

**Crab-shaped tridentate HoQ-Sulidines as bifunctional anionic ligands:
empowering asymmetric addition reactions of indoles with β,γ -unsaturated α -
ketoesters**

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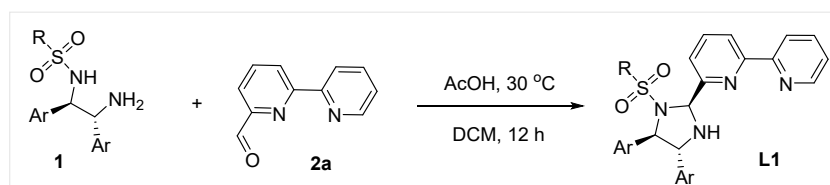
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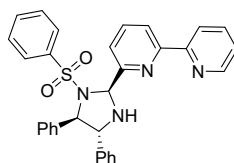
1. General information

Reactions were monitored by thin layer chromatography using UV light to visualize the course of reaction. Purification of reaction products was carried out by flash chromatography. ^1H and ^{13}C NMR spectra were obtained using a Bruker DPX-600 spectrometer. ^1H NMR chemical shifts are reported in ppm (δ) relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard. Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet), coupling constants (Hz) and integration. ^{13}C NMR chemical shifts are reported in ppm (δ) from tetramethylsilane (TMS) with the solvent resonance as the internal standard. Melting points were measured on an electrothermal digital melting point apparatus.

2. General procedure for preparation of chiral ligands L1

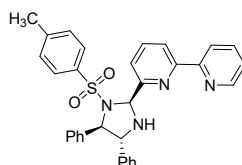


In a sealed tube equipped with a magnetic stirring bar, optically pure diphenylethylenediamine **1** (0.6 mmol, 2.4 eq) and [2,2'-bipyridine]-6-carbaldehyde **2a** (0.5 mmol) were added. Then, dichloromethane (4.0 mL) and AcOH (1.0 mmol) was added and the reaction was heated at 30 °C with stirring for 12 h. After completion of the reaction, as indicated by TLC, the aftertreatment residue was purified by flash column chromatography and then recrystallization to give the ligand **L1**.

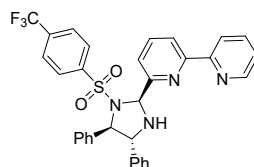


6-((2S,4R,5R)-4,5-diphenyl-1-(phenylsulfonyl)imidazolidin-2-yl)-2,2'-bipyridine (L1a): Light yellow solid, m.p. 103.7-104.2 °C, yield 71%, 19:1 dr; ^1H NMR (CD_3OD , 600 MHz) δ : 4.59 (d, J = 6.6 Hz, 1H), 4.68 (d, J = 6.0 Hz, 1H), 6.01 (s, 1H), 7.00-7.02 (m, 4H), 7.04-7.09 (m, 4H), 7.16-7.19 (m, 2H), 7.23 (d, J = 7.8 Hz, 2H), 7.28-7.30 (m, 1H), 7.33-7.35 (m, 1H), 7.39-7.40 (m, 2H), 7.67 (d, J = 7.2 Hz, 1H), 7.71-7.74 (m, 1H), 7.87-7.89 (m, 1H), 8.19 (d, J = 8.4 Hz, 1H), 8.23-8.25

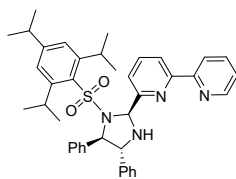
(m, 1H), 8.52-8.53 (m, 1H); ^{13}C NMR (CD_3OD , 150 MHz) δ : 70.8, 71.6, 78.6, 120.6, 121.5, 123.7, 124.0, 126.7, 127.3, 127.4, 127.5, 127.6, 127.9, 128.3, 128.6, 132.7, 137.2, 137.9, 138.1, 138.4, 139.5, 148.8, 155.6, 155.7, 158.5; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{31}\text{H}_{27}\text{N}_4\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 519.1849; Found: 519.1868.



6-((2S,4R,5R)-4,5-diphenyl-1-tosylimidazolidin-2-yl)-2,2'-bipyridine (L1b): Light yellow solid, m.p. 186.3-187.2 °C, yield 72%, >20:1 dr; ^1H NMR (CDCl_3 , 600 MHz) δ : 2.35 (s, 3H), 4.46 (d, J = 6.0 Hz, 1H), 4.85 (d, J = 5.4 Hz, 1H), 5.97 (s, 1H), 7.11 (d, J = 8.4 Hz, 4H), 7.19-7.22 (m, 6H), 7.28-7.30 (m, 1H), 7.34-7.35 (m, 2H), 7.53 (d, J = 7.8 Hz, 2H), 7.71-7.74 (m, 1H), 7.20 (d, J = 7.2 Hz, 1H), 7.95-7.98 (m, 1H), 8.25 (d, J = 7.8 Hz, 1H), 8.46-8.48 (m, 1H), 8.67-8.68 (m, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 21.5, 69.9, 71.6, 78.4, 120.9, 121.2, 123.9, 124.3, 126.9, 127.3, 127.5, 127.6, 127.8, 128.3, 128.6, 129.4, 134.7, 136.8, 138.0, 139.3, 140.2, 143.6, 149.2, 155.6, 155.7, 157.5; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{32}\text{H}_{29}\text{N}_4\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 533.2006; Found: 533.2015.

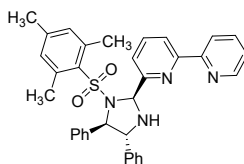


6-((2S,4R,5R)-4,5-diphenyl-1-((4-(trifluoromethyl)phenyl)sulfonyl)imidazolidin-2-yl)-2,2'-bipyridine (L1c): Light yellow solid, m.p. 127.1-127.7 °C, yield 64%, >20:1 dr; ^1H NMR (CDCl_3 , 600 MHz) δ : 4.61 (d, J = 4.8 Hz, 1H), 5.04 (d, J = 4.8 Hz, 1H), 6.02 (s, 1H), 7.19-7.26 (m, 8H), 7.31-7.33 (m, 1H), 7.39 (d, J = 8.4 Hz, 2H), 7.44 (d, J = 7.2 Hz, 2H), 7.49 (d, J = 8.4 Hz, 2H), 7.72-7.74 (m, 1H), 7.81 (d, J = 7.2 Hz, 1H), 7.96-7.99 (m, 1H), 8.16 (d, J = 7.2 Hz, 1H), 8.49-8.51 (m, 1H), 8.70-8.71 (m, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 70.0, 71.1, 78.9, 121.1, 121.4, 122.3, 123.0 (q, J = 271.3 Hz), 124.0, 124.6, 125.6 (q, J = 3.3 Hz), 126.6, 127.4, 127.8 (q, J = 24.4 Hz), 127.9, 128.5, 128.7, 133.8 (q, J = 33.5 Hz), 136.7, 138.1, 139.2, 139.8, 141.9, 149.3, 155.5, 155.9, 156.4; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{32}\text{H}_{26}\text{F}_3\text{N}_4\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$: 587.1723; Found: 587.1724.

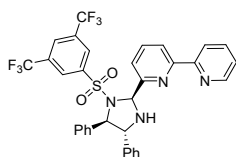


6-((2*S*,4*R*,5*R*)-4,5-diphenyl-1-((2,4,6-triisopropylphenyl)sulfonyl)imidazolidin-2-yl)-2,2'-

bipyridine (L1d): Light yellow solid, m.p. 134.5-135.3 °C, yield 70%, >20:1 dr; ¹H NMR (CDCl₃, 600 MHz) δ: 0.93-0.96 (m, 12H), 1.12 (s, 3H), 1.13 (s, 3H), 2.72-2.76 (m, 1H), 3.85-3.90 (m, 2H), 5.03-5.06 (m, 2H), 6.29 (s, 1H), 6.89 (s, 2H), 6.98-7.00 (m, 2H), 7.03-7.05 (m, 1H), 7.12 (d, *J* = 7.2 Hz, 2H), 7.25 (s, 1H), 7.28 (d, *J* = 7.8 Hz, 1H), 7.30-7.33 (m, 2H), 7.35-7.38 (m, 2H), 7.44 (d, *J* = 7.2 Hz, 2H), 7.74-7.80 (m, 2H), 8.36 (d, *J* = 7.8 Hz, 1H), 8.45 (d, *J* = 7.8 Hz, 1H), 8.70 (d, *J* = 4.8 Hz, 1H); ¹³C NMR (CDCl₃, 150 MHz) δ: 23.5, 23.6, 24.8, 24.9, 29.4, 34.1, 70.4, 72.0, 78.2, 120.5, 121.1, 123.4, 123.5, 123.9, 127.2, 127.3, 127.6, 127.9, 128.1, 128.7, 131.2, 136.8, 137.5, 138.9, 139.6, 149.2, 151.4, 153.4, 155.8, 156.1, 158.4; HRMS (ESI-TOF) *m/z*: Calcd. for C₄₀H₄₅N₄O₂S [M+H]⁺: 645.3258; Found: 645.3275.

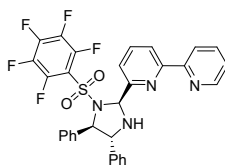


6-((2*S*,4*R*,5*R*)-1-(mesitylsulfonyl)-4,5-diphenylimidazolidin-2-yl)-2,2'-bipyridine (L1e): Light yellow solid, m.p. 183.6-184.3 °C, yield 67%, >20:1 dr; ¹H NMR (CDCl₃, 600 MHz) δ: 2.08-2.09 (m, 3H), 2.31-2.32 (m, 6H), 4.73-4.75 (m, 1H), 4.88-4.91 (m, 1H), 6.28-6.29 (m, 1H), 6.56 (d, *J* = 9.6 Hz, 2H), 6.96-7.10 (m, 5H), 7.29-7.39 (m, 6H), 7.56-7.59 (m, 1H), 7.79-7.90 (m, 2H), 8.41-8.47 (m, 2H), 8.71-8.72 (m, 1H); ¹³C NMR (CDCl₃, 150 MHz) δ: 20.6, 22.5, 70.7, 71.4, 77.5, 120.4, 120.9, 123.5, 123.8, 127.0, 127.6, 127.9, 128.5, 131.2, 131.5, 136.7, 137.6, 138.7, 138.9, 140.2, 142.8, 149.1, 155.7, 158.3; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₄H₃₃N₄O₂S [M+H]⁺: 561.2319; Found: 561.2329.



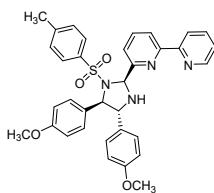
6-((2*S*,4*R*,5*R*)-1-((3,5-bis(trifluoromethyl)phenyl)sulfonyl)-4,5-diphenylimidazolidin-2-yl)-

2,2'-bipyridine (L1f): Light yellow solid, m.p. 119.1-119.7 °C, yield 62%, 16:1 dr; ¹H NMR (CD₃OD, 600 MHz) δ : 4.87 (d, J = 6.6 Hz, 1H), 4.92 (d, J = 6.6 Hz, 1H), 6.23 (s, 1H), 6.94-6.96 (m, 2H), 7.03-7.05 (m, 1H), 7.14-7.17 (m, 1H), 7.19-7.21 (m, 2H), 7.25 (d, J = 6.6 Hz, 2H), 7.29-7.32 (m, 5H), 7.62 (d, J = 7.8 Hz, 1H), 7.71-7.74 (m, 2H), 7.91-7.93 (m, 1H), 8.03 (d, J = 7.8 Hz, 1H), 8.29 (d, J = 7.8 Hz, 1H), 8.56 (d, J = 4.8 Hz, 1H); ¹³C NMR (CD₃OD, 150 MHz) δ : 71.0, 71.1, 78.8, 121.0, 121.1, 121.3, 123.0 (q, J = 271.3 Hz), 124.0, 124.1, 125.5 (q, J = 4.3 Hz), 126.7, 126.9 (q, J = 4.2 Hz), 127.8, 127.9, 128.0, 128.1, 128.5, 131.5 (q, J = 33.4 Hz), 137.1, 137.7, 138.1, 143.2, 149.0, 155.3, 156.1, 157.5; HRMS (ESI-TOF) m/z : Calcd. for C₃₃H₂₅F₆N₄O₂S [M+H]⁺: 655.1597; Found: 655.1608.



6-((2S,4R,5R)-1-((perfluorophenyl)sulfonyl)-4,5-diphenylimidazolidin-2-yl)-2,2'-bipyridine

(L1g): Light yellow solid, m.p. 180.7-181.4 °C, yield 61%, 17:1 dr; ¹H NMR (CDCl₃, 600 MHz) δ : 4.85 (s, 1H), 5.22 (s, 1H), 6.00 (s, 1H), 7.23-7.25 (m, 1H), 7.30-7.33 (m, 6H), 7.45 (d, J = 7.8 Hz, 2H), 7.64-7.66 (m, 2H), 7.71-7.74 (m, 1H), 7.78 (d, J = 7.8 Hz, 1H), 7.96-7.99 (m, 1H), 8.15 (d, J = 7.8 Hz, 1H), 8.54 (d, J = 7.8 Hz, 1H), 8.70-8.71 (m, 1H); ¹³C NMR (CDCl₃, 150 MHz) δ : 69.4, 70.7, 79.1, 121.2, 121.8, 124.0, 125.5, 126.1, 127.1, 127.5, 127.8, 128.6, 136.7, 138.1, 139.6 (d, J_{CF} = 48.3 Hz), 144.8 (d, J_{CF} = 252.4 Hz), 149.3, 154.6, 155.4, 155.6; HRMS (ESI-TOF) m/z : Calcd. for C₃₁H₂₂F₅N₄O₂S [M+H]⁺: 609.1378; Found: 609.1379.

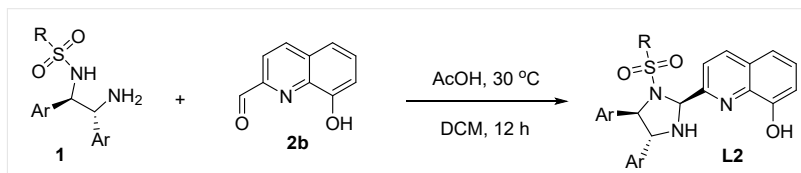


6-((2S,4R,5R)-4,5-bis(4-methoxyphenyl)-1-tosylimidazolidin-2-yl)-2,2'-bipyridine (L1h):

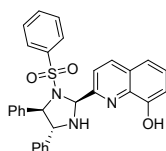
Light yellow solid, m.p. 116.3-116.8 °C, yield 73%, >20:1 dr; ¹H NMR (CDCl₃, 600 MHz) δ : 2.38 (s, 3H), 3.74 (s, 3H), 3.77 (s, 3H), 4.37 (d, J = 6.6 Hz, 1H), 4.69 (d, J = 6.0 Hz, 1H), 5.96 (s, 1H), 6.72-6.75 (m, 4H), 6.99 (d, J = 8.4 Hz, 2H), 7.16 (d, J = 8.4 Hz, 2H), 7.20 (d, J = 8.4 Hz, 2H), 7.28-7.30 (m, 1H), 7.56 (d, J = 8.4 Hz, 2H), 7.71-7.74 (m, 1H), 7.93-7.98 (m, 2H), 8.25 (d, J = 8.4

Hz, 1H), 8.46 (d, $J = 7.2$ Hz, 1H), 8.68 (d, $J = 4.8$ Hz, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 21.5, 55.2, 55.3, 69.4, 71.3, 78.0, 113.7, 113.9, 120.7, 121.1, 123.9, 124.0, 127.9, 128.0, 128.5, 129.4, 131.1, 131.9, 134.8, 136.7, 138.0, 143.6, 149.2, 155.5, 155.8, 158.0, 159.0, 159.2; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{34}\text{H}_{33}\text{N}_4\text{O}_4\text{S}$ $[\text{M}+\text{H}]^+$: 593.2217; Found: 593.2218.

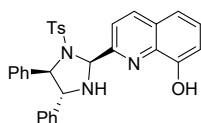
3. General procedure for preparation of chiral ligands L2



In a sealed tube equipped with a magnetic stirring bar, optically pure diphenylethylenediamine **1** (0.6 mmol, 2.4 eq) and 8-hydroxyquinoline-2-carbaldehyde **2b** (0.5 mmol) were added. Then, dichloromethane (4.0 mL) and AcOH (1.0 mmol) was added and the reaction was heated at 30 °C with stirring for 12 h. After completion of the reaction, as indicated by TLC, the aftertreatment residue was purified by flash column chromatography and then recrystallization to give the ligand **L2**.

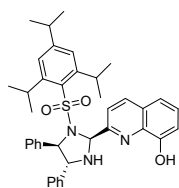


2-((2S,4R,5R)-4,5-diphenyl-1-(phenylsulfonyl)imidazolidin-2-yl)quinolin-8-ol (L2a): Light yellow solid, m.p. 194.3-194.9 °C, yield 75%, >20:1 dr; ^1H NMR (CDCl_3 , 600 MHz) δ : 4.36 (d, $J = 6.6$ Hz, 1H), 4.77 (d, $J = 7.2$ Hz, 1H), 6.20 (s, 1H), 6.99-7.01 (m, 2H), 7.12-7.13 (m, 2H), 7.17-7.24 (m, 7H), 7.39-7.43 (m, 3H), 7.47-7.50 (m, 1H), 7.55-7.57 (m, 1H), 7.72-7.73 (m, 2H), 8.08 (d, $J = 8.4$ Hz, 1H), 8.28 (d, $J = 8.4$ Hz, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 70.2, 71.8, 78.5, 110.8, 118.1, 121.9, 126.9, 127.1, 127.8, 127.9, 128.1, 128.2, 128.4, 128.7, 129.0, 133.1, 137.5, 152.1, 157.0; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{30}\text{H}_{26}\text{N}_3\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$: 508.1689; Found: 508.1689.

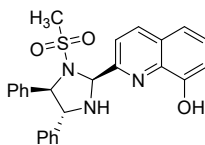


2-((2S,4R,5R)-4,5-diphenyl-1-tosylimidazolidin-2-yl)quinolin-8-ol (L2b): Light yellow solid,

m.p. 193.1-193.8 °C, yield 74%, >20:1 dr; ¹H NMR (CDCl₃, 600 MHz) δ: 2.42 (s, 3H), 4.37 (d, *J* = 6.6 Hz, 1H), 4.77 (d, *J* = 6.6 Hz, 1H), 6.14 (s, 1H), 7.01 (d, *J* = 6.6 Hz, 2H), 7.15-7.24 (m, 11H), 7.39-7.40 (m, 1H), 7.47-7.50 (m, 1H), 7.62 (d, *J* = 7.8 Hz, 2H), 8.08 (d, *J* = 8.4 Hz, 1H), 8.28 (d, *J* = 8.4 Hz, 1H); ¹³C NMR (CDCl₃, 150 MHz) δ: 21.6, 70.2, 71.7, 78.6, 110.8, 118.1, 122.0, 126.9, 127.1, 127.7, 127.8, 127.9, 128.1, 128.4, 128.6, 129.7, 134.3, 137.2, 137.4, 138.5, 139.4, 144.0, 152.1, 157.0; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₁H₂₈N₃O₃S [M+H]⁺: 522.1849; Found: 522.1858.

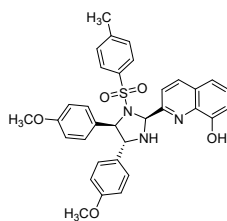


2-((2*S*,4*R*,5*R*)-4,5-diphenyl-1-((2,4,6-triisopropylphenyl)sulfonyl)imidazolidin-2-yl)quinolin-8-ol (L2c): Light yellow solid, m.p. 142.3-142.7 °C, yield 75%, >20:1 dr; ¹H NMR (CDCl₃, 600 MHz) δ: 0.87 (d, *J* = 6.6 Hz, 6H), 0.94 (d, *J* = 6.6 Hz, 6H), 1.10 (d, *J* = 6.6 Hz, 6H), 2.71-2.75 (m, 1H), 3.86-3.90 (m, 2H), 4.64 (d, *J* = 7.2 Hz, 1H), 4.93 (d, *J* = 7.8 Hz, 1H), 6.40 (s, 1H), 6.70 (d, *J* = 7.2 Hz, 2H), 6.89-6.91 (m, 4H), 6.94-6.97 (m, 1H), 7.09 (d, *J* = 7.2 Hz, 1H), 7.22-7.29 (m, 5H), 7.37-7.40 (m, 1H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.81 (br s, 1H), 8.08 (d, *J* = 8.4 Hz, 1H); ¹³C NMR (CDCl₃, 150 MHz) δ: 23.5, 24.7, 24.8, 29.5, 34.2, 70.9, 72.0, 110.7, 117.7, 121.1, 123.8, 127.2, 127.3, 127.4, 128.0, 128.1, 128.2, 128.3, 128.8, 130.9, 137.0, 137.7, 138.1, 139.0, 151.5, 152.3, 153.9, 157.4; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₉H₄₄N₃O₃S[M+H]⁺: 634.3098; Found: 634.3094.

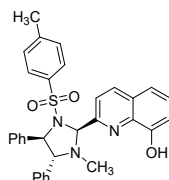


2-((2*S*,4*R*,5*R*)-1-(methylsulfonyl)-4,5-diphenylimidazolidin-2-yl)quinolin-8-ol (L2d): Light yellow solid, m.p. 132.0-132.7 °C, yield 60%, >20:1 dr; ¹H NMR (CDCl₃, 600 MHz) δ: 2.70 (s, 3H), 4.54 (d, *J* = 6.6 Hz, 1H), 4.93 (d, *J* = 6.6 Hz, 1H), 6.18 (s, 1H), 7.20-7.25 (m, 6H), 7.30-7.35 (m, 3H), 7.37-7.41 (m, 3H), 7.48-7.51 (m, 1H), 7.95 (d, *J* = 8.4 Hz, 1H), 8.26 (d, *J* = 8.4 Hz, 1H); ¹³C NMR (CDCl₃, 150 MHz) δ: 38.6, 70.3, 71.6, 77.8, 111.0, 118.2, 121.8, 127.0, 127.2, 128.1,

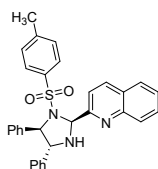
128.2, 128.3, 128.4, 128.7, 128.9, 137.3, 137.6, 138.2, 139.0, 152.1, 156.8; HRMS (ESI-TOF) m/z :
Calcd. for $C_{25}H_{24}N_3O_3S[M+H]^+$: 446.1533; Found: 446.1538.



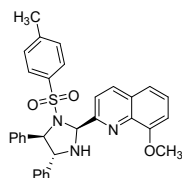
2-((2S,4R,5R)-4,5-bis(4-methoxyphenyl)-1-tosylimidazolidin-2-yl)quinolin-8-ol (L2e): Light yellow solid, m.p. 135.8-136.4 °C, yield 72%, >20:1 dr; ^1H NMR ($CDCl_3$, 600 MHz) δ : 2.43 (s, 3H), 3.72 (s, 6H), 3.75 (s, 6H), 4.26 (d, J = 6.6 Hz, 1H), 4.61 (d, J = 7.2 Hz, 1H), 6.13 (s, 1H), 6.68-6.71 (m, 4H), 6.89 (d, J = 8.4 Hz, 2H), 7.01 (d, J = 8.4 Hz, 2H), 7.18-7.19 (m, 1H), 7.23 (d, J = 7.8 Hz, 2H), 7.37-7.39 (m, 1H), 7.46-7.48 (m, 1H), 7.64 (d, J = 8.4 Hz, 2H), 8.07 (d, J = 8.4 Hz, 1H), 8.26 (d, J = 8.4 Hz, 1H); ^{13}C NMR ($CDCl_3$, 150 MHz) δ : 21.6, 26.9, 55.2, 69.7, 71.5, 78.3, 110.8, 113.8, 113.9, 118.0, 121.8, 128.0, 128.1, 128.2, 128.3, 129.6, 137.4, 144.0, 152.2, 157.3, 159.1, 159.3; HRMS (ESI-TOF) m/z : Calcd. for $C_{33}H_{32}N_3O_5S[M+H]^+$: 582.2064; Found: 582.2090.



2-((2S,4R,5R)-1-methyl-4,5-diphenyl-3-tosylimidazolidin-2-yl)quinolin-8-ol (L3b): Light yellow solid, yield 68%, >20:1 dr; ^1H NMR ($CDCl_3$, 600 MHz) δ : 1.80 (s, 3H), 2.42 (s, 3H), 4.43 (d, J = 7.2 Hz, 1H), 4.79 (d, J = 7.2 Hz, 1H), 6.06 (s, 1H), 6.96 (d, J = 6.6 Hz, 2H), 7.19-7.27 (m, 9H), 7.35-7.37 (m, 3H), 7.46-7.48 (m, 1H), 7.64 (d, J = 8.4 Hz, 3H), 7.70 (d, J = 8.4 Hz, 1H), 8.22 (d, J = 8.4 Hz, 1H); ^{13}C NMR ($CDCl_3$, 150 MHz) δ : 21.6, 33.9, 71.2, 75.6, 82.6, 110.5, 117.9, 122.0, 127.0, 127.7, 128.0, 128.1, 128.3, 128.4, 128.5, 128.6, 129.6, 134.5, 137.1, 137.7, 139.2, 143.9, 152.3, 157.2; HRMS (ESI-TOF) m/z : Calcd. for $C_{32}H_{30}N_3O_3S[M+H]^+$: 536.2002; Found: 536.2000.

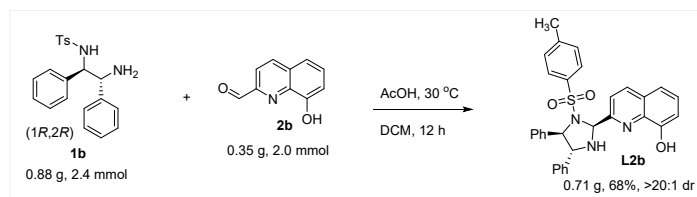


2-((2S,4R,5R)-4,5-diphenyl-1-tosylimidazolidin-2-yl)quinolone (L4b): Light yellow solid, yield 57%, >20:1 dr; ^1H NMR (CDCl_3 , 600 MHz) δ : 2.42 (s, 3H), 4.33 (d, $J = 7.2$ Hz, 1H), 4.63 (d, $J = 7.2$ Hz, 1H), 6.12 (s, 1H), 6.98 (d, $J = 7.2$ Hz, 2H), 7.12-7.24 (m, 10H), 7.55-7.57 (m, 1H), 7.69-7.71 (m, 3H), 7.88 (d, $J = 8.4$ Hz, 1H), 8.01 (d, $J = 8.4$ Hz, 1H), 8.19 (d, $J = 8.4$ Hz, 1H), 8.31 (d, $J = 8.4$ Hz, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 21.6, 69.9, 72.3, 78.4, 121.2, 126.8, 127.1, 127.3, 127.5, 127.7, 127.8, 127.9, 128.2, 128.3, 128.5, 129.4, 129.6, 129.7, 134.2, 137.2, 139.2, 139.5, 143.9, 147.3, 159.0; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{31}\text{H}_{28}\text{N}_3\text{O}_2\text{S}[\text{M}+\text{H}]^+$: 506.1897; Found: 506.1916.



2-((2S,4R,5R)-4,5-diphenyl-1-tosylimidazolidin-2-yl)-8-methoxyquinoline (L5b): Light yellow solid, yield 56%, 18:1 dr; ^1H NMR (CDCl_3 , 600 MHz) δ : 2.41 (s, 3H), 4.01 (s, 3H), 4.30 (d, $J = 6.6$ Hz, 1H), 4.62 (d, $J = 6.6$ Hz, 1H), 6.12 (s, 1H), 7.00 (d, $J = 7.2$ Hz, 2H), 7.05-7.07 (m, 1H), 7.17-7.21 (m, 8H), 7.26-7.28 (m, 2H), 7.45-7.50 (m, 2H), 7.66 (d, $J = 7.8$ Hz, 2H), 8.19 (d, $J = 8.4$ Hz, 1H), 8.28 (d, $J = 8.4$ Hz, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 21.6, 56.0, 69.6, 72.3, 78.5, 108.3, 119.6, 121.6, 127.0, 127.1, 127.5, 127.6, 127.7, 128.2, 128.3, 128.4, 129.1, 129.5, 134.2, 136.9, 139.3, 139.4, 139.6, 143.8, 155.5, 158.1; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{32}\text{H}_{29}\text{N}_3\text{NaO}_3\text{S}[\text{M}+\text{Na}]^+$: 558.1822; Found: 558.1811.

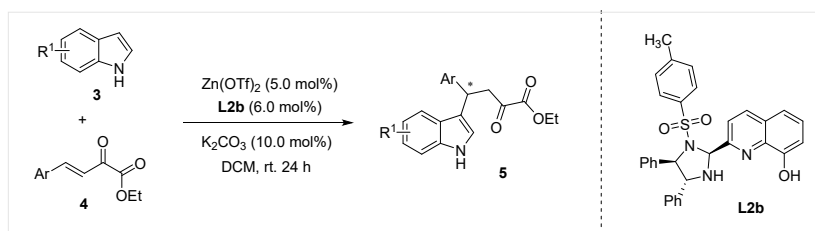
4. The gram scale synthesis of chiral ligand L2b



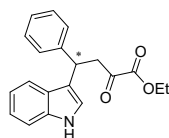
In a sealed tube equipped with a magnetic stirring bar, optically pure diphenylethylenediamine **1b** (0.88 g, 2.4 mmol) and 8-hydroxyquinoline-2-carbaldehyde **2b** (0.35 g, 2.0 mmol) were added.

Then, dichloromethane (15.0 mL) and AcOH (4.0 mmol) was added and the reaction was heated at 30 °C with stirring for 12 h. After completion of the reaction, as indicated by TLC, the aftertreatment residue was purified by flash column chromatography and then recrystallization to give the ligand **L2b** (0.71 g, 68%, >20:1 dr).

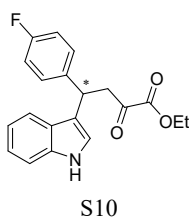
5. Catalytic asymmetric synthesis of compounds **5**



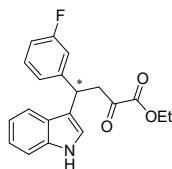
In a sealed tube equipped with a stirring bar, to the mixture of $\text{Zn}(\text{OTf})_2$ (5.0 mol %), **L2b** (6.0 mol %), K_2CO_3 (10.0 mol %) in 2.0 mL of DCM was added **3** (0.30 mmol), and **4** (0.20 mmol). The reaction mixture was stirred at room temperature for 24 h and was directly loaded onto a silica gel and purified by flash chromatography to give the desired product **5**, using hexane/EtOAc (10/1, v/v) as the eluent.



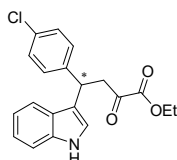
(R)-5a: Product in accordance with literature characterization data^{7a}. 92% yield, 91% ee, $[\alpha]_{\text{D}}^{20} = -24.4$ (c 0.70, CHCl_3); Lit.^{7a} $[\alpha]_{\text{D}}^{20} = -27.2$ (c 0.70, CHCl_3 , 99% ee for (*R*)-enantiomer). The ee was determined by HPLC analysis using a Chiralpak IA column (95/5 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 34.10$ min; $\tau_{\text{minor}} = 44.67$ min); ^1H NMR (CDCl_3 , 600 MHz) δ : 1.24-1.27 (m, 3H), 3.57-3.61 (m, 1H), 3.64-3.69 (m, 1H), 4.17-4.22 (m, 2H), 4.90-4.92 (m, 1H), 6.98-7.02 (m, 2H), 7.12-7.18 (m, 2H), 7.23-7.32 (m, 5H), 7.41 (d, $J = 7.8$ Hz, 1H), 8.04 (br s, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 13.9, 37.8, 45.7, 62.5, 111.2, 118.3, 119.4, 119.5, 121.6, 122.3, 126.4, 126.6, 127.8, 128.6, 136.6, 143.3, 161.0, 193.2.



(R)-5b: Product in accordance with literature characterization data^{7a}. 90% yield, 91% ee, $[\alpha]_{\text{D}}^{20} = -22.3$ (c 0.50, CHCl_3); Lit.^{7a} $[\alpha]_{\text{D}}^{20} = -22.7$ (c 0.45, CHCl_3 , 93% ee for (*R*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 16.34$ min; $\tau_{\text{minor}} = 24.02$ min); ^1H NMR (CDCl_3 , 600 MHz) δ : 1.26-1.28 (m, 3H), 3.54-3.58 (m, 1H), 3.62-3.66 (m, 1H), 4.20-4.24 (m, 2H), 4.88-4.90 (m, 1H), 6.91-6.94 (m, 2H), 6.99-7.03 (m, 2H), 7.13-7.16 (m, 1H), 7.26-7.31 (m, 3H), 7.37 (d, $J = 8.4$ Hz, 1H), 8.07 (br s, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 13.9, 37.1, 45.7, 62.6, 111.3, 115.3 (d, $J_{\text{CF}} = 21.0$ Hz), 119.3, 119.6, 121.5, 122.4, 126.3, 127.8, 129.3 (d, $J_{\text{CF}} = 7.5$ Hz), 136.6, 139.0 (d, $J_{\text{CF}} = 3.2$ Hz), 160.9, 161.8 (d, $J_{\text{CF}} = 243.4$ Hz), 193.0.

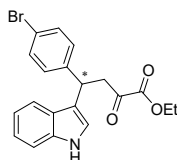


(R)-5c: Product in accordance with literature characterization data^{7b}. 88% yield, 90% ee, $[\alpha]_{\text{D}}^{20} = -64.0$ (c 0.40, CHCl_3); Lit.^{7b} $[\alpha]_{\text{D}}^{20} = -64.4$ (c 0.45, CHCl_3 , 90% ee for (*R*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak ID column (95/5 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 14.11$ min; $\tau_{\text{minor}} = 16.85$ min); ^1H NMR (CDCl_3 , 600 MHz) δ : 1.26-1.29 (m, 3H), 3.55-3.59 (m, 1H), 3.64-3.68 (m, 1H), 4.20-4.24 (m, 2H), 4.90-4.92 (m, 1H), 6.84-6.87 (m, 1H), 6.99-7.04 (m, 3H), 7.12-7.17 (m, 2H), 7.19-7.24 (m, 1H), 7.31 (d, $J = 7.8$ Hz, 1H), 7.39 (d, $J = 7.8$ Hz, 1H), 8.09 (br s, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 13.9, 37.5, 45.4, 62.6, 111.3 (d, $J_{\text{CF}} = 19.5$ Hz), 113.6, 114.7 (d, $J_{\text{CF}} = 21.0$ Hz), 117.7, 119.2, 119.7, 121.6, 122.4, 123.5, 126.3, 127.8, 130.0 (d, $J_{\text{CF}} = 3.0$ Hz), 136.6, 146.0, 160.9, 162.8 (d, $J_{\text{CF}} = 244.5$ Hz), 192.7.

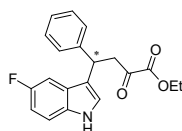


(R)-5d: Product in accordance with literature characterization data^{7b}. 90% yield, 91% ee, $[\alpha]_{\text{D}}^{20} = -42.0$ (c 0.50, CHCl_3); Lit.^{7b} $[\alpha]_{\text{D}}^{20} = -43.1$ (0.55, CHCl_3 , 92% ee for (*R*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak IC column (95/5 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 20.26$ min; $\tau_{\text{minor}} = 17.31$ min); ^1H NMR ($\text{DMSO}-d_6$, 600 MHz) δ :

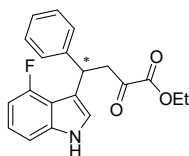
1.22-1.26 (m, 3H), 3.57-3.61 (m, 1H), 3.72-3.76 (m, 1H), 4.18-4.24 (m, 2H), 4.72-4.75 (m, 1H), 6.90-6.93 (m, 1H), 7.03-7.06 (m, 1H), 7.29-7.31 (m, 3H), 7.33 (d, $J = 8.4$ Hz, 1H), 7.38-7.40 (m, 3H), 10.94 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 36.8, 45.2, 62.3, 111.9, 117.2, 118.9, 119.0, 121.6, 122.6, 126.5, 128.6, 130.0, 131.1, 136.9, 143.9, 161.0, 193.0.



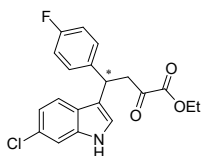
(R)-5e: Product in accordance with literature characterization data^{7a}. 91% yield, 96% ee, $[\alpha]_{\text{D}}^{20} = -27.0$ (c 0.60, CHCl_3); Lit.^{7a} $[\alpha]_{\text{D}}^{20} = -27.9$ (c 0.50, CHCl_3 , 95% ee for (*R*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 17.80$ min; $\tau_{\text{minor}} = 28.38$ min); ^1H NMR (DMSO- d_6 , 600 MHz) δ : 1.21-1.24 (m, 3H), 3.56-3.60 (m, 1H), 3.70-3.75 (m, 1H), 4.17-4.23 (m, 2H), 4.70-4.72 (m, 1H), 6.89-6.92 (m, 1H), 7.03-7.05 (m, 1H), 7.29-7.33 (m, 4H), 7.37-7.43 (m, 3H), 10.93 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 36.9, 45.1, 62.3, 111.9, 117.1, 118.9, 119.0, 119.5, 121.6, 122.6, 126.5, 130.4, 131.5, 136.9, 144.4, 161.0, 193.0.



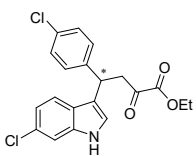
(R)-5f: Product in accordance with literature characterization data^{7c}. 89% yield, 90% ee, $[\alpha]_{\text{D}}^{20} = -60.1$ (c 0.50, CHCl_3); Lit.^{7c} $[\alpha]_{\text{D}}^{20} = +61.6$ (c 0.50, CH_2Cl_2 , 91% ee for (*S*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 12.98$ min; $\tau_{\text{minor}} = 16.40$ min); ^1H NMR (DMSO- d_6 , 600 MHz) δ : 1.22-1.24 (m, 3H), 3.56-3.60 (m, 1H), 3.72-3.76 (m, 1H), 4.17-4.21 (m, 2H), 4.67-4.70 (m, 1H), 6.87-6.90 (m, 1H), 7.12-7.16 (m, 2H), 7.25-7.27 (m, 2H), 7.31-7.33 (m, 1H), 7.37 (d, $J = 7.2$ Hz, 3H), 11.03 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 37.4, 45.3, 62.3, 103.7 (d, $J_{\text{CF}} = 22.5$ Hz), 109.6, 109.8, 112.8 (d, $J_{\text{CF}} = 10.5$ Hz), 117.9 (d, $J_{\text{CF}} = 4.5$ Hz), 124.7, 126.6, 126.8 (d, $J_{\text{CF}} = 9.1$ Hz), 128.1, 128.7, 133.5, 144.6, 156.9 (d, $J_{\text{CF}} = 229.5$ Hz), 161.0, 193.2.



5g: 90% yield, 93% ee, $[\alpha]_{\text{D}}^{20} = -17.2$ (c 0.50, CHCl_3); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 13.51$ min; $\tau_{\text{minor}} = 15.35$ min); ^1H NMR ($\text{DMSO-}d_6$, 600 MHz) δ : 1.21-1.23 (m, 3H), 3.56-3.60 (m, 1H), 3.67-3.71 (m, 1H), 4.17-4.20 (m, 2H), 4.87-4.90 (m, 1H), 6.64-6.67 (m, 1H), 6.98-7.01 (m, 1H), 7.12-7.16 (m, 2H), 7.23-7.35 (m, 5H), 11.23 (br s, 1H); ^{13}C NMR ($\text{DMSO-}d_6$, 150 MHz) δ : 14.2, 37.9, 46.0, 62.3, 104.0 (d, $J_{\text{CF}} = 19.5$ Hz), 108.5, 115.0 (d, $J_{\text{CF}} = 19.5$ Hz), 116.2 (d, $J_{\text{CF}} = 4.5$ Hz), 122.1 (d, $J_{\text{CF}} = 7.5$ Hz), 123.3, 126.5, 128.0, 128.7, 139.6 (d, $J_{\text{CF}} = 12.0$ Hz), 145.1, 156.8 (d, $J_{\text{CF}} = 243.1$ Hz), 161.0, 193.2; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{18}\text{FNNaO}_3$ $[\text{M}+\text{Na}]^+$: 362.1163; Found: 362.1175.

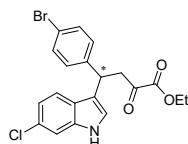


(R)-5h: Product in accordance with literature characterization data^{7d}. 87% yield, 95% ee, $[\alpha]_{\text{D}}^{20} = -41.0$ (c 0.20, CHCl_3); Lit.^{7d} $[\alpha]_{\text{D}}^{20} = -37.5$ (c 0.17, CHCl_3 , 90% ee for (*R*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak IE column (95/5 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 16.87$ min; $\tau_{\text{minor}} = 15.84$ min); ^1H NMR ($\text{DMSO-}d_6$, 600 MHz) δ : 1.24-1.26 (m, 3H), 3.57-3.61 (m, 1H), 3.72-3.77 (m, 1H), 4.20-4.23 (m, 2H), 4.73-4.76 (m, 1H), 6.94-6.96 (m, 1H), 7.07-7.10 (m, 2H), 7.37-7.41 (m, 5H), 11.10 (br s, 1H); ^{13}C NMR ($\text{DMSO-}d_6$, 150 MHz) δ : 14.2, 36.5, 45.4, 62.3, 111.5, 115.3 (d, $J_{\text{CF}} = 21.0$ Hz), 117.9, 119.2, 120.4, 123.7, 125.4, 126.4, 129.8 (d, $J_{\text{CF}} = 7.5$ Hz), 137.2, 140.7 (d, $J_{\text{CF}} = 3.0$ Hz), 160.9, 161.2 (d, $J_{\text{CF}} = 240.0$ Hz), 193.0.

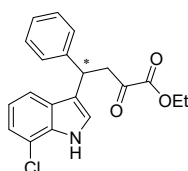


(R)-5i: Product in accordance with literature characterization data^{7d}. 89% yield, 91% ee, $[\alpha]_{\text{D}}^{20} = -43.5$ (c 0.45, CHCl_3); Lit.^{7d} $[\alpha]_{\text{D}}^{20} = -43.3$ (c 0.47, CHCl_3 , 91% ee for (*R*)-enantiomer); The ee

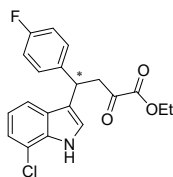
was determined by HPLC analysis using a Chiralpak IE column (95/5 hexane/*i*-PrOH; flow rate: 1.0 mL/min; λ = 254 nm; τ_{major} = 17.39 min; τ_{minor} = 15.60 min); ^1H NMR (DMSO- d_6 , 600 MHz) δ : 1.25-1.27 (m, 3H), 3.59-3.63 (m, 1H), 3.73-3.78 (m, 1H), 4.21-4.24 (m, 2H), 4.73-4.76 (m, 1H), 6.95-6.97 (m, 1H), 7.32-7.42 (m, 7H), 11.12 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 36.6, 45.1, 62.3, 111.5, 117.6, 119.2, 120.4, 123.8, 125.3, 126.5, 128.6, 130.0, 131.2, 137.2, 143.7, 160.9, 192.9.



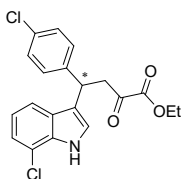
(*R*)-5j: Product in accordance with literature characterization data^{7d}. 88% yield, 93% ee, $[\alpha]_{\text{D}}^{20}$ = -21.9 (*c* 0.20, CHCl_3); Lit.^{7d} $[\alpha]_{\text{D}}^{20}$ = -21.0 (*c* 0.15, CHCl_3 , 91% ee for (*R*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak IE column (95/5 hexane/*i*-PrOH; flow rate: 1.0 mL/min; λ = 254 nm; τ_{major} = 19.46 min; τ_{minor} = 16.85 min); ^1H NMR (DMSO- d_6 , 600 MHz) δ : 1.24-1.27 (m, 3H), 3.59-3.63 (m, 1H), 3.73-3.77 (m, 1H), 4.20-4.24 (m, 2H), 4.71-4.74 (m, 1H), 6.95-6.96 (m, 1H), 7.33 (d, *J* = 8.4 Hz, 2H), 7.38-7.42 (m, 3H), 7.44-7.46 (m, 2H), 11.12 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 36.7, 45.1, 62.3, 111.5, 117.5, 119.3, 119.6, 120.4, 123.8, 125.3, 126.5, 130.4, 130.5, 131.6, 137.2, 144.1, 160.9, 192.8.



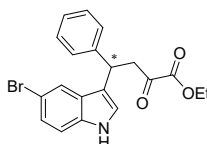
5k: 88% yield, 91% ee, $[\alpha]_{\text{D}}^{20}$ = -20.4 (*c* 0.40, CHCl_3); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; λ = 254 nm; τ_{major} = 12.87 min; τ_{minor} = 15.35 min); ^1H NMR (DMSO- d_6 , 600 MHz) δ : 1.21-1.24 (m, 3H), 3.57-3.61 (m, 1H), 3.74-3.78 (m, 1H), 4.17-4.21 (m, 2H), 4.72-4.74 (m, 1H), 6.90-6.93 (m, 1H), 7.12-7.15 (m, 2H), 7.24-7.26 (m, 2H), 7.35-7.41 (m, 4H), 11.30 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 37.4, 45.3, 62.3, 116.3, 118.2, 119.0, 119.9, 121.1, 123.9, 126.7, 128.0, 128.6, 128.7, 133.6, 144.5, 161.0, 193.1; HRMS (ESI-TOF) *m/z*: Calcd. for $\text{C}_{20}\text{H}_{18}\text{ClNNaO}_3$ $[\text{M}+\text{Na}]^+$: 378.0867; Found: 378.0878.



5l: 86% yield, 93% ee, $[\alpha]_{\text{D}}^{20} = -16.3$ (c 0.50, CHCl_3); The ee was determined by HPLC analysis using a Chiralpak IA column (95/5 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 27.21$ min; $\tau_{\text{minor}} = 36.84$ min); ^1H NMR ($\text{DMSO-}d_6$, 600 MHz) δ : 1.21-1.24 (m, 3H), 3.56-3.61 (m, 1H), 3.74-3.78 (m, 1H), 4.18-4.21 (m, 2H), 4.73-4.75 (m, 1H), 6.91-6.94 (m, 1H), 7.05-7.13 (m, 3H), 7.37-7.41 (m, 4H), 11.32 (br s, 1H); ^{13}C NMR ($\text{DMSO-}d_6$, 150 MHz) δ : 14.2, 36.6, 45.4, 62.3, 115.4 (d, $J_{\text{CF}} = 21.0$ Hz), 116.3, 118.2, 118.9, 120.0, 121.1, 123.9, 128.5, 129.9 (d, $J_{\text{CF}} = 7.5$ Hz), 133.6, 140.7, 161.0, 161.2 (d, $J_{\text{CF}} = 240.1$ Hz), 193.0; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{17}\text{ClFNNaO}_3$ $[\text{M}+\text{Na}]^+$: 396.0773; Found: 396.0765.

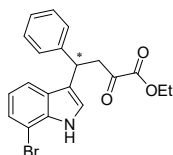


5m: 89% yield, 92% ee, $[\alpha]_{\text{D}}^{20} = -9.8$ (c 0.45, CHCl_3); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 14.47$ min; $\tau_{\text{minor}} = 18.37$ min); ^1H NMR ($\text{DMSO-}d_6$, 600 MHz) δ : 1.23-1.25 (m, 3H), 3.60-3.64 (m, 1H), 3.76-3.80 (m, 1H), 4.19-4.23 (m, 2H), 4.74-4.77 (m, 1H), 6.92-6.95 (m, 1H), 7.14 (d, $J = 7.2$ Hz, 1H), 7.31 (d, $J = 8.4$ Hz, 2H), 7.39-7.44 (m, 4H), 11.35 (br s, 1H); ^{13}C NMR ($\text{DMSO-}d_6$, 150 MHz) δ : 14.2, 36.7, 45.1, 62.3, 116.4, 118.1, 118.6, 120.0, 121.2, 124.0, 128.5, 128.6, 130.0, 131.2, 133.6, 143.6, 160.9, 192.9; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{17}\text{Cl}_2\text{NNaO}_3$ $[\text{M}+\text{Na}]^+$: 412.0478; Found: 412.0485.

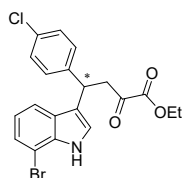


(R)-5n: Product in accordance with literature characterization data^{7c}. 91% yield, 91% ee, $[\alpha]_{\text{D}}^{20} = -59.0$ (c 0.50, CHCl_3); Lit.^{7c} $[\alpha]_{\text{D}}^{20} = +58.6$ **(S)-5n** (c 0.50, CH_2Cl_2 , 91% ee for (*S*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH;

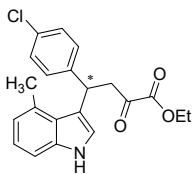
flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{major} = 12.35$ min; $\tau_{minor} = 15.69$ min); ^1H NMR (DMSO- d_6 , 600 MHz) δ : 1.22-1.24 (m, 3H), 3.53-3.57 (m, 1H), 3.71-3.75 (m, 1H), 4.17-4.21 (m, 2H), 4.69-4.72 (m, 1H), 7.14-7.17 (m, 2H), 7.25-7.28 (m, 2H), 7.30 (d, $J = 8.4$ Hz, 1H), 7.36 (d, $J = 7.8$ Hz, 3H), 7.55 (d, $J = 1.8$ Hz, 1H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 37.2, 45.5, 62.3, 111.5, 113.9, 117.5, 121.3, 124.1, 124.3, 126.7, 128.1, 128.5, 128.8, 135.5, 144.5, 161.0, 193.1.



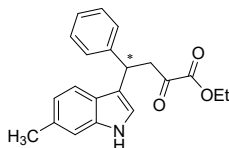
5o: 90% yield, 96% ee, $[\alpha]_D^{20} = -27.2$ (c 0.40, CHCl_3); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{major} = 13.22$ min; $\tau_{minor} = 16.37$ min); ^1H NMR (DMSO- d_6 , 600 MHz) δ : 1.21-1.24 (m, 3H), 3.56-3.60 (m, 1H), 3.74-3.78 (m, 1H), 4.17-4.21 (m, 2H), 4.70-4.72 (m, 1H), 6.85-6.88 (m, 1H), 7.13-7.15 (m, 1H), 7.24-7.27 (m, 3H), 7.35-7.43 (m, 4H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 37.4, 45.3, 62.3, 104.6, 118.7, 119.1, 120.3, 123.9, 124.1, 126.7, 128.0, 128.4, 128.8, 135.0, 144.5, 161.0, 193.1; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{18}\text{BrNNaO}_3$ $[\text{M}+\text{Na}]^+$: 422.0362; Found: 422.0375.



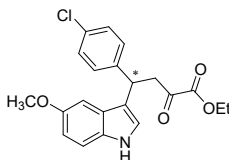
5p: 87% yield, 91% ee, $[\alpha]_D^{20} = -10.6$ (c 0.40, CHCl_3); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{major} = 15.28$ min; $\tau_{minor} = 19.85$ min); ^1H NMR (DMSO- d_6 , 600 MHz) δ : 1.02-1.04 (m, 3H), 3.37-3.42 (m, 1H), 3.54-3.58 (m, 1H), 3.98-4.01 (m, 2H), 4.51-4.54 (m, 1H), 6.66-6.68 (m, 1H), 7.06-7.10 (m, 3H), 7.18-7.22 (m, 4H), 10.99 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 150 MHz) δ : 14.2, 36.8, 45.1, 62.3, 104.7, 118.6, 118.7, 120.4, 124.0, 124.2, 128.3, 128.6, 130.0, 135.1, 143.6, 160.9, 192.9; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{17}\text{BrClNNaO}_3$ $[\text{M}+\text{Na}]^+$: 455.9973; Found: 455.9966.



5q: 90% yield, 91% ee, $[\alpha]_{\text{D}}^{20} = -30.8$ (c 0.45, CHCl_3); The ee was determined by HPLC analysis using a Chiralpak IA column (95/5 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 26.25$ min; $\tau_{\text{minor}} = 35.42$ min); ^1H NMR ($\text{DMSO-}d_6$, 600 MHz) δ : 1.21-1.23 (m, 3H), 2.43 (s, 3H), 3.42-3.46 (m, 1H), 3.66-3.70 (m, 1H), 4.17-4.20 (m, 2H), 6.63 (d, $J = 6.6$ Hz, 1H), 6.90-6.92 (m, 1H), 7.17 (d, $J = 7.8$ Hz, 1H), 7.24 (d, $J = 7.8$ Hz, 2H), 7.31 (d, $J = 9.0$ Hz, 3H), 11.01 (br s, 1H); ^{13}C NMR ($\text{DMSO-}d_6$, 150 MHz) δ : 14.2, 20.8, 37.5, 47.2, 62.3, 110.0, 172.2, 120.8, 121.7, 123.4, 125.3, 128.7, 129.8, 130.2, 131.1, 137.2, 145.1, 161.0, 193.0; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{21}\text{H}_{20}\text{ClNNaO}_3$ $[\text{M}+\text{Na}]^+$: 392.1024; Found: 392.1017.

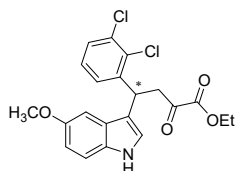


(R)-5r: Product in accordance with literature characterization data^{7c}. 91% yield, 91% ee, $[\alpha]_{\text{D}}^{20} = -37.5$ (c 0.50, CHCl_3); Lit.^{7c} $[\alpha]_{\text{D}}^{20} = +42.4$ (c 0.50, CH_2Cl_2 , 95% ee for (*S*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak IA column (90/10 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau_{\text{major}} = 21.80$ min; $\tau_{\text{minor}} = 26.18$ min); ^1H NMR ($\text{DMSO-}d_6$, 600 MHz) δ : 1.20-1.22 (m, 3H), 2.33 (s, 3H), 3.53-3.57 (m, 1H), 3.69-3.73 (m, 1H), 4.16-4.19 (m, 2H), 4.68-4.70 (m, 1H), 6.72 (d, $J = 7.8$ Hz, 1H), 7.10-7.13 (m, 2H), 7.18-7.26 (m, 4H), 7.33 (d, $J = 7.2$ Hz, 2H), 10.74 (br s, 1H); ^{13}C NMR ($\text{DMSO-}d_6$, 150 MHz) δ : 14.2, 21.8, 37.6, 45.4, 62.3, 111.7, 117.4, 118.8, 120.6, 121.8, 124.6, 126.5, 128.1, 128.7, 130.6, 137.3, 144.9, 161.1, 193.2.

