Supporting Information for

Reaction of β-Enaminones and Acetylene Dicarboxylates: Synthesis of Substituted 1,2-Dihydropyridinones†

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Contents:

Electronic Supplementary Material (ESI) for Chemical Communications

1.1 General

Reactions were carried out in oven dried reaction flasks under nitrogen atmosphere and also solvents and reagents were transferred by oven-dried syringes to ambient temperature. TLC was performed on Merck silica gel aluminium sheets using UV as a visualizing agent and a 0.5% aqueous potassium permanganate solution and heat as developing agents. Solvents were removed under reduced pressure. Columns were packed as slurry of silica gel in hexane and ethyl acetate solvent mixture. The elution was assisted by applying pressure with an air pump. ^{13}C NMR spectra were recorded on 75 and 125 MHz spectrometers. ^{1}HNMR spectra were recorded on 300 and 500 MHz spectrometers in appropriate solvents using TMS as internal standard. The following abbreviations were used to explain multiplicities: s = singlet, d = doublet, dd = double doublet, t = triplet, m = multiplet. All reactions were performed under nitrogen atmosphere with freshly distilled and dried solvents. All solvents were distilled using standard procedures. Unless otherwise noted, reagents were obtained from Aldrich, Alfa Aesar, and TCI used without further purification. Substituted β-enaminones (**1a-p**) were prepared by following the reported procedure.[1]

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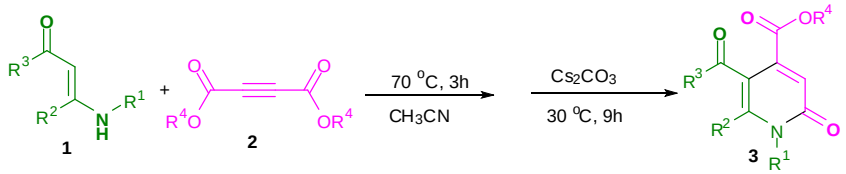
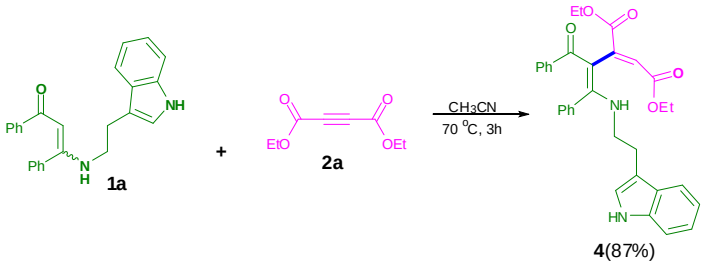
1. (a) A. S. Karpov and T. J. J. Müller, *Org. Lett.,* 2003, **5**, 3451; (b) A. S. Karpov and T. J. J. Müller, *Synthesis,* 2003, 2815, (c) H. Yamamoto and K. Maruoka, *J. Am. Chem. Soc*. 1981, **103**, 6133; (d) T. Naka and K. Koide, *Tetrahedron Lett.;* 2003, **44**, 443; (e) R. J. Cox , D. J. Ritson, T. A. Dane, J. Berge, J. P. H. Charmant and A. Kantacha, *Chem.Commun.*, 2005, 1037; (f) G. -W. Wang and C. –B. Miao, *Green Chem.,* 2006, **8**, 1080.

1.2: General procedure for synthesis of 1,2-dihydropyridine-4-carboxylates (3a-z).



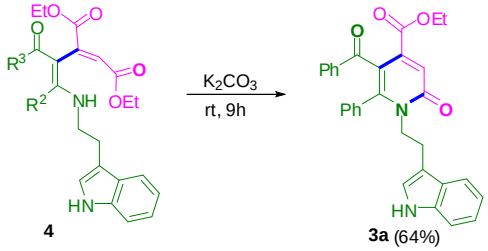
In a 25 mL round-bottomed two-neck flask compound **1a** (0.1g, 0.273 mmol, 1 equiv.) was taken then dissolved in acetonitrile (2 mL) to this reaction mixture compound **2a** (0.046g 0.273 mmol, 1 equiv.) was added and allowed to stir at 70 °C for 3 h under nitrogen atmosphere (yellow colour reaction mass was observed in the reaction flask). This reaction mixture was allowed to room temperature. Progress of the reaction was monitored by TLC. Then Cs_2CO_3 (0.133g, 0.409 mmol, 1.5 equiv.) was added portion wise at room temperature to this reaction mixture. Reaction mixture colour was changed from yellow to brown colour. This reaction mixture was allowed to stir at 30 °C for 9 h. Progress of the reaction was monitored by TLC. After completion of the reaction, 3 mL of water was added to the reaction mixture. Reaction mass was extracted with ethyl acetate (3 x 5 mL). The combined organic layers were washed with aqueous brine, dried over anhydrous Na_2SO_4, and concentrated under vacuum. The crude residue was purified through a silica gel column using hexane and ethyl acetate as eluent (10/3) to give pure 1,2-dihydropyridine-4-carboxylates **3a**.

1.3. Typical procedure for synthesis of diethyl 2-((E)-1-(2-(1H-indol-3-yl)ethylamino)-3-oxo-1,3-diphenylprop-1-en-2-yl)maleate (4).



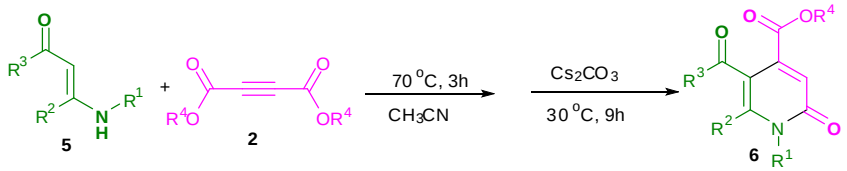
In a 25 mL round-bottomed two-neck flask compound **1a** (0.1g, 0.273 mmol, 1equiv.) was taken then dissolved in acetonitrile (2 mL) after that compound **2a** (0.046gm 0.273 mmol, 1 equiv.) was added and allowed to stir the reaction mixture at 70 °C for 3 h under nitrogen atmosphere. Progress of the reaction was monitored by TLC. After completion of the reaction, acetonitrile solvent was removed in vacuum. The crude residue was purified through a silica gel column using hexane and ethyl acetate as eluent (10/2) to give (87%) pure addition product **4**.

1.4 . Typical procedure for synthesis of 1,2-dihydropyridinone (3a) from 4.



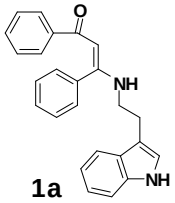
In a 25 mL round-bottomed two-neck flask compound **4** (0.1g 0.208 mmol, 1 equiv.) was added and dissolved in acetonitrile (2 mL) solvent, to this reaction mixture K_2CO_3 (0.038g, 0.279 mmol, (1.5 equiv.) was added portion wise at room temperature under nitrogen atmosphere, reaction mass colour was changed from yellow to brown. Progress of the reaction was monitored by TLC. After completion of the reaction (9h), 3 mL of water was added to this reaction mixture. Reaction mass was extracted with ethyl acetate (3 x 5 mL). The combined organic layers were washed with aqueous brine, dried over anhydrous Na_2SO_4, and concentrated under vacuum. The crude residue was purified through a silica gel column using hexane and ethyl acetate as eluent (10/3) to give pure 1,2-dihydropyridine-4-carboxylate **3a**.

1.5: General procedure for synthesis of 1,2-dihydropyridinones (6a-f) from enaminone esters derivatives (5a-e).



In a 25 mL round-bottomed two-neck flask compound carboxylate substituted enaminone **5a** (0.1g, 0.355 mmol, 1 equiv.) was taken then dissolved in acetonitrile (2 mL) to this reaction mixture compound **2a** (0.06g, 0.355 mmol, 1 equiv.) was added and allowed to stir at 70 °C for 3 h under nitrogen atmosphere (yellow colour reaction mass was observed in the reaction flask). This reaction mixture was allowed to room temperature. Progress of the reaction was monitored by TLC. Then Cs_2CO_3 (0.173g, 0.533 mmol, 1.5 equiv.) was added portion wise at room temperature to this reaction mixture. Reaction mixture colour was changed from yellow to brown colour. This reaction mixture was allowed to stir at 30 °C for 9 h. Progress of the reaction was monitored by TLC. After completion of the reaction, 3 mL of water was added to the reaction mixture. Reaction mass was extracted with ethyl acetate (3 x 5 mL). The combined organic layers were washed with aqueous brine, dried over anhydrous Na_2SO_4, and concentrated under vacuum. The crude residue was purified through a silica gel column using hexane and ethyl acetate as eluent (10/3) to give pure 1,2-dihydropyridine-4-carboxylates **6a**. The similar procedure was followed for the synthesis of all 2-pyridinone derivatives (**6a-g**).

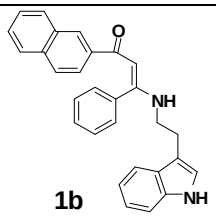
1.6 Spectroscopic data for enaminone derivatives (1a-u)



1a

(*E*)-3-(2-(1*H*-indol-3-yl)ethylamino) -1,3-diphenylprop-2-en-1-one

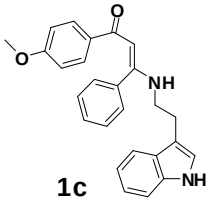
R_f: 0.3; Hexane: Ethyl acetate mixture (10:1); Yield: 85%; white solid; Melting Point: 100-102 ^oC; ^1H NMR (500 MHz, $CDCl_3$): δ 11.45 (brs, 1H), 8.23 (brs, 1H), 7.88 (m, 2H), 7.44-7.32 (m, 6H), 7.32-7.28 (m, 2H), 7.27-7.23 (m, 2H), 7.17-7.12 (m, 1H), 7.05-6.99 (m, 2H), 5.71 (s, 1H), 3.61 (q, J = 6.8 Hz, 2H), 3.00 (t, J = 7.1 Hz, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 188.3, 166.8, 140.4, 136.2, 135.5, 130.5, 129.2, 128.3, 128.1, 127.5, 127.1, 127.0, 122.5, 121.9, 119.2, 118.3, 112.1, 111.1, 93.5, 45.2, 26.9; HRMS (ESI): calcd for $C_{25}H_{23}N_2O$ $[M+H]^+$ 367.1804; found 367.1809.



1b

(*E*)-3-(2-(1*H*-indol-3-yl)ethylamino)-1-(naphthalen-2-yl)-3-phenylprop-2-en-1-one

R_f: 0.4; Hexane: Ethyl acetate mixture (10:1); Yield: 86%; pale yellow semisolid; ^1H NMR (500 MHz, $CDCl_3$): δ 11.53 (brs, 1H), 8.39 (s, 1H), 8.06 (brs, 1H), 8.01-7.97 (m, 1H), 7.96.7-78 (m, 3H), 7.58-7.46 (m, 2H), 7.45-7.27 (m, 7H), 7.23-6.98 (m, 3H), 5.86 (s, 1H), 3.54 (q, J = 7.0 Mz, 2H), 3.04 (t, J = 7.0 Mz, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 186.9, 166.3, 137.0, 135.8, 134.8, 133.7, 132.1, 128.7, 128.4, 127.8, 127.1, 126.9, 126.5, 126.4, 125.6, 123.4, 122.2, 120.7, 118.1, 117.4, 110.8, 110.6, 92.8, 44.7, 26.4; HRMS (ESI): calcd for $C_{29}H_{25}N_2O$ $[M+H]^+$ 417.1961; found 417.1959.

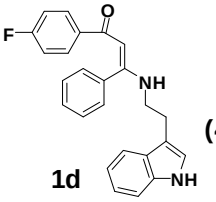


1c

(*E*)-3-(2-(1*H*-indol-3-yl)ethylamino)-1 -(4-methoxyphenyl)-3-phenylprop-2-en-1-one

R_f: 0.3; Hexane: Ethyl acetate mixture (10:1); Yield: 80%; white solid; Melting Point: 130-

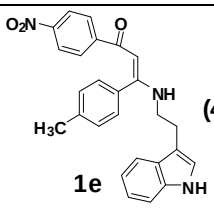
132 °C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 11.35 (brs, 1H), 8.09 (brs, 1H), 7.87 (d, J = 8.3 Hz, 2H), 7.44-7.29 (m, 3H), 7.28-7.22 (m, 4H), 7.16 (m, 1H), 7.09-6.98 (m, 2H), 6.89 (d, J = 8.3 Hz, 2H), 5.68 (s, 1H), 3.84 (s, 3H), 3.48 (t, J = 6.7 Hz, 2H), 3.00 (t, J = 6.7 Hz, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 187.6, 166.3, 161.7, 136.2, 135.8, 133.1, 129.1, 128.8, 128.3, 127.6, 127.1, 122.4, 121.9, 119.2, 118.3, 113.3, 112.3, 111.1, 93.0, 55.3, 45.2, 27.0; HRMS (ESI): calcd for $C_{26}H_{25}N_2O_2$ $[M+H]^+$ 397.1910; found 397.1911.



