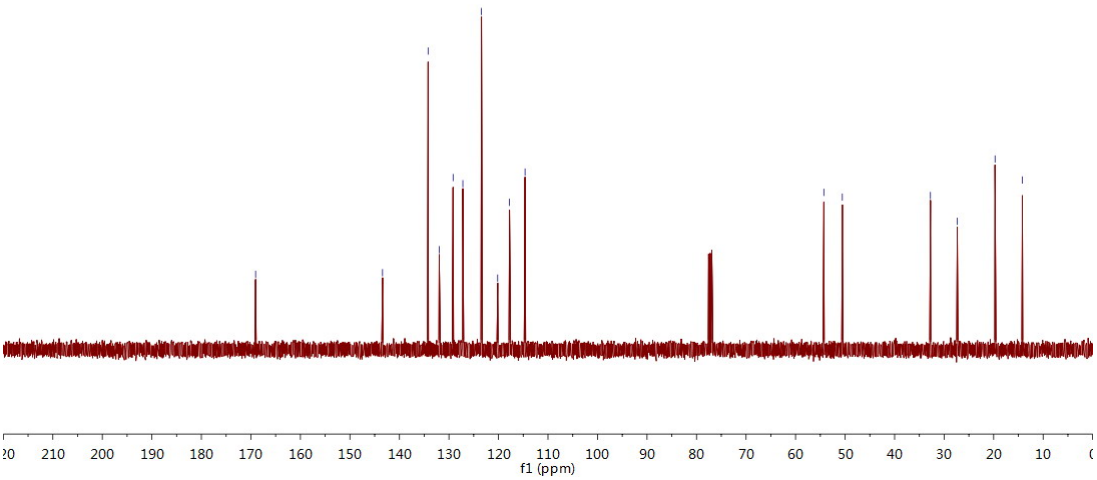




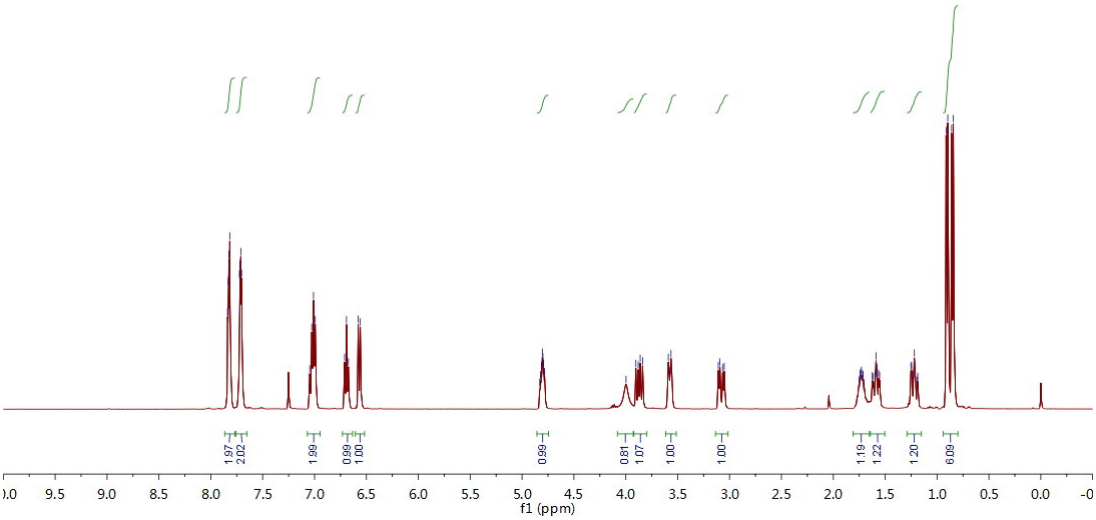
2d

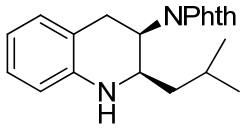
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-15B in CDCl3//Yzc/g/NMR 2013/2086/fid



1H NMR FC-5-15G in CDCl3//Yzc/g/NMR 2013/7697/fid

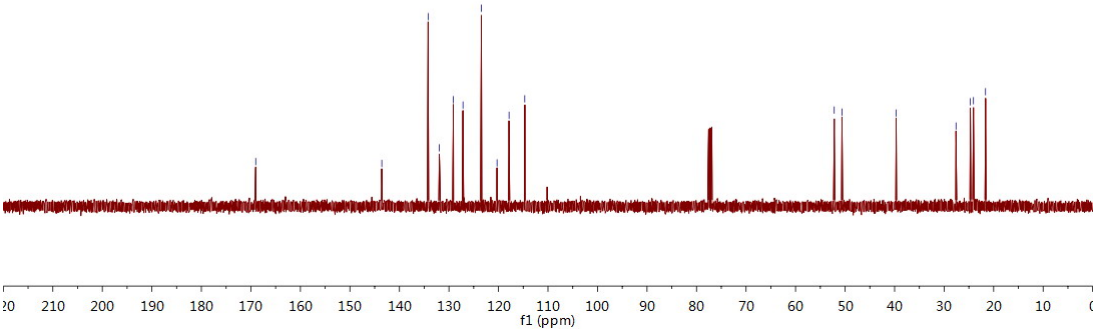




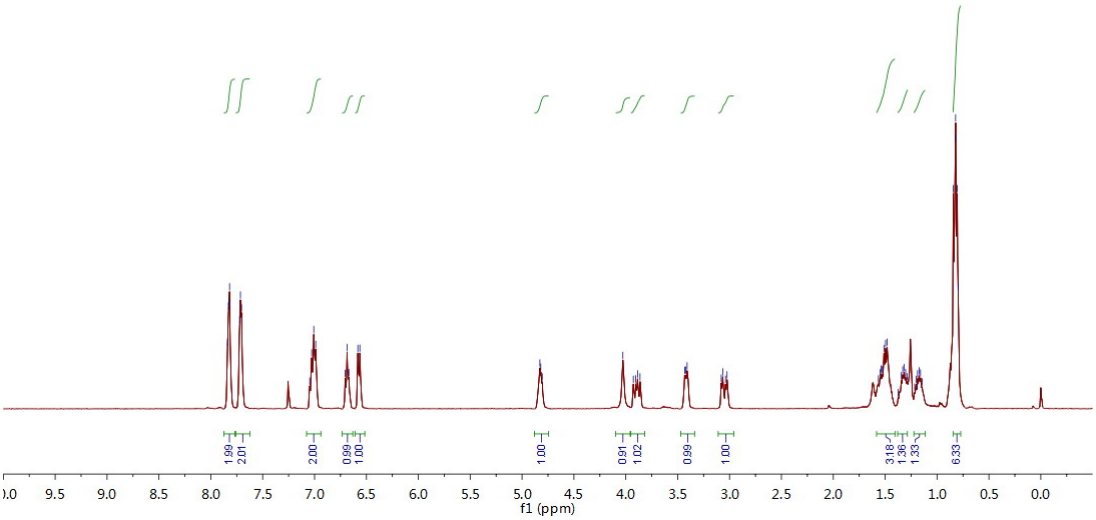
2e

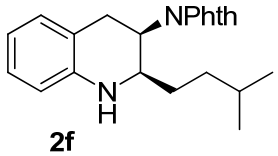
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-15G in CDCl3//Yzc/f/蔡先锋/文章/第二篇文章/原始数据/5-15G 2094/fid



1H NMR FC-5-31E in CDCl3//Yzc/g/旧 NMR 2013/8379/fid

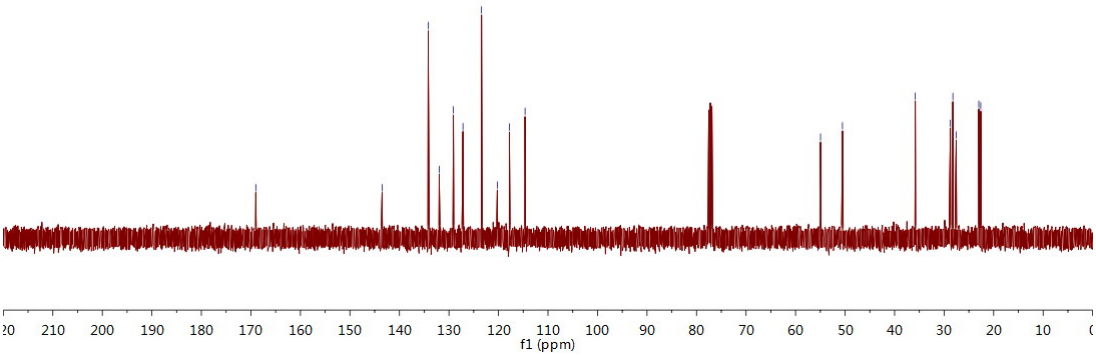




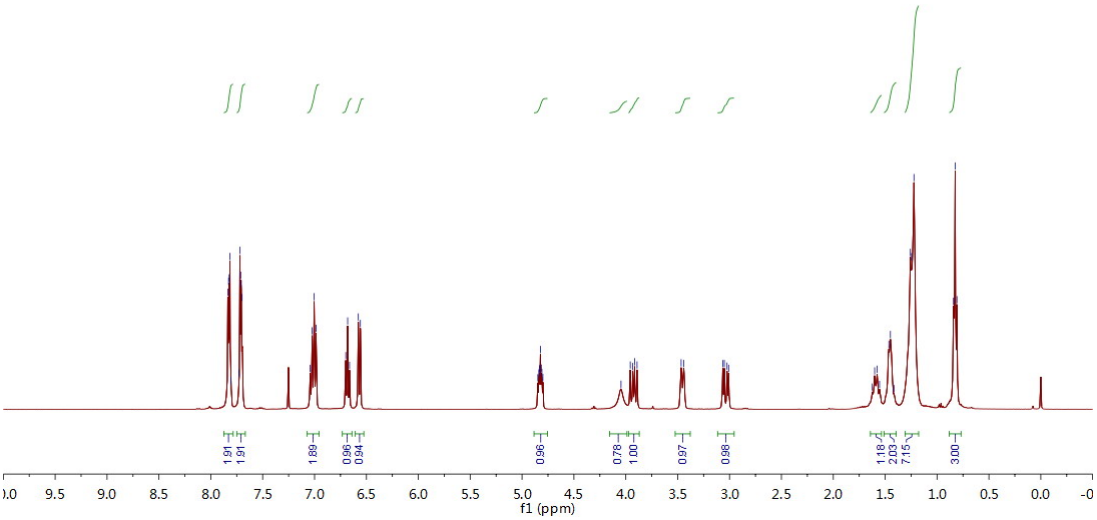
2f

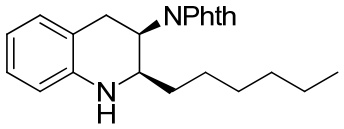
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-31E in CDCl3//Yzc/g/旧 NMR 2013/21116/fid



