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Development of recyclable chiral macrocyclic metal complexes for asymmetric aminolysis of epoxides: application for the synthesis of enantiopure oxazolidine ring

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1. General Information

Anhydrous chromium (II) chloride, aniline, substituted anilines, aliphatic amines and *trans*-epoxides were purchased from Aldrich Chemicals and were used as received. All the solvents used in the present study were dried by known purification technique. NMR spectra were obtained with 600 MHz, 500 MHz, 200 MHz and are referenced internally with TMS. Enantiomeric excess (ee) were determined by HPLC using Daicel Chiralpak ADH, OD, IA, and AD chiral columns with 2-propanol/hexane as eluent. FT-IR spectra were carried out by using KBr. MALDI-TOF measurements were performed on an Ultraflex instrument (Bruker Daltonics

Germany). Optical rotations were determined by automatic polarimeter (Digipol 781). Circular Dichroism (CD) spectra were obtained by using a JASCO J-815 CD spectrophotometer (Japan). High-resolution mass spectra were obtained with Agilent Technologies (6545 Q-TOF LC-MS). For the product purification flash chromatography was performed using silica gel 100-200 mesh. The following abbreviations were used to designate chemical shift multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad coupling constants are given in Hertz (Hz).

2. Synthesis of *trans*-methyl 3-phenyloxirane-2-carboxylate

All epoxides are synthesized according to literature procedure.[1]

3. Synthesis and Characterization data of macrocyclic salen ligands

Synthetic procedure for ligand 1a-3a

A solution of dialdehyde (500 mg, 0.75 mmol) in dry DCM (1.2 mL) was added to a solution of (1*S*,2*S*)/ (1*R*,2*R*)-1,2-diphenylethylenediamine (191.06 mg, 0.90 mmol) in dry MeOH (0.68 mL) at ambient temperature (25-30 ^{o}C). The stirring of the solution was continued at room temperature for 5 h. The completion of the reaction was checked on TLC. After completion of the reaction the solvent was removed under reduced pressure. The bright yellow solid product thus obtained was taken in dichloromethane (40 mL) and the organic layer was washed with water (2 x 40 mL), brine (40 mL) and was dried over anhydrous Na_2SO_4. The solvent was removed under reduced pressure to get bright yellow solid product.[2]

Ligand 1a

Bright yellow solid; Yield: 85%; Melting Point: ~192^{o}C; $[\alpha]_D^{27}$= +140.3 (c = 0.3, $CHCl_3$); ^{1}H NMR (600 MHz, $CDCl_3$): δ = 1.25 (s, 18H), 4.39 (s, 2H), 5.29 (s, 4H), 6.30 (d, *J* = 1.80 Hz, 2H), 6.73 (d, *J* = 1.80 Hz, 2H), 7.14 (d, *J* = 8.40 Hz, 2H), 7.18-7.24 (m, 10H), 7.25 (br, 2H), 7.33 (t, *J* = 7.80 Hz, 2H), 7.48 (d, *J* = 9.00 Hz, 2H), 7.89 (d, *J* = 7.80 Hz, 2H), 7.95 (s, 2H), 7.99 (d, *J* = 9.00 Hz, 2H), 13.40 (br, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ = 29.29, 34.72, 70.29, 80.85, 114.62, 117.61, 119.87, 123.44, 125.70, 126.31, 127.19, 127.63, 128.05, 128.13, 128.36, 129.17, 129.32, 134.35, 136.98, 138.35, 154.23, 159.13, 168.66; FT-IR (KBr): 3451, 2952, 2864, 1735, 1625, 1448, 1323, 1212, 1155, 1015, 868, 804, 746, 693, 514, 422 cm^{-1}; TOF-MS (ESI+): m/z 842 [M], 874 [M+MeOH]; Elemental analysis Calcd for $C_{58}H_{54}N_2O_4$: C = 82.63; H = 6.46; N = 3.32; Found: C = 82.73; H = 6.53; N = 3.36.

Ligand 2a

Bright yellow solid; Yield: 86%; Melting Point: ~194 ^{o}C; $[\alpha]_D^{27}$= -148.8 (c = 0.3, $CHCl_3$); ^{1}H NMR (600 MHz, $CDCl_3$): δ = 1.25 (s, 18H), 4.39 (s, 2H), 5.29 (s, 4H), 6.29 (br, 2H), 6.73 (br, 2H), 7.15 (d, *J* = 10.20 Hz, 2H), 7.19-7.23 (m, 10H), 7.25 (br, 2H), 7.33 (t, *J* = 8.40 Hz, 2H), 7.48 (d, *J* = 10.80 Hz, 2H), 7.89 (d, *J* = 9.60 Hz, 2H), 7.96 (s, 2H), 7.99 (d, *J* = 10.80 Hz, 2H), 13.40 (br, 2H); ^{13}C NMR (151 MHz, $CDCl_3$): δ = 31.15, 36.52, 73.44, 81.77, 118.58, 119.97, 123.19, 125.63, 127.26, 127.38, 128.15, 128.30, 129.50, 129.67, 129.93, 130.19, 130.67, 130.88, 131.00, 131.10, 131.40, 135.97, 138.64, 141.68, 156.06, 161.54, 168.70; FT-IR (KBr): 3451, 2955, 2867, 1737, 1627, 1448, 1328, 1212, 1159, 1011, 867, 804, 744, 698, 513, 424 cm^{-1}; TOF-MS (ESI+): m/z 844 [M+2H]; Elemental analysis Calcd for $C_{58}H_{54}N_2O_4$: C = 82.63; H = 6.46; N = 3.32; Found: C = 82.74; H = 6.43; N= 3.43.

Ligand 3a

Bright yellow solid; Yield: 88%; Melting Point: ~195 ^{o}C; $[\alpha]_D^{27}$= +143.3 (c = 0.3, $CHCl_3$); ^{1}H NMR (600 MHz, $CDCl_3$): δ = 1.23 (s, 18H), 4.38 (s, 2H), 5.27 (s, 4H), 6.28 (d, *J* = 1.80 Hz, 2H), 6.71 (d, *J* = 1.80 Hz, 2H), 7.13 (d, *J* = 8.40 Hz, 2H), 7.16-7.21 (m, 10H), 7.23 (br, 2H), 7.31 (t, *J* = 7.80 Hz, 2H), 7.46 (d, *J* = 9.00 Hz, 2H), 7.88 (d, *J* = 7.80 Hz, 2H), 7.93 (s, 2H), 7.98 (d, *J* = 9.00 Hz, 2H), 13.39 (br, 2H); ^{13}C NMR (151 MHz, $CDCl_3$): δ = 29.27, 34.64, 71.57, 79.89, 116.70, 118.10, 121.31, 123.75, 125.38, 125.51, 126.27, 126.42, 127.63, 127.81, 128.05, 128.31, 128.44, 128.80, 129.01, 129.12, 129.23, 129.52, 134.10, 136.77, 139.80, 139.81, 154.18, 159.66, 166.82; FT-IR (KBr): 3453, 2957, 1735, 1628, 1445, 1327, 1214, 1158, 1018, 865, 804, 747, 698, 519, 426 cm^{-1}; TOF-MS (ESI+): m/z 844 [M+2H]; Elemental analysis Calcd for $C_{58}H_{54}N_2O_4$: C = 82.63; H = 6.46; N = 3.32; Found: C = 82.71; H = 6.55; N = 3.42.

Synthetic method for ligands 4a-6a

A solution of dialdehyde **c** (500 mg, 0.75 mmol) in dry DCM (1.2 mL) was added to a solution of (1*S*,2*S*)/ (1*R*,2*R*)-1,2-diaminocyclohexane (108.12 mg, 0.90 mmol; in 0.6 mL dry MeOH) at room temperature (25-30 ^{o}C) and the resulting reaction mixture was stirred for 2 h and monitored on TLC. (*Caution*: this time should not be extended lest insoluble polymeric material would form). After completion of the reaction the solvent was removed under reduced pressure. The bright yellow solid product thus obtained was taken in dichloromethane (40 mL) and the organic

layer was washed with water (2 x 40 mL), brine (40 mL) and was dried over anhydrous Na_2SO_4. The solvent was removed under reduced pressure to get bright yellow solid product.[2]

Ligand 4a

Bright yellow solid; Yield: 87%; Melting Point: ~184 ºC; $[\alpha]_D^{27}$= +163.4 (c = 0.3, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 1.22 (s, 18H), 1.35 (d, *J* = 3.00 Hz, 2H), 1.83-1.91 (m, 4H), 2.17-2.21 (m, 2H), 3.06 (dd, *J* = 3.50 Hz, *J* = 4.00 Hz, 2H), 4.72 (q, 4H), 6.26 (d, *J* = 2.0 Hz, 2H), 6.66 (d, *J* = 2.0 Hz, 2H), 7.15 (d, *J* = 8.50 Hz, 2H), 7.23 (t, *J* = 8.40 Hz, 2H), 7.32 (t, *J* = 7.00 Hz, 2H), 7.45 (d, *J* = 9.00 Hz, 2H), 7.71 (s, 2H), 7.87 (d, *J* = 8.00 Hz, 2H), 7.96 (d, *J* = 9.00 Hz, 2H), 13.58 (br, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ = 24.06, 29.13, 33.06, 34.37, 70.90, 71.74, 115.97, 117.75, 120.69, 123.40, 125.30, 126.04, 127.73, 128.55, 129.03, 129.13, 129.21, 129.33, 133.93, 136.40, 154.03, 159.53, 164.97; FT-IR (KBr): 3055, 2938, 2864, 1628, 1448, 1323, 1264, 1153, 1013, 866, 805, 745, 501, 427 cm^{-1}; TOF-MS (ESI+): m/z [M+H] 745; Elemental analysis Calcd for $C_{50}H_{52}N_2O_4$: C = 80.61; H = 7.04; N = 3.76; Found: C = 80.65; H = 7.14; N = 3.84.

Ligand 5a

Bright yellow solid; Yield: 86%; Melting Point: ~188ºC; $[\alpha]_D^{27}$= -158.3 (c = 0.3, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 1.22 (s, 18H), 1.35 (d, *J* = 1.80 Hz, 2H), 1.83-1.91 (m, 4H), 2.16 -2.21 (m, 2H), 3.06 (dd, *J* = 3.60 Hz, *J* = 3.60 Hz, 2H), 4.72 (q, 4H), 6.25 (br, 2H), 6.66 (d, *J* = 1.80 Hz, 2H), 7.14 (d, *J* = 8.40 Hz, 2H), 7.22 (t, *J* = 7.80 Hz, 2H), 7.32 (t, *J* = 7.80 Hz, 2H), 7.45 (d, *J* = 9.00 Hz, 2H), 7.71 (s, 2H), 7.87 (d, *J* = 8.40 Hz, 2H), 7.95 (d, *J* = 8.40 Hz, 2H), 13.55 (br, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ = 24.07, 29.14, 33.07, 34.39, 70.91, 71.75, 115.99, 117.76, 120.71, 123.41, 125.31, 126.06, 127.74, 128.56, 129.05, 129.14, 129.22, 129.35, 133.94, 136.41, 154.04, 159.54, 164.98; FT-IR (KBr): 3053, 2938, 2867, 1626, 1449, 1324, 1264, 1152, 1017, 869, 804, 745, 502, 428 cm^{-1}; TOF-MS (ESI+): m/z [M+2H] 746; Elemental analysis Calcd for $C_{50}H_{52}N_2O_4$: C = 80.61; H = 7.04; N = 3.76; Found: C = 80.75; H = 7.13; N = 3.85.

Ligand 6a

Bright yellow solid; Yield: 85%; Melting Point: ~186 ºC; $[\alpha]_D^{27}$= +164.7 (c = 0.3, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 1.24 (s, 18H), 1.37 (d, *J* = 3.00 Hz, 2H), 1.85-1.93 (m, 4H), 2.19 -2.23 (m, 2H), 3.07 (dd, *J* = 4.20 Hz, *J* = 4.80 Hz, 2H), 4.74 (q, 4H), 6.28 (d, *J* = 2.40

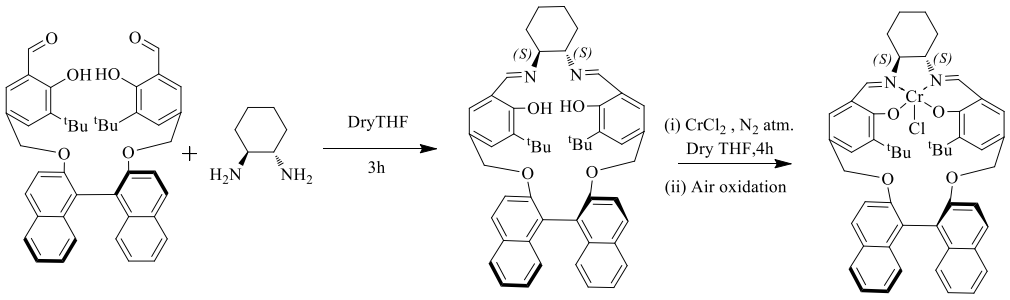
Hz, 2H), 6.68 (d, *J* = 1.80 Hz, 2H), 7.17 (d, *J* = 10.20 Hz, 2H), 7.25 (t, *J* = 9.00 Hz, 2H), 7.34 (t, *J* = 8.40 Hz, 2H), 7.47 (d, *J* = 10.80 Hz, 2H), 7.73 (s, 2H), 7.89 (d, *J* = 9.60 Hz, 2H), 7.98 (d, *J* = 10.80 Hz, 2H), 13.60 (br, 2H); ^{13}C NMR (151 MHz, $CDCl_3$): δ = 24.38, 29.41, 33.27, 34.72, 71.57, 72.33, 114.91, 116.59, 116.71, 118.26, 121.17, 123.55, 124.02, 125.69, 126.44, 127.99, 128.41, 128.81, 129.37, 129.66, 134.24, 136.77, 137.29, 154.35, 159.73, 165.44; FT-IR (KBr): 3053, 2937, 2868, 1625, 1445, 1326, 1265, 1154, 1018, 866, 807, 744, 507, 427 cm^{-1}; TOF-MS (ESI+): m/z [M+H] 783.80; Elemental analysis Calcd for $C_{50}H_{52}N_2O_4$: C = 80.61; H = 7.04; N = 3.76; Found: C = 80.66; H = 7.11; N = 3.84.

Ligand 7a

Bright yellow solid; Yield: 84%; Melting Point: ~223 ºC; $[\alpha]_D^{27}$= +305 (c = 0.3, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 1.23 (s, 18H), 1.36 (d, *J* = 3.00 Hz, 2H), 1.84-1.92 (m, 4H), 2.18 -2.22 (m, 2H), 3.06 (dd, *J* = 4.20 Hz, *J* = 4.80 Hz, 2H), 4.73 (q, 4H), 6.27 (d, *J* = 2.40 Hz, 2H), 6.67 (d, *J* = 1.80 Hz, 2H), 7.16 (d, *J* = 10.20 Hz, 2H), 7.24 (t, *J* = 9.00 Hz, 2H), 7.33 (t, *J* = 8.40 Hz, 2H), 7.48 (d, *J* = 10.80 Hz, 2H), 7.72 (s, 2H), 7.88 (d, *J* = 9.60 Hz, 2H), 7.97 (d, *J* = 10.80 Hz, 2H), 13.59 (br, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ = 24.17, 29.24, 33.17, 34.49, 71.01, 71.85, 116.09, 117.86, 120.81, 123.51, 125.41, 126.16, 127.84, 128.66, 129.15, 129.24, 129.32, 129.45, 134.04, 136.51, 154,14, 159.64, 165.08; FT-IR (KBr): 3055, 2938, 2865, 1624, 1441, 1330, 1266, 1153, 1019, 867, 808, 745, 508, 429 cm^{-1}; TOF-MS (ESI+): m/z [M+H] 783; Elemental analysis Calcd for $C_{50}H_{52}N_2O_4$: C = 80.61; H = 7.04; N = 3.76; Found: C = 80.68; H = 7.17; N = 3.88.

4. Synthesis and characterization data of chiral macrocyclic Cr^{III} salen complexes:

A 100-mL, 2-necked, round-bottom flask with a nitrogen inlet and outlet was charged with a yellow solution of ligand in dry degassed THF (25 mL). To the yellow solution, anhydrous chromium(II) chloride was added and the solution turned into dark brown color which was stirred for 4 h under a blanket of nitrogen and then exposed to air for a further 3 h. The dark brown solution was diluted with TBME (*t-butyl* methyl ether) resulting in the precipitation of the complexes **Cr(III) 1–7**. The complexes were filtered and washed with saturated NH_4Cl solution and brine to remove the excess of chromium chloride, the complexes were dried overnight under vacuum.



Scheme: S1- Synthesis of chiral Cr^{III} salen complex.

Cr(III)-1

Greenish brown solid; The overall yield was found to be 82%; m.p. ~283 ºC; $[\alpha]_D^{25}$ = -373 (c = 0.2,$CHCl_3$); IR in KBr (cm^{-1}): 3430, 2956, 2817, 1502, 1429,1384,1349, 1239, 1204, 1163, 1075, 1006, 813, 700, 562, 448; TOF-MS (ESI+): m/z 927.30 [$C_{58}H_{52}ClCrN_2O_4$], 926.30 [M-H]; Anal. Calcd. for $C_{58}H_{52}ClCrN_2O_4$: C= 75.03; H= 5.65; N= 3.02; Found: C= 75.15; H= 5.78; N= 3.14.

Cr(III)-2

Greenish brown solid; The overall yield was found to be 84%; m.p. ~280 ºC; $[\alpha]_D^{25}$ = +354 (c = 0.2,$CHCl_3$); IR in KBr (cm^{-1}): 3421, 2952, 2865, 1623, 1556, 1385, 1315, 1165, 980, 1266, 821, 774, 692, 569; TOF-MS (ESI+): m/z 927.30 [$C_{58}H_{52}ClCrN_2O_4$], 892.33 [M-Cl]; Anal. Calcd. for $C_{58}H_{52}ClCrN_2O_4$: C= 75.03; H= 5.65; N= 3.02; Found: C= 75.22; H= 5.76; N= 3.16.

Cr(III)-3

Greenish brown solid; The overall yield was found to be 87%; m.p. ~279 ºC; $[\alpha]_D^{25}$ = -368 (c = 0.2,$CHCl_3$); IR in KBr (cm^{-1}): 3436, 2952, 2860, 1606, 1536, 1379, 1315, 1158, 1015, 710, 567; TOF-MS (ESI+): m/z 927.30 [$C_{58}H_{52}ClCrN_2O_4$], 960.30 [M+MeOH+H]; Anal. Calcd. for $C_{58}H_{52}ClCrN_2O_4$: C = 75.03; H = 5.65; N = 3.02; Found: C = 75.18; H = 5.79; N = 3.14.

Cr(III)-4

Greenish brown solid; The overall yield was found to be 85%; m.p. ~260 ºC; $[\alpha]_D^{25}$ = -359 (c = 0.2,$CHCl_3$); IR in KBr (cm^{-1}): 3422, 2943, 2822, 1595, 1434, 1385, 1348, 1238, 1203, 1161, 1029, 936, 821, 781, 687, 562; TOF-MS (ESI+): m/z 829.29 [$C_{50}H_{50}ClCrN_2O_4$], 826.72 [M-Cl+MeOH]; Matrix-assisted Laser deposition/ionization (MALDI)- m/z 818.36 [M-Cl+Na+H],

852.36 [M+Na]; Anal. Calcd. for $C_{50}H_{50}ClCrN_2O_4$: C = 72.32; H = 6.07; N = 3.37; Found: C = 72.42; H = 6.24; N = 3.43.

Cr(III)-5

Greenish brown solid; The overall yield was found to be 86%; m.p. ~258 ºC; $[\alpha]_D^{25}$ = +349 (c = 0.2,$CHCl_3$); IR in KBr (cm^{-1}): 3423, 2947, 2855, 1621, 1545 , 1439, 1314, 1163, 1025, 827, 563; TOF-MS (ESI+): m/z 829.29 [$C_{50}H_{50}ClCrN_2O_4$], 846.29 [M+H_2O-H]; Anal. Calcd. for $C_{50}H_{50}ClCrN_2O_4$: C = 72.32; H = 6.07; N = 3.37; Found: C = 72.44; H = 6.21; N = 3.49.

Cr(III)-6

Greenish brown solid; The overall yield was found to be 85%; m.p. ~256 ºC; $[\alpha]_D^{25}$ = -354 (c = 0.2,$CHCl_3$); IR in KBr (cm^{-1}): 3421, 2939, 2861, 1619, 1535, 1317, 1160, 1015, 812, 559; TOF-MS (ESI+): m/z 829.29 [$C_{50}H_{50}ClCrN_2O_4$], 827.29 [M-Cl+MeOH+H]; Anal. Calcd. for $C_{50}H_{50}ClCrN_2O_4$: C = 72.32; H = 6.07; N = 3.37; Found: C = 72.46; H = 6.18; N = 3.46.

Cr(III)-7

Greenish brown solid; The overall yield was found to be 83%; m.p. ~248 ºC; $[\alpha]_D^{25}$ = -314 (c = 0.2,$CHCl_3$); IR in KBr (cm^{-1}): 3425, 2946, 2856, 1623, 1544 , 1438, 1312, 1168, 1026, 828, 563 TOF-MS (ESI+): m/z 829.29 [$C_{50}H_{50}ClCrN_2O_4$], 846.64 [M+H_2O-H]; Anal. Calcd. for $C_{50}H_{50}ClCrN_2O_4$: C = 72.32; H = 6.07; N = 3.37; Found: C = 72.43; H = 6.13; N = 3.23.

Characterization data of the macrocyclic Cr(III)-complex:

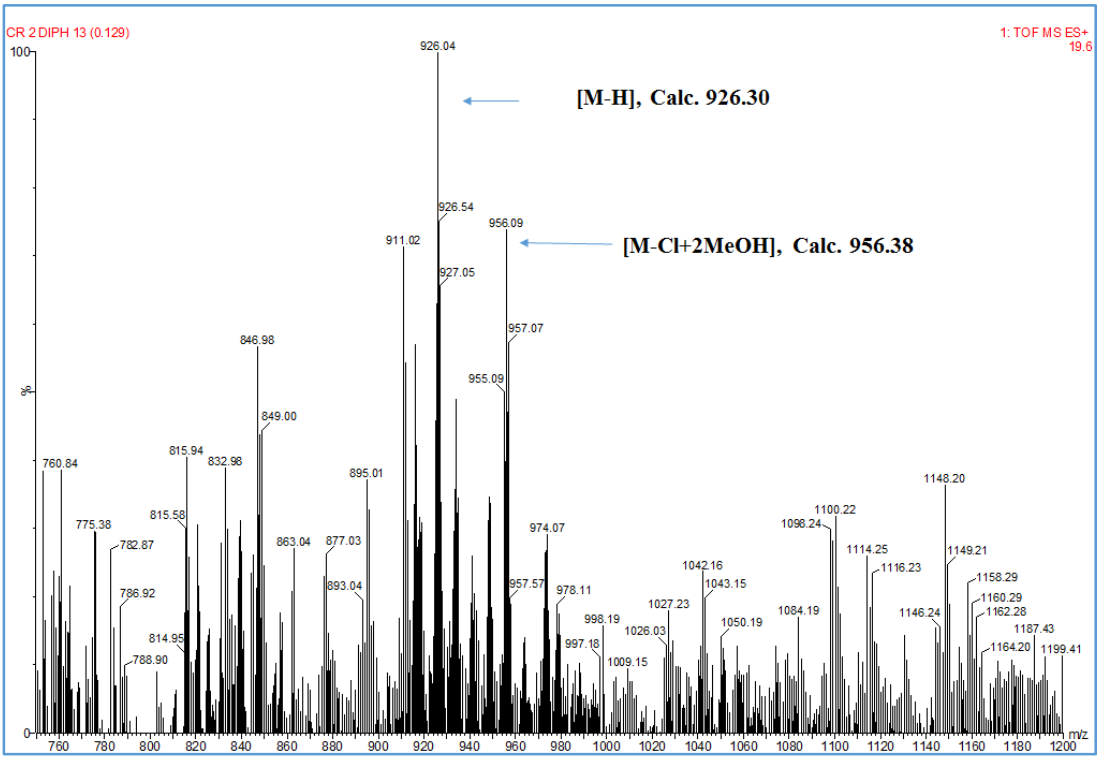


Figure 1. MS spectra of Cr(III)-1.

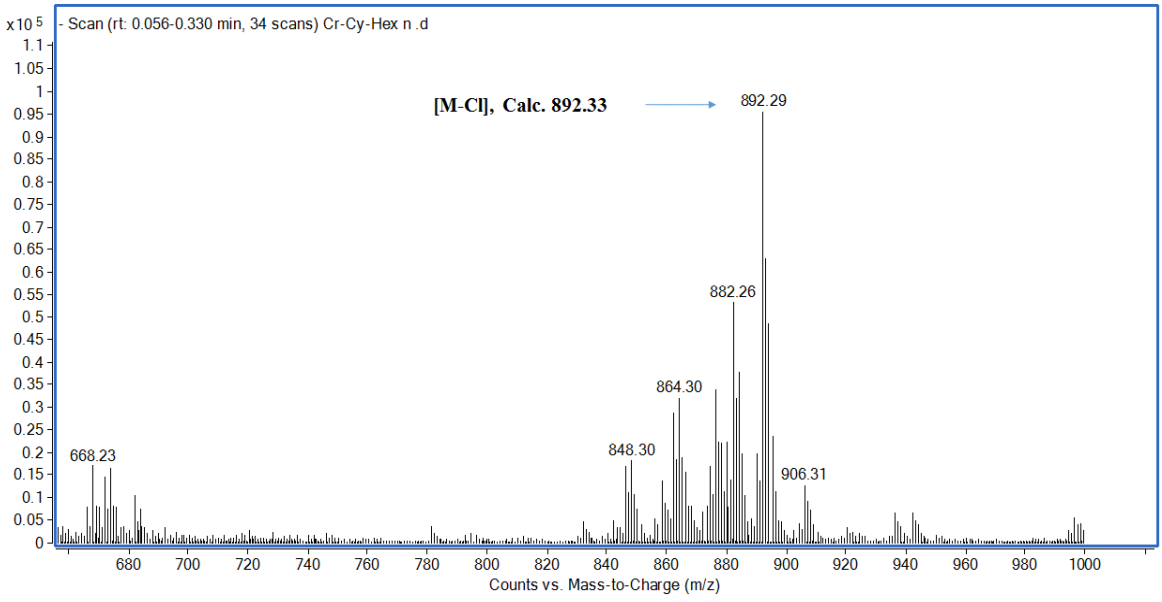


Figure 2. MS spectra of Cr(III)-2.

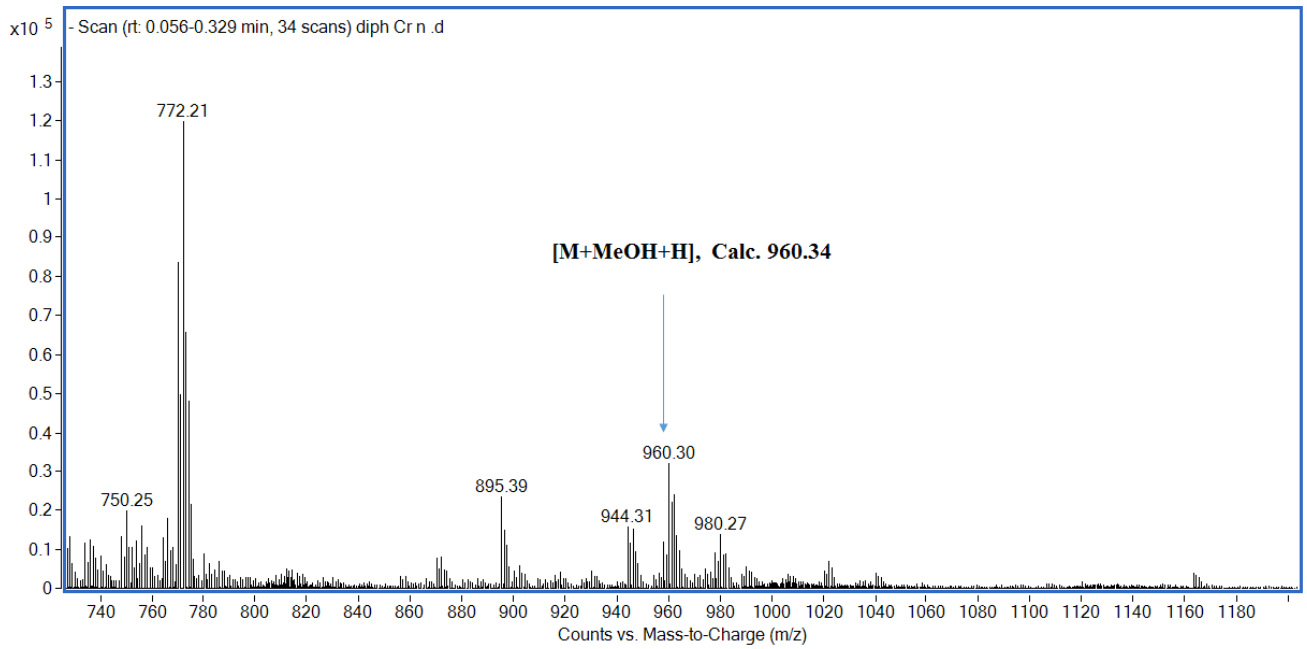


Figure 3. MS spectra of Cr(III)-3.

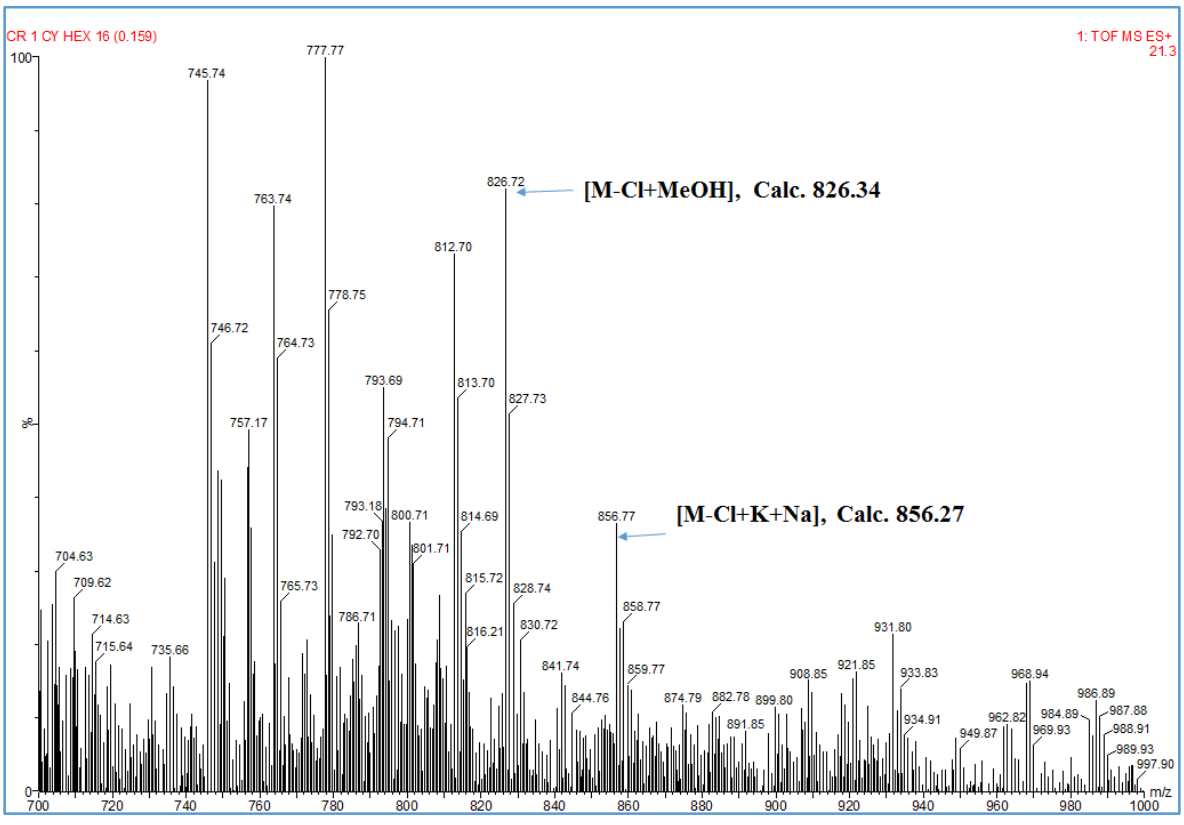


Figure 4. MS spectra of Cr(III)-4.

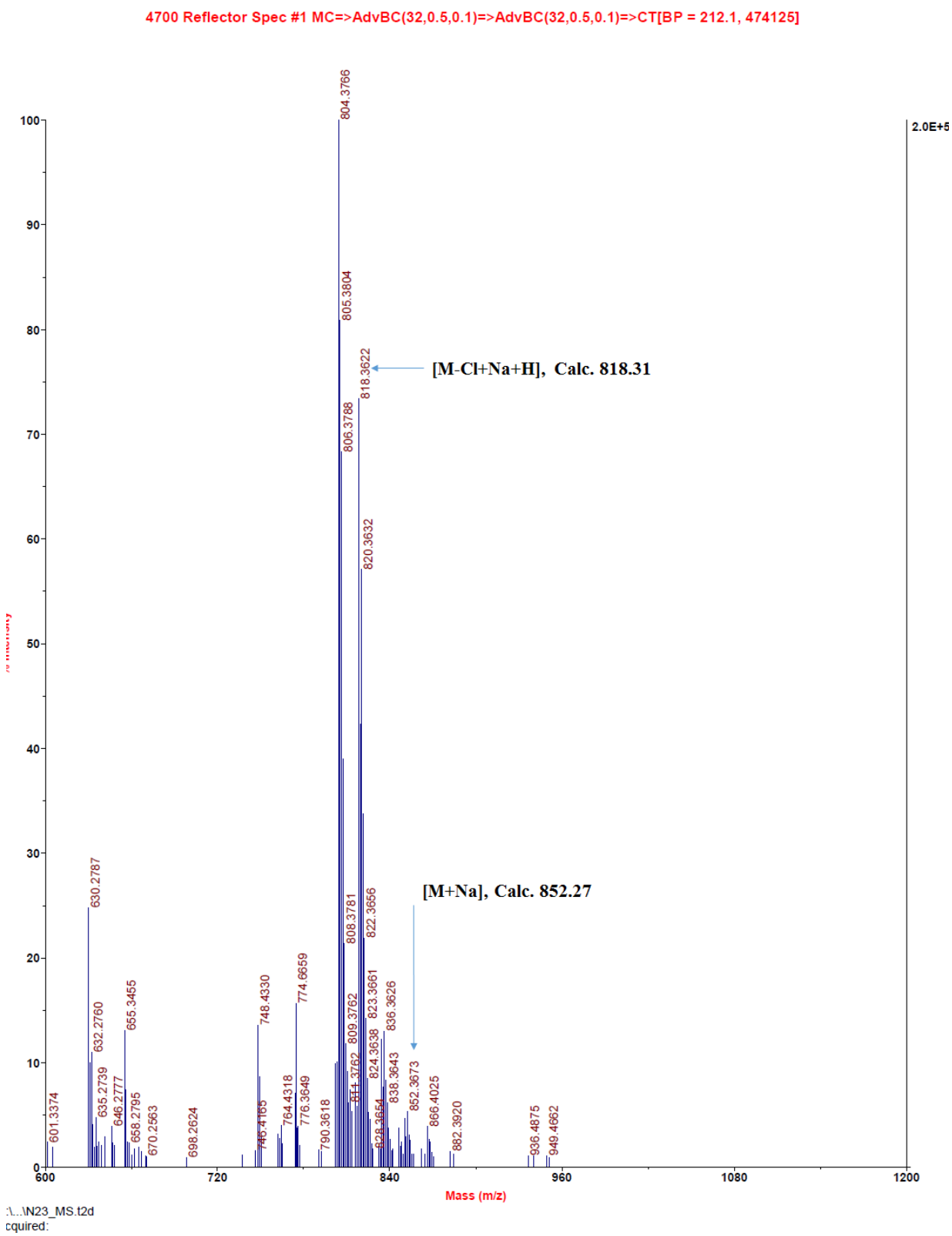


Figure 4a. MALDI spectra of Cr(III)-4.

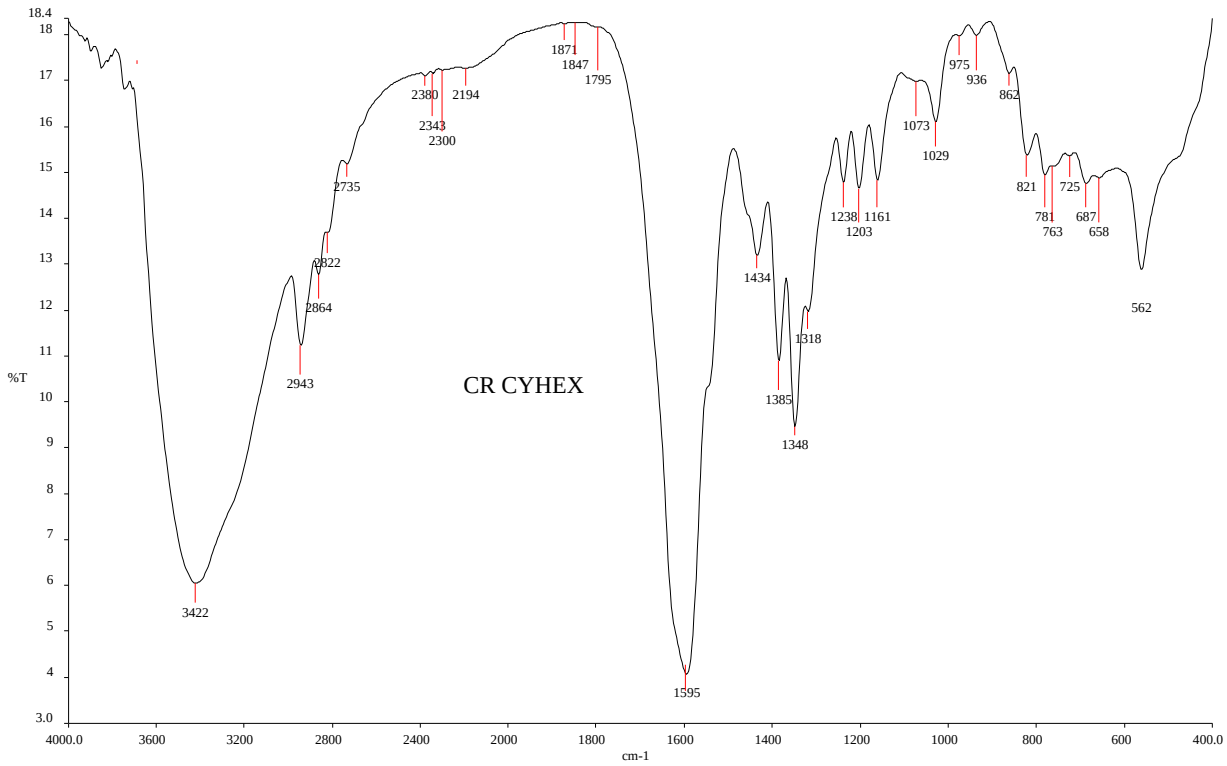


Figure 4b. IR spectra of Cr(III)-4.

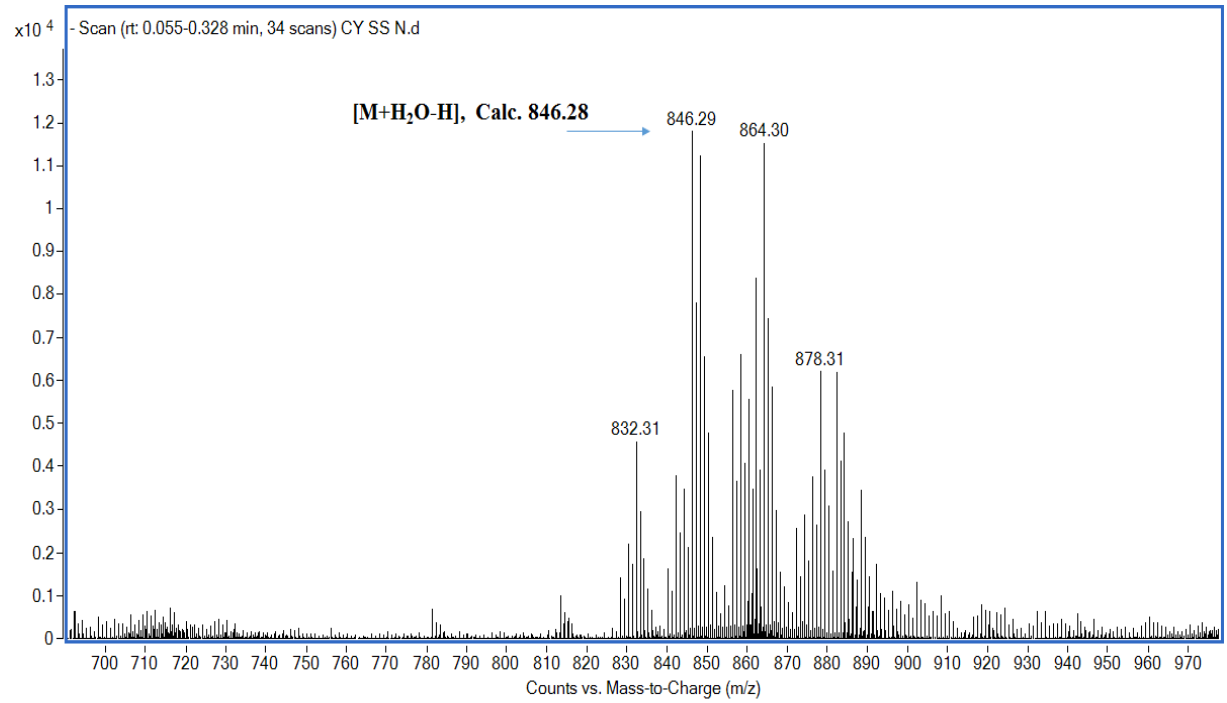


Figure 5. MS spectra of Cr(III)-5.

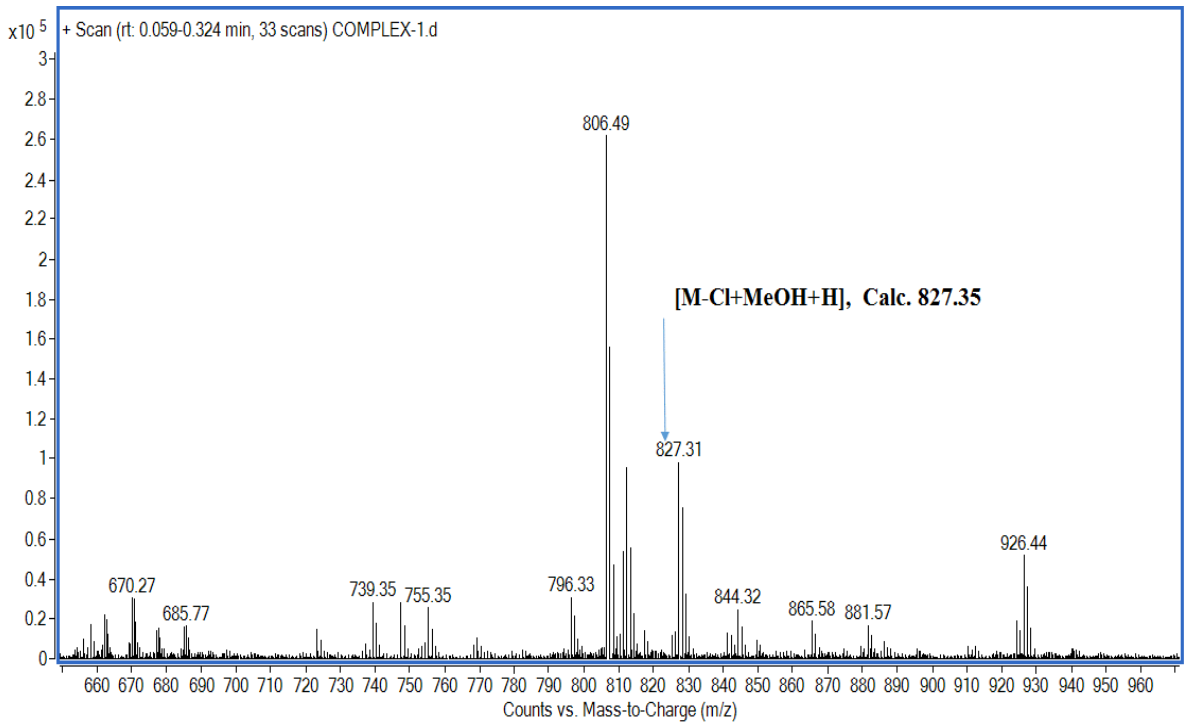


Figure 6. MS spectra of Cr(III)-6.

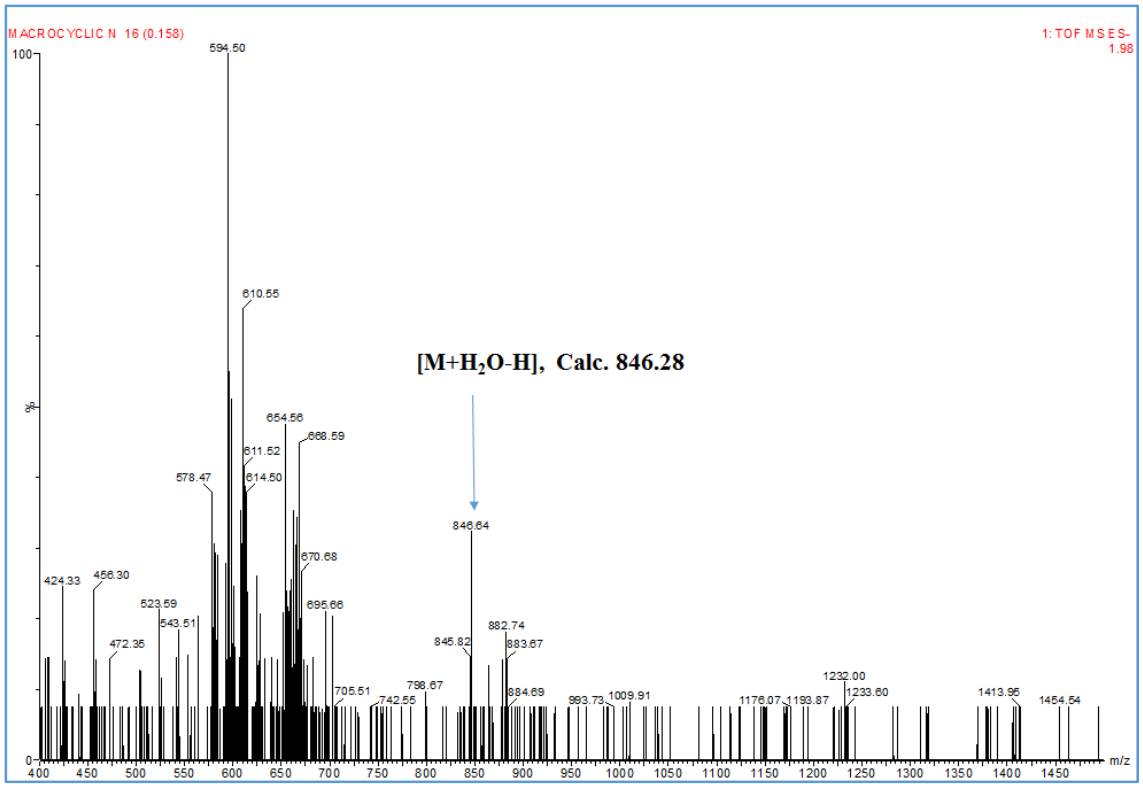
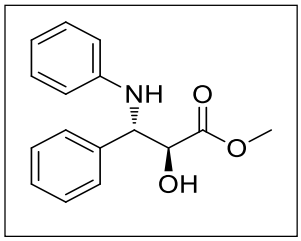


Figure 7. MS spectra of Cr(III)-7.