1d

(*E*)-3-(2-(1*H*-indol-3-yl)ethylamino)-1-(4-fluorophenyl)-3-phenylprop-2-en-1-one

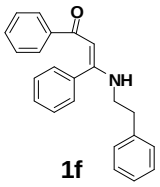
R_f: 0.4; Hexane: Ethyl acetate mixture (10:1); Yield: 87%; white solid; Melting Point: 128-130 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.4 (brs, 1H), 8.08 (brs, 1H), 7.92-7.83 (m, 2H), 7.45-7.13 (m, 8H), 7.10-6.99 (m, 4H), 5.64 (s, 1H), 3.51 (q, J = 6.9 Hz, 2H), 3.01 (t, J = 6.9 Hz, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 185.5, 166.1, 135.7, 134.5, 128.5, 128.4, 128.3, 127.6, 126.6, 126.2, 122.1, 120.5, 117.9, 117.2, 114.3, 114.0, 110.7, 110.2, 92.0, 44.5, 26.2; HRMS (ESI): calcd for $C_{25}H_{22}FN_2O$ $[M+H]^+$ 385.1710; found 385.1718.



1e

(*E*)-3-(2-(1*H*-indol-3-yl)ethylamino)-1-(4-nitrophenyl)-3-*p*-tolylprop-2-en-1-one

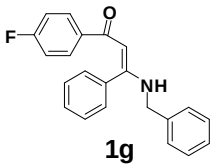
R_f: 0.3; Hexane: Ethyl acetate mixture (10:1); Yield: 75%; white solid; Melting Point: 177-180 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.63 (brs, 1H), 8.30-8.18 (m, 3H), 7.97 (d, J = 8.3 Hz, 2H), 7.36-7.28 (m, 2H), 7.22-7.12 (m, 5H), 7.11-6.99 (m, 2H), 5.68 (s, 1H), 3.58 (q, J = 6.7 Hz, 2H), 3.02 (t, J = 6.7 Hz, 2H), 2.40 (s, 3H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 184.9, 168.2, 148.7, 146.0, 139.9, 136.2, 132.0, 129.1, 127.8, 127.3, 123.4, 122.5,122.0, 119.3, 118.3, 111.9, 111.2, 93.7, 45.4, 26.7, 21.3; HRMS (ESI): calcd for $C_{26}H_{24}N_3O_3$ $[M+H]^+$ 426.1812; found 426.1813.



1f

(*E*)-3-(phenethylamino)-1,3-diphenylprop-2-en-1-one

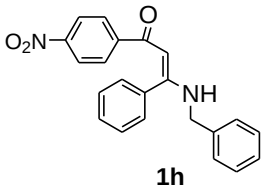
R_f: 0.4; Hexane: Ethyl acetate mixture (10:1); Yield: 86%; pale yellow semisolid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.45 (brs, 1H), 7.92-7.87 (m, 2H), 7.45-7.36 (m, 6H), 7.29-7.18 (m, 5H), 7.10-7.06 (m, 2H,), 5.73-5.71 (m, 1H), 3.44 (q, J = 7.7 Hz, 2H), 2.85 (t, J = 7.7 Hz, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 188.3, 166.7, 140.2, 138.2, 135.5, 130.6, 129.2, 128.7, 128.4, 128.3, 128.1, 127.5, 126.9, 126.5, 93.5, 46.3, 37.5; HRMS (ESI): calcd for $C_{23}H_{22}NO$ $[M+H]^+$ 328.1695; found 328.1696.



1g

(*E*)-3-(benzylamino)-1-(4-fluorophenyl)-3-phenylprop-2-en-1-one

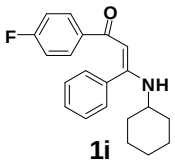
R_f: 0.5; Hexane: Ethyl acetate mixture (10:1); Yield: 79%; white solid; Melting Point: 75-78 ^{o}C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.67 (brs, 1H), 7.97-7.86 (m, 2H), 7.49 (m, 5H), 7.36-7.19 (m, 5H), 7.06 (t, J = 8.6 Hz, 2H), 5.78 (s, 1H), 4.42 (d, J = 6.6 Hz, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 187.1, 166.8, 165.4, 138.2, 136.3, 135.2,129.5, 129.3, 128.6, 128.5,127.6, 126.8, 115.1, 114.9, 93.4, 48.4; HRMS (ESI): calcd for $C_{22}H_{19}NFO$ $[M+H]$+332.1445; found 332.1447.



1h

(*E*)-3-(benzylamino)-1-(4-nitrophenyl)-3-phenylprop-2-en-1-one

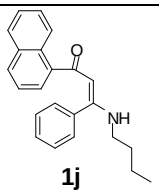
R_f: 0.5; Hexane: Ethyl acetate mixture (10:1); Yield: 80%; white solid; Melting Point: 90-94 ^{o}C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.88 (brs, 1H), 8.24 (d, J = 9.0 Hz, 2H), 8.03 (d, J = 8.8 Hz, 2H), 7.51-7.44 (m, 3H), 7.43-7.39 (m, 2H,), 7.37-7.32 (m, 2H), 7.31-7.28 (m, 1H), 7.25-7.21 (m, 2H), 5.82 (s, 1H), 4.47 (d, J = 6.4 Hz, 2H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 185.5, 168.0, 148.9, 145.5, 137.7, 134.7, 129.9, 128.8, 128.7, 127.9, 127.6, 127.5, 126.9, 123.4, 94.0, 48.6; HRMS (ESI): calcd for $C_{22}H_{19}N_2O_3$ $[M+H]^+$ 359.1390; found 359.1393.



1i

(*E*)-3-(cyclohexylamino)-1-(4-fluorophenyl) -3-phenylprop-2-en-1-one

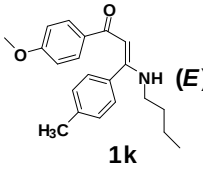
R_f: 0.4; Hexane: Ethyl acetate mixture (10:1); Yield: 82%; white solid; Melting Point: 80-83 ^oC; ^1H NMR (500 MHz, $CDCl_3$): δ 11.47 (brs, 1H), 7.92-7.85 (m, 2H), 7.49-7.37 (m, 5H), 7.05 (t, J = 8.6 Hz, 2H), 5.64 (s, 1H), 3.30 (m, 1H), 1.86-1.67 (m, 4H) 1.54-1.36 (m, 2H), 1.29-1.09 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 186.4, 166.0, 129.3, 129.1, 129.0, 128.4, 127.3, 115.1, 114.8, 92.8, 52.7, 34.2, 25.2, 24.2; HRMS (ESI): calcd for $C_{21}H_{23}FNO$ $[M+H]^+$ 324.1758; found 324.1759.



1j

(*E*)-3-(butylamino)-1-(naphthalen-1-yl) -3-phenylprop-2-en-1-one

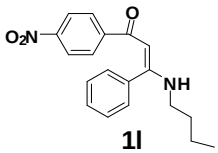
R_f: 0.5; Hexane: Ethyl acetate mixture (10:1); Yield: 84%; yellow colour semisolid; ^1H NMR (500 MHz, $CDCl_3$): δ 11.43 (br, 1H), 8.54 (d, J = 7.9 Hz, 1H), 7.84 (d, J = 7.9 Hz, 2H), 7.67 (d, J = 6.9 Hz, 1H), 7.56-7.39 (m, 8H), 5.54 (s, 1H), 3.27 (q, J = 6.7 Hz, 2H) 1.67-1.55 (m, 2H), 1.48-1.34 (m, 2H), 0.9 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.3, 166.6, 140.3, 135.5, 133.7, 130.2, 129.5, 129.3, 128.4, 128.0, 127.6, 126.3, 126.1, 125.7, 125.3, 124.7, 97.8, 44.5, 32.8, 19.8, 13.6; HRMS (ESI): calcd for $C_{23}H_{24}NO$ $[M+H]^+$ 330.1852; found 330.1852.



1k

(*E*)-3-(butylamino)-1-(4-methoxyphenyl) -3-*p*-tolylprop-2-en-1-one

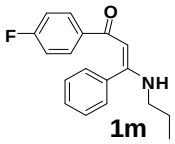
R_f: 0.4; Hexane: Ethyl acetate mixture (10:1); Yield: 80%; yellow colour semisolid; ^1H NMR (300 MHz, $CDCl_3$): δ 11.32 (brs, 1H), 7.87 (d, J = 8.8 Hz, 2H), 7.33-7.21 (m, 4H), 6.88 (d, J = 8.8 Hz, 2H), 5.69 (s, 1H), 3.83 (s, 3H), 3.20 (q, J = 6.7 Hz, 2H), 2.41 (s, 3H), 1.61-1.48 (m, 2H), 1.44-1.29 (m, 2H), 0.87 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 187.2, 166.6, 161.5, 139.3, 133.0, 129.0, 128.7, 127.6, 113.2, 92.6, 55.2, 44.3, 32.8, 21.3, 19.8, 13.6; HRMS (ESI): calcd for $C_{21}H_{26}NO_2$ $[M+H]^+$ 324.1958; found 324.1958.



1l

(*E*)-3-(butylamino)-1-(4-nitrophenyl) -3-phenylprop-2-en-1-one

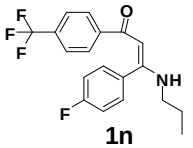
R_f: 0.3; Hexane: Ethyl acetate mixture (10:1); Yield: 79%; white solid; Melting Point: 81-84 ^oC; ^1H NMR (500 MHz, $CDCl_3$): δ 11.62 (brs, 1H), 8.22 (d, J = 8.6 Hz, 2H), 8.01 (d, J = 8.2 Hz. 2H), 7.50-7.44 (m, 3H), 7.43-7.37 (m, 2H), 5.72 (s, 1H), 3.26 (q, J = 6.7 Hz, 2H) 1.62-1.53 (m, 2H), 1.43-1.33 (q ,2H), 0.88 (t, J = 7.3 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 184.9, 168.1, 148.8, 145.8, 135.0, 129.7, 128.6, 127.8, 127.4, 123.4, 93.4, 44.6, 32.5, 19.8, 13.5; HRMS (ESI): calcd for $C_{19}H_{21}N_2O_3$ $[M+H]^+$325.1546; found 325.1548.



1m

(*E*)-1-(4-fluorophenyl)-3-phenyl -3-(propylamino)prop-2-en-1-one

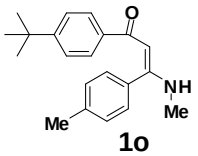
R_f: 0.4; Hexane: Ethyl acetate mixture (10:1); Yield: 80%; pale brown colour semisolid; ^1H NMR (500 MHz, $CDCl_3$): δ 11.40 (brs, 1H), 7.92-7.87 (m, 2H), 7.47-7.43 (m, 3H),7.42-7.39 (m, 2H), 7.05 (t, J = 8.6 Hz, 2H), 5.68 (s, 1H), 3.17 (q, J = 6.7 Hz, 2H), 1.64-1.55 (m, 2H), 0.94 (t, J =7.3 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 186.6, 167.0, 135.6, 129.4, 129.2, 129.1, 128.4, 127.6, 115.0, 114.9, 92.7, 46.4, 23.9, 11.2; HRMS (ESI): calcd for $C_{18}H_{19}FNO$ $[M+H]^+$ 284.1445; found 284.1445.



1n

(*E*)-3-(4-fluorophenyl)-3-(propylamino)-1- (4-(trifluoromethyl)phenyl)prop-2-en-1-one

R_f: 0.3; Hexane: Ethyl acetate mixture (10:1); Yield: 81%; brown colour semisolid; ^1H NMR (500 MHz, $CDCl_3$): δ 11.5 (brs, 1H), 7.97 (d, J = 8.1 Hz, 2H), 7.65 (d, J =8.1 Hz, 2H), 7.45-7.36 (m, 2H), 7.16 (t, J = 8.6 Hz, 2H), 5.70 (s, 1H), 3.24-3.15 (m, 2H), 1.70-1.55 (m,2H), 0.95 (t, J = 7.3 Hz, 3H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 186.4, 166.6, 165.0, 161.7, 143.3, 129.7, 129.6, 127.2, 125.2, 115.9, 115.6, 93.3, 46.6, 23.9, 11.3; HRMS (ESI): calcd. for $C_{19}H_{18}F_4NO$ $[M+H]^+$ 352.1312; found 352.1311

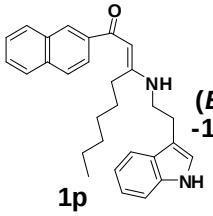


1o

(*E*)-1-(4-*tert*-butylphenyl)-3-(methylamino) -3-*p*-tolylprop-2-en-1-one

R_f: 0.4; Hexane: Ethyl acetate mixture (10:1); Yield: 86%; pale yellow colour semisolid; ^1H

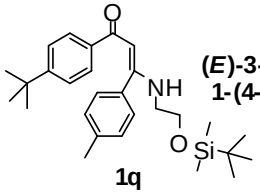
NMR (500 MHz, $CDCl_3$): δ 11.32 (brs,1H), 7.82 (d, J = 8.5 Hz, 2H), 7.40 (d, J = 8.5 Hz, 2H), 7.3 (d, J = 8.0 Hz, 2H), 7.25 (d, J = 6.5 Hz, 2H), 5.76 (s,1H), 2.91 (d, J = 5.3 Hz, 3H), 2.40 (s, 3H), 1.32 (s, 9H) ; ^{13}C NMR (125 MHz, $CDCl_3$): δ 188.0, 167.5, 153.8, 139.5, 137.6, 132.5, 129.1, 127.6, 126.7, 125.0, 93.2, 34.7, 31.4, 31.1, 21.2; HRMS (ESI): calcd. for $C_{21}H_{26}NO$ $[M+H]^+$ 308.2014; found 308.2019.