1H NMR FC-5-35B in CDCl3



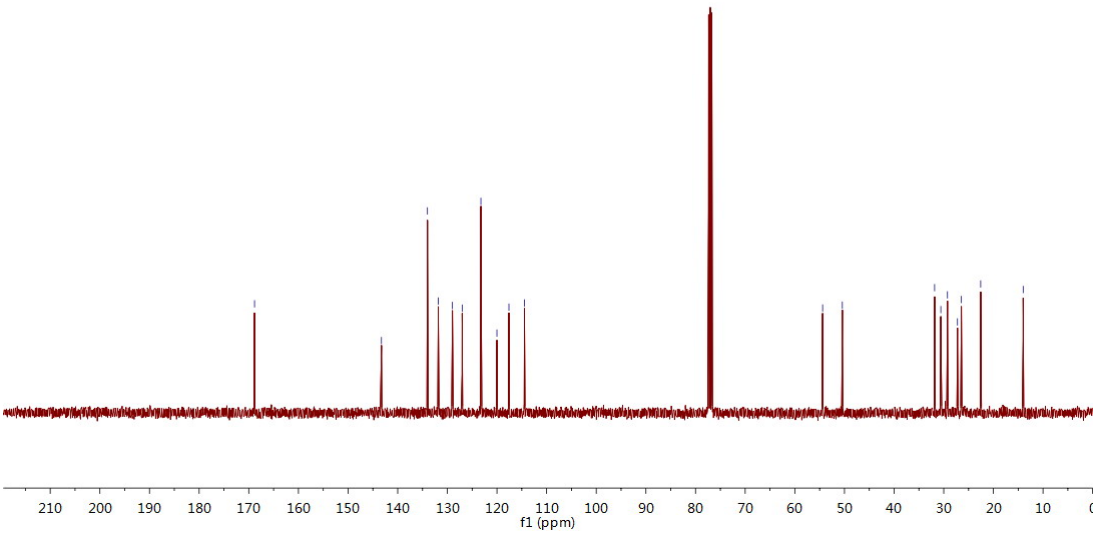


2g

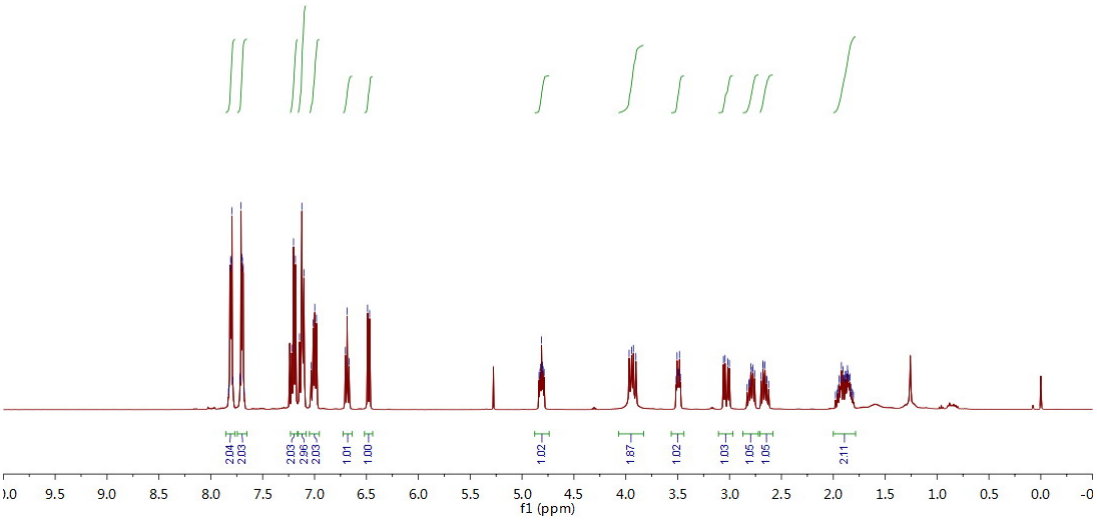
^{1}H NMR (400 MHz, $CDCl_3$)

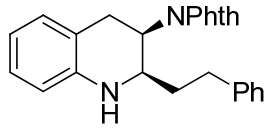
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-35B in CDCl3



1H NMR FC-5-35C in CDCl3

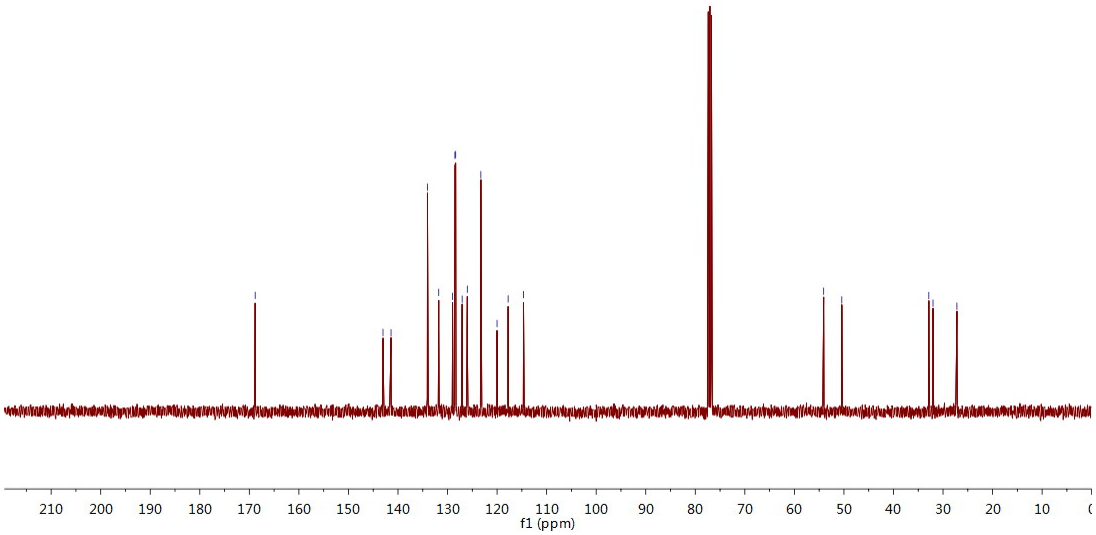




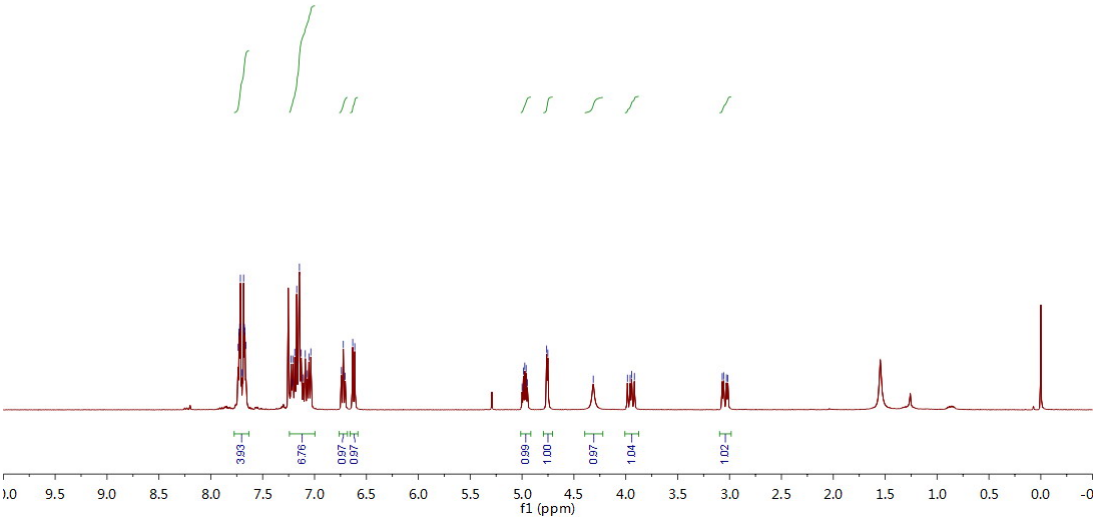
2h

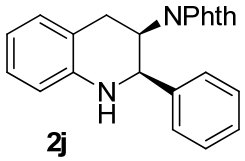
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-35C in CDCl3



1H NMR FC-5-21B in CDCl3
//Yzc/g/新 NMR 2013/834/fid

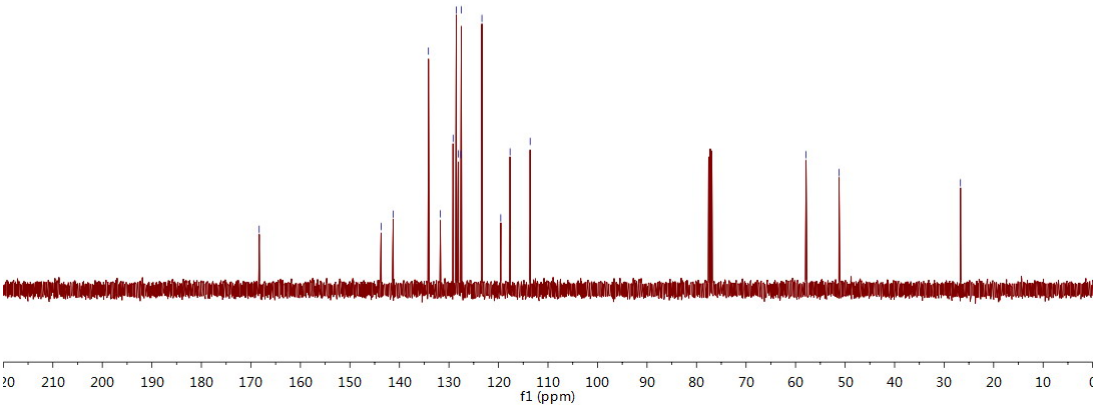




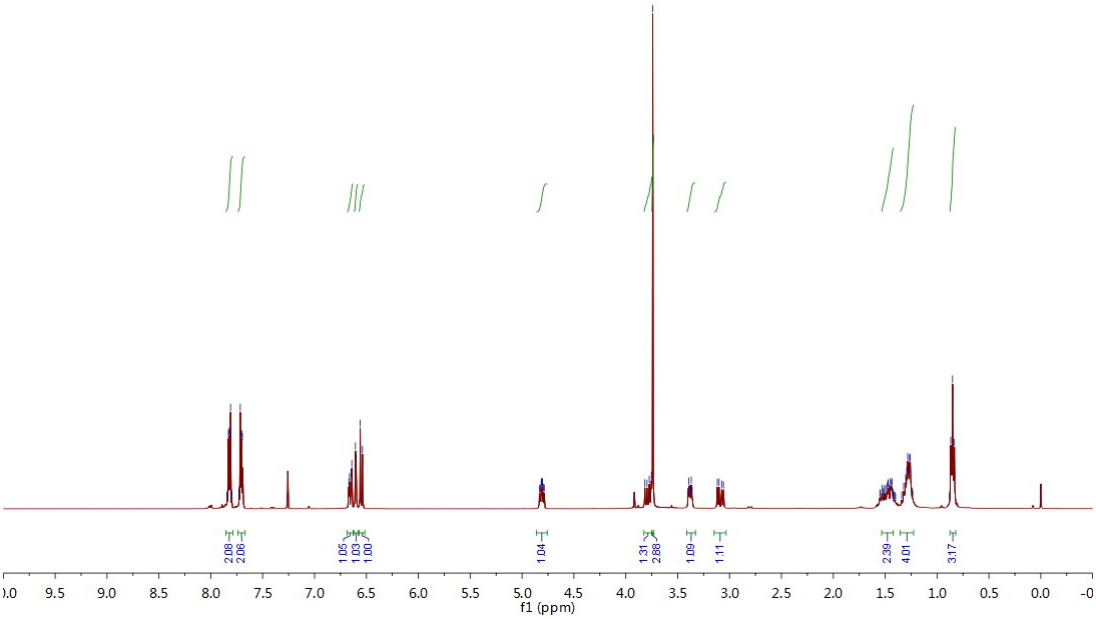
2j

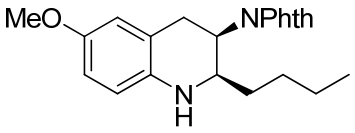
^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-15D in CDCl3//Yzc/g/NMR 2013/2087/fid