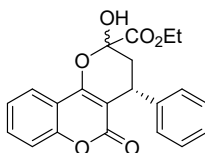


(R)-5s: Product in accordance with literature characterization data^{7d}. 91% yield, 91% ee, $[\alpha]_{\text{D}}^{20} = -34.0$ (c 0.35, CHCl_3); Lit.^{7d} $[\alpha]_{\text{D}}^{20} = -34.1$ (c 0.40, CHCl_3 , 91% ee for (*R*)-enantiomer); The ee was determined by HPLC analysis using a Chiralpak ID column (90/10 hexane/*i*-PrOH; flow rate:

1.0 mL/min; λ = 254 nm; τ_{major} = 14.25 min; τ_{minor} = 20.95 min); ^1H NMR (CDCl_3 , 600 MHz) δ : 1.27-1.29 (m, 3H), 3.53-3.57 (m, 1H), 3.61-3.65 (m, 1H), 3.75 (s, 3H), 4.21-4.25 (m, 2H), 4.81-4.84 (m, 1H), 6.78-6.83 (m, 2H), 6.98 (d, J = 2.4 Hz, 1H), 7.19-7.26 (m, 5H), 7.99 (br s, 1H); ^{13}C NMR (CDCl_3 , 150 MHz) δ : 13.9, 37.1, 45.4, 55.9, 62.6, 101.3, 111.9, 112.4, 117.6, 122.3, 126.7, 128.7, 129.2, 131.7, 132.3, 141.8, 154.0, 160.9, 192.8.



(R)-5t: Product in accordance with literature characterization data^{7b}. 90% yield, 92% ee, $[\alpha]_{\text{D}}^{20}$ = -8.7 (c 0.50, CHCl_3); the absolute configuration of **5t** was assigned as *R* by comparison with the HPLC data from the literature^{7b}. The ee was determined by HPLC analysis using a Chiralpak IA column (85/15 hexane/*i*-PrOH; flow rate: 1.0 mL/min; λ = 254 nm; τ_{major} = 11.35 min; τ_{minor} = 17.63 min); ^1H NMR ($\text{DMSO}-d_6$, 600 MHz) δ : 1.29-1.31 (m, 3H), 3.44-3.48 (m, 1H), 3.68-3.72 (m, 1H), 3.77 (s, 3H), 4.24-4.28 (m, 2H), 5.40-5.43 (m, 1H), 6.82-6.85 (m, 2H), 7.02 (d, J = 2.4 Hz, 1H), 7.05-7.08 (m, 1H), 7.12-7.13 (m, 1H), 7.21 (d, J = 8.4 Hz, 1H), 7.30-7.32 (m, 1H), 7.99 (br s, 1H); ^{13}C NMR ($\text{DMSO}-d_6$, 150 MHz) δ : 13.9, 35.1, 44.4, 55.9, 62.6, 101.2, 111.9, 112.6, 116.4, 122.7, 126.8, 127.1, 127.3, 128.8, 131.6, 131.9, 143.0, 154.1, 160.9, 192.3.

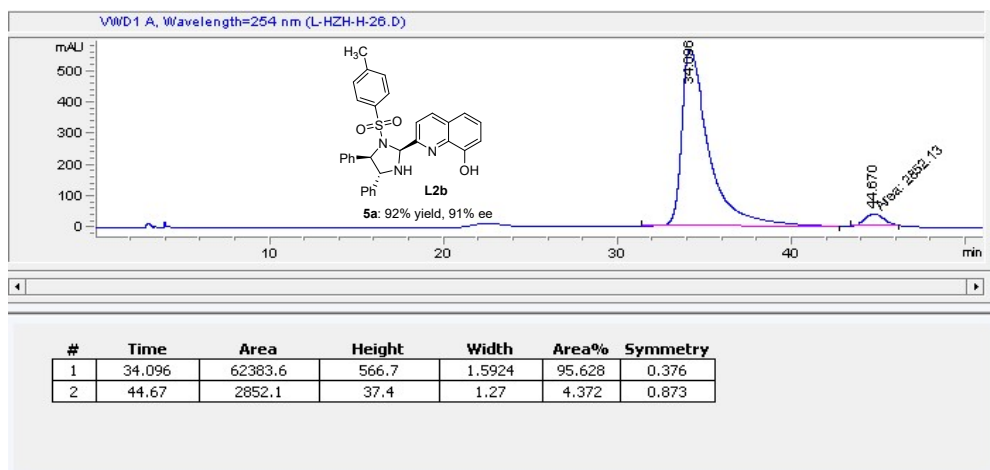
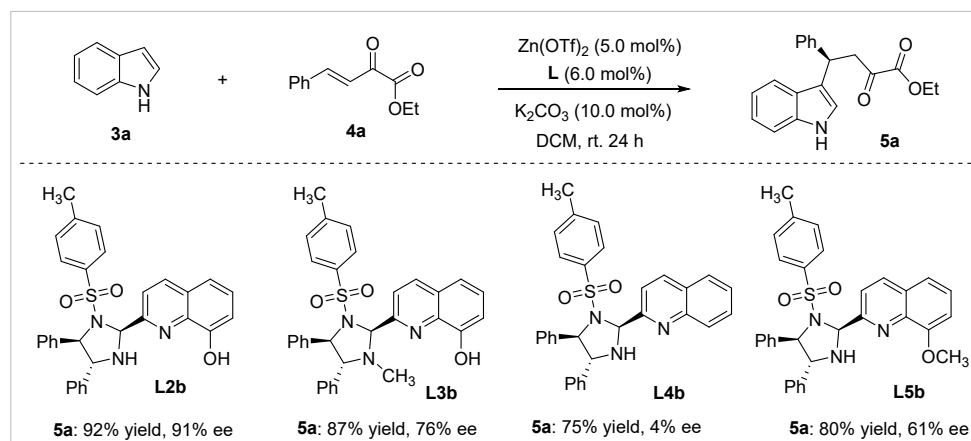


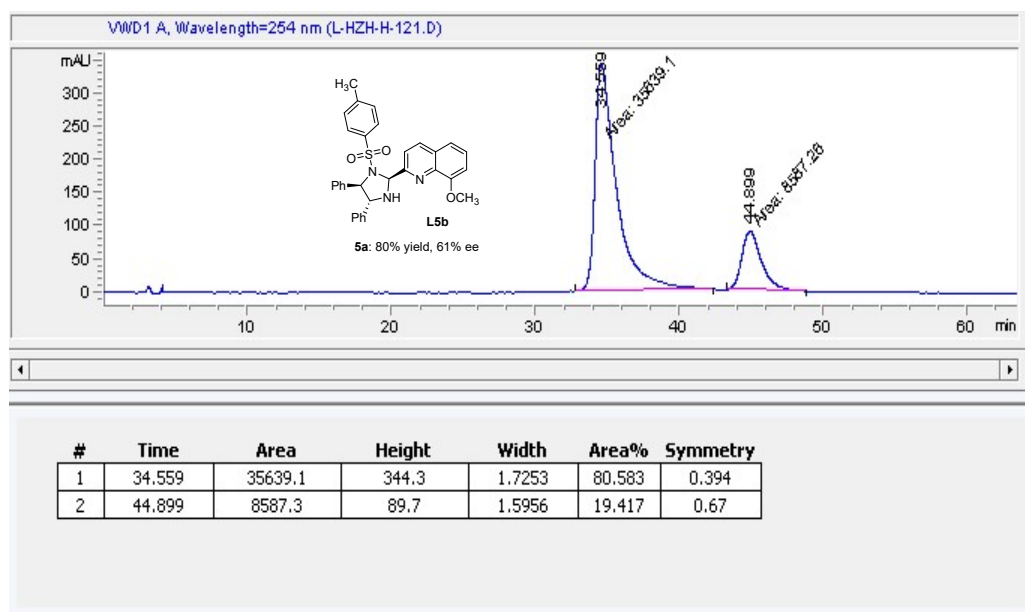
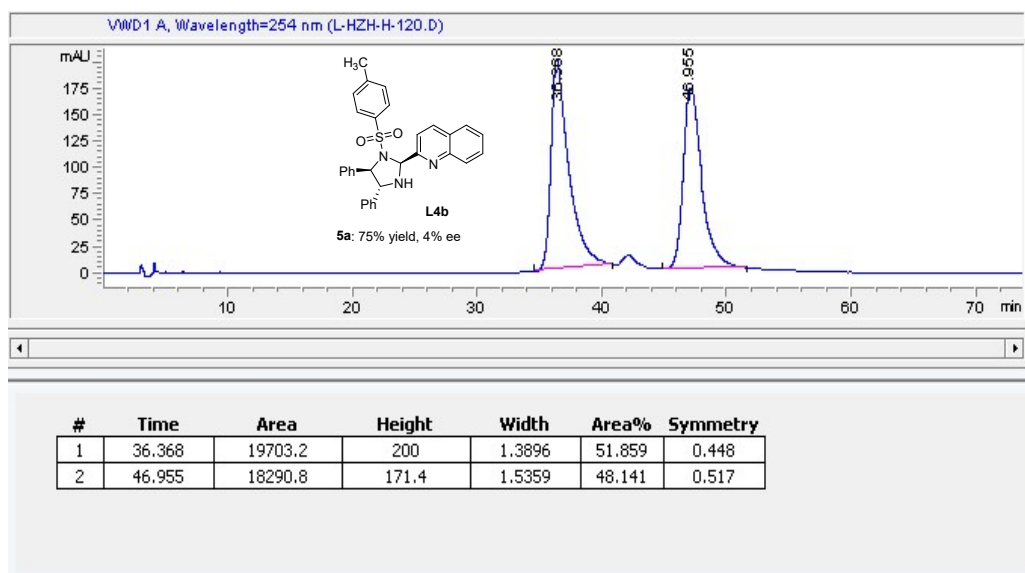
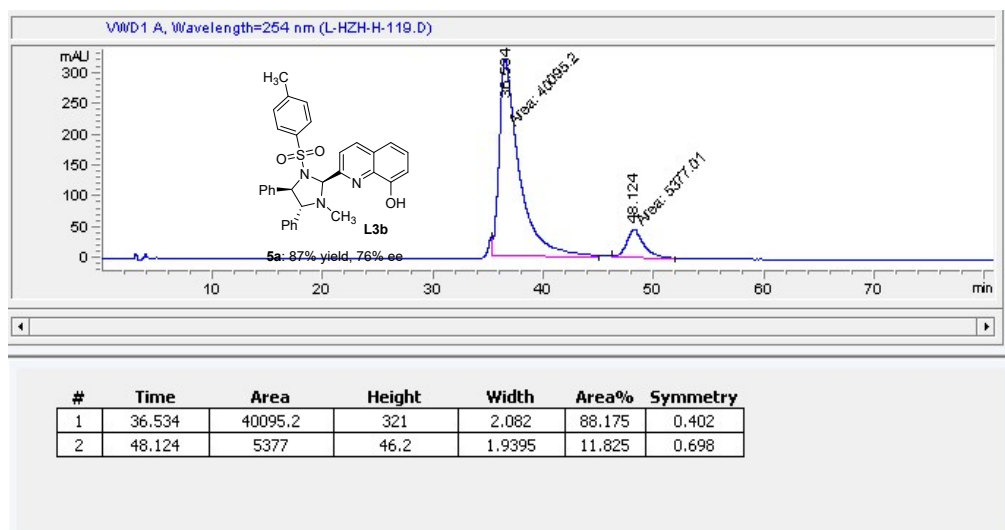
7a: Product in accordance with literature characterization data^{7e}. 83% yield, 62% ee, $[\alpha]_{\text{D}}^{20}$ = -4.1 (c 0.40, CHCl_3); Lit.^{7e} $[\alpha]_{\text{D}}^{20}$ = +6.0 (c 0.93, CHCl_3 , 91% ee for (*S*)-enantiomer). The ee was determined by HPLC analysis using a Chiralpak IE column (60/40 hexane/*i*-PrOH; flow rate: 1.0 mL/min; λ = 254 nm; τ_{major} = 8.64 min; τ_{minor} = 10.26 min). ^1H NMR (CDCl_3 , 600 MHz) δ (major + minor): 1.29-1.34 (m, 4.5H, major + minor), 2.42-2.44 (m, 2.0H, major), 2.50-2.53 (m, 0.5H, minor), 2.77-2.80 (m, 0.5H, minor), 4.18-4.21 (m, 1.0H, minor), 4.24-4.36 (m, 3.5H, major + minor), 4.75 (br s, 0.4H, minor), 5.11 (br s, 0.9H, major), 7.19-7.34 (m, 10.5H, major + minor), 7.49-7.52 (m, 1.0H, major), 7.54-7.56 (m, 0.5H, minor), 7.77 (d, J = 7.8 Hz, 1.0H, major), 7.82 (d,

$J = 7.8$ Hz, 0.5H, minor); ^{13}C NMR (CDCl_3 , 150 MHz) δ (major + minor): 14.0, 14.1, 33.8, 34.7, 35.7, 38.2, 63.5, 95.6, 96.2, 102.9, 104.8, 115.1, 115.4, 116.5, 116.7, 122.8, 122.9, 123.8, 124.0, 126.7, 126.8, 127.2, 127.4, 128.4, 128.7, 131.9, 132.1, 141.7, 142.4, 152.8, 152.9, 158.2, 158.6, 160.8, 161.7, 168.5.

6. Control experiments and HPLC spectra for compound 5a

In a sealed tube equipped with a stirring bar, to the mixture of $\text{Zn}(\text{OTf})_2$ (5.0 mol %), **L** (6.0 mol %), K_2CO_3 (10.0 mol %) in 2.0 mL of DCM was added **3a** (0.30 mmol), and **4a** (0.20 mmol). The reaction mixture was stirred at room temperature for 24 h and was directly loaded onto a silica gel and purified by flash chromatography to give the desired product **5a**, using hexane/EtOAc (10/1, v/v) as the eluent.

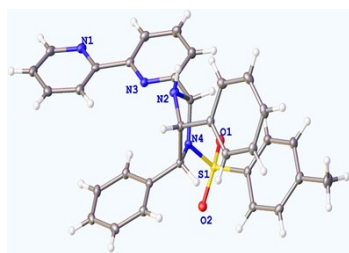




7. References

- (a) Z. Y. Chen, K. L. Xu, X. R. Wang, P. Hu, W. Y. Jiang, Y. F. Dai, L. J. Peng and X. L. Liu, *New J. Chem.*, 2024, **48**, 6670-6675; (b) Z. Y. Chen, X. R. Wang, K. L. Xu, P. Hu, Y. P. Tian, H. J. Wang, Y. Zhou and X. L. Liu, *New J. Chem.*, 2024, **48**, 1688-1695; (c) S. Yu, Q. Cai, C. Wang, J. Hou, J. Liang, Z. Jiao, C. Yao and Y. M. Li, *J. Org. Chem.*, 2023, **88**, 3046-3053; (d) Y. H. Wang, P. Hu, X. R. Wang, K. L. Xu, Q. L. Wang, H. J. Wang and X. L. Liu, *Org. Chem. Front.*, 2024, **11**, 1314-1321; (e) V. Modrocká, E. Veverková, M. Mečiarová and R. Šebesta, *J. Org. Chem.*, 2018, **83**, 13111-13120.

8. X-ray crystal data for compounds L1b, opposite enantiomer of L2a and L3b



CCDC 2455288

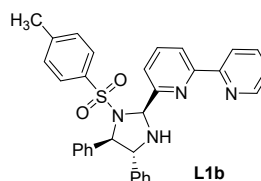
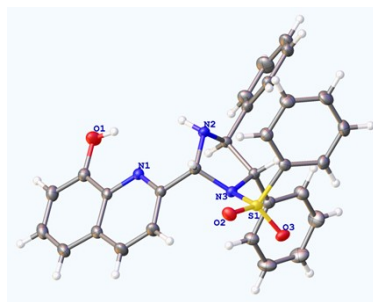


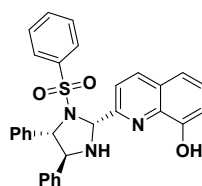
Table S1 Crystal data and structure refinement for L1b

Identification code	L1b
Empirical formula	C ₃₂ H ₂₈ N ₄ O ₂ S
Formula weight	532.64
Temperature/K	100.00(10)
Crystal system	orthorhombic
Space group	P2 ₁ 2 ₁ 2 ₁
a/Å, b/Å, c/Å	9.22750(10), 16.64650(10), 17.39550(10)
α/°, β/°, γ/°	90, 90, 90
Volume/Å ³	2672.05(4)
Z	4
ρ _{calc} /cm ³	1.324
μ/mm ⁻¹	1.372
F(000)	1120.0
Radiation	Cu Kα (λ = 1.54184)
Crystal size/mm ³	0.13 × 0.12 × 0.1
2θ range for data collection/°	7.35 to 145.82
Index ranges	-11 ≤ h ≤ 9, -17 ≤ k ≤ 20, -20 ≤ l ≤ 21
Reflections collected	16304
Independent reflections	4897 [R _{int} = 0.0202, R _{sigma} = 0.0174]
Data/restraints/parameters	4897/0/353
Goodness-of-fit on F ²	1.032
Final R indexes [I ≥ 2σ (I)]	R ₁ = 0.0277, wR ₂ = 0.0732
Final R indexes [all data]	R ₁ = 0.0284, wR ₂ = 0.0737
Largest diff. peak/hole / e Å ⁻³	0.43/-0.43
Flack parameter	-0.001(6)/0.001(4)

Crystal Data for C₃₂H₂₈N₄O₂S (*M* = 532.64 g/mol): orthorhombic, space group P2₁2₁2₁ (no. 19), *a* = 9.22750(10) Å, *b* = 16.64650(10) Å, *c* = 17.39550(10) Å, *V* = 2672.05(4) Å³, *Z* = 4, *T* = 100.00(10) K, μ(Cu Kα) = 1.372 mm⁻¹, *D*_{calc} = 1.324 g/cm³, 16304 reflections measured (7.35° ≤ 2θ ≤ 145.82°), 4897 unique (*R*_{int} = 0.0202, *R*_{sigma} = 0.0174) which were used in all calculations. The final *R*₁ was 0.0277 (*I* > 2σ(*I*)) and *wR*₂ was 0.0737 (all data).



CCDC 2475677

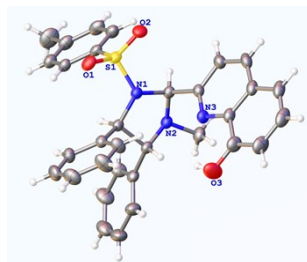


opposite enantiomer of **L2a**

Table S2 Crystal data and structure refinement for opposite enantiomer of L2a

Identification code	opposite enantiomer of L2a
Empirical formula	C ₃₀ H ₂₅ N ₃ O ₃ S
Formula weight	507.59
Temperature/K	170.00(10)
Crystal system	orthorhombic
Space group	P2 ₁ 2 ₁ 2 ₁
a/Å, b/Å, c/Å	7.63797(4), 13.05510(8), 25.73785(17)
α/°, β/°, γ/°	90, 90, 90
Volume/Å ³	2566.44(3)
Z	4
ρ _{calc} /cm ³	1.314
μ/mm ⁻¹	1.421
F(000)	1064.0
Radiation	Cu Kα (λ = 1.54184)
Crystal size/mm ³	0.14 × 0.13 × 0.11
2θ range for data collection/°	7.594 to 146.56
Index ranges	-8 ≤ h ≤ 5, -16 ≤ k ≤ 16, -31 ≤ l ≤ 31
Reflections collected	26093
Independent reflections	4930 [R _{int} = 0.0180, R _{sigma} = 0.0118]
Data/restraints/parameters	4930/0/340
Goodness-of-fit on F ²	1.036
Final R indexes [I ≥ 2σ (I)]	R ₁ = 0.0238, wR ₂ = 0.0647
Final R indexes [all data]	R ₁ = 0.0241, wR ₂ = 0.0650
Largest diff. peak/hole / e Å ⁻³	0.19/-0.25
Flack parameter	0.001(3)/-0.006(2)

Crystal Data for C₃₀H₂₅N₃O₃S (*M* = 507.59 g/mol): orthorhombic, space group P2₁2₁2₁ (no. 19), *a* = 7.63797(4) Å, *b* = 13.05510(8) Å, *c* = 25.73785(17) Å, *V* = 2566.44(3) Å³, *Z* = 4, *T* = 170.00(10) K, μ(Cu Kα) = 1.421 mm⁻¹, *D*_{calc} = 1.314 g/cm³, 26093 reflections measured (7.594° ≤ 2θ ≤ 146.56°), 4930 unique (*R*_{int} = 0.0180, *R*_{sigma} = 0.0118) which were used in all calculations. The final *R*₁ was 0.0238 (*I* > 2σ(*I*)) and *wR*₂ was 0.0650 (all data).



CCDC 2535125

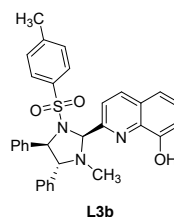


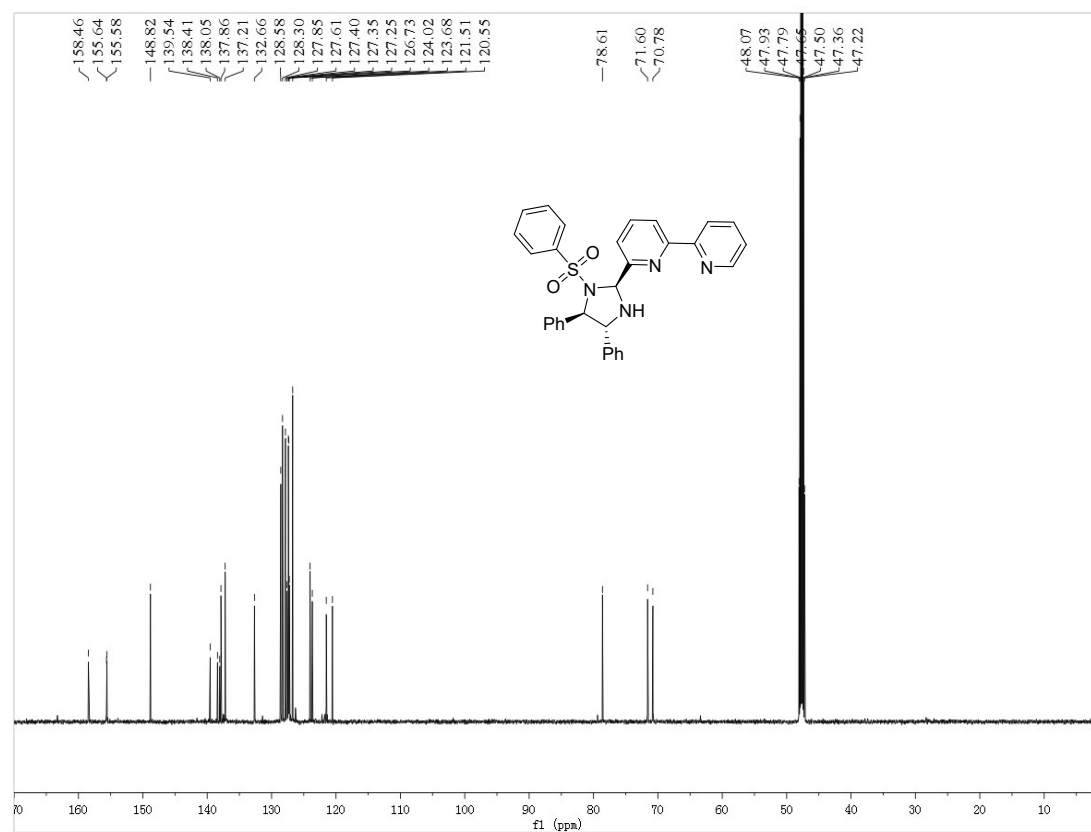
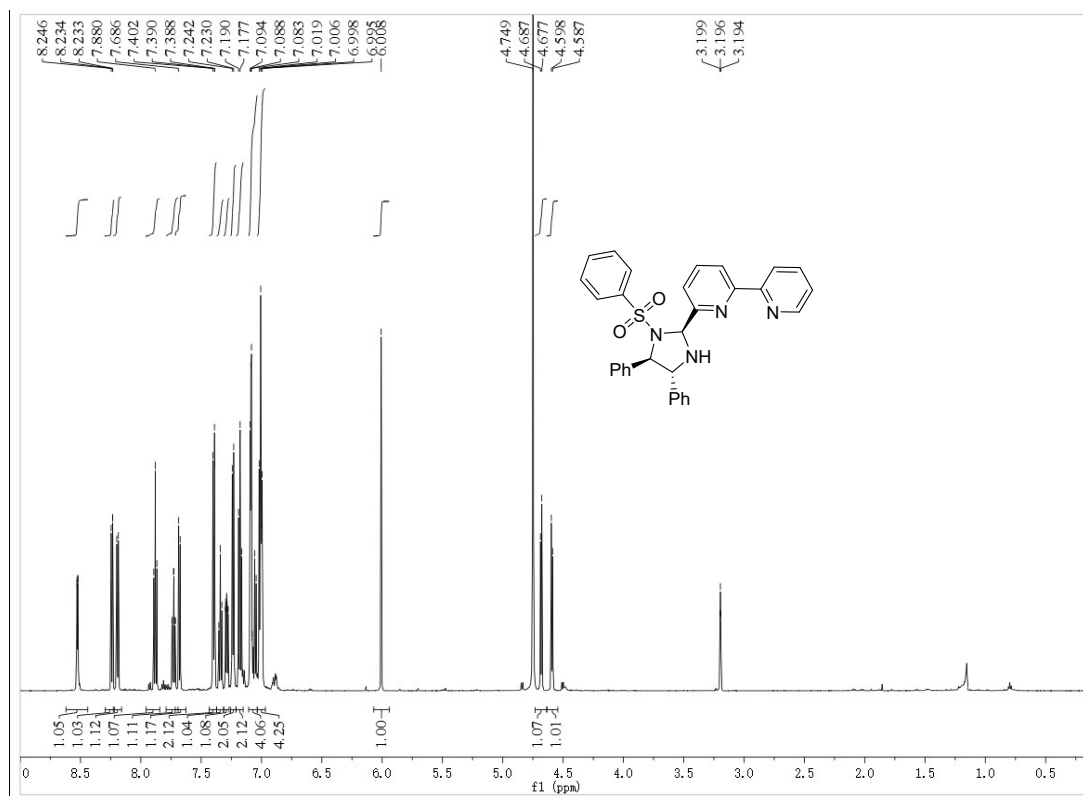
Table S3 Crystal data and structure refinement for L3b

Identification code	L3b
Empirical formula	C ₃₂ H ₂₉ N ₃ O ₃ S
Formula weight	535.64
Temperature/K	295.34(10)
Crystal system	tetragonal
Space group	P4 ₃ 2 ₁ 2
a/Å, b/Å, c/Å	13.59179(5), 13.59179(5), 30.9537(2)
α/°, β/°, γ/°	90, 90, 90
Volume/Å ³	5718.28(6)
Z	8
ρ _{calc} /g/cm ³	1.244
μ/mm ⁻¹	1.301
F(000)	2256.0
Radiation	Cu Kα (λ = 1.54184)
Crystal size/mm ³	0.18 × 0.13 × 0.11
2θ range for data collection/°	7.104 to 154.178
Index ranges	-16 ≤ h ≤ 17, -17 ≤ k ≤ 16, -34 ≤ l ≤ 38
Reflections collected	67444
Independent reflections	5753 [R _{int} = 0.0505, R _{sigma} = 0.0187]
Data/restraints/parameters	5753/0/356
Goodness-of-fit on F ²	1.081
Final R indexes [I ≥ 2σ (I)]	R ₁ = 0.0359, wR ₂ = 0.1000
Final R indexes [all data]	R ₁ = 0.0381, wR ₂ = 0.1017
Largest diff. peak/hole / e Å ⁻³	0.17/-0.22
Flack parameter	0.006(7)/0.002(4)

Crystal Data for C₃₂H₂₉N₃O₃S (*M* = 535.64 g/mol): tetragonal, space group P4₃2₁2 (no. 96), *a* = 13.59179(5) Å, *c* = 30.9537(2) Å, *V* = 5718.28(6) Å³, *Z* = 8, *T* = 295.34(10) K, μ(Cu Kα) = 1.301 mm⁻¹, *D*_{calc} = 1.244 g/cm³, 67444 reflections measured (7.104° ≤ 2θ ≤ 154.178°), 5753 unique (*R*_{int} = 0.0505, *R*_{sigma} = 0.0187) which were used in all calculations. The final *R*₁ was 0.0359 (*I* > 2σ(*I*)) and *wR*₂ was 0.1017 (all data).

9. The copies of ^1H NMR, ^{13}C NMR and HPLC spectra for compounds L, 5 and 7a

^1H and ^{13}C NMR of L1a

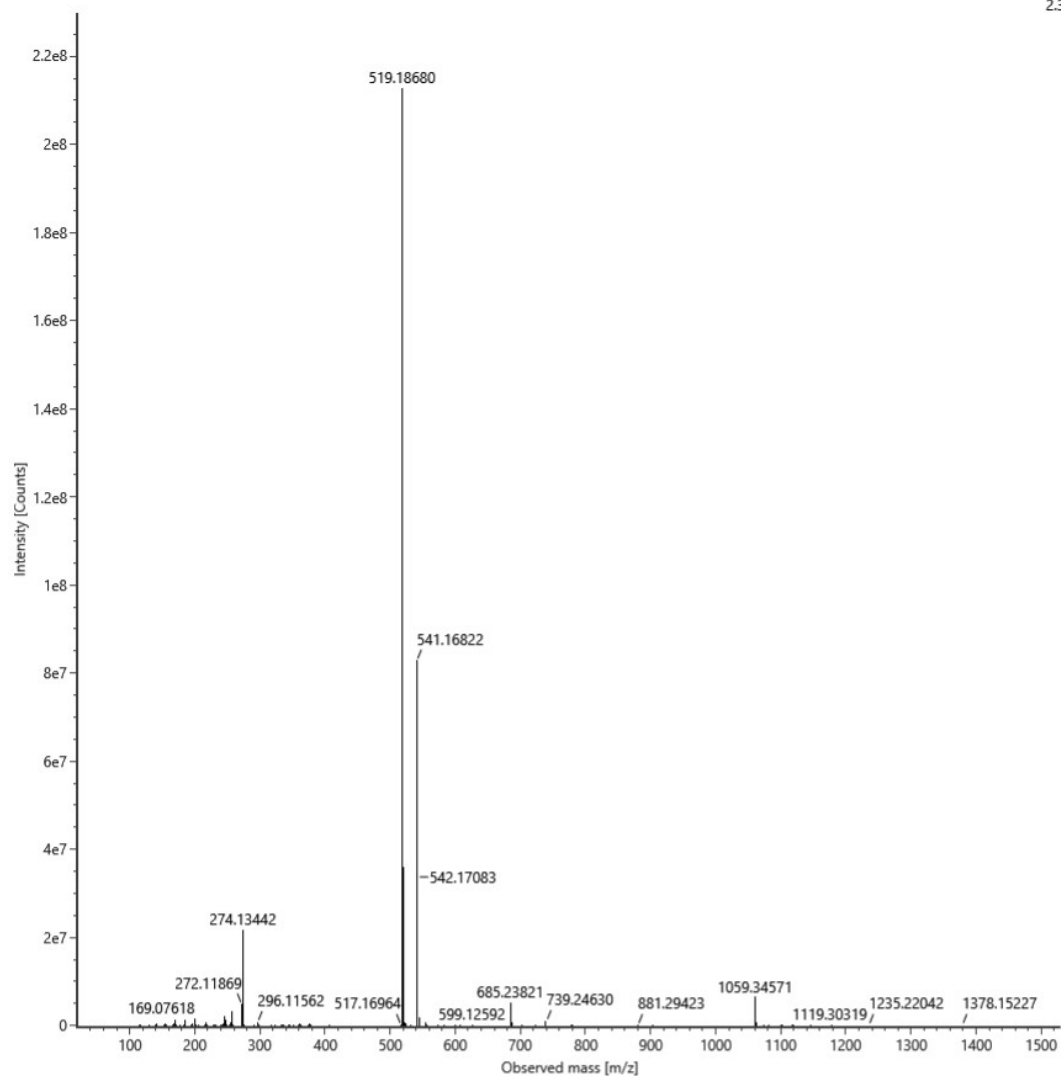


HRMS of L1a

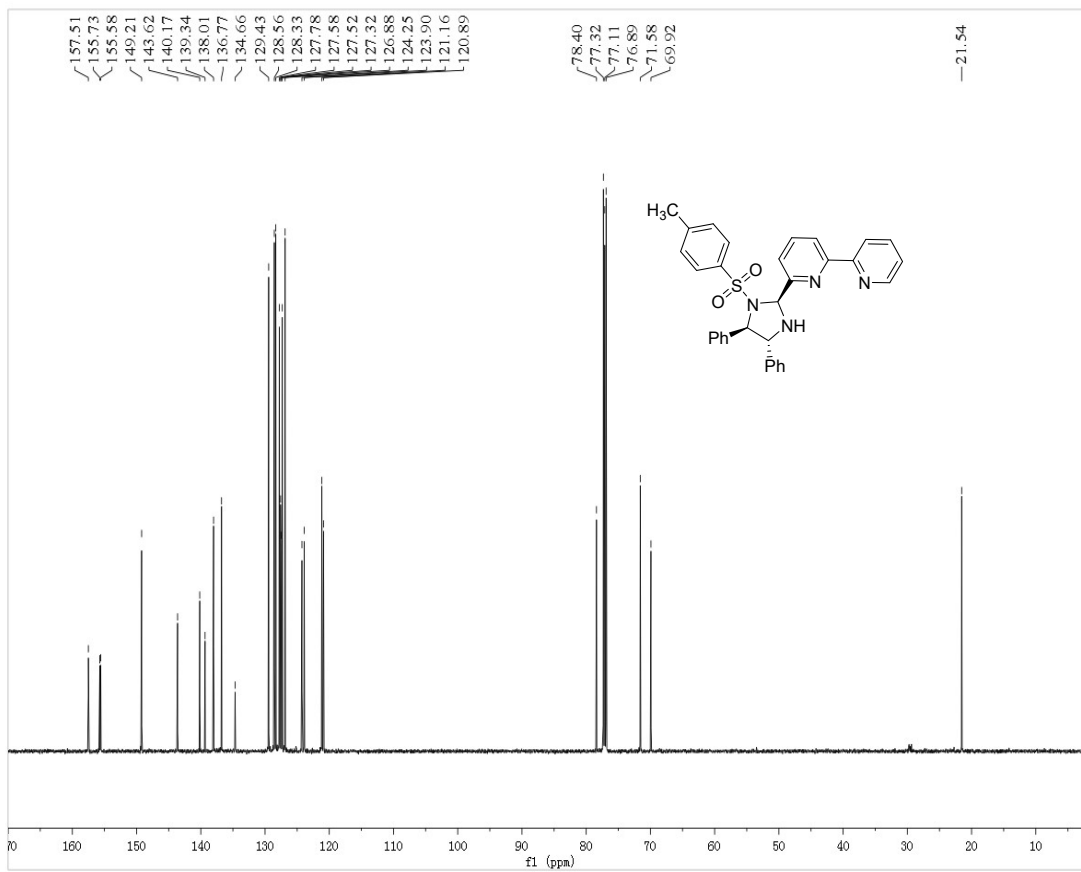
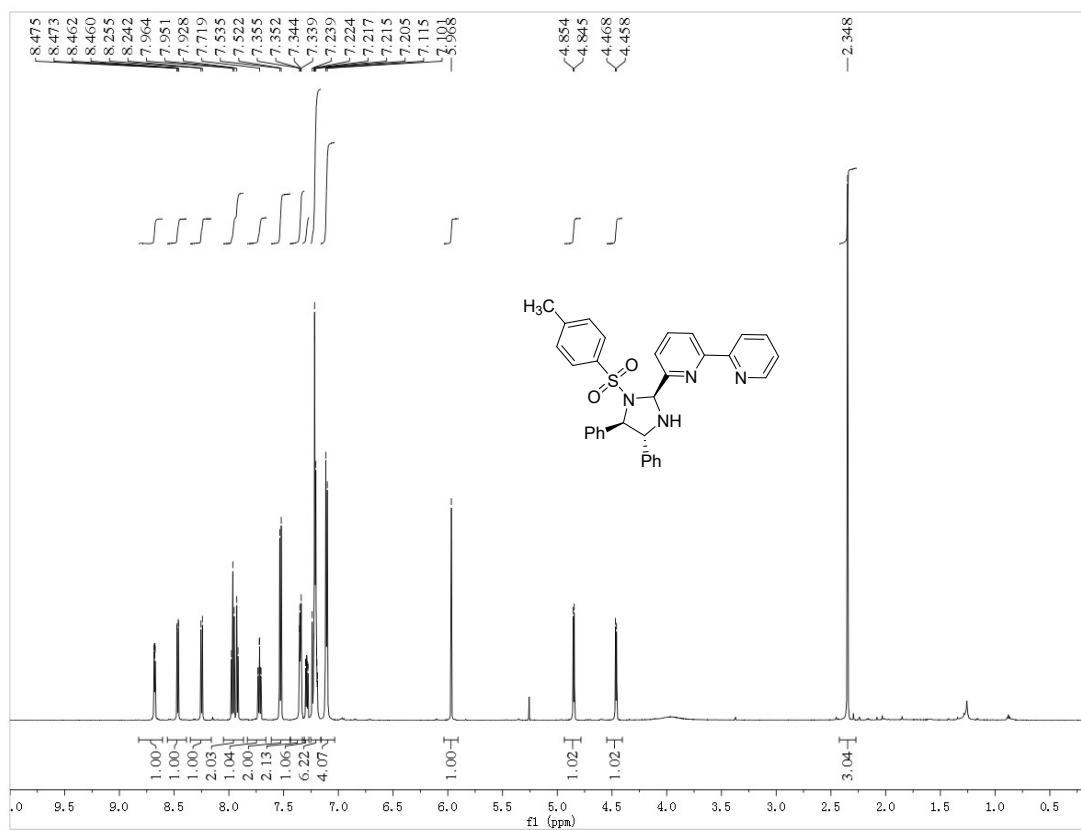
Item name: L-HZH-Bpy-sulidine-3
Item description:

Channel name: 1: Average Time 0.1806 min : TOF MS (50-1500) ESI+ : Centroided : Combined

2.3e8



¹H and ¹³C NMR of L1b

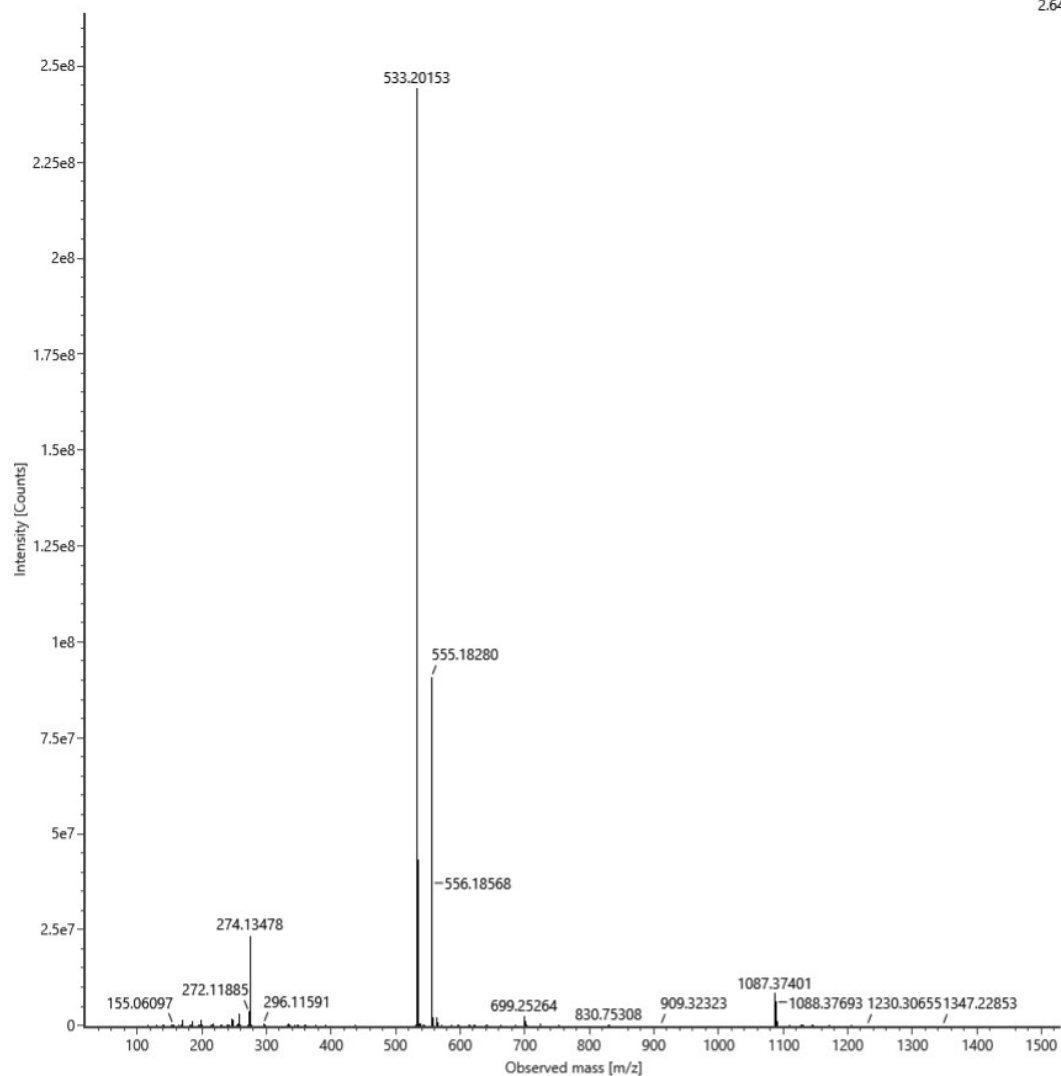


HRMS of L1b

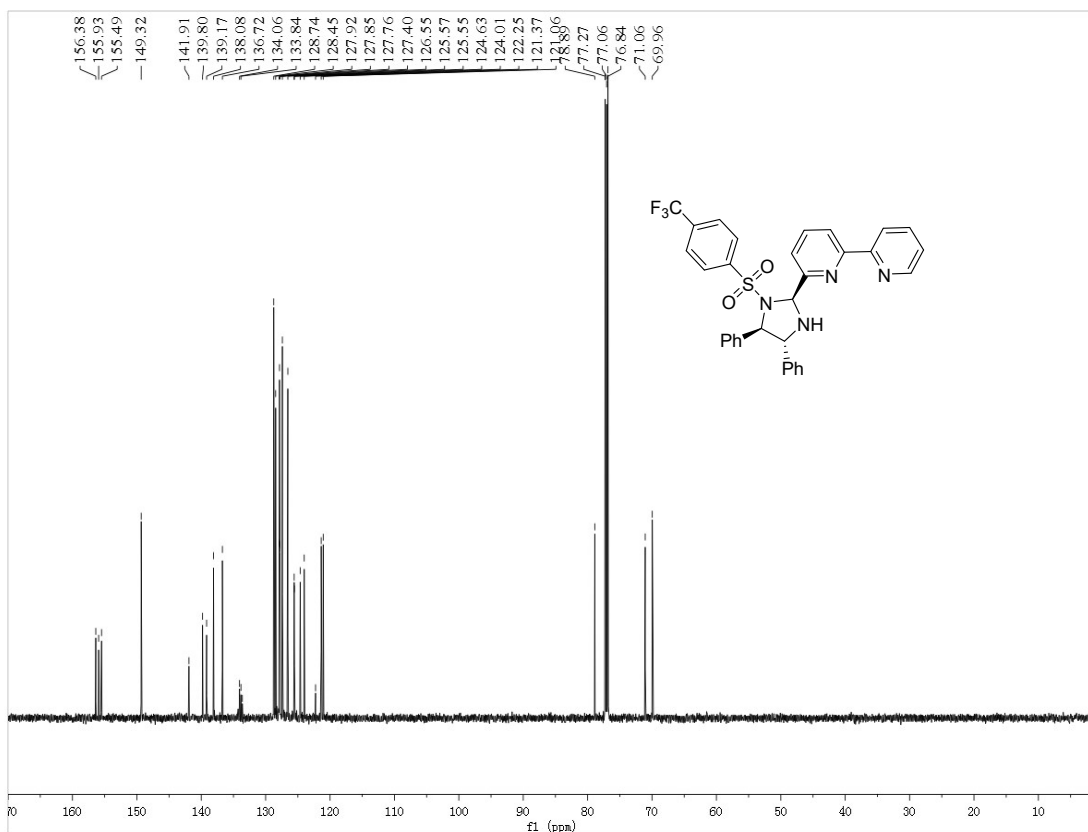
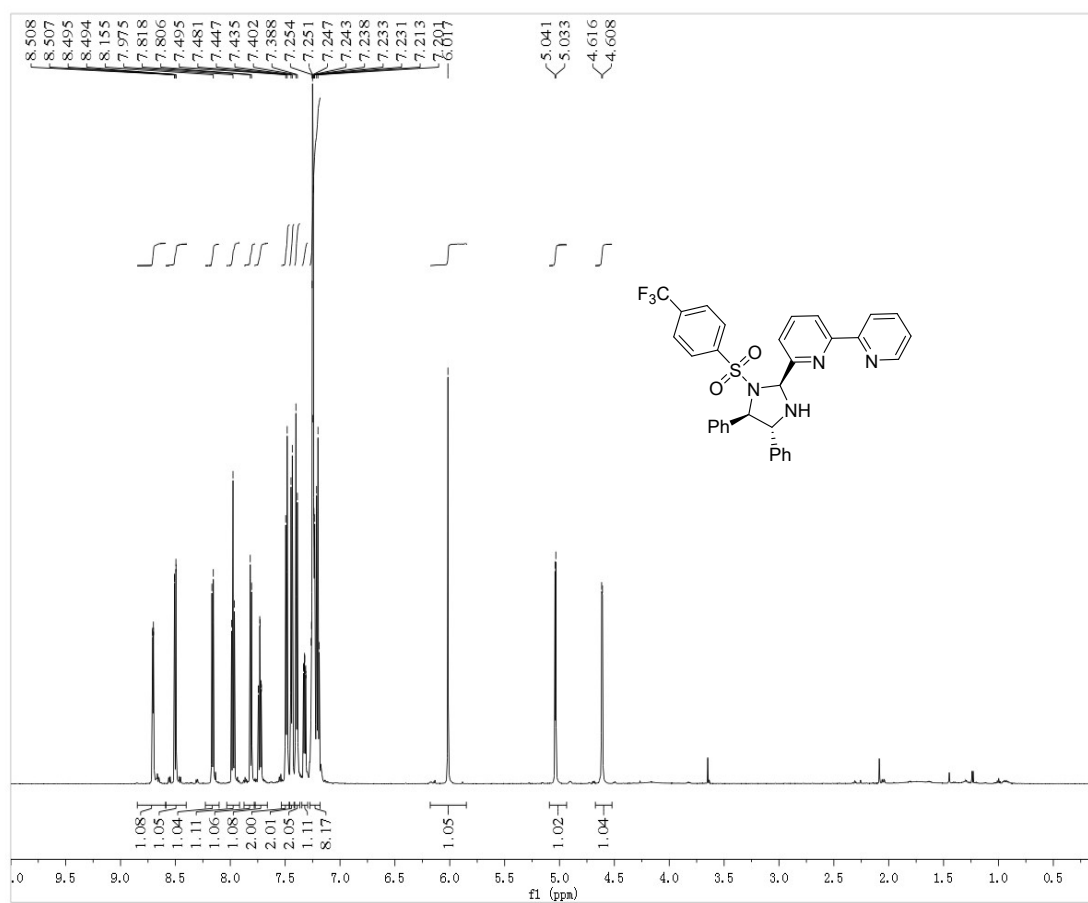
Item name: L-HZH-Bpy-sulidine-1
Item description:

Channel name: 1: Average Time 0.2020 min : TOF MS (50-1500) ESI+ : Centroided : Combined

2.64e8



¹H and ¹³C NMR of L1c

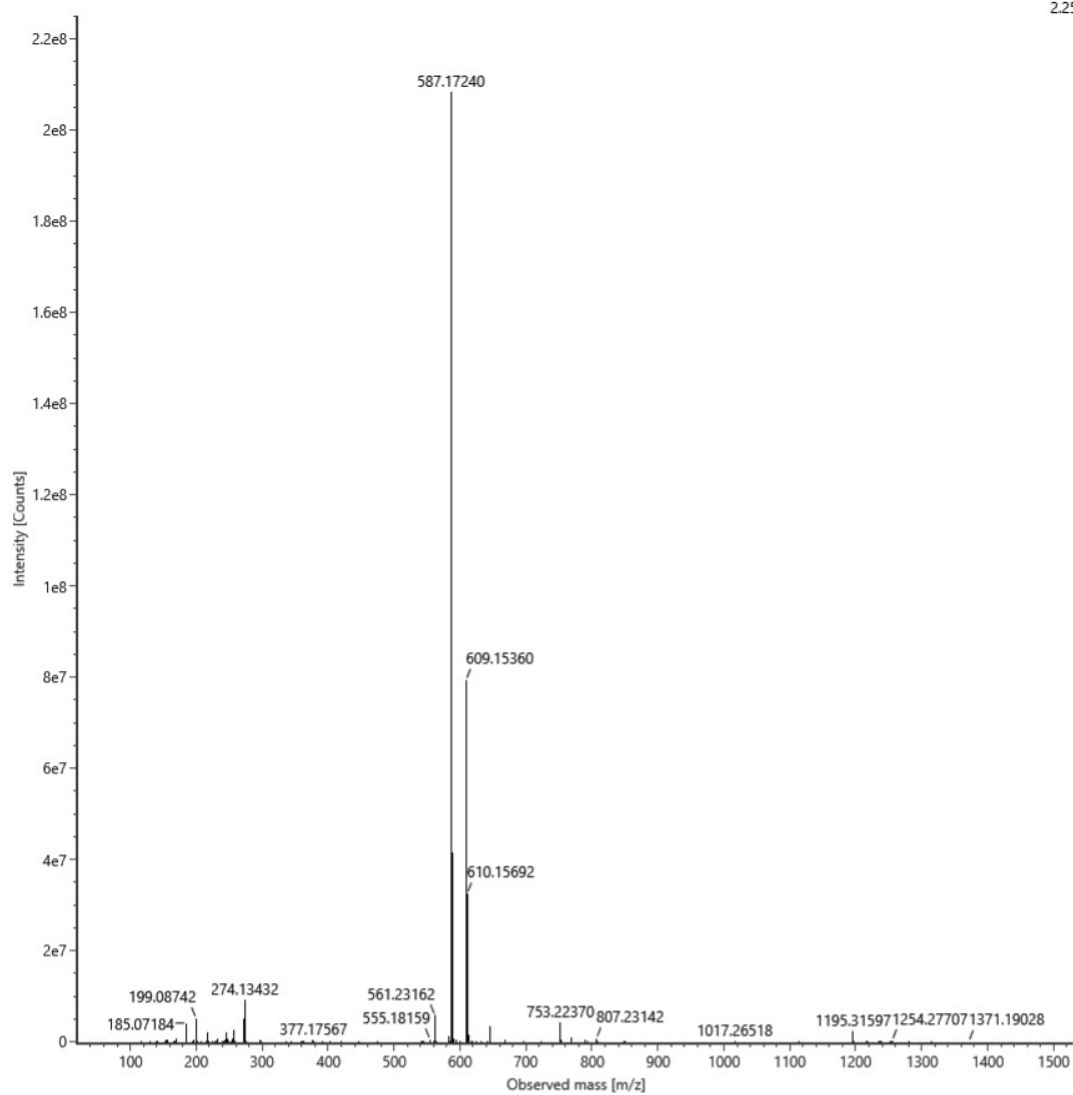


HRMS of L1c

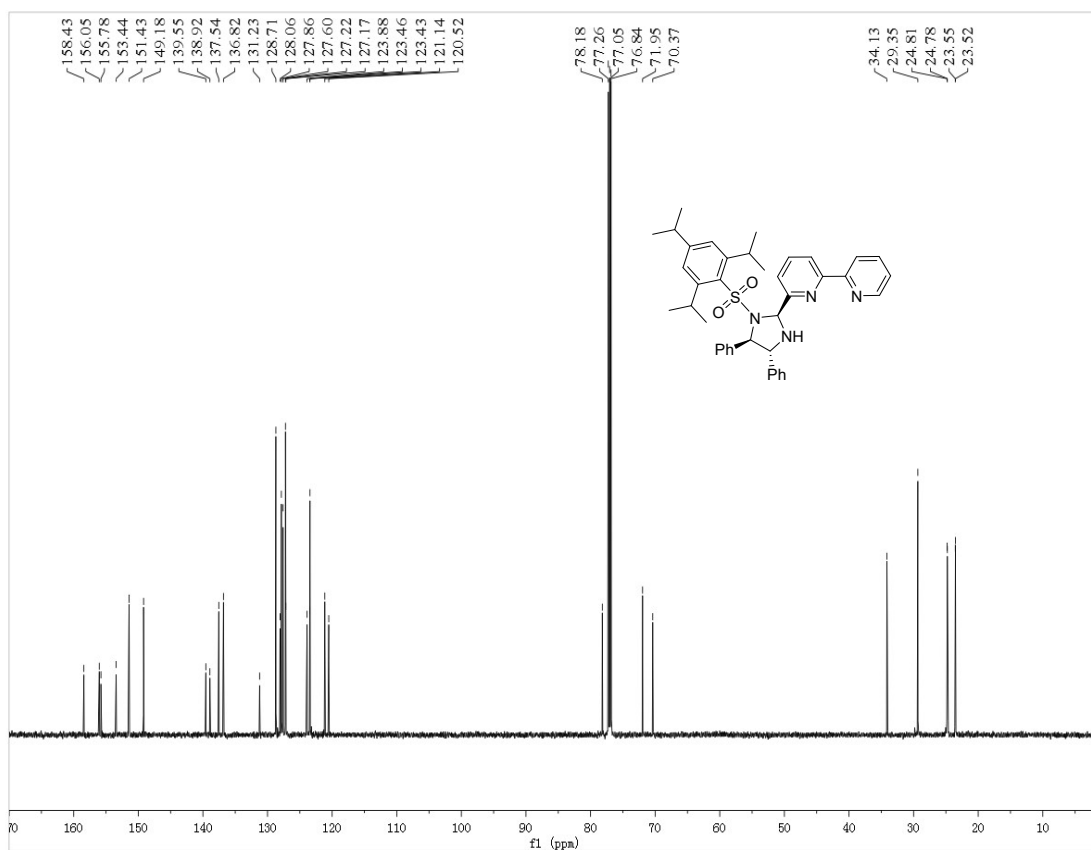
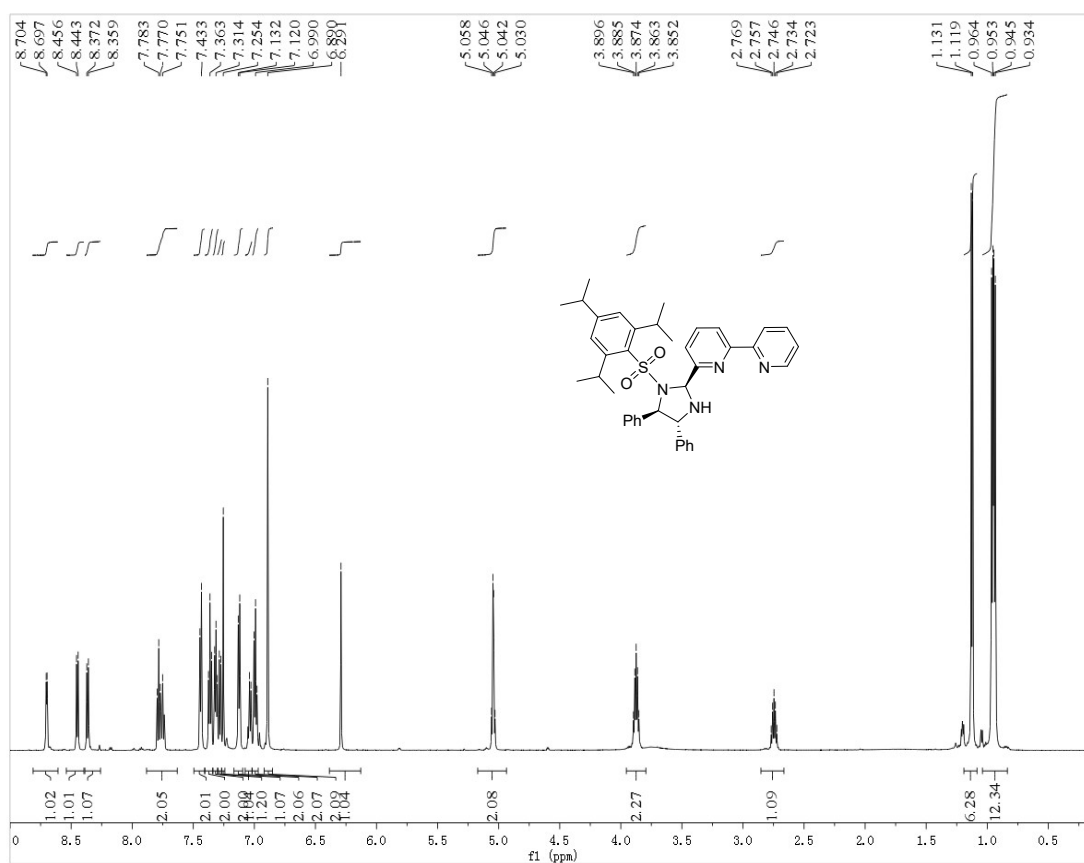
Item name: L-HZH-Bpy-sulidine-9
Item description:

Channel name: 1: Average Time 0.1806 min : TOF MS (50-1500) ESI+ : Centroided : Combined

2.25e8



¹H and ¹³C NMR of L1d

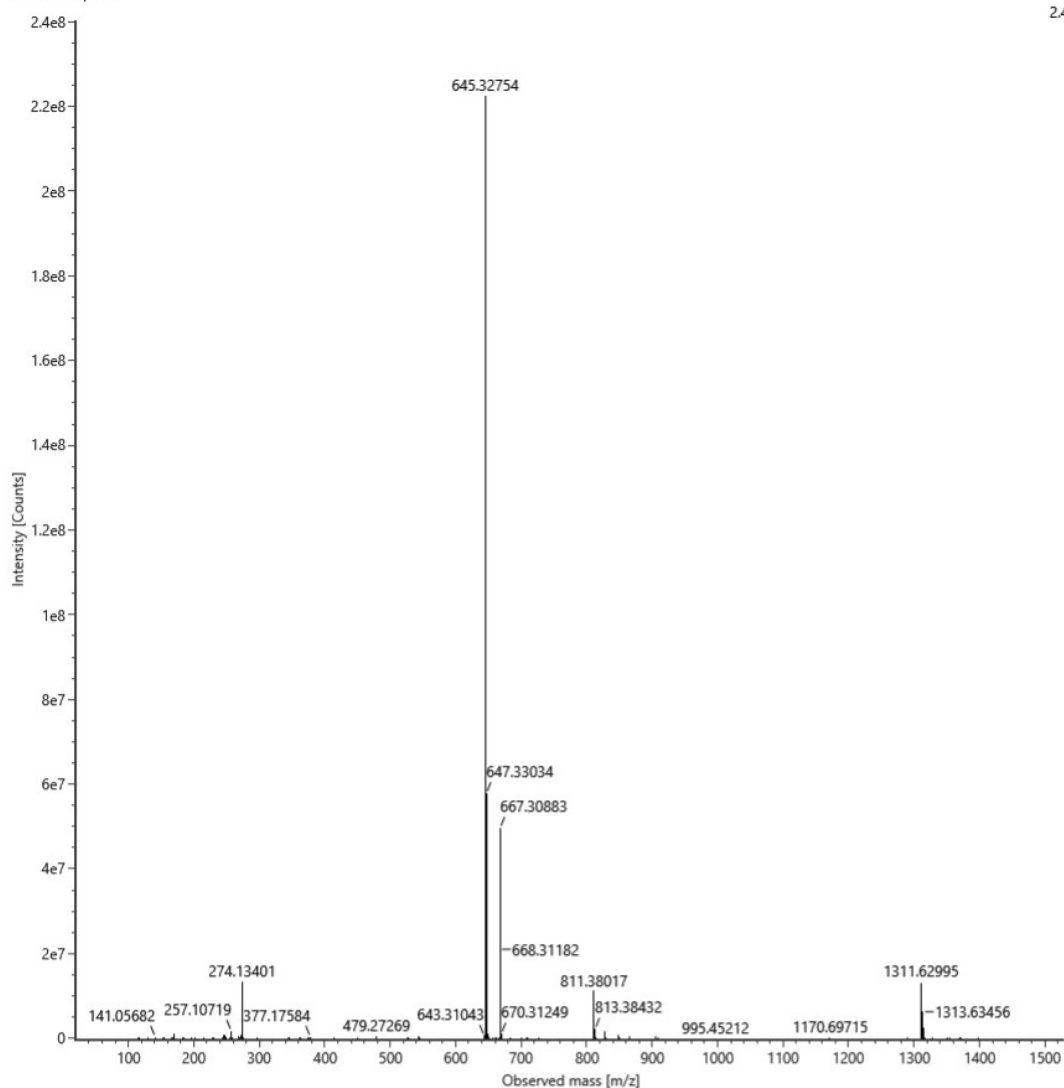


HRMS of L1d

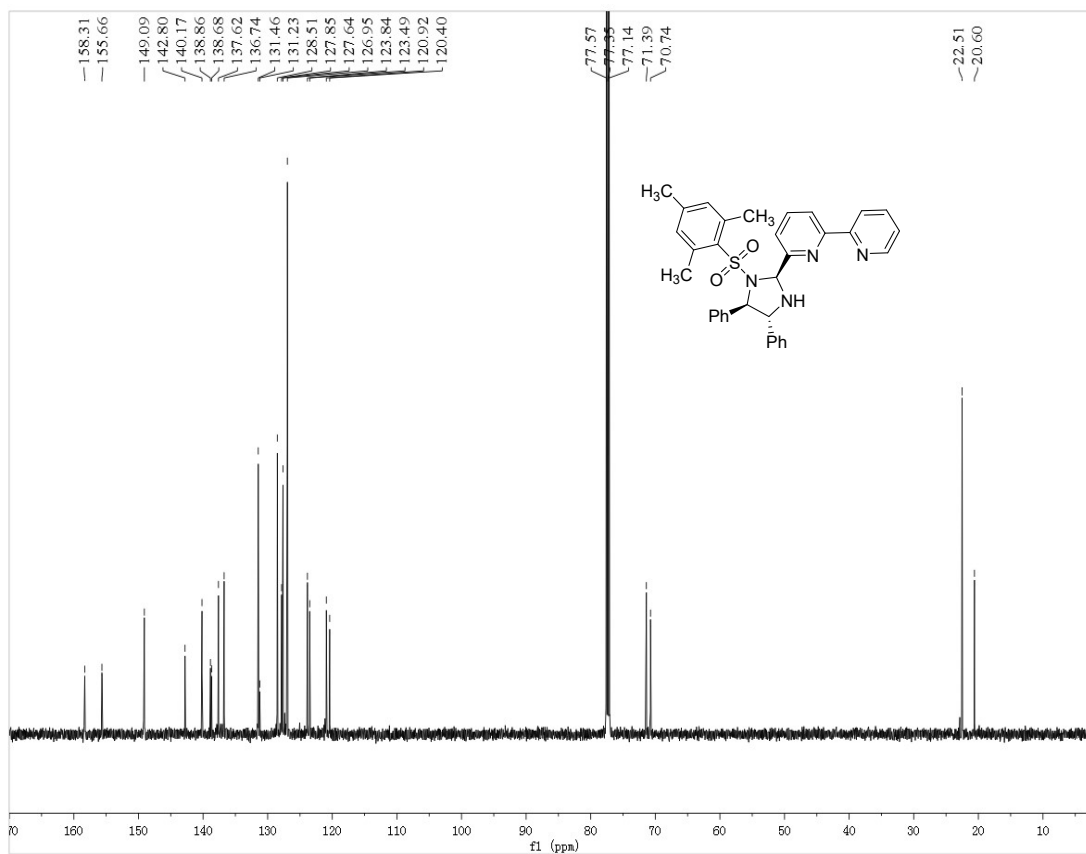
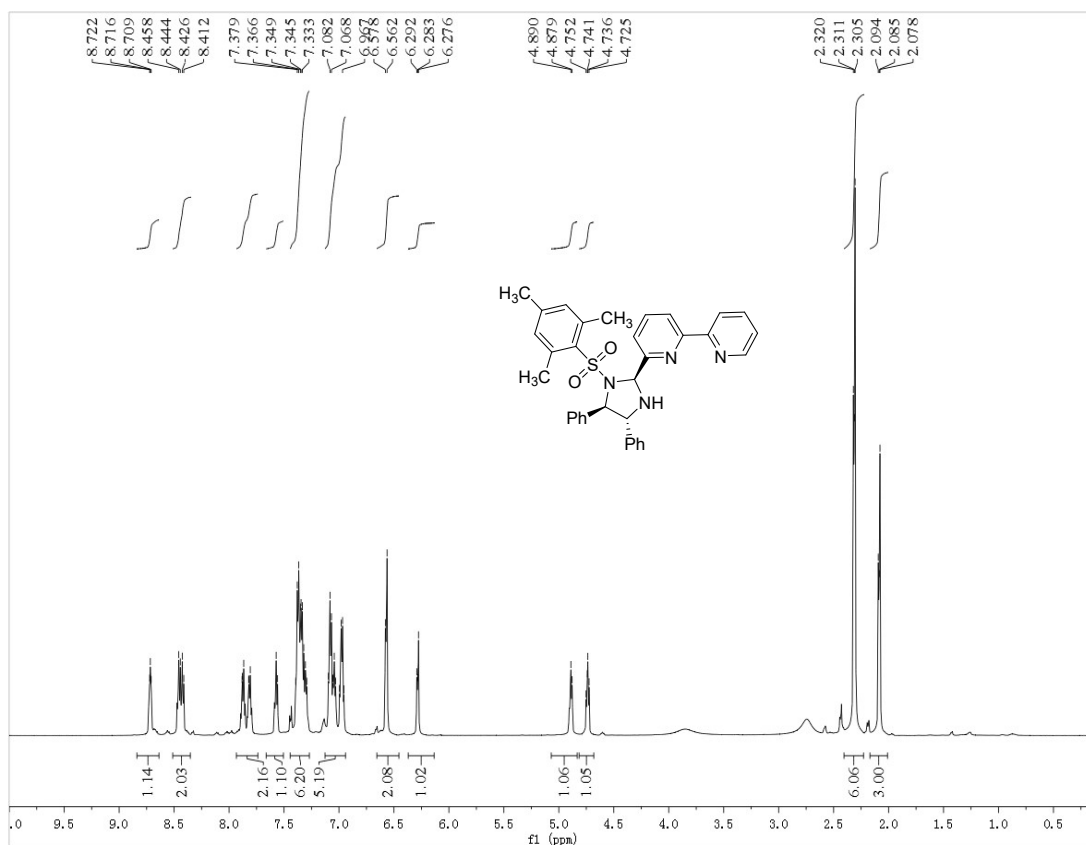
Item name: L-HZH-Bpy-sulidine-7
Item description:

Channel name: 1: Average Time 0.1806 min : TOF MS (50-1500) ESI+ : Centroided : Combined

2.4e8



¹H and ¹³C NMR of L1e

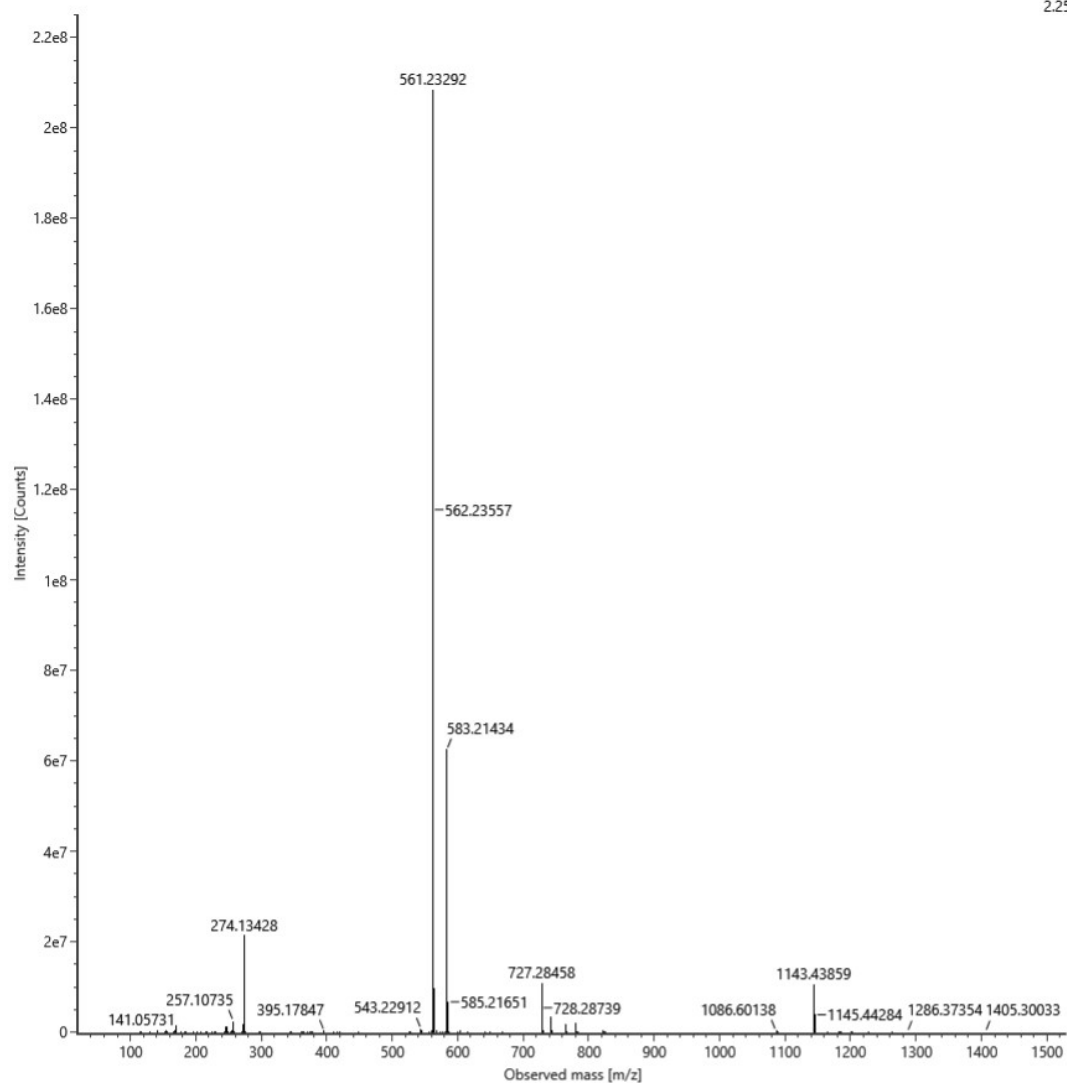


HRMS of L1e

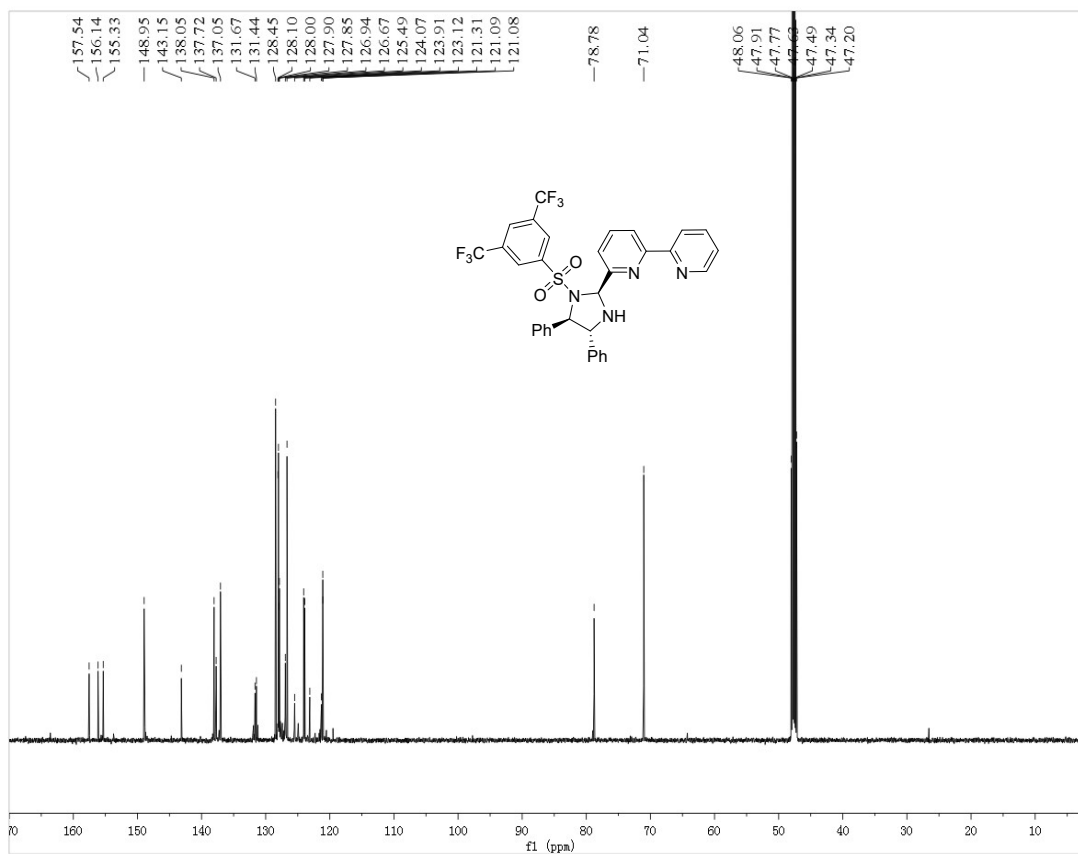
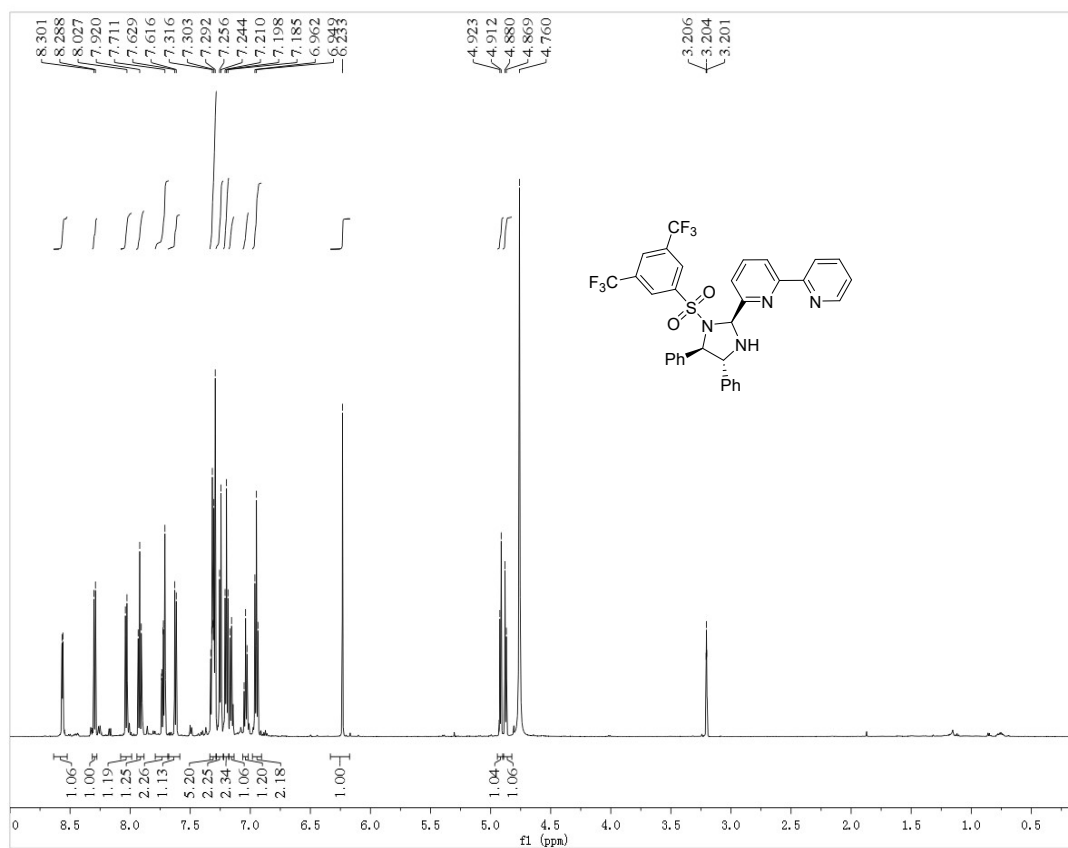
Item name: L-HZH-Bpy-sulidine-8
Item description:

Channel name: 1: Average Time 0.1806 min : TOF MS (50-1500) ESI+ : Centroided : Combined

2.25e8



¹H and ¹³C NMR of L1f

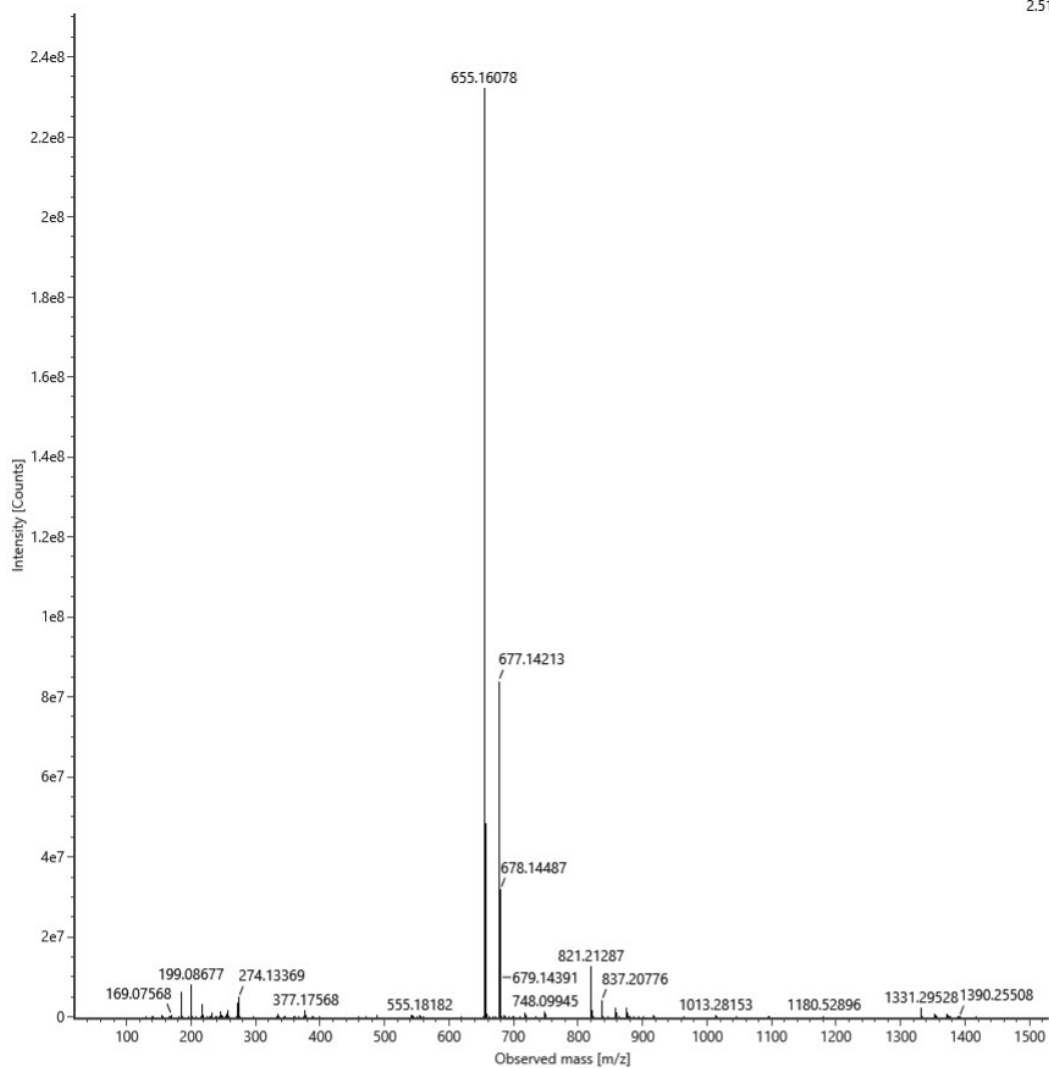


HRMS of L1f

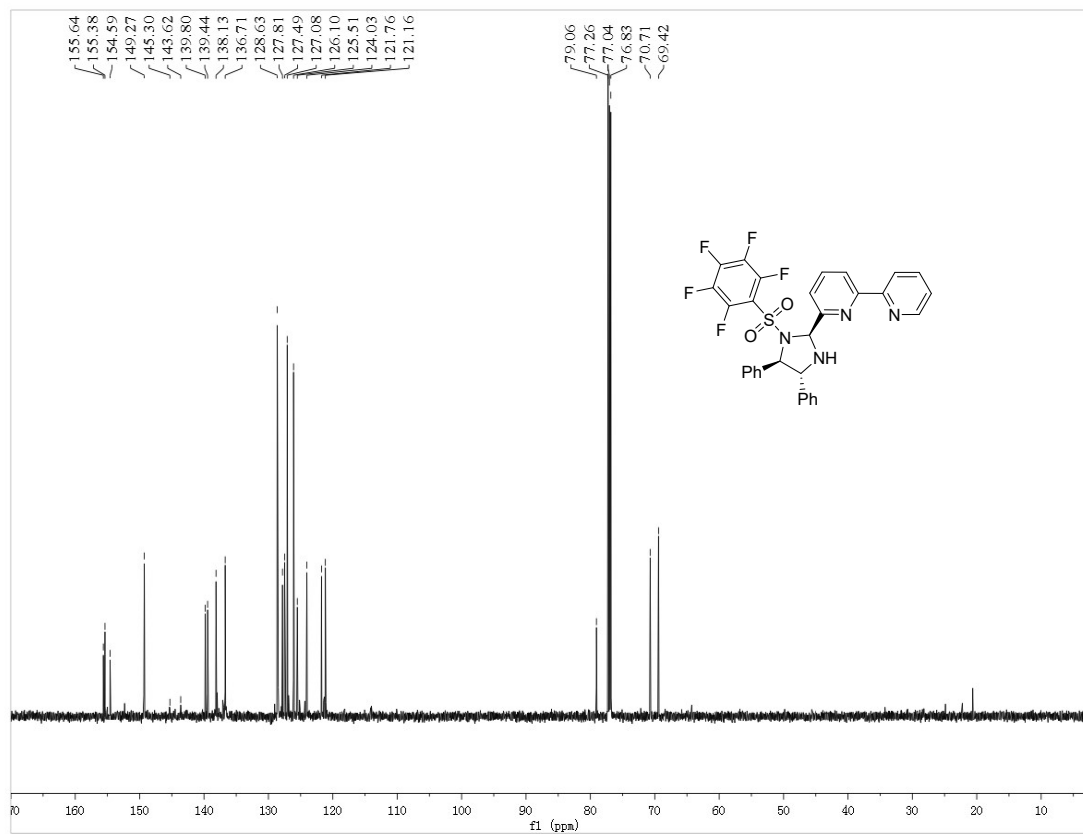
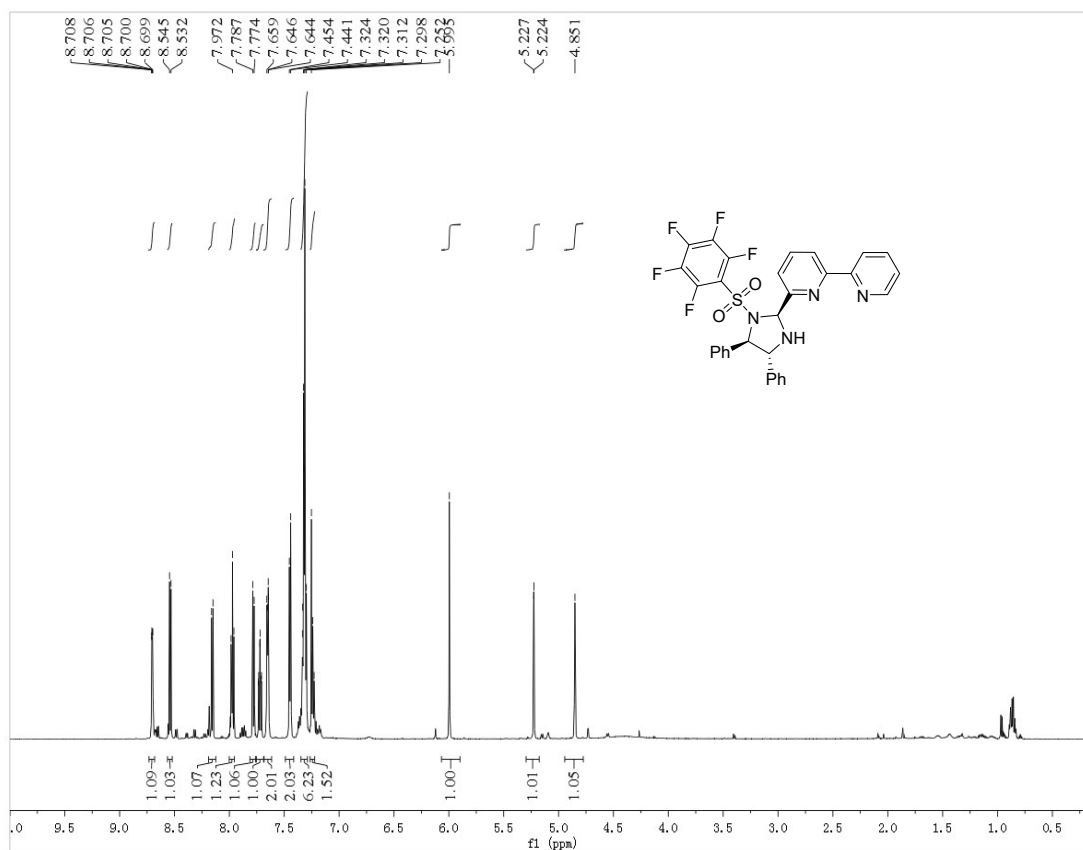
Item name: L-HZH-Bpy-sulidine-4
Item description:

Channel name: 1: Average Time 0.1763 min : TOF MS (50-1500) ESI+ : Centroided : Combined

2.51e8



¹H and ¹³C NMR of L1g

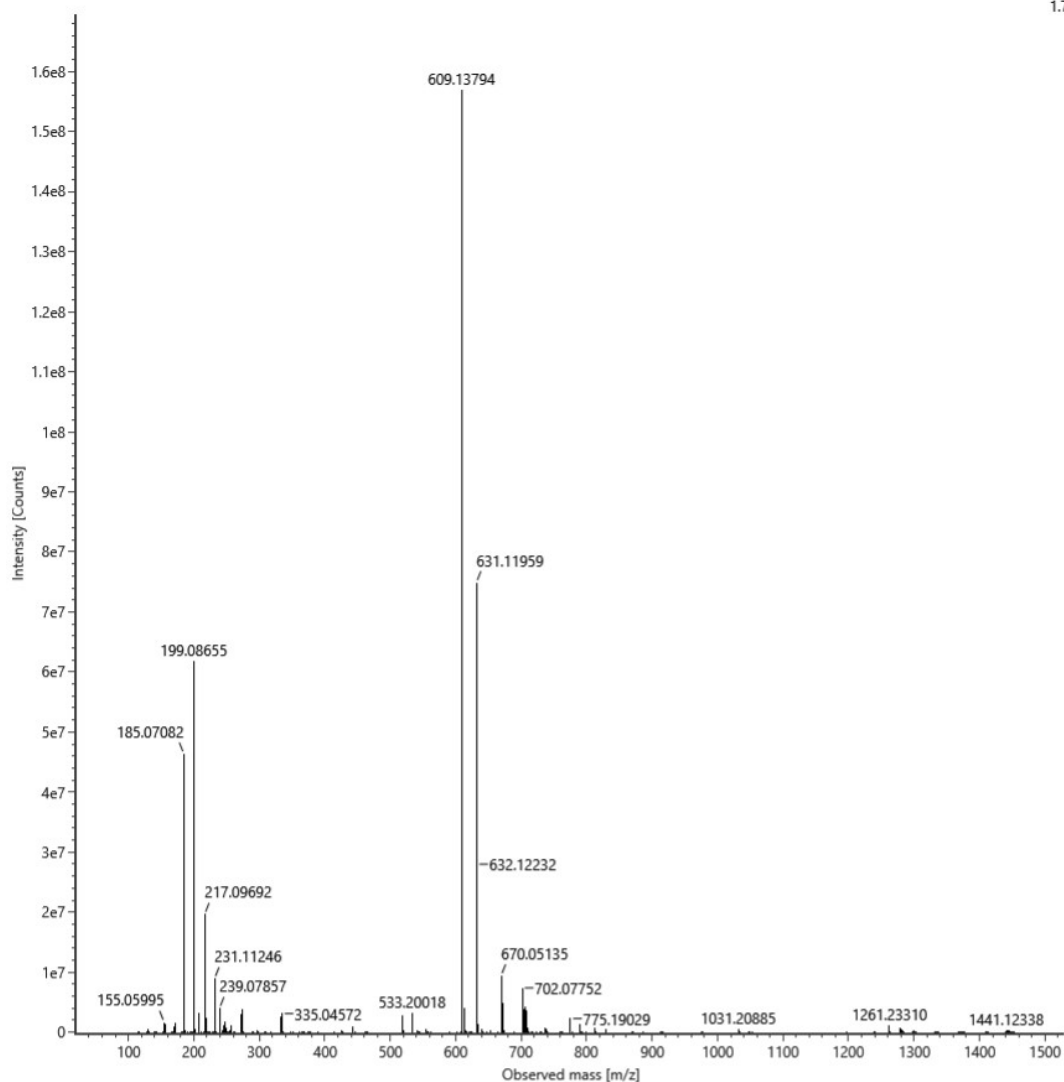


HRMS of L1g

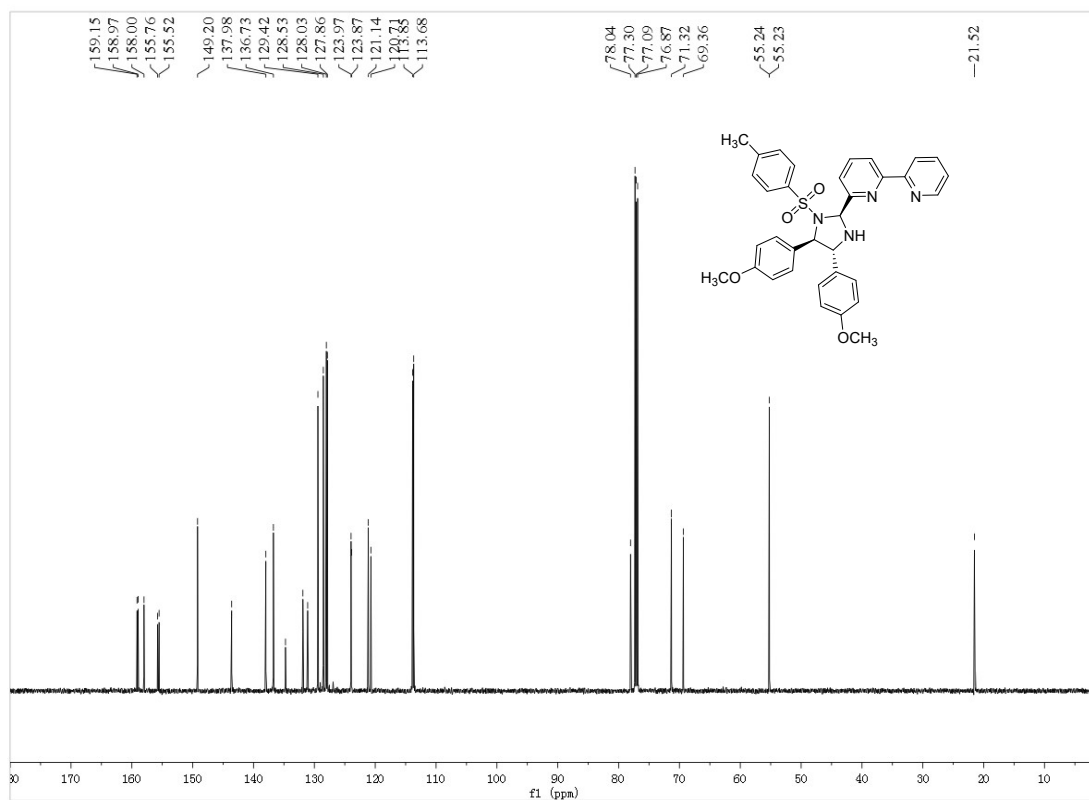
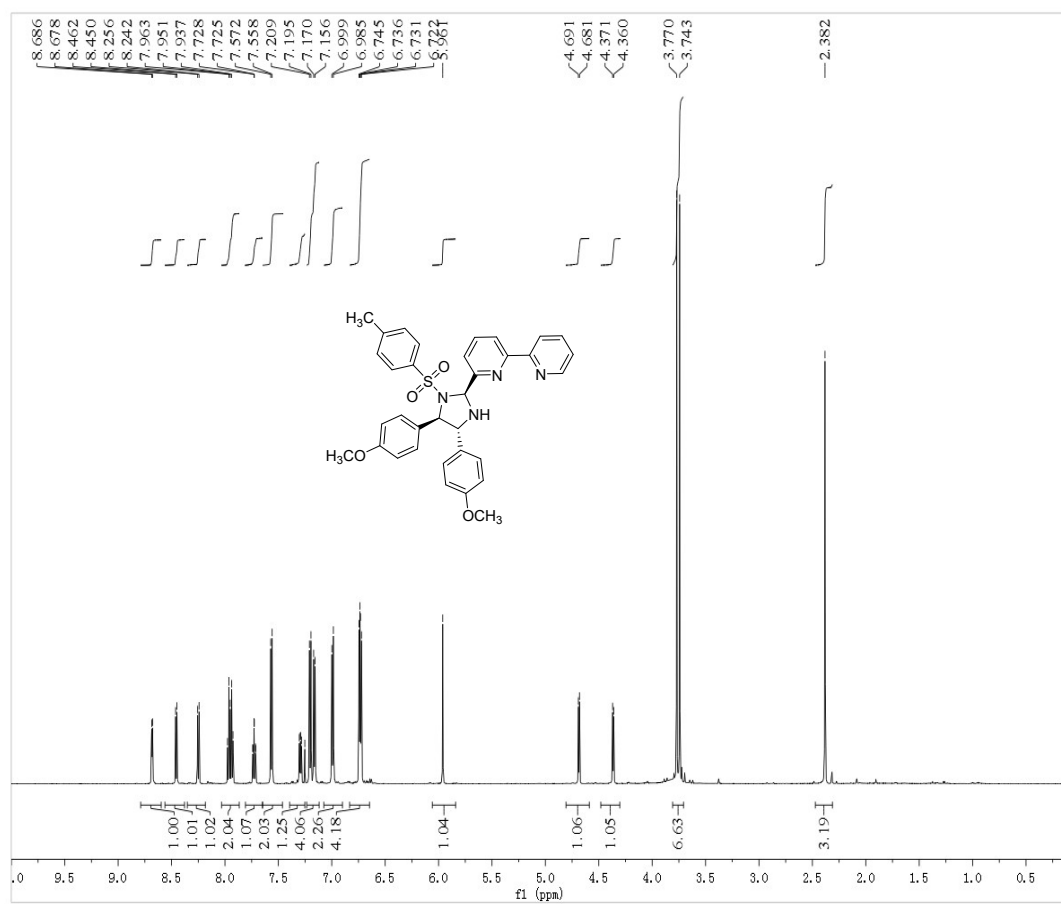
Item name: L-HZH-Bpy-sulidine-6
Item description:

Channel name: 1: Average Time 0.1763 min : TOF MS (50-1500) ESI+ : Centroided : Combined

1.7e8



¹H and ¹³C NMR of L1h

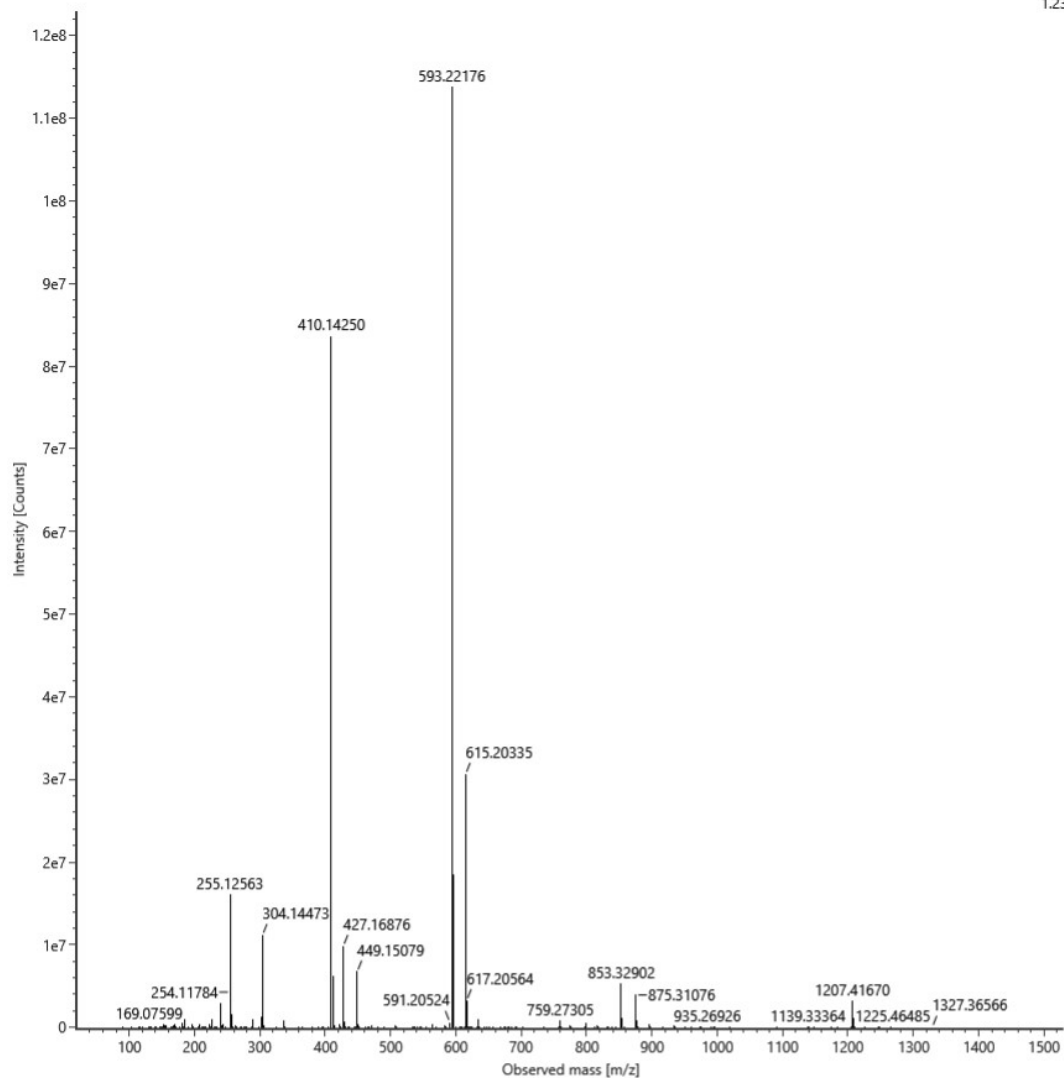


HRMS of L1h

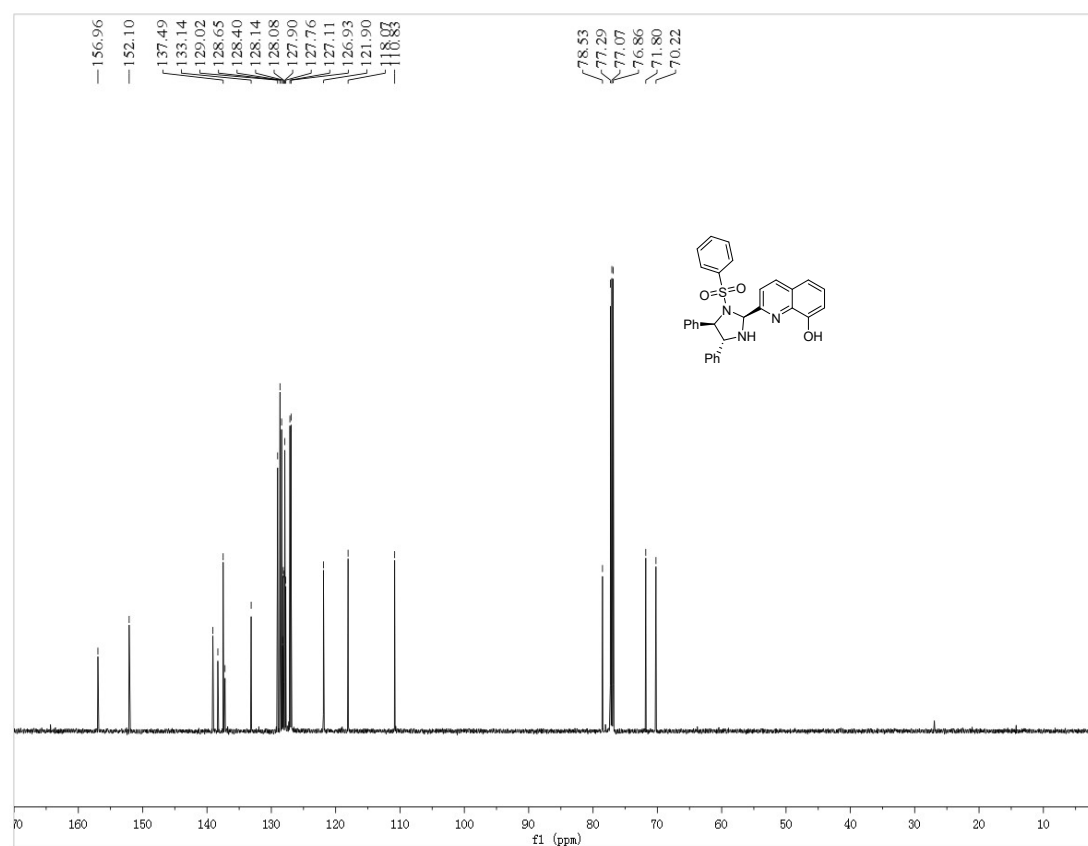
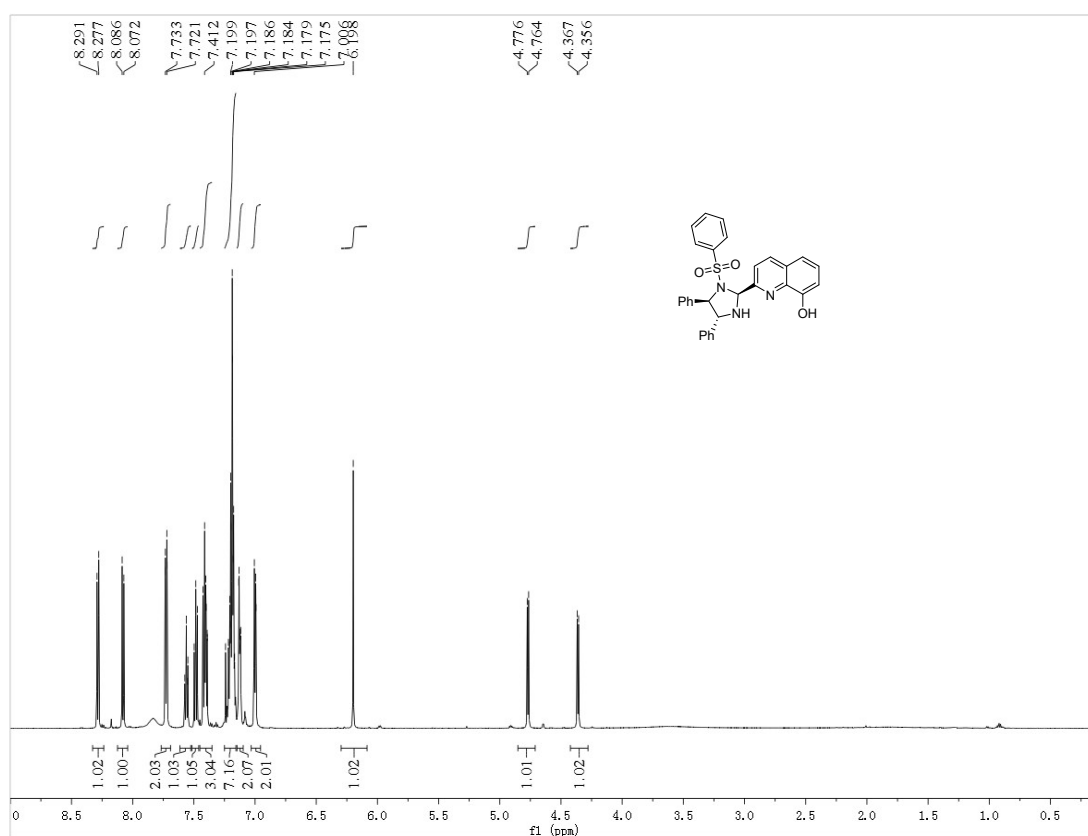
Item name: L-HZH-Bpy-sulidine-11
Item description:

Channel name: 1: Average Time 0.1763 min : TOF MS (50-1500) ESI+ : Centroided : Combined