(*E*)-3-(2-(1*H*-indol-3-yl)ethylamino) -1-(naphthalen-2-yl)non-2-en-1-one

1p

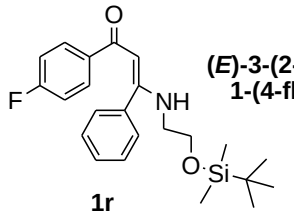
R_f: 0.4; Hexane: Ethyl acetate mixture (10:1); Yield: 83%; white solid; Melting Point: 105-108 ^{o}C; ^{1}H NMR (300 MHz, $CDCl_3$): δ 11.68 (brs, 1H), 8.36 (s, 1H), 8.25 (brs, 1H), 8.01-7.78 (m, 4H), 7.60 (d, J =7.5 Hz, 1H), 7.55-7.44 (m, 2H), 7.35 (d, J = 8.3 Hz, 1H), 7.23-7.09 (m, 3H), 5.78 (s, 1H), 3.66 (q, J = 6.7 Hz, 2H), 3.14 (t, J = 6.7 Hz, 2H) 2.25 (t, J = 7.5 Hz, 2H), 1.61-1.48 (m, 2H), 1.41-1.19 (m, 6H), 0.89 (t, J = 6.7 Hz, 3H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 187.4, 169.0, 138.0, 136.2, 134.3, 132.8, 129.0, 127.7, 127.5, 126.9, 126.8, 126.0, 124.1, 122.6, 122.0, 119.4, 118.3, 112.2, 111.3, 91.3, 43.5, 32.5, 31.4, 29.1, 28.0, 26.3, 22.5, 14.0; HRMS (ESI): calcd for $C_{29}H_{33}N_2O$ $[M+H]^+$ 425.2587; found 428.2581.



(*E*)-3-(2-(*tert*-butyldimethylsilyloxy)ethylamino)-1-(4-*tert*-butylphenyl)-3-*p*-tolylprop-2-en-1-one

1q

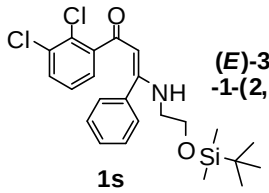
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 91%; semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.35 (brs, 1H), 7.81 (d, J = 8.3Hz, 2H), 7.40 (d, J = 8.3 Hz, 2H,), 7.33 (d, J = 8.0 Hz, 2H)7.24 (d, J = 7.7 Hz, 2H), 5.74 (s, 1H), 3.68 (t, J = 5.9 Hz, 2H), 3.35 (q, J = 6.1Hz, 2H), 2.41 (s, 3H), 1.33 (s, 9H), 0.88 (s, 9H), 0.04 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 188.1, 166.6, 153.8, 139.4, 137.7, 132.8, 129.0, 127.8, 126.8, 125.0, 93.6, 62.8, 46.7, 31.2, 25.8, 21.3, 34.7, 18.3, -5.4; HRMS (ESI): calcd for $C_{28}H_{42}NO_2Si$ $[M+H]^+$ 452.2990; found 452.2979.



(*E*)-3-(2-(*tert*-butyldimethylsilyloxy)ethylamino)-1-(4-fluorophenyl)-3-phenylprop-2-en-1-one

1r

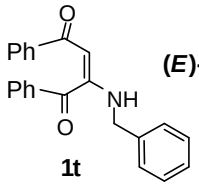
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 89%; semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.3 (brs, 1H), 7.88 (q, J = 5.4 Hz, 2H), 7.46-7.41 (m, 5H), 7.05 (t, J = 8.6 Hz, 2H), 5.69 (s, 1H), 3.68 (t, 2H, J = 5.7Hz), 3.34 (q, 2H, J = 5.9 Hz), 0.89 (s, 9H), 0.05 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 186.8, 166.8, 135.5, 129.4, 129.2, 129.1, 128.4, 127.8, 115.0, 114.8, 93.2, 62.7, 46.7, 25.8, 18.2, -5.4. HRMS (ESI): calcd for $C_{23}H_{31}FNO_2Si$ $[M+H]^+$ 400.2102; found 400.2101.



(*E*)-3-(2-(*tert*-butyldimethylsilyloxy)ethylamino) -1-(2,3-dichlorophenyl)-3-phenylprop-2-en-1-one

1s

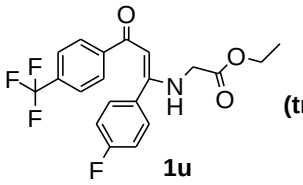
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 91%; semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.16 (brs, 1H), 7.45-7.40 (m, 6H), 7.34 (d,d, 1H, J = 1.5, 7.6 Hz), 7.18 (t, 1H, J = 7.93 Hz), 5.33 (s, 1H), 3.71 (t, 2H, J = 5.6 Hz), 3.39 (q, 2H, J = 5.6 Hz), 0.9 (s, 9H), 0.08 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 188.3, 167.0, 143.7, 134.8, 133.4, 130.3, 129.6, 129.1, 128.4, 127.8, 127.1, 126.9, 97.2, 62.5, 46.9, 25.8, 18.3, -5.43; HRMS (ESI): calcd for $C_{23}H_{30}O_2NCl_2Si$ $[M+H]^+$ 450.1393; found 450.1391.



(*E*)-2-(benzylamino)-1,4-diphenylbut-2-ene-1,4-dione

1t

R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 85%; semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.12 (brs, 1H), 8.01 (d, 2H, J = 7.3 Hz), 7.84 (d, 2H, J = 7.3 Hz), 7.63 (t, 1H, J = 7.3 Hz), 7.48 (t, 2H, J = 7.6 Hz), 7.38 (t, 2H, J = 7.1Hz), 7.30-7.20 (m, 6H), 5.82 (s, 1H), 4.38 (d, 2H, J = 6.2Hz); ^{13}C NMR (125 MHz, $CDCl_3$): δ 191.6, 190.0, 160.4, 139.2, 137.1, 134.6, 134.4, 131.3, 130.0, 128.8, 128.6, 128.2, 127.7, 127.5, 127.1, 91.1, 48.7; HRMS (ESI): calcd for $C_{23}H_{20}NO_2[M+H]^+$ 342.1487; found 342.1488.

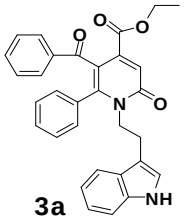


1u

(*E*)-ethyl 2-(1-(4-fluorophenyl)-3-oxo-3-(4-(trifluoromethyl)phenyl)prop-1-enylamino)acetate

R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 85%; semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 11.45 (brs, 1H), 8.00 (d, 2H, J = 8.2 Hz,), 7.66 (d, 2H, J = 8.2 Hz), 7.44 -7.39 (m, 2H), 7.16 (t, 2H, J = 8.5 Hz), 5.83 (s, 1H), 4.20 (q, 2H, J = 7.1 Hz), 3.96 (d, 2H, J = 6.2 Hz), 1.26 (t, 3H, J = 7.1 Hz); ^{13}C NMR (125 MHz, $CDCl_3$): δ 187.5, 169.1, 165.7, 161.8, 142.8, 129.7, 129.6, 127.4, 125.2, 125.1, 116.0, 115.8, 94.7, 61.6, 46.3; HRMS (ESI): calcd for $C_{20}H_{17}F_4NO_3$ $[M+H]^+$ 396.1168, found 396. 1173.

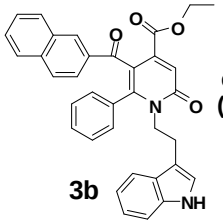
1.7 Spectral data of pyridinone derivatives 3a-z



3a

ethyl 1-(2-(1*H*-indol-3-yl)ethyl)-5-benzoyl-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate

R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 73%; light brown colour solid; Melting Point: 143-145 ^{o}C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.96 (brs, 1H), 7.49-7.45 (m, 2H), 7.43-7.38 (m, 1H), 7.32-7.27 (m. 3H), 7.25-7.23 (brs, 2H), 7.16-7.10 (m, 3H), 6.95-6.86 (m, 4H) , 6.84 (brs, 1H), 4.13-4.06 (m, 4H), 3.06 (t, J = 7.6 Hz, 2H), 1.08 (t, J = 7.0 Hz, 3H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 194.0, 164.4, 162.0, 148.6, 140.2, 138.1, 136.0, 132.6, 131.5, 129.6, 129.3, 128.7, 128.1, 127.1, 122.3, 121.9, 121.4, 119.2, 118.4, 111.8, 111.0, 62.2, 47.3, 23.9, 13.5; HRMS (ESI): calcd. for $C_{31}H_{27}N_2O_4$ $[M+H]^+$ 491.1965; found 491.1975.

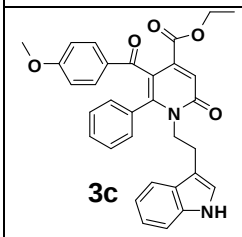


3b

ethyl 1-(2-(1*H*-indol-3-yl)ethyl)-5-(2-naphthoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate

R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 76%; orange colour solid; Melting Point: 190-194 ^{o}C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.04-7.95 (brs, 2H), 7.89-7.77 (m, 2H), 7.74-7.67 (m, 1H), 7.66-7.45 (m, 3H), 7.37-7.16 (m, 3H), 7.16-7.03 (m, 3H), 7.02-6.81 (m,

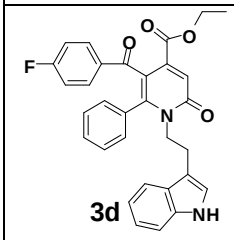
5H), 4.17-4.00 (m, 4H), 3.07 (t, J = 8.1 Hz, 2H), 1.03 (t, J = 7.1 Hz, 3H), ^{13}C NMR (125 MHz, $CDCl_3$): δ 193.8, 164.4, 162.0, 148.7, 140.2, 135.9, 135.5, 135.3, 132.1, 131.5, 130.7, 129.5, 129.4, 128.4, 128.2, 127.7, 127.1, 126.6, 124.1, 122.2, 121.9, 121.5, 119.3, 118.4, 111.8, 111.0, 62.0, 47.3, 24.0, 13.5; HRMS (ESI): calcd for $C_{35}H_{29}N_2O_4$ $[M+H]^+$ 541.2121; found 541.2131.



3c

ethyl 1-(2-(1*H*-indol-3-yl)ethyl)-5-(4-methoxybenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate

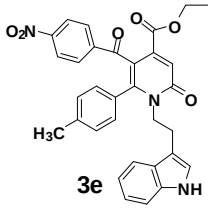
R_f: 0.2; Hexane: Ethyl acetate mixture (10:3); Yield: 63%; pale orange colour semisolid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.13 (brs, 1H), 7.46 (d, J = 8.6 Hz, 2H), 7.30-7.25 (m, 3H), 7.18-7.10 (m, 3H), 6.94-6.86 (m, 4H), 6.82 (d, J = 1.8 Hz, 1H), 6.74 (d, J = 8.8 Hz, 2H), 4.13-4.06 (m, 4H), 3.81 (s, 3H), 3.05 (t, J = 7.7 Hz, 2H), 1.09 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.5,164.4, 163.1, 162.0, 148.3, 140.0, 135.9, 131.6, 131.2, 131.1, 129.5, 129.3, 128.1, 127.1, 122.4, 121.8, 121.3, 119.2, 118.5, 118.4, 113.3, 111.8, 111.0, 62.1, 55.3, 47.3, 23.9, 13.5; HRMS (ESI): calcd. for $C_{32}H_{29}N_2O_5$ $[M+H]^+$ 521.2071; found 521.2065.



3d

ethyl 1-(2-(1*H*-indol-3-yl)ethyl)-5-(4-fluorobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate

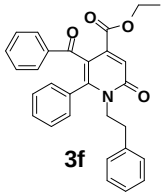
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 74%; orange colour semisolid; ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.09 (brs,1H), 7.45 (q, J = 5.2 Hz, 2H), 7.28 (q, J = 8.3 Hz, 3H), 7.13 (q, J = 6.7 Hz, 3H) , 6.98-6.79 (m, 7H), 4.19-4.04 (m, 4H), 3.06 (t , J = 8.3 Hz, 2H), 1.12 (t, J = 6.7 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.6, 166.2, 164.4, 161.9, 148.4, 140.0, 135.9, 134.6, 131.4, 131.3, 131.2, 129.5, 129.4, 128.1, 127.2, 122.4, 122.0, 121.6, 119.3, 118.4, 115.3, 115.1, 111.0, 62.2, 47.4, 23.8, 13.6; HRMS (ESI): calcd for $C_{31}H_{26}FN_2O_4$ $[M+H]^+$ 509.1871; found 509.1885.