1H NMR FC-5-28A in CDCl3

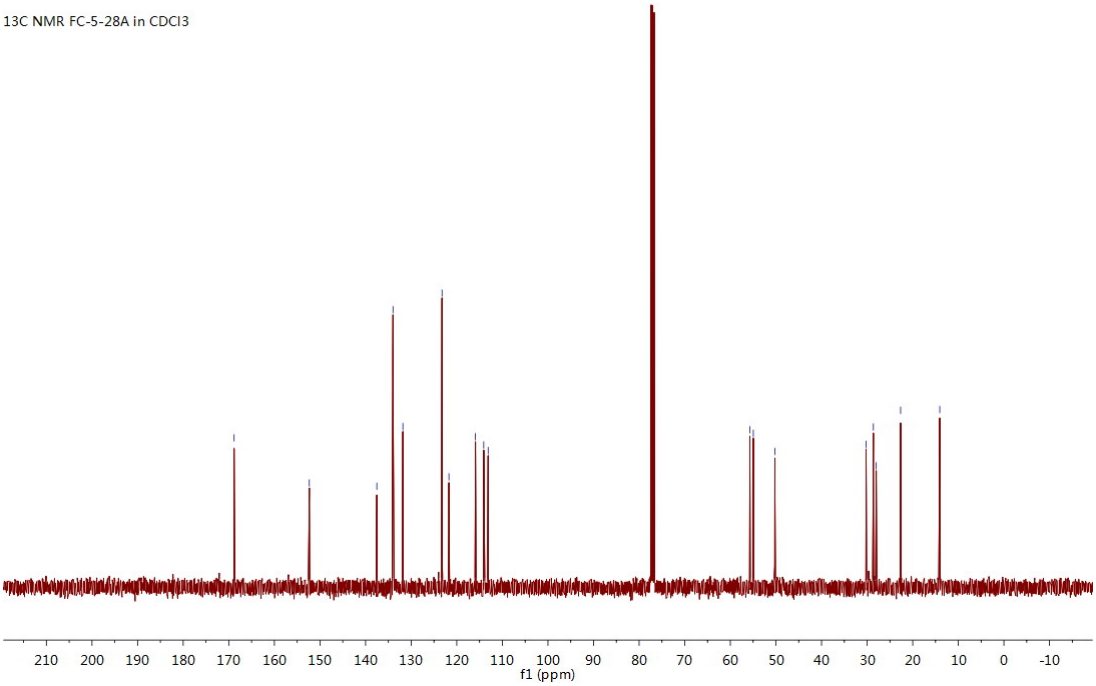


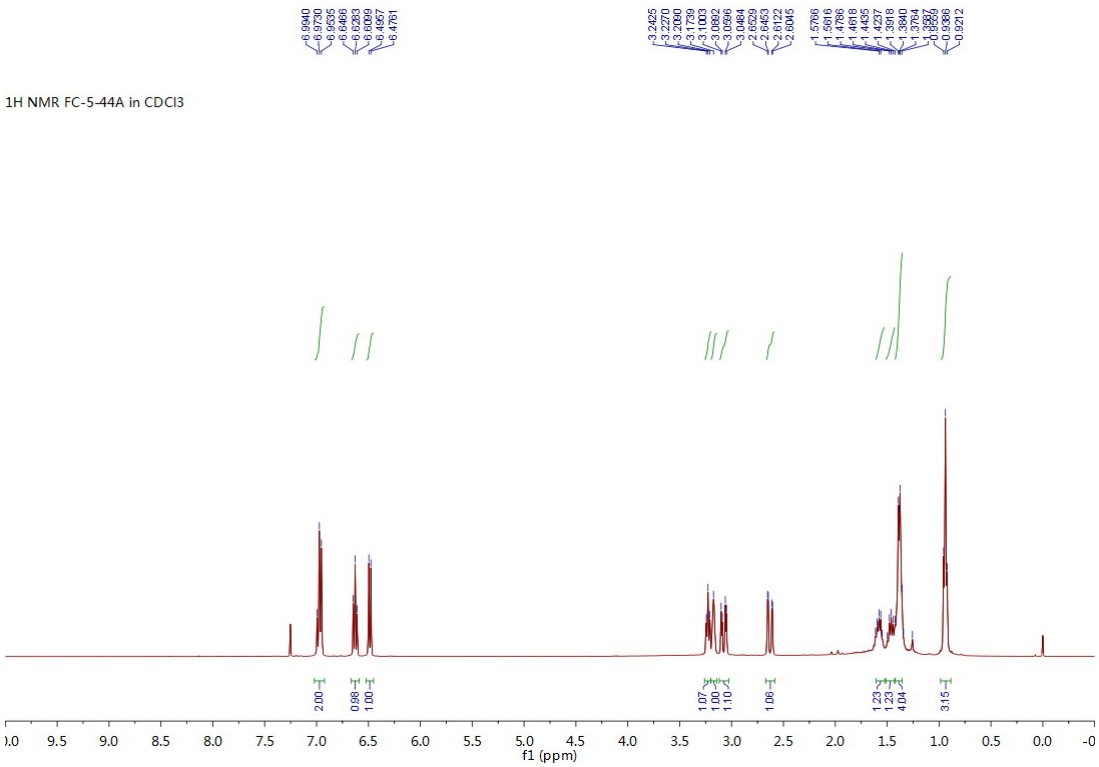


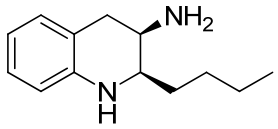
2k

^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

13C NMR FC-5-28A in CDCl3

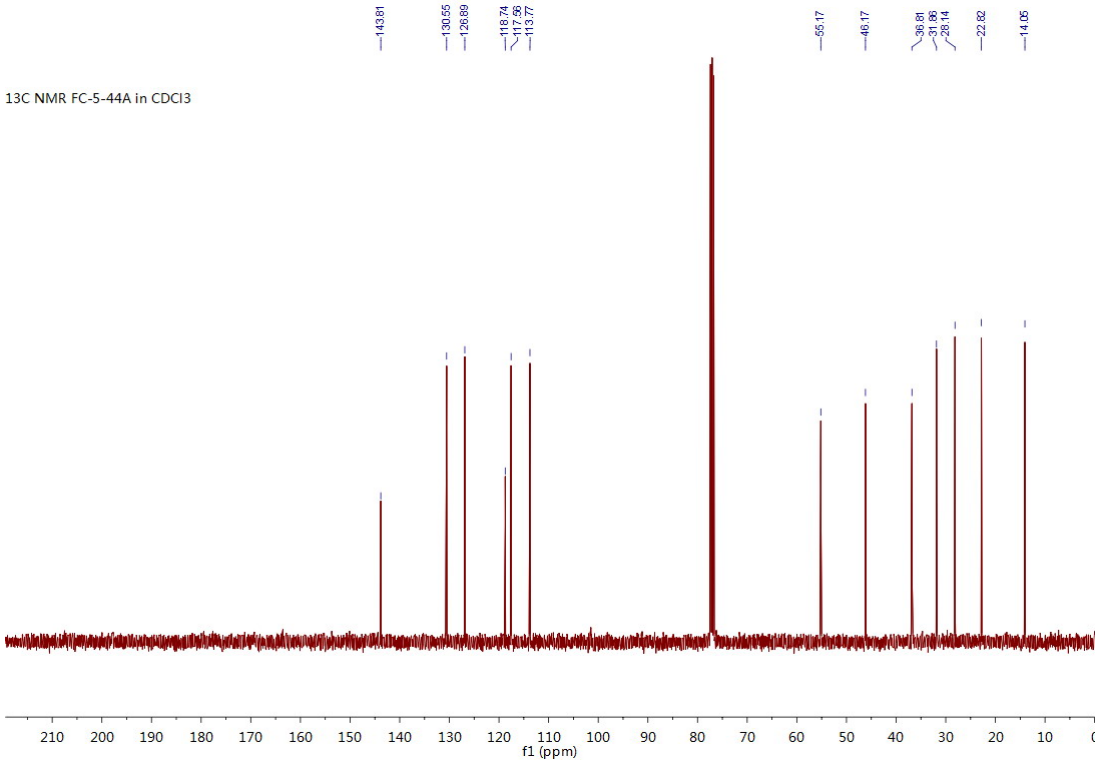






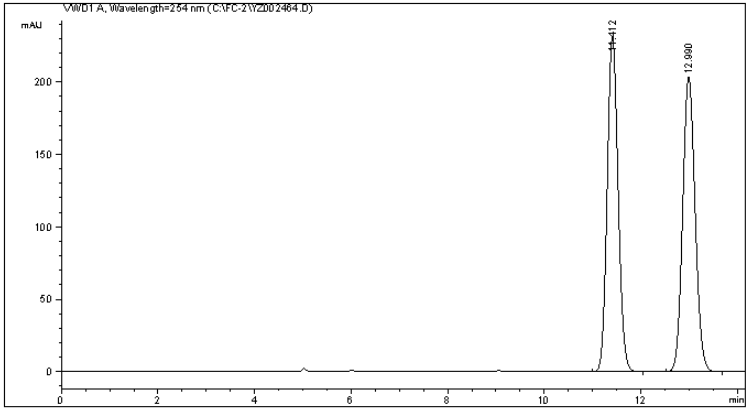
3

^{1}H NMR (400 MHz, $CDCl_3$)
^{13}C NMR (100 MHz, $CDCl_3$)