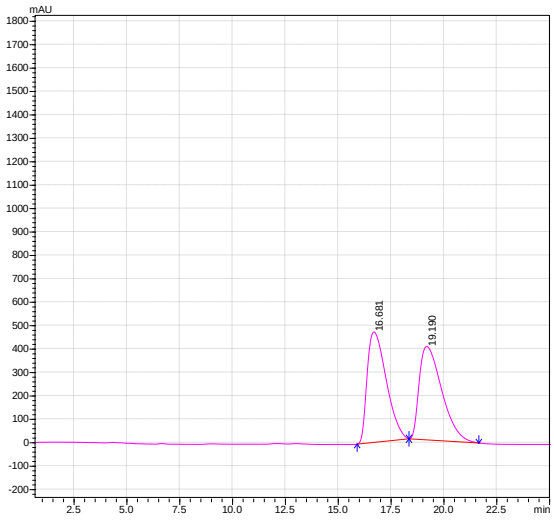
5. General procedure and characterization data of Ring opening reaction of *trans*-epoxide with aniline:

To a 5 ml vial equipped with a magnetic stirring bar, the macrocyclic Cr(III) salen complexes (0.005 mmol) was taken in dichloromethane (0.8 ml) and the resulting solution was stirred for 5 minute followed by the addition of an appropriate epoxide (0.2 mmol). The resulting mass was stirred for 10 minutes followed by the addition of desired aniline as nucleophile (0.12 mmol) at room temperature (27±2 °C). The reaction mixture was allowed to stir for the specified time. The progress of the reaction was checked on TLC using hexane/ethyl acetate (8/2) as mobile phase. After the completion of reaction, solvent was removed under vacuum and the product was purified by column chromatography using silica gel 100-200 mesh as stationary phase and *n*-hexane: ethyl acetate (8:2) as mobile phase. The recovered catalyst was dried under vacuum and stored in desiccator for its use in subsequent catalytic runs.

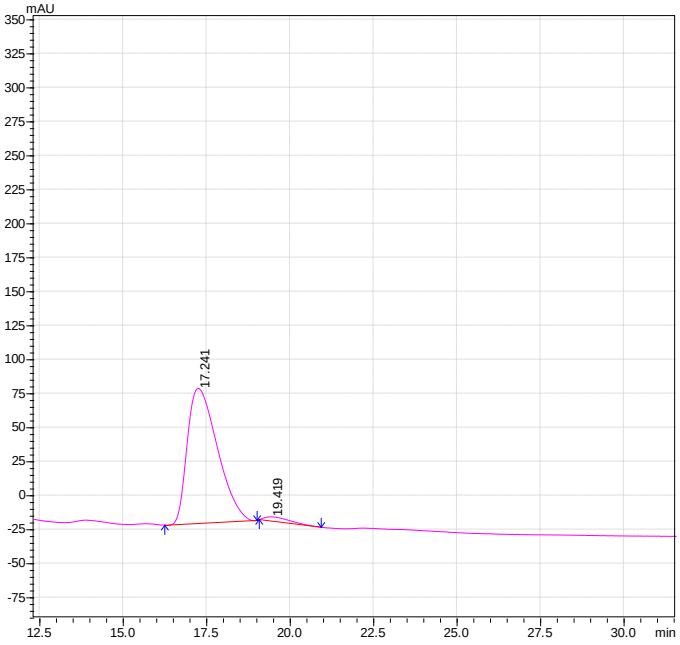
(2*S*,3*S*)- methyl 2-hydroxy-3-phenyl-3-(phenylamino) propanoate



$[\alpha]_D^{25}$ = +16.4 (*c* = 0.2, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 2.87 (br, OH, 1H), 3.73 (s, 3H), 4.69 (bs, 1H), 4.86 (d, *J* = 3.50 Hz, 1H), 6.61 (d, *J* = 8.50 Hz, 2H), 6.67 (t, *J* = 7.00 Hz, 1H) 7.10 (t, *J* = 8.00 Hz, 2H), 7.25–7.29 (m, 5H); ^{13}C NMR (500 MHz, $CDCl_3$, δ ppm): δ = 52.60, 59.57, 73.68, 113.92, 118.08, 127.44, 128.16, 128.61, 129.29, 137.19, 146.26, 172.62; FTIR (KBr): ν = 3492, 3378, 3029, 2922, 1738, 1601, 1520, 1449, 1436, 1285, 1177, 1096, 986, 752, 695 cm^{-1}; TOF-MS (ESI+): m/z 294 [M+Na]; The ee 98% on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.8 mL/min., retention time, (2*S*,3*S*): 17.24 min, (2*R*,3*R*): 19.41 min.

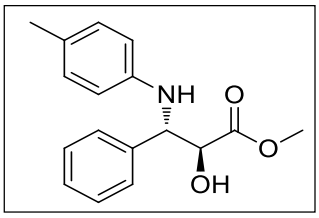


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	16.681	29776353	15.893	18.347	50.0784
2	19.190	29683158	18.347	21.653	49.9216

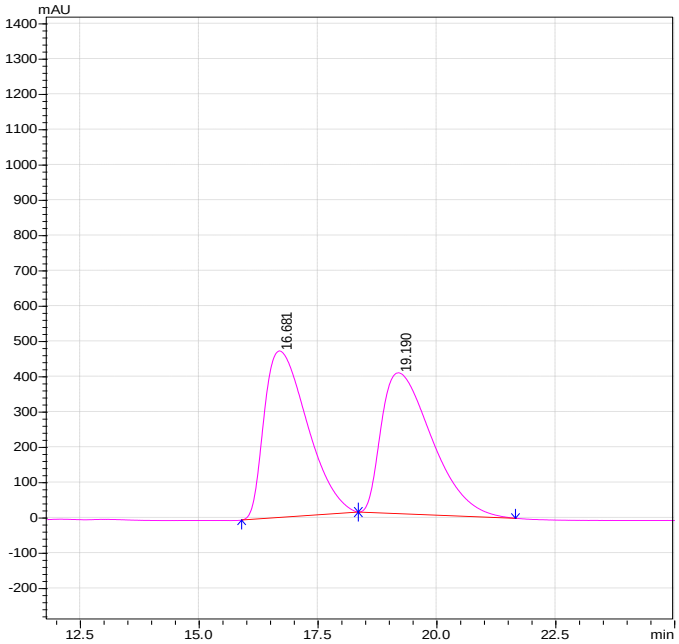


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	17.241	6237334	16.245	19.008	99.0635
2	19.419	168900	19.072	20.928	0.9365

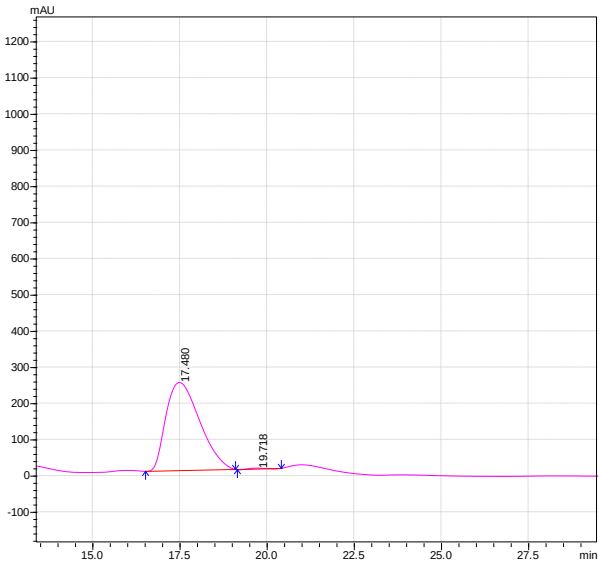
(2*S*,3*S*)-methyl 2-hydroxy-3-phenyl-3-(p-tolylamino)propanoate



$[\alpha]_D^{25}$ = +15.8 (*c* = 0.2, $CHCl_3$); ^{1}H NMR (200 MHz, $CDCl_3$, δ ppm): δ = 2.11 (s, 3 H), 3.65 (s, 3 H), 4.61 (d, *J* = 3.20 Hz, 1 H), 4.77 (d, *J* = 3.40 Hz, 1 H), 6.48 (d, *J* = 8.20 Hz, 2 H), 6.86 (d, *J* = 8.20 Hz, 2 H), 7.19 (br, 5 H); ^{13}C NMR (50 MHz, $CDCl_3$, δ ppm): δ = 20.45, 52.57, 59.91, 73.65, 114.17, 127.35, 127.44, 128.09, 128.58, 129.80, 137.32, 143.92, 172.73; FTIR (KBr): ν = 3359, 3030, 2921, 2856, 1748, 1617, 1521, 1453, 1362, 1286, 1107, 993, 806, 699 cm^{-1}; TOF-MS (ESI+): m/z 308 [M+Na]; The ee >99% on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.8 mL/min., retention time, (2*S*,3*S*): 17.48 min, (2*R*,3*R*): 19.71 min.

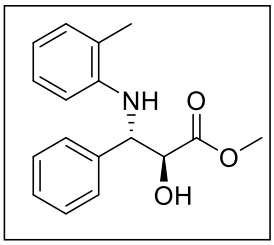


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	16.681	29776353	15.893	18.347	50.0784
2	19.190	29683158	18.347	21.653	49.9216

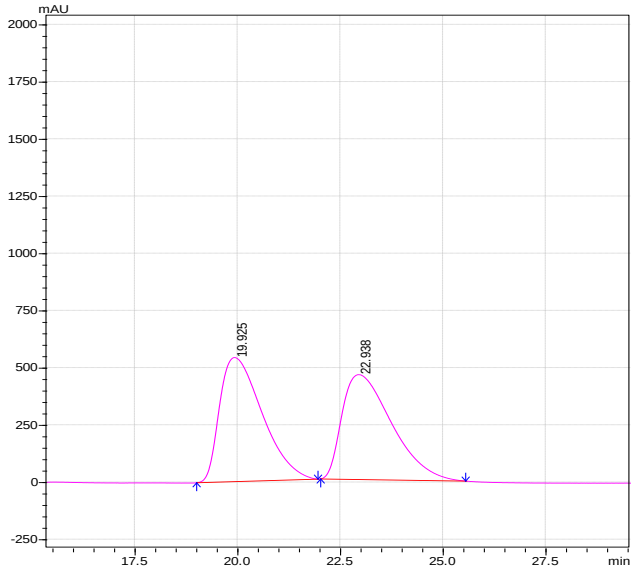


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	17.480	16458081	16.512	19.093	99.6507
2	19.718	57693	19.147	20.405	0.3493

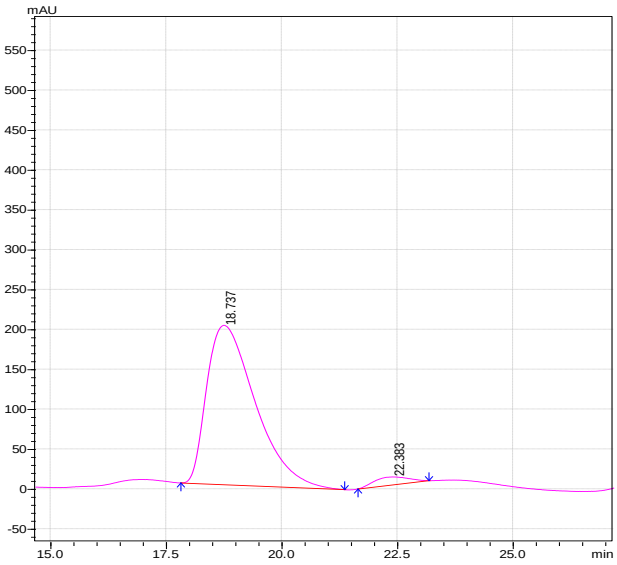
(2*S*,3*S*)-methyl 2-hydroxy-3-phenyl-3-(o-tolylamino)propanoate



$[\alpha]_D^{25}$ = +14.3 (*c* = 0.25, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 2.27 (s, 3 H), 2.91 (d, *J* = 4.50 Hz, 1 H, OH), 3.71 (s, 3 H), 4.69 (br, 1 H), 4.81 (br, 1 H), 4.90 (br, 1 H), 6.45 (d, *J* = 8.00 Hz, 1 H), 6.62 (t, *J* = 7.50 Hz, 1 H), 6.95 (t, *J* = 7.50 Hz, 1 H), 7.06 (d, *J* = 7.50 Hz, 1 H), 7.22-7.30 (m, 5 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 17.57, 52.51, 59.48, 73.76, 111.23, 117.59, 122.61, 126.96, 127.25, 128.08, 128.56, 130.21, 137.23, 144.09, 172.61; FTIR (KBr): ν = 3481, 3423, 3063, 2950, 2860, 1733, 1604, 1507, 1445, 1243, 1126, 994, 835, 745, 702 cm^{-1}; TOF-MS (ESI+): m/z 286 [M+H]; The ee 93% on HPLC (Chiralpak ADH column) mobile phase 95/5 *n*-hexane/i-PrOH; flow rate 0.5 mL/min., retention time, (2*S*,3*S*): 18.73 min, (2*R*,3*R*): 22.38 min.

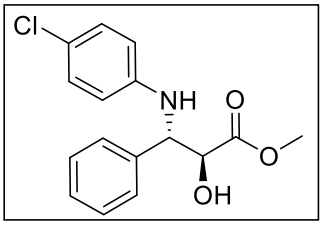


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	19.925	39113991	18.997	21.952	50.3271
2	22.938	38605511	22.016	25.547	49.6729

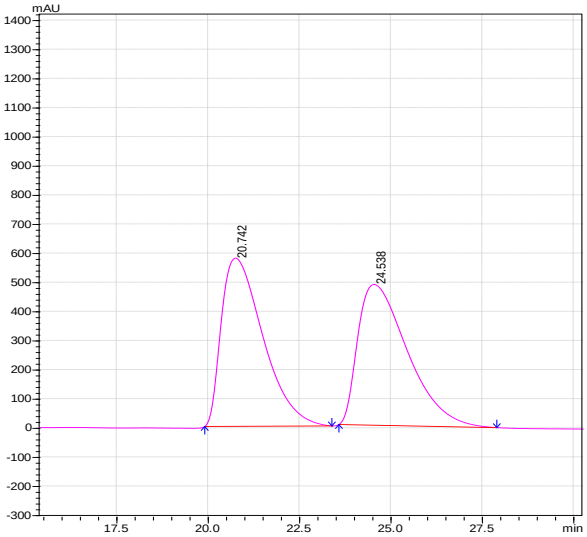


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	18.737	14614608	17.813	21.355	96.4685
2	22.383	535003	21.643	23.179	3.5315

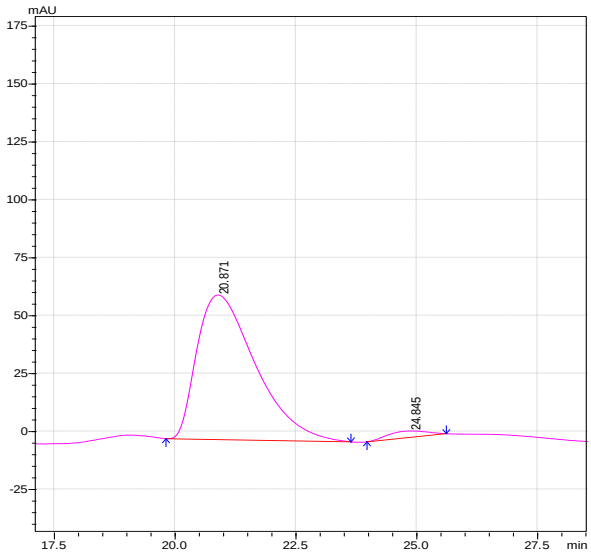
(2*S*,3*S*)-methyl 3-((4-chlorophenyl)amino)-2-hydroxy-3-phenylpropanoate



$[\alpha]_D^{25}$ = +17.9 (*c* = 0.25, $CHCl_3$); ^{1}H NMR (200 MHz, $CDCl_3$, δ ppm): δ = 2.86 (d, *J* = 6.20 Hz, 1 H, OH), 3.72 (s, 3 H), 4.66 (br, 1 H), 4.79 (d, *J* = 2.40 Hz, 1 H), 6.52 (d, *J* = 8.80 Hz, 2 H), 7.04 (d, *J* = 8.80 Hz, 2 H), 7.20-7.30 (m, 5 H); ^{13}C NMR (50 MHz, $CDCl_3$, δ ppm): δ = 52.12, 59.06, 73.00, 114.45, 122.11, 126.85, 127.77, 128.11, 128.56, 136.12, 144.28, 171.85; FTIR (KBr): ν = 3383, 3029, 2951, 1729, 1514, 1301, 1227, 1115, 822, 724, 700 cm^{-1}; TOF-MS (ESI+): m/z 328 [M+Na]; The ee 94% on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.7 mL/min., retention time, (2*S*,3*S*): 20.87 min, (2*R*,3*R*): 24.84 min.

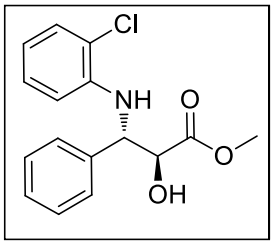


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	20.742	45840152	19.904	23.381	50.0744
2	24.538	45703983	23.573	27.893	49.9256

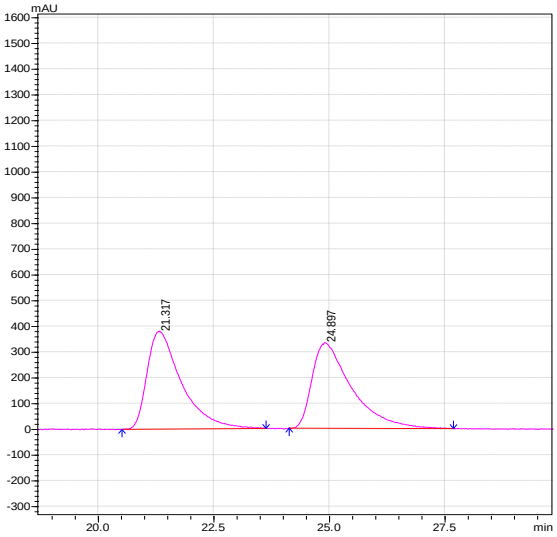


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	20.871	5270749	19.808	23.637	97.0620
2	24.845	159543	23.968	25.611	2.9380

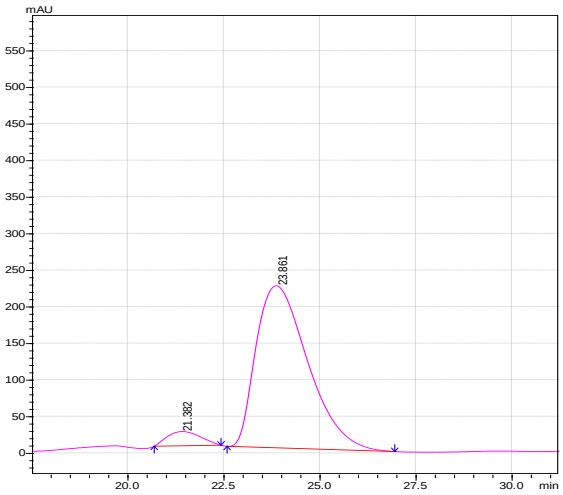
(2*S*,3*S*)-methyl 3-((2-chlorophenyl)amino)-2-hydroxy-3-phenylpropanoate



$[\alpha]_D^{25}$ = +19.4 (*c* = 0.2, $CHCl_3$); ^{1}H NMR (200 MHz, $CDCl_3$, δ ppm): δ = 2.91 (d, *J* = 7.80 Hz, 1 H OH), 3.72 (s, 3 H), 4.73 (d, *J* = 7.40 Hz, 1 H), 4.88 (d, *J* = 5.20 Hz, 1 H), 5.61 (d, *J* = 8.40 Hz, 1 H), 6.45-6.61 (m, 2 H), 6.95 (d, *J* = 7.60 Hz, 1 H), 7.25 (br, 6 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 52.59, 59.45, 73.67, 112.67, 117.95, 119.83, 127.31, 127.62, 128.61, 129.19, 136.62, 142.20, 172.30; FTIR (KBr): ν = 3522, 3433, 2945, 1739, 1507, 1433, 1327, 1274, 1028, 747, 702 cm^{-1}; TOF-MS (ESI+): m/z 306 [M+H]; The ee 90% on HPLC (Chiralpak ADH column) mobile phase 95/5 *n*-hexane/i-PrOH; flow rate 0.7 mL/min, retention time, (2*R*,3*R*): 21.38 min, (2*S*,3*S*): 24.89 min

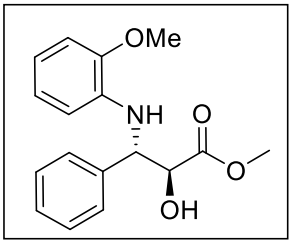


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	21.317	19728532	20.512	23.627	50.0246
2	24.897	19709127	24.128	27.680	49.9754

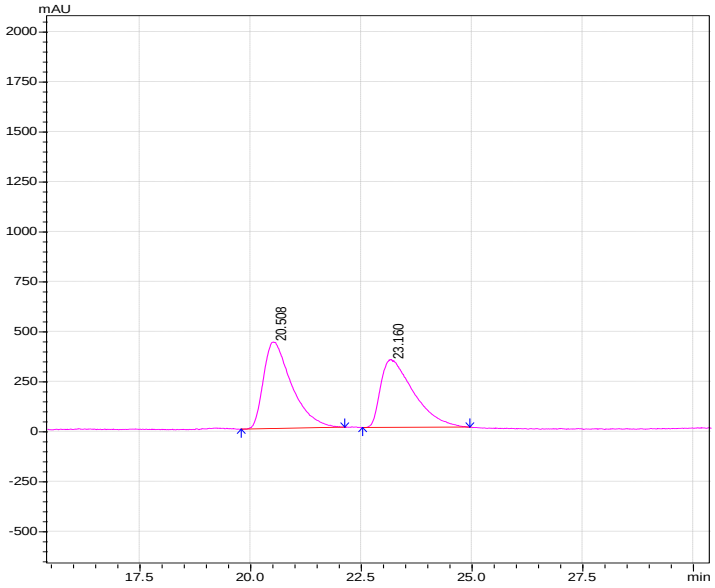


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	21.382	1176692	20.683	22.421	5.1558
2	23.861	20793733	22.581	26.944	94.8442

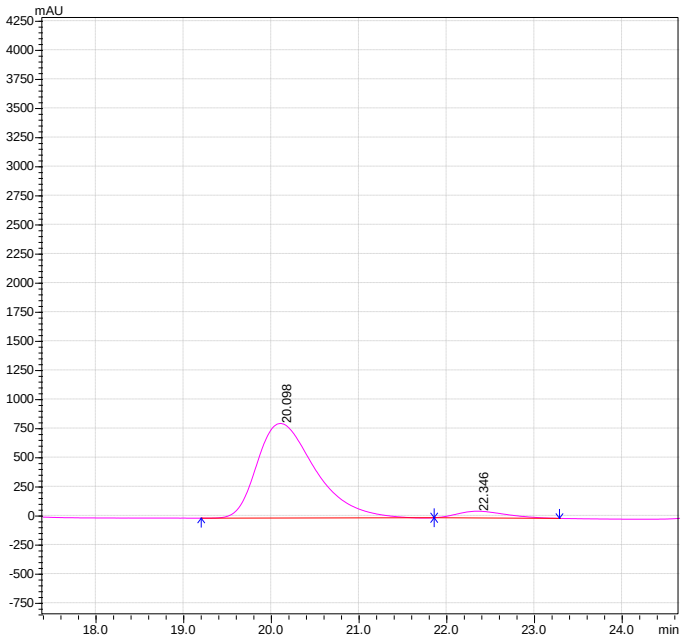
(2*S*,3*S*)-methyl 2-hydroxy-3-((2-methoxyphenyl)amino)-3-phenylpropanoate



$[\alpha]_D^{25}$ = +11.4 (*c* = 0.2, $CHCl_3$); ^1H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 2.81 (d, *J* = 8.00 Hz, OH, 1 H), 3.73 (s, 3 H), 3.89 (s, 3 H), 4.72 (d, *J* = 4.50 Hz, 1 H), 4.87 (br, 1 H), 6.43 (d, *J* = 7.50 Hz, 1 H), 6.64 (t, *J* = 7.50 Hz, 1 H), 6.70 (d, *J* = 7.50 Hz, 1 H), 6.77 (d, *J* = 8.00 Hz, 1 H), 7.23-7.28 (m, 5 H); ^{13}C NMR (50 MHz, $CDCl_3$, δ ppm): δ = 52.47, 55.50, 59.46, 73.76, 109.58, 111.27, 117.19, 121.04, 127.35, 128.01, 128.49, 136.05, 137.24, 147.22, 172.65; FTIR (KBr): ν = 3426, 3060, 2944, 2363, 1747, 1604, 1515, 1457, 1233, 1115, 1015, 829, 732, 705 cm^{-1}; TOF-MS (ESI+): m/z 324 [M+Na]; The ee 91% on HPLC (Chiralpak ADH column) mobile phase 97/3 n-hexane/i-PrOH; flow rate 1 mL/min., retention time, (2*S*,3*S*): 20.09 min, (2*R*,3*R*): 22.34 min.

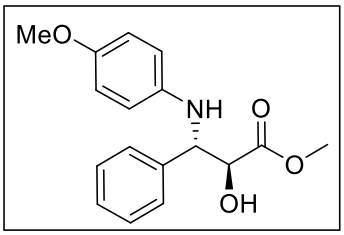


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	20.508	19136019	19.787	22.123	52.2034
2	23.160	17520614	22.528	24.949	47.7966

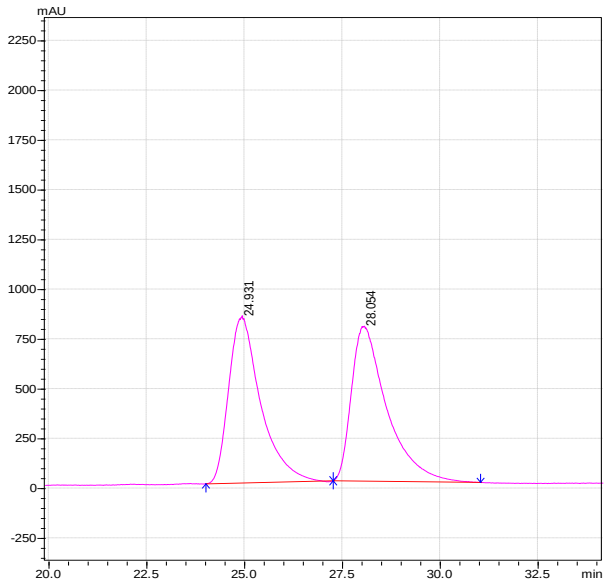


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	20.098	37427629	19.200	21.856	95.3727
2	22.346	2231748	21.856	23.285	4.6273

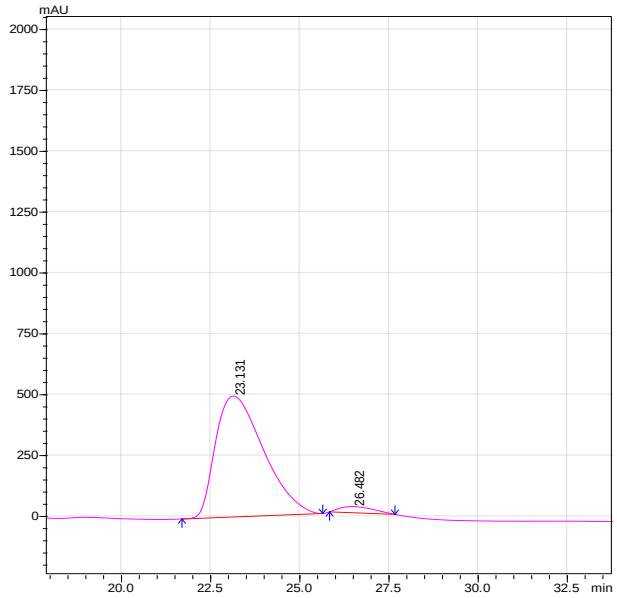
(2*S*,3*S*)-methyl 2-hydroxy-3-((4-methoxyphenyl)amino)-3-phenylpropanoate



$[\alpha]_D^{25}$ = +13.8 (*c* = 0.2, $CHCl_3$); ^1H NMR (200 MHz, $CDCl_3$, δ ppm): δ = 3.68 (s, 3 H), 3.71 (s, 3 H), 4.69 (d, *J* = 3.40 Hz, 1 H), 4.79 (d, *J* = 3.60 Hz, 1 H), 6.60 (d, *J* = 8.80 Hz, 2 H), 6.72 (d, *J* = 9.00 Hz, 2 H), 7.26 (br, 5 H); ^{13}C NMR (50 MHz, $CDCl_3$, δ ppm): δ = 52.46, 55.63, 60.61, 73.44, 114.79, 115.56, 127.38, 128.03, 128.48, 137.10, 139.99, 152.56, 172.65; FTIR (KBr): v = 3522, 3389, 3014, 2957, 2362, 1725, 1516, 1454, 1234, 1098, 1031, 813, 747, 698 cm^{-1}; TOF-MS (ESI+): m/z 302 [M+H]; The ee 93% on HPLC (Chiralpak ADH column) mobile phase 90/10 n-hexane/i-PrOH; flow rate 0.8 mL/min., retention time, (2*S*,3*S*): 23.13 min, (2*R*,3*R*): 26.48 min.



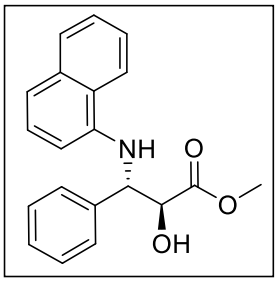
Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	24.931	47443016	24.011	27.264	49.2419
2	28.054	48903815	27.264	31.029	50.7581



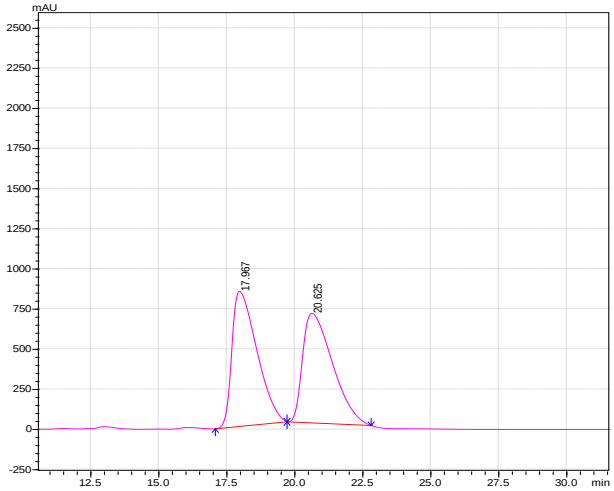
Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	23.131	46418342	21.696	25.643	96.4915

2	26.482	1687798	25.835	27.669	3.5085

(2*S*,3*S*)-methyl 2-hydroxy-3-(naphthalen-1-ylamino)-3-phenylpropanoate

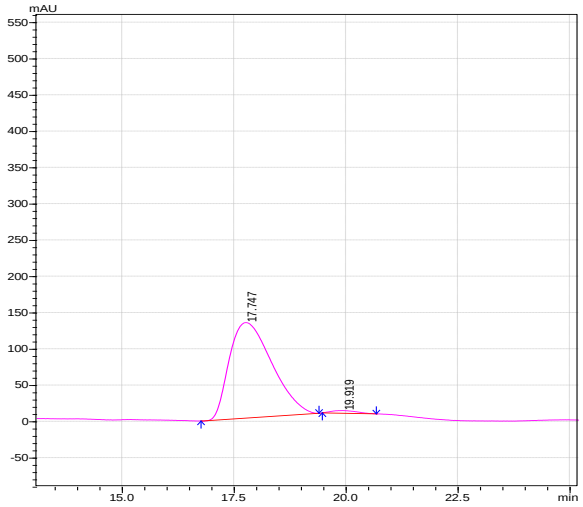


$[\alpha]_D^{25}$ = +22.7 (*c* = 0.2, $CHCl_3$); ^{1}H NMR (200 MHz, $CDCl_3$, δ ppm): δ = 3.04 (d, *J* = 7.40 Hz, OH, 1 H), 3.74 (s, 3 H), 4.81 (d, *J* = 2.80 Hz, 1 H), 5.04 (d, *J* = 3.20 Hz, 1 H), 6.44 (d, *J* = 6.8 Hz, 1 H), 7.16-7.29 (m, 7 H), 7.42-7.53 (m, 2 H), 7.80 (d, *J* = 9.20 Hz, 1 H), 8.04 (d, *J* = 9.00 Hz, 1 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 52.58, 59.72, 73.86, 106.31, 118.00, 119.99, 123.72, 124.99, 125.82, 126.37, 127.23, 128.19, 128.62, 128.73, 134.33, 136.99, 141.22, 172.61; FTIR (KBr): ν = 3392, 3025, 2928, 1727, 1518, 1423, 1294, 1125, 1020, 753, 718, 698 cm^{-1}; TOF-MS (ESI+): m/z 344 [M+Na]; The ee 96% on HPLC (Chiralpak IA column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.8 mL/min., retention time, (2*S*,3*S*): 17.74 min. (2*R*,3*R*): 19.91 min.



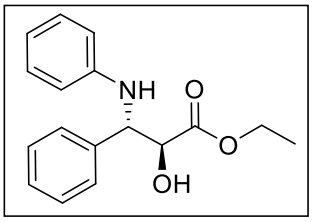
Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	17.967	53623682	17.077	19.712	50.5861

2	20.625	52381171	19.712	22.805	49.4139

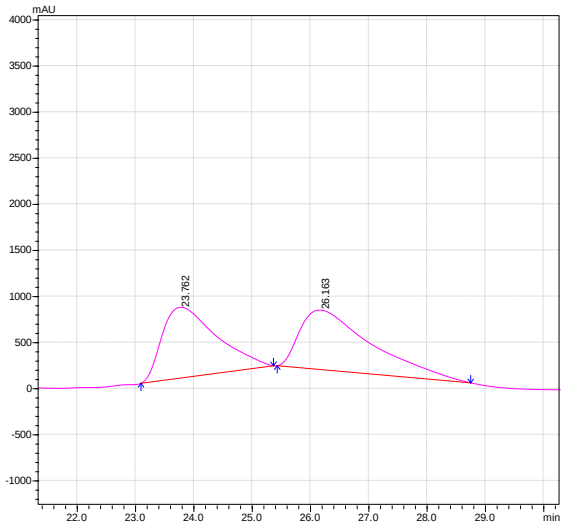


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	17.747	8731220	16.757	19.392	98.3999
2	19.919	141978	19.467	20.672	1.6001

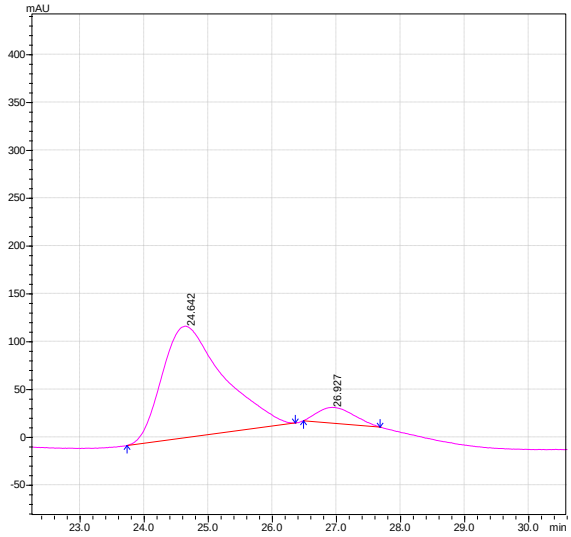
(2*S*,3*S*)-ethyl 2-hydroxy-3-phenyl-3-(phenylamino)propanoate



$[\alpha]_D^{25}$ = +31.9 (*c* = 0.2, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 1.25 (t, *J* = 7.00 Hz, 3 H), 2.90 (br, OH, 1 H), 4.12-4.19 (m, 2 H), 4.65 (br, 1 H), 4.85 (d, *J* = 3.00 Hz, 1 H), 6.61 (d, *J* = 8.00 Hz, 2 H), 6.66 (t, *J* = 7.00 Hz, 1 H), 7.09 (t, *J* = 8.00 Hz, 2 H), 7.23-7.28 (m, 5 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 18.23, 63.64, 66.11, 77.68, 117.95, 122.08, 131.63, 132.15, 132.57, 133.31, 141.29, 150.37, 176.22; FTIR (KBr): ν = 3398, 3026, 2926, 1728, 1516, 1299, 1115, 1018, 750, 716, 696 cm^{-1}; TOF-MS (ESI+): m/z 308 [M+Na]; The ee 87% on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 1.0 mL/min., retention time, (2*S*,3*S*): 24.64 min, (2*R*,3*R*): 26.92 min.

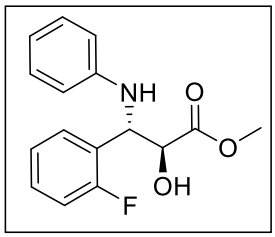


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	23.762	49795353	23.093	25.365	47.3110
2	26.163	55455780	25.429	28.747	52.6890

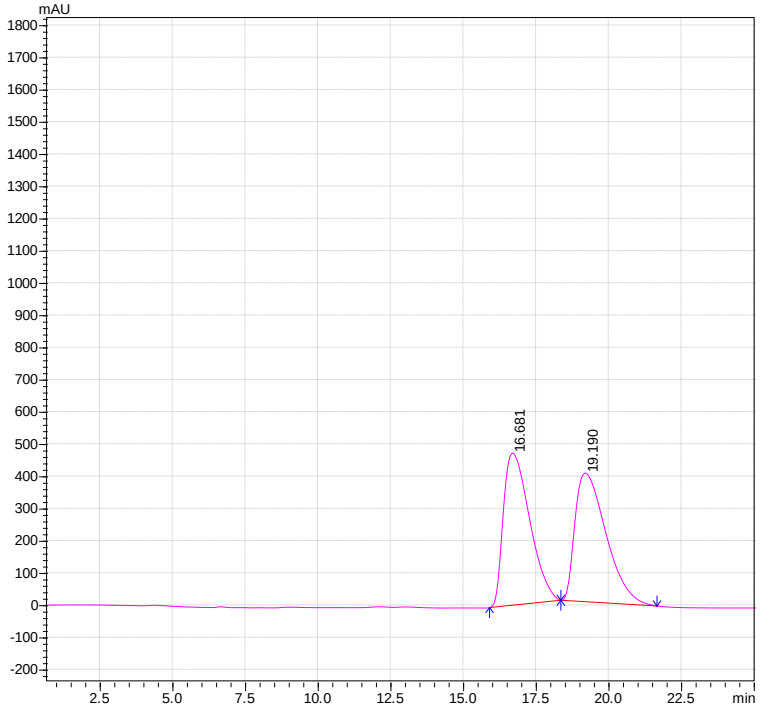


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	24.642	7714272	23.733	26.357	93.1882
2	26.927	653691	26.485	27.680	6.8118

(2*S*,3*S*)-methyl 3-(2-fluorophenyl)-2-hydroxy-3-(phenylamino)propanoate

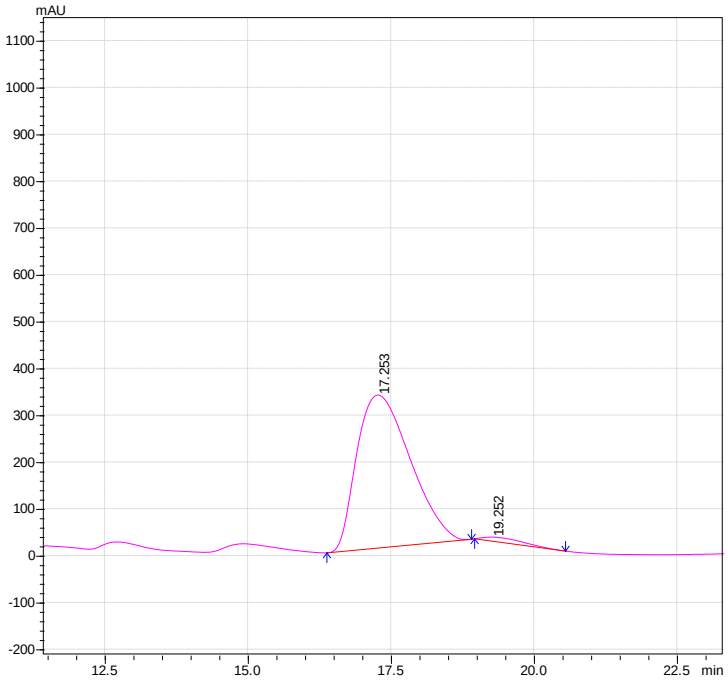


$[\alpha]_D^{25}$ = +24.2 (*c* = 0.3, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 2.98 (br, OH, 1 H), 3.74 (s, 3 H), 4.70 (br, s, 1 H), 5.24 (d, *J* = 3.00 Hz, 1 H), 6.63 (d, *J* = 8.00 Hz, 2 H), 6.69 (t, *J* = 7.50 Hz, 1 H), 7.00-7.08 (m, , 2 H), 7.12 (t, *J* = 8.00 Hz, 2 H), 7.22–7.25 (m, 1 H), 7.35 (t, *J* = 9.00 Hz, 1 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 52.75, 52.96, 72.88, 113.77, 115.24, 115.42, 118.41, 124.01, 124.12, 124.38, 129.15, 129.34, 129.62, 129.69, 145.90, 159.82, 172.77; FTIR (KBr): ν = 3395, 3116, 2928, 1719, 1526, 1304, 1108, 1019, 751, 718, 698 cm^{-1}; TOF-MS (ESI+): m/z 312 [M+Na]; The ee 86% on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.8 mL/min, retention time, (2*S*,3*S*): 18.90 min, (2*R*,3*R*): 19.25 min.



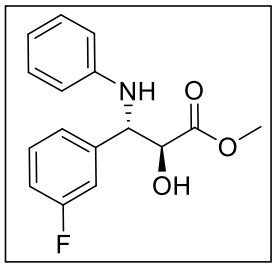
Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	16.681	29776353	15.893	18.347	50.0784

2	19.190	29683158	18.347	21.653	49.9216



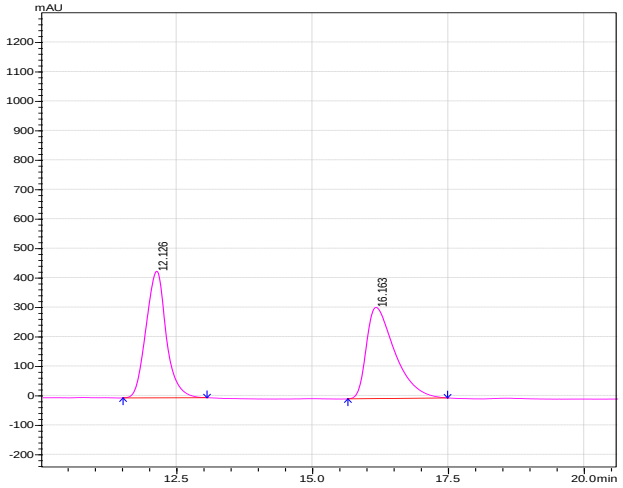
Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	17.253	21238954	16.373	18.901	94.8538
2	19.252	465830	18.955	20.544	5.1462

(2*S*,3*S*)-methyl 3-(3-fluorophenyl)-2-hydroxy-3-(phenylamino)propanoate

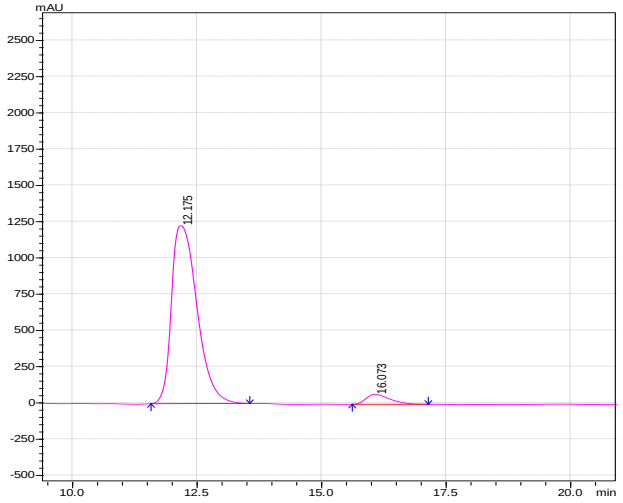


$[\alpha]_D^{25}$ = +23.8 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 2.96 (br, OH, 1 H), 3.75 (s, 3 H), 4.69 (br, 1 H), 4.85 (d, *J* = 2.5 Hz, 1 H), 6.60 (d, *J* = 8.5 Hz, 2 H), 6.69 (t, *J* = 7.5 Hz, 1 H), 6.93-7.13 (m, 5 H), 7.23-7.28 (quadrate, 1 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 52.67, 59.12, 73.45, 113.80, 114.41, 114.58, 114.99, 115.16, 118.24, 123.11, 129.26, 129.97, 130.03, 140.12, 145.91, 163.91, 172.35; FTIR (KBr): ν = 3397, 3016, 2927, 1718, 1526, 1303, 1118, 1019, 752, 718, 699 cm^{-1}; TOF-MS (ESI+): m/z 290 [M+H]; The ee 90% on HPLC

(Chiralpak IA column) mobile phase 92/8 *n*-hexane/i-PrOH; flow rate 0.8 mL/min., retention time, (2*S*,3*S*): 12.17 min, (2*R*,3*R*): 16.07 min.