3e

ethyl 1-(2-(1*H*-indol-3-yl)ethyl)-5-(4-nitrobenzoyl)-2-oxo-6-*p*-tolyl-1,2-dihydropyridine-4-carboxylate

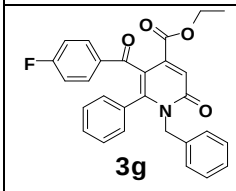
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 71%; light brown colour solid; Melting Point: 150-154 ^oC; ^1H NMR (500 MHz, $CDCl_3$): δ 8.10-8.01 (m, 3H), 7.5 (d, J = 9.0 Hz, 2H), 7.36-7.29 (m, 2H), 7.16 (t, J = 7.5 Hz, 1H), 6.97-6.82 (m, 5H), 6.61 (d, J = 8.3 Hz, 2H), 4.22-4.05 (m, 4H), 3.07 (t, J = 7.5 Hz, 2H), 2.26 (s, 3H), 1.18 (t, J = 6.7 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.7, 164.3, 162.0, 149.6, 149.1, 142.7, 140.0, 139.8, 136.0, 129.4, 128.9, 128.0, 127.2, 123.2, 122.5, 121.9, 121.6, 119.2, 118.3, 117.5, 111.8, 111.1, 62.4, 47.3, 23.6, 21.1, 13.7; HRMS (ESI): calcd. for $C_{32}H_{27}N_2O_6Na$ $[M+Na]^+$ 572.1792; found 572.1795.



3f

ethyl 5-benzoyl-2-oxo-1-phenethyl-6-phenyl-1,2-dihydropyridine-4-carboxylate

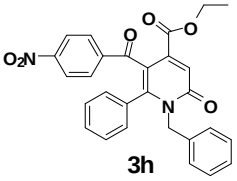
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 75%; light orange colour solid; Melting Point: 140-144 ^oC; ^1H NMR (300 MHz, $CDCl_3$): δ 7.54 (d, J = 6.7 Hz, 2H), 7.47-7.38 (m, 1H), 7.34-7.23 (m, 4H), 7.23-7.12 (m, 5H), 6.91 (d, J = 7.5 Hz, 2H), 6.87-6.80 (m, 2H), 4.10 (q, J = 6.7 Hz, 2H), 3.98 (t, J = 7.5 Hz, 2H), 2.88 (t, J = 7.5 Hz, 2H), 1.08 (t, J = 6.7 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 193.8, 164.3, 161.7, 148.4, 140.1, 138.0, 137.6, 132.7, 131.3, 129.5, 129.4, 128.7, 128.4, 128.2, 128.1, 126.5, 121.4, 118.4, 62.2, 47.9, 34.1, 13.5; HRMS (ESI): calcd. for $C_{29}H_{25}NO_4Na$ $[M+Na]^+$ 474.1675; found; 474.1673.



3g

ethyl 1-benzyl-5-(4-fluorobenzoyl) -2-oxo-6-phenyl-1,2-dihydropyridine -4-carboxylate

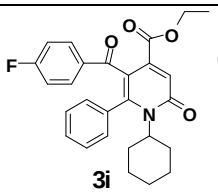
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 70%; brown liquid; ^1H NMR (500 MHz, $CDCl_3$): δ 7.58-7.54 (m, 2H), 7.31 (s, 1H), 7.22-7.15 (m, 4H), 7.07 (t, J = 7.6 Hz, 2H), 6.95-6.90 (m , 2H), 6.85-6.80 (m, 4H), 5.11 (brs, 2H), 4.15 (q, J = 7.1 Hz, 2H), 1.13 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.4, 166.2, 164.3, 162.1, 148.6, 140.4, 136.0, 134.5, 131.3, 131.2, 131.1, 129.5, 128.3, 127.9, 127.4, 126.8, 121.8, 118.4, 115.3, 115.1, 62.3, 48.9, 13.6; HRMS (ESI): calcd for $C_{28}H_{22}FNO_4$ $[M+H]^+$ 456.1605; Found 456.1611.



3h

ethyl 1-benzyl-5-(4-nitrobenzoyl) -2-oxo-6-phenyl-1,2-dihydropyridine -4-carboxylate

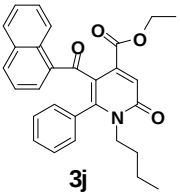
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 65%; brown colour liquid; ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.08 (d, J = 9.0 Hz, 2H), 7.65 (d, J = 9.0 Hz, 2H), 7.33 (s, 1H), 7.24-7.14 (m, 4H), 7.06 (t, J = 7.5 Hz, 2H), 6.84-6.77 (m, 4H), 5.11 (s, 2H), 4.19 (q, J = 7.5 Hz, 2H), 1.18 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.6, 164.2, 162.1, 149.6, 148.9, 142.6, 140.2, 135.8, 130.7, 129.9, 129.6, 129.3, 128.4, 128.1, 127.5, 126.8, 123.2, 122.0, 117.8, 62.5, 48.9, 13.7; HRMS (ESI): calcd. for $C_{28}H_{22}N_2O_6Na$ $[M+Na]^+$ 505.1370; found 505.1375.



3i

ethyl 1-cyclohexyl-5-(4-fluorobenzoyl) -2-oxo-6-phenyl-1,2-dihydropyridine -4-carboxylate

R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 73%; yellow colour solid; Melting Point: 170-174 ^{o}C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.70-7.65 (m, 2H), 7.27 (m, 3H), 7.21-7.17 (m, 2H), 6.93-6.88 (m, 2H), 6.7 (s, 1H), 3.91 (q, J = 7.1 Hz, 2H), 3.31 (m, 1H), 2.36-2.18 (m, 2H), 1.80-1.48 (m, 8H), 1.02 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$)**:** δ 189.5, 168.1, 166.1, 165.1, 156.3, 137.3, 134.7, 131.4, 131.3, 130.3, 128.6, 128.5, 121.2, 115.2, 115.0, 60.9, 55.2, 29.7, 25.9, 24.8, 13.7; HRMS (ESI): calcd. for $C_{27}H_{27}FNO_4$ $[M+H]^+$ 448.1918; found 448.1927.

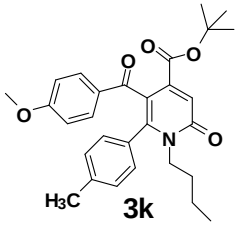


3j

ethyl 5-(1-naphthoyl)-1-butyl-2-oxo-6- phenyl-1,2-dihydropyridine-4-carboxylate

R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 63%; orange colour solid; Melting Point: 98-101 ^{o}C; ^{1}H NMR (300 MHz, $CDCl_3$); δ 8.42-8.34 (m, 1H), 7.83 (d, J = 8.3 Hz, 1H), 7.78-7.68 (m, 1H), 7.63 (d, J = 6.7 Hz, 1H), 7.48-7.39 (m, 2H), 7.33 (t, J = 7.5 Hz, 1H), 7.10 (s, 1H), 7.03-6.87 (m, 5H), 4.07 (q, J = 7.5 Hz, 2H), 3.72 (t, J = 7.5 Hz, 2H), 1.56-1.41 (m, 2H), 1.40-1.13 (m, 2H), 1.10-1.00 (m, 3H), 0.66 (t, J = 7.5 Hz, 3H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 195.3,165.3, 161.7,149.6, 141.7, 135.8, 133.3, 132.9, 131.5, 130.2, 129.0, 128.7,

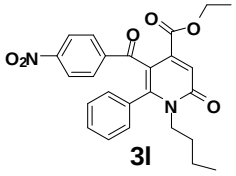
127.9, 127.8, 127.4, 126.1,125.5, 123.7, 120.5, 62.1, 45.9, 30.3, 19.7, 13.5, 13.1; HRMS (ESI): calcd. for $C_{29}H_{28}NO_4$ $[M+H]^+$ 454.2012; found 454.2015.



3k

***tert*-butyl 1-butyl-5-(4-methoxybenzoyl)-2-oxo-6-*p*-tolyl-1,2-dihydropyridine-4-carboxylate**

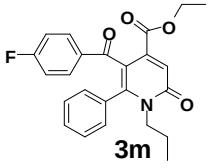
R_f: 0.2; Hexane: Ethyl acetate mixture (10:3); Yield: 64%; pale orange semisolid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.71 (d, J = 8.6 Hz, 2H), 7.41 (s, 1H), 7.21-7.10 (m, 4H), 6.94 (d, J = 8.6 Hz, 2H), 3.96 (s, 3H), 3.89 (t, J = 7.9 Hz, 2H), 2.42 (s, 3H), 1.73-1.60 (m, 2H), 1.36 (s, 9H), 1.25 (q, J = 7.3 Hz, 2H), 0.86 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): 192.2, 163.5, 163.2, 162.0, 148.4, 141.5, 139.3, 131.2, 129.1, 128.7, 126.0, 120.6, 118.3, 113.3, 83.5, 55.3, 46.0, 30.4, 27.3, 21.2, 19.8, 13.3; HRMS (ESI): calcd for $C_{29}H_{34}NO_5$ $[M+H]^+$ 476.2431; found 476.2433.



3l

ethyl 1-butyl-5-(4-nitrobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine -4-carboxylate

R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 72%; brown colour liquid; ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.12 (d, J = 9.0 Hz, 2 H), 7.68 (d, J = 9.0 Hz, 2H), 7.33-7.18 (m, 4H), 7.07 (d, J = 6.7 Hz, 2H), 4.17 (q, J = 6.7 Hz, 2H) 3.82-3.72 (m, 2H), 1.58-1.45 (m, 2H), 1.21-1.03 (m, 5H), 0.70 (t, J = 7.5 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.8, 164.3, 161.7, 149.6, 148.6, 142.7, 139.7, 131.1, 129.9, 129.5, 129.4, 128.3, 123.2, 121.7, 117.5, 62.4, 46.1, 30.3, 19.8, 13.7, 13.2; HRMS (ESI): calcd. for $C_{25}H_{25}N_2O_6$ $[M+H]^+$ 449.1707; found 449.1713.

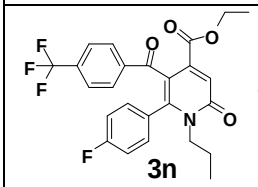


3m

ethyl 5-(4-fluorobenzoyl)-2-oxo-6-phenyl-1-propyl-1,2-dihydropyridine-4-carboxylate

R_f: 0.2; Hexane: Ethyl acetate mixture (10:3); Yield: 67%; brown colour semi solid; ^{1}H NMR

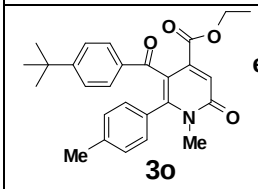
(500 MHz, $CDCl_3$): δ 7.59-7.54 (m, 2H), 7.27 (m, 1H), 7.24-7.20 (m, 3H), 7.09-7.06 (m, 2H), 6.94 (t, J = 8.5 Hz, 2H), 4.12 (q, J = 7.1 Hz, 2H), 3.71 (t, J = 7.7 Hz, 2H), 1.6-1.5 (m, 2H), 1.11 (t, J = 7.1 Hz, 3H), 0.69 (t, J = 7.32 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.6, 166.3, 161.8, 148.3, 139.8, 134.6,131.4, 131.3, 131.2, 129.6, 129.4, 128.2, 121.5, 118.1, 115.3, 115.2, 62.2, 47.8, 21.8, 13.6, 11.0,; HRMS (ESI): calcd. for $C_{24}H_{23}FNO_4$ $[M+H]^+$ 408.1605; found 408.1603.



3n

ethyl 6-(4-fluorophenyl)-2-oxo-1-propyl-5-(4-(trifluoromethyl)benzoyl)-1,2-dihydropyridine-4-carboxylate

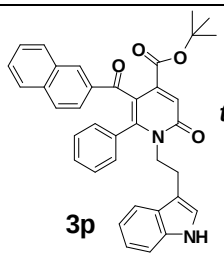
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 75%; pale yellow semisolid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.67 (d, J = 8.2 Hz, 2H), 7.58 (d, J = 8.2 Hz, 2H),7.29 (s, 1H), 7.11-7.06 (m, 2H), 6.94 (t, J = 8.5 Hz, 2H), 4.14 (q, J = 7.0 Hz, 2H), 3.74-3.69 (m, 2H), 1.61-1.52 (m, 2H), 1.14 (t, J = 7.1 Hz, 3H), 0.73 (t, J = 7.4 Hz, 3H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 193.0, 164.6, 164.1, 161.7, 147.4, 140.7, 139.6, 131.5, 131.4, 128.7, 125.3, 125.2, 121.9, 115.7, 115.4, 62.3, 47.8, 21.8, 13.5, 11.0; HRMS (ESI): calcd. for $C_{25}H_{21}F_4NO_4$ $[M+H]^+$ 476.1479; found 476.1466.



3o

ethyl 5-(4-*tert*-butylbenzoyl)-1-methyl-2-oxo-6-*p*-tolyl-1,2-dihydropyridine-4-carboxylate

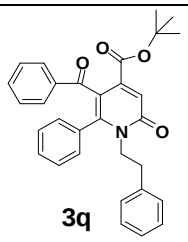
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 70%; yellow colour semisolid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.50 (d, J = 8.5 Hz, 2H), 7.30 (d, J = 7.3 Hz, 2H),7.19 (s, 1H), 7.04 (d, J = 7.7 Hz, 2H), 6.98 (d, J = 7.9 Hz, 2H), 4.07 (q, J = 7.1 Hz, 2H), 3.29 (s, 3H), 2.26 (s, 3H), 1.28 (s, 9H), 1.04 (t, J = 7.1 Hz, 3H); ^{13}C NMR (75 MHz, $CDCl_3$): δ 193.3, 164.4, 162.3, 156.3, 149.0, 140.3, 139.5, 135.4, 129.1, 129.0, 128.7, 128.6, 124.9, 120.2,118.4, 62.0, 34.8, 34.1, 30.8, 21.1, 13.3; HRMS (ESI): calcd. for $C_{27}H_{30}NO_4$ $[M+H]^+$ 432.2169; found 432.2153.