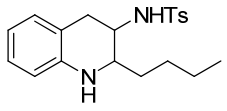


Data File C:\FC-2\YZ002464.D
Sample Name: FC-2-76El

```
==========================================================================
Acq. Operator   : ZX
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/19/2012 12:50:34 AM
Acq. Method     : C:\HPCHEM\1\METHODS\SW.M
Last changed    : 6/19/2012 12:48:57 AM by ZX
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:02:48 PM by B
                  (modified after loading)
Sample Info     : AD-H, H/i-PrOH = 80/20, 0.9mL/min, 30 oC, 254 nm
```



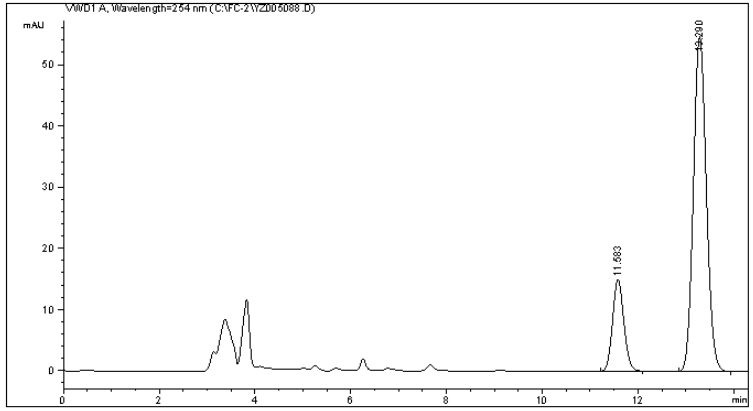

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  11.412 BB    0.2448 3639.69067  231.25813  49.9645
   2  12.990 BB    0.2789 3644.86841  203.44264  50.0355

Totals :                  7284.55908  434.70078

==========================================================================
                        *** End of Report ***
```



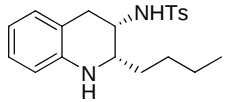
rac

Data File C:\FC-2\YZ005088.D
Sample Name: FC-6-13A

```
==========================================================================
Acq. Operator   : ZHOU
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 10/25/2013 12:21:33 AM
Acq. Method     : C:\HPCHEM\1\METHODS\DEMOCAL2.M
Last changed    : 10/24/2013 11:39:13 PM by ZHOU
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/24/2013 8:29:29 PM by B
                  (modified after loading)
Sample Info     : AD-H, H/i-PrOH =80/20, 0.9 mL/min, 30oC, 254 nm
```

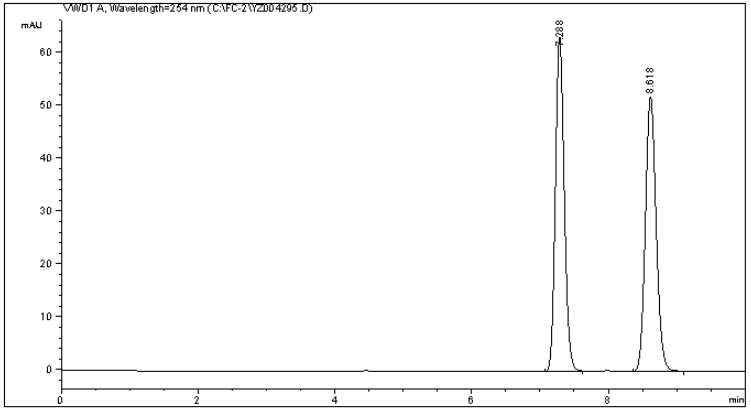



```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  11.583 BB    0.2530  246.41437   14.98872  19.2663
   2  13.290 BB    0.2945 1032.57922   54.69469  80.7337

Totals :                  1278.99359   69.68342

==========================================================================
                        *** End of Report ***
```

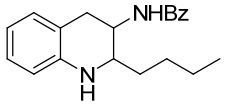


Data File C:\FC-2\YZ004295.D
Sample Name: FC-4-62D1

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 4/28/2013 5:36:52 AM
Acq. Method     : C:\HPCHEM\1\METHODS\DEF LC.M
Last changed    : 4/28/2013 5:21:12 AM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/24/2013 8:26:17 PM by B
                  (modified after loading)
Sample Info     : AD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



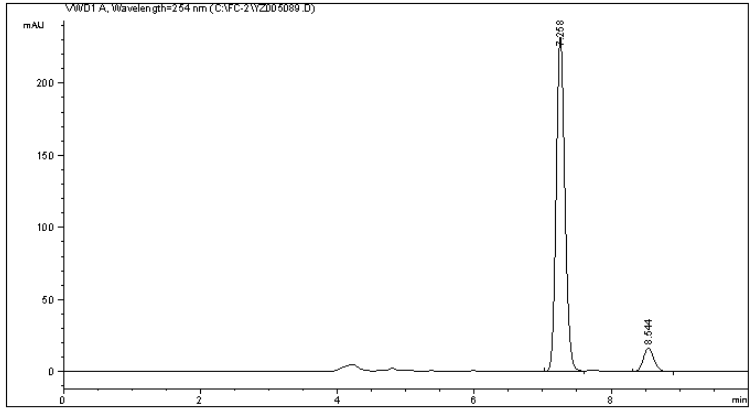

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1   7.288 BB    0.1397  568.13513   63.29384  49.8757
   2   8.618 BB    0.1688  570.96777   52.01669  50.1243

Totals :                  1139.10291  115.31053

==========================================================================
                        *** End of Report ***
```



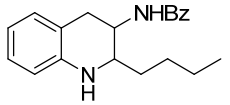
rac

Data File C:\FC-2\YZ005089.D
Sample Name: FC-6-13d1

```
==========================================================================
Acq. Operator   : ZHOU
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 10/25/2013 1:02:12 AM
Acq. Method     : C:\HPCHEM\1\METHODS\DEMOCAL2.M
Last changed    : 10/25/2013 12:44:56 AM by ZHOU
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/24/2013 8:26:17 PM by B
                  (modified after loading)
Sample Info     : AD-H, H/i-PrOH =70/30, 0.7 mL/min, 30oC, 254 nm
```

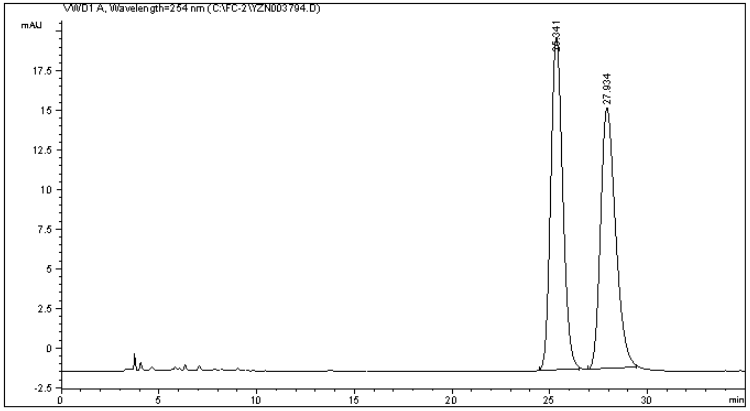



```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1   7.258 BV    0.1364 2046.65405  231.98668  92.1714
   2   8.544 VB    0.1656  173.83417   16.24299   7.8286

Totals :                  2220.48822  248.22967

==========================================================================
                        *** End of Report ***
```

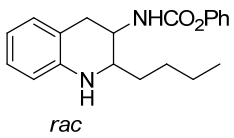


Data File C:\FC-2\YZN003794.D
Sample Name: FC-4-62F1

```
======================================================================
Acq. Operator   : B
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 10/24/2013 4:09:04 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/24/2013 3:49:58 PM by B
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/24/2013 8:20:14 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH/ = 95/5, 0.8 mL/min, 30 oC, 254 nm
```



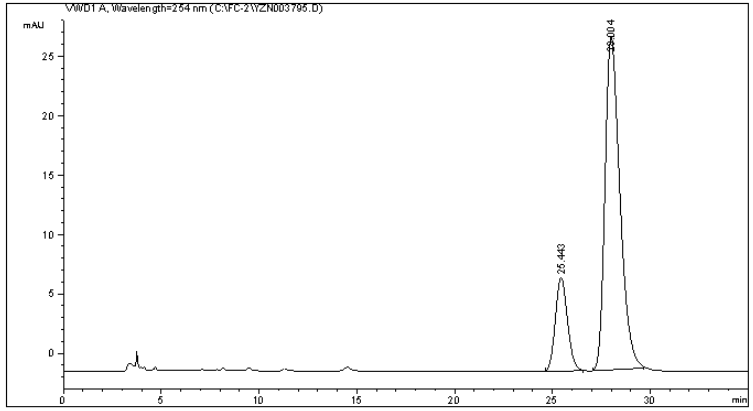

```
======================================================================
                        Area Percent Report
======================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|------|---------|---------|-------|
   1  25.341 BB    0.6586  895.19849   21.01293  50.5376
   2  27.934 BB    0.8171  876.15295   16.43435  49.4624

Totals :                  1771.35144   37.44727

======================================================================
                        *** End of Report ***
```



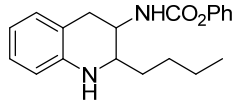
rac

Data File C:\FC-2\YZN003795.D
Sample Name: FC-4-62F1

```
======================================================================
Acq. Operator   : B
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 10/24/2013 4:48:39 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/24/2013 4:47:16 PM by B
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/24/2013 8:20:14 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH/ = 95/5, 0.8 mL/min, 30 oC, 254 nm
```

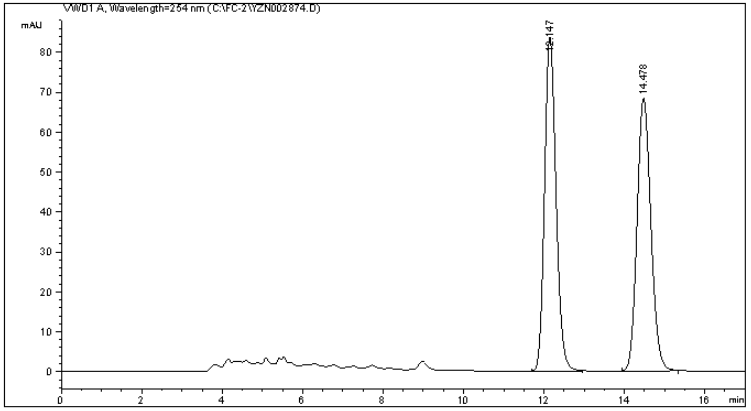



```
======================================================================
                        Area Percent Report
======================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|------|---------|---------|-------|
   1  25.443 BB    0.6622  338.00803    7.85523  18.5528
   2  28.004 BB    0.8101 1483.85791   28.01774  81.4472

Totals :                  1821.86594   35.87297

======================================================================
                        *** End of Report ***
```

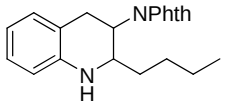


Data File C:\FC-2\YZN002874.D
Sample Name: FC-4-91D

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 5/25/2013 3:34:54 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 5/25/2013 3:18:43 PM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/24/2013 8:18:08 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