1.23e8



¹H and ¹³C NMR of L2a

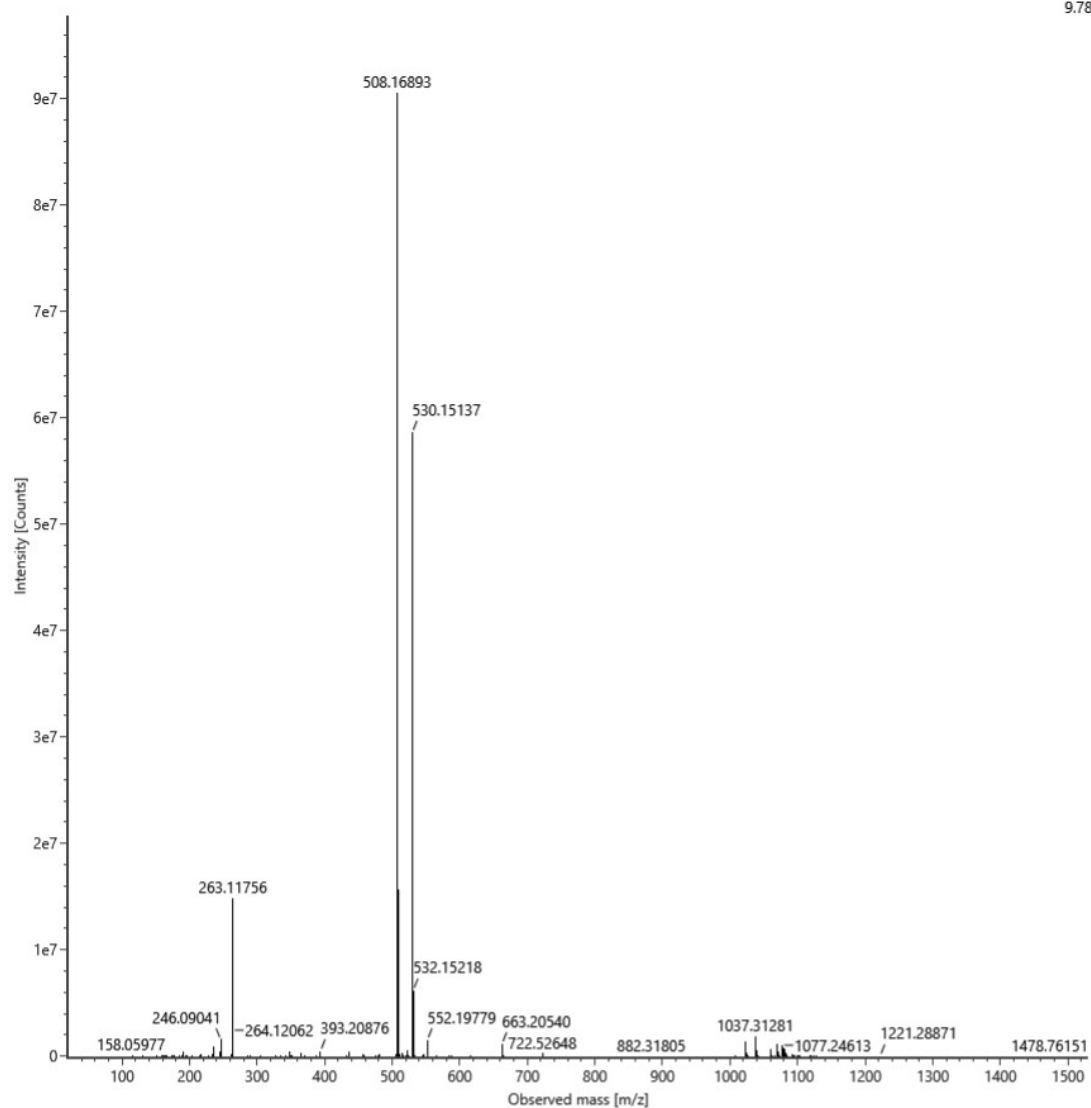


HRMS of L2a

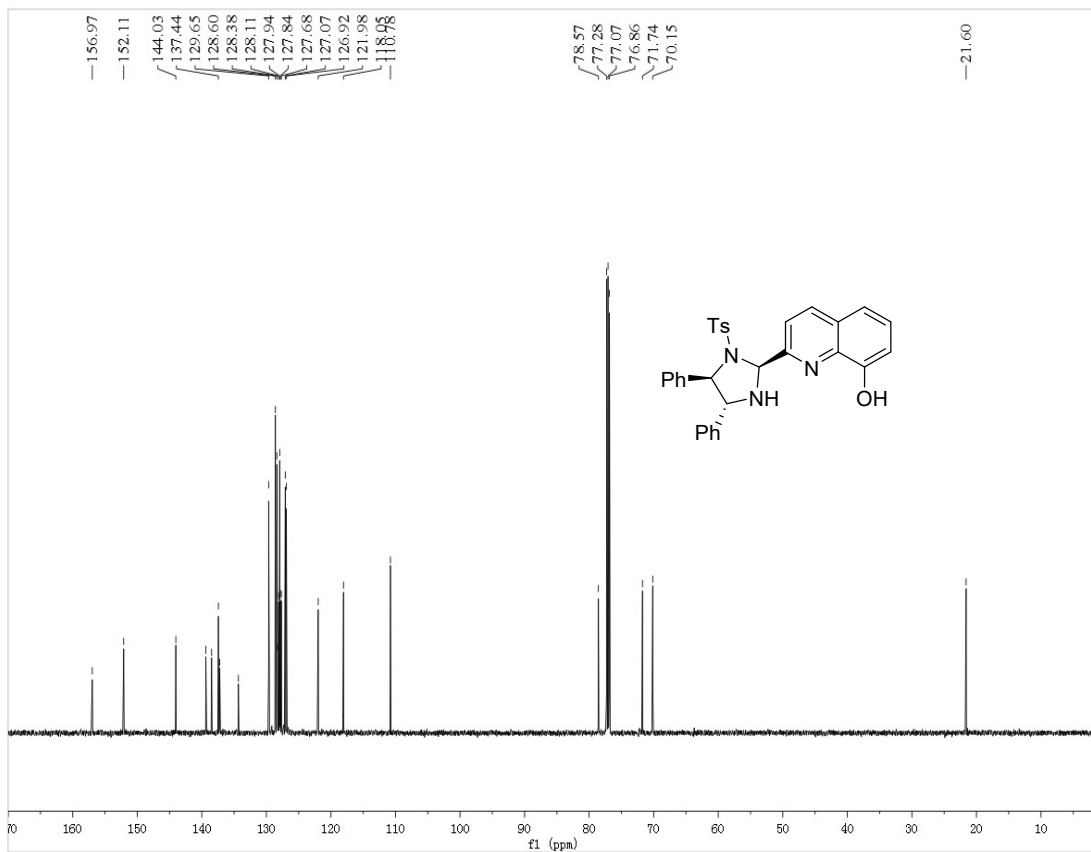
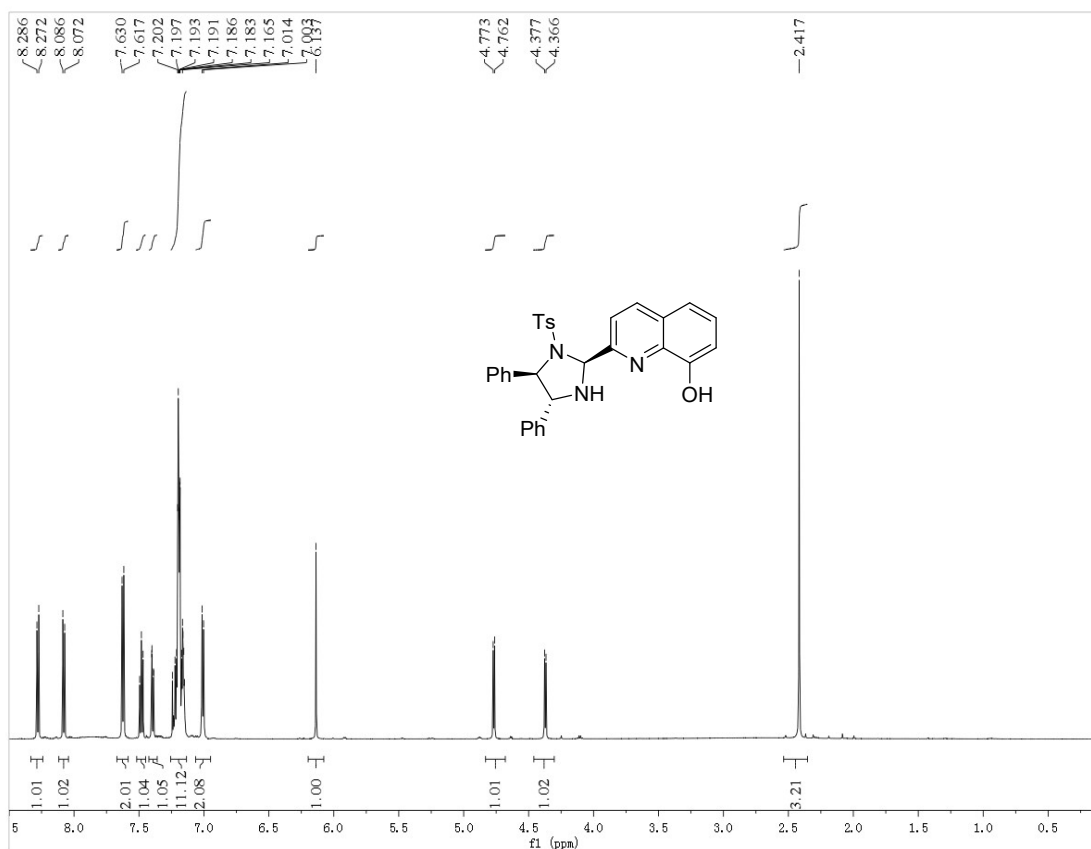
Item name: L-HZH-HQ-Sulidine-3
Item description:

Channel name: 1: Average Time 0.0874 min : TOF MS (50-1500) ESI+ : Centroided : Combined

9.78e7



¹H and ¹³C NMR of L2b

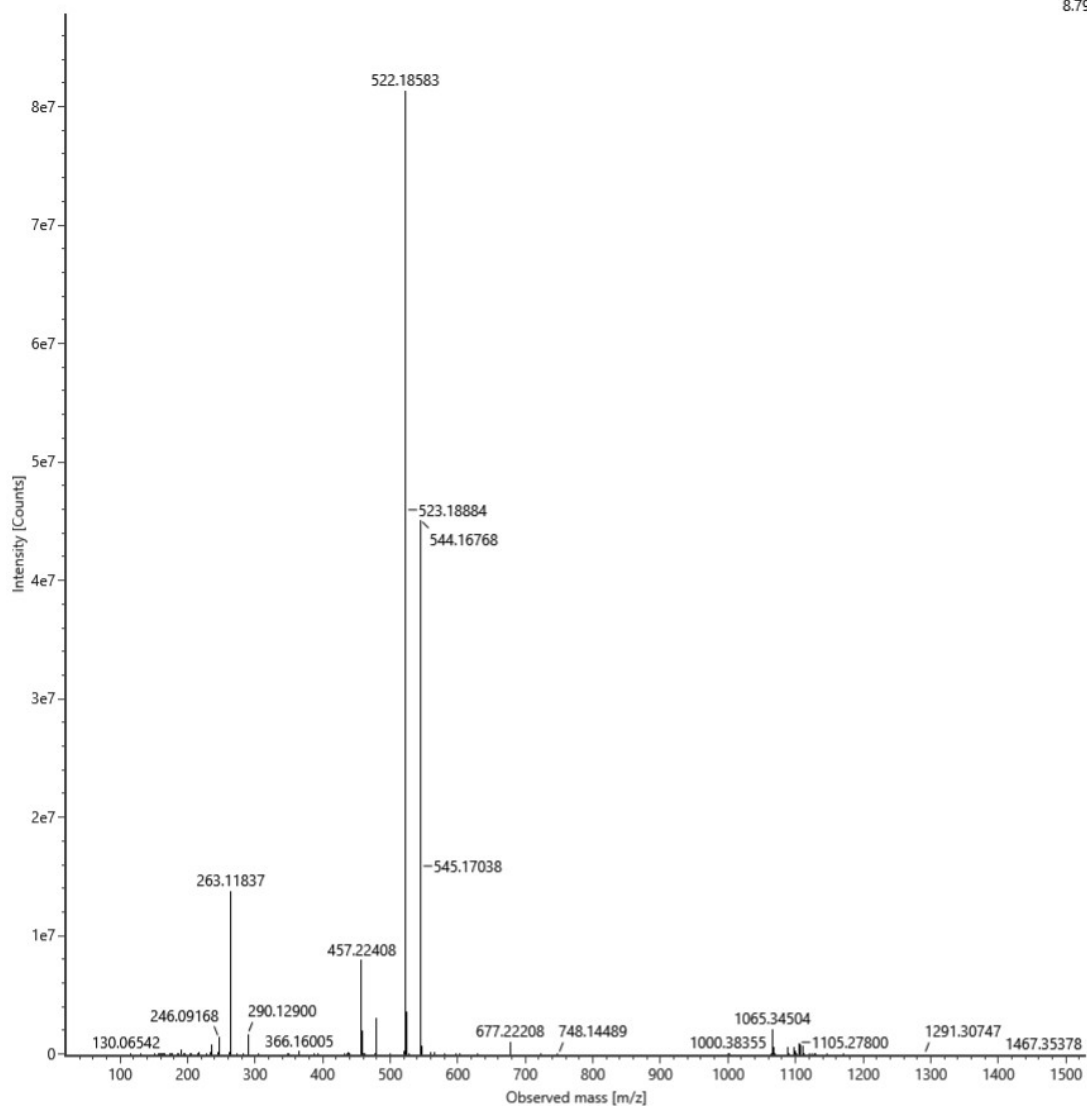


HRMS of L2b

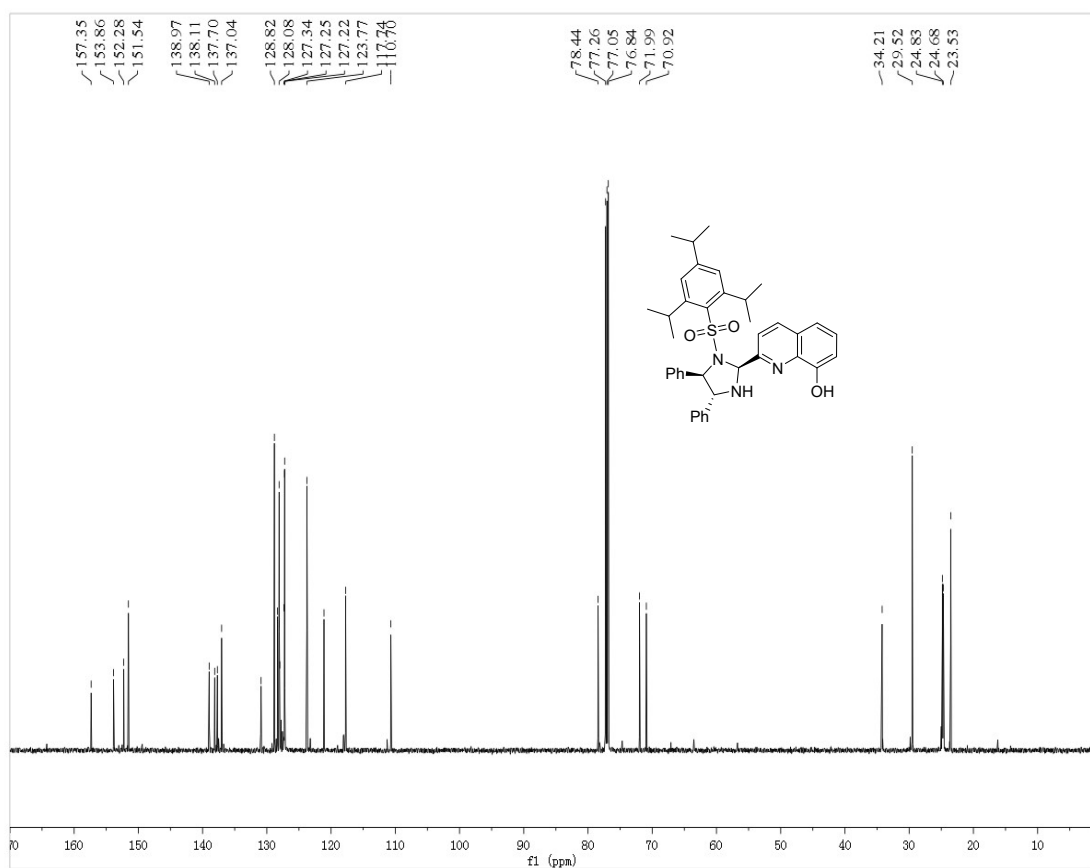
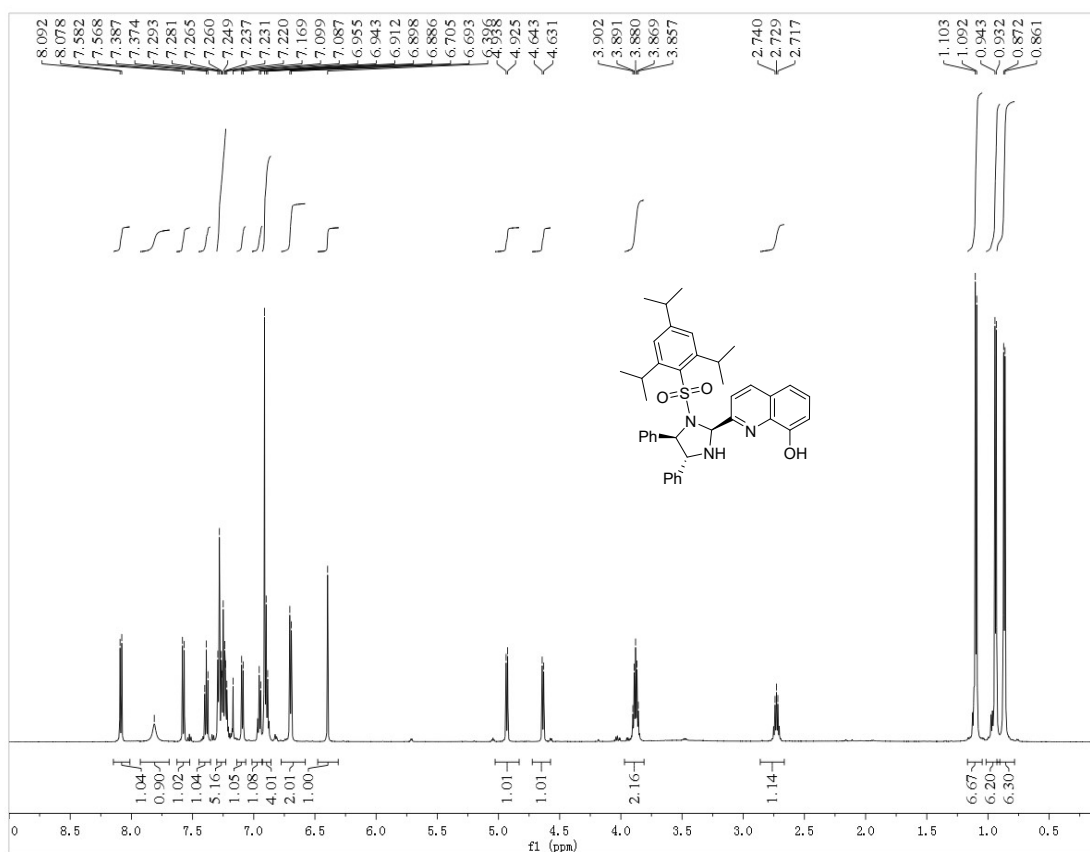
Item name: L-HZH-HQ-Sulidine-1
Item description:

Channel name: 1: Average Time 0.0874 min : TOF MS (50-1500) ESI+ : Centroided : Combined

8.79e7



¹H and ¹³C NMR of L2c

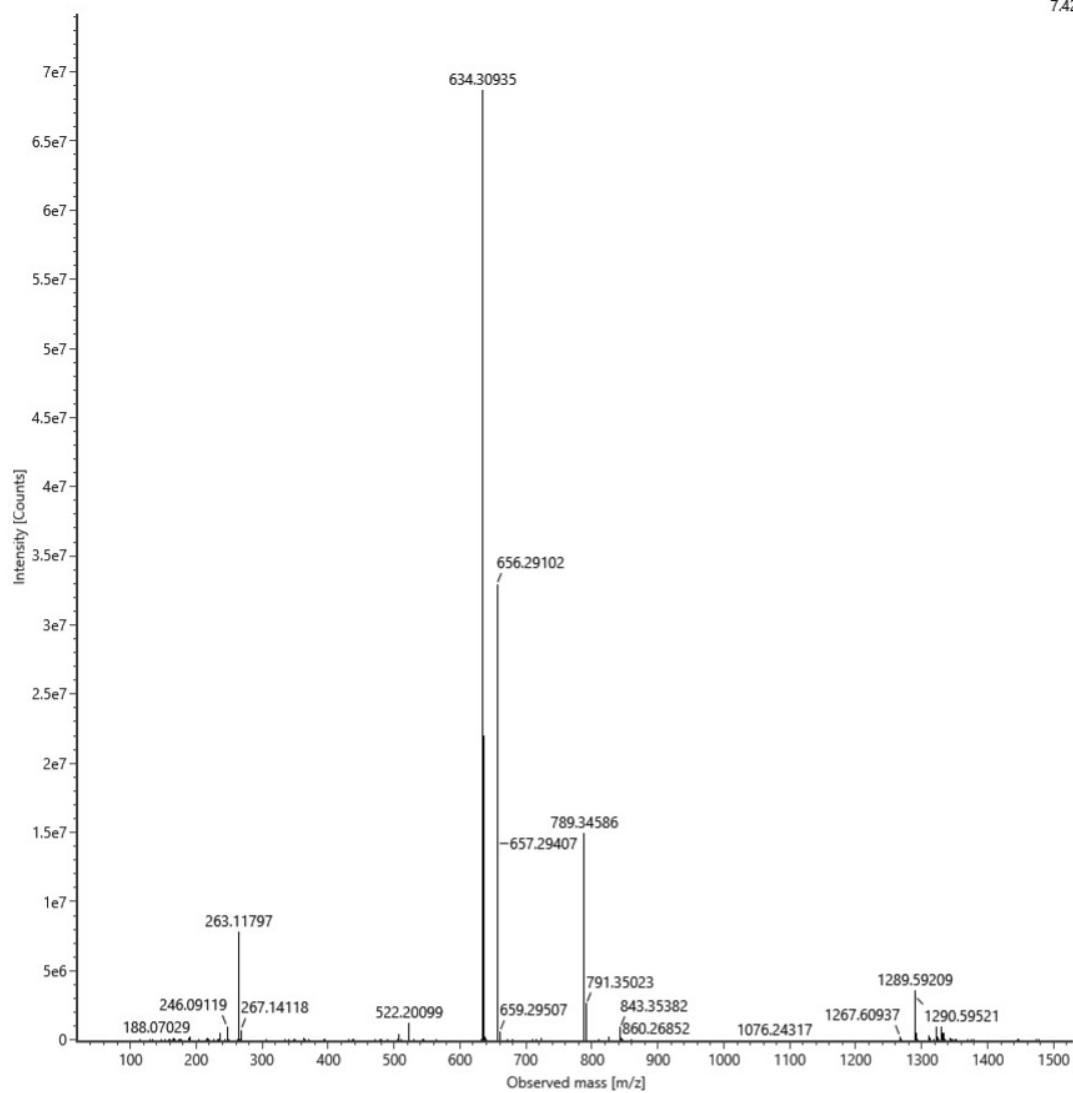


HRMS of L2c

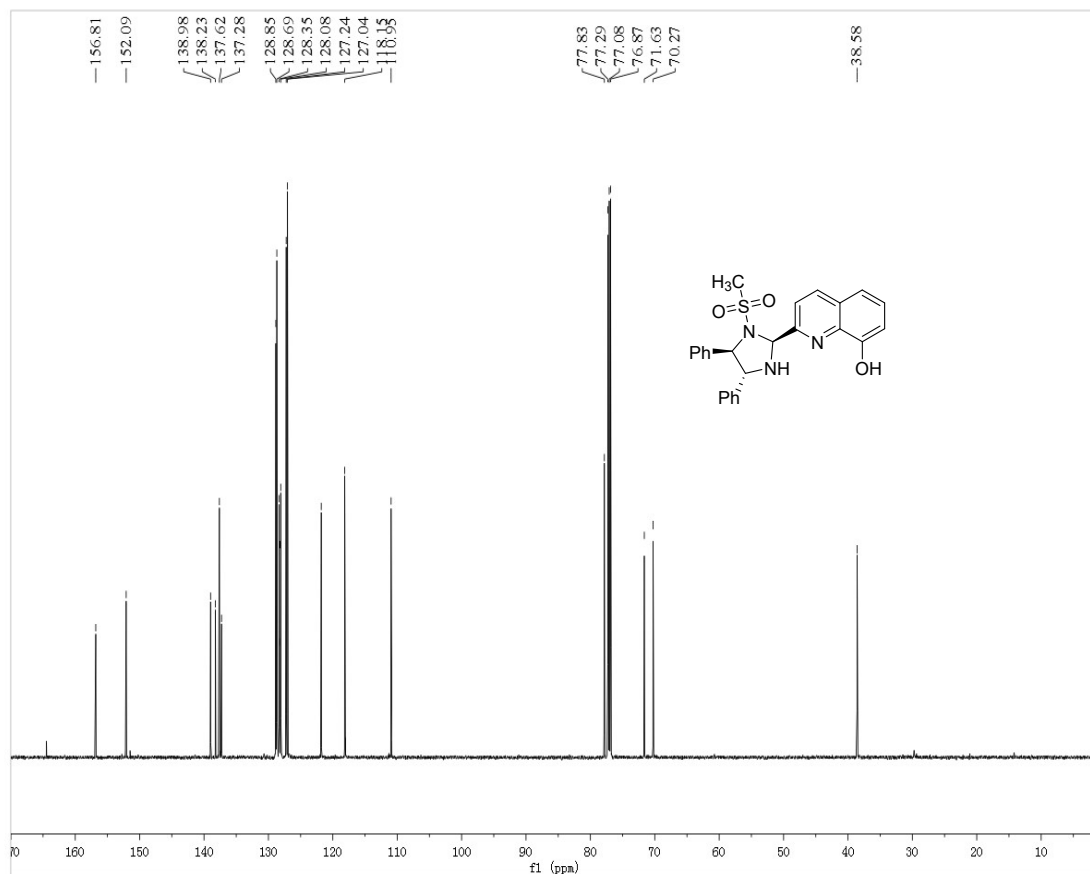
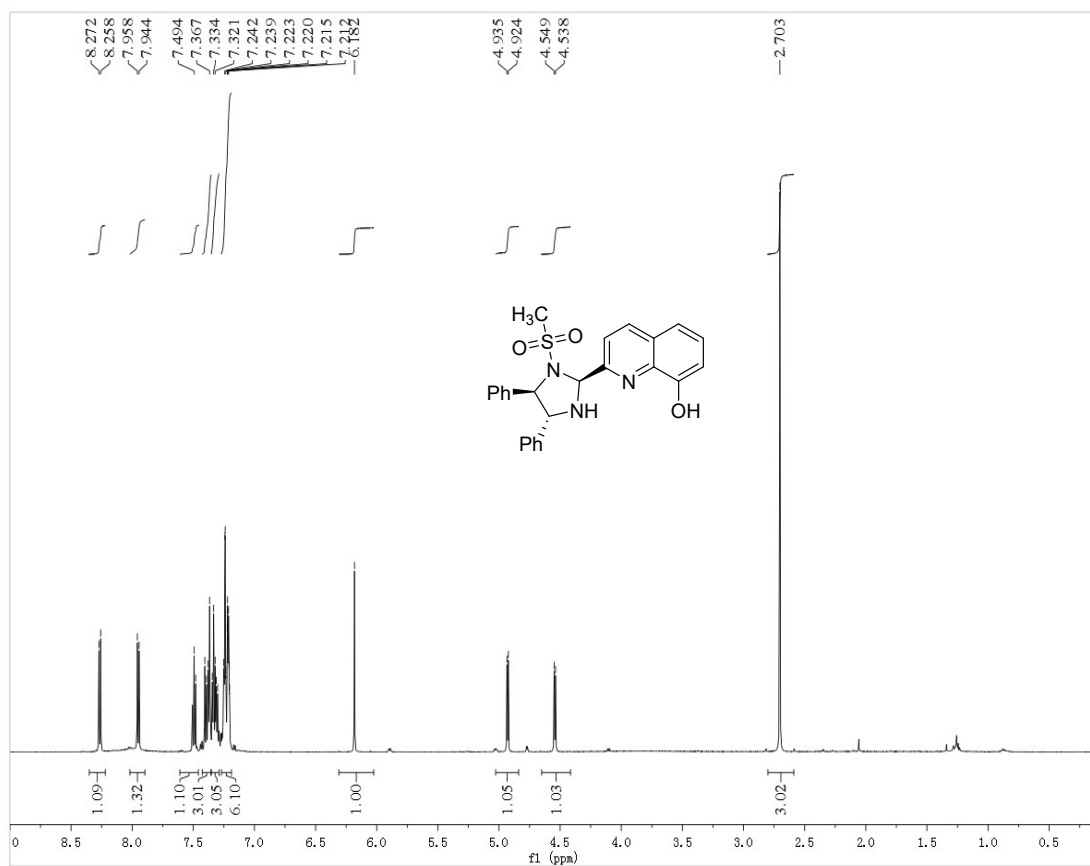
Item name: L-HZH-HQ-Sulidine-7
Item description:

Channel name: 1: Average Time 0.0788 min : TOF MS (50-1500) ESI+ : Centroided : Combined

7.42e7



¹H and ¹³C NMR of L2d

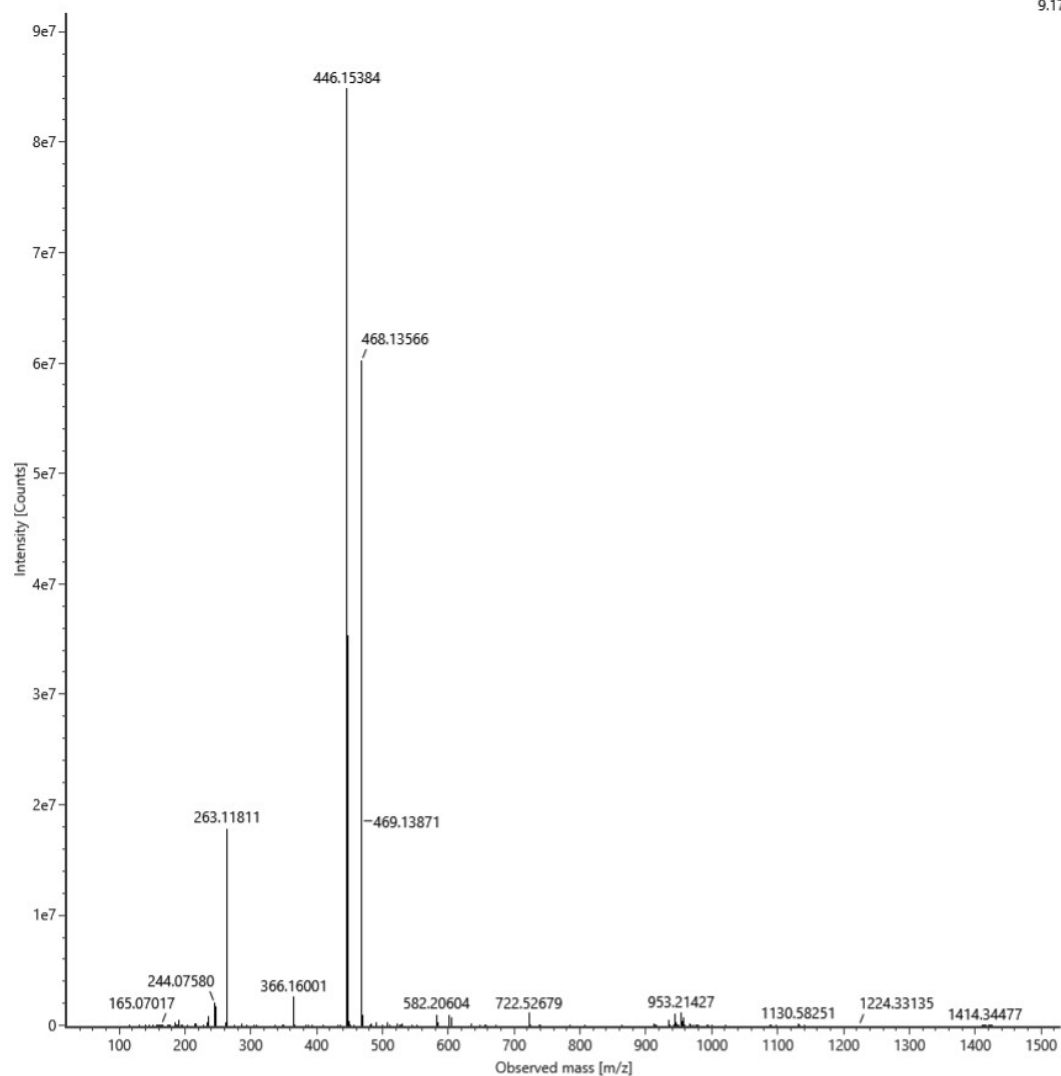


HRMS of L2d

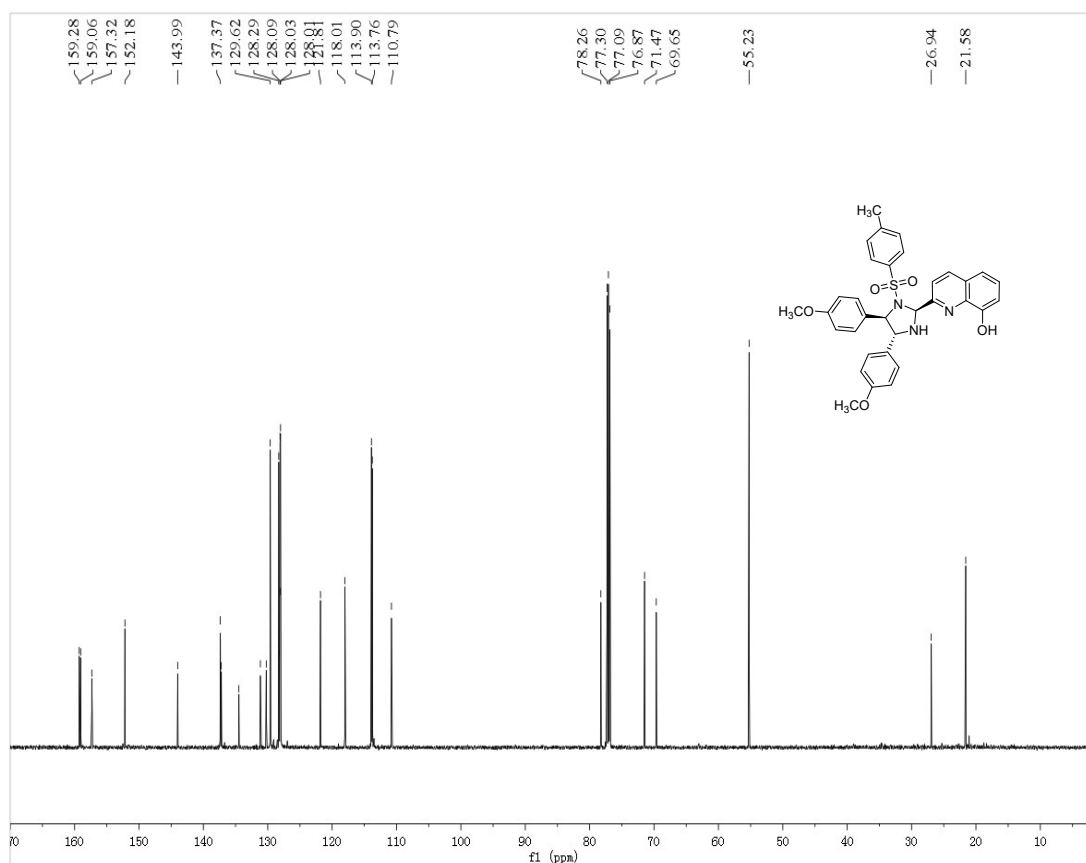
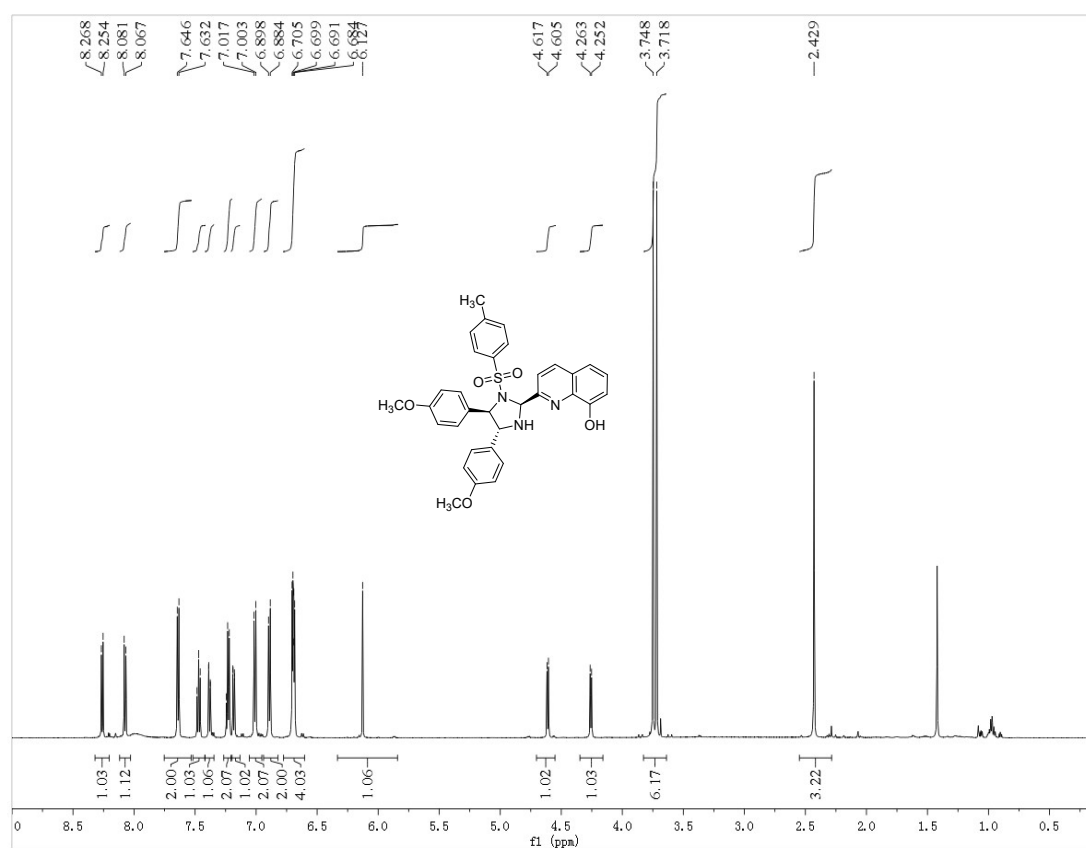
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Item description:

Channel name: 1: Average Time 0.1046 min : TOF MS (50-1500) ESI+ : Centroided : Combined

9.17e7



¹H and ¹³C NMR of L2e

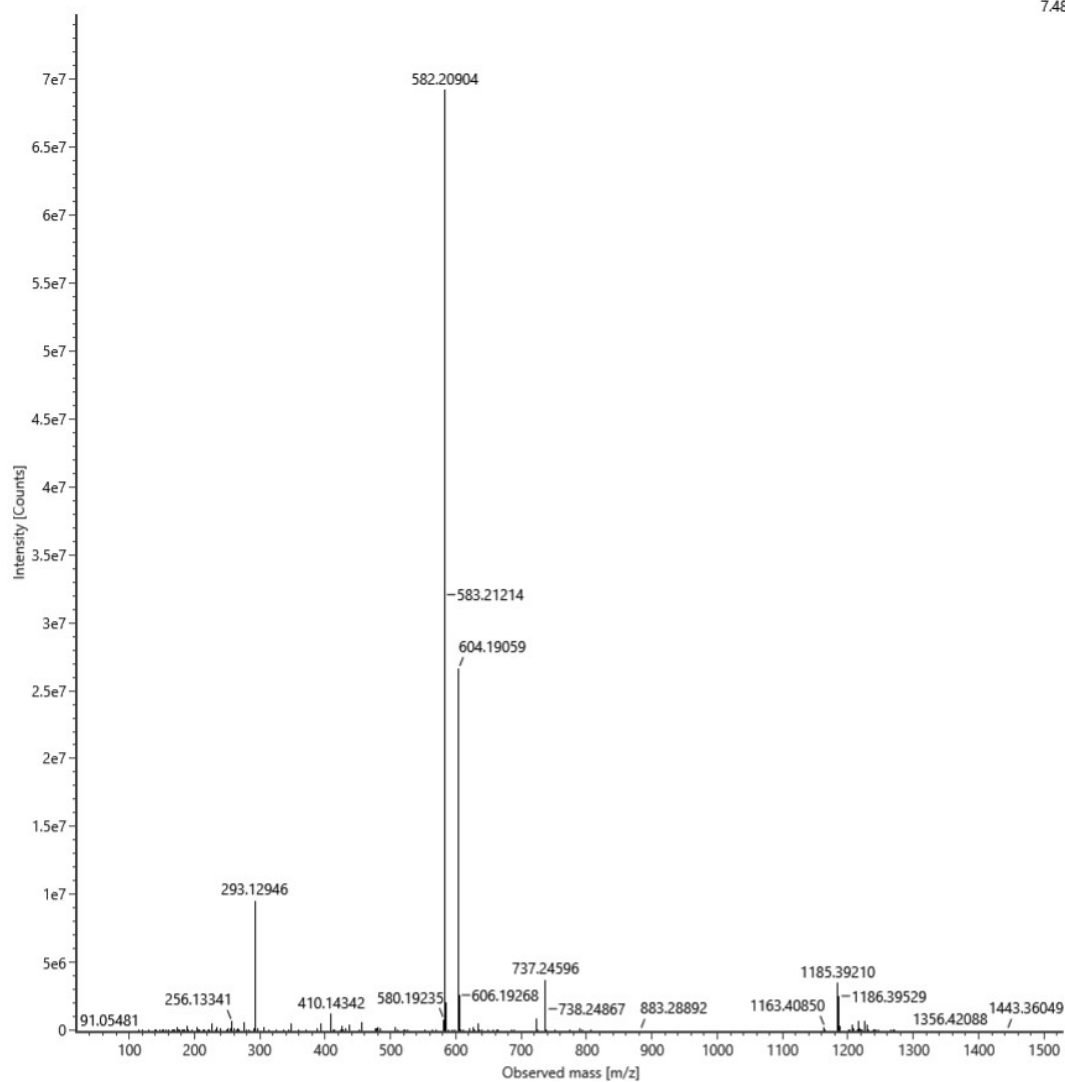


HRMS of L2e

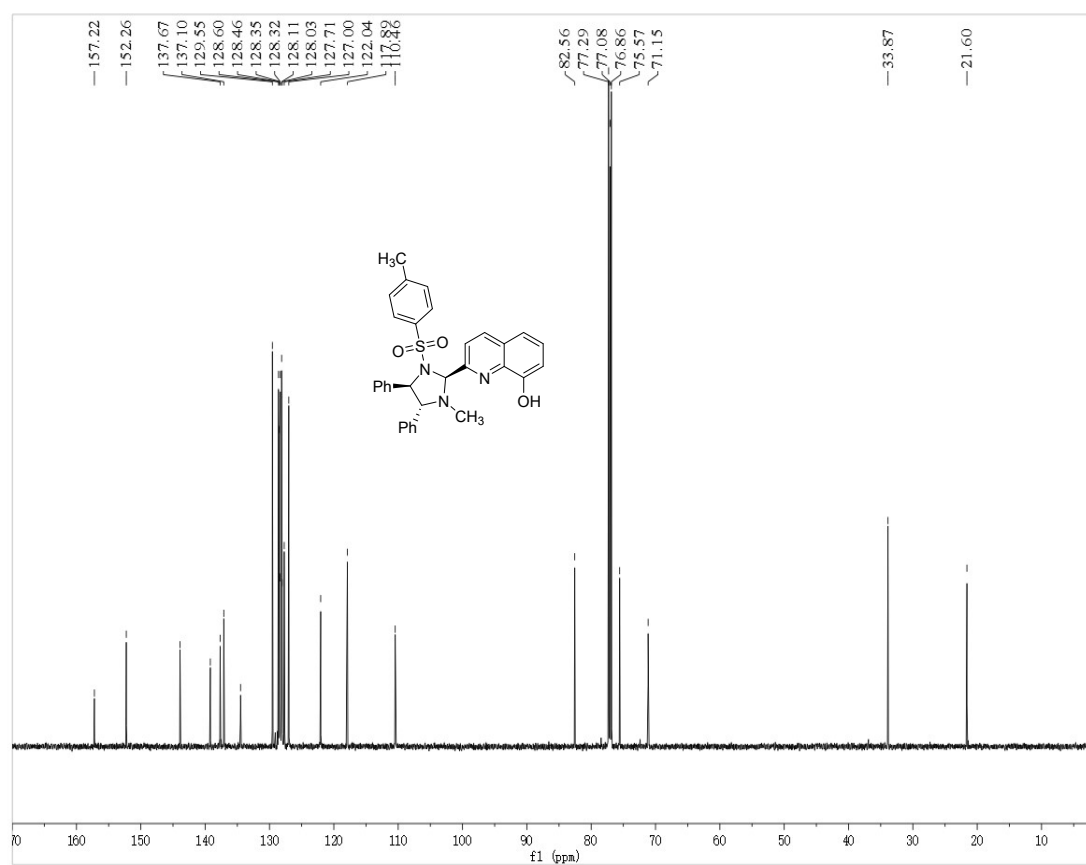
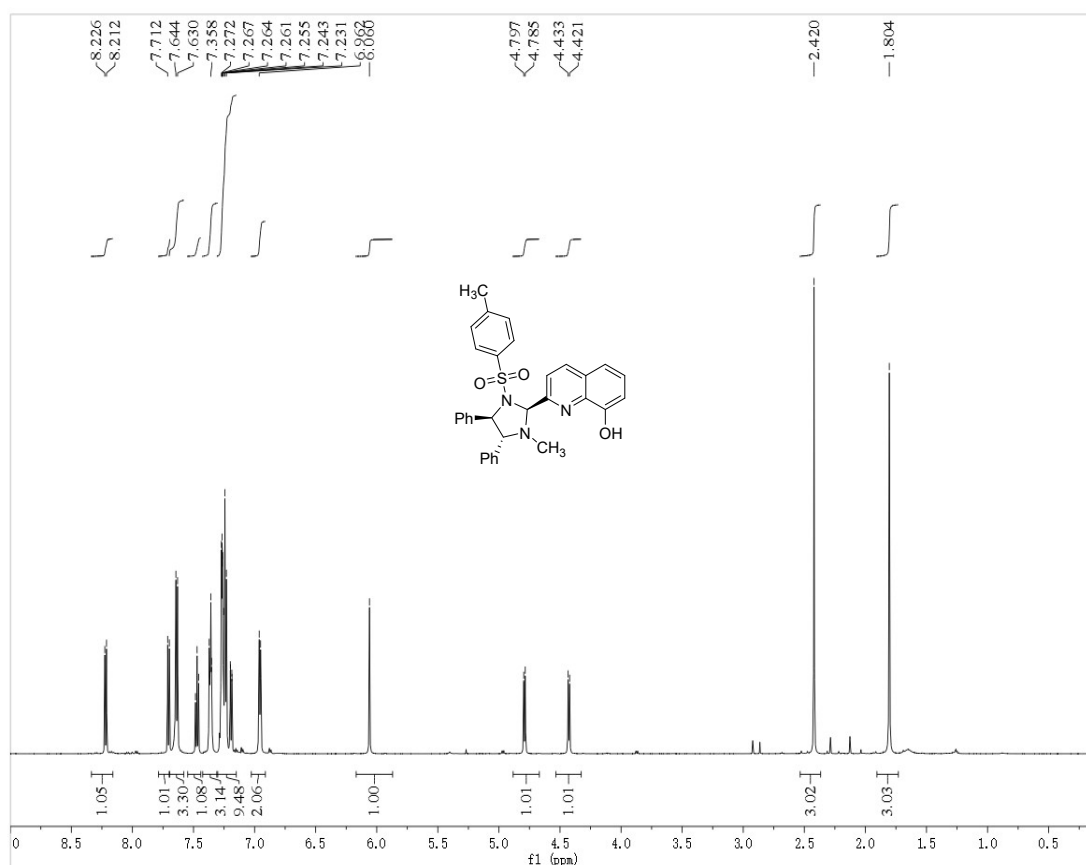
Item name: L-HZH-HQ-Sulidine-11
Item description:

Channel name: 1: Average Time 0.1003 min : TOF MS (50-1500) ESI+ : Centroided : Combined

7.48e7



¹H and ¹³C NMR of L3b

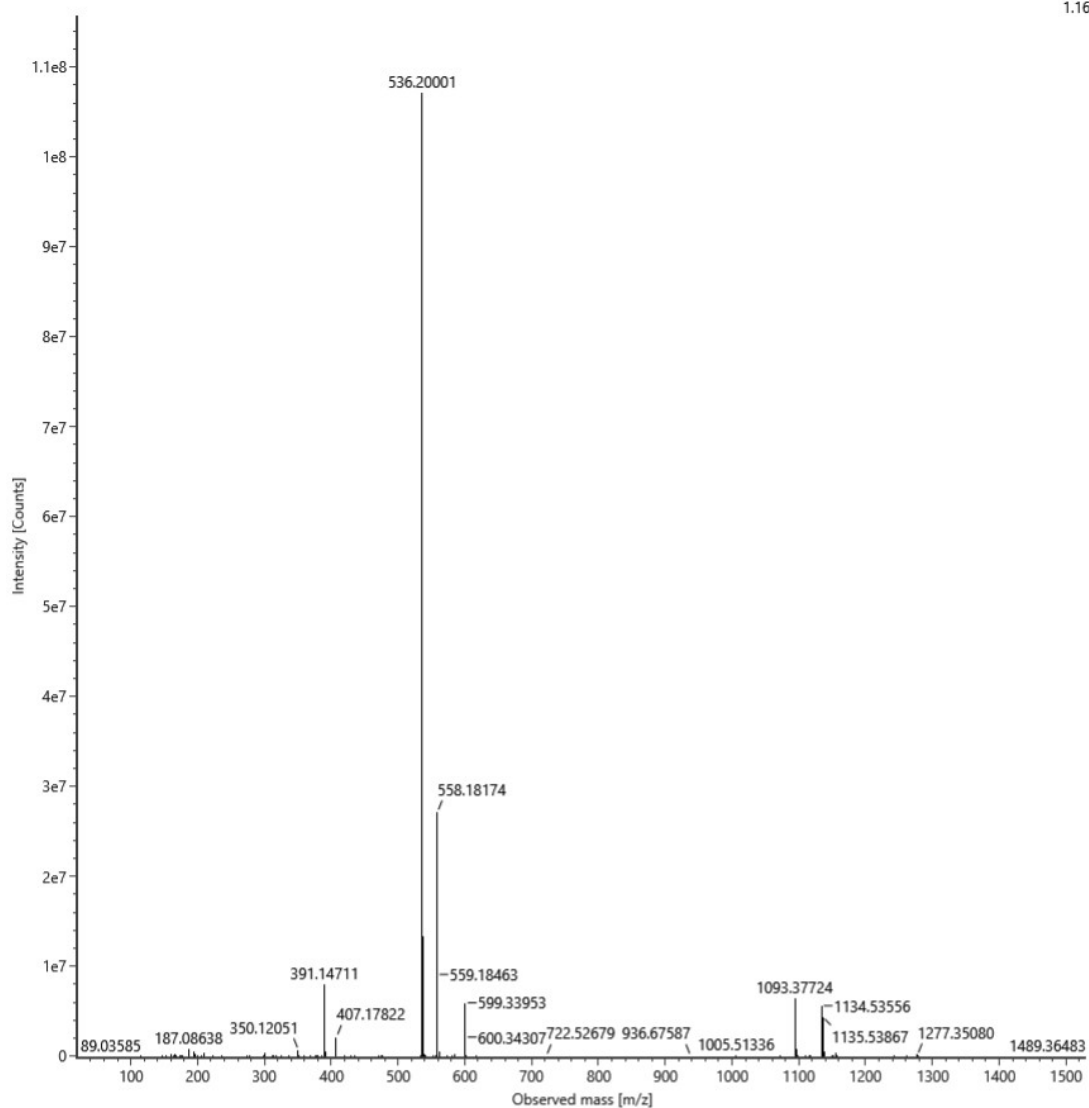


HRMS of L3b

Item name: HQ-Sulidine-1-Me
Item description:

Channel name: 1: Average Time 0.1548 min : TOF MS (50-1500) ESI+ : Centroided : Combined

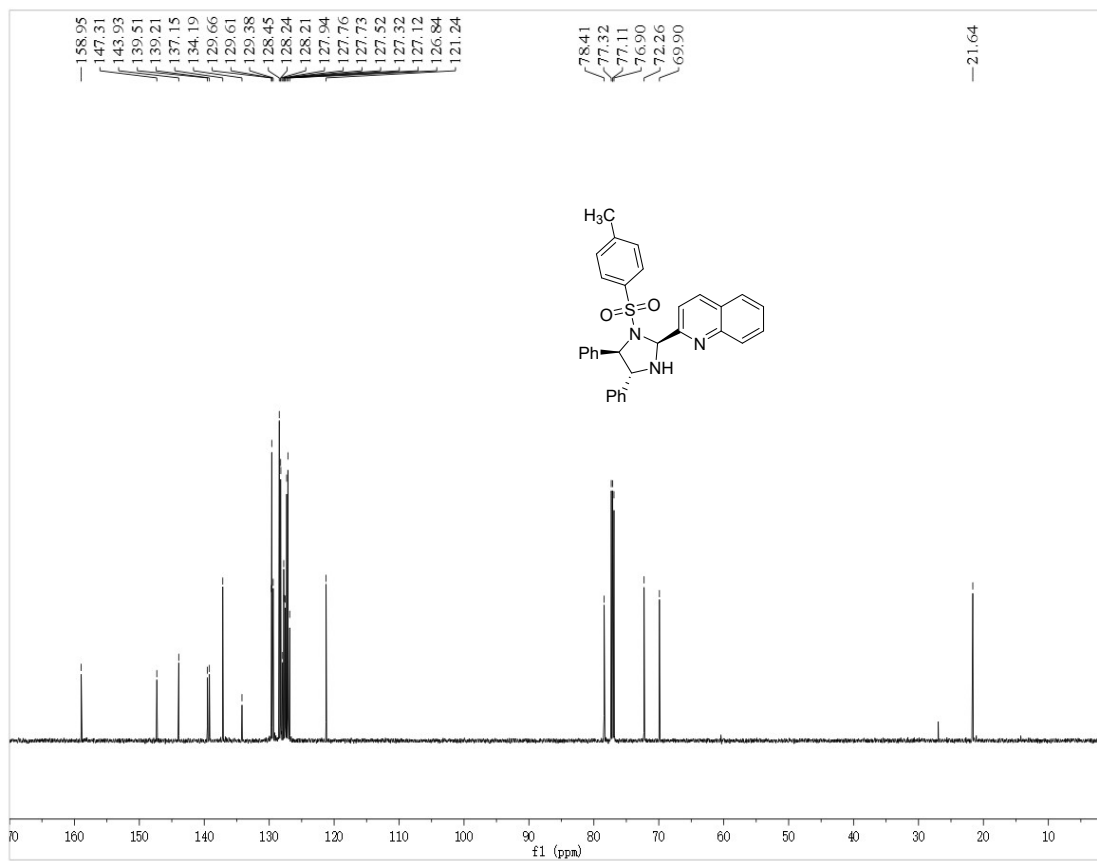
1.16e8



Chemical structure of compound 10 is shown above the spectrum. The structure is a 1,2,3,4-tetrahydro-1,2-diphenyl-1H-imidazole-5-carboxamide derivative, with a 4-methylphenyl group attached to the carbonyl nitrogen. The structure is labeled with 'H₃C' for the methyl group, 'Ph' for the phenyl groups, and 'NH' for the imidazole NH.