3p

***tert*-butyl 1-(2-(1*H*-indol-3-yl)ethyl)-5-(2-naphthoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate**

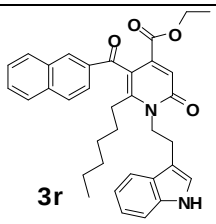
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 74%; pale orange colour solid; Melting Point: 185-188 ^oC; ^1H NMR (500 MHz, $CDCl_3$): δ 8.04-7.95 (m, 2H), 7.89-7.77 (m, 2H), 7.72 (d, *J* = 8.6 Hz, 1H), 7.67-7.47 (m, 3H), 7.31-7.22 (m, 2H), 7.19 (d, *J* = 7.5 Hz, 1H), 7.15-7.04 (m, 3H), 7.00-6.93 (m, 2H), 6.92-6.82 (m, 3H), 4.11 (t, *J* = 7.5 Hz, 2H), 3.06 (t, *J* = 8.1 Hz, 2H), 1.16 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 193.6, 163.6, 162.1, 148.7, 142.0, 136.0, 135.6, 135.2, 132.1, 131.7, 130.8, 129.5, 129.3, 128.4, 128.1, 127.6, 127.1, 123.6, 124.2, 122.3, 121.9, 121.0, 119.2, 118.4, 118.3, 111.8, 111.0, 83.8, 47.2, 27.3, 24.0; HRMS (ESI): calcd. for $C_{37}H_{33}N_2O_4$ $[M+H]^+$ 569.2434; found; 569.2435.



3q

***tert*-butyl 5-benzoyl-2-oxo-1-phenethyl-6-phenyl-1,2-dihydropyridine-4-carboxylate**

R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 72%; pale yellow colour solid; Melting Point: 167-170 ^oC; ^1H NMR (300 MHz, $CDCl_3$): *δ* 7.59-7.53 (m, 2H), 7.48-7.39 (m, 1H), 7.35-7.24 (m, 3H), 7.24-7.10 (m, 6H), 6.92 (d, *J* = 6.7 Hz, 2H), 6.88-6.81 (m, 2H), 3.97 (t, *J* = 7.5 Hz, 2H), 2.86 (t, *J* = 7.5 Hz, 2H), 1.22 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$): *δ* 193.5, 163.5, 161.9, 148.4, 141.9, 138.3, 137.7, 132.7, 131.6, 129.4, 128.9, 128.7, 128.4, 128.1, 126.5, 121.0, 118.2, 83.8, 47.8, 34.2, 27.3; HRMS (ESI): calcd. for $C_{31}H_{30}NO_4$ $[M+H]^+$ 480.2169; found; 480.2171.

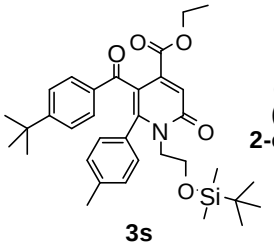


3r

ethyl 1-(2-(1*H*-indol-3-yl)ethyl)-5-(2-naphthoyl)-6-hexyl-2-oxo-1,2-dihydropyridine-4-carboxylate

R_f: 0.2; Hexane: Ethyl acetate mixture (10:3); Yield: 73%; red colour solid; Melting Point: 140-144 ^oC; ^1H NMR (500 MHz, $CDCl_3$): *δ* 8.17-8.07, (m, 2H), 7.93-7.82 (m, 4H), 7.64 (d, *J* = 7.7 Hz, 1H), 7.61-7.49 (m, 2H), 7.38 (d, *J* = 7.5 Hz, 1H), 7.25-7.12 (m, 2H), 7.06 (d, *J* = 2.2 Hz, 1H), 6.64 (s, 1H), 3.9 (t, *J* = 6.9 Hz, 2H), 3.56 (q, *J* = 7.1 Hz, 2H), 3.17 (t, *J* = 7.7 Hz, 2H), 2.25-2.15 (m, 2H), 1.47-1.35 (m, 2H), 1.18-0.97 (m, 6H), 0.82-0.69 (m, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 190.7, 168.5, 165.2, 160.3, 137.4, 136.4, 136.2, 135.3, 132.3, 129.9,

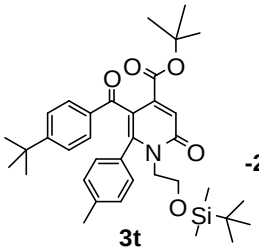
129.4, 128.3, 128.2, 127.6, 127.1, 126.6, 124.5, 122.3, 120.2, 119.7, 118.3, 112.1, 111.5, 111.4, 60.7, 41.7, 31.0, 29.1, 28.5, 25.8, 24.9, 22.3, 13.8, 13.4; HRMS (ESI): calcd. for $C_{35}H_{37}N_2O_4$ $[M+H]^+$ 549.2747; found 549.2753.



3s

ethyl 5-(4-*tert*-butylbenzoyl)-1-(2-(*tert*-butyldimethylsilyloxy)ethyl)-2-oxo-6-*p*-tolyl-1,2-dihydropyridine-4-carboxylate

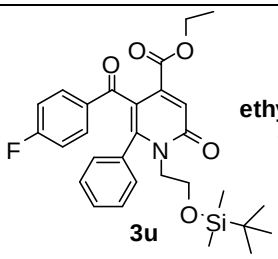
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 68%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.55 (d, J = 8.39 Hz, 2H), 7.37 (t, J = 3.20 Hz, 3H), 7.08 (q, J = 7.93 Hz, 4H), 4.18 (q, J = 7.01 Hz, 2H), 4.06 (t, J = 6.25 Hz, 2H), 3.89 (t, J = 6.25 Hz, 2H), 2.34 (s, 3H), 1.38 (s, 12H), 0.92 (s, 9H), 0.06 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 193.6, 164.5, 162.0, 156.2, 149.5, 139.3, 135.7, 129.8, 128.74, 128.7, 128.6, 128.4, 124.9, 120.7, 118.7, 62.0, 59.4, 47.9, 34.9, 30.9, 25.8, 25.7, 21.1, 13.4, -5.5; HRMS (ESI): calcd. for $C_{34}H_{46}O_5NSi$ $[M+H]^+$ 576.3141; found 576.3141.



3t

***tert*-butyl 5-(4-*tert*-butylbenzoyl)-1-(2-(*tert*-butyldimethylsilyloxy)ethyl)-2-oxo-6-*p*-tolyl-1,2-dihydropyridine-4-carboxylate**

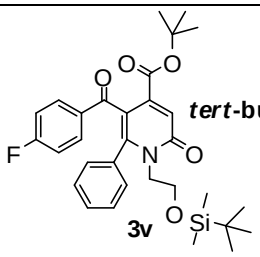
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 72%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.65 (d, J = 8.24 Hz, 2H), 7.49-7.44 (m, 2H), 7.24 (s, 1H), 7.20-7.13 (m, 4H), 4.11 (t, J = 6.25 Hz, 2H), 3.93 (t, J = 6.25 Hz, 2H), 2.41 (s, 3H), 1.44 (s, 9H), 1.34 (s, 9H), 0.98 (s, 9H), 0.12 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 193.0, 163.6, 162.0, 156.3, 149.4, 142.1, 139.2, 135.7, 129.5, 128.8, 128.7, 128.5, 124.9, 120.1, 118.3, 83.4, 59.4, 47.7, 34.8, 30.8, 27.1, 25.7, 21.0, 18.1, -5.6; HRMS (ESI): calcd for $C_{36}H_{50}O_5NSi$ $[M+H]^+$ 604.3452; found 604.3455.



3u

ethyl 1-(2-(*tert*-butyldimethylsilyloxy)ethyl) -5-(4-fluorobenzoyl)-2-oxo-6-phenyl- 1,2-dihydropyridine-4-carboxylate

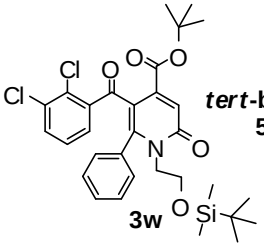
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 78%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.63-7.58 (m, 2H), 7.31-7.28 (m, 1H), 7.27-7.22 (m, 3H), 7.19-7.15 (m, 2H), 6.97 (t, J = 8.54 Hz, 2H), 4.19 (q, J = 7.17 Hz, 2H), 4.00 (t, J = 6.2 Hz, 2H), 3.86 (t, J = 6.2 Hz, 2H), 1.17 (t, J = 7.1 Hz, 3H), 0.87 (s, 9H), 0.01 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.7, 166.2, 164.4, 161.9, 149.0, 140.2, 134.6, 131.2, 130.0, 129.5, 128.0, 121.3, 118.2, 115.2, 115.1, 62.2, 59.3, 48.1, 29.6, 25.8, 13.5, -5.4. HRMS (ESI): calcd for $C_{29}H_{35}O_5NFSi$ $[M+H]^+$ 524.2260; found 524.2260



3v

***tert*-butyl 1-(2-(*tert*-butyldimethylsilyloxy)ethyl)- 5-(4-fluorobenzoyl)-2-oxo-6-phenyl- 1,2-dihydropyridine-4-carboxylate**

R_f: 0.4; Hexane: Ethyl acetate mixture(10:3); Yield: 75%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.57-7.51 (m, 2H), 7.25-7.20 (m,1H), 7.18 (t, J = 7.93 Hz, 2H), 7.12 (s, 1H), 7.08 (d, J = 8.24 Hz, 2H), 6.92 (t, J = 8.24 Hz, 2H), 3.92 (t, J = 6.1 Hz, 2H), 3.77 (t, J = 6.1 Hz, 2H), 1.24 (s, 9H), 0.80 (s, 9H), 0.06 (s, 6H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.3, 166.2, 163.4, 161.9, 148.9, 141.9, 134.7, 131.5, 131.3, 129.9, 129.4, 128.0, 120.8, 118.0, 115.2, 83.7, 59.4, 47.9, 27.3, 25.8, 18.2, -5.4. HRMS (ESI): calcd for $C_{31}H_{39}O_5NFSi$ $[M+H]^+$ 552.2548; found 552.2550.

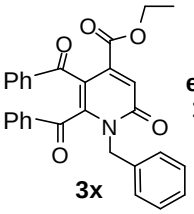


3w

***tert*-butyl 1-(2-(*tert*-butyldimethylsilyloxy)ethyl)- 5-(2,3-dichlorobenzoyl)-2-oxo-6-phenyl- 1,2-dihydropyridine-4-carboxylate**

R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 69%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.34-7.31 (m, 1H), 7.22-7.16 (m, 2H), 7.15-7.10 (m, 2H), 7.06 (d, J = 7.17 Hz, 2H), 7.01-6.96 (m, 2H), 3.85 (t, J = 6.25 Hz, 2H), 3.75 (t, J = 6.25 Hz, 2H), 1.43 (s, 9H), 0.79 (s, 9H), 0.06 (s, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 191.6, 164.4, 162.0, 149.8, 143.7, 139.5, 133.9, 132.4, 131.3, 130.8, 129.5, 129.2, 128.2, 126.4, 120.4, 83.6, 59.4, 47.8, 31.8, 27.7, 25.8, 14.0, -5.4. HRMS (ESI): calcd for $C_{31}H_{38}O_5NCl_2Si$ $[M+H]^+$ 602.1914;

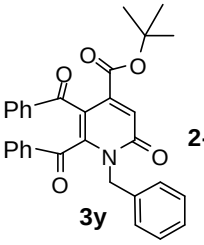
found 602.1890.



ethyl 5,6-dibenzoyl-1-benzyl-2-oxo-1,2-dihydropyridine-4-carboxylate

3x

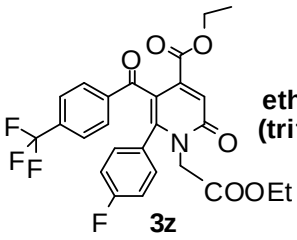
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 65%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.58-7.37 (m, 6H), 7.32 (t, J = 7.5 Hz, 2H), 7.24-7.14 (m, 3H), 7.07 (s, 5H), 5.23 (brs, 2H), 3.91 (q, J = 7.1 Hz, 2H), 1.00 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 191.9, 189.3, 164.2, 161.3, 147.6, 141.5, 137.3, 135.2, 134.8, 134.4, 133.2, 129.4, 128.8, 128.4, 128.3, 128.1, 127.8, 122.3, 116.7, 62.4, 48.6, 13.4; HRMS (ESI): calcd for $C_{29}H_{24}O_5N$ $[M+H]^+$ 466.1624; found 466.1624.