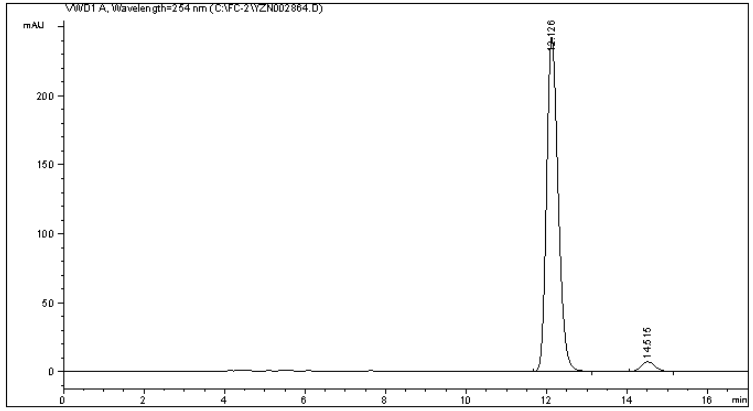

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]     %
----|-------|----|-------|---------|---------|-------|
   1  12.147 BB    0.3050 1655.66174   83.72147  50.3159
   2  14.478 BB    0.3708 1634.87048   68.35770  49.6841

Totals :                  3290.53223  152.07918

==========================================================================
                        *** End of Report ***
```



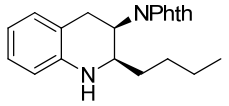
cis-(±)-**2a**

Data File C:\FC-2\YZN002864.D
Sample Name: FC-4-91B

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 5/25/2013 10:38:56 AM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 5/25/2013 10:19:14 AM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:07:58 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



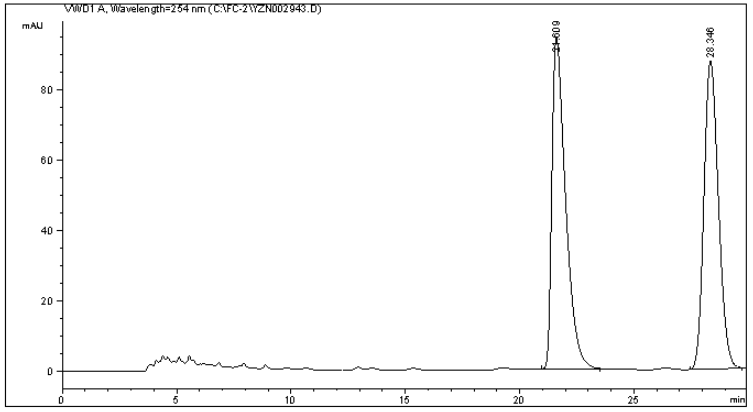

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]     %
----|-------|----|-------|---------|---------|-------|
   1  12.126 BB    0.3091 4849.70605  242.47411  96.5765
   2  14.515 BB    0.3776  171.91296    7.08923   3.4235

Totals :                  5021.61902  249.56334

==========================================================================
                        *** End of Report ***
```



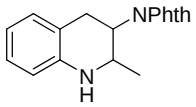
cis-(+)-**2a**

Data File C:\FC-2\YZN002943.D
Sample Name: FC-5-12B

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/8/2013 4:41:20 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/8/2013 4:18:00 PM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:14:04 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



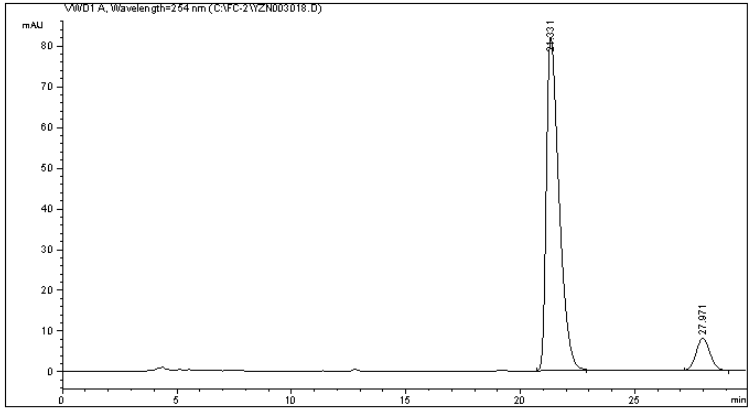

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|--------|
   1  21.609 BB    0.6230 3910.40552   94.11921  50.3693
   2  28.346 BB    0.6843 3853.05908   87.46463  49.6307

Totals :                  7763.46460  181.58384

==========================================================================
                        *** End of Report ***
```



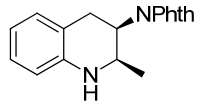
cis-(±)-**2b**

Data File C:\FC-2\YZN003018.D
Sample Name: FC-5-21A

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/18/2013 9:18:59 AM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/18/2013 9:18:18 AM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:14:04 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



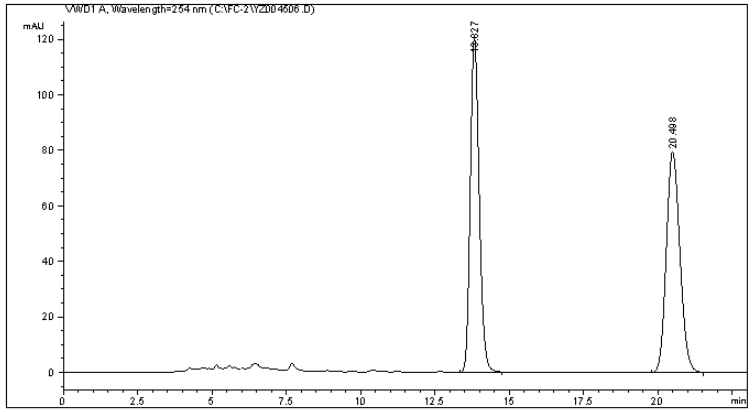

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|--------|
   1  21.331 BB    0.5801 3154.17456   81.93884  90.4368
   2  27.971 BB    0.6503  333.53836    7.91592   9.5632

Totals :                  3487.71292   89.85476

==========================================================================
                        *** End of Report ***
```



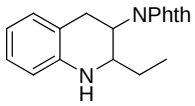
cis-(+)-**2b**

Data File C:\FC-2\YZ004506.D
Sample Name: FC-5-4B

```
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/5/2013 1:31:59 AM
Acq. Method     : C:\HPCHEM\1\METHODS\DEF LC.M
Last changed    : 6/5/2013 1:14:42 AM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:16:54 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



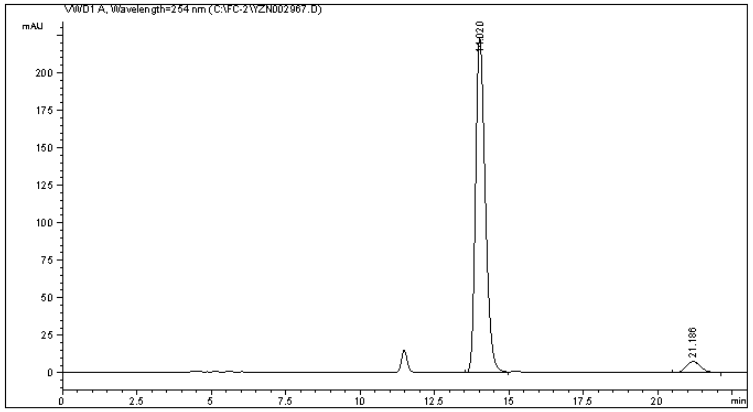

```
                        Area Percent Report

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  13.827 BB    0.3250 2529.78125  120.51426  50.0247
   2  20.498 BB    0.4955 2527.28491   79.63683  49.9753

Totals :                  5057.06616  200.15109

                        *** End of Report ***
```



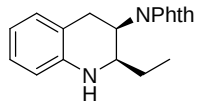
cis-(±)-**2c**

Data File C:\FC-2\YZN002967.D
Sample Name: FC-5-15A

```
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/11/2013 7:22:34 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/11/2013 7:20:18 PM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:16:54 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



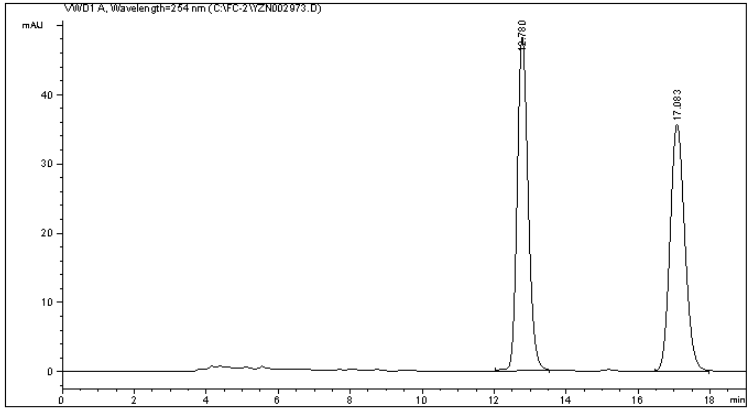

```
                        Area Percent Report

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  14.020 BB    0.3496 5072.93115  223.23433  95.1775
   2  21.186 BB    0.5369  257.03918    7.52382   4.8225

Totals :                  5329.97034  230.75815

                        *** End of Report ***
```



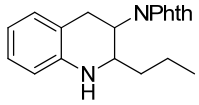
cis-(+)-**2c**

Data File C:\FC-2\YZN002973.D
Sample Name: FC-5-15E

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/11/2013 9:32:17 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/11/2013 9:31:54 PM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:19:15 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



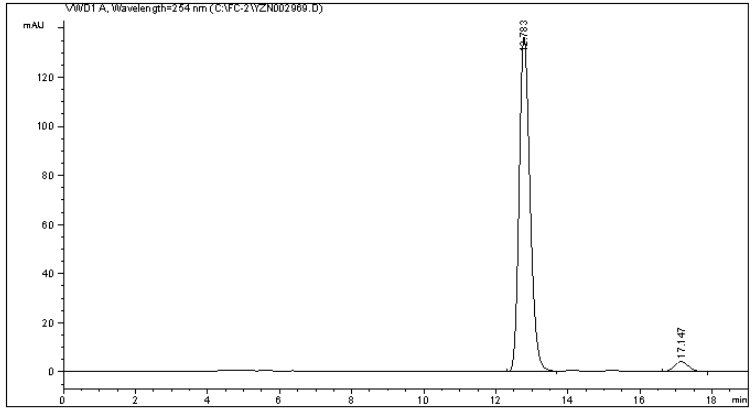

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]      [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|----------|----------|--------|
   1  12.780 BB    0.3250 1017.71002   48.18303  50.2071
   2  17.083 BB    0.4396 1009.31415   35.64315  49.7929