¹H NMR spectrum (CDCl₃) of compound 10. The x-axis is labeled 'f1 (ppm)' and ranges from 0.5 to 8.5. The spectrum shows several peaks with integration values below them:

- 8.316, 8.302, 8.194, 8.180, 8.021, 8.007, 7.875, 7.713, 7.699, 7.561 (aromatic region, integration 1.02, 1.05, 1.02, 1.04, 1.05, 3.02)
- 7.238, 7.224, 7.223, 7.177, 7.159, 7.150, 7.133 (aromatic region, integration 1.027, 2.10)
- 6.122 (aromatic region, integration 1.00)
- 4.638, 4.626, 4.343, 4.331 (aliphatic region, integration 1.04, 1.09)
- 2.422 (methyl singlet, integration 3.04)

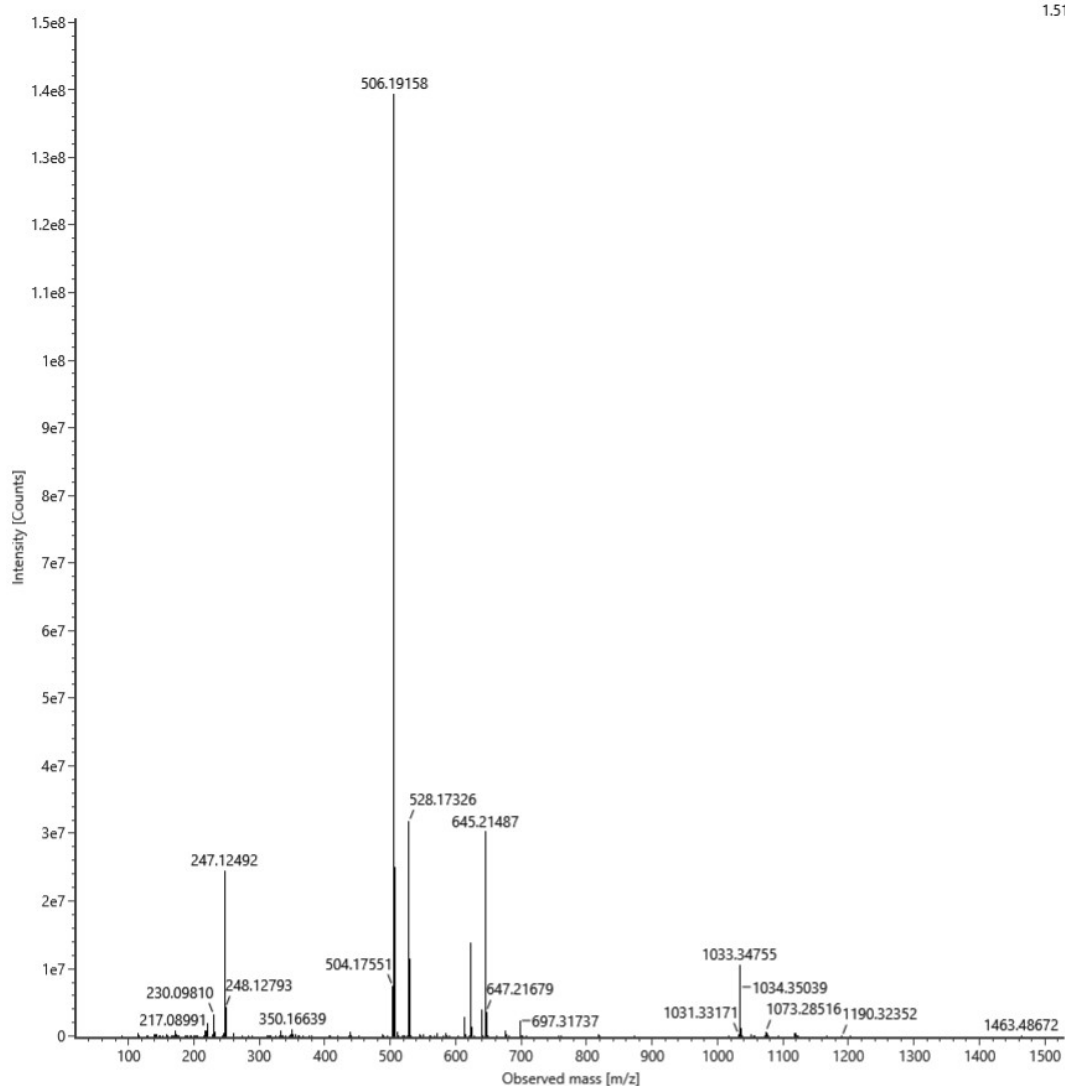


HRMS of L4b

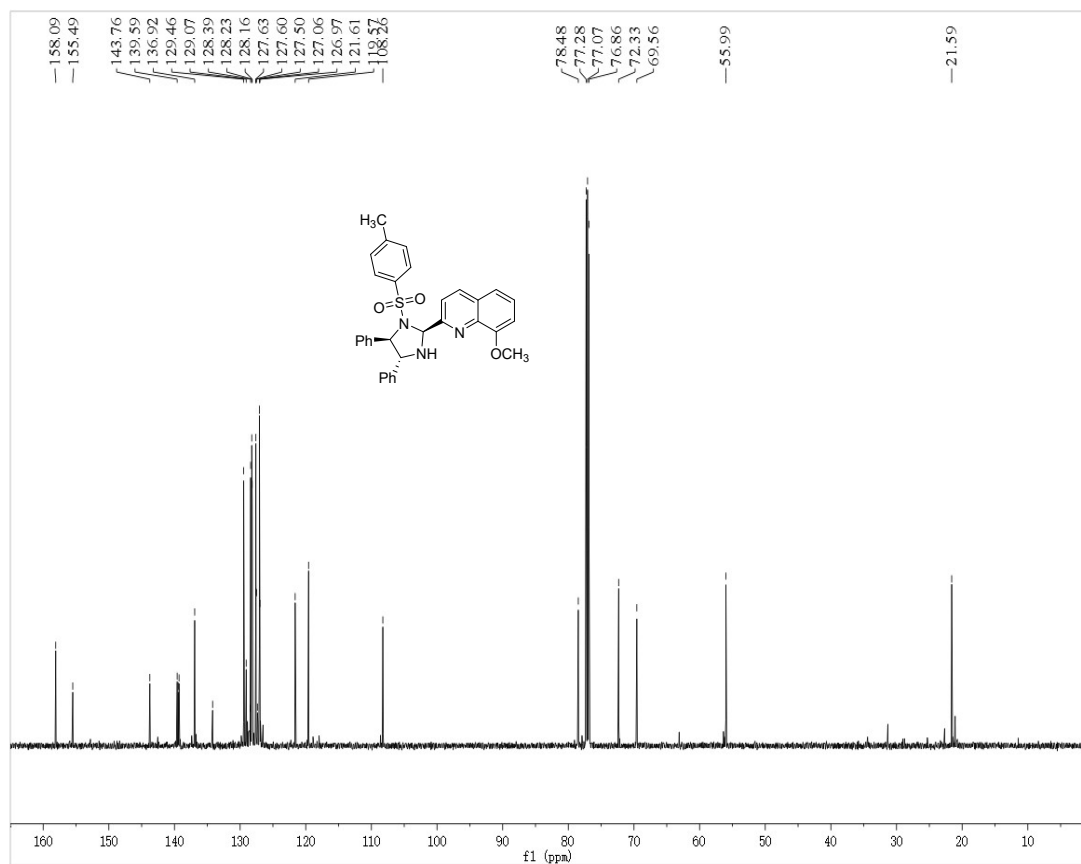
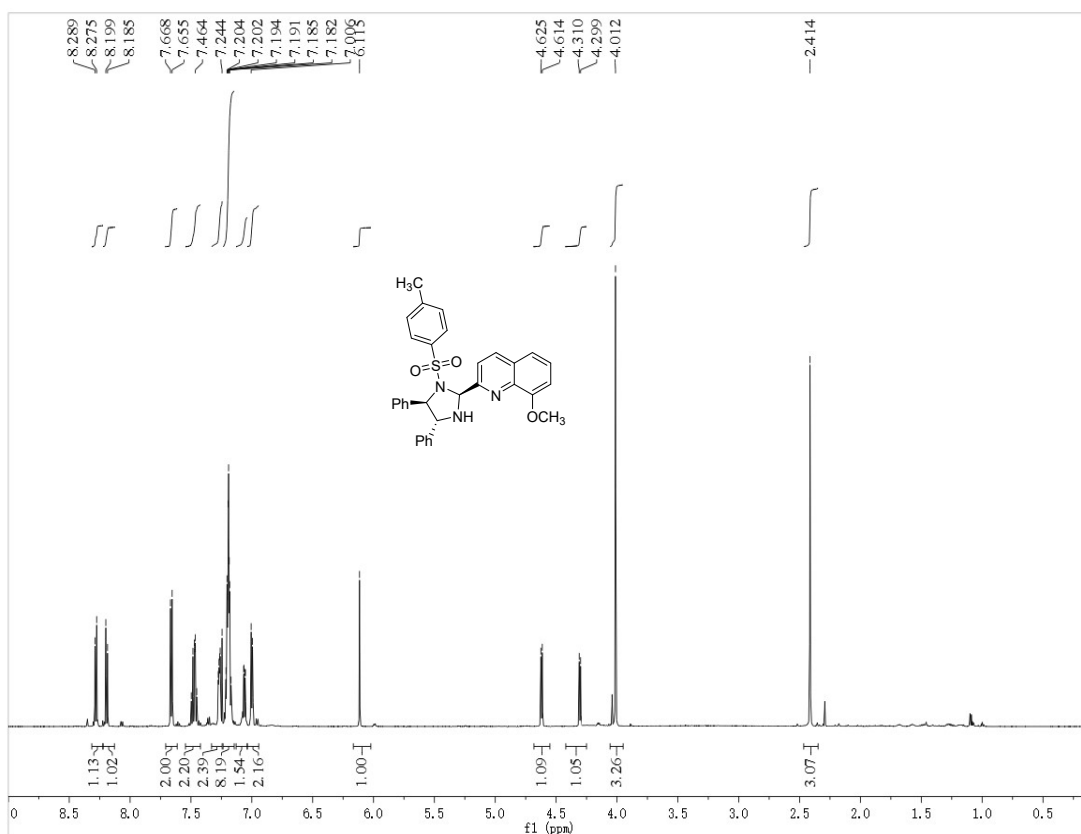
Item name: HnQ-Sulidine-1
Item description:

Channel name: 1: Average Time 0.1548 min : TOF MS (50-1500) ESI+ : Centroided : Combined

1.51e8



¹H and ¹³C NMR of L5b

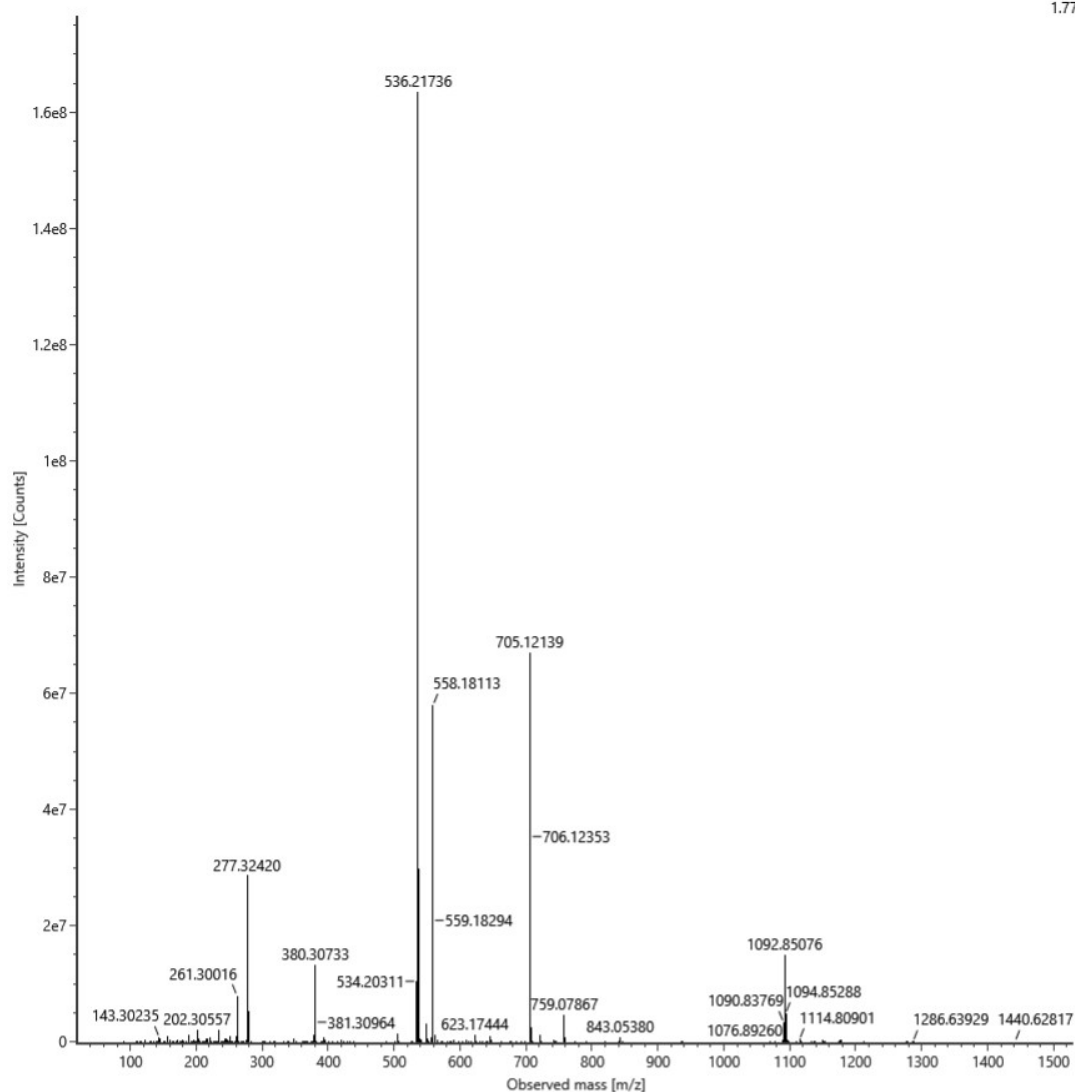


HRMS of L5b

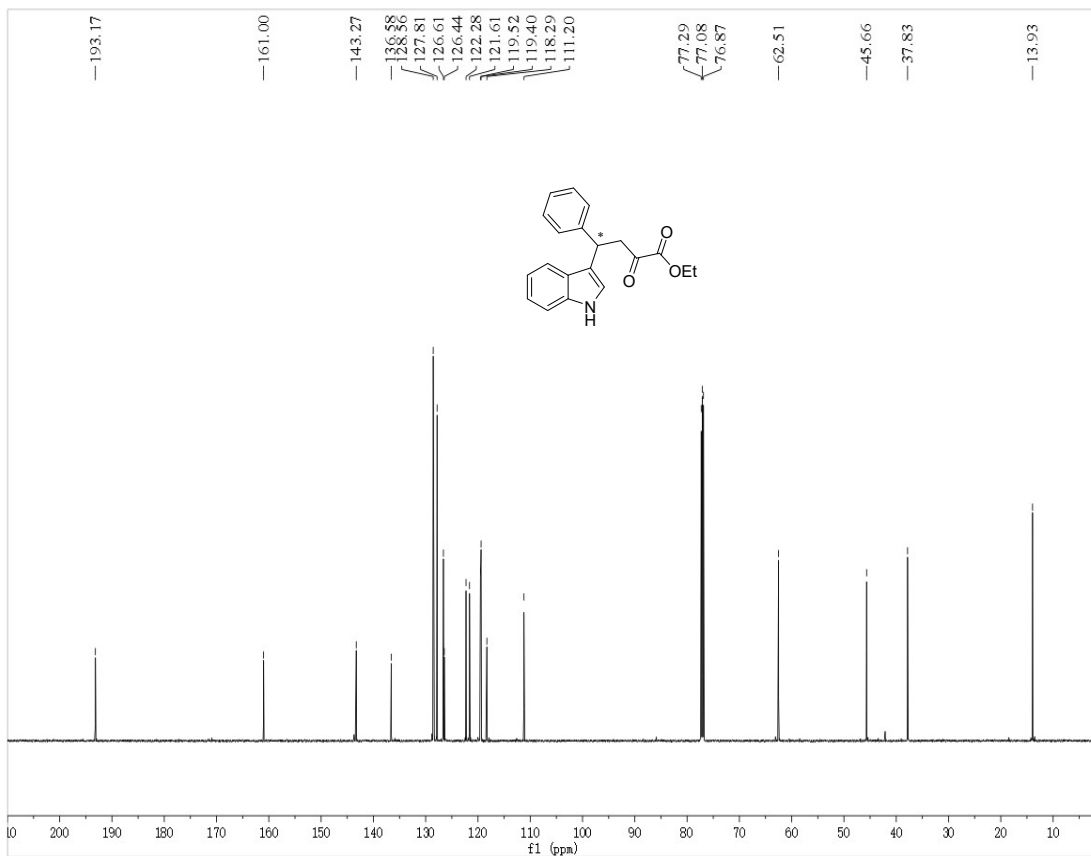
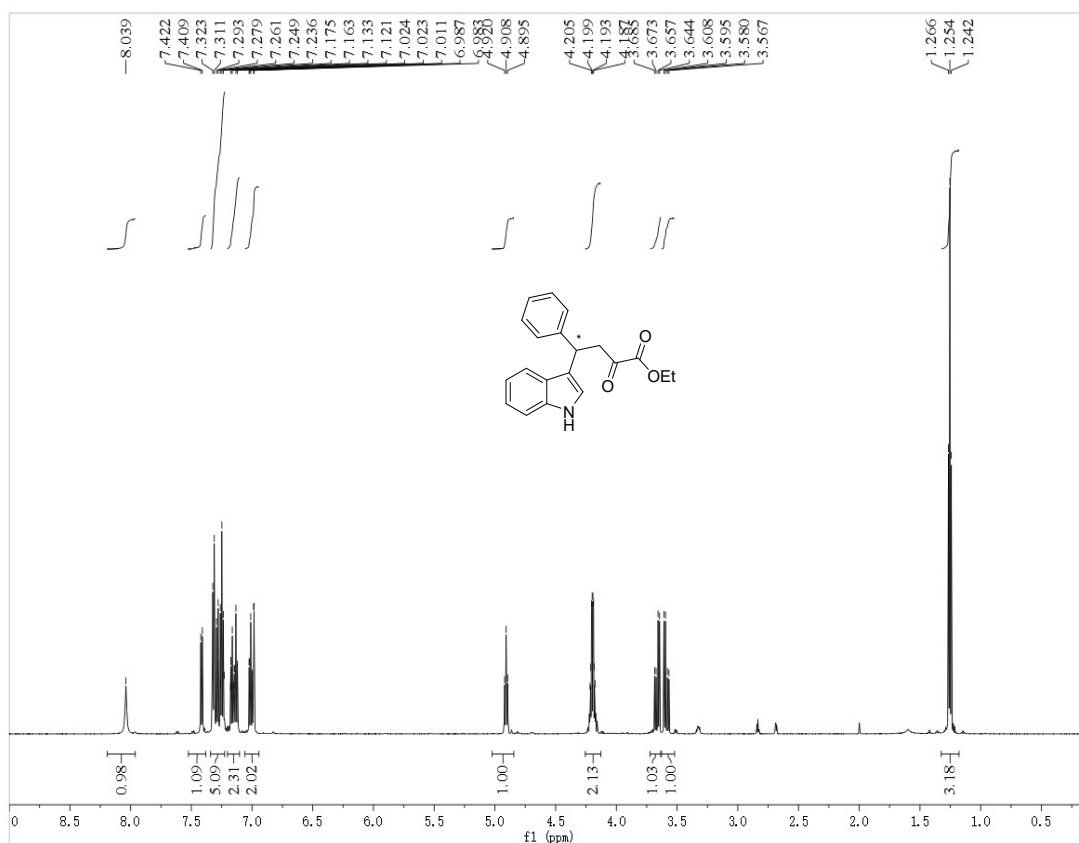
Item name: HoQ-Sulidine-1
Item description:

Channel name: 1: Average Time 0.1505 min : TOF MS (50-1500) ESI+ : Centroided : Combined

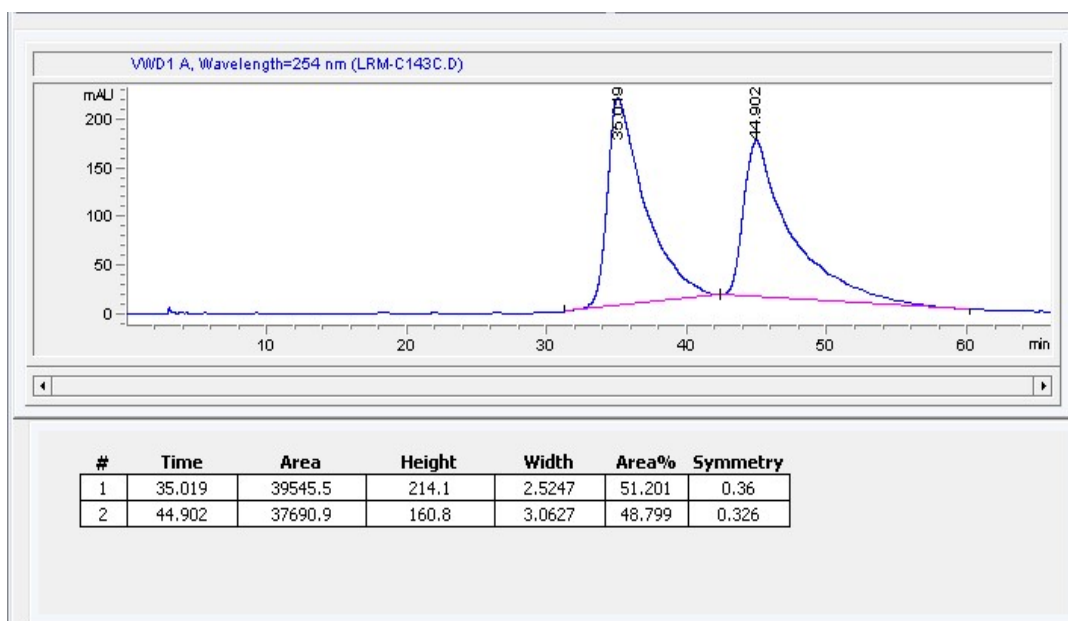
1.77e8



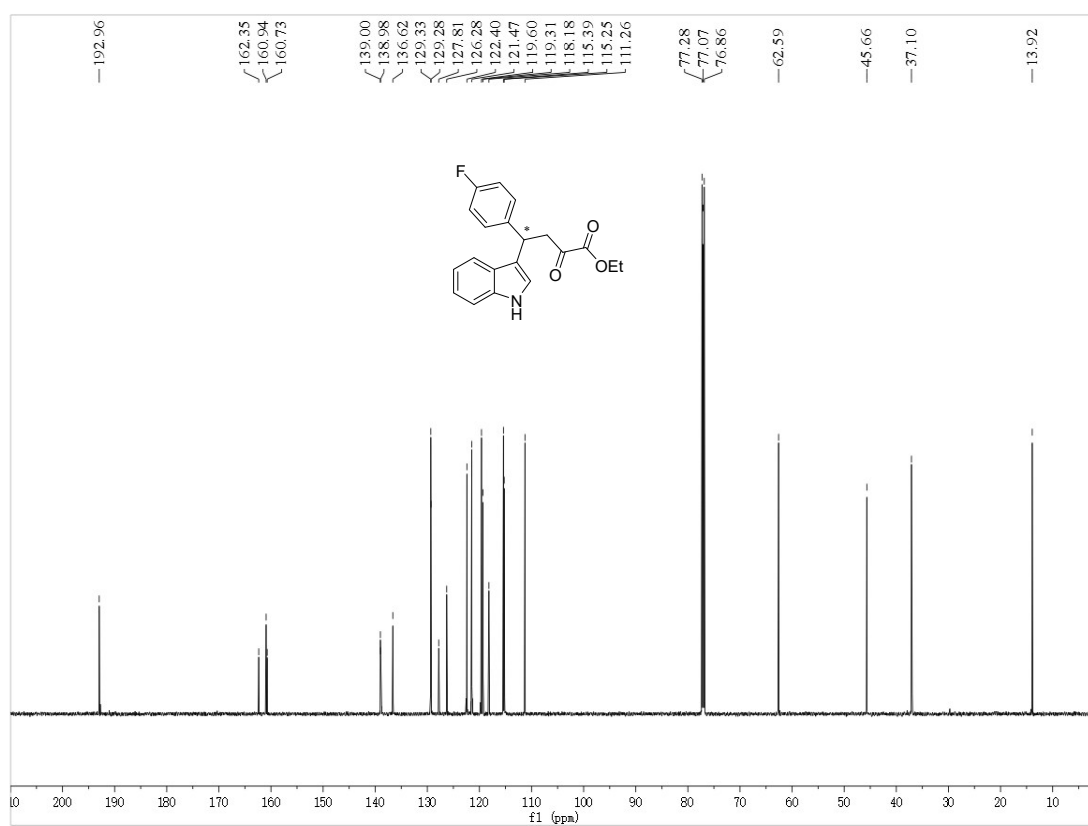
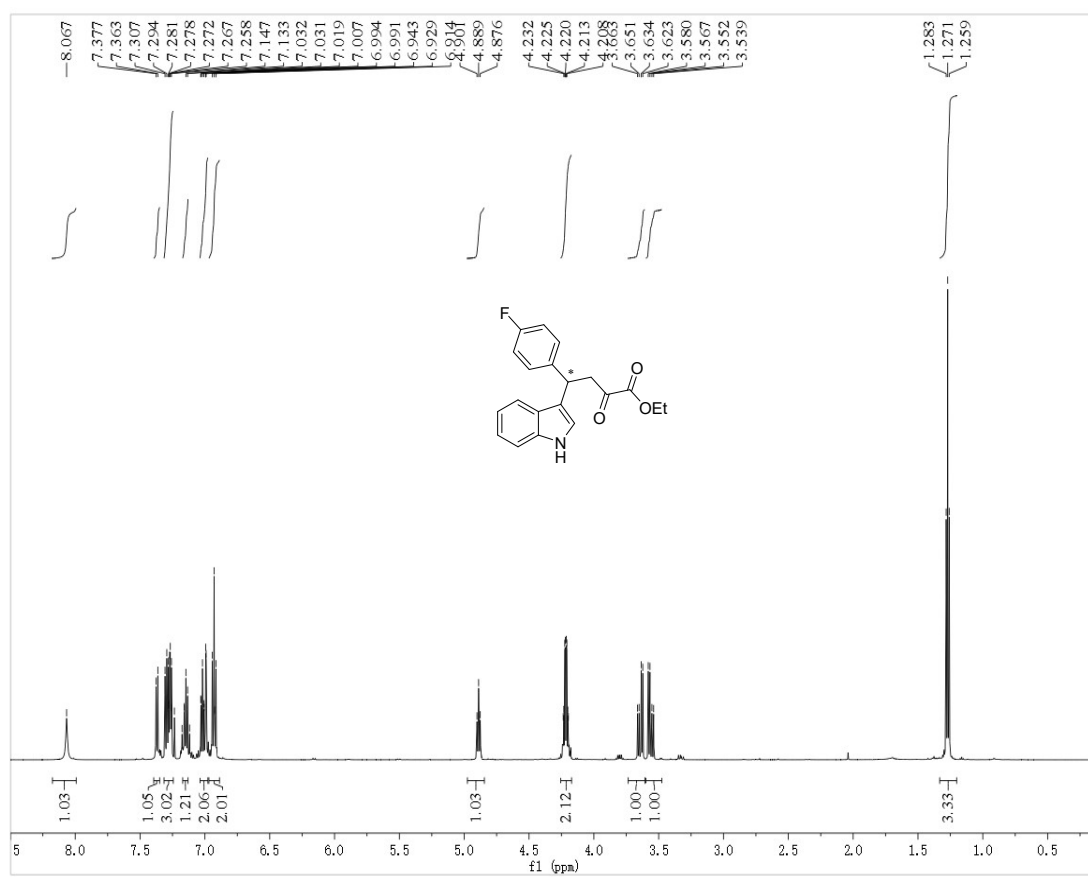
^1H and ^{13}C NMR of 5a



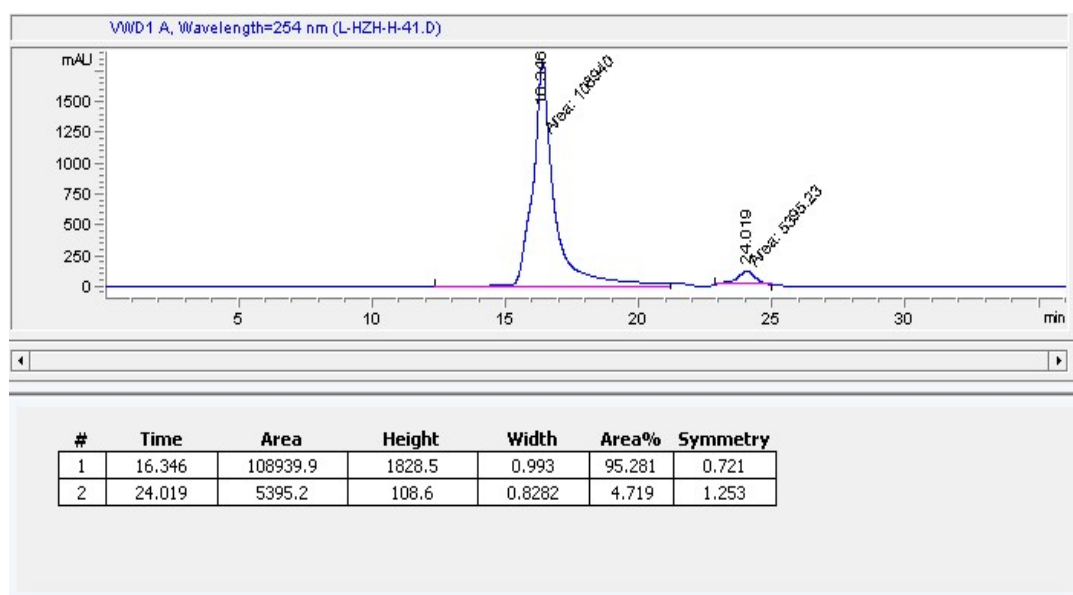
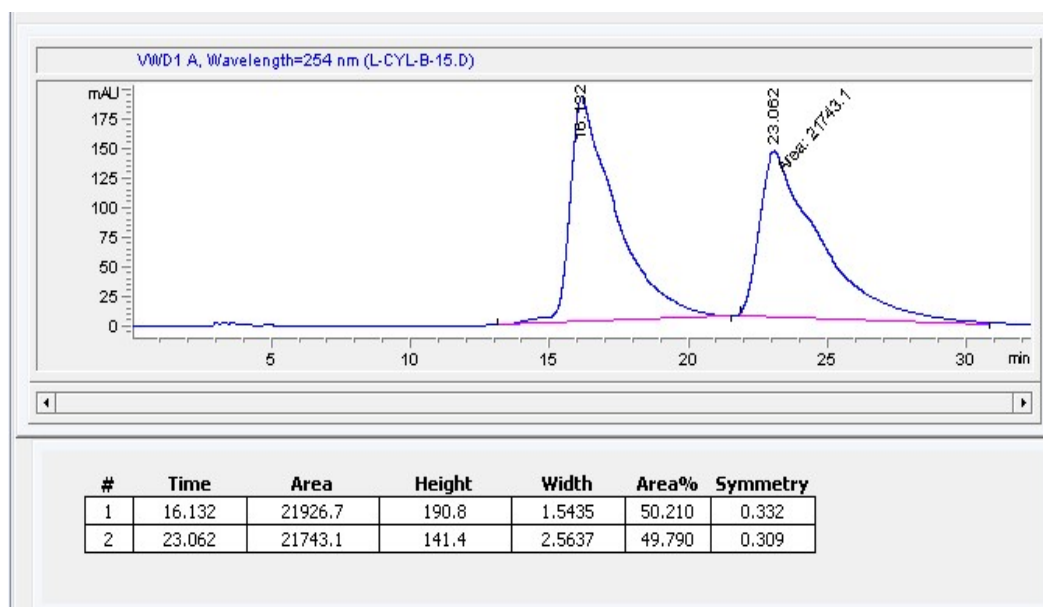
HPLC of 5a



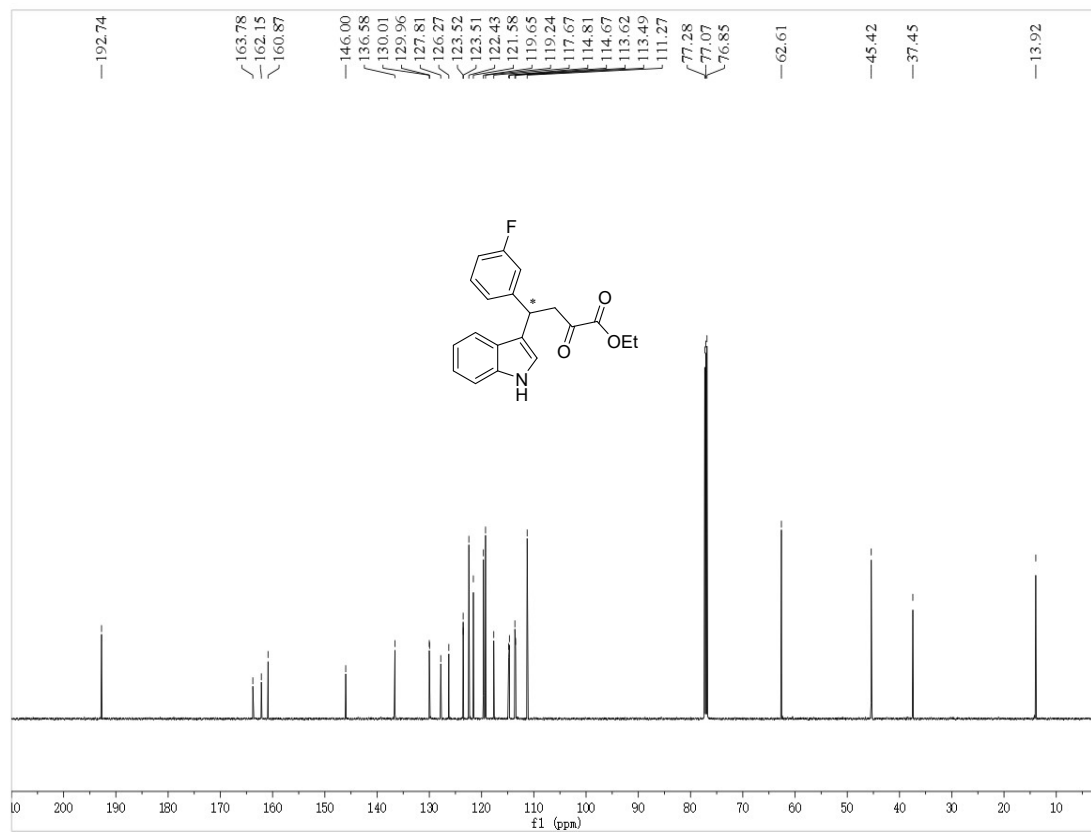
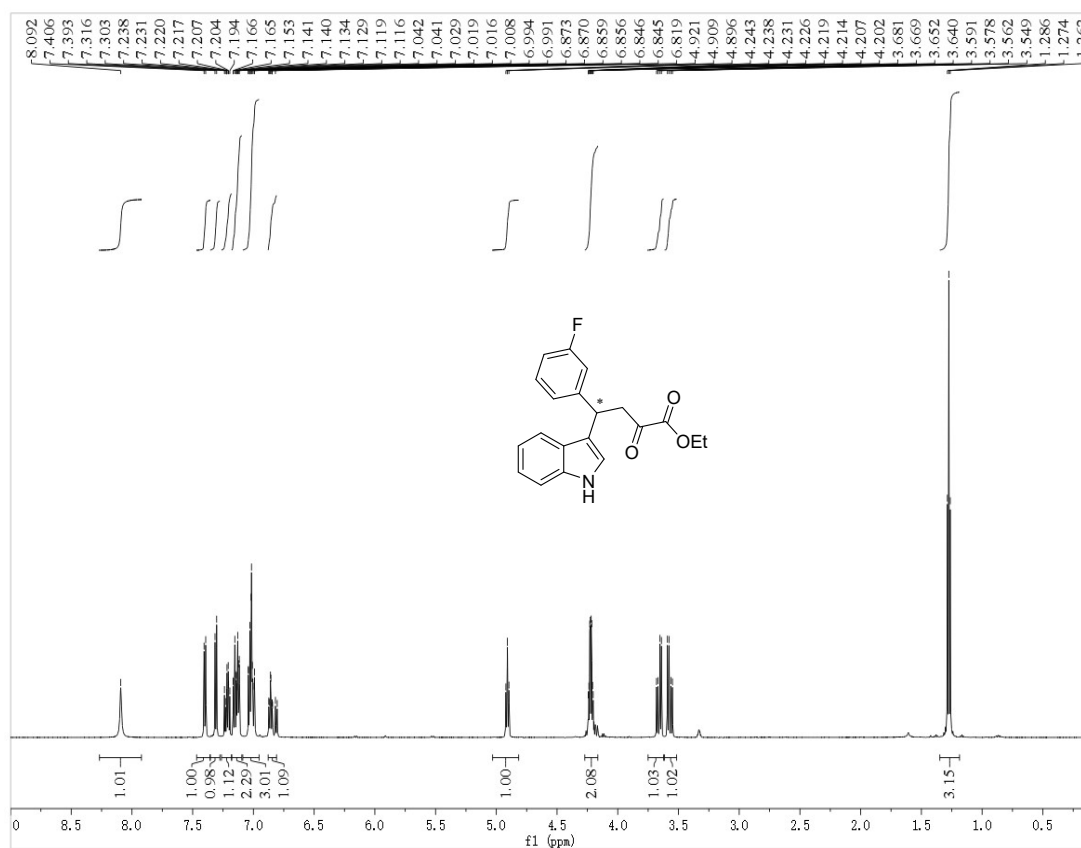
¹H and ¹³C NMR of 5b



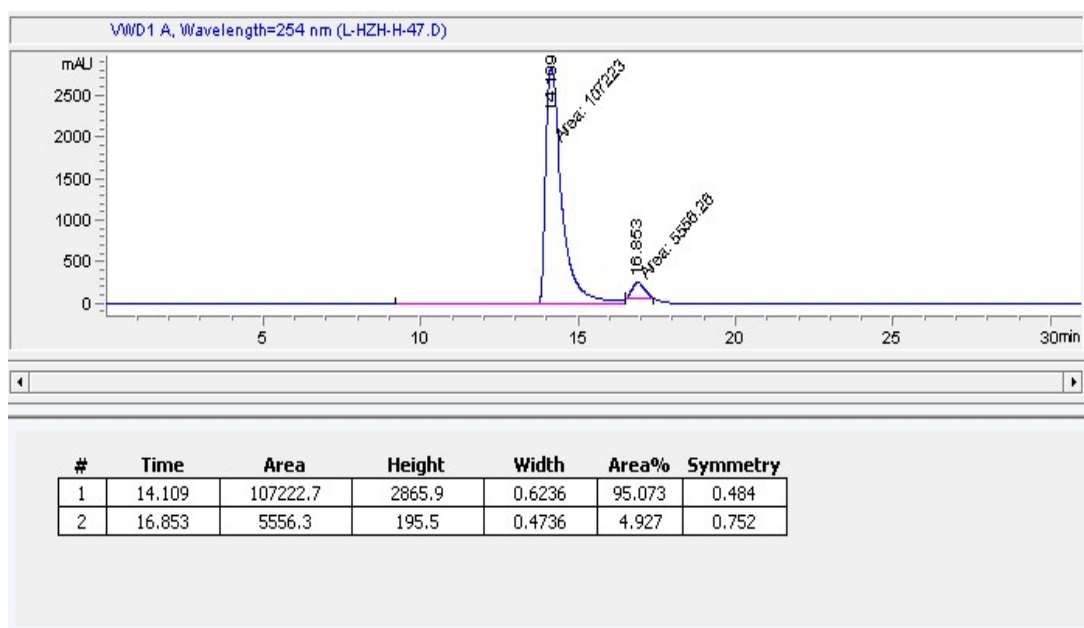
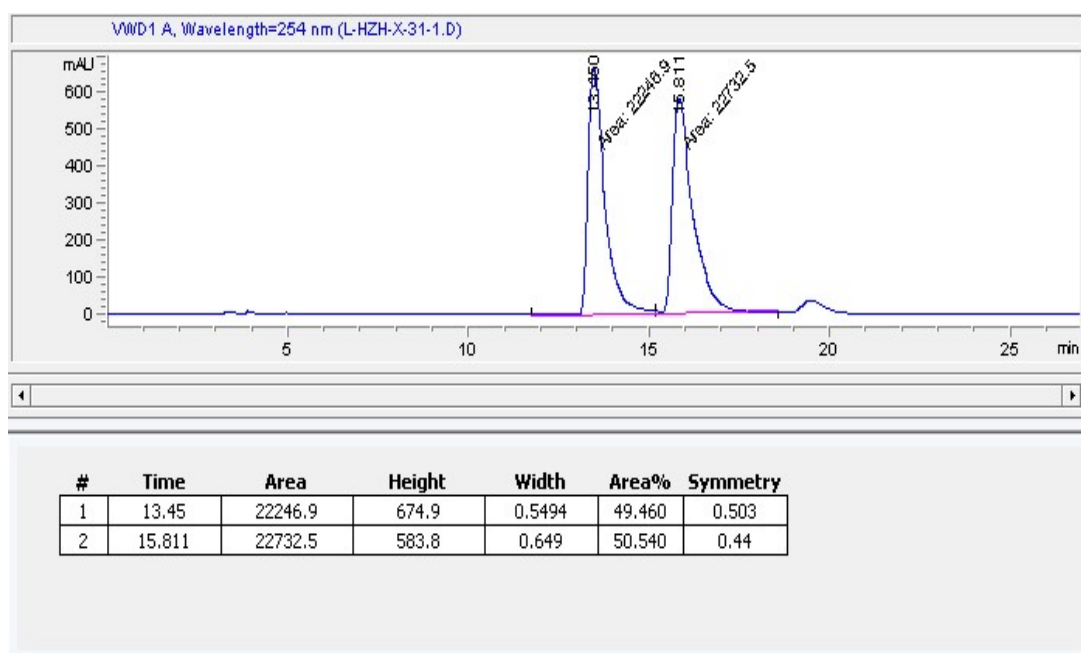
HPLC of 5b



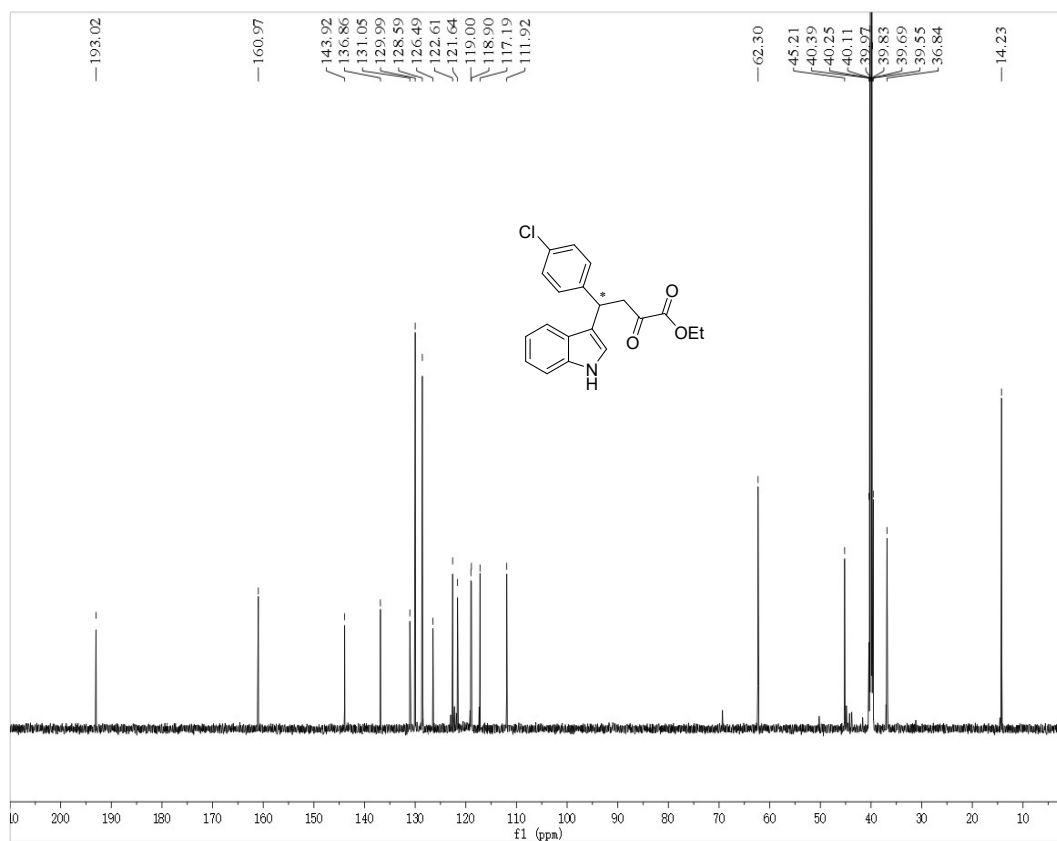
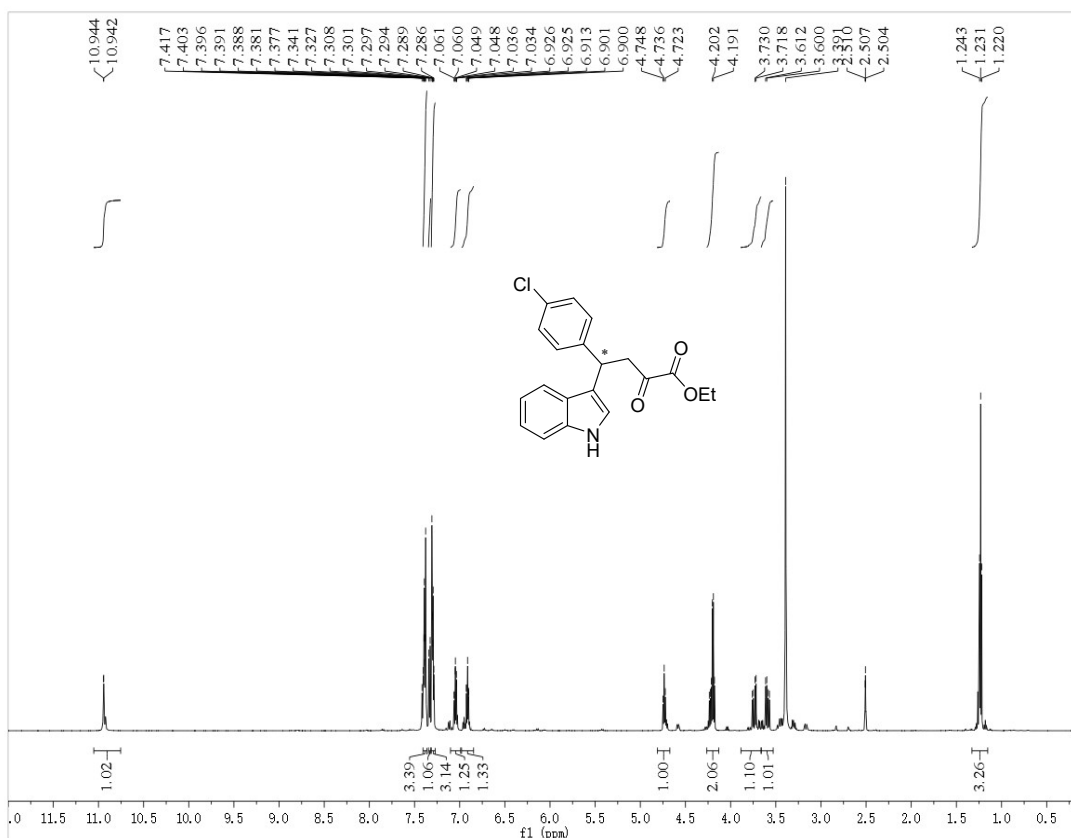
^1H and ^{13}C NMR of 5c



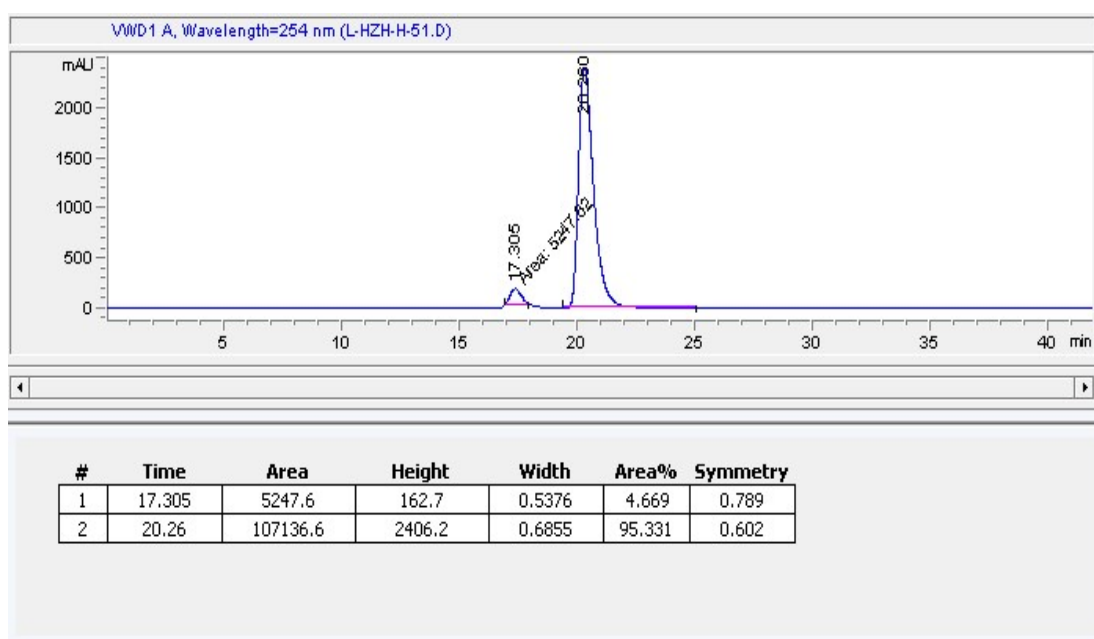
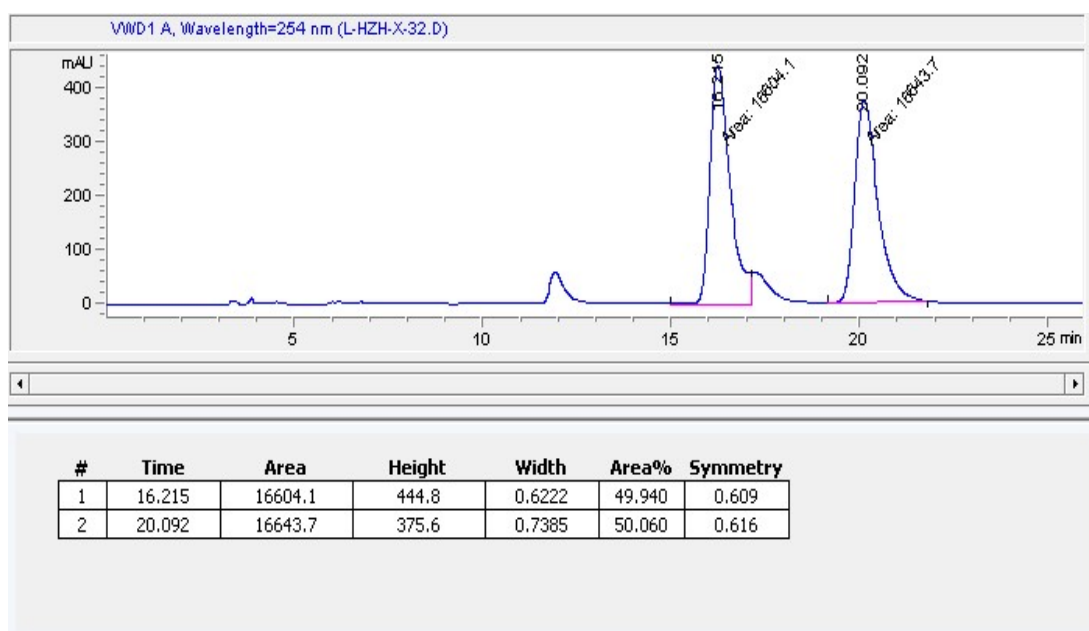
HPLC of 5c



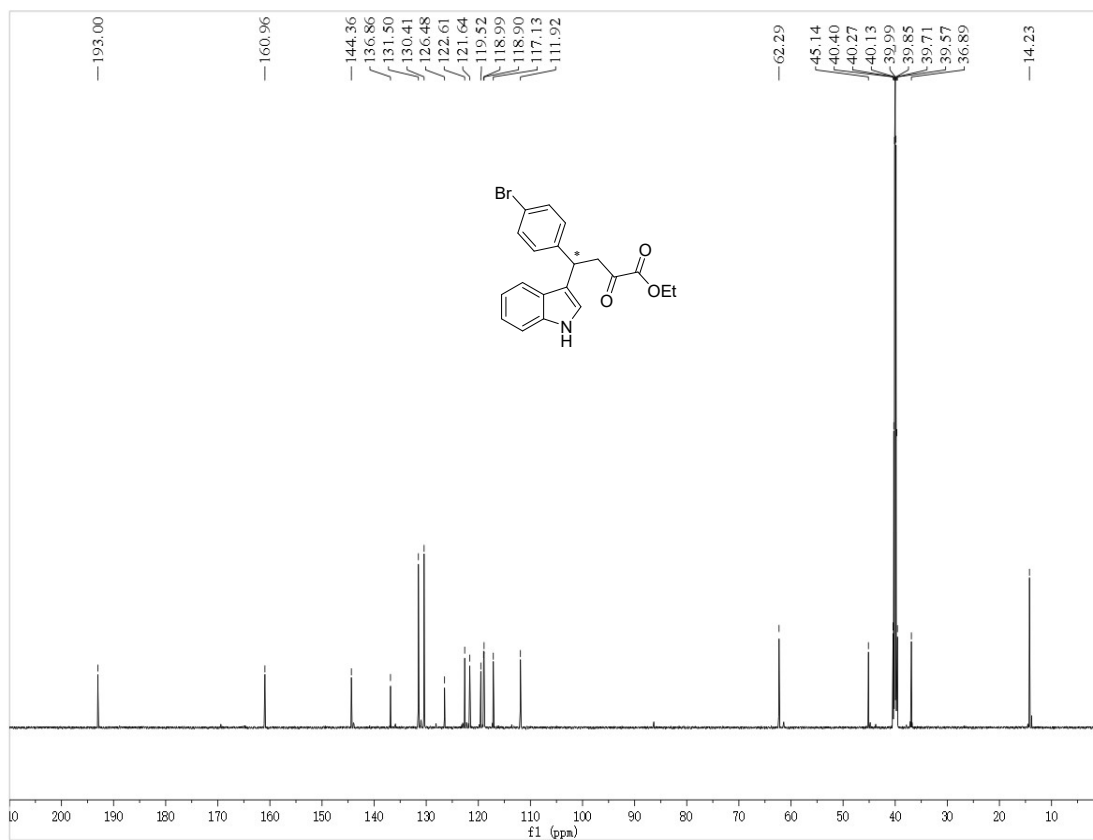
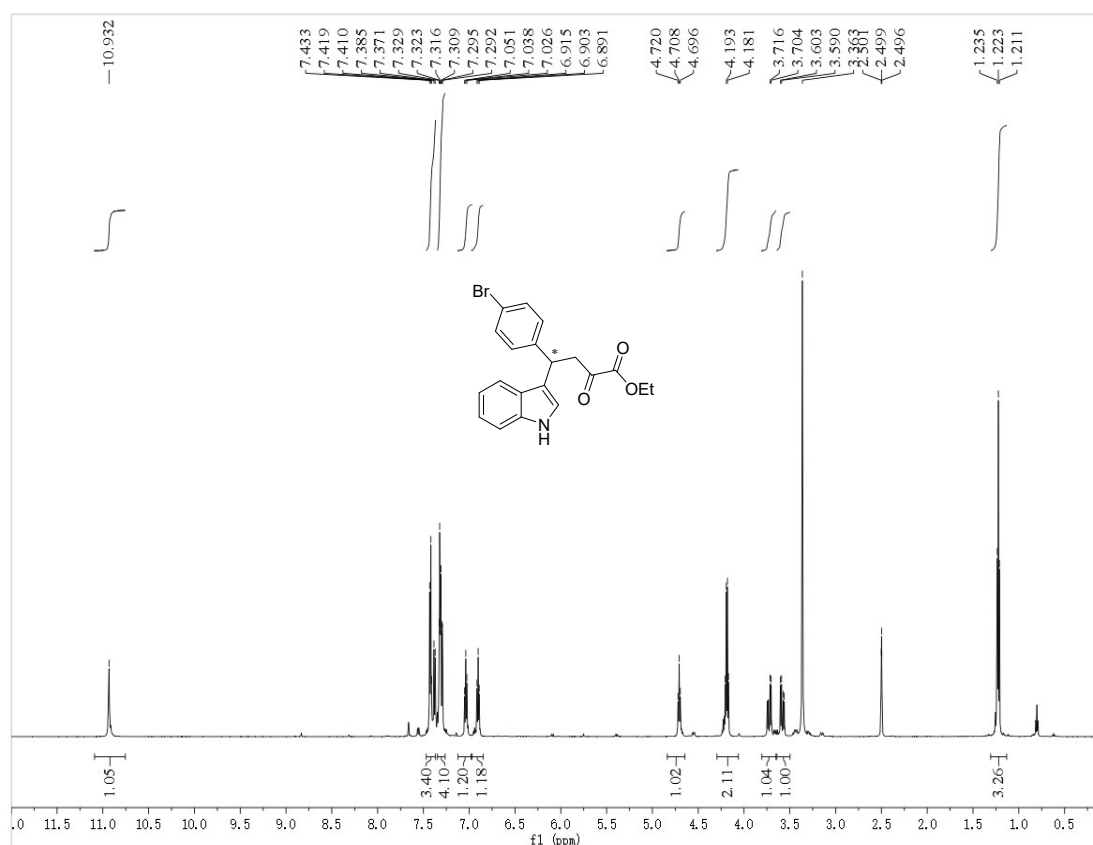
¹H and ¹³C NMR of 5d



