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Supporting Information

Synthesis of Spiropyrrolidine Oxindoles via Ag-catalyzed Stereo- and Regioselective 1,3-diploar Cycloaddition of Indole-based Azomethine Ylides with Chalcones

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Data for all new compounds:

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-2-oxo-4'-phenylspiro[indo- line-3,2'-pyrrolidine]-5'-carboxylate (4a):

[1.0 mmol scale] 374.8 mg, 88%, a white solid, m.p. 190.0-190.9 °C, *dr> 20:1*; IR (thin film): ν_{max} 3421, 3284, 1725, 1691, 1655, 1539, 1388, 1294, 1161, 800 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.70 (br s, 1 H), 7.54 (d, *J*= 7.2 Hz, 2 H), 7.39 (d, *J*= 7.6 Hz, 2 H), 7.33 (ψt, *J*= 7.6 Hz, 2 H), 7.27 (ψt, *J*= 6.8 Hz, 2 H), 7.23 (t, *J*= 7.4 Hz, 1 H), 7.14 (ψt, *J*= 7.8 Hz, 2 H), 7.05 (ψtd, *J*= 7.6, 0.8 Hz, 1 H), 6.98 (t, *J*= 7.6 Hz, 1 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.75 (d, *J*= 10.8 Hz, 1 H), 4.56 (d, *J*= 10.8 Hz, 1 H), 4.39 (ψt, *J*= 10.8 Hz, 1 H), 3.65 (s, 3 H), 2.91 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.3, 181.3, 173.2, 140.3, 138.4, 136.8, 133.2, 129.7, 128.9, 128.5, 128.3 128.2, 127.8, 127.5, 125.8, 123.3, 109.9, 70.2, 66.6, 63.2, 53.5, 52.4; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}N_2O_4Na$ $[M+Na]^+$ 449.1477, found 449.1450.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-2-oxo-4'-(*p*-tolyl)spiro[ind-oline-3,2'-pyrrolidine]-5'-carboxylate (4b):

[0.5 mmol scale] 183.4 mg, 83%, a white solid, m.p. 206.2-207.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3333, 3177, 3077, 2955, 1745, 1705, 1677, 1472, 1216, 760, 682 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.41 (br s, 1 H), 7.42-7.38 (m, 4 H), 7.32 (t, *J*= 7.4 Hz, 1 H), 7.28 (d, *J*= 7.2 Hz, 1 H), 7.17-7.12 (m, 4 H), 7.06 (td, *J*= 7.6, 1.2 Hz, 1 H), 6.99 (t, *J*= 7.2 Hz, 1 H), 6.53 (d, *J*= 7.6 Hz, 1 H), 4.73 (d, *J*= 10.8 Hz, 1 H), 4.54 (d, *J*= 10.8 Hz, 1 H), 4.36 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 2.91 (br s, 1 H), 2.29 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.3, 181.1, 173.2, 144.1, 137.0, 136.9, 135.2, 133.1, 129.6, 129.5, 128.5, 128.2, 127.9, 127.7, 125.8, 123.3, 109.8, 70.0, 66.5, 63.2, 53.1, 52.4, 21.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_2O_4Na$ $[M+Na]^+$ 463.1634, found 463.1634.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(4-fluorophenyl)-2-oxo-spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4c):

[0.5 mmol scale] 194.4 mg, 88%, a white solid, m.p. 175.6-176.5 °C, *dr> 20:1*; IR (thin film): ν_{max} 3358, 3284, 1776, 1726, 1691, 1657, 1533, 779 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.77 (br s, 1 H), 7.50 (dd, *J*= 8.6, 5.4 Hz, 2 H), 7.39 (d, *J*= 7.6 Hz, 2 H), 7.35 (t, *J*= 7.4 Hz, 1 H), 7.25 (d, *J*= 7.6 Hz, 1 H), 7.18 (ψt, *J*= 7.4 Hz, 1 H), 7.08-6.97 (m, 4 H), 6.50 (d, *J*= 7.6 Hz, 1 H), 4.67 (d, *J*= 10.8 Hz, 1 H), 4.52 (d, *J*= 10.8 Hz, 1 H), 4.36 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 2.68 (br s,1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.1, 180.6, 172.9, 139.9, 136.7, 134.0, 133.2, 139.7 (2 C), 129.6, 128.3, 127.7, 125.8, 123.3, 115.8, 115.6, 109.6, 69.8, 66.4, 63.3, 52.6, 52.4; HRMS (ESI): *m/z* calcd for $C_{26}H_{21}N_2O_4FNa$ $[M+Na]^+$ 467.1383, found 467.1382.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(4-chlorophenyl)-2-oxo-spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4d):

[0.5 mmol scale] 188.3 mg, 82%, a white solid, m.p. 211.1-212.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3316, 3150, 2883, 2833, 1752, 1705, 1677, 1207, 753, 684 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.46 (br s, 1 H), 7.50 (d, *J*= 8.4 Hz, 2 H), 7.45 (d, *J*=7.2 Hz, 1 H), 7.41-7.37 (m, 4 H), 7.27 (ψt, *J*= 7.6 Hz, 1 H), 7.09 (d, *J*= 7.2 Hz, 1 H), 7.01 (td, *J*= 7.6, 1.2, Hz, 2 H), 6.86 (ψt, *J*= 7.6 Hz, 1 H), 6.48 (d, *J*= 7.6 Hz, 1 H), 4.55 (d, *J*= 10.4 Hz, 1 H), 4.46 (d, *J*= 10.4, 7.2 Hz, 1 H), 4.36 (ψt, *J*= 10.4 Hz, 1 H), 3.85 (d, *J*=7.2 Hz, 1 H), 3.64 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.6, 180.7, 172.5, 141.9, 138.5, 136.8, 133.8, 132.2, 130.3, 129.6, 129.2, 129.1, 128.8, 127.8 126.1, 122.1, 109.7, 69.2, 66.0, 62.1, 52.4, 51.0; HRMS (ESI): *m/z* calcd for $C_{26}H_{21}N_2O_4ClNa$ $[M+Na]^+$ 483.1088, found 483.1086.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(4-methoxyphenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4e):

[0.5 mmol scale] 175.0 mg, 77%, a white solid, m.p. 198.5-199.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3337, 3175, 3070, 2891, 2830, 1741, 1702, 1679, 1515, 1229, 761, 680 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.66 (br s, 1 H), 7.43 (d, *J*= 8.8 Hz, 2 H), 7.39 (d, *J*= 7.6 Hz, 2 H), 7.34 (t, *J*= 7.8 Hz, 1 H), 7.28 (d, *J*= 7.6 Hz, 1 H), 7.17 (ψt, *J*= 7.8 Hz, 1H), 7.06 (ψt, *J*= 7.4 Hz, 1 H), 7.00 (ψt, *J*= 7.4 Hz, 1 H), 6.86 (d, *J*= 8.8 Hz, 2 H), 6.84 (d, *J*= 7.6 Hz, 1 H), 4.69 (d, *J*= 10.8 Hz, 1 H), 4.50 (d, *J*= 10.8 Hz, 1 H), 4.33 (ψt, *J*= 10.8 Hz, 1 H), 3.77 (s, 3 H), 3.67 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.3, 180.6, 173.2, 158.8, 139.9, 136.9, 133.0, 130.1, 129.6, 129.1, 128.5, 128.2, 127.7, 125.9, 123.3, 109.5, 69.8, 66.5, 63.3, 55.2, 52.8, 52.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_2O_5Na$ $[M+Na]^+$ 479.1583, found 479.1583.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(4-nitrophenyl)-2-oxosp-iro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4f):

[0.5 mmol scale] 183.9 mg, 78%, a yellow solid, m.p. 191.2-192.1°C, *dr> 20:1*; IR (thin film): ν_{max} 3326, 1761, 1699, 1624, 1529, 1349, 1198, 775 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.51 (br s, 1 H), 8.23 (d, *J*= 8.8 Hz, 2 H), 7.80 (d, *J*= 8.8 Hz, 2 H), 7.46 (t, *J*= 7.2 Hz, 1 H), 7.40 (d, *J*= 7.6 Hz, 2 H), 7.28 (ψt, *J*= 7.6 Hz, 2 H), 7.11 (d, *J*= 7.2 Hz, 1 H), 7.03 (ψt, *J*= 7.4 Hz, 1 H), 6.88 (d, *J*= 7.6 Hz, 1 H), 6.50 (d, *J*= 8.0 Hz, 1 H), 4.64 (d, *J*= 10.0 Hz, 1 H), 4.59 (d, *J*= 10.0 Hz, 1 H), 4.53 (ψt, *J*= 10.0 Hz, 1 H), 3.96 (d, *J*= 6.4 Hz, 1 H), 3.62 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.5, 180.6, 172.1, 147.6, 147.1, 142.0, 136.7, 133.8, 130.0, 129.0, 128.8, 127.8, 126.1, 124.2, 122.1, 109.8, 69.3, 65.8, 62.2, 52.5, 51.2, 52.4; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}N_3O_6$ $[M+H]^+$ 472.1509, found 472.1507.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(furan-2-yl)-2-oxospiro-[indoline-3,2'-pyrrolidine]-5'-carboxylate (4g):

[0.5 mmol scale] 111.9 mg, 54%, a white solid, m.p. 166.5-167.5 °C, *dr> 20:1*; IR (thin film): ν_{max} 3311, 2966, 2900, 1730, 1666, 1617, 1475, 1183, 761 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.70 (br s, 1 H), 7.44 (d, *J*= 7.2 Hz, 2 H), 7.37-7.34 (m, 2 H), 7.24 (d, *J*= 7.2 Hz, 1 H), 7.18 (ψt, *J*= 7.2 Hz, 2 H), 7.06 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.98 (t, *J*= 7.2 Hz, 1 H), 6.30-6.27 (m, 2 H), 4.85 (dd, *J*= 9.6, 1.2 Hz, 1 H), 4.57 (d, *J*= 9.6 Hz, 1 H), 4.55 (ψt, *J*= 9.6 Hz, 1 H), 3.76 (s, 3 H), 2.92 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.0, 180.8, 172.9, 151.0, 142.1, 140.2, 136.6, 133.3, 129.7, 128.5, 128.3, 127.8, 125.8, 123.2, 110.4, 109.9, 107.6, 69.9, 63.8, 59.8, 52.6, 46.5; HRMS (ESI): *m/z* calcd for $C_{24}H_{21}N_2O_5$ $[M+H]^+$ 417.1450, found 417.1445.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-methylbenzoyl)-2-oxo-4'-phenyl-spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4h):

[0.5 mmol scale] 204.0 mg, 93%, a white solid, m.p. 177.6-178.5 °C, *dr> 20:1*; IR (thin film): ν_{max} 3398, 3259, 1775, 1738, 1688, 1156, 853, 697 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.10 (br s, 1 H), 7.51 (d, *J*=7.6 Hz, 2 H), 7.34-7.28 (m, 5 H), 7.22 (ψt, *J*= 7.6 Hz, 1 H), 7.07 (ψt, *J*= 7.6 Hz, 1 H), 7.00 (d, *J*= 7.6 Hz, 1 H), 6.96 (d, *J*= 8.4 Hz, 2 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.73 (d, *J*= 10.8 Hz, 1 H), 4.54 (d, *J*= 10.8 Hz, 1 H), 4.39 (ψt, *J*= 10.8 Hz, 1 H), 3.66 (s, 3 H), 2.56 (br s, 1 H), 2.24 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.6, 180.9, 173.1, 144.0, 139.9, 138.3, 134.4, 129.6, 129.0, 128.8, 128.6 128.1, 127.8, 127.4, 126.0, 123.3, 109.7, 70.1, 66.5, 62.9, 53.5, 52.4, 21.6; HRMS (ESI): *m/z* calcd for $C_{27}H_{25}N_2O_4$ $[M+H]^+$ 441.1814, found 441.1788.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-4'-(4-fluorophenyl)-3'-(4-methylbenz-oyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4i):

[0.5 mmol scale] 207.5 mg, 91%, a white solid, m.p. 180.4-181.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3376, 3293, 1769, 1730, 1697, 1582, 1156, 739 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.30 (br s, 1 H), 7.46 (d, *J*= 8.4 Hz, 2 H), 7.32 (d, *J*= 8.4 Hz, 2 H), 7.29 (d, *J*= 8.4 Hz, 2 H), 7.26 (d, *J*=7.2 Hz, 2 H), 6.56 (d, *J*= 8.0 Hz, 1 H), 4.64 (d, *J*= 10.8 Hz, 1 H), 4.52 (d, *J*= 10.8 Hz, 1 H), 4.36 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 2.99 (br s, 1 H), 2.24 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.6, 180.9, 144.1, 139.4, 134.3, 134.1 (2C), 129.6, 129.0, 128.4, 127.9, 125.9, 123.3, 115.8, 115.6, 109.6, 69.92, 66.4, 63.0, 52.8, 52.4, 21.5; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4FNa$ $[M+Na]^+$ 481.1540, found 481.1565.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-fluorobenzoyl)-2-oxo-4'-phenyls-piro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4j):

[0.5 mmol scale] 199.5 mg, 90%, a white solid, m.p. 166.5-167.5 °C, *dr> 20:1*; IR (thin film): ν_{max} 3325, 2958, 1733, 1682, 1474, 1187, 750 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.80 (br s, 1 H), 7.52 (d, *J*= 7.2 Hz, 2 H), 7.44 (dd, *J*= 8.6, 5.4 Hz, 2 H), 7.33 (ψt, *J*= 7.6 Hz, 2 H), 7.27 (d, *J*= 7.2 Hz, 1 H), 7.23 (t, *J*= 7.4 Hz, 1 H), 7.07 (ψt, *J*= 7.6 Hz, 1 H), 6.99 (ψt, *J*= 7.6 Hz, 1 H), 6.83 (ψt, *J*= 8.4 Hz, 2 H), 6.59 (d, *J*= 7.6 Hz, 1 H), 4.70 (d, *J*= 10.8 Hz, 1 H), 4.56 (d, *J*= 10.8 Hz, 1 H), 4.39 (ψt, *J*= 10.8 Hz, 1 H) 3.65 (s, 3 H), 3.04 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.7, 181.3, 173.1, 140.2, 138.2, 133.3, 130.4, 129.8, 128.8, 128.4, 128.1, 127.5, 125.8, 123.3, 115.5, 115.3, 110.0, 70.1, 66.5, 63.2, 53.4, 52.4; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}N_2O_4F$ $[M+H]^+$ 445.1564, found 445.1559.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-fluorobenzoyl)-2-oxo-4'-(*p*-tolyl) spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4k):

[0.5 mmol scale] 181.0 mg, 79%, a white solid, m.p. 197.7-198.3 °C, *dr> 20:1*; IR (thin film): ν_{max} 3370, 3281, 1774, 1718, 1682, 1157, 789, 739 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.41 (br s, 1 H), 7.44 (dd, *J*= 8.6, 5.4 Hz, 2 H), 7.33 (d, *J*= 8.0 Hz, 2H), 7.14-7.08 (m, 5 H), 7.02 (ψt, *J*= 7.6 Hz, 1 H), 6.88 (ψt, *J*= 7.6 Hz, 1 H), 6.49 (d, *J*= 7.6 Hz, 1 H), 4.52 (d, *J*= 10.4 Hz, 1 H), 4.41 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.30 (ψt, *J*= 10.4 Hz, 1 H), 3.79 (d, *J*= 7.2 Hz, 1 H), 3.59 (s, 3 H), 2.24 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.3, 180.7, 172.8, 141.8, 136.8, 136.2, 133.7, 130.8, 129.7, 129.5, 129.3, 128.2, 126.1, 122.2, 116.0, 115.8, 109.7, 69.4, 66.2, 62.2, 52.4, 51.4, 21.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4FNa$ $[M+Na]^+$ 481.1540, found 481.1544.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-fluorobenzoyl)-4'-(4-fluorophen-yl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4l):

[0.5 mmol scale] 187.3 mg, 81%, a white solid, m.p. 213.0-213.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3343, 3259, 2925, 1730, 1679, 1613, 1507, 1020, 866, 789, 745 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.47 (br s, 1 H), 7.55 (dd, *J*= 8.4, 5.6 Hz, 2 H), 7.48 (dd, *J*= 8.4, 5.6 Hz, 2 H), 7.21-7.09 (m, 5 H), 7.05 (t, *J*= 7.6 Hz, 1 H), 6.90 (t, *J*= 7.6 Hz, 1 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.57 (d, *J*= 10.4 Hz, 1 H), 4.48 (dd, *J*= 10.4, 5.6 Hz, 1 H), 4.40 (ψt, *J*= 10.4 Hz, 1 H), 3.86 (d, *J*= 5.6 Hz, 1 H), 3.62 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.4, 180.6, 172.6, 141.9, 135.4, 133.7, 130.8, 130.7, 130.4, 130.3, 129.6, 129.2, 126.1, 122.1, 116.0, 115.8, 109.7, 69.3, 66.1, 62.2, 52.4, 50.7; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4F_2Na$ $[M+Na]^+$ 485.1289, found 485.1295.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-2-oxo-4'-phenyls piro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4m):

[0.5 mmol scale] 206.8 mg, 90%, a pale yellow solid, m.p. 181.3-182.3 °C, *dr> 20:1*; IR (thin film): ν_{max} 3365, 3293, 1780, 1733, 1680, 1471, 1092, 764 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.43 (br s, 1 H), 7.51 (d, *J*= 7.6 Hz, 2 H), 7.34 (d, *J*= 8.8 Hz, 2 H), 7.32 (d, *J*= 7.6 Hz, 2 H), 7.27-7.22 (m, 2 H), 7.14 (d, *J*= 8.8 Hz, 2 H), 7.09 (td, *J*= 7.6, 1.2 Hz, 1 H),

7.00 (ψt, *J*= 7.6 Hz, 1 H), 6.57 (d, *J*= 7.6 Hz, 1 H), 4.68 (d, *J*= 10.8 Hz, 1 H), 4.55 (d, *J*= 10.8 Hz, 1 H), 4.37 (ψt, *J*= 10.8 Hz, 1 H) 3.66 (s, 3 H), 2.88 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.1, 181.0, 173.0, 140.1, 139.6, 138.1, 135.1, 129.8, 129.1, 128.9, 128.6, 128.3, 128.1, 127.5, 125.8, 123.4, 109.9, 70.0, 66.5, 63.2, 53.4, 52.4; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}N_2O_4$ $[M+H]^+$ 461.1268, found 461.1266.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-2-oxo-4'-(*p*-tolyl) spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4n):

[0.5 mmol scale] 199.5 mg, 84%, a white solid, m.p. 102.6-103.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3543, 3387, 1724, 1680, 1646, 1590, 1089, 853, 750 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (br s, 1 H), 7.39 (dd, *J*= 8.0 Hz, 2 H), 7.34 (d, *J*= 8.4 Hz, 2 H), 7.26 (d, *J*= 7.6 Hz, 1 H), 7.15-7.10 (m, 4 H), 7.08 (ψt, *J*= 7.6 Hz, 1 H), 6.99 (ψt, *J*= 7.6 Hz, 1 H), 6.56 (ψt, *J*= 7.6 Hz, 1 H), 4.67 (d, *J*= 10.8 Hz, 1 H), 4.53 (d, *J*= 10.8 Hz, 1 H), 4.34 (ψt, *J*= 10.8 Hz, 1 H), 3.66 (s, 3 H), 2.54 (br s, 1 H), 2.29 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.2, 181.0, 173.1, 140.1, 139.5, 137.2, 135.2, 135.0, 129.1, 128.6, 128.4, 127.9, 125.9, 123.3, 109.9, 70.0, 66.5, 63.2, 53.1, 52.4, 21.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_2O_4Cl$ $[M+H]^+$ 475.1425, found 475.1420.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-4'-(4-fluorophen-yl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4o):

[0.5 mmol scale] 175.2 mg, 73%, a white solid, m.p. 182.0-182.9 °C, *dr> 20:1*; IR (thin film): ν_{max} 3345, 3144, 3077, 2883, 2833, 1739, 1711, 1686, 1470, 1217, 840, 763 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.86 (br s, 1 H), 7.50 (dd, *J*= 8.8, 5.2 Hz, 2 H), 7.34 (d, *J*= 8.4 Hz, 2 H), 7.24 (d, *J*= 7.6 Hz, 1 H), 7.14 (d, *J*= 8.4 Hz, 2 H), 7.08 (td, *J*= 7.8, 1.0 Hz, 1 H), 7.04-6.96 (m, 3 H), 4.62 (d, *J*= 10.8 Hz, 1 H), 4.53 (d, *J*= 10.8 Hz, 1 H), 4.37 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 3.07 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.1, 181.2, 172.8, 140.2, 139.7, 135.0, 133.9, 129.9, 129.6, 129.1, 128.6, 128.2, 125.7, 123.4, 115.7, 110.0, 69.9, 66.3, 63.3, 52.6, 52.5; HRMS (ESI): *m/z* calcd for $C_{26}H_{21}N_2O_4FCl$ $[M+H]^+$ 479.1174, found 479.1175.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-4'-(4-chlorophen- yl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4p):

[0.5 mmol scale] 172.7 mg, 70 %, a white solid, m.p. 104.1-105.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3360, 3287, 1763, 1716, 1688, 1652, 1090, 772, 722 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (br s, 1 H), 7.45 (d, *J*= 8.4 Hz, 2 H), 7.34-7.29 (m, 4 H), 7.23 (d, *J*= 7.2 Hz, 2 H), 7.15 (d, *J*= 8.4 Hz, 2 H), 6.99 (ψt, *J*= 7.6 Hz, 1 H), 6.57 (d, *J*= 7.6 Hz, 1 H), 4.60 (d, *J*= 10.8 Hz, 1 H), 4.52 (d, *J*= 10.8 Hz, 1 H), 4.33 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 2.92 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.9, 180.7, 172.7, 140.0, 139.7, 136.7, 135.0, 133.4, 129.9, 129.5, 129.1, 129.0, 128.6, 128.0, 125.8, 123.4, 109.9, 69.8, 66.2, 63.3, 52.7, 52.5; HRMS (ESI): *m/z* calcd for $C_{26}H_{21}N_2O_4Cl_2$ $[M+H]^+$ 495.0878, found 495.0874.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-3'-(4-bromobenzoyl)-2-oxo-4'-phen ylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4q):

[0.5 mmol scale] 194.6 mg, 77 %, a white solid, m.p.191.1-191.9 °C, *dr> 20:1*; IR (thin film): ν_{max} 3309, 3003, 2947, 1729, 1680, 1471, 1181, 761 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (br s, 1 H), 7.53 (d, *J*= 7.2 Hz, 2 H), 7.38-7.34 (m, 4 H), 7.30-7.27 (m, 4 H), 7.12 (td, *J*= 7.8, 1.4 Hz, 1 H), 7.03 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.56 (d, *J*= 7.6 Hz, 1 H), 4.70 (d, *J*= 10.8 Hz, 1 H), 4.56 (dd, *J*= 10.8, 8.4 Hz, 1 H), 4.38 (ψt, *J*= 10.8 Hz, 1 H), 3.68 (s, 3 H), 3.07 (d, *J*= 8.4 Hz, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 181.1, 173.0, 140.1, 138.1, 135.5, 131.6, 129.8, 129.2, 128.9, 128.4, 128.3, 128.1, 127.5, 125.8, 123.4, 110.0, 70.0, 66.5, 63.2, 53.4, 52.4; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}N_2O_4Br$ $[M+H]^+$ 505.0763, found 505.0755.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(4-fluoroph-enyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4r):

[0.5 mmol scale] 193.2 mg, 74%, a white solid, m.p. 184.7-185.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3359, 3281, 2980, 1771, 1727, 1693, 1652, 1515, 1156, 786, 725 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 (br s, 1 H), 7.49 (dd, *J*= 8.8, 5.6 Hz, 2 H), 7.31 (d, *J*= 8.4 Hz, 2 H), 7.27-7.23 (m, 3 H), 7.09 (t, *J*= 7.6 Hz, 1 H), 7.04-6.97 (m, 3 H), 6.58 (d, *J*= 8.0 Hz, 1 H), 4.60 (d, *J*= 10.8 Hz, 1 H), 4.53 (d, *J*= 10.8 Hz, 1 H), 4.36 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 3.00 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.2, 181.0, 172.8, 140.1, 135.5, 133.9, 131.6, 129.9, 129.7, 129.1, 128.4, 128.1, 125.8, 123.4, 115.7, 110.0, 69.9, 66.3, 63.2, 52.6, 52.5; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4FBrNa$ $[M+Na]^+$ 545.0488, found 545.0487.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(4-chlorophe-nyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4s):

[0.5 mmol scale] 199.0 mg, 74%, a white solid, m.p.161.7-162.7 °C, *dr> 20:1*; IR (thin film): ν_{max} 3294, 2961,, 2877, 1716, 1683, 1463, 1229, 1184, 820, 756 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.4 (br s, 1 H), 7.50-7.47 (m, 4 H), 7.39 (d, *J*= 8.8 Hz, 2 H), 7.28 (d, *J*= 8.4 Hz, 2 H), 7.06 (d, *J*= 7.2 Hz, 1 H), 7.03 (td, *J*= 8.0, 1.2 Hz, 1 H), 6.87 (td, *J*= 7.4, 0.6 Hz, 1 H), 6.50 (d, *J*= 7.6 Hz, 1 H), 4.51 (d, *J*= 10.4 Hz, 1 H), 4.43 (dd, *J*= 10.2, 7.0 Hz, 1 H), 4.33 (ψt, *J*= 10.4 Hz, 1 H) 3.85 (d, *J*= 7.2 Hz, 1 H), 3.60 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.0, 180.5, 172.4, 141.9, 138.3,

135.8, 132.3, 131.9, 130.4, 129.7, 129.1, 127.9, 126.1, 122.2, 109.8, 69.2, 66.0, 62.1, 52.4, 50.7; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4ClBrNa$ $[M+Na]^+$ 561.0193, found 561.0199.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(4-methoxy-phenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4t):

[0.5 mmol scale] 197.1 mg, 74%, a white solid, m.p.105.3-106.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3359, 3292, 1771, 1724, 1690, 1512, 1251, 1182, 833, 780 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.99 (br s, 1 H), 7.42 (d, *J*= 8.4 Hz, 2 H), 7.23 (d, *J*= 8.4 Hz, 2 H), 7.27-7.25 (m, 3 H), 7.09 (t, *J*= 7.6 Hz, 1 H), 7.00 (t, *J*= 7.6 Hz, 1 H), 6.86 (d, *J*= 8.4 Hz, 2 H), 6.56 (d, *J*= 7.6 Hz, 1 H), 4.62 (d, *J*= 10.4 Hz, 1 H), 4.51 (d, *J*= 10.4 Hz, 1 H), 4.32 (ψt, *J*= 10.4 Hz, 1 H) 3.77 (s, 3 H), 3.67 (s, 3 H), 2.58 (brs, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 180.6, 173.0, 158.9, 139.9, 135.6, 131.6, 129.9, 129.8, 129.2, 129.1, 128.3, 128.0, 125.9, 123.4, 114.3, 109.8, 69.8, 66.4, 63.2, 55.2, 52.7, 52.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_5BrNa$ $[M+Na]^+$ 557.0688, found 557.0688.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(furan-2-yl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4u):

[0.5 mmol scale] 215.5 mg, 87%, a yellow solid, m.p.210.5-211.5 °C, *dr*> 20:1; IR (thin film): ν_{max} 3211, 2928, 2850, 1717, 1683, 1514, 1226, 735 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.61 (br s, 1 H), 7.36-7.31 (m, 5 H), 7.23 (d, *J*= 7.6 Hz, 1 H), 7.08 (t, *J*= 7.4 Hz, 1 H), 6.99 (t, *J*= 7.6 Hz, 1 H), 6.58 (d, *J*= 7.6, Hz, 1 H), 6.29 (s, 2 H), 4.78 (d, *J*= 10.4 Hz, 1 H), 4.57 (d, *J*= 10.4 Hz, 1 H), 4.52 (ψt, *J*= 10.4 Hz, 1 H), 3.76 (s, 3 H), 2.90 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.0, 180.6, 172.8, 150.8, 142.2, 140.1, 135.3, 131.6, 129.9, 129.3, 128.5, 128.2, 125.9, 123.3, 110.5, 110.1, 107.7, 70.0, 69.8, 63.7, 59.8, 52.6, 46.4; HRMS (ESI): *m/z* calcd for $C_{24}H_{20}N_2O_5Br$ $[M+H]^+$ 495.0556, found 495.0517.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-nitrobenzoyl)-2-oxo-4'-phenylsp-iro [indoline-3,2'-pyrrolidine]-5'-carboxylate (4v):

[0.5 mmol scale] 185.0 mg, 79%, a yellow solid, m.p. 107.5-108.3 °C, *dr*> 20:1; IR (thin film): ν_{max} 3365, 3292, 3098, 1721, 1690, 1649, 1526, 1351, 1173, 839 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.54 (br s, 1 H), 8.00 (d, *J*= 8.8 Hz, 2 H), 7.53 (d, *J*= 7.6 Hz, 2 H), 7.49 (d, *J*= 8.8 Hz, 2 H), 7.35 (ψt, *J*= 7.6 Hz, 2 H), 7.25 (ψt, *J*= 7.6 Hz, 2 H), 7.10-7.06 (m, 1 H), 7.03-6.99 (m, 1 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.72 (d, *J*= 10.8 Hz, 1 H), 4.56 (d, *J*= 10.8 Hz, 1 H), 4.36 (ψt, *J*= 10.8 Hz, 1 H) 3.66 (s, 3 H), 2.84 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 180.9, 172.9, 150.0, 141.3, 140.2, 137.9, 130.1, 128.9, 128.7, 128.1 128.0, 127.7, 125.8, 123.5, 123.4, 110.1, 69.8, 66.5, 64.0, 53.2, 52.5; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}N_3O_6$ $[M+H]^+$ 472.1509, found 472.1508.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-nitrobenzoyl)-2-oxo-4'-(*p*-tolyl)-spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4w):

[0.5 mmol scale] 193.9 mg, 80%, a yellow solid, m.p. 116.5-117.3 °C, *dr*> 20:1; IR (thin film): ν_{max} 3387, 3287, 2925, 1769, 1724, 1688, 1658, 1523, 1488, 1162, 822, 736 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.51 (br s, 1 H), 8.01 (d, *J*= 8.8, 2 H), 7.49 (d, *J*= 8.8 Hz, 2 H), 7.41 (d, *J*= 8.0 Hz, 2 H), 7.24 (d, *J*= 7.2 Hz, 1 H), 7.15 (d, *J*= 8.0 Hz, 2 H), 7.08 (td, *J*= 7.6, 1.0 Hz, 1 H), 7.01 (ψt, *J*= 7.2 Hz, 1 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.70 (d, *J*= 10.8 Hz, 1 H), 4.53 (d, *J*= 10.4 Hz, 1 H), 4.33 (ψt, *J*= 10.4 Hz, 1 H), 3.67 (s, 3 H), 2.75 (br s, 1 H), 2.30 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 180.8, 173.0, 150.0, 141.3, 140.1, 137.4, 130.1, 129.6, 128.7, 128.1, 127.9, 125.8, 123.4, 110.0, 69.8, 66.5, 64.0, 52.9, 52.5, 21.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_2O_6$ $[M+H]^+$ 486.1665, found 486.1672.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-4'-(4-methoxyphenyl)-3'-(4-nitrobenz- oyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4x):

[0.5 mmol scale] 176.4 mg, 70 %, a yellow solid, m.p. 193.2-194.1 °C, *dr*> 20:1; IR (thin film): ν_{max} 3359, 3276, 1766, 1688, 1648, 1521, 1348, 1178, 750 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.36 (br s, 1 H), 8.01 (d, *J*= 8.8 Hz, 2 H), 7.50 (d, *J*= 8.4 Hz, 2 H), 7.44 (d, *J*= 8.4 Hz, 2 H), 7.24 (d, *J*= 7.2 Hz, 1 H), 7.08 (ψt, *J*= 7.4 Hz, 1 H), 7.01 (ψt, *J*= 7.4 Hz, 1 H), 6.88 (d, *J*= 8.8 Hz, 2 H), 6.53 (d, *J*= 7.6 Hz, 1 H), 4.67 (d, *J*= 10.4 Hz, 1 H), 4.52 (d, *J*= 10.4 Hz, 1 H), 4.31 (ψt, *J*= 10.4 Hz, 1 H) 3.77 (s, 3 H), 3.68 (s, 3 H), 2.62 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 180.7, 172.9, 159.0, 150.0, 141.3, 140.1, 130.1, 129.7, 129.1, 128.7, 128.0, 125.8, 123.5, 123.4, 114.3, 110.0, 69.7, 66.4, 64.0, 55.2, 52.6, 52.5; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_3O_7Na$ $[M+Na]^+$ 524.1434, found 524.1446.

(±)-methyl (*3R,3'S,4'R,5'S*)-3'-benzoyl-4'-(2-bromophenyl)-2-oxosp-iro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4y):

[1.0 mmol scale] 359 mg, 71 %, a white solid, m.p. 162.8-164.4 °C, *dr*> 20:1; IR (thin film): ν_{max} 3197, 2947, 2874, 1760, 1702, 1679, 1618, 1468, 1187, 750, 685 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.46 (br s, 1 H), 7.85 (d, *J*= 7.6 Hz, 1 H), 7.60 (dd, *J*= 8.0, 1.2 Hz, 1 H), 7.47-7.42 (m, 2 H), 7.39 (d, *J*= 8.0 Hz, 2 H), 7.28 (ψt, *J*= 7.6 Hz, 2 H), 7.17 (td, *J*= 7.6, 1.2 Hz, 1 H), 7.09 (d, *J*= 7.6 Hz, 1 H), 7.03 (td, *J*= 7.6, 1.2 Hz, 1 H), 6.88 (dd, *J*= 7.6, 0.8 Hz, 1 H), 6.50 (d, *J*= 7.6 Hz, 1 H), 4.89 (ψt, *J*= 10.4 Hz, 1 H), 4.74 (d, *J*= 10.4 Hz, 1 H), 4.29 (dd, *J*= 10.4, 8.0 Hz, 1 H), 3.65 (d, *J*= 8.0 Hz, 1 H), 3.61 (s, 3 H), 3.42 (d, *J*= 8.0 Hz, 1 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.5, 180.6, 172.4, 142.0, 138.5, 136.8, 133.8, 133.3, 129.7, 129.5, 129.2, 128.9, 128.8, 127.8, 126.0, 125.6, 125.0, 122.2, 109.8, 69.4, 66.6, 62.0, 52.6, 50.8; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}BrN_2O_4$ $[M+H]^+$ 505.0763, found 505.0769.

(±)-methyl (*3R,3'S,4'R,5'S*)-3'-benzoyl-4'-(3-bromophenyl)-2-oxosp-iro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4z):

[1.0 mmol scale] 450 mg, 89 %, a white solid, m.p. 95.2-96.7 °C, *dr*> 20:1; IR (thin film): ν_{max} 3215, 2952, 2875, 1735, 1713, 1680, 1616, 1468, 1178, 750, 688 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.44 (br s, 1 H), 7.65 (s, 1 H), 7.49-7.43 (m, 3 H), 7.38 (d, *J*= 7.2 Hz, 2 H), 7.32 (d, *J*= 7.6 Hz, 1 H), 7.26 (ψt, *J*= 7.6 Hz, 2 H), 7.06 (d, *J*= 7.6 Hz, 1 H), 6.99 (td, *J*= 7.6, 1.2 Hz, 1 H), 6.85 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.46 (d, *J*= 7.6 Hz, 1 H), 4.53 (d, *J*= 10.4 Hz, 1 H), 4.46 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.35 (ψt, *J*= 10.4 Hz, 1 H), 3.85 (d, *J*= 7.2 Hz, 1 H), 3.60 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.6, 180.6, 172.4, 142.4, 141.9, 136.7, 133.8, 131.3, 131.2, 130.6, 129.6, 129.1, 128.8, 127.8, 127.7, 126.1, 122.3, 122.1, 109.8, 69.3, 65.9, 62.1, 52.4, 51.1; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}BrN_2O_4$ $[M+H]^+$ 505.0763, found 505.0756.

(±)-methyl (*3R,3'S,4'R,5'S*)-3'-(2-fluorobenzoyl)-2-oxo-4'-phenylspi-ro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4aa):

[1.0 mmol scale] 346 mg, 78 %, a white solid, m.p. 172.9-173.2 °C, *dr*> 20:1; IR (thin film): ν_{max} 3226, 3086, 3025, 2958, 1735, 1713, 1671, 1479, 1270, 922, 756 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.31 (br s, 1 H), 7.45 (d, *J*= 7.2 Hz, 1 H), 7.44 (m, 1 H), 7.36 (ψt, *J*= 7.6 Hz, 2 H), 7.25 (t, *J*= 7.4 Hz, 1 H), 7.09 (t, *J*= 7.6 Hz, 1 H), 7.07 (td, J=7.6, 1.2 Hz, 1 H), 7.05-7.03 (m, 1 H), 7.00 (d, *J*= 7.2 Hz, 1 H), 6.96 (td, *J*= 7.6, 2.0 Hz, 1 H), 6.90 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.51 (d, *J*= 7.6 Hz, 1 H), 4.54 (d, *J*= 10.0 Hz, 1 H), 4.42 (d, *J*= 10.0, 7.2 Hz, 1 H), 4.31 (ψt, *J*= 10.0 Hz, 1 H), 3.78 (d, *J*= 7.2 Hz, 1 H), 3.58 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.6, 180.6, 172.6, 142.4, 139.6, 130.1, 130.0, 129.7, 129.2, 129.0, 128.4, 127.6, 126.1 (2C), 125.9, 124.8 (2C), 122.1, 109.8, 79.6, 69.0, 66.1, 52.4, 51.5; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}FN_2O_4$ $[M+H]^+$ 445.1564, found 445.1558.

(±)-methyl (3R,3'S,*4*'R,5'S)-3'-benzoyl-4'-(5-chloro-2-hydroxyphen yl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4ab):

[1.0 mmol scale] 220 mg, 46 %, a yellow solid, m.p. 212.8-213.4 °C, *dr*> 20:1; IR (thin film): ν_{max} 3326, 3058, 2986, 1727, 1688, 1618, 1346, 1184, 744 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.36 (br s, 1 H), 10.01 (d, *J*= 7.4 Hz, 1 H), 7.41-7.38 (m, 3 H), 7.28 (ψt, *J*= 7.6 Hz, 2 H), 7.14 (d, *J*= 7.6 Hz, 1 H), 7.07 (dd, *J*= 8.6, 2.6 Hz, 1 H), 7.02 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.88 (ψt, *J*= 7.4 Hz, 1 H), 6.79 (d, *J*= 7.6 Hz, 1 H), 6.48 (d, *J*= 7.6 Hz, 1 H), 4.96 (d, *J*= 10.0 Hz, 1 H), 4.53-4.43 (m, 2 H), 4.31 (ψt, *J*= 10.4 Hz, 1 H) 3.77 (s, 3 H), 3.59 (s, 3 H), 3.48 (d, *J*= 7.6 Hz, 1 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 197.0, 180.3, 173.2, 155.2, 141.8, 137.0, 133.7, 129.6, 129.4, 129.0, 128.9, 128.1, 127.8, 126.8, 126.1, 122.9, 122.2, 117.4, 109.7, 69.6, 64.0, 59.0, 52.4, 48.0; HRMS (ESI): *m/z* calcd for $C_{26}H_{22}ClN_2O_5$ $[M+H]^+$ 477.1217, found 477.1220.

(±)-methyl (3R,3'S,*4*'R,5'S)-3'-benzoyl-4'-(2-hydroxy-3-methoxyphe nyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4ac):

[1.0 mmol scale] 340 mg, 72 %, a white solid, m.p. 201.5-211.2 °C, *dr*> 20:1; IR (thin film): ν_{max} 3309, 2963, 2853, 1727, 1682, 1621, 1471, 1345, 1086, 733 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.33 (br s, 1 H), 8.77 (d, *J*= 7.4 Hz, 1 H), 7.48 (d, *J*= 7.2 Hz, 1 H), 7.40 (d, *J*= 7.2 Hz, 2 H), 7.30 (ψt, *J*= 7.6 Hz, 2 H), 7.21 (d, *J*= 7.6 Hz, 1 H), 7.04 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.96 (d, *J*= 7.6 Hz, 1 H), 6.92 (ψt, *J*= 7.6 Hz, 1 H), 6.81 (dd, *J*= 8.0, 1.2 Hz, 1 H), 6.72 (ψt, *J*= 7.8 Hz, 1 H), 6.48 (d, *J*= 7.6 Hz, 1 H), 5.05 (d, *J*= 10.4 Hz, 1 H), 4.58 (ψt, *J*= 10.4 Hz, 1 H), 4.36 (dd, *J*= 10.4, 8.0 Hz, 1 H), 3.76 (s, 3 H), 3.59 (s, 3 H), 3.42 (d, *J*= 8.0 Hz, 1 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.8, 180.3, 173.5, 147.9, 145.4, 141.8, 137.1, 133.7, 129.8, 129.5, 128.9, 127.8, 126.2, 124.5, 122.2, 121.1, 119.3, 110.8, 109.7, 69.7, 64.5, 59.2, 56.2, 52.4, 48.3; HRMS (ESI): *m/z* calcd for $C_{27}H_{25}N_2O_6$ $[M+H]^+$ 473.1713, found 473.1700.

(±)-methyl (*3R,3'S,4'R,5'S*)-3'-benzoyl-4'-(2,4-dichlorophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (4ad):

[1.0 mmol scale] 430 mg, 87 %, a white solid, m.p. 104.6-105.8 °C, *dr*> 20:1; IR (thin film): ν_{max} 3326, 3069, 2947, 1735, 1680, 1618, 1474, 1181, 750 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.46 (br s, 1 H), 7.89 (d, *J*= 8.4 Hz, 1 H), 7.59 (d, *J*= 2.4 Hz, 1 H), 7.48 (dd, *J*= 8.4, 2.4 Hz, 1 H), 7.45 (t, *J*= 7.4 Hz, 1 H), 7.39 (d, *J*= 7.2 Hz, 2 H), 7.27 (ψt, *J*= 7.8 Hz, 2 H), 7.06 (d, *J*= 7.6 Hz, 1 H), 7.01 (td, *J*= 7.6, 1.2 Hz, 1 H), 6.86 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.49 (d, *J*= 8.0 Hz, 1 H), 4.85 (ψt, *J*= 10.4 Hz, 1 H), 4.71 (d, *J*= 10.4 Hz, 1 H), 4.32 (dd, *J*= 10.4, 7.6 Hz, 1 H), 3.72 (d, *J*= 7.6 Hz, 1 H), 3.61 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.5, 180.6, 172.3, 142.0, 136.7, 136.0, 135.4, 133.8, 132.8, 130.8, 129.7, 129.3, 128.8, 128.5, 127.8, 125.9, 122.2, 109.8, 69.3, 66.1, 61.5, 52.6, 47.5; HRMS (ESI): *m/z* calcd for $C_{26}H_{21}Cl_2N_2O_4$ $[M+H]^+$ 495.0878, found 495.0844.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-5-fluoro-4'-(4-fluoropheny l)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5a):

[1 mmol scale] 350.0 mg, 78%, a white solid, *dr*> 20:1, m.p. 200.6-201.3 °C; IR (thin film): ν_{max} 3337, 3186, 1755, 1716, 1682, 1488, 1220, 688 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.48 (br s, 1 H), 7.53 (dd, *J*= 8.6, 5.4 Hz, 2 H), 7.48 (t, *J*= 7.6 Hz, 1 H), 7.45 (d, *J*= 7.6 Hz, 2 H), 7.31 (ψt, *J*= 7.8 Hz, 2 H), 7.17 (ψt, *J*= 8.8 Hz, 2 H), 6.96 (dd, *J*= 8.2, 2.6 Hz, 1 H), 6.87 (td, *J*= 9.0, 2.6 Hz, 1 H), 6.50 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.59 (d, *J*= 10.4 Hz, 1 H), 4.49 (dd, *J*= 10.4, 6.8

Hz, 1 H), 4.41 (ψt, *J*= 10.4 Hz, 1 H), 4.04 (d, *J*= 6.8 Hz, 1 H), 3.62 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.6, 180.6, 172.6, 138.1, 136.8, 135.4, 133.9, 131.2, 130.4, 128.9, 127.8, 116.0, 115.8, 114.0, 113.7, 110.6, 110.6, 69.6, 66.1, 62.1, 52.3, 50.5; HRMS (ESI): *m/z* calcd for $C_{26}H_{21}N_2O_4F_2$ $[M+H]^+$ 463.1469, found 463.1460.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(4-chlorophenyl)-5-fluo ro-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5b):

[1 mmol scale] 282.2 mg, 66%, a white solid, m.p. 224.1-225.2 °C, *dr*> 20:1; IR (thin film): ν_{max} 3331, 3181, 3086, 2875, 1752, 1710, 1677, 1488, 1009, 819, 688 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.45 (br s, 1 H), 7.50-7.46 (m, 3 H), 7.43-7.38 (m, 4 H), 7.30 (ψt, *J*= 7.8 Hz, 2 H), 6.92 (dd, *J*= 8.4, 2.8 Hz, 1 H), 6.88-6.83 (m, 1 H), 6.47 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.55 (d, *J*= 10.8 Hz, 1 H), 4.45 (dd, *J*= 10.8, 6.8 Hz, 1 H), 4.36 (ψt, *J*= 10.8 Hz, 1 H), 4.03 (d, *J*= 6.8 Hz, 1 H), 3.60 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.5, 180.5, 172.5, 138.3, 138.1, 136.7, 134.0, 132.3, 131.1, 131.0, 130.4, 129.1, 129.0, 127.8, 115.9, 113.8, 110.6; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4FClNa$ $[M+Na]^+$ 501.0993, found 501.1064.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-5-fluoro-3'-(4-methylbenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5c):

[1 mmol scale] 328.2 mg, 72%, a white solid, m.p.170.4-170.9 °C, *dr*> 20:1; IR (thin film): ν_{max} 3203, 3080, 2930, 1718, 1677, 1485, 1187, 702 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.44 (br s, 1 H), 7.42 (d, *J*= 7.2 Hz, 2 H), 7.34 (d, *J*= 8.0 Hz, 2 H), 7.32 (ψt, *J*= 7.2 Hz, 2 H), 7.22 (t, *J*= 7.2 Hz, 2 H), 7.11 (d, *J*= 8.0 Hz, 2 H), 6.94 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.87 (td, *J*= 8.4, 2.4 Hz, 1 H), 6.50 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.54 (d, *J*= 10.4 Hz, 1 H), 4.44 (d, *J*= 10.4, 6.8 Hz, 1 H), 4.36 (t, *J*= 10.4 Hz, 1 H), 4.01 (d, *J*= 6.8 Hz, 1 H), 3.59 (s, 3 H), 2.25 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.6, 172.8, 144.5, 139.3, 138.1, 134.4, 131.4, 129.6, 129.2, 128.3, 128.0, 127.7, 115.7, 114.1, 113.8, 110.6, 69.8, 66.1, 61.8, 52.4, 51.4, 21.5; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_2O_4F$ $[M+H]^+$ 459.1720, found 459.1571.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-5-fluoro-3'-(4-methylbenzoyl)-2-oxo-4'-(p-tolyl)spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5d):

[1 mmol scale] 284.9 mg, 60%, a white solid, m.p. 191.8-192.6 °C, *dr*> 20:1; IR (thin film): ν_{max} 3311, 3188, 3083, 1737, 1711, 1673, 1481, 1190, 822 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.47 (br s, 1 H), 7.37 (d, *J*= 8.8 Hz, 2 H), 7.33 (d, *J*= 8.8 Hz, 2 H), 7.11 (d, *J*= 8.0 Hz, 2 H), 7.10 (d, *J*= 8.0 Hz, 2 H), 7.10 (d, *J*= 8.0 Hz, 2 H), 6.99 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.87 (td, *J*= 9.0, 2.4 Hz, 1 H), 6.53 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.56 (d, *J*= 10.4 Hz, 1 H), 4.45 (d, *J*= 10.4, 7.2 Hz, 1 H), 4.36 (ψt, *J*= 10.4 Hz, 1 H), 4.00 (d, *J*= 7.2 Hz, 1 H), 3.60 (s, 3 H), 2.23 (s, 3 H), 2.22 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.6, 172.9, 144.5, 138.0, 136.8, 136.1, 134.5, 131.4, 129.7 129.6, 128.2, 128.0, 115.7, 114.1, 113.9, 110.6, 69.9, 66.1, 61.8, 52.3, 51.3, 21.5, 21.0; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_4F$ $[M+H]^+$473.1877, found 473.1879.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-4'-(4-chlorophenyl)-5-fluoro-3'-(4-me thylbenzoyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5e):

[1mmol scale] 329.9 mg, 75%, a white solid, m.p.201.4-202.2 °C, *dr*> 20:1; IR (thin film): ν_{max} 3058, 2885, 1735, 1716, 1674, 1490, 1246, 825 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.46 (br s, 1 H), 7.48 (d, *J*= 8.4 Hz, 2 H), 7.38 (d, *J*= 8.8 Hz, 2 H), 7.35 (d, *J*= 8.8 Hz, 2 H), 7.11 (d, *J*= 8.4 Hz, 2 H), 6.93 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.87 (td, *J*= 8.8, 2.4 Hz, 1 H), 6.51 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.52 (d, *J*= 10.4 Hz, 1 H), 4.44 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.36 (ψt, *J*= 10.4 Hz, 1 H), 4.02 (d, *J*= 7.2 Hz, 1 H), 3.60 (s, 3 H), 2.25 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.8, 180.5, 172.5, 144.5, 138.3, 138.1, 134.3, 132.3, 131.3, 131.2, 130.3, 129.6, 129.1, 128.0, 116.0, 114.0, 110.6, 69.7, 65.9, 61.7, 52.4, 50.7, 21.5; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4FCl$ $[M+H]^+$ 493.1330, found 493.1168.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-5-fluoro-4'-(4-methoxyphenyl)-3'-(4-methylbenzoyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxyla te (5f):

[1mmol scale] 269.7 mg, 62%, a white solid, m.p. 170.8-171.7 °C, *dr*> 20:1; IR (thin film): ν_{max} 3309, 1760, 1710, 1669, 1515, 1251, 755 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.45 (br s, 1 H), 7.37 (d, *J*= 8.0 Hz, 2 H), 7.35 (d, *J*= 8.8 Hz, 2 H), 7.11 (d, *J*= 8.8 Hz, 2 H), 6.87 (td, *J*= 9.0, 2.8 Hz, 1 H), 6.51 (dd, *J*= 8.8, 4.4 Hz, 1 H), 4.53 (d, *J*= 10.4 Hz, 1 H), 4.41 (dd, *J*= 10.4, 6.4 Hz, 1 H), 4.33 (ψt, *J*= 10.4 Hz, 1 H), 3.97 (d, *J*= 6.4 Hz, 1 H), 3.69 (s, 3 H), 3.60 (s, 3 H),2.24 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195. 9, 180.6, 172.9, 144.5, 138.0, 134.5, 131.5, 130.9, 129.6, 129.3, 128.0, 115.9, 115.7, 114.6, 114.1, 113.9, 110.6, 69.8, 66.1, 61.8, 55.4, 52.3, 50.9, 21.5; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_5F$ $[M+H]^+$ 489.1826, found 489.1829.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-5-fluoro-3'-(4-fluorobenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5g):

[1 mmol scale] 319.5 mg, 69%, a white solid, m.p. 162.0-162.8 °C, *dr*> 20:1; IR (thin film): ν_{max} 3376, 1716, 1685, 1629, 1485, 1090, 700 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.46 (br s, 1 H), 7.47 (d, *J*= 7.6 Hz, 2 H), 7.43 (d, *J*= 8.4 Hz, 2 H), 7.37 (d, *J*= 8.4 Hz, 2 H), 7.34 (ψt, *J*= 7.6 Hz, 2 H), 7.23 (d, *J*= 7.6 Hz, 1 H), 6.96 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.89 (td, *J*= 8.8, 2.4 Hz, 1 H), 6.52 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.58 (d, *J*= 10.4 Hz, 1 H), 4.47 (dd, *J*= 10.4, 2.8 Hz, 1 H), 4.38 (ψt, *J*= 10.4 Hz, 1 H), 4.05 (d, *J*= 2.8 Hz, 1 H), 3.60 (s, 3 H), 2.84 (br s, 1 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.7,

180.4, 172.7, 139.1, 138.9, 138.0, 135.4, 131.1, 129.7, 129.2, 129.1, 128.4, 127.7, 116.1, 115.8, 113.7, 110.7, 69.8, 66.2, 62.2, 52.4, 51.1; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4F_2Na$ $[M+Na]^+$ 485.1289, found 485.1284.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-4'-(4-chlorophenyl)-5-fluoro-3'-(4-fluorobenzoyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxyl ate (5h):

[1 mmol scale] 418.2 mg, 84%, a white solid, m.p. 171.2-171.9 °C, *dr*> 20:1; IR (thin film): ν_{max} 3320, 1710, 1680, 1601, 1490, 1095, 827 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.46 (br s, 1 H), 7.52-7.50 (m, 4 H), 7.37 (dd, *J*= 8.6, 2.2 Hz, 2 H), 7.15-7.10 (m, 2 H), 6.95-6.93 (m, 1 H), 6.88 (td, *J*= 9.0, 2.2 Hz, 1 H), 6.52-6.48 (m, 1 H), 4.56 (d, *J*= 10.0 Hz, 1 H), 4.44 (dd, *J*= 10.0, 6.4 Hz, 1 H), 4.36 (ψt, *J*= 10.0 Hz, 1 H), 4.04 (d, *J*= 6.4 Hz, 1 H), 3.60 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.2, 180.5, 172.5, 138.2, 138.0, 133.5, 132.3, 131.0, 130.9, 130.4, 129.1, 116.1, 115.9, 113.9, 113.6, 110.7, 110.6, 69.7, 66.0, 62.1, 52.4, 50.4; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4FCl_2$ $[M+H]^+$ 497.0917, found 497.1080.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-5-fluoro-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5i):

[1 mmol scale] 364.2 mg, 79%, a white solid, m.p. 164.4-165.1 °C, *dr*> 20:1; IR (thin film): ν_{max} 3281, 3114, 2969, 2930, 1771, 1716, 1693, 1649, 1165, 772, 719 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.77 (br s, 1 H), 7.50 (d, *J*= 7.6 Hz, 2 H), 7.39 (d, *J*= 8.4 Hz, 2 H), 7.33 (ψt, *J*= 7.6 Hz, 2 H), 7.24 (t, *J*= 7.6 Hz, 1 H), 7.17 (d, *J*= 8.4 Hz, 2 H), 7.05 (dd, *J*= 7.6, 2.4 Hz, 1 H), 6.80 (td, *J*= 8.8, 2.4 Hz, 1 H), 6.54 (dd, *J*= 8.4, 4.0 Hz, 1 H), 4.68 (d, *J*= 10.8 Hz, 1 H), 4.56 (d, *J*= 10.8 Hz, 1 H), 4.37 (ψt, *J*= 10.8 Hz, 1 H), 3.66 (s, 3 H), 2.84 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.8, 181.1, 173.0, 139.8, 137.9, 136.1, 135.0, 129.2, 128.9, 128.7, 128.1, 127.6, 116.5, 116.2, 114.1, 113.8, 110.8, 70.3, 66.4, 63.0, 53.0, 52.5; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4FClNa$ $[M+Na]^+$ 501.0993, found 501.0993.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-fluoro-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5j):

[1 mmol scale] 423.0 mg, 83%, a white solid, m.p. 185.2-186.0 °C, *dr*> 20:1; IR (thin film): ν_{max} 3387, 3287, 3114, 2980, 2930, 1769, 1718, 1690, 1485, 1164, 769 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.45 (br s, 1 H), 7.52 (d, *J*= 8.4 Hz, 2 H), 7.46 (d, *J*= 7.2 Hz, 2H), 7.34 (d, *J*= 8.4 Hz, 2 H), 7.32 (ψt, *J*= 7.2 Hz, 2 H), 7.23 (t, *J*= 7.2 Hz, 1 H), 6.95 (dd, *J*= 8.4, 2.8 Hz, 1 H), 6.89 (td, *J*= 9.0, 2.8 Hz, 1 H), 6.51 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.57 (d, *J*= 10.4 Hz, 1 H), 4.46 (dd, *J*= 10.4, 6.8 Hz, 1 H), 4.37 (ψt, *J*= 10.4 Hz, 1 H), 4.05 (d, *J*= 6.8 Hz, 1 H), 3.60 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.4, 172.7, 139.1, 138.0, 135.8, 132.1, 129.8, 129.2, 128.4, 128.2, 127.7, 116.1, 115.9, 113.9, 113.7, 110.6, 69.8, 66.2, 62.1, 52.4, 51.1; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4FBrNa$ $[M+H]^+$ 523.0669, found 523.0664.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-fluoro-2-oxo-4'-(*p*-tolyl)spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5k):

[1 mmol scale] 386.9 mg, 72%, a white solid, m.p. 197.1-198.0 °C, *dr*> 20:1; IR (thin film): ν_{max} 2869, 1771, 1721, 1693, 1632, 1487, 1167, 822, 724 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.45 (br s, 1 H), 7.52 (d, *J*= 8.2 Hz, 2 H), 7.36 (d, *J*= 7.2 Hz, 4 H), 7.14 (d, *J*= 8.2 Hz, 2H), 6.99 (dd, *J*= 8.4, 2.4 Hz, 1H), 6.90 (td, *J*= 8.8, 2.4 Hz, 1H), 6.54 (dd, *J*= 8.8, 4.2 Hz, 1H), 4.58 (d, *J*= 10.8 Hz, 1H), 4.47 (dd, *J*= 10.0, 6.8 Hz, 1H), 4.37 (ψt, *J*= 10.4 Hz, 1 H), 4.03 (d, *J*= 6.8 Hz, 1 H), 3.61 (s, 3 H), 2.24 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.4, 172.8, 138.0, 136.9, 135.9, 135.8, 132.1, 131.3, 131.2, 129.7, 128.2, 116.1, 115.8, 114.0, 113.7, 110.6, 69.8, 66.2, 52.4, 50.9, 21.0; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4FBr$ $[M+H]^+$ 537.0825, found 537.0644.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-fluoro-4'-(4-fluorophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5l):

[1 mmol scale] 299.8 mg, 59%, a white solid, m.p. 171.6-172.3 °C, *dr*> 20:1; IR (thin film): ν_{max} 3381, 3281, 3108, 2974, 2924, 1771, 1721, 1688, 1649, 1164, 769, 724 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.45 (br s, 1 H), 7.52-7.50 (m, 4 H), 7.34 (d, *J*= 8.4 Hz, 2 H), 7.15 (ψt, *J*= 8.4 Hz, 2 H), 6.95-6.90 (m, 1 H), 6.87 (dd, *J*= 8.8, 2.4 Hz, 1 H), 6.51 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.56-4.53 (m, 1 H), 4.52-4.35 (m, 2 H), 4.03 (d, *J*= 6.4 Hz, 1 H), 3.60 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.4, 172.6, 138.0, 135.7, 135.2, 132.0, 131.1, 130.4, 120.3 129.8, 128.2, 116.0, 115.8, 113.9, 113.6, 110.7, 69.6, 66.1, 62.1, 52.4, 50.3; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4F_2Br$ $[M+H]^+$ 541.0575, found 541.0400.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(4-chlorophen yl)-5-fluoro-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5m):

[1 mmol scale] 384.2 mg, 71%, a white solid, m.p. 198.0-198.7 °C, *dr*> 20:1; IR (thin film): ν_{max} 3276, 3103, 2980, 2924, 1769, 1724, 1693, 1649, 1165, 775, 724 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.44 (br s, 1 H), 7.52 (d, *J*=8.8 Hz, 2 H), 7.49 (d, *J*= 8.8 Hz, 2 H), 7.39 (d, *J*= 8.4 Hz, 2 H), 7.32 (d, *J*= 8.8 Hz, 2 H), 6.92 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.50 (dd, *J*= 9.0, 2.4 Hz, 1 H), 6.49 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.53 (d, *J*= 10.4 Hz, 1 H), 4.43 (dd, *J*= 10.4, 6.8 Hz, 1 H), 4.34 (ψt, *J*= 10.4 Hz, 1 H), 4.04 (d, *J*= 6.8 Hz, 1 H), 3.60 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.3, 172.5, 138.2, 138.0, 135.7, 132.3, 132.0, 130.4, 129.8 129.1, 128.2, 116.1, 115.9, 113.9, 113.6, 110.7, 69.6, 66.0, 62.1, 52.4, 50.3; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4FClBr$ $[M+H]^+$ 557.0279, found 557.0283.

(±)-methyl (*3R, 3′S, 4′R, 5′S*)-5-fluoro-3'-(4-nitrobenzoyl)-2-oxo-4'-(p-tolyl)spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5n):

[1 mmol scale] 382.2 mg, 84%, a yellow solid, m.p.225.6-226.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3198, 3080, 2953, 1741, 1713, 1671, 1521, 1346, 1182, 747, 697 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.37 (br s, 1 H), 8.11 (d, *J*= 8.8 Hz, 2 H), 7.59 (d, *J*= 8.8 Hz, 2 H), 7.37 (d, *J*= 8.0 Hz, 2 H), 7.14 (d, *J*= 8.0 Hz, 2 H), 6.97 (dd, *J*= 8.4, 2.8 Hz, 1 H), 6.89 (td, *J*= 9.0, 2.8 Hz, 1 H), 6.45 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.64 (d, *J*= 10.8 Hz, 1 H), 4.43 (dd, *J*= 10.8, 7.2 Hz, 1 H), 4.33 (ψt, *J*= 10.8 Hz, 1 H), 4.05 (d, *J*= 7.2 Hz, 1 H), 3.61 (s, 3 H), 2.25 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.3, 172.5, 138.2, 138.0, 135.7, 132.3, 132.0, 130.4, 129.8 129.1, 128.2, 116.1, 115.9, 113.9, 113.6, 110.7, 69.6, 66.0, 62.1, 52.4, 50.3; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_3O_6F$ $[M+H]^+$ 504.1571, found 504.1401.

(±)-methyl (*3R, 3′S, 4′R, 5′S*)-3'-benzoyl-4'-(4-methoxyphenyl)-5-methyl-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5o):

[1 mmol scale] 327.8 mg, 70%, a white solid, m.p. 185.0-185.7 °C, *dr> 20:1*; IR (thin film): ν_{max} 3192, 2947, 2841, 1729, 1702, 1677, 1512, 1248, 1025, 822, 683 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.14 (br s, 1 H), 7.44 (d, *J*= 8.8 Hz, 2 H), 7.39 (d, *J*= 7.2 Hz, 2 H), 7.32 (t, *J*= 7.4 Hz, 1 H), 7.16 (ψt, *J*= 7.8 Hz, 1 H), 7.08 (s, 1 H), 6.86 (d, *J*= 8.8 Hz, 2 H), 6.84 (d, *J*= 8.0 Hz, 1 H), 6.39 (d, *J*= 8.0 Hz, 1 H), 4.68 (d, *J*= 10.8 Hz, 1 H), 4.49 (d, *J*= 10.8 Hz, 1 H), 4.32 (ψt, *J*= 10.8 Hz, 1 H), 3.76 (s, 3 H), 3.67 (s, 3 H), 2.98 (br s, 1 H), 2.29 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.4, 181.1, 173.2, 158.8, 137.6, 137.0, 133.0, 132.8, 130.2, 129.9, 129.1, 128.6, 128.2, 127.7, 126.4, 114.2, 109.4, 69.9, 66.5, 63.2, 55.2, 52.8, 52.3, 21.1; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_5Na$ $[M+Na]^+$ 493.1739, found 493.1726.

(±)-methyl (*3R, 3′S, 4′R, 5′S*)-3'-benzoyl-5-methyl-4'-(4-nitrophen-yl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5p):

[1 mmol scale] 444.4 mg, 92%, a yellow solid, m.p. 190-190.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3381, 3275, 3108, 2924, 2858, 1774, 1718, 1688, 1652, 1518, 1348, 1162, 772, 730 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.37 (br s, 1 H), 8.21 (d, *J*= 8.8 Hz, 2 H), 7.78 (d, *J*= 8.8 Hz, 2 H), 7.44 (t, *J*= 7.2 Hz, 1 H), 7.38 (d, *J*= 7.2 Hz, 2 H), 7.26 (ψt, *J*= 7.8 Hz, 2 H), 6.82 (dd, *J*= 8.0, 0.8 Hz, 1 H), 6.36 (d, *J*= 7.6 Hz, 1 H), 4.69 (d, *J*= 10.0 Hz, 1 H), 4.54 (dd, *J*= 10.4, 7.0 Hz, 1 H), 4.48 (ψt, *J*= 10.0 Hz, 1 H), 3.89 (d, *J*= 7.0 Hz, 1 H), 3.60 (s, 3 H), 2.19 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.6, 180.1, 172.1, 147.6, 147.2, 139.6, 136.8, 133.7, 130.9, 130.0, 129.8 129.0, 128.8, 127.8, 126.7, 124.3, 109.5, 69.3, 65.8, 62.1, 52.5, 51.2, 21.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_3O_4$ $[M+H]^+$ 486.1665, found 486.1489.

(±)-methyl (*3R, 3′S, 4′R, 5′S*)-5-methyl-3'-(4-methylbenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5q):

[1 mmol scale] 381.6 mg, 84%, a white solid, m.p. 186.9-187.4 °C, *dr*> 20:1; IR (thin film): ν_{max} 3381, 3203, 3036, 2924, 2863, 1741, 1707, 1671, 1490, 1168, 808, 703 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.62 (br s, 1 H), 7.52 (d, *J*=7.2 Hz, 2 H), 7.33-7.29 (m, 4 H), 7.21 (t, *J*= 7.4 Hz, 1 H), 7.09 (s, 1 H), 6.94 (d, *J*= 7.6 Hz, 2 H), 6.85 (d, *J*= 7.6 Hz, 1 H), 6.45 (d, *J*= 8.0 Hz, 1 H), 4.71 (d, *J*= 10.8 Hz, 1 H), 4.55 (d, *J*= 10.8 Hz, 1 H), 4.38 (ψt, *J*= 10.8 Hz, 1 H), 3.65 (s, 3 H), 2.87 (br s, 1 H), 2.29 (s, 3 H), 2.21 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.7, 181.4, 173.1, 143.9, 138.4, 137.8, 134.4, 132.8, 129.9, 128.9, 128.7, 128.6, 128.1, 127.9, 127.4, 126.4, 109.6, 70.2, 66.5, 62.9, 53.6, 52.3, 21.5, 21.1; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_4Na$ $[M+Na]^+$ 477.1790, found 477.1798.

(±)-methyl (*3R, 3′S, 4′R, 5′S*)-4'-(4-methoxyphenyl)-5-methyl-3'-(4-methylbenzoyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxyl ate (5r):

[1 mmol scale] 356.2 mg, 74%, a white solid, m.p. 201.3-201.9 °C, *dr> 20:1*; IR (thin film): ν_{max} 3315, 2913, 1724, 1697, 1665, 1515, 1254, 1034, 725, 644 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.34 (br s, 1 H), 7.39-7.30 (m, 4 H), 7.08 (d, *J*= 8.0 Hz, 2 H), 6.94 (s, 1 H), 6.88 (d, *J*= 7.6 Hz, 2 H), 6.83 (d, *J*= 8.0 Hz, 1 H), 6.40 (d, *J*= 8.0 Hz, 1 H), 4.29 (ψt, *J*= 10.4 Hz, 1 H), 3.68 (d, *J*= 7.6 Hz, 1 H), 3.59 (s, 3 H), 2.23 (s, 3 H), 2.19 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.1, 180.8, 172.9, 158.7, 144.1 139.5, 134.7, 131.1, 130.8, 129.7, 129.5, 129.4, 129.3, 127.9, 126.9, 114.6, 109.5, 69.5, 66.2, 61.9 55.4, 52.3, 51.6, 21.5, 21.2; HRMS (ESI): *m/z* calcd for $C_{29}H_{29}N_2O_5$ $[M+H]^+$ 485.2076, found 485.1905.

(±)-methyl (*3R, 3′S, 4′R, 5′S*)-3'-(4-fluorobenzoyl)-4'-(4-methoxyph enyl)-5-methyl-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxyla te (5s):

[1 mmol scale] 412.6 mg, 85%, a white solid, m.p. 166.9-167.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3287, 2930, 1774, 1722, 1682, 1654, 1512, 1165, 727 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.33 (br s, 1 H), 7.46 (dd, *J*= 8.0, 6.4 Hz, 2 H), 7.38 (d, *J*= 8.8 Hz, 2 H), 7.11 (ψt, *J*= 8.8 Hz, 2 H), 6.90 (d, *J*= 8.4 Hz, 2 H), 6.83 (dd, *J*= 7.6, 0.8 Hz, 2 H), 6.40 (d, *J*= 7.6 Hz, 1 H), 4.51 (d, *J*= 10.4 Hz, 1 H), 4.40 (dd, *J*= 10.4, 7.6 Hz, 1 H), 4.30 (ψt, *J*= 10.4 Hz, 1 H), 3.72 (d, *J*= 7.6 Hz, 1 H), 3.70 (s, 3 H), 2.60 (s, 3 H), 2.20 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.5, 180.7, 172.8, 158.8, 139.4 133.9, 134.7, 131.0, 130.9, 130.8, 130.7, 129.7, 129.4, 126.7, 116.0, 115.8, 114.5, 109.5, 69.4, 66.2, 62.2, 55.4, 52.3, 51.1, 21.2; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_5F$ $[M+H]^+$ 489.1826, found 489.1646.

(±)-methyl (*3R, 3′S, 4′R, 5′S*)-3'-(4-chlorobenzoyl)-5-methyl-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5t):

[1 mmol scale] 419.6 mg, 89%, a white solid, m.p. 110.2-111.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3281, 1732, 1688, 1630, 1588, 1488, 1165, 1092, 814 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.16 (br s, 1 H), 7.51 (d, *J*= 7.2 Hz, 2 H), 7.35-7.32 (m, 4 H), 7.24 (t, *J*= 7.4 Hz, 1 H), 7.15 (d, *J*= 8.8 Hz, 2 H), 7.06 (s, 1 H), 6.87 (d, *J*= 8.0 Hz, 1 H), 6.44 (d, *J*= 8.0 Hz, 1 H), 4.67 (d, *J*= 10.8 Hz, 1 H), 4.54 (d, *J*= 10.8 Hz, 1 H), 4.35 (ψt, *J*= 10.8 Hz, 1 H), 3.66 (s, 3 H), 2.29 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.2, 180.9, 173.0, 139.5, 138.2, 137.6, 135.3, 133.0, 130.1, 129.1, 128.8, 128.5, 128.3, 128.1, 127.5, 126.4, 109.6, 70.0, 66.5, 63.2, 53.4, 52.4, 21.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4ClNa$ $[M+Na]^+$ 497.1244, found 497.1247.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-4'-(4-chlorophen yl)-5-methyl-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5u):

[1 mmol scale] 413.0 mg, 81%, a white solid, m.p.110.9-111.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3328, 2955, 2911, 2850, 1730, 1680, 1491, 1090, 814 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.02 (br s, 1 H), 7.46 (d, *J*= 8.4 Hz, 2 H), 7.34-7.27 (m, 4 H), 7.16 (d, *J*= 8.4 Hz, 2 H), 7.03 (s, 1 H), 6.88 (d, *J*= 7.6 Hz, 1 H), 6.43 (d, *J*= 8.0 Hz, 1 H), 4.58 (d, *J*= 10.8 Hz, 1 H), 4.51 (d, *J*= 10.8 Hz, 1 H), 4.32 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 2.49 (br s, 1 H), 2.29 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 190.2, 176.0, 167.9, 134.9, 132.8, 132.0, 130.4, 128.6, 128.3, 125.5, 124.7, 124.3, 124.2, 123.8, 123.3, 121.6, 104.8, 65.1, 61.4, 58.5, 47.9, 47.7, 16.3; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4Cl_2$ $[M+H]^+$ 509.1035, found 509.0846.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-methyl-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5v):

[1 mmol scale] 446.7 mg, 86%, a white solid, m.p.168.3-169.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3337, 3181, 2947, 2847, 1735, 1713, 1682, 1490, 1209, 1000, 816, 761, 697 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.35 (br s, 1 H), 7.48 (d, *J*= 8.4 Hz, 4 H), 7.36-7.29 (m, 4 H), 7.22 (ψt, *J*= 7.6 Hz, 1 H), 6.93 (s, 1 H), 6.84 (d, *J*= 8.0 Hz, 1 H), 6.41 (d, *J*= 7.6 Hz, 1 H), 4.42 (d, *J*= 10.4 Hz, 1 H), 4.41(dd, *J*= 10.4, 7.6 Hz, 1 H), 4.32 (ψt, *J*= 10.4 Hz, 1 H), 3.71 (d, *J*= 7.6 Hz, 1 H), 2.20 (s, 3 H), 1.06 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.1, 180.6, 172.1, 139.5, 139.3, 136.0, 131.9, 131.0, 129.9, 129.7, 129.2, 129.1, 128.5, 127.8, 127.6, 126.6, 109.5, 69.4, 66.4, 62.2, 61.0, 52.0, 21.1, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_2O_4Br$ $[M+H]^+$ 519.0919, found 519.0727.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-methyl-2-oxo-4'-(p-tolyl)spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5w):

[1 mmol scale] 461.0 mg, 87%, a white solid, m.p. 211.3-212.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3309, 3114, 2930, 1780, 1727, 1693, 1162, 769, 725 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.32 (br s, 1 H), 7.48 (d, *J*= 8.4 Hz, 2 H), 7.33 (d, *J*= 8.0 Hz, 2 H), 7.28 (d, *J*= 8.4 Hz, 2 H), 7.13 (d, *J*= 8.0 Hz, 2 H), 6.91 (s, 1 H), 6.83 (d, *J*= 7.6 Hz, 1 H), 6.39 (d, *J*= 7.6 Hz, 1 H), 4.50 (d, *J*= 10.4 Hz, 1 H), 4.40 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.29 (ψt, *J*= 10.4 Hz, 1 H), 3.75 (d, *J*= 7.2 Hz, 1 H), 3.59 (s, 3 H), 2.24 (s, 3 H), 2.20 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.2, 180.6, 172.7, 139.4 136.8, 136.2, 136.0, 131.9, 131.0, 129.8, 129.7, 129.6, 129.3, 128.2, 127.8, 126.7, 109.5, 69.4, 66.2, 62.2, 52.4, 51.4, 21.2, 21.0; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_4Br$ $[M+H]^+$ 533.1076, found 533.1023.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(4-methoxyph enyl)-5-methyl-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxyla te (5x):

[1 mmol scale] 398.4 mg, 73%,a white solid, m.p.215.4-216.2 °C, *dr> 20:1*; IR (thin film): ν_{max} 3315, 3170, 2947, 2847, 1730, 1699, 1679, 1515, 1248, 819 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.34 (br s, 1 H), 7.41-7.33 (m, 4 H), 6.93-6.89 (m, 3 H), 6.85 (d, *J*= 7.6 Hz, 1 H), 6.41 (d, *J*= 7.6 Hz, 1 H), 4.52 (d, *J*= 10.4 Hz, 1 H), 4.42 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.32 (ψt, *J*= 10.4 Hz, 1 H), 3.75 (d, *J*= 7.2 Hz, 1 H), 3.71 (s, 3 H), 3.61 (s, 3 H), 2.20 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.0, 180.7, 172.8, 158.8, 139.4, 138.6, 135.8, 131.0, 130.9, 129.8, 129.6, 129.4, 129.3, 128.9, 126.7, 114.5, 109.5, 69.4, 66.3, 62.3, 55.4, 52.3, 51.1, 21.1; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_5Br$ $[M+H]^+$ 549.1025, found 549.0821.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-5-methyl-3'-(4-nitrobenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5y):

[1 mmol scale] 353.4 mg, 73%, a yellow solid, m.p. 132.0-132.7 °C, *dr> 20:1*; IR (thin film): ν_{max} 3292, 3114, 2930, 1768, 1725, 1685, 1346, 1162, 822, 741 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.57 (br s, 1 H), 8.00 (d, *J*= 8.8 Hz, 2 H), 7.53 (d, *J*= 7.2 Hz, 2 H), 7.48 (d, *J*= 8.8 Hz, 2 H), 7.35 (ψt, *J*= 7.6 Hz, 2 H), 7.25 (t, *J*= 7.4 Hz, 1 H), 7.04 (s, 1 H), 6.87 (d, *J*= 7.6 Hz, 1 H), 6.42 (d, *J*= 7.6 Hz, 1 H), 4.70 (d, *J*= 10.8 Hz, 1 H), 4.55 (d, *J*= 10.8 Hz, 1 H), 4.34 (ψt, *J*= 10.8 Hz, 1 H), 3.66 (s, 3 H), 3.05 (br s, 1 H), 2.29 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 181.0, 172.8, 150.0, 141.4, 137.9, 137.7, 133.2, 130.4, 128.9, 128.6, 128.1, 128.0, 127.7, 126.3, 123.3, 109.8, 69.8, 66.5, 64.0, 53.2, 52.4, 21.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_3O_4Na$ $[M+Na]^+$ 486.1665, found 486.1662.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-5-chloro-4'-(4-methoxyph enyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5z):

[1 mmol scale] 223.6 mg, 48%,a white solid, m.p. 205.9-206.7 °C, *dr> 20:1*; IR (thin film): ν_{max} 3370, 3275, 3108, 2974, 2919, 1768, 1713, 1693, 1167, 772, 719 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 7.97 (br s, 1 H), 7.43 (d, *J*= 7.6 Hz,

4 H), 7.36 (t, *J*= 7.6-7.2 Hz, 1 H), 7.28(s, 1 H), 7.19 (ψt, *J*= 7.6 Hz, 2 H), 7.04 (dd, *J*= 8.4, 1.6 Hz, 1 H), 6.86 (d, *J*= 8.8 Hz, 2 H), 6.44 (d, *J*= 8.0 Hz, 1 H), 4.68 (d, *J*= 10.8 Hz, 1 H), 4.50 (d, *J*= 10.4 Hz, 1 H), 4.32 (ψt, *J*= 10.8 Hz, 1 H), 3.77 (s, 3 H), 3.69 (s, 3 H), 2.68 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.9, 180.5, 172.9, 158.9, 138.5, 136.8, 133.2, 130.3, 129.9, 129.6, 129.1, 128.6, 128.4, 127.8, 126.4, 114.3, 110.6, 69.9, 66.3, 63.1, 55.2, 52.5, 52.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_2O_5Cl$ $[M+H]^+$ 493.1168, found 493.1530.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-chloro-4'-(4-chlorophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (5aa):

[1 mmol scale] 446.8 mg, 82%,a white solid, m.p. 123.1-123.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3315, 3164, 3114, 2854, 1766, 1716, 1688, 1287, 1092, 827, 791, 716 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 (br s, 1 H), 7.37 (d, *J*= 8.4 Hz, 2 H), 7.29 (d, *J*= 8.8 Hz, 2 H), 7.24 (d, *J*= 8.8 Hz, 2 H), 7.21 (d, *J*= 8.4 Hz, 2 H), 7.15 (d, *J*= 1.6 Hz, 1 H), 7.01 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.87 (td, *J*= 9.0, 2.4 Hz, 1 H), 6.53 (dd, *J*= 8.4, 2.0 Hz, 1 H), 6.43 (d, *J*= 8.4 Hz, 1 H), 4.50 (d, *J*= 10.8 Hz, 1 H), 4.45 (d, *J*= 10.8 Hz, 1 H), 4.25 (ψt, *J*= 10.8 Hz, 1 H), 3.61 (s, 3 H), 2.83 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 193.7, 179.1, 171.4, 137.4, 135.3, 134.3, 132.5, 130.7, 128.9, 128.7, 128.4, 128.2, 128.1, 127.8, 127.7, 125.4, 109.9, 68.7, 65.0, 62.0, 51.6, 51.2; HRMS (ESI): *m/z* calcd for $C_{26}H_{20}N_2O_4Cl_2Br$ $[M+H]^+$ 572.9984, found 572.9763.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-chloro-4'-(4-methoxyphenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxyla te (5ab):

[1 mmol scale] 285.8 mg, 53%,a white solid, m.p. 217.9-218.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3309, 3114, 2846, 1766, 1729, 1710, 1515, 1251, 1034, 825, 772, 716 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (br s, 1 H), 7.41 (d, *J*= 8.8 Hz, 2 H), 7.35 (d, *J*= 8.8 Hz, 2 H), 7.30 (d, *J*= 8.8 Hz, 2 H), 7.26 (s, 1 H), 7.08 (dd, *J*= 8.4, 1.6 Hz, 1 H), 6.86 (d, *J*= 8.8 Hz, 2 H), 6.50 (d, *J*= 8.0 Hz, 1 H), 4.61 (d, *J*= 10.8 Hz, 1 H), 4.49 (d, *J*= 10.8 Hz, 1 H), 4.30 (ψt, *J*= 10.8 Hz, 1 H), 3.77 (s, 3 H), 3.68 (s, 3 H), 2.80 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.0, 180.4, 172.9, 159.0, 138.4, 135.5, 131.7, 130.1, 129.8, 129.6, 129.3, 129.0, 128.8, 128.5, 126.4, 114.3, 110.8, 69.8, 66.3, 63.0, 55.2, 52.5, 52.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_5ClBr$ $[M+H]^+$ 569.0479, found 569.0259.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-2-oxo-4'-phenylspiro[indolin e-3,2'-pyrrolidine]-5'-carboxylate (6a):

[1 mmol scale] 286.5 mg, 66%, a white solid, m.p. 148.1-149.2 °C, *dr> 20:1*; IR (thin film): ν_{max} 3091, 2980, 2930, 1746, 1713, 1638, 1342, 1184, 747, 688 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (br s, 1 H), 7.53 (d, *J*= 7.6 Hz, 2 H), 7.39 (d, *J*= 7.2 Hz, 2 H), 7.32 (ψt, *J*= 7.2 Hz, 2 H), 7.29 (d, *J*= 7.6 Hz, 1 H), 7.27 (d, *J*= 7.6 Hz, 1 H), 7.22 (t, *J*= 7.2 Hz, 1 H), 7.15 (ψt, *J*= 7.6 Hz, 2 H), 7.05 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.98 (t, *J*= 7.6 Hz, 1 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.76 (d, *J*= 10.8 Hz, 1 H), 4.52 (d, *J*= 10.8 Hz, 1 H), 4.35 (ψt, *J*= 10.8 Hz, 1 H), 4.24-4.15 (m, 1 H), 4.10-4.02 (m, 1 H), 2.79 (br s, 1 H), 1.08 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.3, 181.3, 172.6, 140.3, 138.3, 136.8, 133.1, 133.0, 128.7, 128.5, 128.22, 128.21, 127.7, 127.4, 125.8, 123.2, 109.8, 70.1, 66.6, 63.2, 61.3, 53.8, 14.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{25}N_2O_4$ $[M+H]^+$ 441.1814, found 441.1810.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-2-oxo-4'-(*p*-tolyl)spiro[indoli ne-3,2'-pyrrolidine]-5'-carboxylate (6b):

[1 mmol scale] 345.4 mg, 76%, a white solid, m.p.177.7-178.7 °C, *dr> 20:1*; IR (thin film): ν_{max} 3198, 3103, 2986, 2905, 1724, 1682, 1474, 1184, 747 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (br s, 1 H), 7.42-7.38 (m, 4 H), 7.32 (t, *J*= 7.6 Hz, 1 H), 7.28 (d, *J*= 7.6 Hz, 1 H), 7.15 (ψt, *J*= 8.0 Hz, 2 H), 7.13 (d, *J*= 8.0 Hz, 2 H), 7.06 (td, *J*= 7.6, 1.2 Hz, 1 H), 6.99 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.53 (d, *J*= 7.6 Hz, 1 H), 4.74 (d, *J*= 10.8 Hz, 1 H), 4.48 (d, *J*= 10.8 Hz, 1 H), 4.32 (ψt, *J*= 10.8 Hz, 1 H), 4.23-4.16 (m, 1 H), 4.12-4.06 (m, 1 H), 2.94 (br s, 1 H), 2,29 (s, 3 H), 1.11 (t, *J*= 6.8 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.4, 181.3, 172.7, 140.2, 137.0, 136.9, 135.2, 133.1, 129.6, 129.4, 128.6, 128.2, 128.0, 127.7, 125.8, 123.2, 109.8, 70.1, 66.6, 63.2, 61.3, 53.4, 21.1, 14.1; HRMS (ESI): *m/z* calcd for $C_{28}H_{27}N_2O_4$ $[M+H]^+$ 455.1971, found 455.1802.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(4-fluorophenyl)-2-oxospir o[indoline-3,2'-pyrrolidine]-5'-carboxylate (6c):

[1 mmol scale] 316.4 mg, 69%, a white solid, m.p.90.1-91.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3328, 3065, 2989, 2934, 1738, 1680, 1514, 1233, 752 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.75 (br s, 1 H), 7.51 (d, *J*= 8.6, 6.4 Hz, 2 H), 7.40 (d, *J*= 7.2 Hz, 2 H), 7.32 (td, *J*= 7.2, 0.8 Hz, 1 H), 7.25 (d, *J*= 7.2 Hz, 1 H), 7.16 (ψt, *J*= 7.6 Hz, 1 H), 7.07-6.96 (m, 4 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.69 (d, *J*= 10.8 Hz, 1 H), 4.49 (d, *J*= 10.8 Hz, 1 H), 4.34 (ψt, *J*= 10.8 Hz, 1 H), 4.23-4.16 (m, 1 H), 4.12-4.05 (m, 1 H), 2.90 (br s, 1 H), 1.10 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.3, 181.3, 172.4, 140.3, 136.7, 134.1, 133.2, 129.8, 129.7, 128.3 (2C), 127.7, 125.7, 123.2, 115.7, 115.5, 109.9, 70.0, 66.5, 63.2, 61.4, 53.0; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_2O_4F$ $[M+H]^+$ 459.1720, found 459.1545.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(4-methoxyphenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6d):

[1 mmol scale] 360.0 mg, 77%,a white solid, m.p.167.9-177.9 °C, *dr> 20:1*; IR (thin film): ν_{max} 3309, 3164, 2980, 2935, 2830, 1741, 1718, 1679, 1515, 1239, 752 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.41 (br s, 1 H), 7.45 (t, *J*= 7.2 Hz, 1 H), 7.37 (d, *J*= 7.2 Hz, 2 H), 7.36 (d, *J*= 8.4 Hz, 2 H), 7.27 (ψt, *J*= 7.8 Hz, 2 H), 7.10 (d, *J*= 7.6 Hz, 1 H), 7.01 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.89 (d, *J*= 7.2 Hz, 2 H), 6.87 (ψt, *J*= 7.2 Hz, 1 H), 6.47 (d, *J*= 7.6 Hz, 1 H), 4.54 (d, *J*= 10.4 Hz,

1 H), 4.34 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.27 (ψt, *J*= 10.4 Hz, 1 H), 4.12-3.92 (m, 2 H), 3.70 (s, 3 H), 1.07 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.8, 180.7, 172.3, 158.8, 141.9, 136.9, 133.7, 131.0, 129.5, 129.4, 128.9, 127.7, 126.2, 122.1, 114.5, 109.7, 69.3, 66.3, 62.1, 60.1, 55.5, 51.6, 14.5; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_5Na$ $[M+Na]^+$ 493.1739, found 493.1740.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-methylbenzoyl)-2-oxo-4'-phenylsp iro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6e):

[1 mmol scale] 300.7 mg, 66%, a white solid, m.p.179.0-180.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3298, 3041, 2986, 2941, 1730, 1705, 1674, 1471, 1181, 758, 730 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.84 (br s, 1 H), 7.52 (d, *J*= 7.2 Hz, 2 H), 7.33 (d, *J*= 8.0 Hz, 2 H), 7.31-7.26 (m, 3 H), 7.21 (t, *J*= 7.2 Hz, 1 H), 7.06 (t, *J*= 7.6 Hz, 1 H), 6.99 (d, *J*= 7.6 Hz, 1 H), 6.94 (d, *J*= 8.0 Hz, 2 H), 6.58 (d, *J*= 7.6 Hz, 1 H), 4.75 (d, *J*= 10.8 Hz, 1 H), 4.51 (d, *J*= 10.8 Hz, 1 H), 4.36 (ψt, *J*= 10.8 Hz, 1 H), 4.21-4.15 (m, 1 H), 4.10-4.02 (m, 1 H), 2.84 (br s, 1 H), 1.08 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.8, 181.5, 172.6, 144.0, 140.3, 138.4, 134.3, 129.6, 129.0, 128.7, 128.6, 128.2, 127.9, 127.3, 125.8, 123.2, 109.9, 70.2, 66.6, 62.8, 61.3, 54.0, 21.5, 14.1; HRMS (ESI): *m/z* calcd for $C_{28}H_{27}N_2O_4$ $[M+H]^+$ 455.1971, found 455.1934.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-(4-methylbenzoyl)-2-oxo-4'-(*p*-tolyl)spi ro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6f):

[1 mmol scale] 403.0 mg, 86%, a white solid, m.p.186.5-187.8 °C, *dr= 16:1*; IR (thin film): ν_{max} 3209, 3092, 3030, 1744, 1716, 1674, 1468, 1182, 750 cm^{-1}; major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.20 (br s, 1 H), 7.41 (d, *J*= 8.0 Hz, 2 H), 7.34 (d, *J*= 8.4 Hz, 2 H), 7.30 (d, *J*= 7.2 Hz, 1 H), 7.10 (d, *J*= 8.0 Hz, 2 H), 7.04 (t, *J*= 7.6 Hz, 1 H), 6.96 (d, *J*= 7.6 Hz, 1 H), 6.92 (d, *J*= 8.4 Hz, 2 H), 6.58 (d, *J*= 7.6 Hz, 1 H), 4.74 (d, *J*= 10.8 Hz, 1 H), 4.50 (d, *J*= 10.8 Hz, 1 H), 4.36 (ψt, *J*= 10.8 Hz, 1 H), 4.21-4.15 (m, 1 H), 4.10-4.02 (m, 1 H), 3.05 (br s, 1 H), 2.26 (s, 3 H), 2.17 (s, 3 H), 1.10 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.8, 181.7, 172.7, 144.0, 140.5, 136.9, 135.3, 134.4, 129.6, 129.4, 129.0, 128.7, 128.0, 127.9, 125.8, 123.1, 110.0, 70.3, 66.6, 62.8, 61.3, 53.6, 21.5, 21.1, 14.1; HRMS (ESI): *m/z* calcd for $C_{29}H_{29}N_2O_4$ $[M+H]^+$ 469.2127, found 469.2128.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-4'-(4-chlorophenyl)-3'-(4-methylbenzo yl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6g):

[1 mmol scale] 355.4 mg, 73%, a white solid, m.p. 107.5-109.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3331, 2980, 2930, 1732, 1680, 1621, 1184, 747 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.48 (br s, 1 H), 7.50 (d, *J*= 8.4 Hz, 2 H), 7.40 (d, *J*= 8.4 Hz, 2 H), 7.34 (d, *J*= 8.4 Hz, 2 H), 7.13 (d, *J*= 7.6 Hz, 1 H), 7.08 (d, *J*= 8.4 Hz, 2 H), 7.03 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.88 (ψt, *J*= 7.6 Hz, 1 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.56 (d, *J*= 10.4 Hz, 1 H), 4.42 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.35 (ψt, *J*= 10.4 Hz, 1 H), 4.14-4.01 (m, 2 H), 3.75 (d, *J*= 7.2 Hz, 1 H), 2.23 (s, 3 H), 1.07 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.7, 172.0, 144.2, 142.0, 138.5, 134.4, 132.2, 130.4, 129.6, 129.4, 129.2, 129.1, 128.0, 128.9, 126.2, 122.2, 109.8,69.4, 66.1, 61.9, 61.0, 51.6, 14.4; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}N_2O_4ClNa$ $[M+Na]^+$ 511.1401, found511.1402.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-4'-(4-methoxyphenyl)-3'-(4-methylbenz oyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6h):

[1 mmol scale] 352.5 mg, 73%, a white solid, m.p.187.5-188.5 °C, *dr> 20:1*; IR (thin film): ν_{max} 3270, 3181, 3092, 2858, 1727, 1675, 1471, 1187, 750 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.43 (br s, 1 H), 7.43 (d, *J*= 8.8 Hz, 2 H), 7.33 (d, *J*= 8.0 Hz, 2 H), 7.29 (d, *J*= 7.2 Hz, 1 H), 7.06 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.99 (ψt, *J*= 7.6 Hz, 1 H), 6.95 (d, *J*= 8.0 Hz, 2 H), 6.85 (d, *J*= 8.8 Hz, 2 H), 6.56 (d, *J*= 7.6 Hz, 1 H), 4.69 (d, *J*= 10.8 Hz, 1 H), 4.46 (d, *J*= 10.8 Hz, 1 H), 4.31 (ψt, *J*= 10.8 Hz, 1 H), 4.24-4.16 (m, 1 H), 4.11-4.04 (m, 1 H), 3.75 (s, 3 H), 2.23 (br s, 1 H), 1.11 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.8, 181.2, 172.7, 158.8, 144.0, 140.2, 134.4, 130.3, 129.6, 129.2, 129.0, 128.6, 127.9, 125.9, 123.2, 114.1, 109.8, 70.1, 66.5, 62.8, 61.3, 55.2, 53.3, 21.5, 14.1; HRMS (ESI): *m/z* calcd for $C_{29}H_{29}N_2O_5$ $[M+H]^+$ 485.2076, found 485.1992.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-fluorobenzoyl)-4'-(4-methoxyphen yl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6i):

[1 mmol scale] 393.0 mg, 81%, a white solid, m.p. 129.0-130.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3342, 3214, 2936, 2846, 1724, 1680, 1515, 1187, 855, 750 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (br s, 1 H), 7.45 (d, *J*= 8.8 Hz, 2 H), 7.43 (d, *J*= 8.4 Hz, 2 H), 7.27 (d, *J*= 7.2 Hz, 1 H), 7.06 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.99 (ψt, *J*= 7.6 Hz, 1 H), 6.86 (d, *J*= 8.4 Hz, 1 H), 6.83 (d, *J*= 8.4 Hz, 2 H), 6.57 (d, *J*= 7.6 Hz, 1 H), 4.66 (d, *J*= 10.8 Hz, 1 H), 4.47 (d, *J*= 10.8 Hz, 1 H), 4.30 (ψt, *J*= 10.8 Hz, 1 H), 4.22-4.15 (m, 1 H), 4.12-4.06 (m, 1 H), 3.76 (s, 3 H), 2.77 (br s, 1 H), 1.11 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 190.1, 176.5, 167.8, 154.1, 135.4, 128.6, 125.6, 125.4, 125.0, 124.4, 123.7, 121.1, 118.5, 110.8, 110.5, 109.4, 105.1, 65.2, 61.8, 58.4, 56.6, 50.5, 48.4, 9.4; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_5F$ $[M+H]^+$ 489.1826, found 489.1826.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-4'-(4-chlorophenyl) -2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6j):

[1 mmol scale] 442.6 mg, 87%, a white solid, m.p.99.8-100.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3287, 2986, 2891, 1732, 1688, 1337, 1086, 839, 761 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.47 (br s, 1 H), 7.53 (d, *J*= 8.4 Hz, 2 H), 7.41 (d, *J*= 8.4 Hz, 2 H), 7.39 (d, *J*= 7.6 Hz, 2 H), 7.34 (d, *J*= 7.6 Hz, 2 H), 7.11 (d, *J*= 7.2 Hz, 1 H), 7.05 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.89 (ψt, *J*= 7.6 Hz, 1 H), 6.53 (d, *J*= 7.6 Hz, 1 H), 4.58 (d, *J*= 10.4 Hz, 1 H), 4.43 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.34 (ψt, *J*= 10.4 Hz, 1 H), 4.15-4.02 (m, 2 H), 3.80 (d, *J*= 7.2 Hz, 1 H), 1.08 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.8, 180.5, 171.9, 141.9, 138.7, 138.3, 135.5, 132.3, 130.4, 129.7, 129.6, 129.1, 129.0, 128.9, 126.0, 122.2, 109.8,69.3, 66.1, 62.2, 61.0, 51.1, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4Cl_2$ $[M+H]^+$ 509.1035, found 509.1039.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-4'-(4-methoxyph enyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6k):

[1 mmol scale] 387.8 mg, 77%, a white solid, m.p. 100.8-102.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3320, 2947, 2841, 1732, 1677, 1618, 1513, 1251, 1181, 839, 755 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.91 (br s, 1 H), 7.44 (t, *J*= 8.4 Hz, 1 H), 7.35 (d, *J*= 8.4 Hz, 2 H), 7.27 (d, *J*= 7.6 Hz, 2 H), 7.13 (d, *J*= 8.4 Hz, 2 H), 7.06 (ψt, *J*= 7.6 Hz, 1 H), 6.98 (ψt, *J*= 7.6 Hz, 1 H), 6.86 (d, *J*= 8.8 Hz, 2 H), 6.57 (d, *J*= 7.6 Hz, 1 H), 4.66 (d, *J*= 10.8 Hz, 1 H), 4.48 (d, *J*= 10.4 Hz, 1 H), 4.31 (ψt, *J*= 10.4 Hz, 1 H), 4.23-4.17 (m, 1 H), 4.10-4.04 (m, 1 H), 3.74 (s, 3 H), 2.92 (br s, 1 H), 1.10 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 181.3, 172.6, 158.9, 140.3, 139.5, 135.2, 130.1, 129.8, 129.2, 129.1, 128.6, 128.4, 125.8, 123.2, 114.2, 110.0, 70.0, 66.3, 66.5, 63.1, 61.3, 55.2, 53.1, 14.1; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}N_2O_5ClNa$ $[M+Na]^+$ 527.1350, found 527.1351.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-2-oxo-4'-phenylsp iro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6l):

[1 mmol scale] 480.4 mg, 93%,a white solid, m.p. 92.1-93.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3315, 2974, 2930, 1733, 1713, 1685, 1471, 1184, 750 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.44 (br s, 1 H), 7.48 (d, *J*= 8.8 Hz, 2 H), 7.47 (d, *J*= 7.2 Hz, 2 H), 7.34 (ψt, *J*= 7.2 Hz, 2 H), 7.28 (d, *J*= 8.4 Hz, 2 H), 7.24 (t, *J*= 7.2 Hz, 1 H), 7.11 (d, *J*= 7.2 Hz, 2 H), 7.04 (td, *J*= 7.6, 1.2 Hz, 1 H), 6.89 (td, *J*= 7.6, 0.8 Hz, 1 H), 4.58 (d, *J*= 10.4 Hz, 1 H), 4.40 (dd, *J*= 10.4, 5.2 Hz, 1 H), 4.32 (ψt, *J*= 10.4 Hz, 1 H), 4.14-3.98 (m, 2 H), 3.75 (d, *J*= 5.2 Hz, 1 H), 1.05 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.1, 180.6, 172.1, 141.9, 139.2, 135.9, 131.9, 129.7, 129.2, 129.1, 128.5, 127.9, 127.6, 126.1, 122.2, 109.8, 69.4, 66.4, 62.2, 61.0, 51.9, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4BrNa$ $[M+Na]^+$ 541.0739, found 541.0735.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'- (4-bromobenzoyl)-2-oxo-4'-(*p*-tolyl) spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6m):