Totals :                  2027.02417   83.82618

==========================================================================
                        *** End of Report ***
```



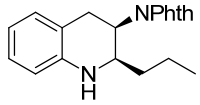
cis-(±)-**2d**

Data File C:\FC-2\YZN002969.D
Sample Name: FC-5-15B

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/11/2013 8:25:23 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/11/2013 8:24:28 PM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:19:15 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



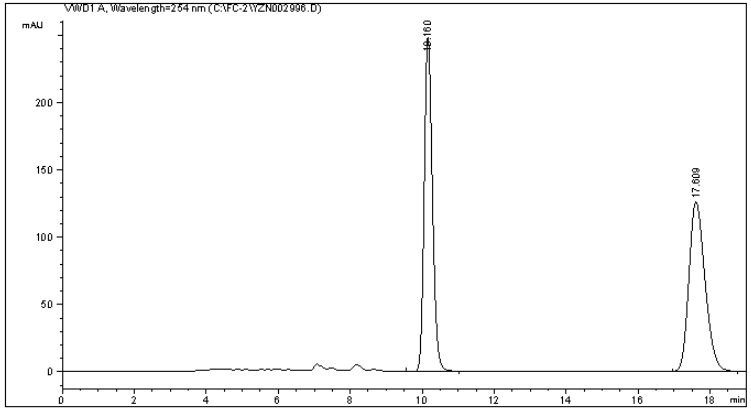

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]      [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|----------|----------|--------|
   1  12.783 BB    0.3244 2855.40771  136.33655  96.1249
   2  17.147 BB    0.4387  115.10995    4.07608   3.8751

Totals :                  2970.51766  140.41263

==========================================================================
                        *** End of Report ***
```



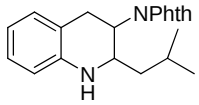
cis-(+)-**2d**

Data File C:\FC-2\YZN002996.D
Sample Name: FC-5-15H

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/14/2013 4:15:31 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/14/2013 4:13:10 PM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:19:15 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



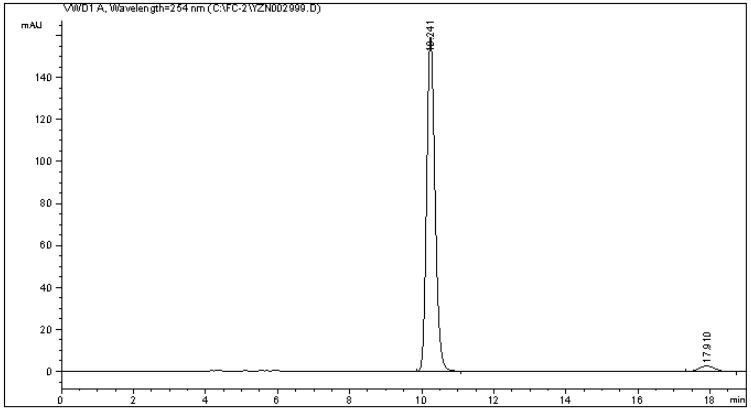

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|--------|
   1  10.160 BB    0.2457 3930.91138  248.50368  50.1263
   2  17.609 BB    0.4798 3911.09814  126.08517  49.8737

Totals :                  7842.00952  374.58884

==========================================================================
                        *** End of Report ***
```



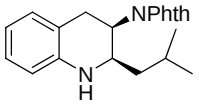
cis-(±)-**2e**

Data File C:\FC-2\YZN002999.D
Sample Name: FC-5-15G

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/14/2013 5:43:33 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/14/2013 5:41:33 PM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:19:15 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



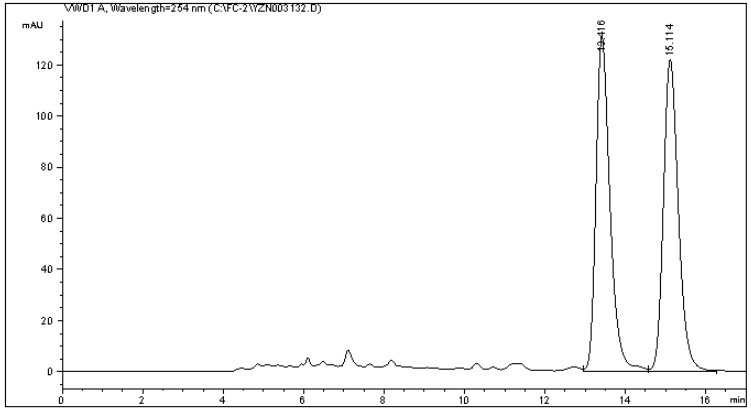

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|--------|
   1  10.241 BB    0.2499 2578.44189  159.39633  96.9104
   2  17.910 BB    0.4747   82.20367    2.68793   3.0896

Totals :                  2660.64557  162.08426

==========================================================================
                        *** End of Report ***
```



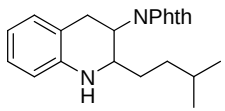
cis-(+)-**2e**

Data File C:\FC-2\YZN003132.D
Sample Name: FC-5-31F

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 7/1/2013 10:55:21 AM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 7/1/2013 10:30:28 AM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:22:50 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.6 mL/min, 30 oC, 254nm
```



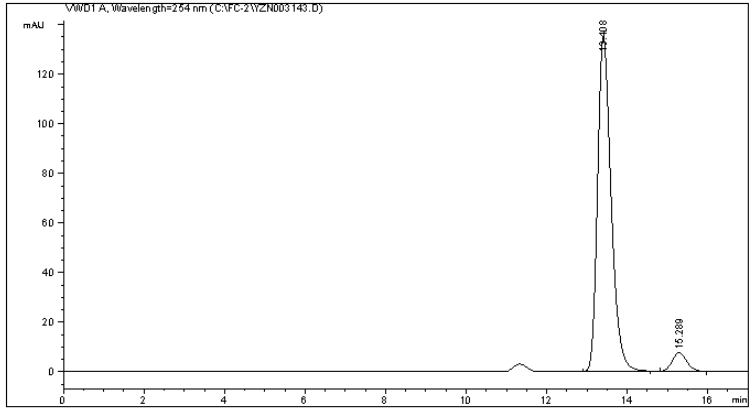

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]      [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|----------|----------|--------|
   1  13.416 VV    0.3705 3171.39990  130.66174  50.3245
   2  15.114 VB    0.3943 3130.49976  121.86823  49.6755

Totals :                  6301.89966  252.52998

==========================================================================
                        *** End of Report ***
```



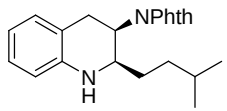
cis-(±)-**2f**

Data File C:\FC-2\YZN003143.D
Sample Name: FC-5-31E

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 7/1/2013 7:12:13 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 7/1/2013 7:05:43 PM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:22:50 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.6 mL/min, 30 oC, 254 nm
```



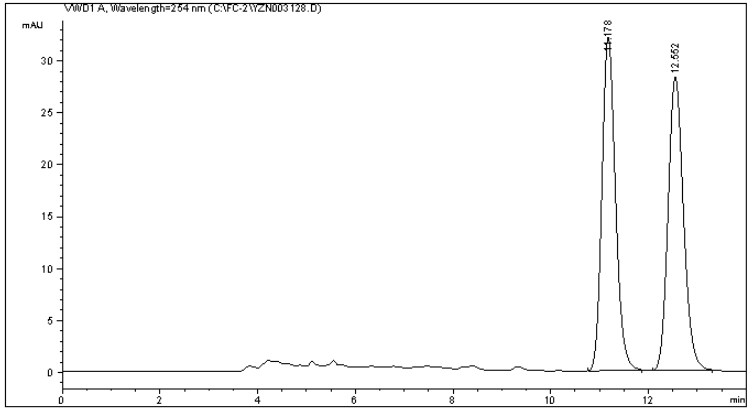

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]      [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|----------|----------|--------|
   1  13.408 BB    0.3600 3171.36182  134.96494  94.2912
   2  15.289 BB    0.3909  192.00705    7.59898   5.7088

Totals :                  3363.36887  142.56392

==========================================================================
                        *** End of Report ***
```



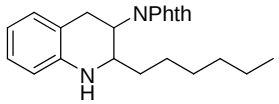
cis-(+)-**2f**

Data File C:\FC-2\YZN003128.D
Sample Name: FC-5-31A

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/30/2013 5:59:30 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/30/2013 5:57:42 PM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:24:50 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



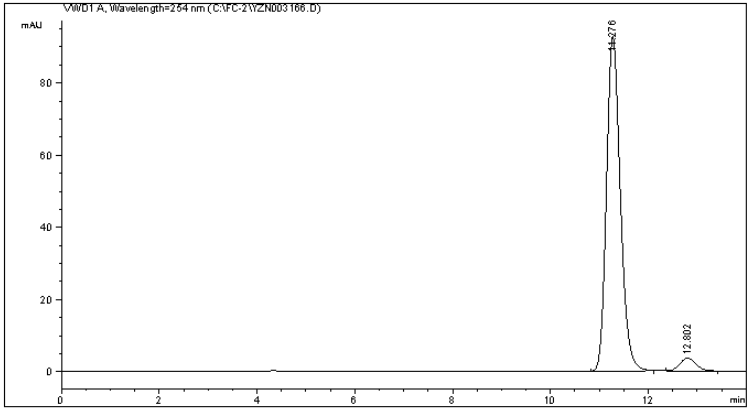

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  11.178 BB    0.2976  619.77832   32.16206  49.9698
   2  12.552 BB    0.3391  620.52863   28.26396  50.0302

Totals :                  1240.30695   60.42602

==========================================================================
                        *** End of Report ***
```



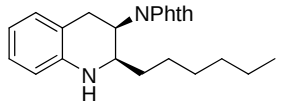
cis-(±)-**2g**

Data File C:\FC-2\YZN003166.D
Sample Name: FC-5-35B

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 7/4/2013 4:09:31 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 7/4/2013 4:07:33 PM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:24:50 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



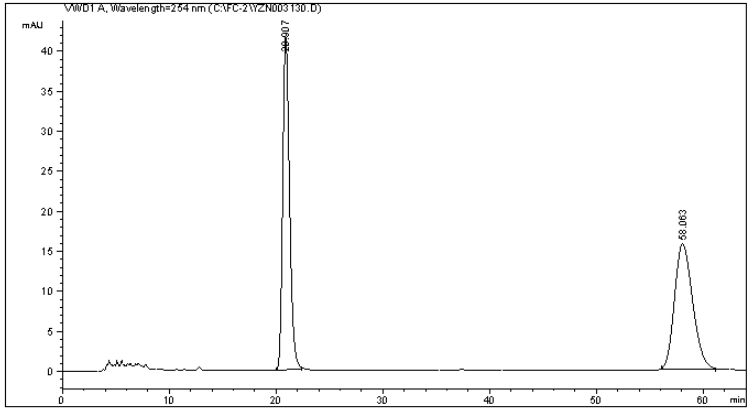

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  11.276 BB    0.3043 1824.74780   92.54482  95.7679
   2  12.802 BB    0.3493   80.63791    3.57215   4.2321

Totals :                  1905.38571   96.11697

==========================================================================
                        *** End of Report ***
```