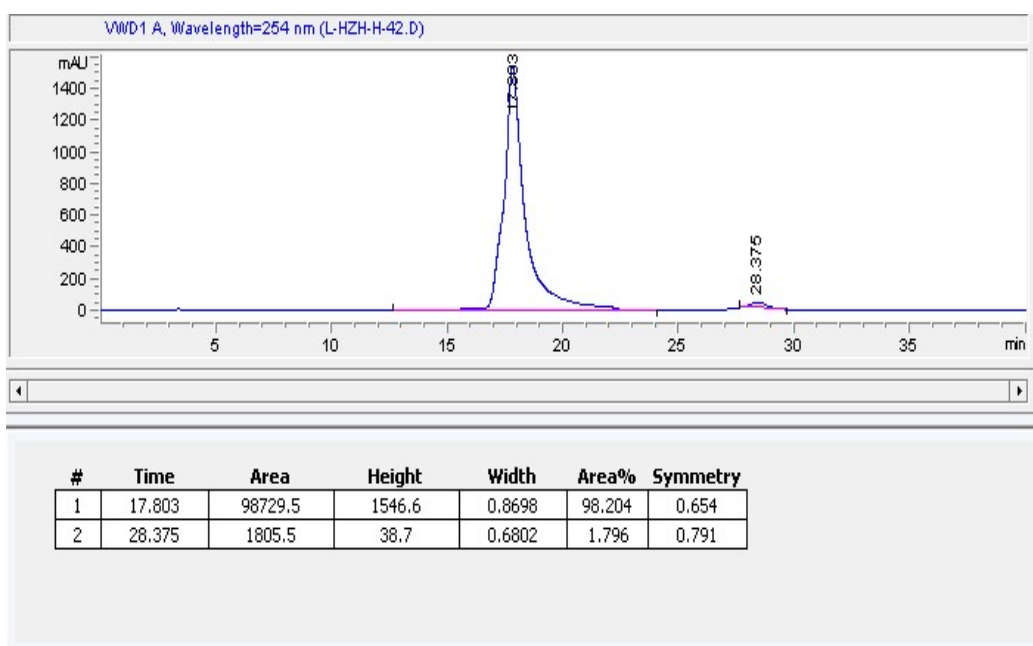
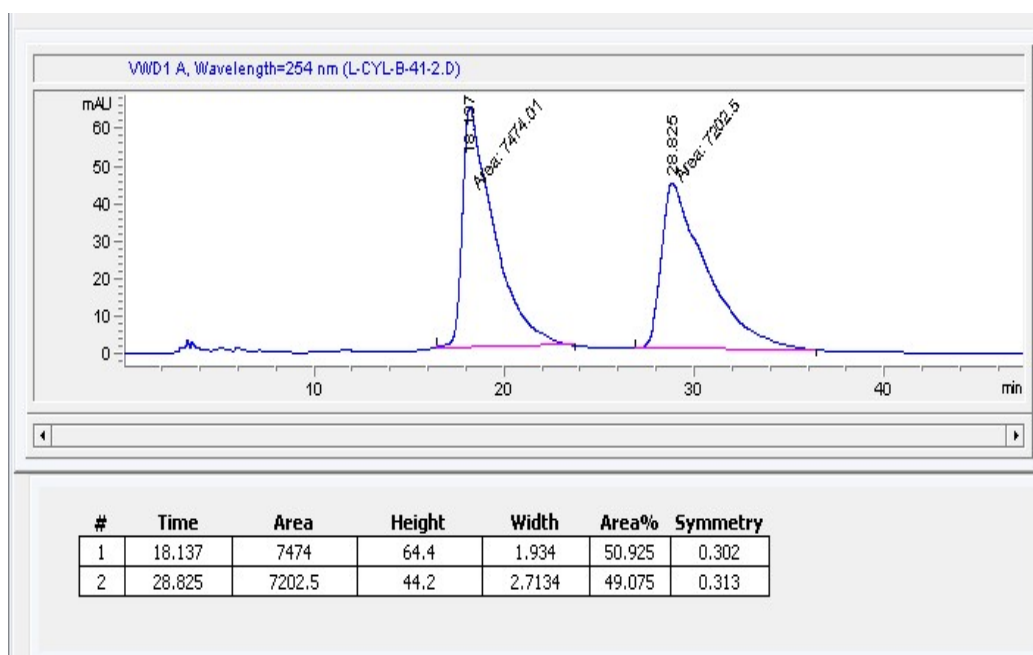
HPLC of 5d



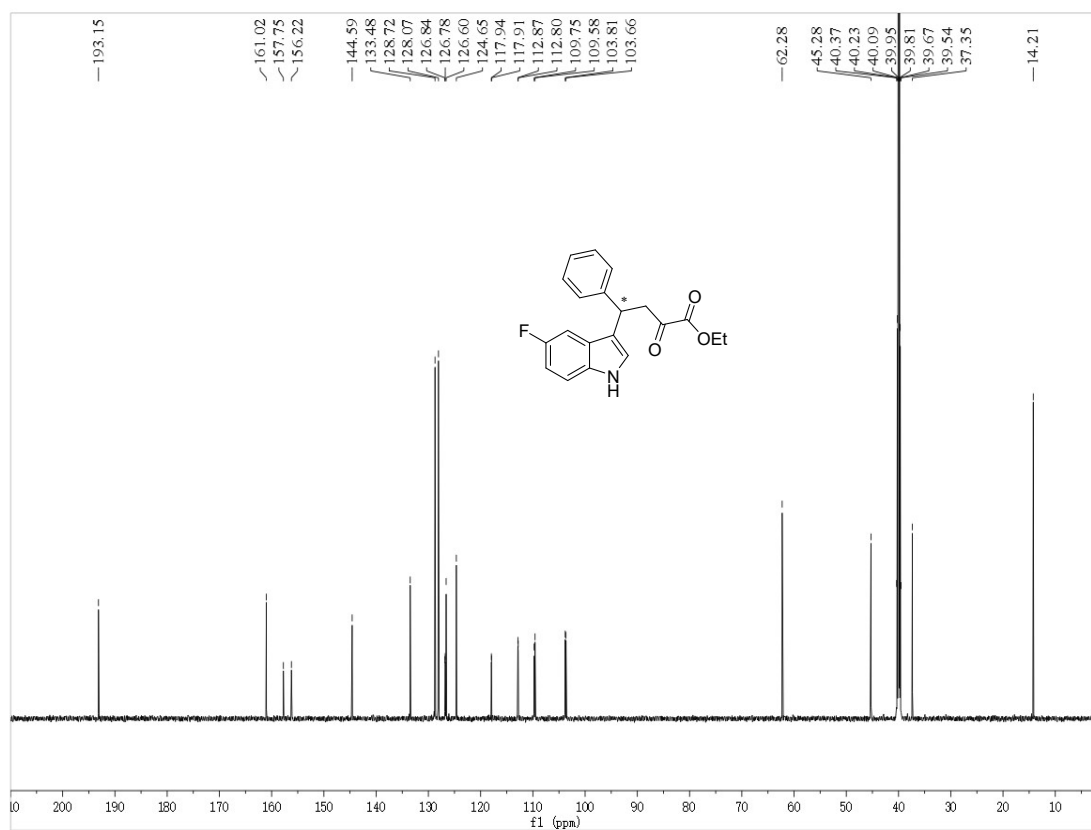
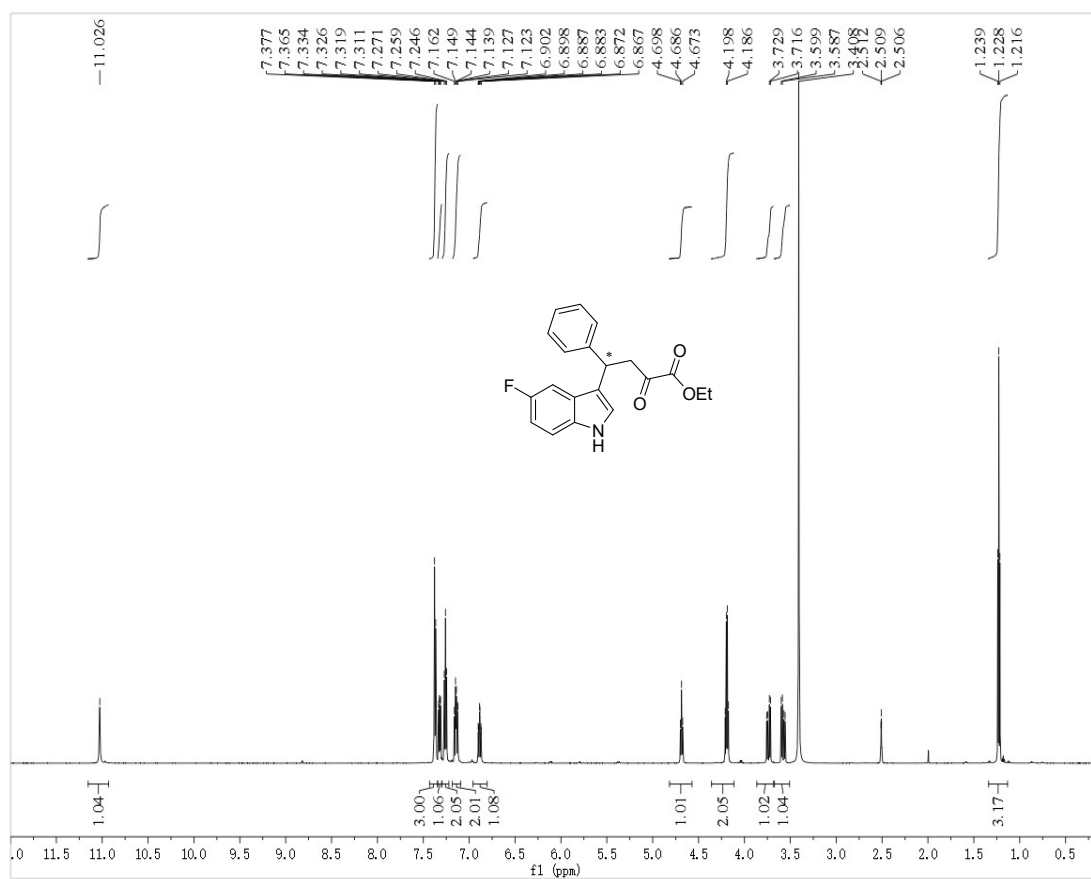
¹H and ¹³C NMR of 5e



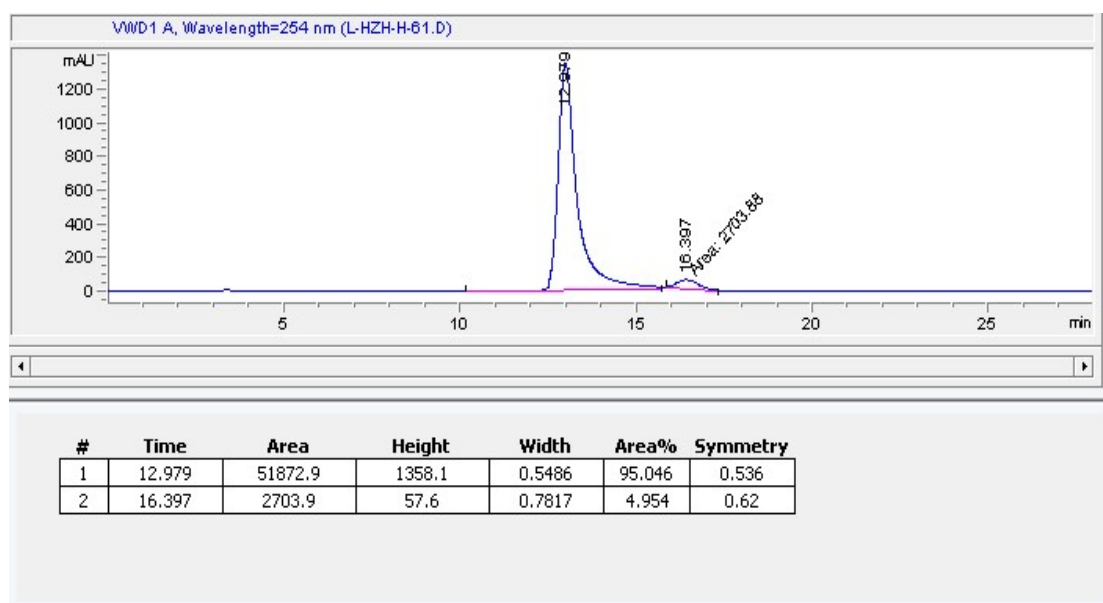
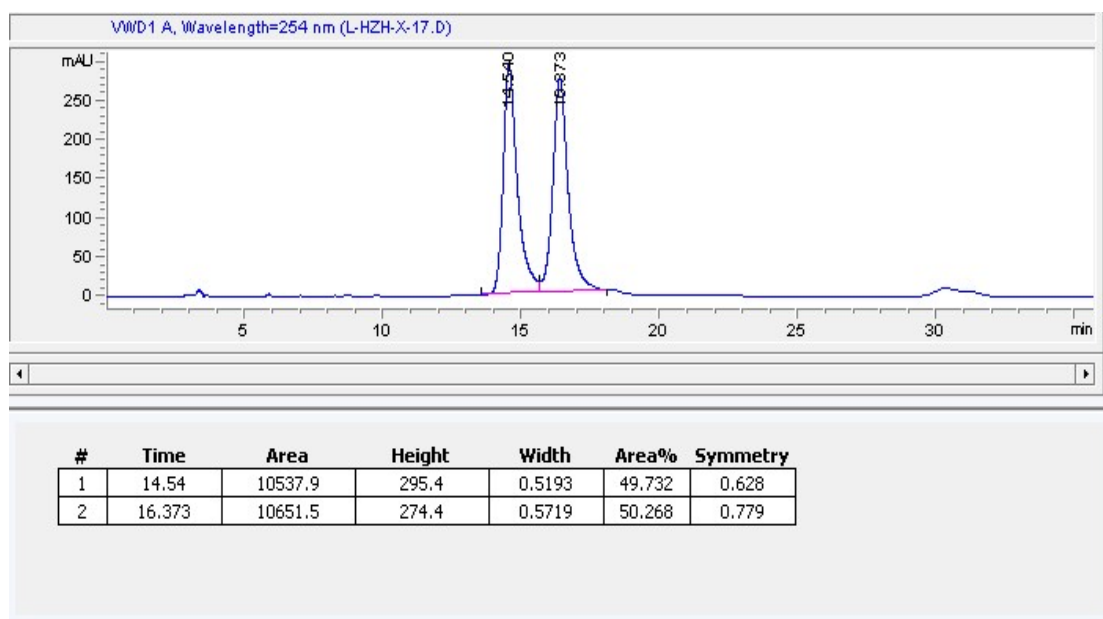
HPLC of 5e



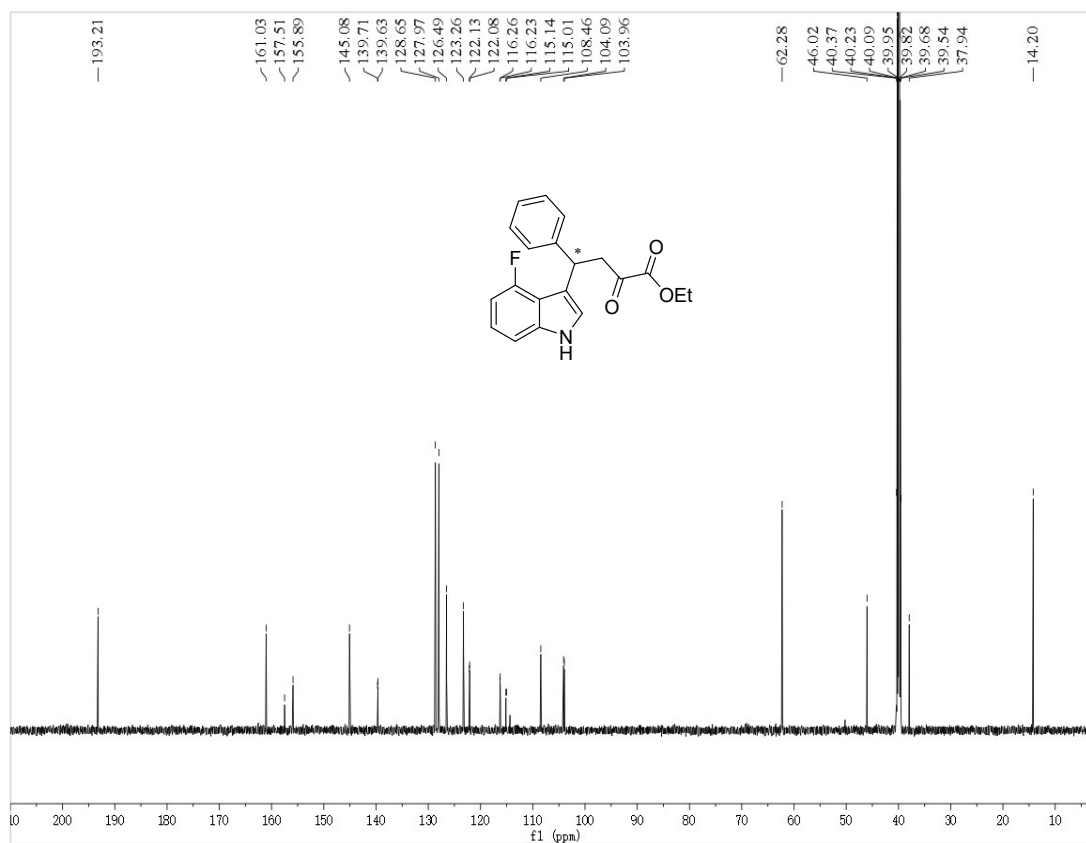
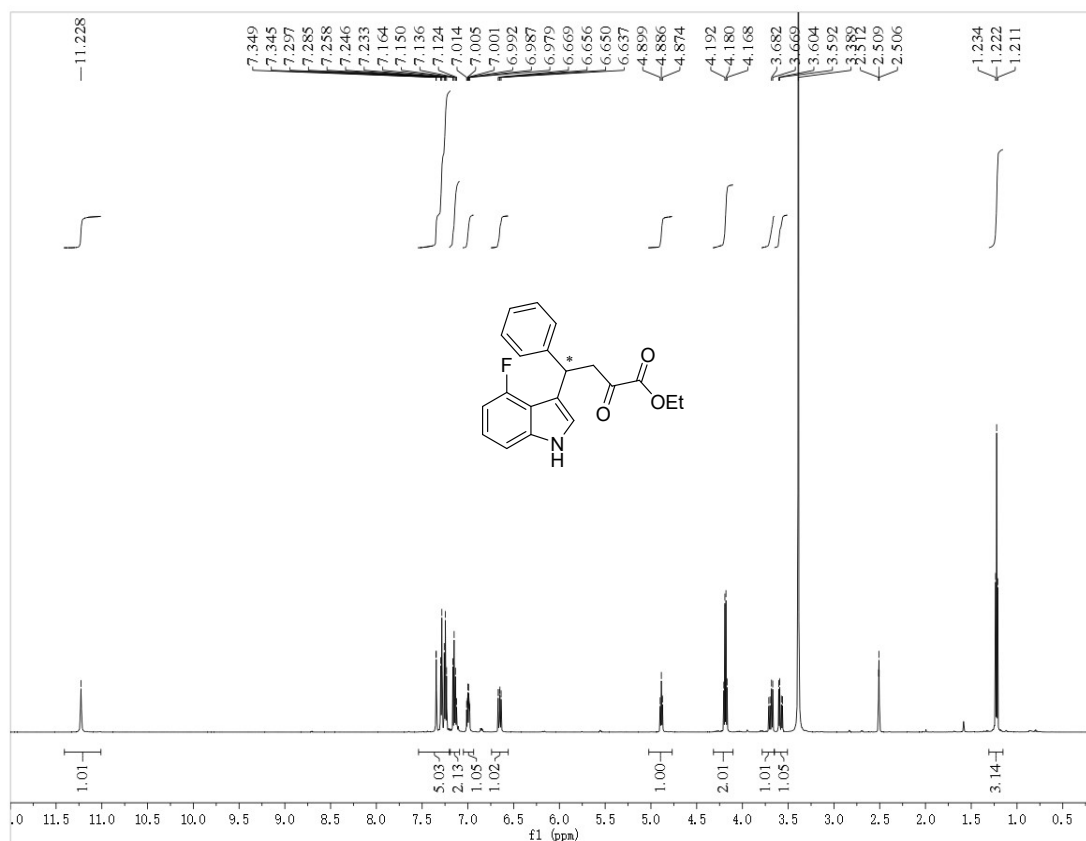
^1H and ^{13}C NMR of 5f



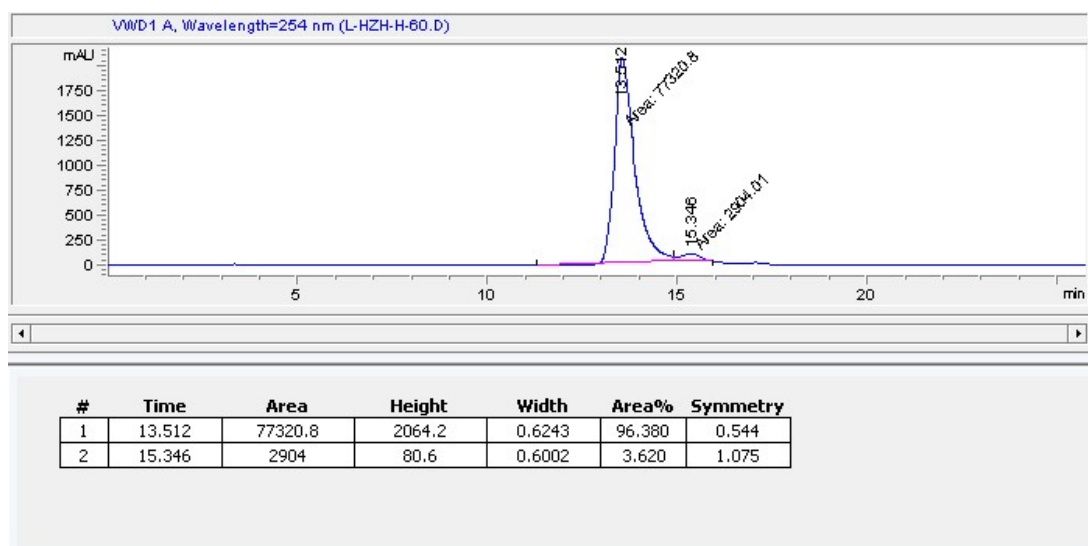
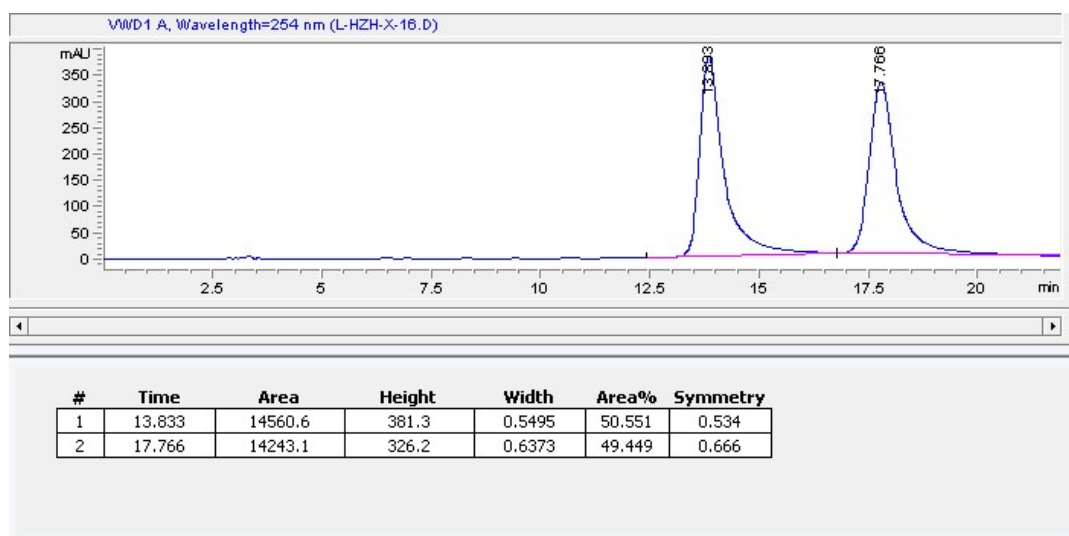
HPLC of 5f



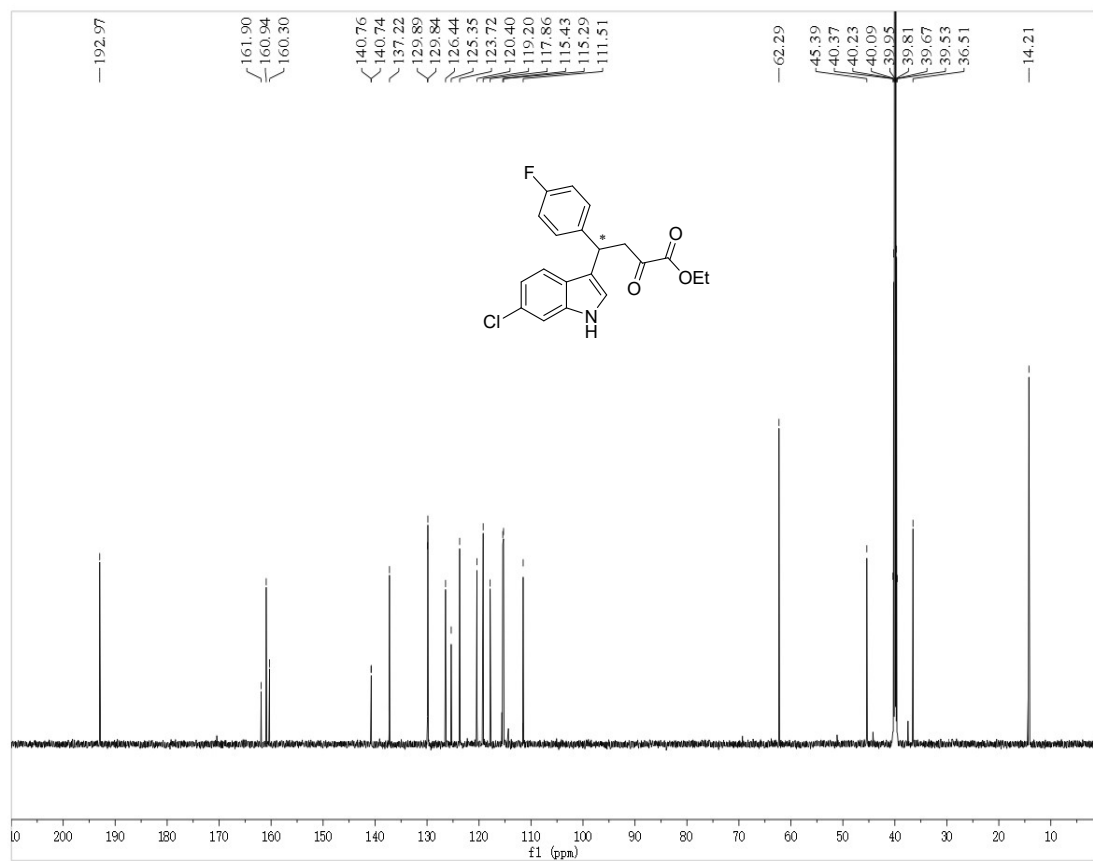
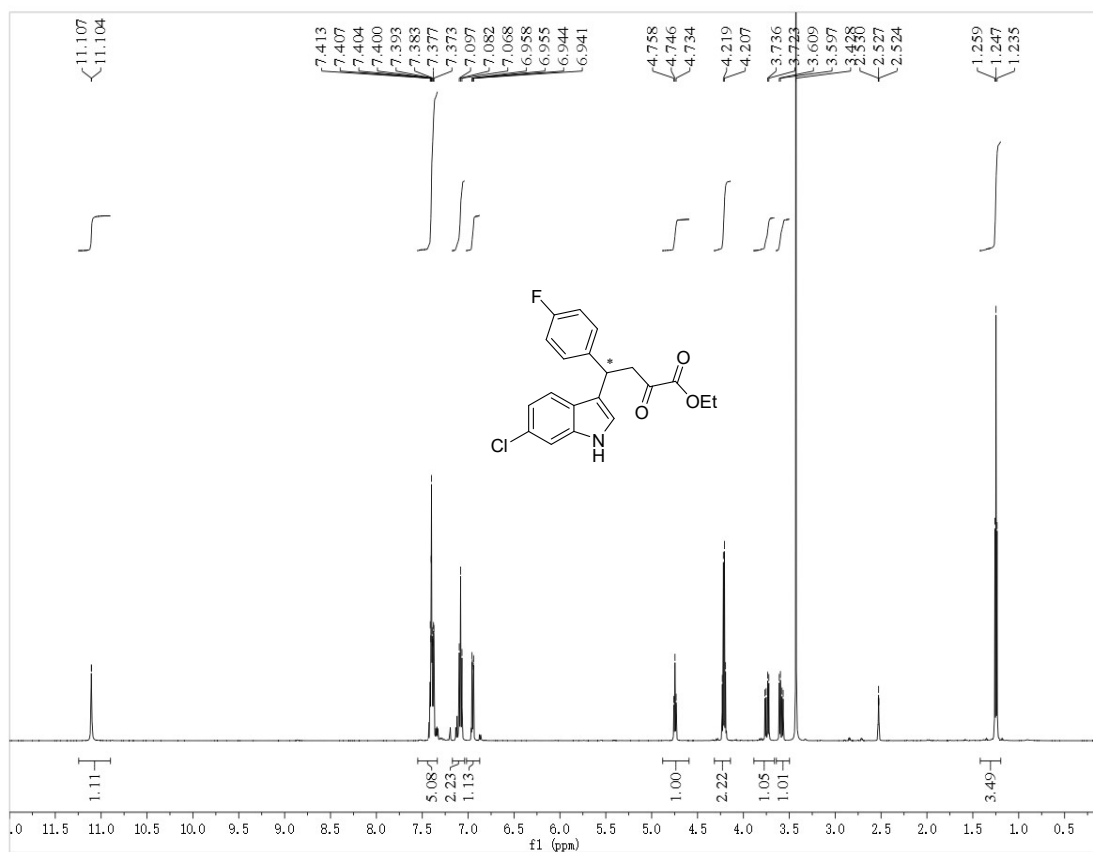
^1H and ^{13}C NMR of 5g



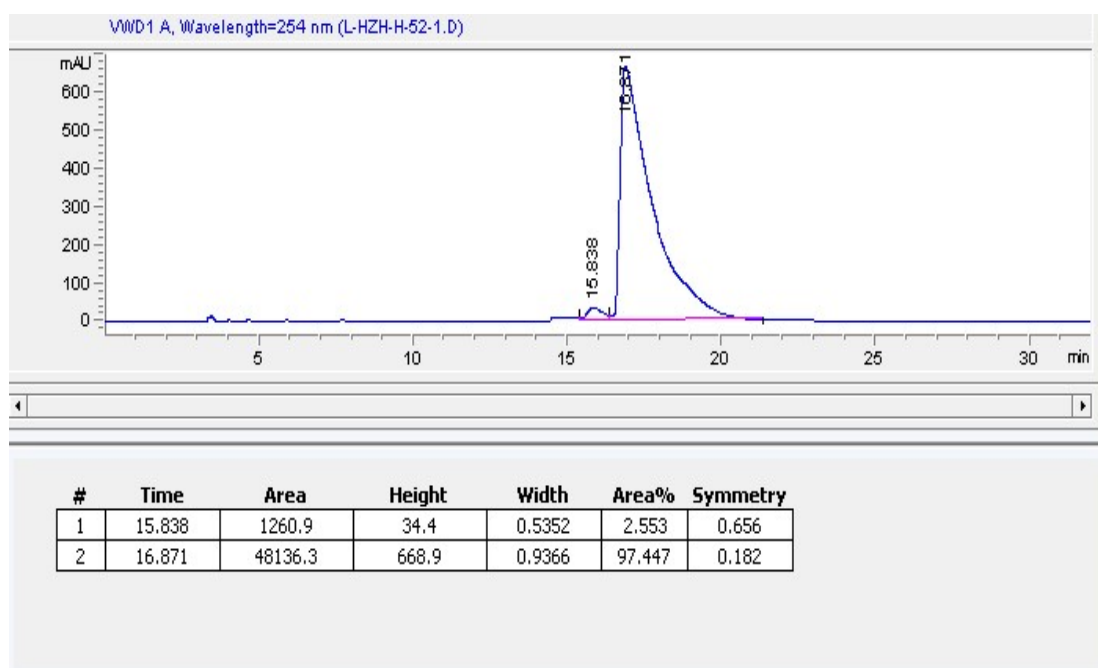
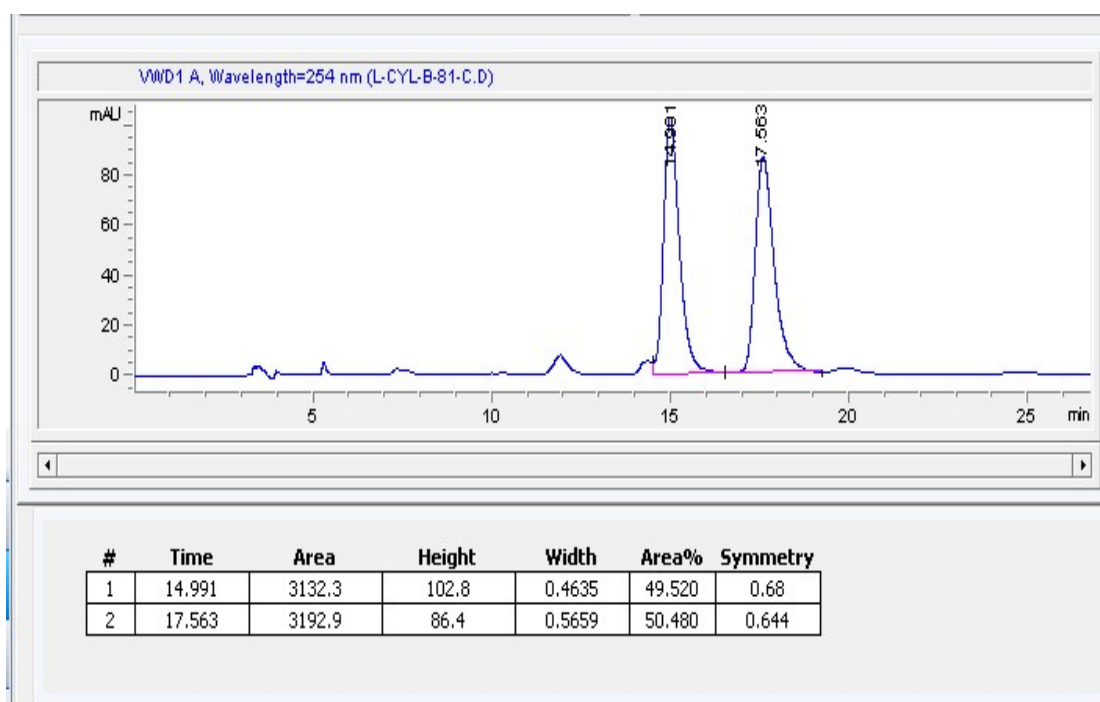
HPLC of 5g



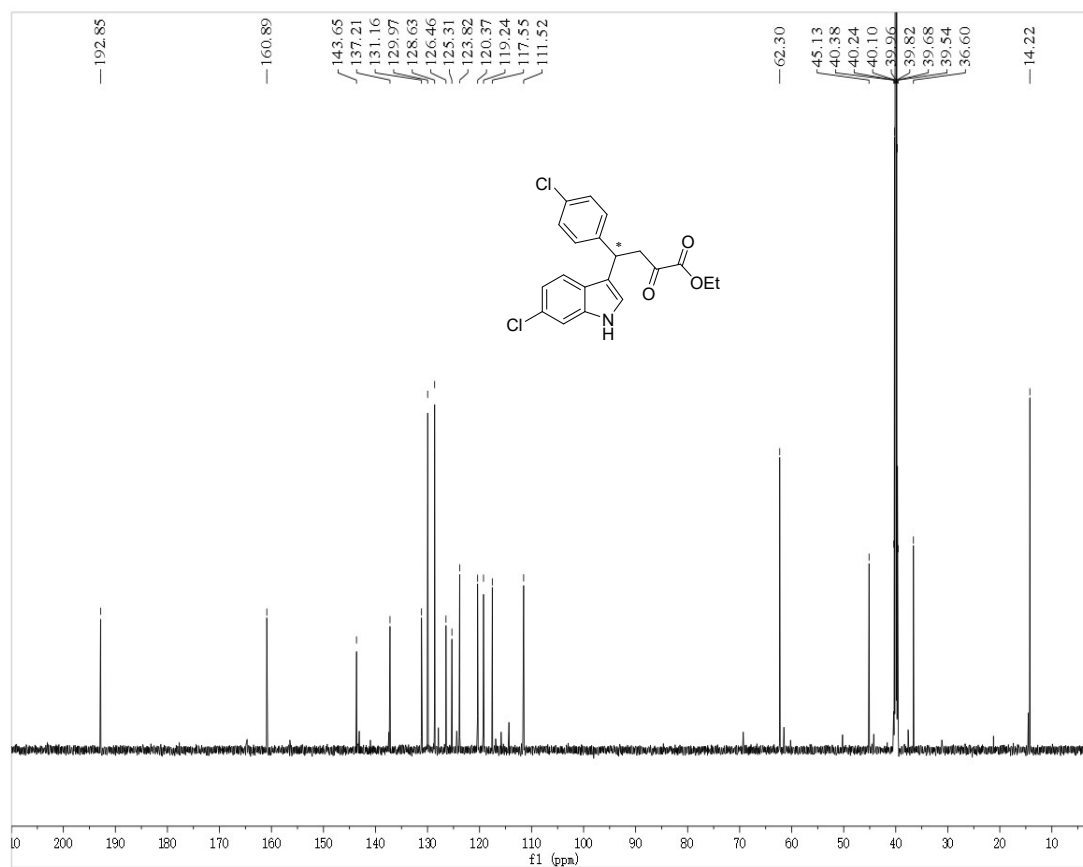
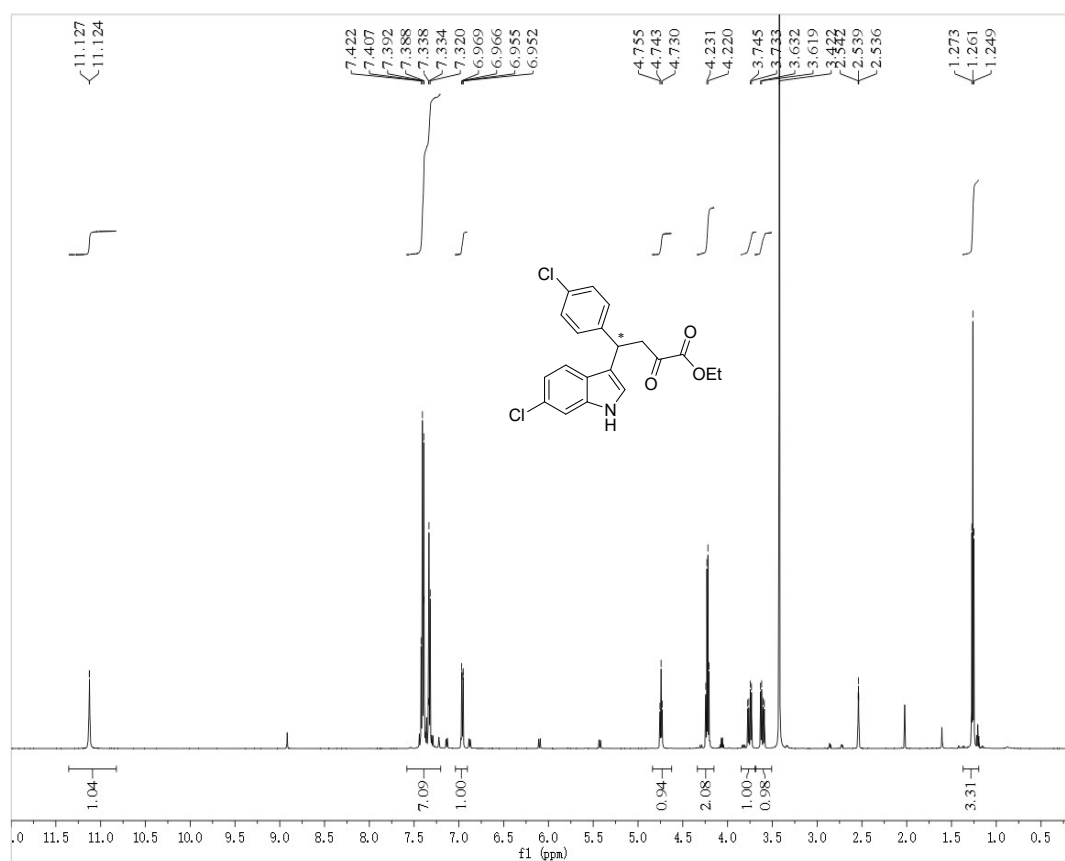
¹H and ¹³C NMR of 5h



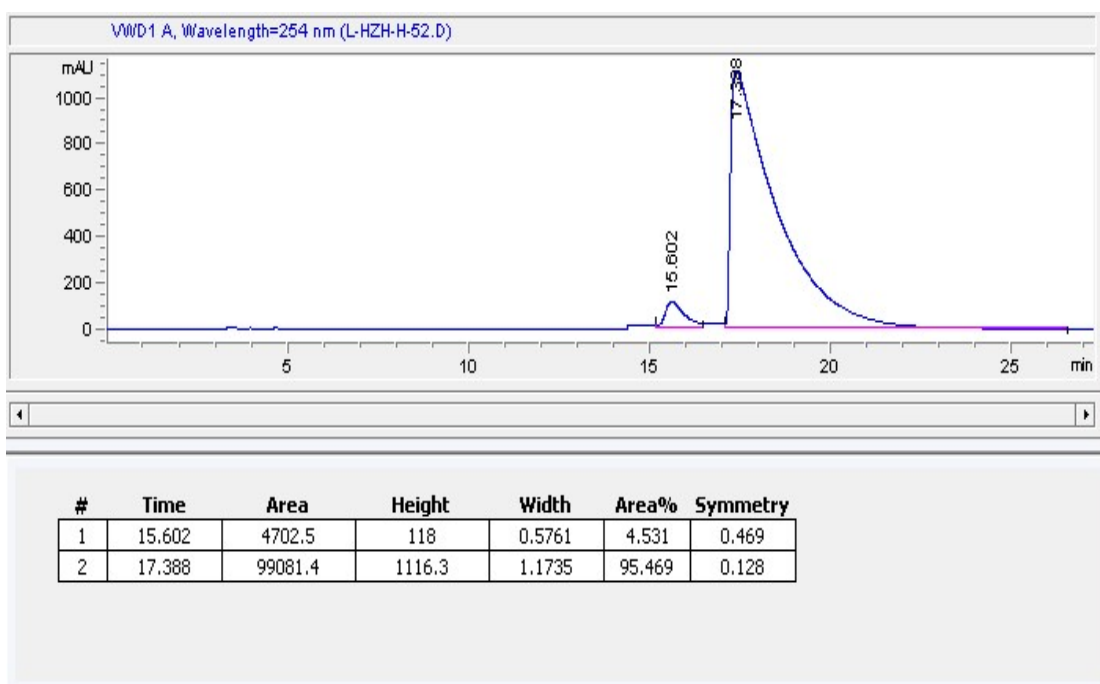
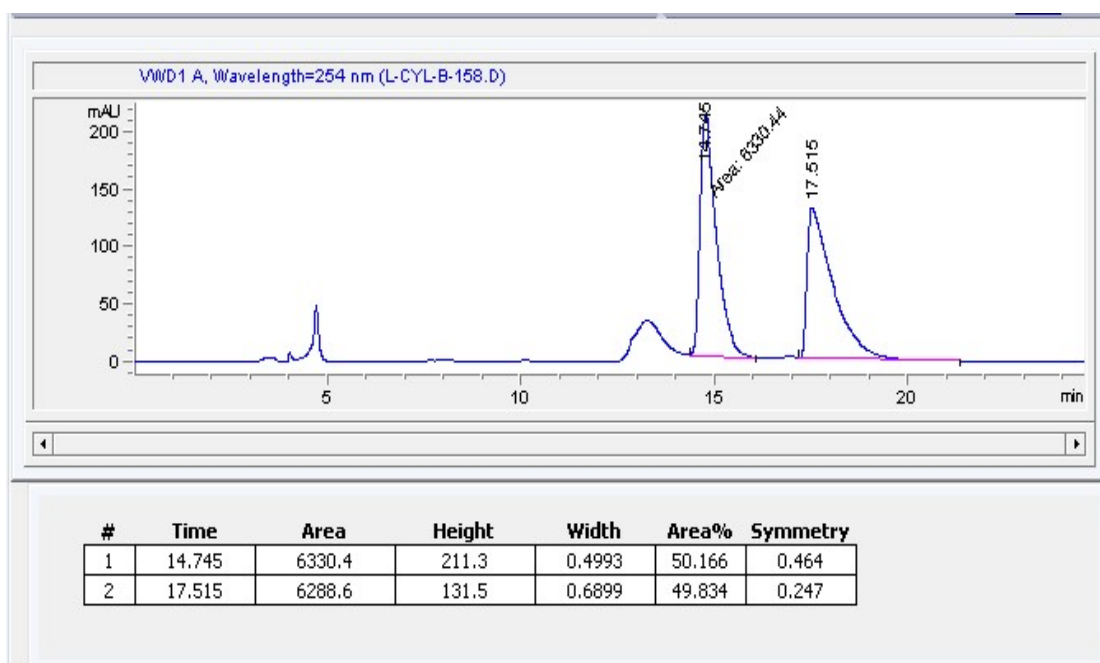
HPLC of 5h



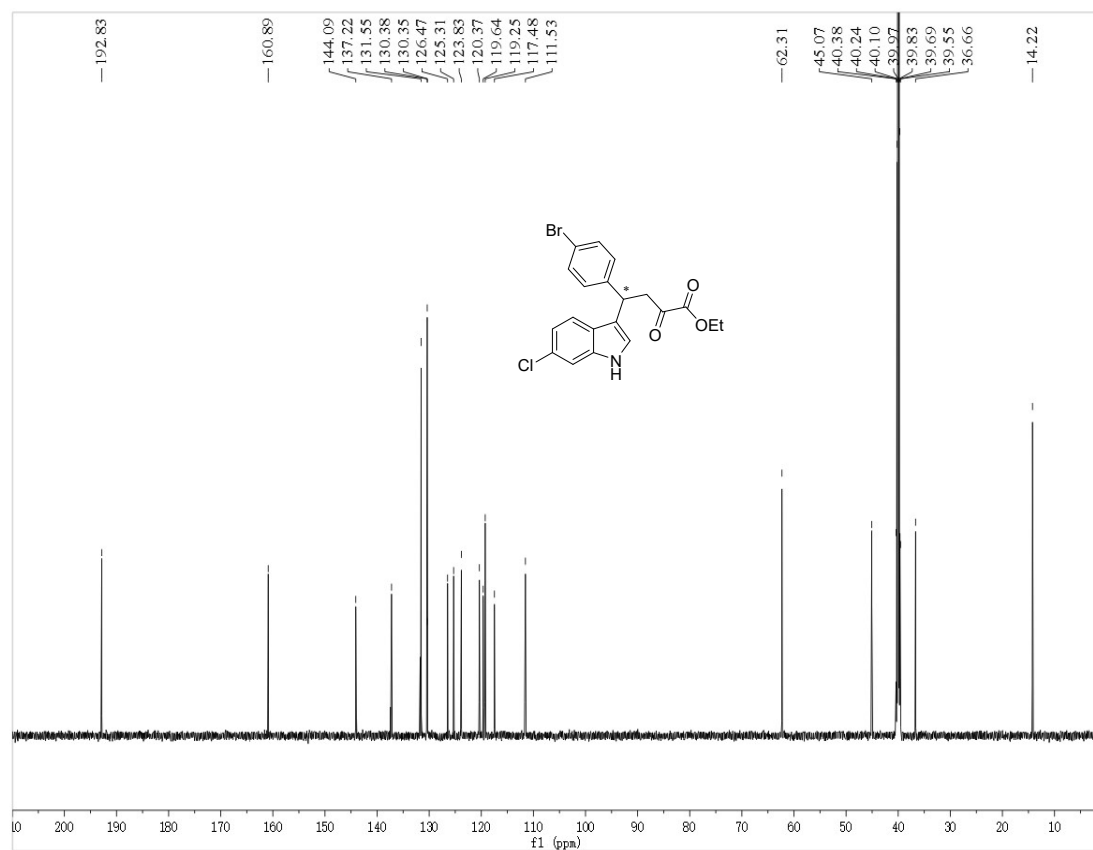
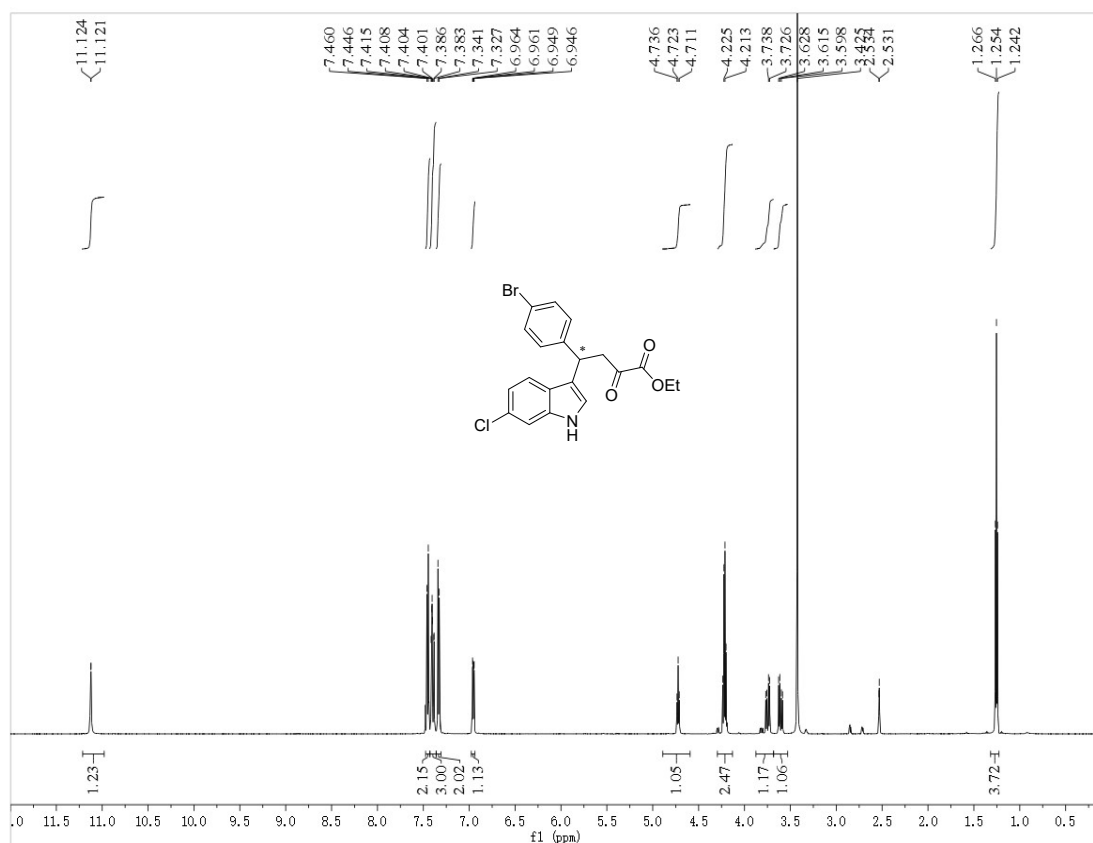
¹H and ¹³C NMR of 5i