[1 mmol scale] 330.5 mg, 62%, a white solid, m.p.153.9-154.9 °C, *dr> 20:1*; IR (thin film): ν_{max} 3197, 3097, 3036, 2903, 2847, 1728, 1678, 1473, 1187, 751, 696 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.45 (s, 1 H), 7.48 (d, *J*= 8.4 Hz, 2 H), 7.36 (d, *J*= 8.0 Hz, 2 H), 7.30 (ψt, *J*= 8.4 Hz, 2 H), 7.14 (d, *J*= 8.0 Hz, 2 H), 7.13 (d, *J*= 7.6 Hz, 1 H), 7.04 (td, *J*= 7.6, 1.2 Hz, 1 H), 6.91 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.53 (d, *J*= 7.6, 1 H), 4.57 (d, *J*= 10.8 Hz, 1 H), 4.40 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.31 (ψt, *J*= 10.4 Hz, 1 H), 4.12-3.99 (m, 2 H), 3.75 (d, *J*= 7.2 Hz, 1 H), 2.24 (s, 3 H), 1.08 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.1, 180.6, 172.2, 141.9, 136.7, 136.1, 135.9, 131.9, 129.6, 129.3, 128.3, 127.9, 126.1, 122.2, 109.8, 69.4, 66.4, 61.0, 51.7, 21.1, 14.4; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_4Br$ $[M+H]^+$ 533.1076, found 533.1023.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(4-fluorophenyl) -2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6n):

[1 mmol scale] 429.9 mg, 80%, a white solid, m.p. 105.1-106.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3331, 2988, 2928, 1729, 1682, 1511, 1233, 838, 753 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.48 (br s, 1 H), 7.55 (dd, *J*= 8.8, 6.8 Hz, 2 H), 7.49 (d, *J*= 8.4 Hz, 2 H), 7.32 (d, *J*= 8.4 Hz, 2 H), 7.18 (ψt, *J*= 8.4 Hz, 2 H), 7.13 (d, *J*= 7.2 Hz, 1 H), 7.06 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.90 (ψt, *J*= 7.6 Hz, 1 H), 6.54 (d, *J*= 7.6 Hz, 1 H), 4.58 (d, *J*= 10.4 Hz, 1 H), 4.42 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.36 (ψt, *J*= 10.4 Hz, 1 H), 4.17-4.09 (m, 1 H), 4.08-4.01 (m, 1 H), 3.78 (d, *J*= 7.2 Hz, 1 H), 1.08 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.1, 180.5, 172.0, 141.9, 135.9, 135.4, 131.9, 130.5, 130.4, 129.7, 129.1, 127.9, 126.0, 122.1, 115.9, 115.7, 109.8, 69.3, 66.3, 62.2, 61.0, 51.1, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4FBr$ $[M+H]^+$ 537.0825, found 537.0734.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-nitrobenzoyl)-2-oxo-4'-phenylspir o[indoline-3,2'-pyrrolidine]-5'-carboxylate (6o):

[1 mmol scale] 458.4 mg, 95%, a yellw solid, m.p.171.1-172.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3348, 3287, 1727, 1682, 1524, 1178, 866, 744 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.45 (br s, 1 H), 8.08 (d, *J*= 8.8 Hz, 2 H), 7.55 (d, *J*= 7.2 Hz, 2 H), 7.54 (d, *J*= 7.2 Hz, 2 H), 7.37 (ψt, *J*= 7.6 Hz, 2 H), 7.26 (t, *J*= 7.6 Hz, 1 H), 7.14 (d, *J*= 7.2 Hz, 2 H), 7.03 (td, *J*= 7.6, 1.2 Hz, 1 H), 6.91 (ψt, *J*= 7.6 Hz, 1 H), 6.47 (d, *J*= 7.6 Hz, 1 H), 4.71 (d, *J*= 10.4 Hz, 1 H), 4.46 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.36 (ψt, *J*= 10.4 Hz, 1 H), 4.14-4.01 (m, 2 H), 3.81 (d, *J*= 7.2 Hz, 1 H), 1.07 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.5, 180.4, 172.1, 150.0, 142.0, 141.5, 139.1, 129.8, 129.2, 129.1, 129.0, 128.6, 127.7, 126.0, 123.8, 122.3, 109.9, 69.3, 66.5, 63.0, 61.0, 51.7, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{24}N_3O_6$ $[M+H]^+$ 486.1665, found 486.1570.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-5-fluoro-2-oxo-4'-(*p*-tolyl) spiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6p):

[1 mmol scale] 351.6 mg, 75%, a white solid, m.p. 151.8-152.7°C, *dr> 20:1*; IR (thin film): ν_{max} 3326, 2986, 2936, 1741, 1713, 1679, 1484, 1189, 839 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.46 (brs, 1 H), 7.49-7.43 (m, 3 H), 7.35 (d, *J*= 8.0 Hz, 2 H), 7.30 (ψt, *J*= 7.6 Hz, 2 H), 7.13 (d, *J*= 8.0 Hz, 2 H), 6.98 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.87 (td, *J*= 8.4, 2.4 Hz, 1 H), 6.49 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.60 (d, *J*= 10.4 Hz, 1 H), 4.43-4.31 (m, 2 H), 4.14-4.00 (m, 2 H), 3.95 (d, *J*= 6.0 Hz, 1 H), 2.23 (s, 3 H), 1.08 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.6, 180.6, 172.3, 138.1, 138.0, 136.8, 136.7, 136.1, 133.9, 131.4, 131.3, 129.7, 129.0, 128.3, 127.8, 115.9, 115.7, 114.0, 113.8, 110.6, 110.5, 69.8, 62.0, 61.0, 51.4, 21.0, 14.4; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}N_2O_4FNa$ $[M+Na]^+$ 495.1696, found 495.1702.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-5-fluoro-4'-(4-fluorophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6q):

[1 mmol scale] 345.4 mg, 73%, a white solid, m.p. 107.5-109.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3387, 3281, 3103, 2980, 2930, 1718, 1688, 1654, 1167, 775, 719 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.47 (s, 1 H), 7.48 (d, *J*= 7.2 Hz, 2 H), 7.44 (d, *J*= 8.8 Hz, 2 H), 7.37 (d, *J*= 8.8 Hz, 2 H), 7.34 (ψt, *J*= 7.2 Hz, 2 H), 7.24 (t, *J*= 7.2Hz, 1 H), 6.99 (dd, *J*= 8.4, 2.4 Hz, 1 H),6.90 (td, *J*= 9.0, 2.8 Hz, 1 H), 6.53 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.62 (d, *J*= 10.4 Hz, 1 H), 4.43 (d, *J*= 10.4 Hz, 1 H), 4.37 (ψt, *J*= 10.4 Hz, 1 H), 4.15-3.99 (m, 3 H), 1.07 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.7, 180.4, 172.2, 139.1, 138.9, 138.1, 135.4, 131.2, 129.7, 129.1, 128.5, 127.7 116.1, 115.9, 114.0, 113.7, 110.7, 69.8, 66.4, 62.2, 61.0, 51.4, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4F_2$ $[M+H]^+$ 477.1626, found 477.1559.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-4'-(4-chlorophenyl)-5-fluoro-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6r):

[1 mmol scale] 390.9 mg, 79%, a white solid, m.p. 163.8-164.3 °C, *dr> 20:1*; IR (thin film): ν_{max} 3259, 2986, 2863, 1771, 1716, 1685, 1490, 1164, 816, 780, 739 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.48 (br s, 1 H), 7.53 (d, *J*= 8.4 Hz, 2 H), 7.52 (d, *J*= 8.4 Hz, 2 H), 7.40 (d, *J*= 8.8 Hz, 2 H), 7.36 (d, *J*= 8.8 Hz, 2 H), 6.97 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.91 (td, *J*= 8.4, 2.4 Hz, 1 H), 6.52 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.58 (d, *J*= 10.4 Hz, 1 H), 4.44-4.32 (m, 1 H), 4.36 (d, *J*= 10.4 Hz, 1 H), 4.15-3.99 (m, 3 H), 1.09 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.3, 171.9, 138.4, 135.7, 132.3, 132.0, 131.1, 130.5, 129.8, 129.0, 128.2, 116.1, 115.9, 113.9, 113.6, 110.7, 69.7, 66.1, 62.0, 50.6, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4FCl$ $[M+H]^+$ 493.1330, found 493.1310.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-5-fluoro-4'-(4-nitrophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6s):

[1 mmol scale] 358.9 mg, 71%, a yellow solid, m.p. 199.8-201.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3295, 3239, 2850, 1710, 1510, 1346, 1243, 862, 689 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.51 (br s, 1 H), 8.19 (d, *J*= 8.8 Hz, 2 H), 7.80 (dd, *J*= 8.2, 2.2 Hz, 2 H), 7.45-7.44 (m, 3 H), 7.29 (ψt, *J*= 7.2 Hz, 1 H), 6.86 (td, *J*= 9.0, 2.2 Hz, 1 H), 6.51-6.48 (m, 1 H), 4.69 (m, 1 H), 4.54-4.53 (m, 1 H), 4.13-4.00 (m, 3 H), 1.06 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.4, 180.5, 171.6, 147.1, 138.2, 136.6, 134.0, 130.1, 128.9, 130.5, 127.9, 124.1, 116.1, 115.8, 113.9, 113.7, 110.7, 110.6, 69.7, 65.9, 66.2, 62.2, 61.1, 51.0, 14.3; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_3O_6F$ [$M+H]^+$ 504.1571, found 504.1550.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorophenyl)-5-fluoro-3'-(4-methy lbenzoyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6t):

[1 mmol scale] 395.4 mg, 78%, a yellow solid, m.p. 194.2-195.2 °C, *dr> 20:1*; IR (thin film): ν_{max} 3259, 3042, 2991, 2874, 1710, 1677, 1604, 1488, 1231, 1020, 808, 750 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.51 (br s, 1 H), 8.19 (d, *J*= 8.8 Hz, 2 H), 7.80 (dd, *J*= 8.2, 2.2 Hz, 2 H), 7.45-7.44 (m, 3 H), 7.29 (ψt, *J*= 7.2 Hz, 1 H), 6.86 (td, *J*= 9.0, 2.2 Hz, 1 H), 6.51-6.48 (m, 1 H), 4.69 (m, 1 H), 4.54-4.53 (m, 1 H), 4.13-4.00 (m, 3 H), 1.06 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.4, 180.5, 171.6, 147.1, 138.2, 136.6, 134.0, 130.1, 128.9, 130.5, 127.9, 124.1, 116.1, 115.8, 113.9, 113.7, 110.7, 110.6, 69.7, 65.9, 66.2, 62.2, 61.1, 51.0, 14.3; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}N_2O_4FCl$ [$M+H]^+$ 507.1487, found 507.1466.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-5-fluoro-3'-(4-fluorobenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate(6u):

[1 mmol scale] 366.0 mg, 77%, a white solid, m.p. 190.1-191.5 °C, *dr> 20:1*; IR (thin film): ν_{max} 3270, 2991, 2869, 1713, 1685, 1635, 1507, 1490, 1242, 688 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.48 (s, 1 H), 7.55-7.50 (m, 3 H), 7.55-7.45 (m, 5 H), 7.31 (ψt, *J*= 8.4, 7.2 Hz, 2 H), 7.17 (ψt, *J*= 8.8 Hz, 2 H), 6.97 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.88 (td, *J*= 8.4, 2.4 Hz, 1 H), 6.50 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.60 (d, *J*= 10.4 Hz, 1 H), 4.41 (dd, *J*= 10.4, 6.4 Hz, 1 H), 4.38 (ψt, *J*= 10.4 Hz, 1 H), 4.14-4.02 (m, 2 H), 3.98 (d, *J*= 6.4 Hz, 1 H), 1.08 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.6, 180.6, 172.1, 138.1, 136.8, 135.4, 133.9, 131.2, 130.4, 130.3, 129.0, 127.8 116.0, 115.7, 114.0, 113.7, 110.6, 69.6, 66.2, 62.0, 61.0, 50.8, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4F_2$ $[M+H]^+$ 477.1622, found 477.1626.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-5-fluoro-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6v):

[1 mmol scale] 376.7 mg, 77%, a white solid, m.p. 102.8-104.2 °C, *dr> 20:1*; IR (thin film): ν_{max} 3303, 2980, 1729, 1720, 1685, 1487, 1092, 814, 752, 703 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.51 (d, *J*= 3.2 Hz, 1 H), 7.55 (d, *J*= 2.4 Hz, 2 H), 7.49-7.46 (m, 3 H), 7.41 (d, *J*= 8.4 Hz, 1 H), 7.32 (ψt, *J*= 7.4 Hz, 2 H), 7.18 (t, *J*= 8.8 Hz, 1 H), 6.99 (d, *J*= 4.2 Hz, 1 H), 6.88 (td, *J*= 9.0, 2.8 Hz, 1 H), 6.52 (dd, *J*= 8.4, 4.0 Hz, 1 H), 4.63 (d, *J*= 10.4 Hz, 1 H), 4.44-4.38 (m, 2 H), 4.17-4.01 (m, 3 H), 1.08 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.6, 180.6, 172.1, 138.1, 136.8, 135.4, 133.9, 131.2, 131.1, 130.4, 130.3, 128.9, 127.9, 115.9, 115.7, 113.7, 110.7, 69.7, 66.2, 62.1, 61.0, 50.9, 14.3; HRMS (ESI): *m/z* calcd for $C_{27}H_{22}N_2O_4FClNa$ $[M+Na]^+$ 493.1330, found 493.1334.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-4'-(4-chlorophenyl) -5-fluoro-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6w):

[1 mmol scale] 363.9 mg, 69%, a white solid, m.p.162.1-163.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3298,, 3259, 2986, 1716, 1686, 1485, 1232, 837 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.34 (br s, 1 H), 7.45 (d, *J*= 8.4 Hz, 2 H), 7.39 (d, *J*= 8.4 Hz, 2 H), 7.31 (d, *J*= 8.4 Hz, 2 H), 7.19 (d, *J*= 8.4 Hz, 2 H), 7.02 (dd, *J*= 7.6, 2.4 Hz, 1 H), 6.81 (td, *J*= 8.8, 2.4 Hz, 1 H), 6.53 (dd, *J*= 8.4, 4.0 Hz, 1 H), 4.61 (d, *J*= 10.8 Hz, 1 H), 4.48 (d, *J*= 10.8 Hz, 1 H), 4.29 (ψt, *J*= 10.8 Hz, 1 H), 4.22-4.08 (m, 2 H), 2.75 (br s, 1 H), 1.12 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.6, 180.9, 172.1, 140.0, 136.5, 135.9, 134.9, 133.4, 129.5, 129.2, 129.0, 128.8, 116.3, 114.0, 113.8, 110.6, 70.1, 66.2, 63.0, 61.6, 52.6, 14.1; HRMS (ESI): *m/z* calcd for $C_{27}H_{22}N_2O_4FCl_2$ $[M+H]^+$ 527.0941, found 527.0983.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-5-fluoro-4'-(4-meth oxyphenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6x):

[1 mmol scale] 411.9 mg, 79%, a white solid, m.p. 103.8-105.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3325, 2930, 1732, 1680, 1613, 1484, 1178, 819 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.43 (br s, 1 H), 7.44-7.36 (m, 6 H), 6.96 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.92-6.87 (m, 3 H), 6.51 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.54 (d, *J*= 10.4 Hz, 1 H), 4.34 (d, *J*= 10.4 Hz, 1 H), 4.28 (ψt, *J*= 10.4 Hz, 1 H), 4.13-4.01 (m, 2 H), 3.94 (d, *J*= 4.8 Hz, 1 H), 3.71 (s, 3 H), 1.09 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.8, 180.4, 172.3, 138.9, 138.0, 135.5, 131.3, 130.7, 129.7, 129.5, 129.1, 116.0, 115.8, 114.5, 113.9, 113.7, 110.6, 69.8, 66.3, 62.2, 61.0, 55.5, 14.4; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}N_2O_5FCl$ $[M+H]^+$ 523.1436, found 523.1434.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-fluoro-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6y):

[1 mmol scale] 431.9 mg, 80%, a white solid, m.p. 92.6-93.3 °C, *dr> 20:1*; IR (thin film): ν_{max} 3276, 3164, 2980, 1735, 1685, 1629, 1490, 1014, 819, 756 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.48 (br s, 1 H), 7.52-7.49 (m, 4 H), 7.37 (d, *J*= 8.8 Hz, 2 H), 7.34 (ψt, *J*= 7.4 Hz, 2 H), 7.23 (t, *J*= 7.4 Hz, 1 H), 7.01 (dd, *J*= 8.4, 2.8 Hz, 1 H), 6.90 (td, *J*= 9.4, 2.8 Hz, 1H), 6.54 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.62 (d, *J*= 10.4 Hz, 1 H), 4.45 (dd, *J*= 10.4, 6.8 Hz, 1 H), 4.38 (ψt, *J*= 10.4 Hz, 1 H), 4.13-4.01 (m, 2 H), 3.98 (d, *J*= 6.8 Hz, 1 H), 1.07 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.4, 172.2, 139.1, 138.0, 135.8, 132.0, 131.1, 129.7, 129.1, 128.5, 128.2, 127.6, 116.1, 114.0, 113.7, 110.7, 69.9, 66.4, 62.2, 61.0, 51.5, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{23}N_2O_4FBr$ $[M+H]^+$ 537.0825, found 537.0822.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-5-fluoro-4'-(4-fluor ophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6z):

[1 mmol scale] 406.3 mg, 73%, a white solid, m.p. 178.9-180.7°C, *dr> 20:1*; IR (thin film): ν_{max} 3270, 2986, 2869, 1710, 1629, 1483, 1242, 1014, 819 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.45 (br s, 1 H), 7.50-7.52 (m, 4 H), 7.35 (d, *J*= 8.8 Hz, 2 H), 7.16 (ψt, *J*= 8.8 Hz, 2 H), 6.96 (dd, *J*= 8.4, 2.4 Hz, 1 H), 6.90 (td, *J*= 8.8, 2.8 Hz, 1 H), 6.51 (dd, *J*= 8.4, 4.4 Hz, 1 H), 4.58 (d, *J*= 10.0 Hz, 1 H), 4.43-4.30 (m, 2 H), 4.15-4.01 (m, 2 H), 3.97 (d, *J*= 6.0 Hz, 1 H), 1.07 (td, *J*= 7.0, 2.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.4, 172.0, 138.0, 135.8, 135.2, 132.0, 131.1, 130.5, 130.4, 129.8, 128.1, 115.9, 115.7, 113.9, 113.7, 110.7, 69.7, 66.2, 62.1, 61.0, 50.6, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{21}N_2O_4F_2BrNa$ $[M+Na]^+$ 577.0731, found 577.0728.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-6-bromo-2-oxo-4'-(*p*-tolyl)spi ro[Indoline-3,2'-pyrrolidine]-5'-carboxylate (6aa):

[1 mmol scale]533.4 mg, 58%, a white solid, m.p. 232.8-234.1 °C, *dr= 18:1*; IR (thin film): ν_{max} 3370, 3365, 2969, 2914, 1769, 1724, 1688, 1454, 1123, 808, 739 cm^{-1}; major isomer: ^1H NMR (400 MHz, DMSO-d_6) δ 10.59 (br s, 1 H), 7.47 (t, *J*= 7.6 Hz, 1 H), 7.43 (d, *J*= 8.0 Hz, 2 H), 7.36 (d, *J*= 8.0 Hz, 2 H), 7.30 (ψt, *J*= 7.6 Hz, 2 H), 7.13 (d, *J*= 7.6 Hz, 2 H), 7.10 (s, 2 H), 6.66 (s, 1 H), 4.61 (d, *J*= 10.4 Hz, 1 H), 4.42 (dd, *J*= 10.4, 6.8 Hz, 1 H), 4.33 (ψt, *J*= 10.4 Hz, 1 H), 4.12-4.01 (m, 2 H), 3.89 (d, *J*= 6.8 Hz, 1 H), 2.23 (s, 3 H), 1.07 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.0, 180.6, 172.2, 143.6, 136.8, 136.1, 133.9, 129.7, 129.0, 128.9, 128.3, 128.1, 127.8, 124.8, 122.2, 112.6, 69.1, 66.2, 61.9, 61.0, 51.6, 21.1, 14.4; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}N_2O_4Br$ $[M+H]^+$ 533.1076, found 533.1080.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)- 6-bromo-4'-(4-fluorophenyl)-3'-(4-meth ylbenzoyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6ab):

[1 mmol scale] 330.8 mg, 60%, a white solid, m.p. 211.5-212.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3198, 3047, 2980, 2908, 1718, 1668, 1604, 1457, 1181, 833, 805, 772 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.36 (br s, 1 H), 7.46 (dd, *J*=

8.4, 5.2 Hz, 2 H), 7.35 (d, *J*= 8.4 Hz, 2 H), 7.16-7.12 (m, 2 H), 7.03-6.99 (m, 4 H), 6.75 (s, 1 H), 4.65 (d, *J*= 10.8 Hz, 1 H), 4.45 (d, *J*= 10.8 Hz, 1 H), 4.31 (ψt, *J*= 10.8 Hz, 1 H), 4.21-4.4.06 (m, 2 H), 2.76 (br s, 1 H), 2.27 (s, 3 H), 1.10 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.4, 180.5, 172.2, 144.5, 141.1, 136.7, 134.1, 133.3, 129.5, 129.2, 128.9, 127.9, 127.3, 126.2, 123.3, 115.7, 113.1, 69.6, 66.2, 62.7, 61.5, 53.1, 21.6, 14.1; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}N_2O_4FBr$ $[M+H]^+$ 511.0982, found 511.0890.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-6-bromo-3'-(4-bromobenzoyl)-4'-(4-fluo rophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6ac):

[1 mmol scale] 480.4 mg, 78%, a white solid, m.p. 219.4-220.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3357, cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.55 (br s, 1 H), 7.53-7.47 (m, 4 H), 7.32 (d, *J*= 8.8 Hz, 2 H), 7.17-7.13 (m, 2 H), 7.09 (dd, *J*= 8.0, 1.6 Hz, 1 H), 7.03 (d, *J*= 8.0 Hz, 1 H), 6.64 (d, *J*= 1.6 Hz, 1 H), 4.53 (d, *J*= 10.4 Hz, 1 H), 4.37 (dd, *J*= 10.4, 6.4 Hz, 1 H), 4.29 (ψt, *J*= 10.4 Hz, 1 H), 4.11-3.99 (m, 2 H), 3.90 (d, *J*= 6.4 Hz, 1 H), 1.06 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.0, 180.4, 171.9, 143.5, 135.8, 135.2, 132.0, 130.4, 129.7, 128.7, 128.1, 124.9, 122.3, 115.9, 115.7, 112.6, 69.0, 66.1, 61.9, 61.0, 50.7, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{22}N_2O_4FBr_2$ $[M+H]^+$ 616.9910, found 616.9822.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-6-bromo-3'-(4-bromobenzoyl)-4'-(4-chlo rophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6ad):

[1 mmol scale] 632.7mg, 50%, a white solid, m.p.236.7-237.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3276, 3186, 2986, 2908, 1724, 1682, 1184, 1014, 1763, 669 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.58 (br s, 1 H), 7.52 (d, *J*= 8.4 Hz, 2 H), 7.50 (d, *J*= 8.8 Hz, 2 H), 7.40 (d, *J*= 8.4 Hz, 1 H), 7.33 (d, *J*= 8.8 Hz, 2 H), 7.10 (dd, *J*= 8.0, 2.0 Hz, 1 H), 7.04 (d, *J*= 8.0 Hz, 1 H), 6.66 (d, *J*= 2.0 Hz, 1 H), 4.55 (d, *J*= 10.4 Hz, 1 H), 4.40 (dd, *J*= 10.4, 6.8 Hz, 1 H), 4.31 (ψt, *J*= 10.4 Hz, 1 H), 4.15-4.3.99 (m, 2 H), 3.94 (d, *J*= 6.8 Hz, 1 H), 1.08 (t, *J*= 7.4 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.3, 171.8, 143.5, 138.1, 135.7, 132.3, 132.0, 130.5, 129.8, 129.0, 128.6, 128.1, 128.0, 124.9, 122.4, 112.6, 69.0, 66.0, 61.9, 61.0, 50.7, 14.4; HRMS (ESI): *m/z* calcd for $C_{27}H_{22}N_2O_4ClBr_2$ $[M+H]^+$ 632.9614, found 632.9604.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-4'-(4-chlorophenyl)-3'-(4-fluorobenzoyl) -5-methyl-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6ae):

[1 mmol scale] 349.8 mg, 69%, a white solid, m.p.89.5-90.7 °C, d*r> 20:1*; IR (thin film): ν_{max} 3320, 2986, 2924, 1730, 1685, 1493, 1237, 850, 814 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.31 (br s, 1 H), 7.48 (d, *J*= 8.4 Hz, 2 H), 7.40 (d, *J*= 8.4 Hz, 2 H), 7.37-7.32 (m, 4 H), 6.87 (s, 1 H), 6.83 (d, *J*= 8.0 Hz, 1 H), 6.37 (d, *J*= 8.0 Hz, 1 H), 4.50 (d, *J*= 10.4 Hz, 1 H), 4.36 (dd, *J*= 10.4, 7.6 Hz, 1 H), 4.27 (ψt, *J*= 10.4 Hz, 1 H), 4.12-4.00 (m, 2 H), 3.72 (d, *J*= 7.2 Hz, 3 H), 2.19 (s, 3 H), 1.07 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.0, 180.5, 171.9, 139.5, 138.5, 138.4, 135.7, 132.2, 131.0, 130.5, 129.9, 129.6, 129.1, 129.0, 128.9, 126.6, 109.5, 69.7, 69.3, 66.2, 62.2, 61.0, 51.1, 21.1, 14.4; HRMS (ESI):*m/z* calcd for $C_{28}H_{25}N_2O_4FCl$ $[M+H]^+$ 507.1487, found 507.1497.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-5-chloro-2-oxo-4'-(*p*-tolyl)spi ro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6af):

[1 mmol scale] 327.7 mg, 67%, a white solid, m.p.158.0-158.7 °C, *dr> 20:1*; IR (thin film): ν_{max} 3298, 3181, 2980, 1735, 1716, 1682, 1448, 1184, 816, 714 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.55 (br s, 1 H), 7.48-7.42 (m, 3 H), 7.35 (d, *J*= 6.8 Hz, 2 H), 7.17 (d, *J*= 2.0 Hz, 1 H), 7.13 (d, *J*= 7.6 Hz, 2 H), 7.07 (dd, *J*= 8.2, 2.2 Hz, 1 H), 6.25-6.49 (m, 1 H), 4.60 (dd, *J*= 10.4, 1.6 Hz, 1 H), 4.42-4.31 (m, 1 H), 4.12-4.02 (m, 1 H), 4.07 (dd, *J*= 10.8, 7.2 Hz, 1 H), 3.98 (d, *J*= 7.2 Hz, 1 H), 2.23 (s, 3 H), 1.09 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.6, 180.4, 172.3, 140.8, 136.9, 136.8, 136.0, 133.9, 131.7, 130.0, 129.3, 129.0, 128.3, 127.8, 126.4, 126.1, 111.2, 69.6, 66.3, 62.0, 61.0, 51.4, 21.1, 14.4; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}O_4N_2Cl$ $[M+H]^+$ 489.1581 found 489.1591.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-5-chloro-3'-(4-methylbenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (6ag):

[1 mmol scale] 324.8 mg, 67%, a white solid, m.p.193.6-194.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3276, 2930, 2852, 1713, 1679, 1239, 814, 705 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.53 (br s, 1 H), 7.42 (d, *J*= 7.2 Hz, 2 H), 7.35-7.30 (m, 4 H), 7.22 (t, *J*= 7.2 Hz, 1 H), 7.14 (d, *J*= 2.0 Hz, 1 H), 7.12 (d, *J*= 8.0 Hz, 1 H), 7.08 (dd, *J*= 8.4, 2.0 Hz, 1 H), 6.15 (d, *J*= 8.0 Hz, 1 H), 4.56 (d, *J*= 10.4 Hz, 1 H), 4.40-4.36 (m, 1 H), 4.33 (d, *J*= 10.0 Hz, 1 H), 4.11-3.98 (m, 3 H), 3.32 (s, 3 H), 2.25 (s, 3 H), 1.07 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.3, 172.3, 144.5, 140.7, 139.2, 134.4, 131.7, 129.6, 129.3, 129.1, 128.4, 128.0, 127.7, 126.5, 126.0, 111.2, 69.6, 66.3, 61.7, 61.0, 51.7, 21.5, 14.4; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}O_4N_2ClNa$ $[M+H]^+$ 489.1581 found 489.1598.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-1-ethyl-2-oxo-4'-phenylspir o[indoline-3,2'-pyrrolidine]-5'-carboxylate (7a):

[1 mmol scale] 325.2 mg, 72%, a white solid, m.p. 136.8-137.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3315, 2991, 2952, 1735, 1713, 1677, 1240, 750, 702, 686 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.49 (d, *J*= 7.2 Hz, 2 H), 7.42 (t, *J*= 7.6 Hz, 1 H), 7.34 (ψt, *J*= 7.6 Hz, 2 H), 7.29 (d, *J*= 7.6 Hz, 2 H), 7.24 (d, *J*= 7.2 Hz, 2 H), 7.23-7.18 (m, 2 H), 7.11 (td, *J*= 7.6, 1.2 Hz, 1H), 6.96 (ψt, *J*= 7.2 Hz, 1 H), 4.62 (d, *J*= 10.4 Hz, 1 H), 4.49 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.41 (ψt, *J*= 10.4 Hz, 1

H), 3.87 (d, *J*= 7.2 Hz, 1 H), 3.59 (s, 3 H), 3.49 (q, *J*= 7.2 Hz, 2 H), 0.94 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.5, 178.3, 172.7, 142.3, 139.3, 136.9, 133.6, 129.7, 129.2, 128.9, 128.8, 128.4, 127.7, 127.6, 126.0, 122.7, 108.6, 69.0, 66.2, 62.3, 52.4, 51.4, 34.7, 12.6; HRMS (ESI): *m/z* calcd for $C_{28}H_{27}O_4N_2$ $[M+H]^+$ 455.1971 found 455.1969.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-1-ethyl-2-oxo-4'-(p-tolyl)sp iro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7b):

[1 mmol scale] 390.0 mg, 86%, a white solid, m.p.144.1-145.1 °C, *dr> 20:1*; IR (thin film): ν_{max} 3303, 2986, 2958, 1721, 1674, 1370, 1242, 758 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.45 (d, *J*= 8.0 Hz, 2 H), 7.33 (t, *J*= 7.6 Hz, 2 H), 7.24-7.20 (m, 4 H), 7.11 (td, *J*= 7.6, 1.2 Hz, 1 H), 7.24 (d, *J*= 7.2 Hz, 2 H), 7.23-7.18 (m, 2 H), 7.11 (td, *J*= 7.6, 1.2 Hz, 1 H), 7.05 (d, *J*= 8.4 Hz, 2 H), 6.95 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.69 (d, *J*= 7.2 Hz, 1 H), 4.56 (d, *J*= 10.4 Hz, 1 H), 4.46 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.38 (ψt, *J*= 10.4 Hz, 1 H), 3.83 (d, *J*= 7.2 Hz, 1 H),3.53 (s, 3 H), 3.48 (q, *J*= 7.2 Hz, 2 H), 2.21 (s, 3 H), 0.96 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 178.3, 172.7, 144.2, 142.3, 139.4, 134.5, 129.6, 129.4, 129.2, 129.0, 128.4, 127.8, 127.6, 126.1, 122.6, 108.6, 69.1, 66.2, 62.1, 52.4, 51.5, 34.7, 21.4, 12.6; HRMS (ESI): *m/z* calcd for $C_{29}H_{29}O_4N_2$ $[M+H]^+$ 469.2127 found 469.2121.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-1-ethyl-4'-(4-methoxyph enyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7c):

[1 mmol scale] 419.8 mg, 87%, a white solid, m.p.188.0-189.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3331, 2975, 2925, 1727, 1680, 1610, 1518, 1128, 755 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 (d, *J*= 7.6 Hz, 2 H), 7.30-7.26 (m, 4 H), 7.17-7.09 (m, 3 H), 7.01 (t, *J*= 7.6 Hz, 1 H), 6.86 (d, *J*= 7.6 Hz, 2 H), 6.42 (d, *J*= 8.0 Hz, 1 H), 4.69 (d, *J*= 10.8 Hz, 1 H), 4.50 (d, *J*= 10.8 Hz, 1 H), 4.34 (ψt, *J*= 10.8 Hz, 1 H), 3.76 (s, 3 H), 3.66 (s, 3 H), 3.55-3.46 (m, 1 H), 3.45-3.36 (m, 1 H), 2.66 (br s, 1 H), 0.99 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 196.3, 178.2, 173.3, 158.8, 142.0, 137.1, 132.8, 130.2, 129.5, 128.5, 128.1, 127.7, 125.6, 123.1, 114.2, 108.0, 69.6, 66.5, 63.4, 55.2, 52.6, 52.3, 34.8, 12.2; HRMS (ESI): *m/z* calcd for $C_{29}H_{29}O_4N_2$ $[M+H]^+$ 485.2076 found 485.2078.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-1-ethyl-3'-(4-methylbenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7d):

[1 mmol scale] 300.0 mg, 66%, a white solid, m.p.123.0-124.0 °C, *dr> 20:1*; IR (thin film): ν_{max} 3309, 3025, 2947, 1721, 1677, 1607, 1371, 1240, 1109, 919, 755, 705 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.46 (d, *J*= 7.2 Hz, 2 H), 7.33 (ψt, *J*= 7.6 Hz, 2 H), 7.24-7.17 (m, 4 H), 7.11 (td, *J*= 7.6, 1.2 Hz, 1 H), 7.04 (d, *J*= 8.0 Hz, 2 H), 6.89 (d, *J*= 8.0 Hz, 1 H), 6.94 (d, *J*= 8.0 Hz, 1 H), 4.57 (d, *J*= 10.4 Hz, 1 H), 4.47 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.39 (ψt, *J*= 10.4 Hz, 1 H), 3.84 (d, *J*= 7.2 Hz, 1 H), 3.52 (s, 3 H), 3.51-3.48 (q, *J*= 7.2 Hz, 2 H), 2.20 (s, 3 H), 0.96 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.5, 178.3, 172.7, 142.3, 139.4, 136.9, 133.6, 129.7, 129.2, 128.9, 128.8, 128.4, 127.7, 127.6, 122.7, 108.6, 69.0, 66.2, 62.3, 52.4, 51.4, 34.7, 12.6; HRMS (ESI): *m/z* calcd for $C_{29}H_{29}O_4N_2$ $[M+H]^+$ 469.2127 found 469.2008.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-1-ethyl-4'-(4-fluorophenyl)-3'-(4-meth ylbenzoyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7e):

[1 mmol scale] 410 mg, 84%, a white solid, m.p. 127.6-128.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3315, 2947, 2886, 1721, 1675, 1613, 1243, 839, 747 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52-7.48 (m, 2 H), 7.29 (d, *J*= 7.2 Hz, 1 H), 7.23 (d, *J*= 8.0 Hz, 2 H), 7.11 (ψtd, *J*= 7.6, 1.0 Hz, 1 H), 7.04-6.97 (m, 3 H), 6.95 (d, *J*= 8.0 Hz, 2 H), 6.46 (d, *J*= 7.6 Hz, 1 H), 4.65 (d, *J*= 10.8 Hz, 1 H), 4.52 (dd, *J*= 10.8, 7.2 Hz, 1 H), 4.38 (ψt, *J*= 10.8 Hz, 1 H), 3.65 (s, 3 H), 3.54-3.47 (m, 2 H), 3.07 (br s, 1 H), 2.24 (s, 3 H), 1.03 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.6, 178.2, 172.9, 143.8, 142.0, 134.4, 134.2, 129.7, 129.6, 128.8, 128.3, 127.8, 125.6, 123.0, 115.7, 115.5, 108.0, 69.6, 66.4, 63.3, 52.5, 52.3, 34.8, 21.5, 12.2; HRMS (ESI): *m/z* calcd for $C_{29}H_{28}N_2O_4F$ $[M+H]^+$ 487.2033 found 487.2021.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-1-ethyl-3'-(4-fluorobenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7f):

[1 mmol scale] 442.8 mg, 91%, a white solid, m.p. 120.4-121.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3353, 2980,, 2952, 1735, 1735, 1713, 1680, 1490, 1209, 755, 697 cm^{-1} ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (d, *J*= 8.4 Hz, 2 H), 7.35-7.28 (m, 5 H), 7.23 (t, *J*= 7.6 Hz, 1 H), 7.16 (d, *J*= 8.8 Hz, 2 H), 7.14 (td, *J*= 7.6, 1.2 Hz, 1 H), 7.01 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.48 (d, *J*= 7.6 Hz, 1 H) 4.68 (d, *J*= 10.8 Hz, 1 H), 4.54 (dd, *J*= 10.8 Hz, 1 H), 4.37 (ψt, *J*= 10.8 Hz, 1 H), 3.65 (s, 3 H), 3.58-3.42 (m, 2 H), 2.82 (br s, 1 H), 1.05 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 178.1, 173.1, 142.0, 138.2, 135.7, 131.4, 129.7, 129.2, 128.8, 128.2, 128.1, 128.0, 127.5, 125.5, 108.1, 69.6, 66.6, 63.5, 53.2, 52.3, 34.9, 21.5, 12.3; HRMS (ESI): *m/z* calcd for $C_{28}H_{26}O_4N_2F$ $[M+H]^+$ 473.1877 found 473.1806.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)- 1-ethyl-3'-(4-fluorobenzoyl)-4'-(4-fluo rophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7g):

[1 mmol scale] 479.3 mg, 95%, a white solid, m.p. 135.8-136.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3320, 3069, 2986, 2941, 1732, 1716, 1672, 1510, 1362, 1232, 755 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 (d, *J*= 8.8 Hz, 2 H), 7.35 (dd, *J*= 8.8, 5.2 Hz, 2 H), 7.31 (d, *J*= 8.4 Hz, 2 H), 7.26 (d, *J*= 7.6 Hz, 1 H), 7.13 (td, *J*= 7.6, 0.8 Hz, 1 H), 7.01 (ψt, *J*= 7.6 Hz,

1 H), 6.83 (ψt, *J*= 8.4 Hz, 2 H), 6.48 (d, *J*= 7.6 Hz, 1 H) 4.61 (d, *J*= 10.8 Hz, 1 H), 4.53 (d, *J*= 10.8 Hz, 1 H), 4.35 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 3.61-3.45 (m, 2 H), 2.82 (br s, 1 H), 1.07 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.4, 178.0, 172.7, 142.0, 136.8, 133.3, 130.4, 130.3, 129.8, 129.5, 129.0, 127.9, 125.6, 123.2, 115.4, 115.2, 108.1, 69.5, 66.2, 63.4, 52.5, 52.4, 34.9, 12.3; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}N_2O_4F_2$ $[M+H]^+$ 491.1782 found 491.1735.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-chlorobenzoyl)-1-ethyl-4'-(4-fluo rophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7h):

[1 mmol scale] 418.6 mg, 83%, a white solid, m.p.115.5-116.5 °C, *dr= 11:1*; IR (thin film): ν_{max} 3343, 2980, 1732, 1716, 1691, 1493, 1092, 758 cm^{-1}; major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 (d, *J*= 8.4 Hz, 2 H), 7.30 (d, *J*= 8.4 Hz, 2 H), 7.25 (d, *J*= 6.4 Hz, 1 H), 7.24 (d, *J*= 8.4 Hz, 2 H), 7.13 (td, *J*= 7.6, 1.2 Hz, 1 H), 7.12 (d, *J*= 8.4 Hz, 2 H), 7.00 (ψt, *J*= 7 .6 Hz, 1 H), 6.48 (d, *J*= 7.6 Hz, 1 H), 4.60 (d, *J*= 10.8 Hz, 1 H), 4.52 (d, *J*= 10.8 Hz, 1 H), 4.34 (ψt, *J*= 10.8 Hz, 1 H), 3.66 (s, 3 H), 3.59-3.51 (m, 1 H), 3.50-3.44 (m, 1 H), 2.89 (br s, 1 H), 1.06 (t, *J*= 7.2 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.0, 178.1, 172.7, 142.0, 139.5, 136.8, 135.2, 133.3, 129.8, 129.5, 129.5, 129.0 (2C), 128.4, 128.0, 125.6, 123.2, 108.2, 69.5, 66.3, 63.6, 52.5, 52.4, 34.9, 12.3; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}O_4N_2FCl$ $[M+H]^+$ 507.1487 found 507.1435.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(4-chlorophen yl)-1-ethyl-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7i):

[1 mmol scale] 482.3 mg, 85%, a white solid, m.p.78.5-79.5 °C, *dr> 20:1*; IR (thin film): ν_{max} 3337, 2980, 2953, 1741, 1713, 1682, 1490, 1017, 750 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (d, *J*= 8.4 Hz, 2 H), 7.32-7.24 (m, 4 H), 7.15 (d, *J*= 8.4 Hz, 2 H), 7.01 (t, *J*= 7.6 Hz, 1 H), 6.49 (d, *J*= 7.6 Hz, 1 H), 4.58 (d, *J*= 10.8 Hz, 1 H), 4.51 (d, *J*= 10.8 Hz, 1 H), 4.33 (ψt, *J*= 10.8 Hz, 1 H), 3.67 (s, 3 H), 3.59-3.54 (m, 1 H), 3.51-3.44 (m, 1 H), 2.16 (br s, 1 H), 1.07 (t, *J*= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.2, 178.0, 172.8, 141.99, 136.8, 135.6, 133.3, 131.5, 129.9, 129.5, 129.1, 129.0, 128.2, 127.9, 125.6, 123.2, 108.2, 69.5, 66.3, 63.6, 52.5, 34.9, 12.3; HRMS (ESI): *m/z* calcd for $C_{28}H_{25}O_4N_2ClBr$ $[M+H]^+$ 567.0686 found 567.0527.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-1-ethyl-3'-(4-fluorobenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7j):

[1 mmol scale] 355.2 mg, 73%, a white solid, m.p. 115.8-116.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3341, 3069, 2980, 2930, 1730, 1708, 1682, 1590, 1242, 861, 727 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 (d, *J*= 7.6 Hz, 2 H), 7.38-7.31 (m, 5 H), 7.12 (ψt, *J*= 8.0 Hz, 1 H), 7.01 (t, *J*= 7.6 Hz, 1 H), 6.82 (ψt, *J*= 8.4 Hz, 2 H), 6.47 (d, *J*= 8.0 Hz, 2 H), 4.71 (d, *J*= 10.8 Hz, 1 H), 4.51 (d, *J*= 10.8 Hz, 1 H), 4.33 (ψt, *J*= 10.8 Hz, 1 H), 4.18-4.03 (m, 2 H), 3.57-3.46 (m, 2 H), 2.91 (br s, 1 H), 1.06 (q, *J*= 7.2 Hz, 6 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.7, 178.2, 172.5, 142.0, 138.3, 133.5, 130.4, 130.3, 129.7, 128.7, 128.2, 127.4, 125.6, 123.1, 115.3, 115.1, 108.0, 69.6, 66.6, 63.4, 61.3, 53.6, 34.9, 14.0, 12.3 ; HRMS (ESI): *m/z* calcd for $C_{29}H_{28}N_2O_4F$ $[M+H]^+$ 487.2033 found 487.2023.

(±)-ethyl (*3R, 3'S, 4'R, 5'S*)-3'-(4-bromobenzoyl)-4'-(4-chlorophen yl)-1-ethyl-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7k):

[1 mmol scale] 497.0 mg, 92%, a white solid, m.p. 133.0-134.0 °C, *dr= 16:1*; IR (thin film): ν_{max} 3309, 2980, 1743, 1716, 1690, 1371, 756 cm^{-1}; major isomer: ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (d, *J*= 8.4 Hz, 2 H), 7.32-7.28 (m, 4 H), 7.25 (d, *J*= 7.6 Hz, 2 H), 7.16-7.12 (m, 1 H), 7.15 (d, *J*= 8.4 Hz, 2 H), 7.01 (ψt, *J*= 7.6 Hz, 1 H), 6.48 (d, *J*= 8.0 Hz, 1 H), 4.59 (d, *J*= 11.2 Hz, 1 H), 4.47 (d, *J*= 10.4 Hz, 1 H), 4.30 (ψt, *J*= 10.8 Hz, 1 H), 4.22-4.14 (m, 1 H), 4.12-4.00 (m, 1 H), 3.61-3.51 (m, 1 H), 3.50-3.42 (m, 1 H), 2.79 (br s, 1 H), 1.10 (t, *J*= 7.2 Hz, 3 H), 1.07 (*t*, *J*= 7.8 Hz, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 178.1, 172.2, 142.0, 136.8, 135.6, 133.3, 131.4, 129.8, 129.5, 129.1, 128.9, 128.2, 128.0, 125.6, 123.2, 108.2, 69.5, 66.3, 63.6, 61.4, 52.8, 34.9, 14.1, 12.3; HRMS (ESI): *m/z* calcd for $C_{29}H_{27}O_4N_2ClBr$ $[M+H]^+$ 581.0843 found 581.0681.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-1-benzyl-2-oxo-4'-(*p*-tolyl)s piro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7l):

[1 mmol scale]330.0 mg, 62%, a white solid, m.p.198.7-199.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3337, 2958, 2919, 1727, 1716, 1674 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 (d, *J*= 8.4 Hz, 2 H), 7.81-7.77 (m, 4 H), 7.69-7.67 (m, 3 H), 7.62-7.54 (m, 6 H), 7.48-7.42 (m, 2 H), 6.31 (d, *J*= 7.6 Hz, 1 H), 4.82 (d, *J*= 15.6 Hz, A of AB, 1 H), 4.79 (d, *J*= 10.8 Hz, 1 H), 4.59 (d, *J*= 10.8 Hz, 1 H), 4.38 (ψt, *J*= 10.8 Hz, 1 H), 4.35 (d, *J*= 15.6 Hz, B of AB, 1 H), 3.66 (s, 3 H), 2.60 (br s, 1 H), 2.30 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 191.5, 174.1, 168.4, 137.4, 132.2, 130.5, 130.4, 128.2, 124.8, 124.0, 123.4, 123.4, 123.2, 123.0, 122.9, 122.5, 120.9, 118.6, 104.2, 65.0, 61.9, 58.5, 48.5, 47.6, 39.3; HRMS (ESI): *m/z* calcd for $C_{34}H_{31}O_4N_2$ $[M+H]^+$ 531.2284 found 531.2145.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-1-benzyl-4'-(4-methoxyphe nyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7m):

[1 mmol scale] 270.0 mg, 50%, a white solid, m.p.168.7-169.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3326, 2930,, 2841, 1735, 1713, 1685, 1515, 1237, 750, 685 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.46 (d, *J*= 8.4 Hz, 2 H), 7.35-7.30 (m, 4 H), 7.23-7.22 (m, 3 H), 7.13-7.09 (m, 4 H), 7.02-6.96 (m, 2 H), 6.87 (d, *J*= 8.4 Hz, 2 H), 6.31 (d, *J*= 8.0 Hz, 1 H), 4.82

(d, *J*= 15.6 Hz, A of AB, 1 H), 4.76 (d, *J*= 10.4 Hz, 1 H), 4.55 (d, *J*= 10.4 Hz, 1 H), 4.37 (ψt, *J*= 10.4 Hz, 1 H),4.35 (d, *J*= 15.6 Hz, B of AB, 1 H), 3.77 (s, 3 H), 3.67 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 191.6, 174.2, 168.5, 154.1, 137.4, 132.2, 130.4, 128.2, 125.5, 124.8, 124.3, 124.0, 123.4, 123.0, 122.9, 122.5, 120.8, 118.6, 109.5, 104.2, 64.9, 61.8, 58.6, 50.5, 48.2, 47.6, 39.3; HRMS (ESI): *m/z* calcd for $C_{34}H_{31}N_2O_5$ $[M+H]^+$ 547.2233 found 547.2093.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-1-benzyl-4'-(4-bromophenyl)-3'-(4-me thylbenzoyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxyl ate (7n):

[1 mmol scale] 404.9 mg, 66%, a white solid, m.p.158.3-159.0 °C, *dr> 20:1*; IR (thin film): ν_{max} cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44-7.41 (m, 4 H), 7.29-7.21 (m, 7 H), 7.11-7.09 (m, 2 H), 7.03-6.95 (m, 2 H), 6.89 (d, *J*= 8.0 Hz, 2 H), 6.36 (d, *J*= 7.2 Hz, 1 H), 4.78 (d, *J*= 15.6 Hz, A of AB, 1 H), 4.70 (d, *J*= 10.8 Hz, 1 H), 4.56 (d, *J*= 10.8 Hz, 1 H), 4.52 (d, *J*= 15.6 Hz, B of AB, 1 H), 4.37(ψt, *J*= 10.8 Hz, 1 H), 2.64 (br s, 1 H), 2.26 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.4, 178.9, 172.8, 144.0, 142.2, 137.5, 135.2, 134.2, 131.9, 129.9, 129.6, 129.0, 128.8, 128.0, 127.9, 127.6, 127.3, 125.6, 123.3, 121.3, 109.0, 69.7, 66.2, 62.9, 53.1, 52.4, 44.1, 21.6; HRMS (ESI): *m/z* calcd for $C_{34}H_{30}O_4N_2Br$ $[M+H]^+$ 609.1389 found 609.1318.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-1-benzyl-3'-(4-chlorobenzoyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7o):

[1 mmol scale] 303.0 mg, 55%, a white solid, m.p.151.9-152.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3326, 3080, 2958, 2919, 1730, 1713, 1674, 1351, 1178, 752, 699 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 (d, *J*= 8.4 Hz, 2 H), 7.30-7.26 (m, 7 H), 7.12 (d, *J*= 7.2 Hz, 2 H), 7.06-6.97 (m, 1 H), 6.41 (d, *J*= 7.6 Hz, 1 H), 4.78 (d, *J*= 15.6 Hz, A of AB, 1 H), 4.74 (d, *J*= 10.8 Hz, 1 H), 4.59 (d, *J*= 10.8 Hz, 1 H), 4.54 (d, *J*= 15.6 Hz, B of AB, 1 H), 4.39 (ψt, *J*= 10.8 Hz, 1 H), 3.65 (s, 3 H), 2.62 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.0, 178.8, 173.0, 142.2, 139.5, 138.2, 135.2, 135.1, 129.7, 129.1, 128.9, 128.5, 128.1, 127.9, 127.8, 127.5, 127.4, 125.7, 123.4, 109.1, 69.6, 66.5, 63.2, 53.6, 52.4, 44.2; HRMS (ESI): *m/z* calcd for $C_{33}H_{28}O_4N_2Cl$ $[M+H]^+$ 551.1738 found 551.1667.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-1-benzyl-3'-(4-chlorobenzoyl)-4'-(4-methoxyphenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxyl ate (7p):

[1 mmol scale] 301.0 mg, 65%, a white solid, m.p.147.7-148.7 °C, *dr> 20:1*; IR (thin film): ν_{max} 3058, 2963, 2930, 2835, 1732, 1718, 1679, 1518, 1176, 755 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 (d, *J*= 8.4 Hz, 2 H), 7.30-7.26 (m, 7 H), 7.12 (d, *J*= 7.2 Hz, 2 H), 7.06-6.97 (m, 1 H), 6.87 (d, *J*= 8.0 Hz, 2 H), 6.41 (d, *J*= 7.2 Hz, 1 H), 4.78 (d, *J*= 14.0 Hz, A of AB, 1 H), 4.77 (d, *J*= 10.4 Hz, 1 H), 4.55 (d, *J*= 10.4 Hz, 1 H), 4.54 (d, *J*= 14.0 Hz, B of AB, 1 H), 4.35 (ψt, *J*= 10.4 Hz, 1 H), 3.77 (s, 3 H), 3.67 (s, 3 H), 2.15 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ190.3, 174.0, 168.2, 154.2, 137.4, 134.7, 130.4, 130.3, 125.2, 125.0, 124.4, 124.3, 124.1, 123.8, 123.1, 122.7, 120.9, 118.6, 109.5, 104.3, 64.8, 61.6, 58.4, 50.5, 48.2, 47.7, 39.4; HRMS (ESI): *m/z* calcd for $C_{34}H_{30}O_5N_2Cl$ $[M+H]^+$ 581.1843 found 581.1690.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-1-benzyl-3'-(4-bromobenzoyl)-4'-(4-chlorophenyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (7q):

[1 mmol scale] 340.0 mg, 54%, a white solid, m.p.156.2-156.9 oC, *dr> 20:1*; IR (thin film): νmax 3041, 2952, 2924, 1732, 1707, 1679, 1490, 1248, 752 cm−1; 1H NMR (400 MHz, $CDCl_3$) δ 7.47 (d, J= 8.4 Hz, 2 H), 7.30-7.23 (m, 7 H), 7.17 (s, 4 H), 7.15-7.13 (m, 1 H), 7.05 (ψt, J= 7.6 Hz, 1 H), 6.97 (ψt, J= 7.6 Hz, 1 H), 6.43 (d, J= 7.6 Hz, 1 H), 4.78 (d, J= 15.6 Hz, A of AB, 1 H), 4.64 (d, J= 10.8 Hz, 1 H), 4.56 (d, J= 10.8 Hz, 1 H), 4.55 (d, J= 15.6 Hz, B of AB, 1 H), 4.35 (ψt, J= 10.8 Hz, 1 H), 3.66 (s, 3 H), 2.52 (br s, 1 H); 13C NMR (100 MHz, CDCl3): δ 195.1, 178.8, 172.7, 142.2, 136.8, 135.4, 135.1, 133.4, 131.6, 129.8, 129.5, 129.2, 129.1, 128.9, 128.4, 127.9, 127.5, 125.5, 123.4, 109.1, 69.5, 66.2, 63.3, 52.9, 52.5, 44.2; HRMS (ESI): m/z calcd for $C_{33}H_{27}O_4N_2ClBr$ [M+H]+ 629.0843 found 629.0674.

(±)-dimethyl (*3R, 3'S, 5'S*)-2-oxospiro[indoline-3,2'-pyrrolidine]-3',5'-dicarboxylate (9a):

[1 mmol scale] 241.9 mg, 80%, a white solid, m.p. 138.4-139.4 °C, *dr> 20:1*; IR (thin film): ν_{max} 3270, 2941, 1743, 1702, 1618, 1473, 1223, 750 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.41 (br s, 1 H), 7.19 (td, *J*= 7.6, 1.2 Hz, 1 H), 7.07 (d, *J*= 7.2 Hz, 1 H), 6.93 (ψt, *J*= 7.6, 0.8 Hz, 1 H), 6.80 (d, *J*= 7.6 Hz, 1 H), 4.19 (dt, *J*= 9.2, 7.0 Hz, 1 H), 3.70 (s, 3 H), 3.38-3.30 (m, 2 H), 3.15 (s, 3 H), 2.56-2.44 (m, 2 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 179.8, 173.9, 170.9, 142.3, 130.7, 129.5, 124.8, 121.9, 109.9, 69.5, 59.3, 52.9, 52.4, 51.7, 32.3; HRMS (ESI): *m/z* calcd for $C_{15}H_{16}O_5N_2$ $[M+H]^+$ 305.1137 found 305.1140.

(±)-methyl (*3R, 3'S, 5'S*)-3'-cyano-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (9b):

[1 mmol scale] 174.1 mg, 64%, a yellow solid, m.p. 116.2-118.6 °C, *dr> 20:1*; IR (thin film): ν_{max} 3103, 2919, 2245, 1735, 1613, 1471, 1226, 764 cm^{-1}; ^{1}H NMR (400 MHz, DMSO-d_6) δ 10.53 (br s, 1 H), 7.44 (d, *J*= 7.6 Hz, 1 H), 7.29 (td, *J*= 7.6, 1.2 Hz, 1 H), 7.05 (td, *J*= 7.6, 0.8 Hz, 1 H), 6.86 (d, *J*= 7.6 Hz, 1 H), 4.30 (dt, *J*= 8.0, 6.4 Hz, 1 H), 3.80 (d, *J*= 6.0 Hz, 1 H), 3.70 (s, 3 H), 3.59 (dd, *J*= 8.0, 6.8 Hz, 1 H), 2.93 (dt, *J*= 13.2, 8.0 Hz, 1 H), 2.52-2.43 (m, 1 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 179.0, 173.5, 142.5, 130.2, 128.9, 125.8, 122.3, 119.6, 110.2, 69.1, 58.2, 52.5, 37.1, 33.3; HRMS (ESI): *m/z* calcd for $C_{14}H_{13}O_3N_3$ $[M+H]^+$ 272.1035 found 272.1048.

(±)-methyl (*3R, 3'S, 4'S, 5'S*)-4'-(furan-2-yl)-3'-((E)-3-(furan-2-yl)acryloyl)-2-oxospiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (9c):

[1 mmol scale] 301.8 mg, 85%, a yellow solid, m.p. 181.6-182.8 °C, *dr> 20:1*; IR (thin film): ν_{max} 3131, 3019, 2891, 1732, 1718, 1679, 1518, 1176, 755 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.60 (br s, 1 H), 7.81 (d, *J*= 1.2 Hz, 1 H), 7.57 (d, *J*= 1.2 Hz, 1 H), 7.12 (d, *J*= 7.6 Hz, 1 H), 7.10 (d, *J*= 6.8 Hz, 1 H), 7.02 (d, *J*= 16.0 Hz, 1 H), 6.92 (ψt, *J*= 7.4 Hz, 1 H), 6.88 (d, *J*= 3.6 Hz, 1 H), 6.71 (d, *J*= 7.2 Hz, 1 H), 6.59 (dd, *J*= 3.6, 2.0 Hz, 1 H), 6.37 (dd, *J*= 3.2, 2.0 Hz, 1 H), 6.29 (d, *J*= 3.2 Hz, 1 H), 6.12 (d, *J*= 16.0 Hz, 1 H), 4.40 (ψt, *J*= 10.4 Hz, 1 H), 4.31 (dd, *J*= 10.4, 7.2 Hz, 1 H), 4.08 (d, *J*= 10.4 Hz, 1 H), 3.77 (d, *J*= 7.2 Hz, 1 H), 3.68 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 194.0, 180.1, 172.9, 152.5, 150.5, 146.9, 142.8, 141.9, 129.9, 129.6 (2C), 126.2, 122.2 (2C), 118.0, 113.5, 111.0, 110.0, 107.2, 69.5, 63.9, 61.8, 52.6, 44.3; HRMS (ESI): *m/z* calcd for $C_{24}H_{20}O_6N_2$ $[M+H]^+$ 433.1400 found 433.1419.

(±)-methyl (*3S, 3'S, 4'R, 5'S*)-3'-nitro-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (9d):

[1 mmol scale] 135.1 mg, 37%, a yellow solid, m.p. 168.8-170.6 °C, *dr= 11:1*; IR (thin film): ν_{max} 3936, 2846, 1732, 1738, 1710, 1615, 1557, 1537, 1471, 1195, 761, 697 cm^{-1}; major isomer: ^1H NMR (400 MHz, DMSO-d_6) δ 10.77 (br s, 1 H), 7.49 (d, *J*= 7.2 Hz, 2 H), 7.41 (ψt, *J*= 7.6 Hz, 2 H), 7.35-7.27 (m, 3 H), 7.04 (t, *J*= 7.6 Hz, 1 H), 6.87 (d, *J*= 7.6 Hz, 1 H), 5.48 (d, *J*= 9.6 Hz, 1 H), 4.56 (ψt, *J*= 9.6 Hz, 1 H), 4.45 (dd, *J*= 9.6, 6.4 Hz, 1 H), 4.34 (d, *J*= 6.4 Hz, 1 H), 3.61 (s, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 178.4, 171.9, 142.8, 136.8, 130.8, 129.4, 128.5, 128.4, 127.5, 125.3, 122.6, 119.5, 96.6, 69.7, 64.6, 52.6, 51.5; HRMS (ESI): *m/z* calcd for $C_{19}H_{17}O_5N_3$ $[M+H]^+$ 368.1246 found 368.1247.

(±)-methyl (*3R, 3'S*)-2,7'-dioxo-2',3',3a',4',5',6',7',7a'-octahydrospiro[indoline-3,1'-isoindole]-3'-carboxylate (9e):

[1 mmol scale] 116.6 mg, 37%, a yellow solid, m.p. 105.5-106.1 °C, *dr= 4.5:1.8:1*; IR (thin film): ν_{max} 2947, 2863, 1729, 1696, 1619, 1471, 1187, 750 cm^{-1}; major isomer: ^1H NMR (400 MHz, DMSO-d_6) δ 10.32 (br s, 1 H), 7.34 (d, *J*= 7.6 Hz, 1 H), 7.18 (ψt, *J*= 7.2 Hz, 1 H), 6.95 (ψt, *J*= 7.6 Hz, 1 H), 6.76 (d, *J*= 7.6 Hz, 1 H), 4.30 (t, *J*= 6.4 Hz, 1 H), 3.72 (s, 3 H), 3.25-3.21 (m, 2 H), 2.98-2.86 (m, 1 H), 1.99-1.88 (m, 2 H), 1.70-1.55 (m, 2 H), 1.39-1.24 (m, 2 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 208.7, 182.4, 171.6, 143.0, 129.8, 129.7, 125.7, 121.7, 110.1, 79.6, 69.7, 64.7, 60.0, 52.2, 43.8, 22.5, 22.3; HRMS (ESI): *m/z* calcd for $C_{17}H_{18}O_4N_2$ $[M+H]^+$ 315.1345 found 315.1346.

(±)-methyl (*3R, 3'S, 4'R*)-3'-benzoyl-2-oxo-4'-phenyl-3',4'-dihydros piro[indoline-3,2'-pyrrole]-5'-carboxylate (10a):

422 mg, 85%, a white solid, m.p.205.8-207.1 °C; IR (thin film): 3192, 3052, 2952, 1730, 1674, 1621, 1229, 1123, 758 ν_{max} cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.22 (br s, 1 H), 7.51 (d, J= 7.2 Hz, 2 H), 7.44 (d, J= 7.2 Hz, 2 H), 7.39 (ψt, J= 7.6 Hz, 2 H), 7.35 (t, J= 7.6 Hz, 1 H), 7.30 (t, J= 7.6 Hz, 1 H), 7.20 (ψt, J= 7.6 Hz, 2 H), 7.07-7.02 (m, 1 H), 6.93 (d, J= 4.0 Hz, 2 H), 6.54 (d, J= 7.6 Hz, 1 H), 5.73 (d, J= 7.8 Hz, 1 H), 4.79 (d, J= 7.8 Hz, 1 H), 3.76 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 195.3, 176.6, 171.4, 161.9, 140.3, 139.1, 136.4, 133.3, 130.1, 129.2, 128.4, 128.3, 127.8, 126.0, 125.6, 123.2, 109.9, 82.9, 62.1, 57.3, 52.9; HRMS (ESI): m/z calcd for $C_{26}H_{21}N_2O_4$ $[M+H]^+$ 425.1501 found 425.1479.

(±)-methyl (*S*)-3'-benzoyl-2-oxo-4'-phenylspiro[indoline-3,2'-pyrro- le]-5'-carboxylate (10b):

354 mg, 70%, a yellow solid, m.p.238.2-239.7 °C; IR (thin film): 3370, 3058, 2947, 1741, 1654, 1590, 1351, 1070, 914, 747, 694 ν_{max} cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 10.48 (br s, 1 H), 7.81 (d, J= 7.2 Hz, 2 H), 7.73 (d, J= 8.0 Hz, 1 H), 7.43 (t, J= 7.6-7.2 Hz, 1 H), 7.36-7.26 (m, 1 H), 7.30 (t, J= 7.6 Hz, 1 H), 7.20 (ψt, J= 7.6 Hz, 2 H), 7.07-7.02 (m, 5 H), 7.20-7.15 (m, 4 H), 3.88 (s, 3 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 194.7, 163.4, 146.5, 137.7, 133.8, 133.0, 131.4, 131.1, 130.0, 129.6, 129.5, 129.0, 128.6, 128.3, 128.1, 124.5, 124.3, 119.9, 119.6, 116.0, 113.5, 53.1; HRMS (ESI): m/z calcd for $C_{26}H_{19}N_2O_4$ $[M+H]^+$ 423.1345 found 423.1335.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-(hydroxy(phenyl)methyl)-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxylate (10c):

81.6 mg, 48 %, a white solid, m.p.91.1-92.3 °C, dr> 20:1; IR (thin film): ν_{max} 3203, 3019, 2924, 1733, 1691, 1466, 1223, 753, 697 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$) δ 8.34 (br s, 1 H), 7.52 (d, J= 7.6 Hz, 1 H), 7.27-7.24 (m, 1 H), 7.12 (t, J= 7.4 Hz, 1 H), 7.02-6.59 (m, 5 H), 6.92-6.83 (m, 4 H), 6.78 (d, J= 7.2 Hz, 2 H), 4.35 (d, J= 8.8 Hz, 1 H), 4.21 (d, J= 8.8 Hz, 1 H), 3.59 (s, 3 H), 3.56 (dd, J= 12.0, 8.8 Hz, 1 H), 3.46 (dd, J= 12.0, 8.8 Hz, 1 H), 2.45 (br s, 1 H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 181.2, 174.2, 141.7, 140.6, 139.1, 131.1, 129.2, 128.1, 127.9, 127.7, 127.6, 126.7, 126.4, 125.4, 122.5, 110.5, 74.6, 71.0, 68.5, 61.7, 52.8, 52.3; HRMS (ESI): m/z calcd for $C_{26}H_{25}N_2O_4$ $[M+H]^+$ 429.1814 found 429.1807.

(±)-methyl (*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-2-oxo-4'-phenylspiro [indoline-3,2'-pyrrolidine]-5'-carboxylic acid (10d):

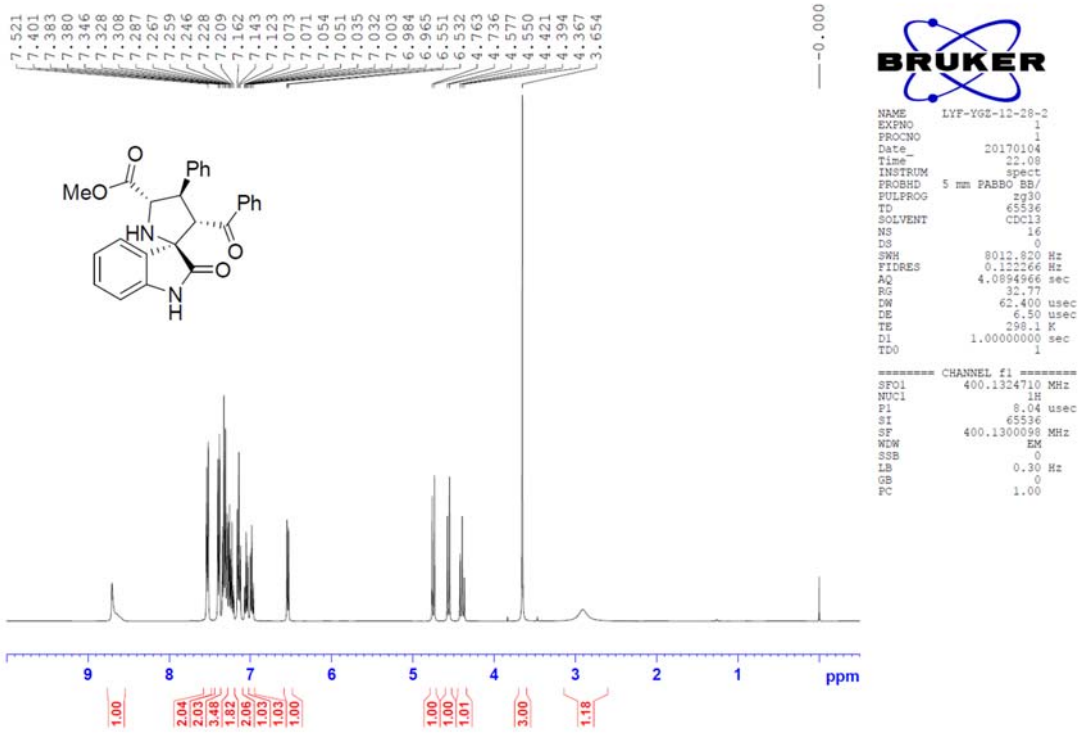
140 mg, 68 %, as a white solid, m.p.218.1-219.2 °C; IR (thin film): 3259, 2935, 1732, 1716, 1679, 1473, 1195, 755, 691 ν_{max} cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.44 (br s, 1 H), 7.46-7.42 (m, 3 H), 7.36-7.31 (m, 4 H), 7.28-7.20 (m, 3 H), 7.09 (d, J= 7.6 Hz, 1 H), 7.01 (td, J= 7.6, 1.2 Hz, 1 H), 6.87 (td, J= 7.6, 1.2 Hz, 1 H), 6.48 (d, J= 7.6 Hz, 1 H), 4.56 (d, J= 10.4 Hz, 1 H), 4.34 (d, J= 10.4 Hz, 1 H), 4.27 (d, J= 10.4 Hz, 1 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 196.8, 180.6, 173.6, 142.0, 139.6, 136.9, 133.8, 129.7, 129.1, 128.9, 128.4, 127.7, 127.5, 126.0, 122.2, 109.8, 69.4, 66.3, 62.5, 52.5; HRMS (ESI): m/z calcd for $C_{25}H_{21}N_2O_4$ $[M+H]^+$ 413.1501 found 413.1499.

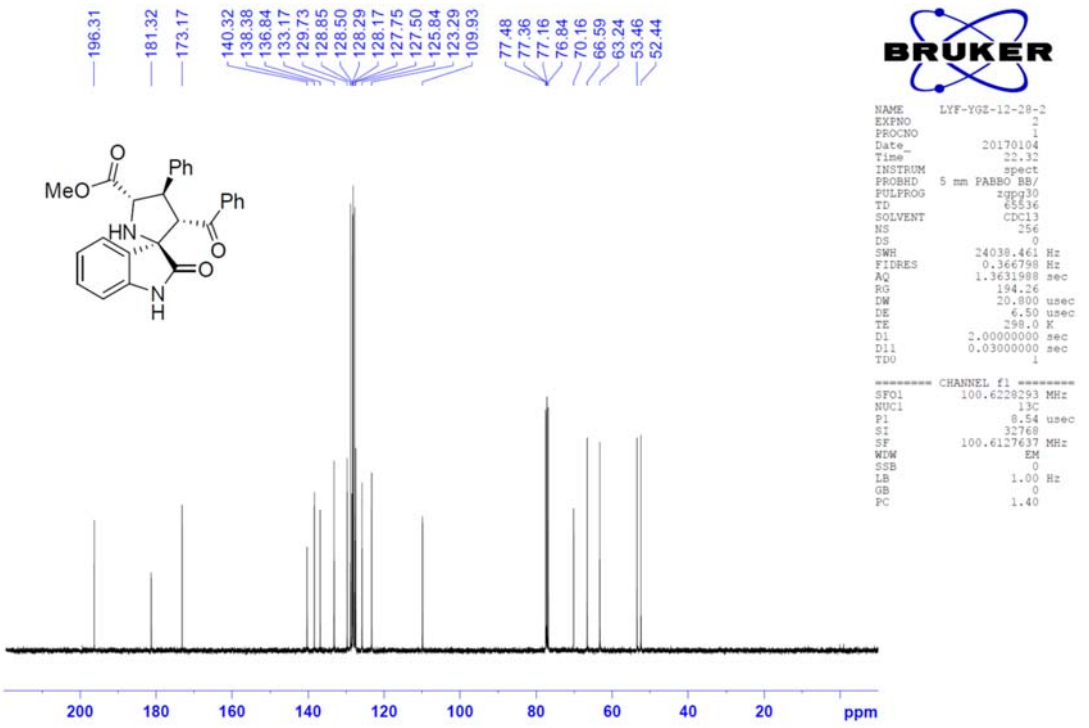
(±)-(*3R, 3'S, 4'R, 5'S*)-3'-benzoyl-2-oxo-4'-phenylspiro[indoline-3,2'-pyrrolidine]-5'-carboxamide (10e):

112 mg, 51%, a yellow solid, m.p.257.7-258.6 °C; IR (thin film): 3482, 3348, 2919, 1724, 1685, 1649, 1179, 1128, 752 ν_{max} cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6) δ 10.42 (br s, 1 H), 7.46-7.42 (m, 1 H), 7.43 (m, 4 H), 7.22 (t, J= 7.2

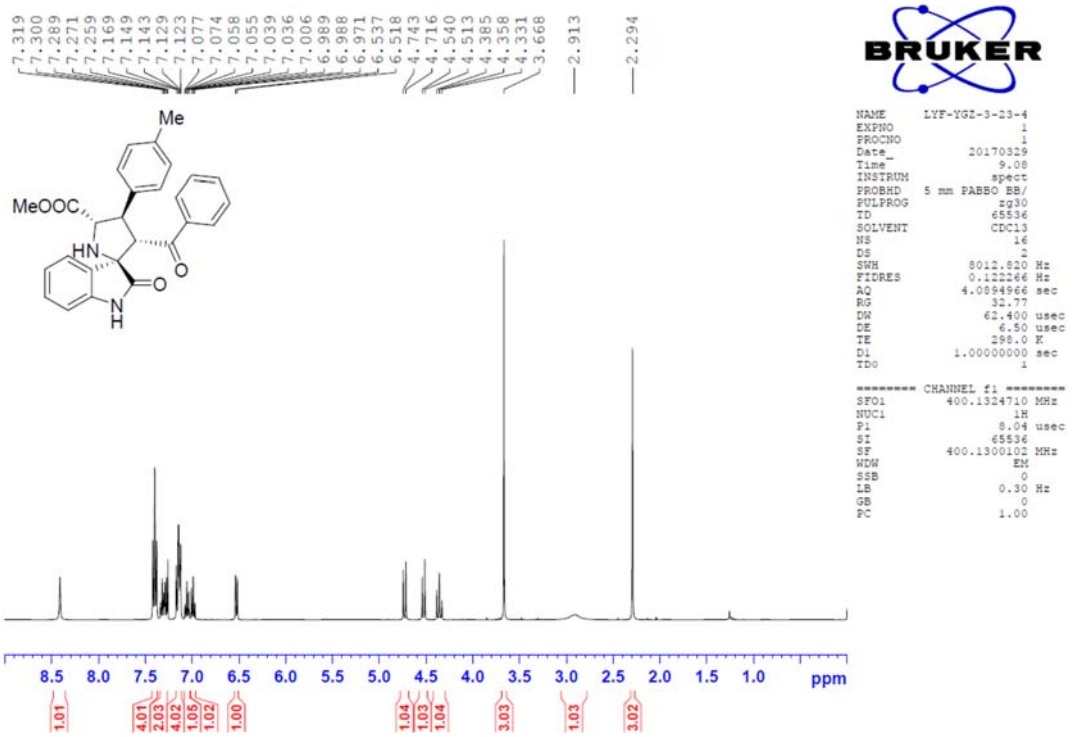
Hz, 1 H), 7.14 (d, J= 2.0 Hz, 1 H), 7.12 (d, J= 8.0 Hz, 1 H), 7.08 (dd, J= 8.4, 2.0 Hz, 1 H), 6.15 (d, J= 8.0 Hz, 1 H), 4.56 (d, J= 10.4 Hz, 1 H), 4.40-4.36 (m, 1 H), 4.33 (d, J= 10.0 Hz, 1 H), 4.11-3.98 (m, 3 H), 3.32 (s, 3 H), 2.25 (s, 3 H), 1.07 (t, J= 7.0 Hz, 3 H); ^{13}C NMR (100 MHz, DMSO-d_6): δ 195.9, 180.3, 172.3, 144.5, 140.7, 139.2, 134.4, 131.7, 129.6, 129.3, 129.1, 128.4, 128.0, 127.7, 126.5, 126.0, 111.2, 69.6, 66.3, 61.7, 61.0, 51.7, 21.5, 14.4; HRMS (ESI): m/z calcd for $C_{25}H_{22}N_3O_3$ $[M+H]^+$ 412.1661 found 412.1617.

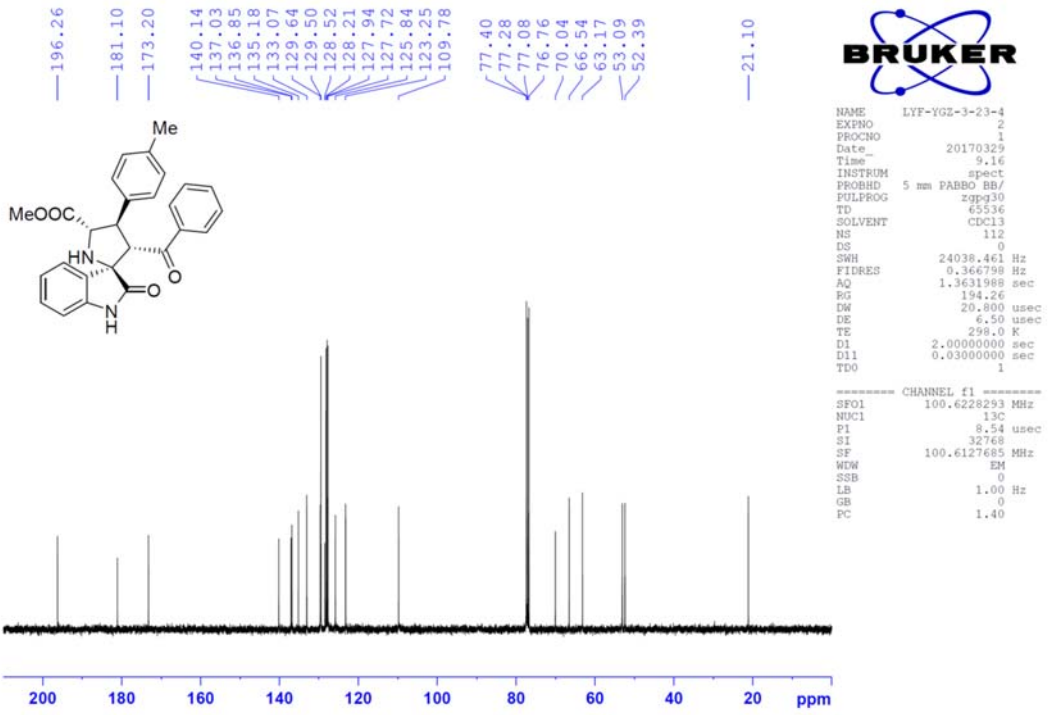
^{1}H NMR and ^{13}C NMR Spectra for Compound **4a**



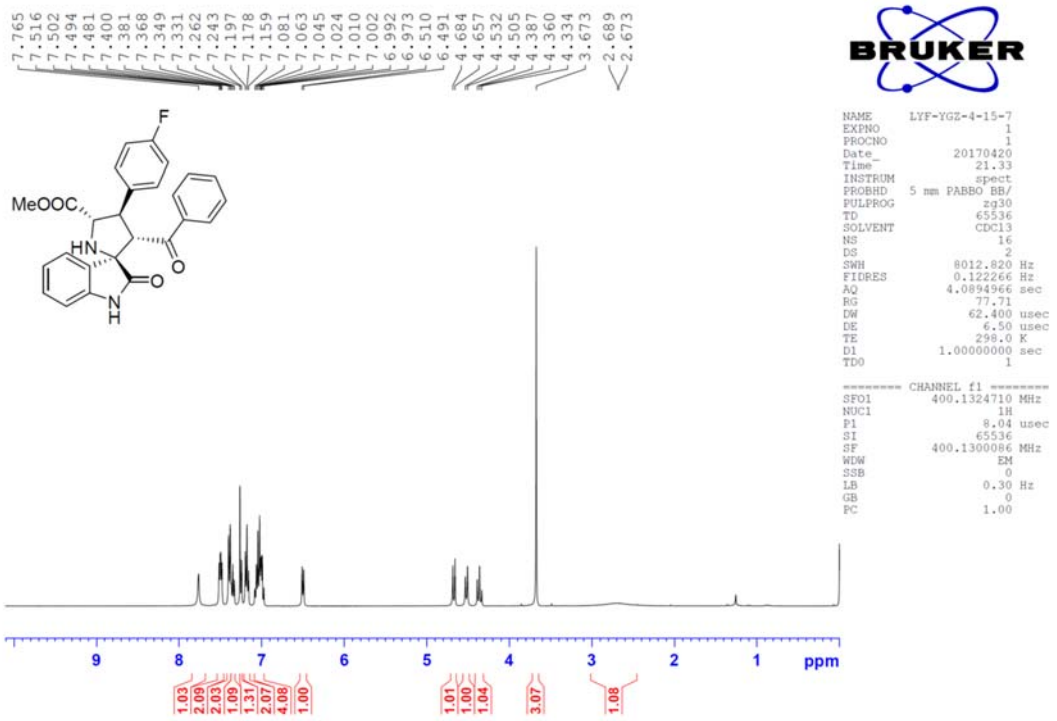


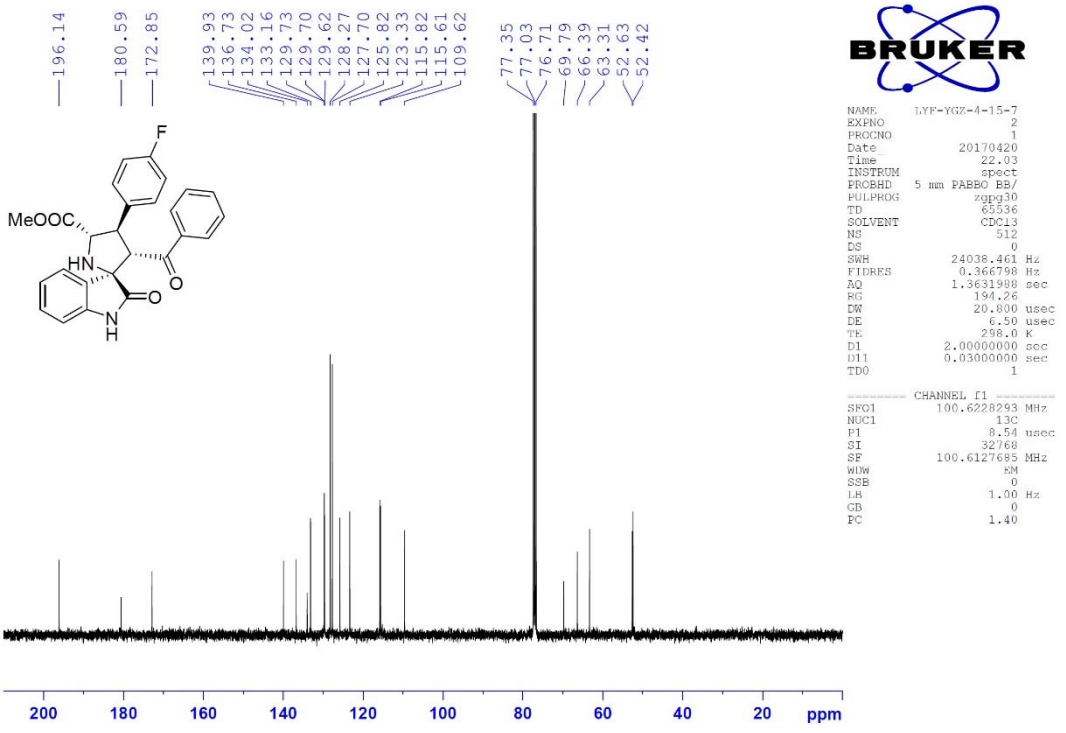
^{1}H NMR and ^{13}C NMR Spectra for Compound **4b**



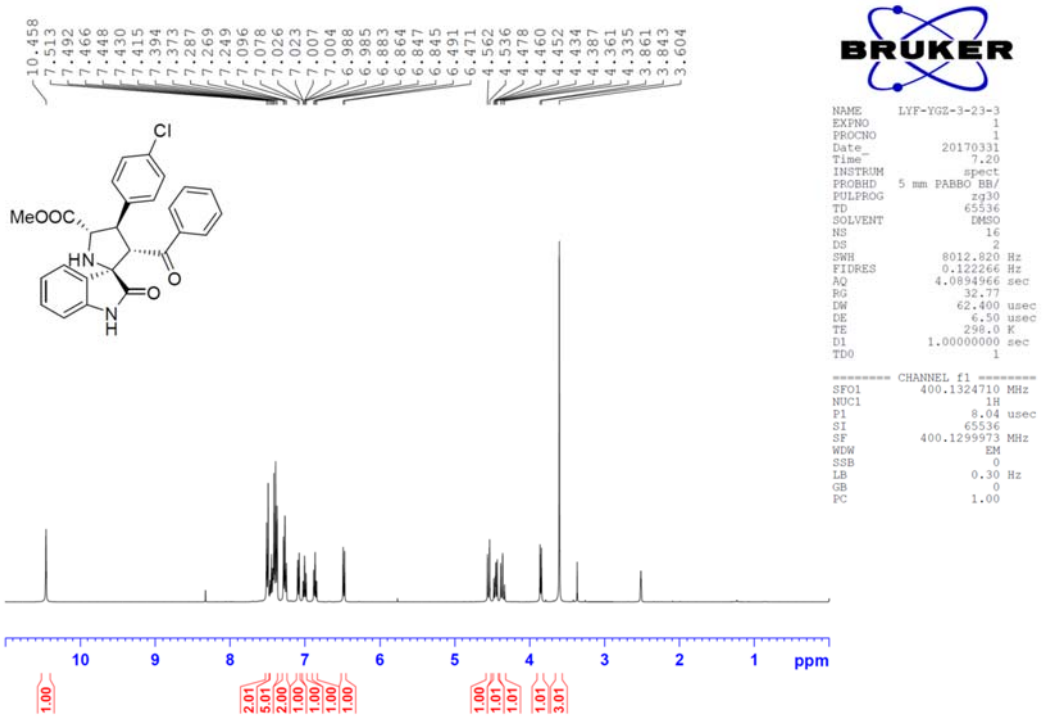


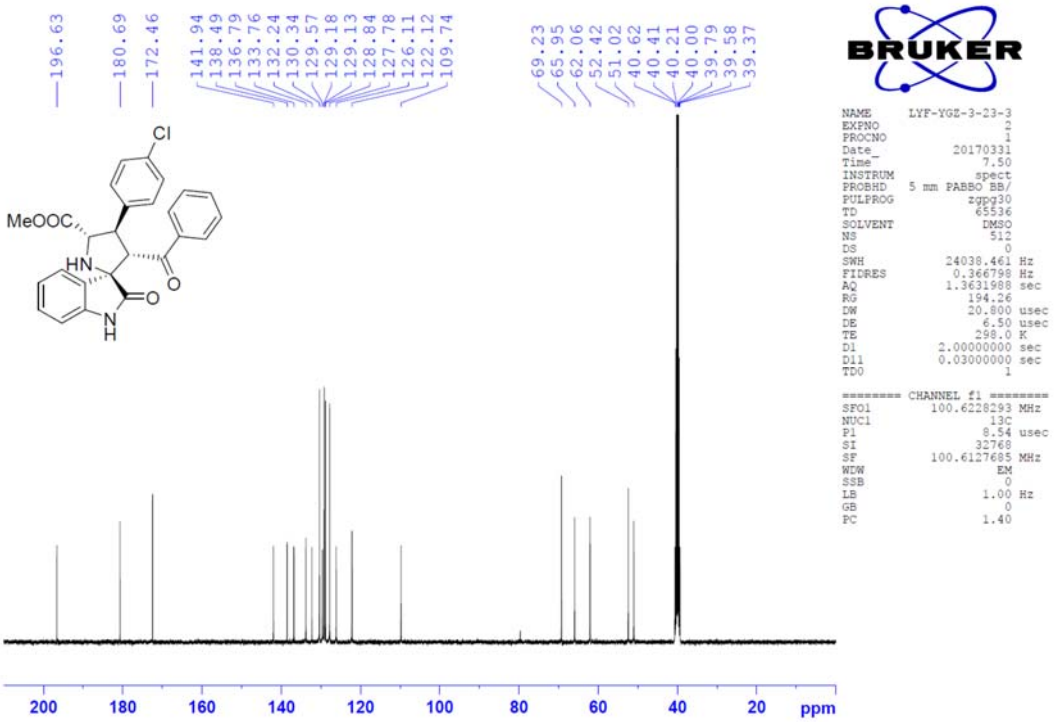
^{1}H NMR and ^{13}C NMR Spectra for Compound **4c**



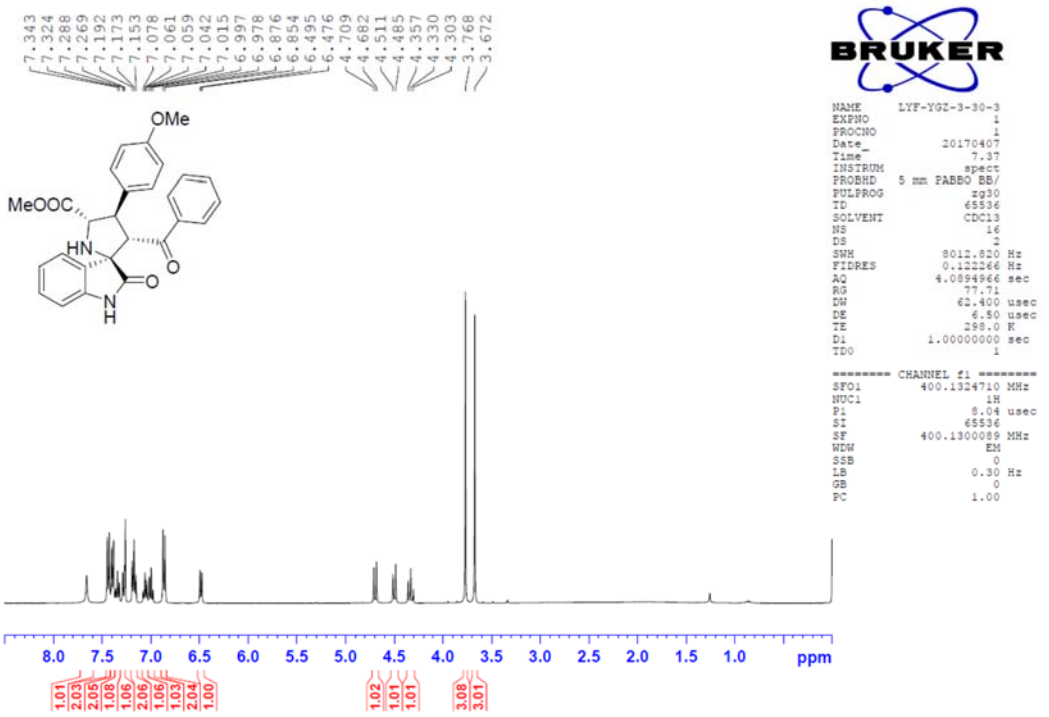


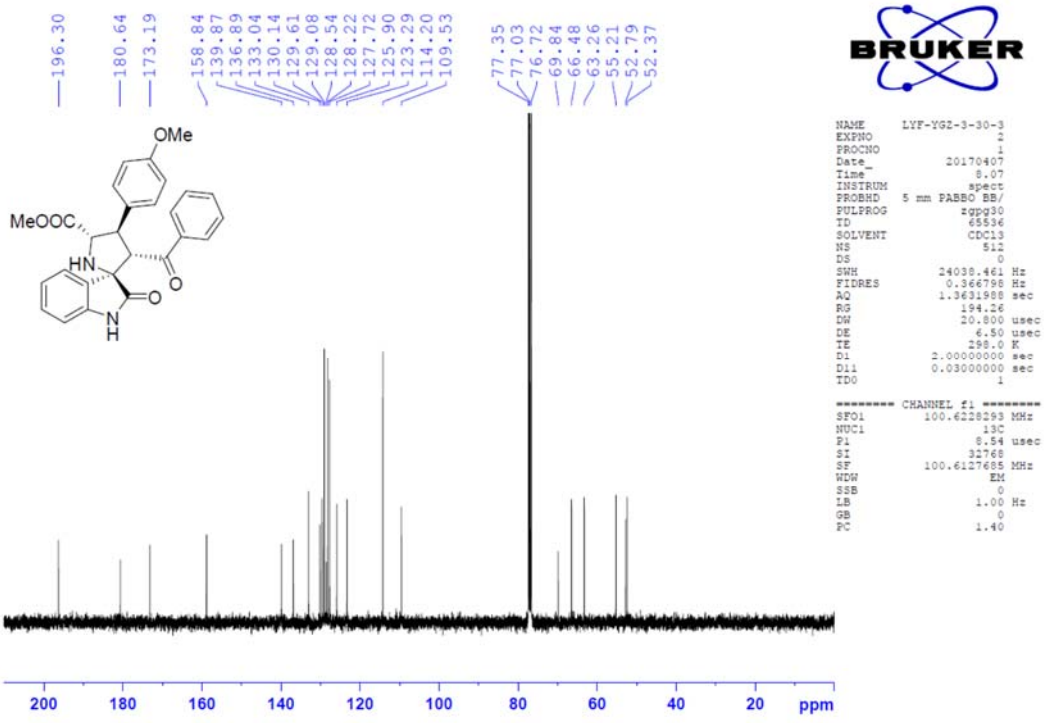
^{1}H NMR and ^{13}C NMR Spectra for Compound **4d**



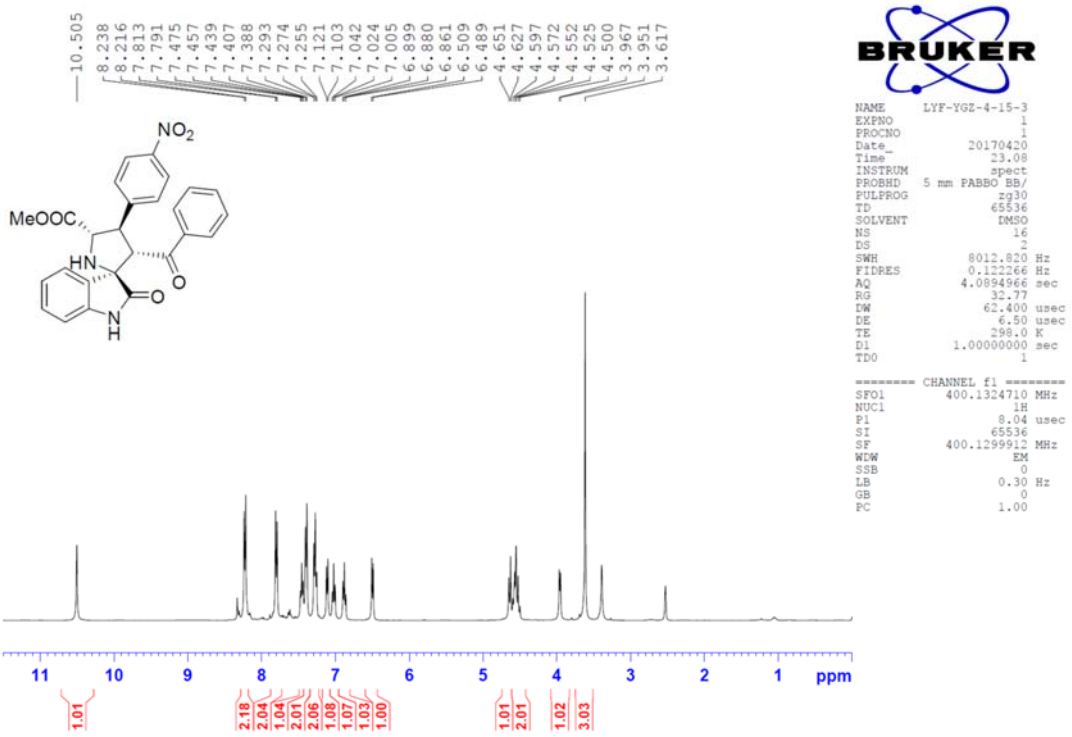


^{1}H NMR and ^{13}C NMR Spectra for Compound **4e**





^{1}H NMR and ^{13}C NMR Spectra for Compound **4f**



BRUKER

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DE                     6.50 usec
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D1                 1.00000000 sec
TD0                        1

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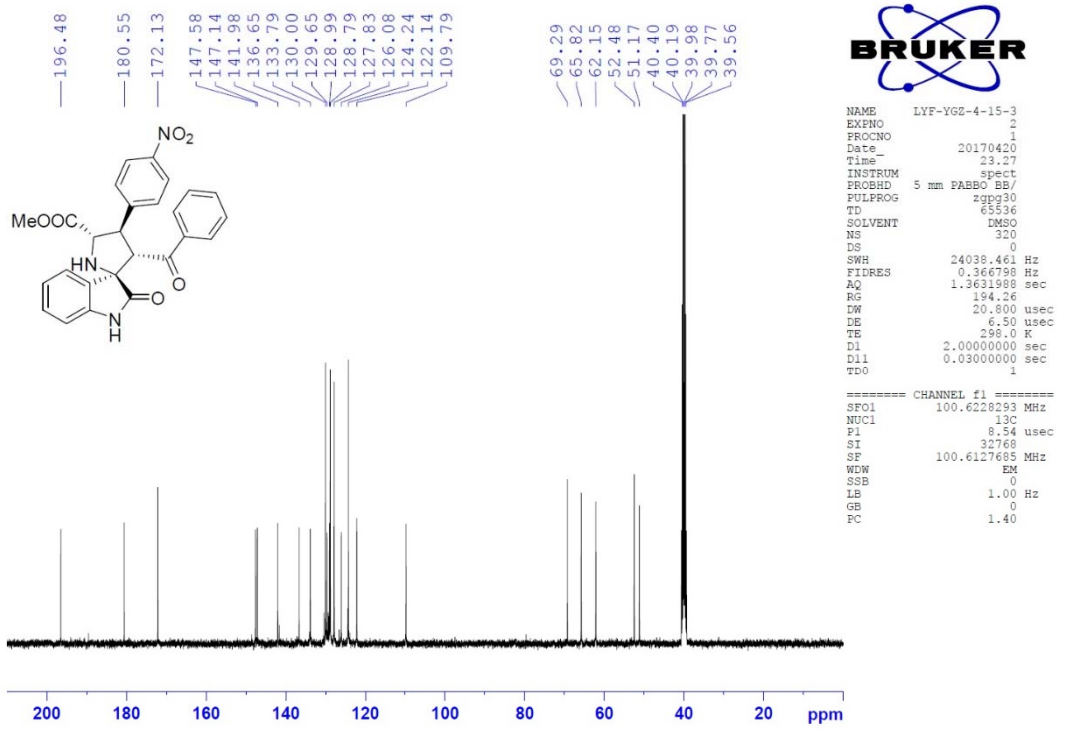