***tert*-butyl 5,6-dibenzoyl-1-benzyl-2-oxo-1,2-dihydropyridine-4-carboxylate**

3y

R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 68%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.54-7.45 (m, 2H), 7.44-7.31 (m, 3H), 7.31-7.21 (m,3 H), 7.15-7.05 (m, 3H), 7.00 (s, 5H), 5.15 (brs, 2H), 1.08 (s, 9H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 191.7, 189.4, 163.2, 161.4, 147.3, 142.9, 137.4, 135.2, 134.9, 134.4, 133.2, 129.4, 128.9, 128.4, 128.3, 128.1, 127.7, 122.1, 116.7, 84.1, 48.5, 27.1; HRMS (ESI): calcd for $C_{31}H_{28}O_5N$ $[M+H]^+$ 494.1934; found 494.1934.

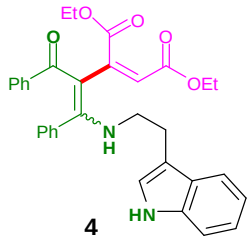


ethyl 1-(2-ethoxy-2-oxoethyl)-6-(4-fluorophenyl)-2-oxo-5-(4-(trifluoromethyl)benzoyl)-1,2-dihydropyridine-4-carboxylate

3z

R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 71%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.71 (d, J = 7.9 Hz, 2H), 7.58 (d, J = 8.0 Hz, 2H), 7.30 (s, 1H), 7.15-7.08 (m, 2H), 6.92 (t, J = 8.2 Hz, 2H), 4.46 (s, 2H), 4.22-4.13 (m, 4H), 1.23 (t, J = 7.0 Hz, 3H), 1.14 (t, J = 7.0 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ, 192.6, 167.3, 163.9, 161.5, 161.4, 147.2, 140.4, 131.5, 131.4, 128.8, 126.7, 125.3, 121.9, 118.1, 116.0, 115.8, 62.5, 61.9, 47.4, 13.9, 13.5; HRMS (ESI): calcd for $C_{26}H_{22}O_6NF_4$ $[M+H]^+$ 520.1405; found 520.1377.

1.8 Spectroscopic data for intermediate 4.

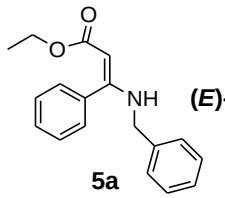


diethyl 2-(1-(2-(1*H*-indol-3-yl)ethylamino) -3-oxo-1,3-diphenylprop-1-en-2-yl)maleate

4

R_f: 0.3; Hexane: Ethyl acetate mixture (10:1); Yield: 87%; brown colour semisolid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 12.26 (brs,1H), 8.15 (brs, 1H), 7.44-7.34 (m, 2H), 7.33-7.10 (m, 10H), 7.08-6.93 (m, 3H), 6.12 (s, 1H), 4.03 (q, J =6.9 Hz, 2H), 3.83 (q, J = 6.9 Hz, 2H), 3.36 (q, J = 6.9 Hz, 2H), 3.01 (t, J = 6.9 Hz, 2H), 1.16 (t, J = 7.1 Hz, 3H), 1.05 (t, J = 7.1 Hz, 3H); ^{13}C NMR (300 MHz, $CDCl_3$): δ 192.5, 166.1, 165.3, 145.2, 142.2, 136.2, 133.0, 129.0, 128.9, 128.6, 128.3, 127.9, 127.4, 127.1, 121.7, 119.1, 118.1, 111.6, 111.2, 101.7, 61.2, 60.2, 45.3, 26.5, 14.0, 13.8; HRMS (ESI): calcd. for $C_{33}H_{33}O_5N_2$ $[M+H]^+$ 537.2378; found 537.2384

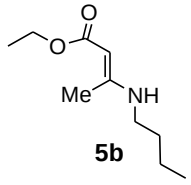
1.9 Spectral data of enaminone ester derivatives 5a-d.



(*E*)-ethyl 3-(benzylamino)-3-phenylacrylate

5a

R_f: 0.4; Hexane: Ethyl acetate mixture (10:2); Yield: 61%; semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.92 (brs, 1H), 7.39-7.30 (m, 5H), 7.30-7.25 (m, 2H), 7.23-7.19 (m, 1H), 7.16 (d, J = 7.3 Hz, 2H), 4.68 (s, 1H), 4.25 (d, J = 6.5 Hz, 2H), 4.14 (q, J =7.1 Hz, 2H),1.27 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 170.2, 164.6, 139.1, 135.8, 129.1, 128.4, 128.2, 127.7, 127.0, 126.7, 86.1, 58.6, 48.2, 14.4; HRMS (ESI): calcd for $C_{18}H_{20}O_2N$ $[M+H]^+$ 282.1489; found 282.1490.

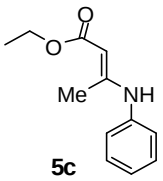


(*E*)-ethyl 3-(butylamino)but-2-enoate

5b

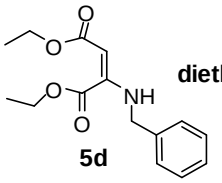
R_f: 0.4; Hexane: Ethyl acetate mixture (10:2); Yield: 67%; semi solid ; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.56 (brs, 1H), 4.43 (s, 1H), 4.09 (q, J = 7.17 Hz, 2H), 3.20 (q, J = 6.7 Hz, 2H),

1.91 (s, 3H), 1.62-1.49 (m, 2H), 1.48-1.33 (m, 2H), 1.25 (t, *J* = 7.1 Hz, 3H), 0.94 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 170.6, 161.9, 81.6, 58.1, 42.6, 32.4, 19.9, 19.3, 14.6, 13.7, HRMS (ESI): calcd for $C_{10}H_{20}ON_2$ $[M+H]^+$ 186.1492; found 186.1488.



5c **(*E*)-ethyl 3-(phenylamino)but-2-enoate**

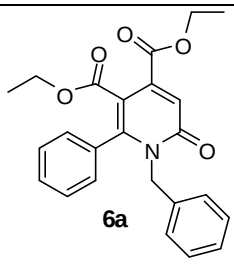
R_f: 0.5; Hexane: Ethyl acetate mixture (10:2); Yield: 58%; semi solid ; ^{1}H NMR (500 MHz, $CDCl_3$): δ 10.38 (brs, 1H), 7.31 (t, *J* = 7.6 Hz, 2H), 7.14 (t, *J* = 7.3 Hz, 1H), 7.08 (d, *J* = 7.8 Hz, 2H), 4.69 (s, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 1.99 (s, 3H), 1.28 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 170.3, 158.8, 139.2, 128.9, 124.8, 124.3, 85.9, 58.6, 20.2, 14.5. HRMS (ESI): calcd for $C_{12}H_{16}O_2N$ $[M+H]^+$ 206.1180, found 206.1175.



5d **diethyl 2-(benzylamino)maleate**

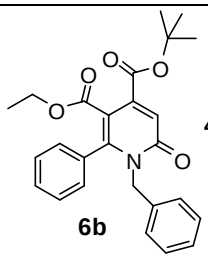
R_f: 0.4; Hexane: Ethyl acetate mixture (10:2); Yield: 58%; liquid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.41 (brs, 1H), 7.39-7.19 (m, 5H), 5.16 (s, 1H), 4.55 (d, *J* = 6.2 Hz, 2H), 4.26-4.08 (m, 4H), 1.30-1.19 (m, 6H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 169.9, 163.5, 151.4, 138.7, 128.5, 127.2, 127.1, 88.0, 61.7, 59.2, 48.3, 14.2, 13.7; HRMS (ESI): calcd for $C_{15}H_{20}O_4N$ $[M+H]^+$ 278.1394; found 278.1386.

1.10 Spectral data of pyridinone derivatives 6a-f.



6a **diethyl 1-benzyl-6-oxo-2-phenyl-1,6-dihydropyridine-3,4-dicarboxylate**

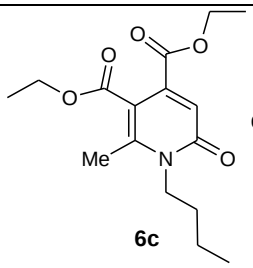
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 63%; semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.41 (t, *J* = 7.3 Hz, 1H), 7.30 (t, *J* = 7.7 Hz, 2H), 7.22-7.13 (m, 4H), 7.05 (d, *J* = 7.1 Hz, 2H), 6.84-6.76 (m, 2H), 5.08 (brs, 2H), 4.35 (q, *J* = 7.1 Hz, 2H), 3.86 (q, *J* = 7.1 Hz, 2H), 1.35 (t, *J* = 7.1 Hz, 3H); 0.85 (t, J = 7.17 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 165.6, 164.6, 162.0, 149.9, 140.2, 136.0, 132.0, 129.6, 129.0, 128.3, 128.0, 127.3, 126.7, 120.9, 113.0, 62.2, 61.2, 49.0, 13.9, 13.3; HRMS (ESI): calcd for $C_{24}H_{24}O_5N$ $[M+H]^+$ 406.1652; found 406.1653.



6b

4-*tert*-butyl 3-ethyl 1-benzyl-6-oxo-2-phenyl-1,6-dihydropyridine-3,4-dicarboxylate

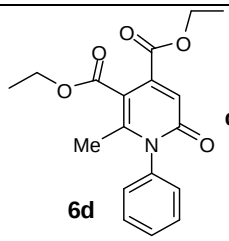
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 65%; semi solid; ^{1}H NMR (300 MHz, $CDCl_3$): δ 7.40 (t, J = 7.4 Hz, 1H), 7.30 (t, J = 7.7 Hz, 2H), 7.19-7.15 (m 3H), 7.10 (s, 1H), 7.05 (d, J = 7.1 Hz, 2H), 6.82-6.78 (m, 2H), 5.07 (brs, 2H), 3.86 (q, J = 7.1 Hz, 2H), 1.55 (s, 9H), 0.84 (t, J = 7.1 Hz, 3H);^{13}C NMR (125 MHz, $CDCl_3$): δ 165.8, 163.6, 162.1, 149.5, 141.5, 136.0, 132.0, 129.6, 129.0, 128.3, 128.0, 127.3, 126.7, 120.7, 113.3, 83.4, 61.2, 48.9, 27.7, 13.3; HRMS (ESI): calcd for $C_{26}H_{28}O_5N$ $[M+H]^+$ 434.1943; found 434.1941.



6c

diethyl 1-butyl-2-methyl-6-oxo-1,6-dihydropyridine-3,4-dicarboxylate

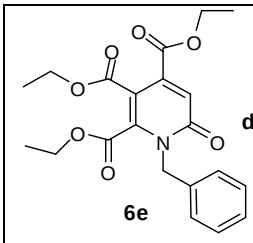
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 40%; pale brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 6.84 (s, 1H), 4.30 (m, 4H), 4.17-4.00 (m, 2H), 2.52 (s, 3H), 1.72-1.59 (m, 2H), 1.50-1.22 (m, 9H), 0.97 (t, J = 7.3 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 166.7, 165.1, 161.8, 147.4, 140.3, 118.6, 111.0, 61.9, 61.6, 44.8, 30.1, 20.1, 17.1, 13.8, 13.5, HRMS (ESI): calcd for $C_{16}H_{24}O_5N$ $[M+H]^+$ 310.1635; found 310.1637.



6d

diethyl 2-methyl-6-oxo-1-phenyl-1,6-dihydropyridine-3,4-dicarboxylate

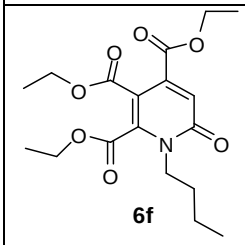
R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 47%; solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.58-7.52 (m, 2H), 7.51-7.46 (m, 1H), 7.16 (m, 2H), 6.93 (s, 1H), 4.35 (q, J = 7.1 Hz, 2H), 4.30 (q, J = 7.1 Hz, 2H), 2.10 (s, 3H), 1.38 (t, J = 7.1 Hz, 3H), 1.33 (t, J = 7.1 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 166.3, 165.0, 162.2, 148.5, 141.5, 137.7, 129.9, 129.1, 127.4, 119.3, 110.5, 62.0, 61.6, 19.1, 13.8, 13.7; HRMS (ESI): calcd for $C_{18}H_{20}O_5N$ $[M+H]^+$ 330.1340; found 330.1339.



triethyl 1-benzyl-6-oxo-1,6-dihydropyridine-2,3,4-tricarboxylate

6e

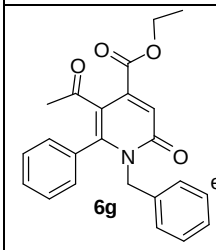
R_f: 0.3; Hexane: Ethyl acetate mixture (10:3); Yield: 45%; semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.40-7.23 (m, 3H), 7.22-7.14 (m, 2H), 6.84 (s, 1H), 5.31 (s, 2H), 4.35 (q, J = 7.1 Hz, 2H), 4.23 (q, J = 7.1 Hz, 2H), 4.15 (q, J = 7.1 Hz, 2H), 1.40-1.24 (m, 9H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 165.1, 163.3, 161.5, 160.7, 144.5, 142.7, 134.9, 128.5, 127.8, 127.3, 120.8, 63.0, 62.2, 62.0, 48.8, 29.6, 13.9, 13.8, 13.2; HRMS (ESI): calcd for $C_{21}H_{24}O_7N$ $[M+H]^+$ 402.1556; found 402.1556.



triethyl 1-butyl-6-oxo-1,6-dihydropyridine-2,3,4-tricarboxylate

6f

R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 48%; pale brown colour semi solid ; ^{1}H NMR (500 MHz, $CDCl_3$): δ 6.71 (s, 1H), 4.44 (q, J = 7.0 Hz, 2H), 4.34 (q, J = 7.1 Hz, 2H), 4.25 (q, J = 7.1 Hz, 2H), 3.9 (t, J = 7.9 Hz, 2H), 2.73 (t, J = 7.1 Hz, 3H), 1.75-1.66 (m, 2H), 1.44-1.38 (m, 2H), 1.35 (t, J = 7.1 Hz, 3H) 1.30 (t, J = 7.1 Hz, 3H), 0.94 (t, J = 7.3 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 165.4, 163.3, 161.7, 160.4, 145.2, 142.9, 120.1, 106.9, 63.2, 62.2, 62.0, 47.4, 30.6, 20.0, 13.9, 13.8, 13.7, 13.5; HRMS (ESI): calcd for $C_{16}H_{25}O_7N[M+H]^+$ 368.1690; found 368.1688.