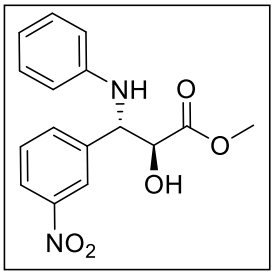


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	26.712	63878256	25.600	28.875	49.3309
2	29.944	65610963	28.949	33.045	50.6691

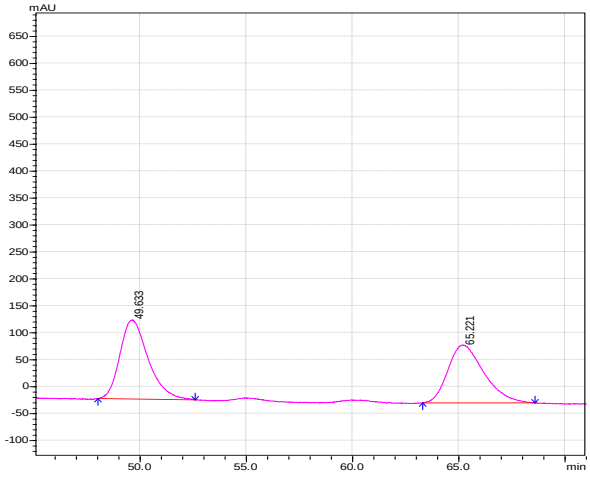


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	12.175	43833342	11.573	13.557	95.0788
2	16.073	2268769	15.616	17.141	4.9212

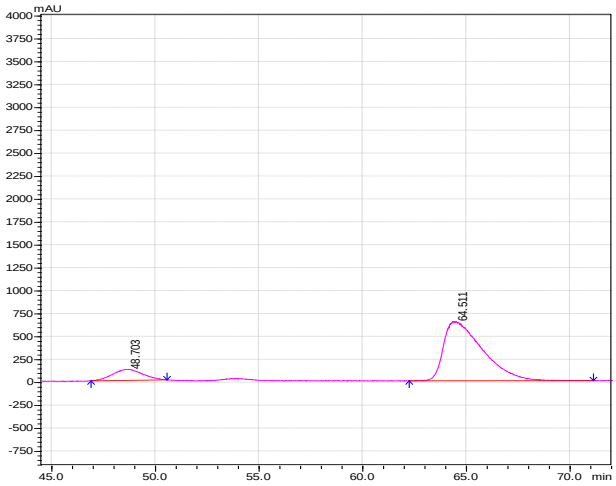
(2*S*,3*S*)-methyl 2-hydroxy-3-(3-nitrophenyl)-3-(phenylamino)propanoate



$[\alpha]_D^{25}$ = +39.7 (*c* = 0.55, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 2.96 (br, OH, 1 H), 3.81 (s, 3 H), 4.75 (br, 1 H), 4.98 (d, *J* = 3.0 Hz, 1 H), 6.59 (d, *J* = 8.0 Hz, 2 H), 6.71 (t, *J* = 8.0 Hz, 1 H), 7.12 (t, *J* = 8.0 Hz, 2 H), 7.27 (s, 1 H), 7.48 (t, *J* = 8.0 Hz, 1 H), 7.67 (d, *J* = 8.0 Hz, 1 H), 8.14 (d, *J* = 8.5 Hz, 1 H), 8.18 (s, 1 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 52.54, 58.44, 72.71, 113.26, 118.08, 122.70, 128.88, 128.97, 133.16, 139.43, 141.53, 144.92, 146.04, 147.81, 171.71; FTIR (KBr): ν = 3398, 3015, 2926, 1718, 1526, 1303, 1117, 1019, 752, 718, 697 cm^{-1}; TOF-MS (ESI+): m/z 339 [M+Na]; The ee 79% on HPLC (Chiralpak AD column) mobile phase 95/5 *n*-hexane/i-PrOH; flow rate 0.8 mL/min, retention time, (2*S*,3*S*): 64.51 min, (2*R*,3*R*): 48.70 min.

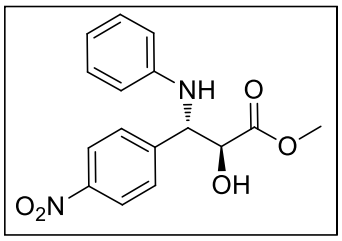


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	49.633	13572432	48.011	52.587	51.7962
2	65.221	12631080	63.296	68.587	48.2038

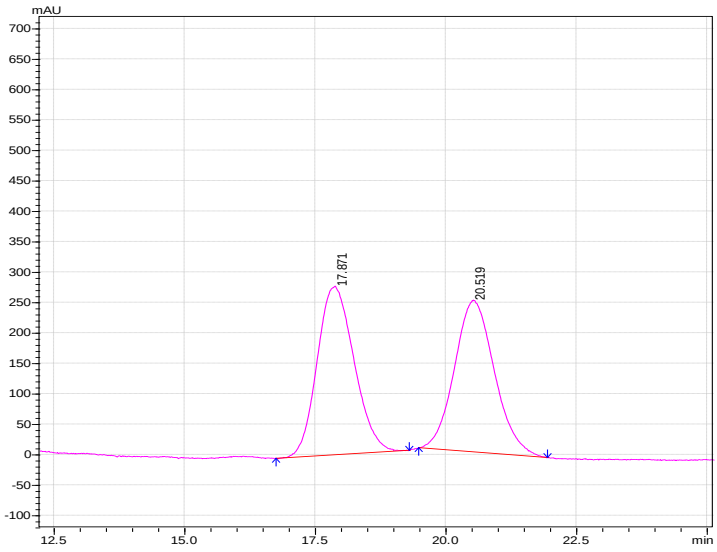


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	48.703	12009189	46.891	50.560	10.4553
2	64.511	84409374	62.240	71.125	89.5447

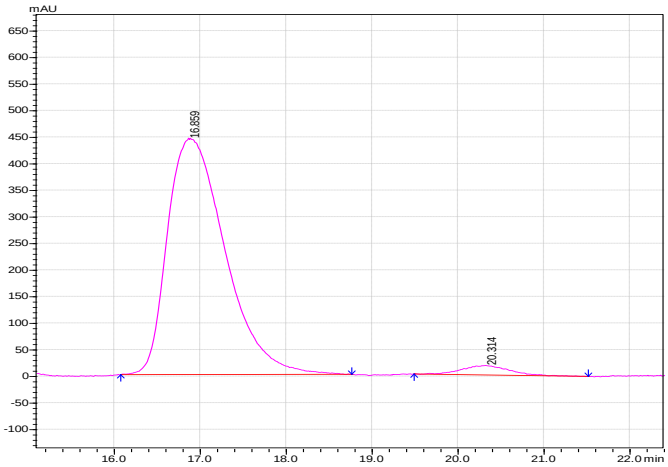
(2*S*,3S)-methyl 2-hydroxy-3-(4-nitrophenyl)-3-(phenylamino)propanoate



$[\alpha]_D^{25}$ = +43.8 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 2.97 (br, OH, 1 H), 3.71 (s, 3 H), 4.67 (br, 1 H), 4.78 (d, *J* = 2.0 Hz, 1 H), 6.51-6.53 (q, 2 H), 6.79 (t, *J* = 8.5 Hz, 2 H), 7.23-7.28 (m, 5 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 52.54, 60.12, 73.55, 114.81, 114.87, 115.56, 115.74, 127.38, 128.16, 128.55, 136.88, 142.50, 155.10, 156.97, 172.51; FTIR (KBr): ν = 3490, 3405, 2923, 1740, 1595, 1497, 1451, 1427, 1312, 1245, 1019, 752, 718, 697 cm^{-1}; TOF-MS (ESI+): m/z 316 [M+H]; The ee 94% on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.6 mL/min., retention time, (2*S*,3*S*): 16.85 min, (2*R*,3*R*): 20.31 min.

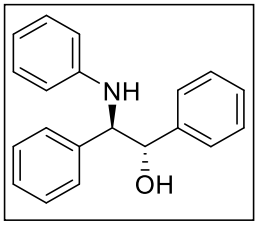


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	17.871	13576684	16.747	19.296	50.6540
2	20.519	13226127	19.477	21.941	49.3460

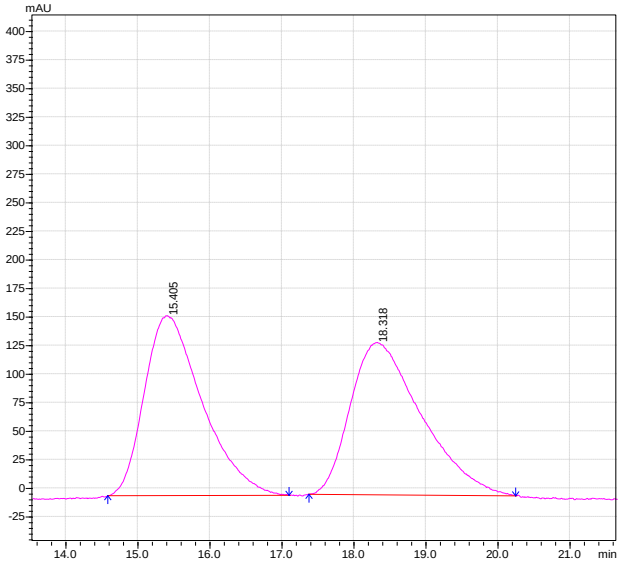


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	16.859	20702320	16.075	18.763	96.8427
2	20.314	674946	19.488	21.515	3.1573

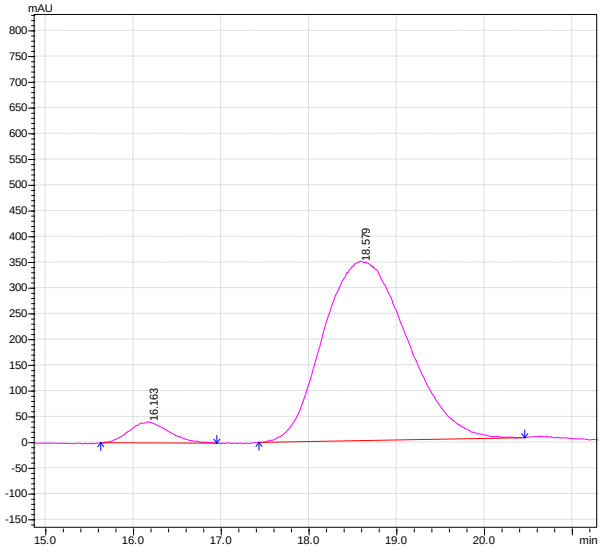
(1*S*,2*R*)-1,2-diphenyl-2-(phenylamino)ethanol



$[\alpha]_D^{25}$ = -68.5 (*c* = 0.5, $CHCl_3$); ^1H NMR (200 MHz, $CDCl_3$, δ ppm): δ = 2.30 (br, 1 H), 4.41 (br, 1 H), 4.62 (d, *J* = 4.0 Hz, 1 H), 5.01 (d, *J* = 4.0 Hz, 1 H), 6.48 (d, *J* = 8 Hz, 2 H), 6.63 (t, *J* = 8 Hz, 1 H), 6.97– 7.10 (m, 6 H), 7.17–7.20 (m, 6 H); ^{13}C NMR (50 MHz, $CDCl_3$, δ ppm): δ = 66.19, 79.92, 114.71, 119.50, 121.84, 128.42, 129.10, 129.42, 129.58, 129.91, 130.20, 130.53, 130.90, 141.61, 142.38, 144.97; TOF-MS (ESI+): m/z 290 [M+H], 312 [M+Na]; The ee 90% on HPLC (Chiralpak OD column) mobile phase 85/15 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*R*,2*S*): 16.16 min, (1*S*,2*R*): 18.57 min.

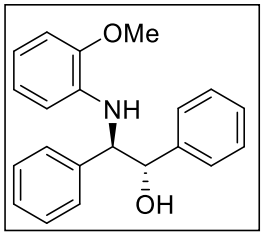


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	15.405	8810217	14.581	17.099	49.0178
2	18.318	9163283	17.376	20.245	50.9822

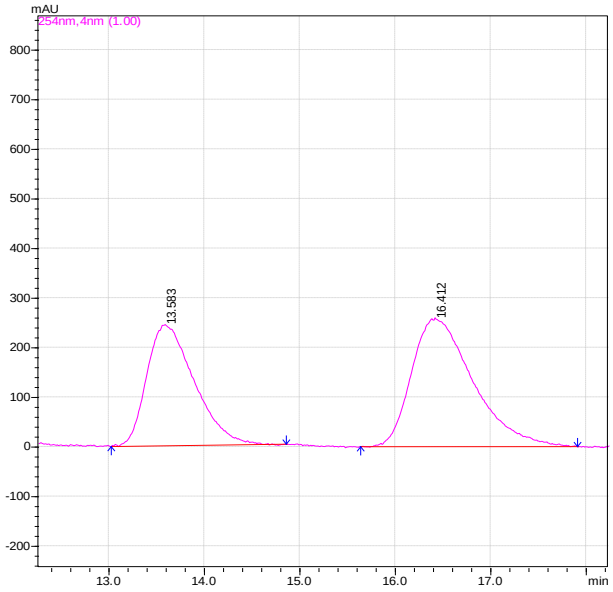


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	16.163	1285933	15.627	16.949	5.2469
2	18.579	23222344	17.429	20.459	94.7531

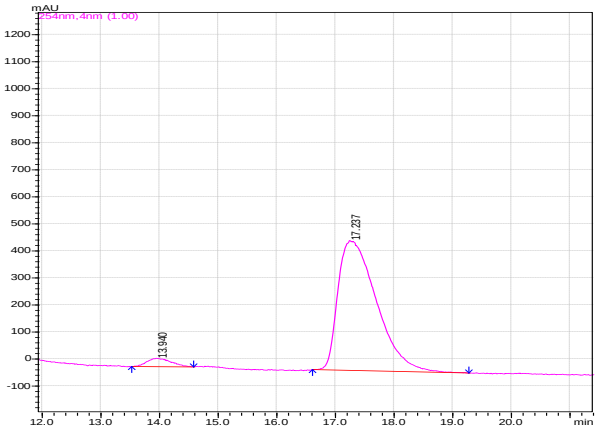
(1*S*,2*R*)-2-((2-methoxyphenyl)amino)-1,2-diphenylethan-1-ol



$[\alpha]_D^{25}$ = -35.6 (*c* = 0.8, $CHCl_3$); ^{1}H NMR (600 MHz, $CDCl_3$, δ ppm): δ = 3.83 (s, 3H), 4.66 (d, *J* = 4.80 Hz, 1H), 5.09 (d, *J* = 4.80 Hz, 1H), 6.37 (d, *J* = 7.80 Hz, 1H), 6.61 (t, *J* = 8.40 Hz, 1H), 6.67 (t, *J* = 8.40 Hz, 1H), 6.74 (d, *J* = 7.80 Hz, 1H), 7.11-7.14 (m, 4H), 7.22–7.28 (m, 6H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): δ = 55.68, 63.82, 109.67, 111.54, 117.12, 121.20, 126.65, 127.62, 127.95, 128.20, 128.32, 136.86, 138.77, 140.32, 147.26; TOF-MS (ESI+): m/z 319 [M+H], 342 [M+Na]; The ee 92% on HPLC (Chiralpak OD column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*R*,2*S*): 13.94 min, (1*S*,2*R*): 17.23 min.

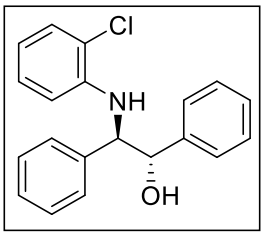


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	13.583	1285933	13.024	14.859	42.1477
2	16.412	11570329	15.637	17.909	57.8523

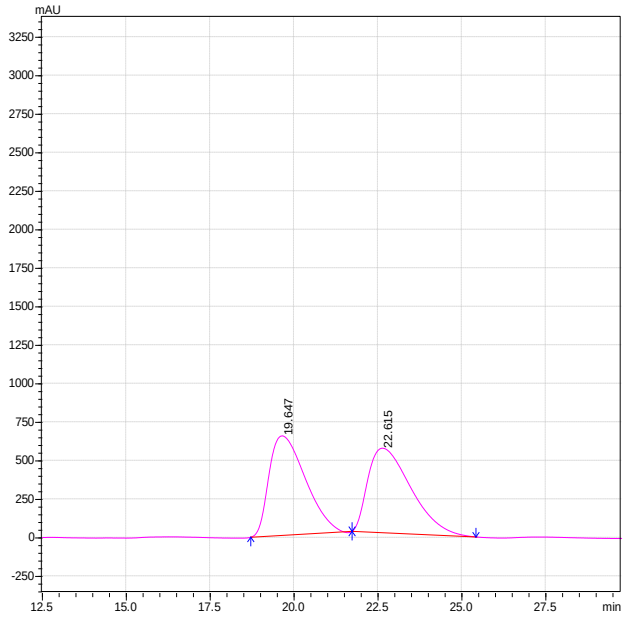


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	13.940	888920	13.525	14.581	3.8957
2	17.237	21928834	16.608	19.275	96.1043

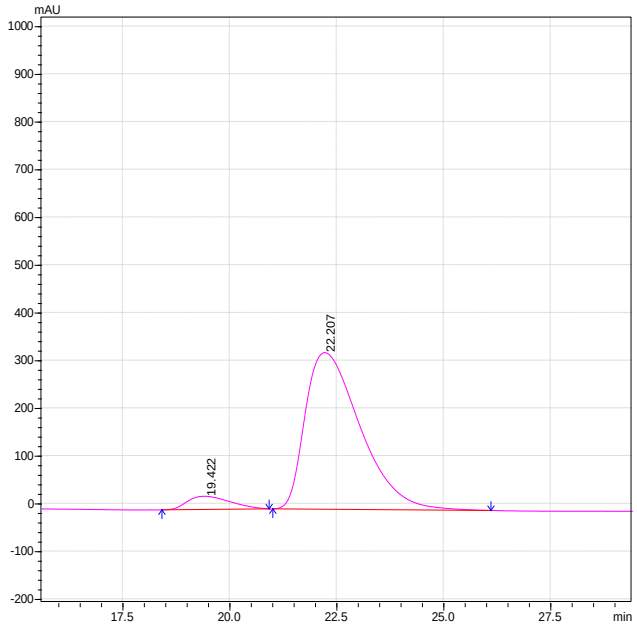
(1*S*,2*R*)-2-((2-chlorophenyl)amino)-1,2-diphenylethan-1-ol



$[\alpha]_D^{25}$ = -29.8 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (600 MHz, $CDCl_3$, δ ppm): δ = 2.37 (br, 1H), 4.69 (d, *J* = 4.20 Hz, 1H), 5.09 (d, *J* = 4.20 Hz, 1H), 5.17 (br, 1H), 6.40 (d, *J* = 8.40 Hz, 1H), 6.56 (t, *J* = 7.80 Hz, 1H), 6.91 (t, *J* = 7.80 Hz, 1H), 7.13 (br, 4H), 7.20–7.33 (m, 7H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): δ = 63.64, 112.87, 117.81, 119.93, 126.65, 127.66, 127.86, 128.26, 128.39, 128.45, 129.11, 138.22, 139.80, 142.79; TOF-MS (ESI+): m/z 319 [M+H], 324 [M+H]; The ee 88% on HPLC (Chiralpak OD column) mobile phase 80/10 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*S*,2*R*): 19.42 min, (1*S*,2*R*): 22.20 min.

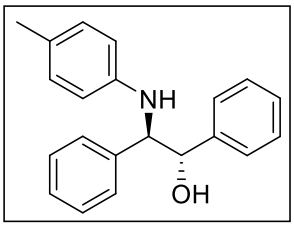


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	19.647	48618045	18.709	21.728	49.7807
2	22.615	49046375	21.728	25.419	50.2193

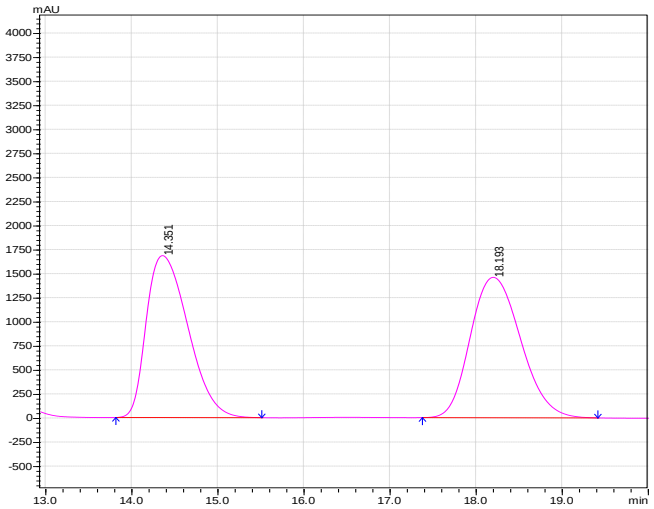


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	19.422	1922267	18.411	20.917	6.1341
2	22.207	29415206	21.003	26.101	93.8659

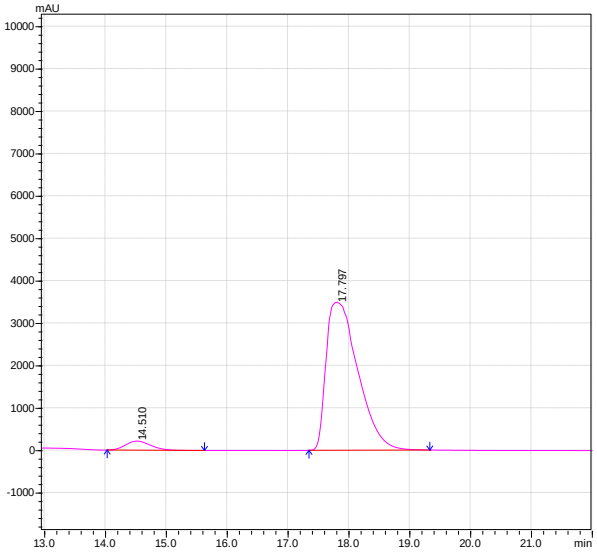
(1*S*,2*R*)-1,2-diphenyl-2-(p-tolylamino)ethan-1-ol:



$[\alpha]_D^{25}$ = -33.9 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (600 MHz, $CDCl_3$, δ ppm): δ = 2.15 (s, 3H), 4.64 (br, 1H), 5.04 (br, 1H), 6.64 (s,1H), 6.87 (s, 1H), 7.09–7.52 (m, 12H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): δ = 20.43, 64.07, 76.90, 77.11, 77.33, 114.23, 126.64, 127.28, 127.64, 127.95, 128.03, 128.29, 128.36, 129.69, 138.76, 140.11, 144.51; TOF-MS (ESI+): m/z 326 [M+Na]; The ee 91% on HPLC (Chiralpak OD column) mobile phase 95/5 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*R*,2*S*): 14.51 min, (1*S*,2*R*): 17.79 min.

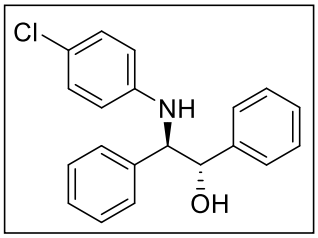


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	14.351	56605244	13.813	15.509	48.8210
2	18.193	59339302	17.376	19.413	51.1790

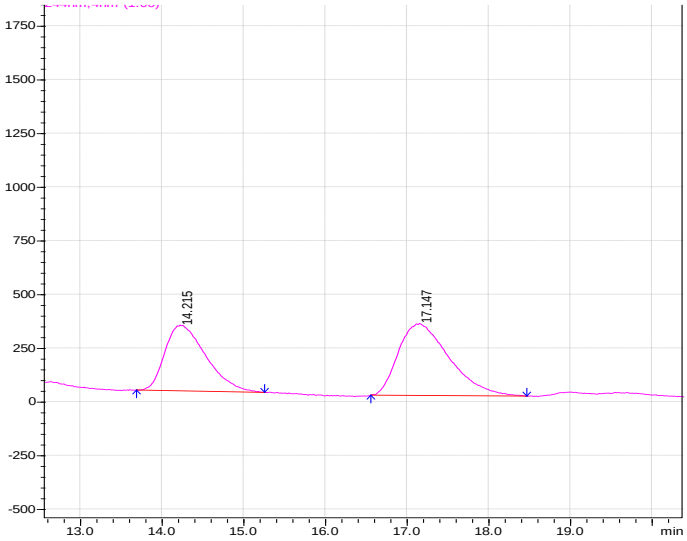


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	14.510	6129069	14.027	15.627	4.6108
2	17.797	126798617	17.344	19.328	95.3892

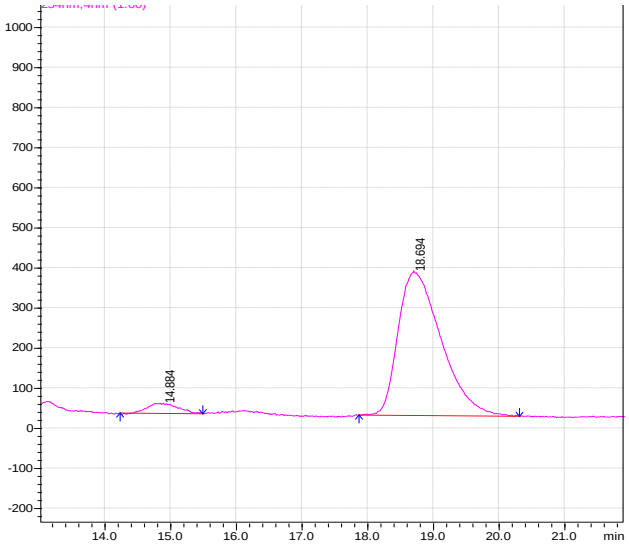
(1*S*,2*R*)-2-((4-chlorophenyl)amino)-1,2-diphenylethan-1-ol:



$[\alpha]_D^{25}$ = -43.4 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (600 MHz, $CDCl_3$, δ ppm): 4.59 (d, *J* = 4.80 Hz, 1H), 5.05 (d, *J* = 4.80 Hz, 1H), 6.41 (d, *J* = 9.00 Hz, 2H), 6.98 (d, *J* = 9.00 Hz, 2H), 7.06-7.09 (m, 4H), 7.22–7.27 (m, 6H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): δ = 29.78, 63.84, 115.06, 122.55, 126.55, 127.84, 127.96, 128.20, 128.37, 128.41, 128.99, 137.99, 139.91, 145.38; TOF-MS (ESI+): m/z 323 [M+H]; The ee 91% on HPLC (Chiralpak OD column) mobile phase 85/15 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*R*,2*S*): 14.88 min, (1*S*,2*R*): 18.69 min.

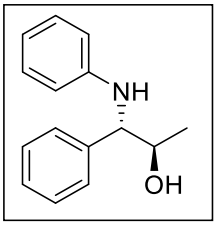


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	14.215	10663513	13.685	15.253	42.3913
2	17.147	14491450	16.555	18.464	57.6087

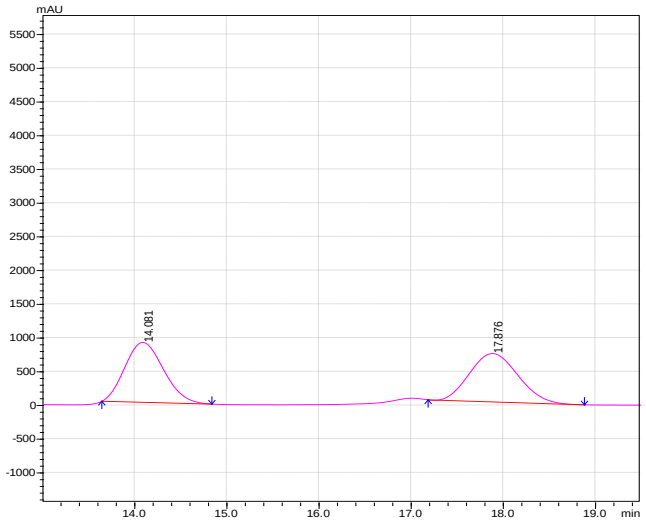


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	14.884	802160	14.229	15.488	4.6773
2	18.694	16347906	17.867	20.309	95.3227

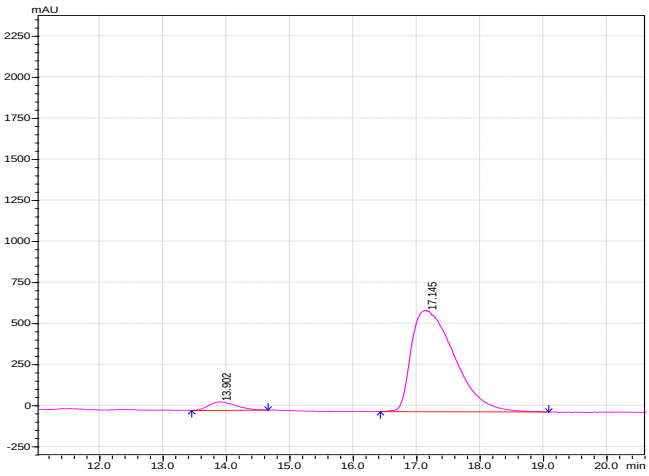
(1*S*,2*R*)-1-phenyl-1-(phenylamino) propan-2-ol



$[\alpha]_D^{25}$ = +23.8 (*c*= 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 1.12 (d, *J* = 6.5 Hz, 3 H), 4.12- 4.17 (m, 1 H), 4.34 (d, *J* = 4.0 Hz, 1 H), 6.53 (d, *J* = 7.5 Hz, 2 H), 6.63 (t, *J* = 7.5 Hz, 1 H), 7.06 (t, *J* = 8.0 Hz, 2 H), 7.23–7.32 (m, 5 H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 19.53, 63.14, 70.61, 113.71, 117.64, 127.61, 127.76, 128.61, 129.15, 138.96, 147.09; TOF-MS (ESI+): m/z 228 [M+H]; The ee 90% on HPLC (Chiralpak OD column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*R*,2*S*): 13.90 min, (1*S*,2*R*): 17.14 min.

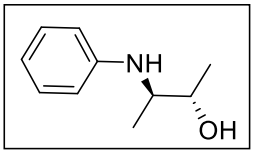


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	14.081	25901477	13.643	14.837	49.7281
2	17.876	26184702	17.184	18.880	50.2719

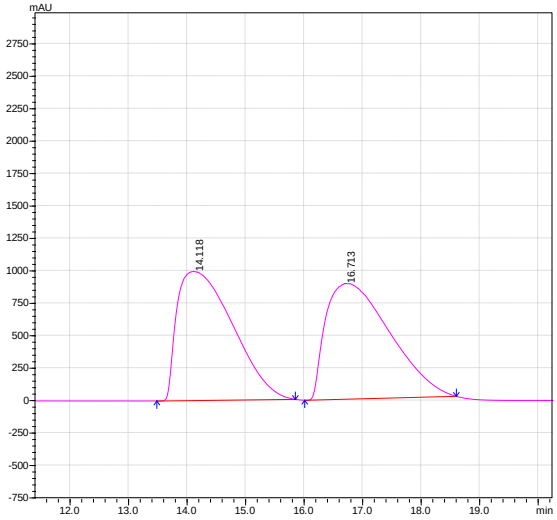


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	13.902	1559650	13.451	14.656	5.0532
2	17.145	29305260	16.427	19.083	94.9468

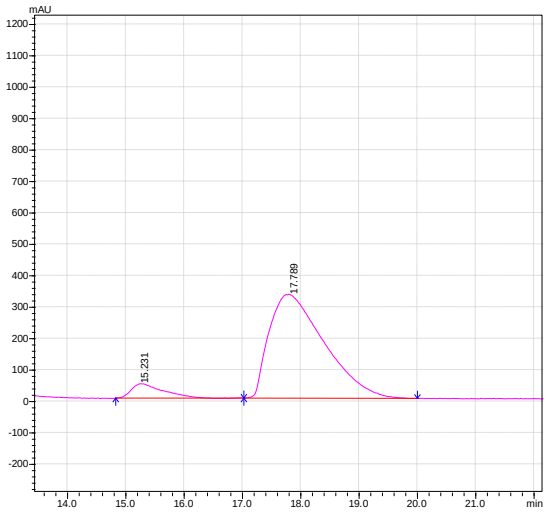
(2*S*,3*R*)-3-(phenyl)amino)butan-2-ol:



$[\alpha]_D^{25}$ = -77.6 (*c*= 0.5, $CHCl_3$); ^1H NMR (600 MHz, $CDCl_3$, δ ppm): δ = 1.18 (d, *J* = 7.20 Hz, 3H), 1.23 (d, *J* = 7.20 Hz, 3H), 1.86 (br, 1H), 3.52 (t, *J* = 2.40 Hz, 1H), 3.96-3.98 (m, 1 H), 4.35 (br, 1H), 6.61 (t, *J* = 7.80 Hz, 1H), 6.70 (d, *J* = 7.80 Hz, 1H), 7.10 (t, *J* = 8.40 Hz, 1H), 7.25 (d, *J* = 7.80 Hz, 1H); ^{13}C NMR (125 MHz, $CDCl_3$, δ ppm): δ = 14.54, 19.09, 53.66, 69.08, 112.17, 117.51,119.78, 127.86, 129.46, 143.29; TOF-MS (ESI+): m/z 166 [M+H]; The ee 85% on HPLC (Chiralpak OD column) mobile phase 95/5 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*R*,2*S*): 15.23 min, (1*S*,2*R*): 17.78 min.

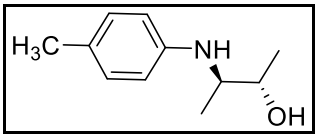


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	14.118	65855524	13.483	15.851	49.2140
2	16.713	67959092	16.011	18.603	50.7860

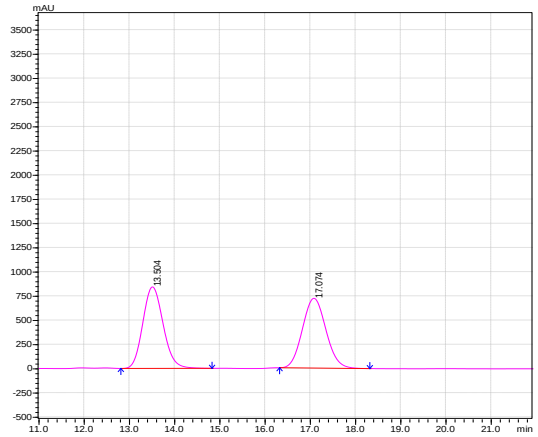


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	15.231	1730478	14.827	17.024	7.3972
2	17.789	21663322	17.024	20.000	92.6028

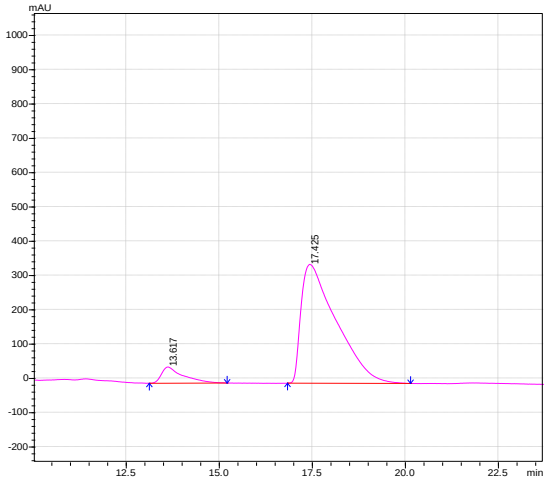
(2*S*,3*R*)-3-(p-tolylamino)butan-2-ol



$[\alpha]_D^{25}$ = -86.9 (*c*= 0.5, $CHCl_3$); ^{1}H NMR (600 MHz, $CDCl_3$, δ ppm): δ = 1.12 (d, *J* = 6.60 Hz, 3H), 1.19 (d, *J* = 6.60 Hz, 3H), 2.22 (s, 3H), 3.44-3.46 (m, 1H), 3.94-3.99 (m, 1H), 6.56 (d, *J* = 8.40 Hz, 2H), 6.98 (t, *J* = 7.80 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): δ = 14.42, 18.93, 20.45, 29.78, 54.30, 68.79, 114.21, 129.46, 129.91, 145.03; TOF-MS (ESI+): m/z 180 [M+H]; The ee 85% on HPLC (Chiralpak OD column) mobile phase 95/5 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*R*,2*S*): 13.61 min, (1*S*,2*R*): 17.42 min.

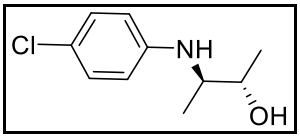


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	13.504	26299149	12.811	14.827	50.2848
2	17.074	26001208	16.320	18.315	49.7152

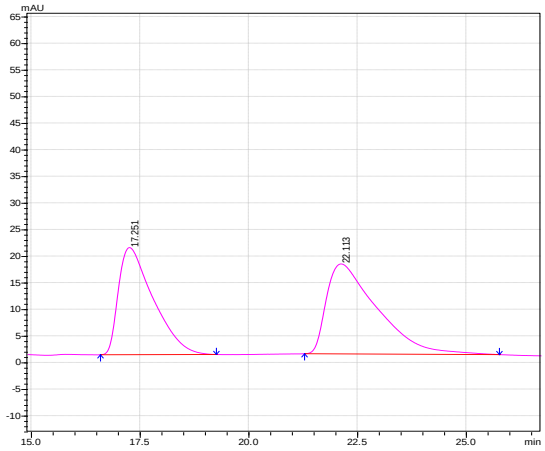


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	13.617	1915673	13.120	15.211	7.7512
2	17.425	22798971	16.832	20.139	92.2488

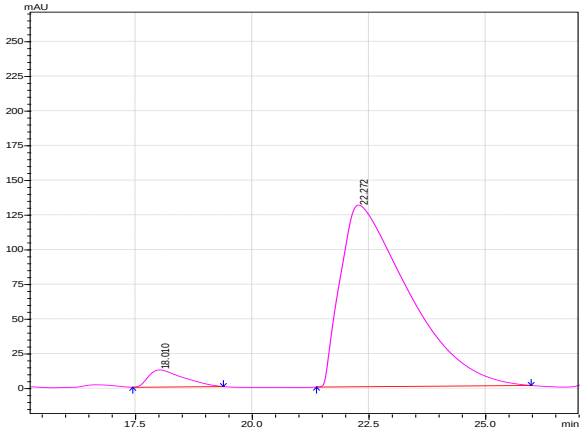
(2*S*,3*R*)-3-((4-chlorophenyl)amino)butan-2-ol:



$[\alpha]_D^{25}$ = -37.8 (*c*= 0.5, $CHCl_3$); ^1H NMR (600 MHz, $CDCl_3$, δ ppm): δ = 1.12 (d, *J* = 6.60 Hz, 3H), 1.20 (d, *J* = 6.60 Hz, 3H), 3.40-3.44 (m, 1H), 3.93-3.97 (m, 1H), 6.55 (d, *J* = 9.00 Hz, 2H), 7.10 (t, *J* = 8.40 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): δ = 14.06, 19.27, 53.95, 68.92, 114.90, 122.32, 129.23, 145.91; TOF-MS (ESI+): m/z 222 [M+Na]; The ee 91% on HPLC (Chiralpak ODH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.8 mL/min, retention time, (1*R*,2*S*): 18.01 min, (1*S*,2*R*): 22.27 min.

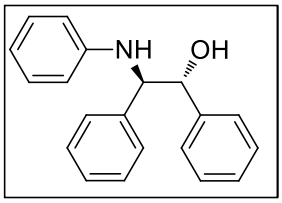


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	17.251	1120110	16.587	19.253	44.9733
2	22.113	137003	21.280	25.760	55.0267

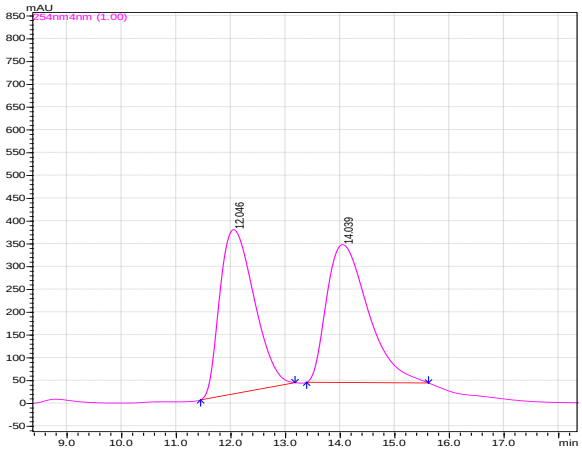


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	18.010	646268	17.440	19.381	4.6399
2	22.272	13282244	21.376	25.973	95.3601

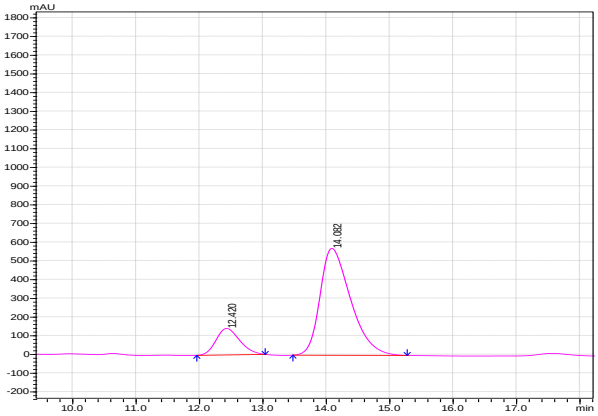
(1*R*,2*R*)-1,2-diphenyl-2-(phenylamino)ethanol



$[\alpha]_D^{25}$ = +35.5 (*c*= 0.5, $CHCl_3$); ^1H NMR (200 MHz, $CDCl_3$, δ ppm): δ = 2.64 (bs, OH), 4.55 (d, *J* = 5.80 Hz, 1 H), 4.89 (d, *J* = 5.80 Hz, 1 H), 6.56 (d, *J* = 8.0 Hz, 2 H), 6.66 (t, *J* = 7.20 Hz, 1 H), 7.07 (t, *J* = 7.60 Hz, 2 H), 7.17–7.28 (m, 10 H); ^{13}C NMR (50 MHz, $CDCl_3$, δ ppm): δ = 66.39, 79.73, 115.80, 119.58, 128.23, 128.95, 129.19, 129.57, 129.92, 130.23, 137.43, 141.88, 148.92; TOF-MS (ESI+): m/z 290 [M+H]; The ee 68% on HPLC (Chiralpak OD column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 1.0 mL/min, retention time, (1*S*,2*S*): 12.42 min, (1*R*,2*R*): 14.08 min.



Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	12.046	15833223	11.445	13.173	50.8532
2	14.039	15301937	13.376	15.371	49.1468

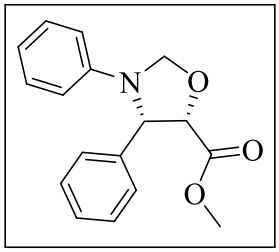


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	12.420	3677068	11.957	13.035	16.0924
2	14.082	19172686	13.472	15.275	83.9076

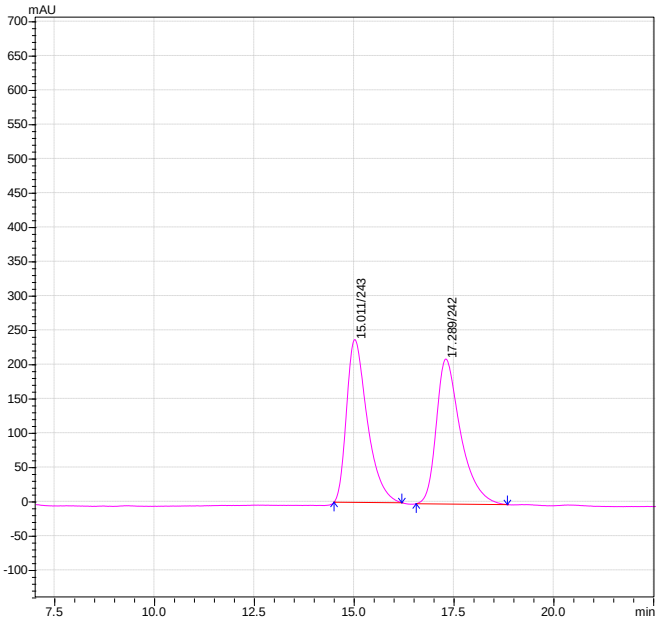
6. Synthetic procedure and characterization data of oxazolidine ring:[3]

In a small vial equipped with a magnetic stirring bar, Phosphorus pentoxide was taken in dry DMSO (1 mL) and the resulting solution was ultrasonicated for 10 min. After that chirally pure *β*-amino-*α*- hydroxyl esters were taken in DMSO (1 mL) and added in resulting solution. The reaction mixture was allowed to stir for the specified time. The progress of the reaction was checked on TLC using *n*-hexane/ethyl acetate (9/1) as mobile phase. After the completion of reaction, quenched with cooled saturated sodium bicarbonate solution (10 mL) followed by a small amount of water. The mixture was extracted with ethyl acetate (3 x 10 mL). The combined organic layers were washed with water (3 x 10 mL) to remove unreacted DMSO, then washed with brine, dried over sodium sulfate and the product was purified by column chromatography using silica gel 100-200 mesh as stationary phase and *n*-hexane: ethyl acetate (9:1) as mobile phase.

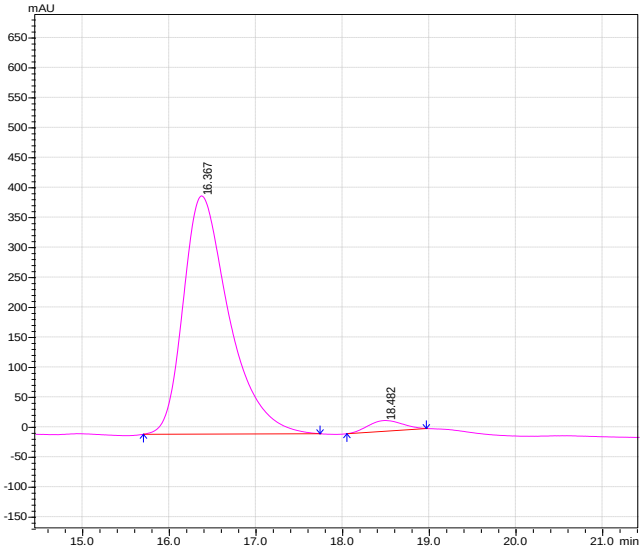
(4*S*,5*S*)-methyl 3,4-diphenyloxazolidine-5-carboxylate:7a′



$[\alpha]_D^{25}$ = +13.7 (*c* = 0.5, $CHCl_3$); ^1H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 3.33 (s, 3 H), 4.95-4.99 (q, *J* = 7.0 Hz, 2 H), 5.09 (d, *J* = 2 Hz, 1 H), 5.60 (d, *J* = 2 Hz, 1 H), 6.46 (d, *J* = 8 Hz, 2 H), 6.74 (t, *J* = 7.5 Hz, 1 H), 7.16 (t, *J* = 8.5 Hz, 2 H), 7.25-7.32 (m, 5 H); ^{13}C NMR (50 MHz, $CDCl_3$, δ ppm): δ = 51.72, 63.54, 81.67, 82.59, 112.69, 118.13, 127.29, 128.25, 128.46, 129.31, 137.54, 143.93, 168.15; HRMS (ESI) m/z Calcd for $C_{17}H_{18}NO_3$ $[M+H]^+$: 284.1287, found 284.1281; The ee 94 % on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.8 mL/min, retention time, (4*S*,5*S*): 16.36 min, (4*R*,5*R*): 18.48 min.