BRUKER

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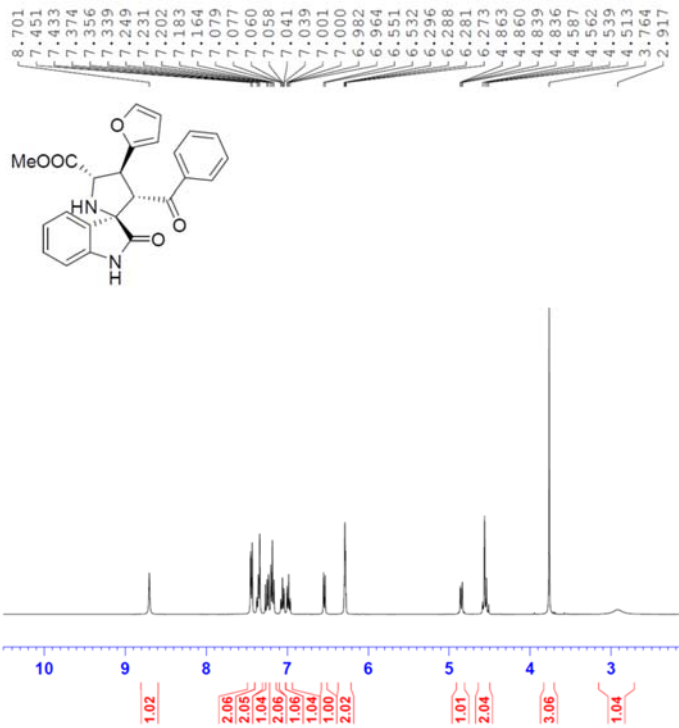
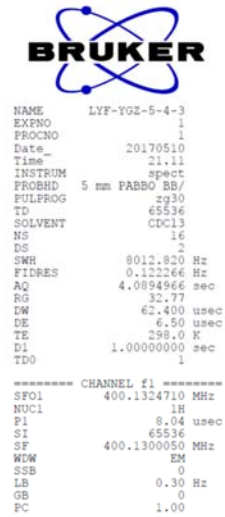
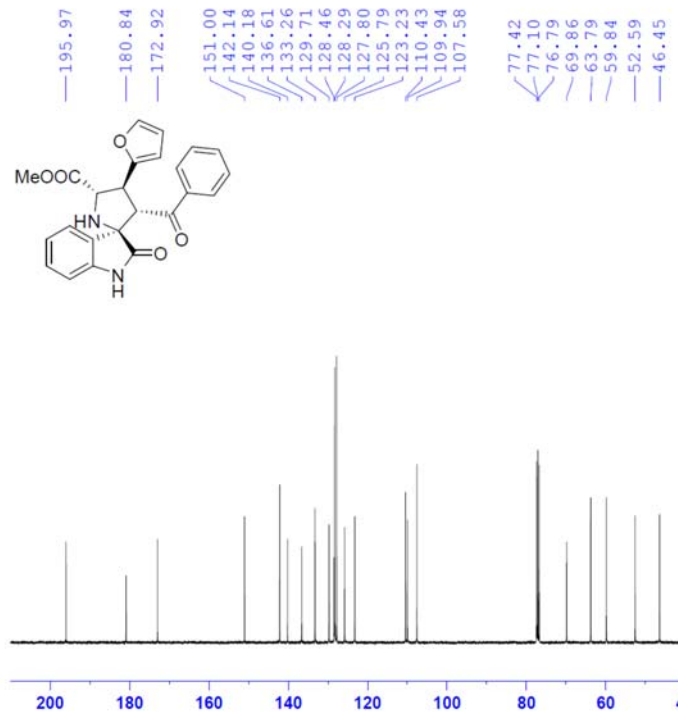
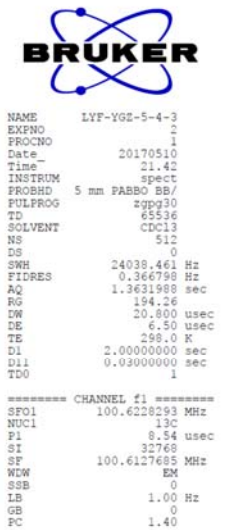
^{1}H NMR and ^{13}C NMR Spectra for Compound **4g**

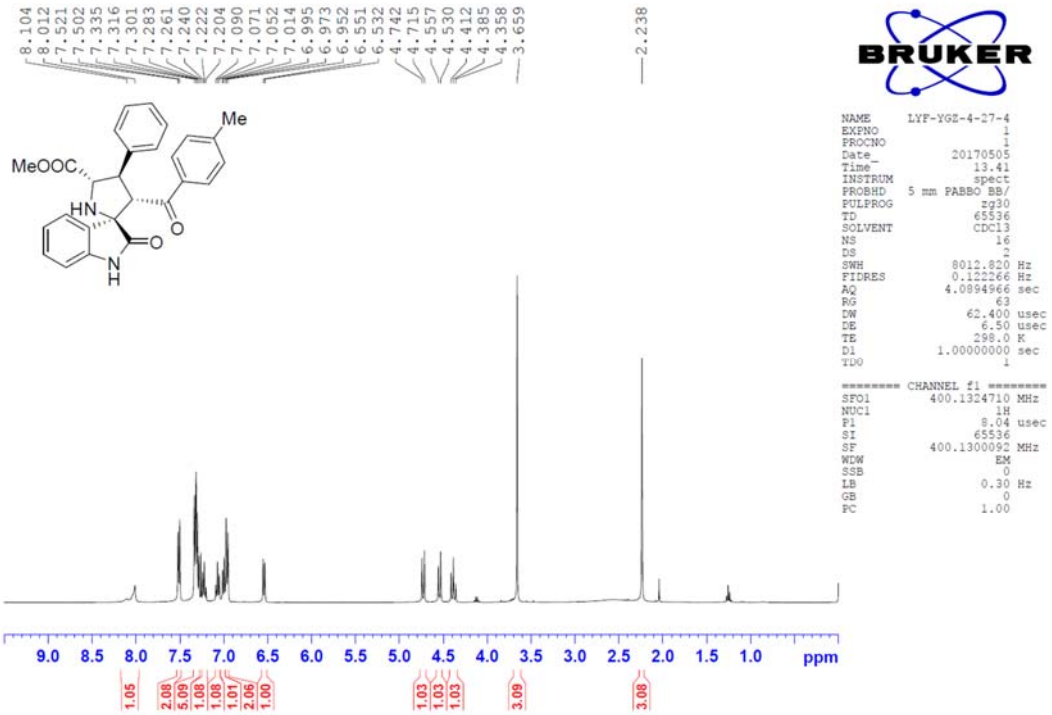


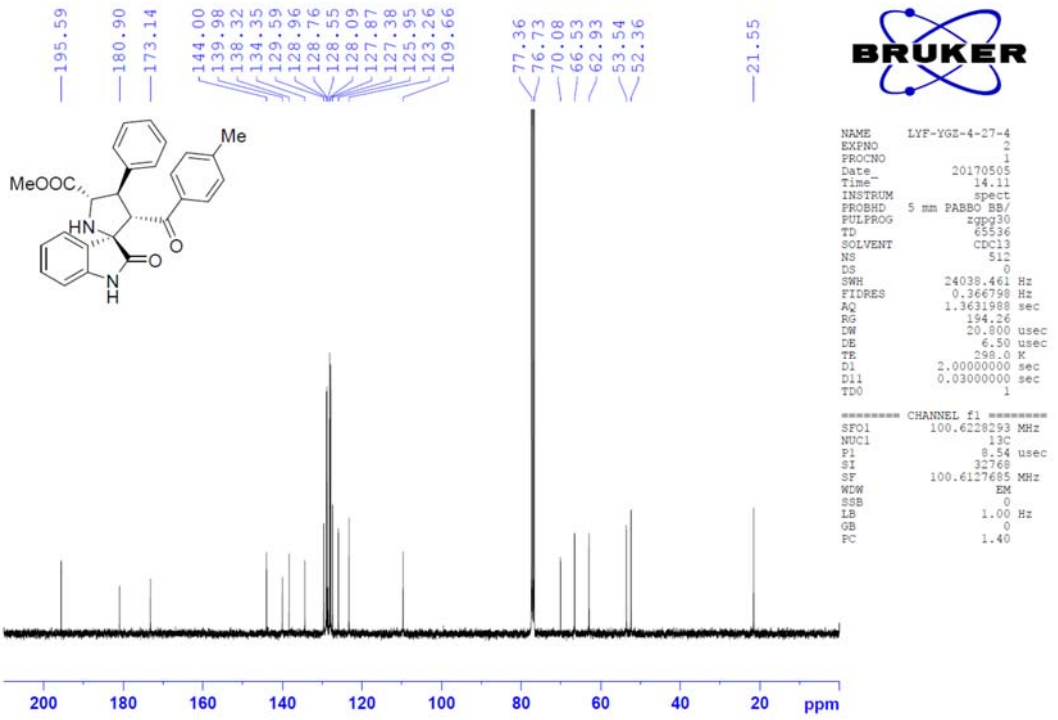




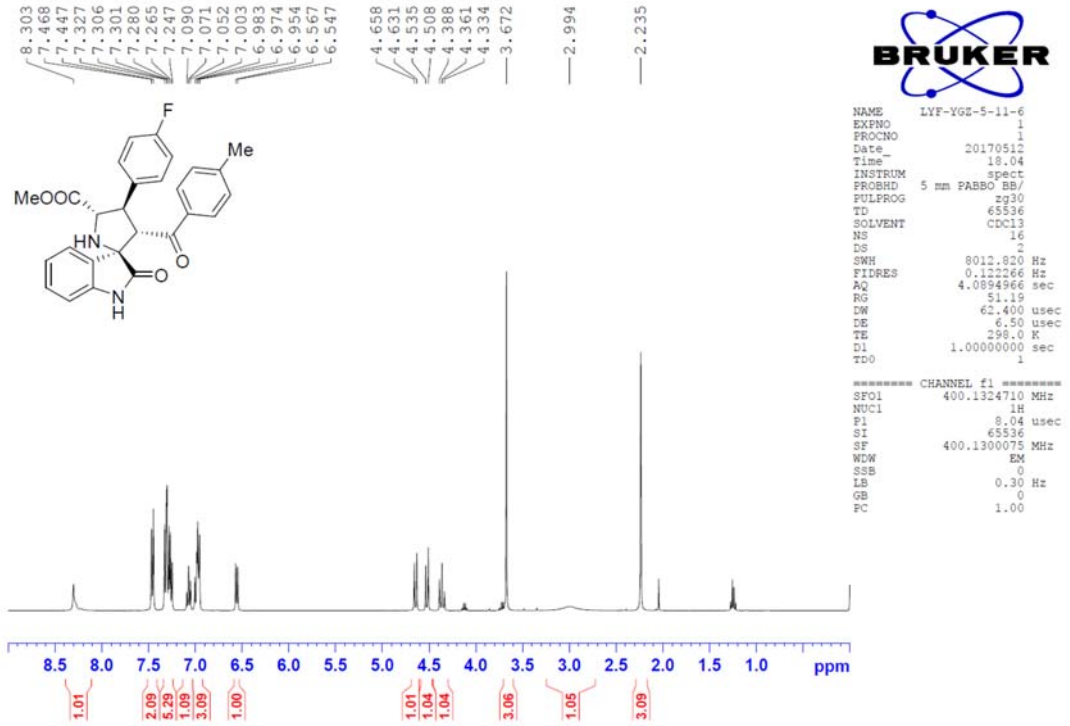


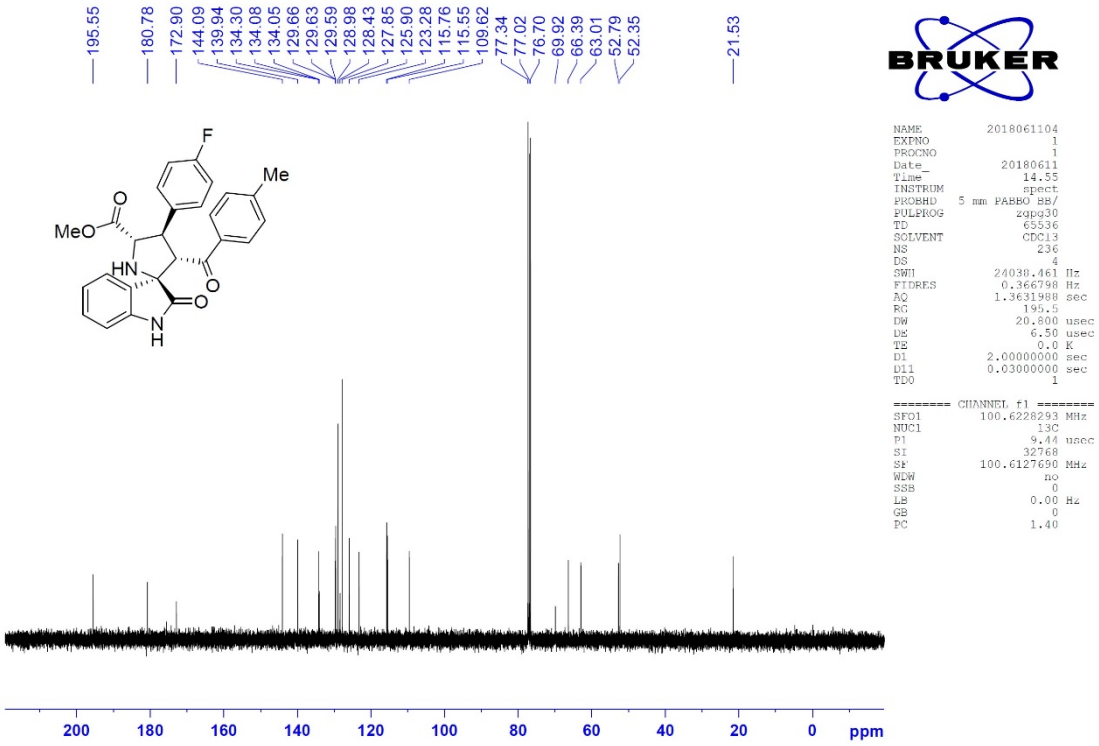
^{1}H NMR and ^{13}C NMR Spectra for Compound **4h**



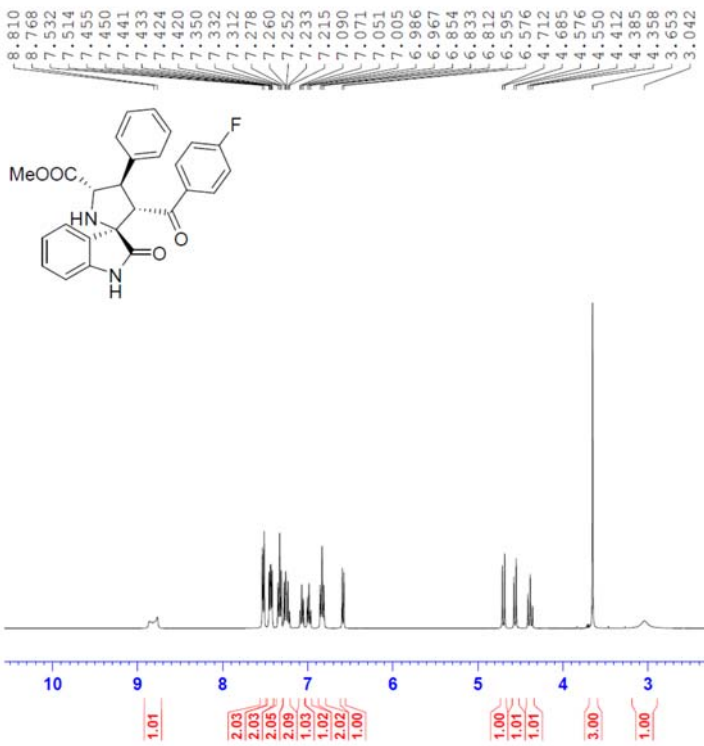


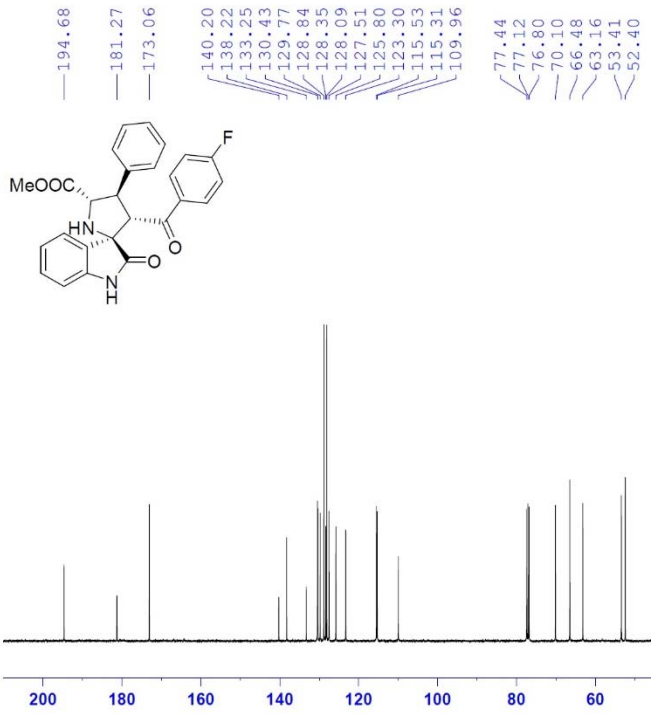
^{1}H NMR and ^{13}C NMR Spectra for Compound **4i**

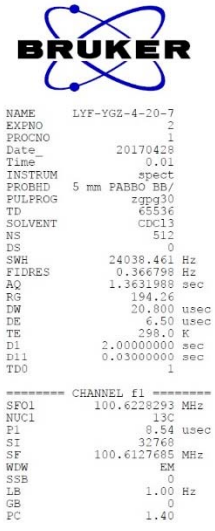




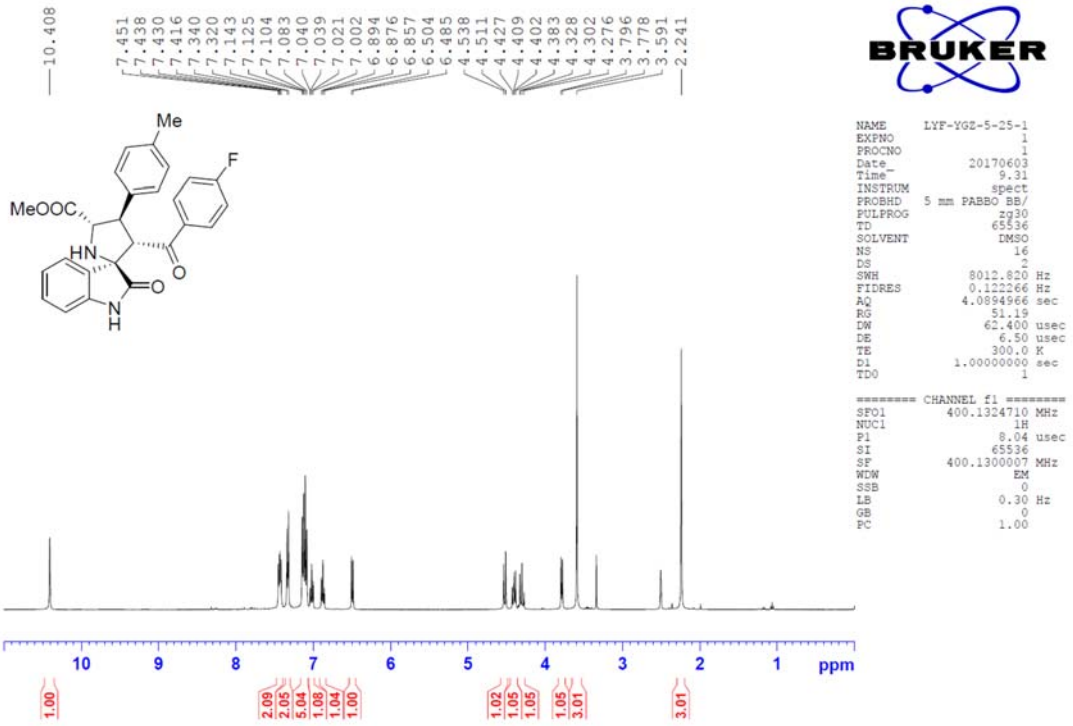
^{1}H NMR and ^{13}C NMR Spectra for Compound **4j**

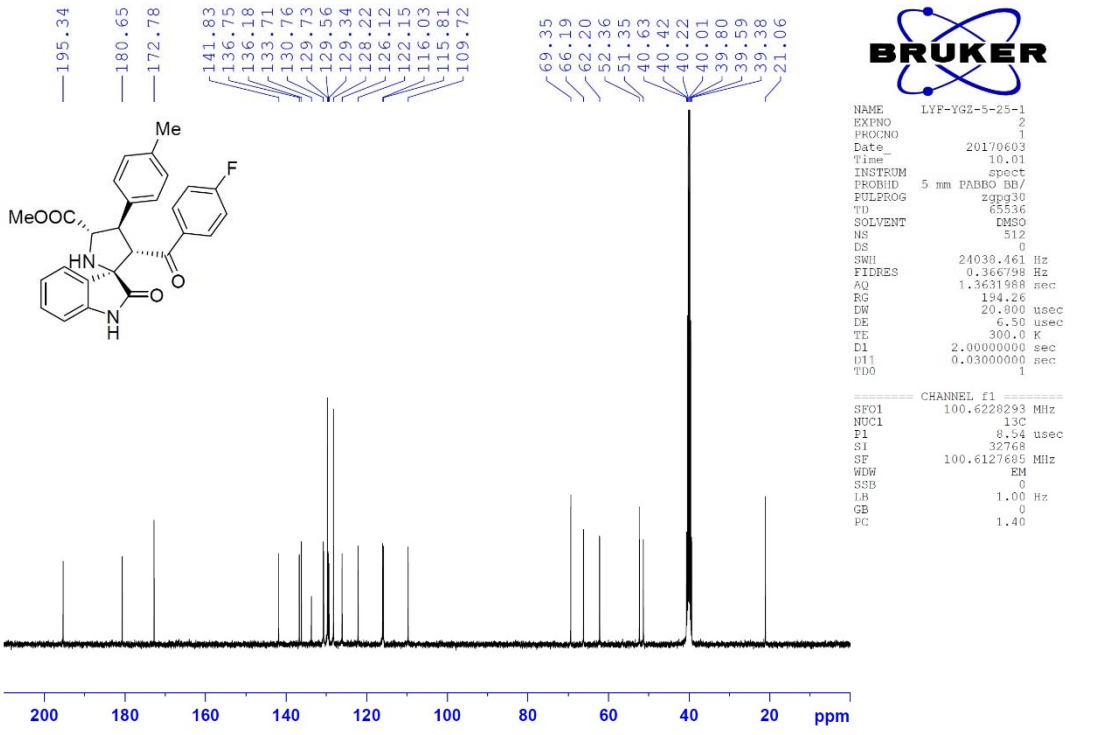




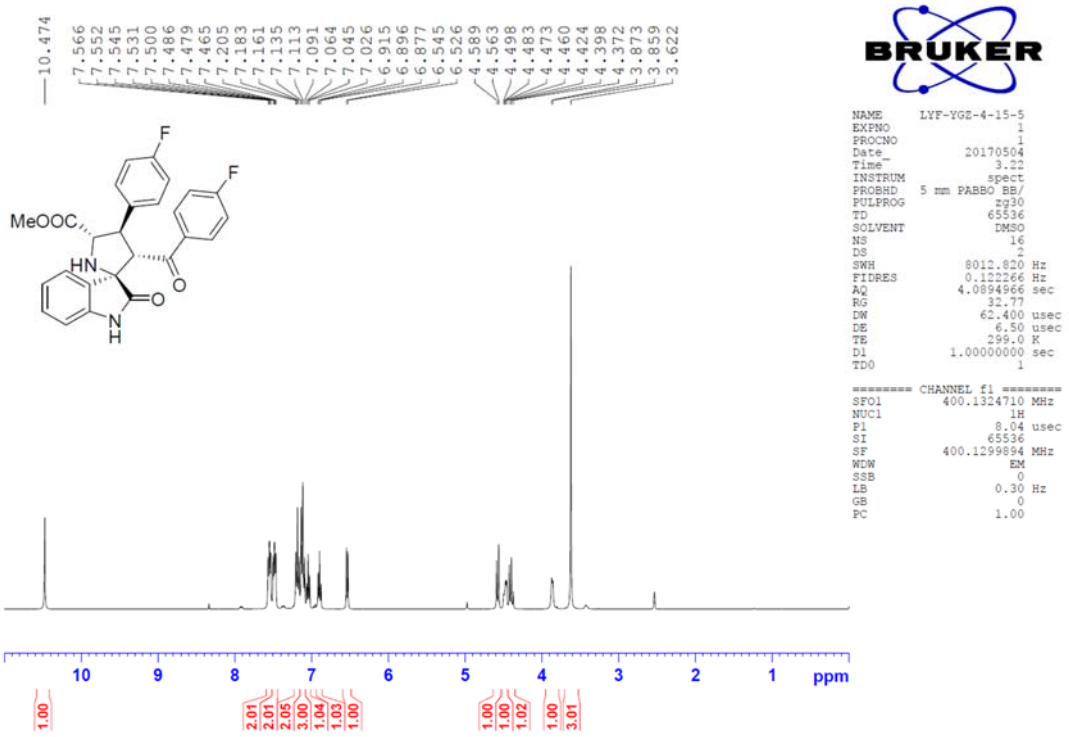


^{1}H NMR and ^{13}C NMR Spectra for Compound **4k**



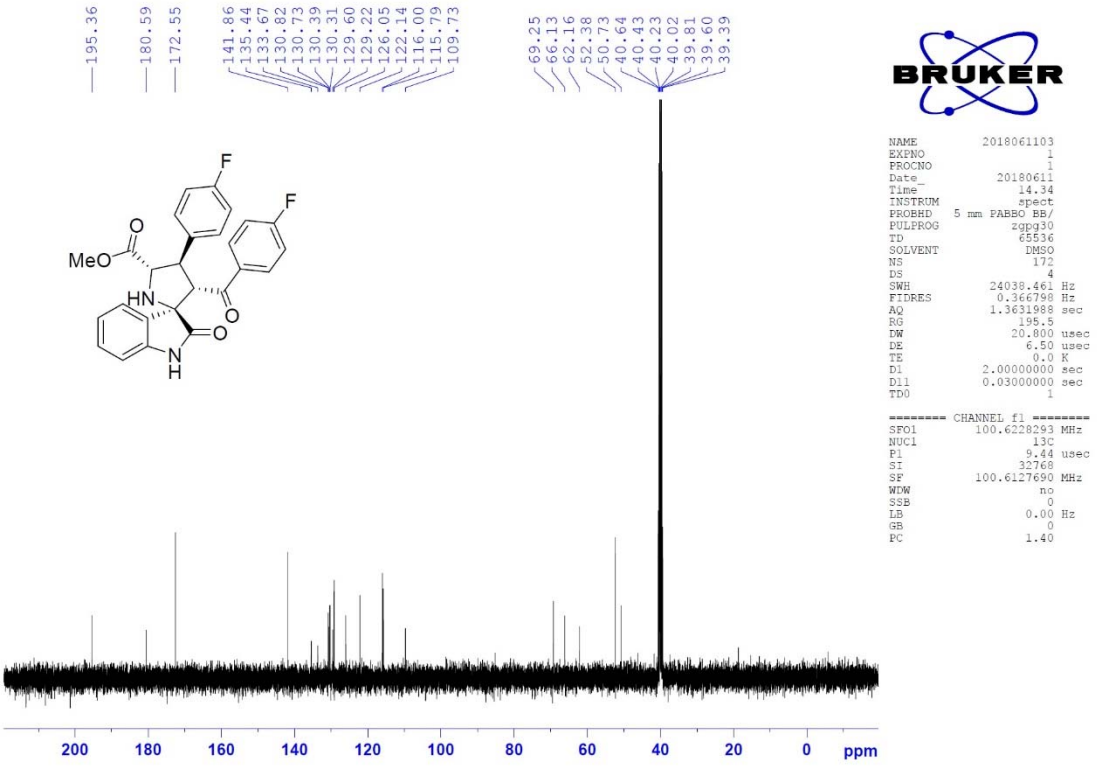


^{1}H NMR and ^{13}C NMR Spectra for Compound **4l**



BRUKER

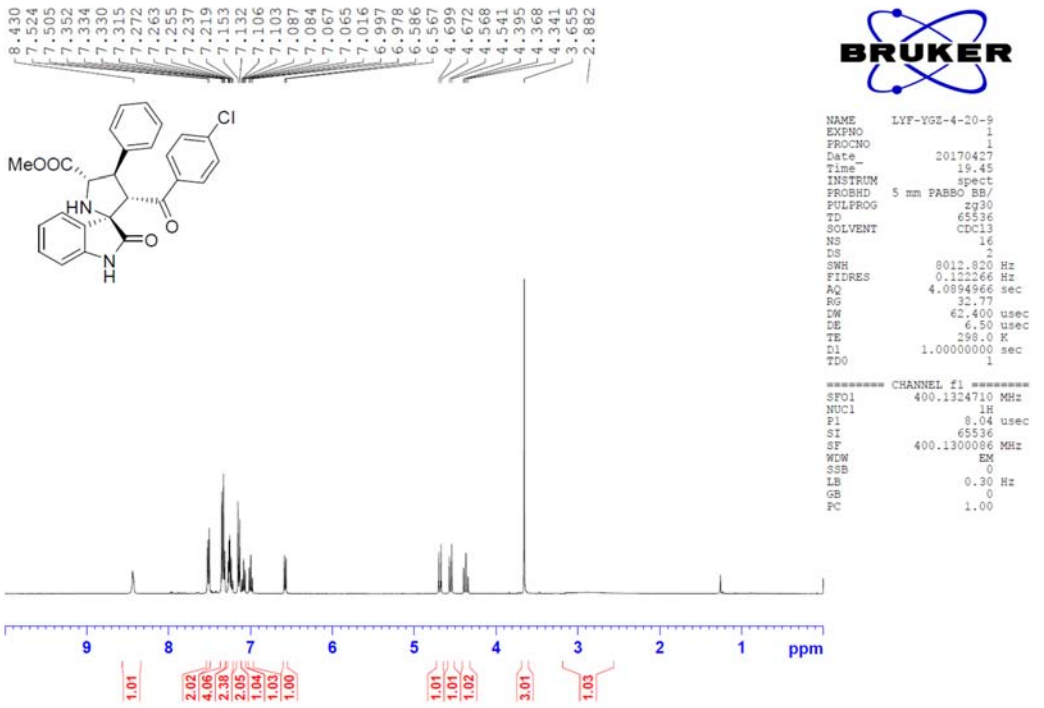
```
NAME          LYF-YGZ-4-15-5
EXPNO                      1
PROCNO                     1
Date_               20170504
Time_                   3.22
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG                zg30
TD                    65536
SOLVENT                DMSO
NS                       16
DS                        2
SWH                8012.820 Hz
FIDRES            0.122266 Hz
AQ                4.0894966 sec
RG                     32.77
DW                    62.400 usec
DE                     6.50 usec
TE                   299.0 K
D1                1.00000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1           400.1324710 MHz
NUC1                     1H
P1                     8.04 usec
SI                    65536
SF             400.1299894 MHz
WDW                      EM
SSB                       0
LB                     0.30 Hz
GB                        0
PC                     1.00
```

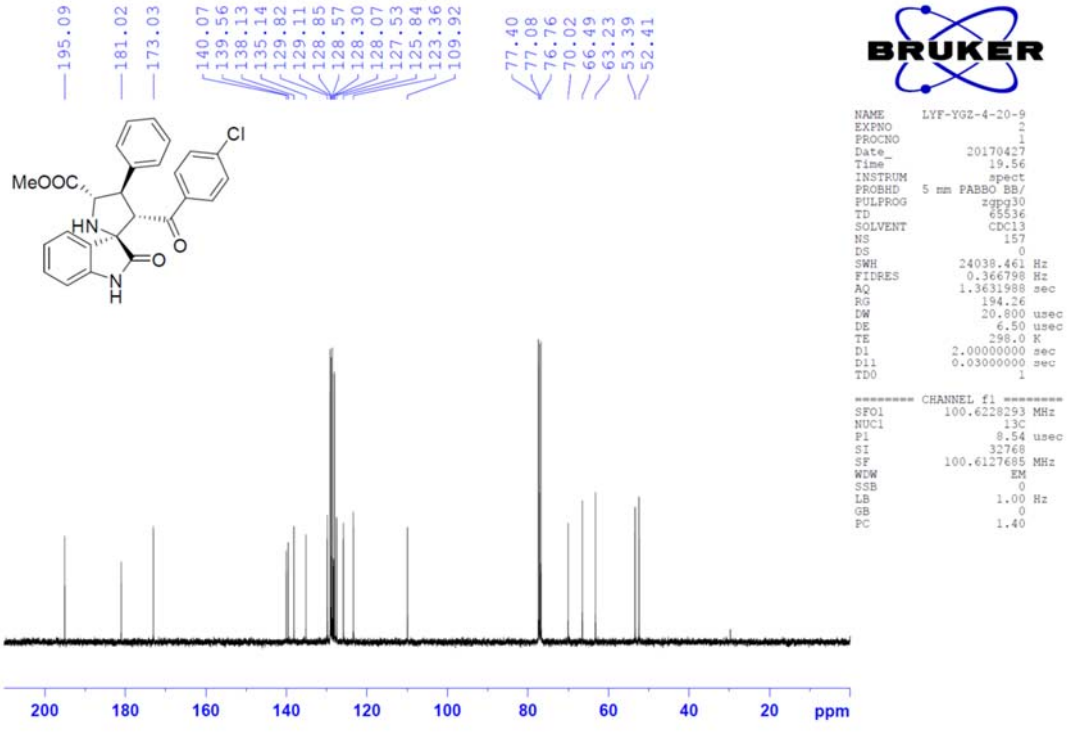


BRUKER

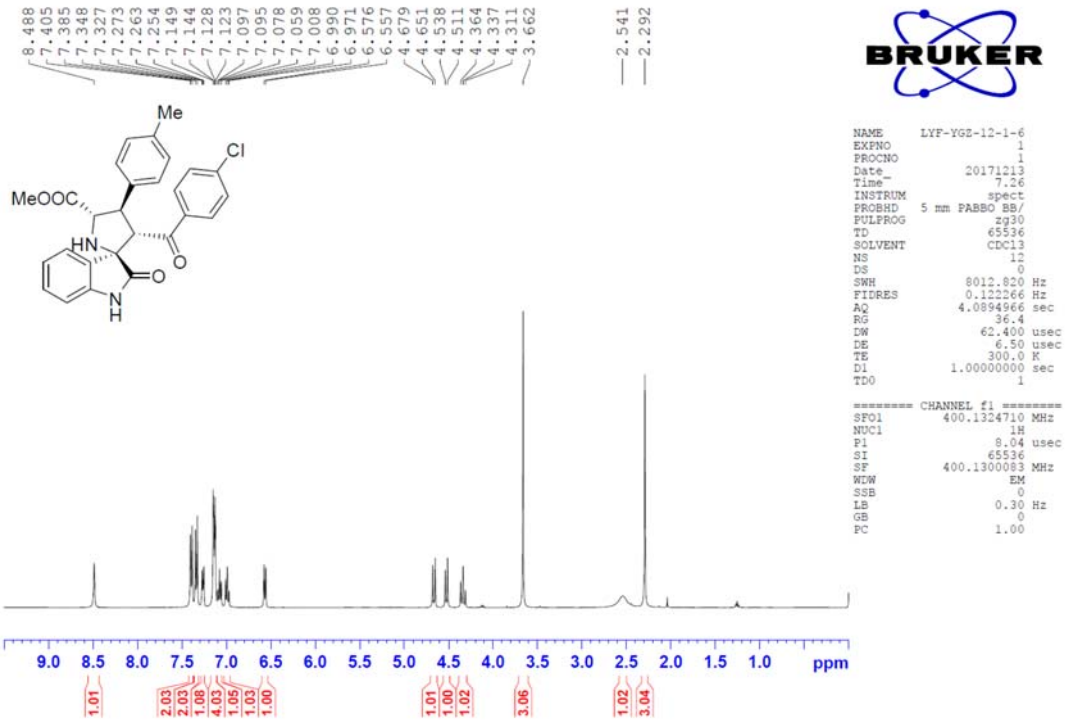
```
NAME          2018061103
EXPNO                      1
PROCNO                     1
Date_               20180611
Time_                  14.34
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG             zgpg30
TD                    65536
SOLVENT                DMSO
NS                       172
DS                         4
SWH               24038.461 Hz
FIDRES            0.366798 Hz
AQ                1.3631988 sec
RG                     195.5
DW                    20.800 usec
DE                     6.50 usec
TE                     0.0 K
D1                2.00000000 sec
D11               0.03000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1           100.6228293 MHz
NUC1                     13C
P1                     9.44 usec
SI                    32768
SF             100.6127690 MHz
WDW                      no
SSB                       0
LB                     0.00 Hz
GB                        0
PC                     1.40
```

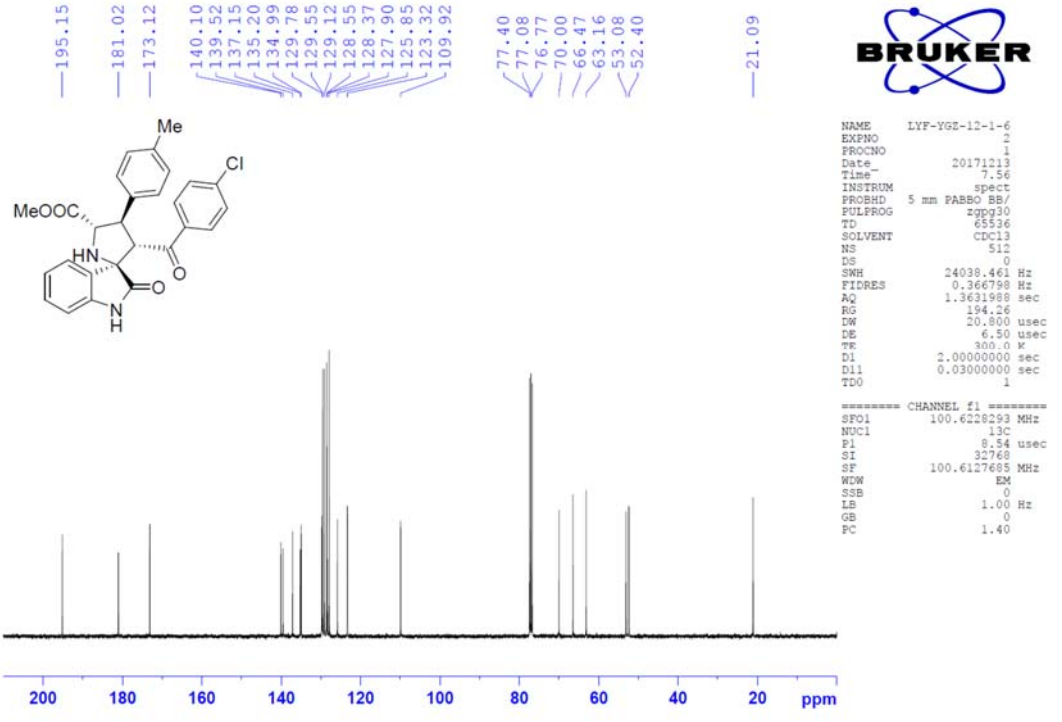
^{1}H NMR and ^{13}C NMR Spectra for Compound **4m**



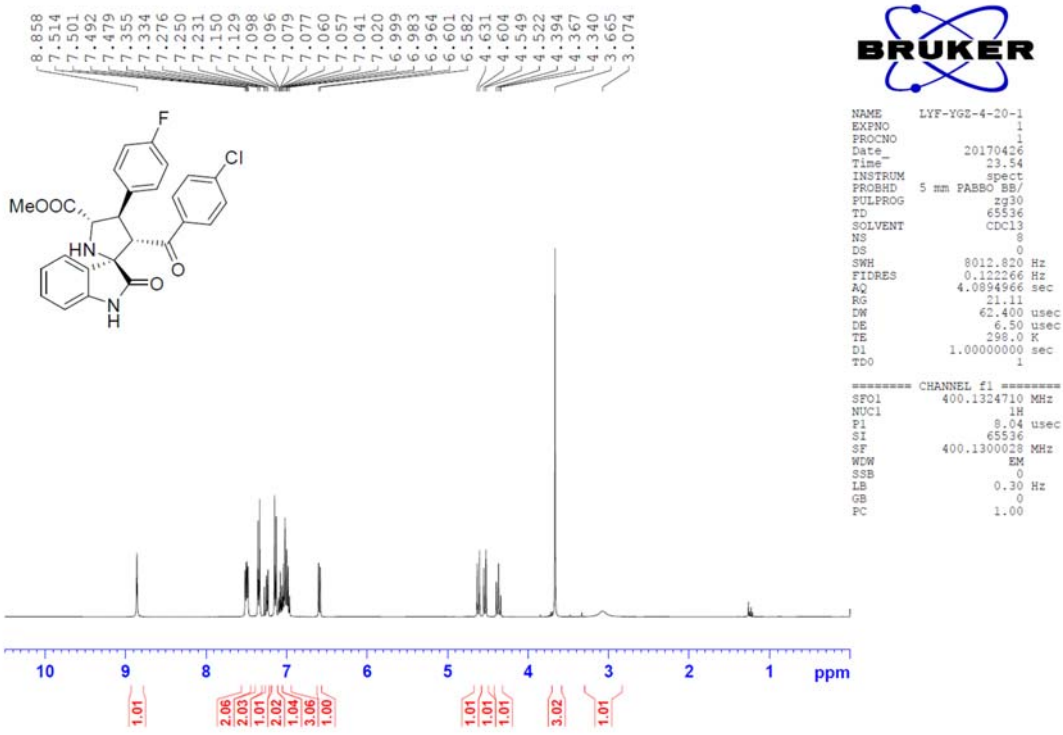


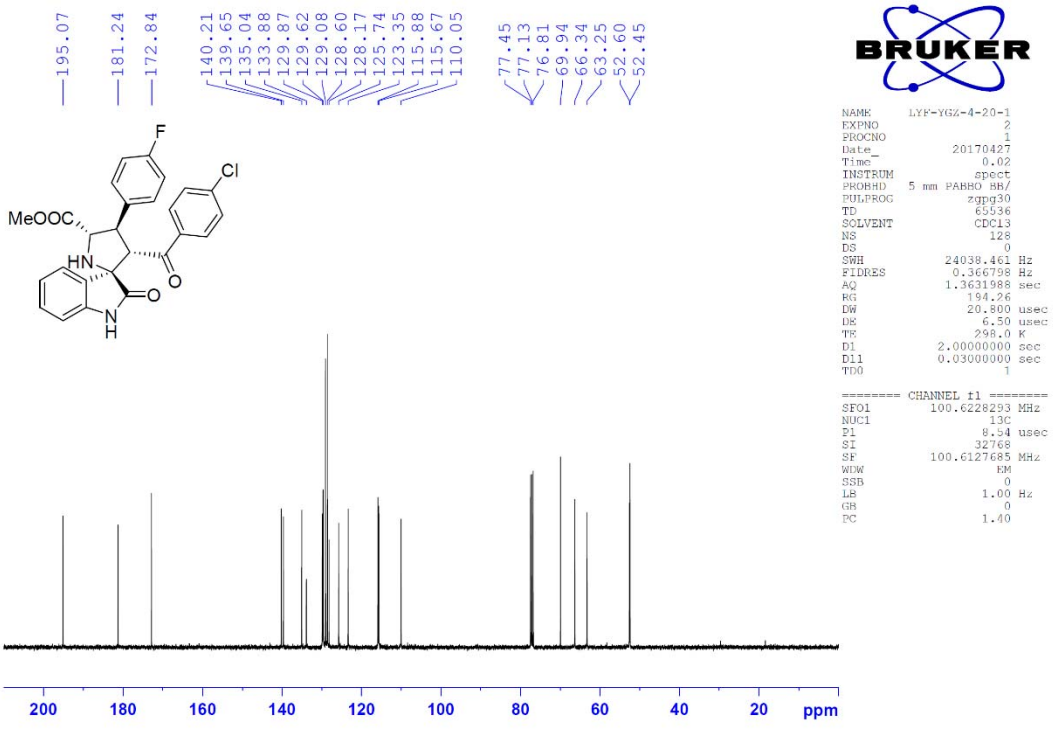
^{1}H NMR and ^{13}C NMR Spectra for Compound **4n**



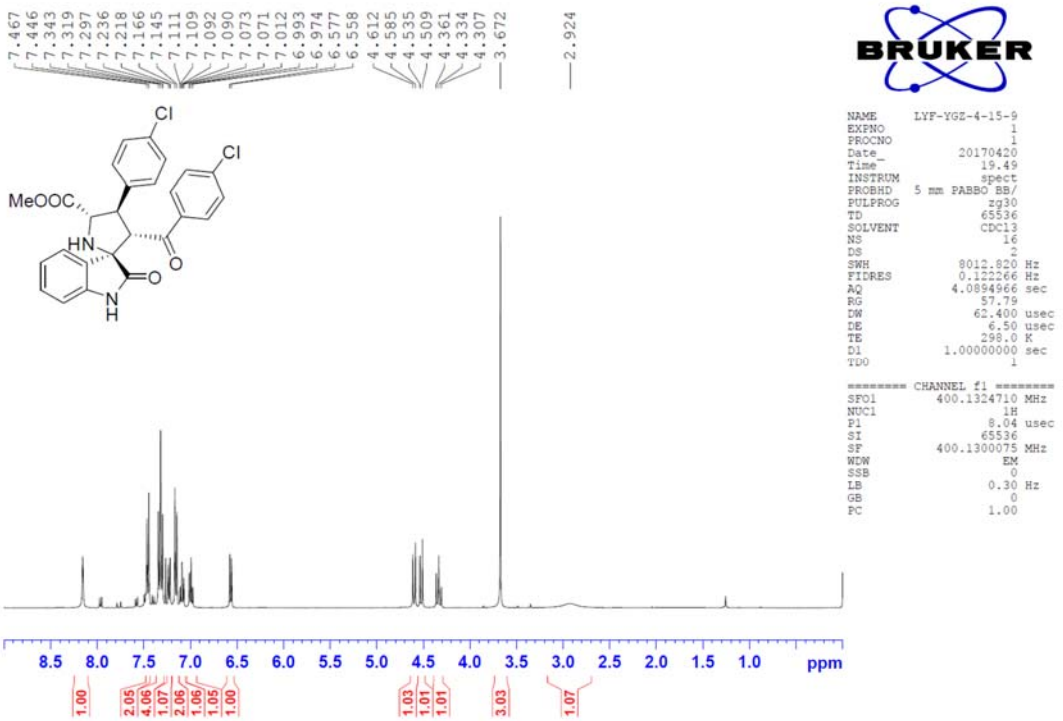


^{1}H NMR and ^{13}C NMR Spectra for Compound **4o**



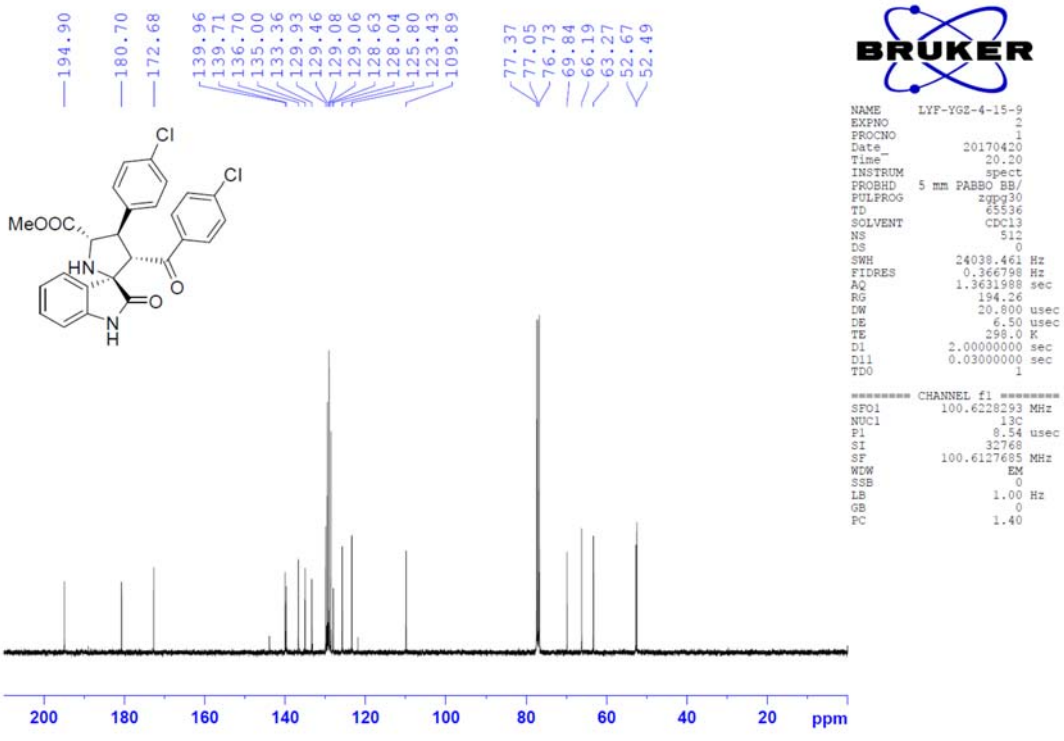


^{1}H NMR and ^{13}C NMR Spectra for Compound **4p**



BRUKER

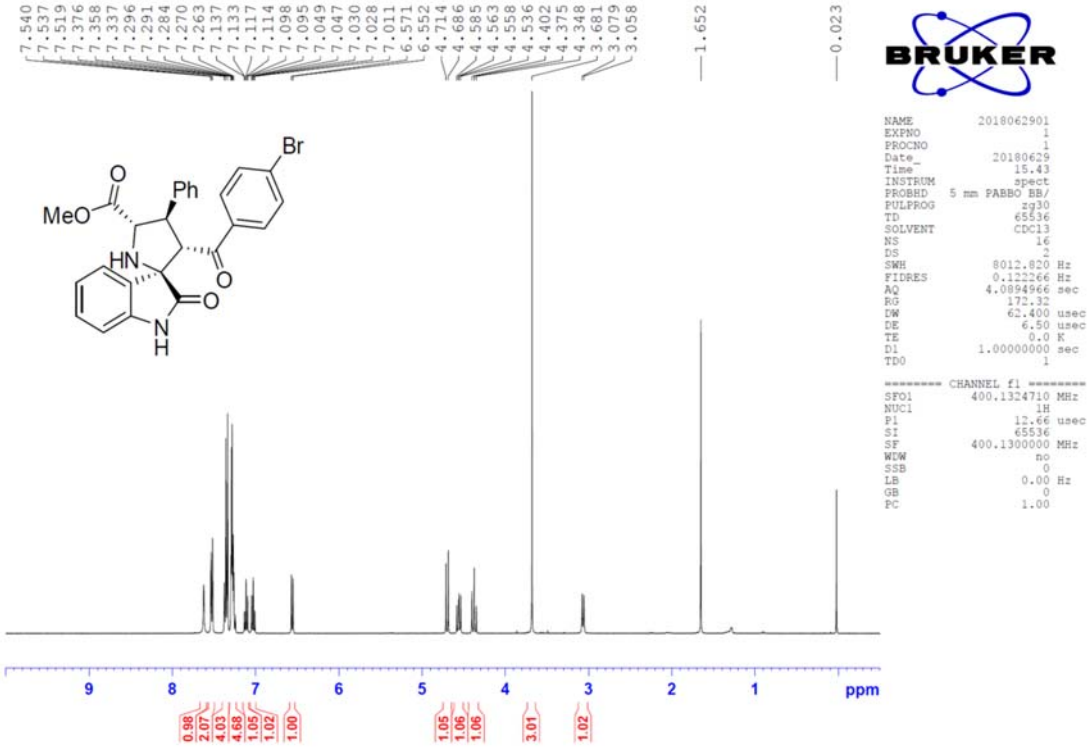
```
NAME          LYF-YGZ-4-15-9
EXPNO                      1
PROCNO                     1
Date_               20170420
Time                   19.49
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG                zg30
TD                    65536
SOLVENT               CDCl3
NS                       16
DS                        2
SWH                8012.820 Hz
FIDRES             0.122266 Hz
AQ                 4.0894966 sec
RG                     57.79
DW                    62.400 usec
DE                     6.50 usec
TE                   298.0 K
D1                1.00000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1          400.1324710 MHz
NUC1                     1H
P1                     8.04 usec
SI                    65536
SF            400.1300075 MHz
WDW                      EM
SSB                       0
LB                     0.30 Hz
GB                        0
PC                     1.00
```

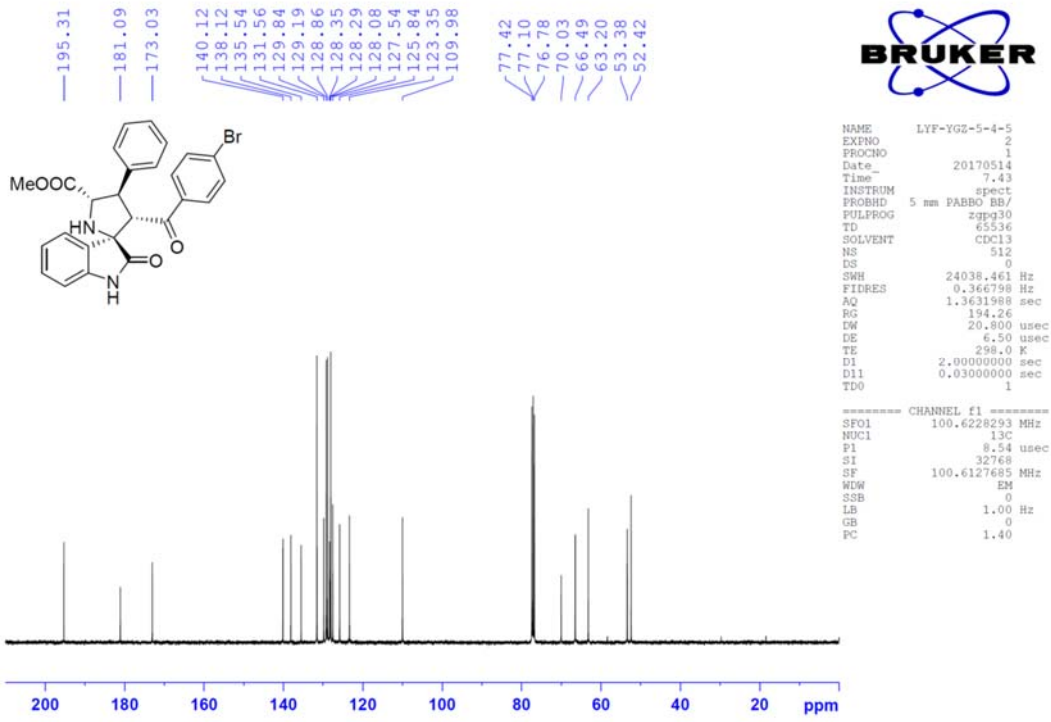


BRUKER

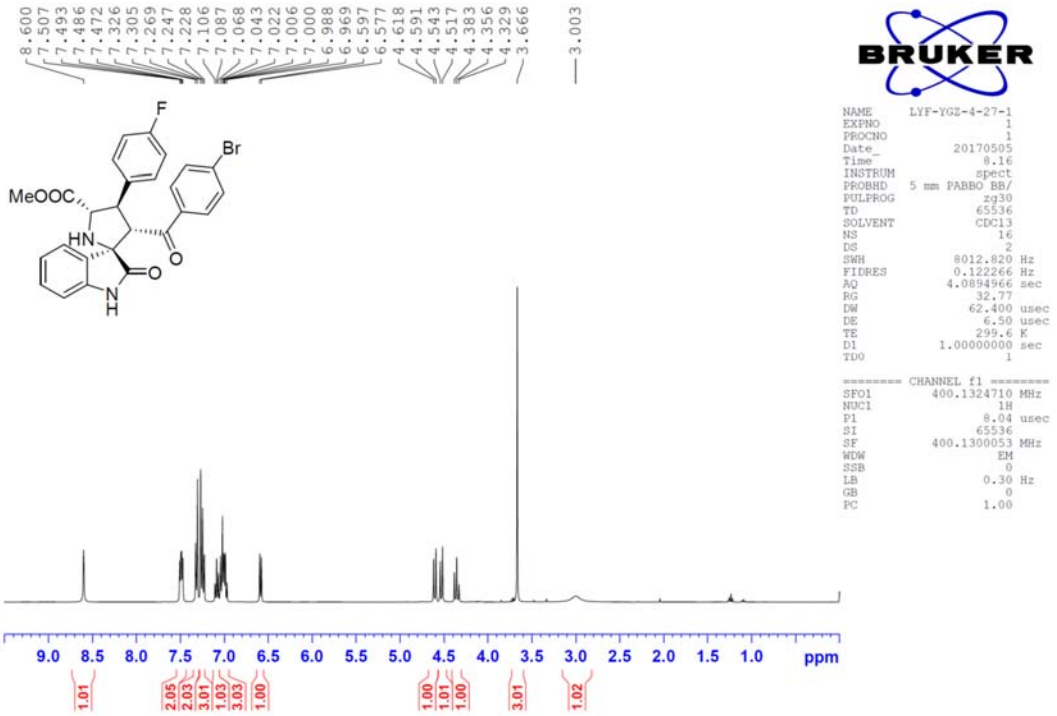
```
NAME          LYF-YGZ-4-15-9
EXPNO                      2
PROCNO                     1
Date_               20170420
Time                   20.20
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG              zgpg30
TD                    65536
SOLVENT               CDCl3
NS                      512
DS                        0
SWH               24038.461 Hz
FIDRES             0.366798 Hz
AQ                 1.3631988 sec
RG                    194.26
DW                    20.800 usec
DE                     6.50 usec
TE                   298.0 K
D1                2.00000000 sec
D11               0.03000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1          100.6228293 MHz
NUC1                     13C
P1                     8.54 usec
SI                    32768
SF            100.6127685 MHz
WDW                      EM
SSB                       0
LB                     1.00 Hz
GB                        0
PC                     1.40
```

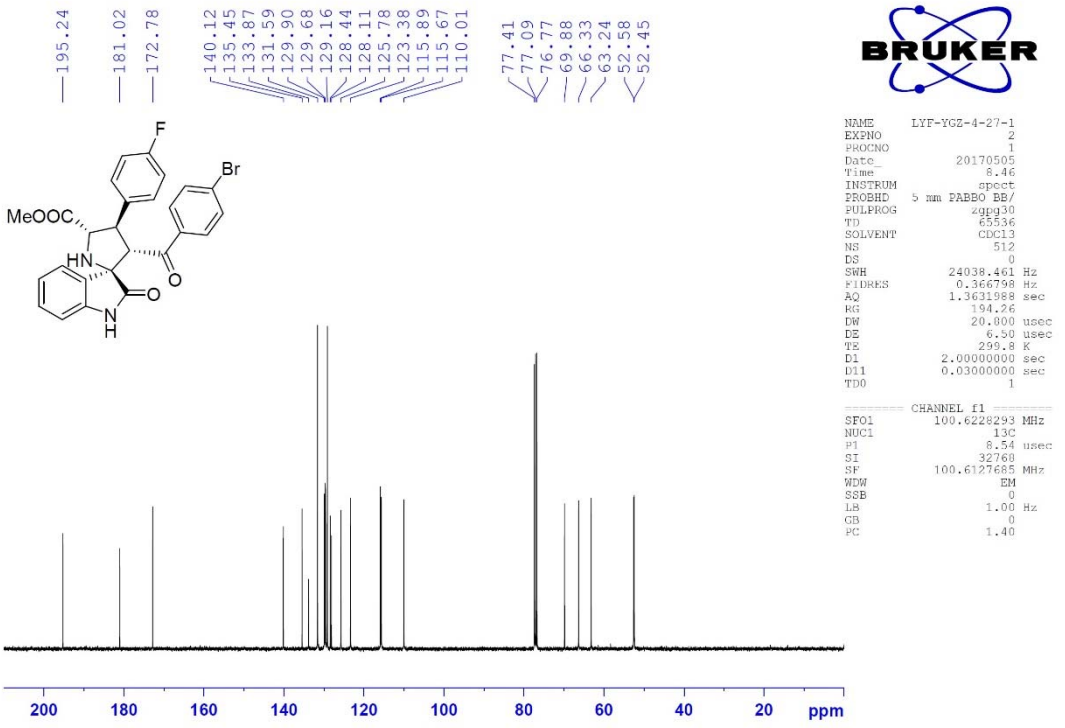
^{1}H NMR and ^{13}C NMR Spectra for Compound **4q**



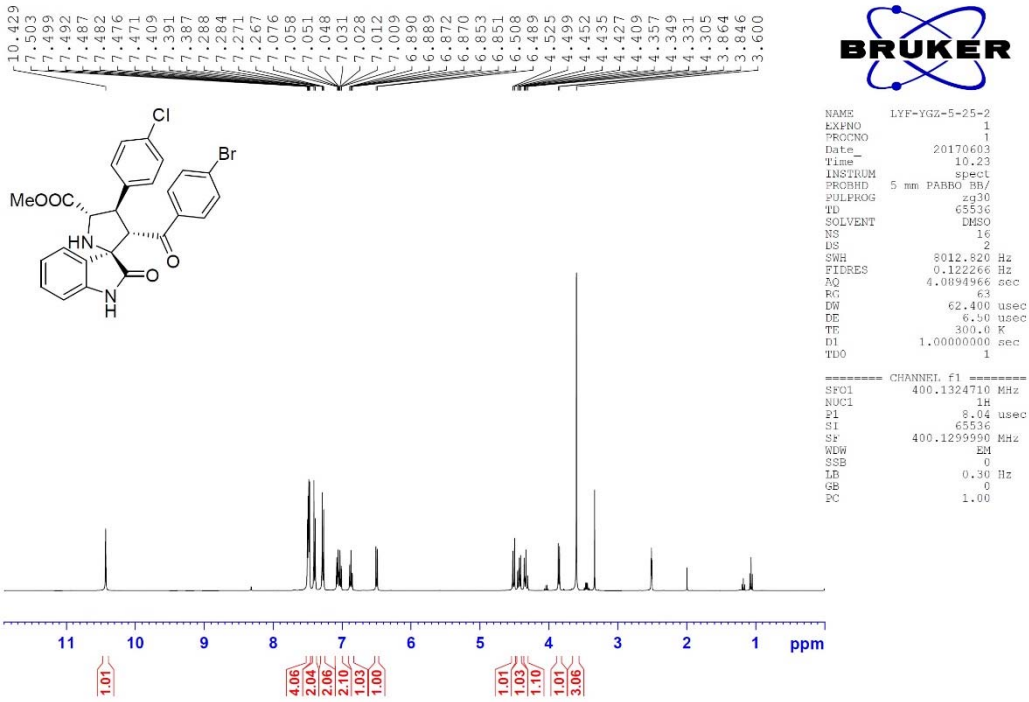


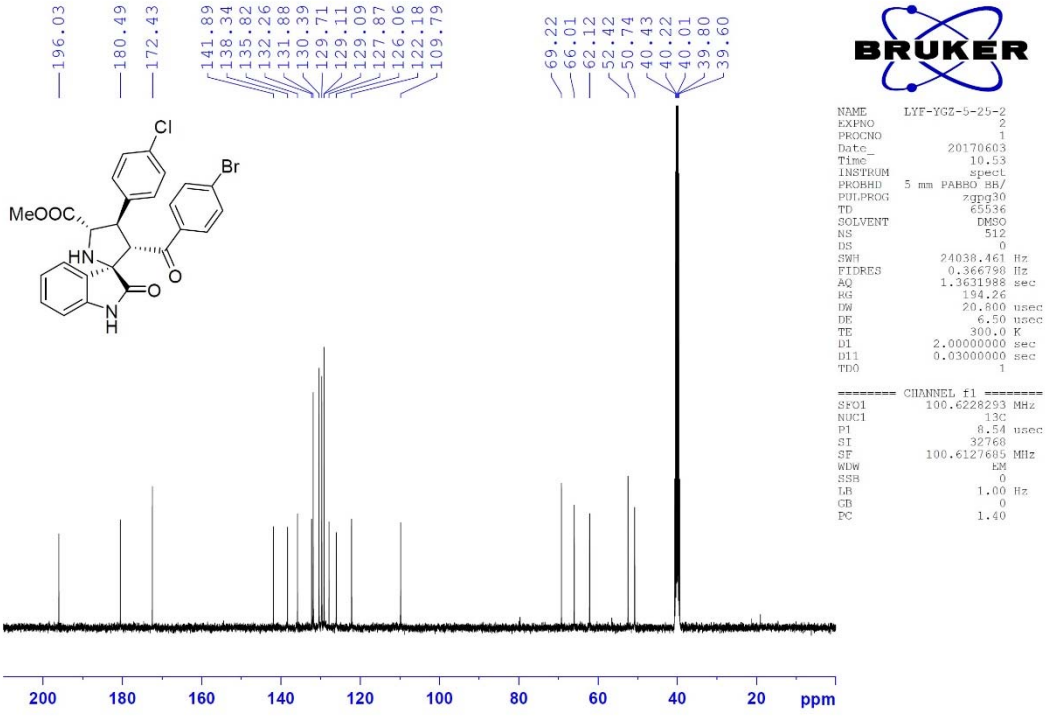
^{1}H NMR and ^{13}C NMR Spectra for Compound **4r**



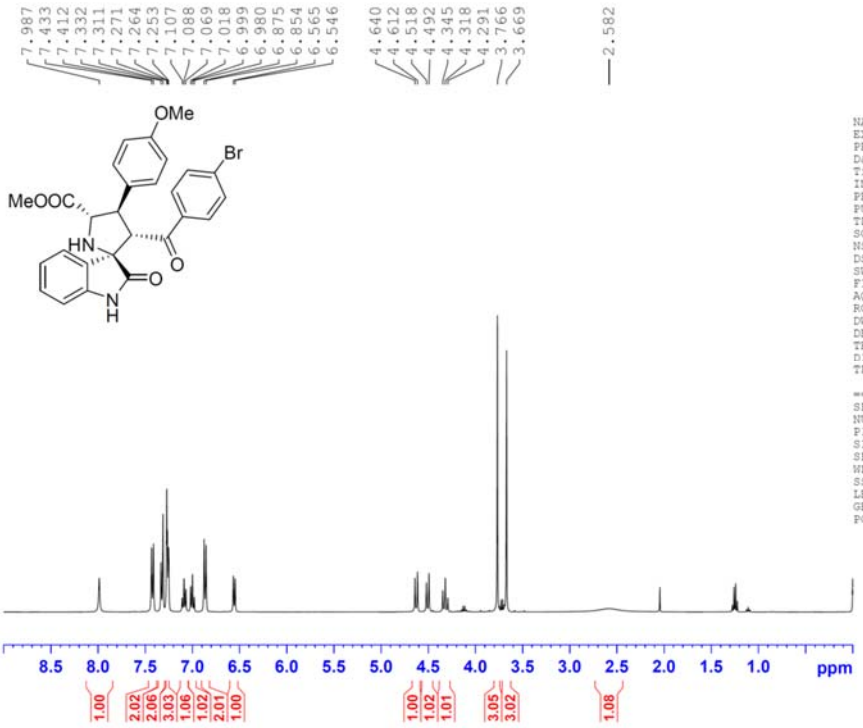


^{1}H NMR and ^{13}C NMR Spectra for Compound **4s**



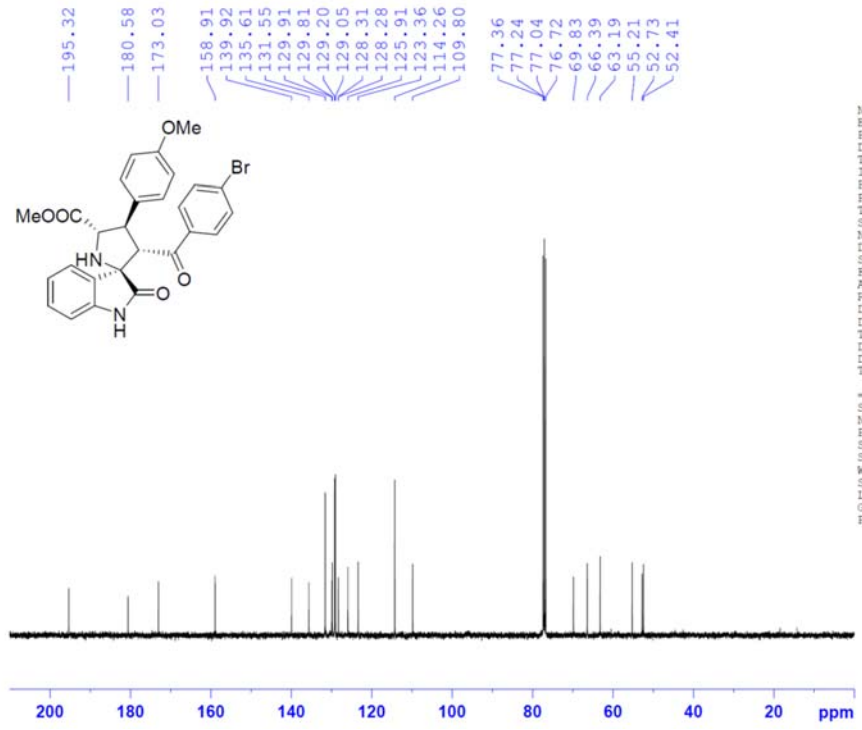


^{1}H NMR and ^{13}C NMR Spectra for Compound **4t**



BRUKER

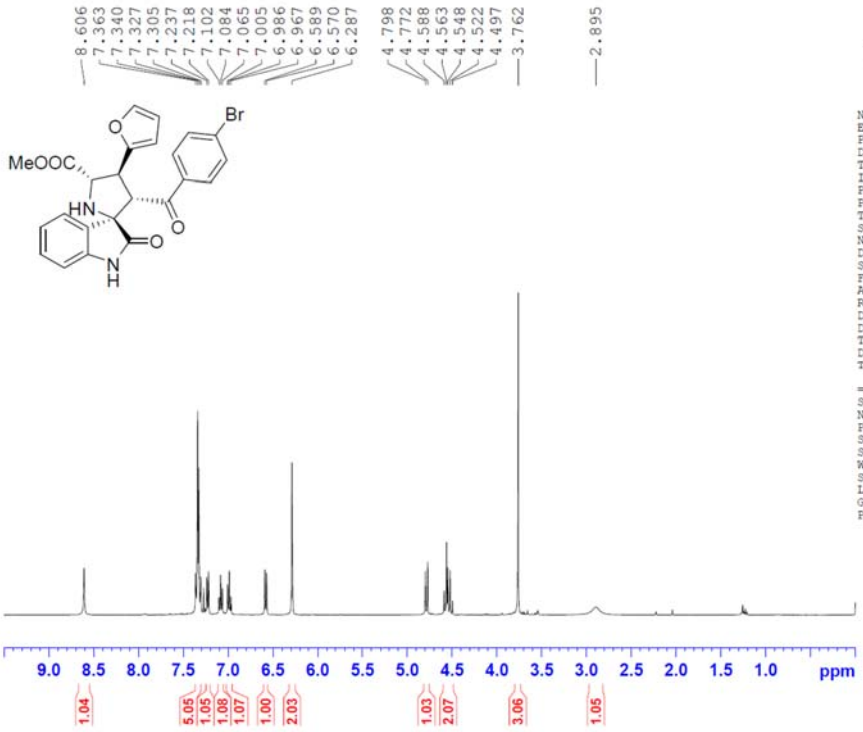
```
NAME        LYF-YGZ-4-27-2
EXPNO                    1
PROCNO                   1
Date_             20170505
Time                 8.51
INSTRUM             spect
PROBHD   5 mm PABBO BB/
PULPROG             zg30
TD                  65536
SOLVENT             CDCl3
NS                     16
DS                      2
SWH              8012.820 Hz
FIDRES           0.122266 Hz
AQ               4.0894966 sec
RG                  70.36
DW                 62.400 usec
DE                  6.50 usec
TE                 299.6 K
D1              1.00000000 sec
TD0                     1

======== CHANNEL f1 ========
SFO1        400.1324710 MHz
NUC1                   1H
P1                   8.04 usec
SI                  65536
SF          400.1300082 MHz
WDW                    EM
SSB                     0
LB                   0.30 Hz
GB                      0
PC                   1.00
```



BRUKER

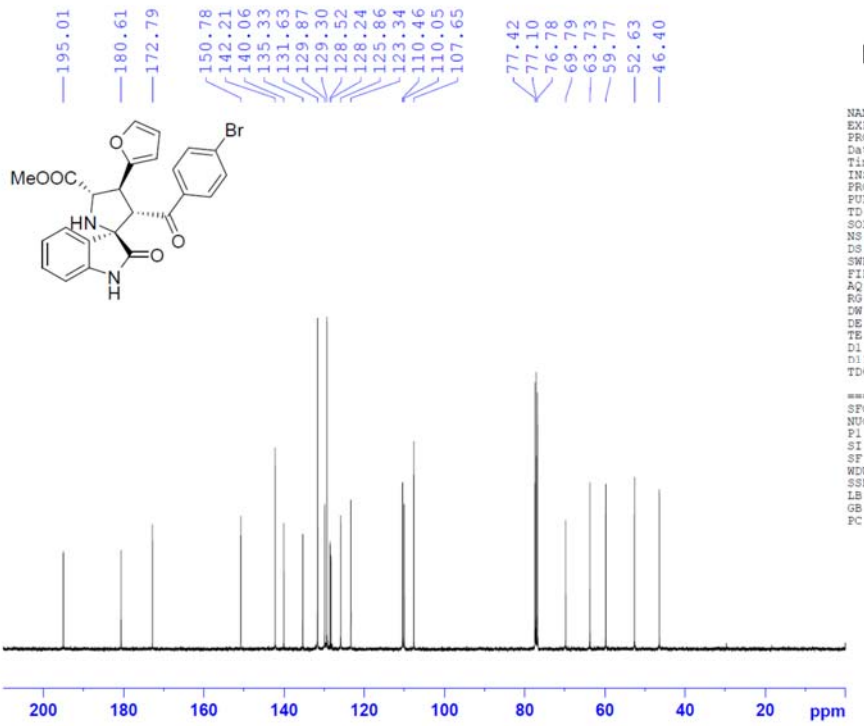
```
NAME        LYF-YGZ-4-27-2
EXPNO                    2
PROCNO                   1
Date_             20170505
Time                 9.13
INSTRUM             spect
PROBHD   5 mm PABBO BB/
PULPROG           zgpg30
TD                  65536
SOLVENT             CDCl3
NS                    376
DS                      0
SWH             24038.461 Hz
FIDRES           0.366798 Hz
AQ               1.3631988 sec
RG                 194.26
DW                 20.800 usec
DE                  6.50 usec
TE                 299.8 K
D1              2.00000000 sec
D11             0.03000000 sec
TD0                     1

======== CHANNEL f1 ========
SFO1        100.6228293 MHz
NUC1                   13C
P1                   8.54 usec
SI                  32768
SF          100.6127685 MHz
WDW                    EM
SSB                     0
LB                   1.00 Hz
GB                      0
PC                   1.40
```

^{1}H NMR and ^{13}C NMR Spectra for Compound **4u**



BRUKER

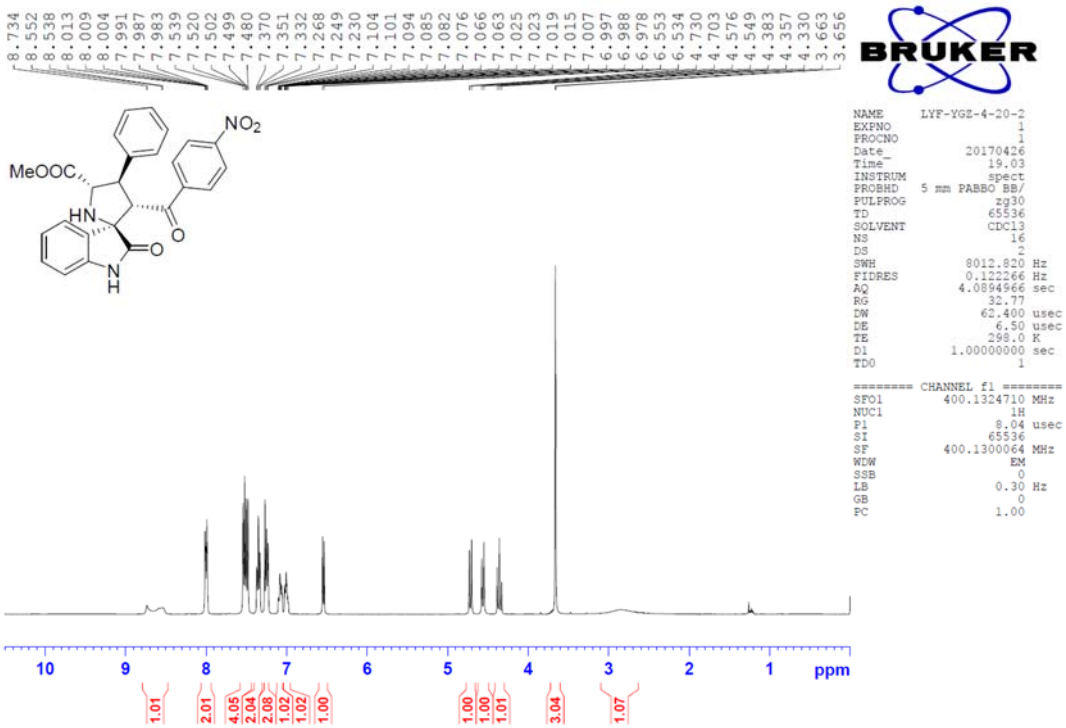
```
NAME          LYF-YGZ-4-27-3
EXPNO                      1
PROCNO                     1
Date_               20170510
Time                   21.46
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG                zg30
TD                    65536
SOLVENT               CDCl3
NS                       16
DS                        2
SWH                8012.820 Hz
FIDRES                0.122266 Hz
AQ                 4.0894966 sec
RG                     32.77
DW                    62.400 usec
DE                     6.50 usec
TE                   298.0 K
D1                 1.00000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1          400.1324710 MHz
NUC1                      1H
P1                     8.04 usec
SI                    65536
SF          400.1300040 MHz
WDW                       EM
SSB                        0
LB                      0.30 Hz
GB                         0
PC                      1.00
```

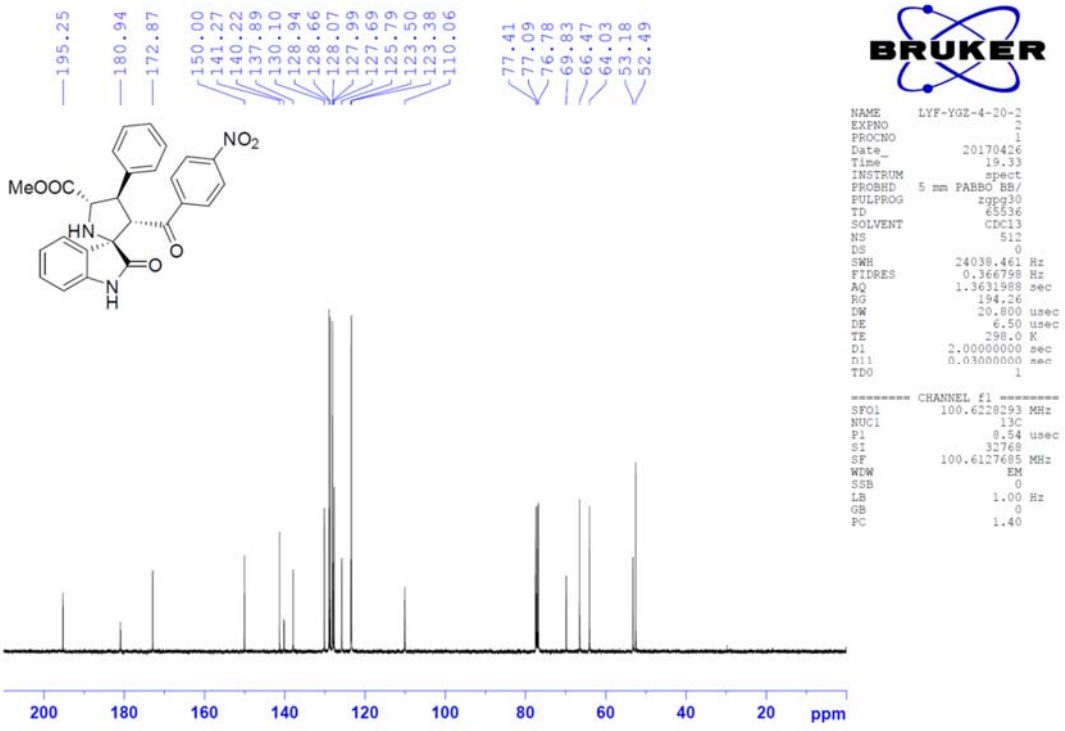


BRUKER

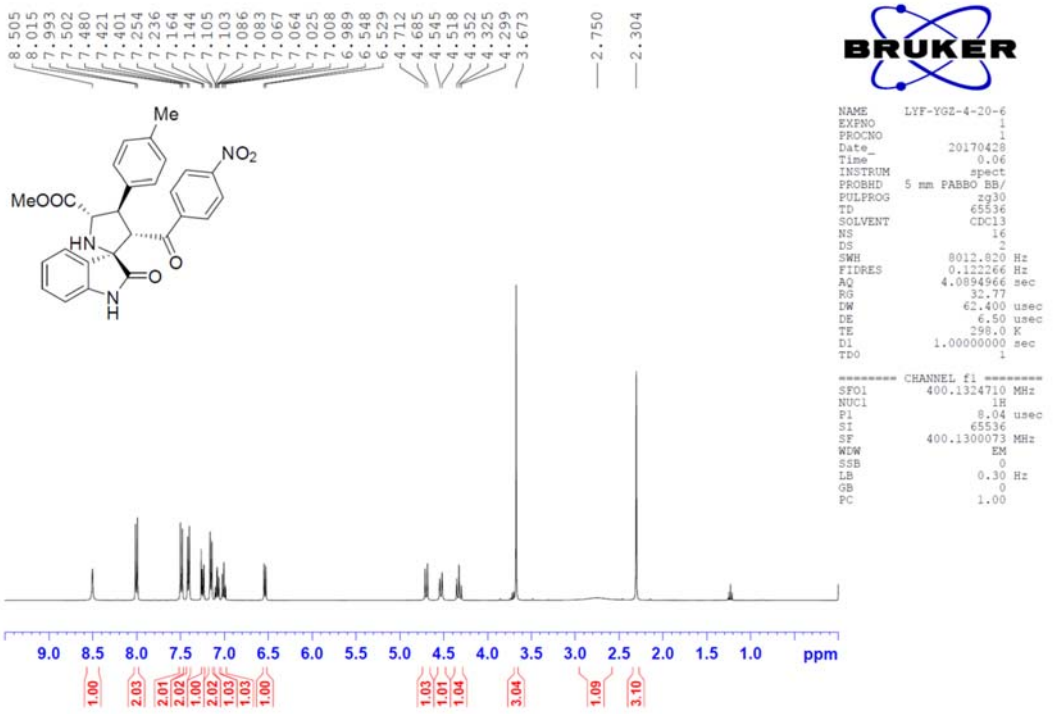
```
NAME          LYF-YGZ-4-27-3
EXPNO                      2
PROCNO                     1
Date_               20170510
Time                   22.16
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG             zgpg30
TD                    65536
SOLVENT               CDCl3
NS                      512
DS                        0
SWH               24038.461 Hz
FIDRES                0.366798 Hz
AQ                 1.3631988 sec
RG                    194.26
DW                    20.800 usec
DE                     6.50 usec
TE                   298.0 K
D1                 2.00000000 sec
D11                0.03000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1          100.6228293 MHz
NUC1                      13C
P1                     8.54 usec
SI                    32768
SF          100.6127685 MHz
WDW                       EM
SSB                        0
LB                      1.00 Hz
GB                         0
PC                      1.40
```

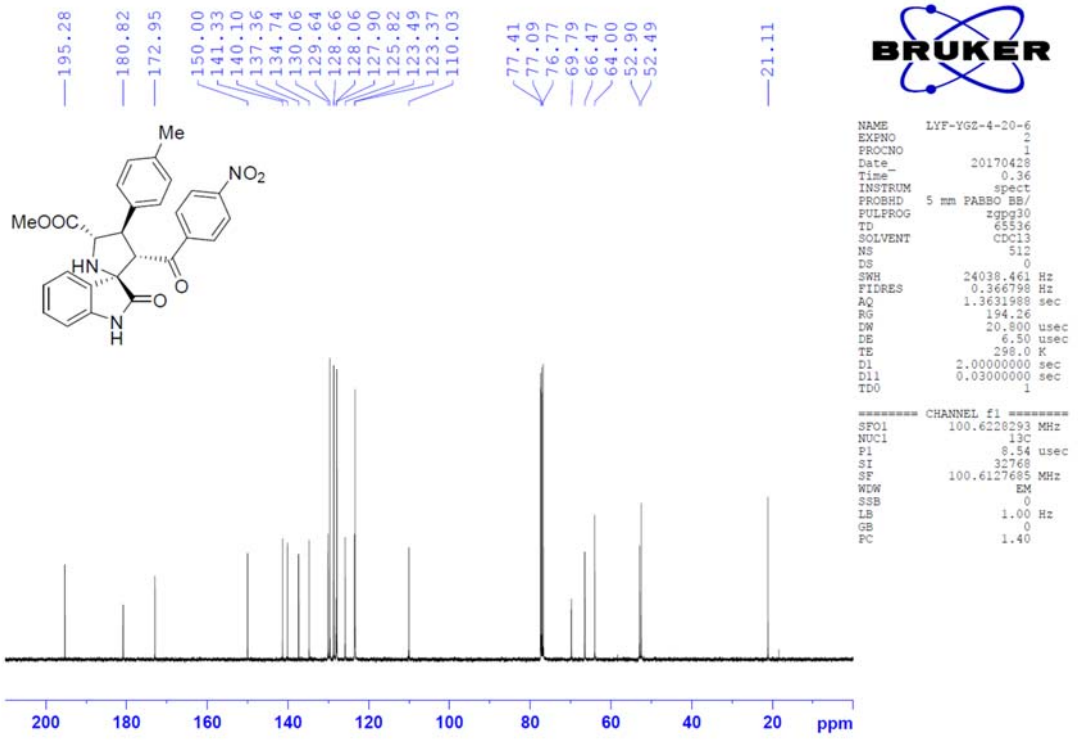
^{1}H NMR and ^{13}C NMR Spectra for Compound **4v**



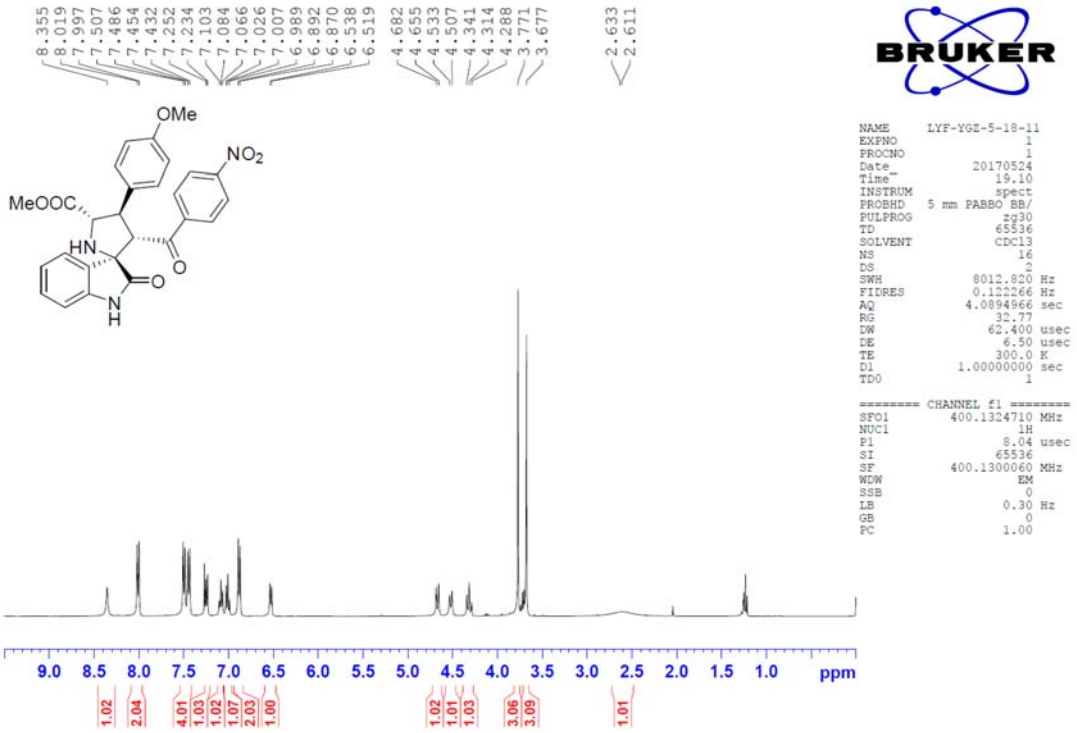


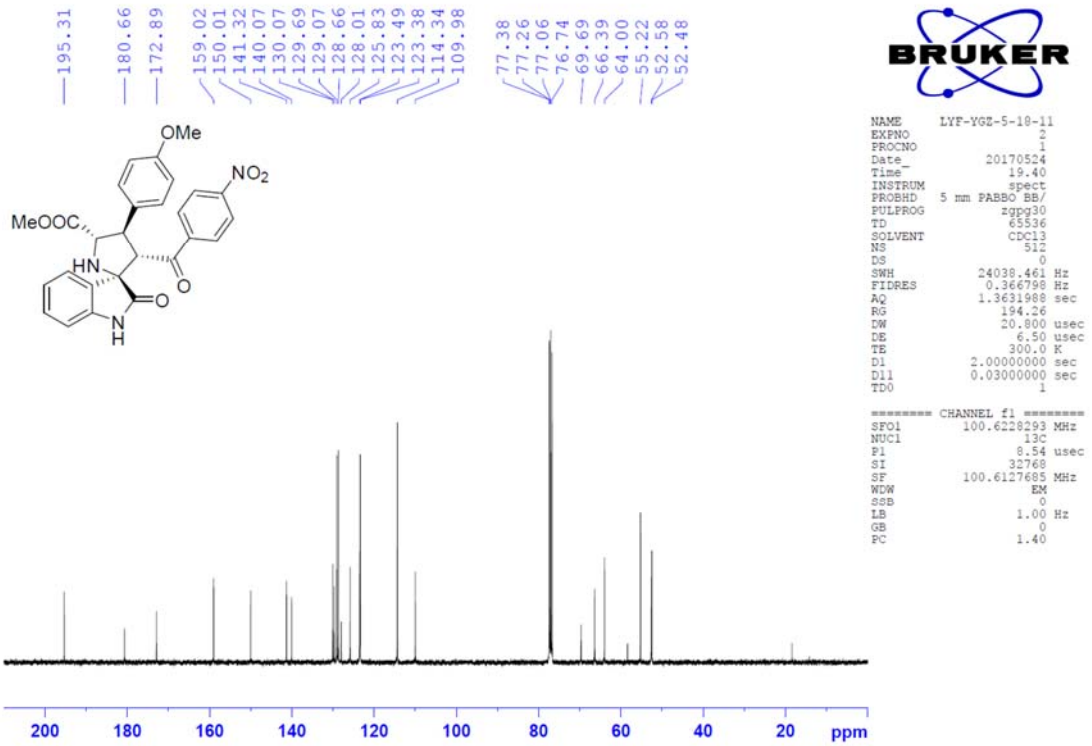
^{1}H NMR and ^{13}C NMR Spectra for Compound **4w**



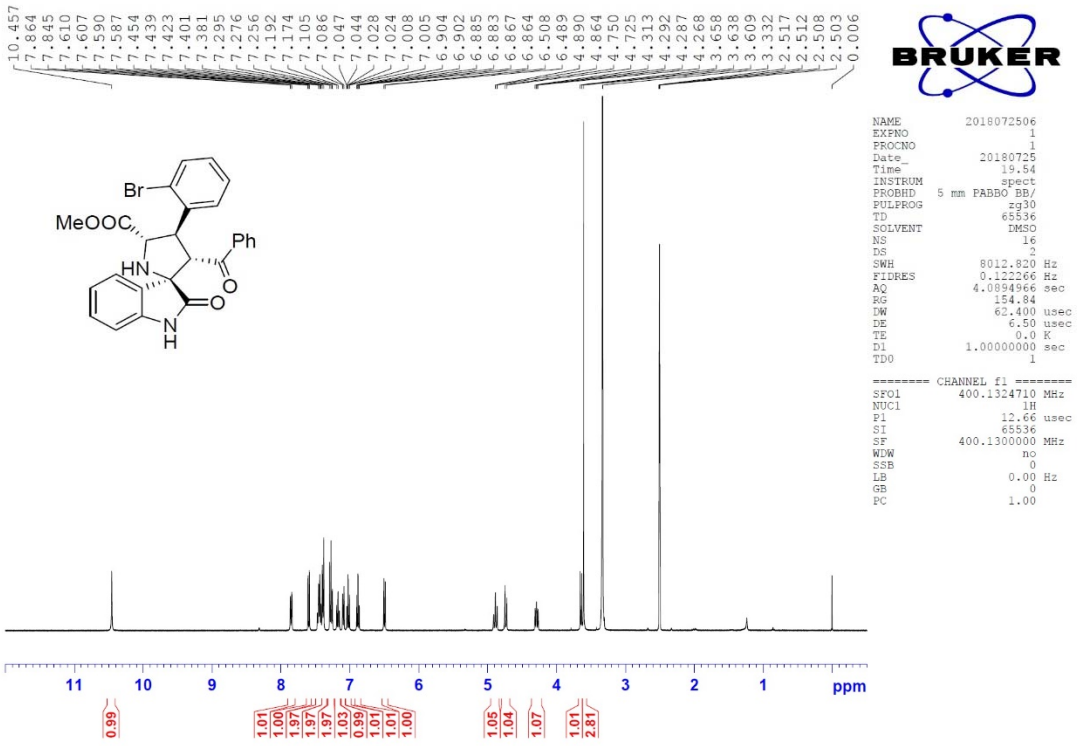


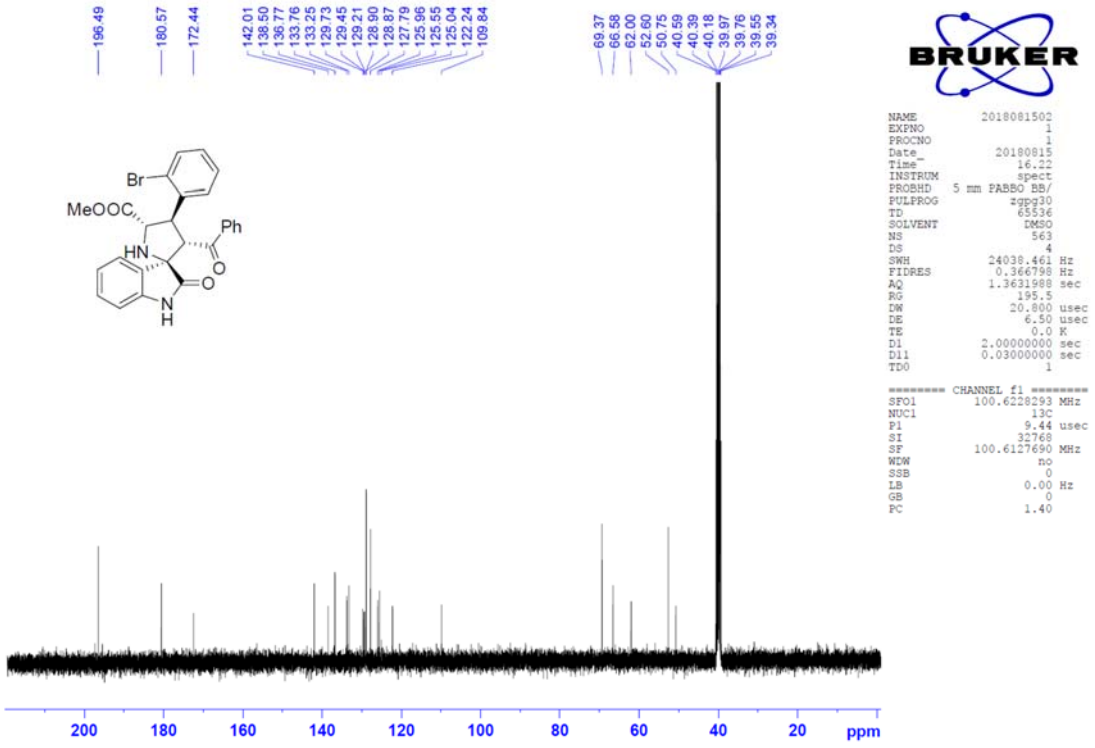
^{1}H NMR and ^{13}C NMR Spectra for Compound **4x**