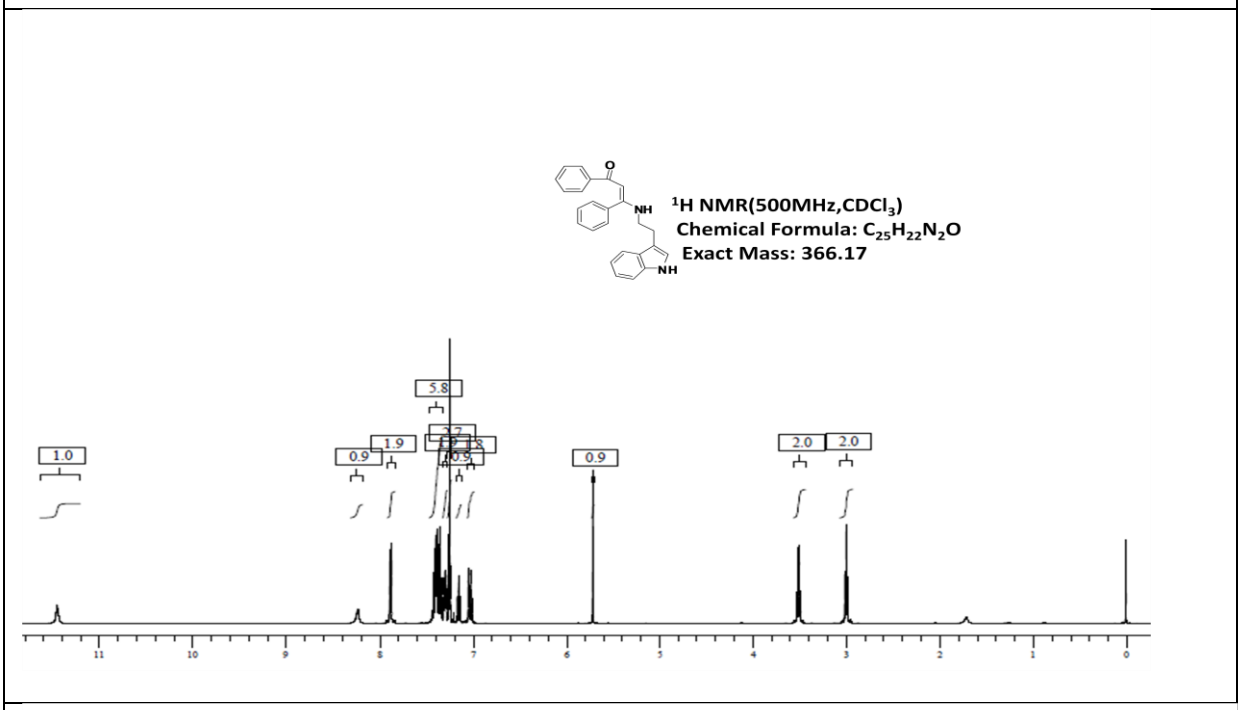
ethyl 5-acetyl-1-benzyl-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate

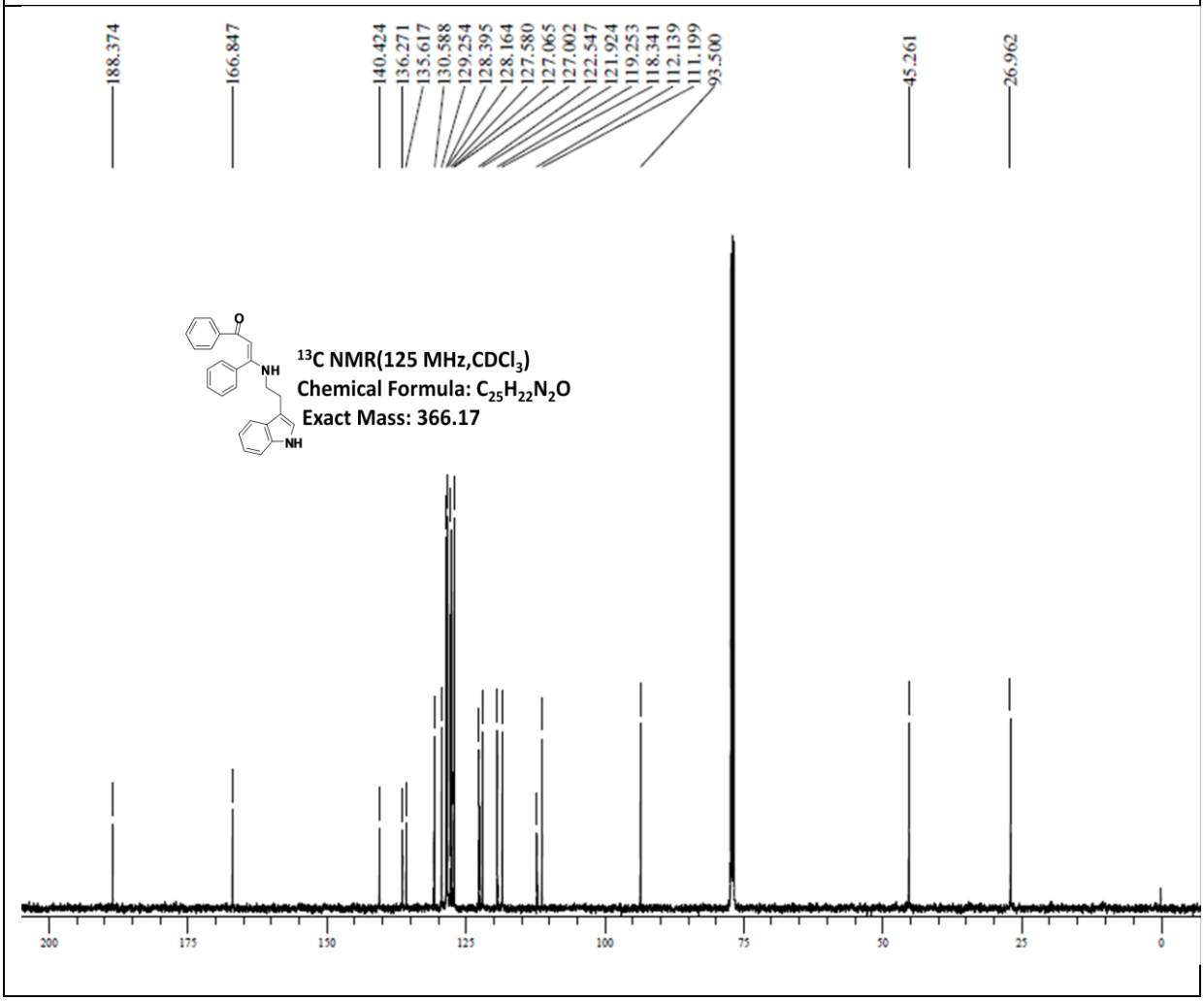
6g

R_f: 0.4; Hexane: Ethyl acetate mixture (10:3); Yield: 11%; brown colour semi solid; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.81 (d, J = 7.78 Hz, 2H), 7.59-7.55 (m, 1H), 7.45 (t, J = 7.78 Hz, 2H), 7.33 (t, J = 7.47 Hz, 2H), 7.30-7.27 (m, 1H), 7.19-7.15 (m, 3H), 5.42 (s, 2H), 4.05 (q, J = 7.17 Hz, 2H), 2.18 (s, 3H), 1.08 (t, J = 7.17 Hz, 3H); ^{13}C NMR (125 MHz, $CDCl_3$): δ 194.6, 164.3, 162.5, 146.2, 140.4, 137.7, 135.2, 133.4, 128.9, 128.8, 127.6, 126.3, 119.7, 117.1, 62.1, 47.6, 18.0, 13.5; HRMS (ESI): calcd for $C_{23}H_{22}NO_4$ [M+H]+376.1543; found 376.1542.

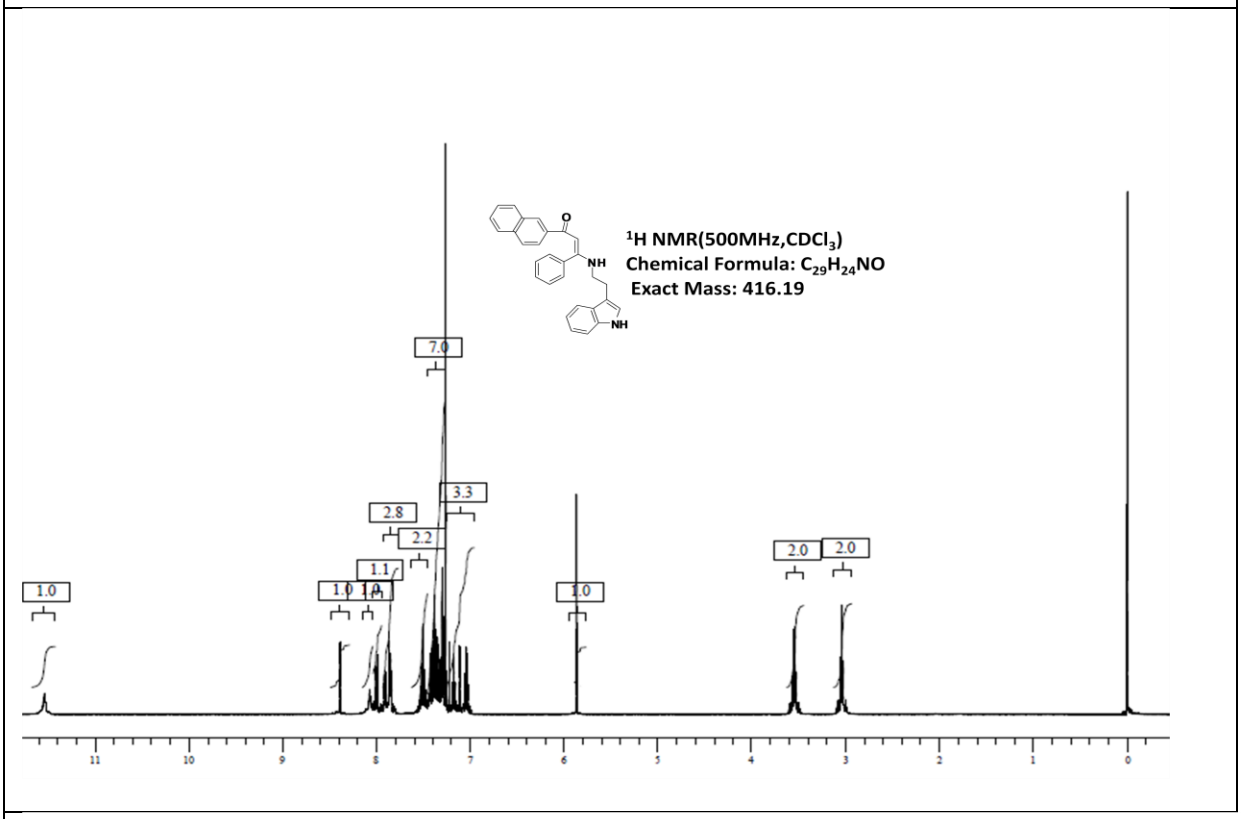
1.11 Copies of ^{1}H and ^{13}C NMR spectra of 1a-u, 3a-z, 4, 5a-d and 6a-f