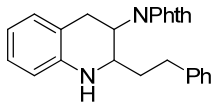
cis-(+)-**2g**

Data File C:\FC-2\YZN003130.D
Sample Name: FC-5-31D

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 7/1/2013 8:32:52 AM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 7/1/2013 8:32:15 AM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:26:48 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



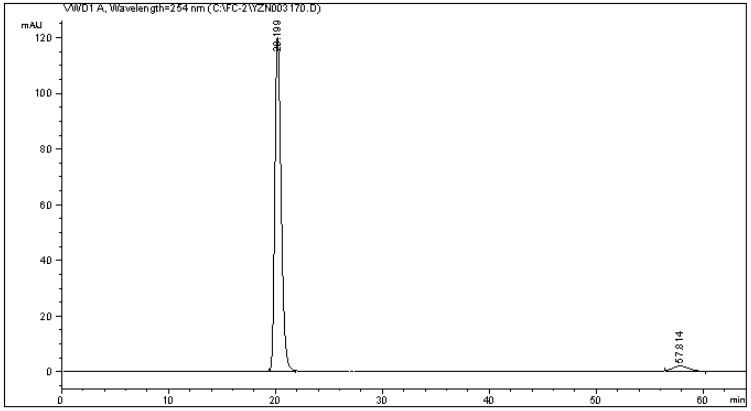

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|----------|----------|--------|
   1  20.907 BB    0.6820 1851.19116   41.61592  50.2063
   2  58.063 BB    1.6967 1835.97693   15.66210  49.7937

Totals :                  3687.16809   57.27802

==========================================================================
                        *** End of Report ***
```



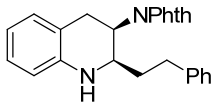
cis-(±)-**2h**

Data File C:\FC-2\YZN003170.D
Sample Name: FC-5-35C

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 7/4/2013 7:01:28 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 7/4/2013 6:59:40 PM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:26:48 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



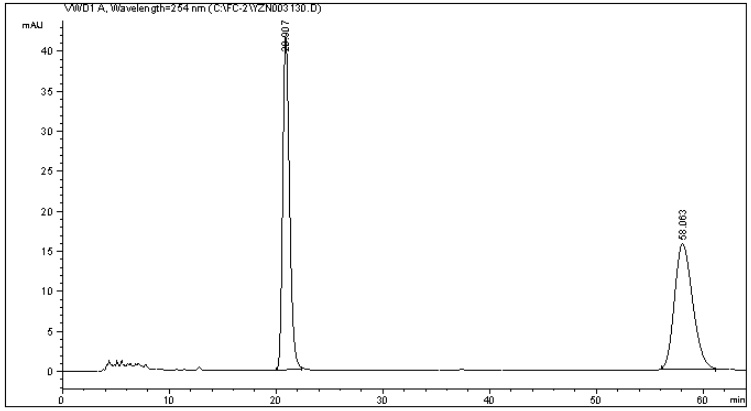

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|----------|----------|--------|
   1  20.199 BB    0.6265 4891.58789  120.12208  96.5101
   2  57.814 BB    1.2130  176.88693    1.71371   3.4899

Totals :                  5068.47482  121.83579

==========================================================================
                        *** End of Report ***
```



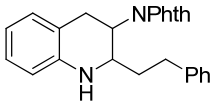
cis-(+)-**2h**

Data File C:\FC-2\YZN003130.D
Sample Name: FC-5-31D

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 7/1/2013 8:32:52 AM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 7/1/2013 8:32:15 AM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:26:48 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



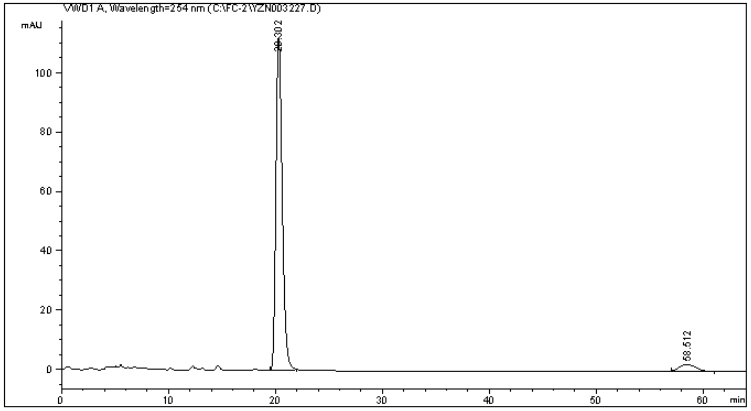

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  20.907 BB    0.6820 1851.19116   41.61592  50.2063
   2  58.063 BB    1.6967 1835.97693   15.66210  49.7937

Totals :                  3687.16809   57.27802

==========================================================================
                        *** End of Report ***
```



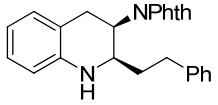
cis-(±)-**2h**

Data File C:\FC-2\YZN003227.D
Sample Name: FC-5-40A

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 7/15/2013 11:31:14 AM
Acq. Method     : C:\CHEM32\1\METHODS\DEMOCAL2.M
Last changed    : 7/15/2013 11:30:31 AM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/30/2013 10:57:22 AM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



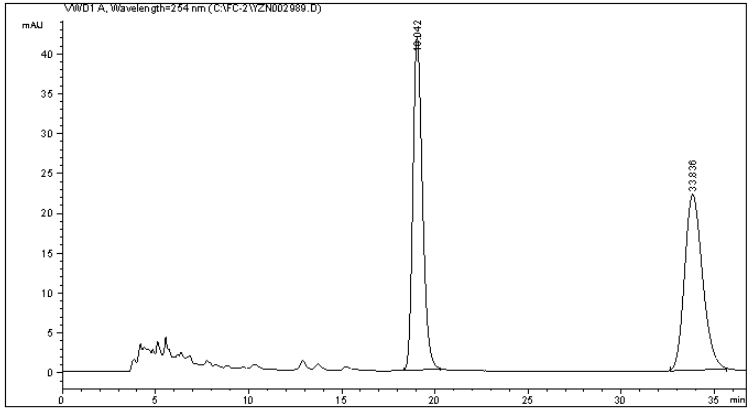

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]       [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  20.302 BB    0.6305 4608.46924  112.21964  95.0265
   2  58.512 BB    1.2630  241.19598    2.25133   4.9735

Totals :                  4849.66522  114.47096

==========================================================================
                        *** End of Report ***
```



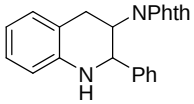
cis-(+)-**2h**
for the hydrogenation of **1i**

Data File C:\FC-2\YZN002989.D
Sample Name: FC-5-5F

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/13/2013 6:02:46 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/13/2013 5:57:07 PM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:30:44 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



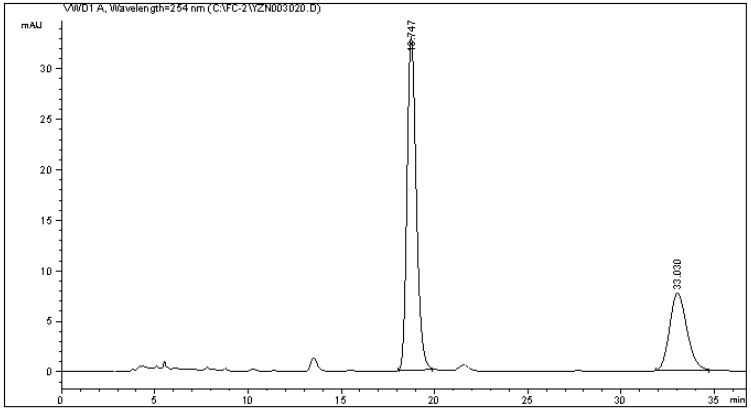

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]      [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  19.042 BB    0.5526 1498.45117   41.75992  50.4122
   2  33.836 BB    1.0161 1473.94409   22.03925  49.5878

Totals :                  2972.39526   63.79917

==========================================================================
                        *** End of Report ***
```



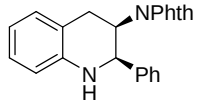
cis-(±)-**1j**

Data File C:\FC-2\YZN003020.D
Sample Name: FC-5-21B

```
==========================================================================
Acq. Operator   : WH
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/18/2013 10:40:50 AM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/18/2013 10:39:46 AM by WH
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:30:44 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



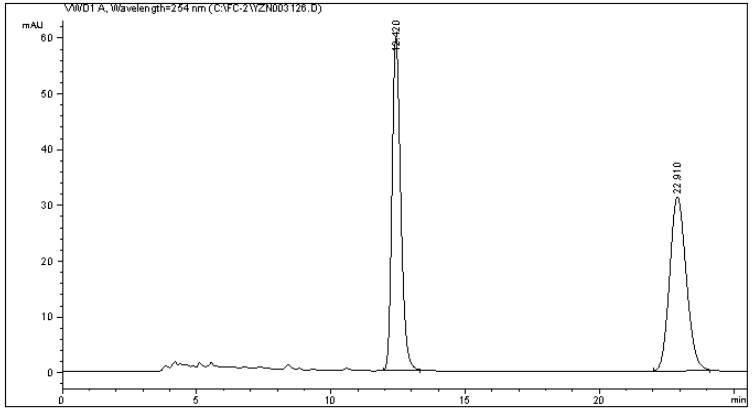

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]      [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  18.747 BB    0.5317 1137.90991   33.01806  69.7618
   2  33.030 BB    0.9609  493.22589    7.65927  30.2382

Totals :                  1631.13580   40.67733

==========================================================================
                        *** End of Report ***
```



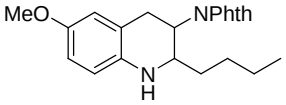
cis-(+)-**1j**

Data File C:\FC-2\YZN003126.D
Sample Name: FC-5-28A

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/30/2013 4:42:21 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 6/30/2013 4:40:34 PM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:32:41 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254nm
```



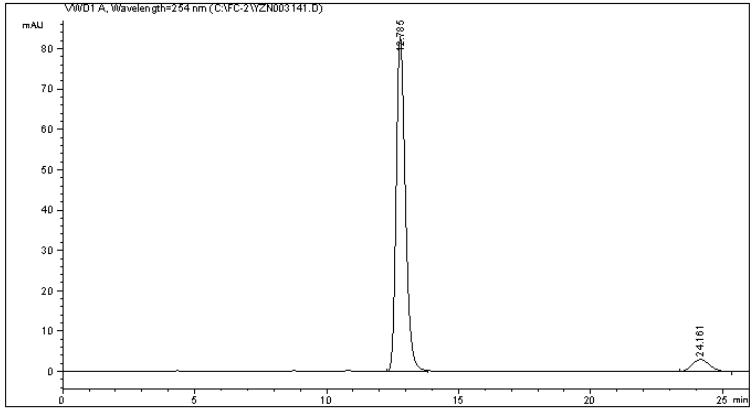

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]        [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|----------|----------|-------|
   1  12.420 BB    0.3467 1352.18323   59.81090  50.1469
   2  22.910 BB    0.6713 1344.26123   31.21398  49.8531