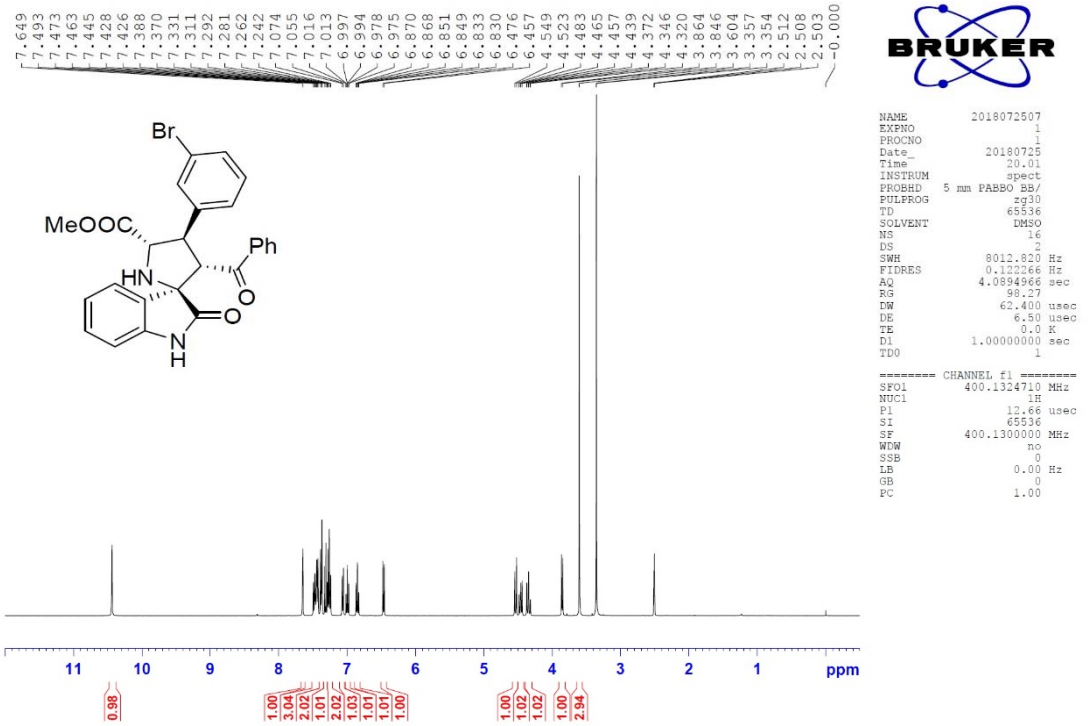


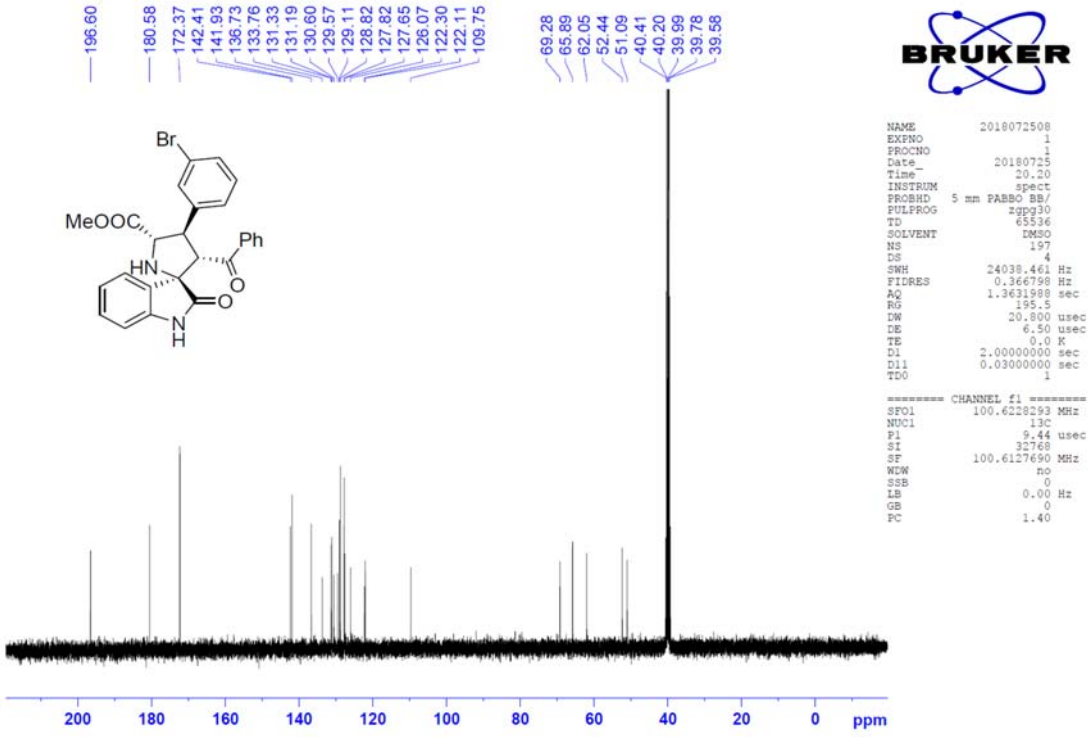
^{1}H NMR and ^{13}C NMR Spectra for Compound **4y**



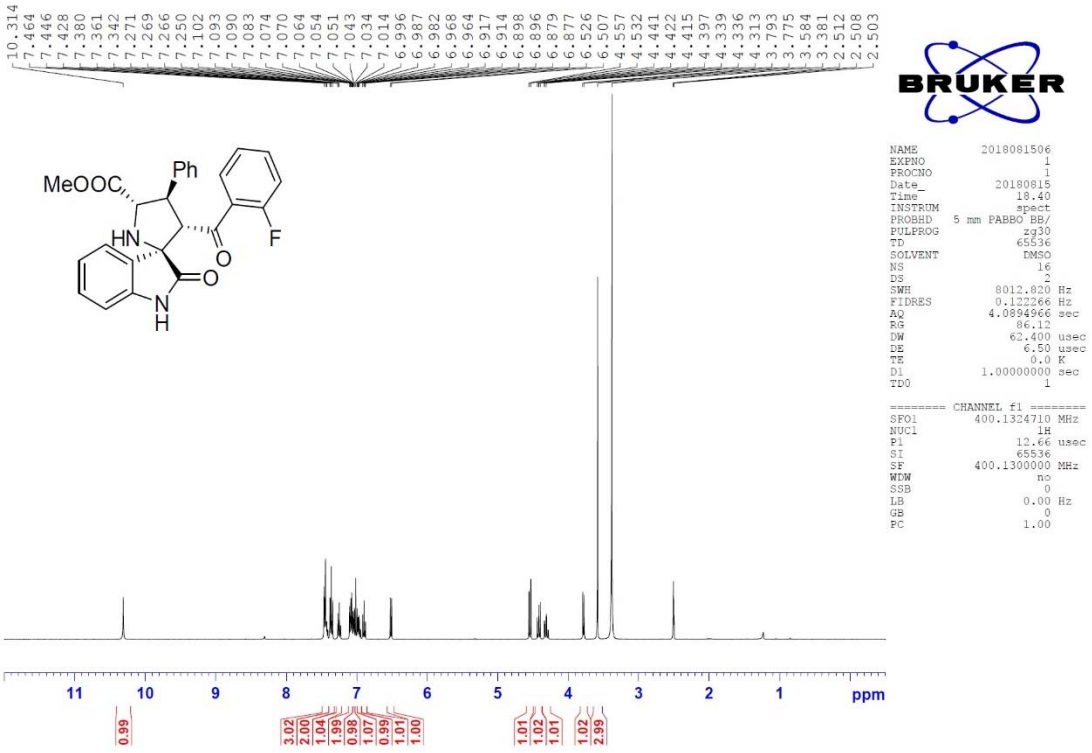


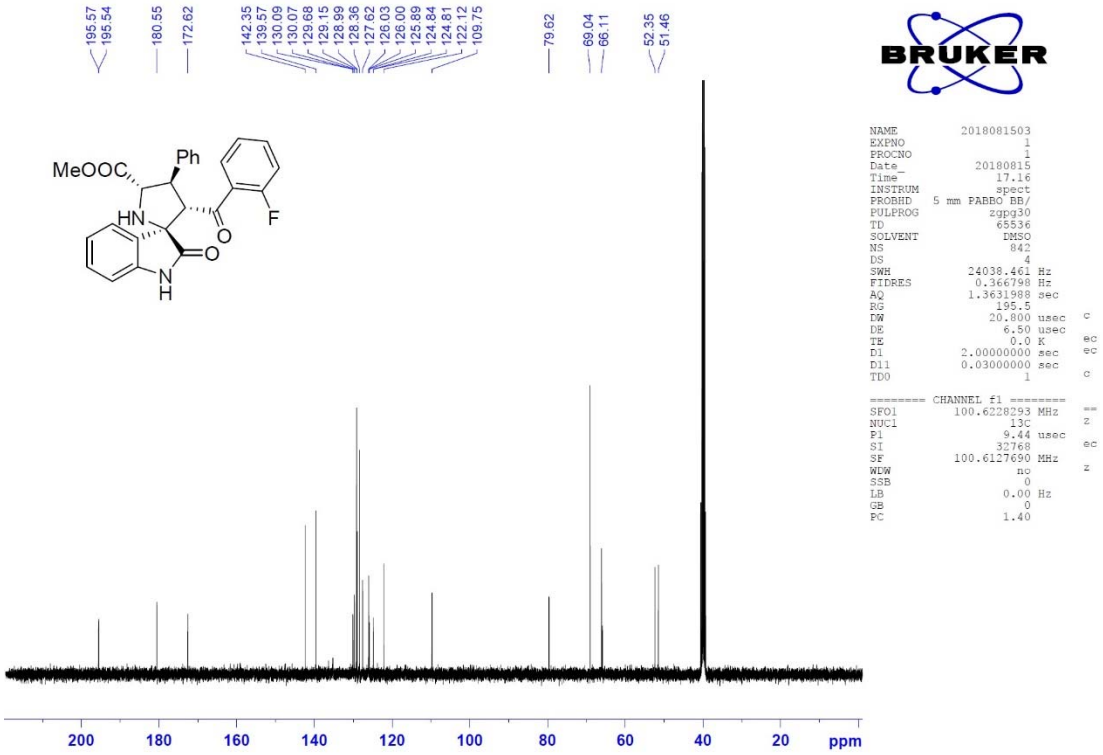
^{1}H NMR and ^{13}C NMR Spectra for Compound **4z**



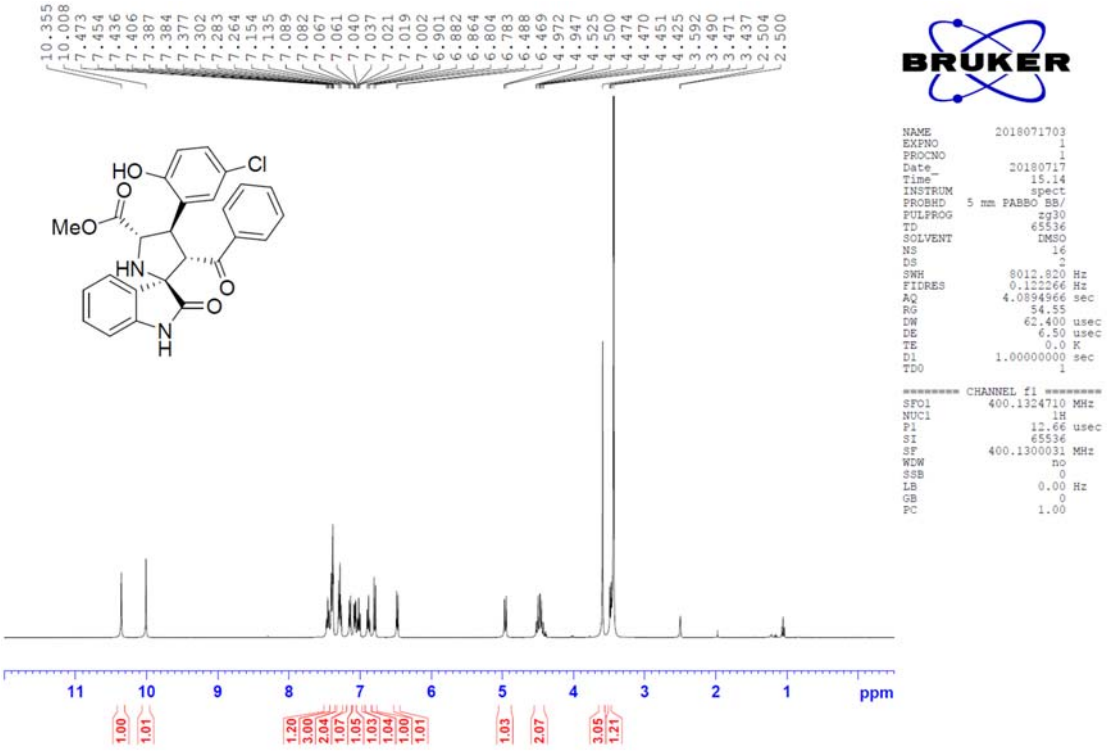


^{1}H NMR and ^{13}C NMR Spectra for Compound **4aa**



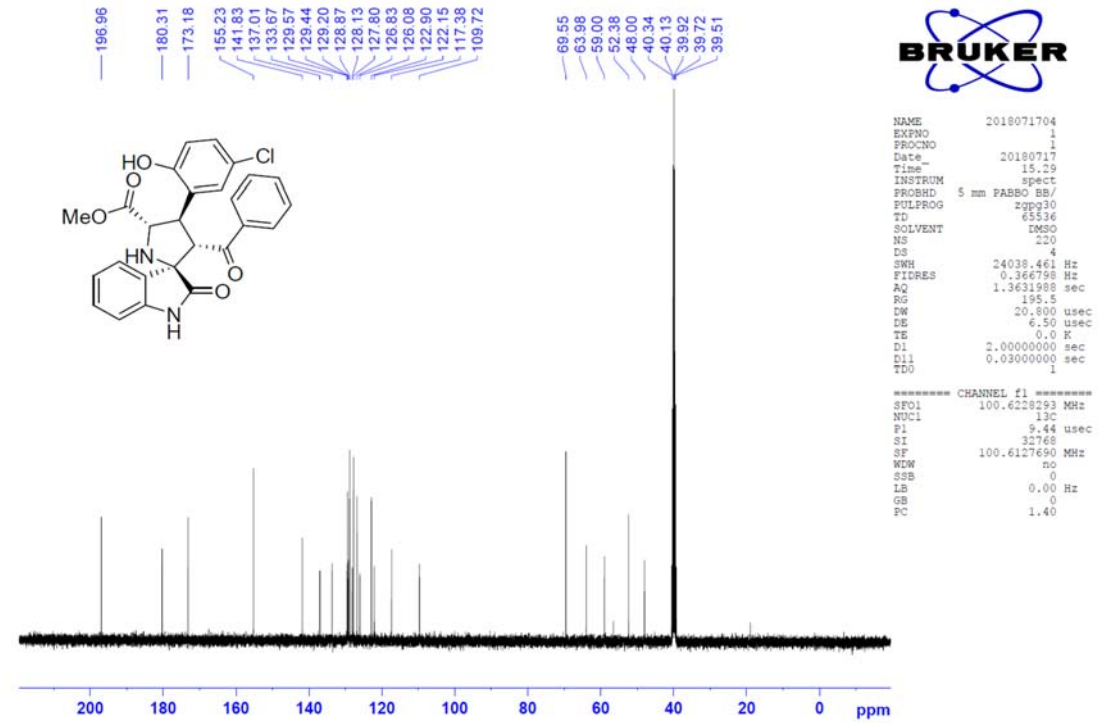


^{1}H NMR and ^{13}C NMR Spectra for Compound **4ab**



BRUKER

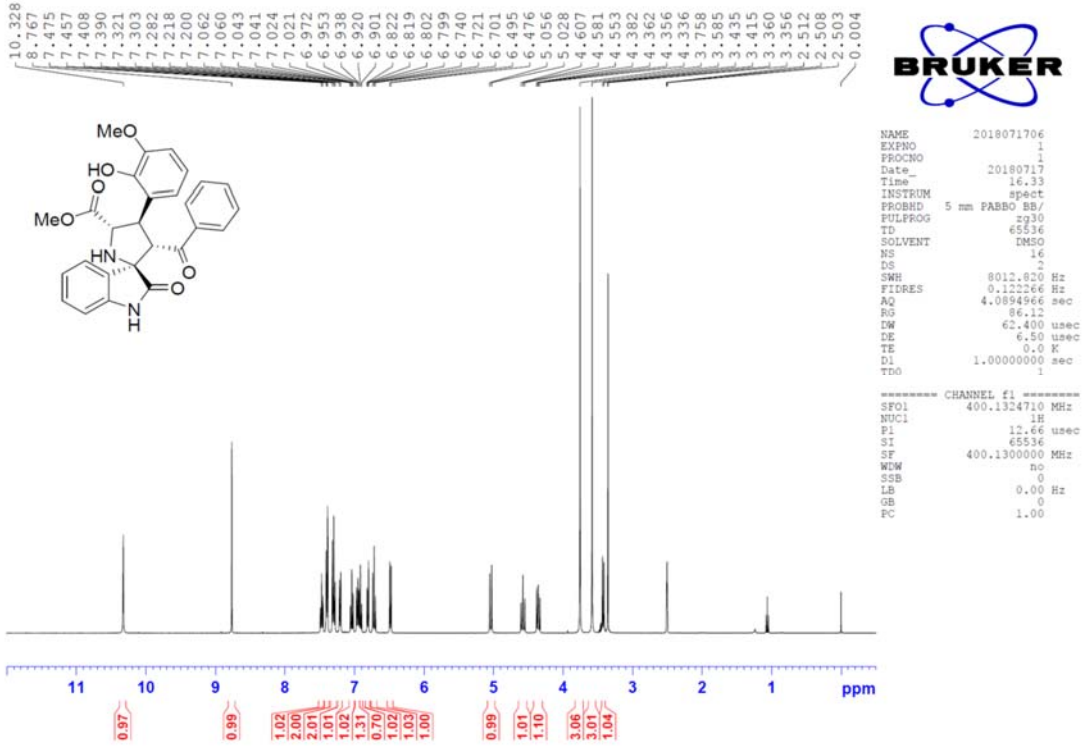
```
NAME            2018071703
EXPNO                    1
PROCNO                   1
Date_             20180717
Time                 15.14
INSTRUM               spect
PROBHD   5 mm PABBO BB/
PULPROG               zg30
TD                   65536
SOLVENT               DMSO
NS                      16
DS                       2
SWH               8012.820 Hz
FIDRES            0.122266 Hz
AQ                4.0894966 sec
RG                    54.55
DW                   62.400 usec
DE                    6.50 usec
TE                     0.0 K
D1                1.00000000 sec
TD0                      1

======== CHANNEL f1 ========
SFO1            400.1324710 MHz
NUC1                      1H
P1                     12.66 usec
SI                     65536
SF              400.1300031 MHz
WDW                       no
SSB                        0
LB                      0.00 Hz
GB                         0
PC                      1.00
```

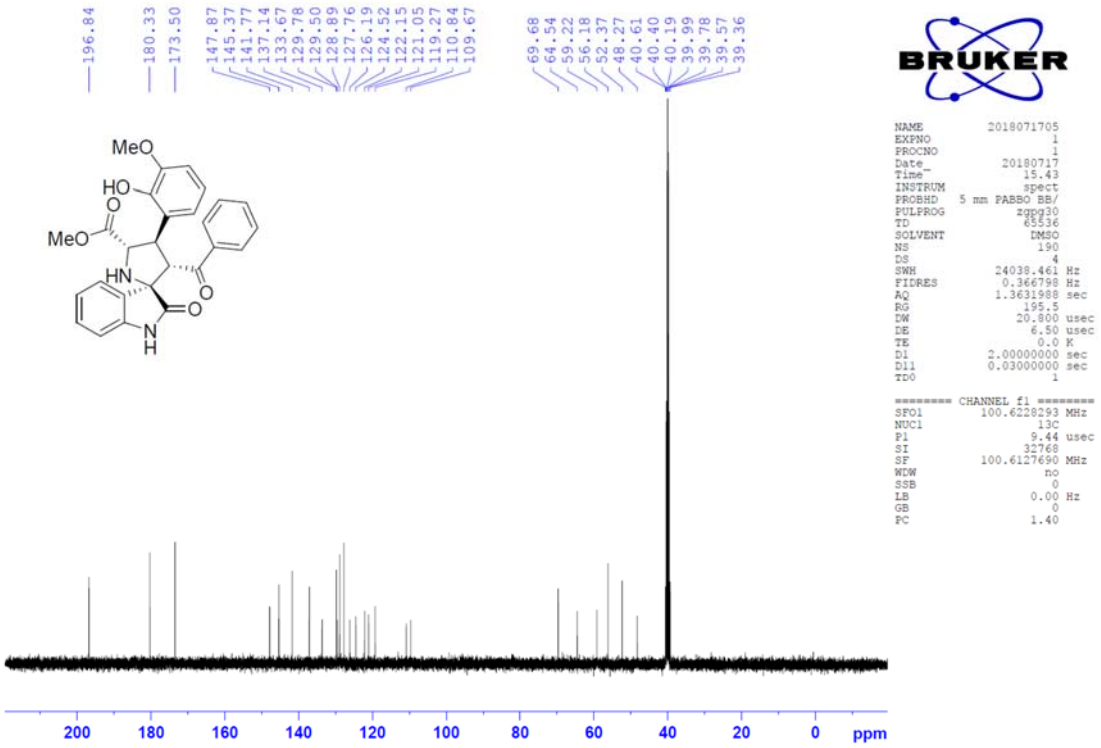


BRUKER

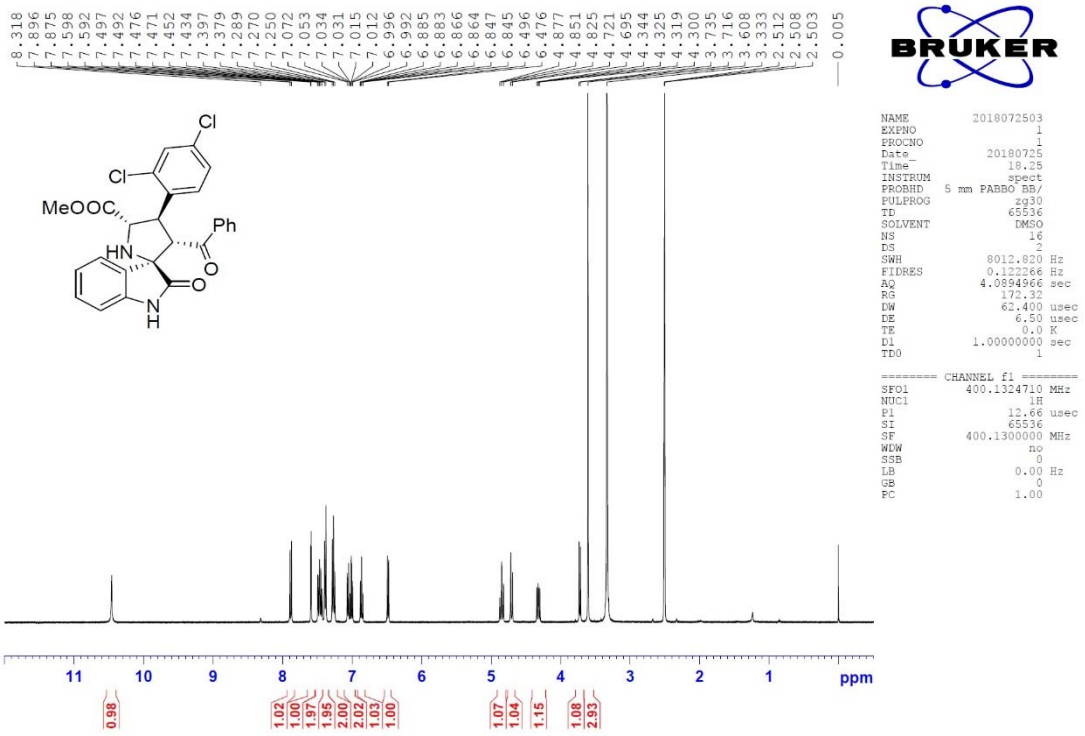
```
NAME            2018071704
EXPNO                    1
PROCNO                   1
Date_             20180717
Time                 15.29
INSTRUM               spect
PROBHD   5 mm PABBO BB/
PULPROG            zgpg30
TD                   65536
SOLVENT               DMSO
NS                     220
DS                       4
SWH              24038.461 Hz
FIDRES            0.366798 Hz
AQ                1.3631988 sec
RG                    195.5
DW                   20.800 usec
DE                    6.50 usec
TE                     0.0 K
D1                2.00000000 sec
D11               0.03000000 sec
TD0                      1

======== CHANNEL f1 ========
SFO1            100.6228293 MHz
NUC1                      13C
P1                     9.44 usec
SI                    32768
SF              100.6127690 MHz
WDW                       no
SSB                        0
LB                      0.00 Hz
GB                         0
PC                      1.40
```

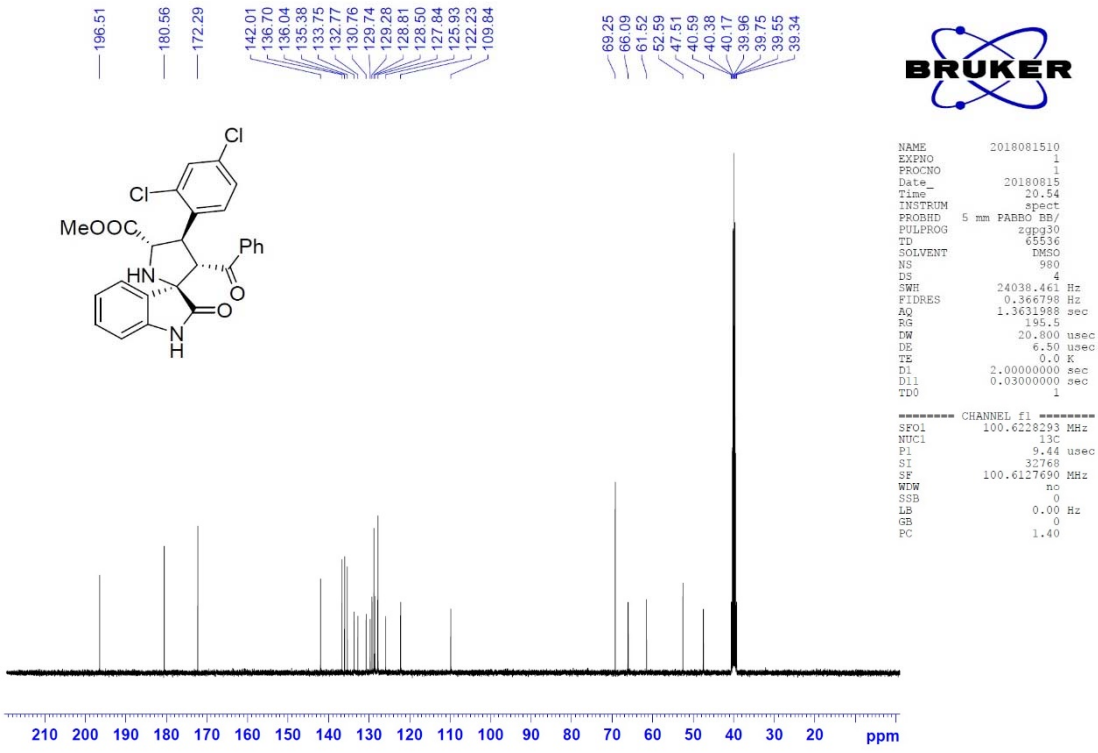
^{1}H NMR and ^{13}C NMR Spectra for Compound **4ac**



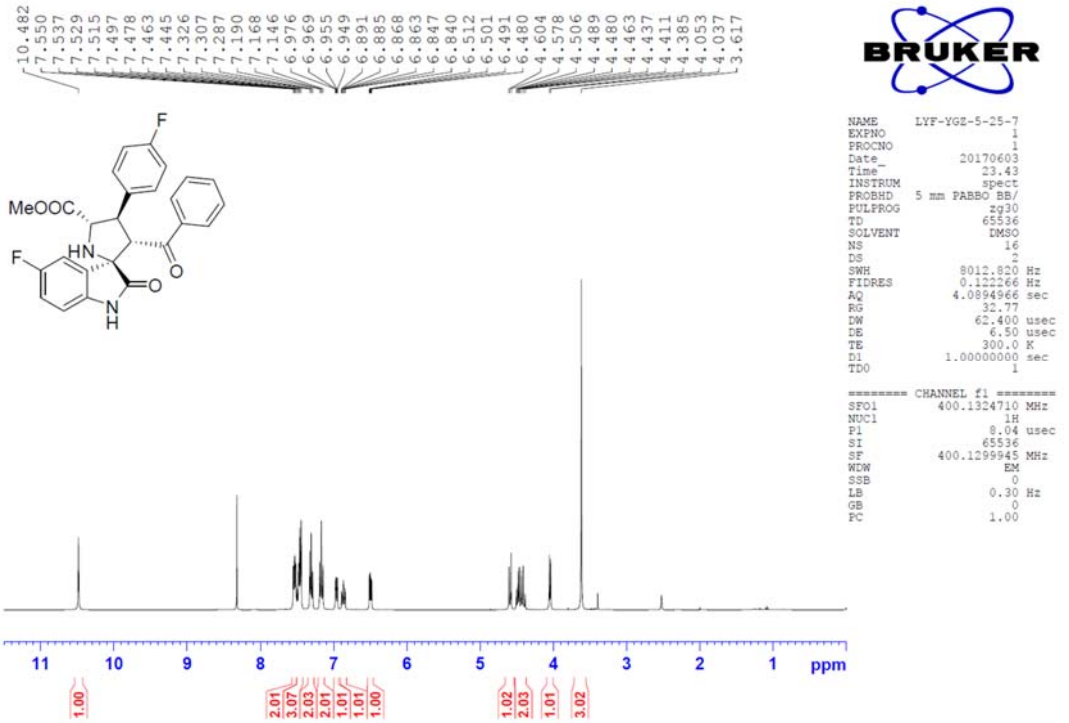


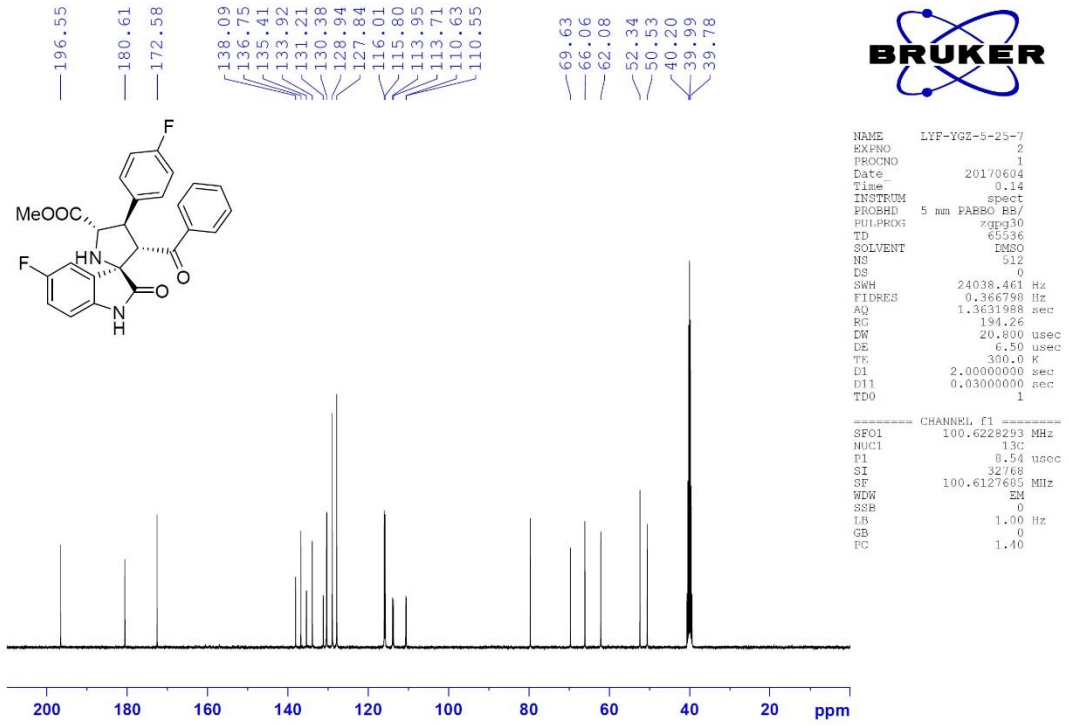
^{1}H NMR and ^{13}C NMR Spectra for Compound **4ad**



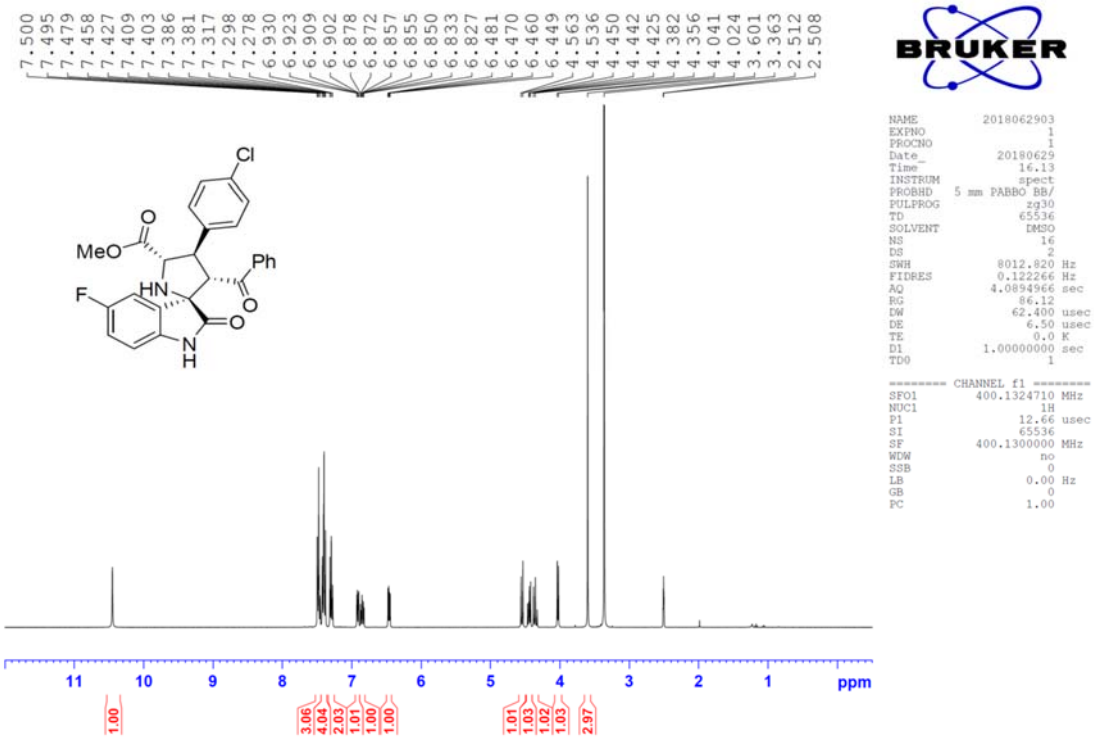


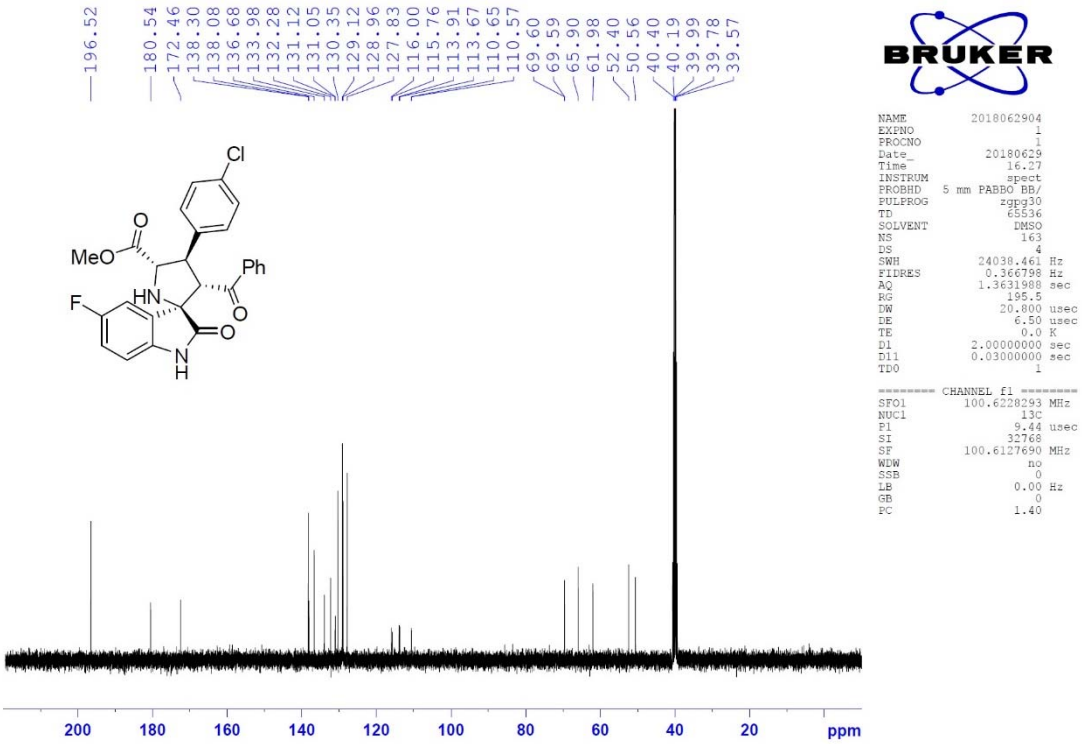
^{1}H NMR and ^{13}C NMR Spectra for Compound **5a**



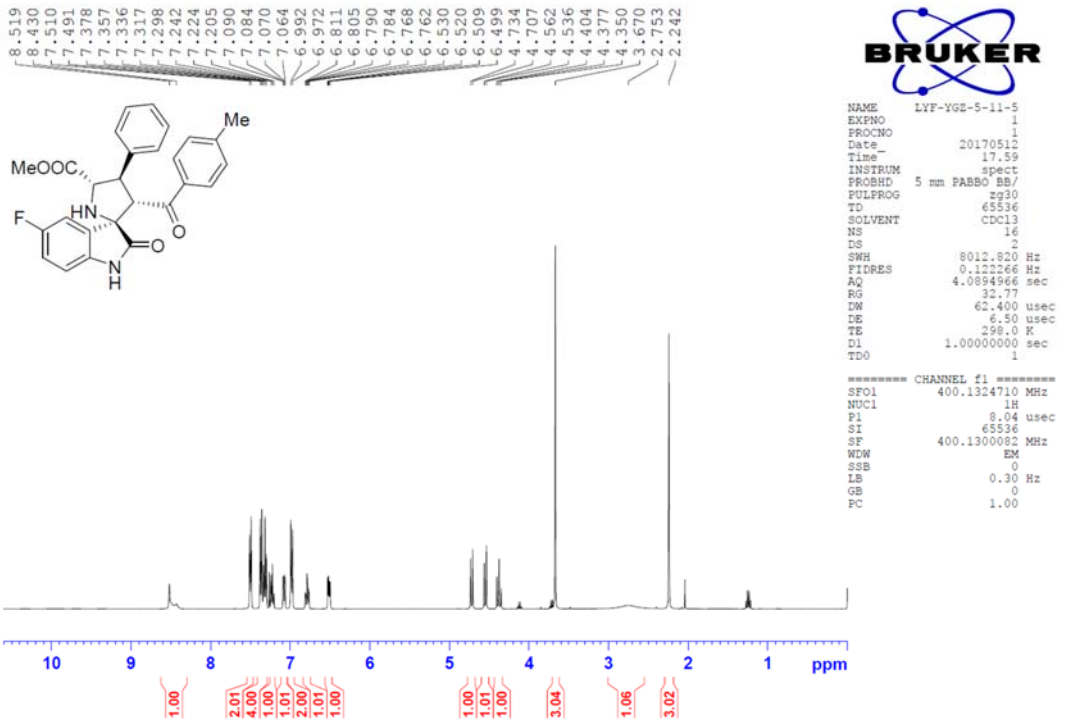


^{1}H NMR and ^{13}C NMR Spectra for Compound **5b**



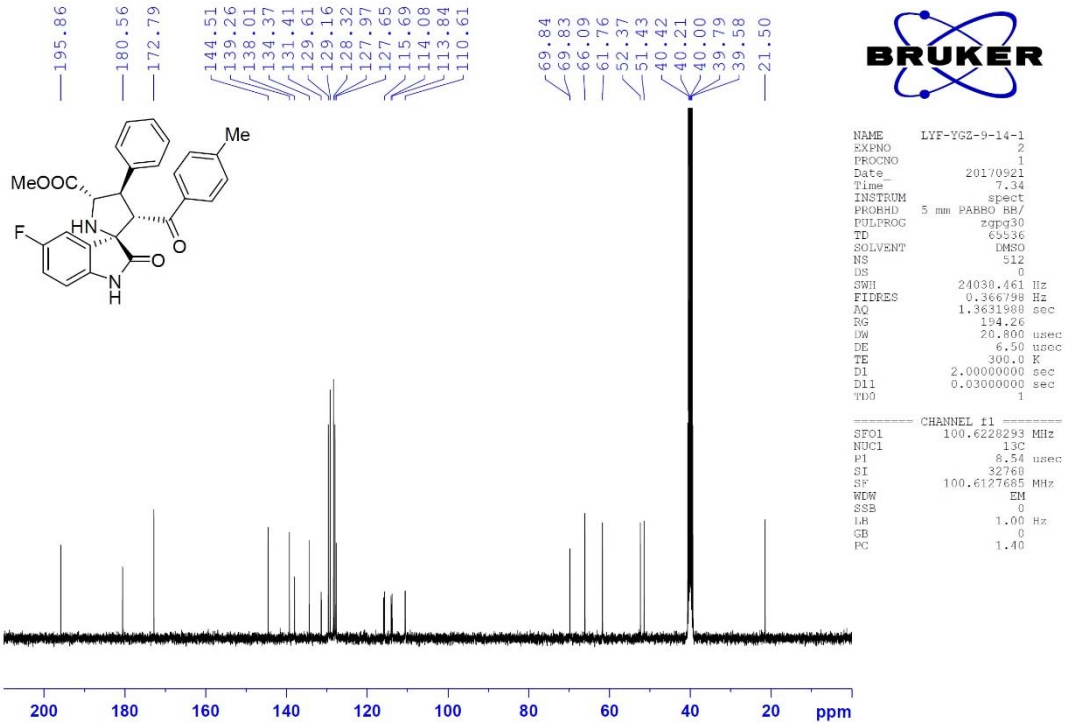


^{1}H NMR and ^{13}C NMR Spectra for Compound **5c**



BRUKER

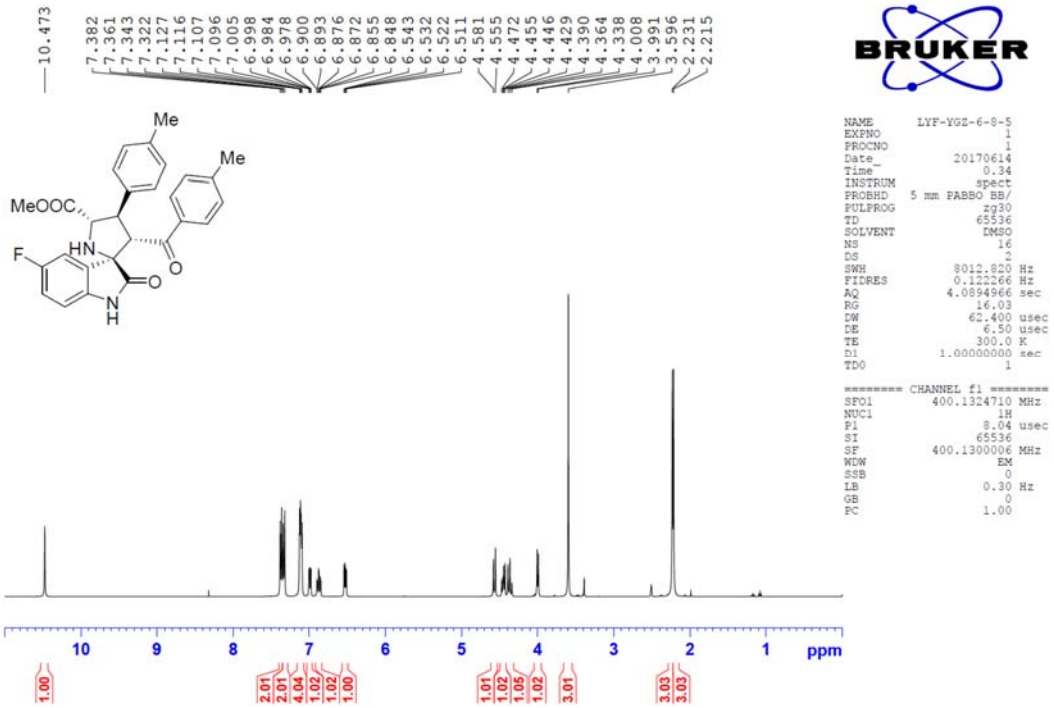
NAME	LYF-YGZ-5-11-5
EXPNO	1
PROCNO	1
Date_	20170512
Time	17.59
INSTRUM	spect
PROBHD	5 mm PABBO BB/
PULPROG	zg30
TD	65536
SOLVENT	CDCl3
NS	16
DS	2
SWH	8012.820 Hz
FIDRES	0.122266 Hz
AQ	4.0894966 sec
RG	32.77
DW	62.400 usec
DE	6.50 usec
TE	298.0 K
D1	1.00000000 sec
TD0	1
======== CHANNEL f1 ========	
SFO1	400.1324710 MHz
NUC1	1H
P1	8.04 usec
SI	65536
SF	400.1300082 MHz
WDW	EM
SSB	0
LB	0.30 Hz
GB	0
PC	1.00

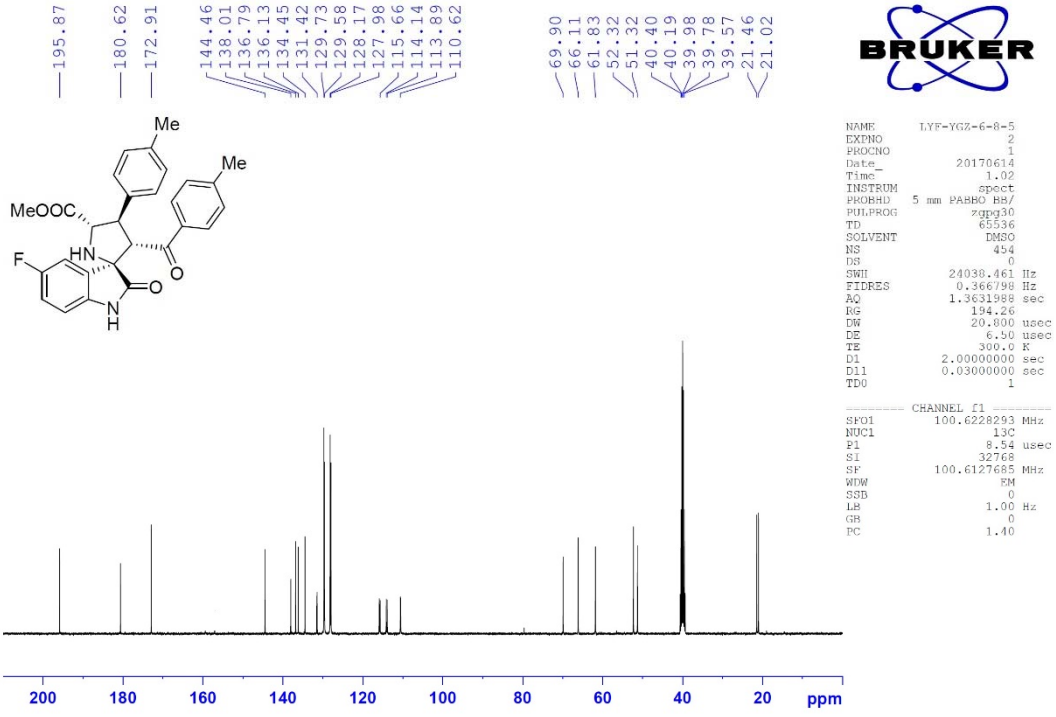


BRUKER

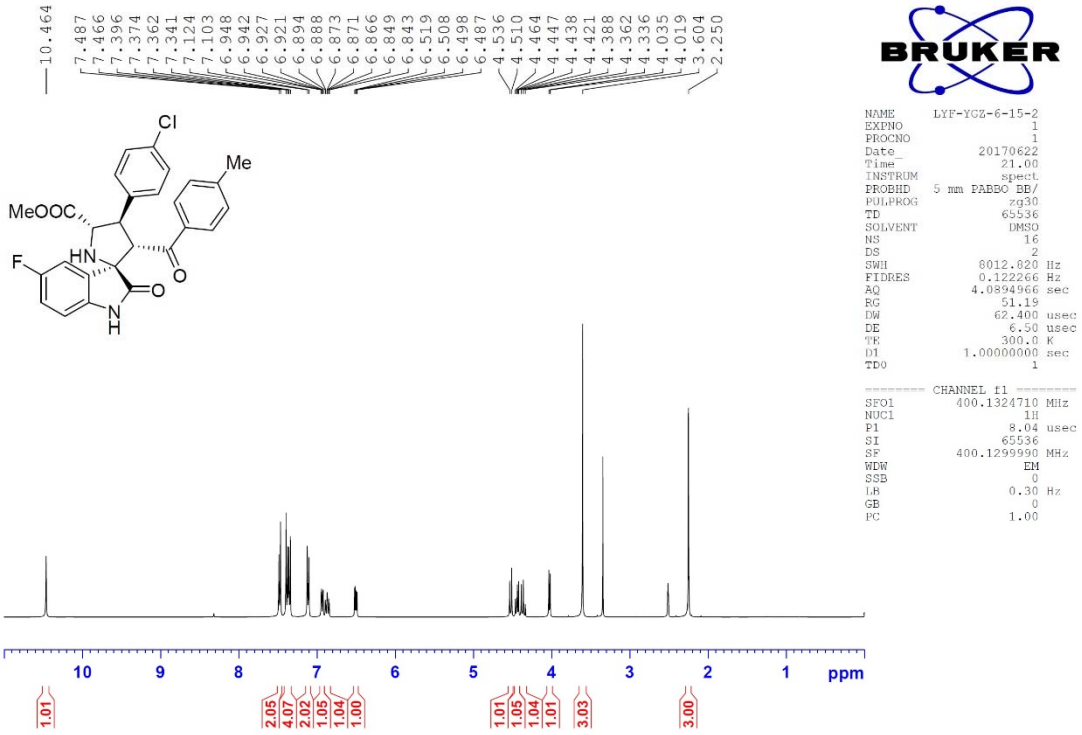
NAME	LYF-YGZ-9-14-1
EXPNO	2
PROCNO	1
Date_	20170921
Time	7.34
INSTRUM	spect
PROBHD	5 mm PABBO BB/
PULPROG	zgpg30
TD	65536
SOLVENT	DMSO
NS	512
DS	0
SWH	24038.461 Hz
FIDRES	0.366798 Hz
AQ	1.3631988 sec
RG	194.26
DW	20.800 usec
DE	6.50 usec
TE	300.0 K
D1	2.00000000 sec
D11	0.03000000 sec
TD0	1
======== CHANNEL f1 ========	
SFO1	100.6228293 MHz
NUC1	13C
P1	8.54 usec
SI	32768
SF	100.6127685 MHz
WDW	EM
SSB	0
LB	1.00 Hz
GB	0
PC	1.40

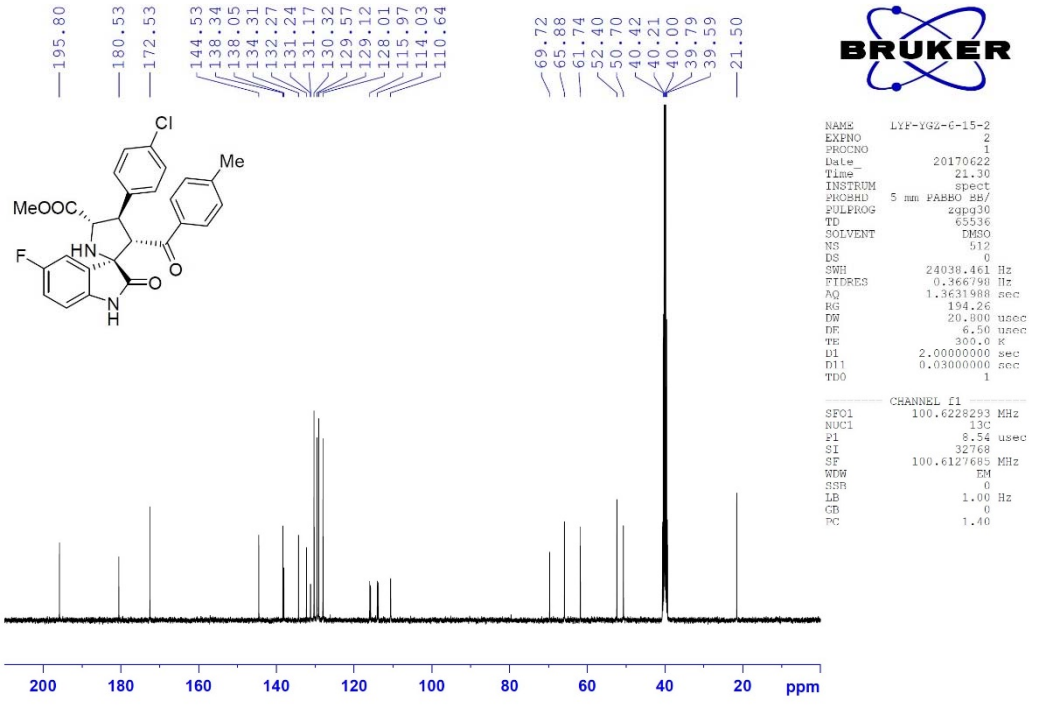
^{1}H NMR and ^{13}C NMR Spectra for Compound **5d**



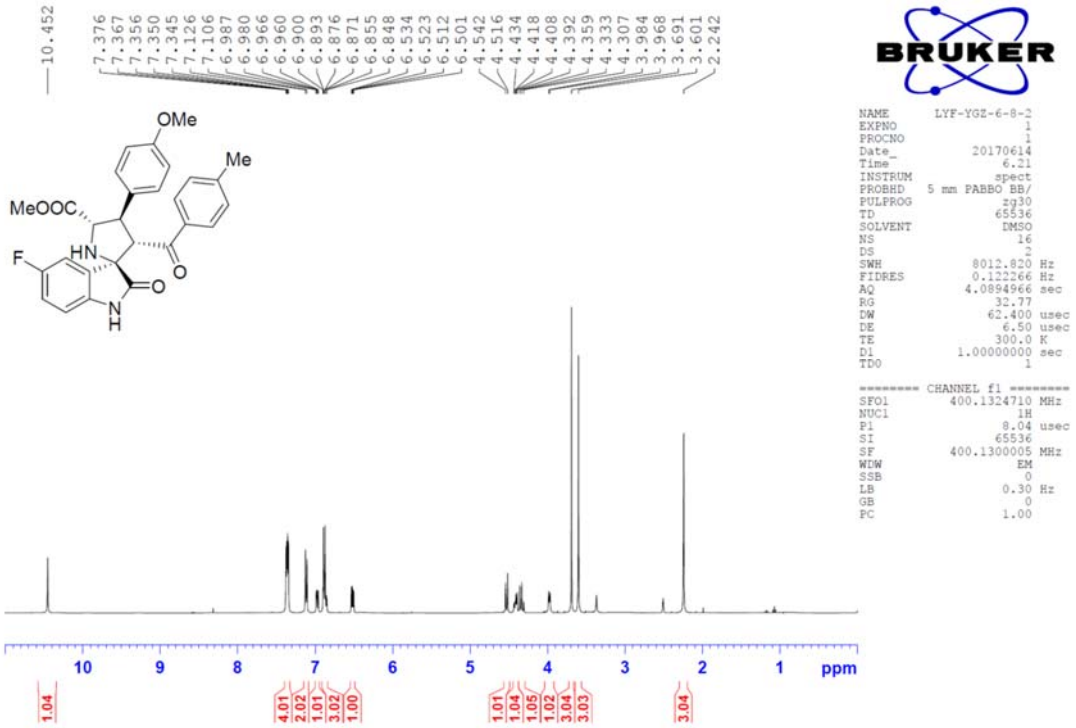


^{1}H NMR and ^{13}C NMR Spectra for Compound **5e**



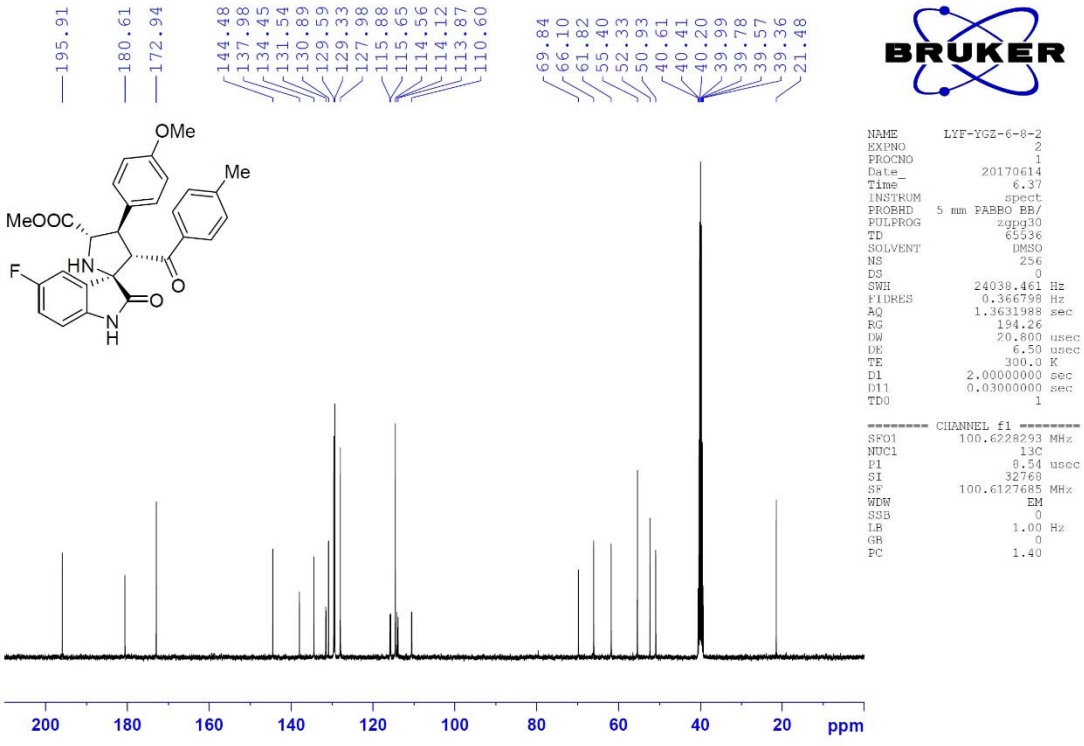


^{1}H NMR and ^{13}C NMR Spectra for Compound **5f**



BRUKER

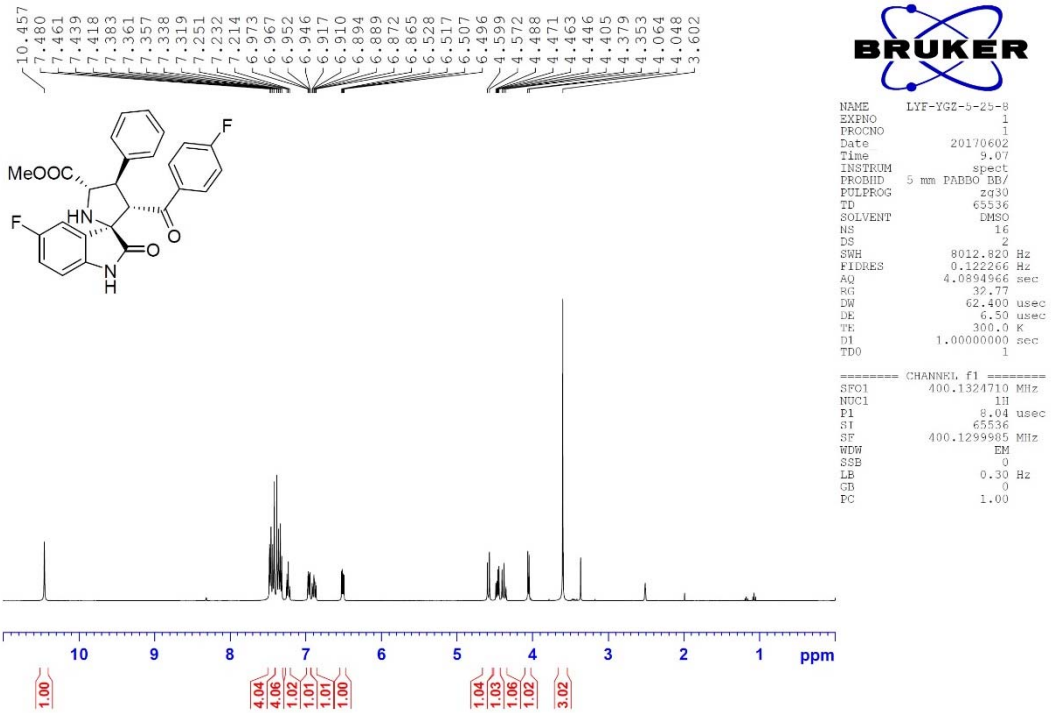
```
NAME          LYF-YGZ-6-8-2
EXPNO                     1
PROCNO                    1
Date_              20170614
Time                   6.21
INSTRUM               spect
PROBHD   5 mm PABBO BB/
PULPROG               zg30
TD                   65536
SOLVENT               DMSO
NS                      16
DS                       2
SWH               8012.820 Hz
FIDRES               0.122266 Hz
AQ                4.0894966 sec
RG                    32.77
DW                   62.400 usec
DE                    6.50 usec
TE                   300.0 K
D1                1.00000000 sec
TD0                       1

======== CHANNEL f1 ========
SFO1            400.1324710 MHz
NUC1                      1H
P1                      8.04 usec
SI                     65536
SF              400.1300005 MHz
WDW                      EM
SSB                       0
LB                     0.30 Hz
GB                        0
PC                     1.00
```

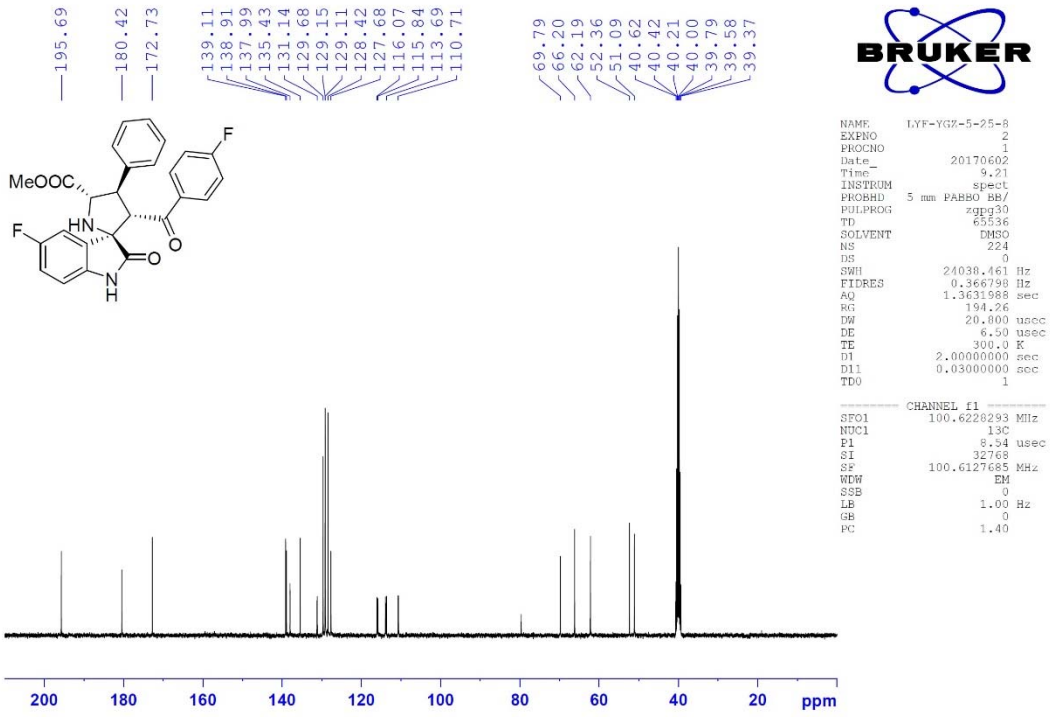


BRUKER

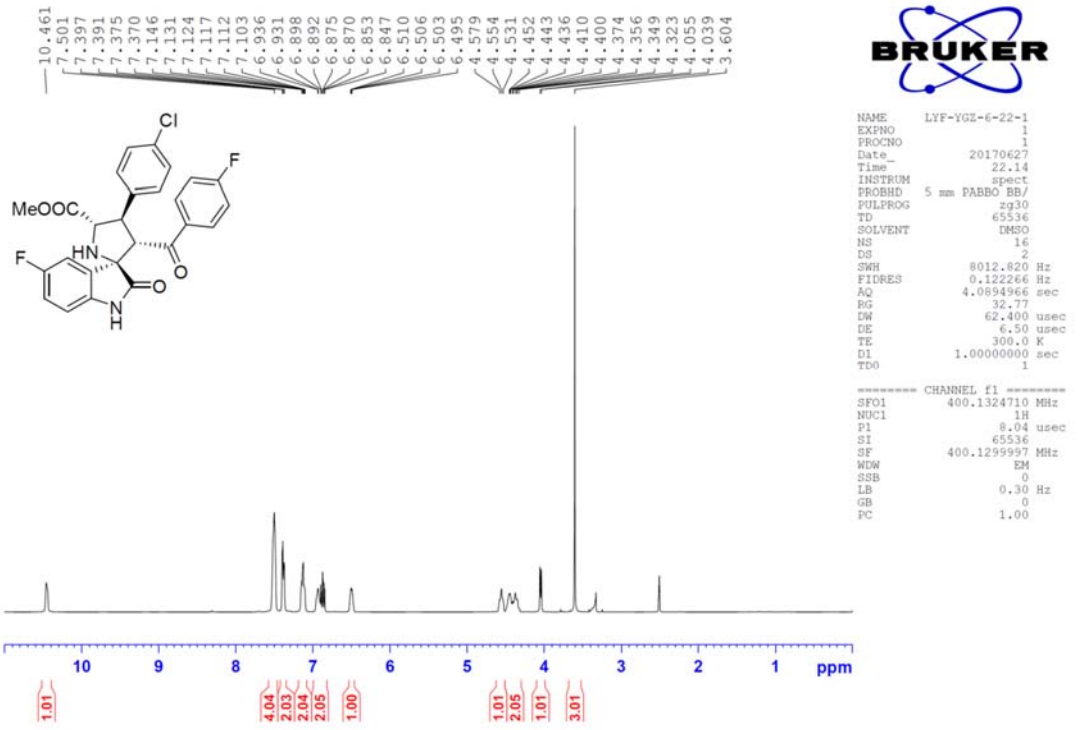
```
NAME          LYF-YGZ-6-8-2
EXPNO                     2
PROCNO                    1
Date_              20170614
Time                   6.37
INSTRUM               spect
PROBHD   5 mm PABBO BB/
PULPROG            zgpg30
TD                   65536
SOLVENT               DMSO
NS                      256
DS                        0
SWH              24038.461 Hz
FIDRES               0.366798 Hz
AQ                1.3631988 sec
RG                   194.26
DW                   20.800 usec
DE                    6.50 usec
TE                   300.0 K
D1                2.00000000 sec
D11               0.03000000 sec
TD0                       1

======== CHANNEL f1 ========
SFO1            100.6228293 MHz
NUC1                      13C
P1                      8.54 usec
SI                     32768
SF              100.6127685 MHz
WDW                      EM
SSB                       0
LB                     1.00 Hz
GB                        0
PC                     1.40
```

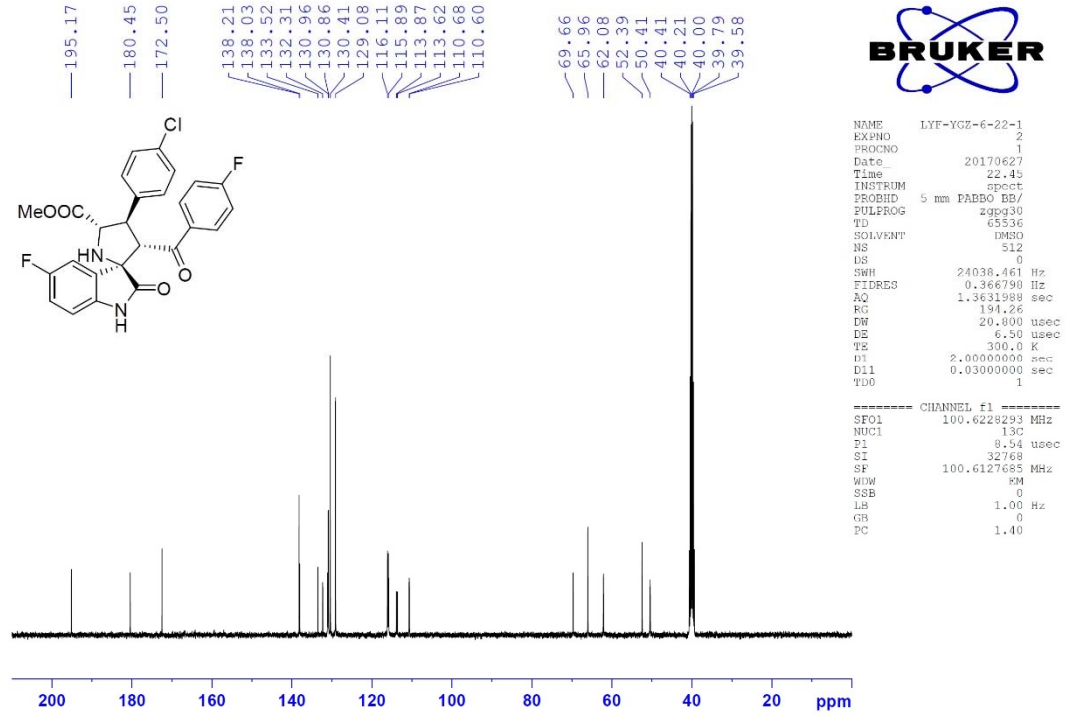
^{1}H NMR and ^{13}C NMR Spectra for Compound **5g**



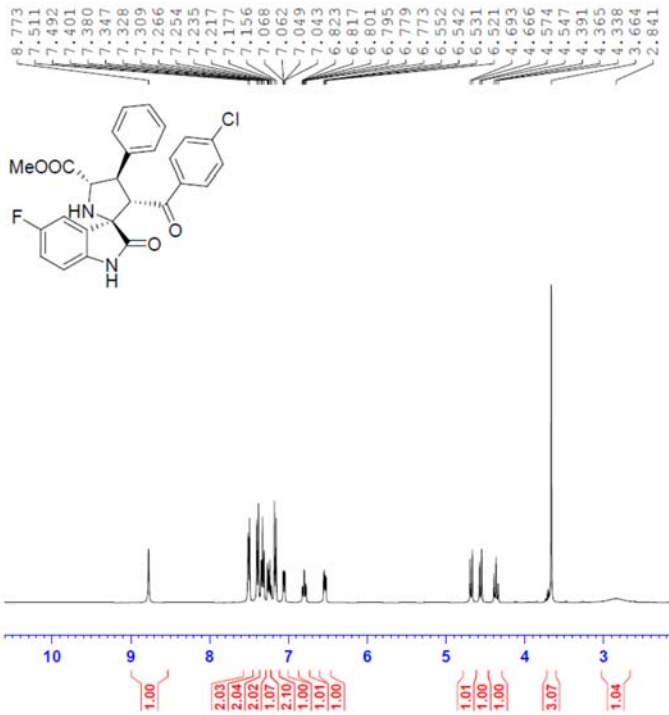


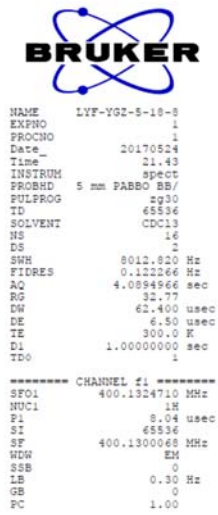
^{1}H NMR and ^{13}C NMR Spectra for Compound **5h**

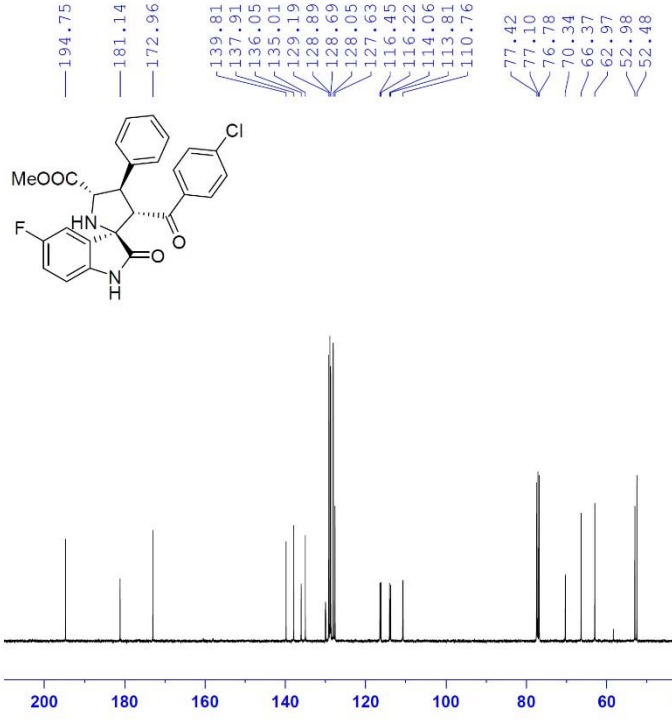


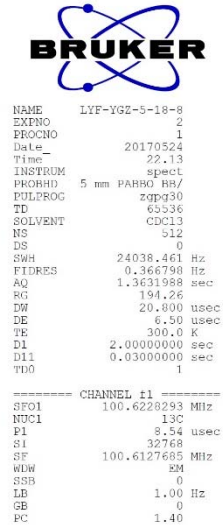


^{1}H NMR and ^{13}C NMR Spectra for Compound **5i**

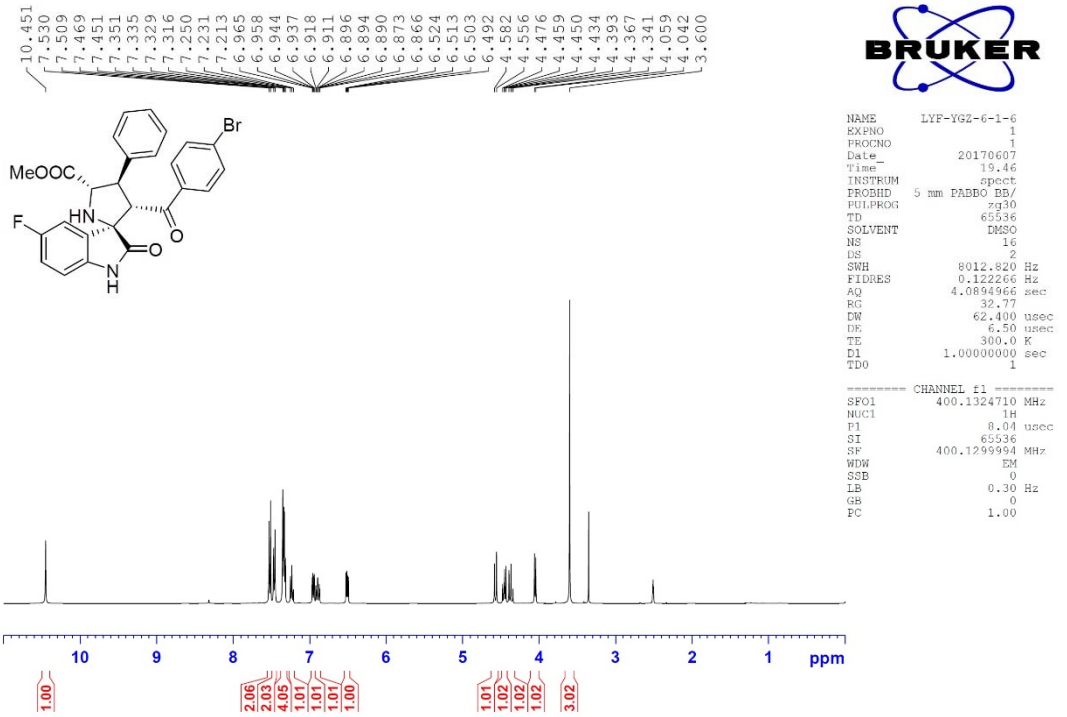


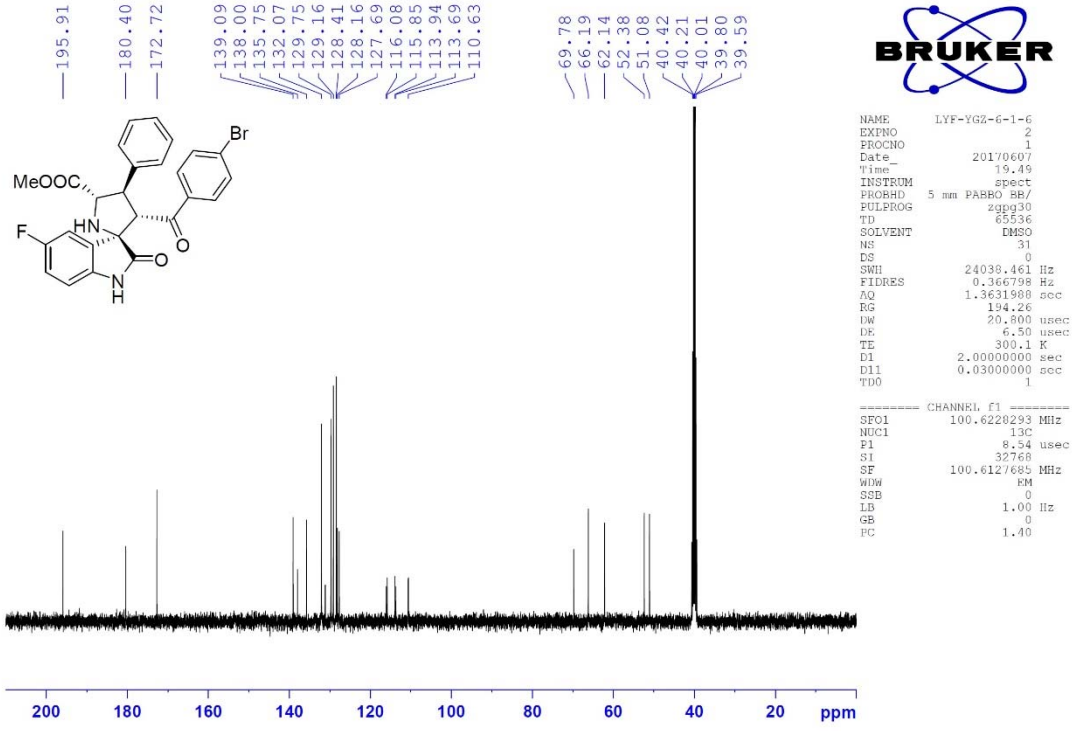




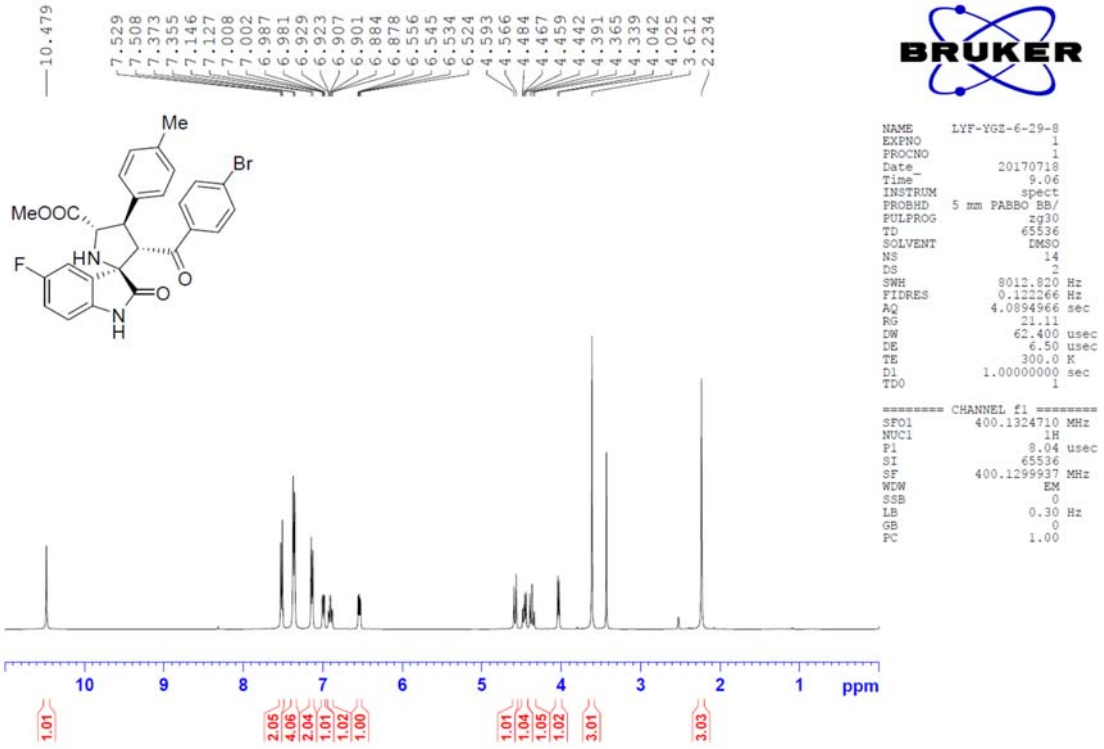


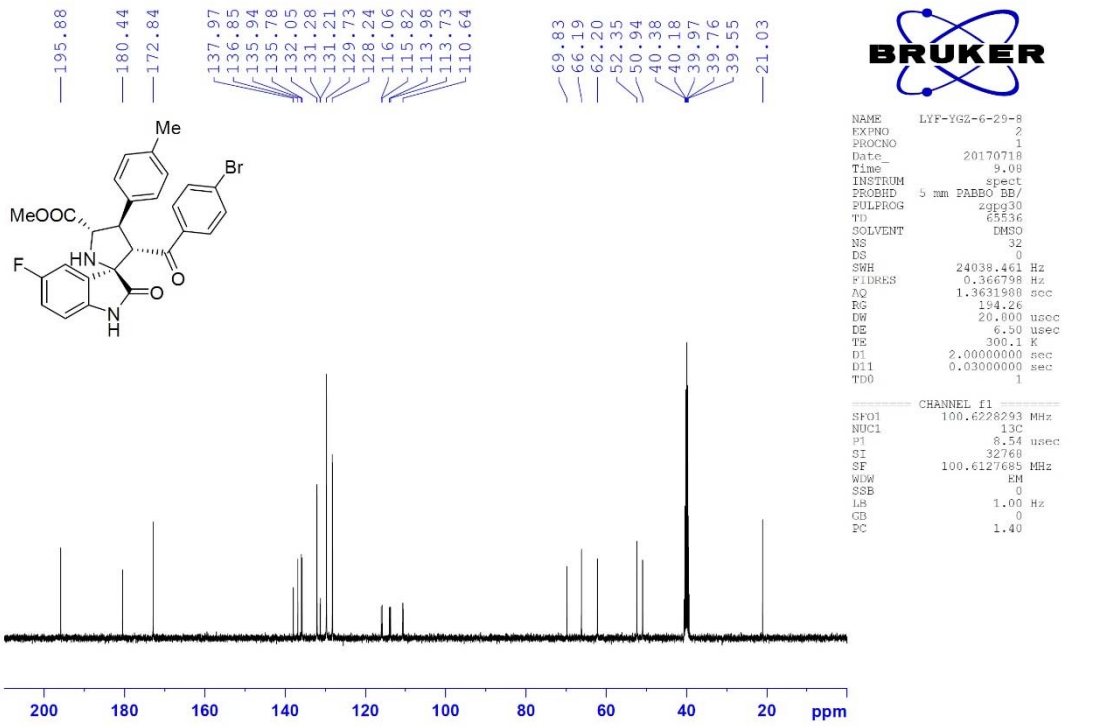
^{1}H NMR and ^{13}C NMR Spectra for Compound **5j**



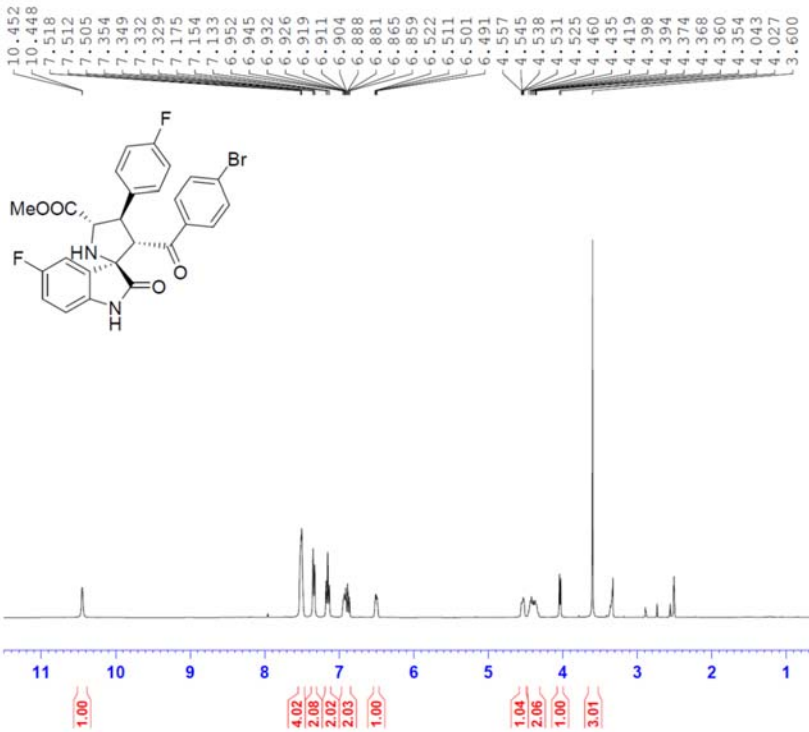


^{1}H NMR and ^{13}C NMR Spectra for Compound **5k**



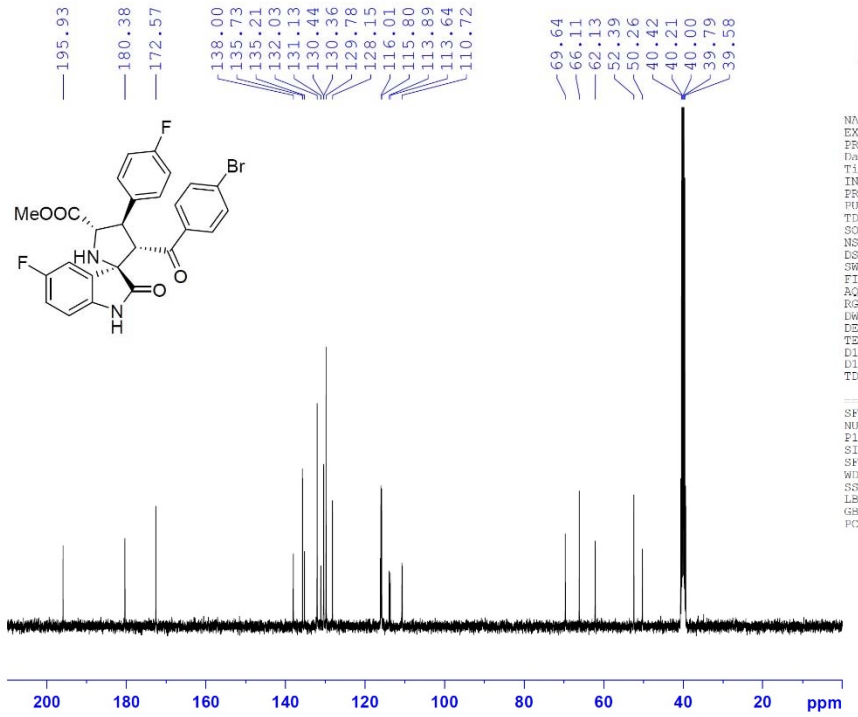


^{1}H NMR and ^{13}C NMR Spectra for Compound **5l**



BRUKER

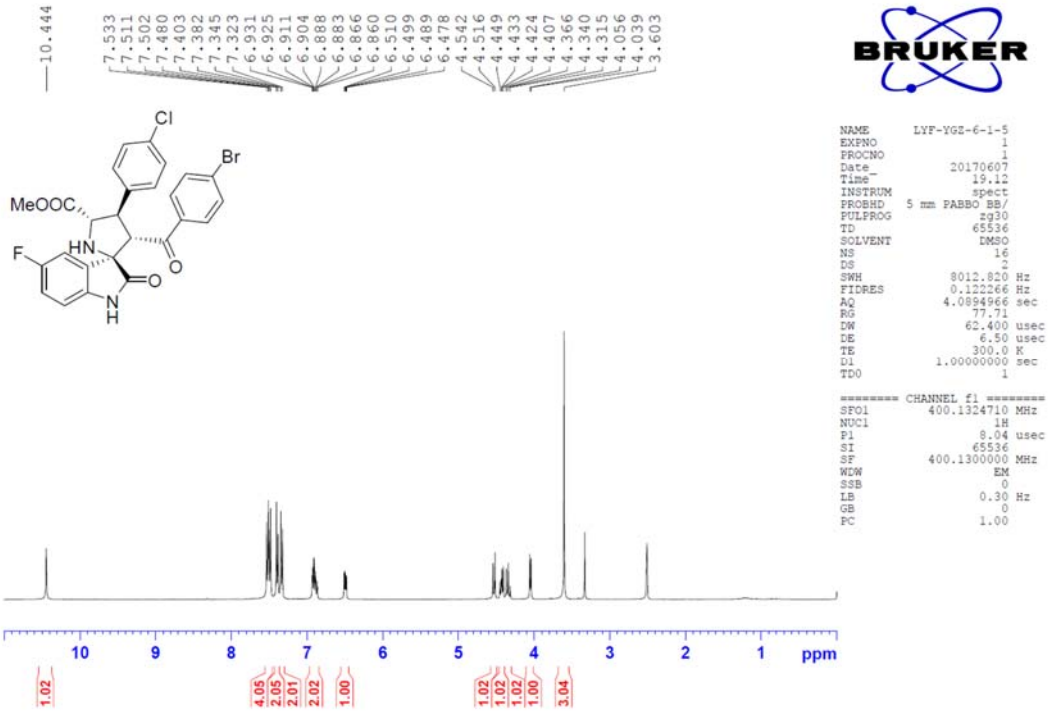
NAME	LYF-YGZ-6-22-2
EXPNO	1
PROCNO	1
Date_	20170627
Time	22.48
INSTRUM	spect
PROBHD	5 mm PABBO BB/
PULPROG	zg30
TD	65536
SOLVENT	DMSO
NS	16
DS	2
SWH	8012.820 Hz
FIDRES	0.122266 Hz
AQ	4.0894966 sec
RG	57.79
DW	62.400 usec
DE	6.50 usec
TE	300.0 K
D1	1.00000000 sec
TD0	1
======== CHANNEL f1 ========	
SFO1	400.1324710 MHz
NUC1	1H
P1	8.04 usec
SI	65536
SF	400.1300002 MHz
WDW	EM
SSB	0
LB	0.30 Hz
GB	0
PC	1.00

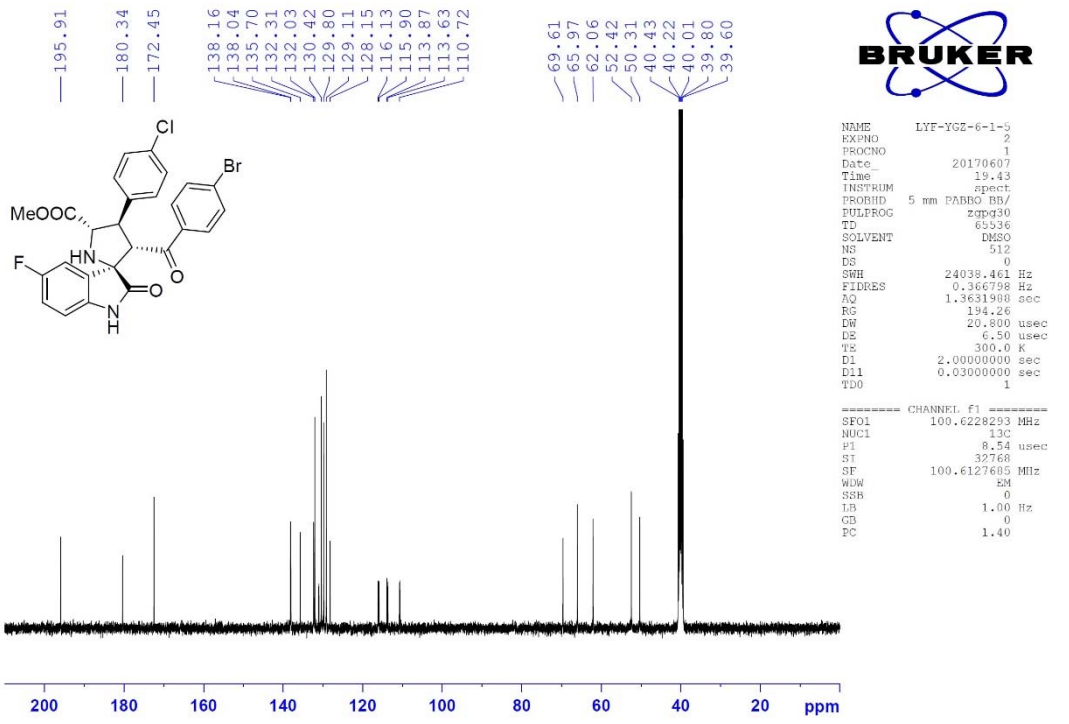


BRUKER

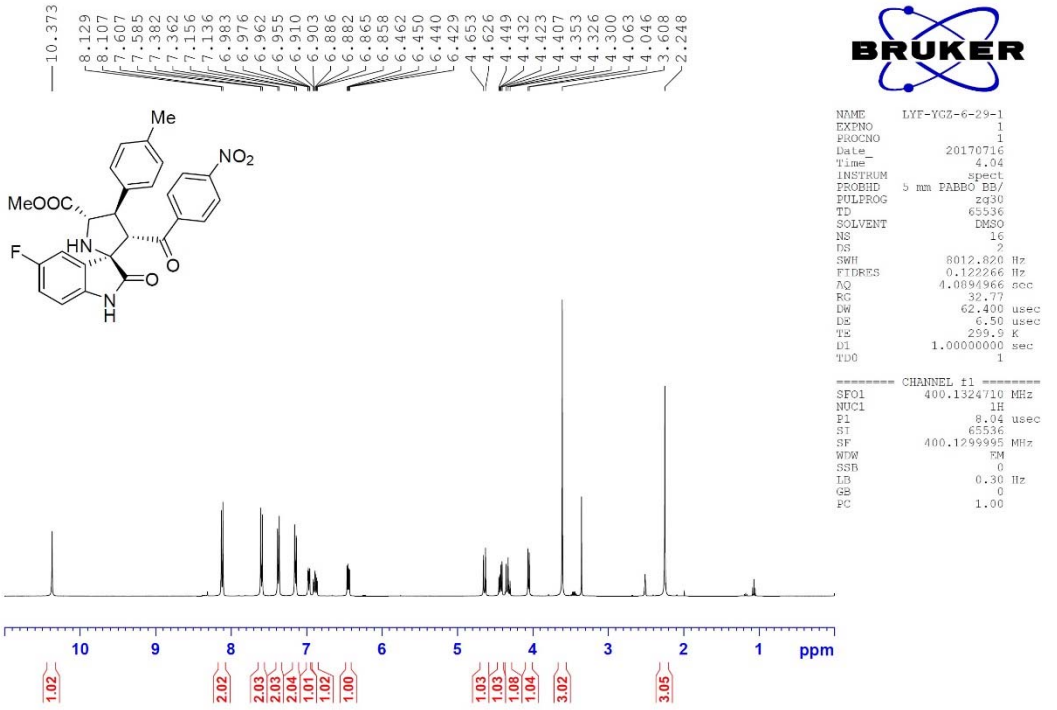
NAME	LYF-YGZ-6-22-2
EXPNO	2
PROCNO	1
Date_	20170627
Time	23.05
INSTRUM	spect
PROBHD	5 mm PABBO BB/
PULPROG	zgpg30
TD	65536
SOLVENT	DMSO
NS	264
DS	0
SWH	24038.461 Hz
FIDRES	0.366798 Hz
AQ	1.3631988 sec
RG	194.26
DW	20.800 usec
DE	6.50 usec
TE	300.0 K
D1	2.00000000 sec
D11	0.03000000 sec
TD0	1
======== CHANNEL f1 ========	
SFO1	100.6228293 MHz
NUC1	13C
P1	8.54 usec
SI	32768
SF	100.6127685 MHz
WDW	EM
SSB	0
LB	1.00 Hz
GB	0
PC	1.40

^{1}H NMR and ^{13}C NMR Spectra for Compound **5m**



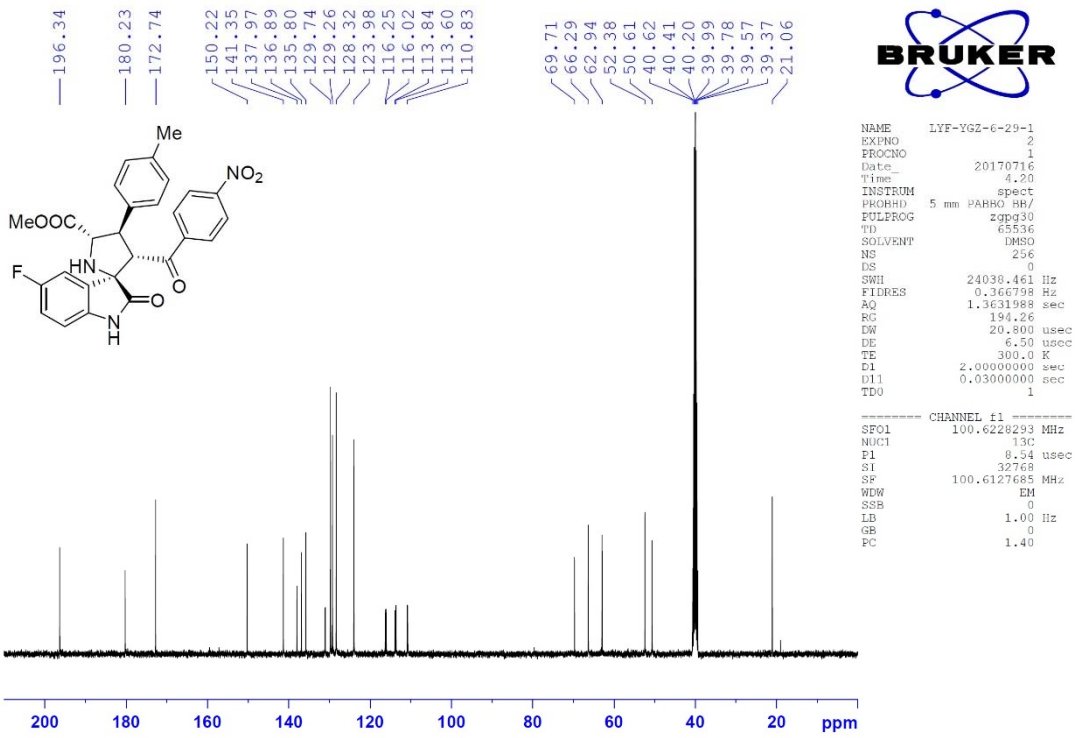


^{1}H NMR and ^{13}C NMR Spectra for Compound **5n**



BRUKER

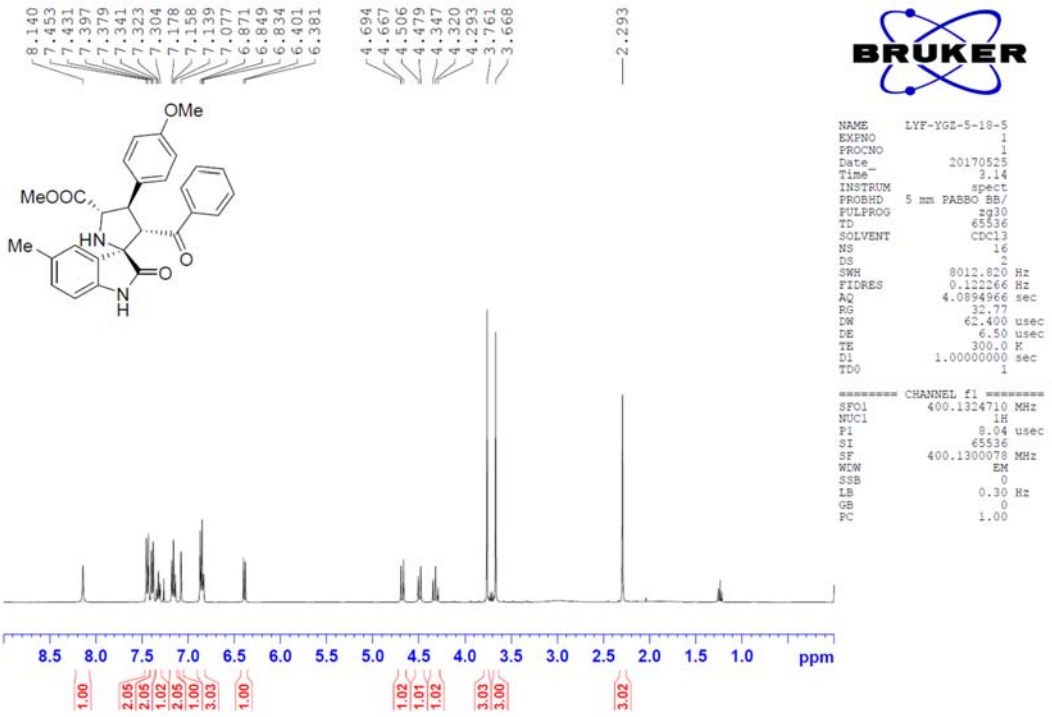
```
NAME          LYF-YGZ-6-29-1
EXPNO                      1
PROCNO                     1
Date_               20170716
Time                    4.04
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG                zg30
TD                    65536
SOLVENT                DMSO
NS                       16
DS                        2
SWH                8012.820 Hz
FIDRES            0.122266 Hz
AQ                4.0894966 sec
RG                     32.77
DW                    62.400 usec
DE                     6.50 usec
TE                    299.9 K
D1                1.00000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1           400.1324710 MHz
NUC1                     1H
P1                     8.04 usec
SI                    65536
SF             400.1299995 MHz
WDW                      EM
SSB                       0
LB                     0.30 Hz
GB                        0
PC                     1.00
```