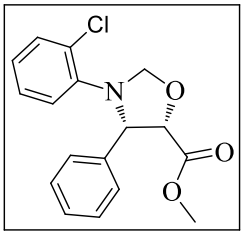


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	15.011	8566010	14.496	16.192	48.9047
2	17.289	8949712	16.555	18.837	51.0953

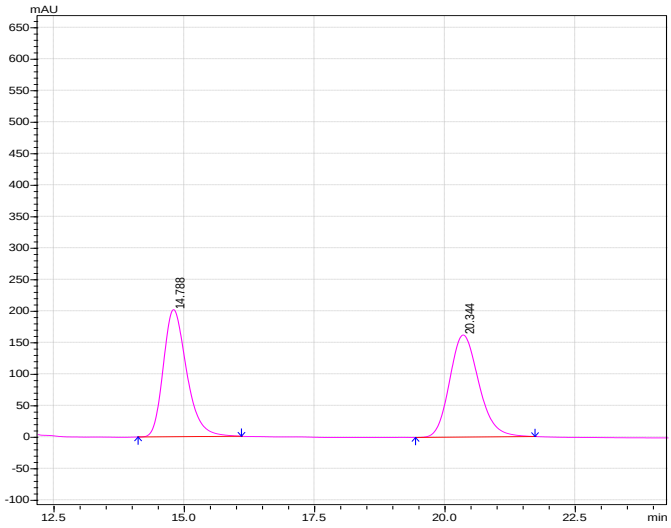


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	16.367	14603461	15.701	17.739	96.7156
2	18.482	495924	18.048	18.965	3.2844

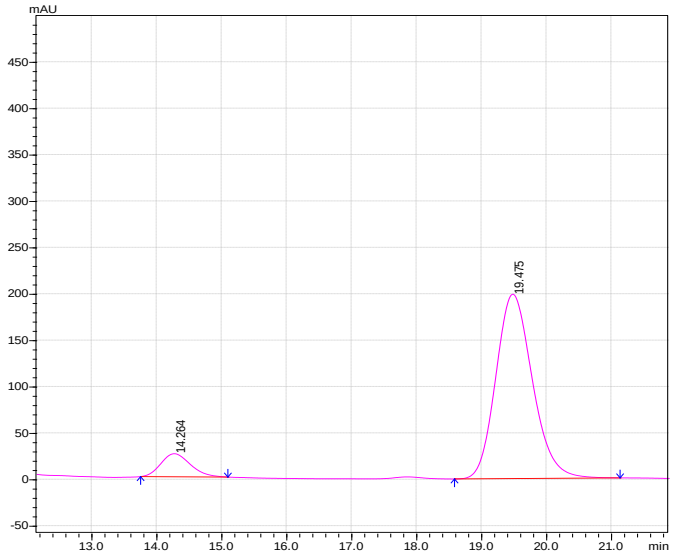
(4*S*,5*S*)-methyl 3-(2-chlorophenyl)-4-phenyloxazolidine-5-carboxylate:



$[\alpha]_D^{25}$ = +58.6 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 3.24 (s, 3 H), 4.91 (d, *J* = 3.00 Hz, 1 H), 5.00 (d, *J* = 7.80 Hz, 1 H), 5.20 (d, *J* = 7.8 Hz, 1 H), 5.90 (d, *J* = 3.00 Hz, 1 H), 6.88 (t, *J* = 7.80 Hz, 1 H), 6.92 (d, *J* = 7.80 Hz, 1 H), 7.05 (t, *J* = 8.40 Hz, 1 H), 7.20-7.34 (m, 6 H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): 51.55, 65.95, 80.41, 85.94, 119.93, 123.52, 127.14, 127.40, 128.17, 128.47, 131.00, 136.04, 143.76, 168.99; HRMS (ESI) m/z Calcd for $C_{17}H_{16}NO_3ClNa$ $[M+Na]^+$: 340.0716, found 340.0728; The ee 82 % on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.7 mL/min, retention time, (4*S*,5*S*): 14.26 min, (4*R*,5*R*): 19.47 min.

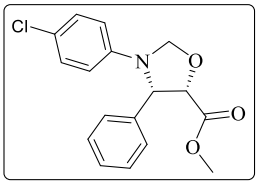


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	14.788	6282120	14.112	16.096	49.9116
2	20.344	6304373	19.435	21.728	50.0884

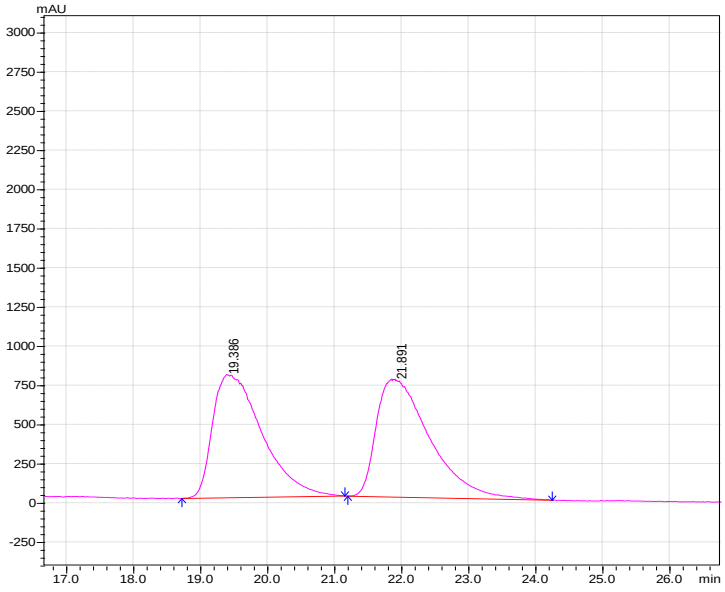


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	14.264	784071	13.749	15.093	9.1579
2	19.475	7777620	18.581	21.131	90.8421

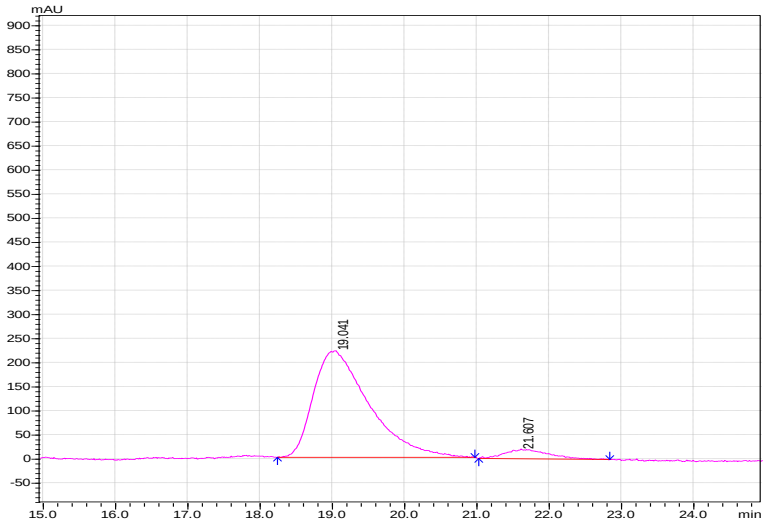
(4*S*,5*S*)-methyl 3-(4-chlorophenyl)-4-phenyloxazolidine-5-carboxylate:



$[\alpha]_D^{25}$ = +31.4 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 3.32 (s, 3 H), 4.93 (d, *J* = 7.2 Hz, 1 H), 5.00 (d, *J* = 7.20 Hz, 1 H), 5.05 (d, *J* = 1.80 Hz, 1 H), 5.57 (d, *J* = 1.80 Hz, 1 H), 6.36 (d, *J* = 9.00 Hz, 2 H), 7.10 (d, *J* = 9.00 Hz, 2 H), 7.25-7.30 (m, 5 H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): 51.83, 63.67, 81.77, 82.67, 113.90, 123.21, 127.32, 128.51, 128.65, 129.24, 137.05, 142.52, 168.13; HRMS (ESI) m/z Calcd for $C_{17}H_{18}ClNO_3$ $[M+H]^+$: 318.0897, found 318.0891; The ee 87 % on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.8 mL/min, retention time, (4*S*,5*S*): 19.04 min, (4*R*,5*R*): 21.60 min.

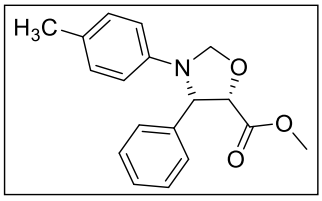


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	19.386	40285978	18.720	21.152	49.0783
2	21.891	41799180	21.195	24.245	50.9217

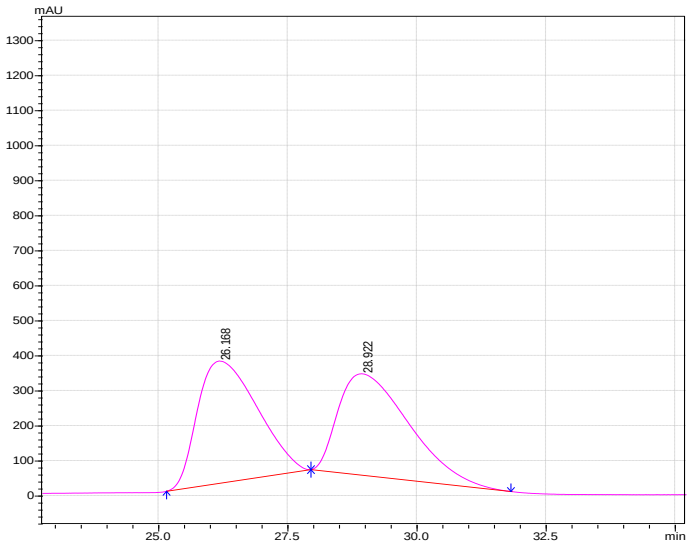


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	19.041	11833289	18.240	20.971	93.4151
2	21.607	834136	21.024	22.837	6.5849

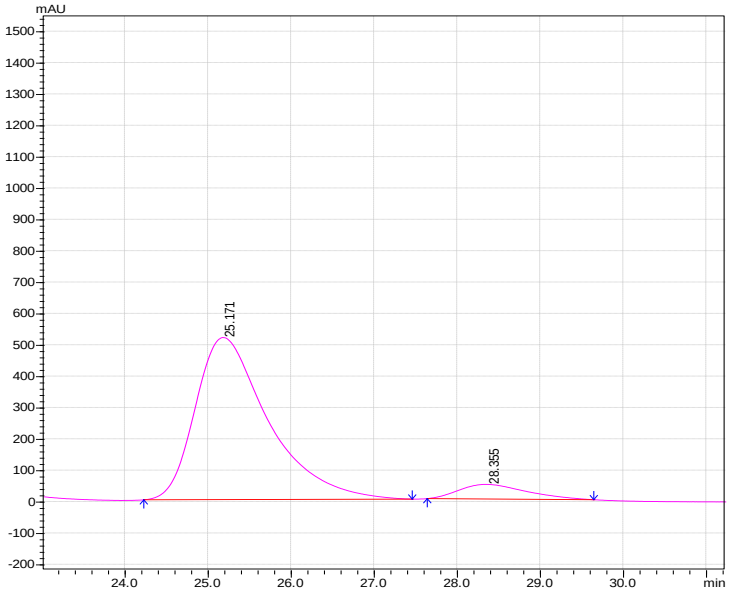
(4*S*,5*S*)-methyl 4-phenyl-3-(p-tolyl)oxazolidine-5-carboxylate:



$[\alpha]_D^{25}$ = +32.3 (*c* = 0.4, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 2.19 (s, 3 H), 3.30 (s, 3 H), 4.93 (q, 2 H), 5.04 (d, *J* = 1.80 Hz, 1 H), 5.58 (d, *J* = 1.80 Hz, 1 H), 6.37 (d, *J* = 8.40 Hz, 2 H), 6.96 (d, *J* = 7.80 Hz, 2 H), 7.24-7.29 (m, 5 H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): 20.38, 51.77, 63.87, 81.78, 83.03, 112.92, 127.39, 127.49, 128.26, 128.41, 129.52, 129.89, 137.77, 141.96, 168.44; HRMS (ESI) m/z Calcd for $C_{18}H_{19}NO_3Na$ $[M+Na]^+$: 320.1263, found 320.1254; The ee 85 % on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.7 mL/min, retention time, (4*S*,5*S*): 25.17 min, (4*R*,5*R*): 28.35 min.



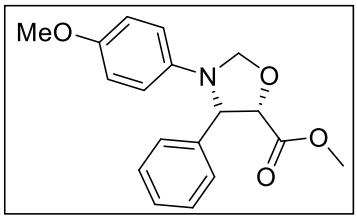
Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	26.168	27976489	25.152	27.947	50.2534
2	28.922	27694365	27.947	31.819	49.7466



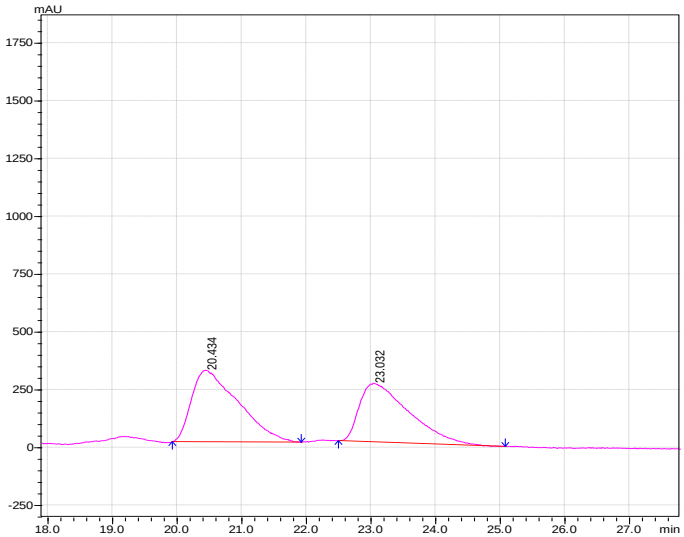
Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	25.171	31545302	24.224	27.456	92.3423

2	28.355	2615950	27.637	29.643	7.6577

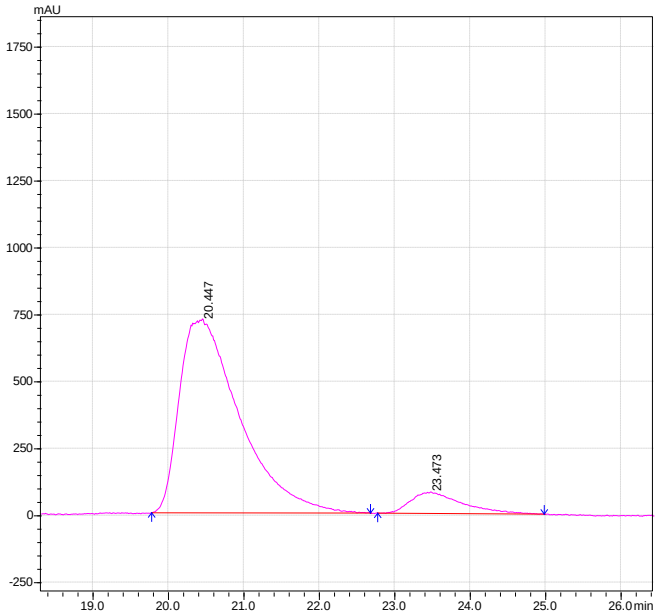
(4*S*,5*S*)-methyl 3-(4-methoxyphenyl)-4-phenyloxazolidine-5-carboxylate:



$[\alpha]_D^{25}$ = +40.8 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 3.29 (s, 3 H), 3.69 (s, 3 H), 4.91 (q, 2 H), 5.00 (br, 1 H), 5.57 (d, *J* = 1.80 Hz, 1 H), 6.42 (d, *J* = 9.00 Hz, 2 H), 6.75 (d, *J* = 9.00 Hz, 2 H), 7.24-7.32 (m, 5 H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): 51.73, 55.77, 64.41, 81.80, 83.54, 114.13, 115.02, 127.42, 128.27, 128.53, 137.80, 138.80, 152.59, 168.59; HRMS (ESI) m/z Calcd for $C_{18}H_{19}NO_3Na$ $[M+Na]^+$: 336.1212, found 336.1210; The ee 83 % on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.7 mL/min, retention time, (4*S*,5*S*): 20.44 min, (4*R*,5*R*): 23.47 min.

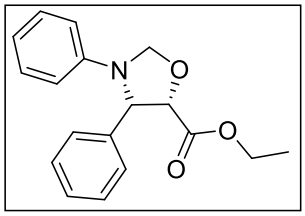


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	20.434	15436560	19.925	21.920	53.4698
2	23.032	13433095	22.496	25.077	46.5302

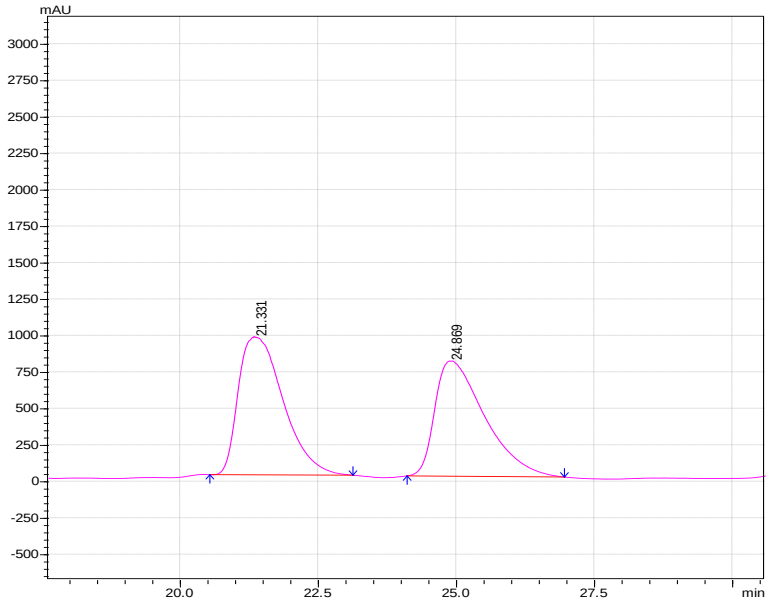


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	20.447	40095807	19.776	22.677	91.4266
2	23.473	3759937	22.773	24.981	8.5734

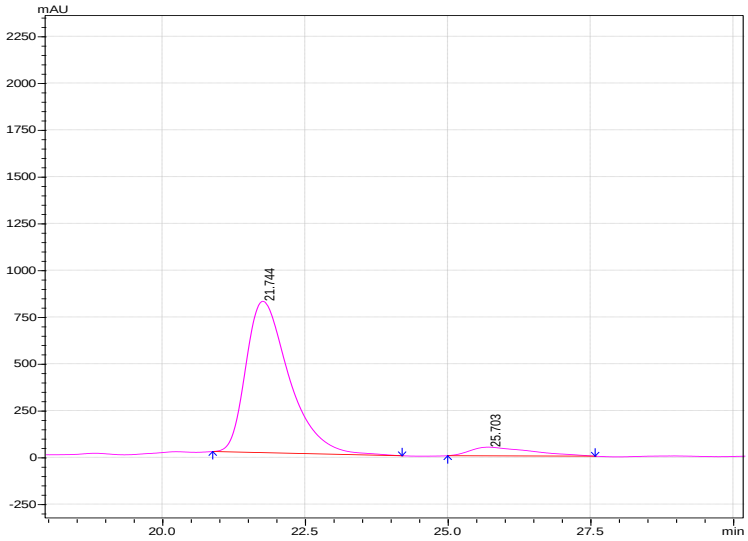
(4*S*,5*S*)-ethyl 3,4-diphenyloxazolidine-5-carboxylate:



$[\alpha]_D^{25}$ = +39.6 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 0.88 (t, *J* = 6.60 Hz, 3 H), 3.65-3.71 (m, 1 H), 3.81-3.86 (m, 1 H), 4.89 (q, 2 H), 5.00 (br, 1 H), 5.54 (br, 1 H), 6.34 (d, *J* = 7.80 Hz, 2 H), 6.90 (d, *J* = 7.80 Hz, 2 H), 7.22-7.29 (m, 6 H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): 13.74, 61.14, 63.81, 81.65, 82.78, 112.84, 117.87, 124.09, 127.61, 128.25, 128.47, 129.62, 130.21, 131.41, 137.86, 142.37, 167; The ee 85 % on HPLC (Chiralpak ADH column) mobile phase 85/15 *n*-hexane/i-PrOH; flow rate 0.8 mL/min, retention time, (4*S*,5*S*): 21.74 min, (4*R*,5*R*): 25.70 min.

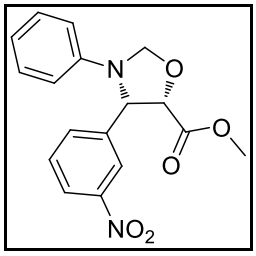


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	21.331	53396250	20.533	23.125	51.8633
2	24.869	49559434	24.107	26.955	48.1367

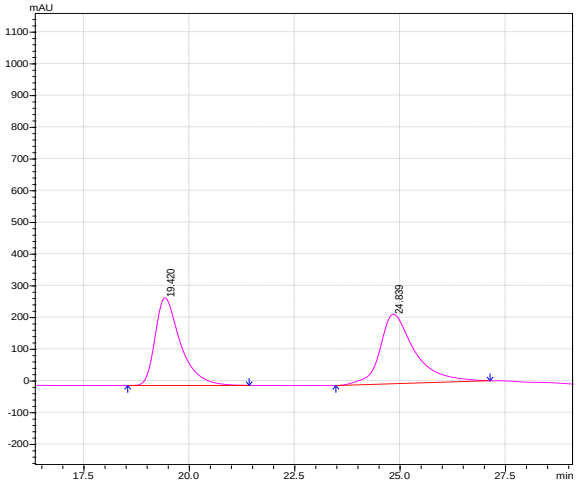


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	21.744	42751516	20.875	24.192	92.6216
2	25.703	3405675	24.992	27.573	7.3784

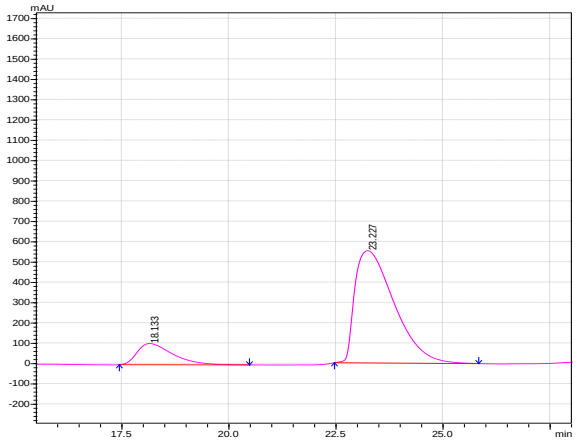
(4*S*,5*S*)-methyl 4-(3-nitrophenyl)-3-phenyloxazolidine-5-carboxylate:



$[\alpha]_D^{25}$ = +52.3 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 3.39 (s, 3 H), 5.04 (q, 2 H), 5.08 (d, *J* = 1.80 Hz, 1 H), 5.62 (d, *J* = 1.80 Hz, 1 H), 6.42 (d, *J* = 8.40 Hz, 2 H), 6.79 (t, *J* = 7.80 Hz, 1 H), 7.18 (t, *J* = 7.80 Hz, 2 H), 7.49 (t, *J* = 7.80 Hz, 1 H), 7.68 (d, *J* = 7.20 Hz, 1 H), , 8.13-8.20 (m, 2 H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): 52.08, 62.92, 81.44, 82.70, 112.82, 119.00, 122.49, 123.52, 129.63, 129.73, 133.52, 140.40, 143.51, 148.39, 167.56; HRMS (ESI) m/z Calcd for $C_{17}H_{16}N_2O_5Na$ $[M+Na]^+$: 351.0957, found 351.0954; The ee 71 % on HPLC (Chiralpak ADH column) mobile phase 92/8 *n*-hexane/i-PrOH; flow rate 0.7 mL/min, retention time, (4*S*,5*S*): 18.13 min, (4*R*,5*R*): 23.22 min.

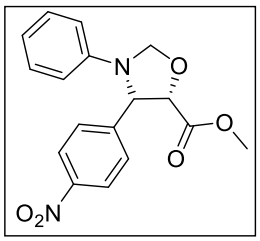


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	19.420	11566908	18.539	21.419	47.9534
2	24.839	12554218	23.477	27.136	52.0466

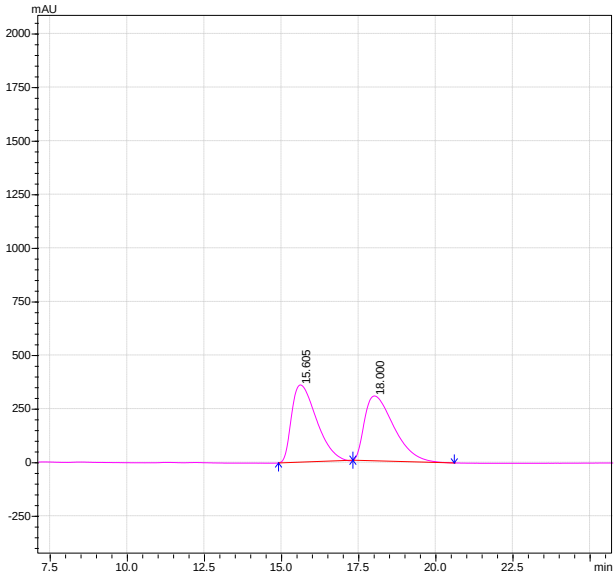


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	18.133	5986085	17.440	20.480	14.4815
2	23.227	35349928	22.464	25.835	85.5185

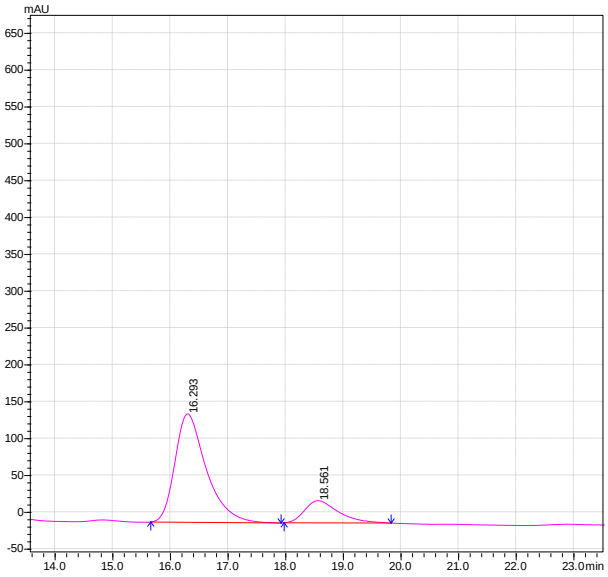
(4*S*,5*S*)-methyl 4-(4-nitrophenyl)-3-phenyloxazolidine-5-carboxylate:



$[\alpha]_D^{25}$ = +58.6 (*c* = 0.5, $CHCl_3$); ^{1}H NMR (500 MHz, $CDCl_3$, δ ppm): δ = 3.38 (s, 3 H), 5.04 (q, 2 H), 5.09 (d, *J* = 1.80 Hz, 1 H), 5.61 (d, *J* = 2.40 Hz, 1 H), 6.40 (d, *J* = 7.80 Hz, 2 H), 6.78 (t, *J* = 7.20 Hz, 1 H), 7.17 (t, *J* = 7.80 Hz, 2 H), 7.52 (d, *J* = 9.00 Hz, 2 H), 8.17 (d, *J* = 9.00 Hz, 2 H); ^{13}C NMR (151 MHz, $CDCl_3$, δ ppm): 52.10, 63.03, 81.46, 82.77, 112.82, 119.02, 123.81, 128.50, 129.62, 143.49, 145.46, 148.01, 167.60; HRMS (ESI) m/z Calcd for $C_{17}H_{16}N_2O_5Na$ $[M+Na]^+$: 351.0957, found 351.0948; The ee 74 % on HPLC (Chiralpak ADH column) mobile phase 90/10 *n*-hexane/i-PrOH; flow rate 0.5 mL/min, retention time, (4*S*,5*S*): 16.29 min, (4*R*,5*R*): 18.56 min.



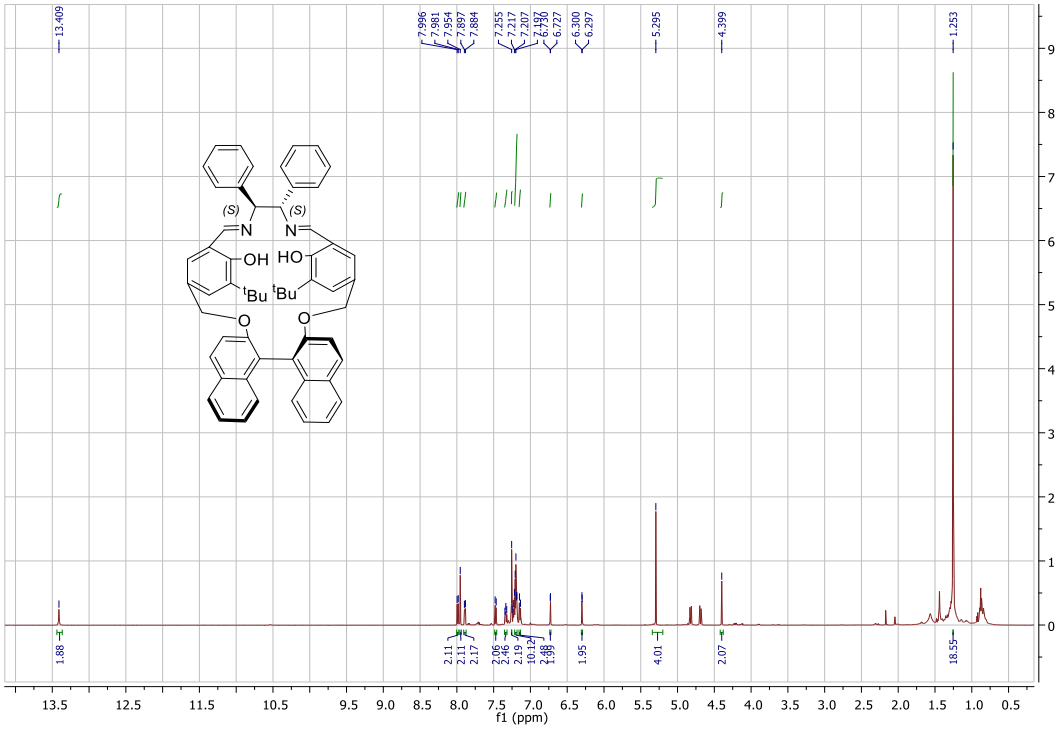
Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	15.605	20209531	14.901	17.312	50.1750
2	18.000	20068554	17.312	20.597	49.8250

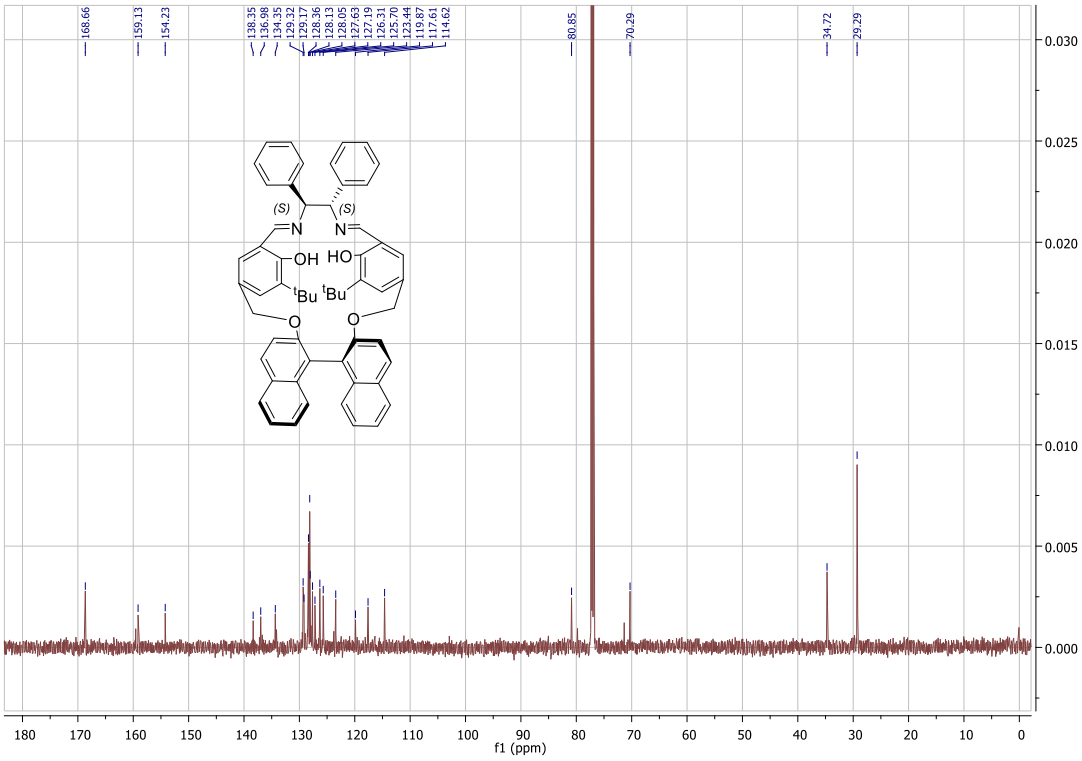


Peak#	Ret. Time	Area	Peak Start	Peak End	Area%
1	16.293	5542358	15.659	17.920	86.9543
2	18.561	1220388	17.973	19.829	13.0457

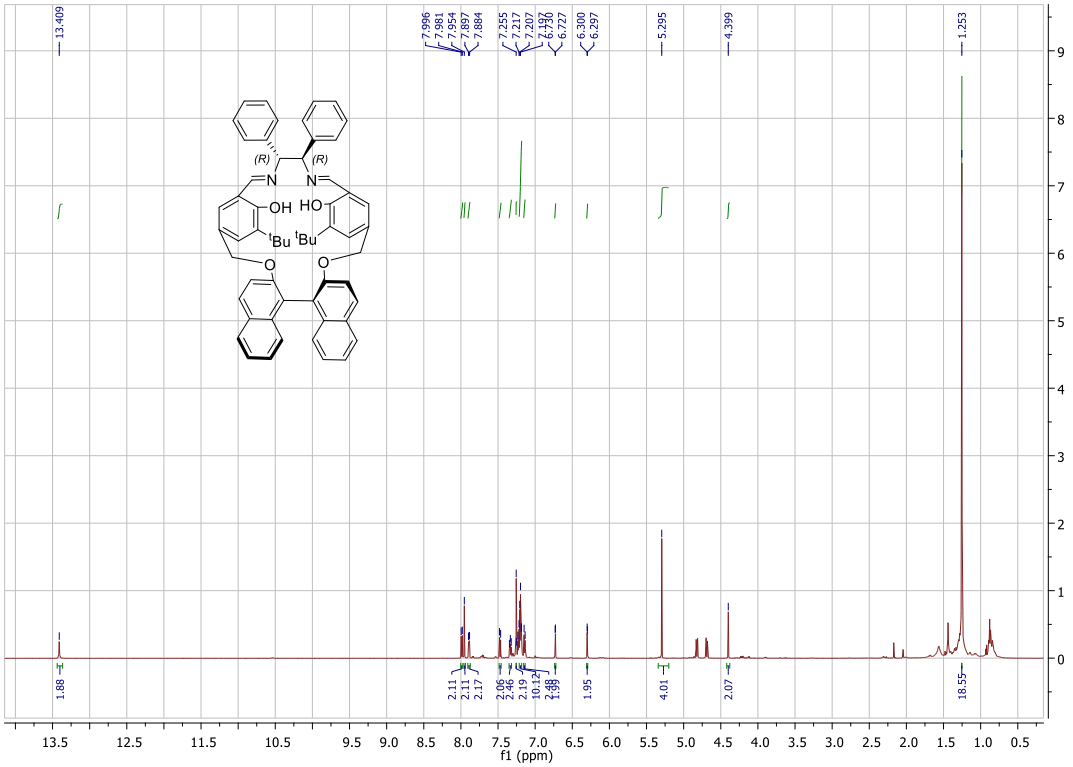
NMR spectra:

Ligand 1a





Ligand 2a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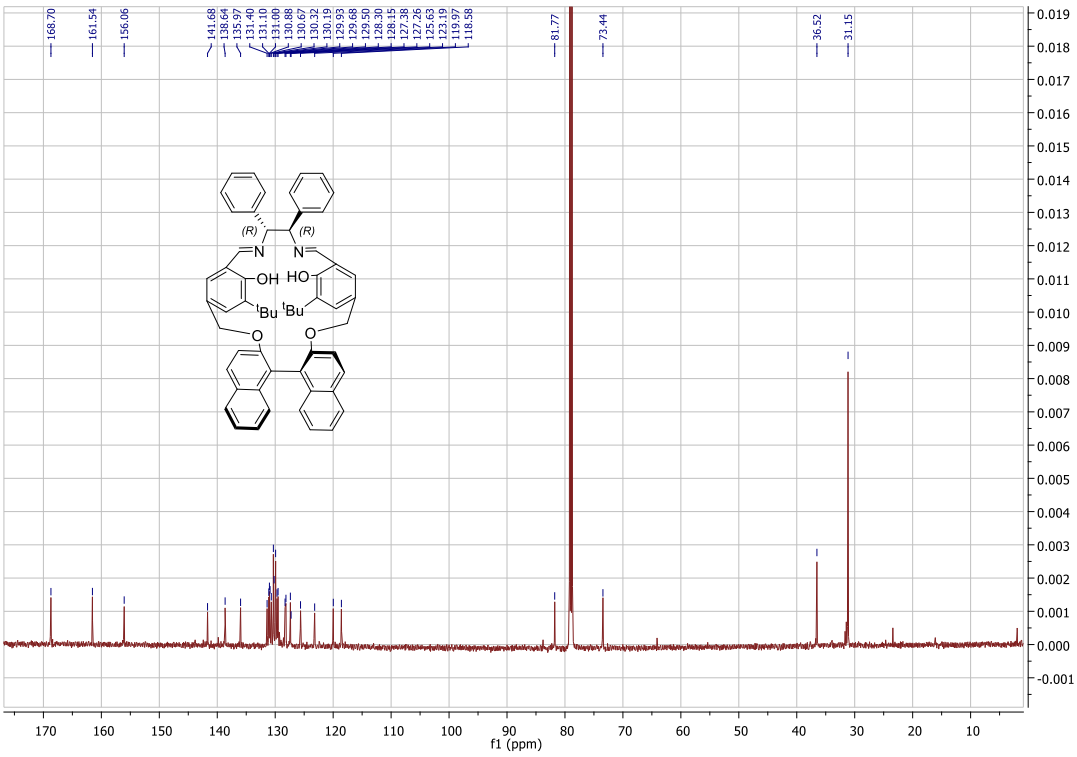
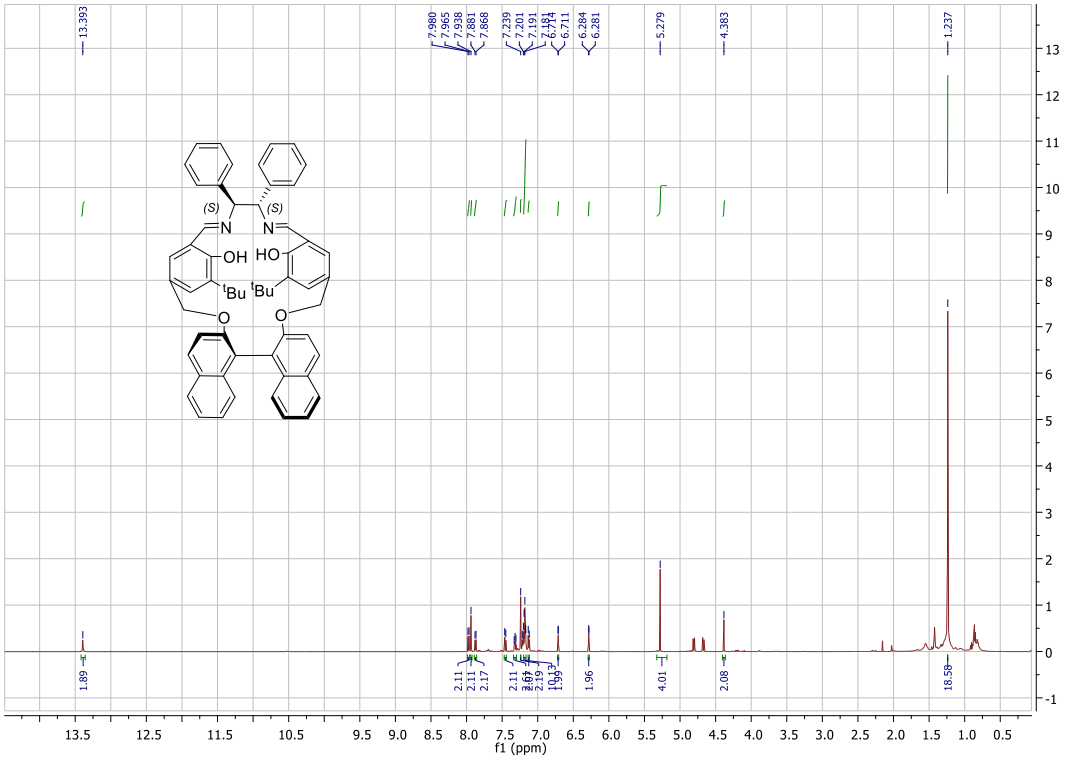
7.996
7.981
7.954
7.897
7.884
7.255
7.217
7.207
6.727
6.300
6.297
5.295
4.399
1.253
(R)
(R)
N
N
OH
HO
tBu
tBu
O
O
1.88
2.11
2.11
2.17
2.06
2.46
2.19
1.95
4.01
2.07
18.55
f1 (ppm)


168.70
161.54
156.06
141.68
138.64
135.97
131.40
131.10
131.00
130.88
130.67
130.23
130.19
129.93
129.68
129.50
128.30
128.15
127.38
127.26
125.63
123.19
119.97
118.58
81.77
73.44
36.52
31.15
(R)
(R)
N
N
OH
HO
tBu
tBu
O
O
f1 (ppm)