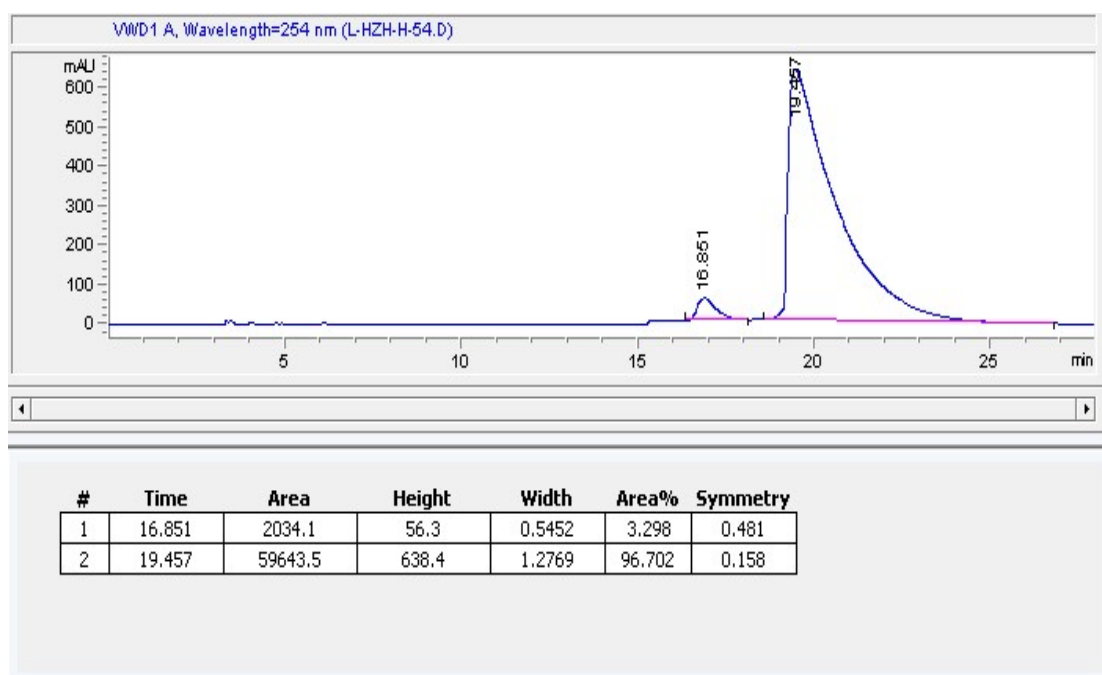
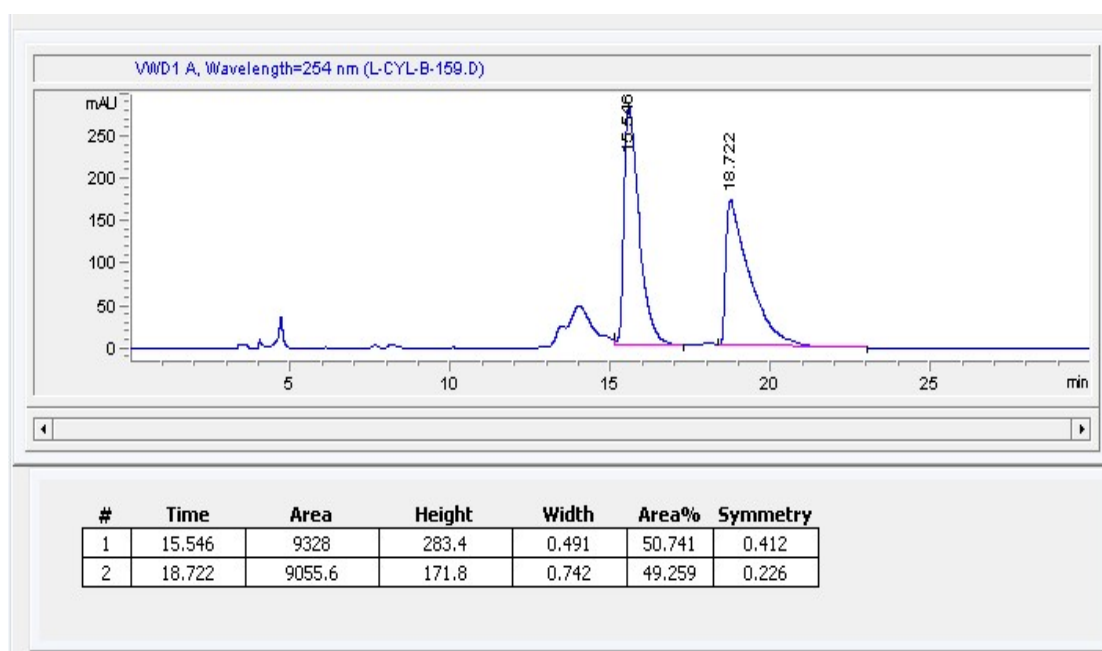
HPLC of 5i



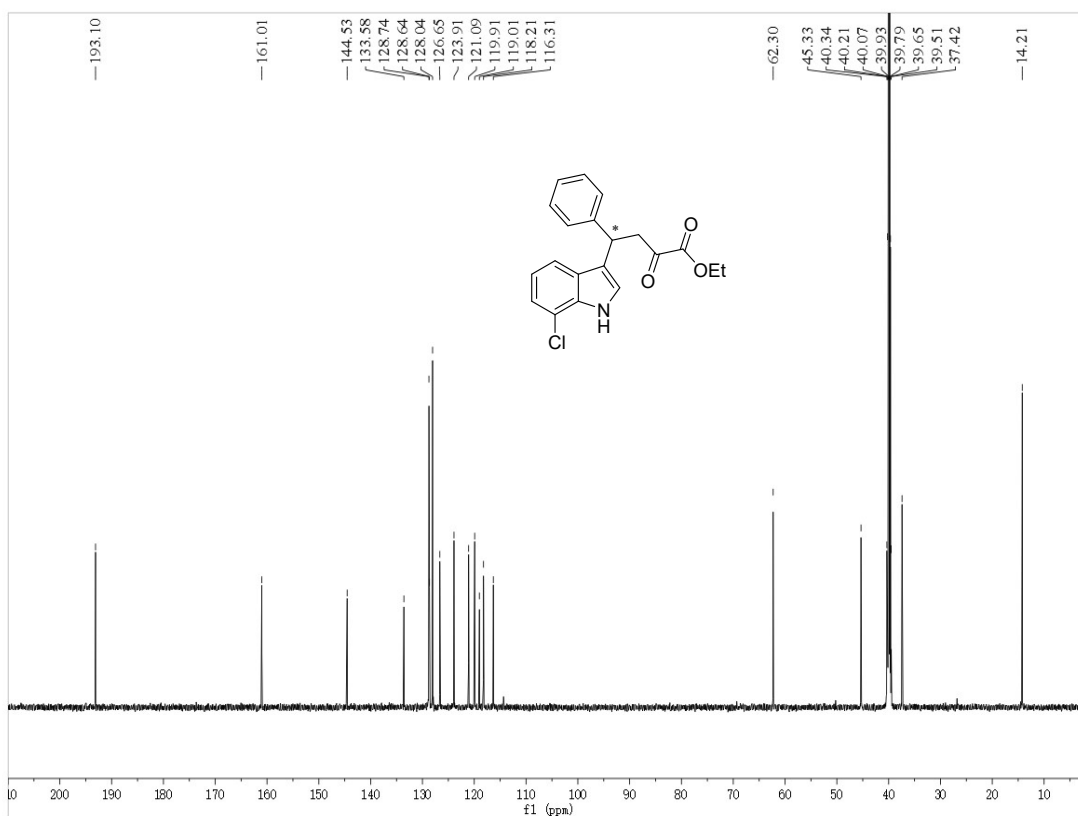
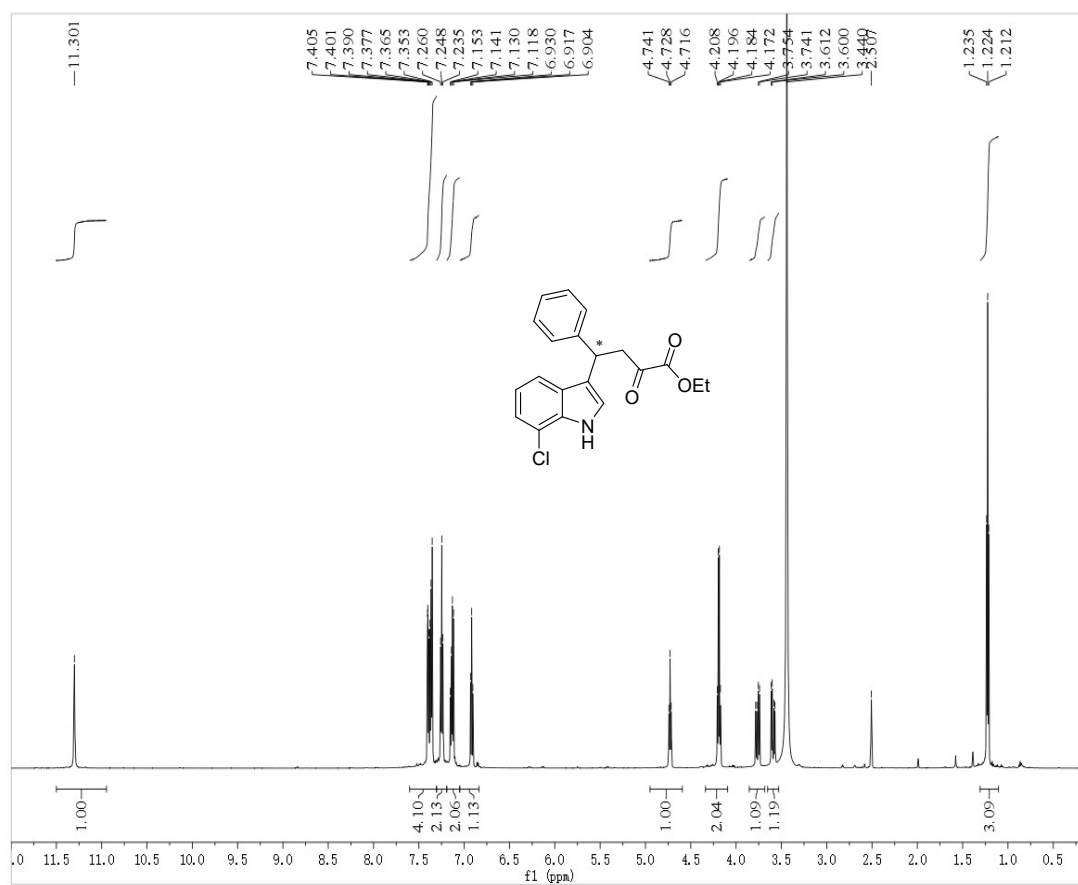
¹H and ¹³C NMR of 5j



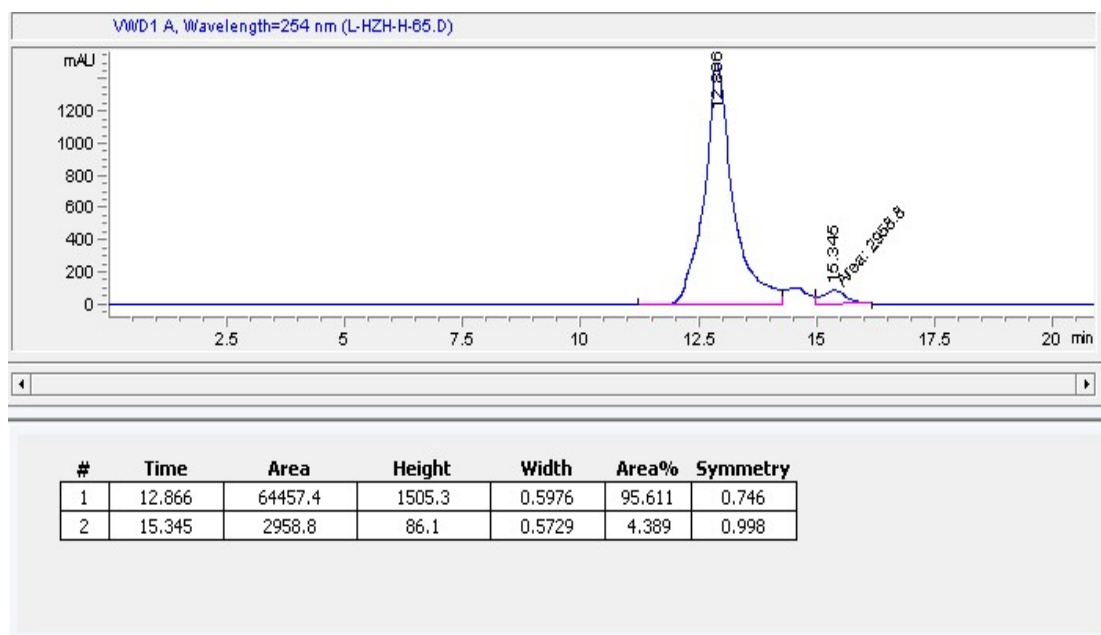
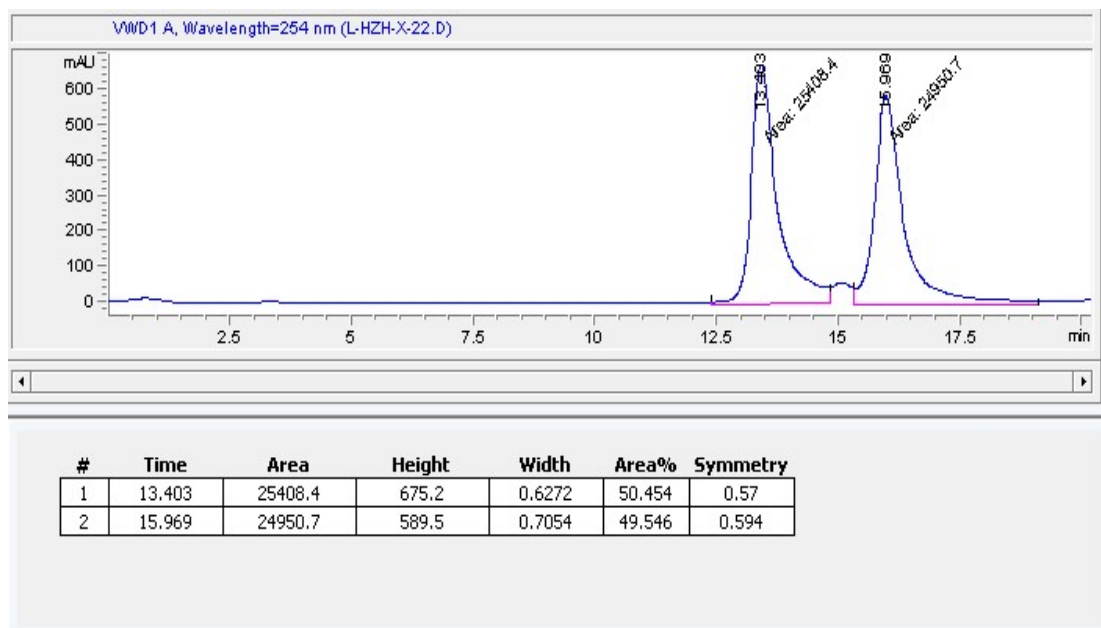
HPLC of 5j



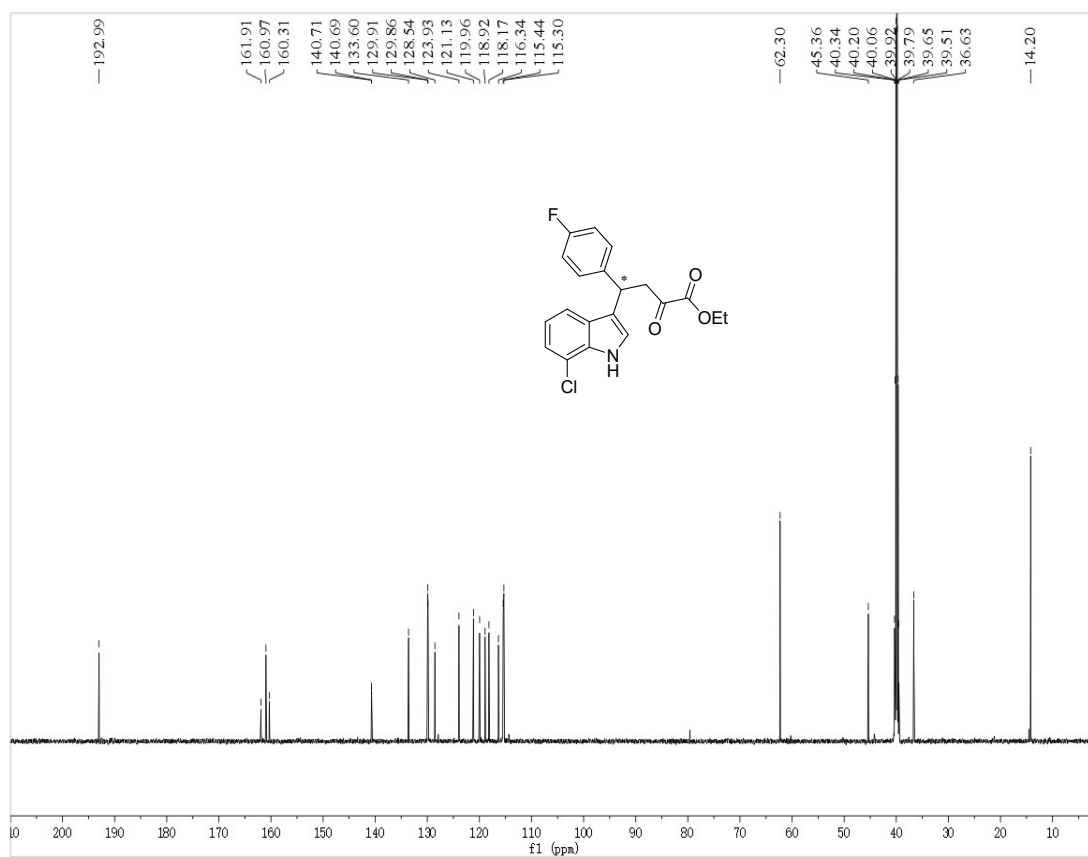
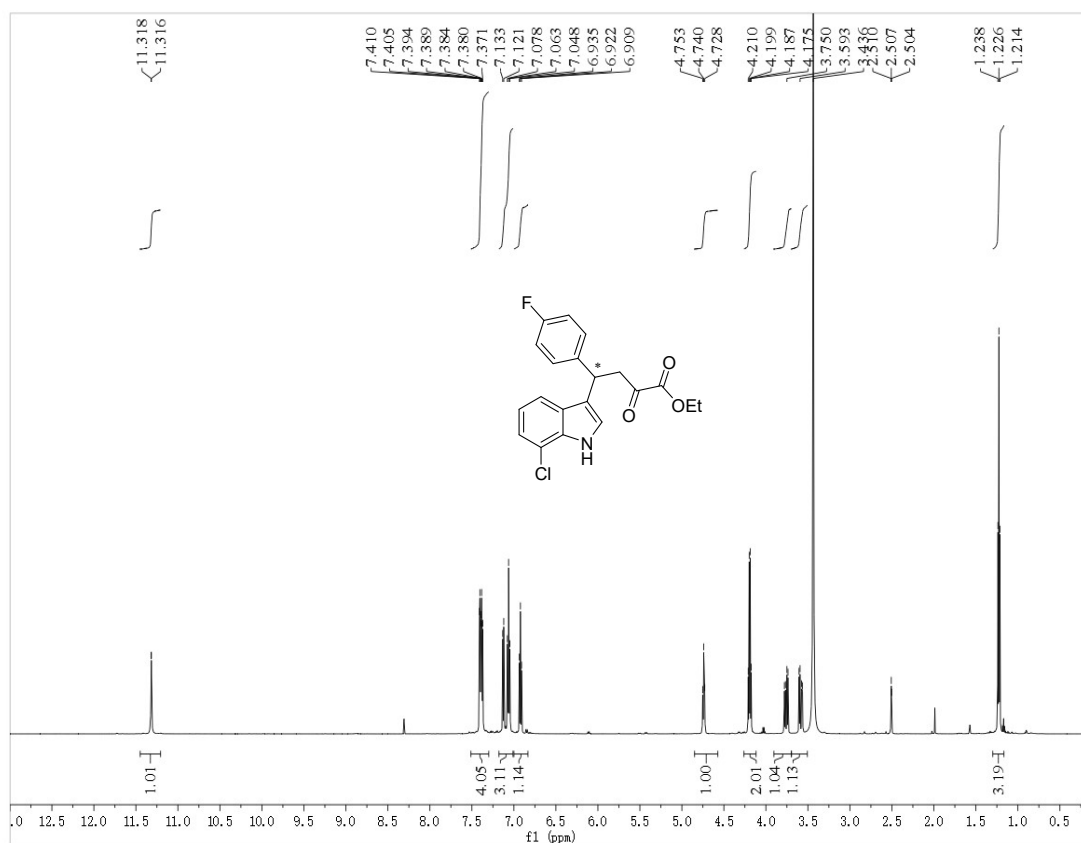
¹H and ¹³C NMR of 5k



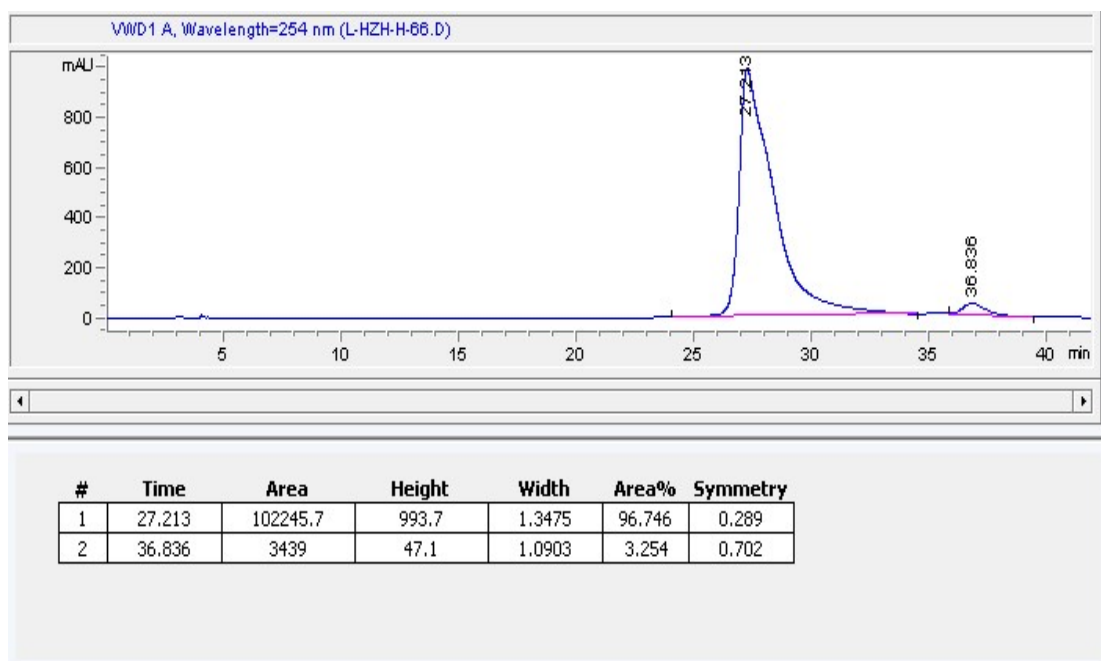
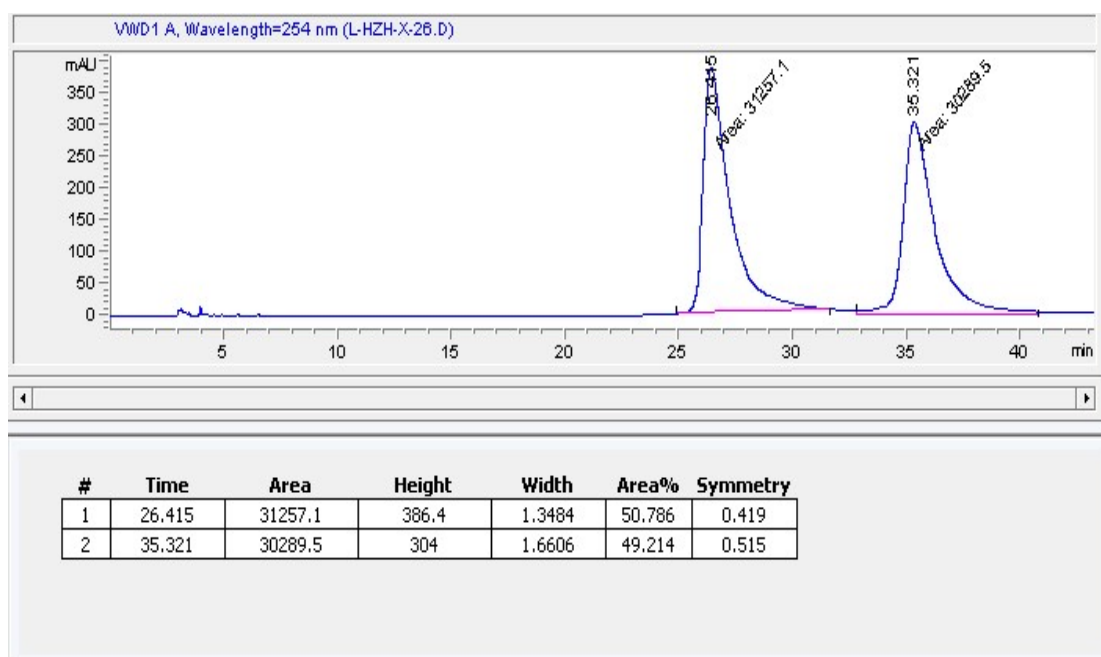
HPLC of 5k



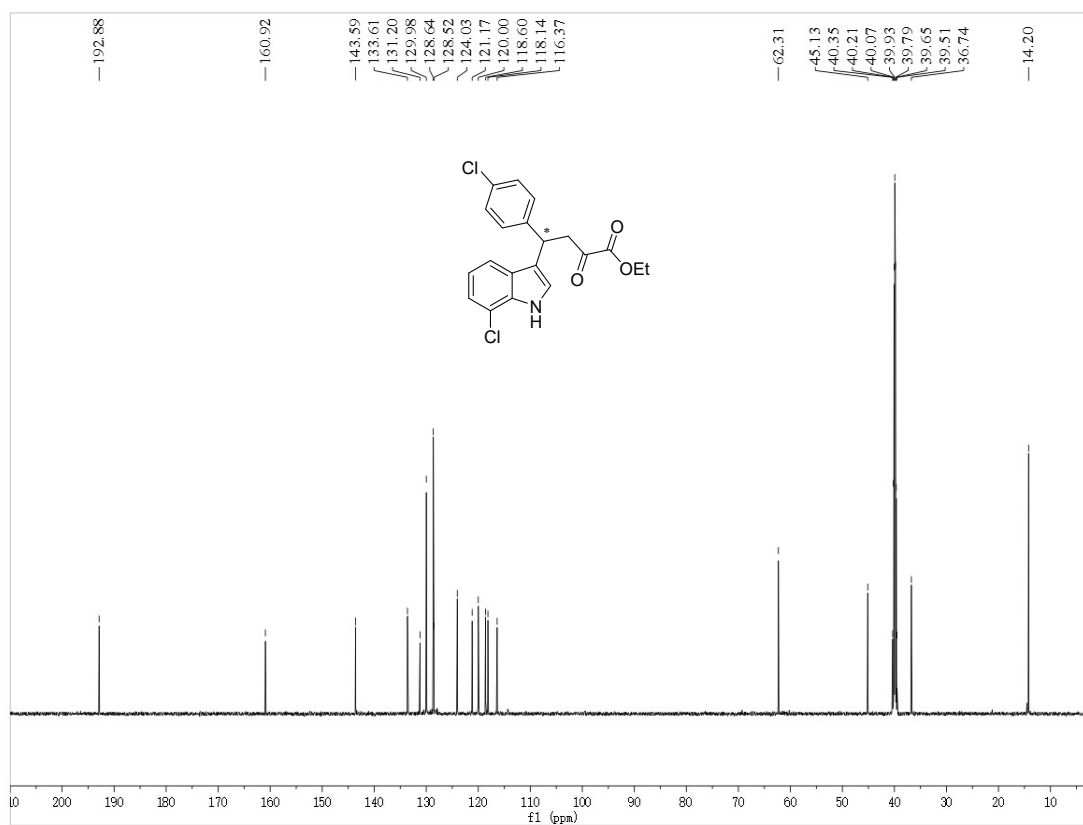
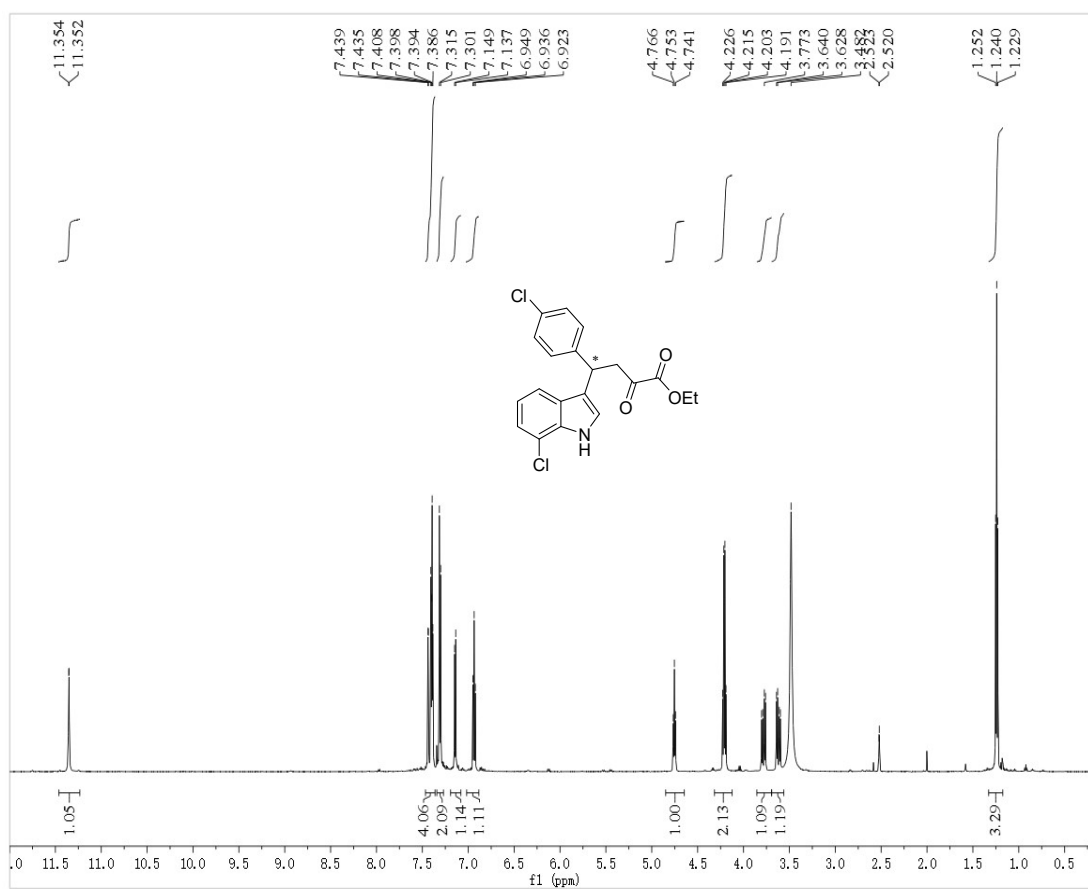
¹H and ¹³C NMR of 5l



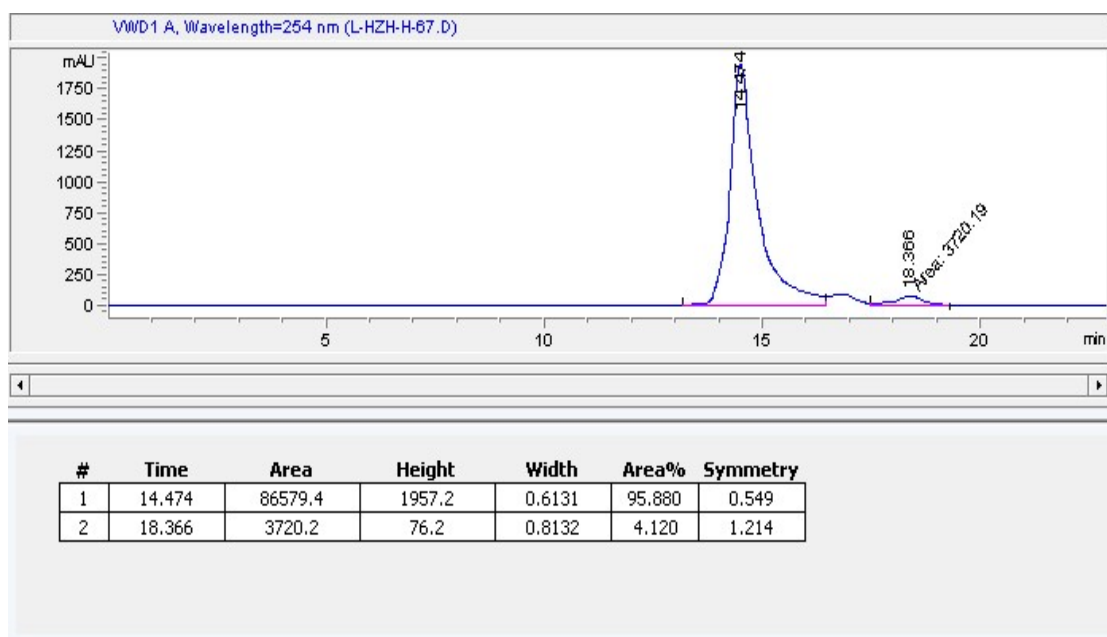
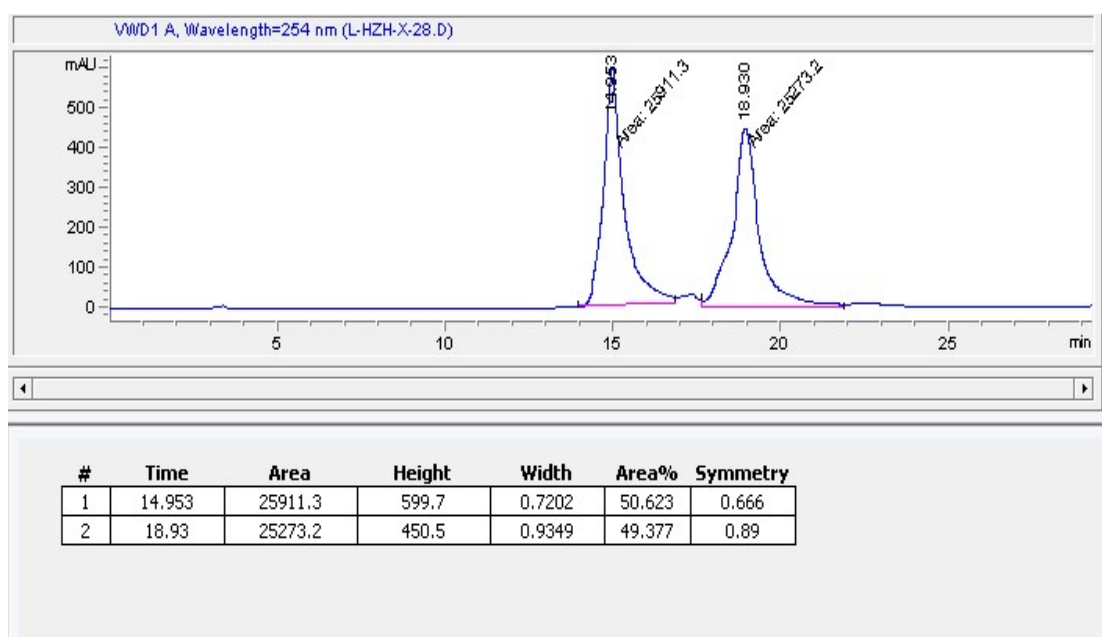
HPLC of 5l



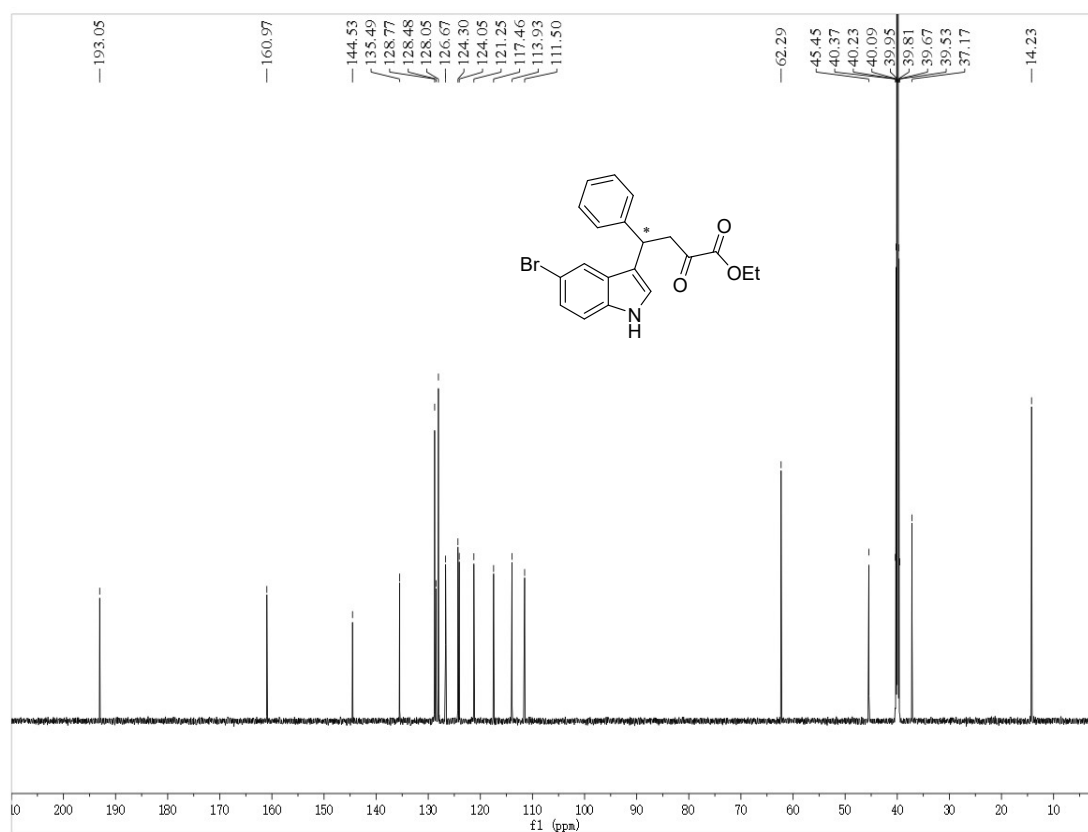
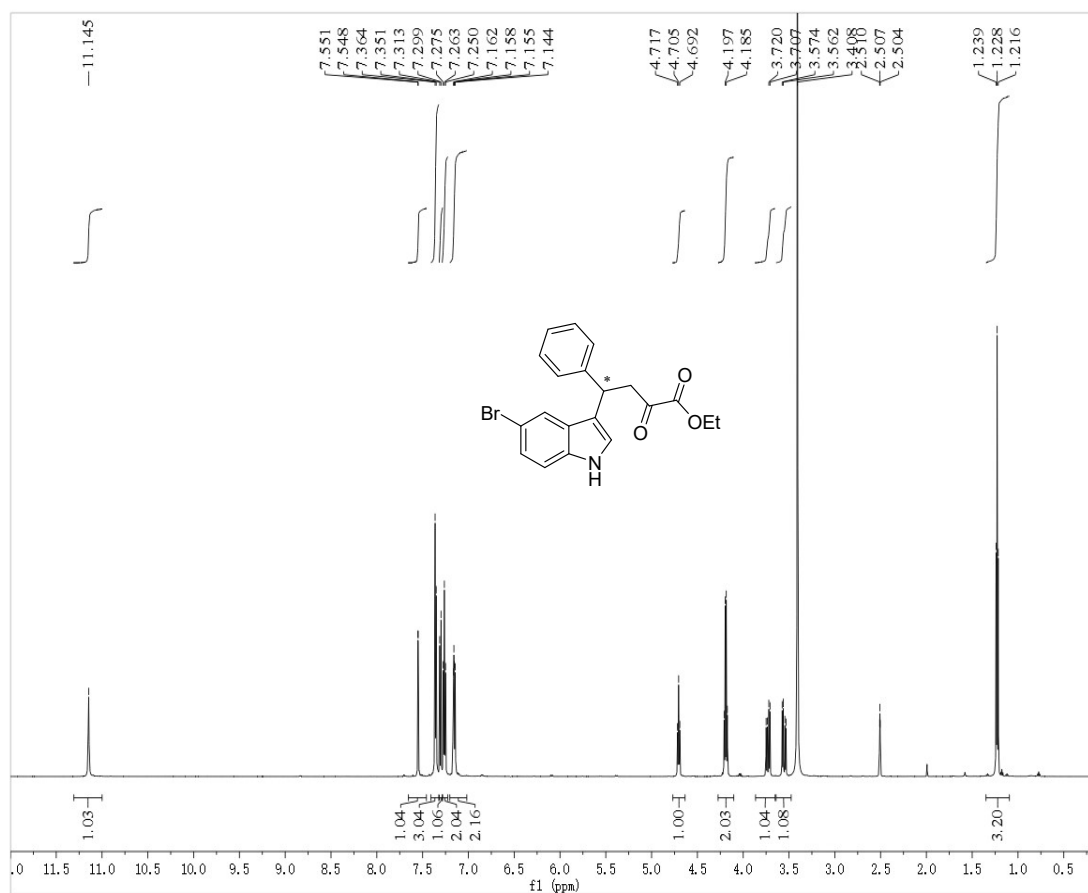
¹H and ¹³C NMR of 5m



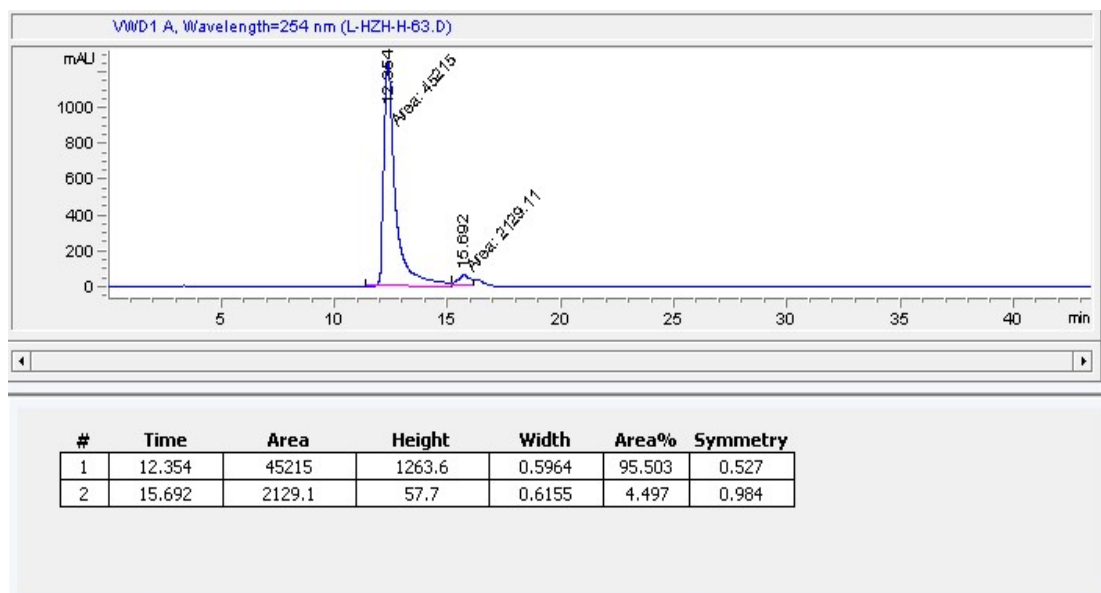
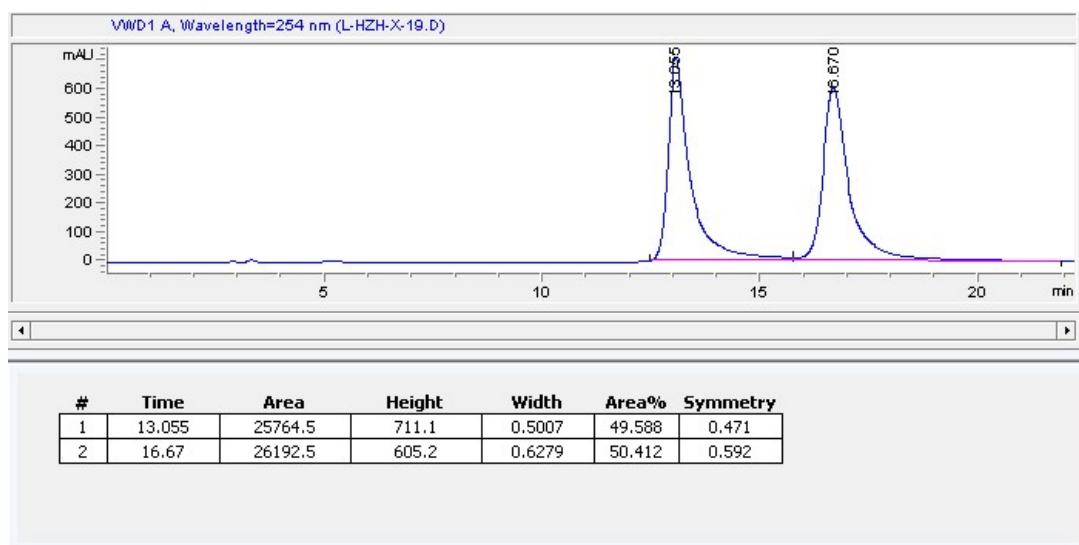
HPLC of 5m



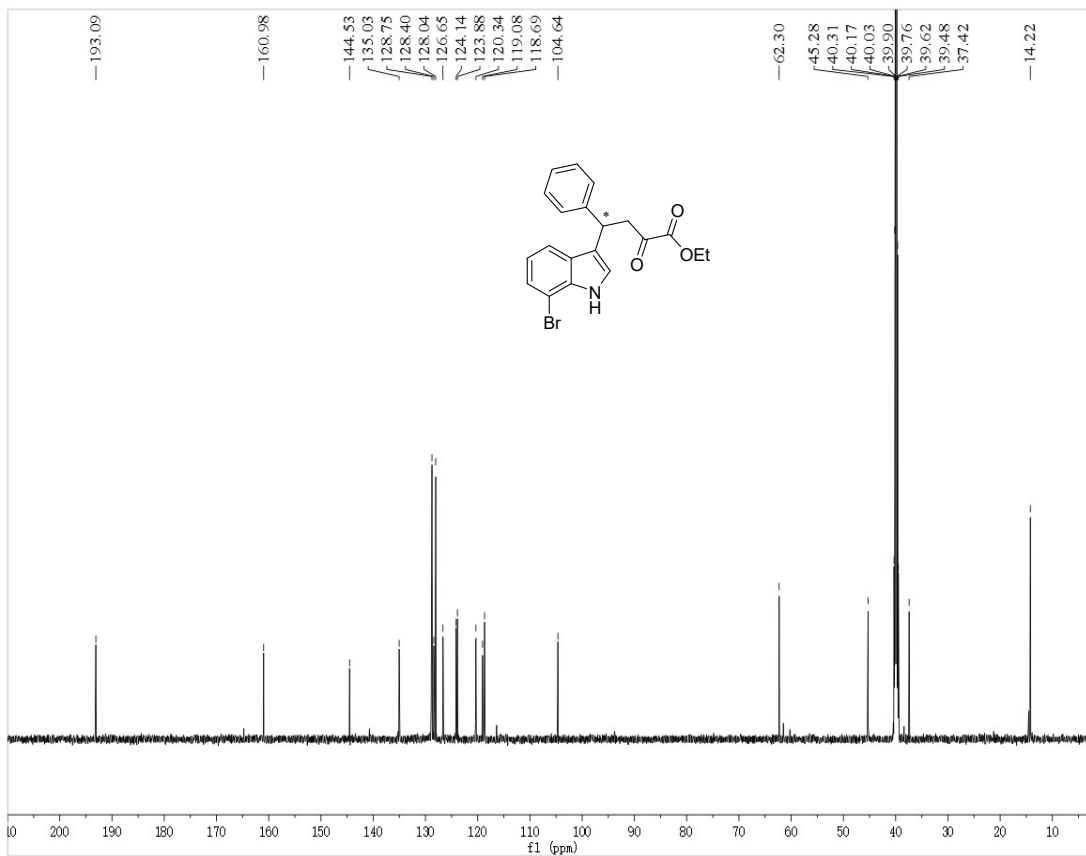
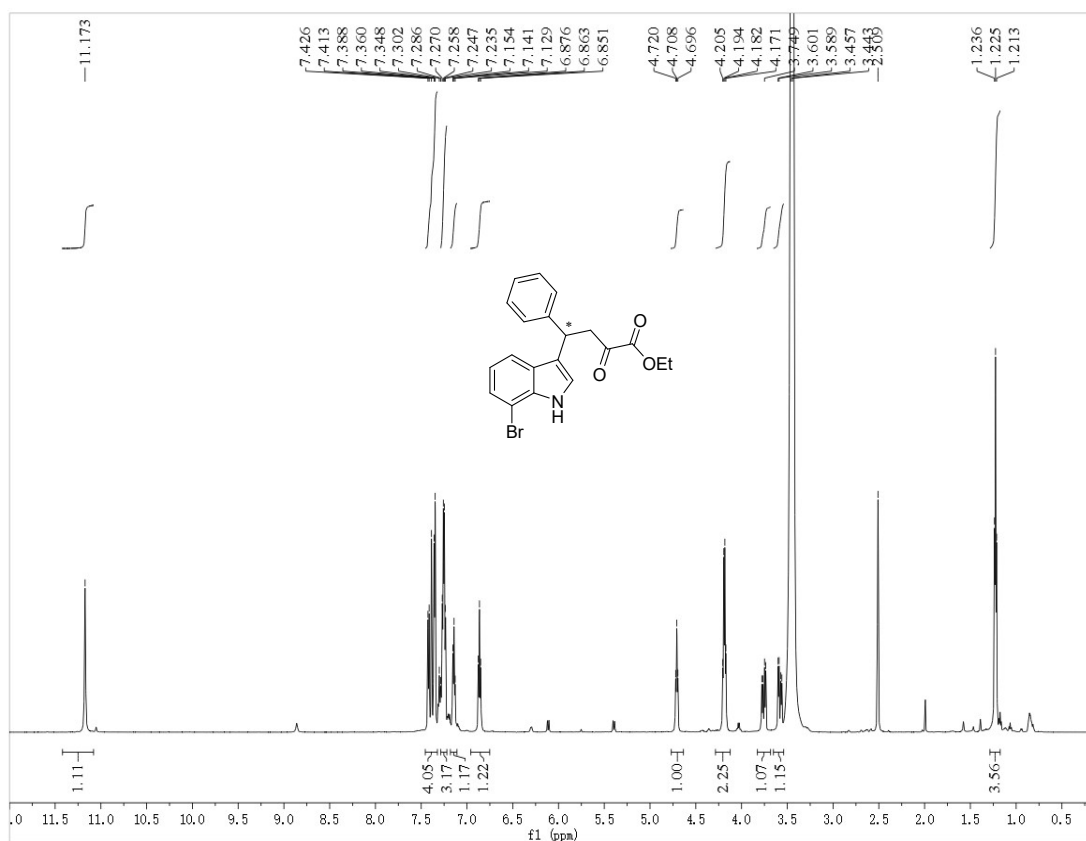
¹H and ¹³C NMR of 5n