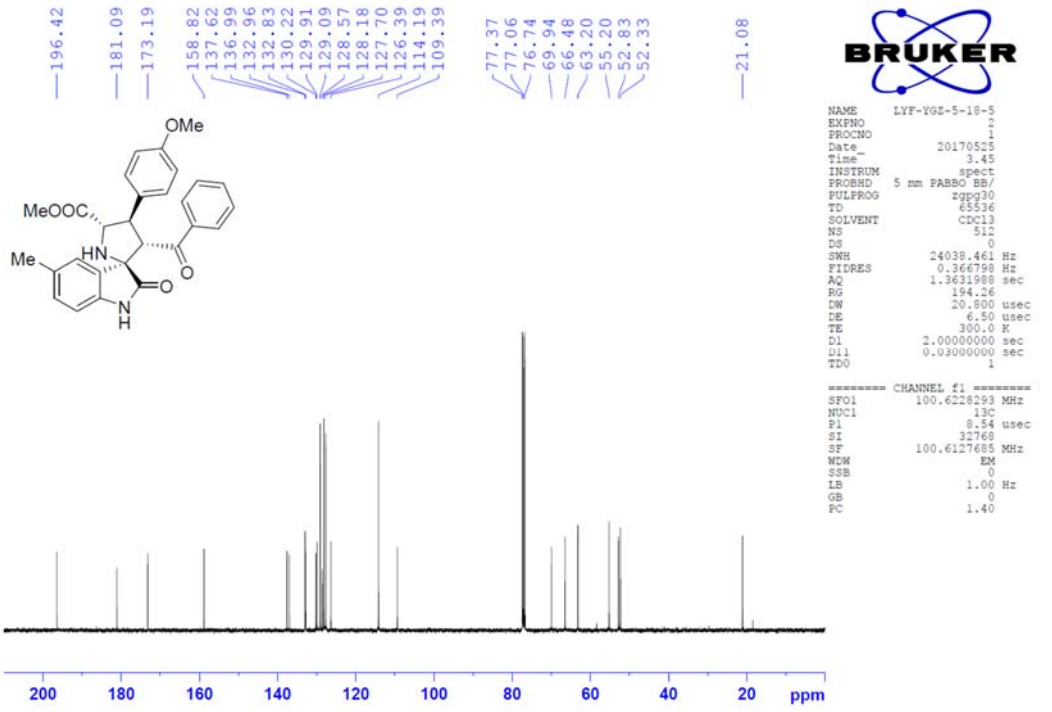


BRUKER

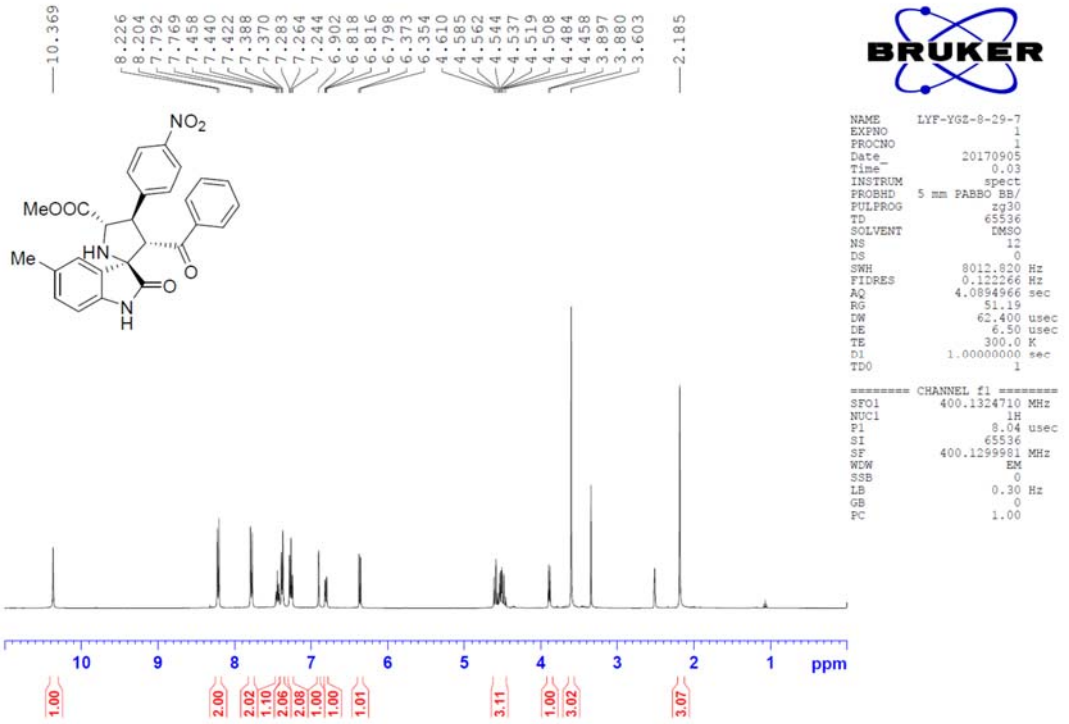
```
NAME          LYF-YGZ-6-29-1
EXPNO                      2
PROCNO                     1
Date_               20170716
Time                    4.20
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG             zgpg30
TD                    65536
SOLVENT                DMSO
NS                      256
DS                        0
SWH               24038.461 Hz
FIDRES            0.366798 Hz
AQ                1.3631988 sec
RG                    194.26
DW                   20.800 usec
DE                     6.50 usec
TE                    300.0 K
D1                2.00000000 sec
D11               0.03000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1           100.6228293 MHz
NUC1                     13C
P1                     8.54 usec
SI                    32768
SF             100.6127685 MHz
WDW                      EM
SSB                       0
LB                     1.00 Hz
GB                        0
PC                     1.40
```

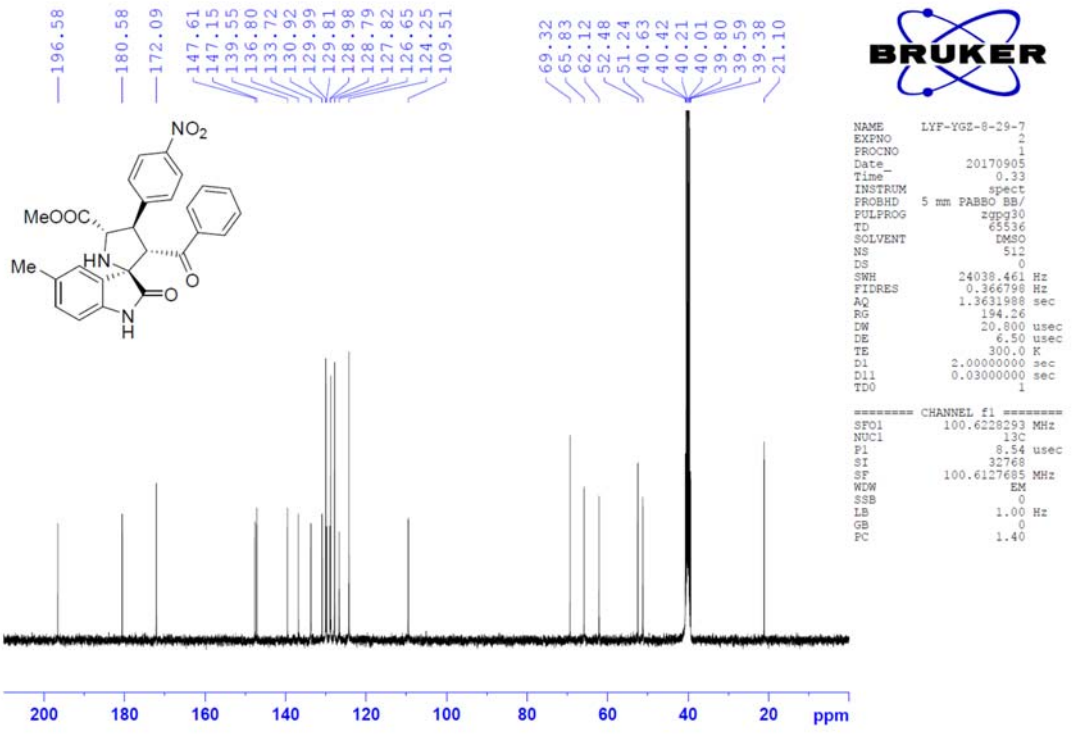
^{1}H NMR and ^{13}C NMR Spectra for Compound **5o**



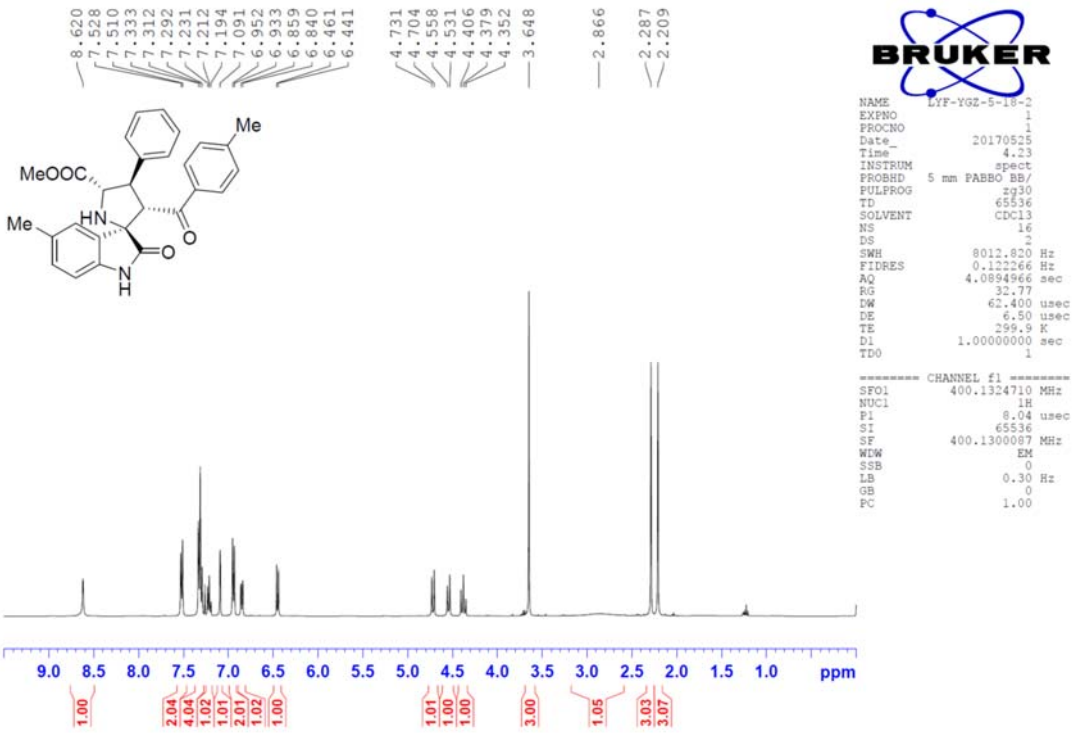


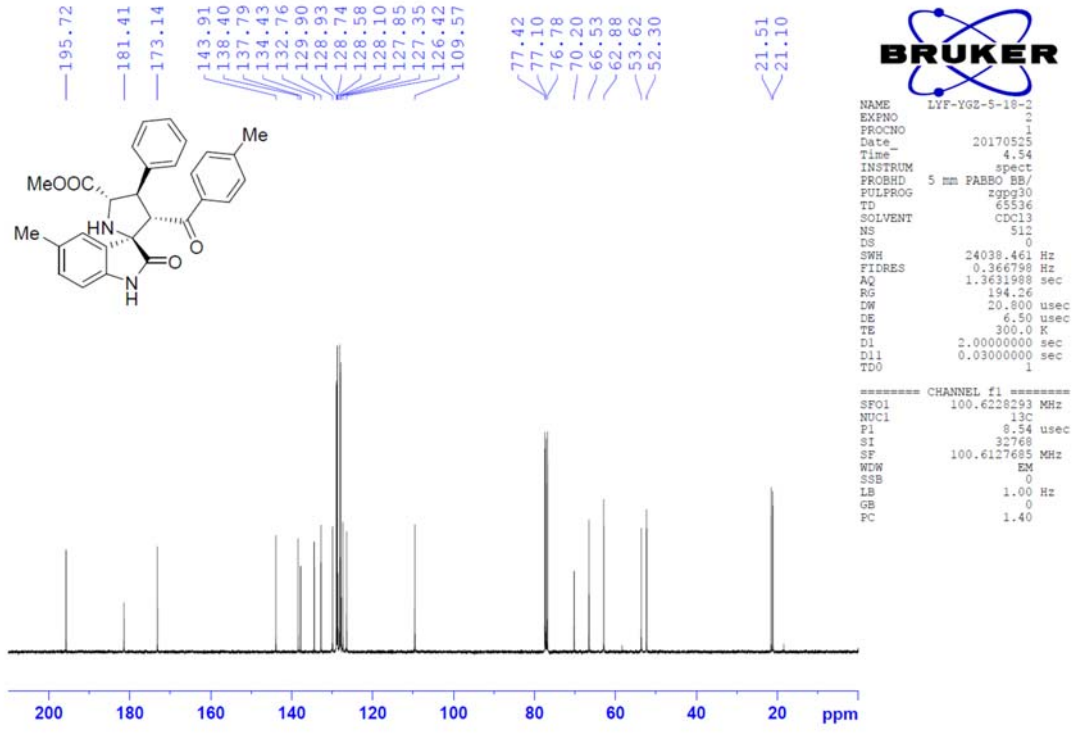
^{1}H NMR and ^{13}C NMR Spectra for Compound **5p**



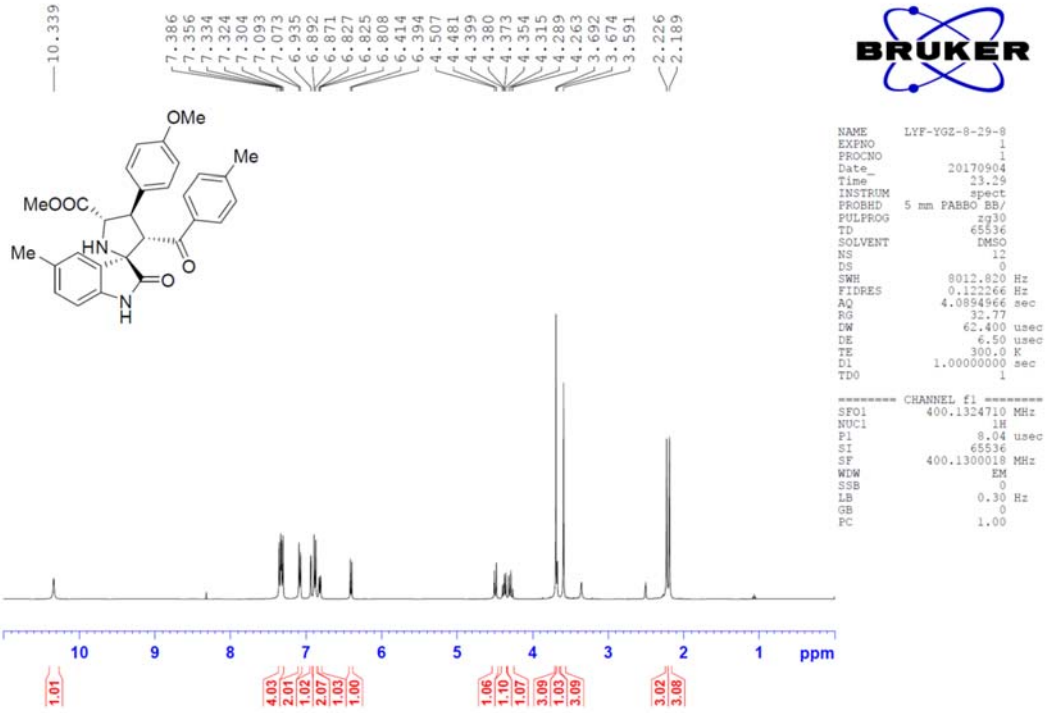


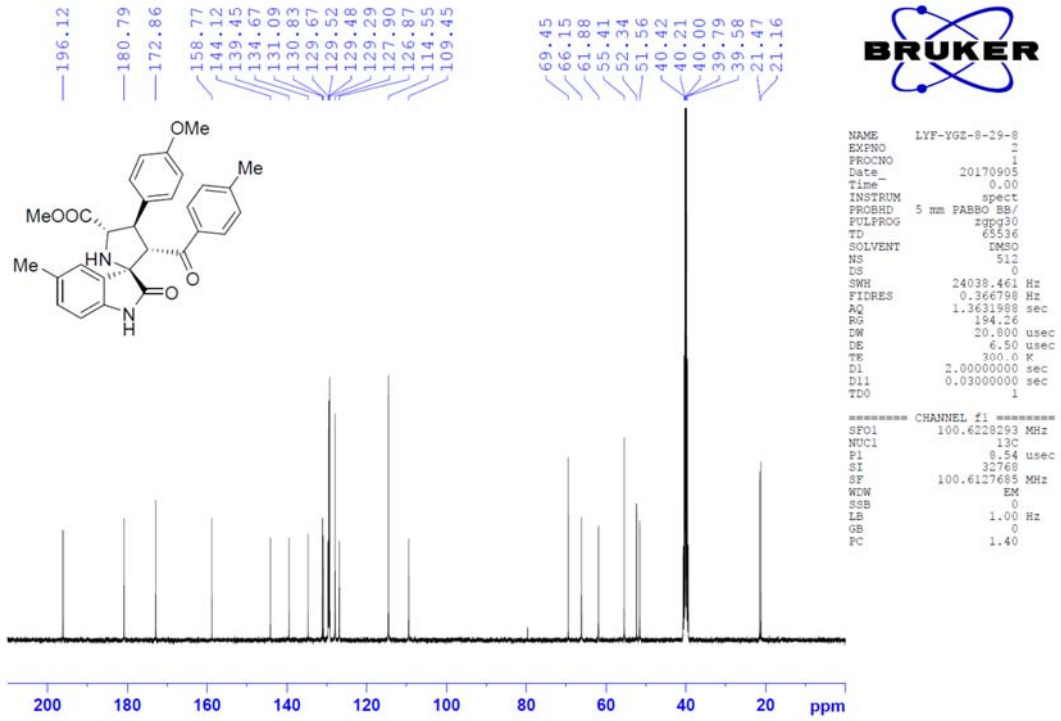
^{1}H NMR and ^{13}C NMR Spectra for Compound **5q**



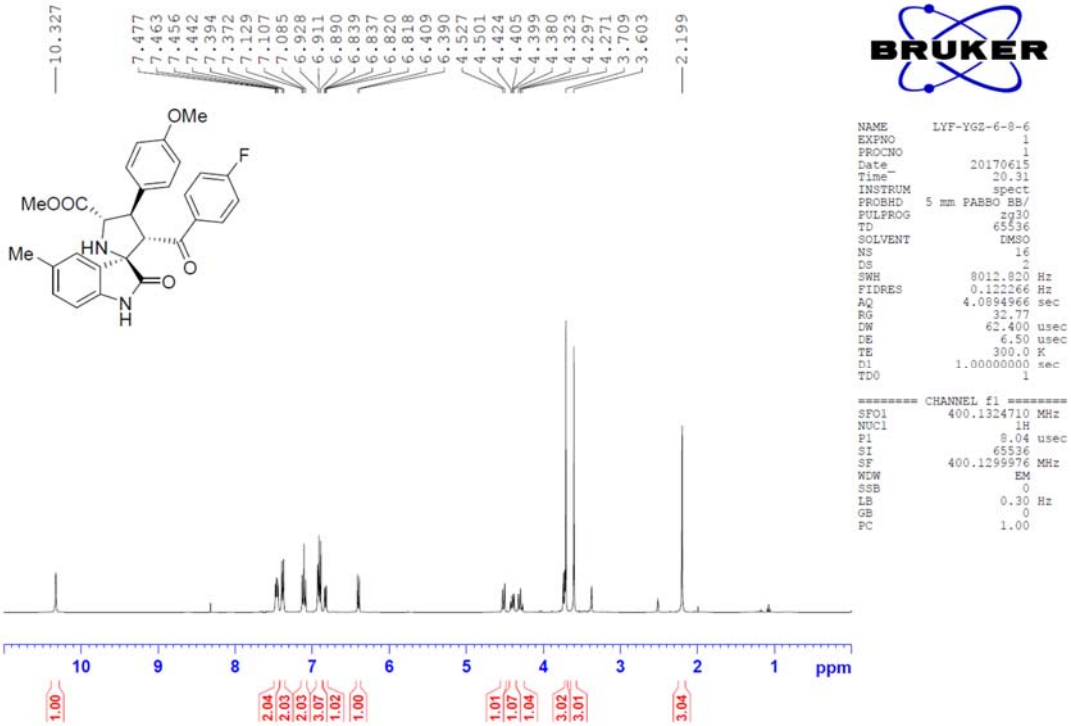


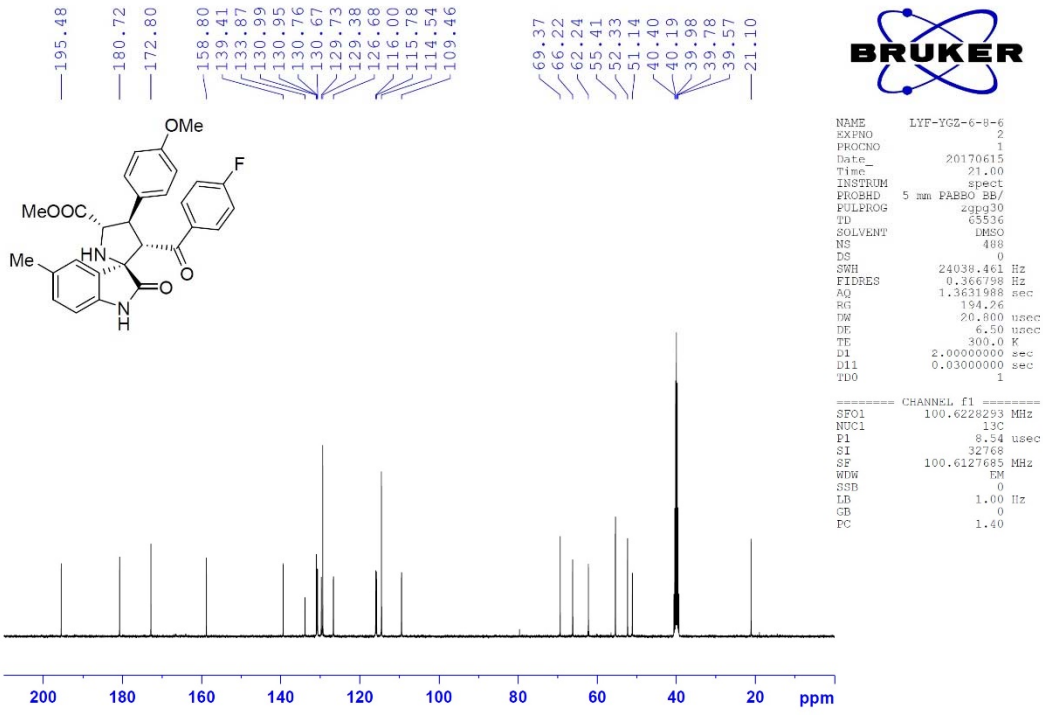
^{1}H NMR and ^{13}C NMR Spectra for Compound **5r**



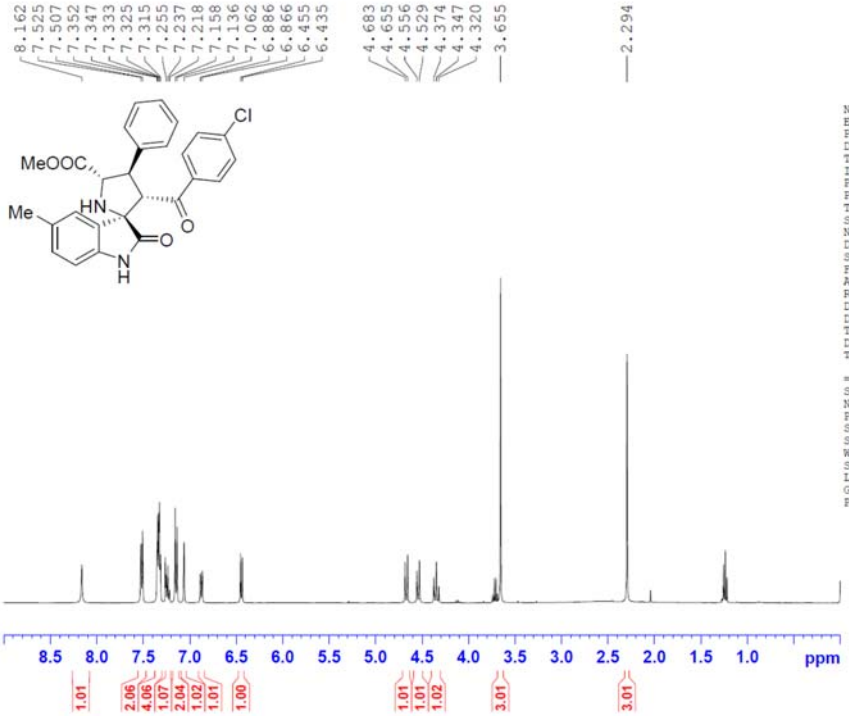


^{1}H NMR and ^{13}C NMR Spectra for Compound **5s**





^{1}H NMR and ^{13}C NMR Spectra for Compound **5t**

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NAME        LYF-YGZ-5-18-3
EXPNO                    1
PROCNO                   1
Date_             20170525
Time                 3.49
INSTRUM             spect
PROBHD   5 mm PABBO BB/
PULPROG              zg30
TD                  65536
SOLVENT             CDCl3
NS                      16
DS                       2
SWH              8012.820 Hz
FIDRES           0.122266 Hz
AQ               4.0894966 sec
RG                   51.19
DW                 62.400 usec
DE                  6.50 usec
TE                 300.0 K
D1              1.00000000 sec
TD0                      1

======== CHANNEL f1 ========
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NUC1                    1H
P1                   8.04 usec
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WDW                     EM
SSB                      0
LB                  0.30 Hz
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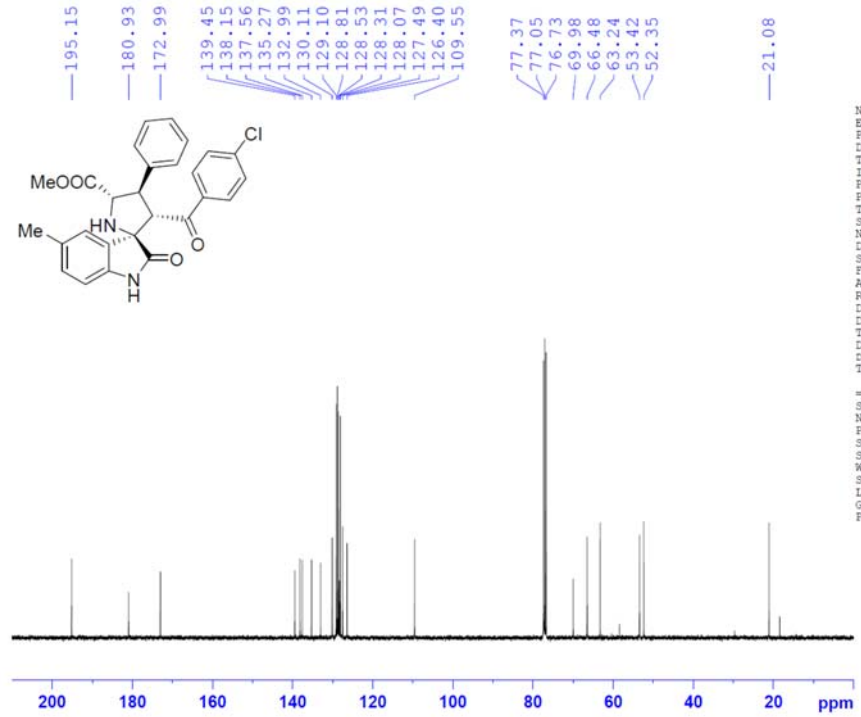


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AQ               1.3631988 sec
RG                   194.26
DW                 20.800 usec
DE                  6.50 usec
TE                 300.0 K
D1              2.00000000 sec
D11             0.03000000 sec
TD0                      1

======== CHANNEL f1 ========
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NUC1                    13C
P1                   8.54 usec
SI                  32768
SF            100.6127685 MHz
WDW                     EM
SSB                      0
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GB                       0
PC                    1.40
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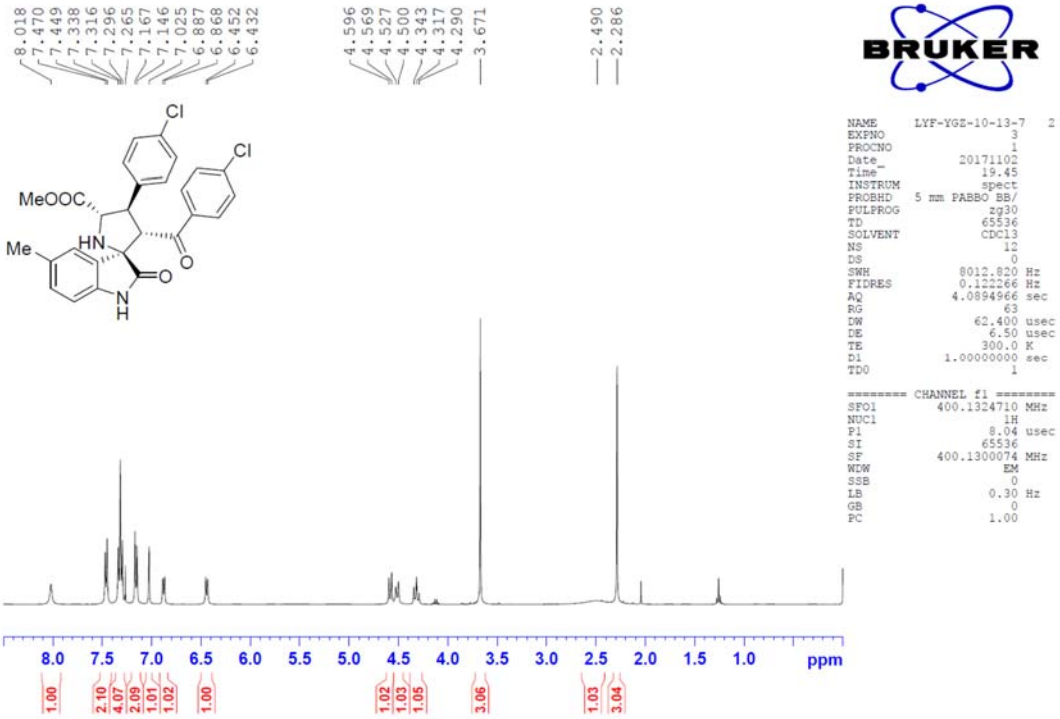
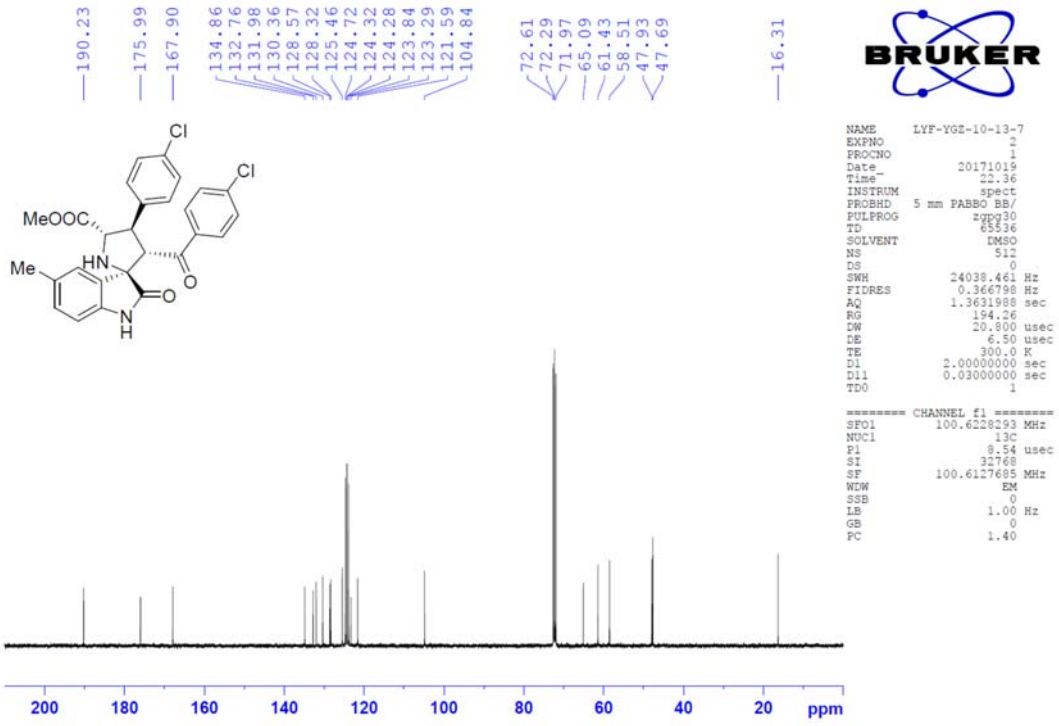
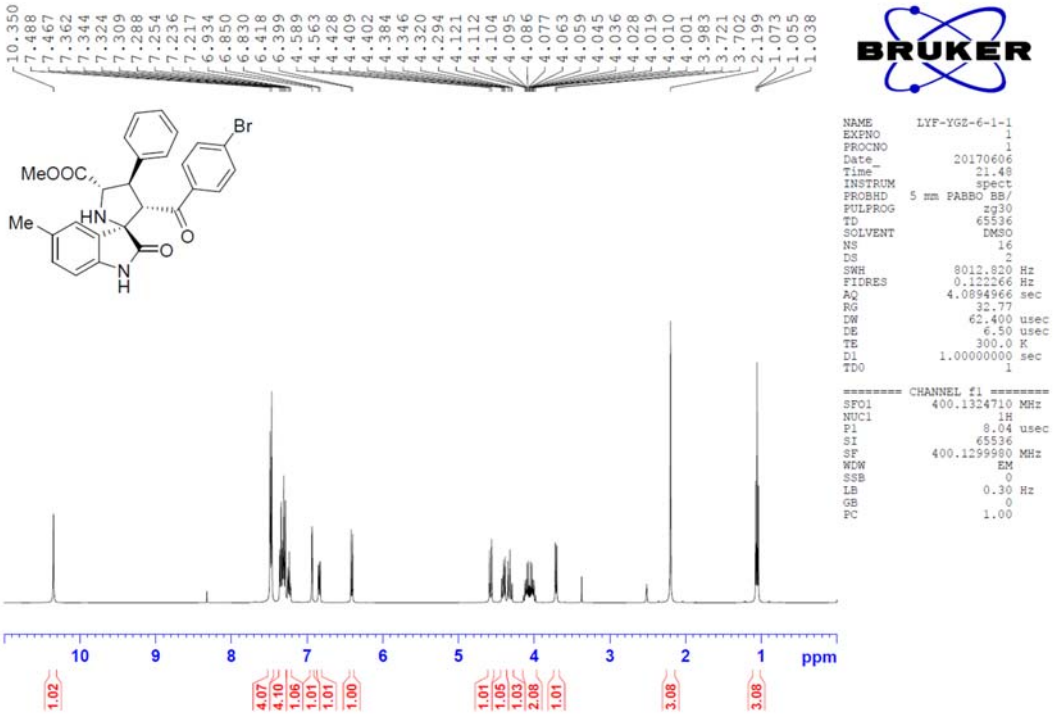
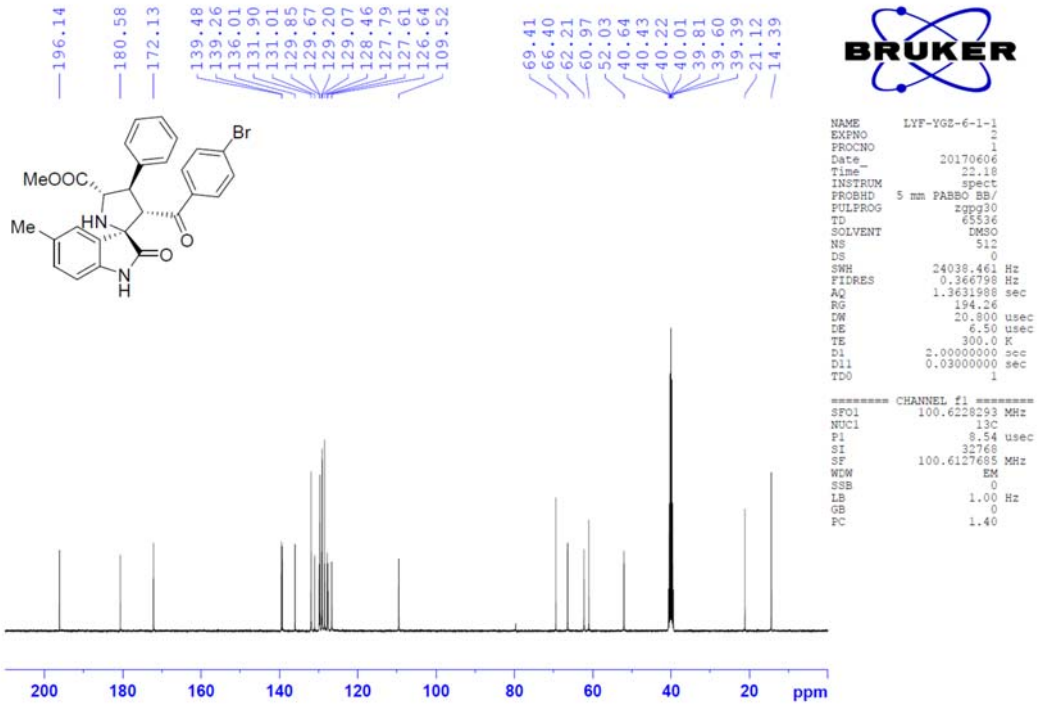
^{1}H NMR and ^{13}C NMR Spectra for Compound **5u**



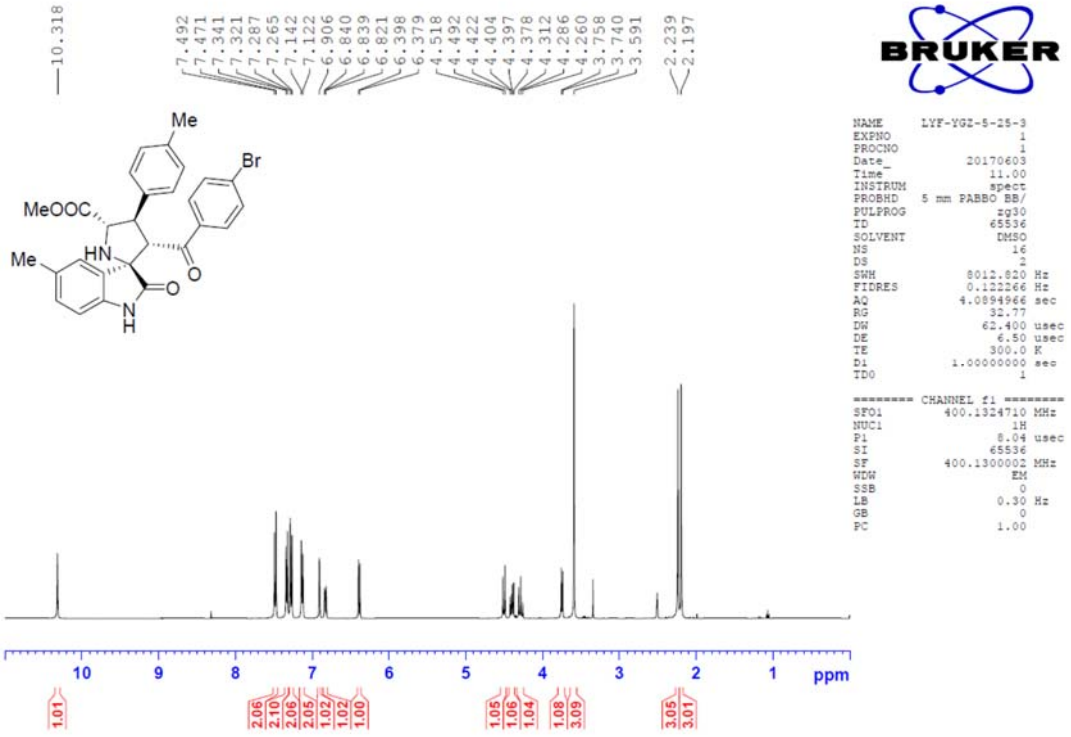


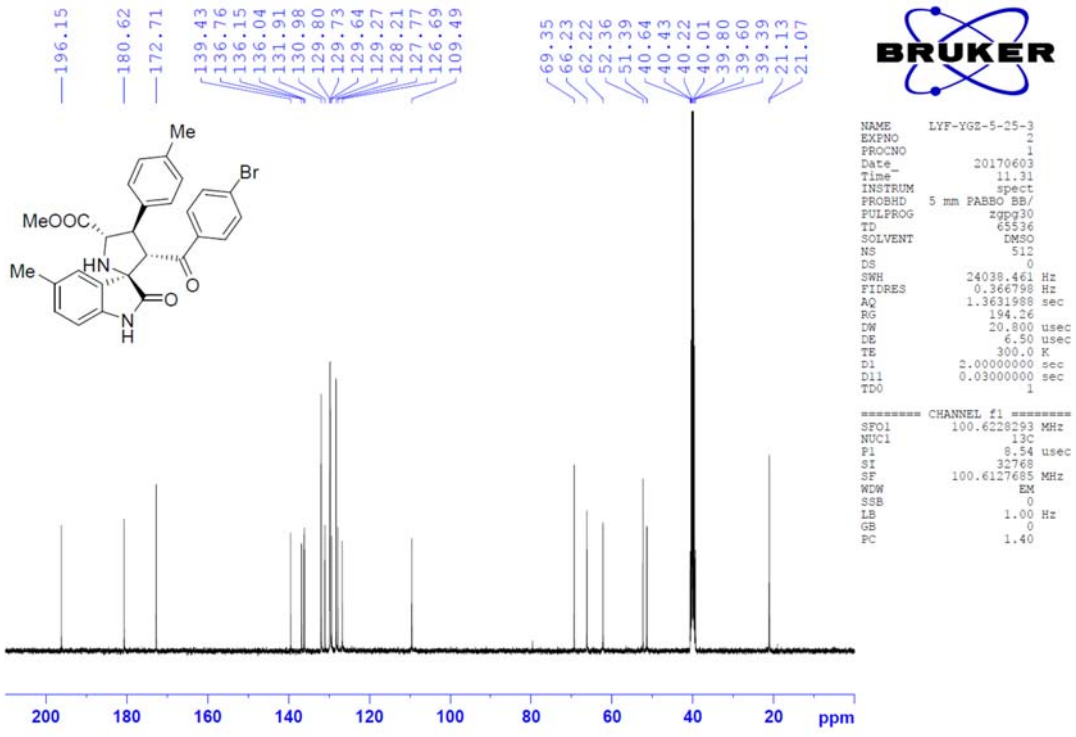
^{1}H NMR and ^{13}C NMR Spectra for Compound **5v**



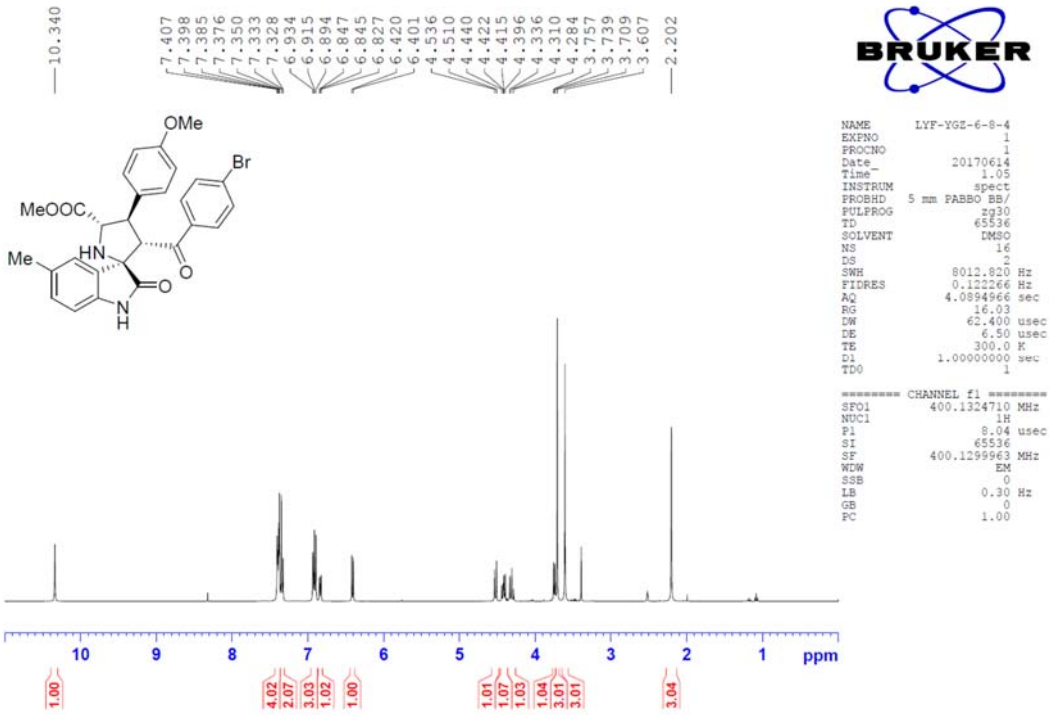


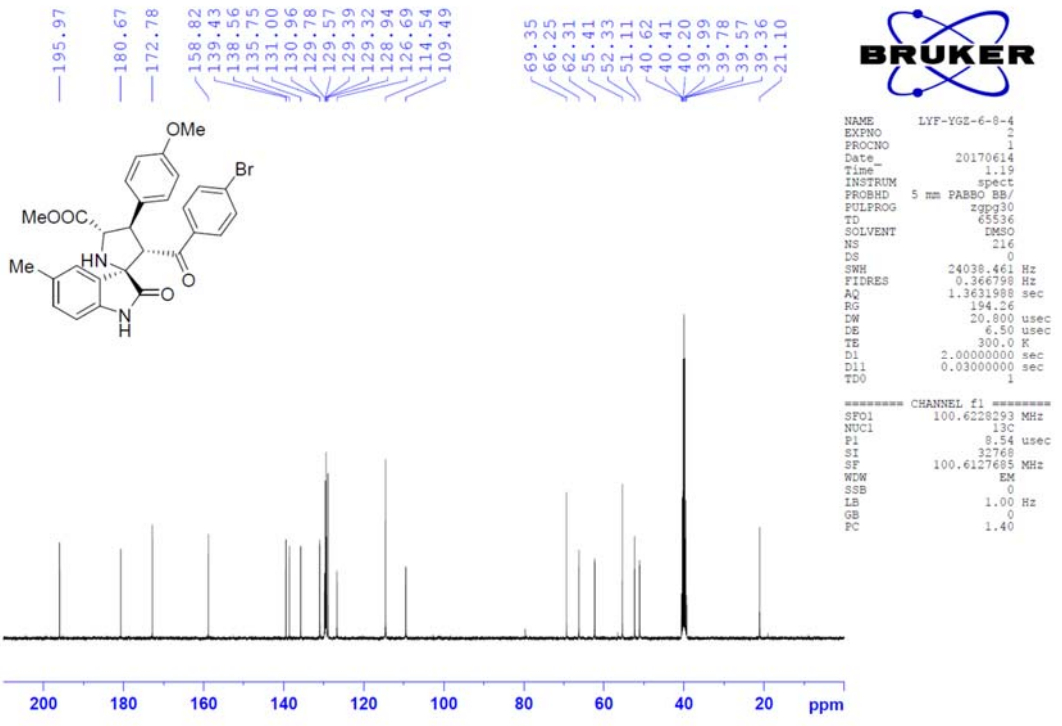
^{1}H NMR and ^{13}C NMR Spectra for Compound **5w**



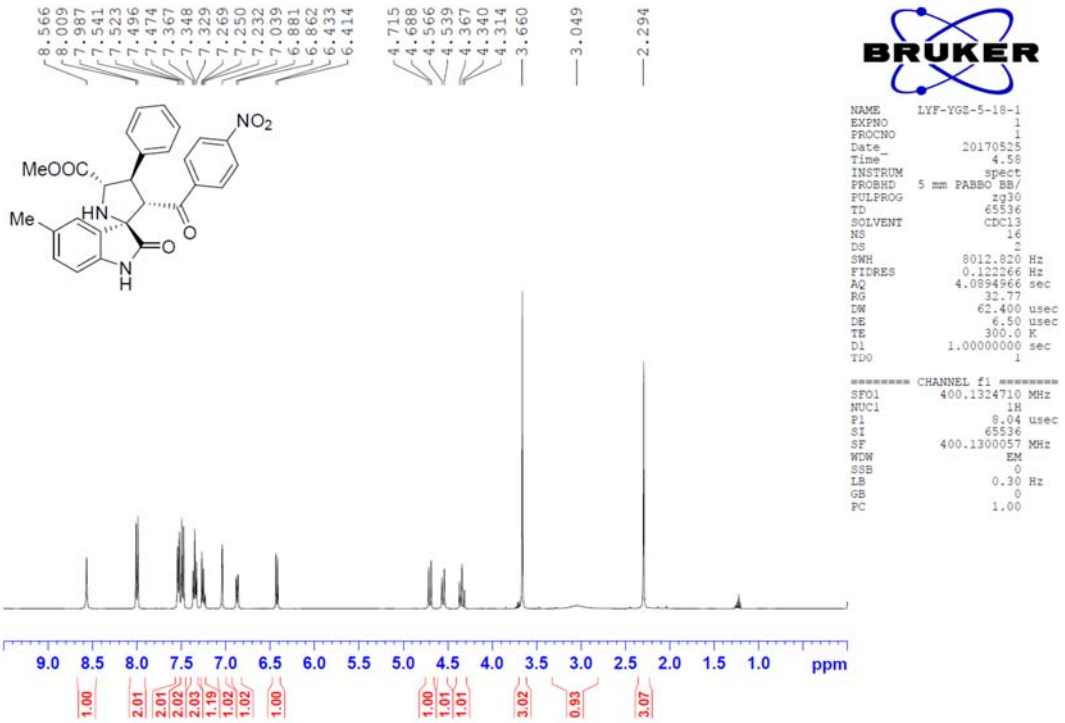


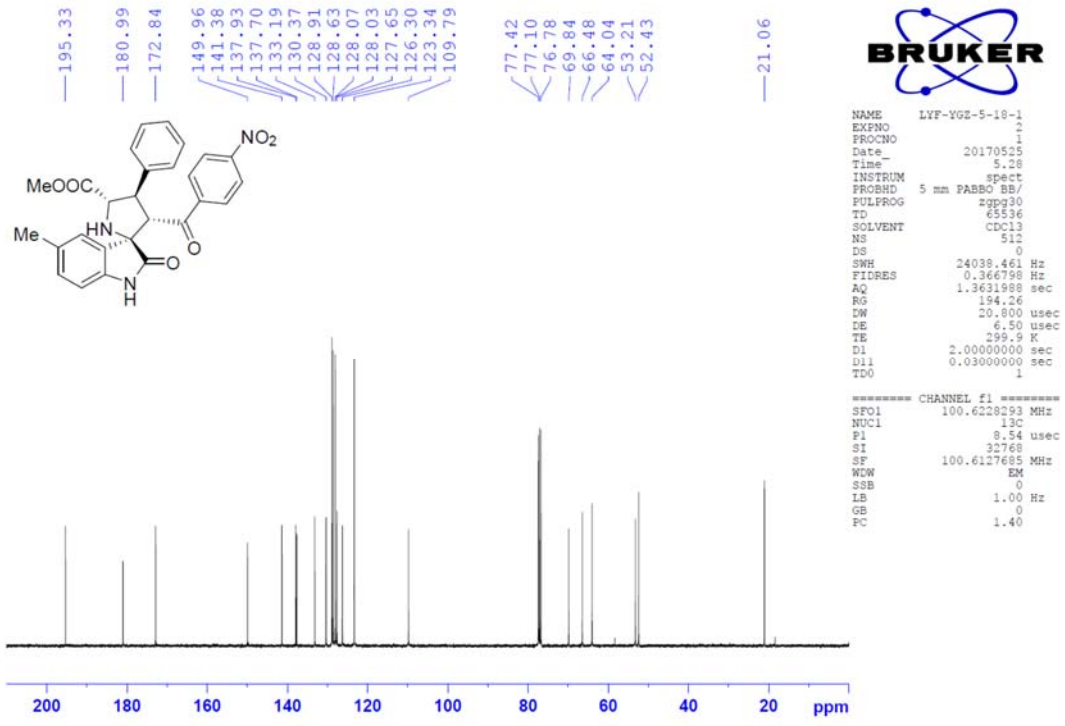
^{1}H NMR and ^{13}C NMR Spectra for Compound **5x**



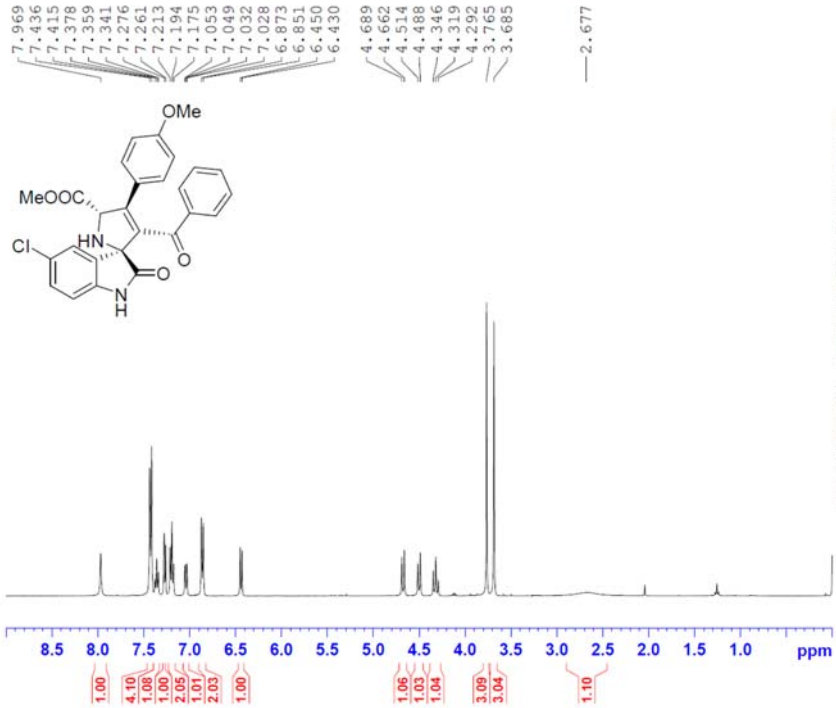


^{1}H NMR and ^{13}C NMR Spectra for Compound **5y**





^{1}H NMR and ^{13}C NMR Spectra for Compound **5z**

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DS                         0
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FIDRES             0.122266 Hz
AQ                 4.0894966 sec
RG                        63
DW                   62.400 usec
DE                    6.50 usec
TE                   300.0 K
D1                1.00000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1          400.1324710 MHz
NUC1                      1H
P1                    8.04 usec
SI                    65536
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WDW                       EM
SSB                        0
LB                    0.30 Hz
GB                         0
PC                    1.00
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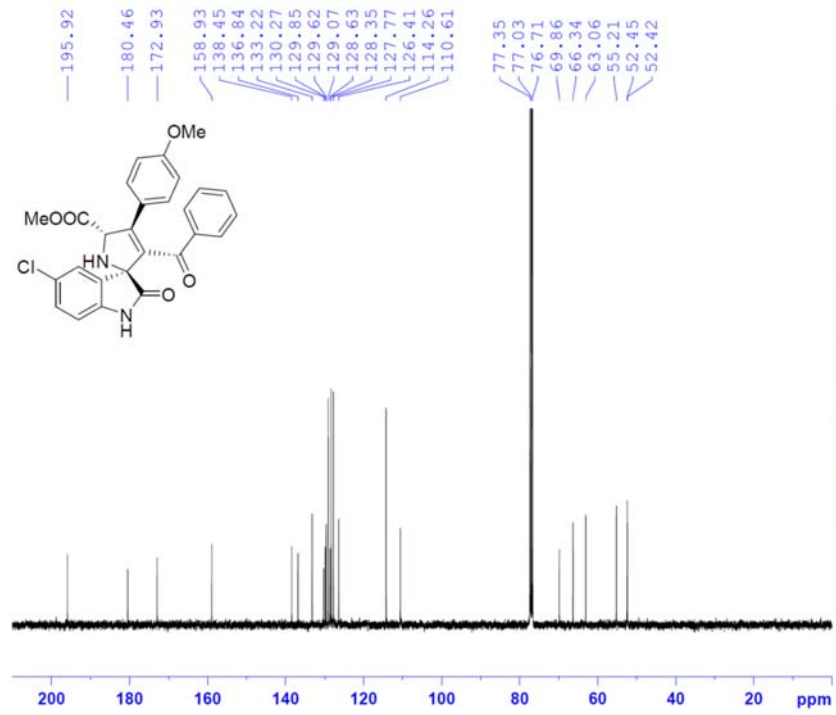


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PROCNO                     1
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Time                   22.00
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TD                    65536
SOLVENT               CDCl3
NS                       512
DS                         0
SWH               24038.461 Hz
FIDRES             0.366798 Hz
AQ                 1.3631988 sec
RG                    194.26
DW                   20.800 usec
DE                    6.50 usec
TE                   300.0 K
D1                2.00000000 sec
D11               0.03000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1          100.6228293 MHz
NUC1                      13C
P1                    8.54 usec
SI                    32768
SF          100.6127685 MHz
WDW                       EM
SSB                        0
LB                    1.00 Hz
GB                         0
PC                    1.40
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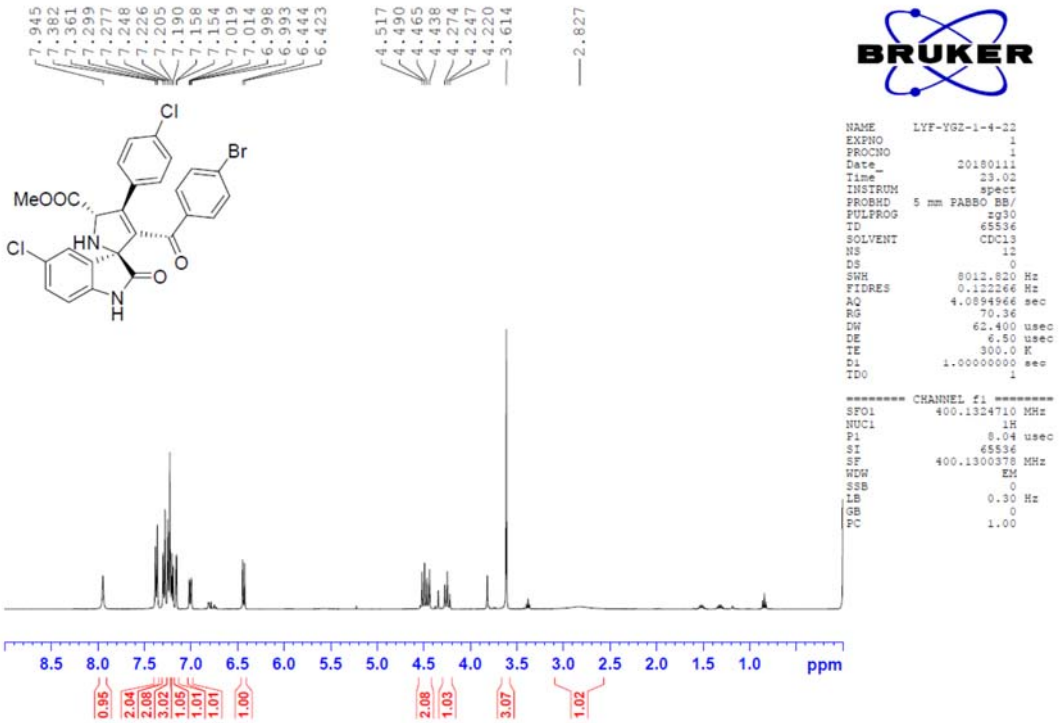
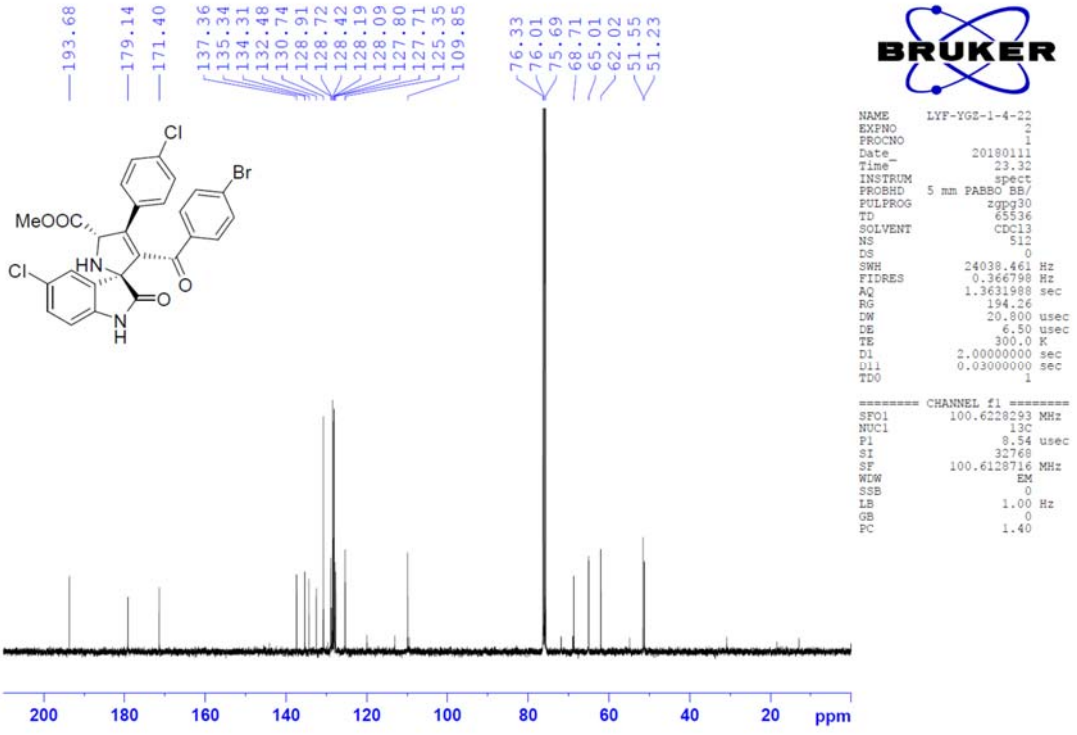
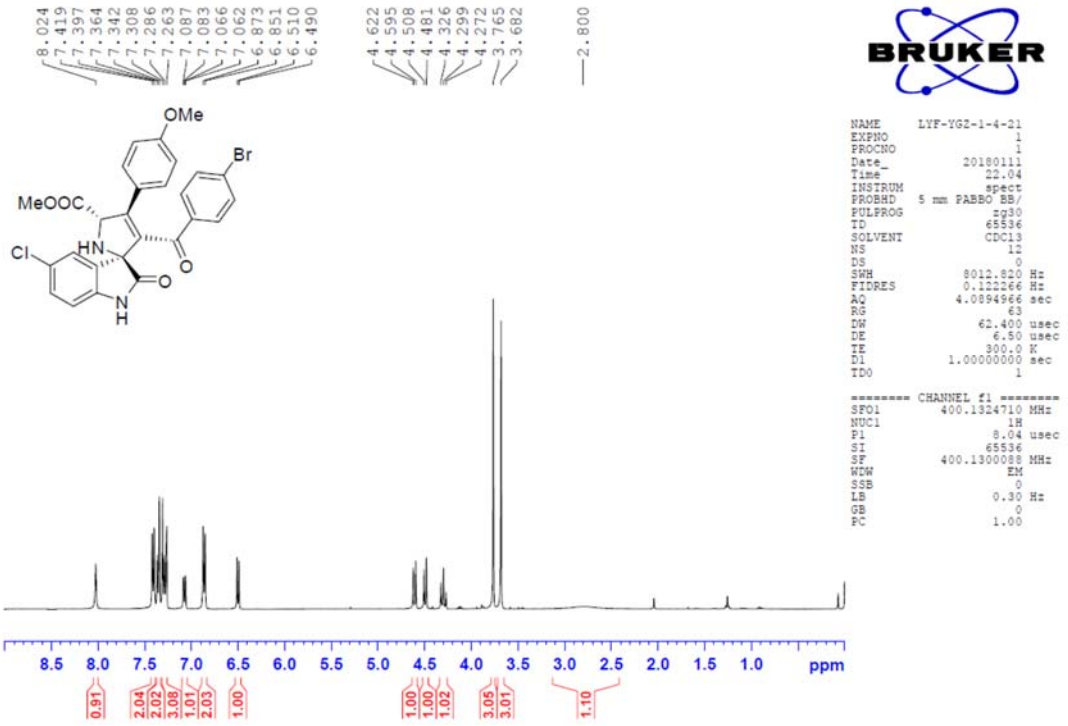
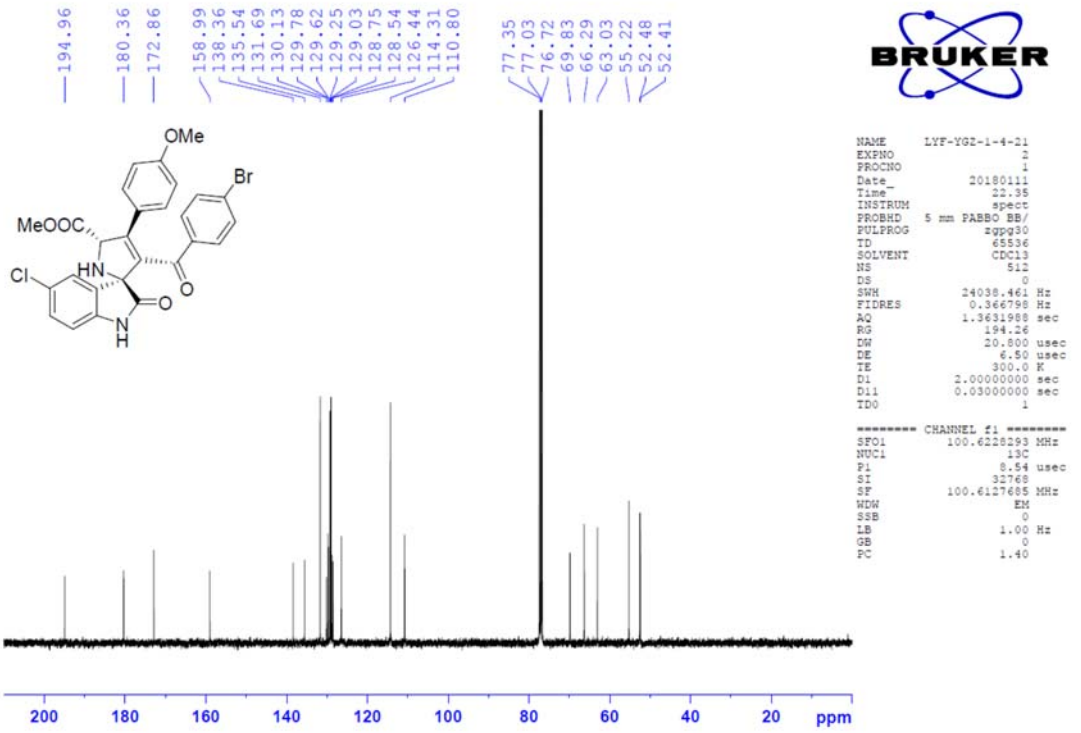
^{1}H NMR and ^{13}C NMR Spectra for Compound **5aa**



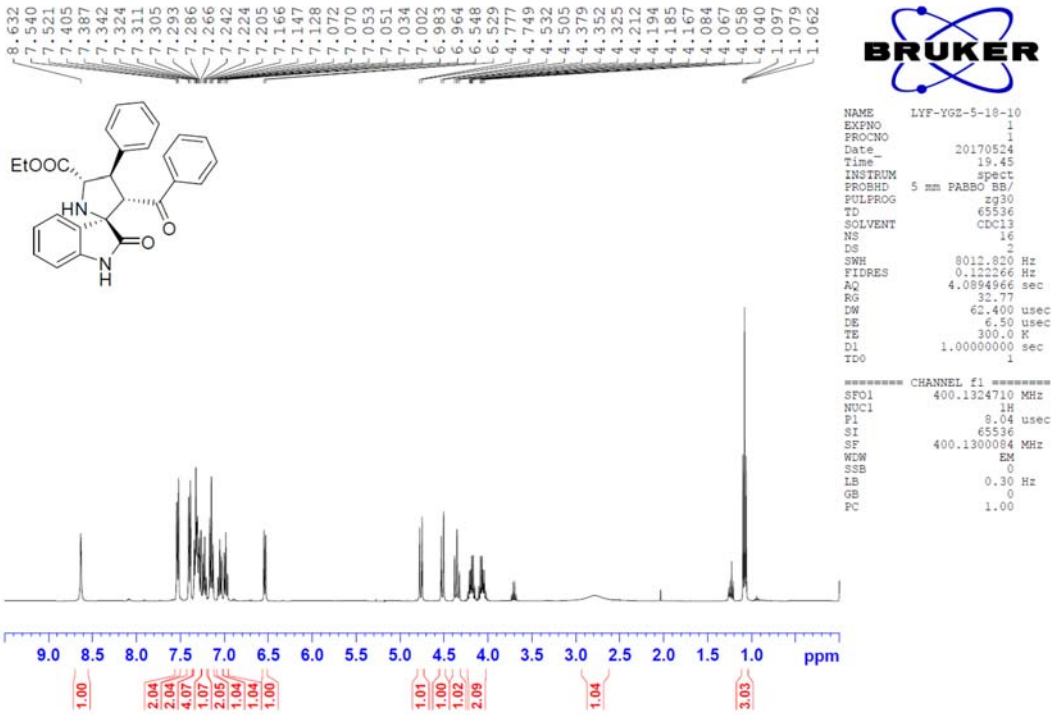


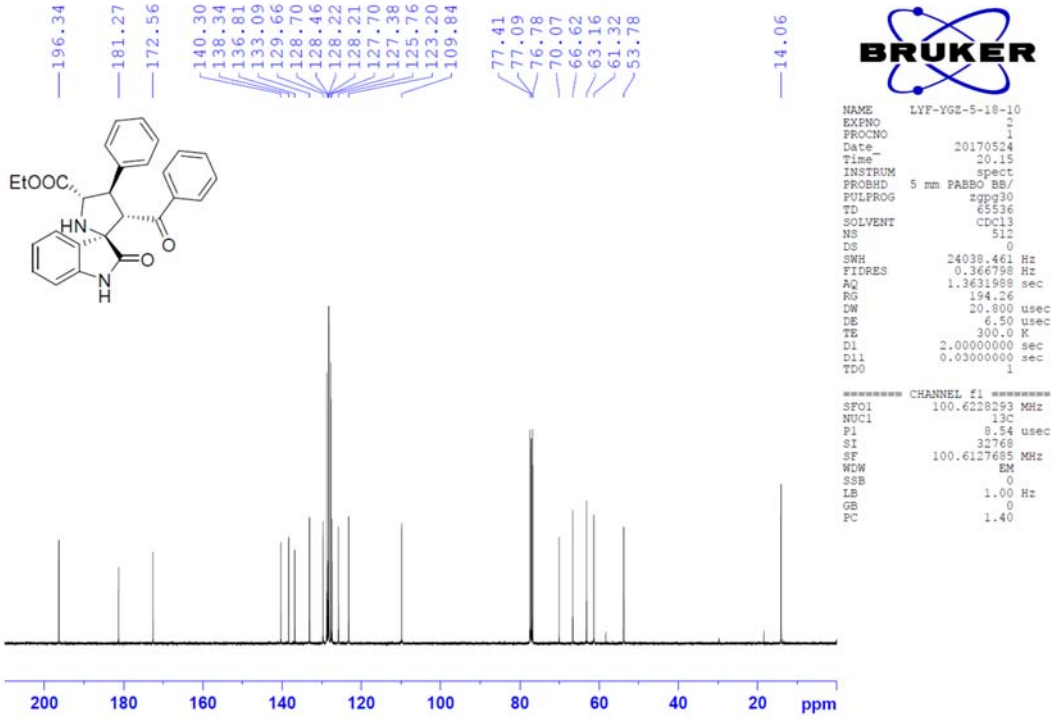
^{1}H NMR and ^{13}C NMR Spectra for Compound **5ab**



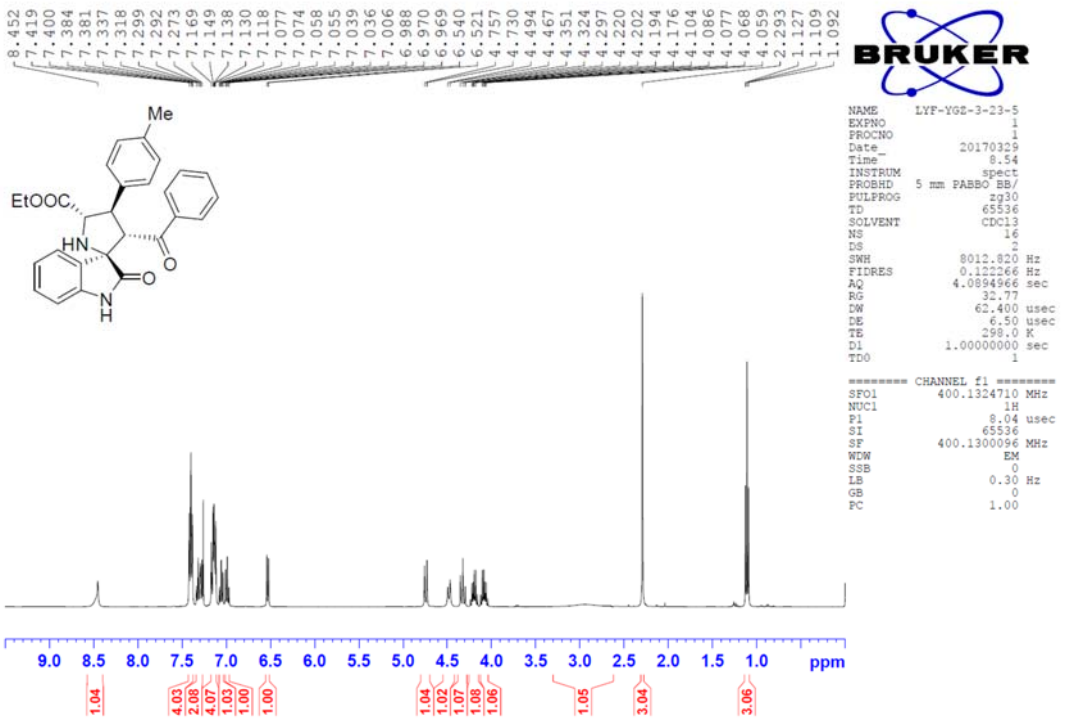


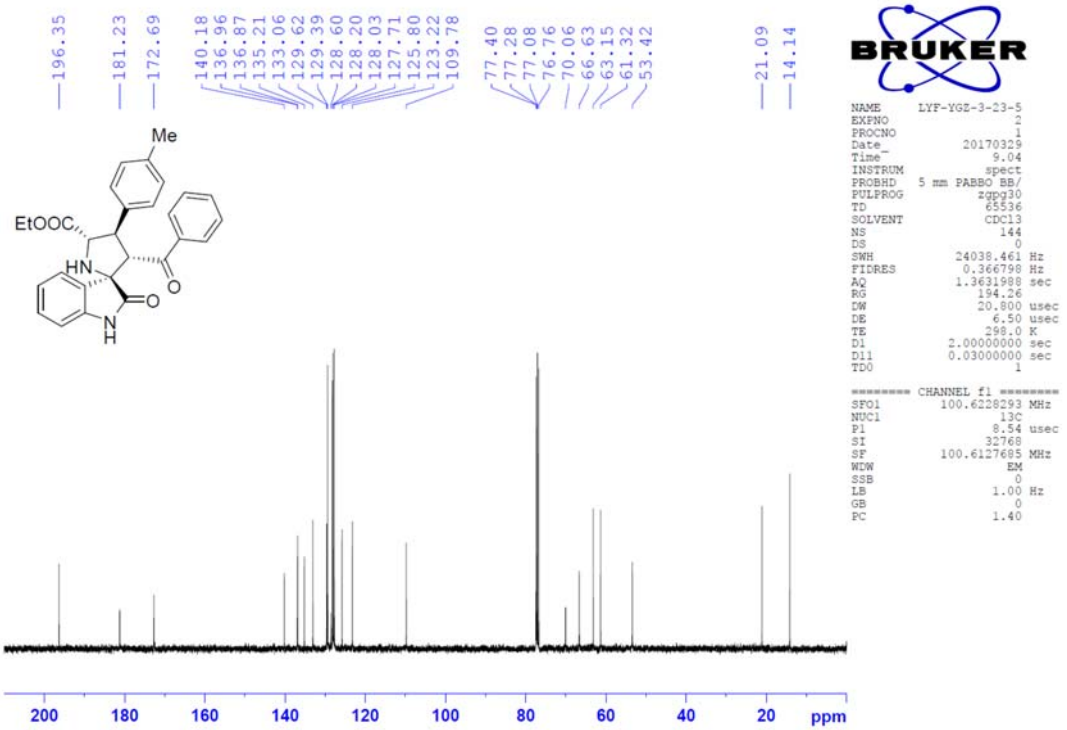
^{1}H NMR and ^{13}C NMR Spectra for Compound **6a**



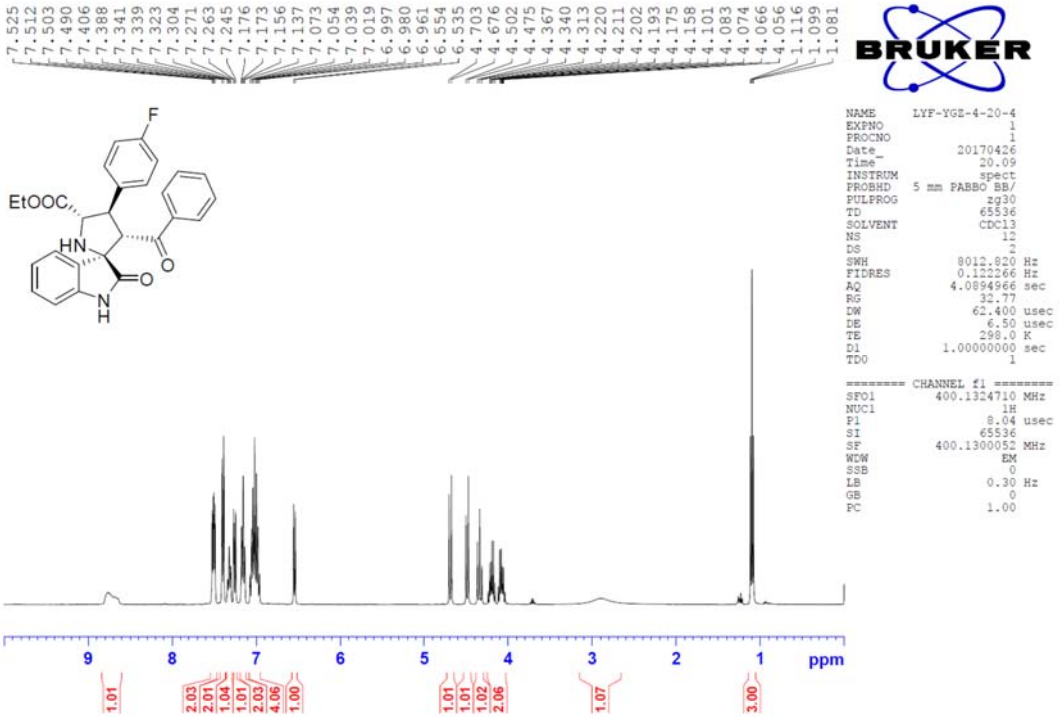


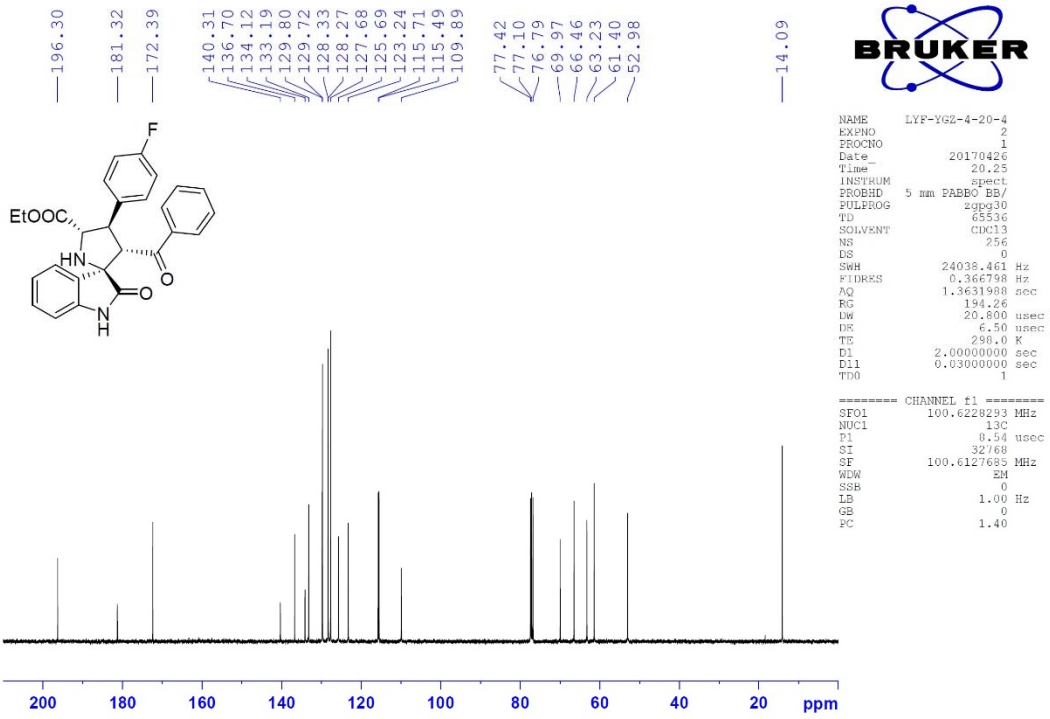
^{1}H NMR and ^{13}C NMR Spectra for Compound **6b**



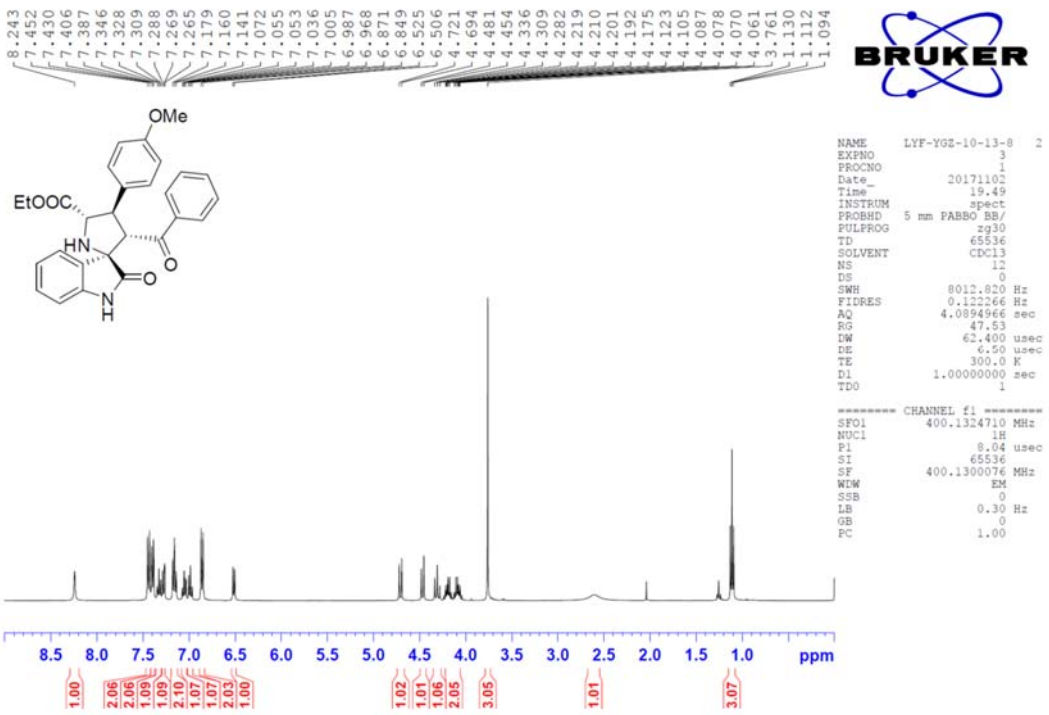


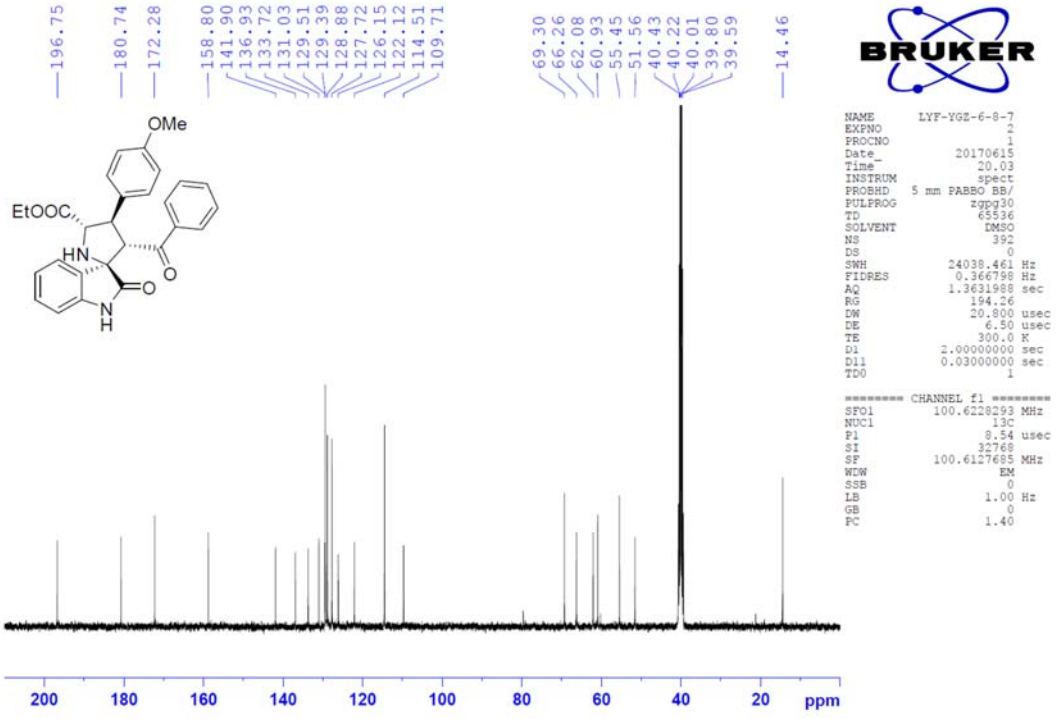
^{1}H NMR and ^{13}C NMR Spectra for Compound **6c**



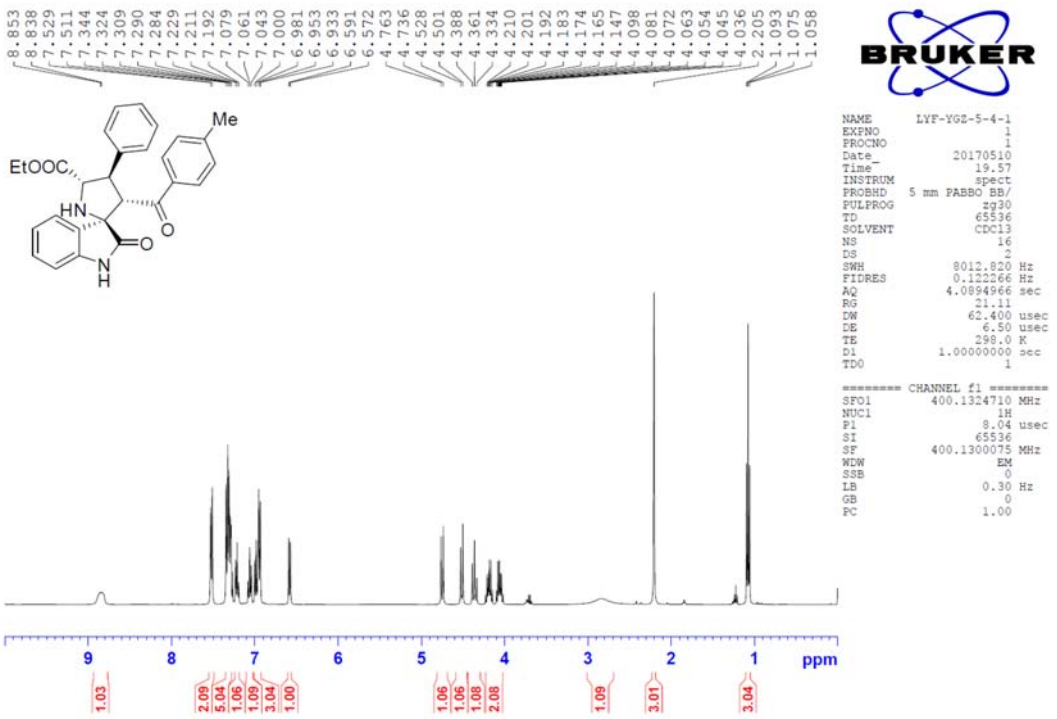


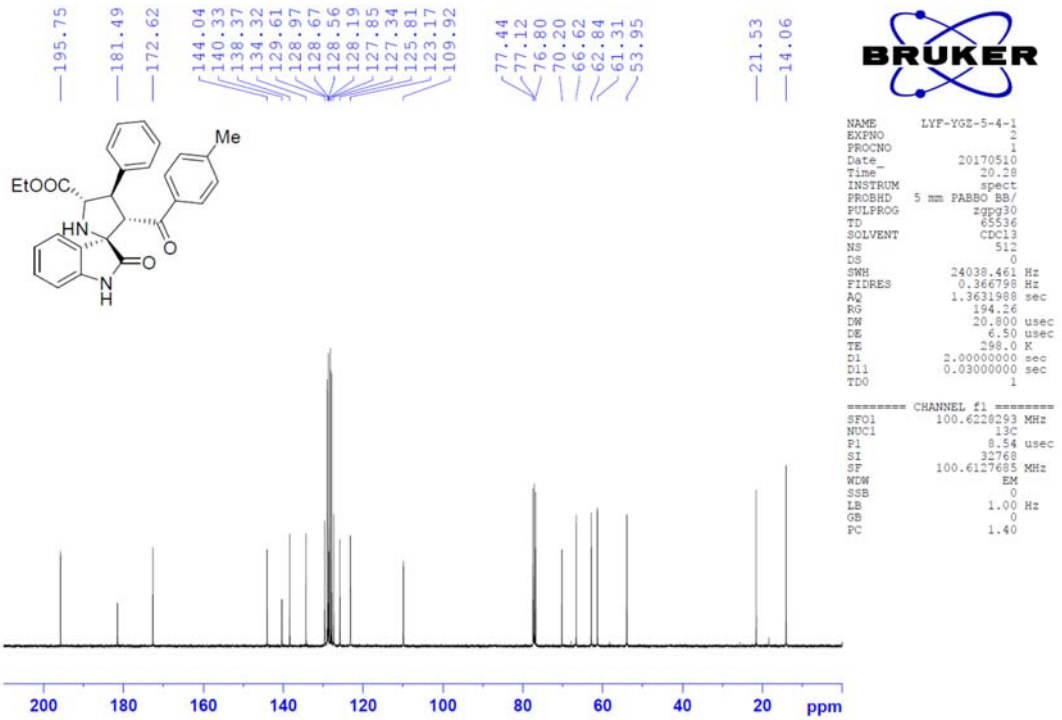
^{1}H NMR and ^{13}C NMR Spectra for Compound **6d**



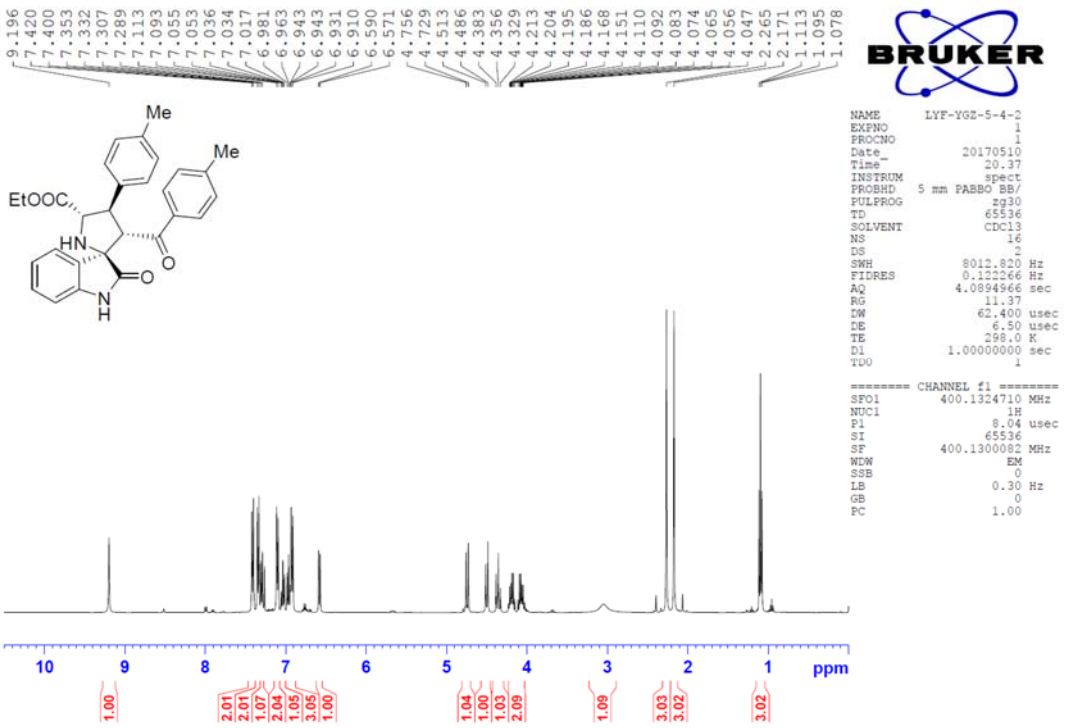


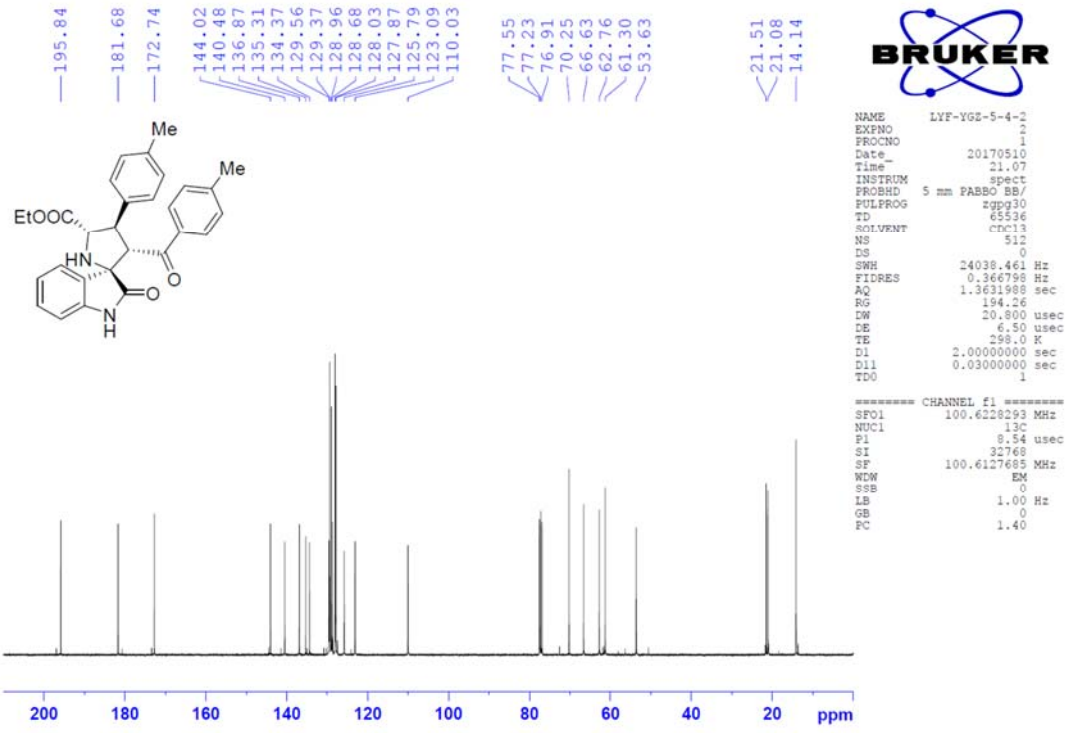
^{1}H NMR and ^{13}C NMR Spectra for Compound **6e**