Ligand 3a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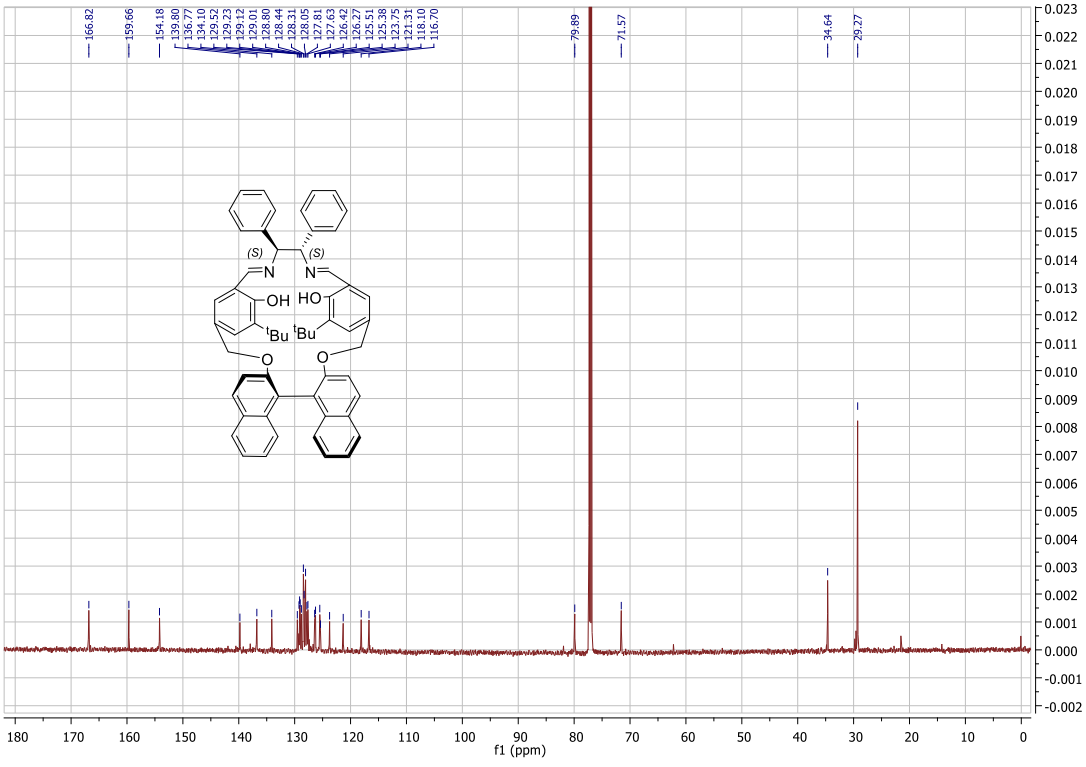
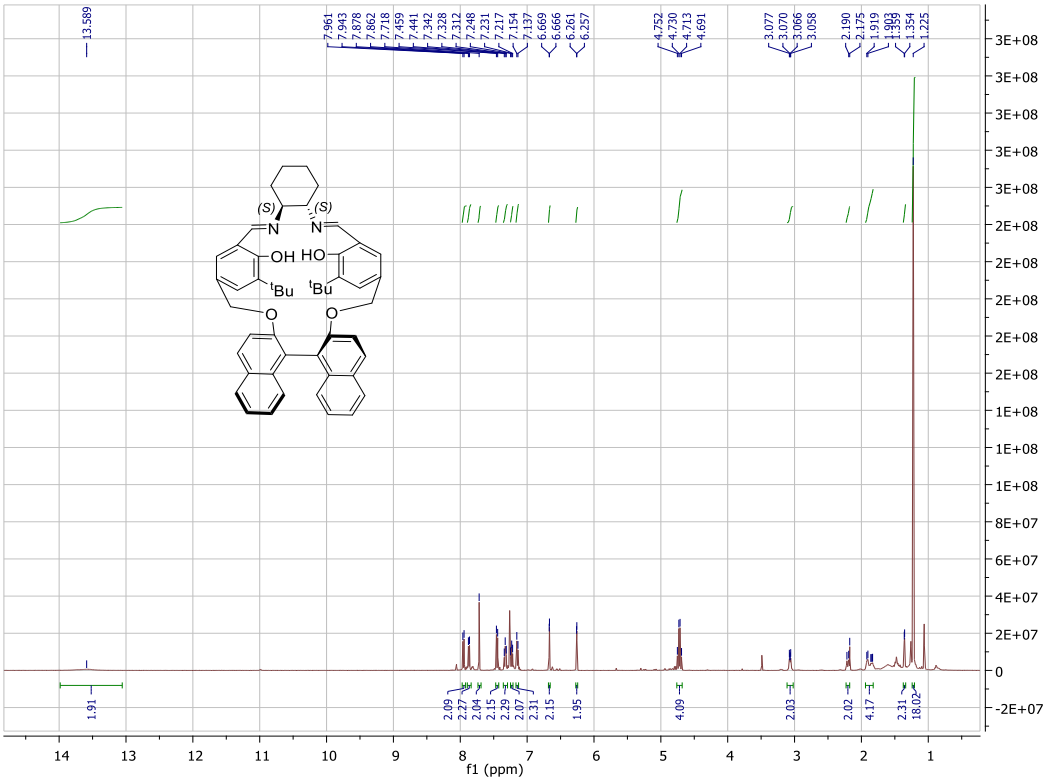
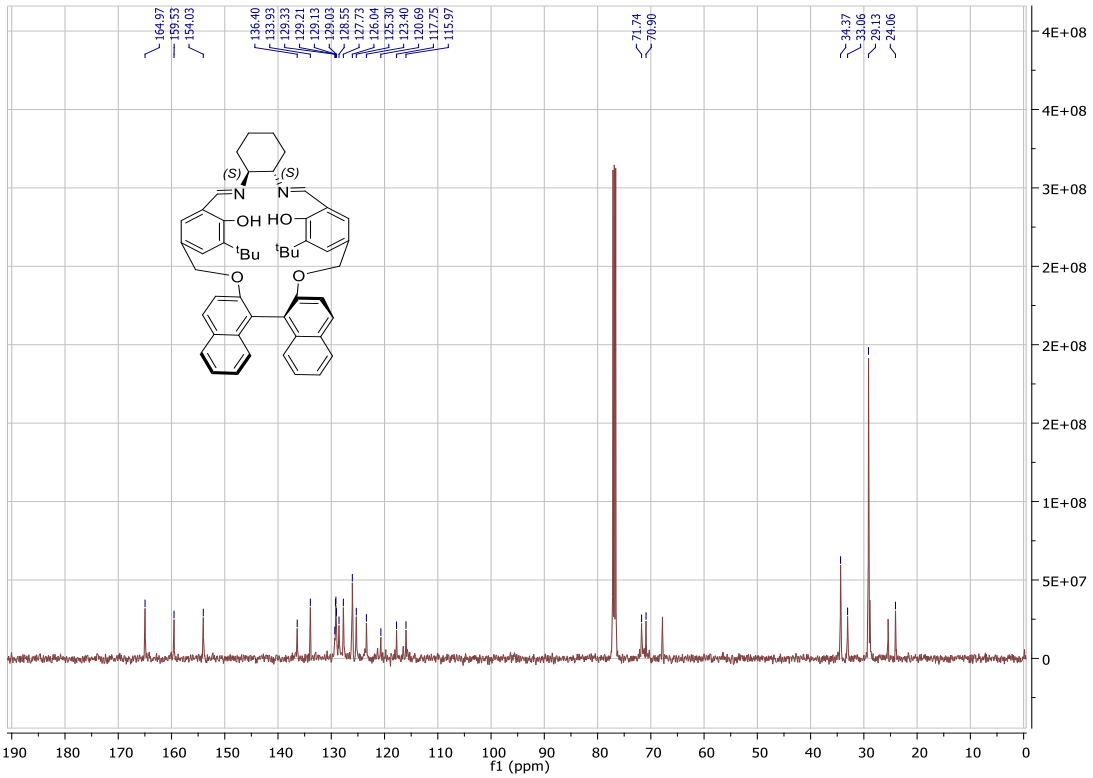
7.980
7.965
7.938
7.881
7.868
7.239
7.201
7.191
7.181
7.174
6.711
6.284
6.281
5.279
4.383
1.237
(S)
(S)
N
N
OH
HO
tBu
tBu
O
O
f1 (ppm)

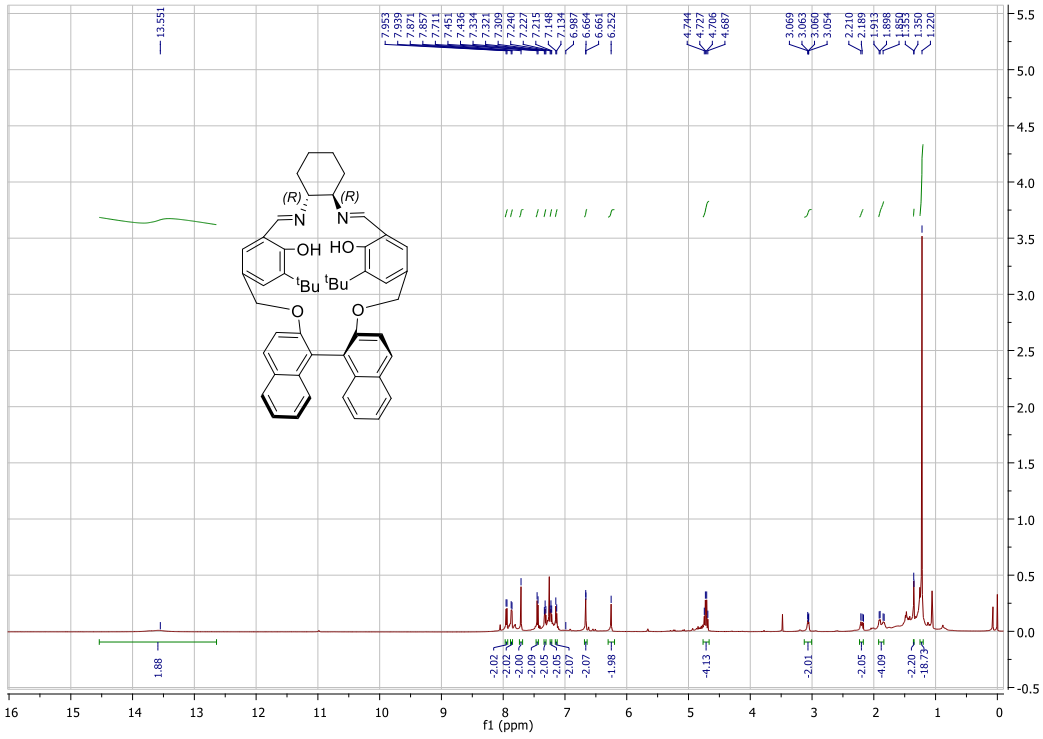

166.82
159.66
154.18
139.80
136.77
134.10
129.52
129.23
129.12
129.01
128.80
128.44
128.31
127.81
127.63
126.42
126.27
125.51
125.38
123.75
121.31
118.10
116.70
79.89
71.57
34.64
29.27
(S)
(S)
N
N
OH
HO
tBu
tBu
O
O
f1 (ppm)

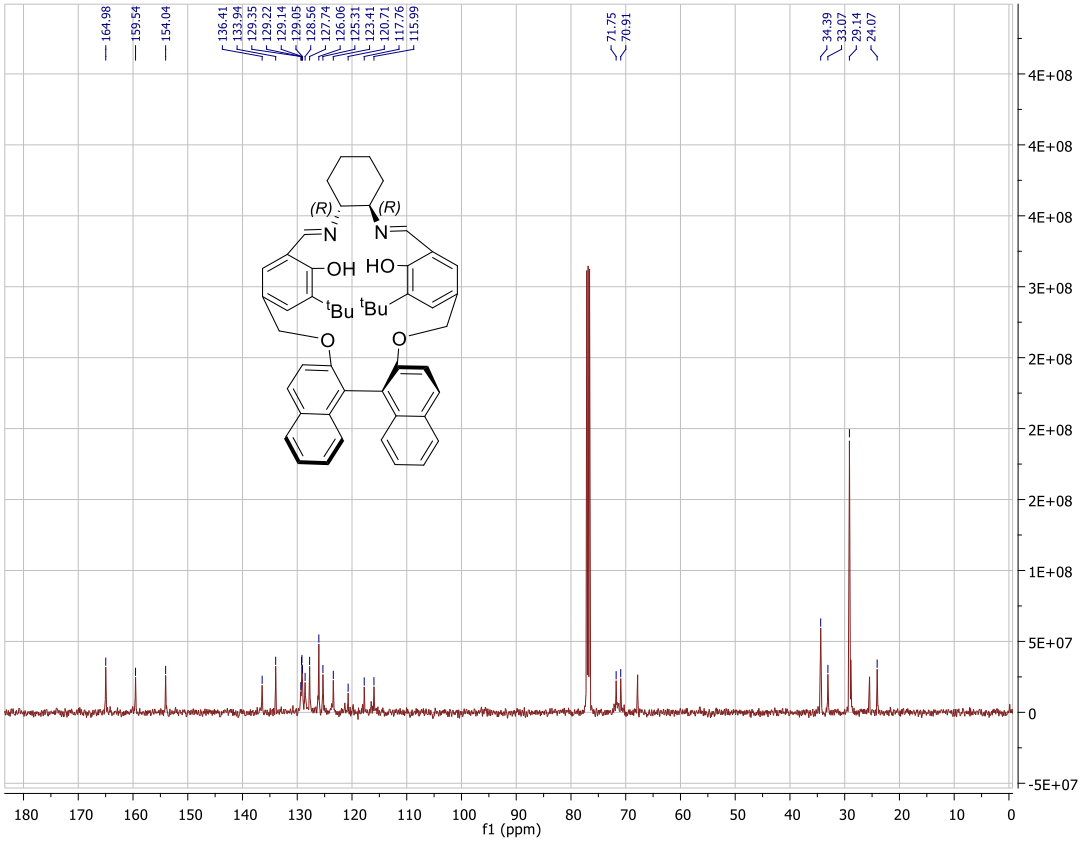
Ligand 4a


13.589
7.961
7.943
7.878
7.862
7.718
7.459
7.441
7.342
7.328
7.312
7.248
7.231
7.217
7.154
7.137
6.669
6.666
6.261
6.257
4.752
4.730
4.713
4.691
3.077
3.070
3.066
3.058
2.190
2.175
1.919
1.903
1.354
1.225
(S)
(S)
N
N
OH HO
tBu tBu
O
O
1.91
2.09
2.27
2.04
2.15
2.29
2.07
2.31
2.15
1.95
4.09
2.03
2.02
4.17
2.31
18.02
f1 (ppm)

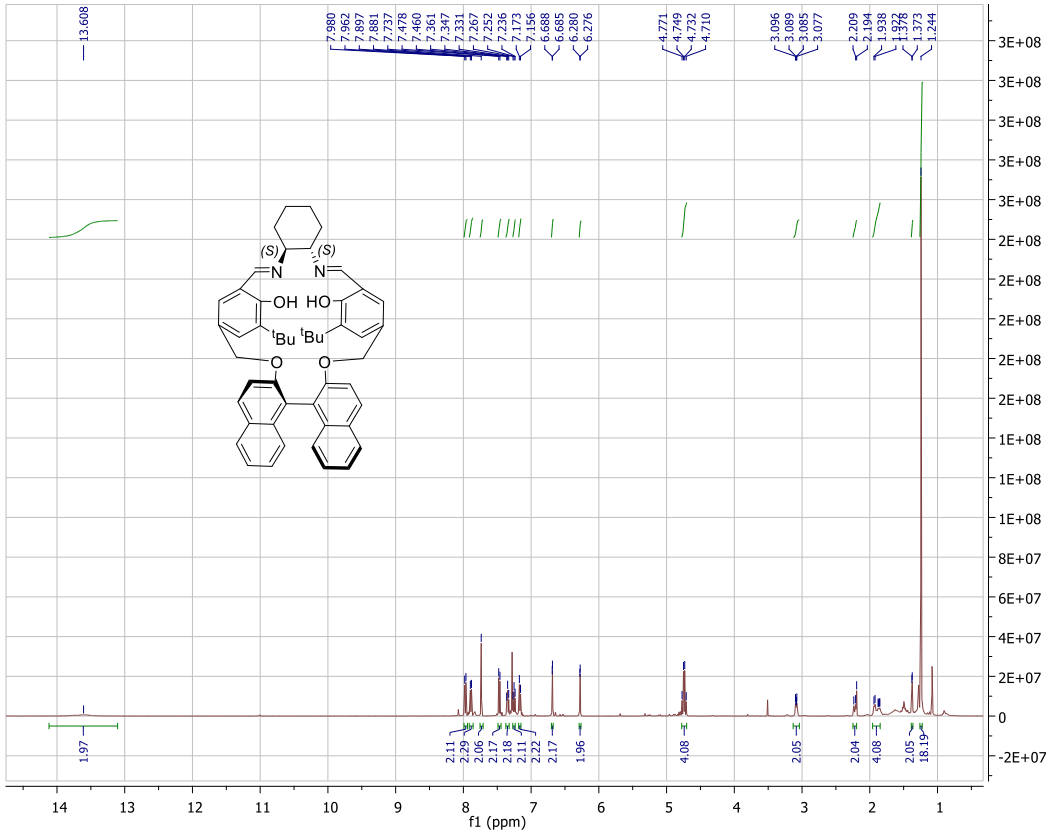

164.97
159.53
154.03
136.40
133.93
129.33
129.21
129.13
129.03
128.55
127.73
126.04
125.30
123.40
120.69
117.75
115.97
71.74
70.90
34.37
33.06
29.13
24.06
(S)
(S)
N
N
OH HO
tBu tBu
O
O
f1 (ppm)

Ligand 5a


13.551
7.953
7.939
7.871
7.857
7.711
7.451
7.436
7.334
7.321
7.309
7.240
7.227
7.215
7.148
7.134
6.687
6.664
6.661
6.252
4.744
4.727
4.706
4.687
3.069
3.063
3.060
3.054
2.210
2.189
1.913
1.898
1.350
1.220
(R)
(R)
N
N
OH
HO
tBu
tBu
O
O
1.88
-2.02
-2.02
-2.00
-2.09
-2.05
-2.05
-2.07
-2.07
-1.98
-4.13
-2.01
-2.05
-4.09
-2.20
-18.73
f1 (ppm)


164.98
159.54
154.04
136.41
133.94
129.35
129.22
129.14
129.05
128.56
127.74
126.06
125.31
123.41
120.71
117.76
115.99
71.75
70.91
34.39
33.07
29.14
24.07
(R)
(R)
N
N
OH
HO
tBu
tBu
O
O
f1 (ppm)

Ligand 6a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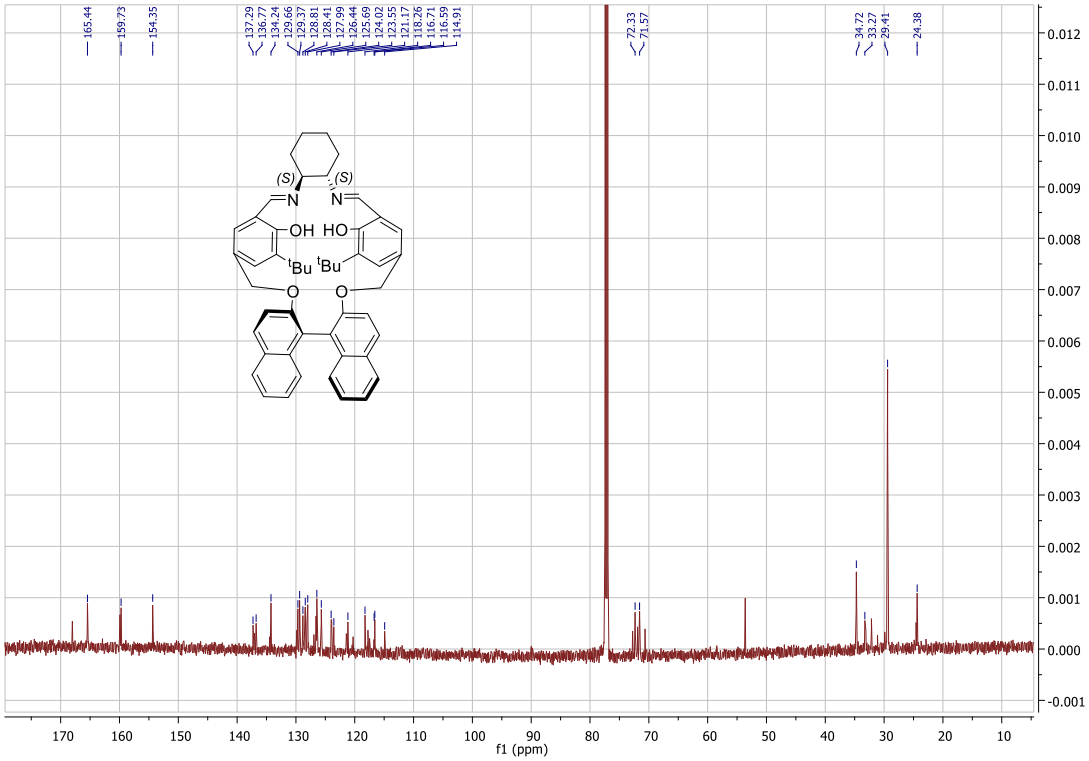
7.962
7.897
7.881
7.737
7.478
7.460
7.361
7.347
7.331
7.267
7.252
7.236
7.173
7.156
6.688
6.685
6.280
6.276
4.771
4.749
4.732
4.710
3.096
3.089
3.085
3.077
2.209
2.194
1.938
1.932
1.378
1.373
1.244
OH HO
tBu tBu
f1 (ppm)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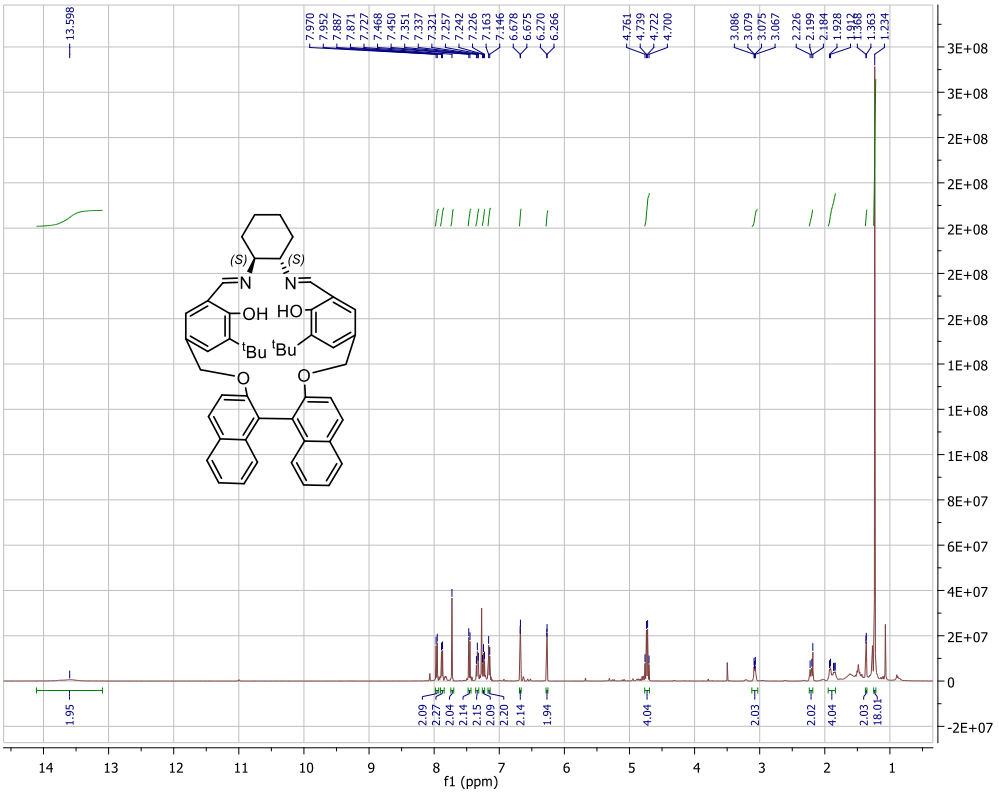
159.73
154.35
137.29
136.77
134.24
129.66
129.37
128.81
128.41
127.99
126.44
125.69
124.02
123.55
121.17
118.26
116.71
116.59
114.91
72.33
71.57
34.72
33.27
29.41
24.38
OH HO
tBu tBu
f1 (ppm)

Ligand 7a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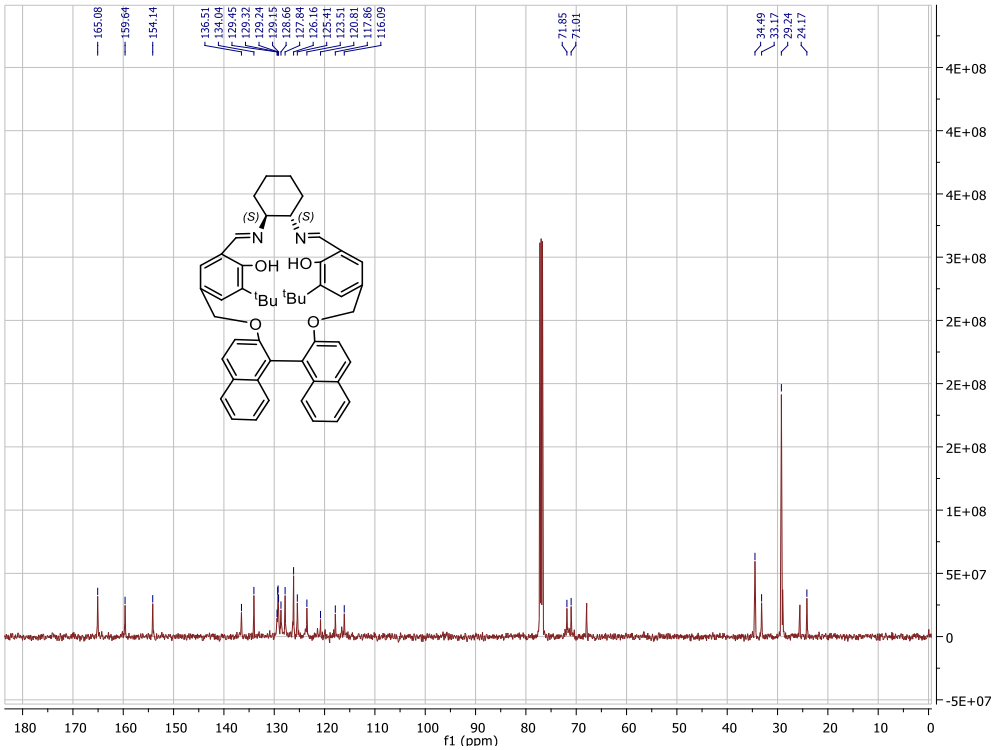
7.887
7.871
7.727
7.468
7.450
7.351
7.337
7.321
7.257
7.242
7.226
7.163
7.146
6.678
6.675
6.270
6.266
4.761
4.739
4.722
4.700
3.086
3.079
3.075
3.067
2.226
2.199
2.184
1.928
1.363
1.234
(S)
(S)
N
N
OH
HO
tBu
tBu
O
O
1.95
2.09
2.27
2.04
2.14
2.15
2.09
2.20
2.14
1.94
4.04
2.03
2.02
4.04
2.03
18.01
f1 (ppm)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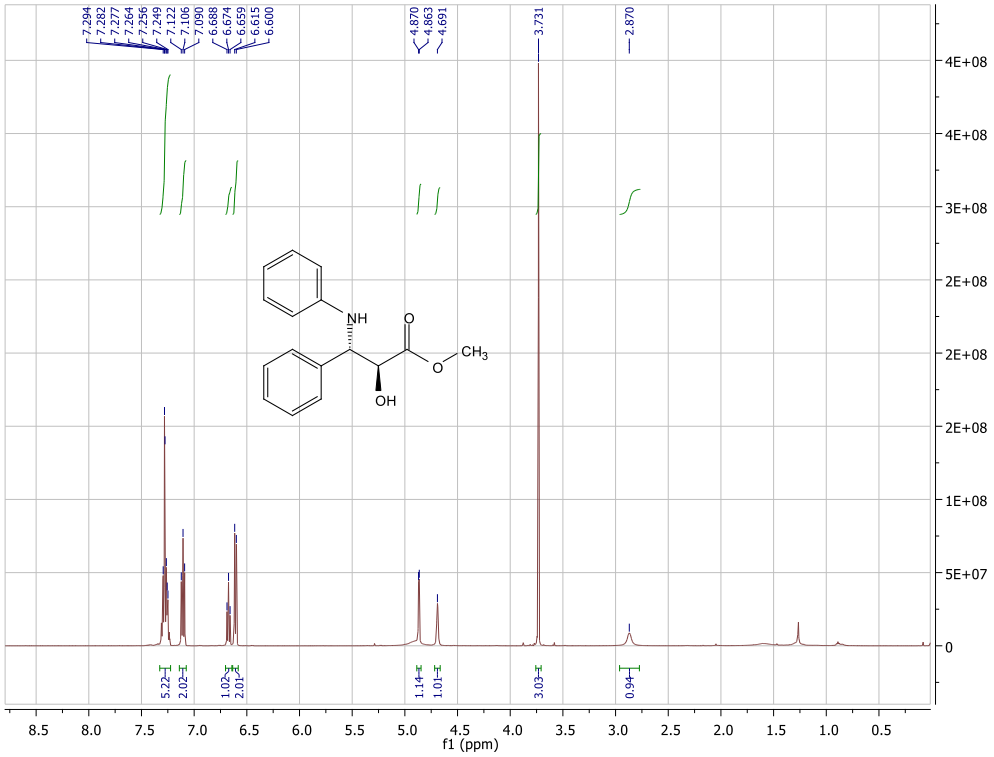
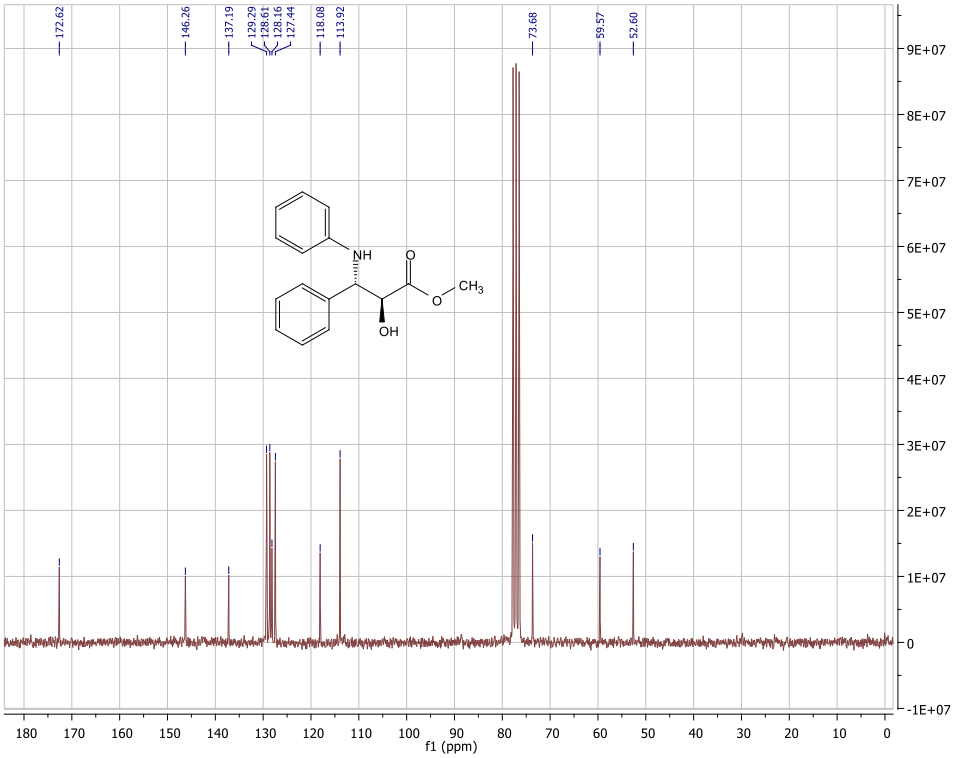
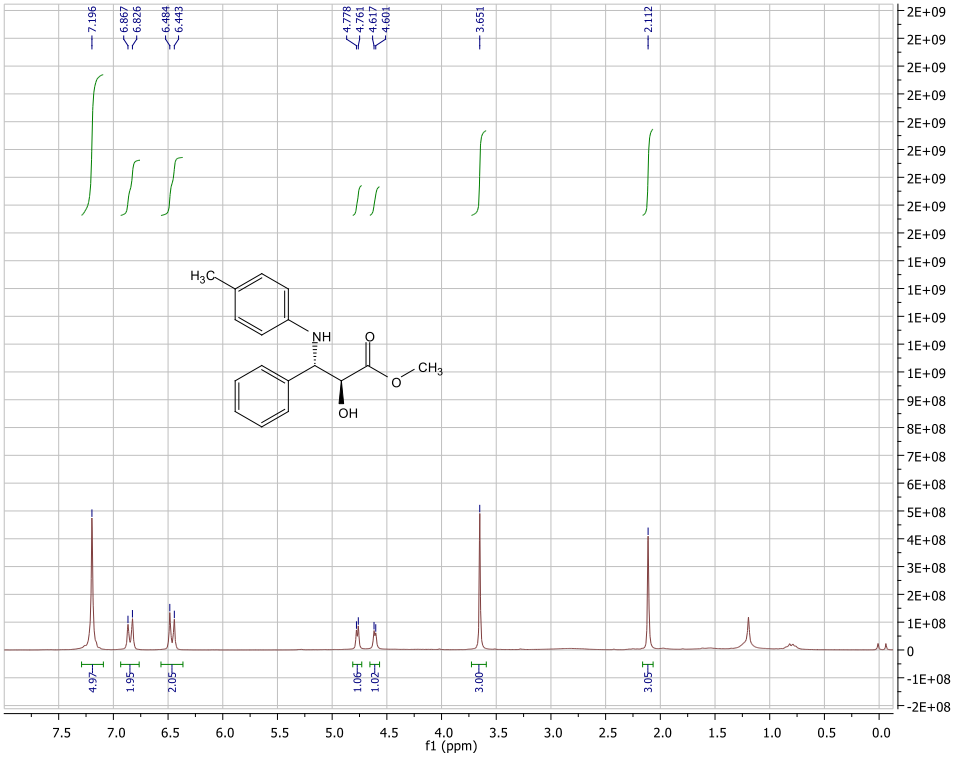
154.14
136.51
134.04
129.45
129.32
129.24
129.15
128.66
127.84
126.16
125.41
123.51
120.81
117.86
116.09
71.85
71.01
34.49
33.17
29.24
24.17
(S)
(S)
N
N
OH
HO
tBu
tBu
O
O
f1 (ppm)

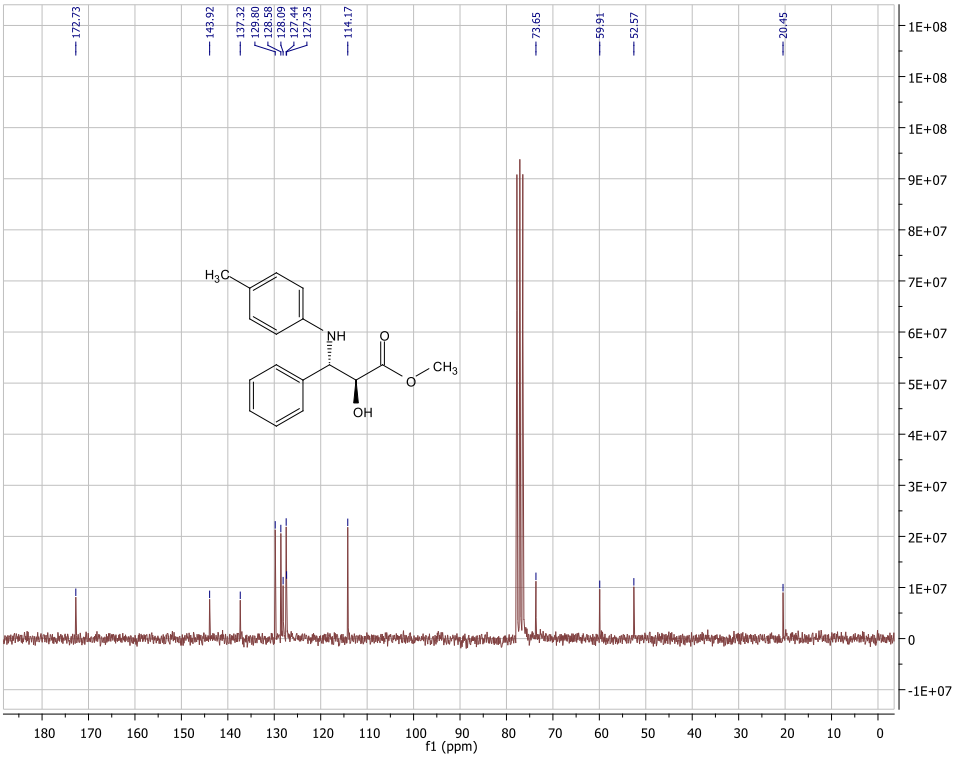
(2*S*,3*S*)- methyl 2-hydroxy-3-phenyl-3-(phenylamino) propanoate



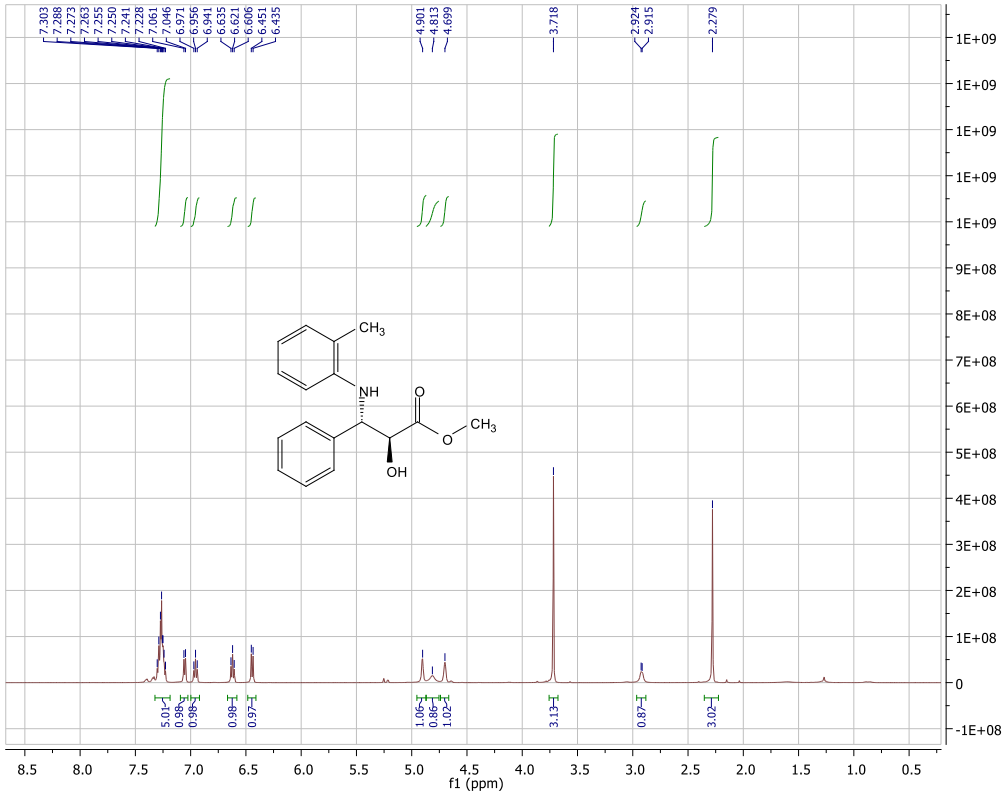


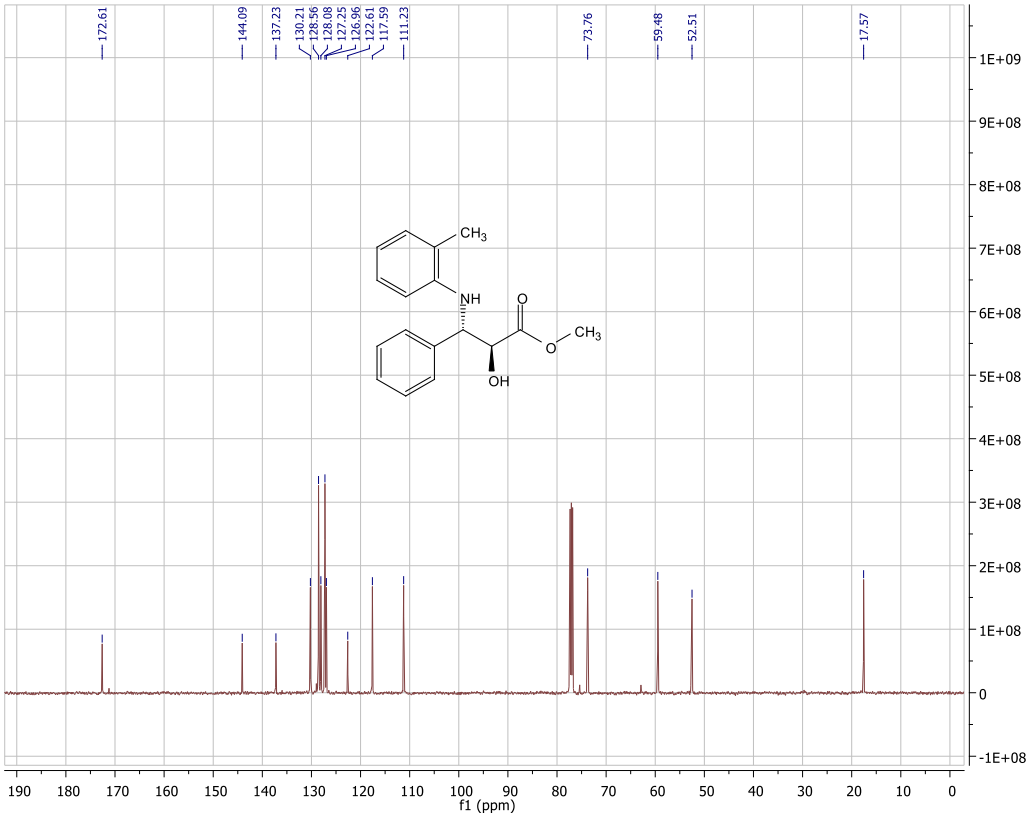
(2*S*,3*S*)-methyl 2-hydroxy-3-phenyl-3-(p-tolylamino)propanoate



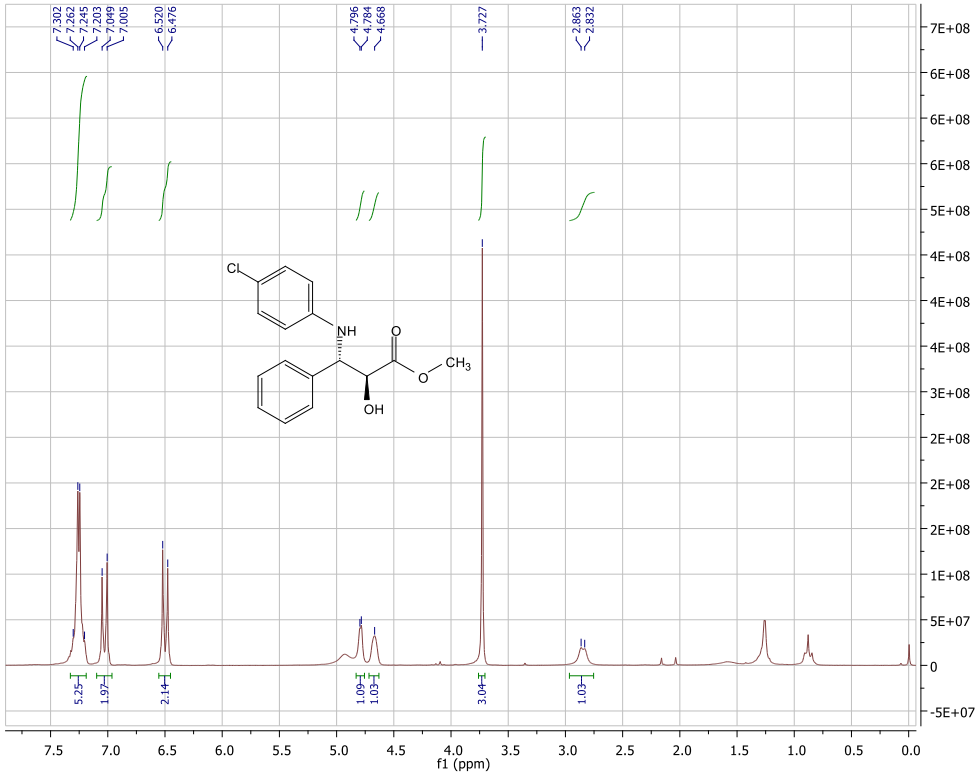


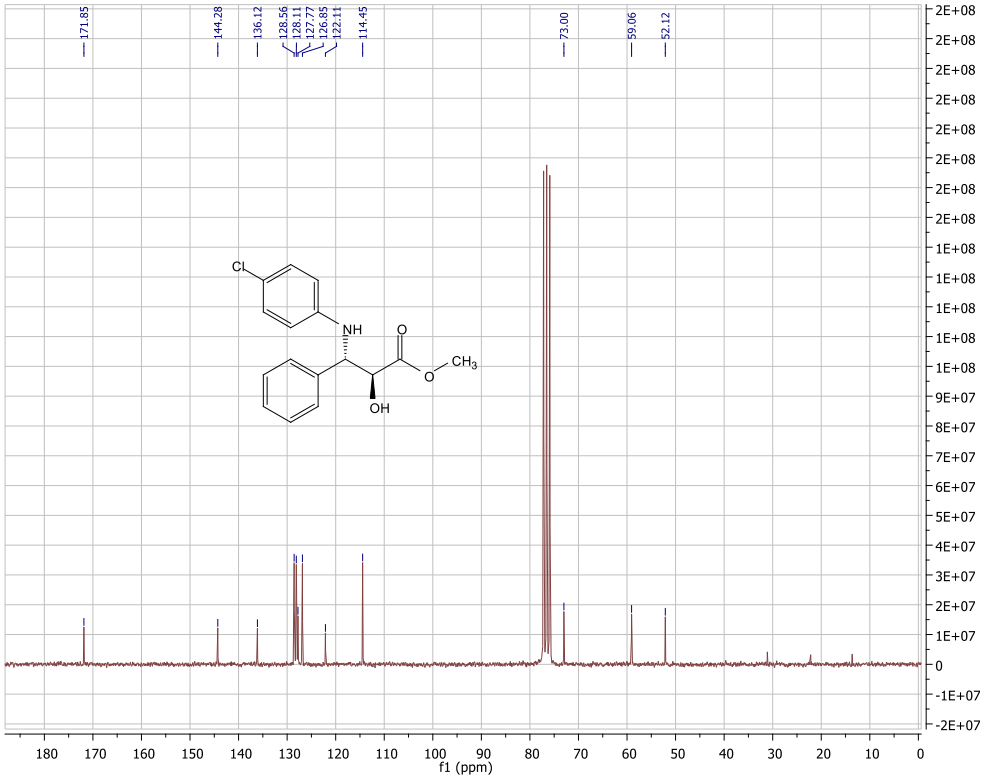
(2*S*,3*S*)-methyl 2-hydroxy-3-phenyl-3-(o-tolylamino)propanoate



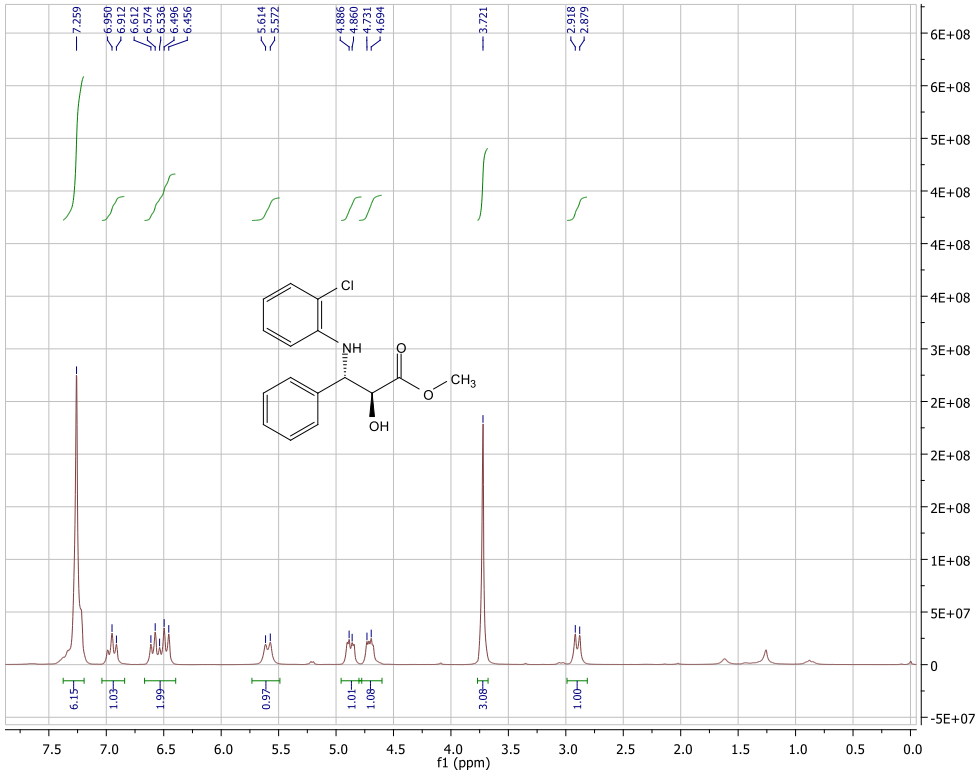


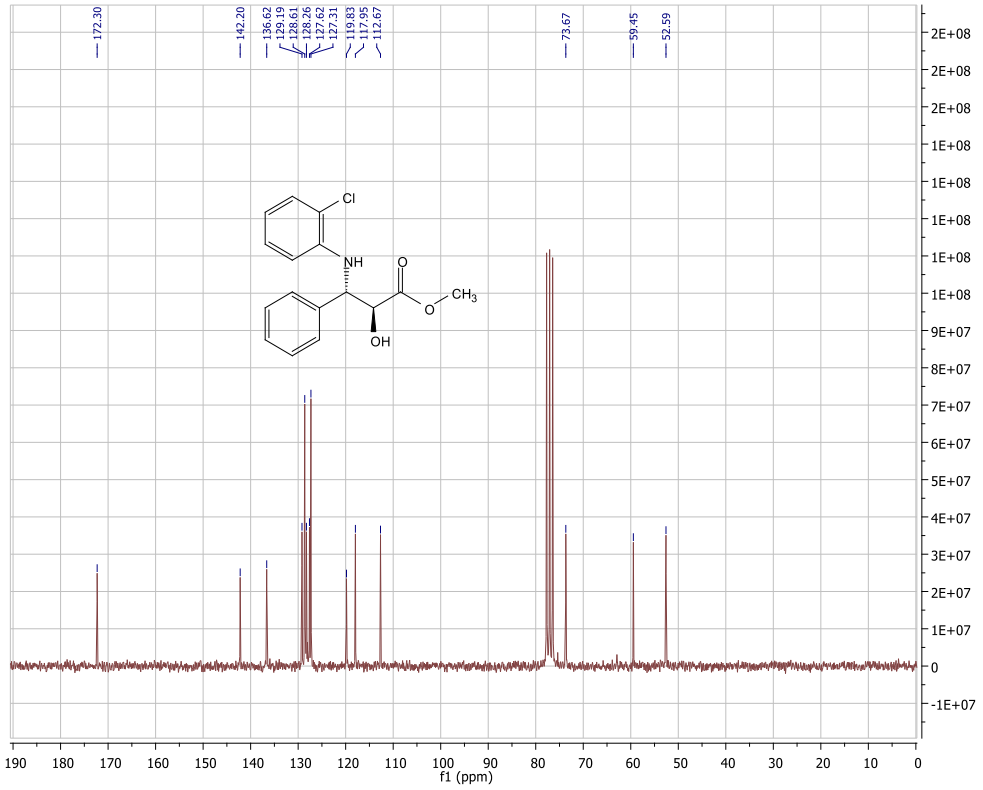
(2*S*,3*S*)-methyl 3-((4-chlorophenyl)amino)-2-hydroxy-3-phenylpropanoate