Totals :                  2696.44446   91.02488

==========================================================================
                        *** End of Report ***
```



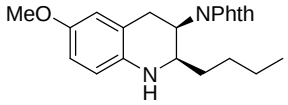
cis-(±)-**1k**

Data File C:\FC-2\YZN003141.D
Sample Name: FC-5-28A

```
==========================================================================
Acq. Operator   : YZ
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 7/1/2013 6:12:21 PM
Acq. Method     : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 7/1/2013 5:56:05 PM by YZ
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:33:48 PM by B
                  (modified after loading)
Sample Info     : OD-H, H/i-PrOH = 70/30, 0.7 mL/min, 30 oC, 254 nm
```



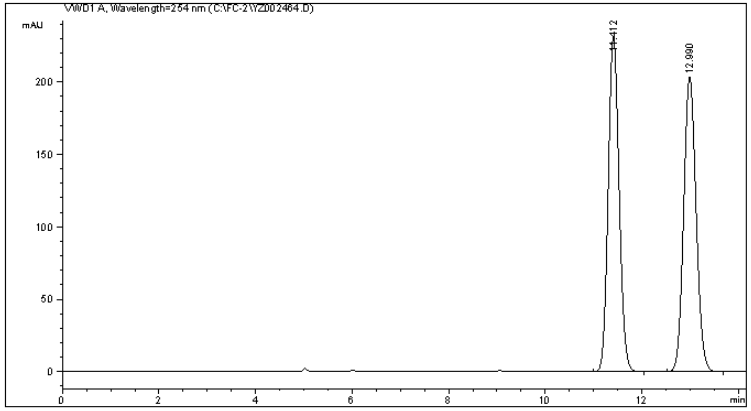

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]        [min]  mAU   *s   [mAU   ]      %
----|-------|----|-------|----------|----------|-------|
   1  12.785 BB    0.3697 1995.50085   82.88248  93.4423
   2  24.161 BB    0.6851  140.04199    3.00402   6.5577

Totals :                  2135.54285   85.88650

==========================================================================
                        *** End of Report ***
```



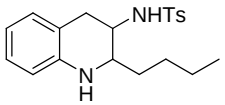
cis-(+)-**1k**

Data File C:\FC-2\YZ002464.D
Sample Name: FC-2-76El

```
==========================================================================
Acq. Operator   : ZX
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 6/19/2012 12:50:34 AM
Acq. Method     : C:\HPCHEM\1\METHODS\SW.M
Last changed    : 6/19/2012 12:48:57 AM by ZX
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:02:48 PM by B
                  (modified after loading)
Sample Info     : AD-H, H/i-PrOH = 80/20, 0.9mL/min, 30 oC, 254 nm
```



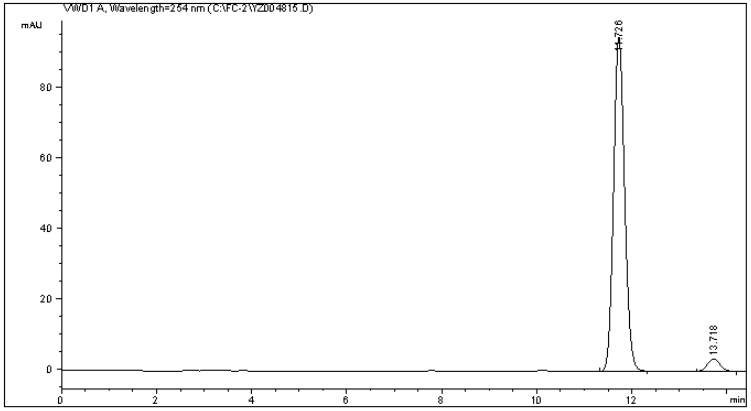

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]      [min]  mAU   *s    [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  11.412 BB    0.2448 3639.69067  231.25813  49.9645
   2  12.990 BB    0.2789 3644.86841  203.44264  50.0355

Totals :                  7284.55908  434.70078

==========================================================================
                        *** End of Report ***
```



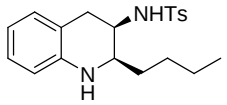
cis-(±)-**3**

Data File C:\FC-2\YZ004815.D
Sample Name: FC-5-44

```
==========================================================================
Acq. Operator   : ZHOU
Acq. Instrument : Instrument 1                    Location : Vial 1
Injection Date  : 8/15/2013 6:30:07 AM
Acq. Method     : C:\HPCHEM\1\METHODS\DEF LC.M
Last changed    : 8/15/2013 6:28:49 AM by ZHOU
                  (modified after loading)
Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
Last changed    : 10/9/2013 10:03:59 PM by B
                  (modified after loading)
Sample Info     : AD-H, H/i-PrOH = 80/20, 0.9 mL/min, 30 oC, 254 nm
```



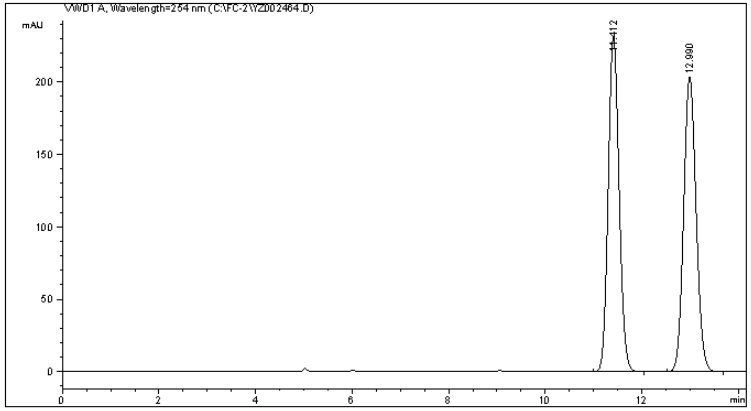

```
==========================================================================
                        Area Percent Report
==========================================================================

Sorted By             :      Signal
Multiplier:                   :      1.0000
Dilution:                     :      1.0000
Use Multiplier & Dilution Factor with ISTDs


Signal 1: VWD1 A, Wavelength=254 nm

Peak RetTime Type  Width     Area      Height     Area
  #   [min]      [min]  mAU   *s    [mAU   ]      %
----|-------|----|-------|---------|---------|-------|
   1  11.726 BB    0.2506 1528.19995   94.84723  95.8293
   2  13.718 BB    0.2916   66.51015    3.52322   4.1707

Totals :                  1594.71010   98.37045

==========================================================================
                        *** End of Report ***
```



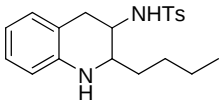
cis-(+)-**3**

Data File C:\FC-2\YZ002464.D
Sample Name: FC-2-76El

```
    ======================================================================
    Acq. Operator   : ZX
    Acq. Instrument : Instrument 1                    Location : Vial 1
    Injection Date  : 6/19/2012 12:50:34 AM
    Acq. Method     : C:\HPCHEM\1\METHODS\SW.M
    Last changed    : 6/19/2012 12:48:57 AM by ZX
                      (modified after loading)
    Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
    Last changed    : 10/9/2013 10:02:48 PM by B
                      (modified after loading)
    Sample Info     : AD-H, H/i-PrOH = 80/20, 0.9mL/min, 30 oC, 254 nm
```



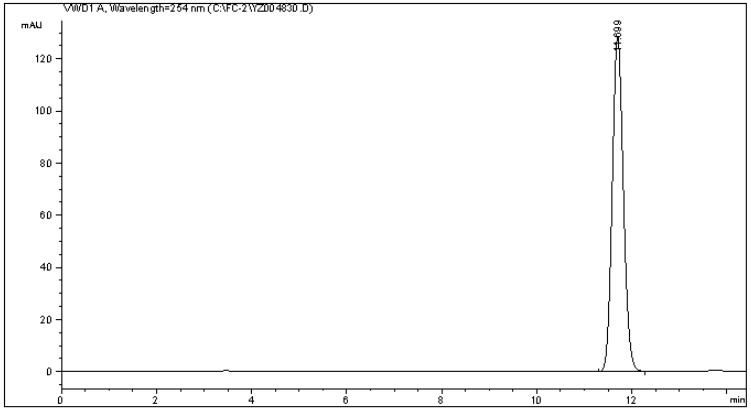

```
    ======================================================================
                               Area Percent Report
    ======================================================================

    Sorted By             :      Signal
    Multiplier:                   :      1.0000
    Dilution:                     :      1.0000
    Use Multiplier & Dilution Factor with ISTDs


    Signal 1: VWD1 A, Wavelength=254 nm

    Peak RetTime Type  Width     Area      Height     Area
      #   [min]       [min]  mAU   *s   [mAU   ]      %
    ----|-------|----|-------|----------|----------|--------|
       1  11.412 BB    0.2448 3639.69067  231.25813  49.9645
       2  12.990 BB    0.2789 3644.86841  203.44264  50.0355

    Totals :                  7284.55908  434.70078


    ======================================================================
                               *** End of Report ***
```



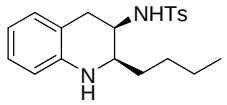
cis-(±)-**4**

Data File C:\FC-2\YZ004830.D
Sample Name: FC-5-44B

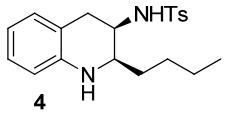
```
    ======================================================================
    Acq. Operator   : ZHOU
    Acq. Instrument : Instrument 1                    Location : Vial 1
    Injection Date  : 8/21/2013 12:33:41 AM
    Acq. Method     : C:\HPCHEM\1\METHODS\DEF LC.M
    Last changed    : 8/21/2013 12:09:36 AM by ZHOU
                      (modified after loading)
    Analysis Method : C:\CHEM32\1\METHODS\DEF LC.M
    Last changed    : 10/9/2013 10:03:59 PM by B
                      (modified after loading)
    Sample Info     : AD-H, H/i-PrOH = 80/20, 0.9 mL/min, 30 oC, 254 nm
```




```
    ======================================================================
                               Area Percent Report
    ======================================================================

    Sorted By             :      Signal
    Multiplier:                   :      1.0000
    Dilution:                     :      1.0000
    Use Multiplier & Dilution Factor with ISTDs


    Signal 1: VWD1 A, Wavelength=254 nm

    Peak RetTime Type  Width     Area      Height     Area
      #   [min]       [min]  mAU   *s   [mAU   ]      %
    ----|-------|----|-------|----------|----------|--------|
       1  11.699 BB    0.2523 2089.33594  128.48665 100.0000

    Totals :                  2089.33594  128.48665


    ======================================================================
                               *** End of Report ***
```



cis-(-)-**4**



4

N-((2*R*,3*R*)-2-butyl-1,2,3,4-tetrahydroquinolin-3-yl)-4-methylbenzenesulfonamide

CCDC 962689.