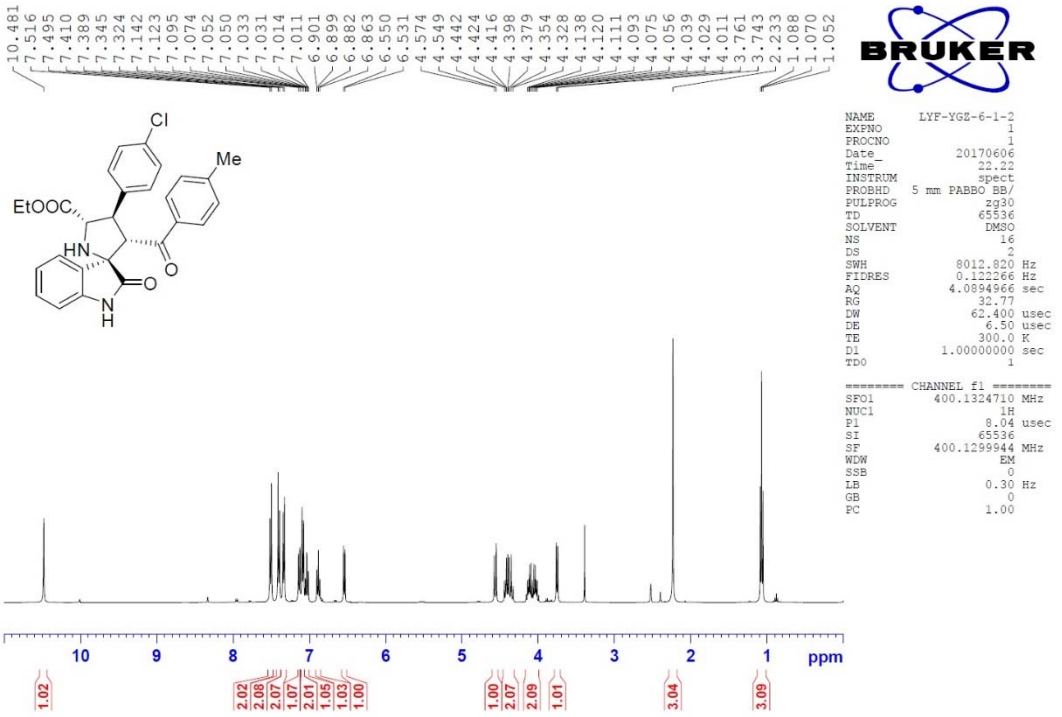


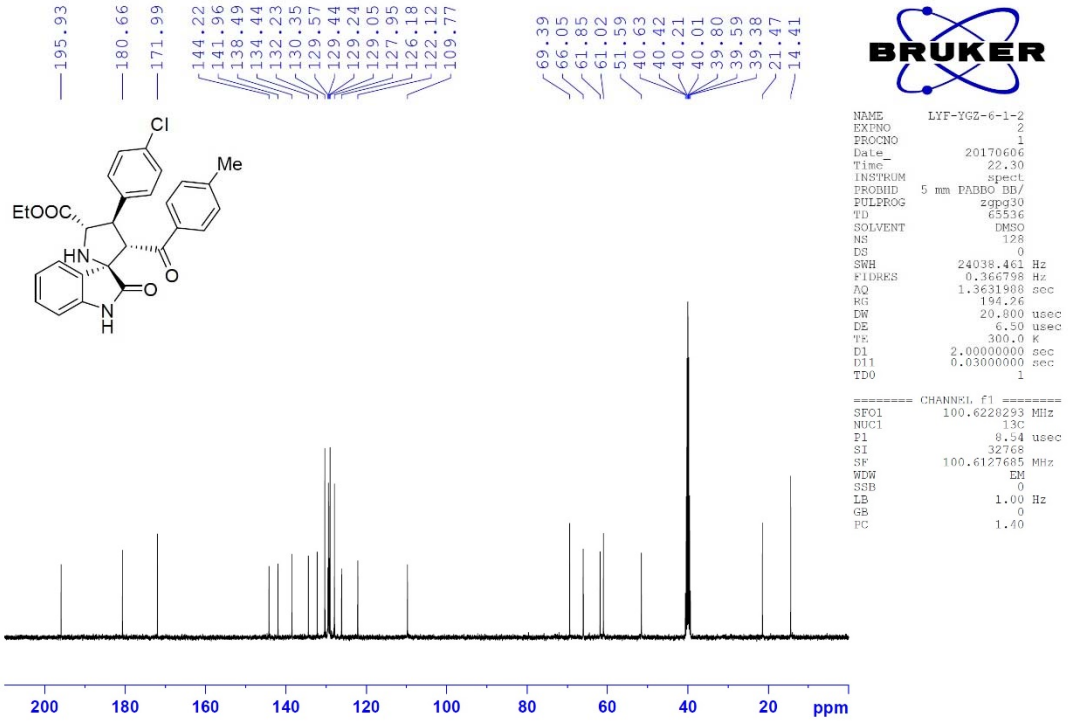
^{1}H NMR and ^{13}C NMR Spectra for Compound **6f**



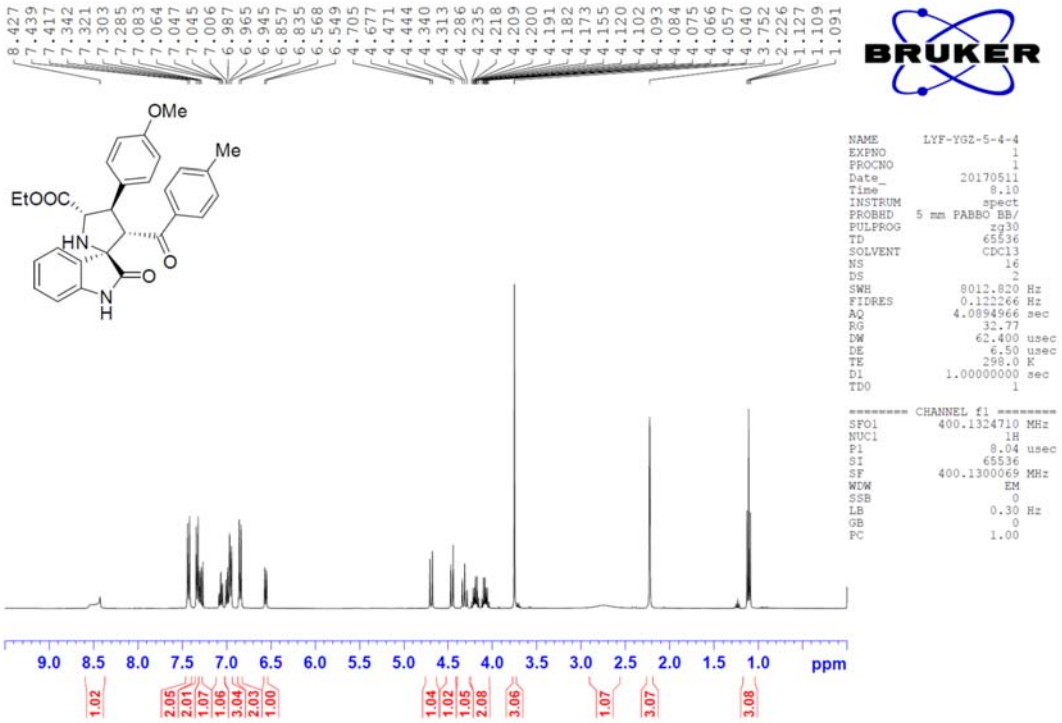


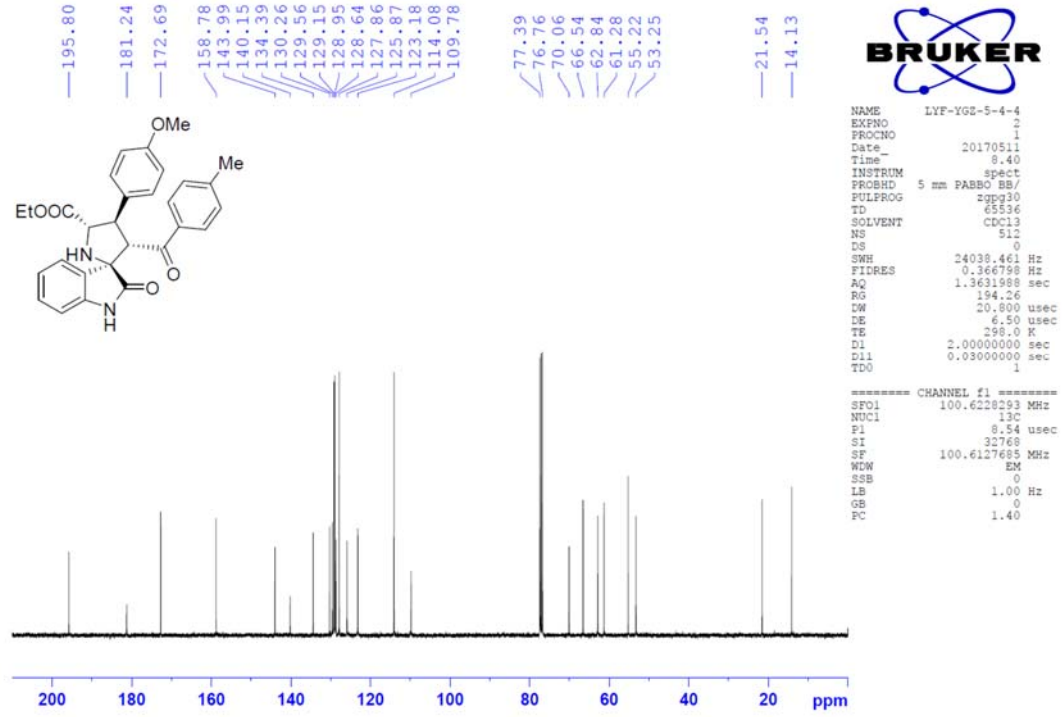
^{1}H NMR and ^{13}C NMR Spectra for Compound **6g**



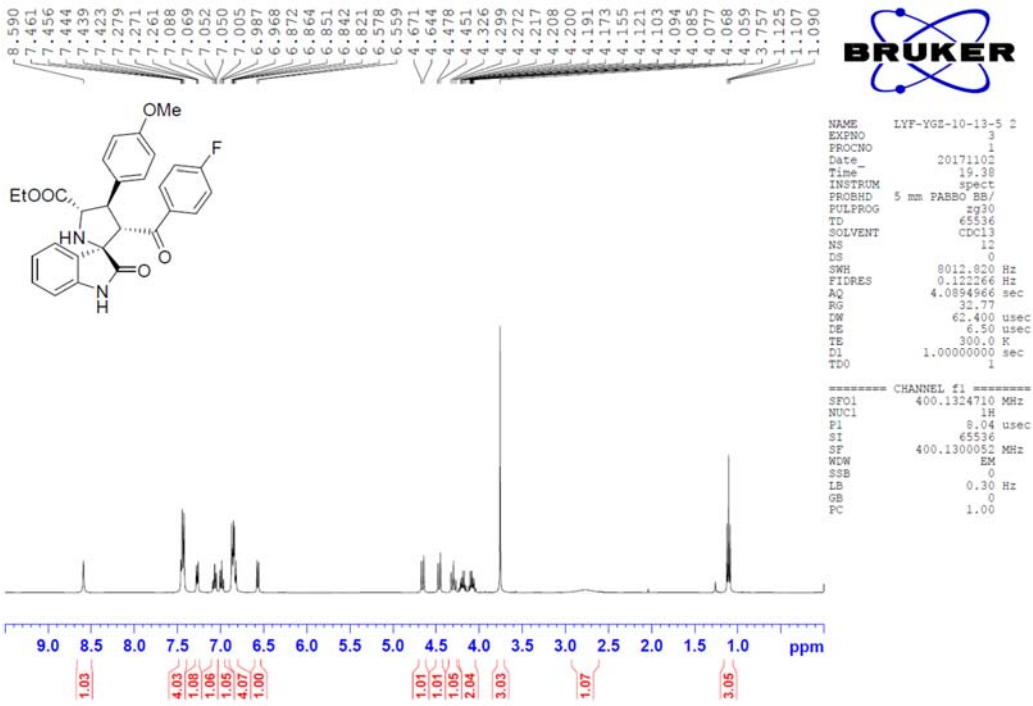


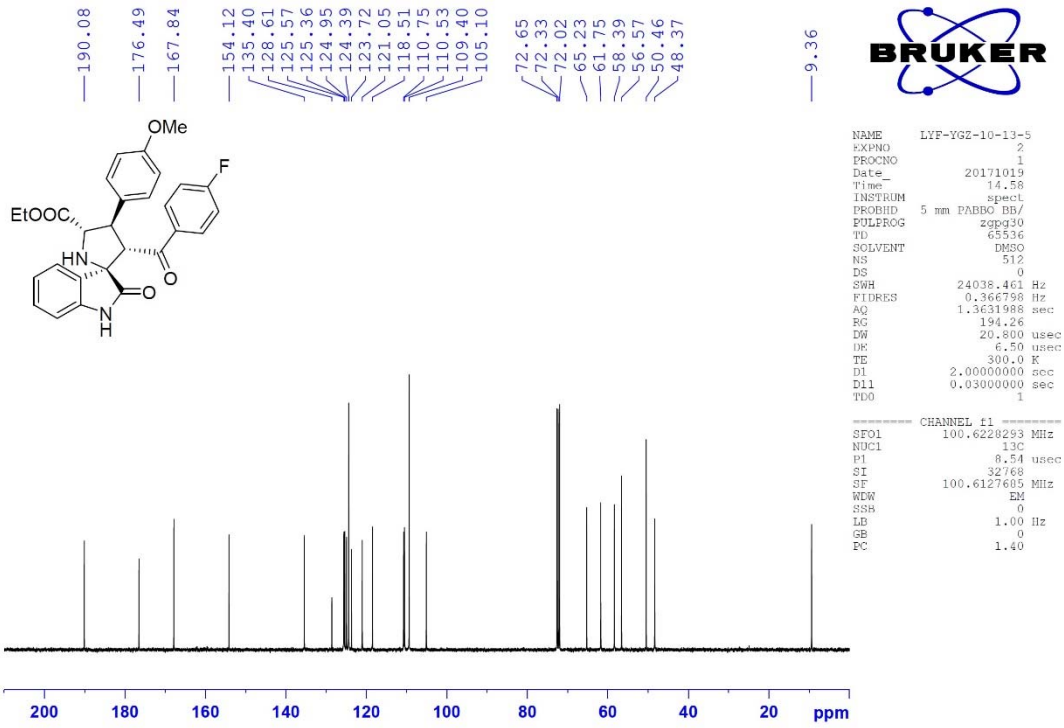
^{1}H NMR and ^{13}C NMR Spectra for Compound **6h**



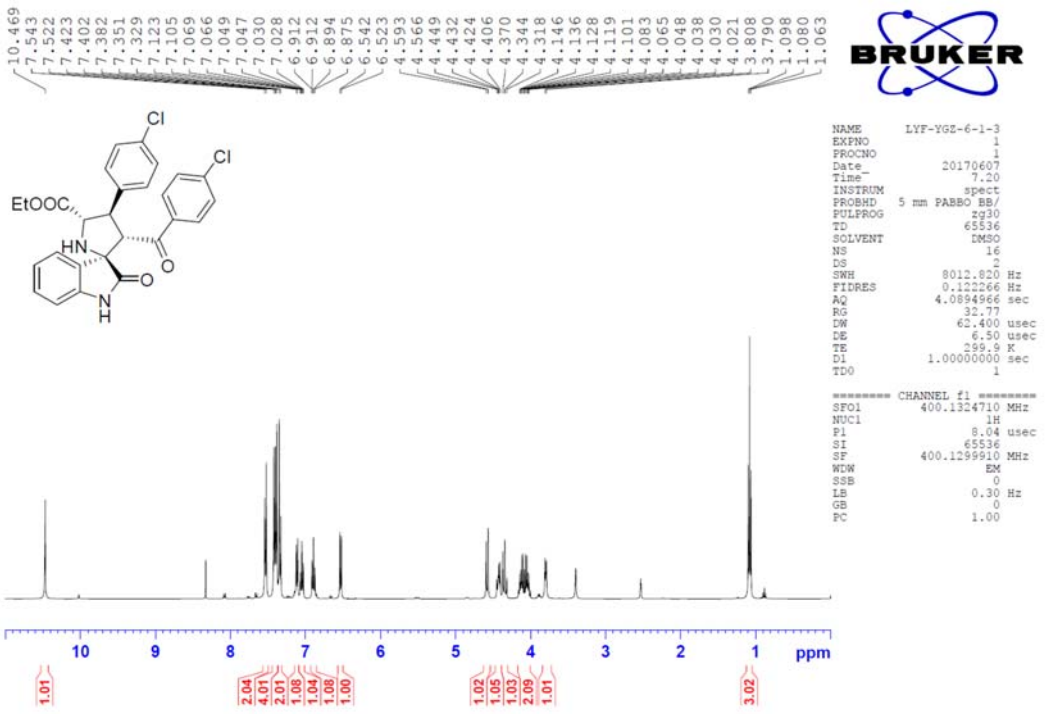


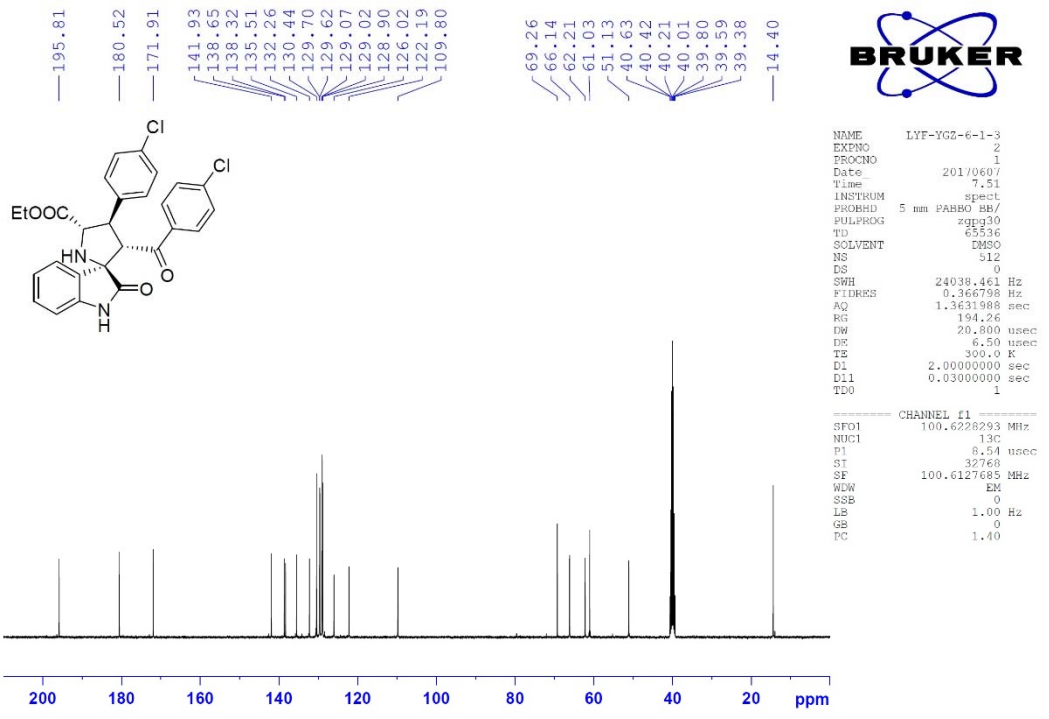
^{1}H NMR and ^{13}C NMR Spectra for Compound **6i**



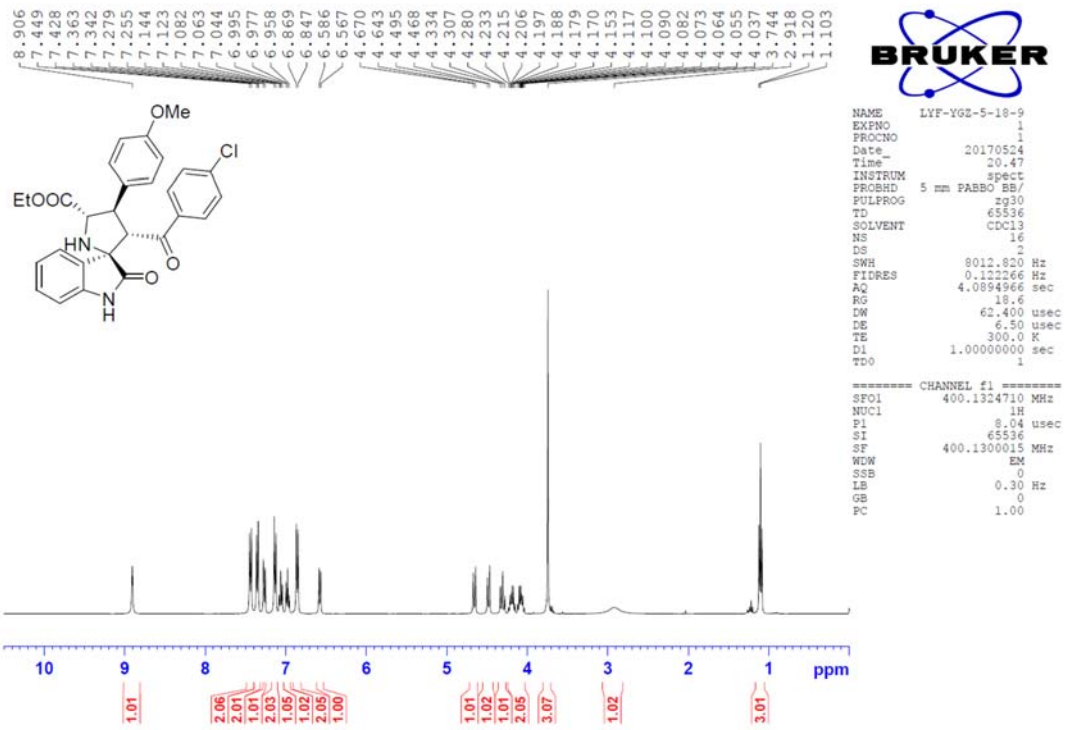


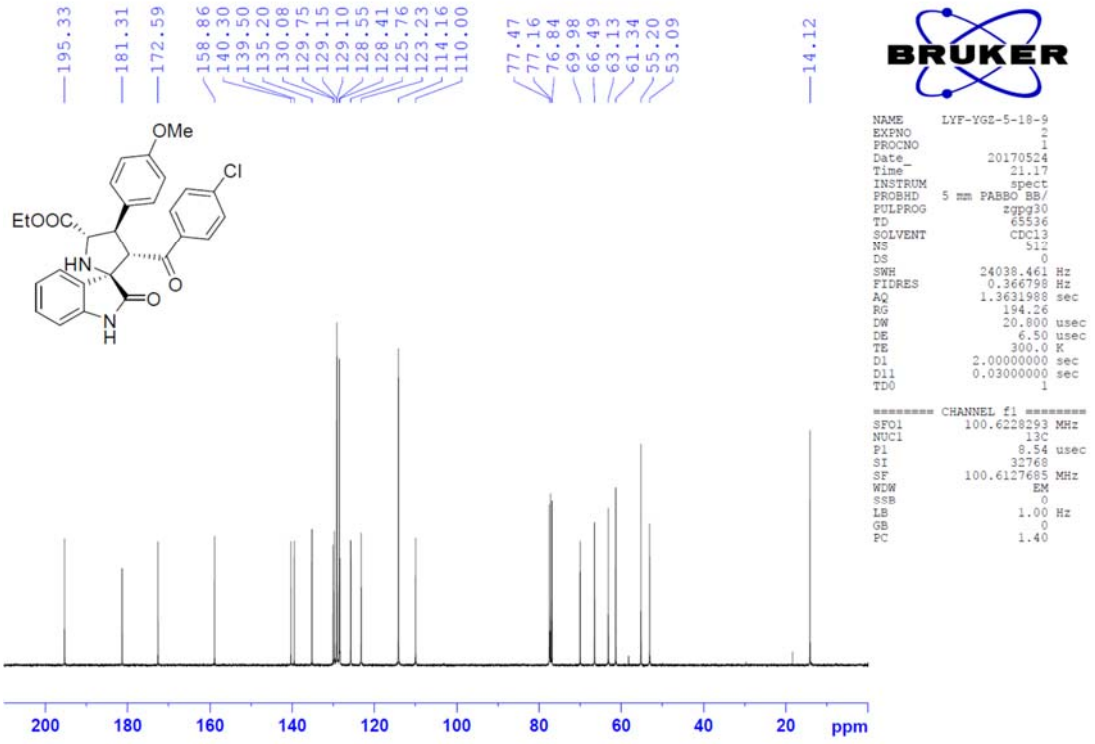
^{1}H NMR and ^{13}C NMR Spectra for Compound **6j**



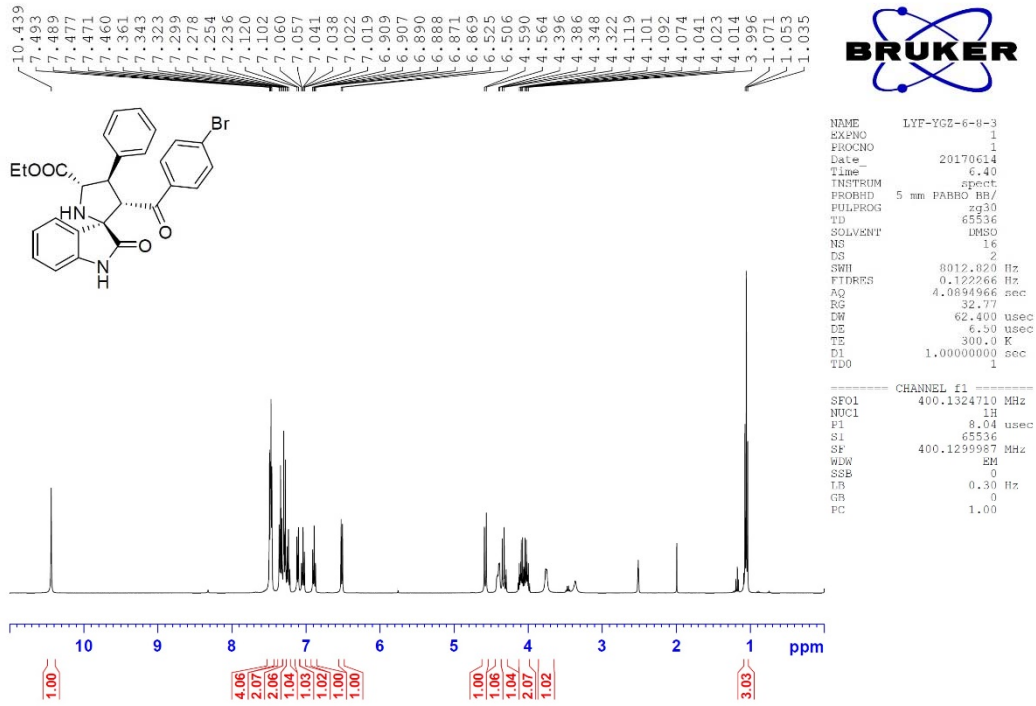


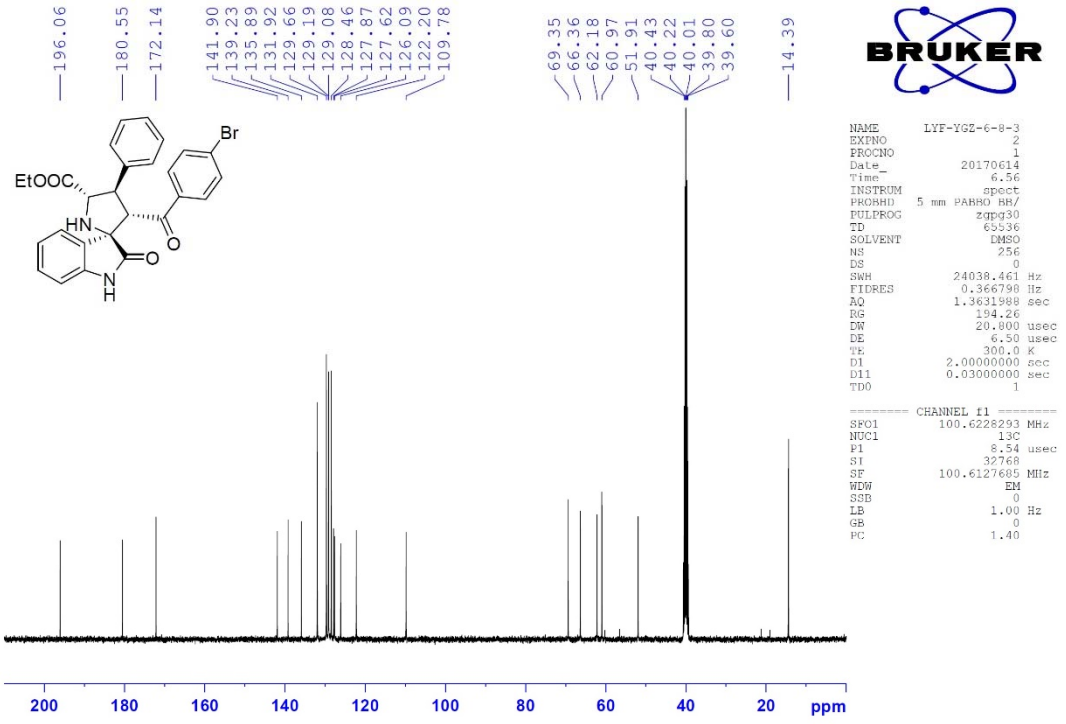
^{1}H NMR and ^{13}C NMR Spectra for Compound **6k**



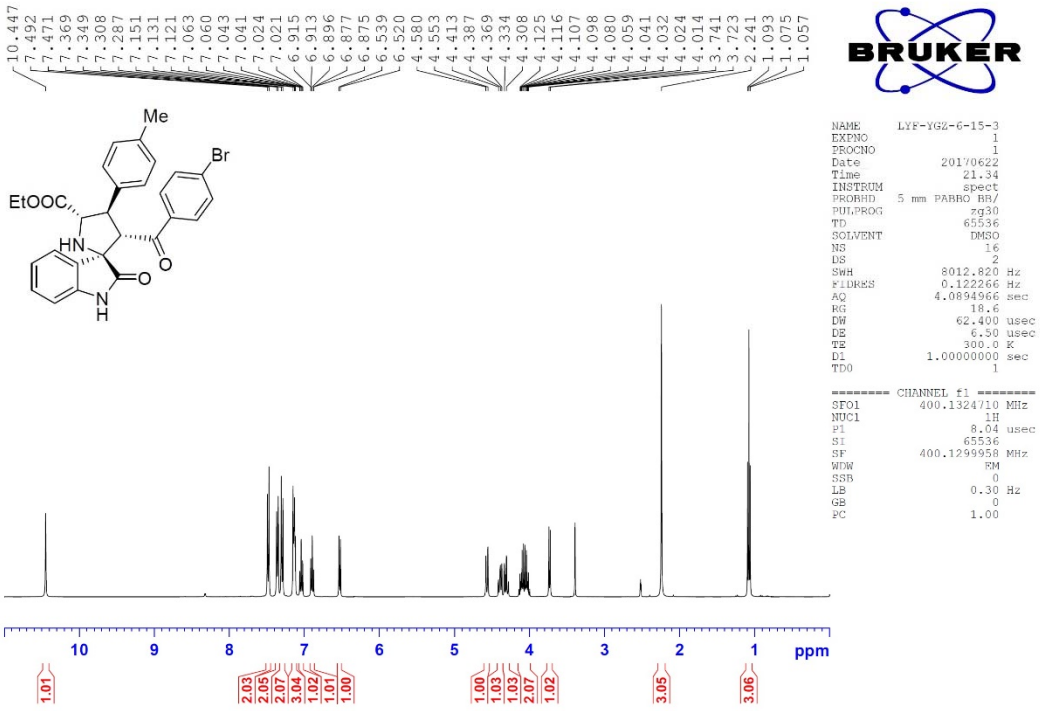


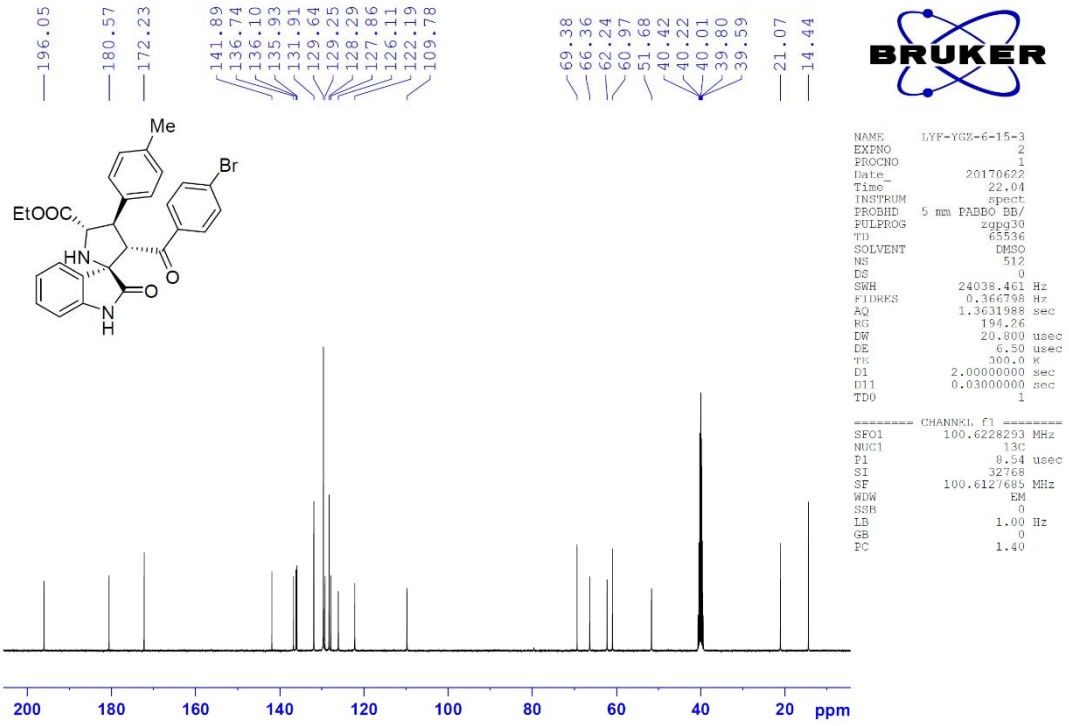
^{1}H NMR and ^{13}C NMR Spectra for Compound **6l**



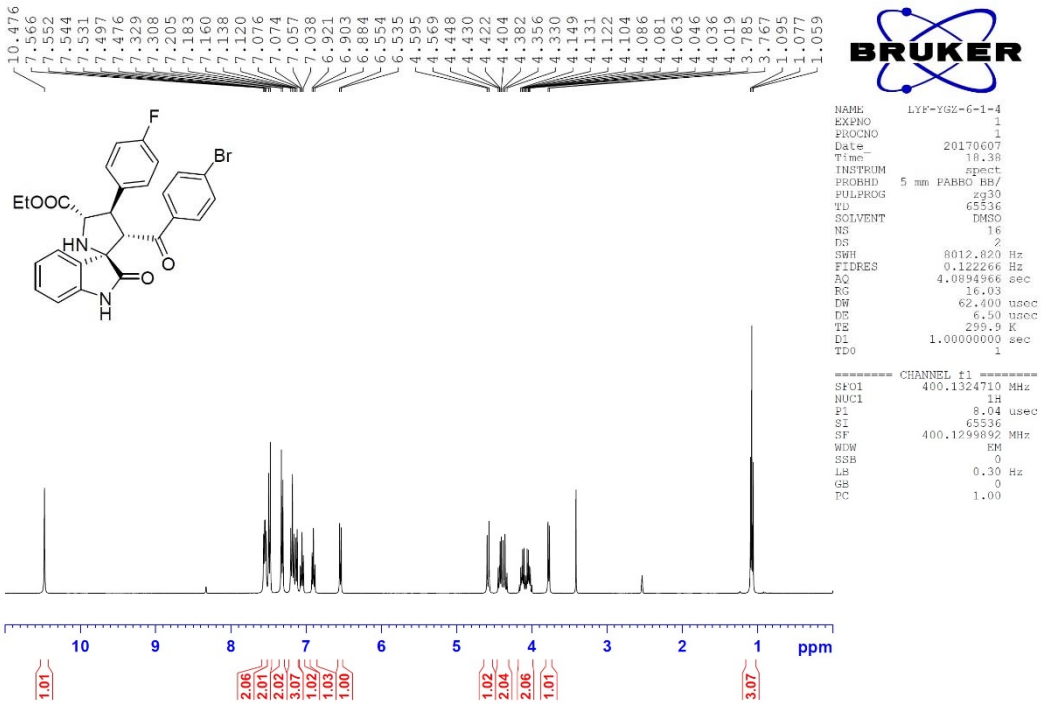


^{1}H NMR and ^{13}C NMR Spectra for Compound **6m**





^{1}H NMR and ^{13}C NMR Spectra for Compound **6n**

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SWH                8012.820 Hz
FIDRES                0.122266 Hz
AQ                 4.0894966 sec
RG                        16.03
DW                    62.400 usec
DE                     6.50 usec
TE                     299.9 K
D1                 1.00000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1             400.1324710 MHz
NUC1                       1H
P1                     8.04 usec
SI                     65536
SF               400.1299892 MHz
WDW                       EM
SSB                        0
LB                      0.30 Hz
GB                         0
PC                      1.00
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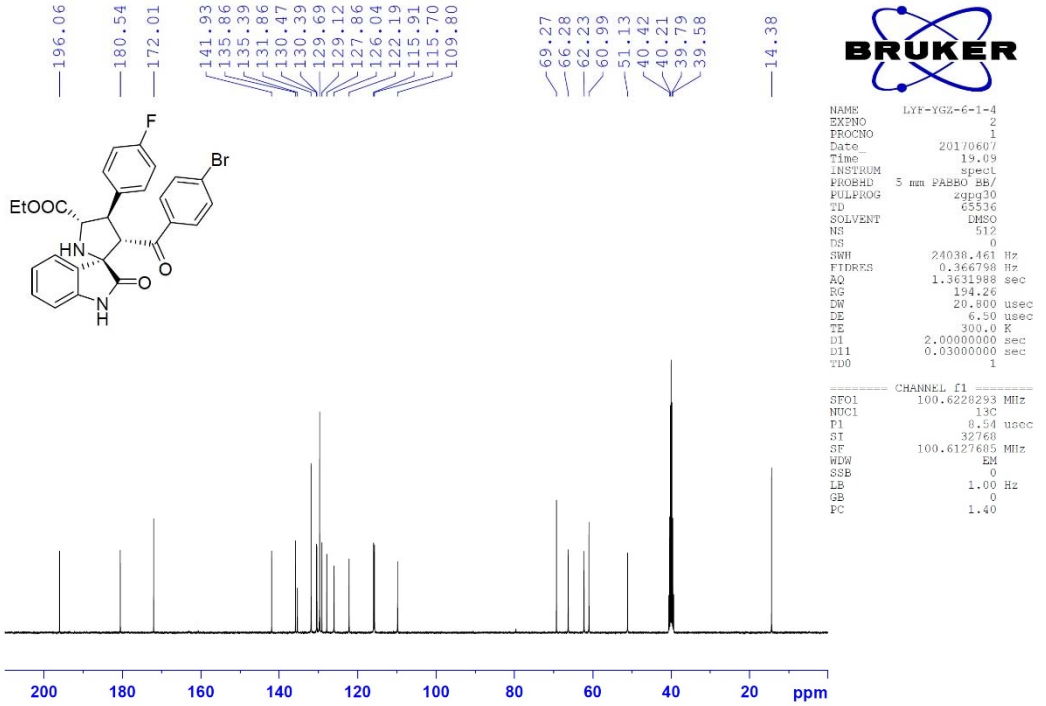


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PROCNO                      1
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SWH               24038.461 Hz
FIDRES                0.366798 Hz
AQ                 1.3631988 sec
RG                        194.26
DW                    20.800 usec
DE                     6.50 usec
TE                     300.0 K
D1                 2.00000000 sec
D11                0.03000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1             100.6228293 MHz
NUC1                       13C
P1                     8.54 usec
SI                     32768
SF               100.6127605 MHz
WDW                       EM
SSB                        0
LB                      1.00 Hz
GB                         0
PC                      1.40
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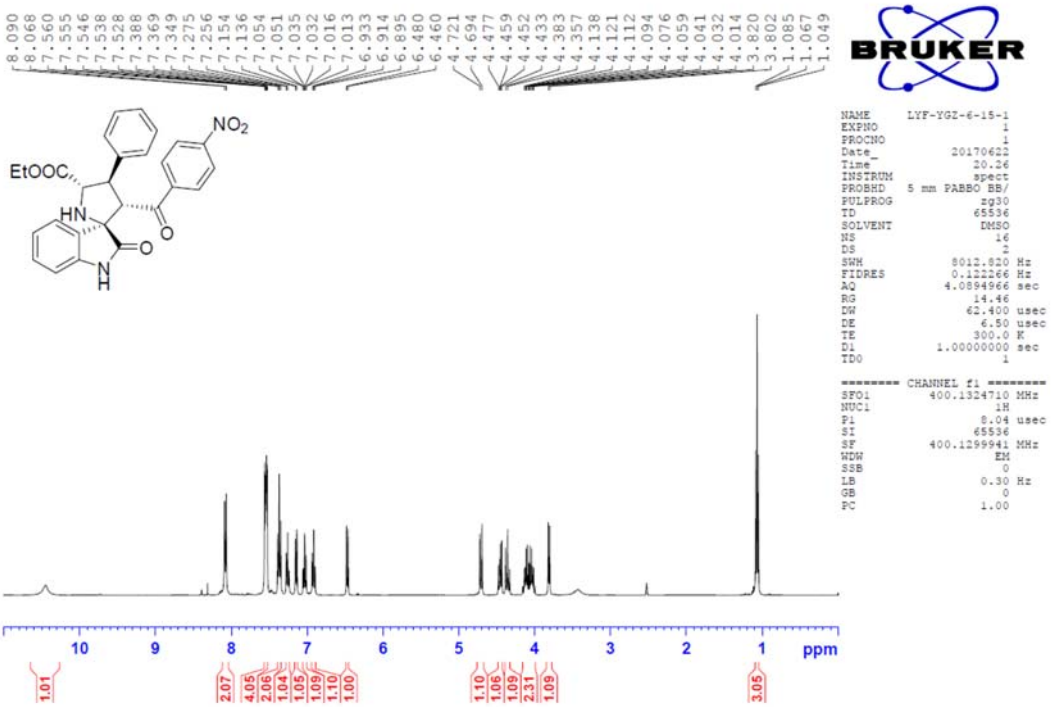
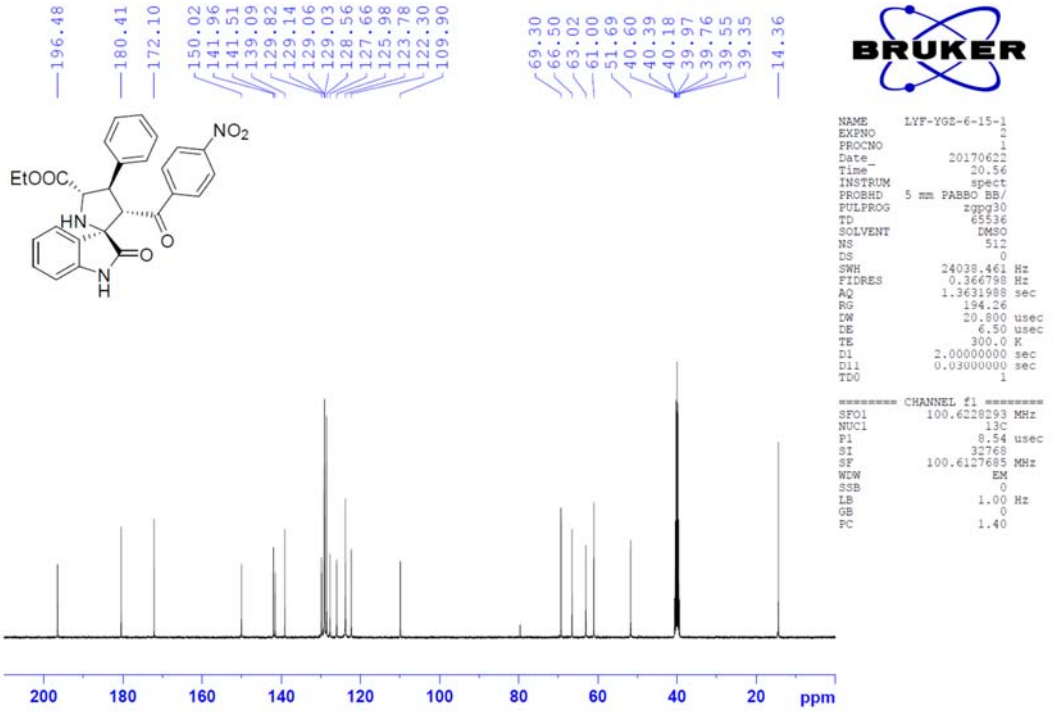
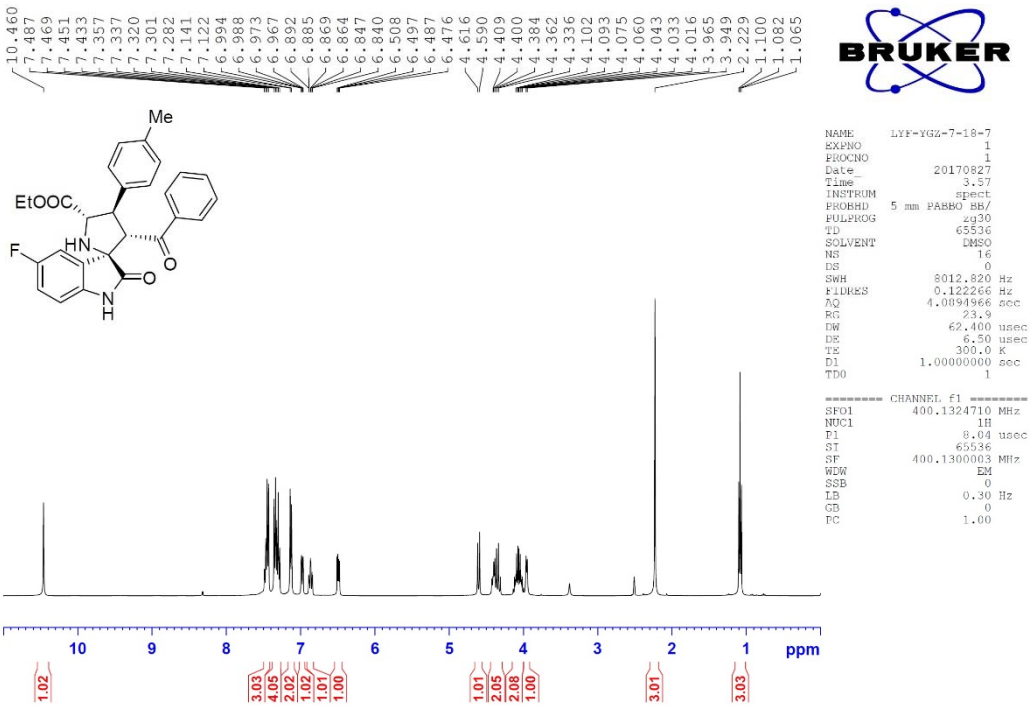
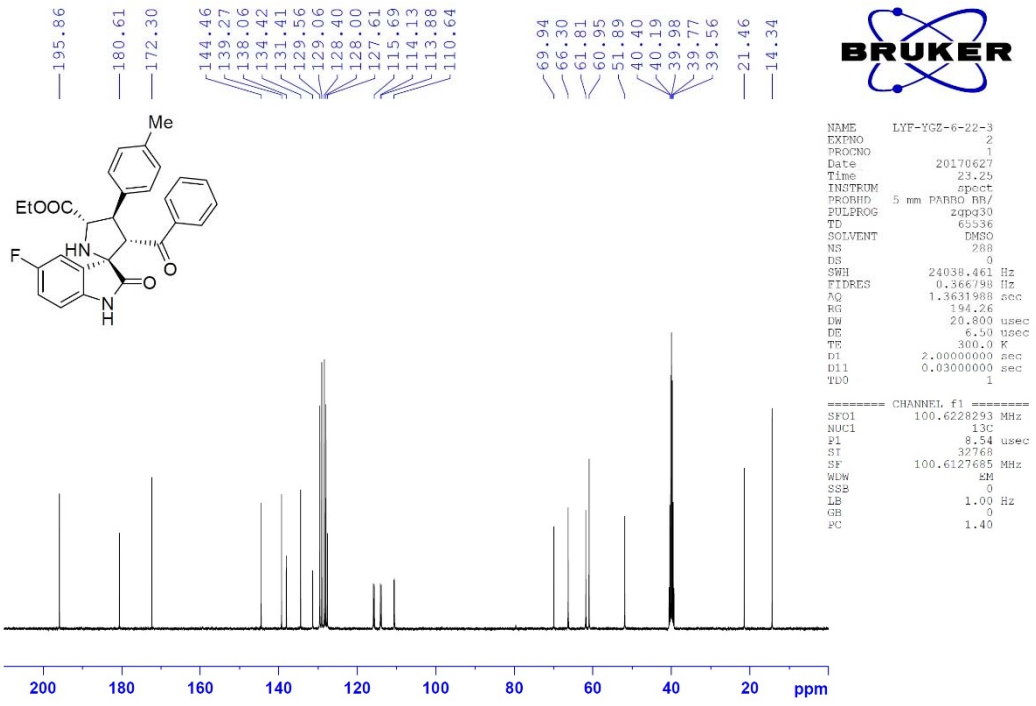
^{1}H NMR and ^{13}C NMR Spectra for Compound **6o**



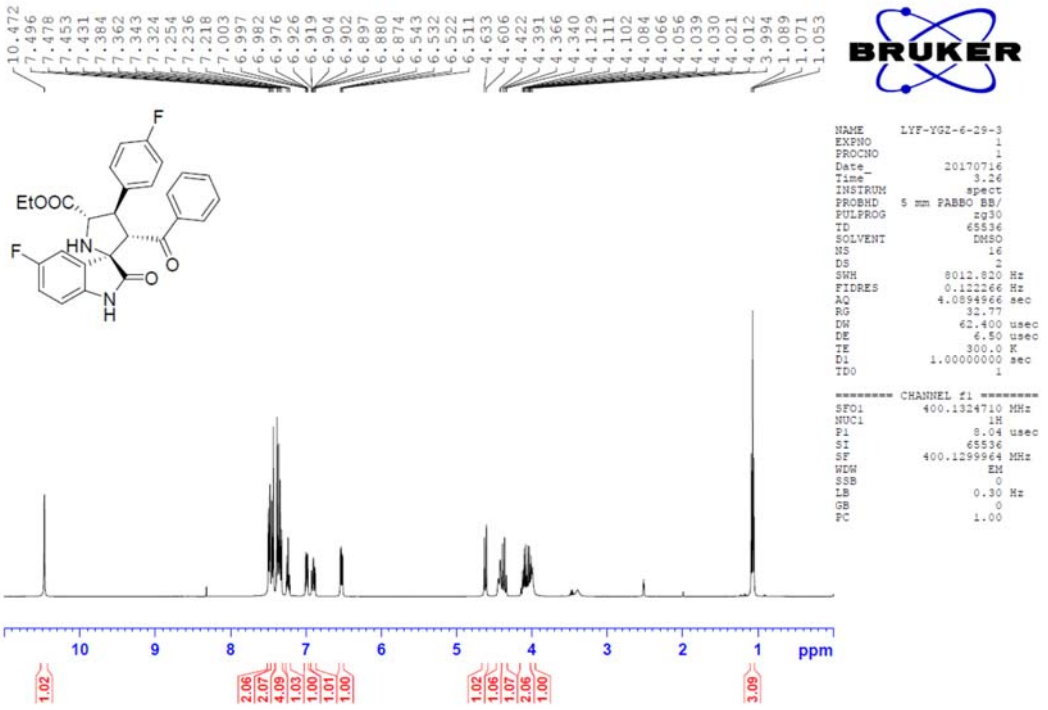


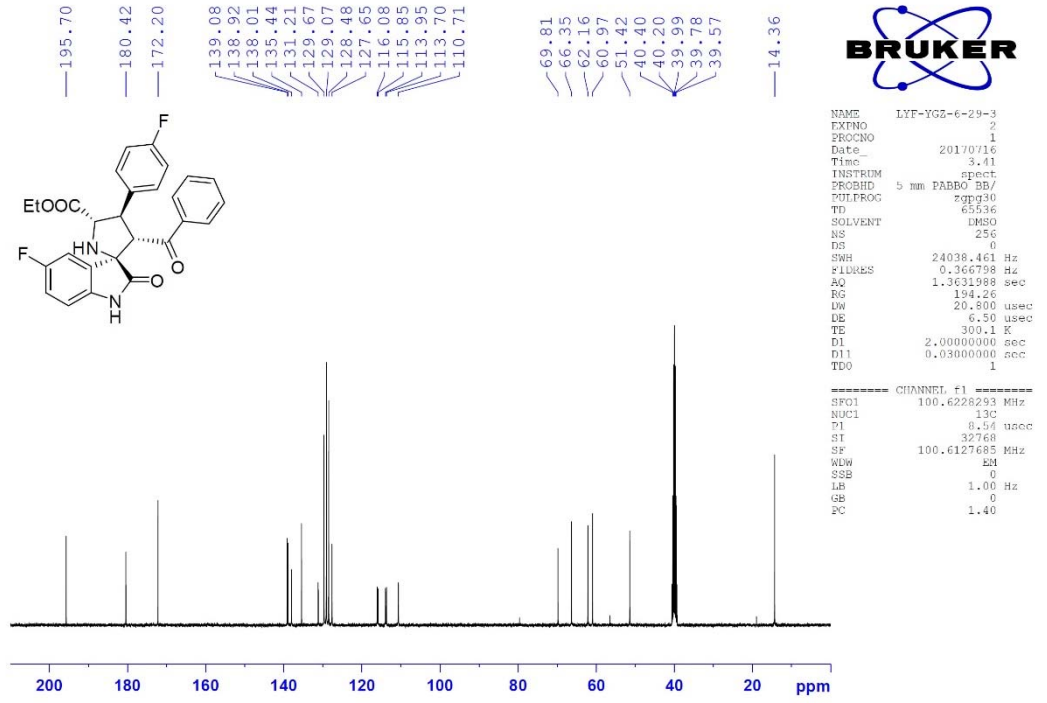
^{1}H NMR and ^{13}C NMR Spectra for Compound **6p**



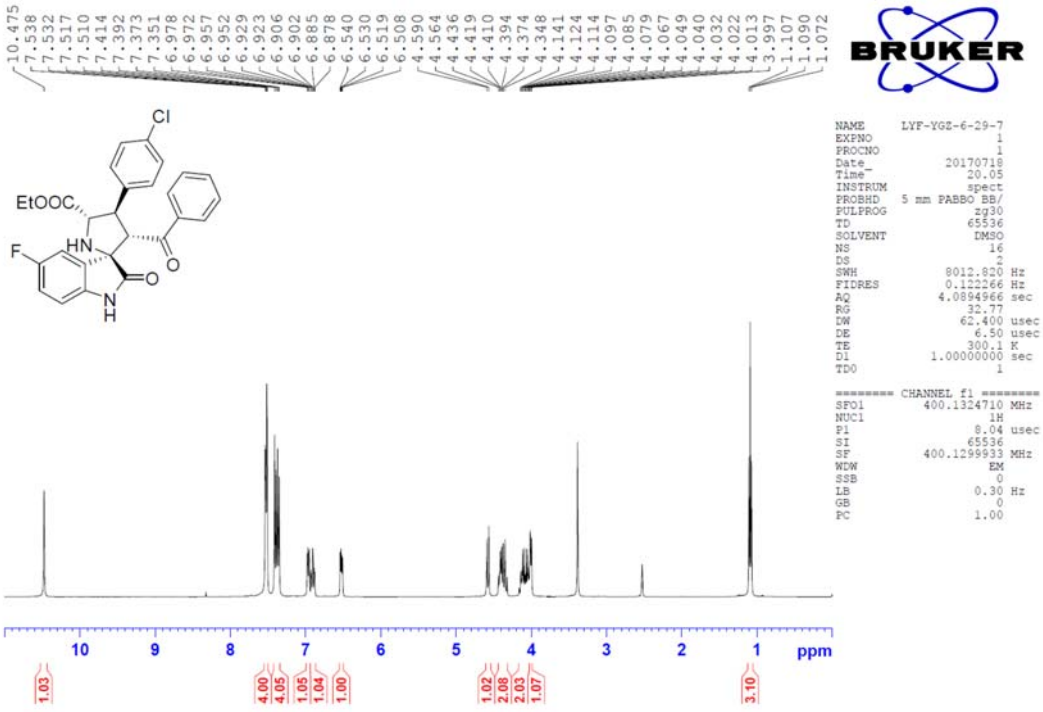


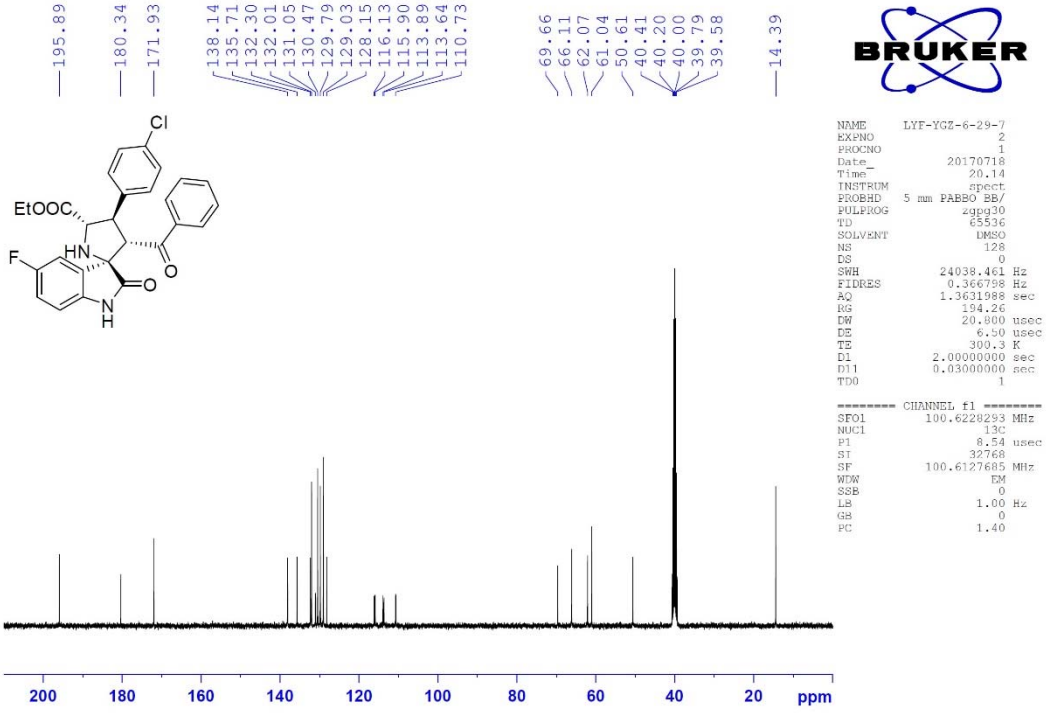
^{1}H NMR and ^{13}C NMR Spectra for Compound **6q**



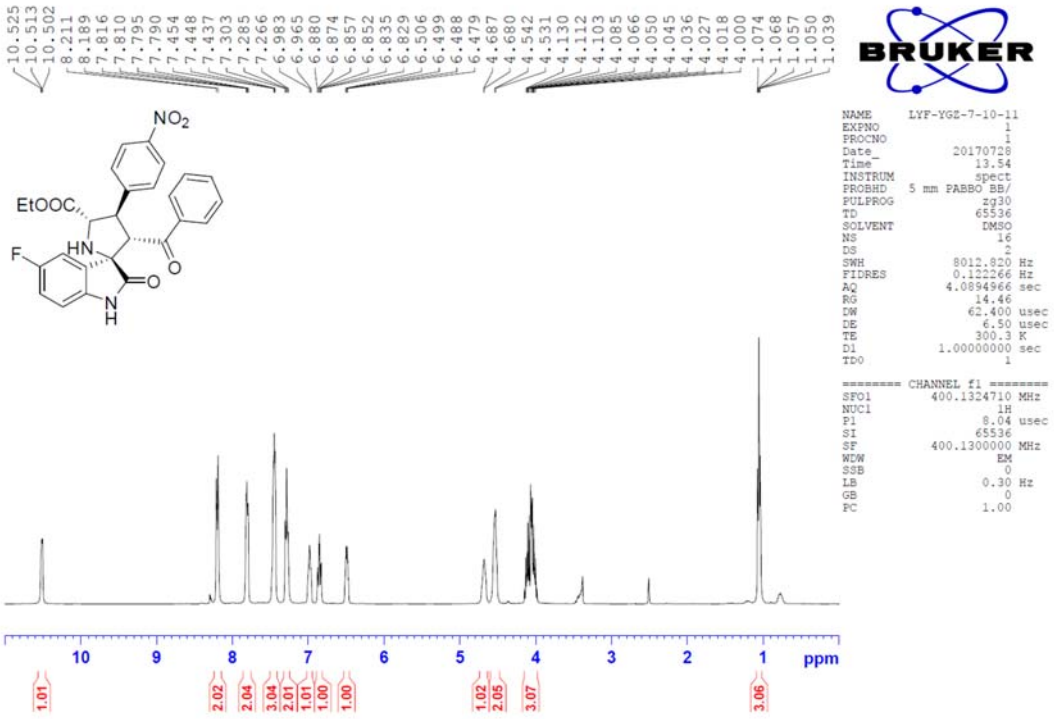


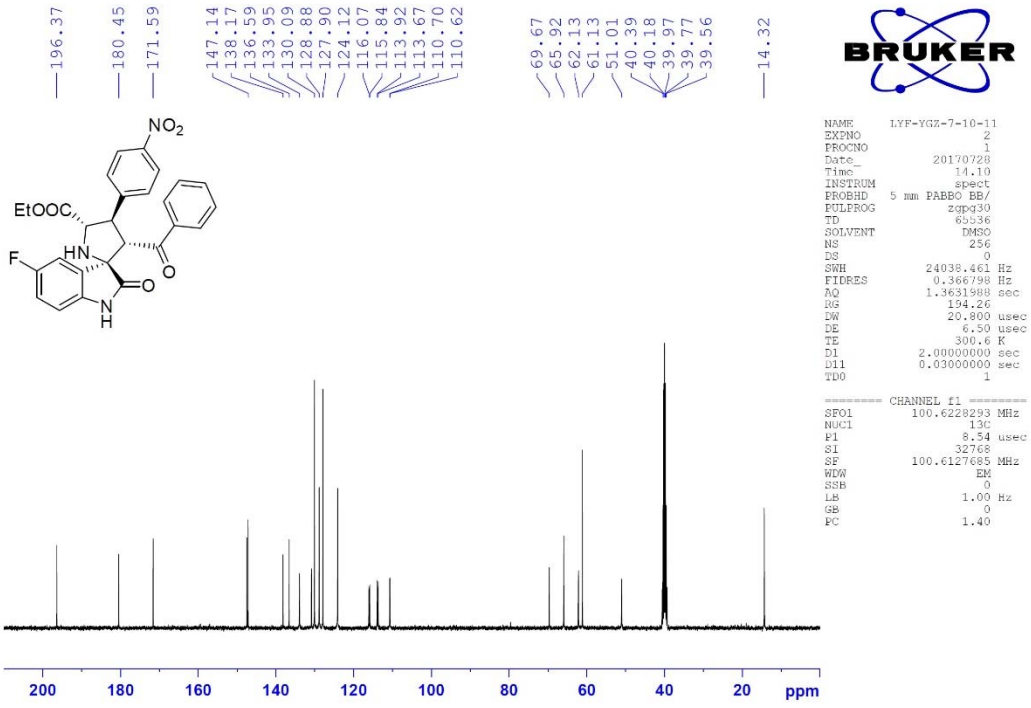
^{1}H NMR and ^{13}C NMR Spectra for Compound **6r**



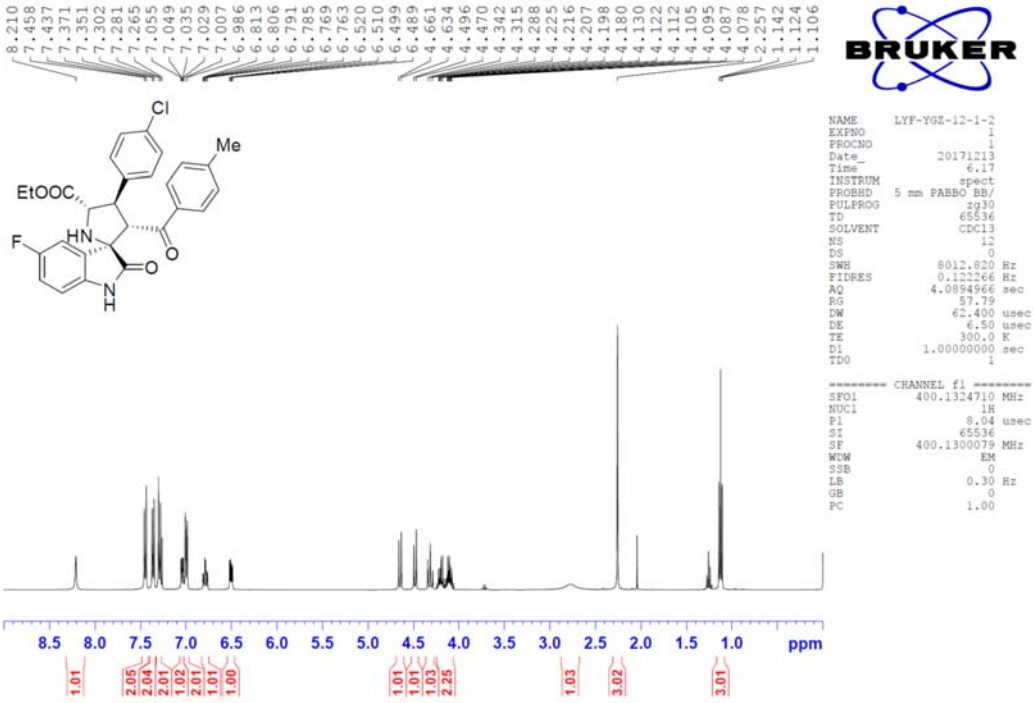


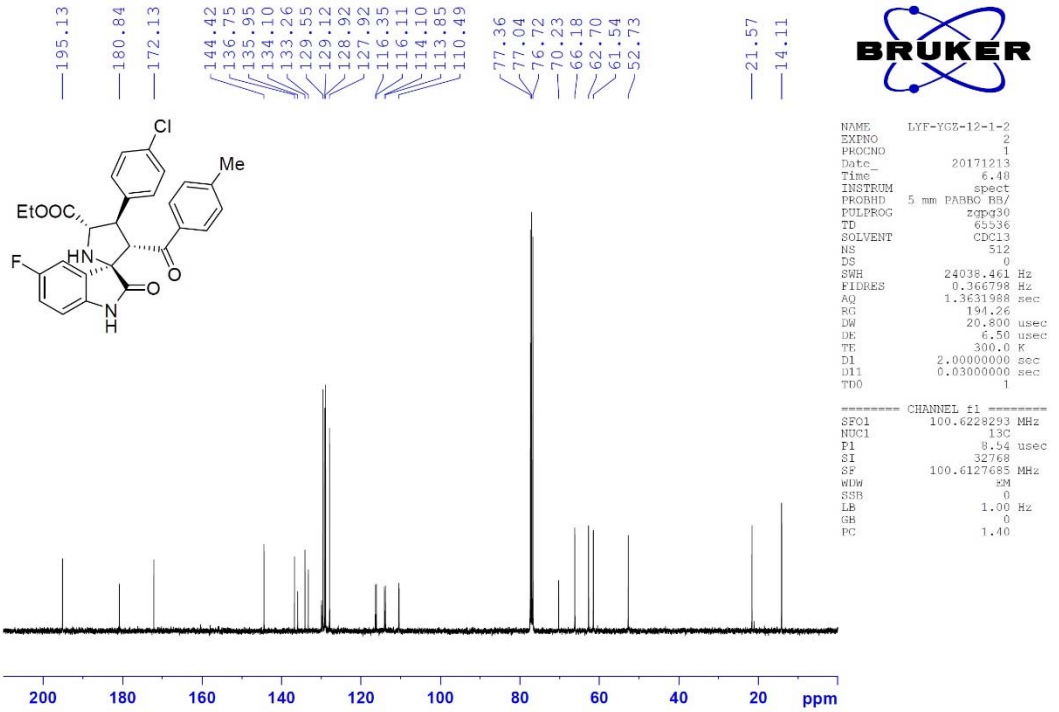
^{1}H NMR and ^{13}C NMR Spectra for Compound **6s**



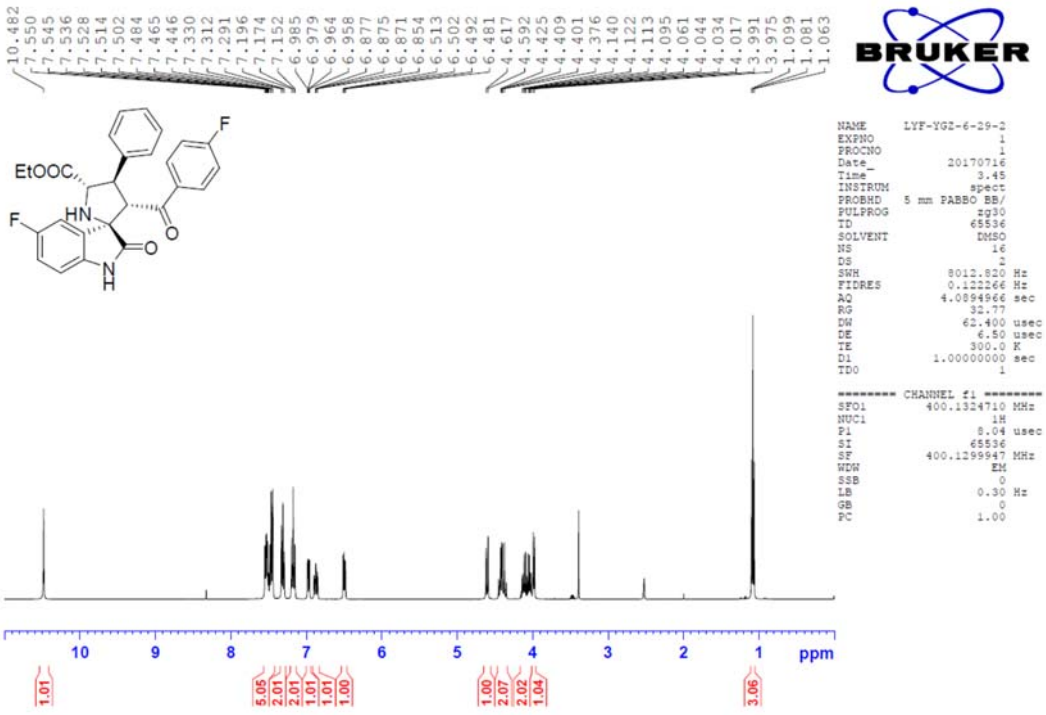


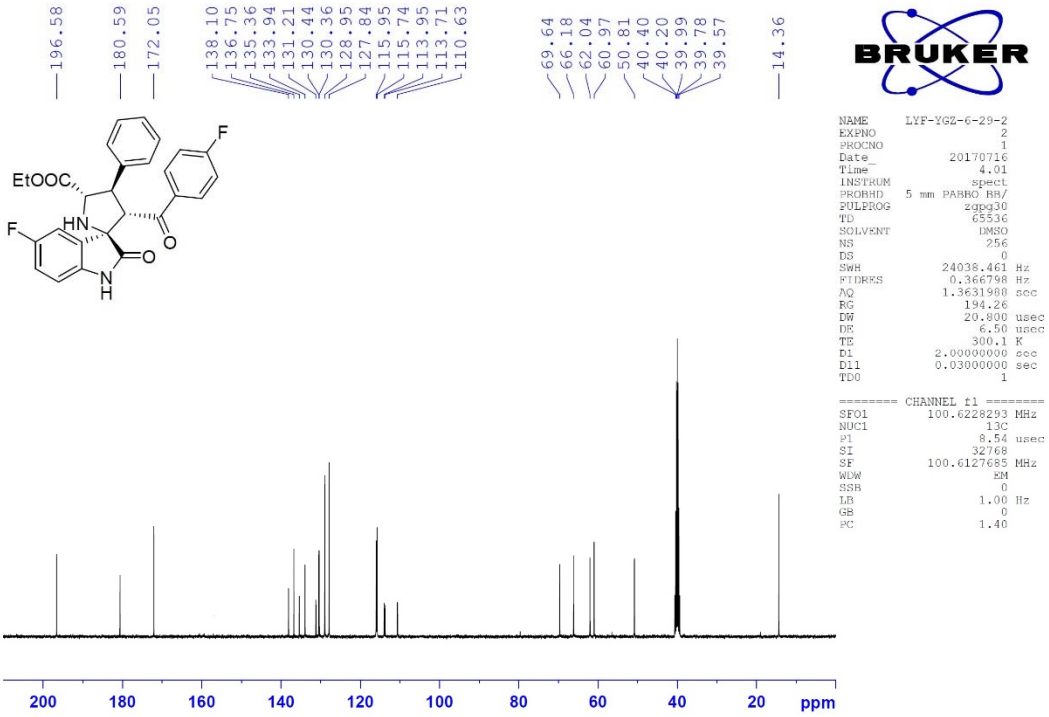
^{1}H NMR and ^{13}C NMR Spectra for Compound **6t**



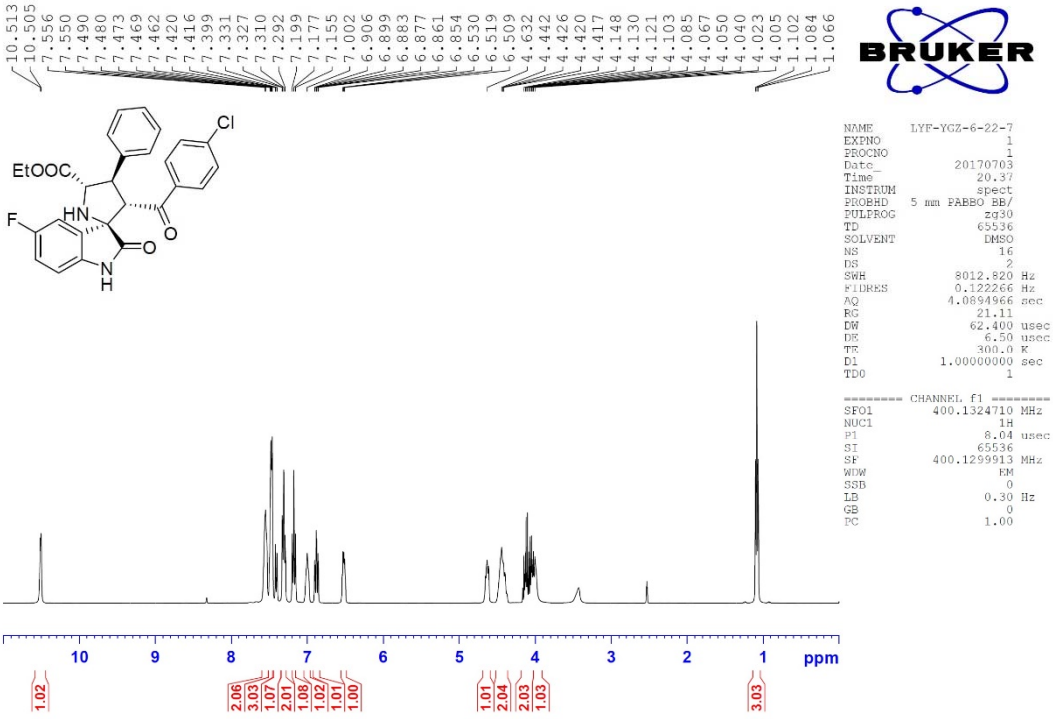


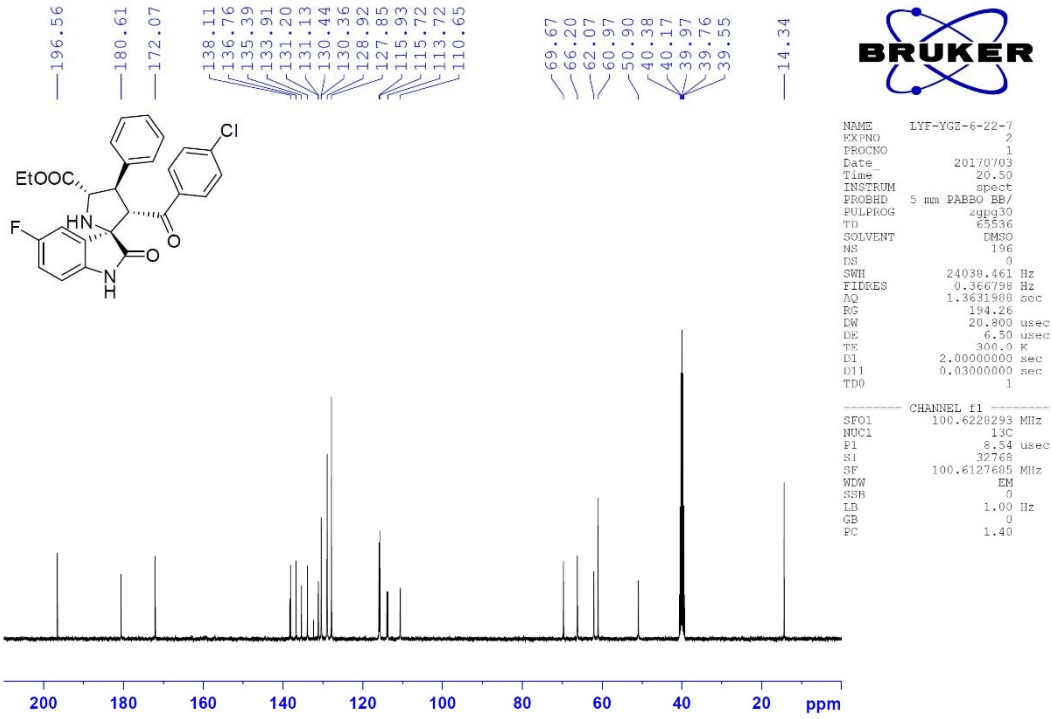
^{1}H NMR and ^{13}C NMR Spectra for Compound **6u**



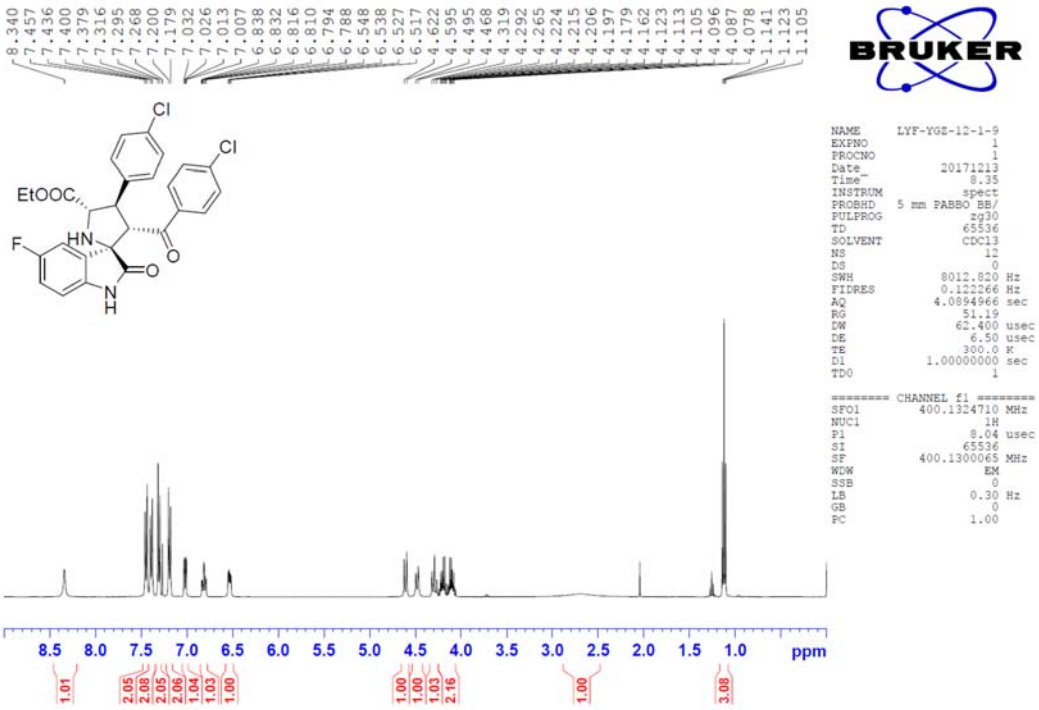


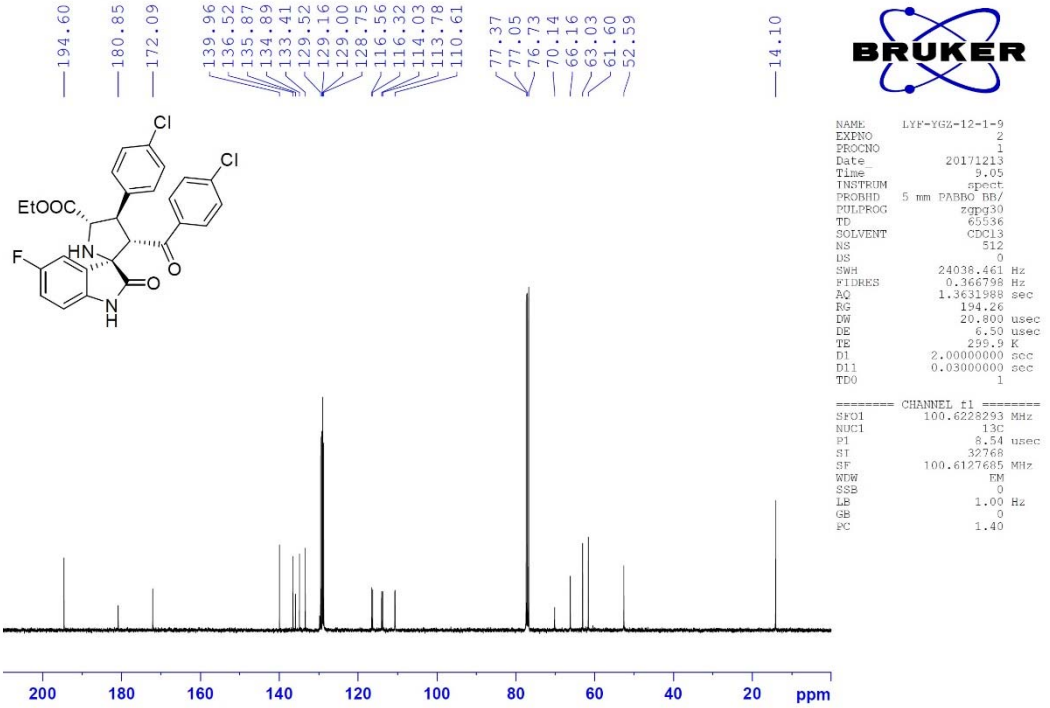
^{1}H NMR and ^{13}C NMR Spectra for Compound **6v**



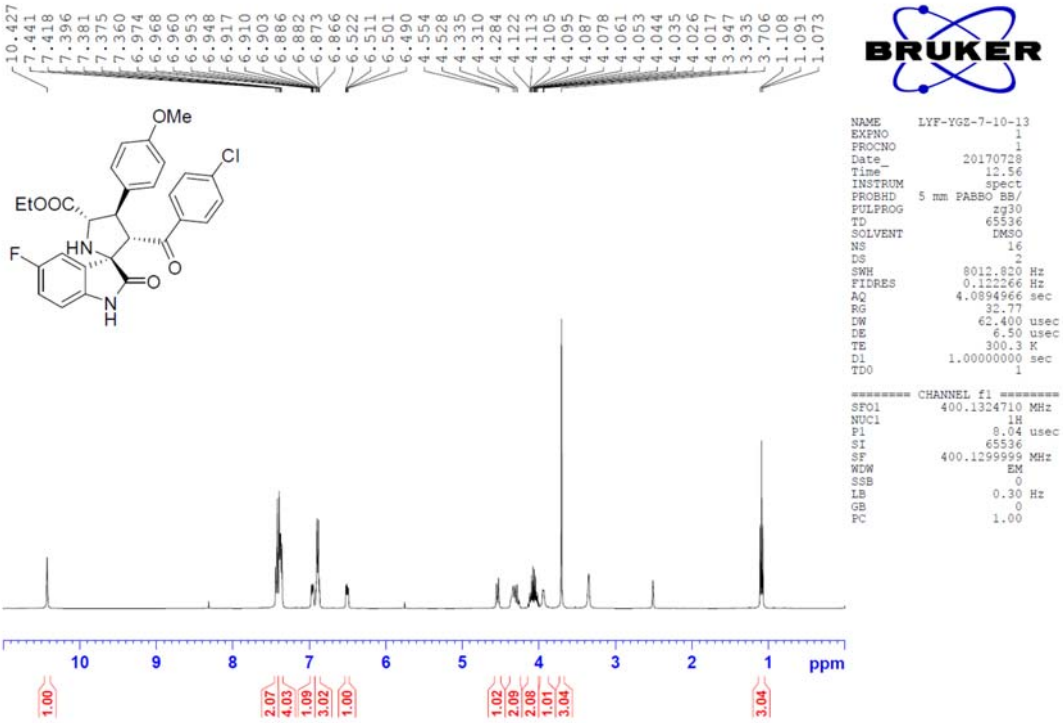


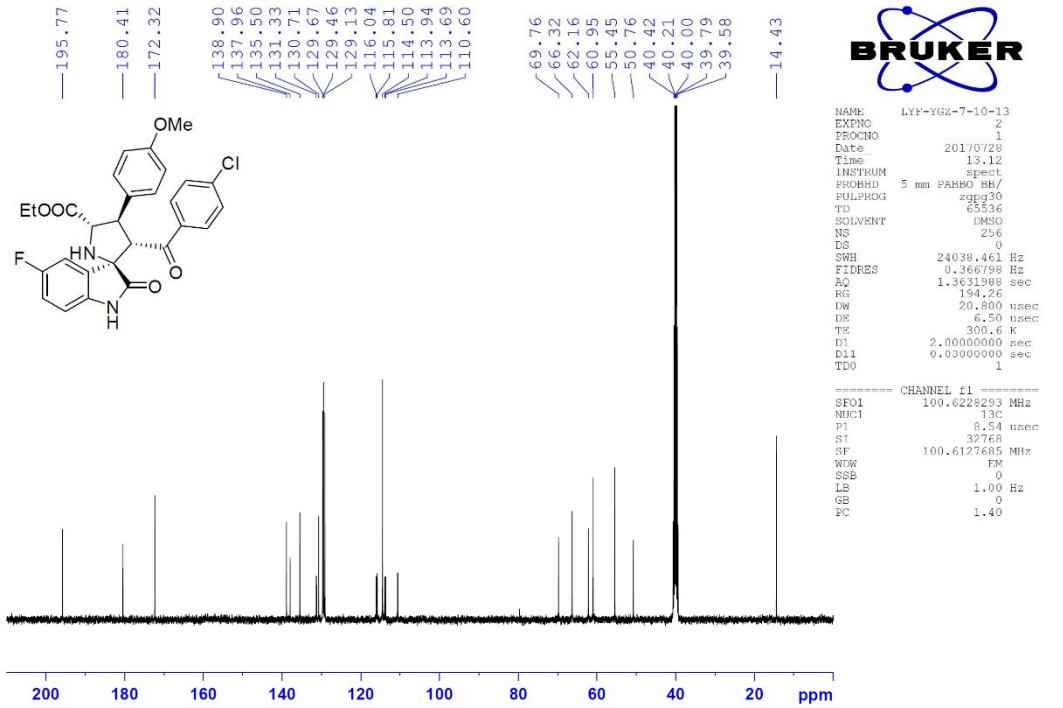
^{1}H NMR and ^{13}C NMR Spectra for Compound **6w**



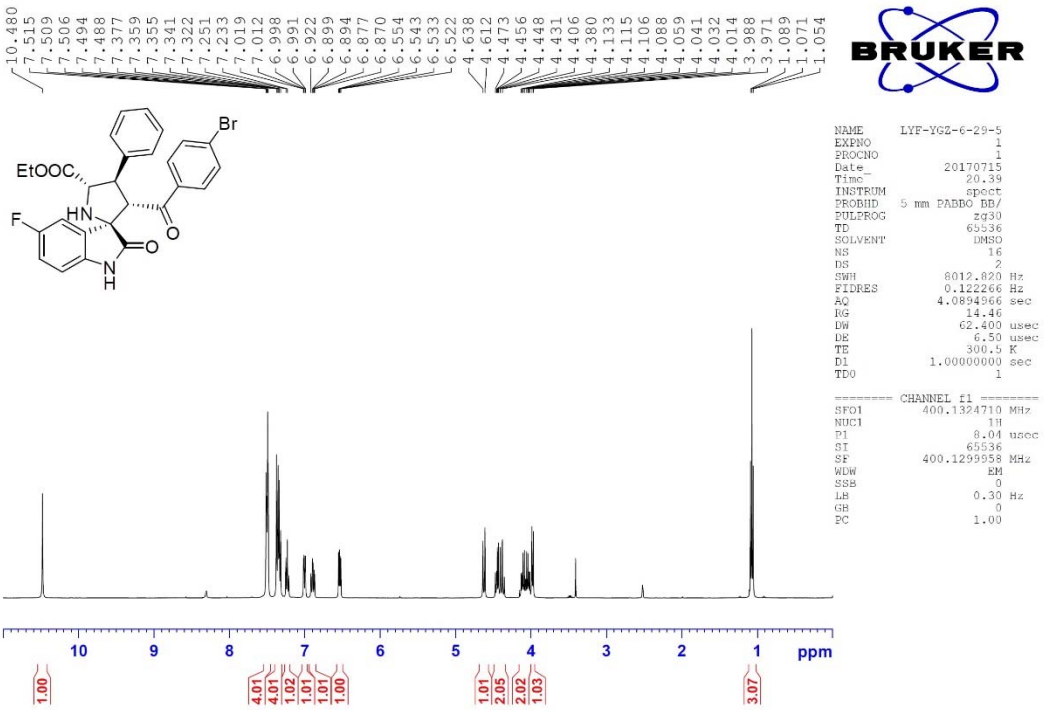


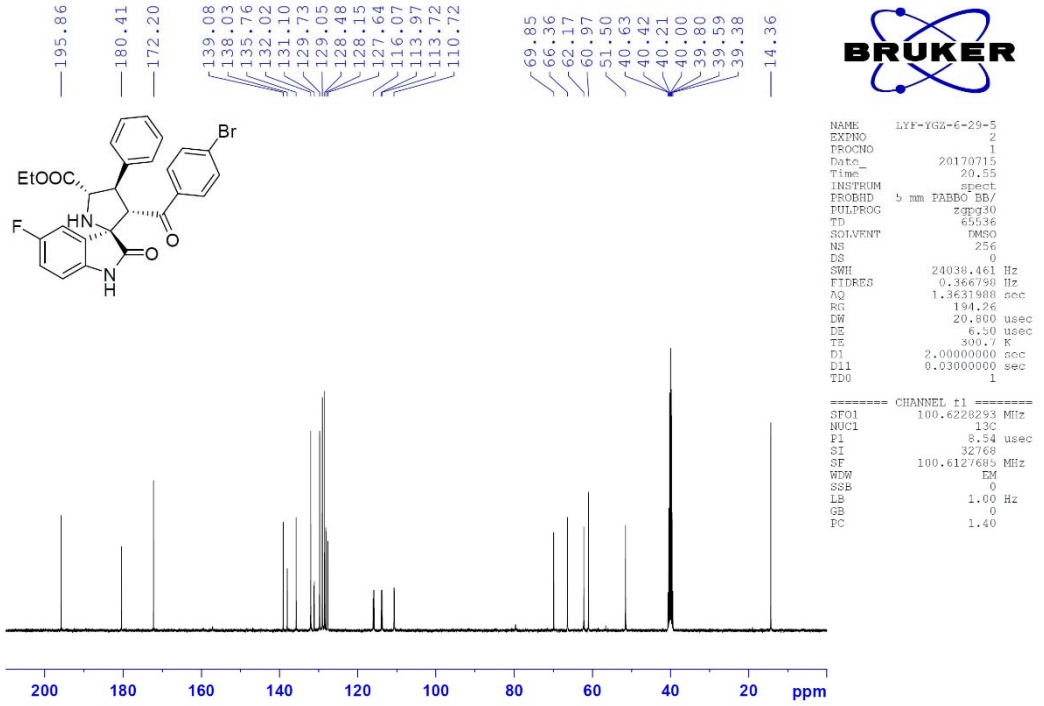
^{1}H NMR and ^{13}C NMR Spectra for Compound **6x**



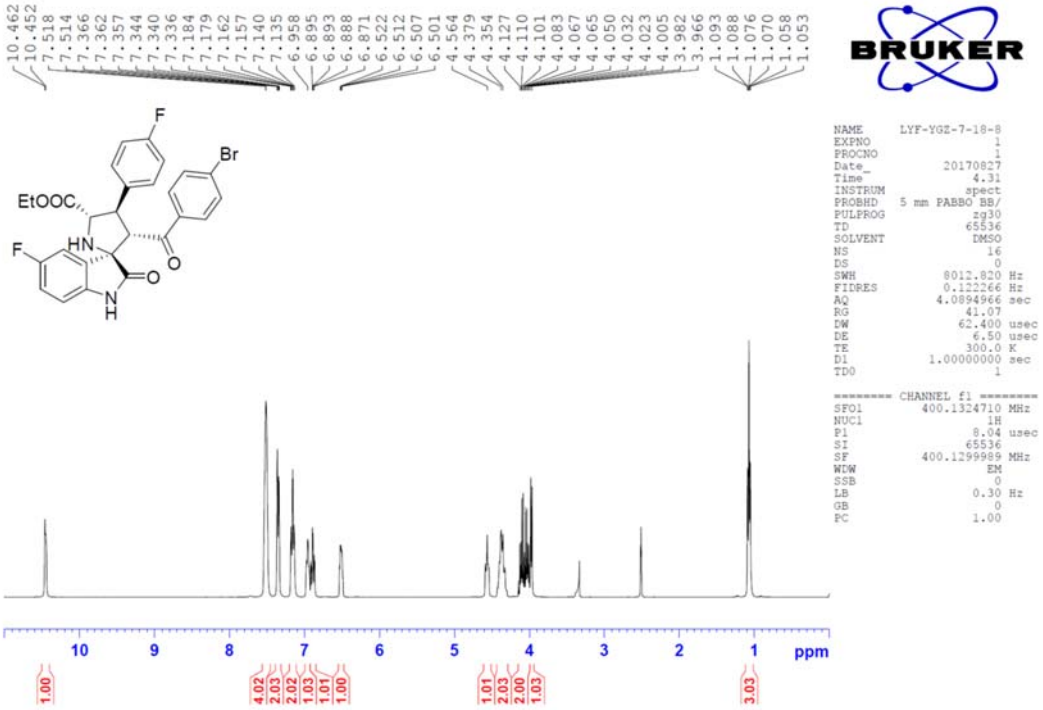


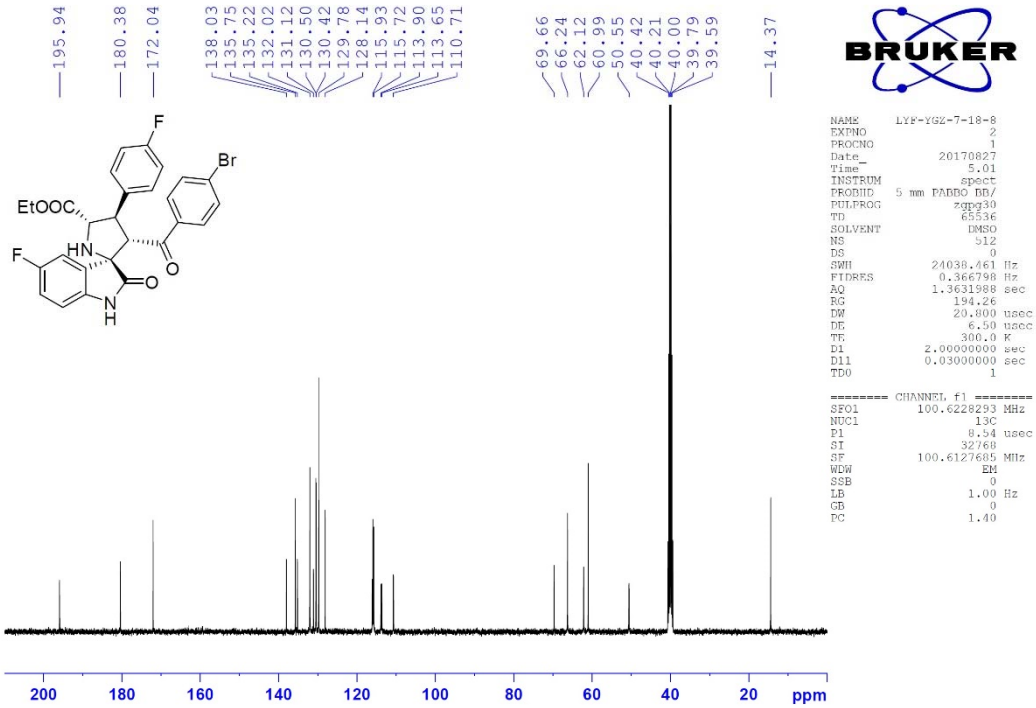
^{1}H NMR and ^{13}C NMR Spectra for Compound **6y**