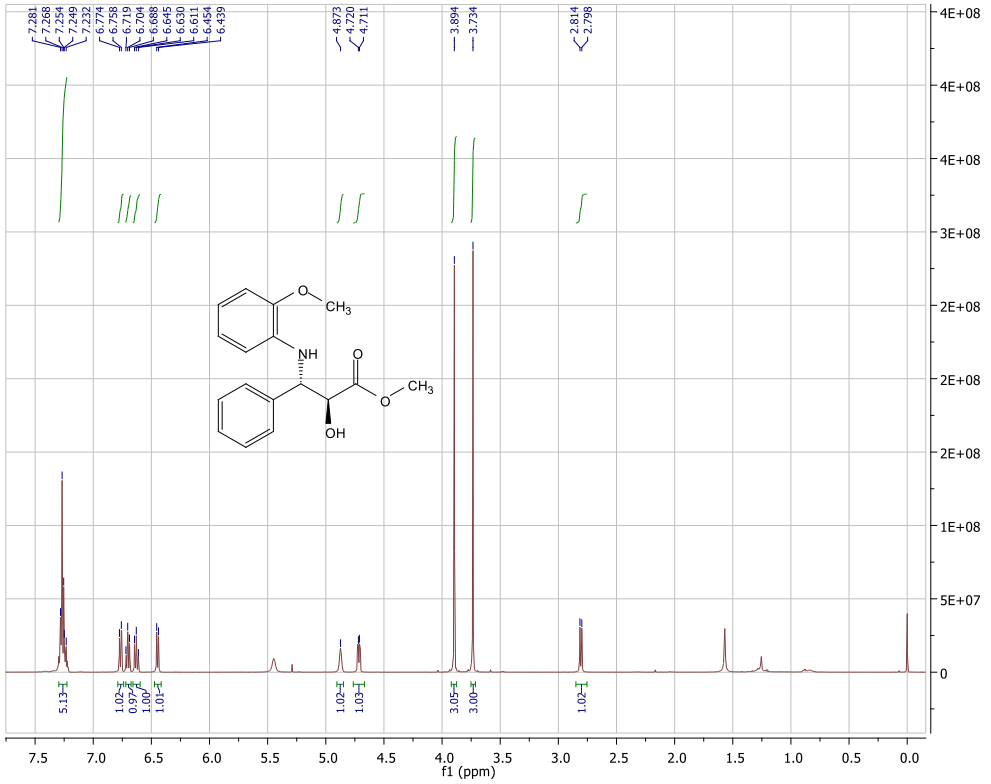


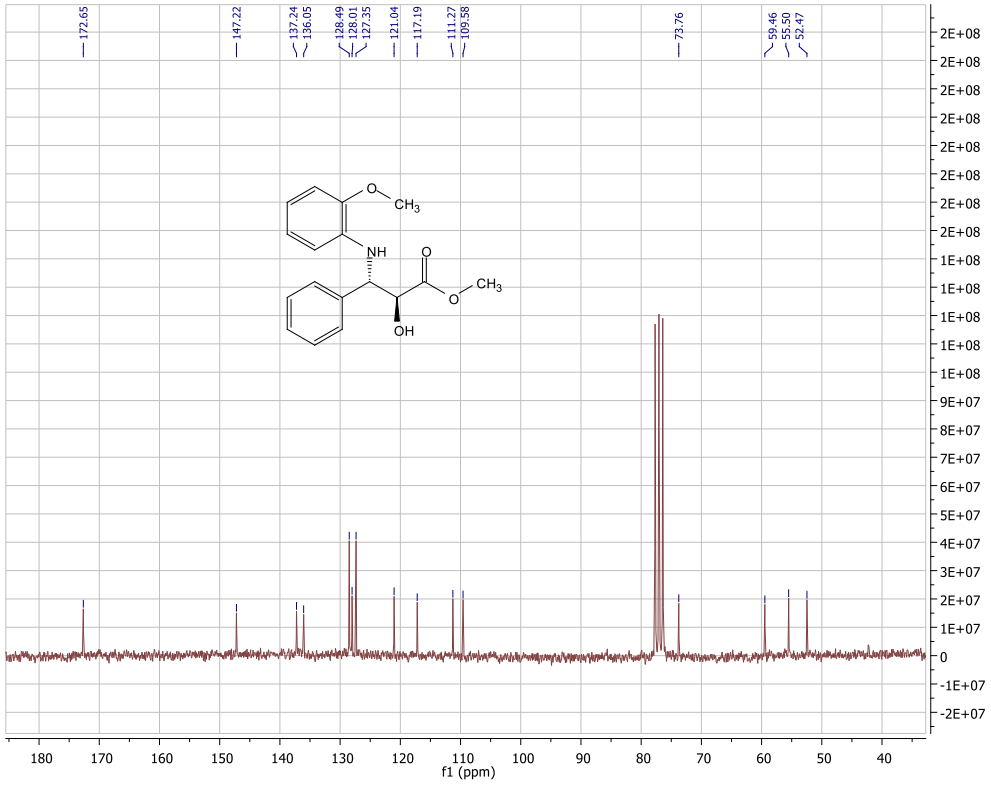
(2*S*,3*S*)-methyl 3-((2-chlorophenyl)amino)-2-hydroxy-3-phenylpropanoate



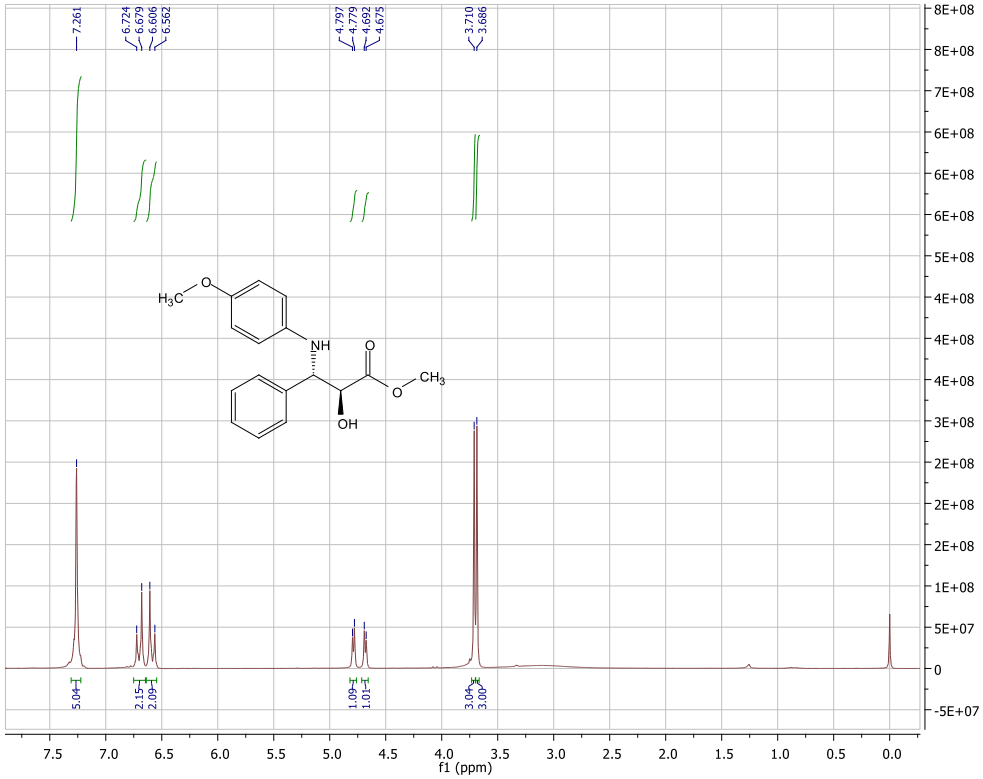


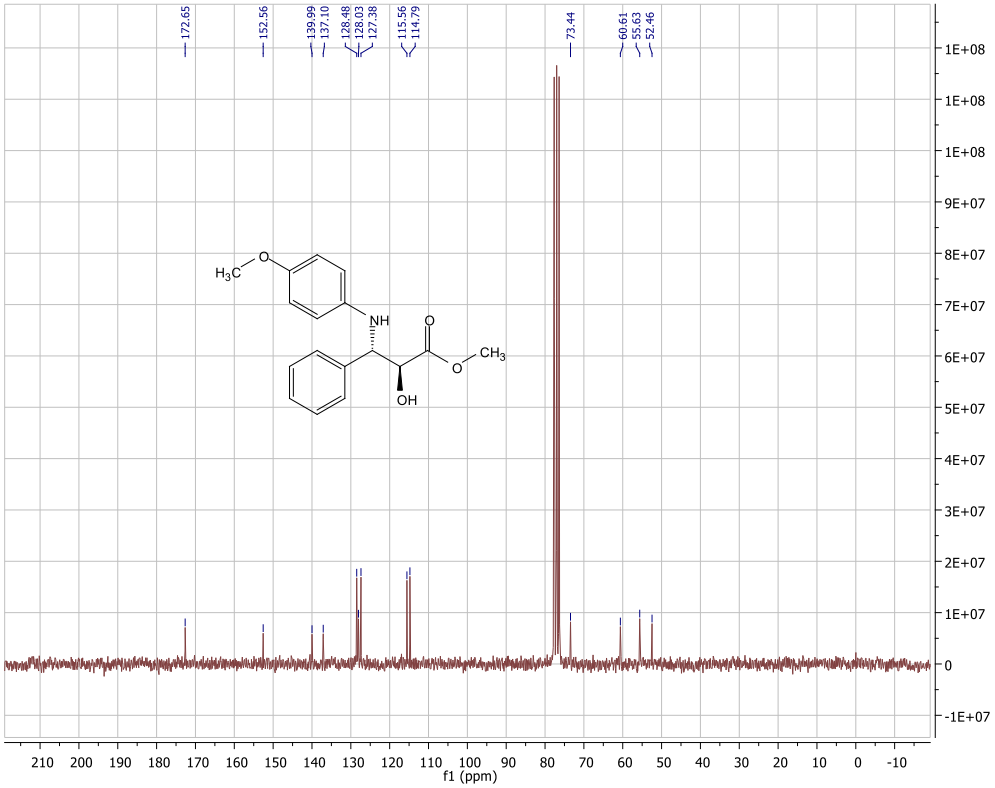
(2*S*,3*S*)-methyl 2-hydroxy-3-((2-methoxyphenyl)amino)-3-phenylpropanoate



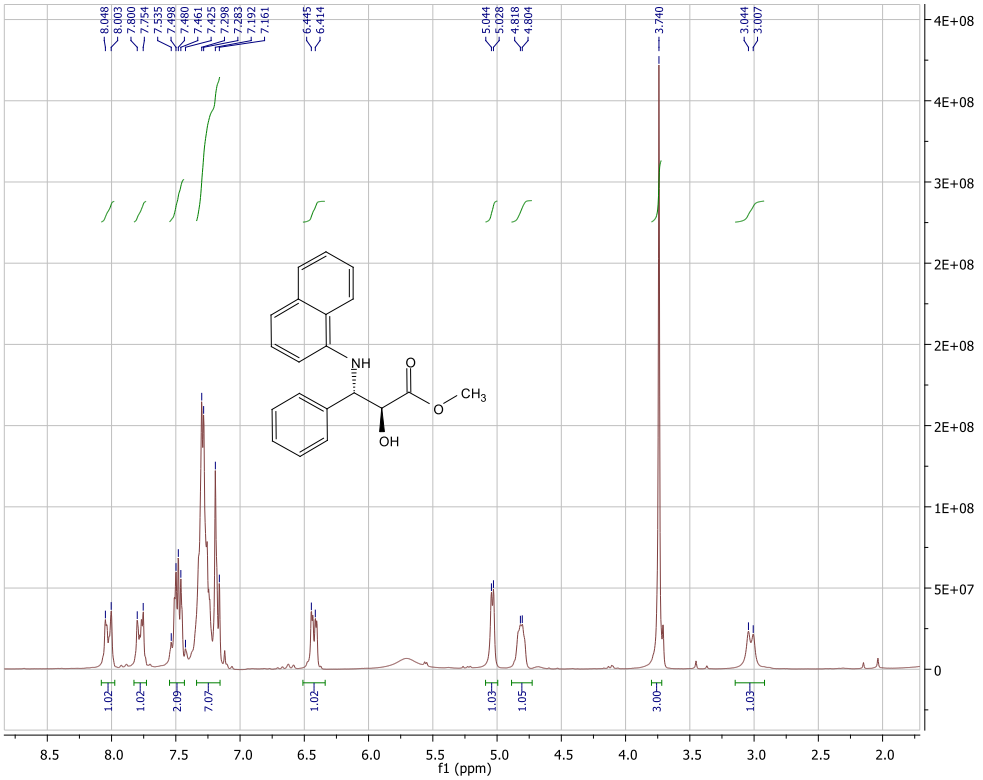


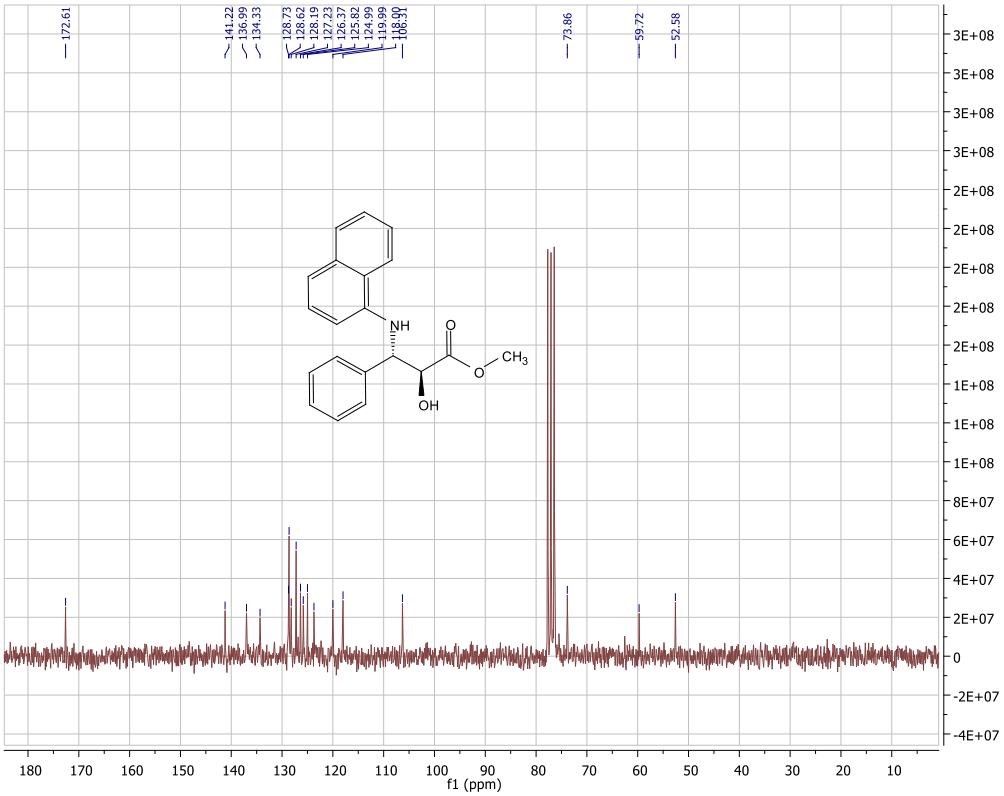
(2*S*,3*S*)-methyl 2-hydroxy-3-((4-methoxyphenyl)amino)-3-phenylpropanoate



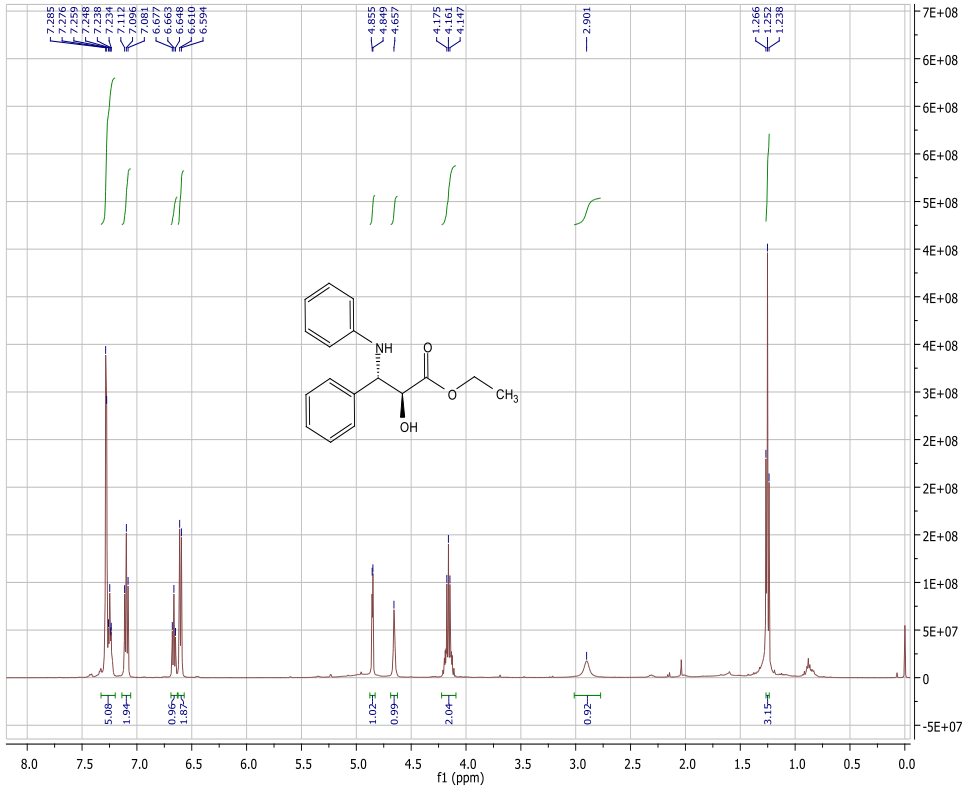


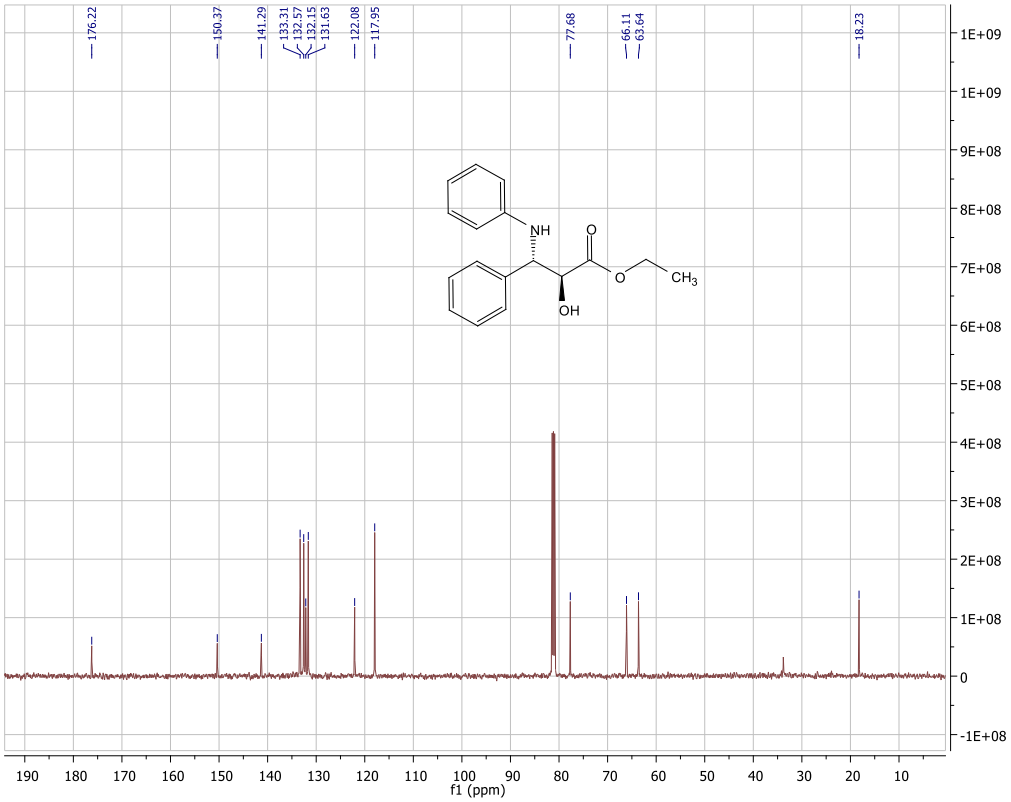
(2*S*,3*S*)-methyl 2-hydroxy-3-(naphthalen-1-ylamino)-3-phenylpropanoate



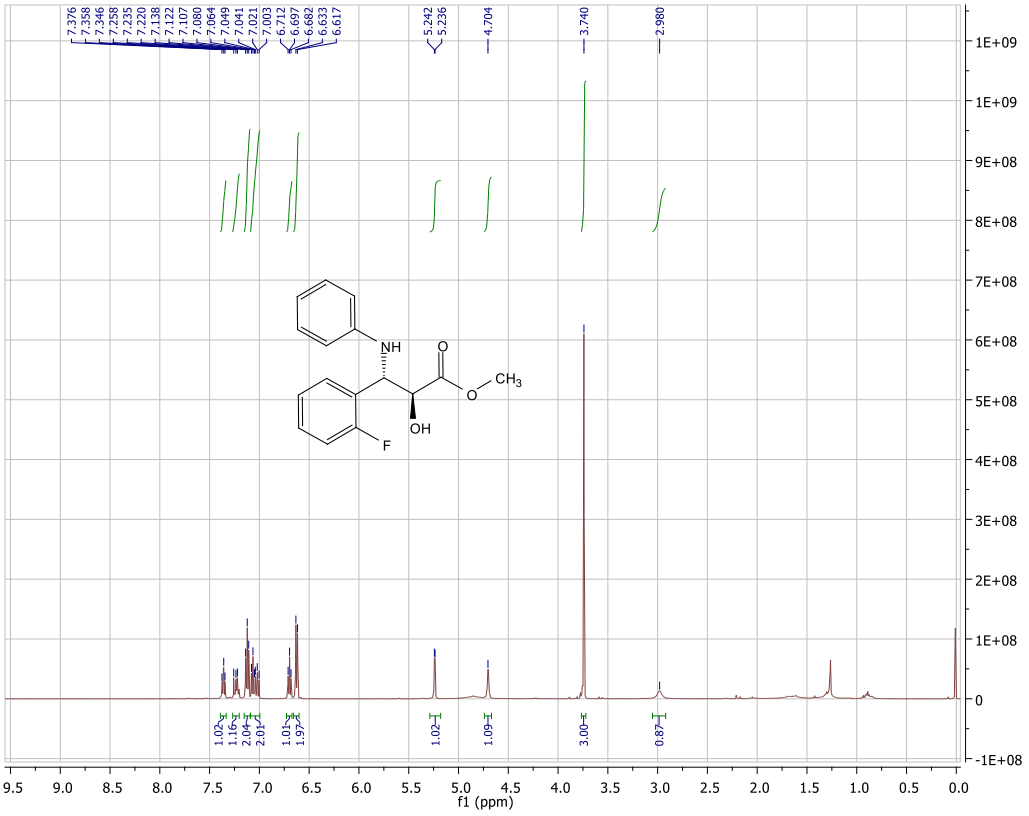


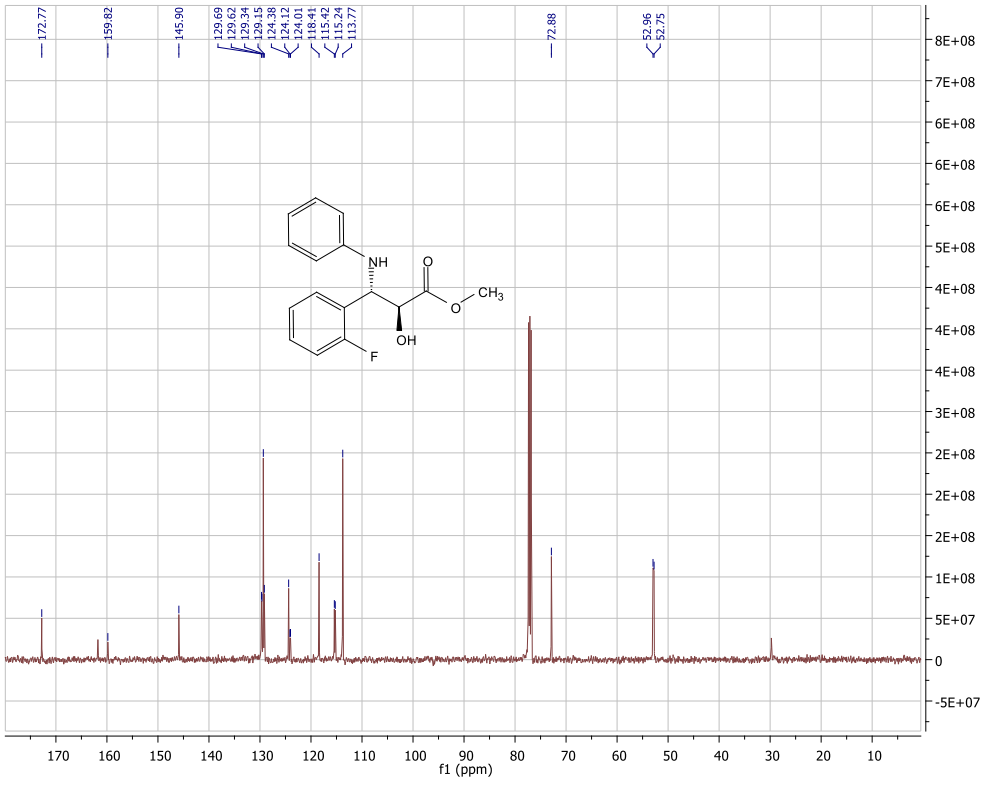
(2*S*,3*S*)-ethyl 2-hydroxy-3-phenyl-3-(phenylamino)propanoate



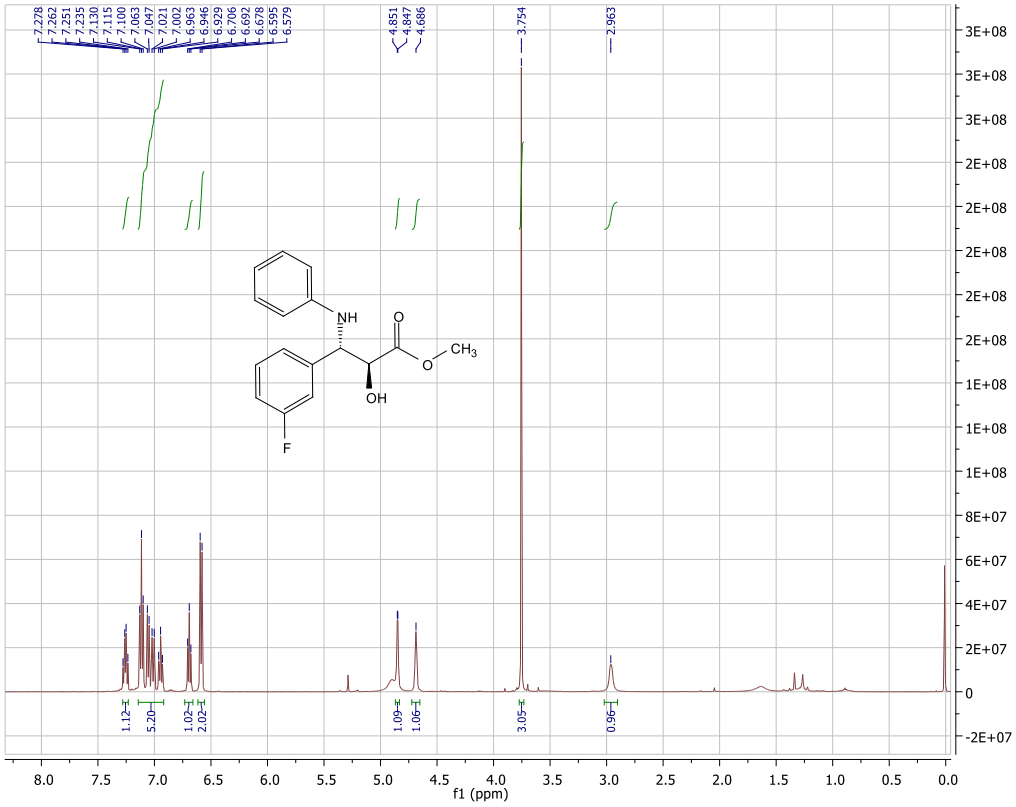


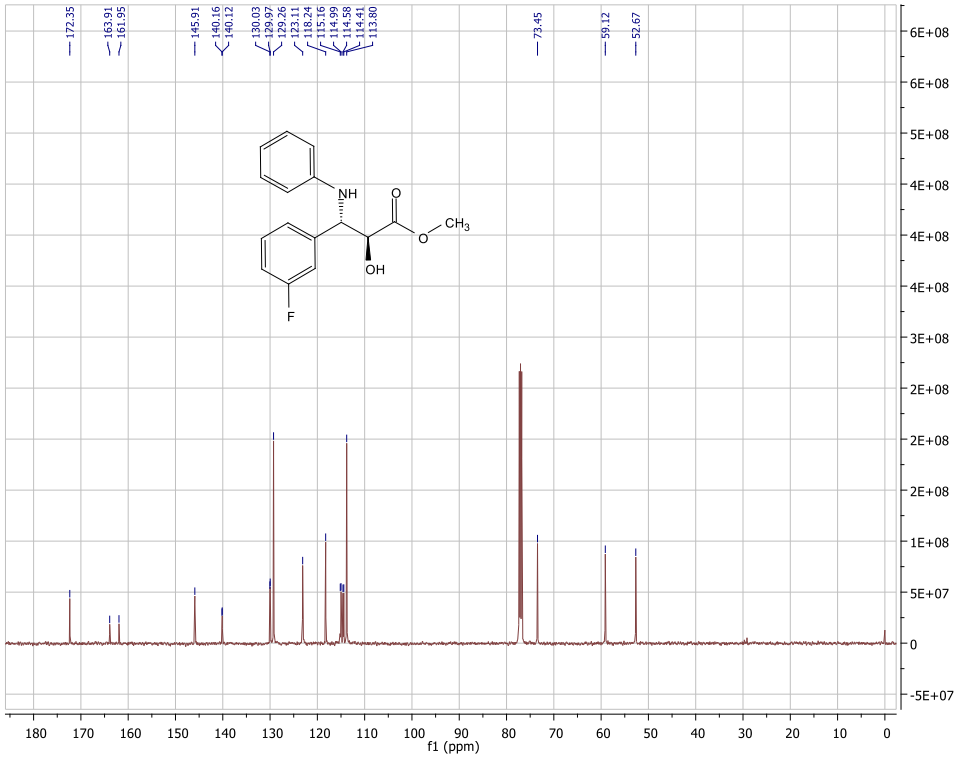
(2*S*,3*S*)-methyl 3-(2-fluorophenyl)-2-hydroxy-3-(phenylamino)propanoate



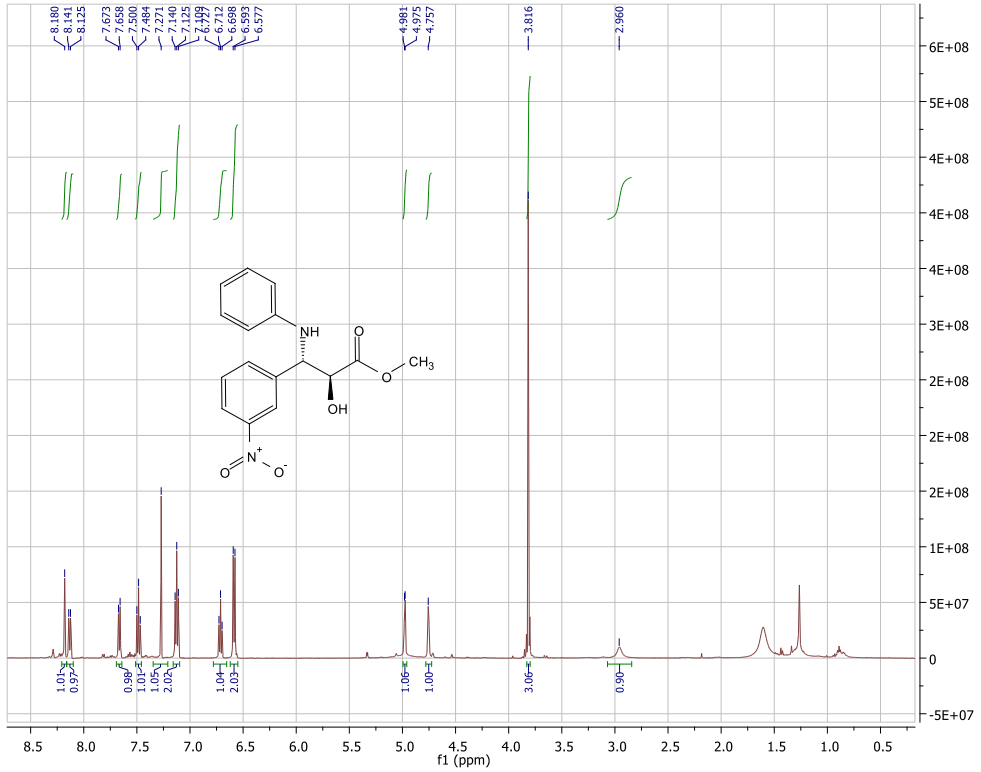


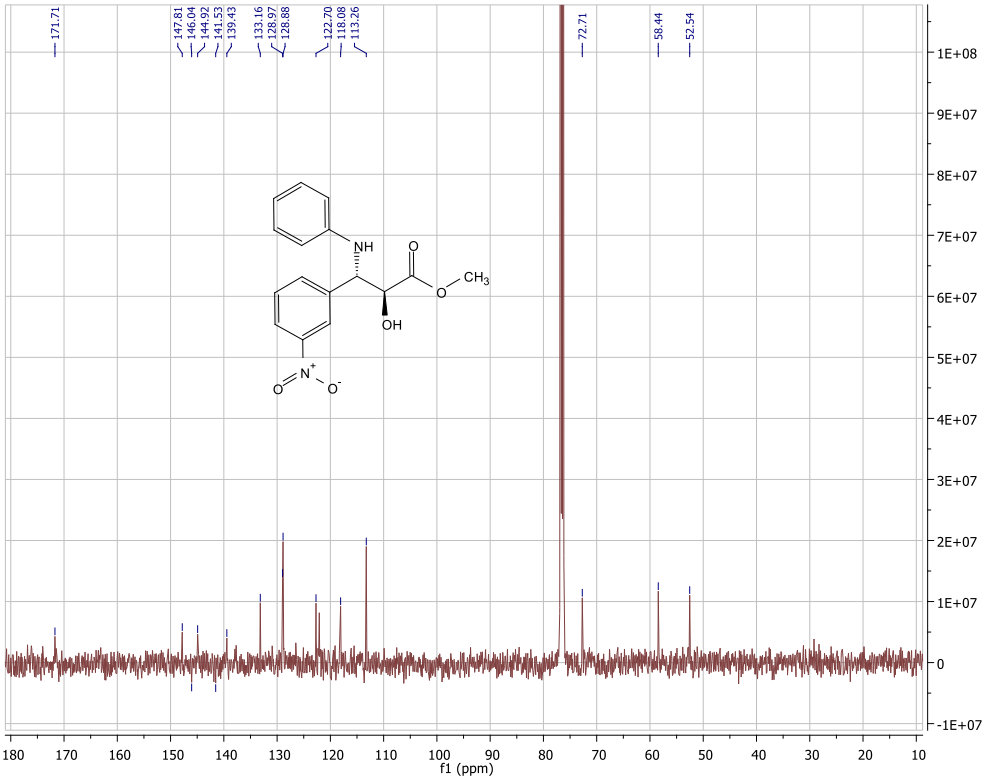
(2*S*,3*S*)-methyl 3-(3-fluorophenyl)-2-hydroxy-3-(phenylamino)propanoate



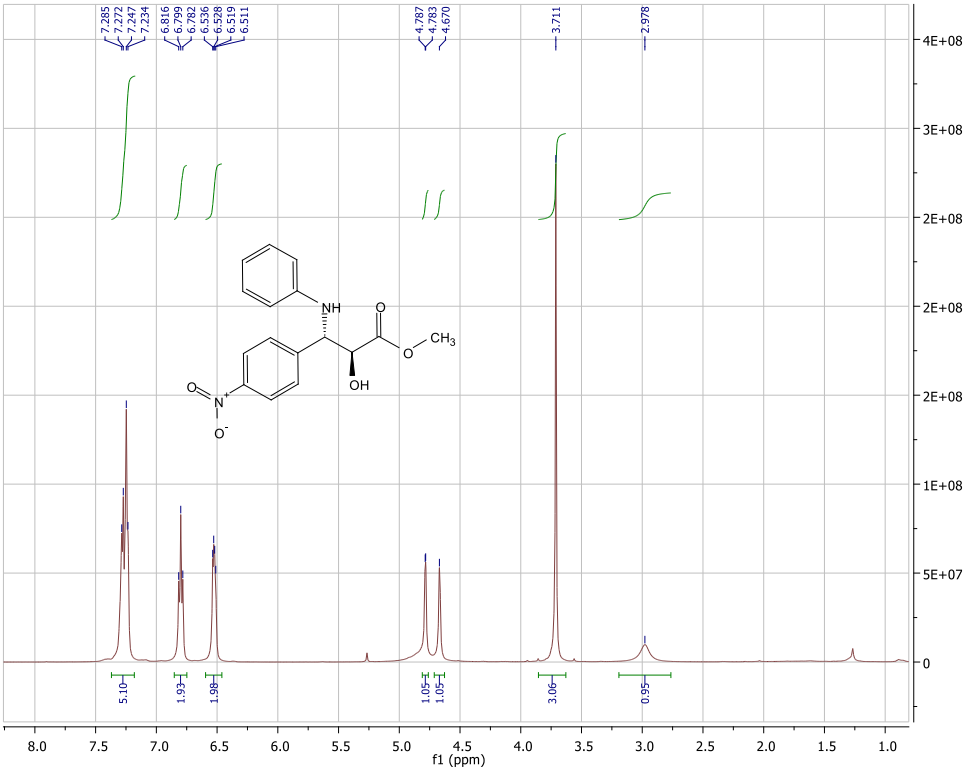


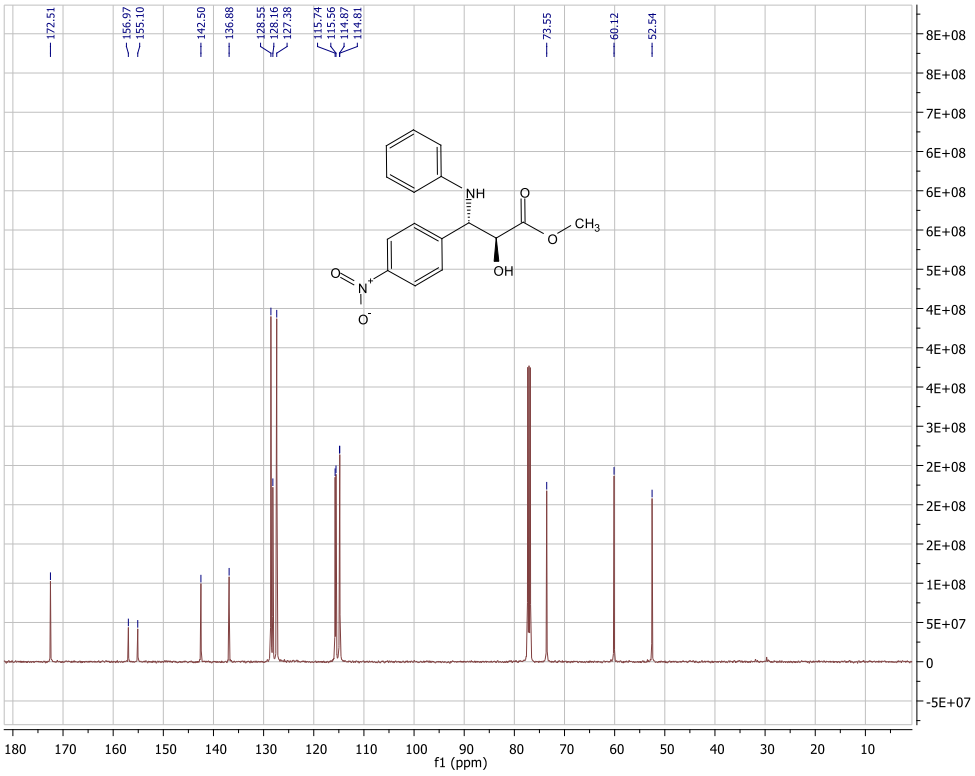
(2*S*,3*S*)-methyl 2-hydroxy-3-(3-nitrophenyl)-3-(phenylamino)propanoate



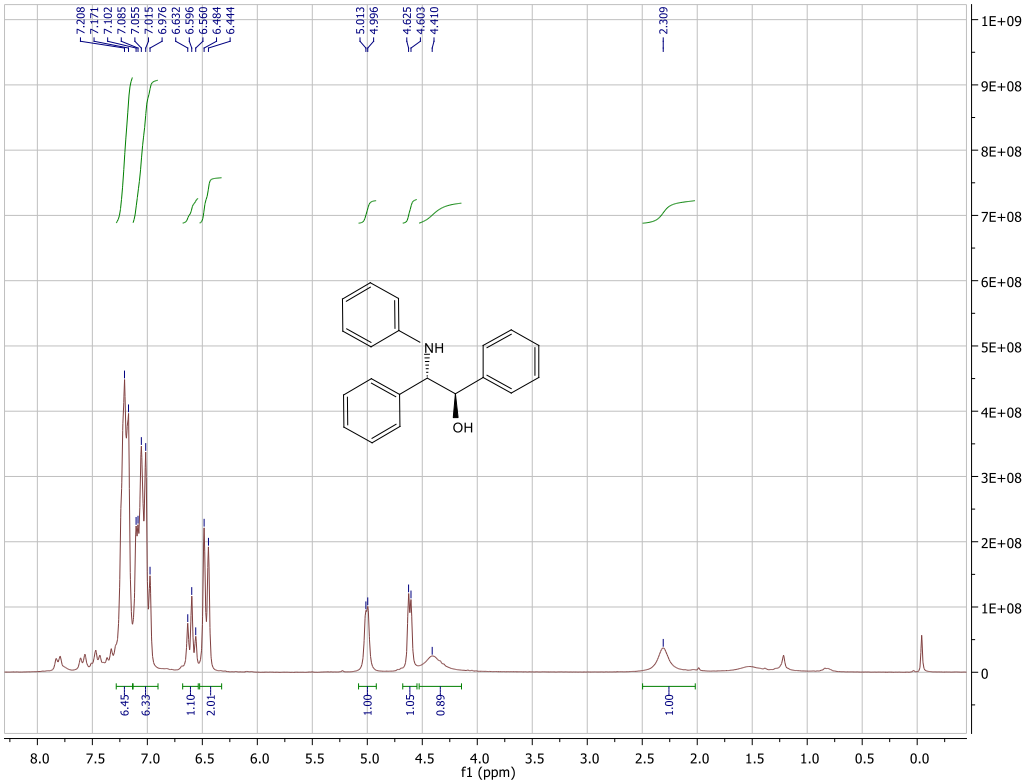


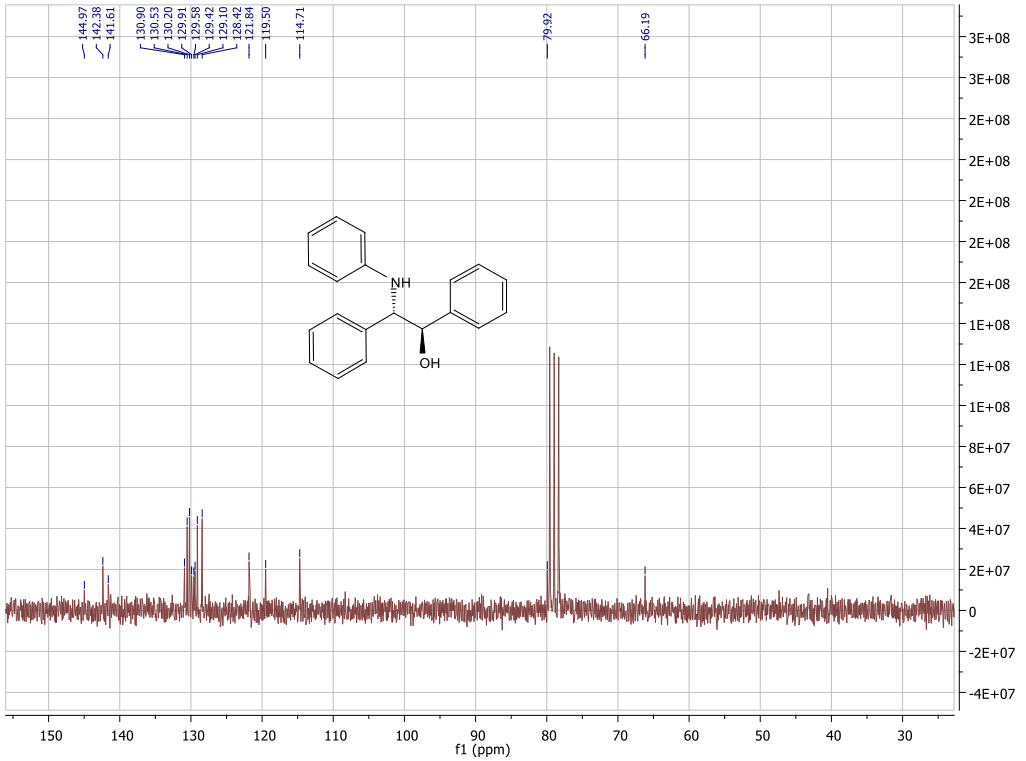
(2*S*,3*S*)-methyl 2-hydroxy-3-(4-nitrophenyl)-3-(phenylamino)propanoate