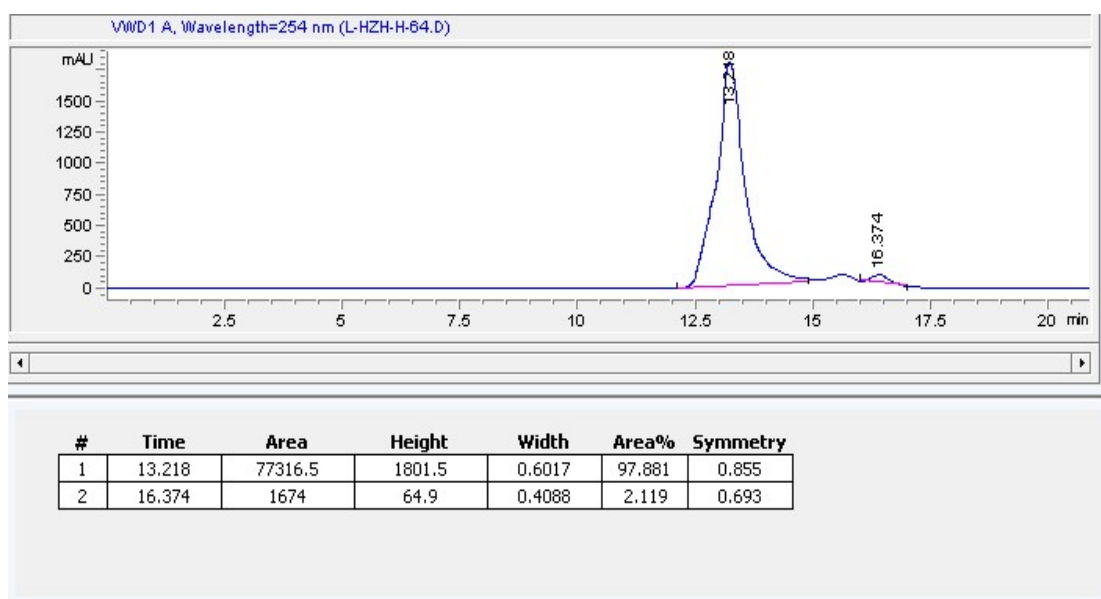
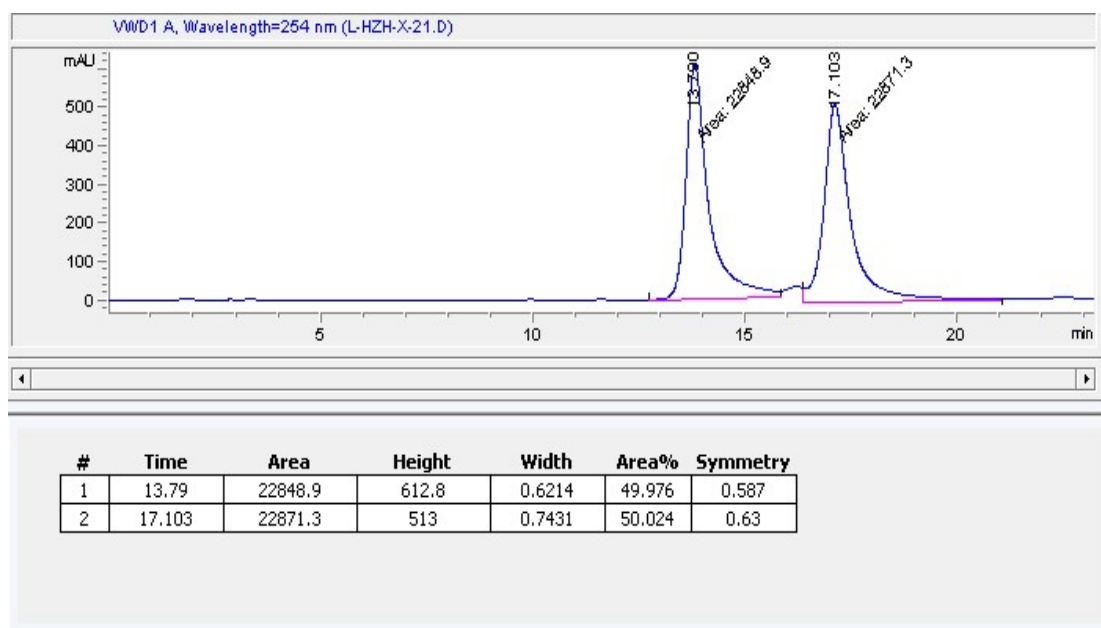
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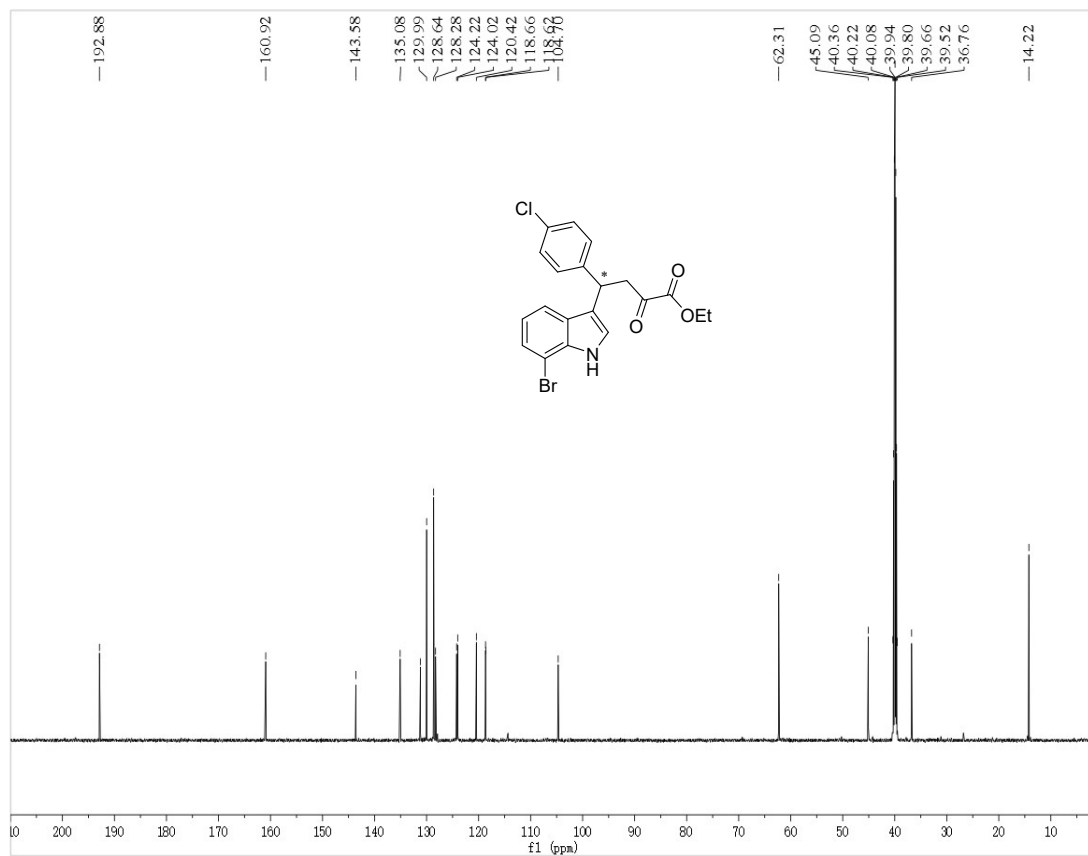
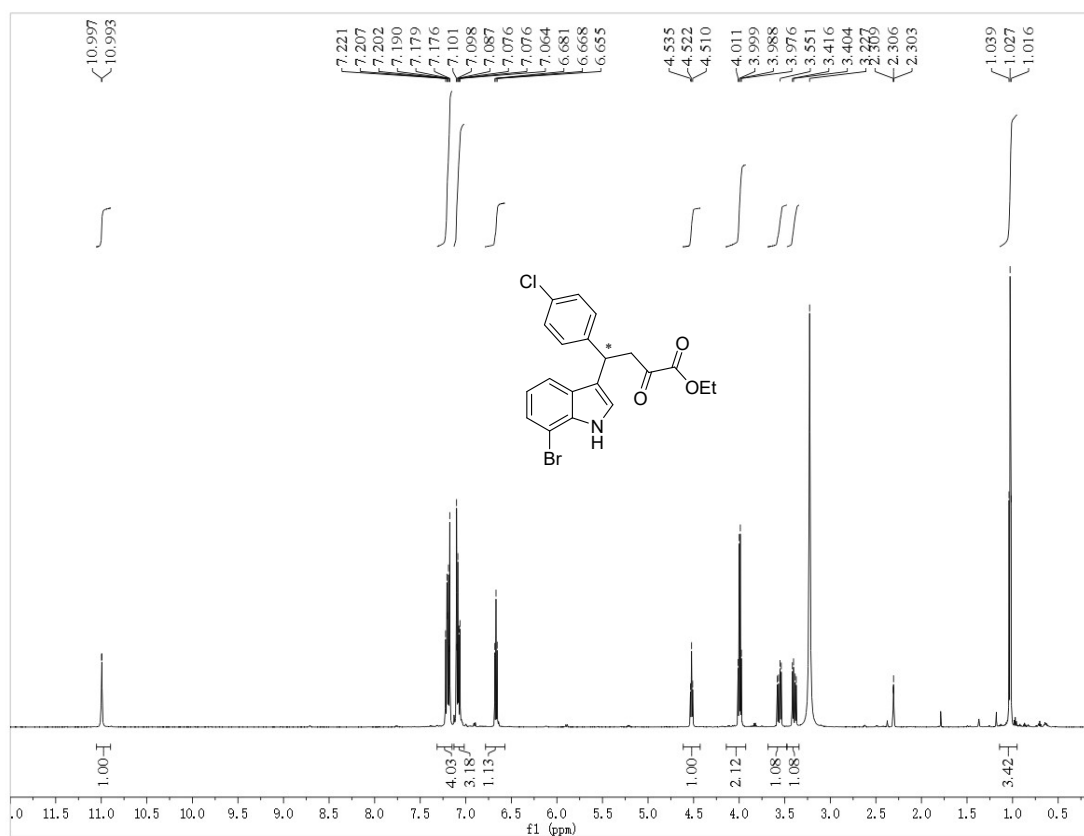
¹H and ¹³C NMR of 5o



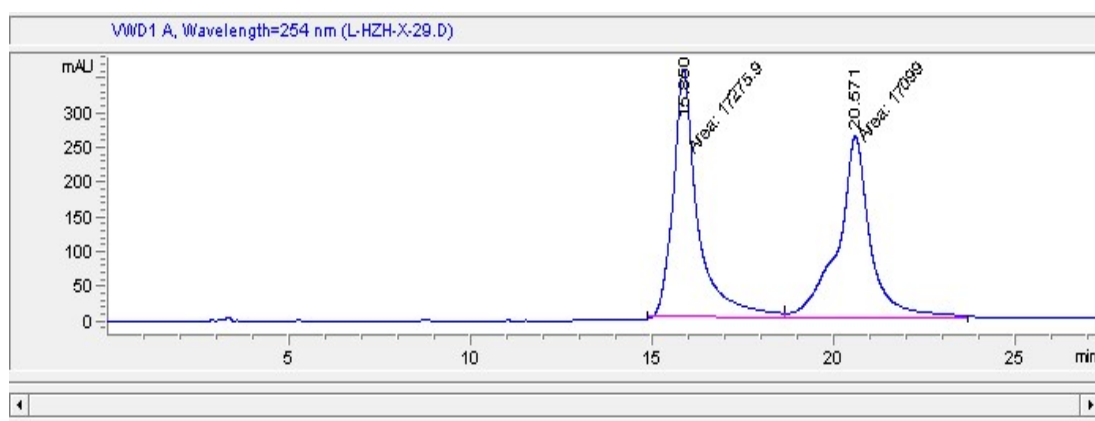
HPLC of 5o



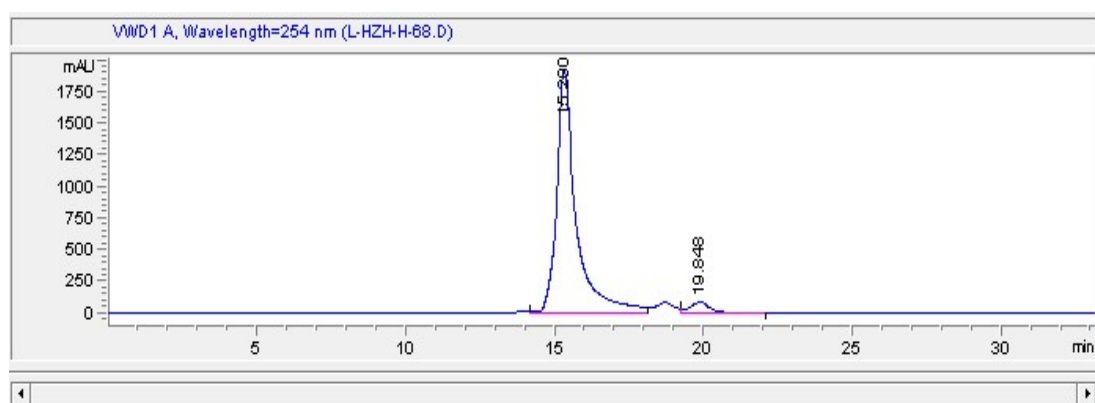
¹H and ¹³C NMR of 5p



HPLC of 5p

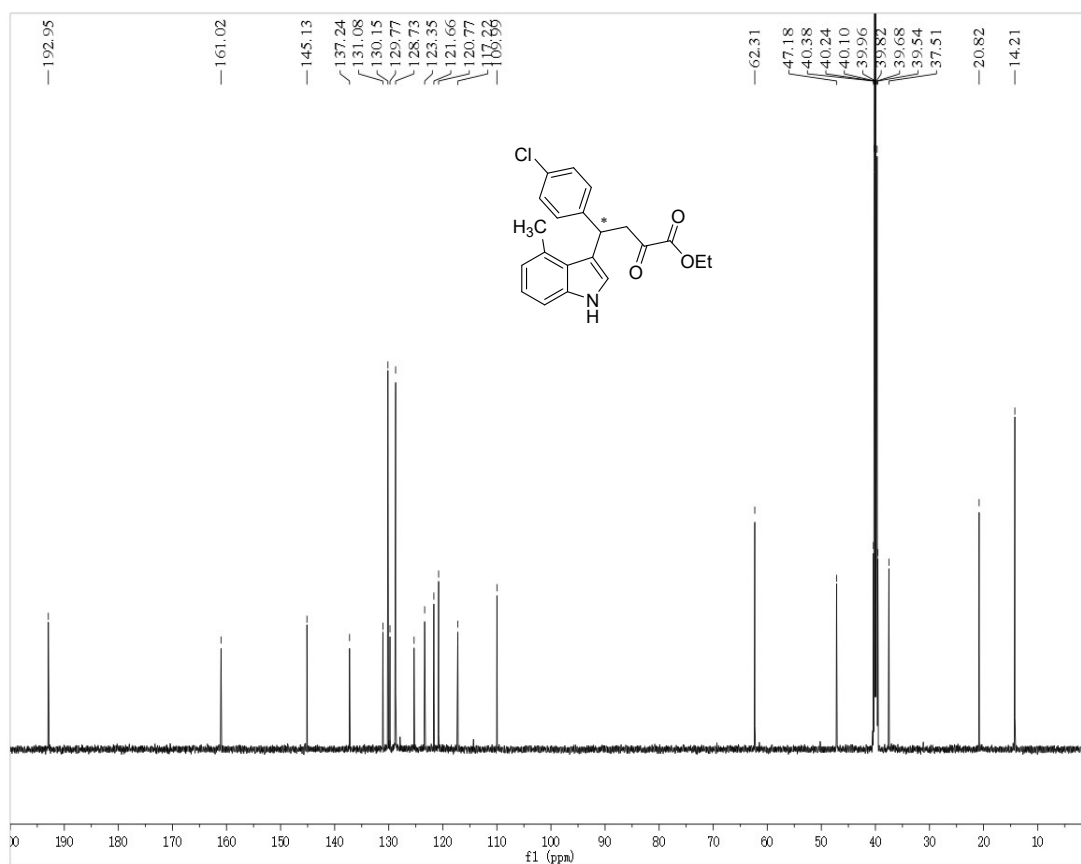
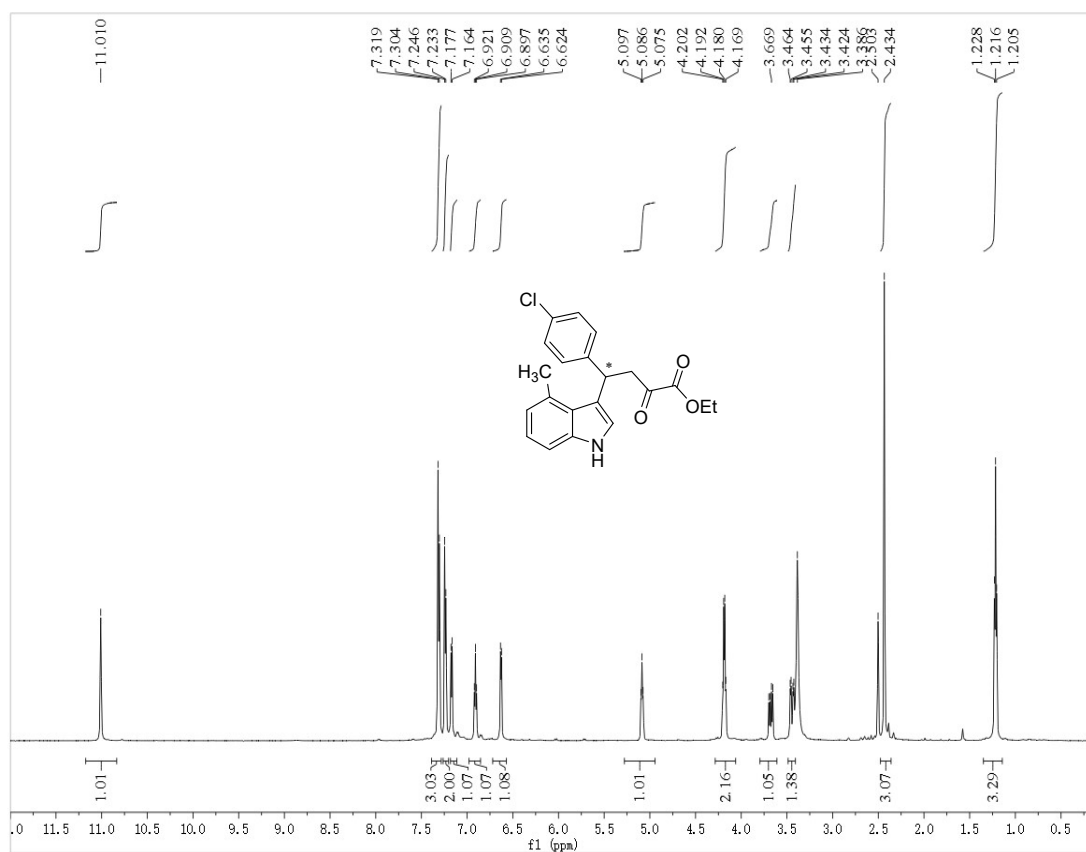


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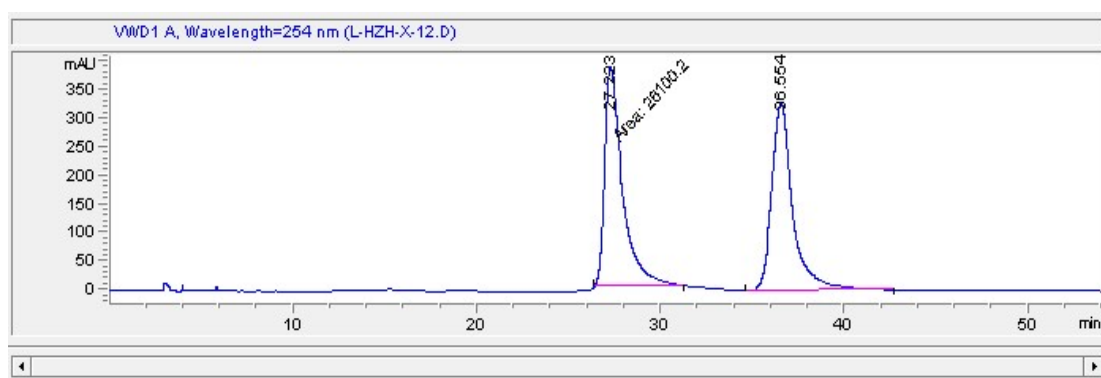


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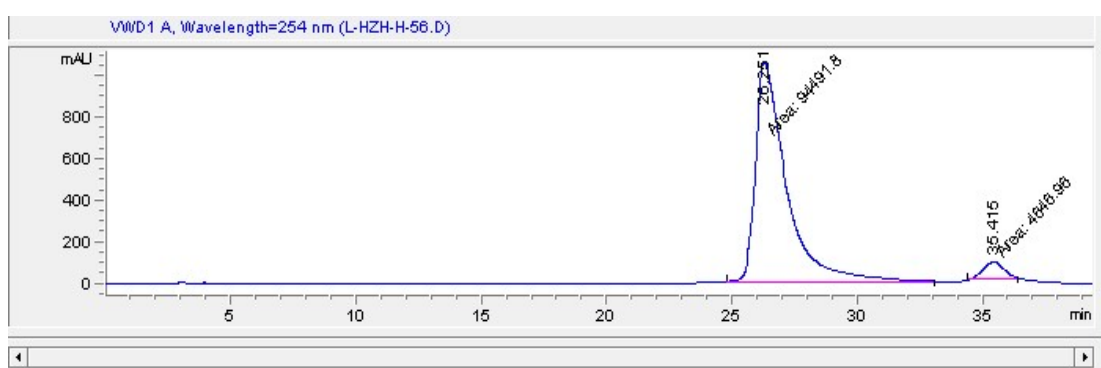
¹H and ¹³C NMR of 5q



HPLC of 5q

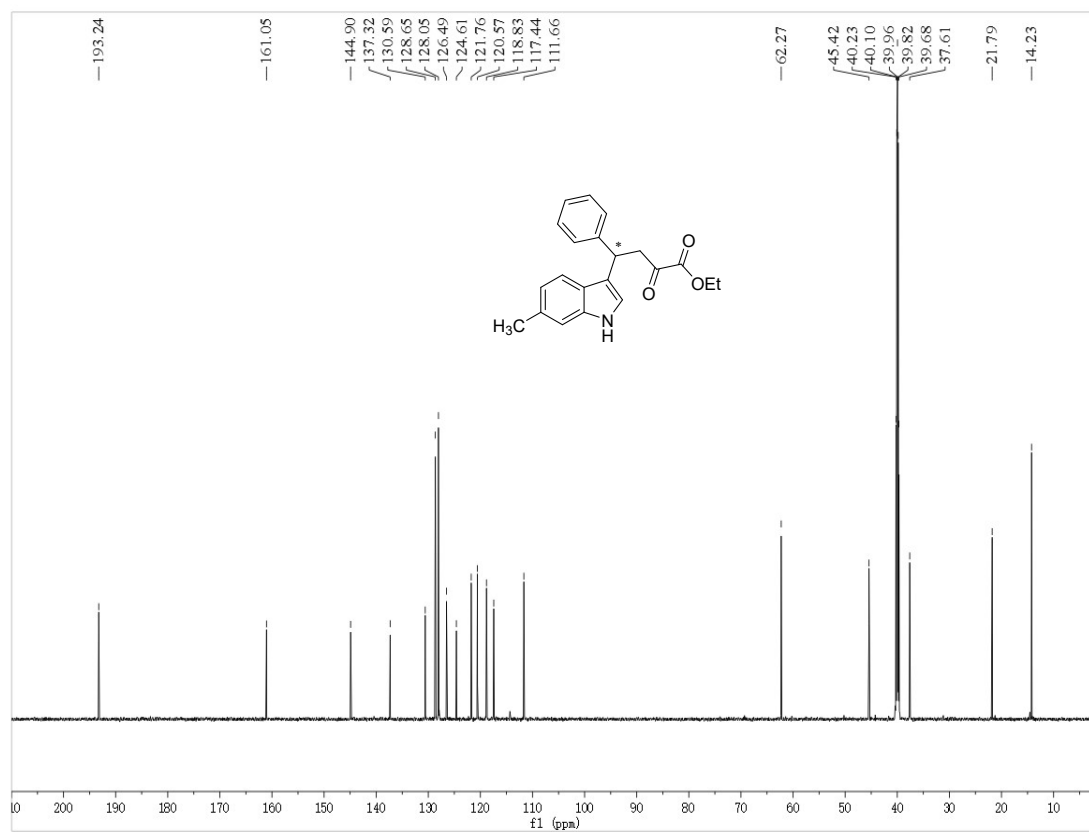
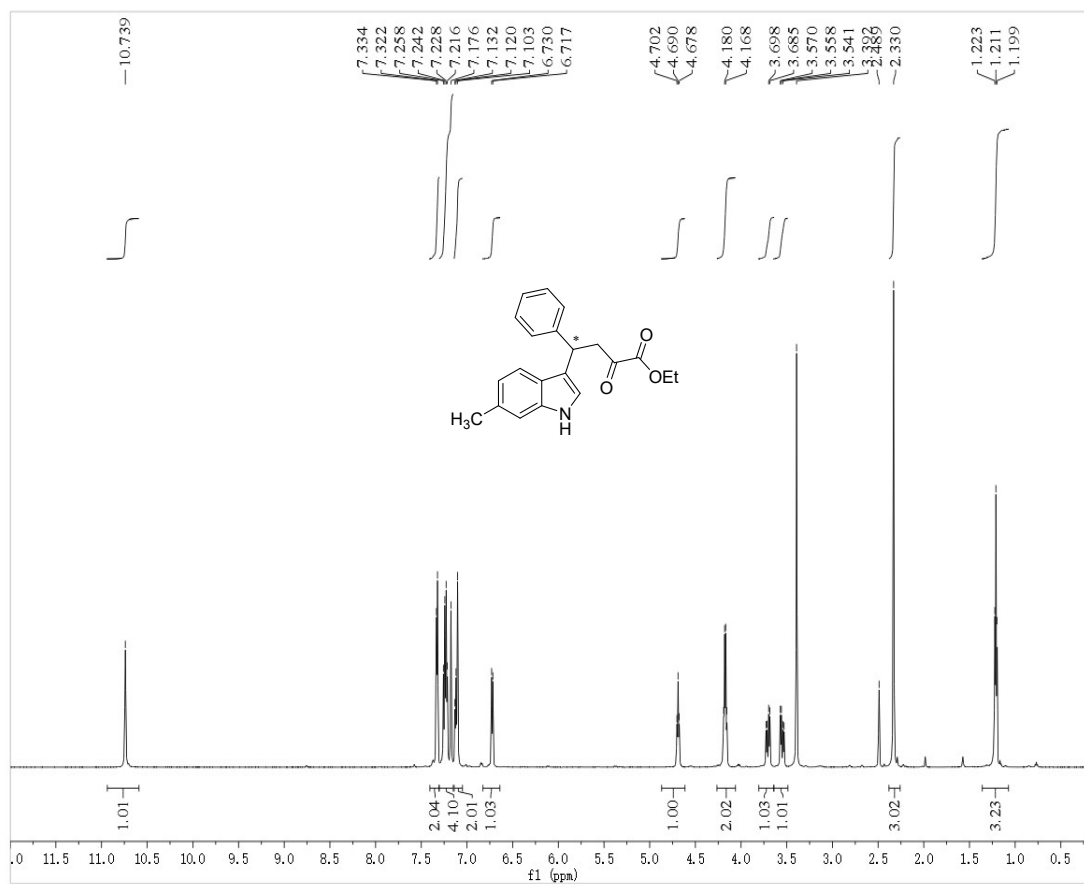


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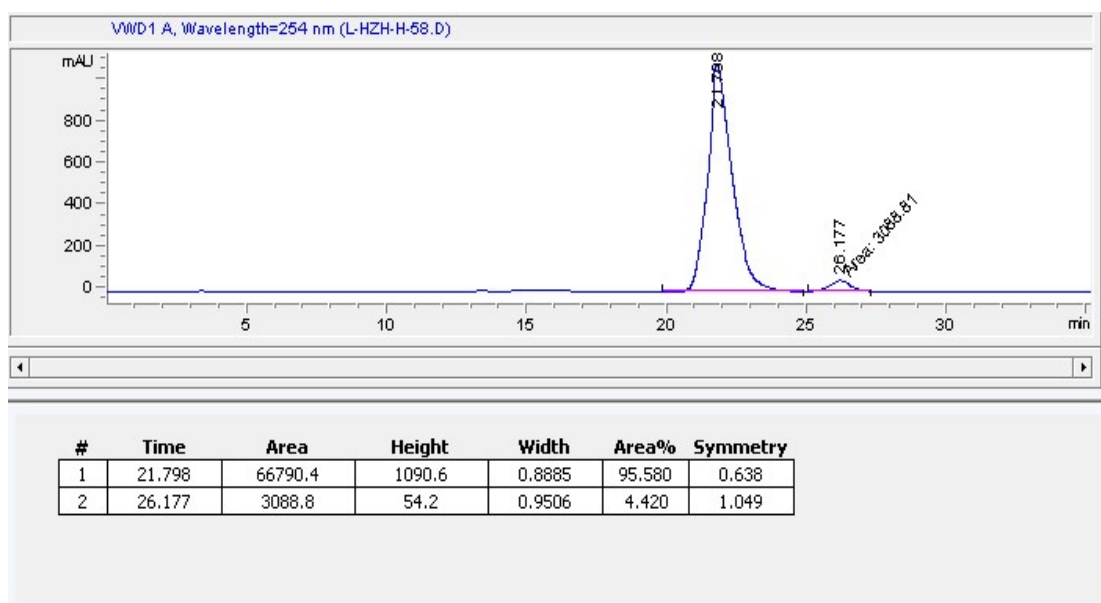
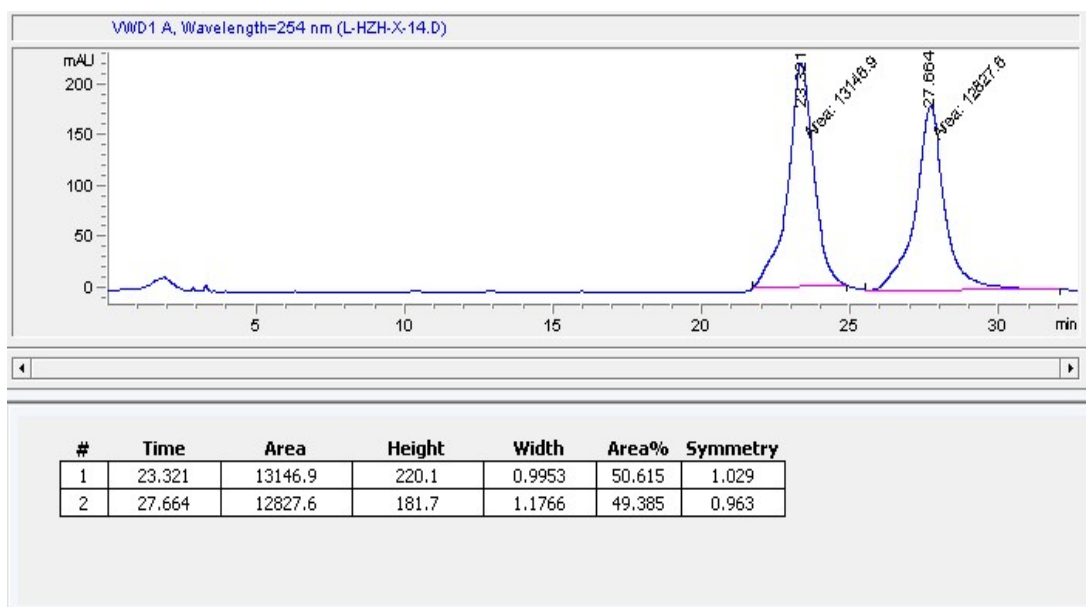


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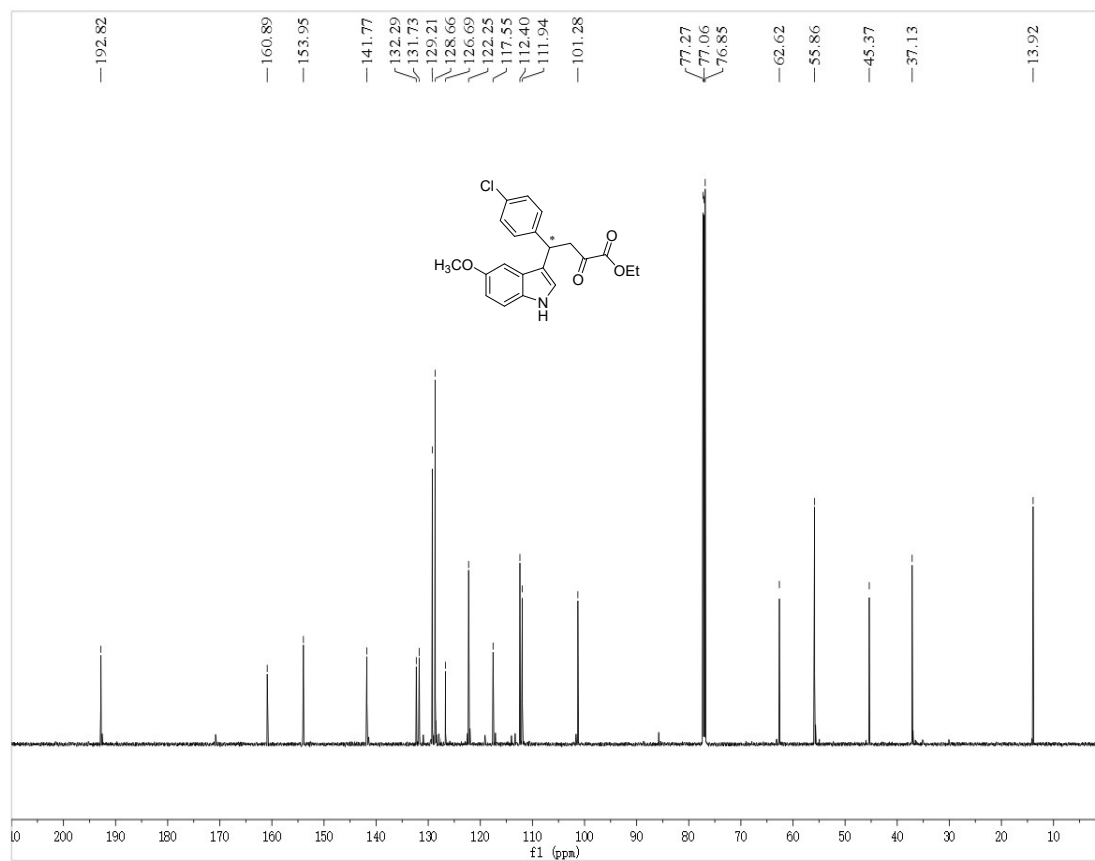
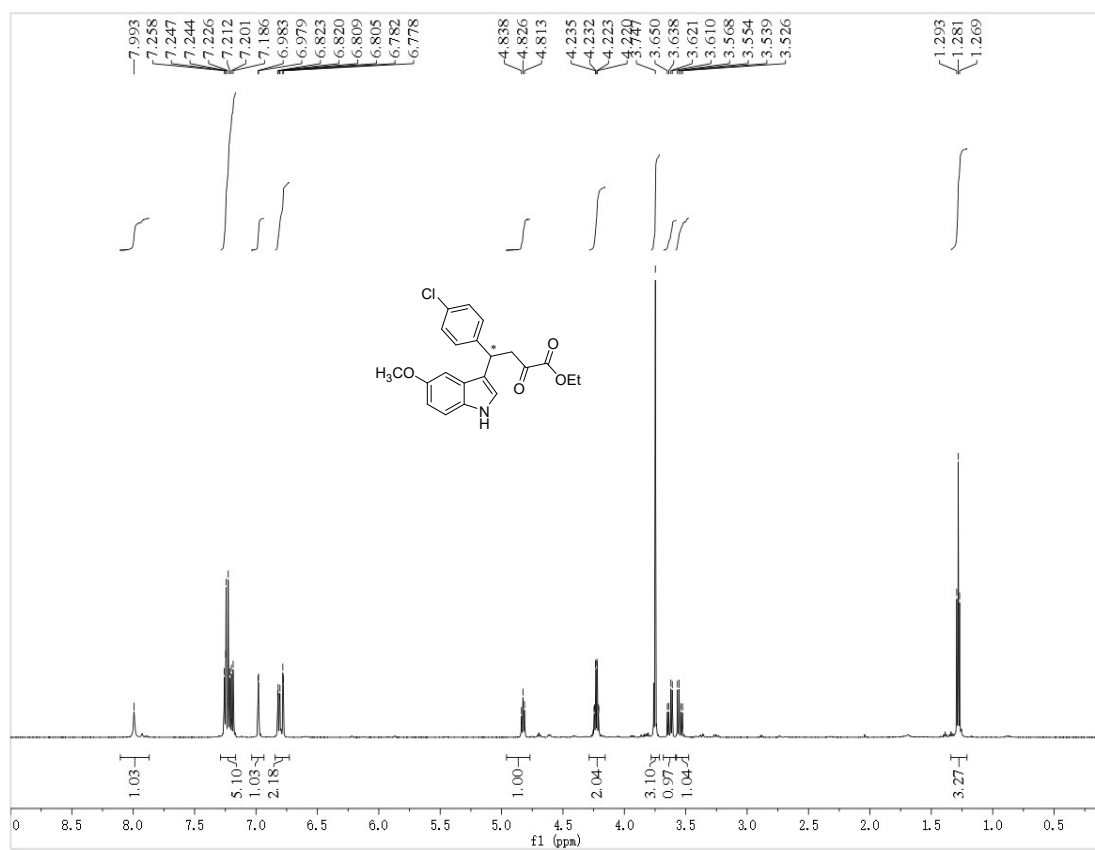
^1H and ^{13}C NMR of 5r



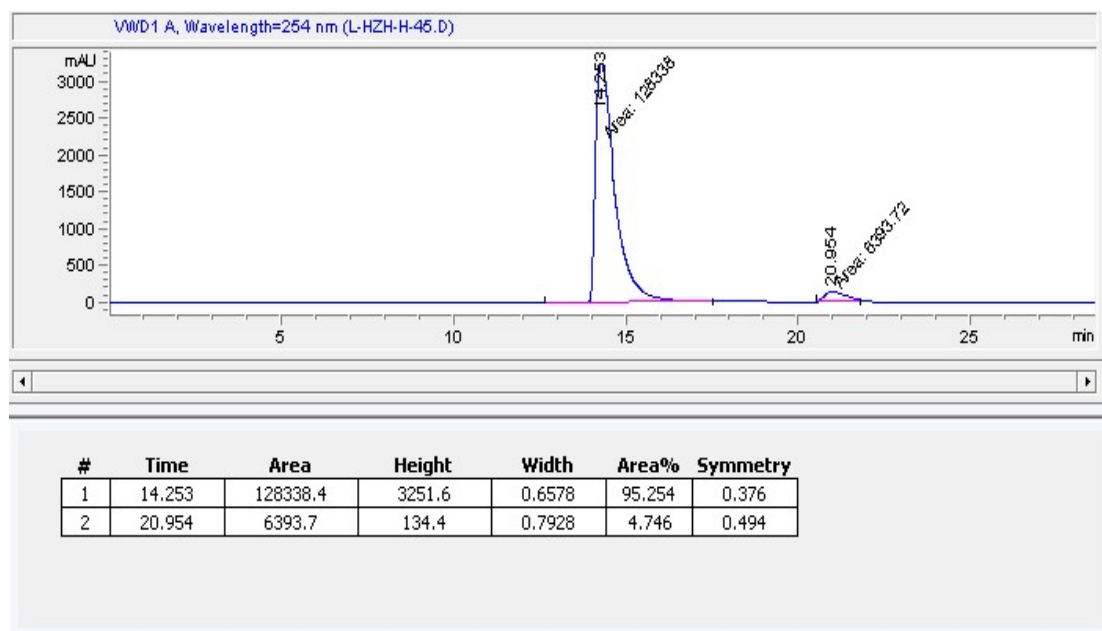
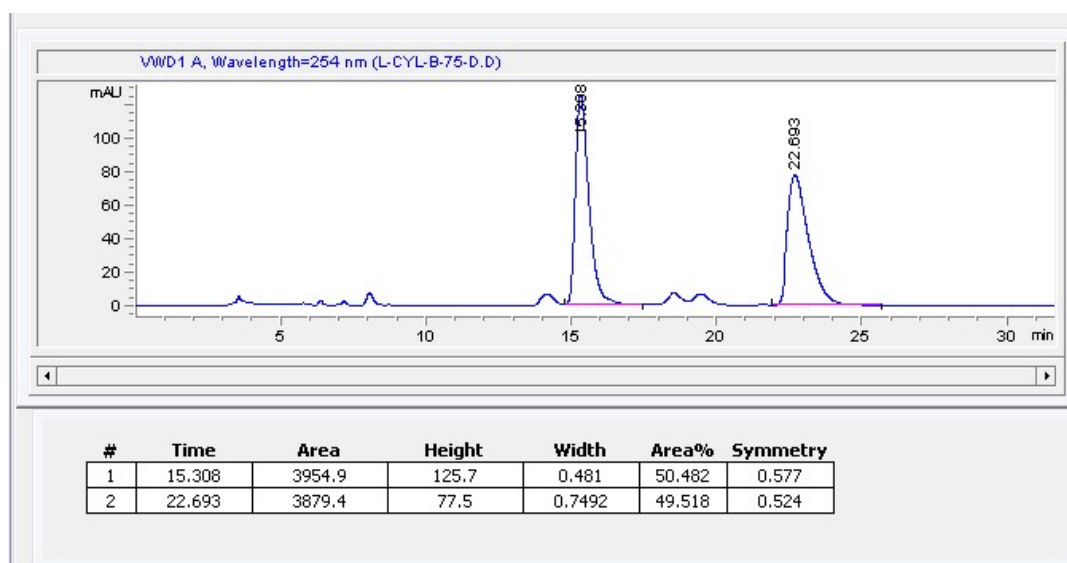
HPLC of 5r



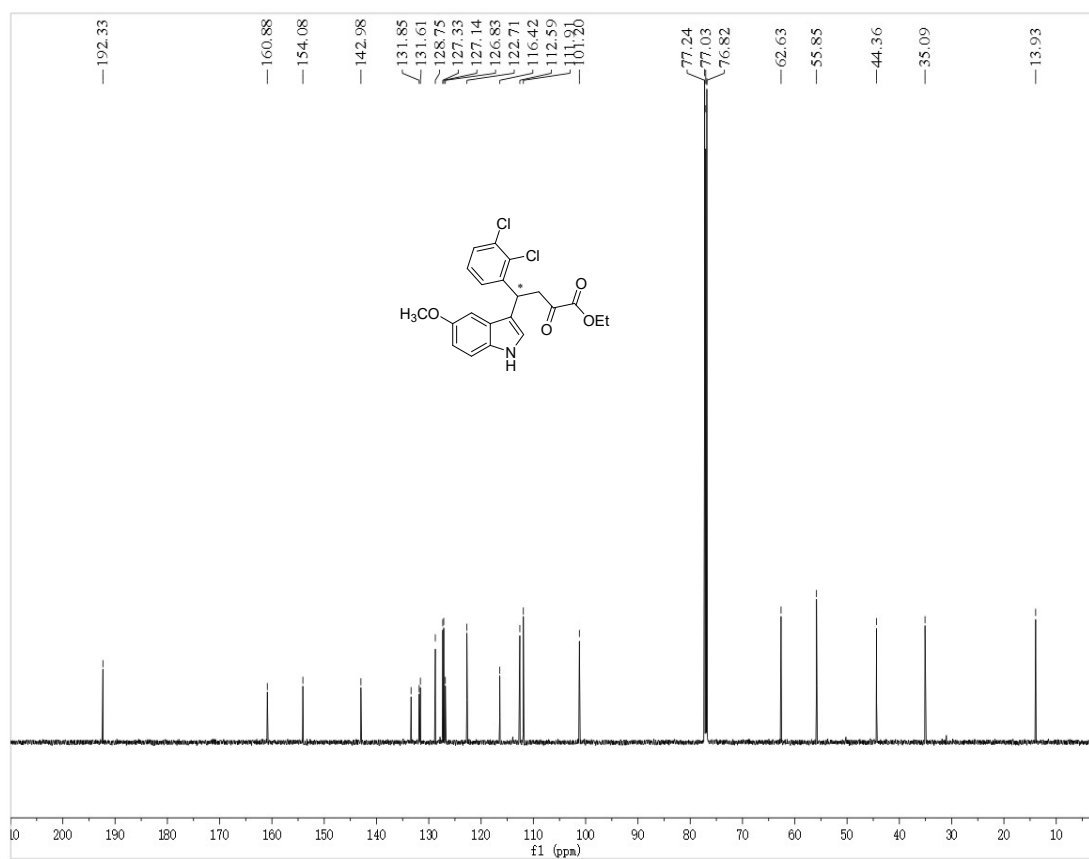
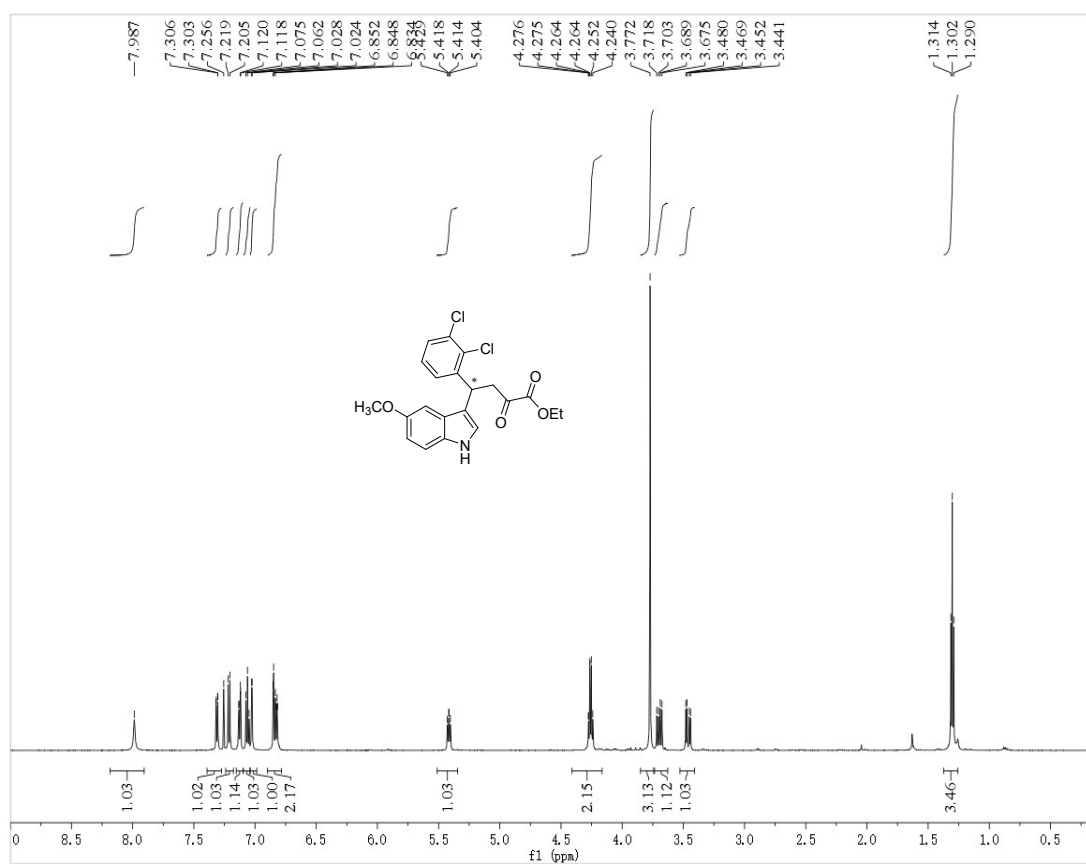
^1H and ^{13}C NMR of 5s



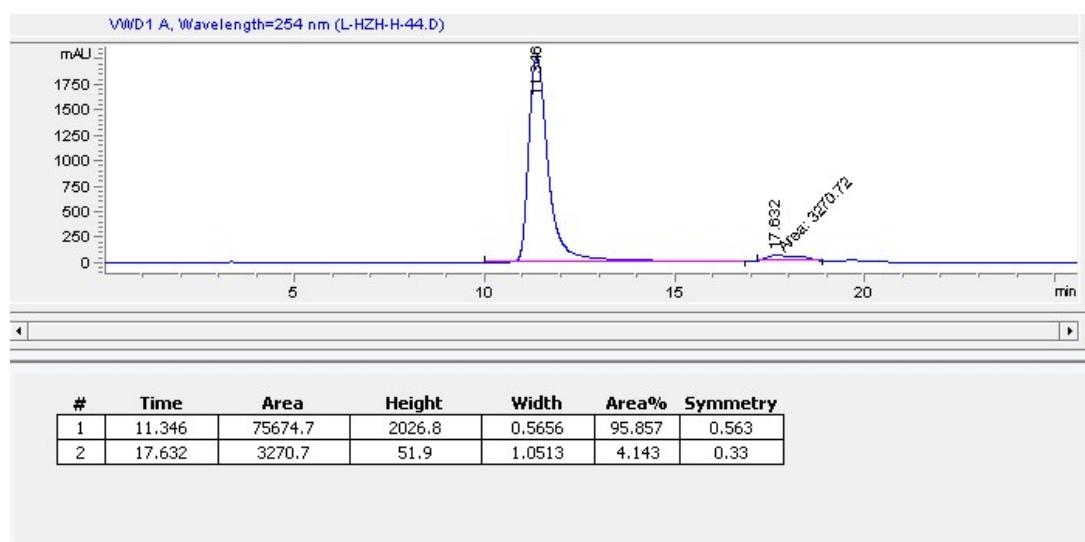
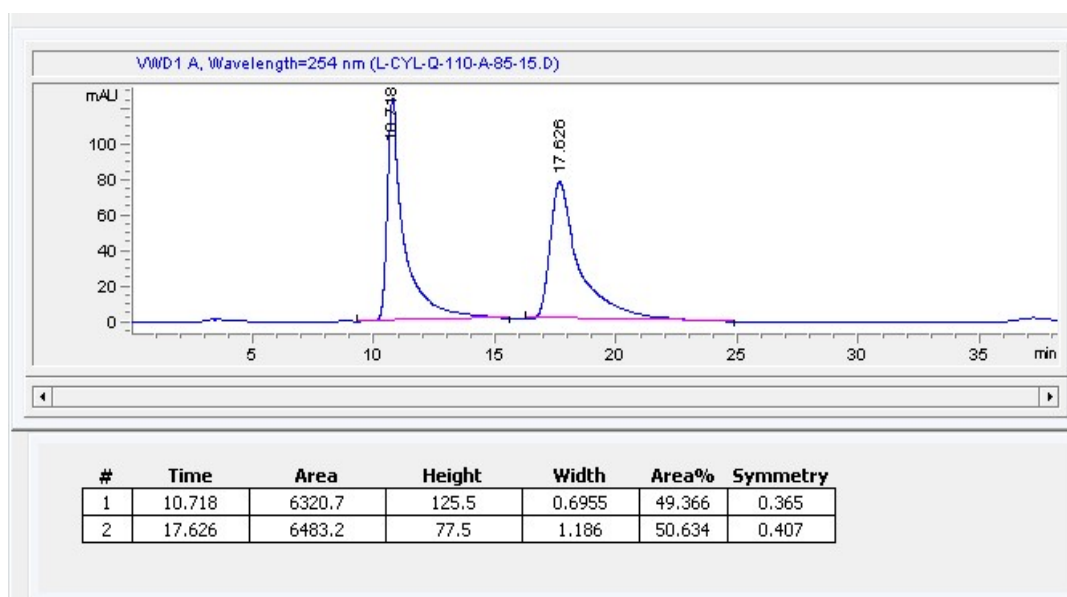
HPLC of 5s



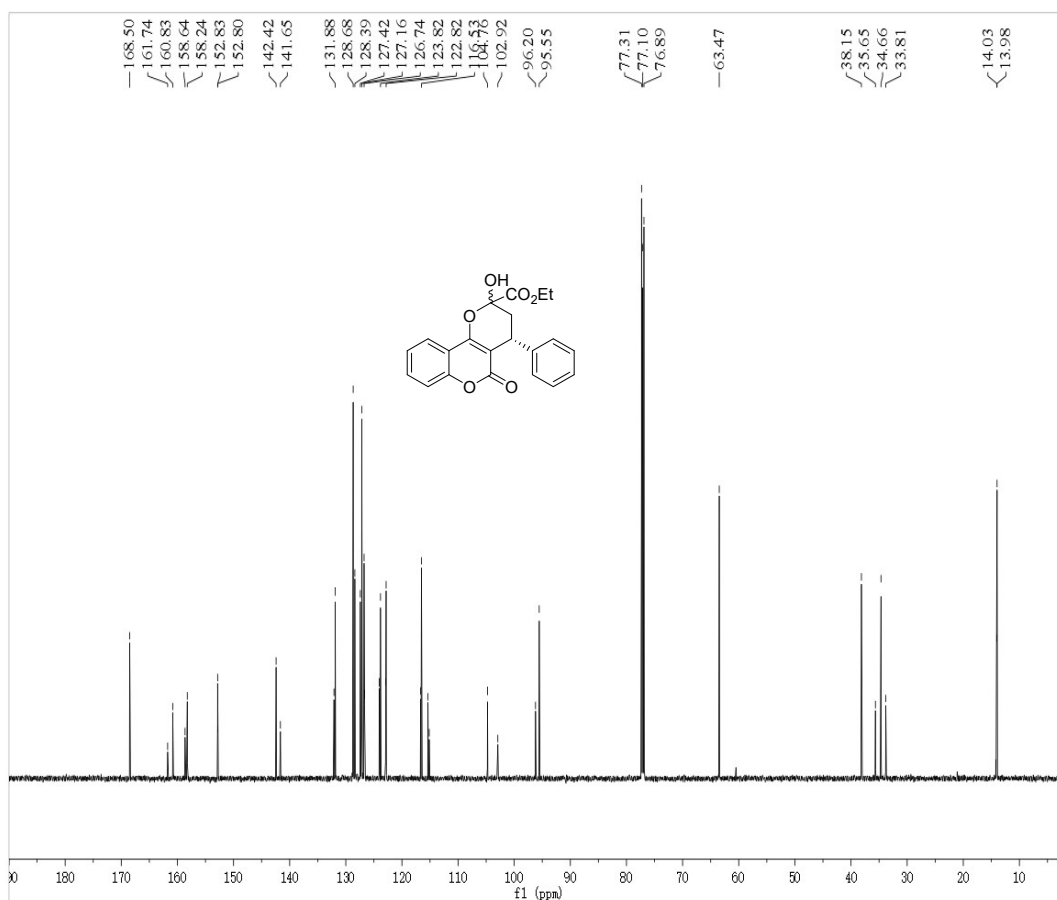
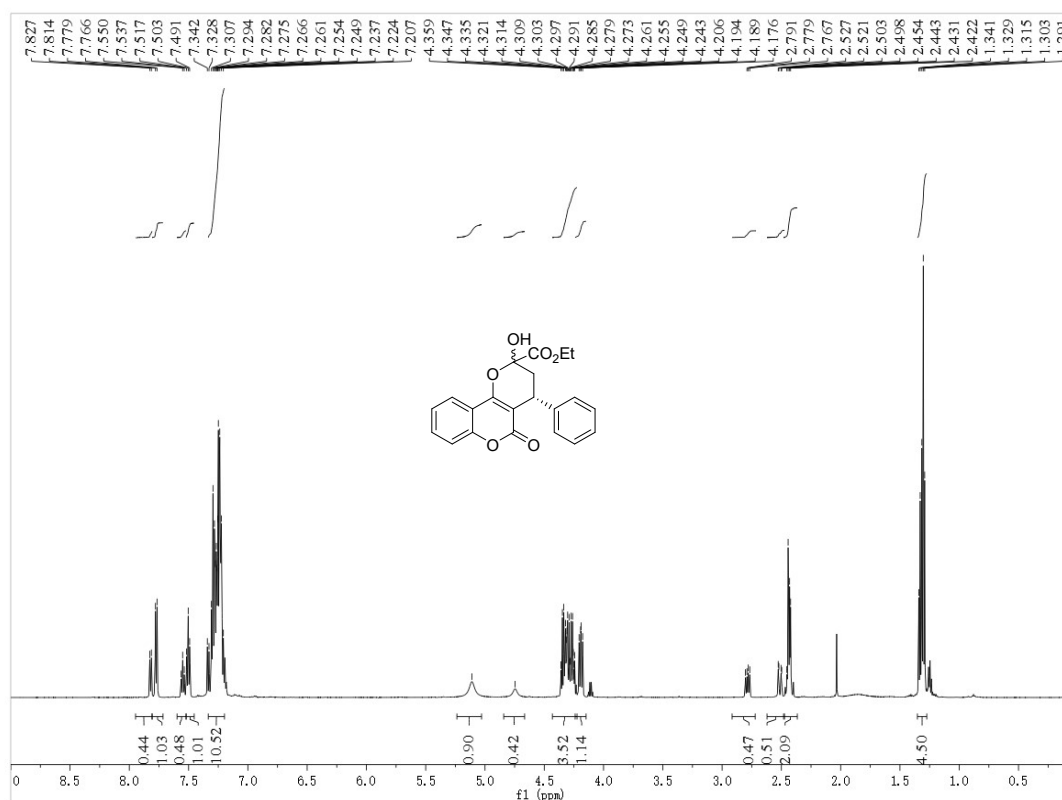
¹H and ¹³C NMR of 5t



HPLC of 5t



¹H and ¹³C NMR of 7a



HPLC of 7a