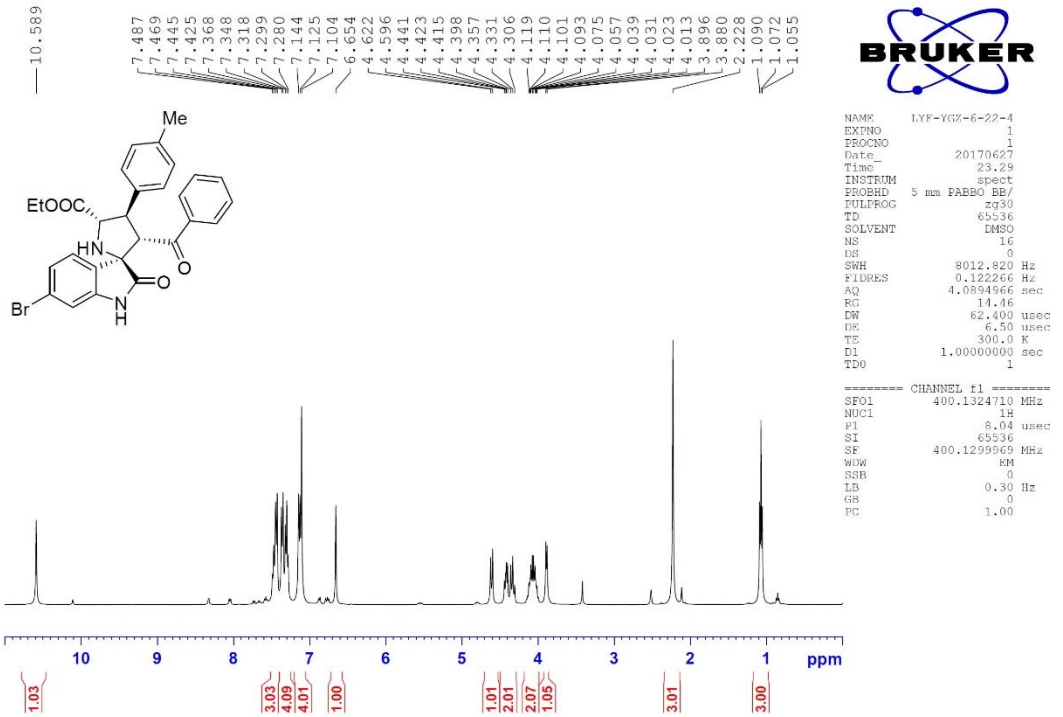


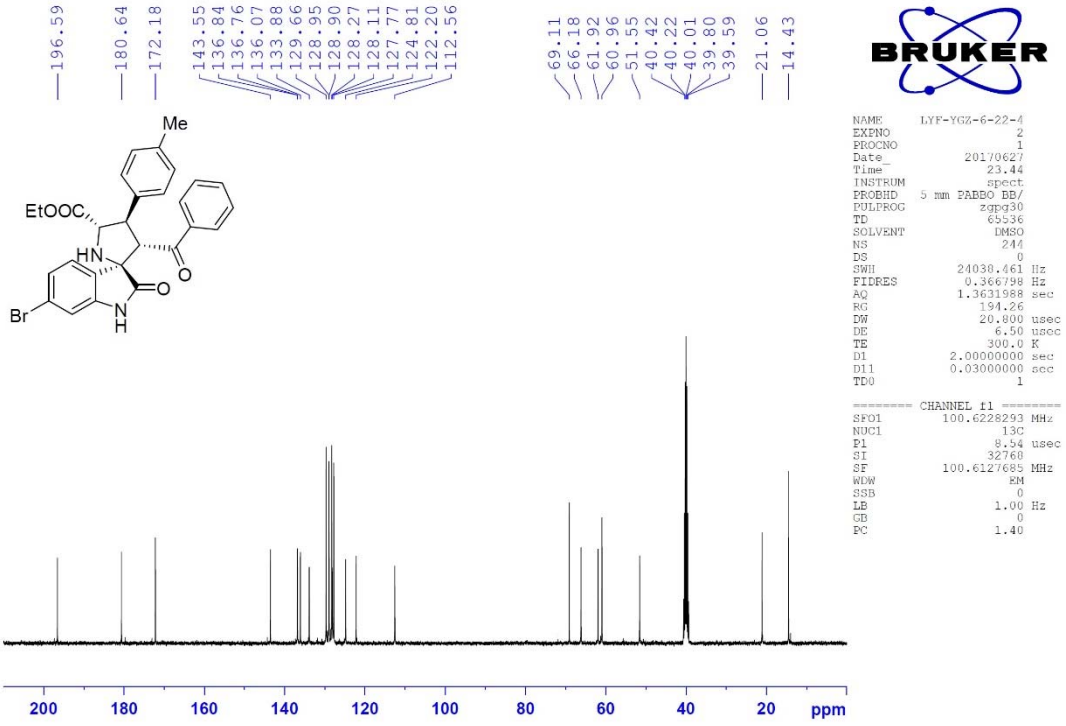
^{1}H NMR and ^{13}C NMR Spectra for Compound **6z**



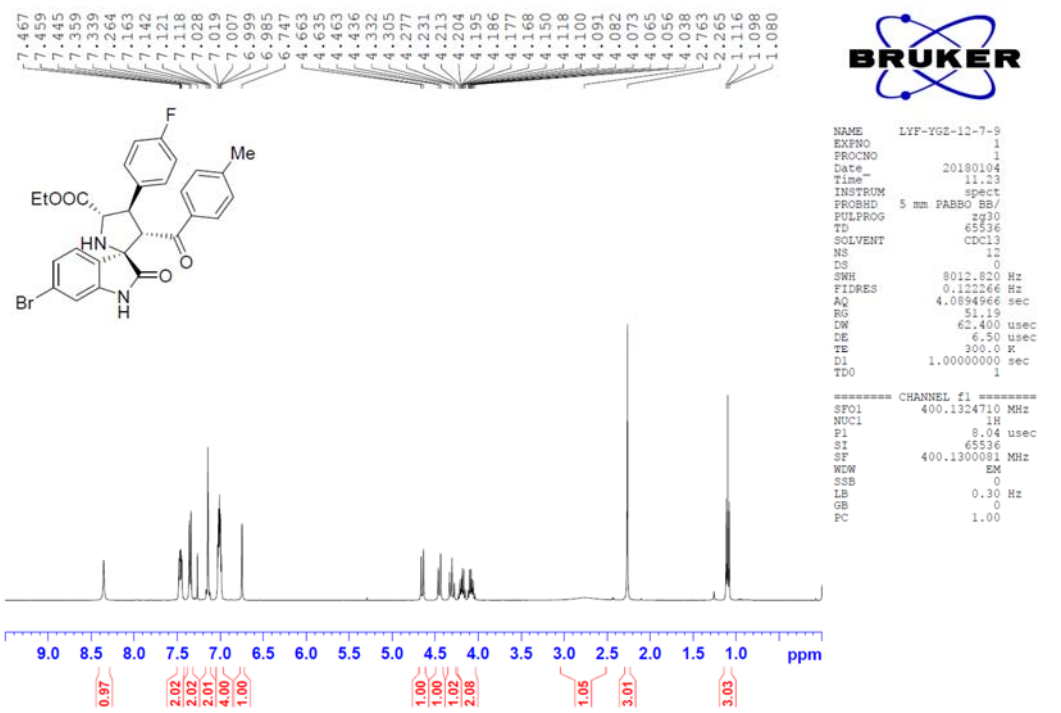


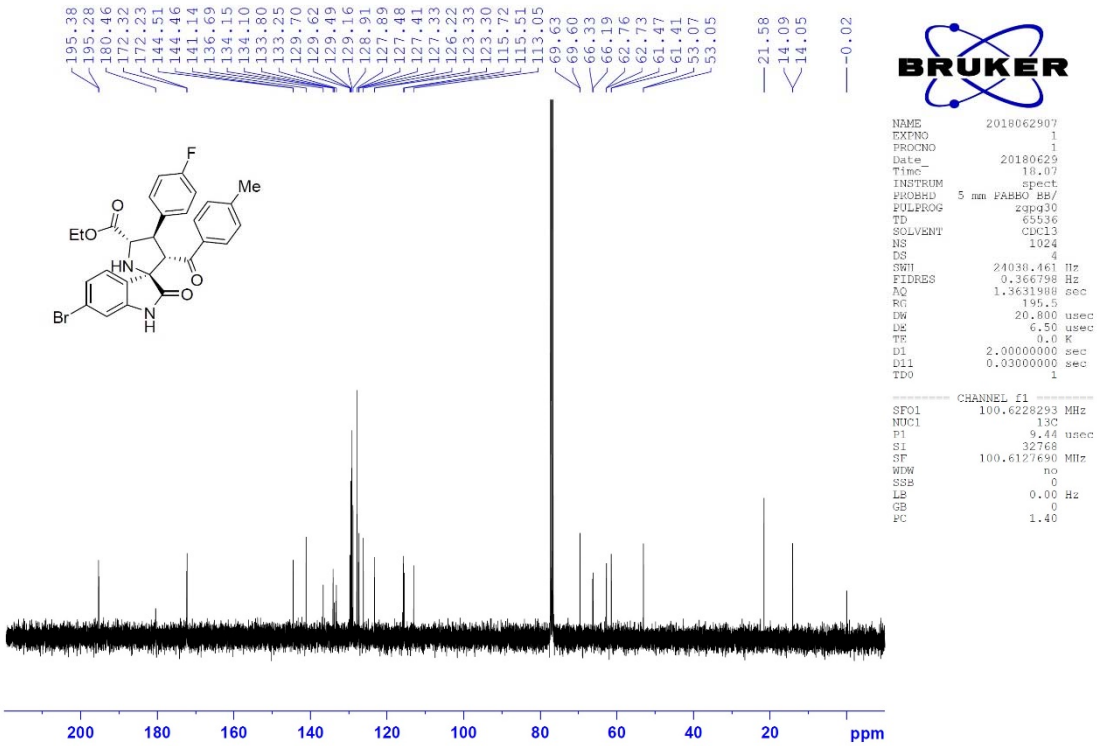
^{1}H NMR and ^{13}C NMR Spectra for Compound **6aa**



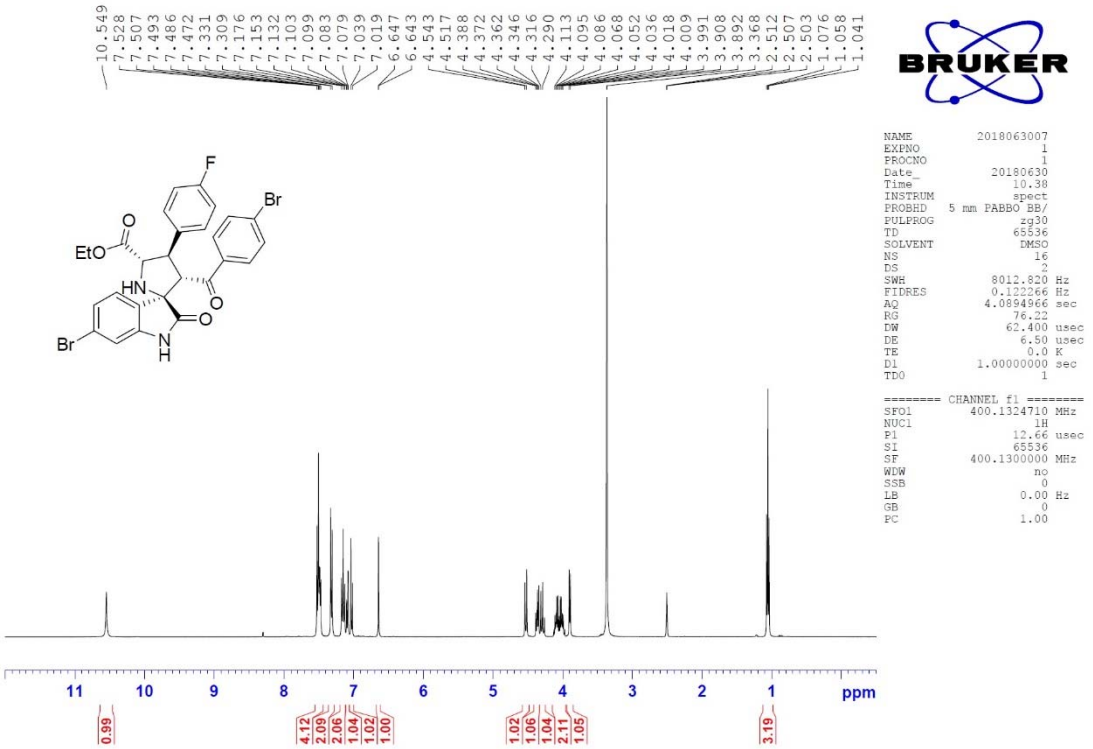


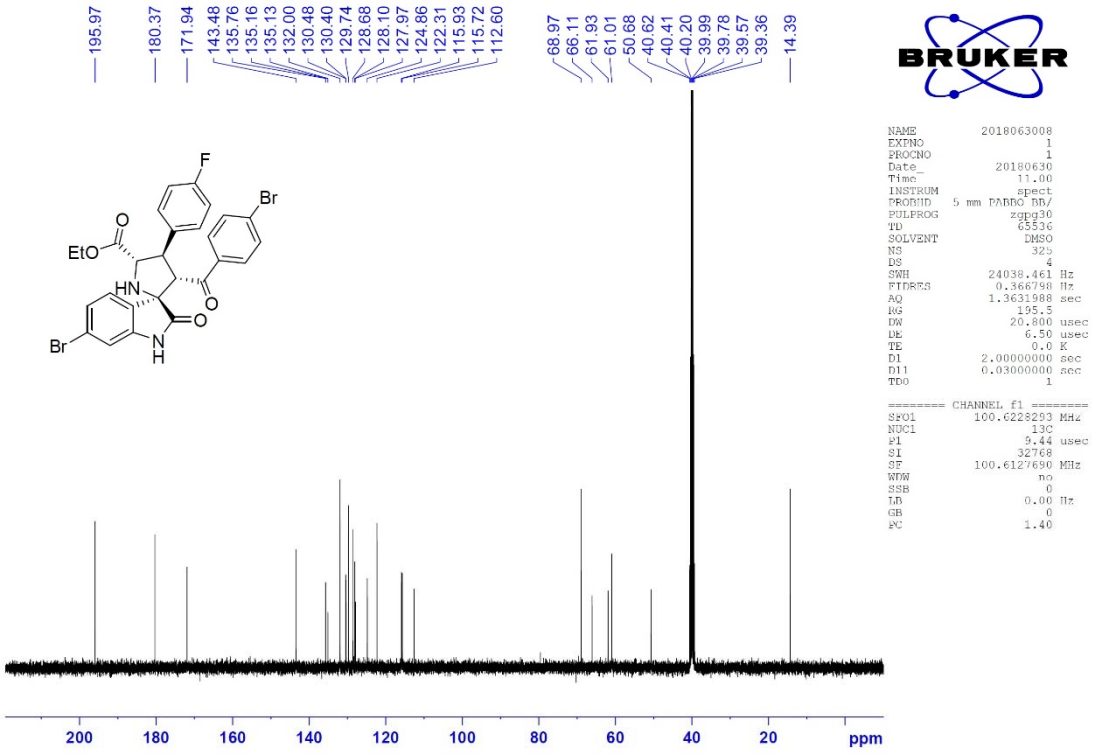
^{1}H NMR and ^{13}C NMR Spectra for Compound **6ab**



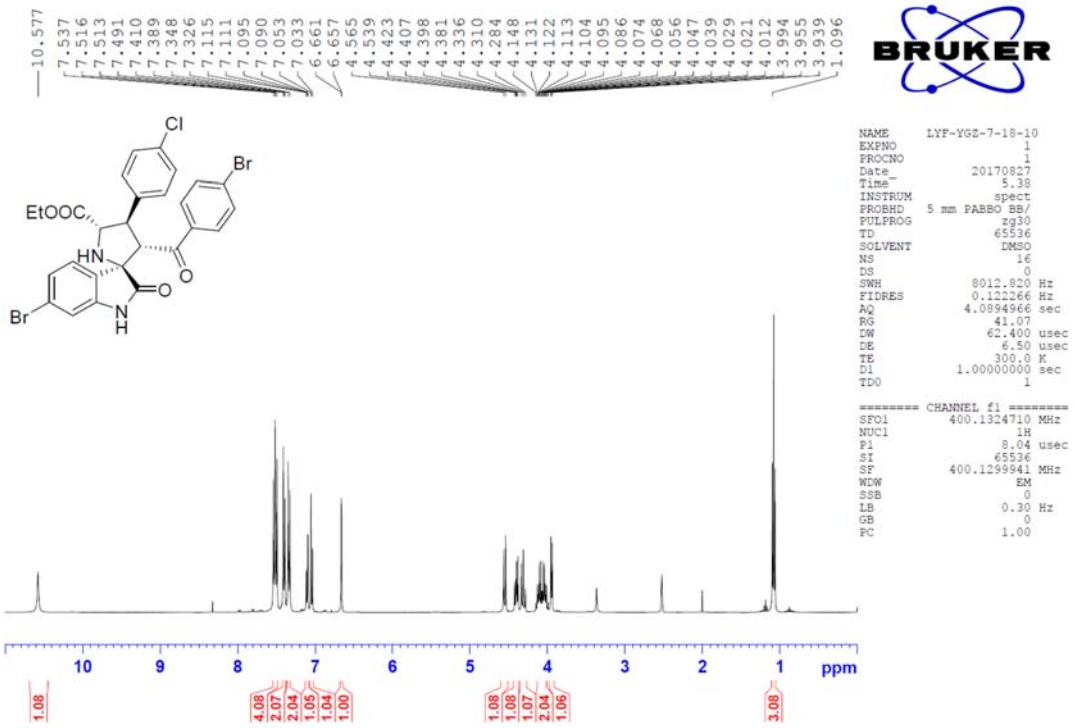


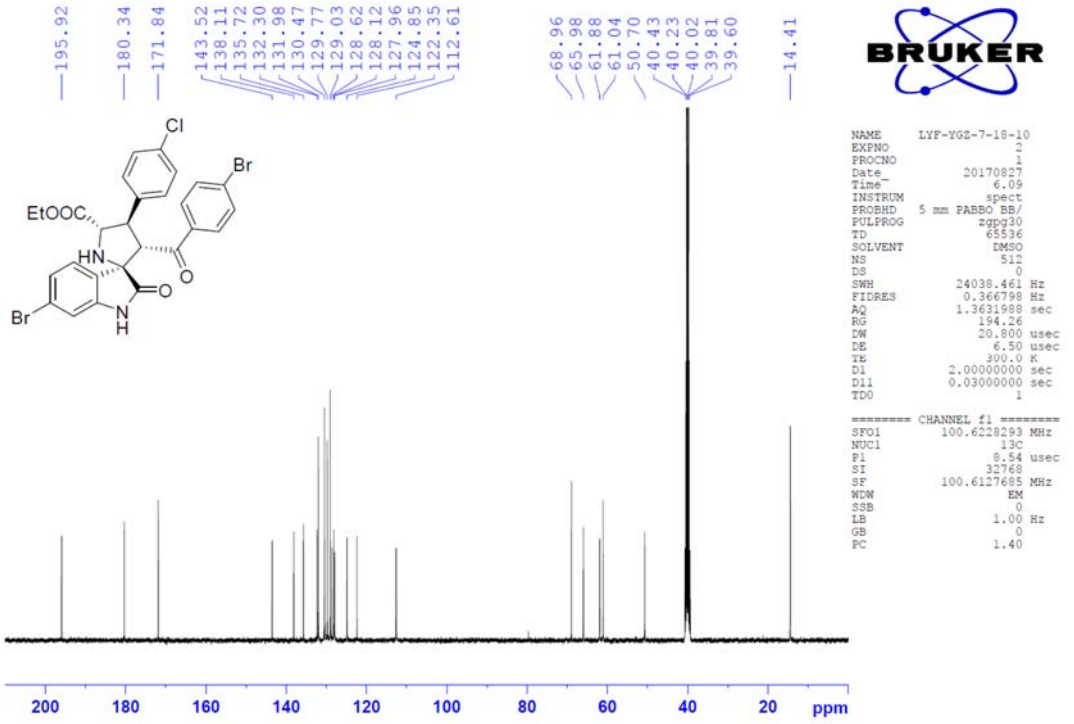
^{1}H NMR and ^{13}C NMR Spectra for Compound **6ac**





^{1}H NMR and ^{13}C NMR Spectra for Compound **6ad**





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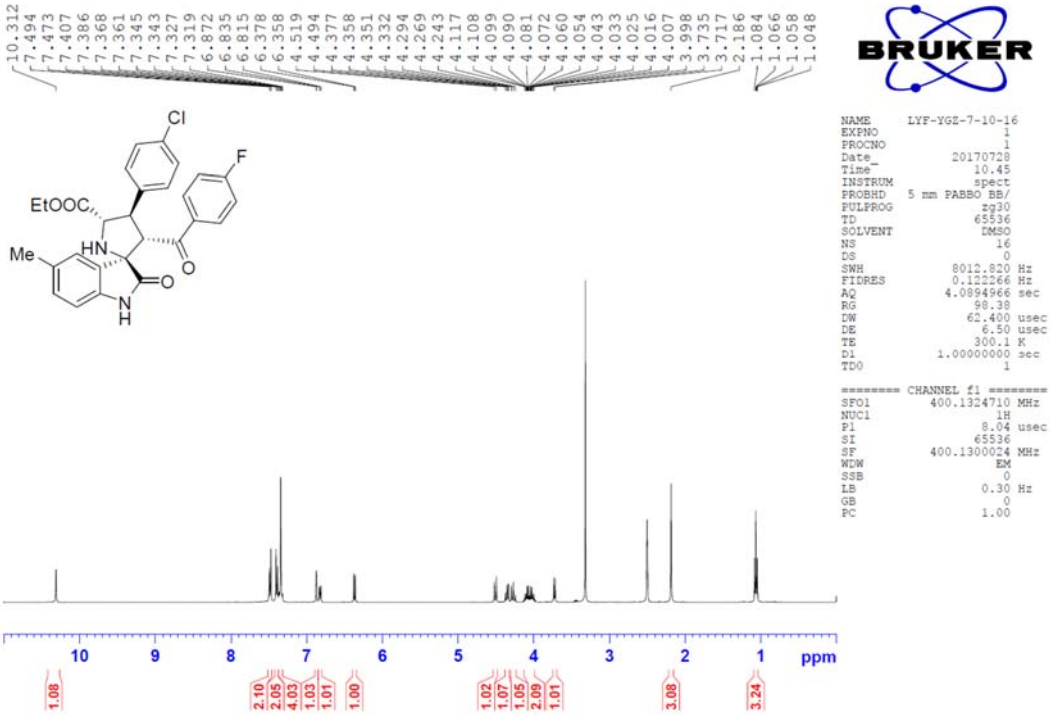

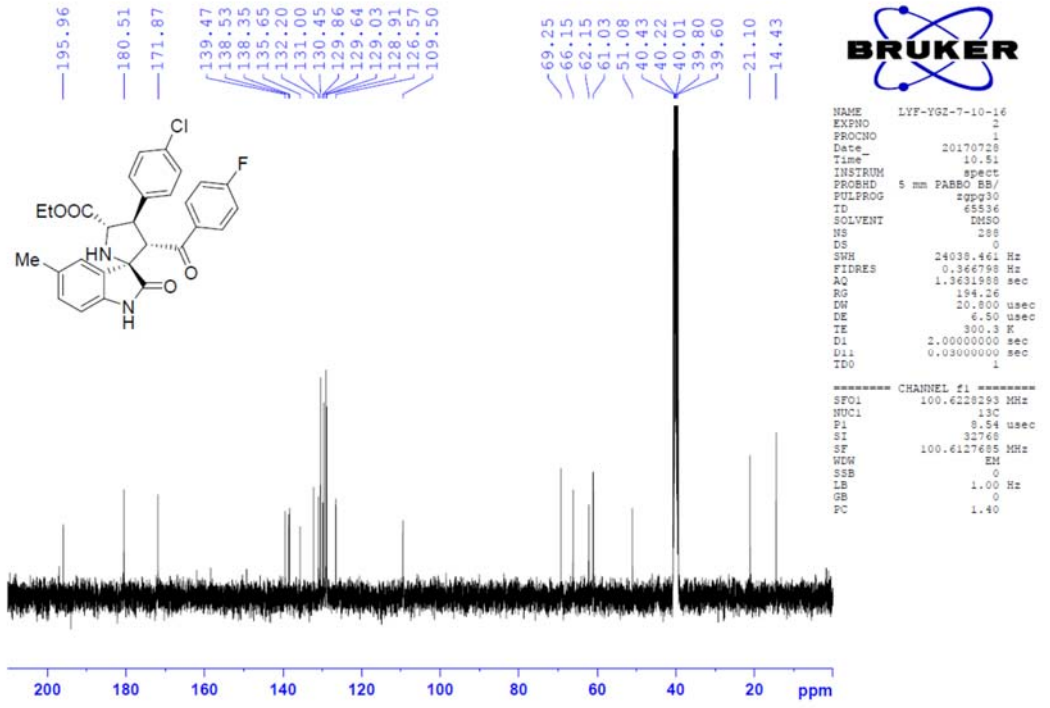

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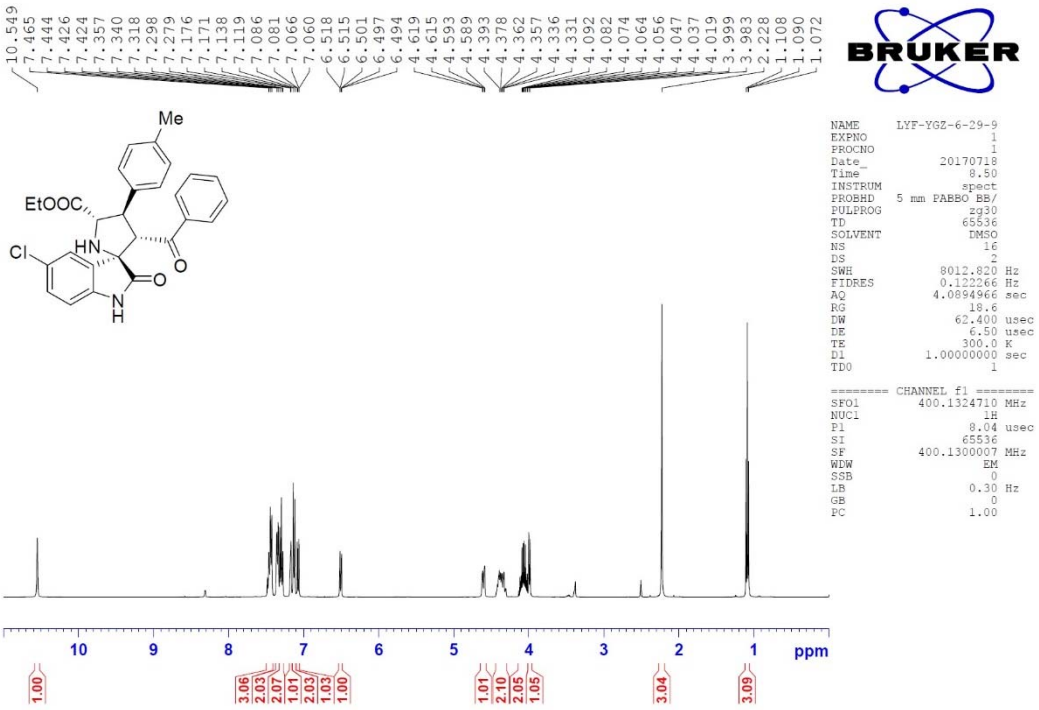
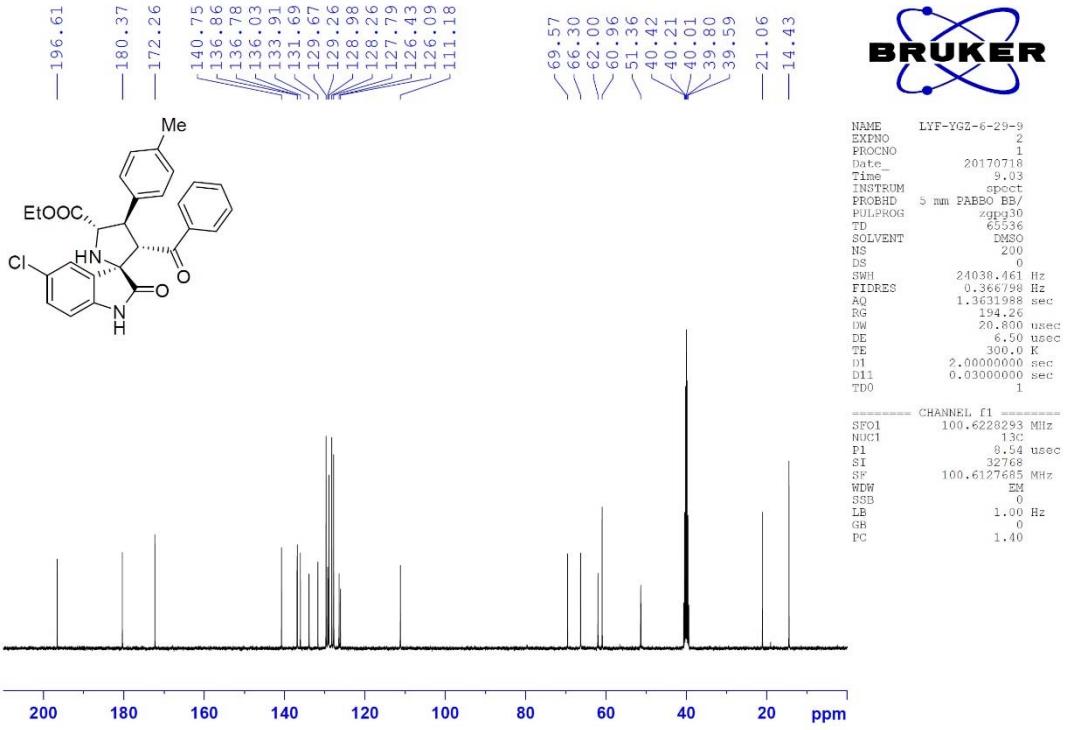
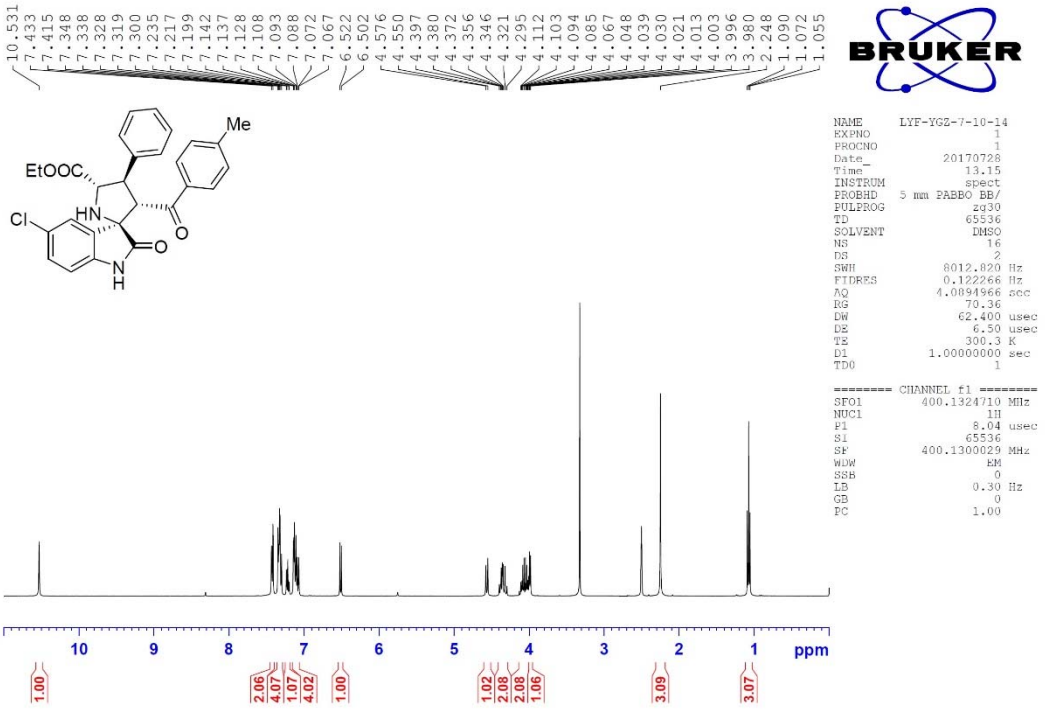
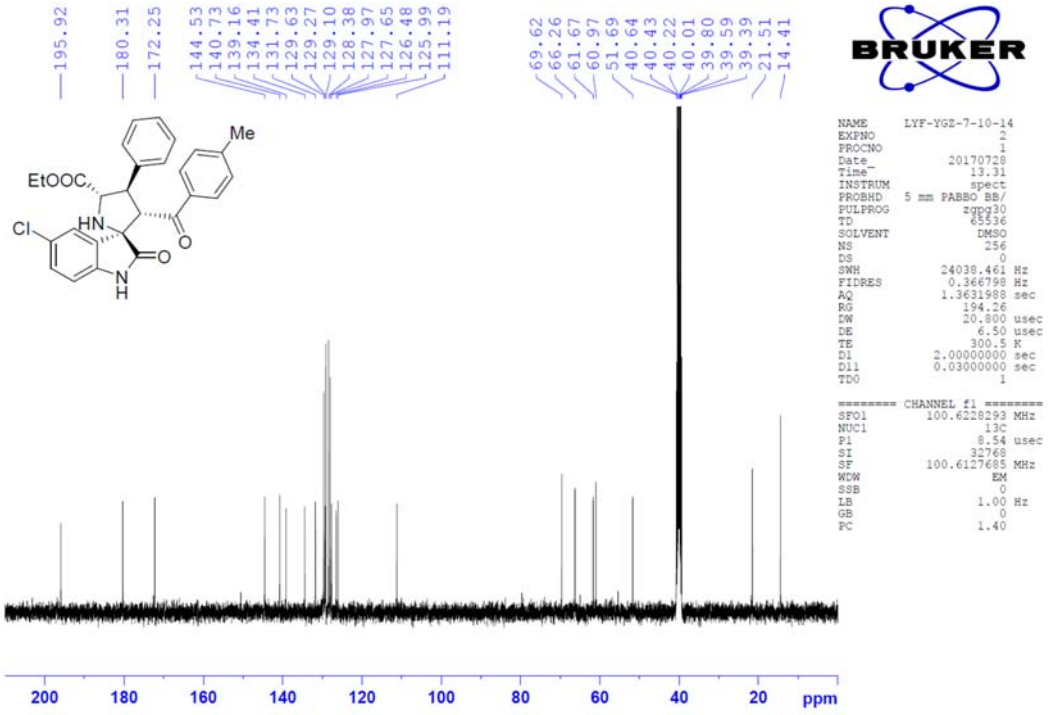


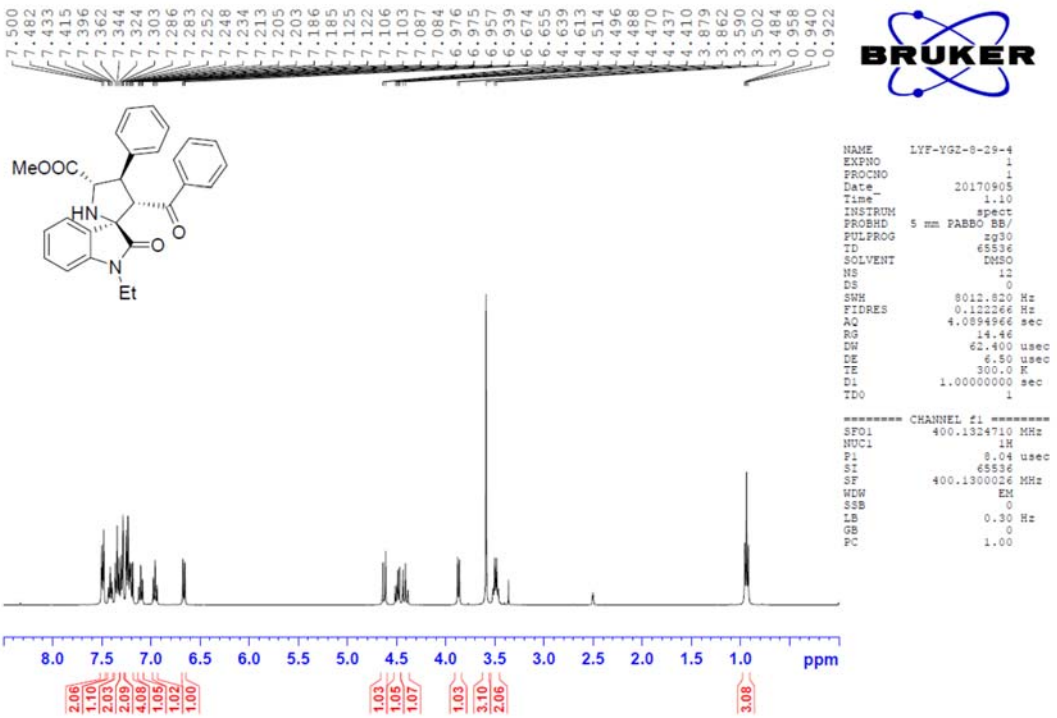


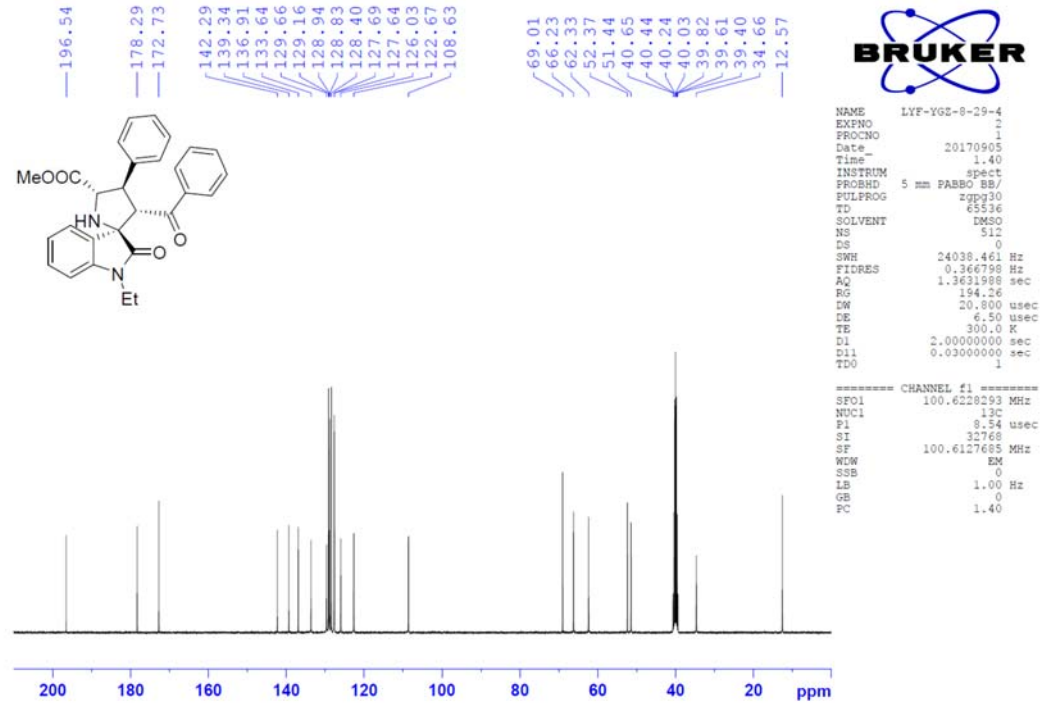
^{1}H NMR and ^{13}C NMR Spectra for Compound **6ag**



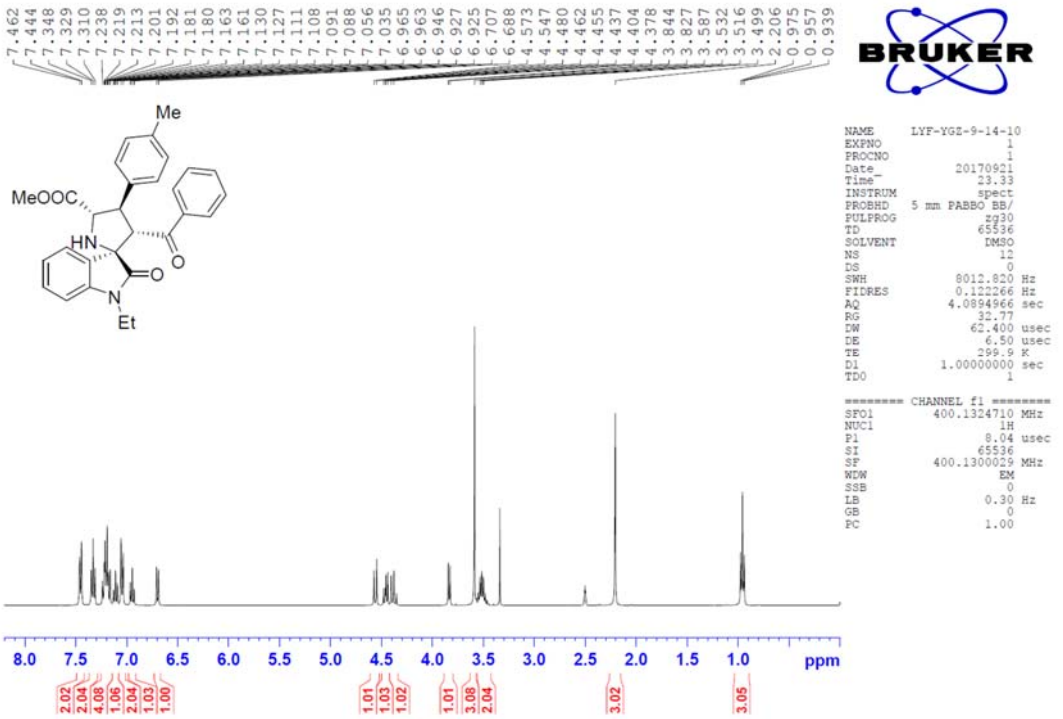


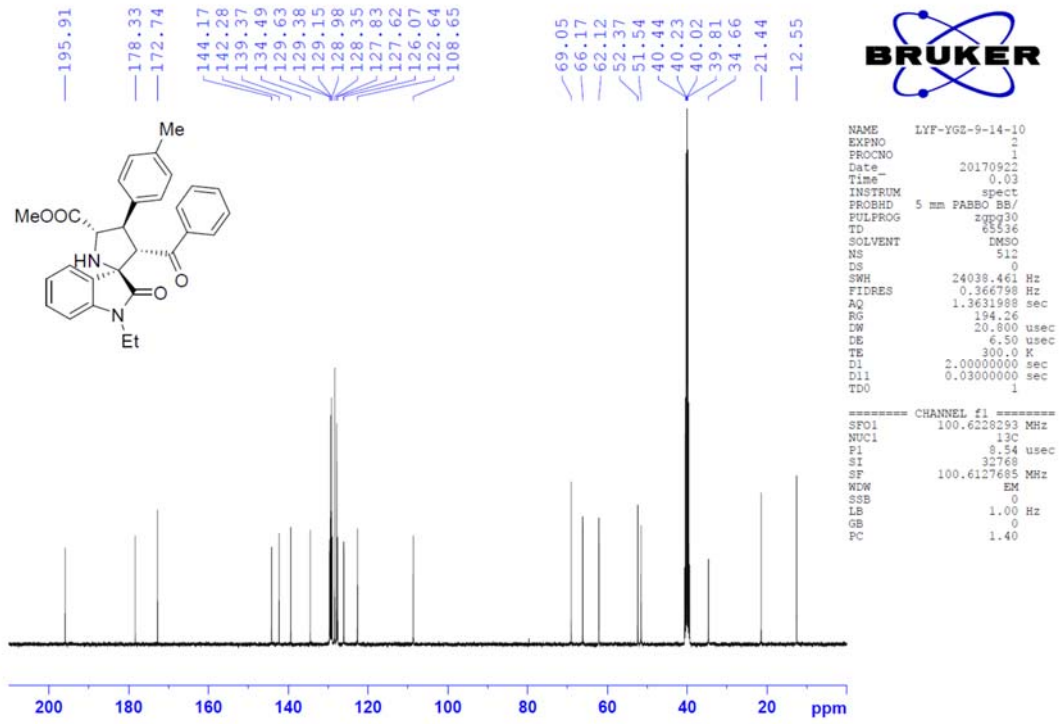
^{1}H NMR and ^{13}C NMR Spectra for Compound **7a**



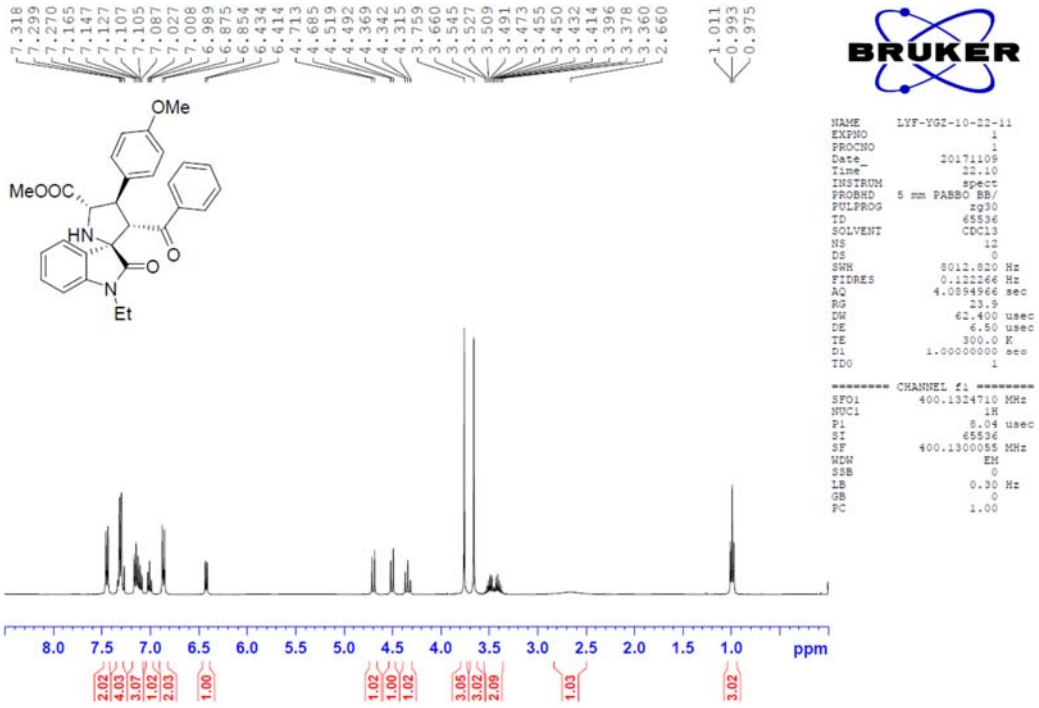


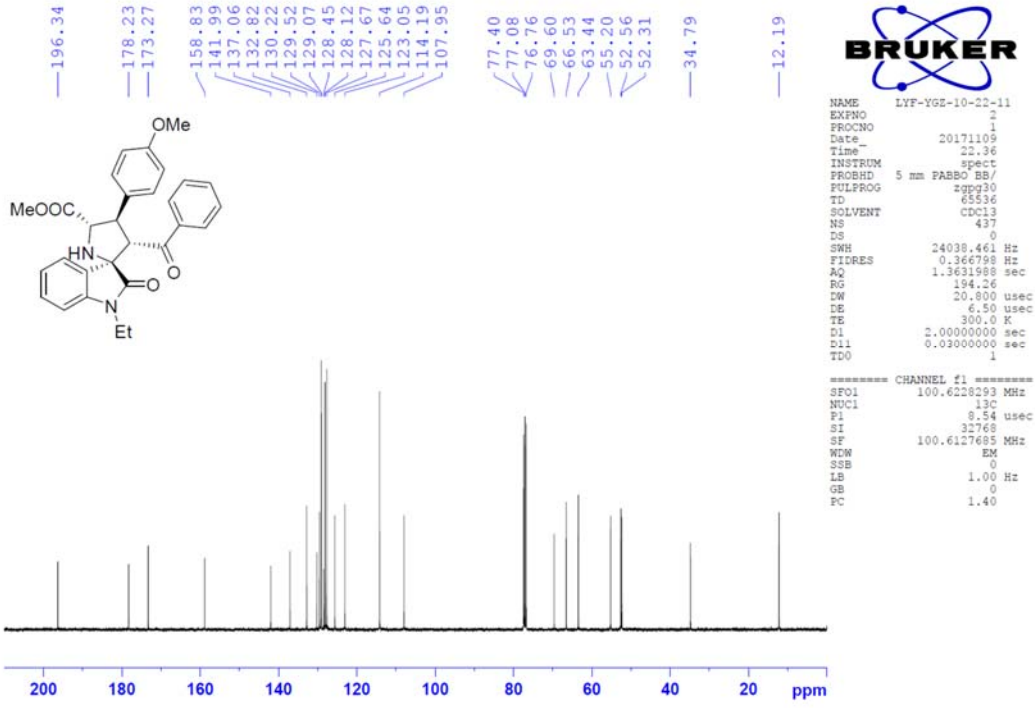
^{1}H NMR and ^{13}C NMR Spectra for Compound **7b**



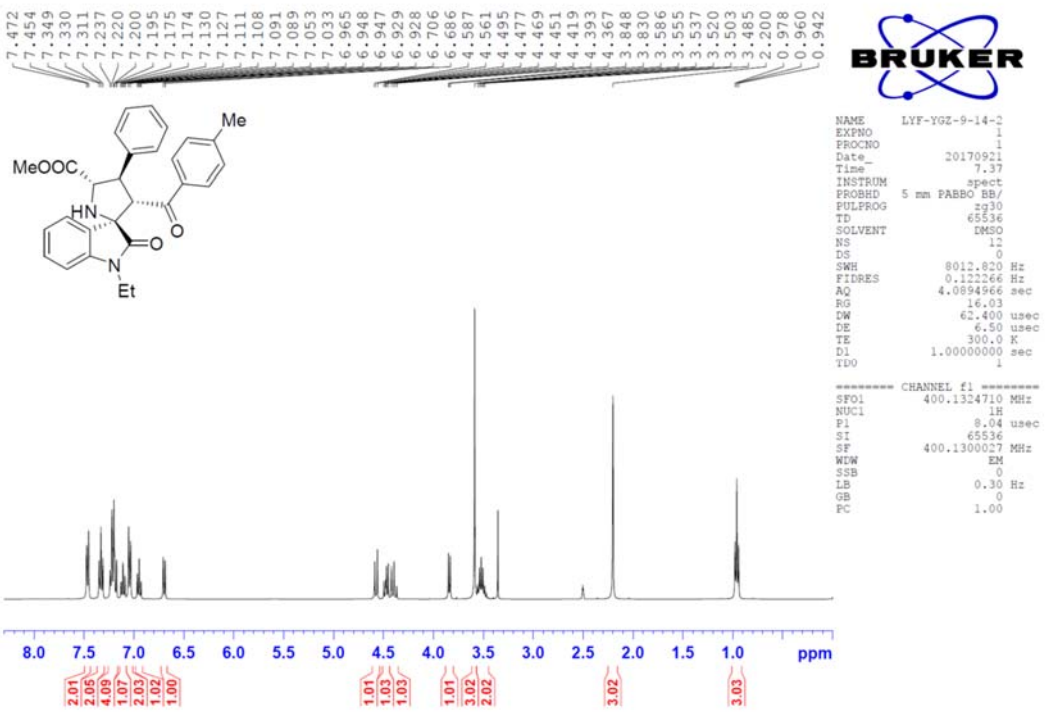


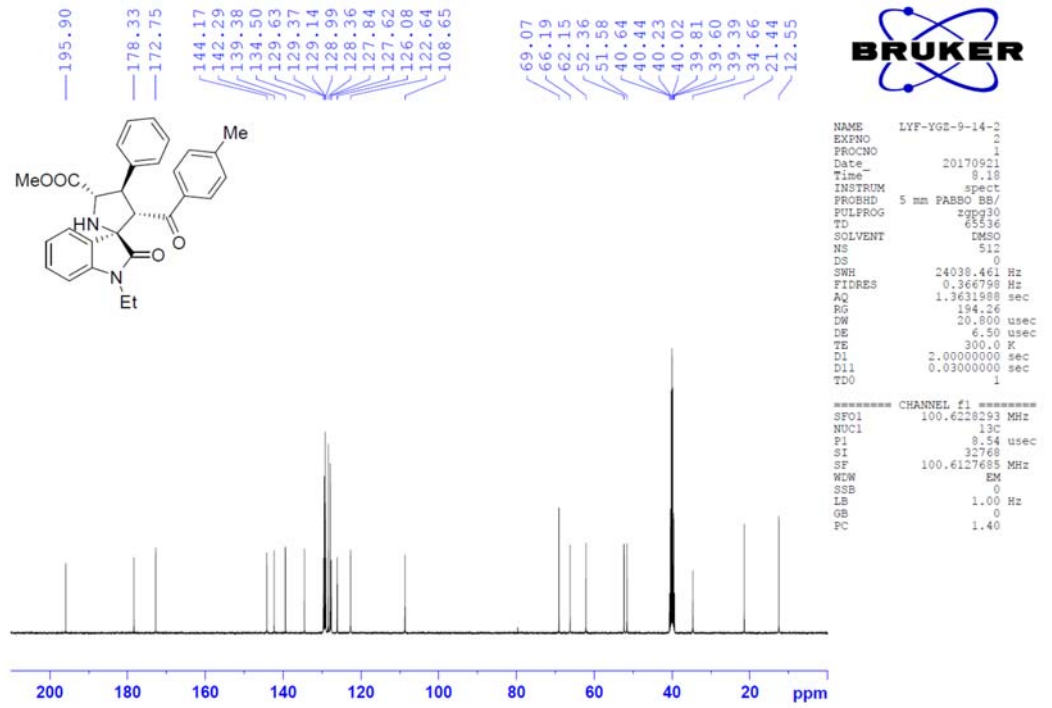
^{1}H NMR and ^{13}C NMR Spectra for Compound **7c**



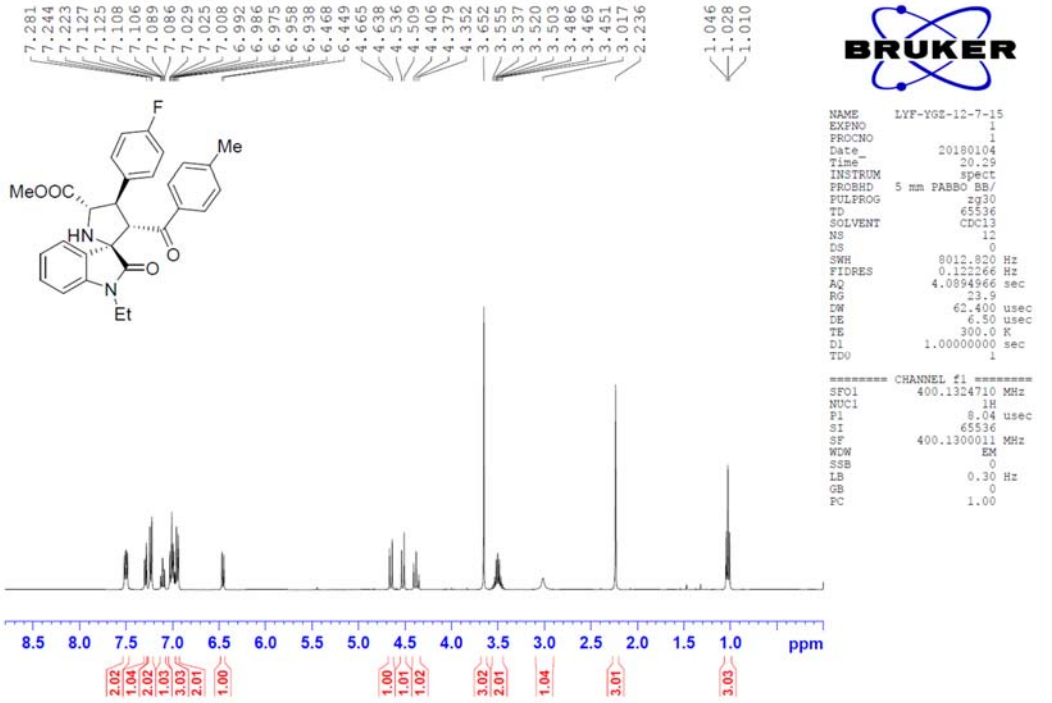


^{1}H NMR and ^{13}C NMR Spectra for Compound **7d**





^{1}H NMR and ^{13}C NMR Spectra for Compound **7e**



BRUKER

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PROCNO                      1
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FIDRES             0.122266 Hz
AQ                 4.0894966 sec
RG                       21.9
DW                    62.400 usec
DE                     6.50 usec
TE                    300.0 K
D1                 1.00000000 sec
TD0                         1

======== CHANNEL f1 ========
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NUC1                       1H
P1                       8.04 usec
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WDW                        EM
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LB                       0.30 Hz
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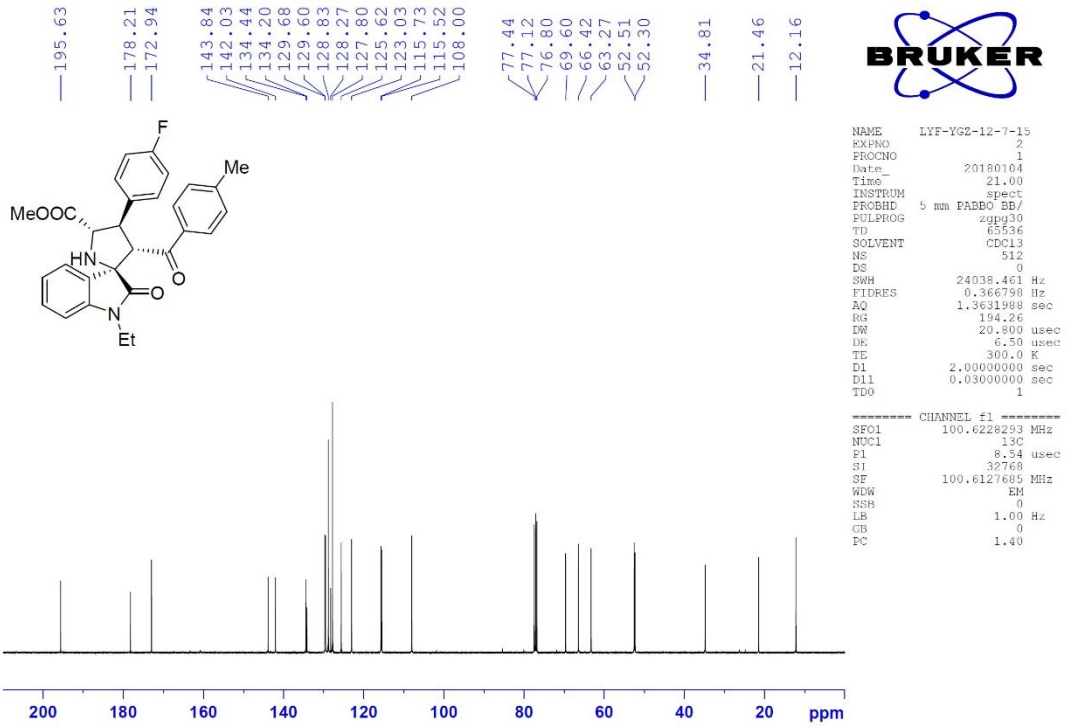

BRUKER

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PROCNO                      1
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TD                     65536
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FIDRES             0.366798 Hz
AQ                 1.3631988 sec
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DE                     6.50 usec
TE                    300.0 K
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D11                0.03000000 sec
TD0                         1

======== CHANNEL f1 ========
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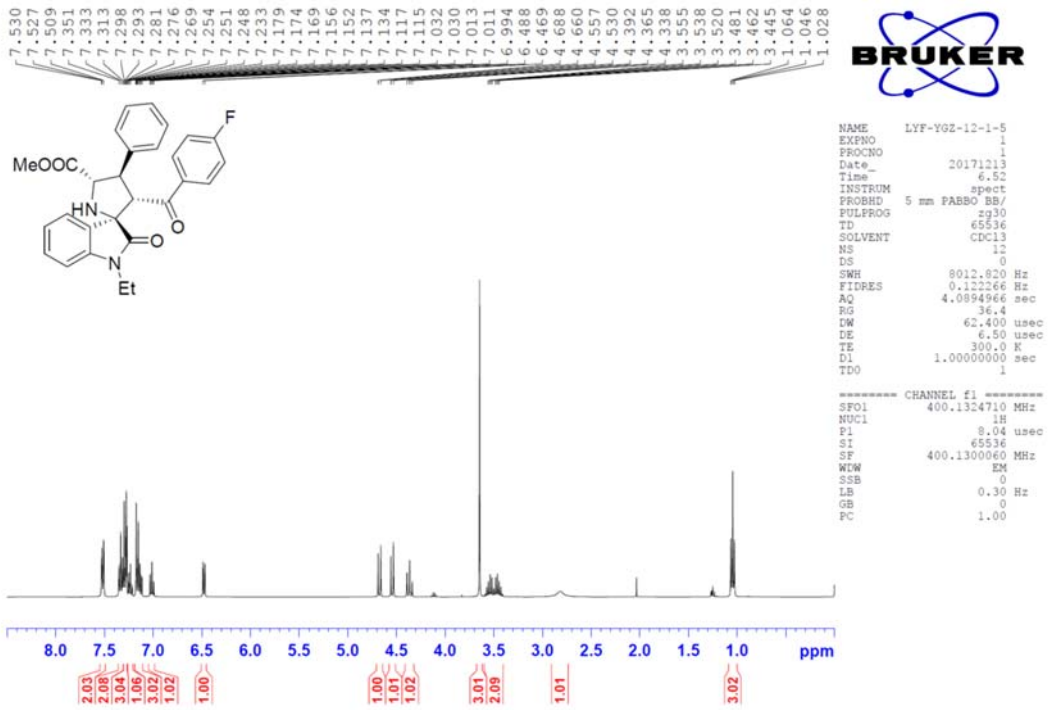
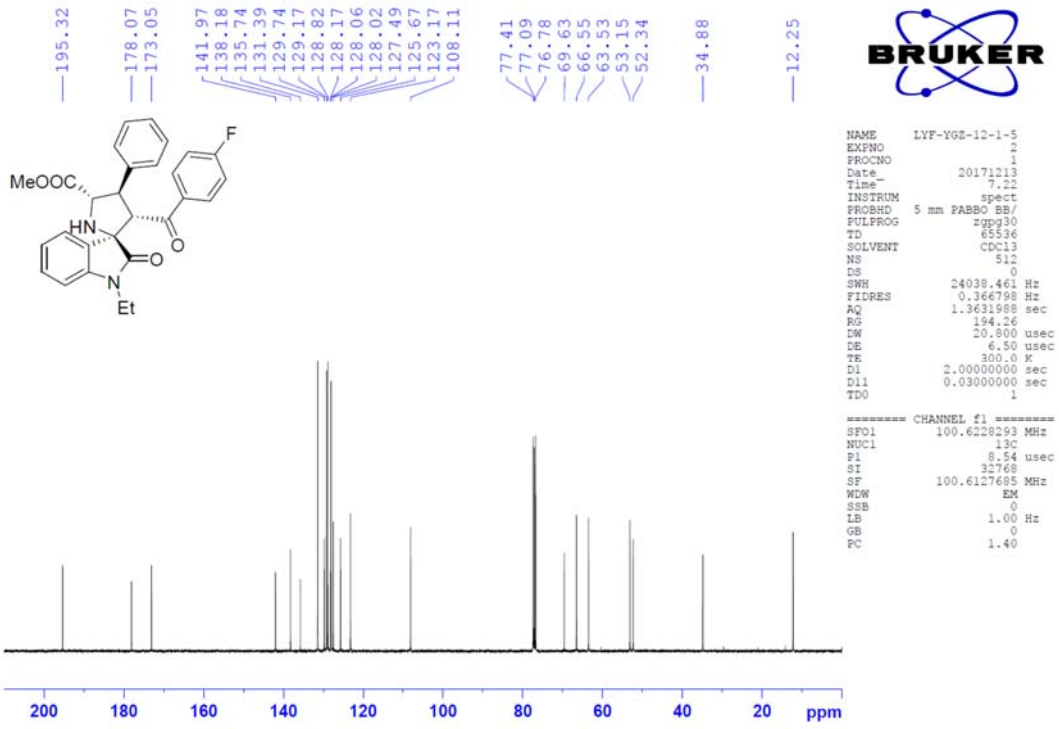
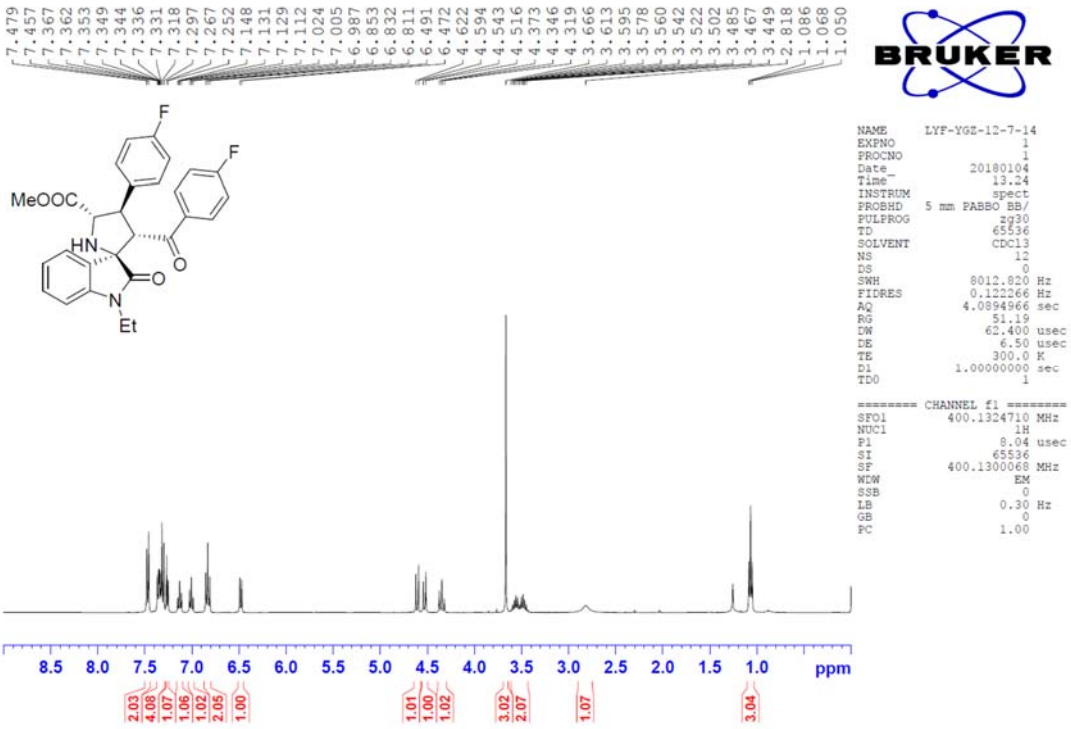
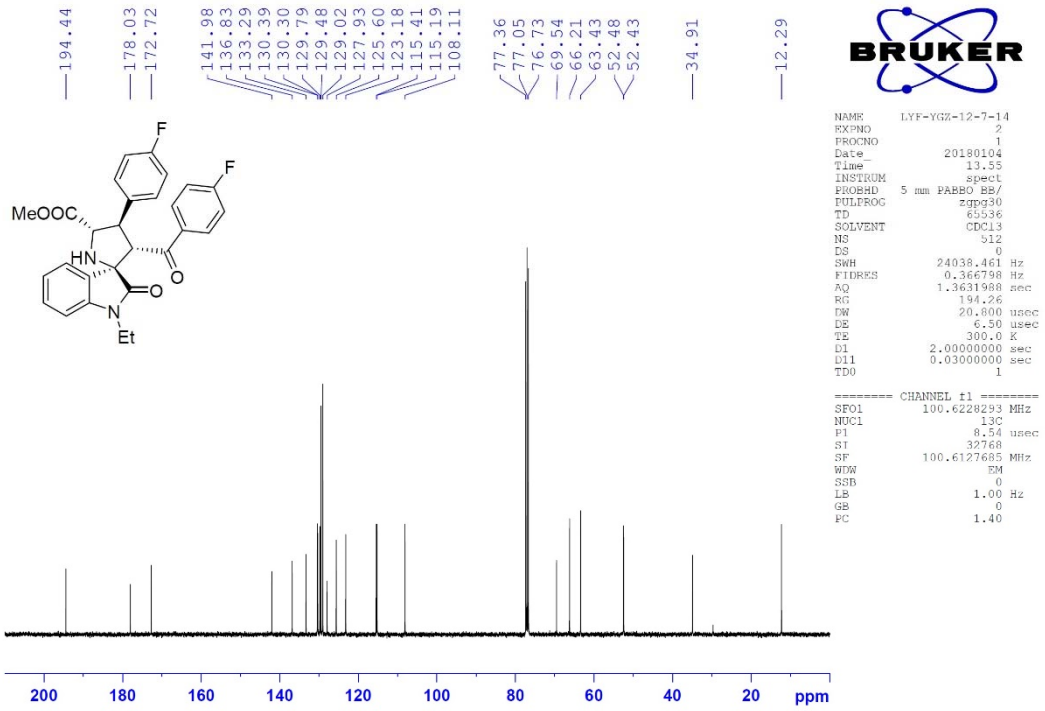
^{1}H NMR and ^{13}C NMR Spectra for Compound **7f**



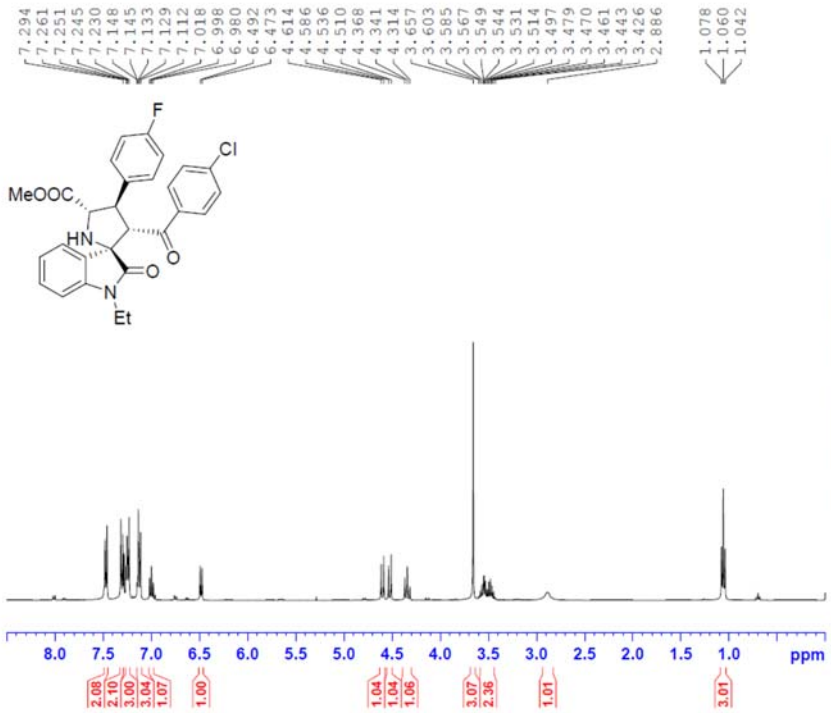


^{1}H NMR and ^{13}C NMR Spectra for Compound **7g**





^{1}H NMR and ^{13}C NMR Spectra for Compound **7h**



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PROCNO                    1
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SWH                8012.820 Hz
FIDRES             0.122266 Hz
AQ                 4.0894966 sec
RG                    26.24
DW                   62.400 usec
DE                    6.50 usec
TE                  299.9 K
D1                 1.00000000 sec
TD0                       1

======== CHANNEL f1 ========
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SSB                      0
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PC                    1.00
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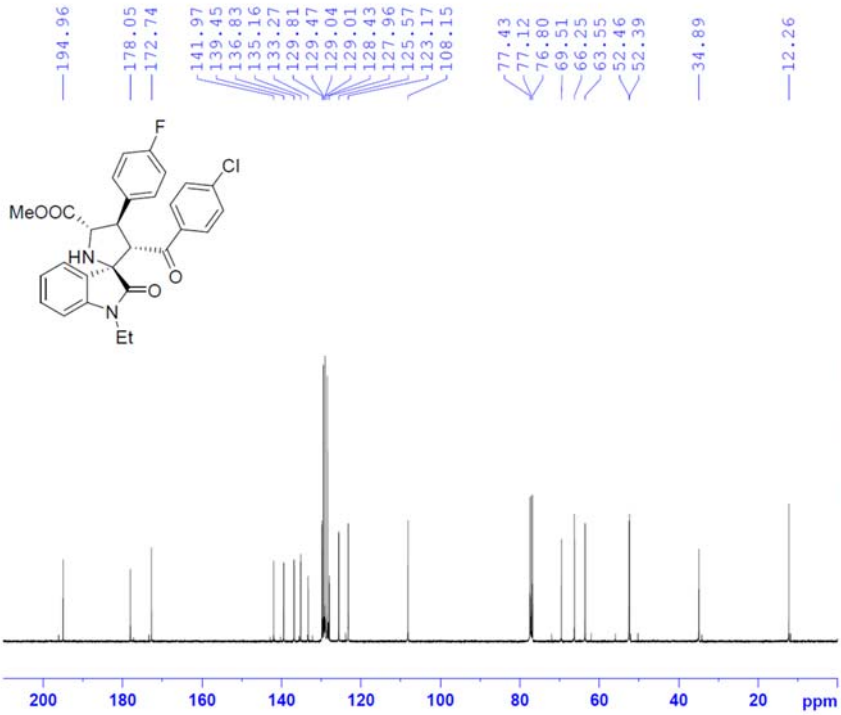

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PROCNO                    1
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TD                    65536
SOLVENT               CDCl3
NS                      512
DS                        0
SWH               24038.461 Hz
FIDRES             0.366798 Hz
AQ                 1.3631988 sec
RG                   194.26
DW                   20.800 usec
DE                    6.50 usec
TE                  300.0 K
D1                 2.00000000 sec
D11                0.03000000 sec
TD0                       1

======== CHANNEL f1 ========
SFO1          100.6228293 MHz
NUC1                    13C
P1                    8.54 usec
SI                   32768
SF          100.6127685 MHz
WDW                     EM
SSB                      0
LB                    1.00 Hz
GB                       0
PC                    1.40
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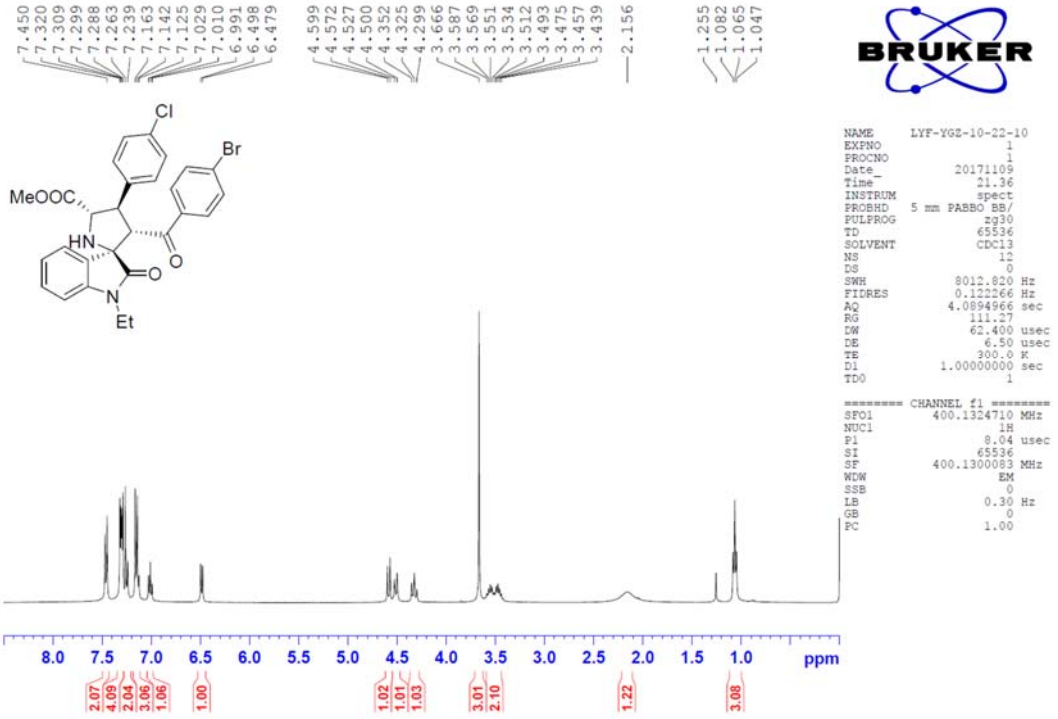
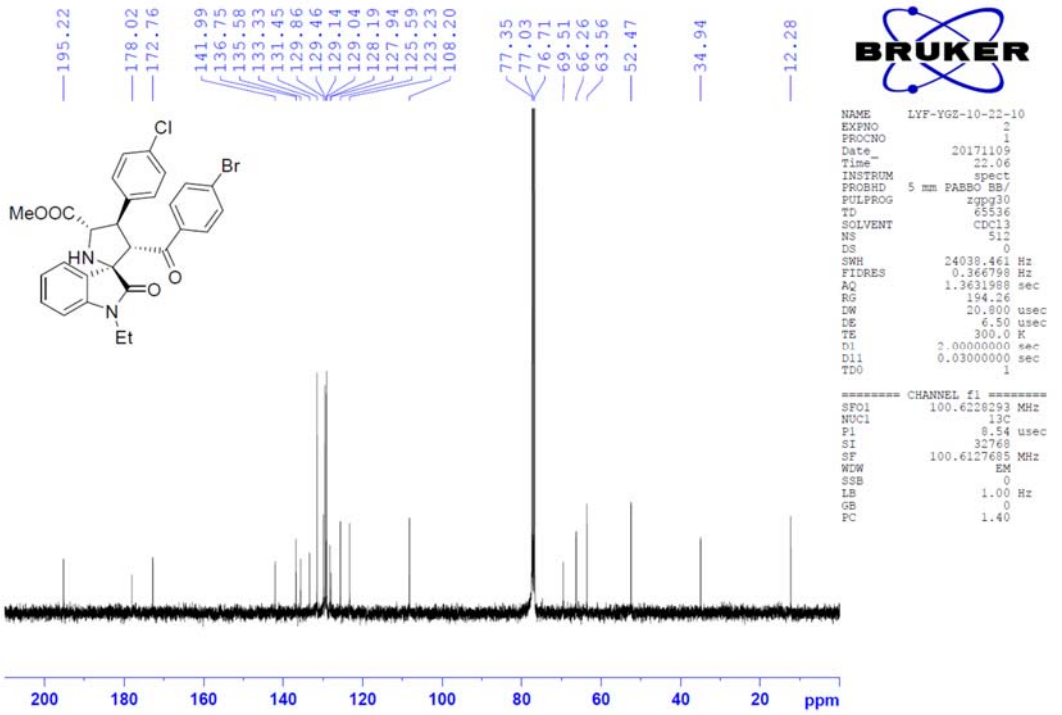
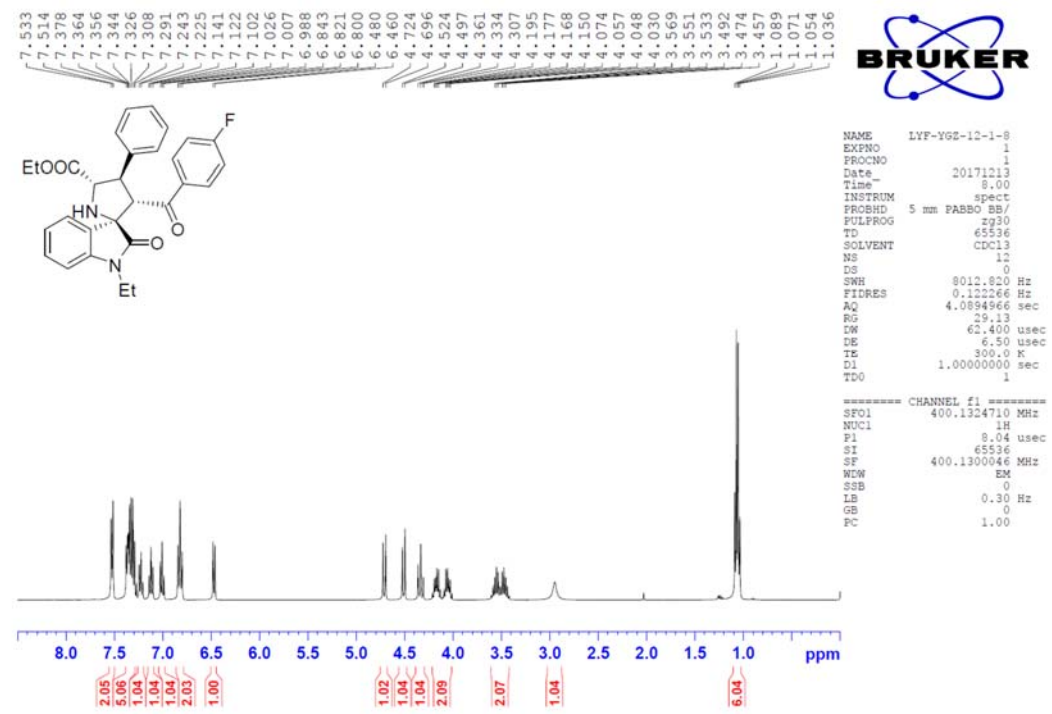
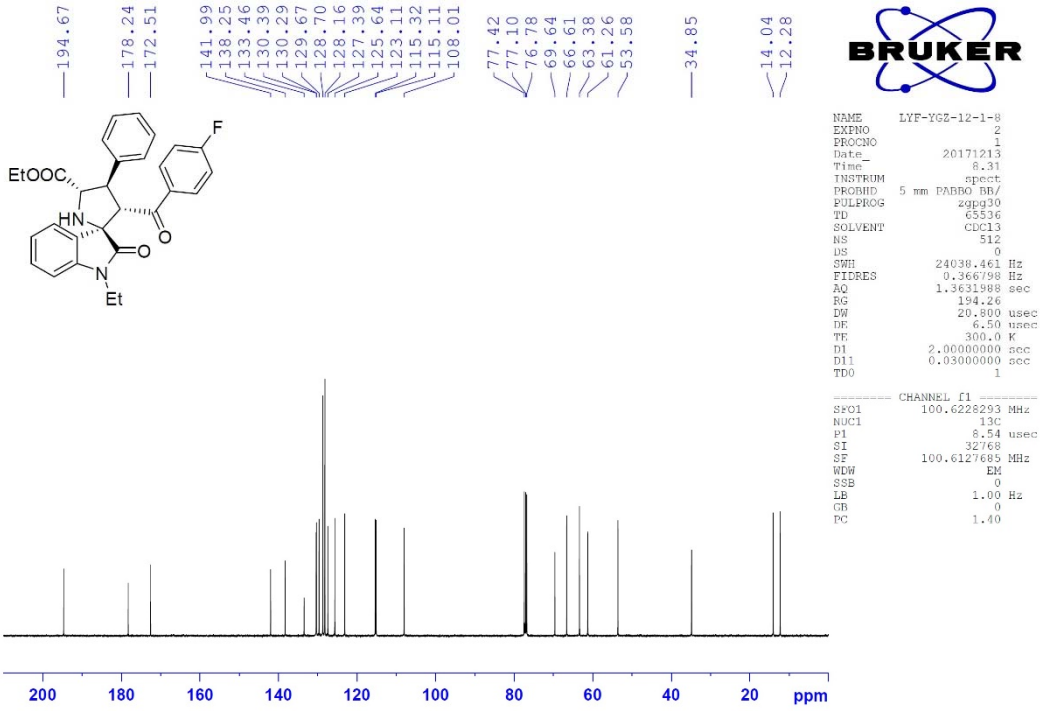
^{1}H NMR and ^{13}C NMR Spectra for Compound **7i**



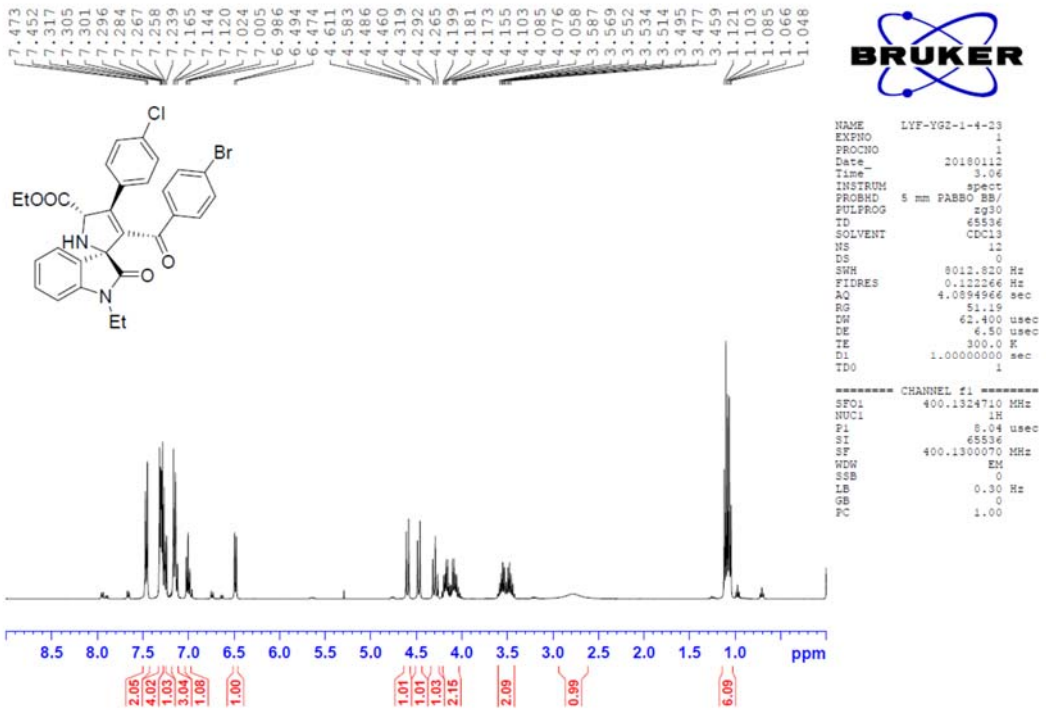


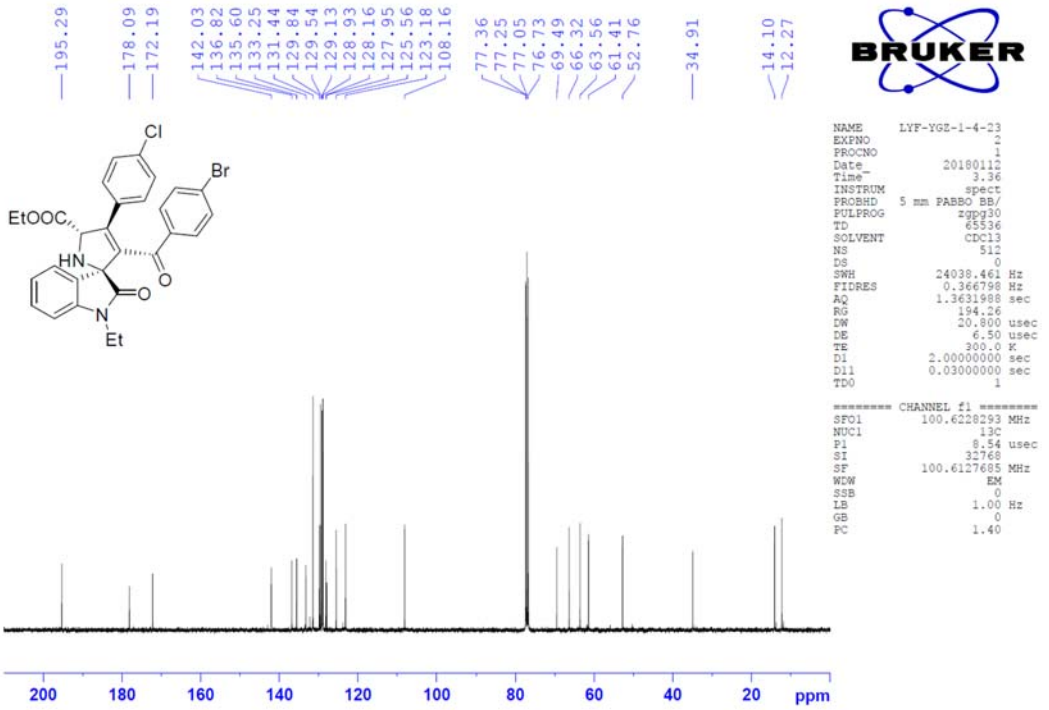
^{1}H NMR and ^{13}C NMR Spectra for Compound **7j**



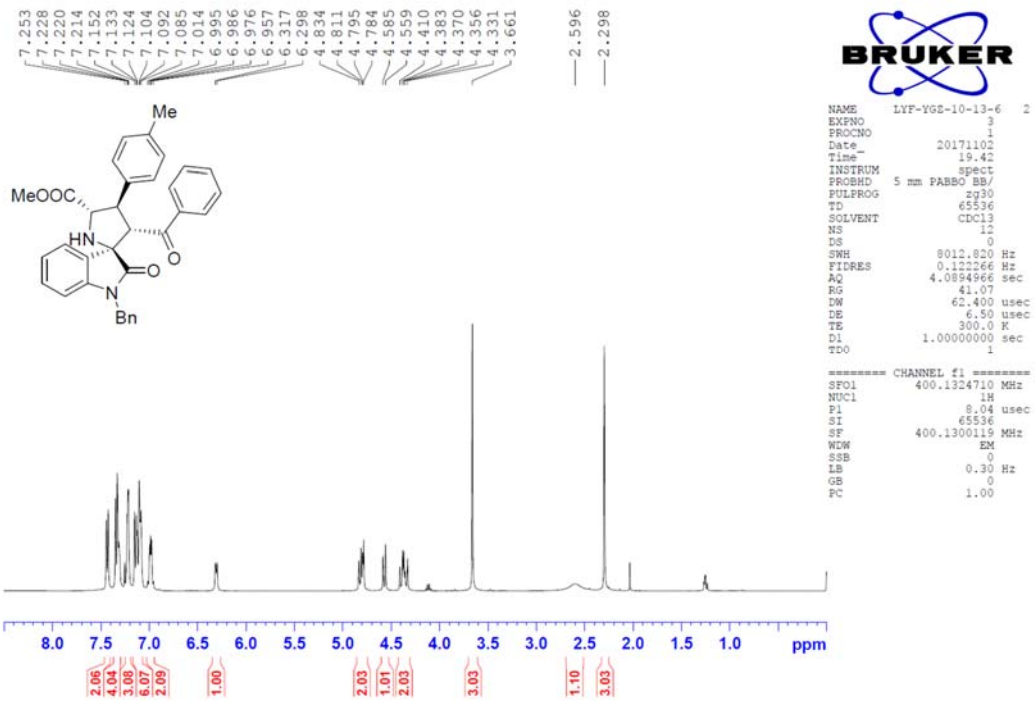


^{1}H NMR and ^{13}C NMR Spectra for Compound **7k**





^{1}H NMR and ^{13}C NMR Spectra for Compound **7l**



BRUKER

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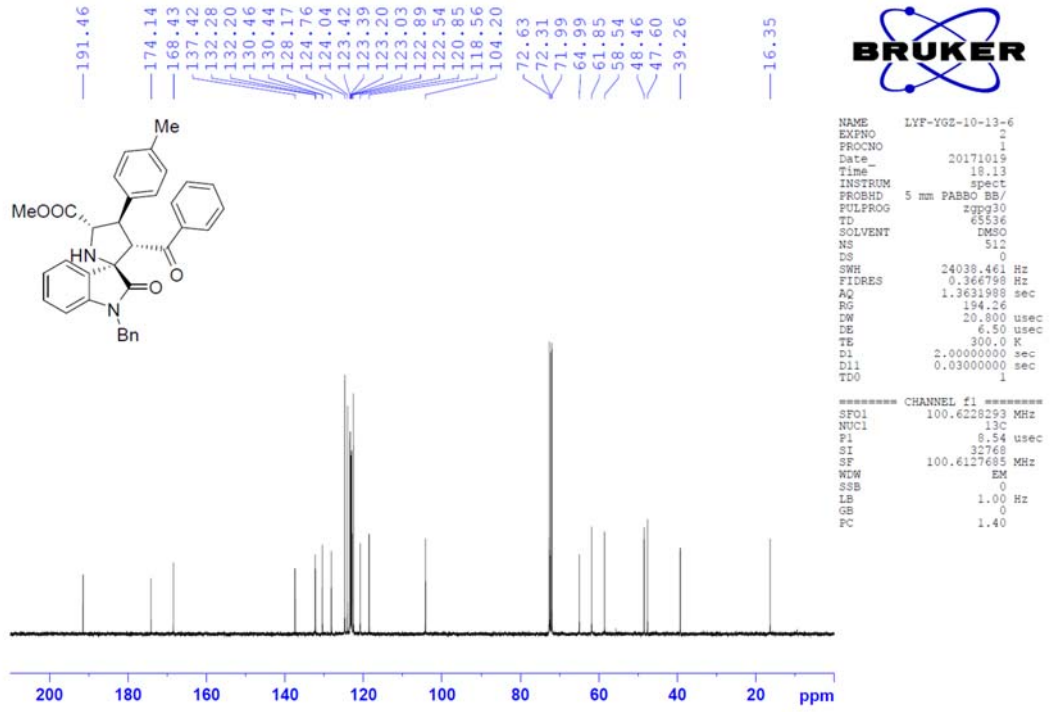
EXPNO                       3
PROCNO                      1
Date_              20171102
Time_                 19.42
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG                 zg30
TD                     65536
SOLVENT                CDCl3
NS                        12
DS                         0
SWH                8012.820 Hz
FIDRES                0.122266 Hz
AQ                 4.0894966 sec
RG                        41.07
DW                    62.400 usec
DE                     6.50 usec
TE                     300.0 K
D1                 1.00000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1             400.1324710 MHz
NUC1                       1H
P1                      8.04 usec
SI                     65536
SF               400.1300119 MHz
WDW                        EM
SSB                         0
LB                      0.30 Hz
GB                          0
PC                      1.00
```



BRUKER

```
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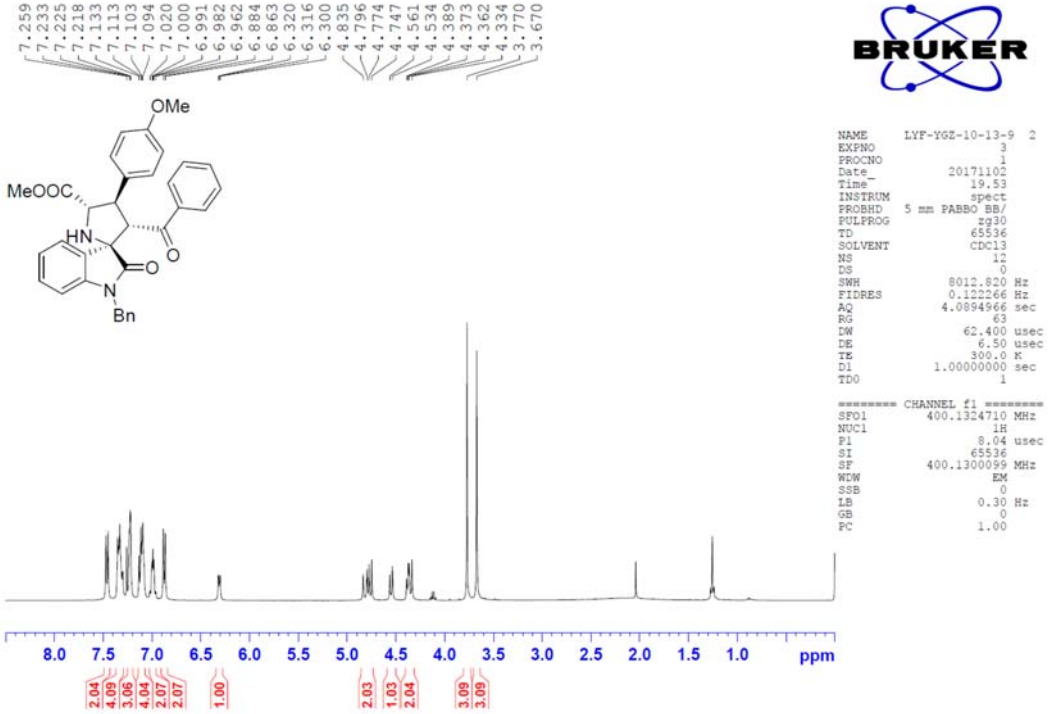
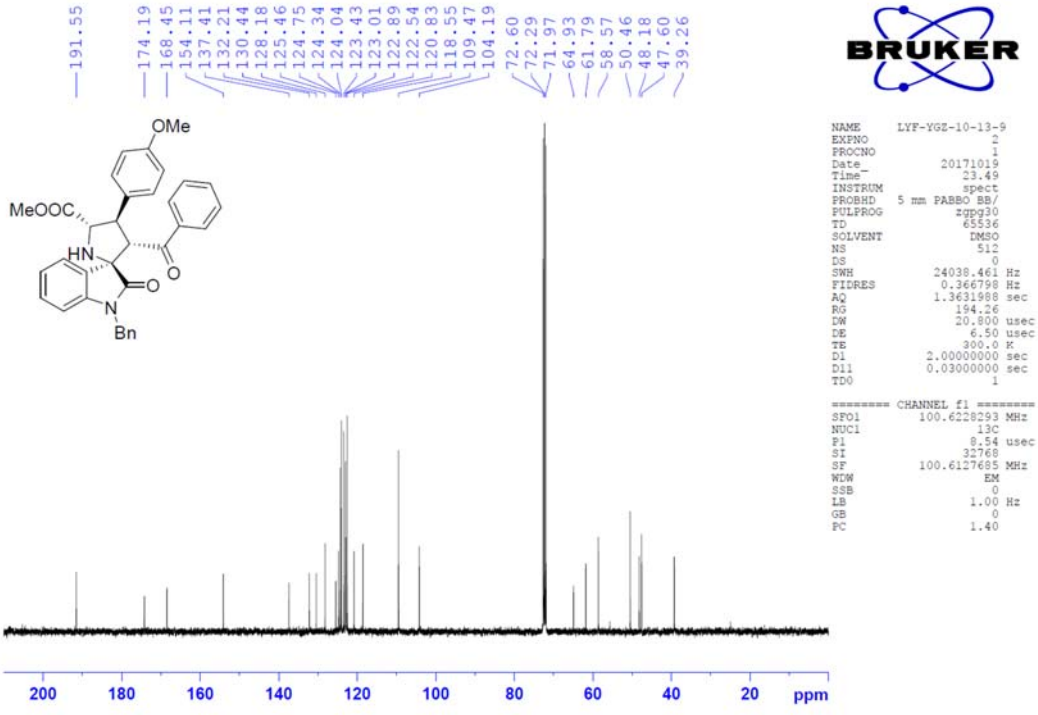
EXPNO                       2
PROCNO                      1
Date_              20171019
Time_                 18.13
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG              zgpg30
TD                     65536
SOLVENT                DMSO
NS                       512
DS                         0
SWH               24038.461 Hz
FIDRES                0.366798 Hz
AQ                 1.3631988 sec
RG                       194.26
DW                    20.800 usec
DE                     6.50 usec
TE                     300.0 K
D1                 2.00000000 sec
D11                0.03000000 sec
TD0                        1