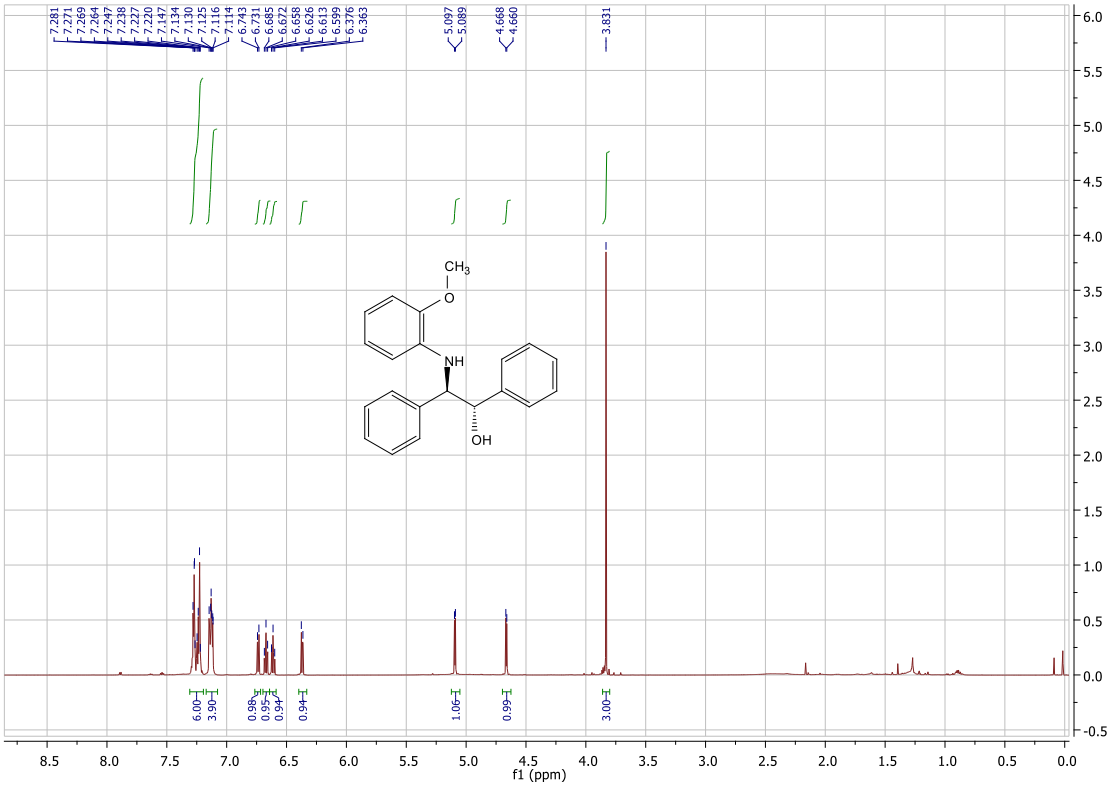


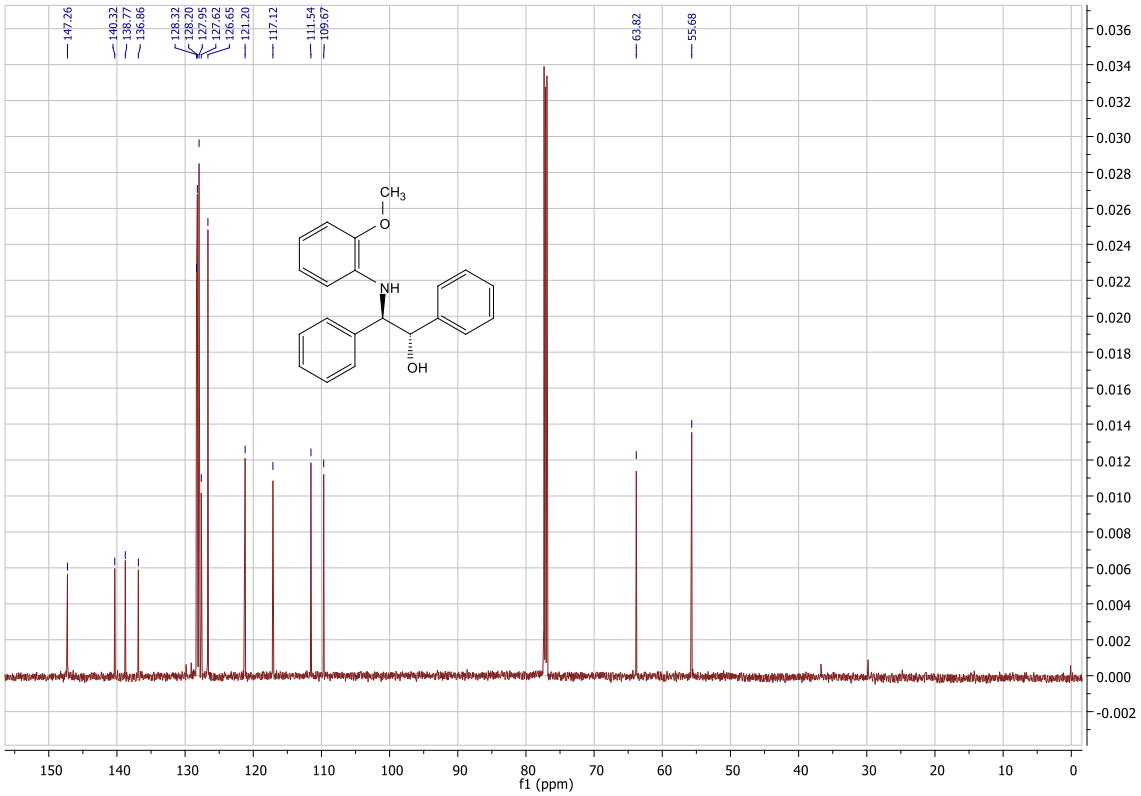
(1*R*,2*S*)-1,2-diphenyl-2-(phenylamino)ethanol



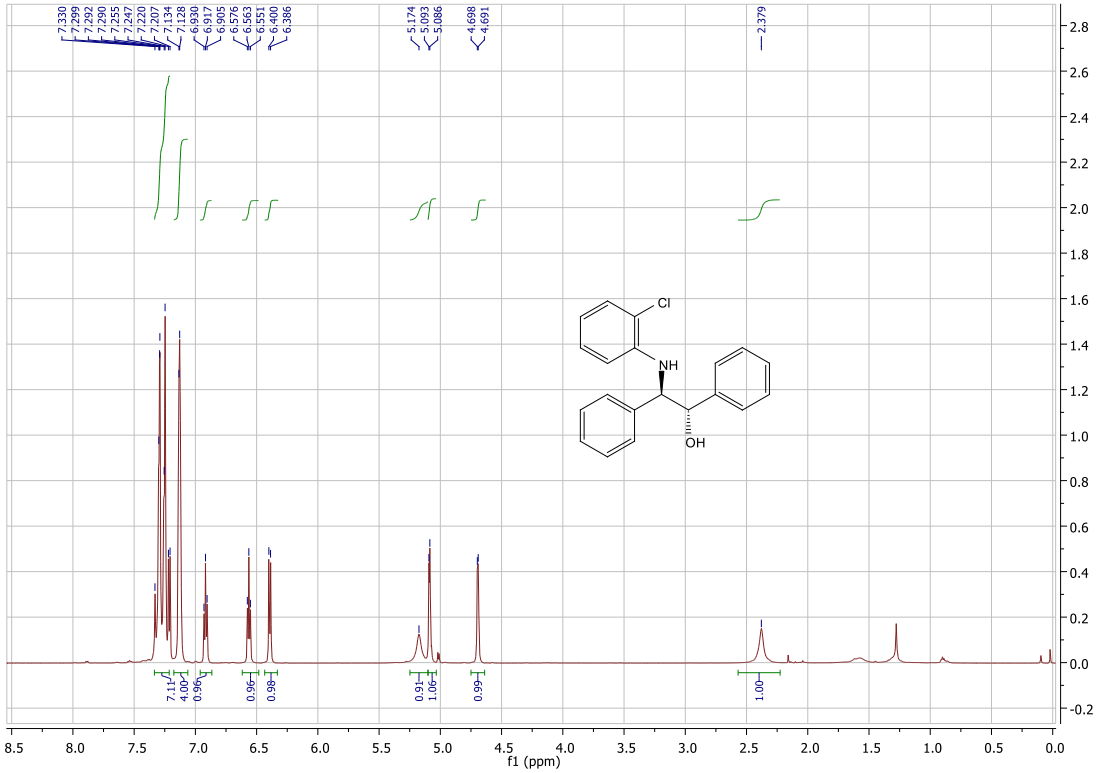


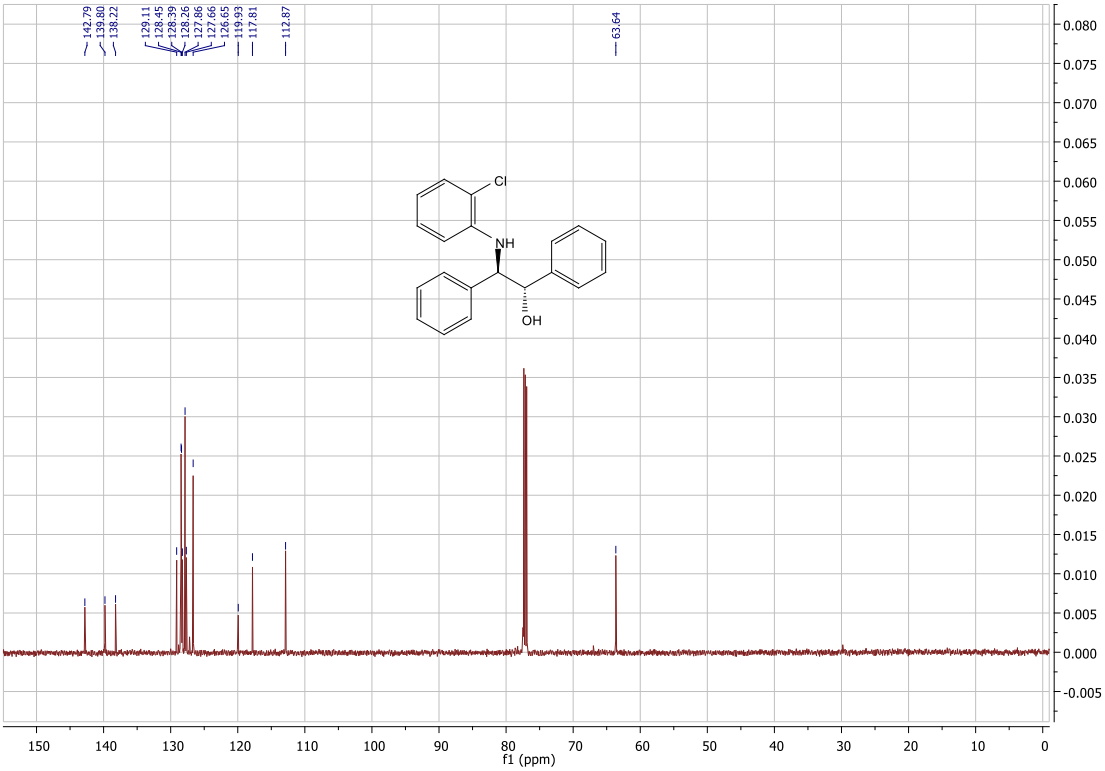
(1*R*,2*S*)-2-((2-methoxyphenyl)amino)-1,2-diphenylethan-1-ol



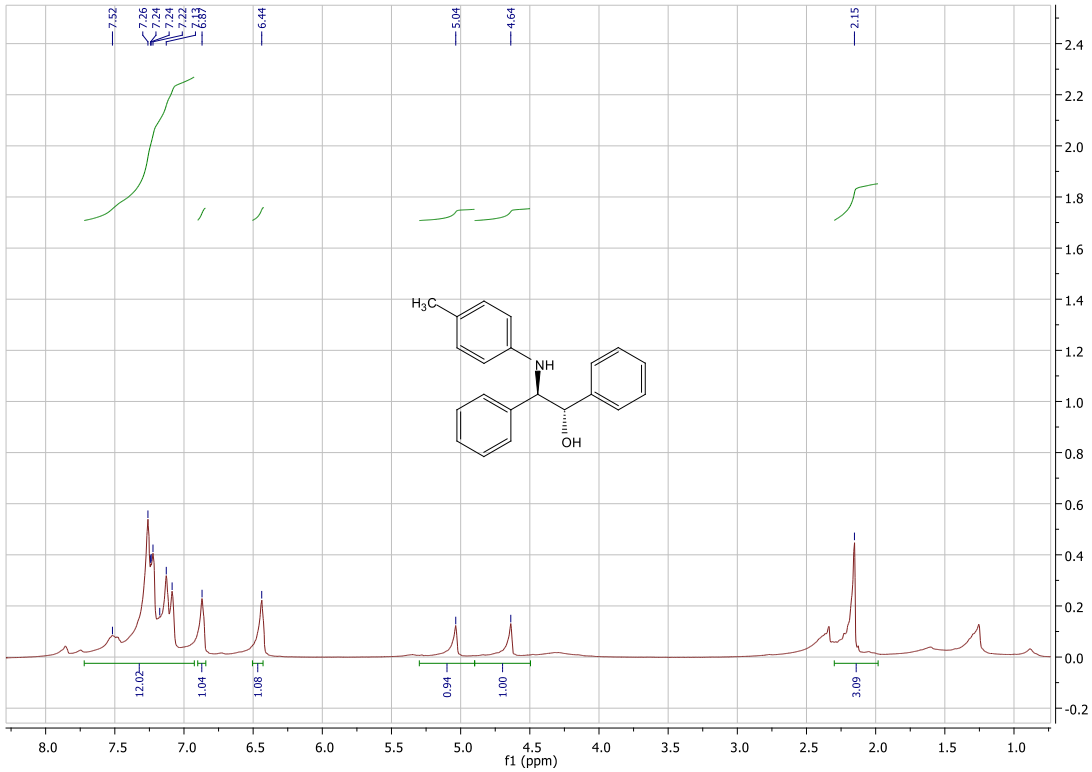


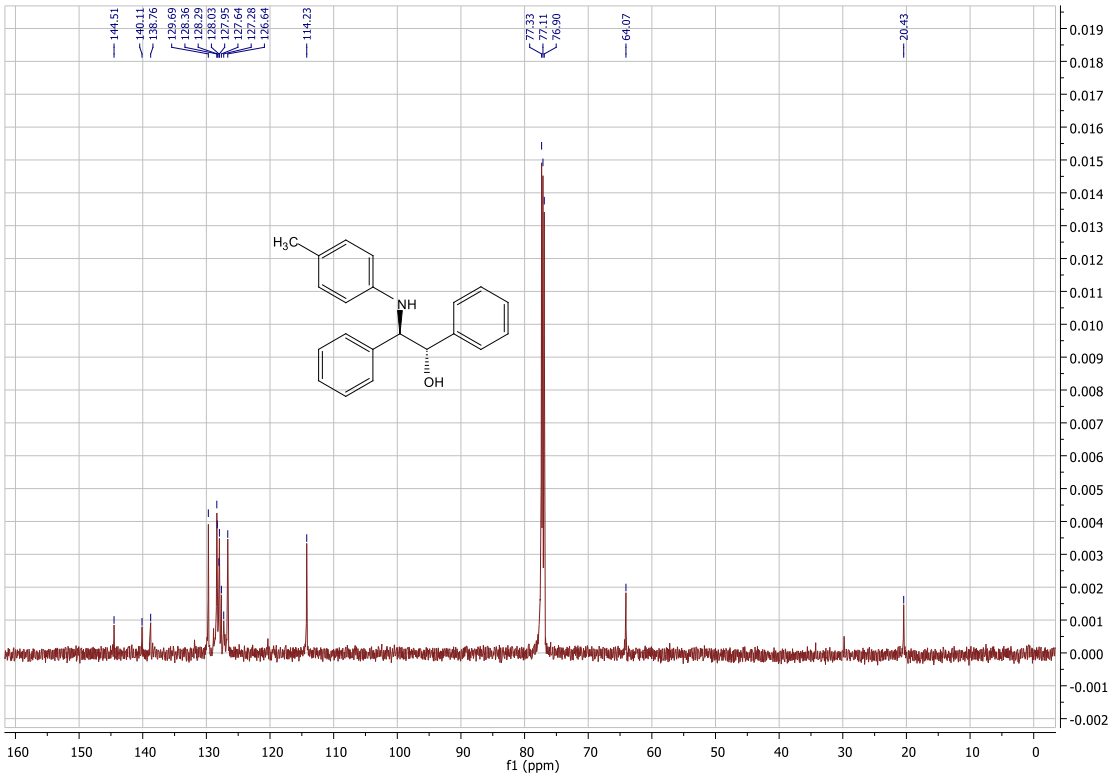
(1*R*,2*S*)-2-((2-chlorophenyl)amino)-1,2-diphenylethan-1-ol



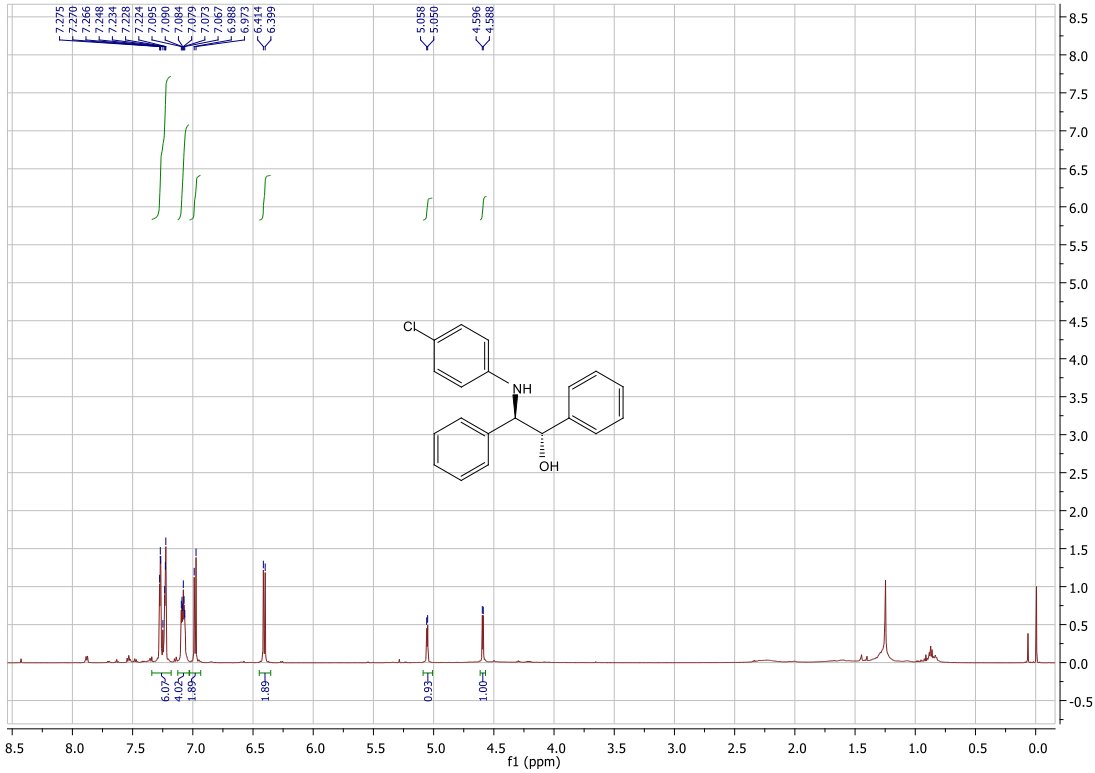


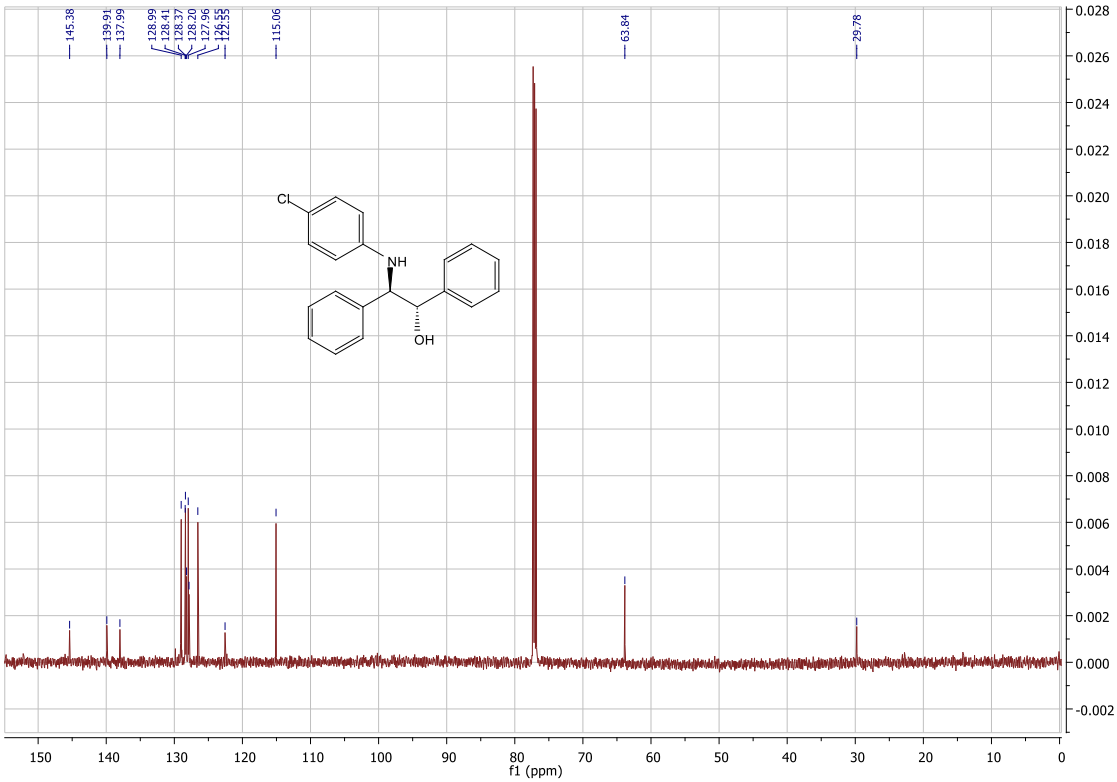
(1*R*,2*S*)-1,2-diphenyl-2-(p-tolylamino)ethan-1-ol:



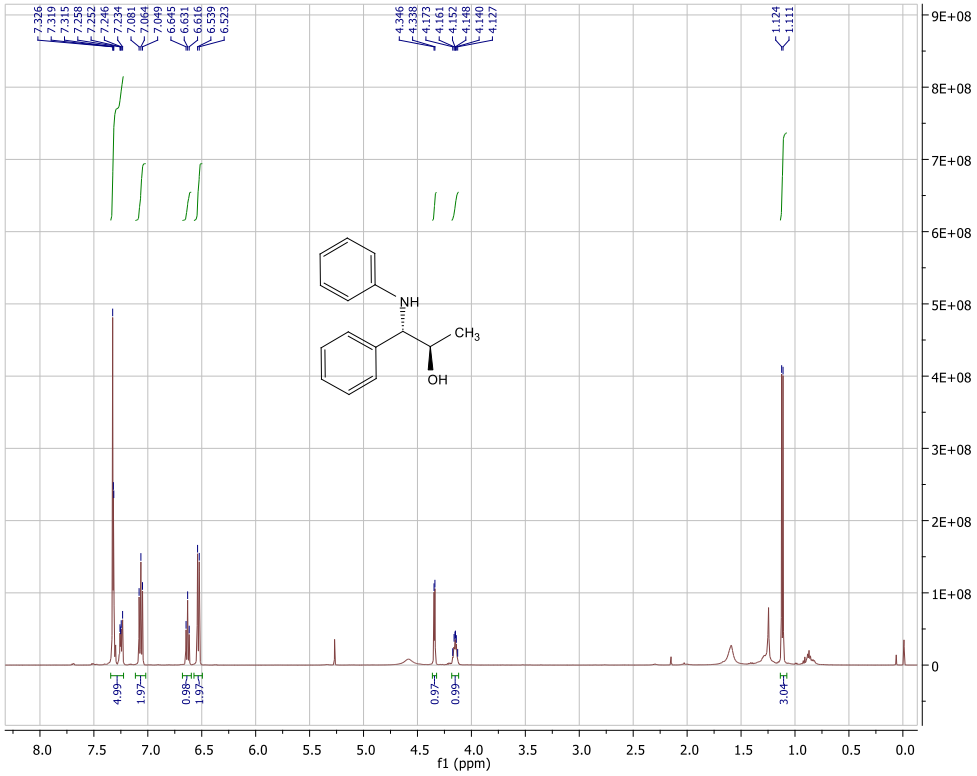


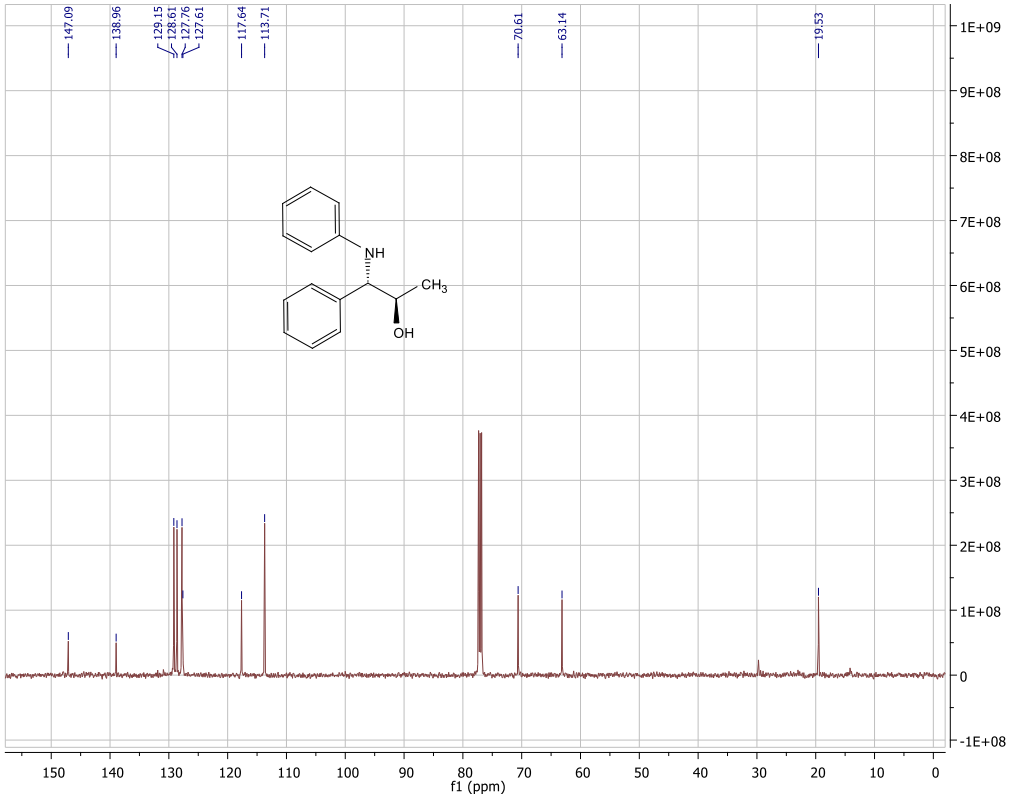
(1*R*,2*S*)-2-((4-chlorophenyl)amino)-1,2-diphenylethan-1-ol:



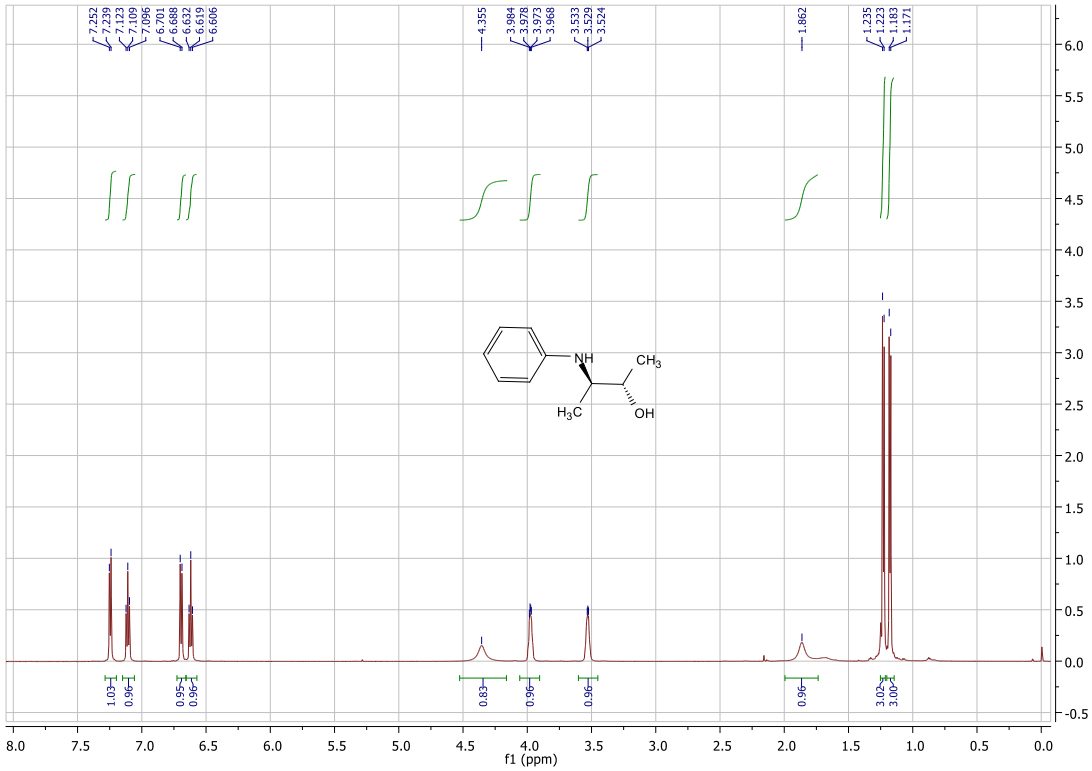


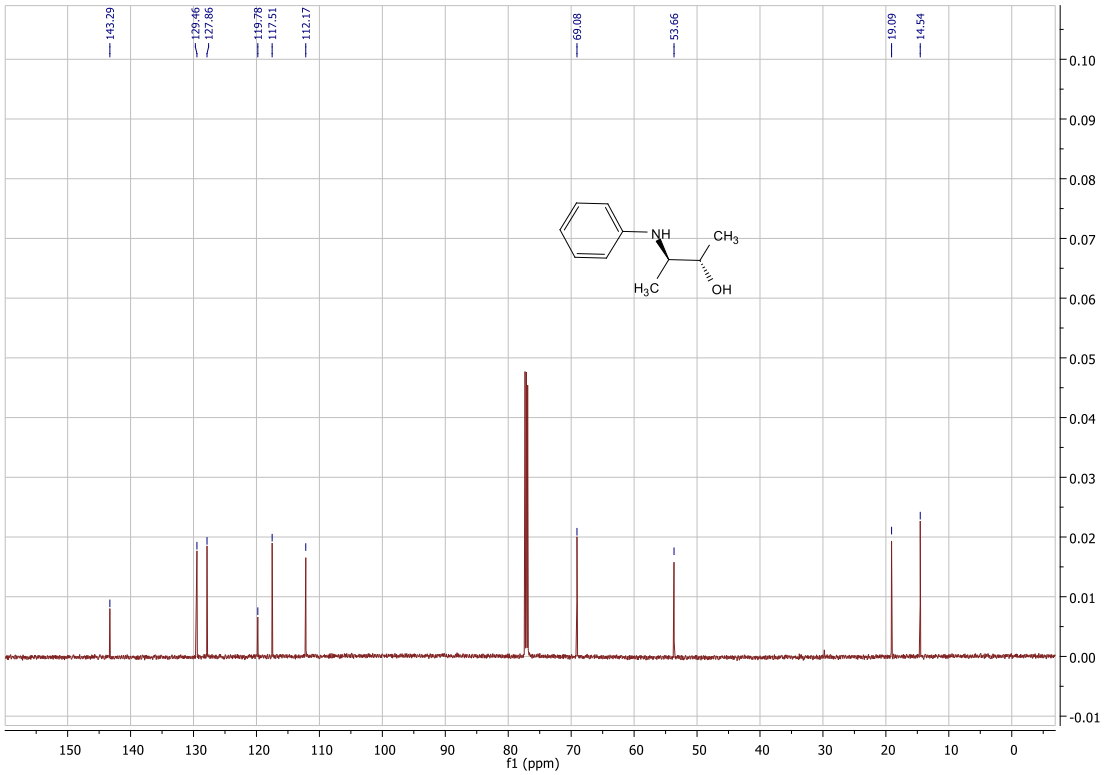
(1*R*,2*S*)-1-phenyl-1-(phenylamino) propan-2-ol



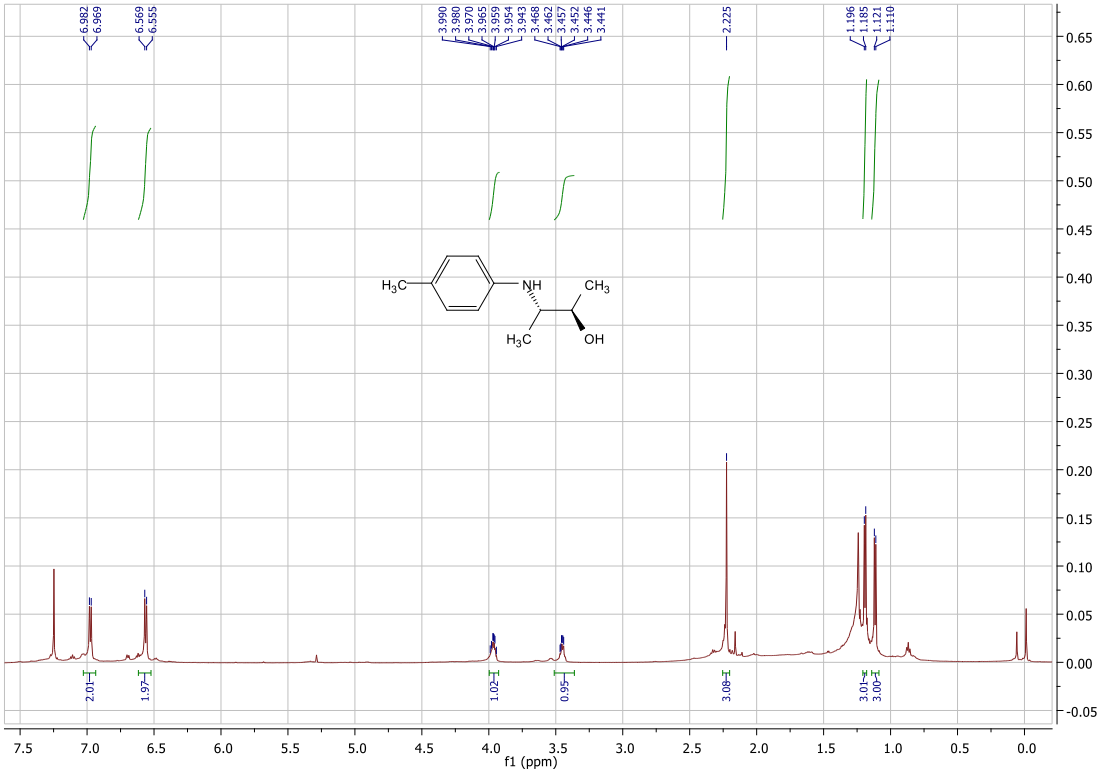


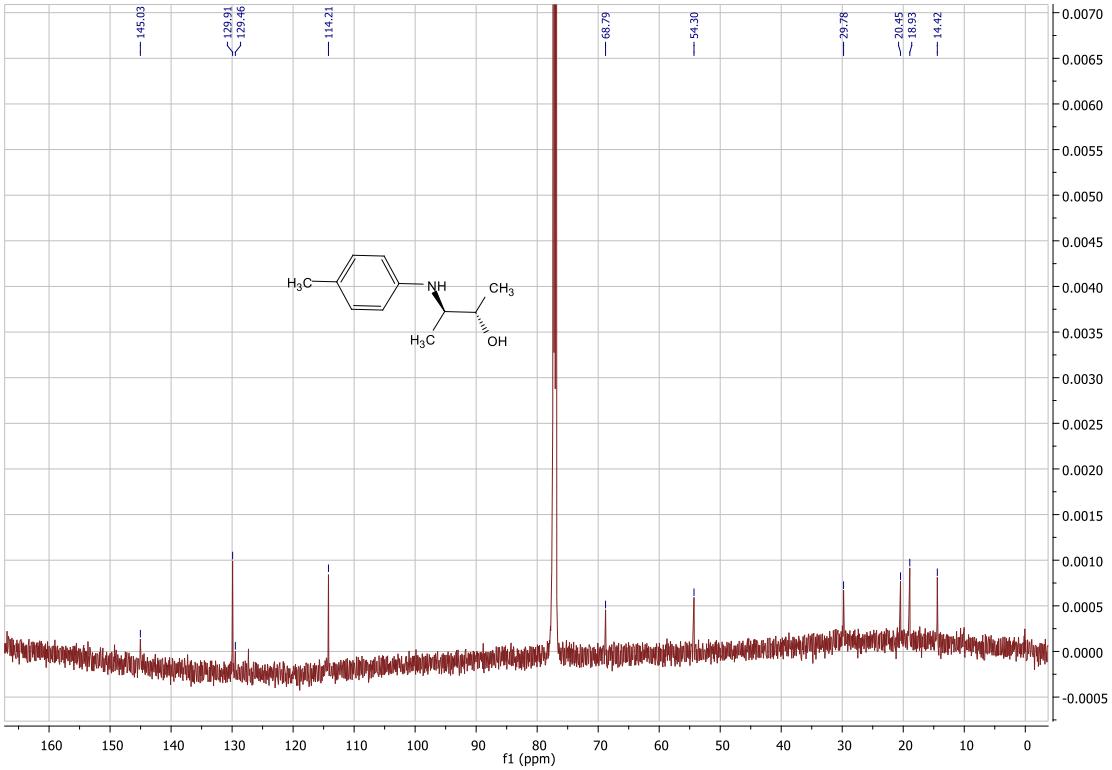
(2*R*,3*S*)-3-(phenyl)amino)butan-2-ol



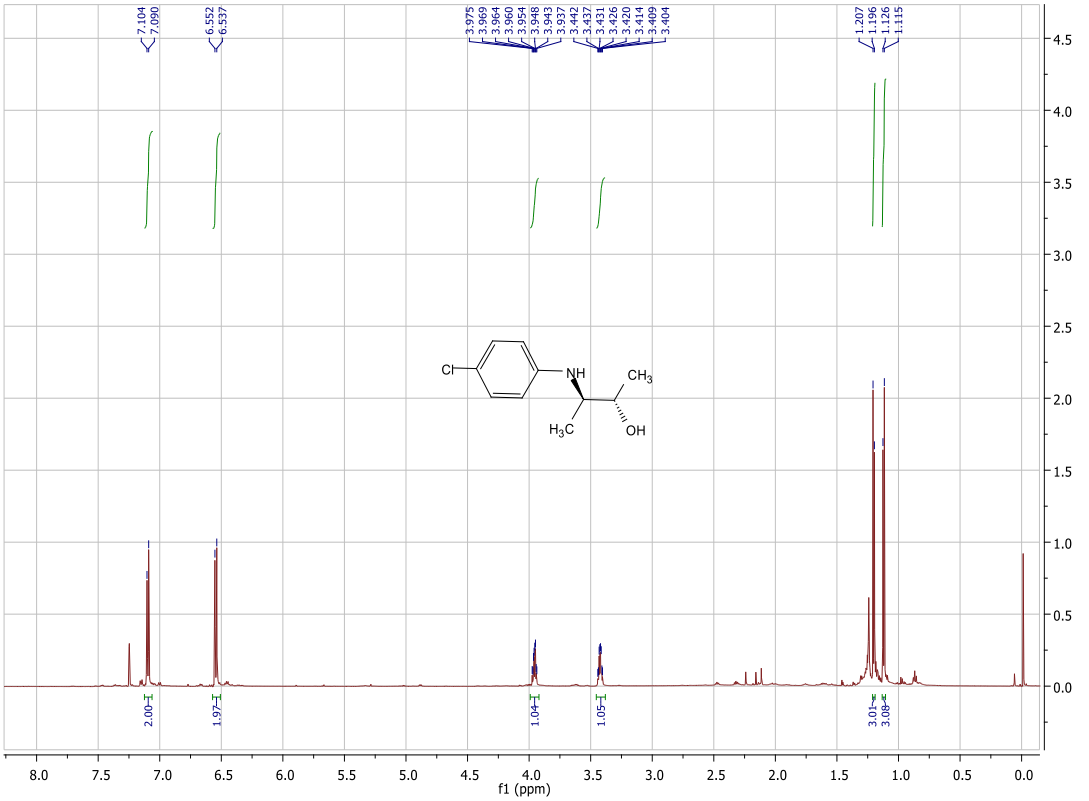


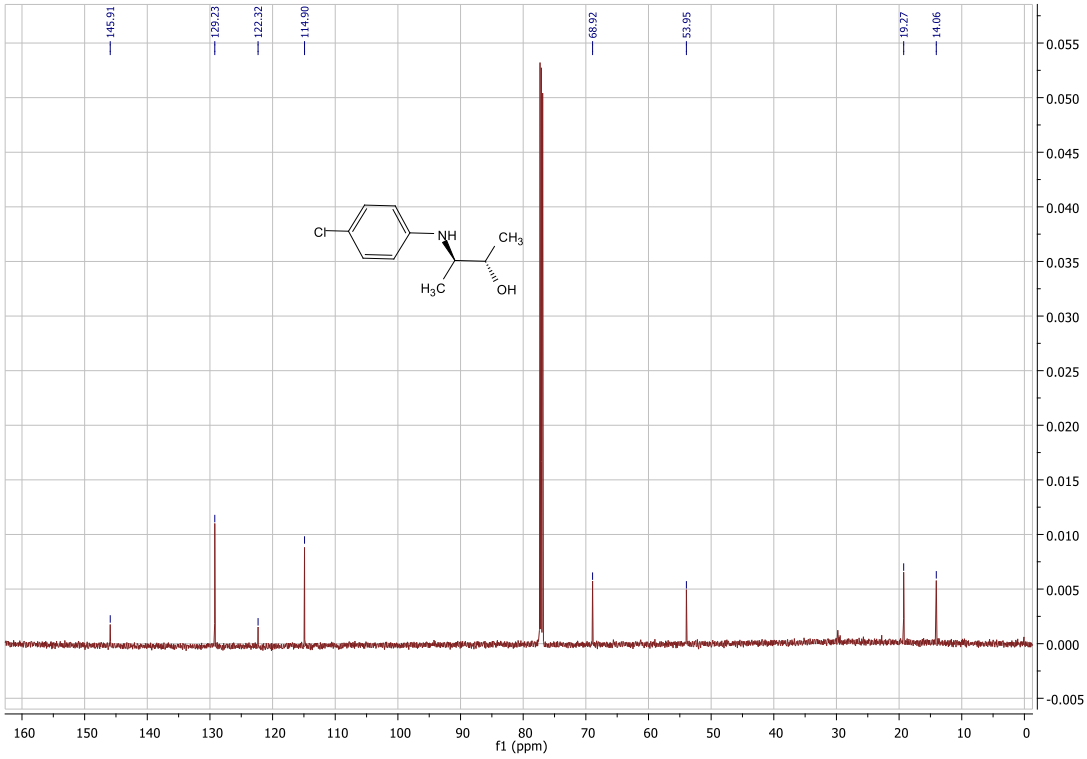
(2*R*,3*S*)-3-(p-tolylamino)butan-2-ol



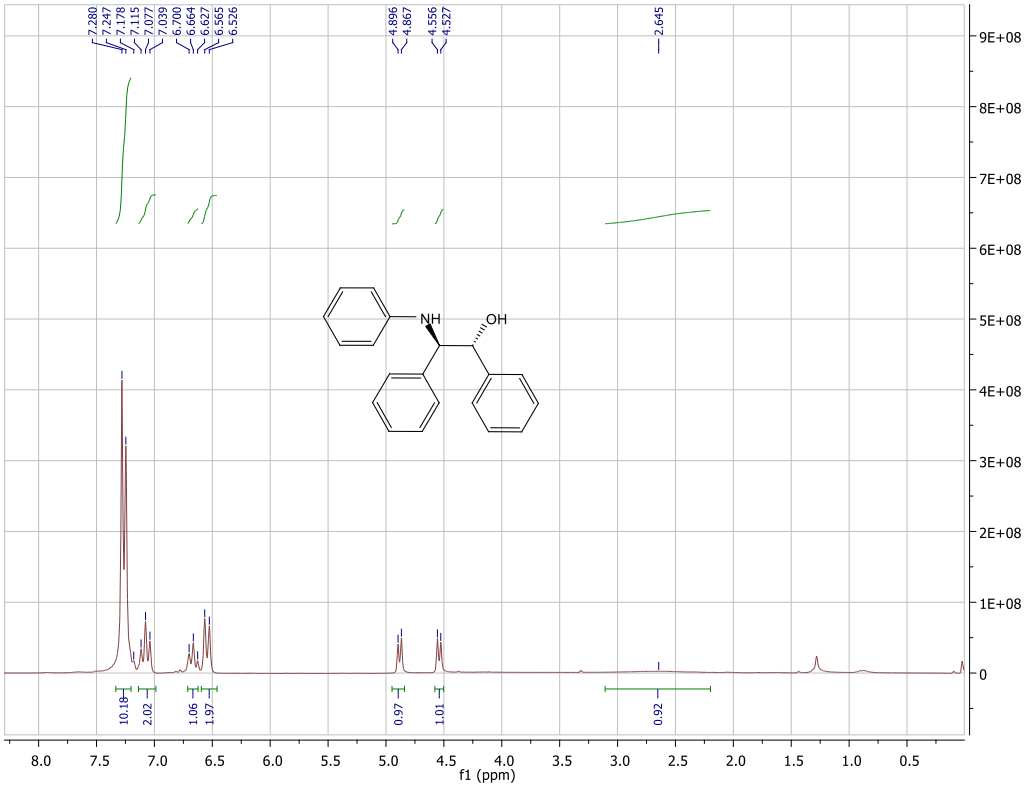


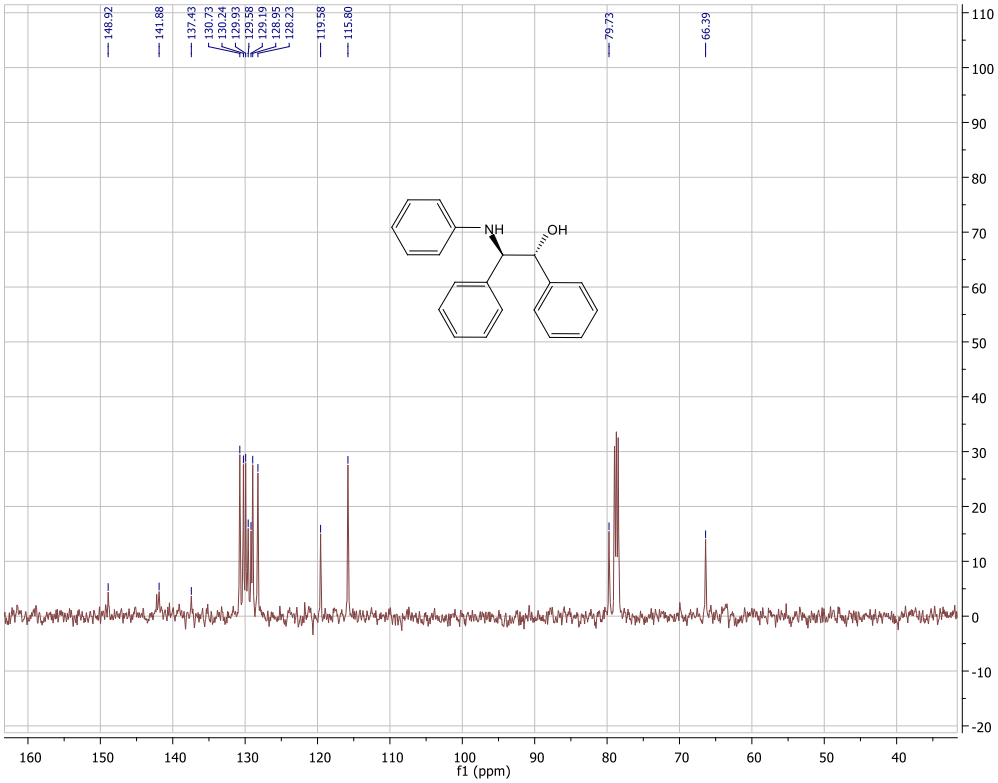
(2*R*,3*S*)-3-((4-chlorophenyl)amino)butan-2-ol