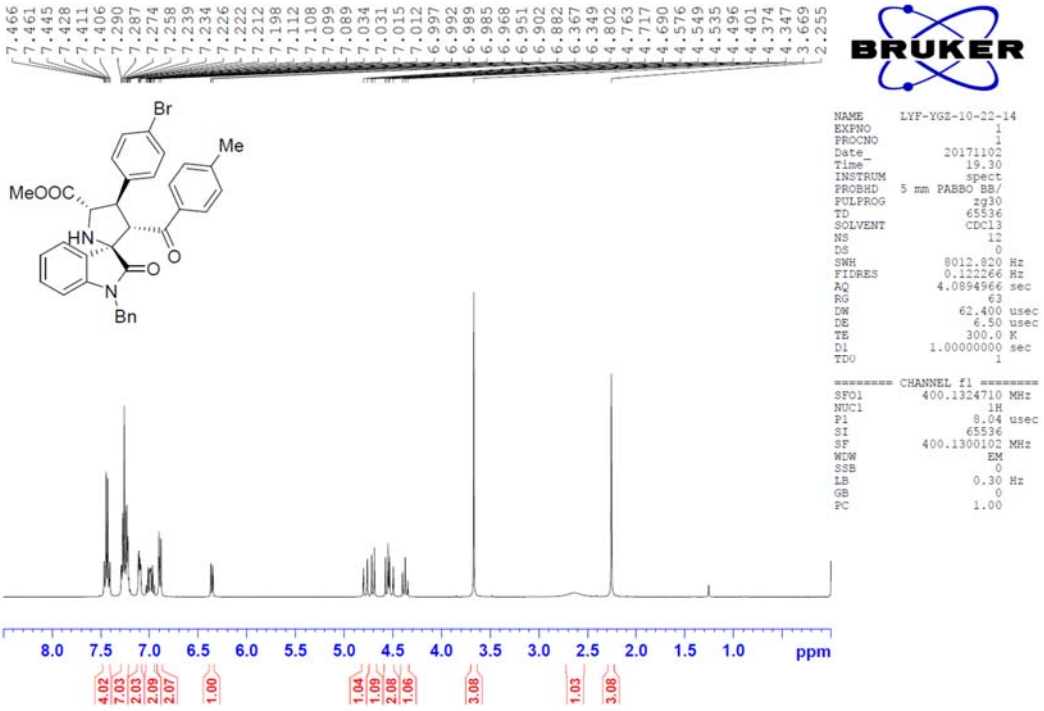
======== CHANNEL f1 ========
SFO1             100.6228293 MHz
NUC1                       13C
P1                      8.54 usec
SI                     32768
SF               100.6127685 MHz
WDW                        EM
SSB                         0
LB                      1.00 Hz
GB                          0
PC                      1.40
```

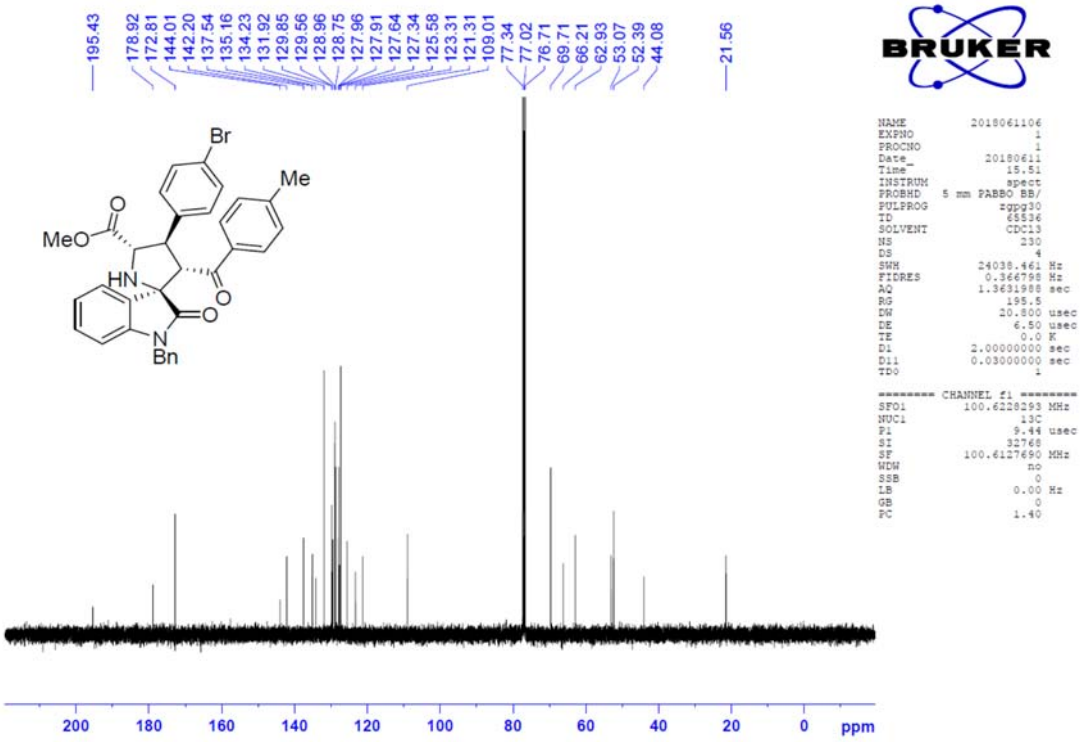
^{1}H NMR and ^{13}C NMR Spectra for Compound **7m**



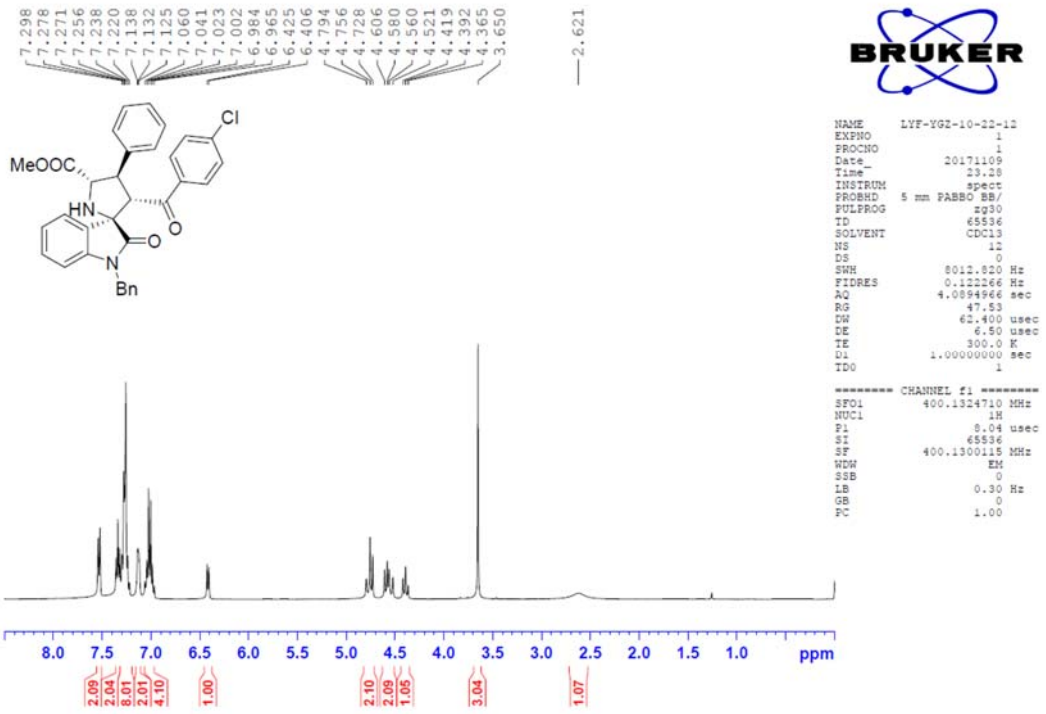


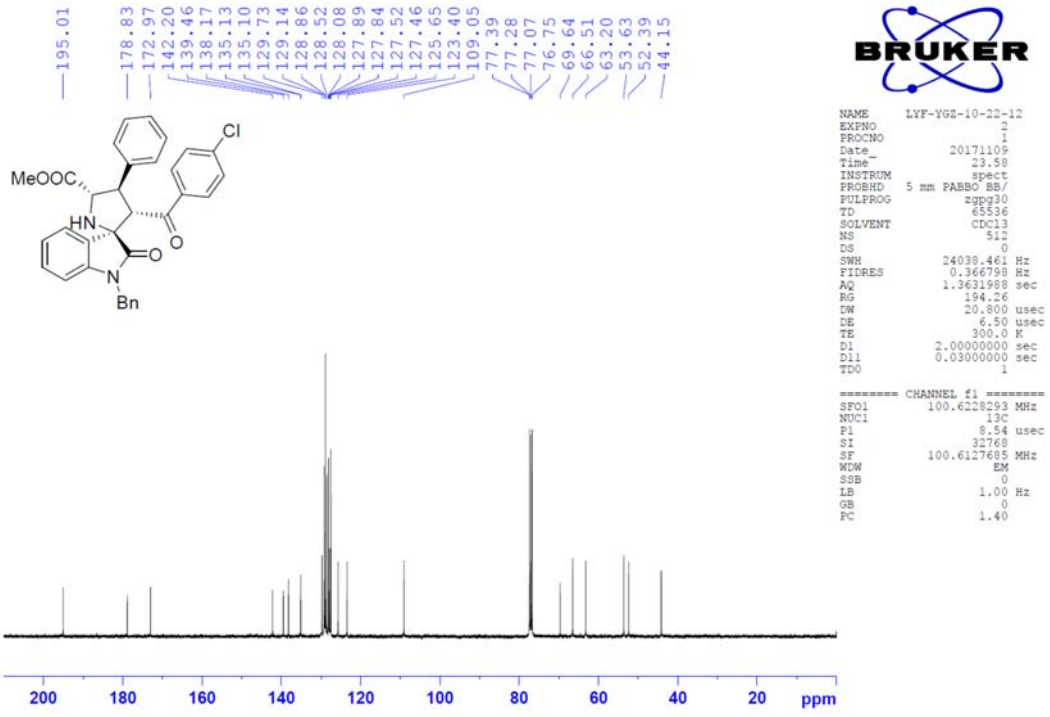
^{1}H NMR and ^{13}C NMR Spectra for Compound **7n**



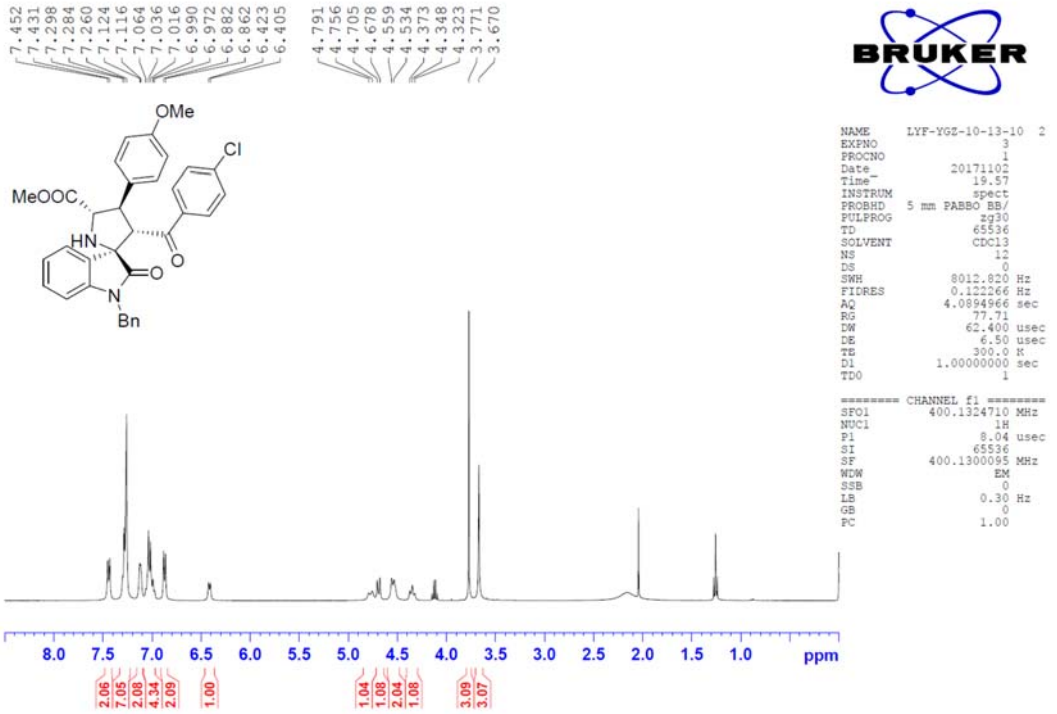


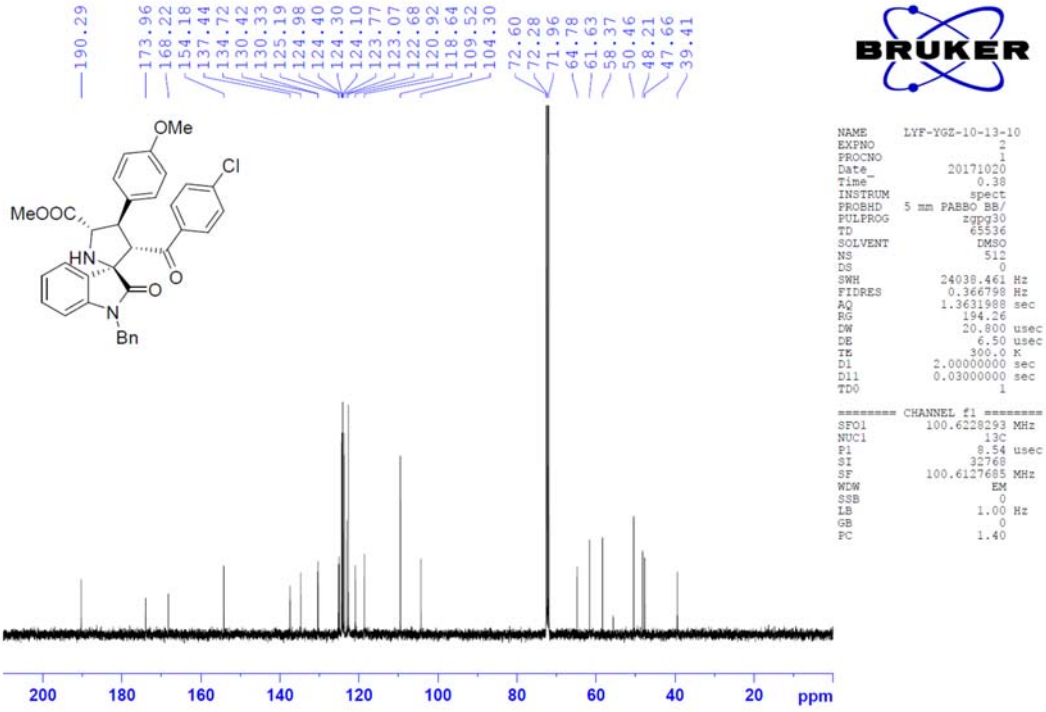
^{1}H NMR and ^{13}C NMR Spectra for Compound **7o**



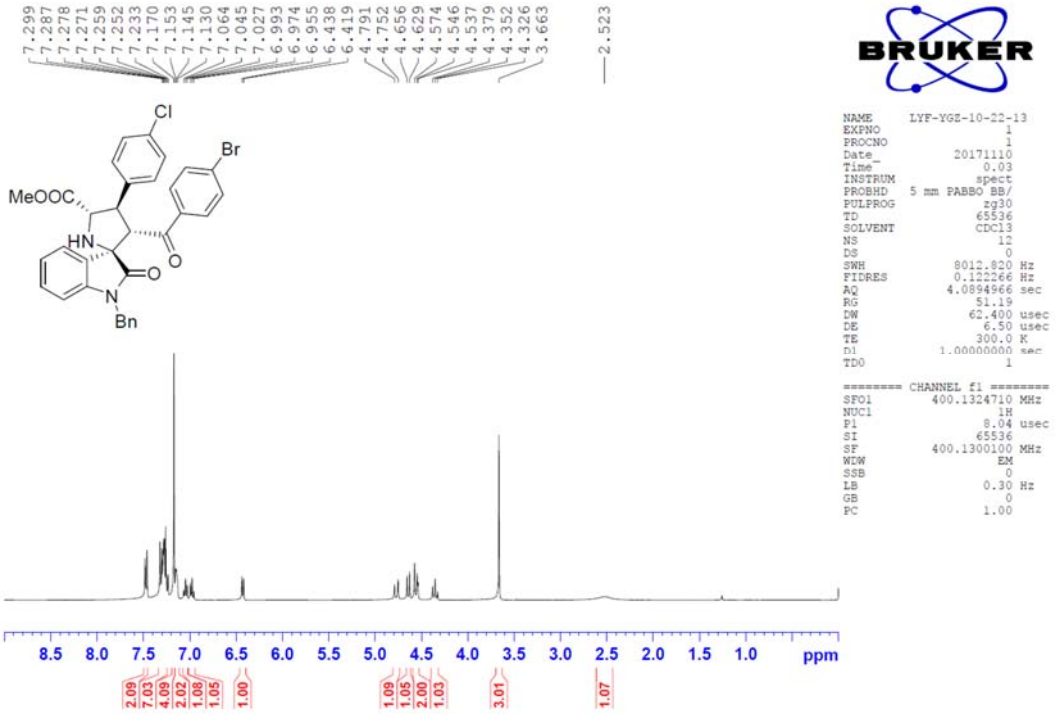


^{1}H NMR and ^{13}C NMR Spectra for Compound **7p**





^{1}H NMR and ^{13}C NMR Spectra for Compound **7q**



BRUKER

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EXPNO                        1
PROCNO                       1
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Time                     0.03
INSTRUM                  spect
PROBHD   5 mm PABBO BB/
PULPROG                  zg30
TD                      65536
SOLVENT                 CDCl3
NS                         12
DS                          0
SWH                  8012.820 Hz
FIDRES               0.122266 Hz
AQ                   4.0894966 sec
RG                       51.19
DW                      62.400 usec
DE                       6.50 usec
TE                      300.0 K
D1                   1.00000000 sec
TD0                          1

======== CHANNEL f1 ========
SFO1            400.1324710 MHz
NUC1                       1H
P1                       8.04 usec
SI                      65536
SF              400.1300100 MHz
WDW                        EM
SSB                         0
LB                       0.30 Hz
GB                          0
PC                       1.00
```
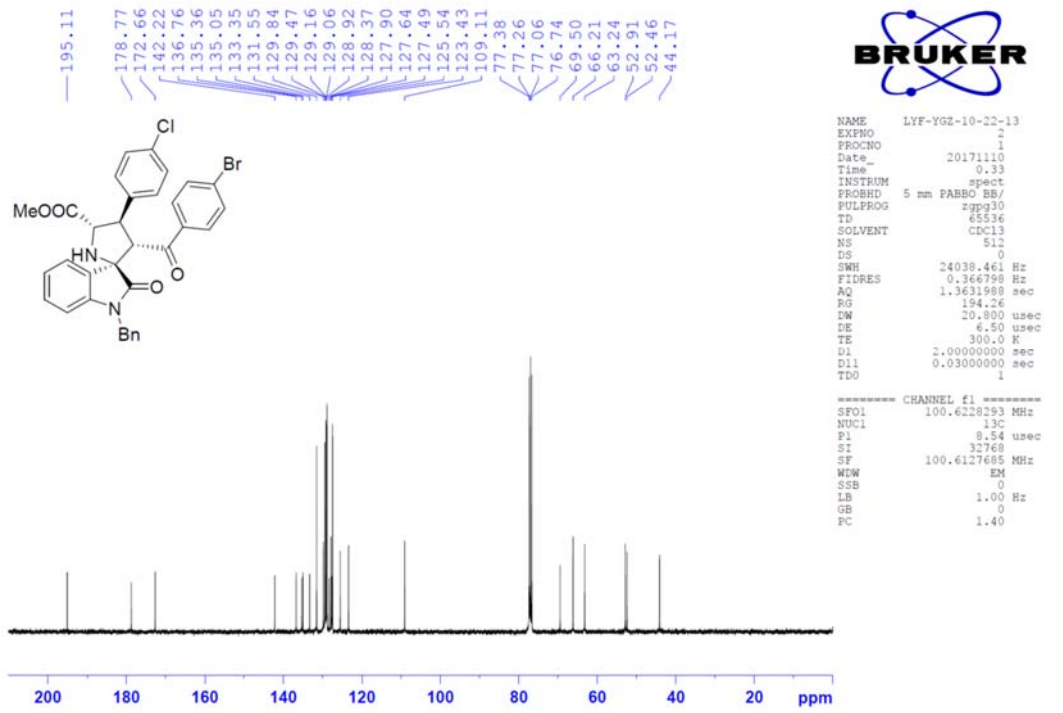
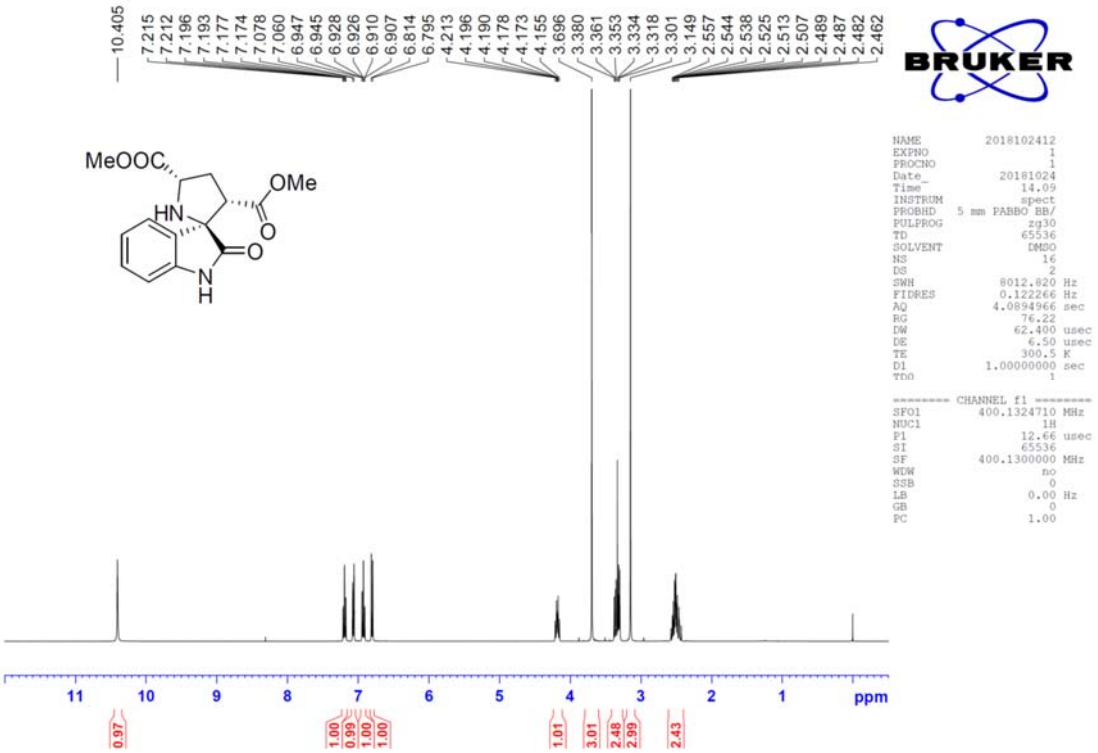
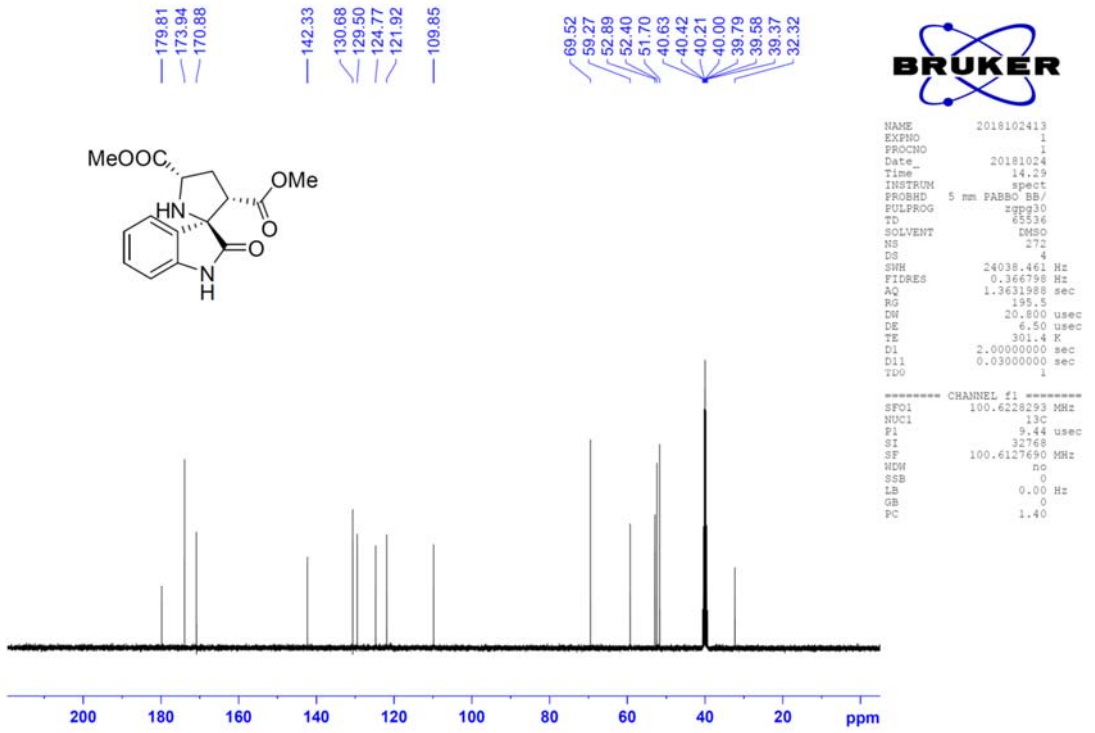



BRUKER

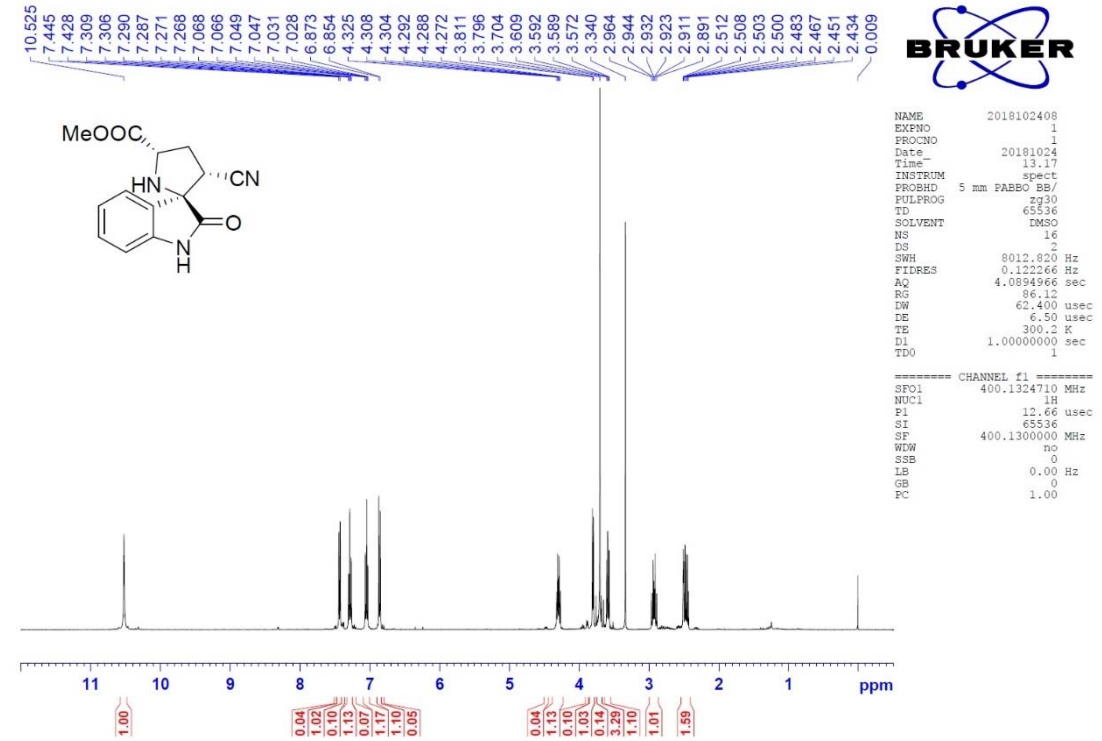
```
NAME          LYF-YGZ-10-22-13
EXPNO                        2
PROCNO                       1
Date_              20171110
Time                     0.33
INSTRUM                  spect
PROBHD   5 mm PABBO BB/
PULPROG                zgpg30
TD                      65536
SOLVENT                 CDCl3
NS                        512
DS                          0
SWH                 24038.461 Hz
FIDRES               0.366798 Hz
AQ                   1.3631988 sec
RG                      194.26
DW                      20.800 usec
DE                       6.50 usec
TE                      300.0 K
D1                   2.00000000 sec
D11                  0.03000000 sec
TD0                          1

======== CHANNEL f1 ========
SFO1            100.6228293 MHz
NUC1                       13C
P1                       9.54 usec
SI                      32768
SF              100.6127685 MHz
WDW                        EM
SSB                         0
LB                       1.00 Hz
GB                          0
PC                       1.40
```

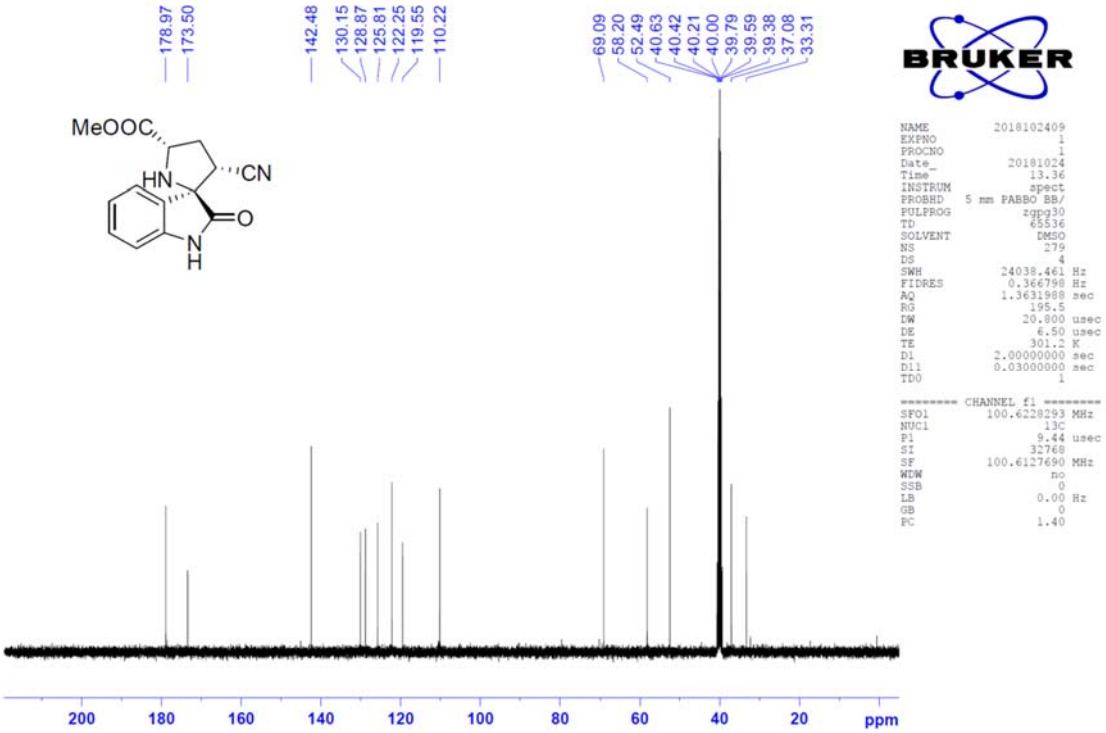
^{1}H NMR and ^{13}C NMR Spectra for Compound **9a**



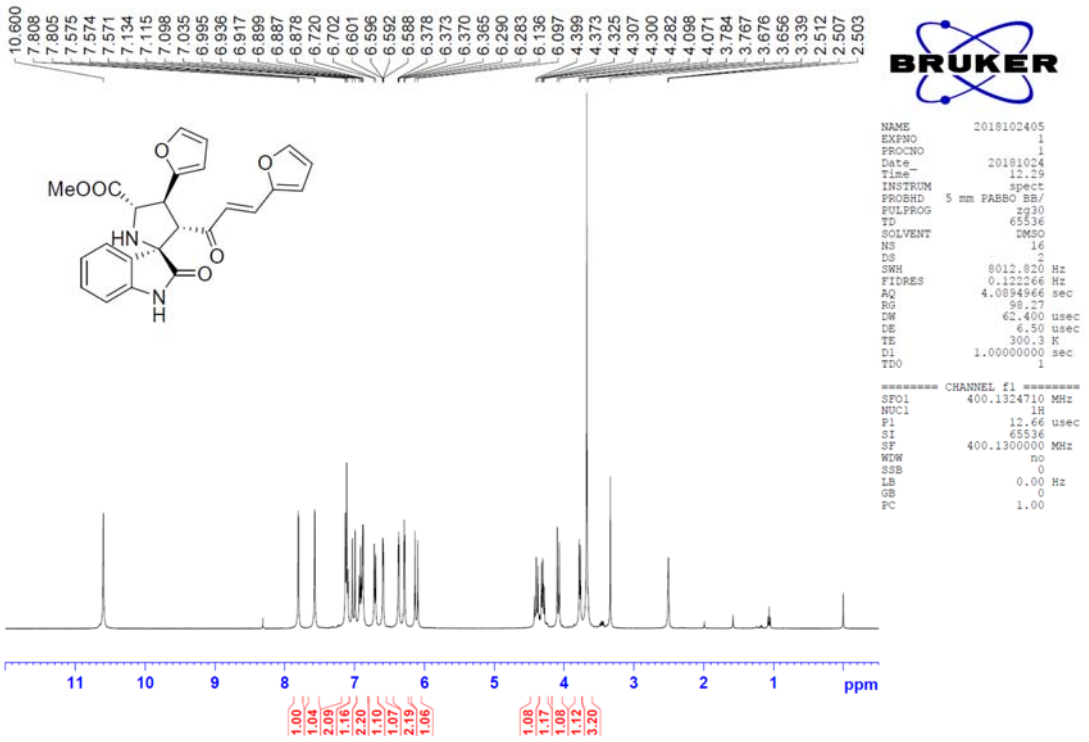


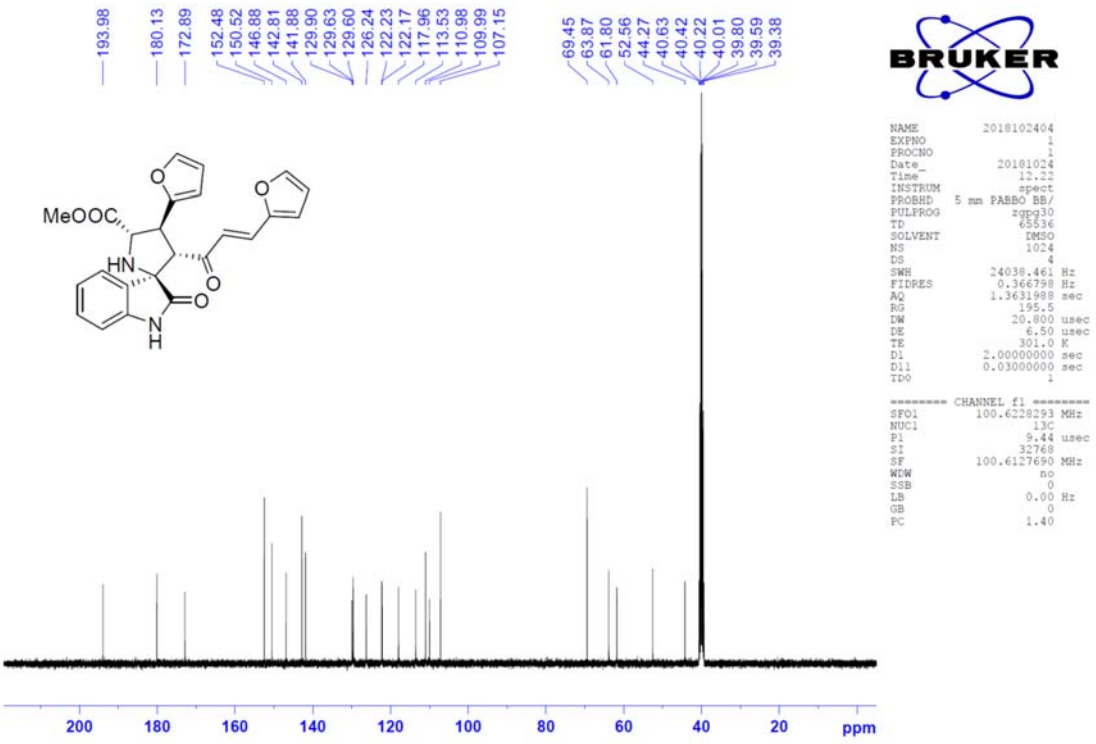
^{1}H NMR and ^{13}C NMR Spectra for Compound **9b**



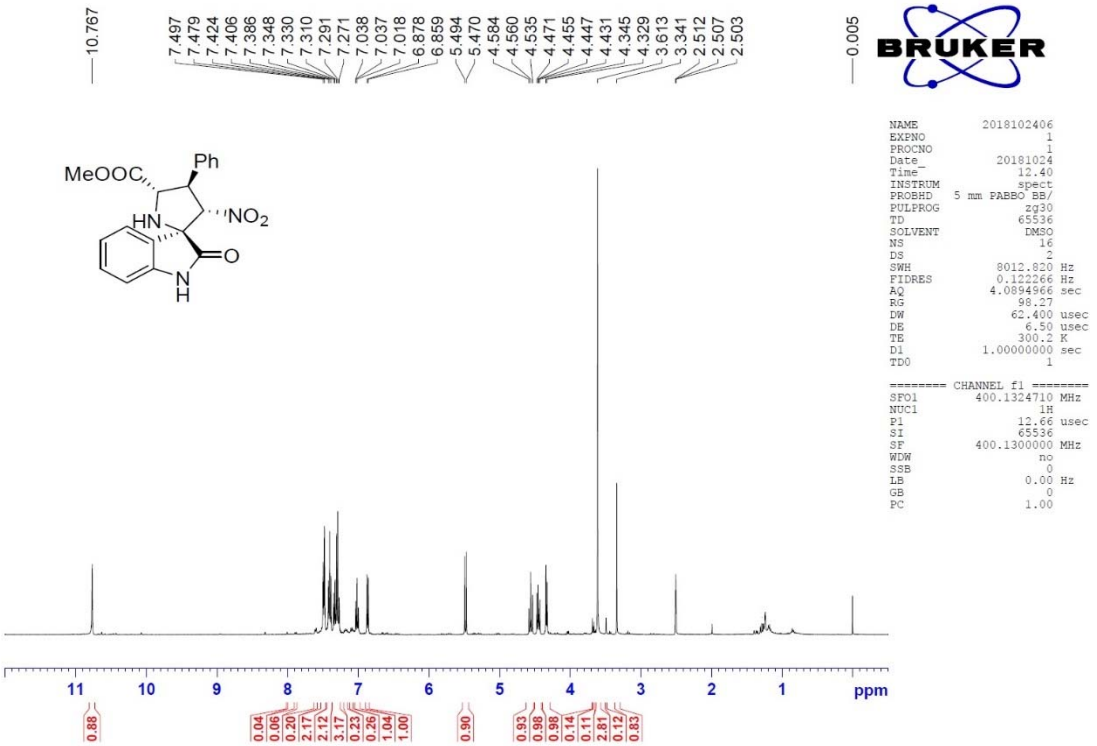


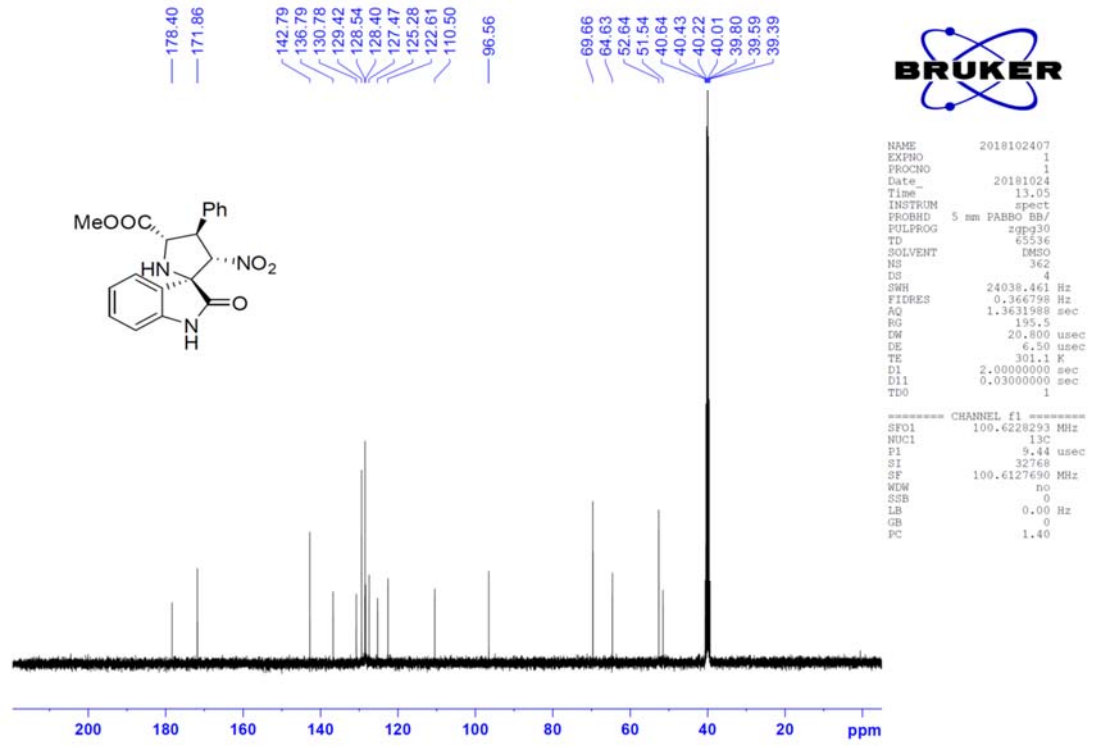
^{1}H NMR and ^{13}C NMR Spectra for Compound **9c**



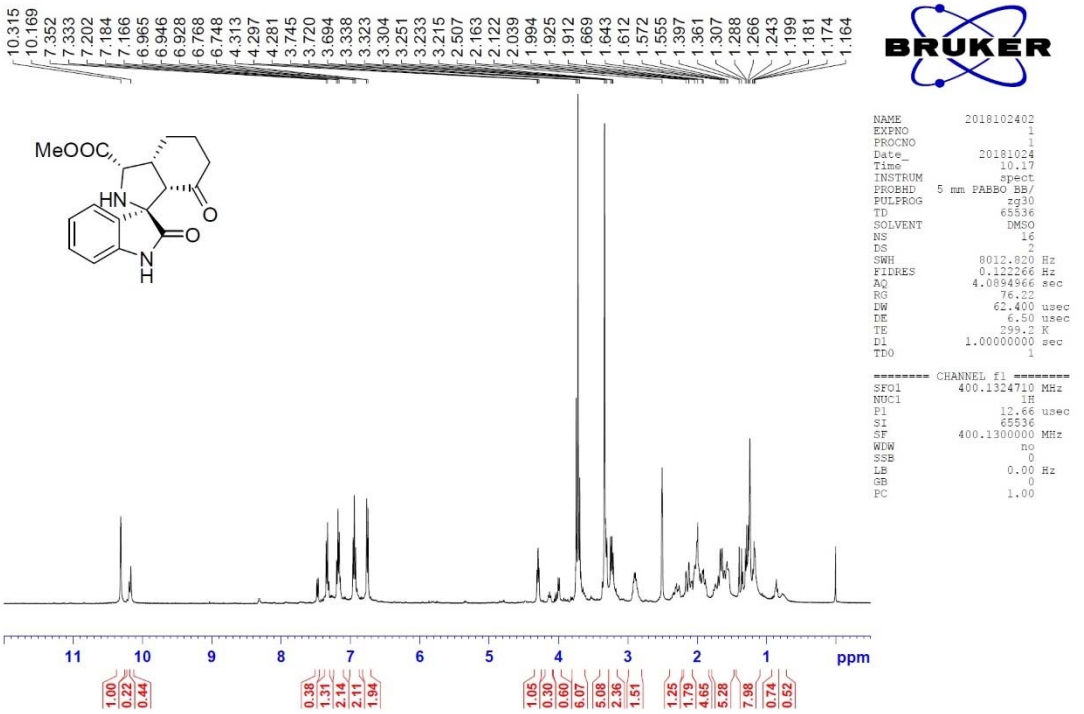


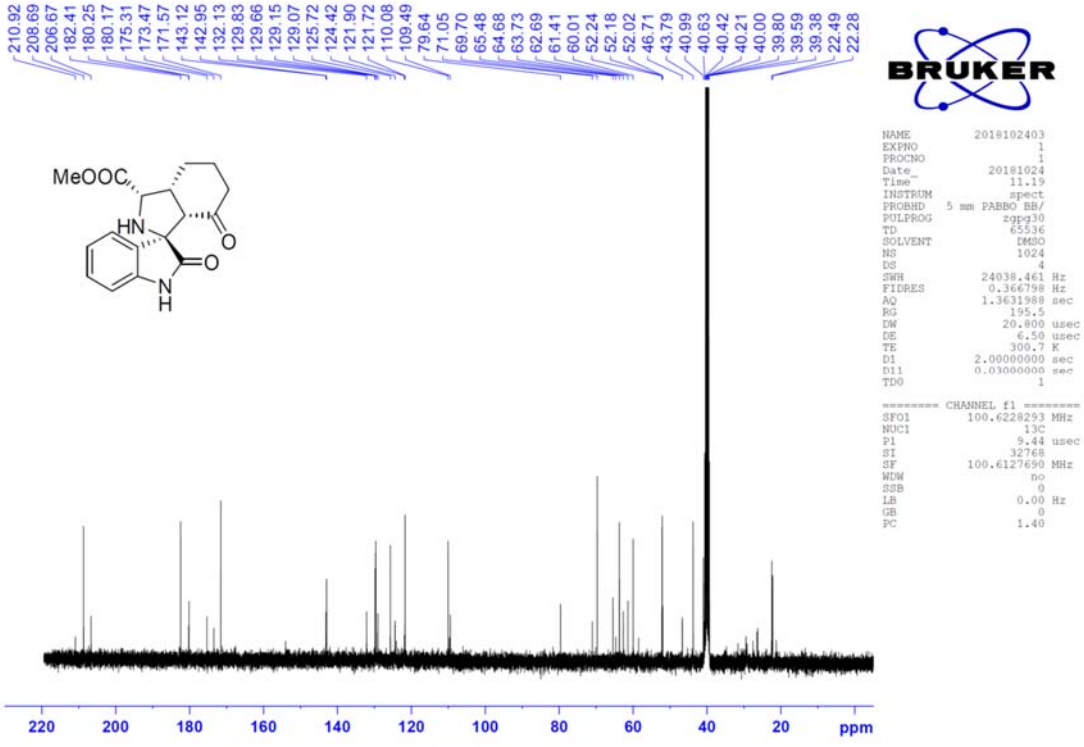
^{1}H NMR and ^{13}C NMR Spectra for Compound **9d**



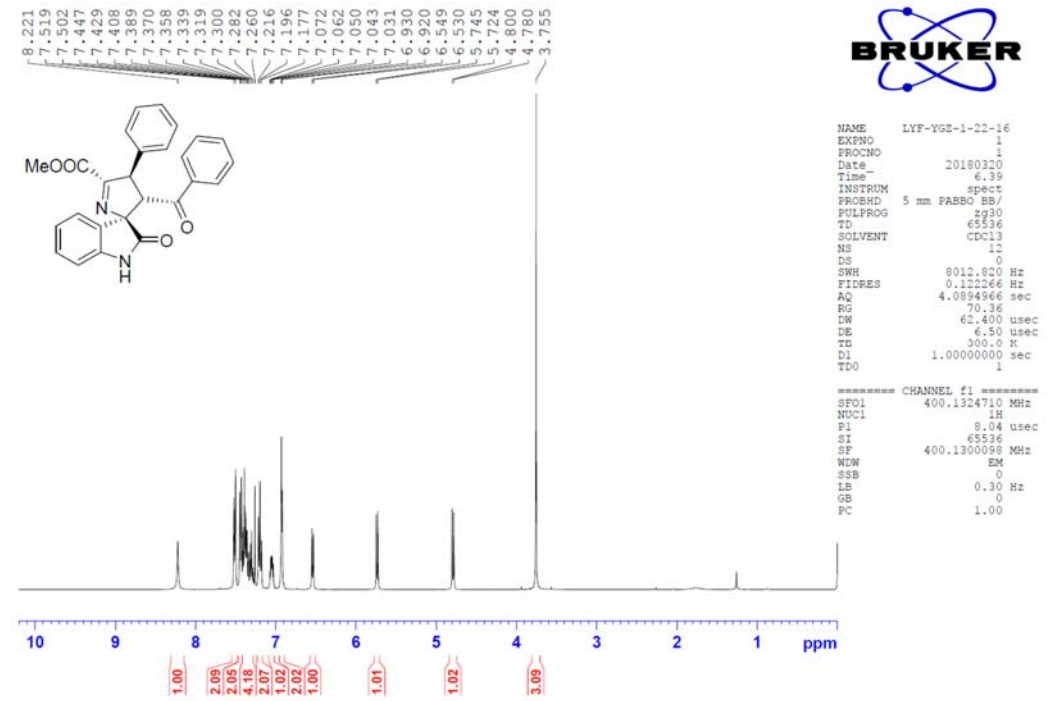


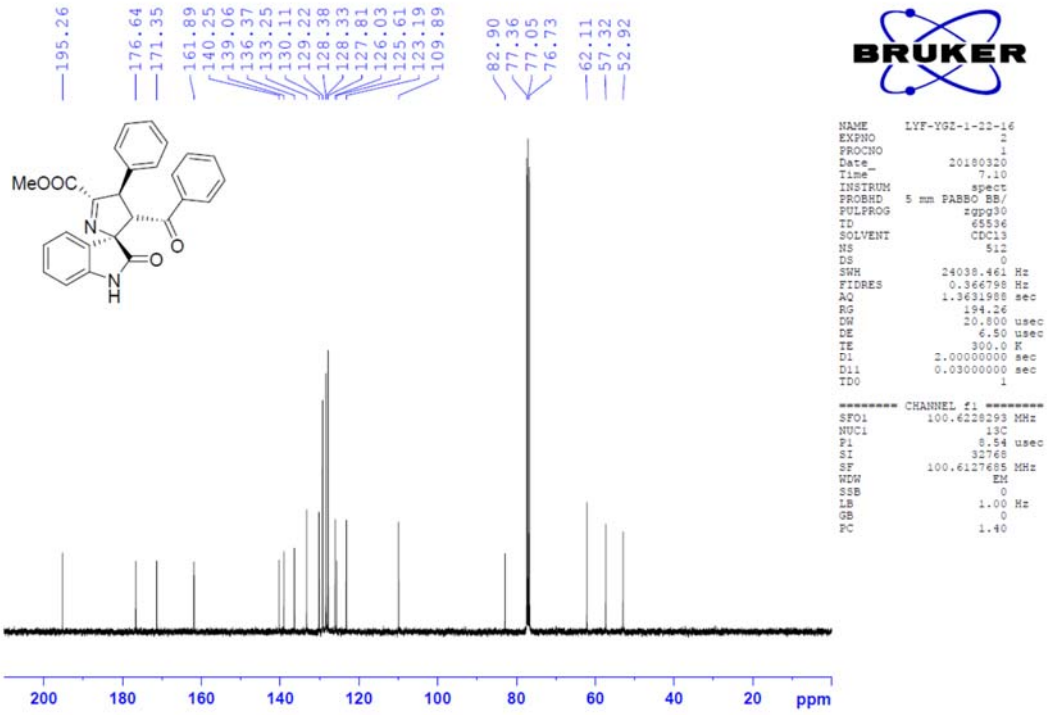
^{1}H NMR and ^{13}C NMR Spectra for Compound **9e**



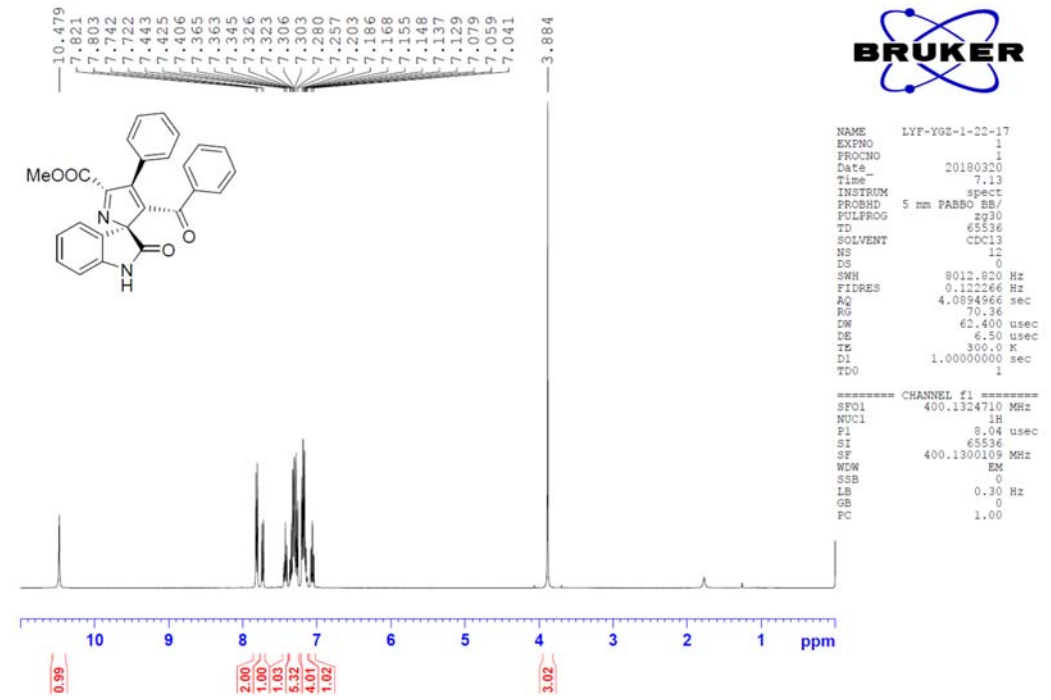


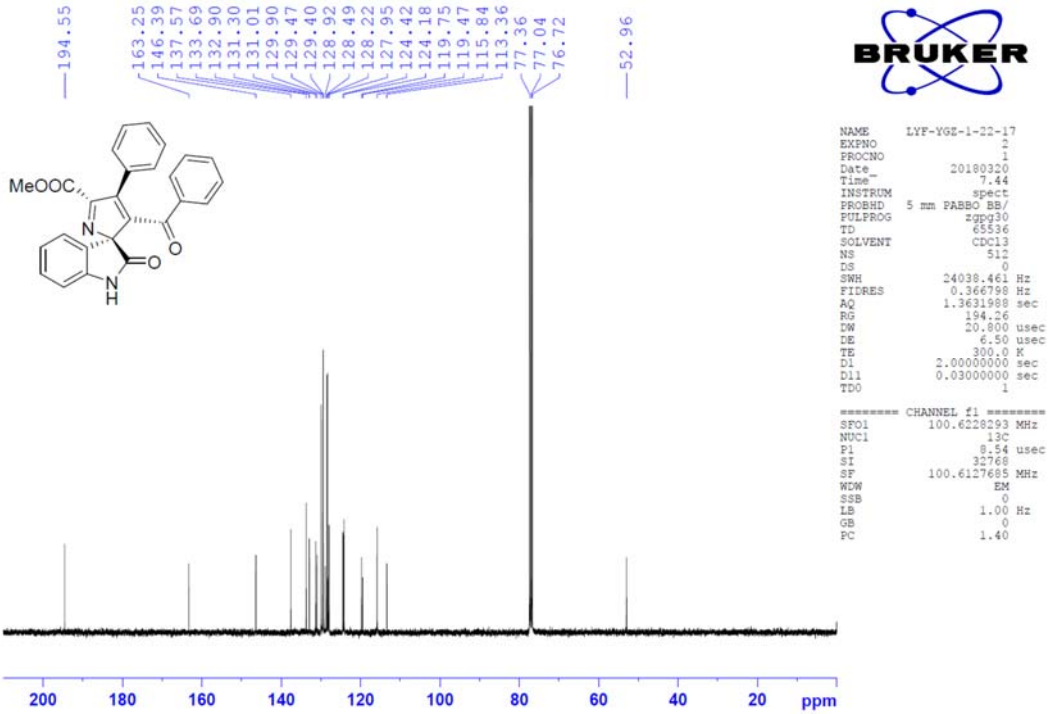
^{1}H NMR and ^{13}C NMR Spectra for Compound **10a**



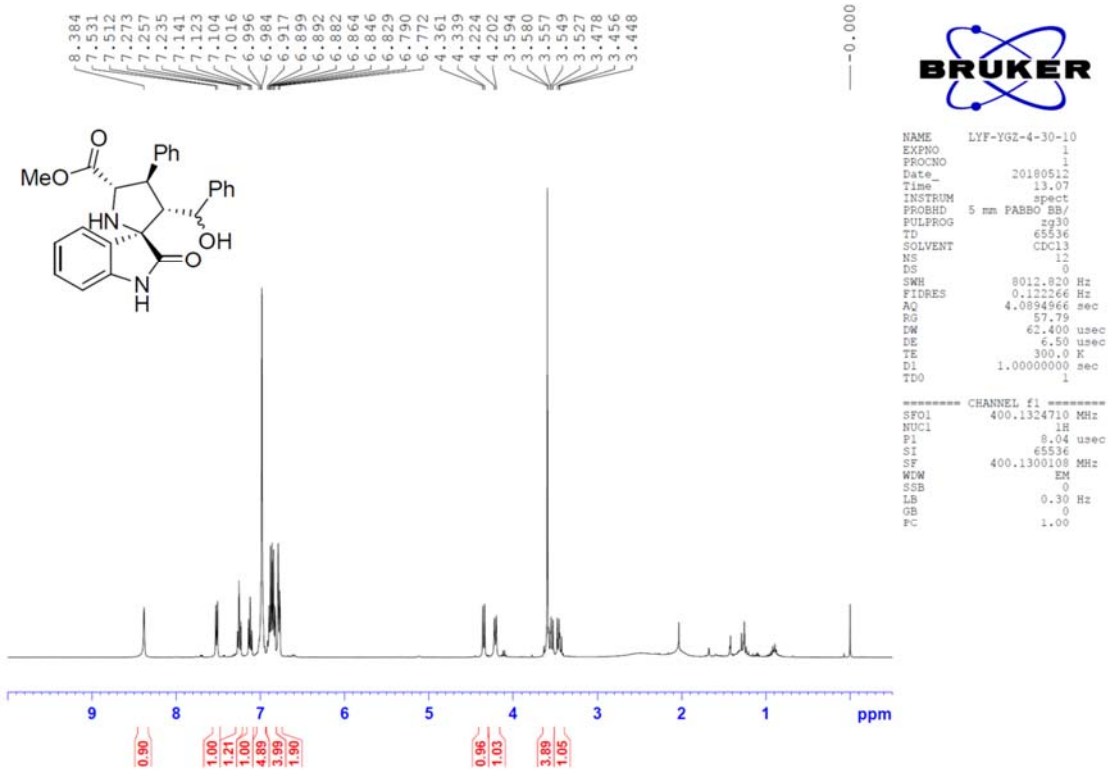


^{1}H NMR and ^{13}C NMR Spectra for Compound **10b**





^{1}H NMR and ^{13}C NMR Spectra for Compound **10c**




```
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EXPNO                       1
PROCNO                      1
Date_            20180512
Time                    13.07
INSTRUM                 spect
PROBHD   5 mm PABBO BB/
PULPROG                 zg30
TD                     65536
SOLVENT                CDCl3
NS                         12
DS                          0
SWH                8012.820 Hz
FIDRES            0.122266 Hz
AQ                4.0894966 sec
RG                     57.79
DW                    62.400 usec
DE                     6.50 usec
TE                    300.0 K
D1                1.00000000 sec
TD0                         1

======== CHANNEL f1 ========
SFO1           400.1324710 MHz
NUC1                       1H
P1                       8.04 usec
SI                      65536
SF             400.1300108 MHz
WDW                        EM
SSB                         0
LB                       0.30 Hz
GB                          0
PC                       1.00
```
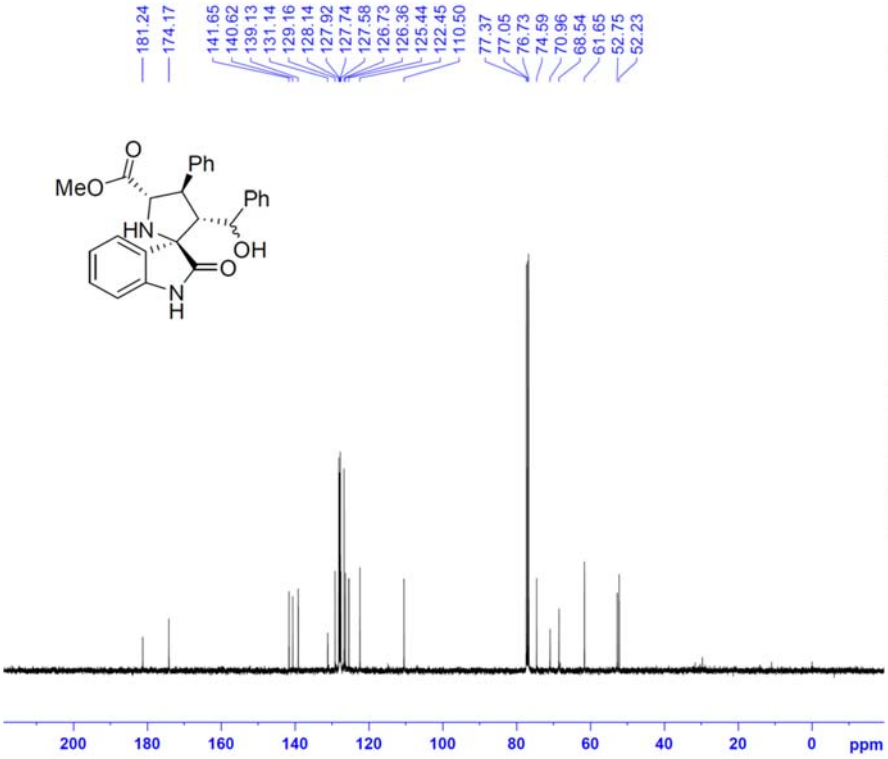
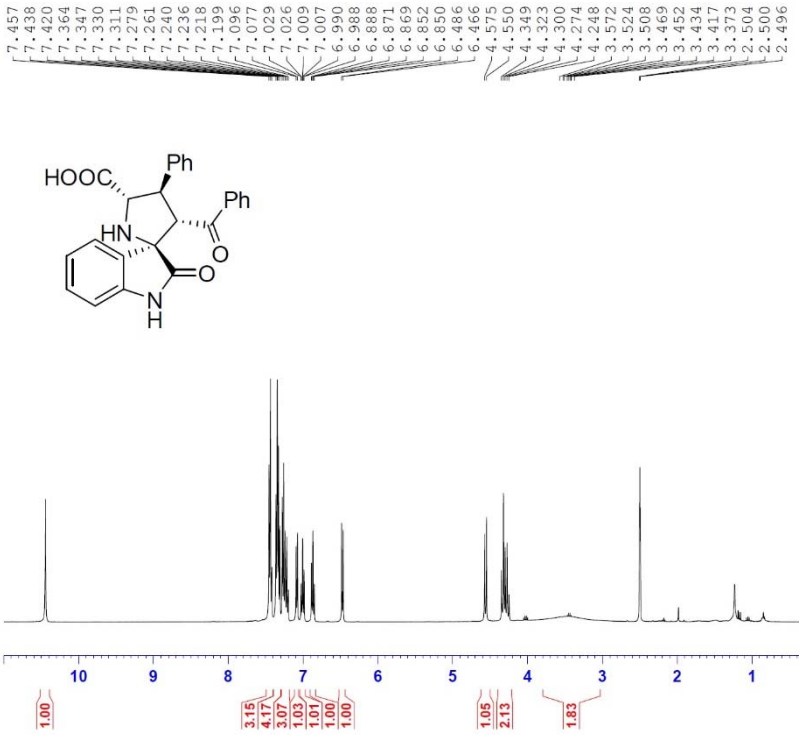



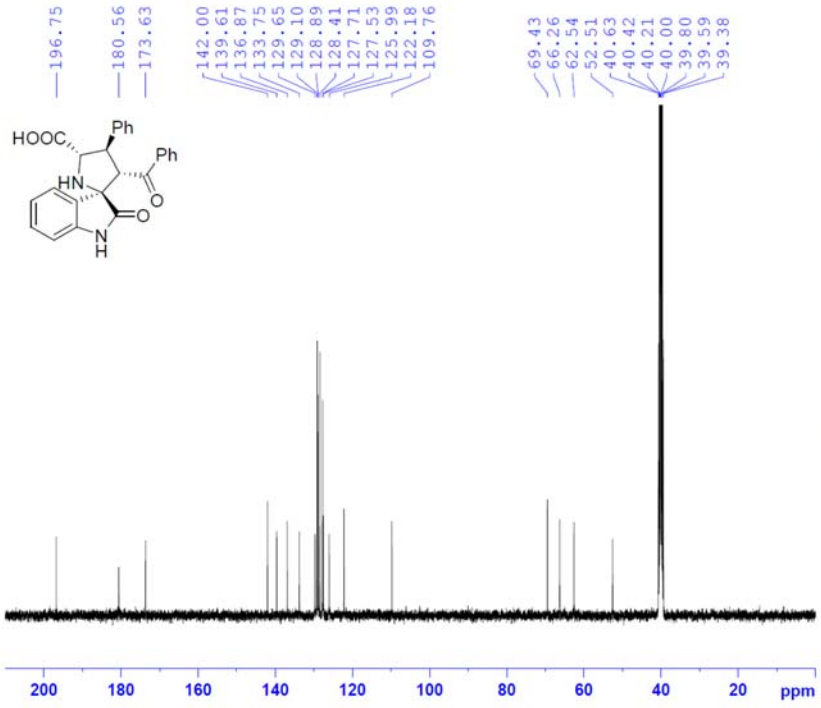

```
NAME          LYF-YGZ-4-30-10
EXPNO                       2
PROCNO                      1
Date_            20180512
Time                    13.37
INSTRUM                 spect
PROBHD   5 mm PABBO BB/
PULPROG              zgpg30
TD                     65536
SOLVENT                CDCl3
NS                        512
DS                          0
SWH               24038.461 Hz
FIDRES            0.366798 Hz
AQ                1.3631988 sec
RG                     194.26
DW                    20.800 usec
DE                     6.50 usec
TE                    300.0 K
D1                2.00000000 sec
D11               0.03000000 sec
TD0                         1

======== CHANNEL f1 ========
SFO1           100.6228293 MHz
NUC1                       13C
P1                       8.54 usec
SI                      32768
SF             100.6127685 MHz
WDW                        EM
SSB                         0
LB                       1.00 Hz
GB                          0
PC                       1.40
```

^{1}H NMR and ^{13}C NMR Spectra for Compound **10d**



BRUKER

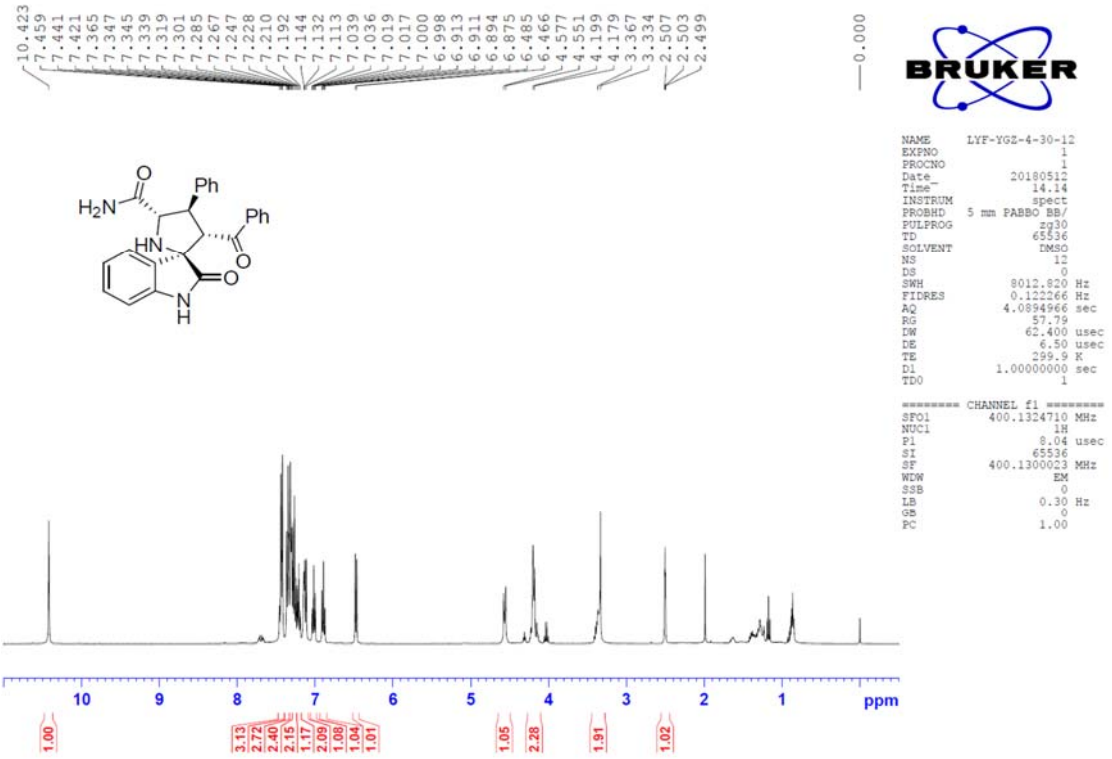
```
NAME          LYF-YGZ-4-30-11
EXPNO                      11
PROCNO                      1
Date_               20180512
Time                   13.41
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG                zg30
TD                    65536
SOLVENT                DMSO
NS                       128
DS                         0
SWH                8012.820 Hz
FIDRES             0.122266 Hz
AQ                 4.0894966 sec
RG                     70.36
DW                    62.400 usec
DE                     6.50 usec
TE                    299.9 K
D1                 1.00000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1           400.1324710 MHz
NUC1                     1H
P1                     8.04 usec
SI                    65536
SF             400.1300035 MHz
WDW                      EM
SSB                       0
LB                     0.30 Hz
GB                        0
PC                     1.00
```

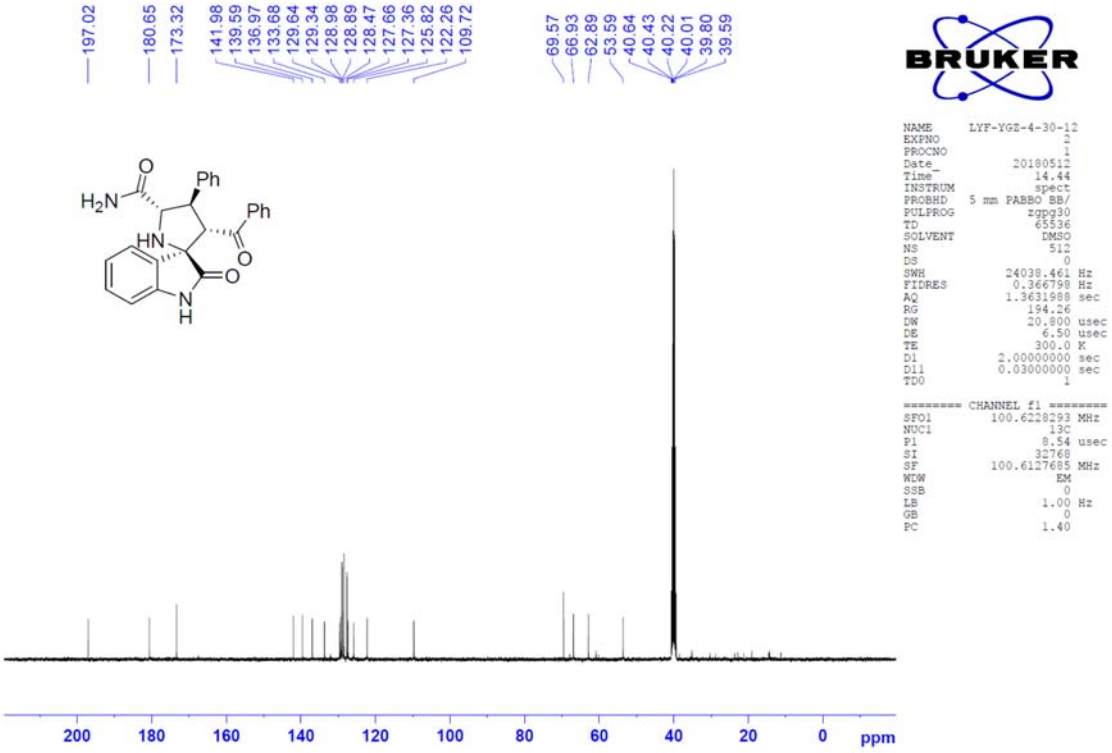


BRUKER

```
NAME          LYF-YGZ-4-30-11
EXPNO                       2
PROCNO                      1
Date_               20180512
Time                   14.11
INSTRUM                spect
PROBHD   5 mm PABBO BB/
PULPROG             zgpg30
TD                    65536
SOLVENT                DMSO
NS                       512
DS                         0
SWH               24038.461 Hz
FIDRES             0.366798 Hz
AQ                 1.3631988 sec
RG                    194.26
DW                    20.800 usec
DE                     6.50 usec
TE                    300.0 K
D1                 2.00000000 sec
D11                0.03000000 sec
TD0                        1

======== CHANNEL f1 ========
SFO1           100.6228293 MHz
NUC1                     13C
P1                     8.54 usec
SI                    32768
SF             100.6127685 MHz
WDW                      EM
SSB                       0
LB                     1.00 Hz
GB                        0
PC                     1.40
```

^{1}H NMR and ^{13}C NMR Spectra for Compound **10e**





Crystal data for compound **4a**

Single crystals of $C_{26}H_{22}N_2O_4$ were recrystallized from EtOH at room temperature for 5 days, mounted in inert oil and transferred to the cold gas stream of the diffractometer.

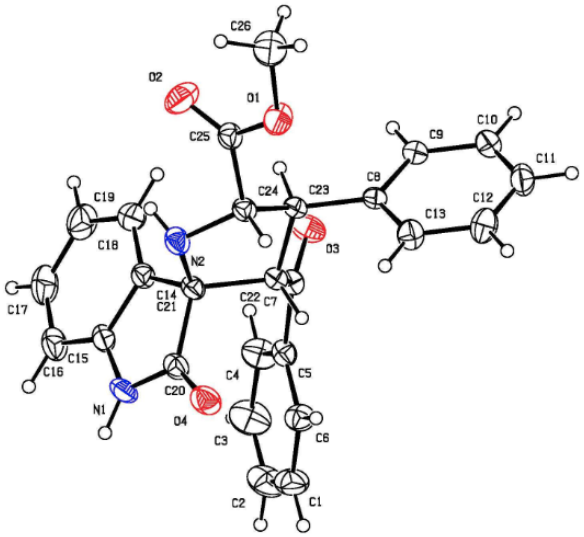


Figure 1. The atom serial numbers and molecular packing of **4a** in X-ray analysis

161228_s1_lgz_m

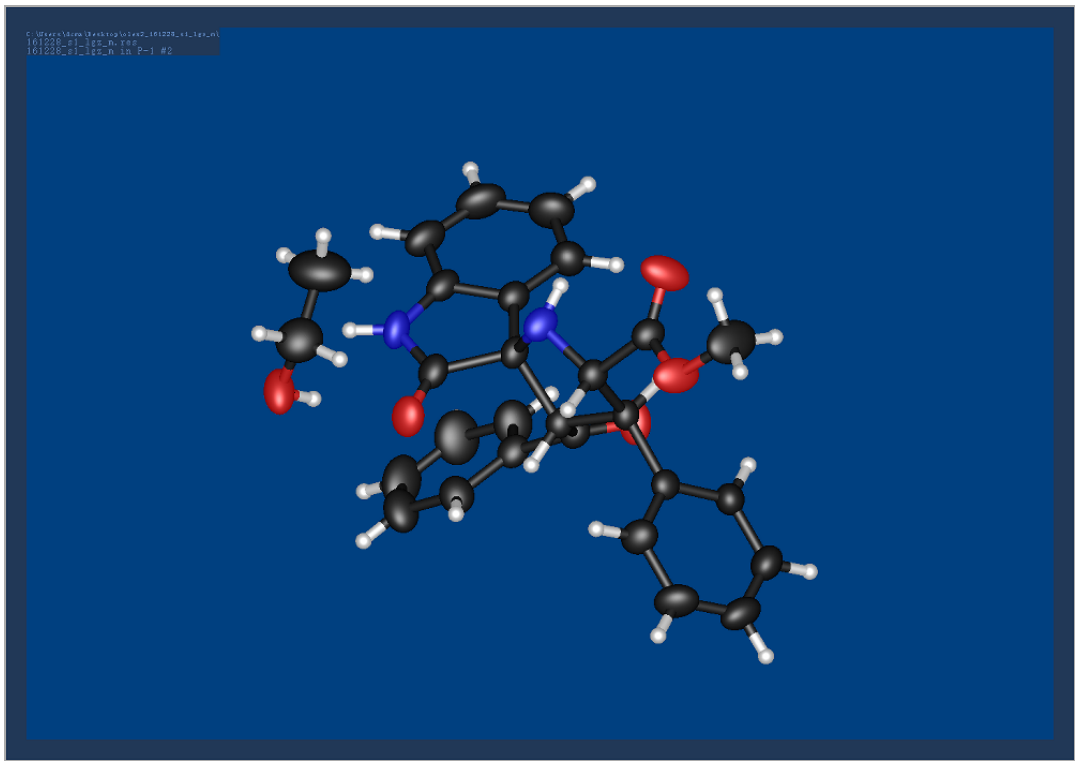


Table 1 Crystal data and structure refinement for 161228_s1_lgz_m.

Identification code	161228_s1_lgz_m
Empirical formula	$C_{28}H_{28}N_2O_5$
Formula weight	472.52
Temperature/K	293.15
Crystal system	triclinic
Space group	P-1
a/Å	8.7731(6)
b/Å	12.3585(8)
c/Å	12.5316(9)
α/°	96.327(5)
β/°	103.909(6)
γ/°	106.988(6)
Volume/Å^3	1237.27(14)
Z	2
ρ_{calc}g/cm^3	1.268
μ/mm^{-1}	0.087
F(000)	500.0
Crystal size/mm^3	0.3 × 0.2 × 0.2
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	6.58 to 50
Index ranges	$-10 \leqslant h \leqslant 9$, $-14 \leqslant k \leqslant 14$, $-14 \leqslant l \leqslant 14$
Reflections collected	9081
Independent reflections	4342 [R_{int} = 0.0227, R_{sigma} = 0.0439]
Data/restraints/parameters	4342/0/323
Goodness-of-fit on F^2	1.076

Final R indexes [I>=2σ (I)]	R_1 = 0.0495, wR_2 = 0.1189
Final R indexes [all data]	R_1 = 0.0695, wR_2 = 0.1301
Largest diff. peak/hole / e Å^{-3}	0.18/-0.21

Table 2 Fractional Atomic Coordinates ($\times 10^4$) and Equivalent Isotropic Displacement Parameters ($Å^2 \times 10^3$) for 161228_s1_lgz_m. U_{eq} is defined as 1/3 of of the trace of the orthogonalised U_{IJ} tensor.

Atom	x	y	z	U(eq)
O1	9246.3(17)	4611.7(12)	1391.9(12)	60.6(4)
O2	8489.6(19)	5557.0(12)	2669.9(14)	68.5(4)
O3	1984.0(15)	3087.3(11)	658.8(11)	50.9(4)
O4	5423.0(17)	961.5(12)	3052.5(11)	53.8(4)
N1	3986.3(19)	1677.2(13)	4094.7(12)	44.3(4)
N2	6620.0(19)	3501.4(16)	3045.5(13)	42.6(4)
C1	63(3)	-569.0(18)	1581.4(18)	60.9(6)
C2	-1265(3)	-344(2)	1830(2)	72.0(7)
C3	-1405(3)	727(2)	1844(2)	76.6(7)
C4	-225(3)	1583.7(19)	1596.8(18)	57.8(6)
C5	1133(2)	1384.7(15)	1355.8(14)	37.0(4)
C6	1286(2)	300.3(16)	1354.9(16)	47.3(5)
C7	2386(2)	2351.5(14)	1105.5(14)	34.0(4)
C8	5331(2)	2992.5(14)	-116.8(14)	33.7(4)
C9	4526(2)	3467.2(14)	-935.9(14)	41.8(5)
C10	4423(3)	3156.6(17)	-2048.6(16)	51.1(5)
C11	5121(3)	2371.5(18)	-2366.9(16)	54.2(6)
C12	5908(3)	1876.6(19)	-1577.0(17)	57.1(6)
C13	6009(2)	2188.7(16)	-459.0(16)	47.3(5)
C14	3904(2)	3303.4(15)	3418.7(14)	37.3(4)
C15	3409(2)	2620.6(16)	4163.7(14)	40.2(4)
C16	2462(3)	2875.1(19)	4820.2(16)	54.5(5)
C17	2025(3)	3851(2)	4726.8(18)	66.2(6)
C18	2534(3)	4556(2)	4012.8(19)	64.9(6)
C19	3477(3)	4284.4(16)	3349.9(17)	51.6(5)
C20	4814(2)	1683.0(16)	3320.3(15)	39.6(4)
C21	4875(2)	2771.7(14)	2813.6(13)	34.3(4)
C22	4187(2)	2428.9(14)	1502.1(13)	31.4(4)
C23	5393(2)	3336.0(13)	1087.8(13)	31.1(4)
C24	7040(2)	3545.9(14)	1991.5(13)	34.4(4)
C25	8331(2)	4690.3(16)	2072.1(15)	38.4(4)
C26	10511(3)	5676(2)	1387(2)	80.5(8)
O5	7005.5(19)	-40.5(11)	4639.7(12)	64.7(5)
C27	8513(3)	860(2)	5189(2)	74.0(7)
C28	8306(4)	1832(3)	5826(3)	107.1(11)

Table 3 Anisotropic Displacement Parameters ($Å^2 \times 10^3$) for 161228_s1_lgz_m. The Anisotropic displacement factor exponent takes the form: $-2\pi^2[h^2a^{*2}U_{11}+2hka^*b^*U_{12}+\cdots]$.

Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	U_{12}
O1	54.4(9)	57.8(9)	57.0(9)	-3.5(7)	33.0(7)	-8.6(7)
O2	70.9(11)	44.6(9)	81.5(11)	-8.2(8)	39.7(9)	-0.4(7)
O3	44.5(8)	57.6(8)	61.7(9)	29.7(7)	17.0(6)	25.2(7)
O4	65.8(10)	55.4(8)	51.7(8)	22.9(7)	17.4(7)	32.3(7)
N1	50.4(10)	46.4(9)	39.1(9)	22.3(7)	16.6(7)	12.3(8)
N2	37.5(9)	50.0(11)	34.7(9)	9.3(8)	11.6(7)	4.6(8)
C1	52.8(14)	47.8(12)	66.0(14)	18.8(11)	5.1(11)	-0.2(10)
C2	45.1(14)	81.6(18)	78.2(17)	34.8(14)	17.5(12)	-2.2(12)
C3	48.7(15)	89.2(19)	100(2)	34.3(16)	37.8(14)	16.3(13)
C4	43.3(13)	65.2(14)	75.1(15)	25.0(12)	28.1(11)	19.4(10)
C5	29.4(10)	45.2(10)	33.5(9)	9.3(8)	6.2(7)	9.6(8)
C6	39.2(11)	45.3(11)	52.2(12)	11.8(9)	8.7(9)	8.8(9)
C7	35.1(10)	39.5(10)	30.3(9)	8.3(8)	11.3(7)	14.2(8)
C8	33.7(10)	30.7(9)	34.0(9)	8.3(7)	12.4(7)	3.8(7)
C9	54.2(12)	33.7(9)	37(1)	10.0(8)	12.8(9)	13.0(9)
C10	62.7(14)	48.5(12)	36.1(11)	12.2(9)	10.7(9)	10.9(10)
C11	56.4(14)	61.8(13)	34.2(10)	1.9(10)	16.1(10)	4.6(11)
C12	60.2(14)	63.0(13)	50.3(13)	-3.6(11)	20(1)	25.6(11)
C13	49.5(12)	54.0(12)	42.5(11)	8.5(9)	12.6(9)	23.9(10)
C14	37.3(10)	39.6(10)	32.5(9)	7.0(8)	11.9(8)	7.2(8)
C15	36.6(11)	47.8(11)	28.8(9)	6.8(8)	9.1(8)	3.3(8)
C16	51.5(13)	69.5(14)	38.1(11)	7.4(10)	20.5(10)	8.2(11)
C17	64.8(16)	85.7(17)	49.0(13)	-3.6(12)	25.4(11)	24.8(13)
C18	77.2(17)	64.5(14)	62.2(14)	0.8(12)	27.4(13)	34.9(13)
C19	64.8(14)	45.1(11)	49.2(12)	9.6(10)	22.8(10)	19(1)
C20	37.9(11)	45.7(11)	33.7(10)	13.4(9)	6.9(8)	12.4(9)
C21	33.8(10)	38.1(9)	31.5(9)	11.7(8)	11.6(8)	9.0(8)
C22	32.6(10)	32.3(9)	31.2(9)	9.4(7)	10.9(7)	11.1(7)
C23	33(1)	30.8(9)	31.7(9)	10.4(7)	12.2(7)	9.8(7)
C24	35.1(10)	36.1(9)	34.2(9)	9.1(8)	13.6(8)	11.2(8)
C25	35(1)	43.1(11)	35.2(10)	7.0(9)	12.3(8)	8.3(8)
C26	65.4(16)	78.9(17)	71.2(16)	4.0(13)	35.0(13)	-23.3(13)
O5	68.4(10)	50.8(8)	59.2(9)	26.7(7)	2.3(8)	3.9(7)
C27	59.5(16)	81.9(17)	70.2(16)	10.1(14)	12.3(13)	15.0(14)
C28	100(2)	95(2)	95(2)	-22.4(18)	3.0(18)	22.5(18)

Table 4 Bond Lengths for 161228_s1_lgz_m.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
O1	C25	1.318(2)	C8	C23	1.506(2)
O1	C26	1.454(2)	C9	C10	1.379(3)
O2	C25	1.189(2)	C10	C11	1.362(3)
O3	C7	1.2122(18)	C11	C12	1.372(3)
O4	C20	1.222(2)	C12	C13	1.386(3)
N1	C15	1.403(2)	C14	C15	1.388(2)
N1	C20	1.344(2)	C14	C19	1.375(2)
N2	C21	1.471(2)	C14	C21	1.503(2)
N2	C24	1.457(2)	C15	C16	1.375(3)
C1	C2	1.370(3)	C16	C17	1.376(3)
C1	C6	1.387(3)	C17	C18	1.377(3)
C2	C3	1.363(3)	C18	C19	1.385(3)
C3	C4	1.370(3)	C20	C21	1.542(2)
C4	C5	1.378(3)	C21	C22	1.570(2)
C5	C6	1.385(2)	C22	C23	1.531(2)
C5	C7	1.489(2)	C23	C24	1.539(2)
C7	C22	1.509(2)	C24	C25	1.507(2)
C8	C9	1.387(2)	O5	C27	1.412(3)
C8	C13	1.378(2)	C27	C28	1.448(3)

Table 5 Bond Angles for 161228_s1_lgz_m.

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C25	O1	C26	116.10(17)	C16	C15	C14	122.14(18)
C20	N1	C15	111.73(14)	C15	C16	C17	117.61(19)
C24	N2	C21	109.03(13)	C16	C17	C18	121.3(2)
C2	C1	C6	120.0(2)	C17	C18	C19	120.5(2)
C3	C2	C1	120.4(2)	C14	C19	C18	119.04(18)
C2	C3	C4	120.1(2)	O4	C20	N1	126.80(17)
C3	C4	C5	120.7(2)	O4	C20	C21	125.00(18)
C4	C5	C6	119.20(17)	N1	C20	C21	108.20(16)
C4	C5	C7	118.38(16)	N2	C21	C14	113.36(15)
C6	C5	C7	122.43(17)	N2	C21	C20	109.18(13)
C5	C6	C1	119.6(2)	N2	C21	C22	105.36(13)
O3	C7	C5	121.09(15)	C14	C21	C20	101.82(14)
O3	C7	C22	121.21(15)	C14	C21	C22	117.00(13)
C5	C7	C22	117.56(13)	C20	C21	C22	110.00(13)
C9	C8	C23	119.90(16)	C7	C22	C21	111.34(14)
C13	C8	C9	117.42(17)	C7	C22	C23	115.33(13)
C13	C8	C23	122.66(15)	C23	C22	C21	104.20(13)
C10	C9	C8	121.33(18)	C8	C23	C22	114.02(13)
C11	C10	C9	120.28(18)	C8	C23	C24	117.75(14)
C10	C11	C12	119.70(19)	C22	C23	C24	100.90(12)
C11	C12	C13	119.96(19)	N2	C24	C23	105.78(14)
C8	C13	C12	121.30(17)	N2	C24	C25	109.90(14)
C15	C14	C21	108.74(15)	C25	C24	C23	113.16(13)
C19	C14	C15	119.39(18)	O1	C25	C24	111.64(16)
C19	C14	C21	131.87(16)	O2	C25	O1	124.41(18)
C14	C15	N1	109.48(16)	O2	C25	C24	123.95(18)
C16	C15	N1	128.36(17)	O5	C27	C28	113.9(2)

Table 6 Torsion Angles for 161228_s1_lgz_m.

A	B	C	D	Angle/°	A	B	C	D	Angle/°
O3	C7	C22	C21	106.22(18)	C13	C8	C23	C24	43.4(2)
O3	C7	C22	C23	-12.2(2)	C14	C15	C16	C17	-0.7(3)
O4	C20	C21	N2	-61.6(2)	C14	C21	C22	C7	-17.94(19)
O4	C20	C21	C14	178.29(17)	C14	C21	C22	C23	106.99(16)
O4	C20	C21	C22	53.6(2)	C15	N1	C20	O4	-178.09(17)
N1	C15	C16	C17	-178.96(17)	C15	N1	C20	C21	1.99(19)
N1	C20	C21	N2	118.32(16)	C15	C14	C19	C18	-1.2(3)
N1	C20	C21	C14	-1.79(17)	C15	C14	C21	N2	-116.14(15)
N1	C20	C21	C22	-126.52(15)	C15	C14	C21	C20	0.99(17)
N2	C21	C22	C7	-144.91(14)	C15	C14	C21	C22	120.91(15)
N2	C21	C22	C23	-19.98(16)	C15	C16	C17	C18	-0.8(3)
N2	C24	C25	O1	152.97(15)	C16	C17	C18	C19	1.3(3)
N2	C24	C25	O2	-27.6(2)	C17	C18	C19	C14	-0.3(3)
C1	C2	C3	C4	0.8(4)	C19	C14	C15	N1	-179.72(16)
C2	C1	C6	C5	-1.5(3)	C19	C14	C15	C16	1.7(3)
C2	C3	C4	C5	-1.5(4)	C19	C14	C21	N2	63.6(2)
C3	C4	C5	C6	0.7(3)	C19	C14	C21	C20	-179.23(18)
C3	C4	C5	C7	-179.3(2)	C19	C14	C21	C22	-59.3(3)
C4	C5	C6	C1	0.8(3)	C20	N1	C15	C14	-1.4(2)
C4	C5	C7	O3	-31.2(2)	C20	N1	C15	C16	177.07(18)
C4	C5	C7	C22	144.54(17)	C20	C21	C22	C7	97.53(16)
C5	C7	C22	C21	-69.48(19)	C20	C21	C22	C23	-137.53(14)
C5	C7	C22	C23	172.08(14)	C21	N2	C24	C23	26.59(18)
C6	C1	C2	C3	0.7(3)	C21	N2	C24	C25	149.07(14)
C6	C5	C7	O3	148.85(18)	C21	C14	C15	N1	0.09(19)
C6	C5	C7	C22	-35.4(2)	C21	C14	C15	C16	-178.45(17)
C7	C5	C6	C1	-179.20(16)	C21	C14	C19	C18	179.04(19)
C7	C22	C23	C8	-75.93(18)	C21	C22	C23	C8	161.72(13)
C7	C22	C23	C24	156.84(14)	C21	C22	C23	C24	34.49(16)
C8	C9	C10	C11	-0.2(3)	C22	C23	C24	N2	-37.92(17)
C8	C23	C24	N2	-162.65(14)	C22	C23	C24	C25	-158.30(14)
C8	C23	C24	C25	76.97(19)	C23	C8	C9	C10	-178.91(16)
C9	C8	C13	C12	0.7(3)	C23	C8	C13	C12	178.92(17)
C9	C8	C23	C22	103.65(18)	C23	C24	C25	O1	-89.03(19)
C9	C8	C23	C24	-138.42(16)	C23	C24	C25	O2	90.4(2)
C9	C10	C11	C12	1.0(3)	C24	N2	C21	C14	-133.17(15)
C10	C11	C12	C13	-0.9(3)	C24	N2	C21	C20	114.10(16)
C11	C12	C13	C8	0.0(3)	C24	N2	C21	C22	-4.01(18)
C13	C8	C9	C10	-0.7(3)	C26	O1	C25	O2	-0.6(3)
C13	C8	C23	C22	-74.5(2)	C26	O1	C25	C24	178.78(16)

Table 7 Hydrogen Atom Coordinates (Å×10^4) and Isotropic Displacement Parameters (Å^2×10^3) for 161228_s1_lgz_m.

Atom	x	y	z	U(eq)
H1	3830	1158	4499	53
H2	6690(30)	4152(19)	3336(19)	62(8)
H1A	146	-1304	1565	73
H2A	-2077	-926	1990	86
H3	-2303	876	2022	92
H4	-342	2307	1591	69
H6	2202	156	1203	57
H9	4046	4006	-731	50
H10	3875	3485	-2584	61
H11	5065	2172	-3116	65
H12	6373	1332	-1792	69
H13	6545	1849	71	57
H16	2129	2405	5310	65
H17	1373	4037	5154	79
H18	2242	5219	3975	78
H19	3816	4759	2865	62
H22	4274	1673	1263	38
H23	5102	4043	1160	37
H24	7485	2924	1833	41
H26A	9989	6167	1012	121
H26B	11259	5502	1002	121
H26C	11121	6062	2145	121
H5	6395	203	4198	97
H27A	9057	1129	4633	89
H27B	9239	557	5690	89
H28A	7806	2245	5321	161
H28B	9373	2336	6291	161
H28C	7603	1559	6287	161

Experimental

Single crystals of $C_{28}H_{28}N_2O_5$ [161228_s1_lgz_m] were []. A suitable crystal was selected and [] on a Xcalibur, Eos diffractometer. The crystal was kept at 293.15 K during data collection. Using Olex2 [1], the structure was solved with the ShelXS [2] structure solution program using Direct Methods and refined with the ShelXL [3] refinement package using Least Squares minimisation.

1. Dolomanov, O.V., Bourhis, L.J., Gildea, R.J, Howard, J.A.K. & Puschmann, H. (2009), J. Appl. Cryst. 42, 339-341.
2. Sheldrick, G.M. (2008). Acta Cryst. A64, 112-122.
3. Sheldrick, G.M. (2015). Acta Cryst. C71, 3-8.

Crystal structure determination of [161228_s1_lgz_m]

Crystal Data for $C_{28}H_{28}N_2O_5$ (M =472.52 g/mol): triclinic, space group P-1 (no. 2), a = 8.7731(6) Å, b = 12.3585(8) Å, c = 12.5316(9) Å, α = 96.327(5)°, β = 103.909(6)°, γ = 106.988(6)°, V = 1237.27(14) Å^3, Z = 2, T = 293.15 K, μ(MoKα) = 0.087 mm^{-1}, Dcalc = 1.268 g/cm^3, 9081 reflections measured (6.58° ≤ 2Θ ≤ 50°), 4342 unique (R_{int} = 0.0227, R_{sigma} = 0.0439) which were used in all calculations. The final R_1 was 0.0495 (>2sigma(I)) and wR_2 was 0.1301 (all data).

Refinement model description

Number of restraints - 0, number of constraints - unknown.

Details:

1. Fixed Uiso

At 1.2 times of:

All C(H) groups, All C(H,H) groups, All N(H) groups

At 1.5 times of:

All C(H,H,H) groups, All O(H) groups

2.a Ternary CH refined with riding coordinates:

C22(H22), C23(H23), C24(H24)

2.b Secondary CH2 refined with riding coordinates:

C27(H27A,H27B)

2.c Aromatic/amide H refined with riding coordinates:

N1(H1), C1(H1A), C2(H2A), C3(H3), C4(H4), C6(H6), C9(H9), C10(H10), C11(H11), C12(H12), C13(H13), C16(H16), C17(H17), C18(H18), C19(H19)

2.d Idealised Me refined as rotating group:

C26(H26A,H26B,H26C), C28(H28A,H28B,H28C)

2.e Idealised tetrahedral OH refined as rotating group:

O5(H5)