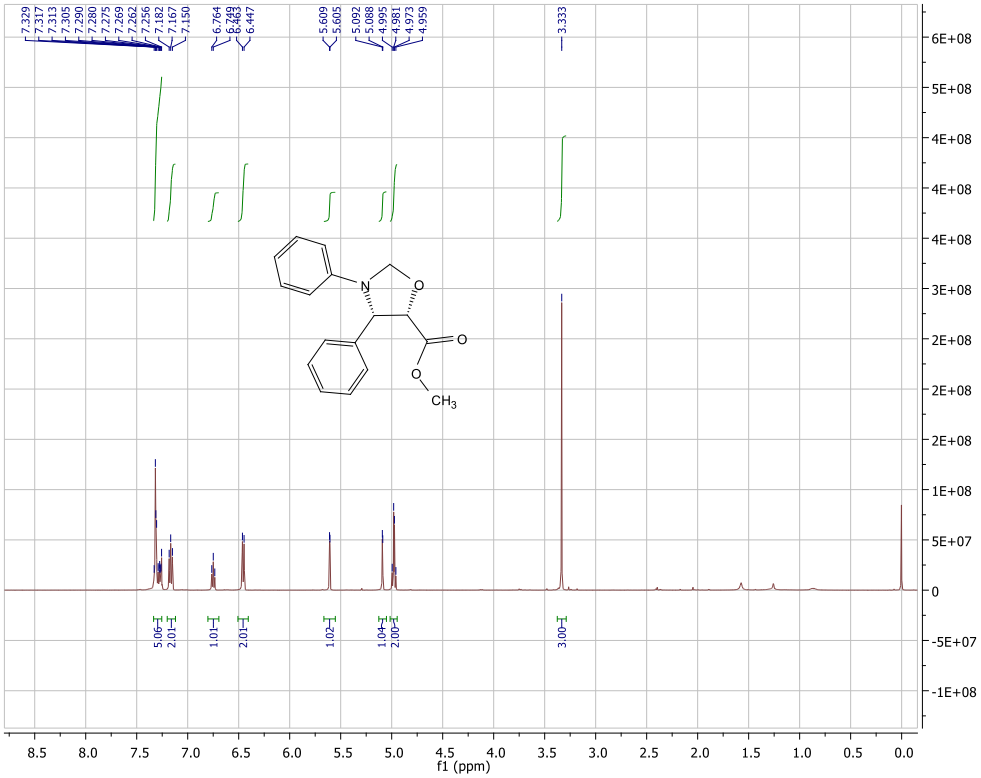


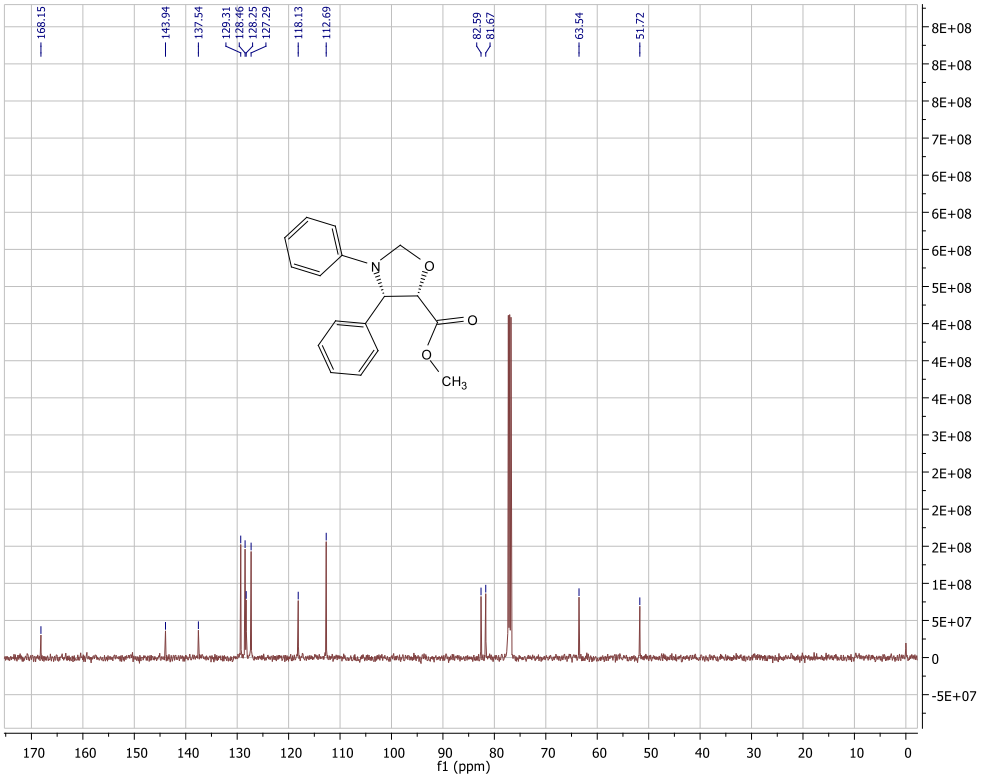
(1*R*,2*R*)-1,2-diphenyl-2-(phenylamino)ethanol



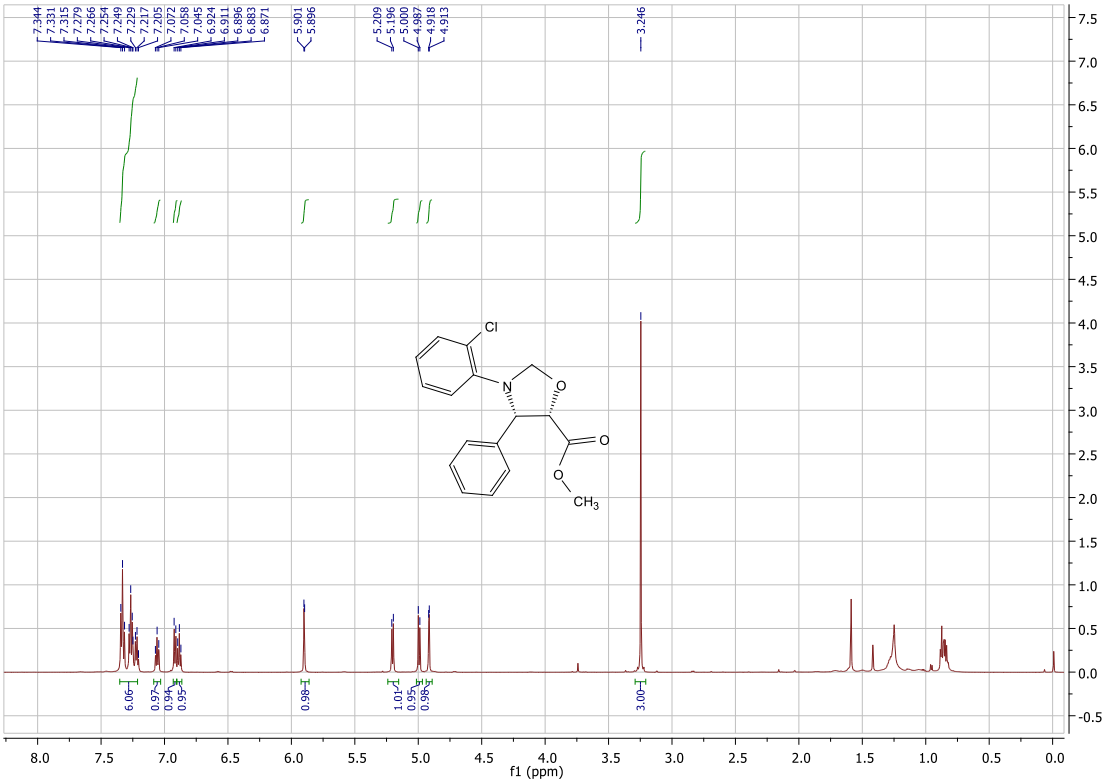


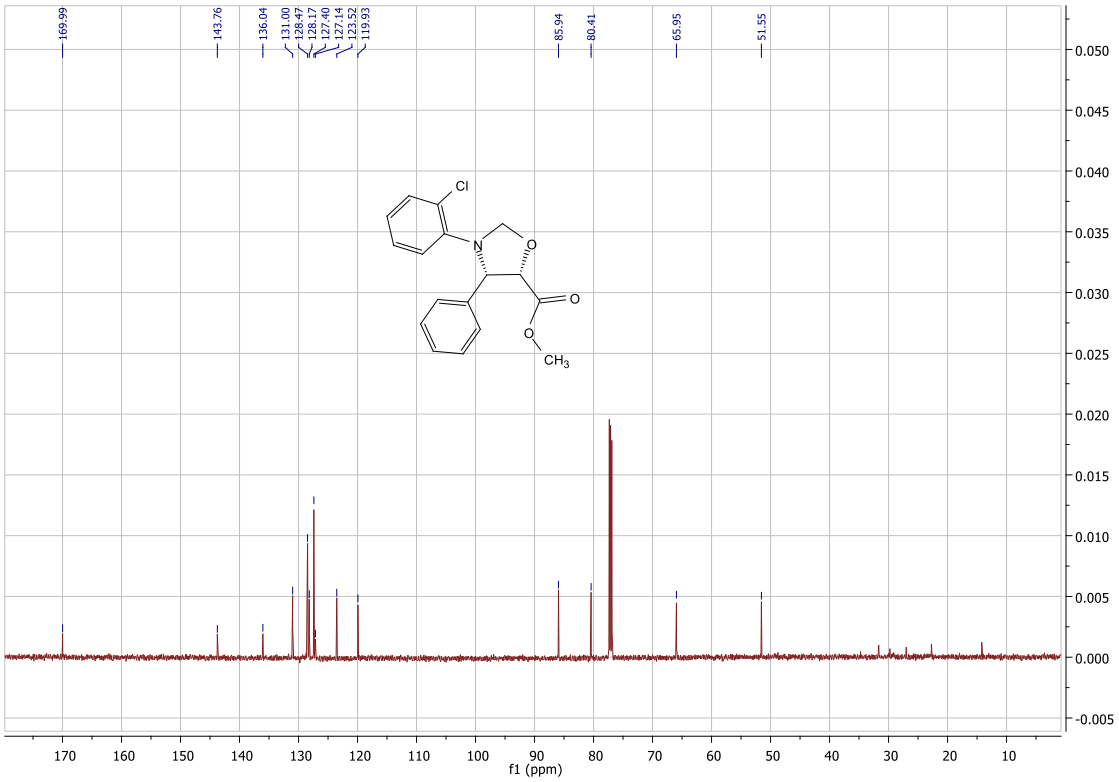
(4*S*,5*S*)-methyl 3,4-diphenyloxazolidine-5-carboxylate





(4*S*,5*S*)-methyl 3-(2-chlorophenyl)-4-phenyloxazolidine-5-carboxylate





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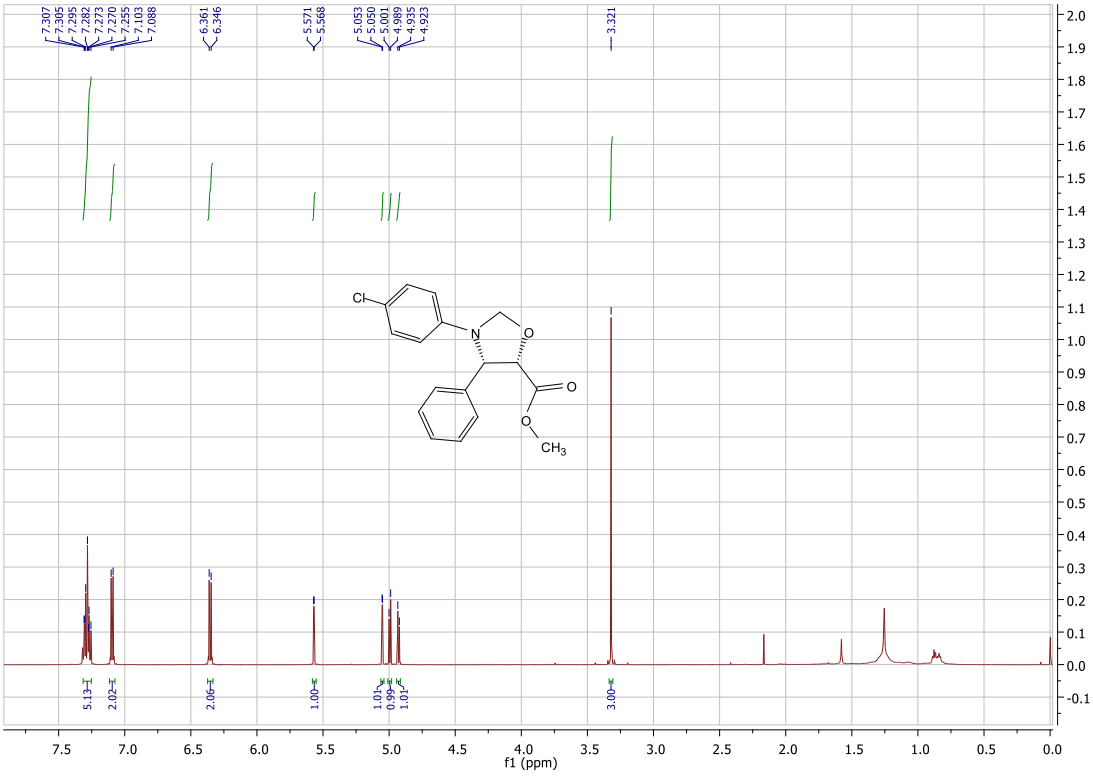
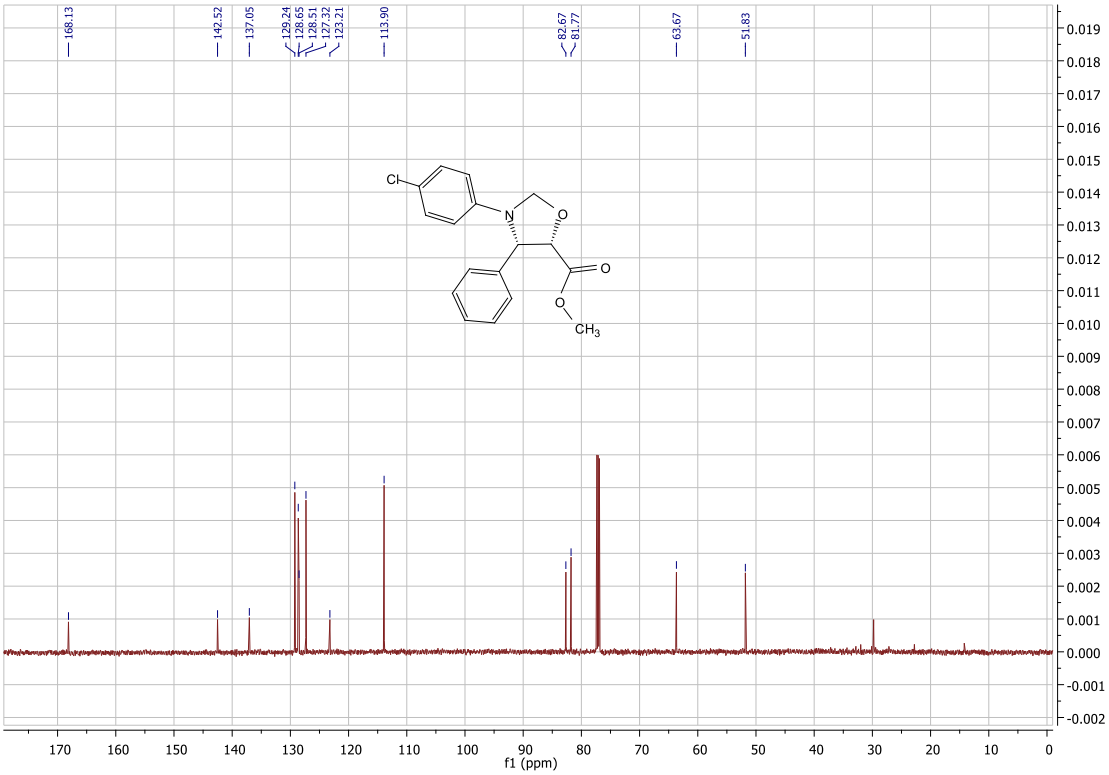
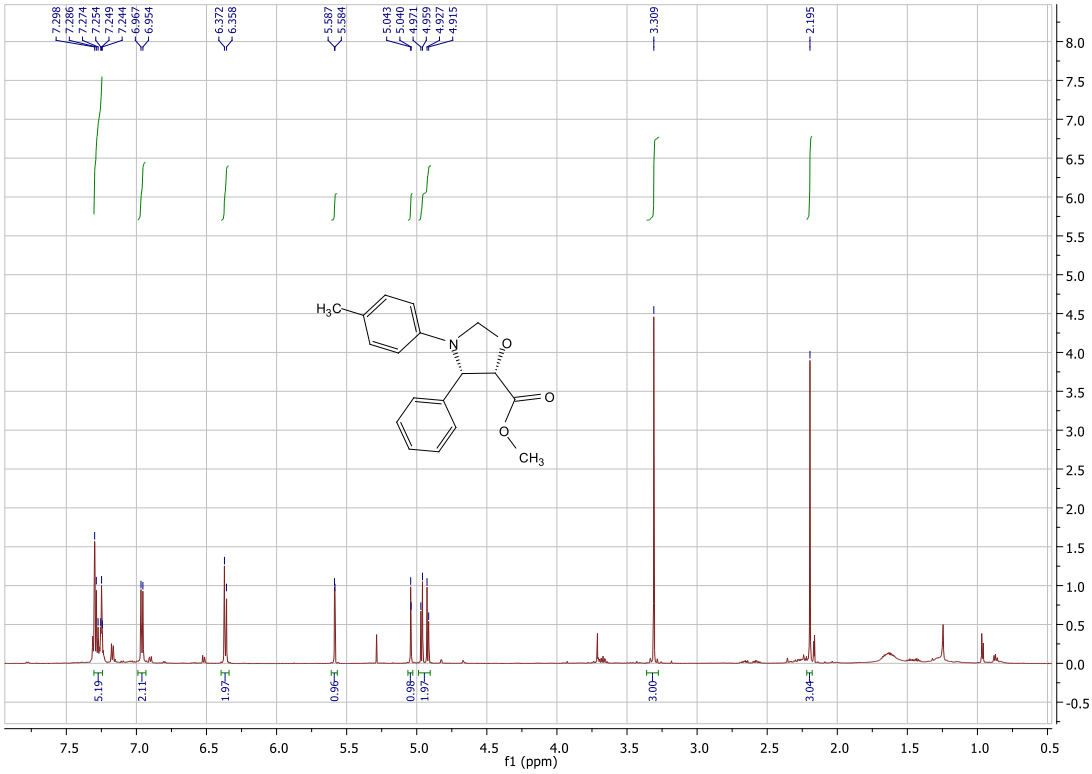
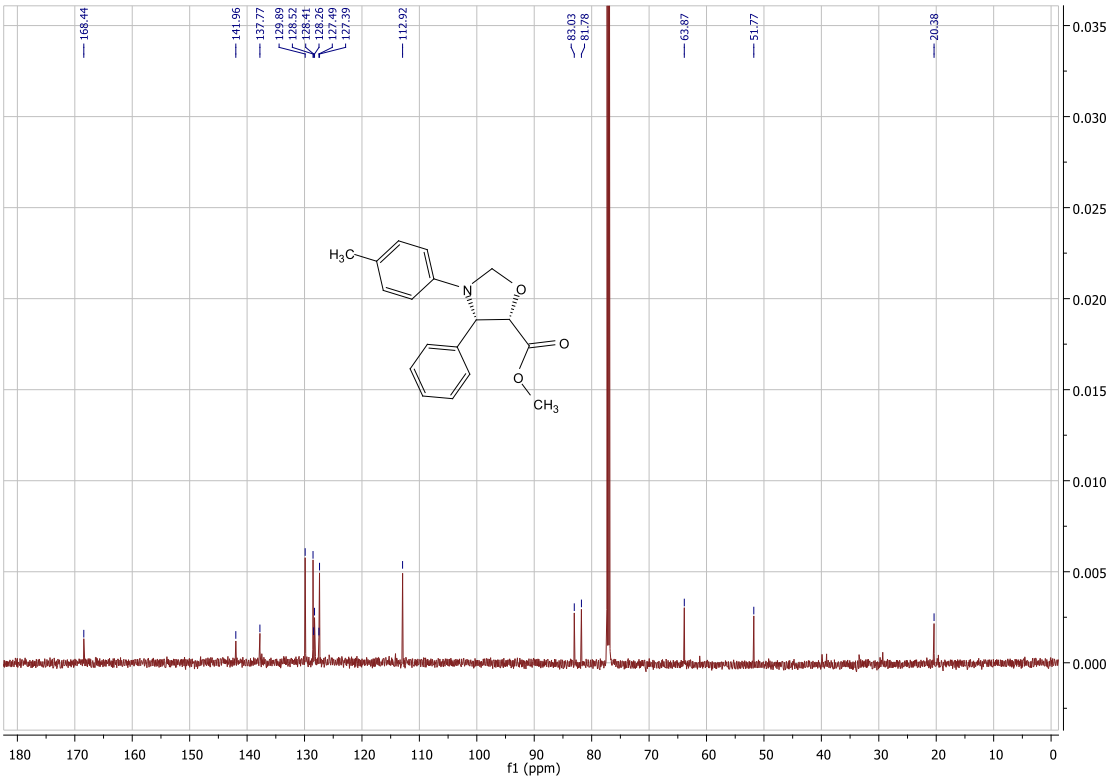


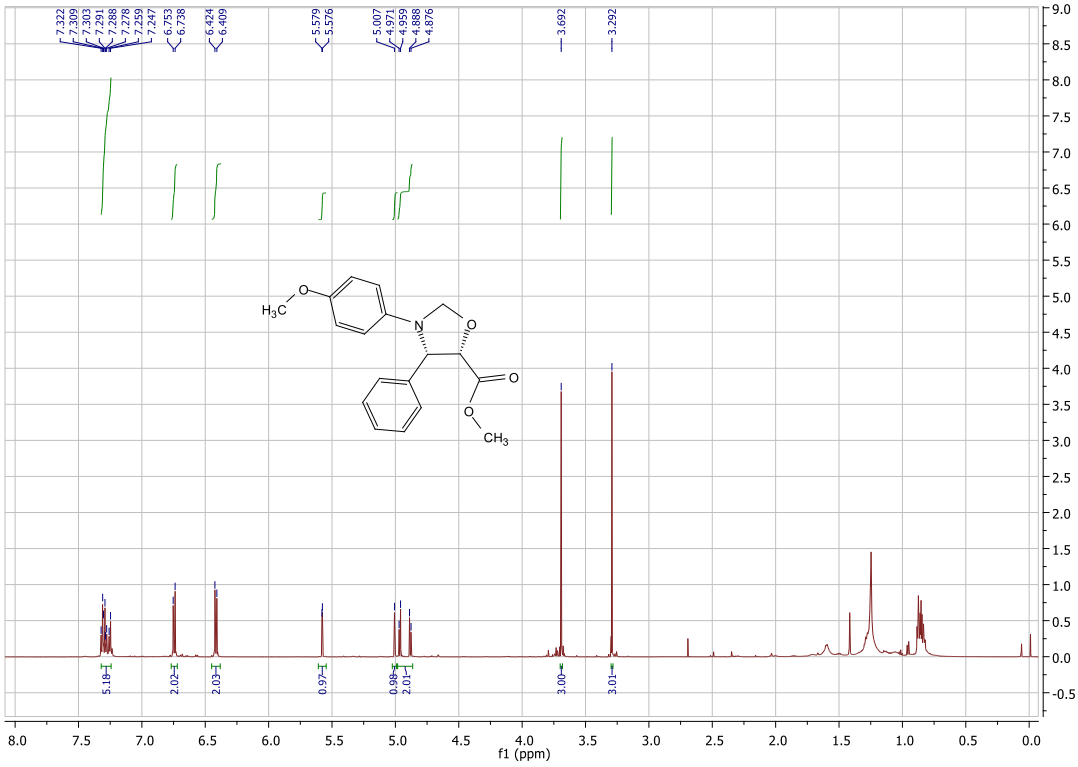


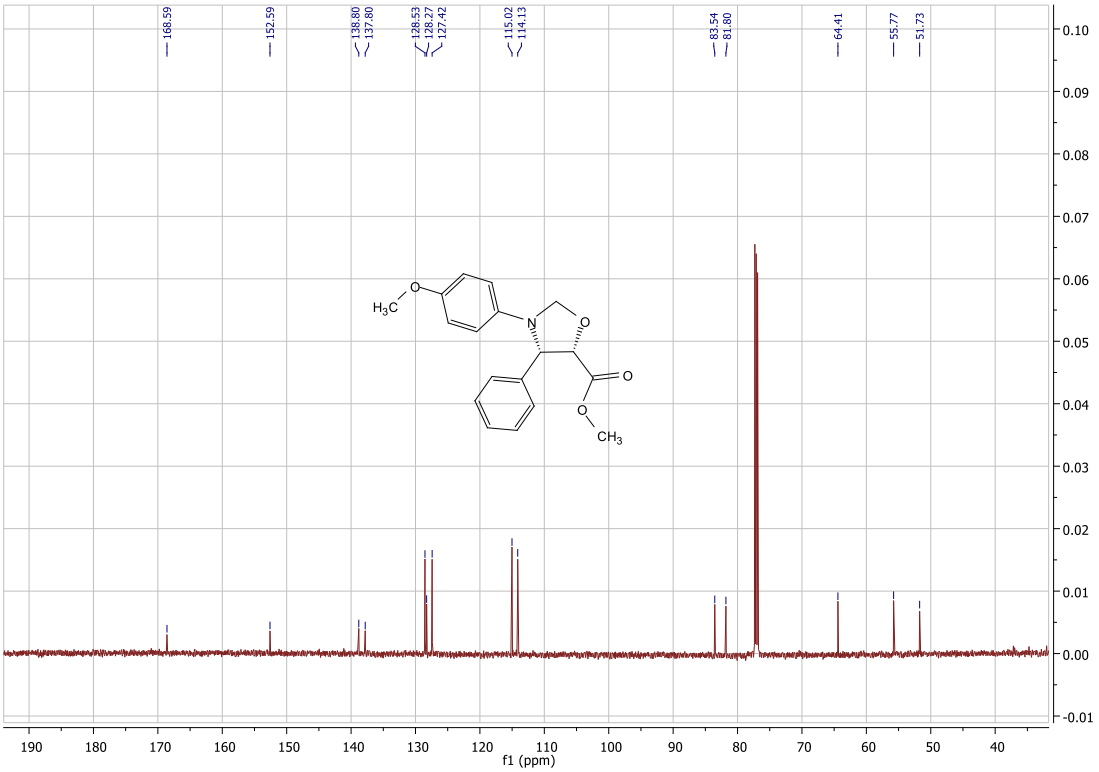
(4*S*,5*S*)-methyl 4-phenyl-3-(p-tolyl)oxazolidine-5-carboxylate



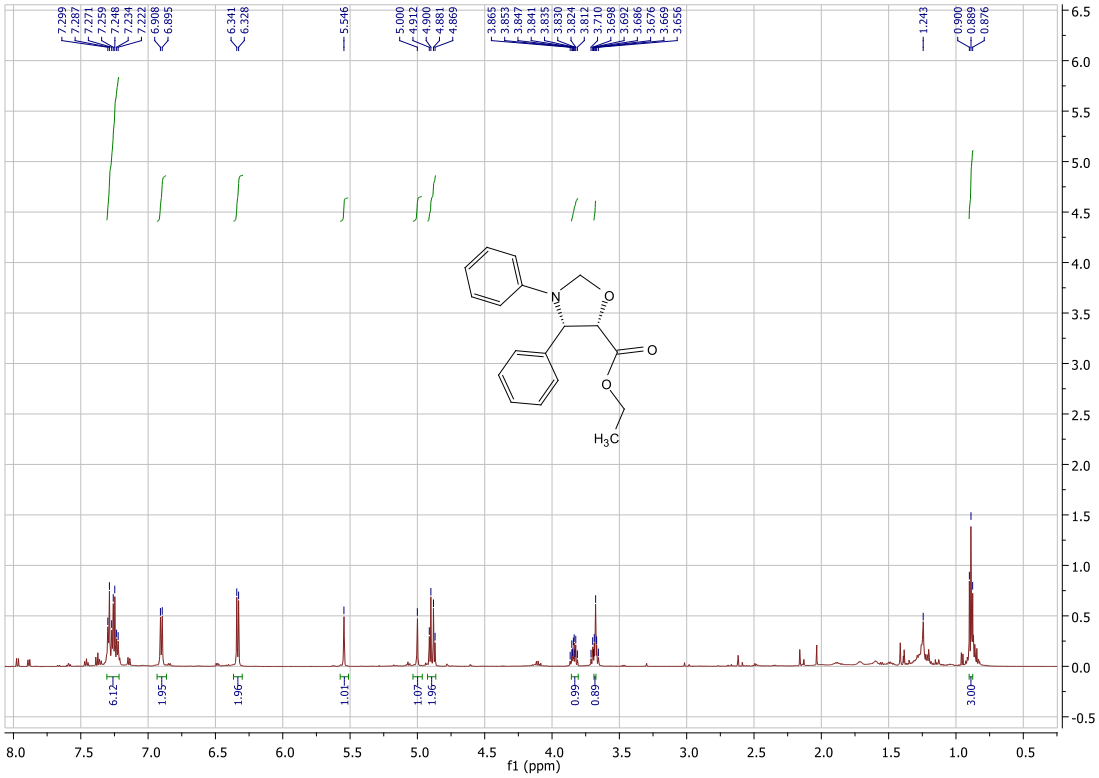


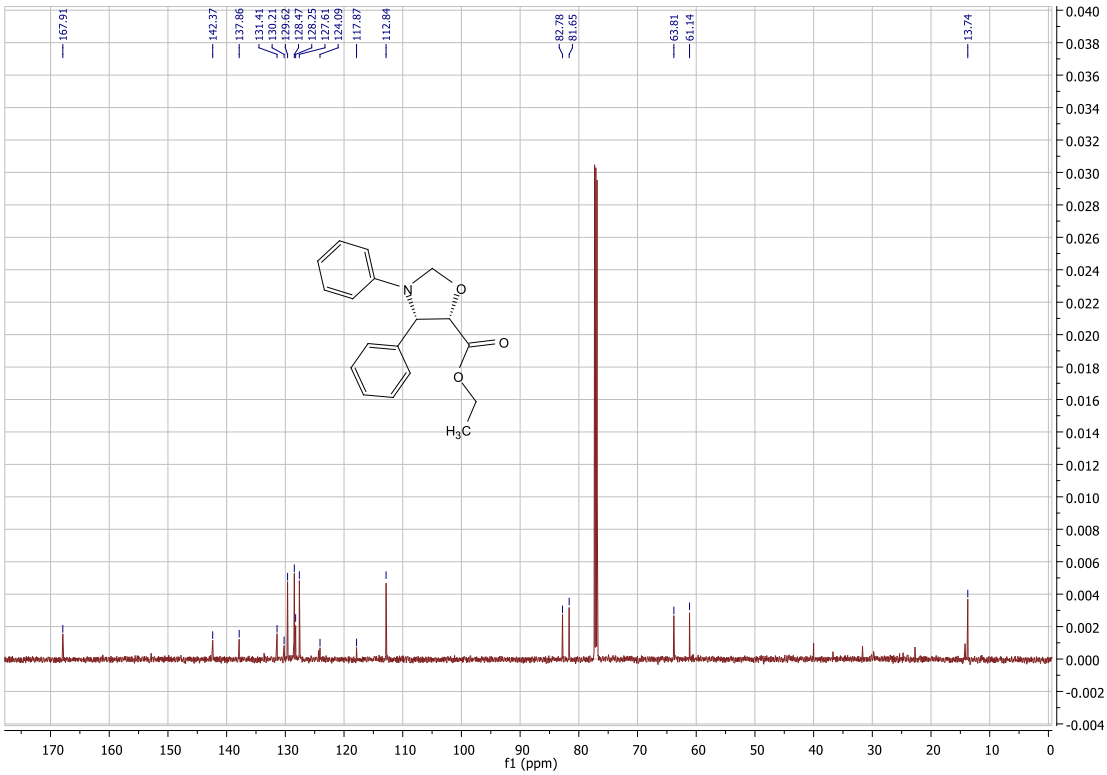
(4*S*,5*S*)-methyl 3-(4-methoxyphenyl)-4-phenyloxazolidine-5-carboxylate



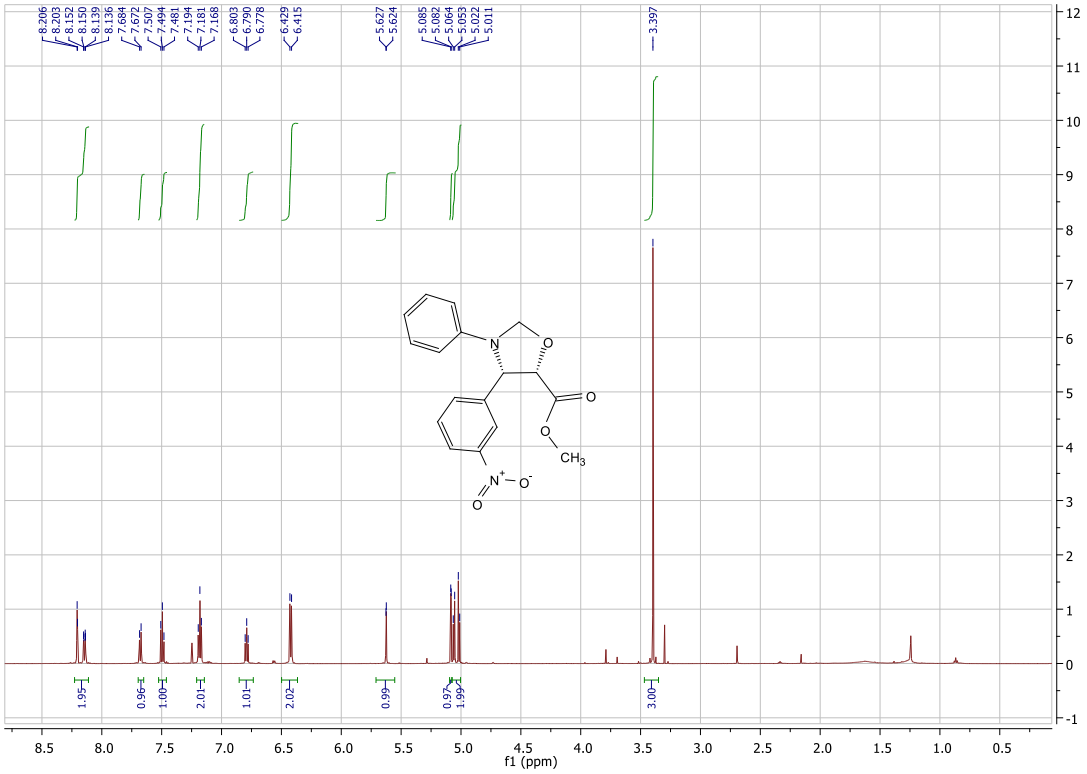


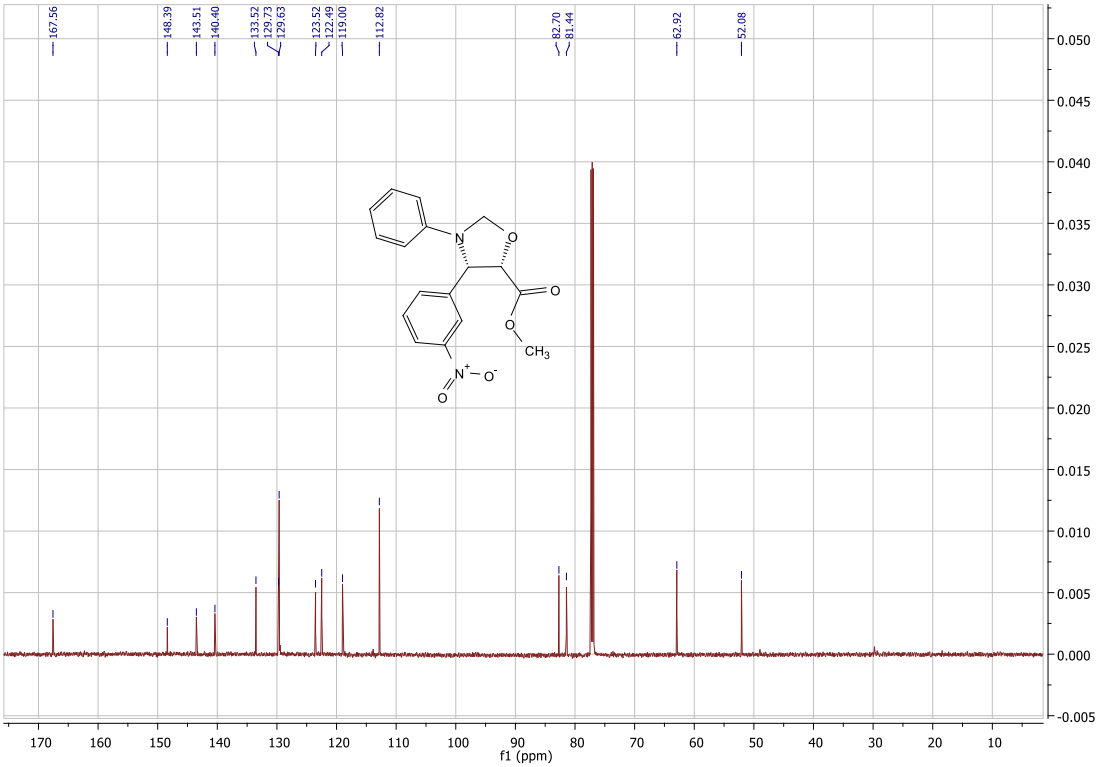
(4*S*,5*S*)-ethyl 3,4-diphenyloxazolidine-5-carboxylate



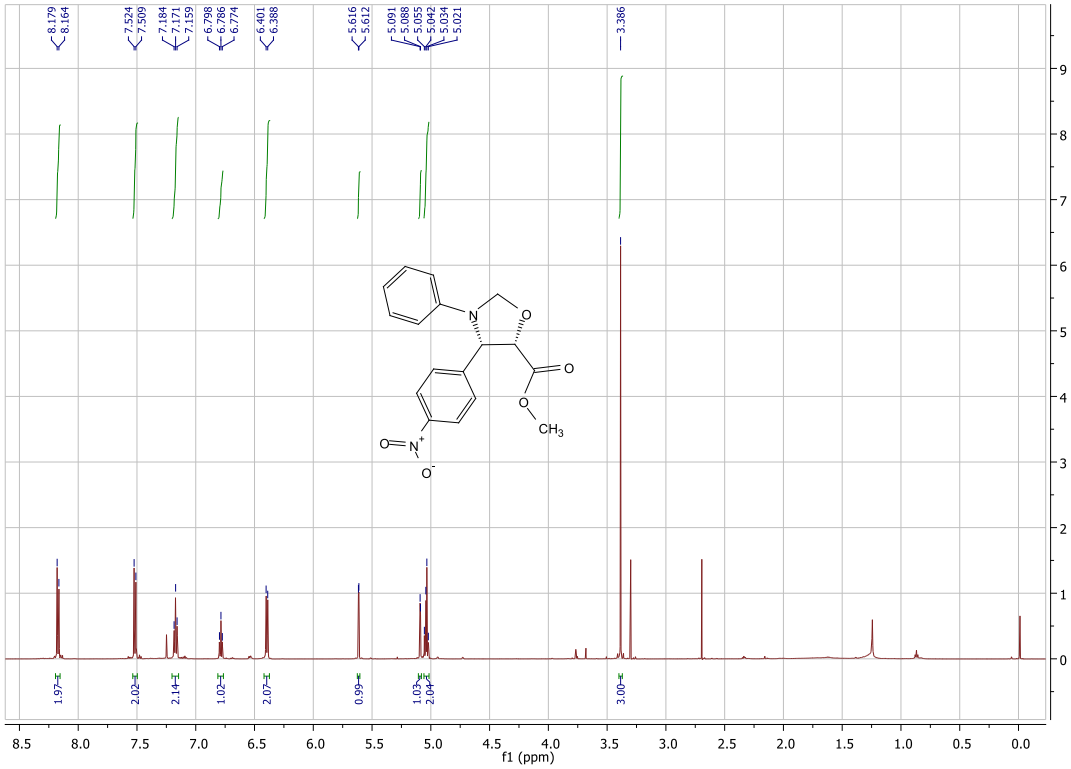


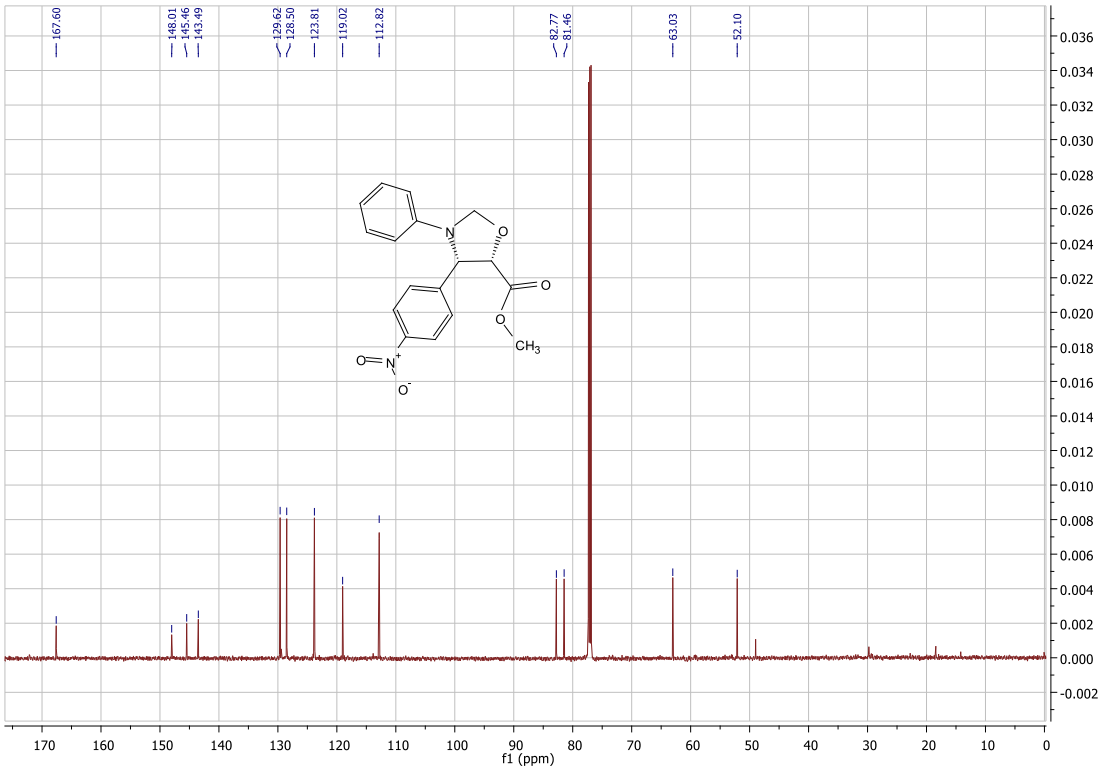
(4*S*,5*S*)-methyl 4-(3-nitrophenyl)-3-phenyloxazolidine-5-carboxylate





(4*S*,5*S*)-methyl 4-(4-nitrophenyl)-3-phenyloxazolidine-5-carboxylate





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2. R. Tak, M. Kumar, T. Menapara, N. Gupta, R. I. Kureshy, N. H. Khan, E. Suresh, *Adv. Synth. Catal.* **2017**, *359*, 3990-4001.
3. J. Wang, F. D. Rochon, Y. Yang, L. Hua, M. M. Kaysera, *Tetrahedron: Asymmetry* **2007**, *18*, 1115–1123.