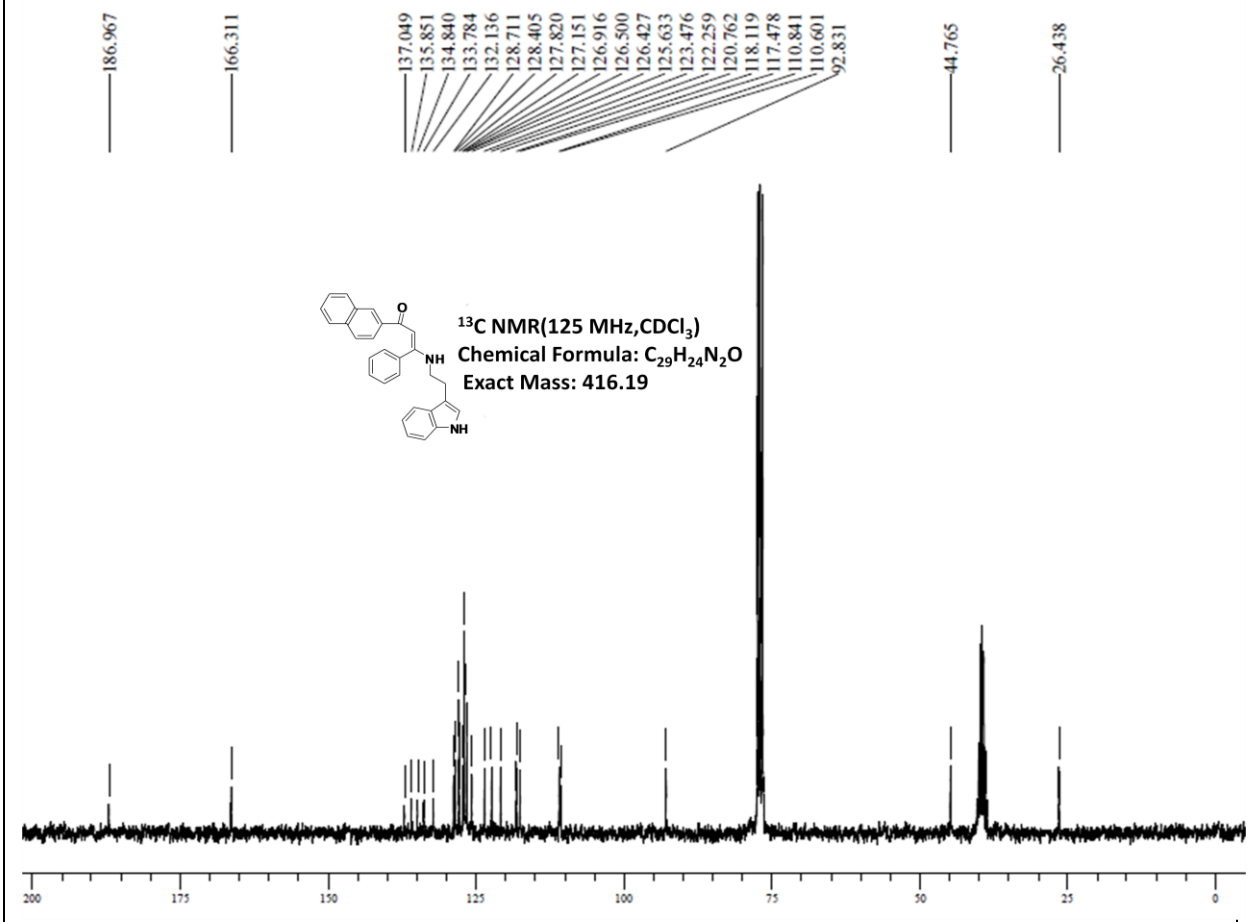
(*E*)-3-(2-(1H-Indol-3-yl)ethylamino)-1,3-diphenylprop-2-en-1-one **1a**



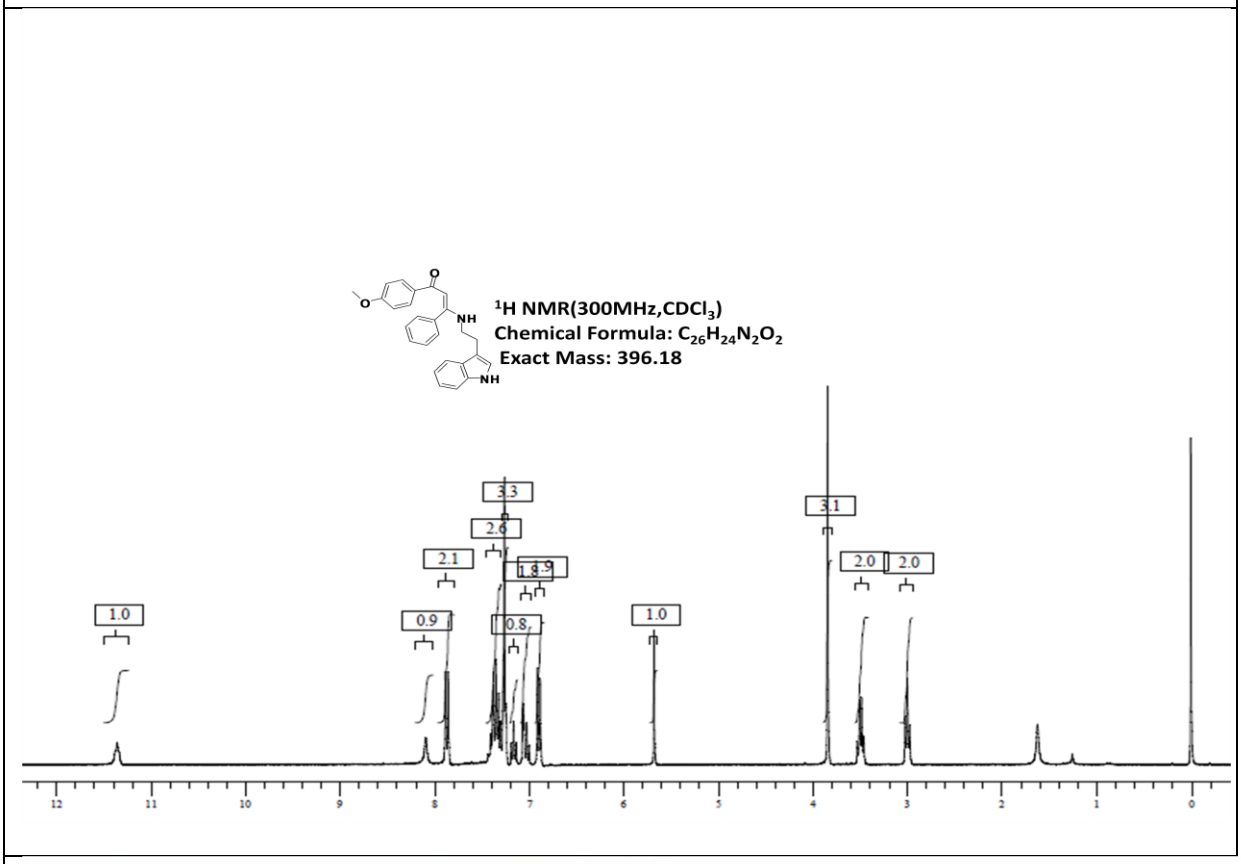


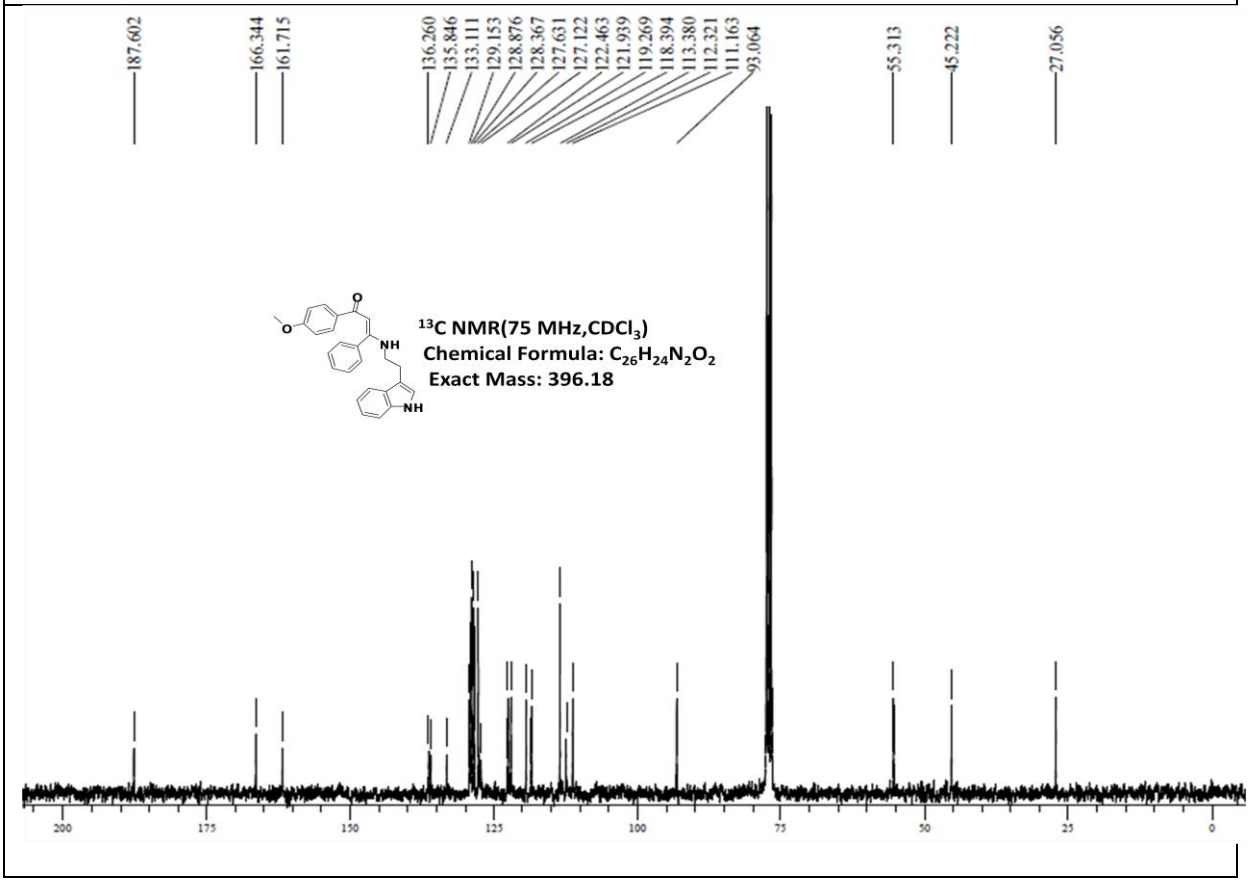
(*E*)-3-(2-(1H-Indol-3-yl)ethylamino)-1-(naphthalen-2-yl)-3-phenylprop-2-en-1-one **1b**



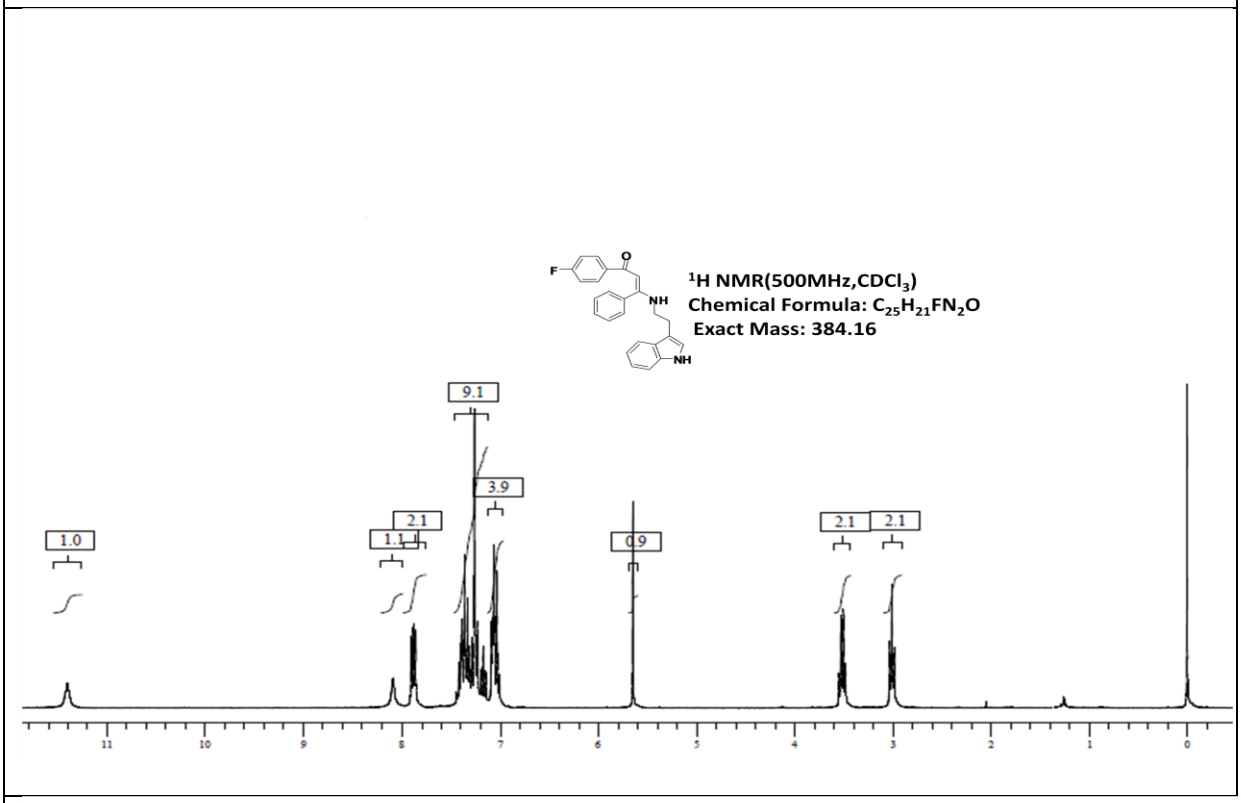


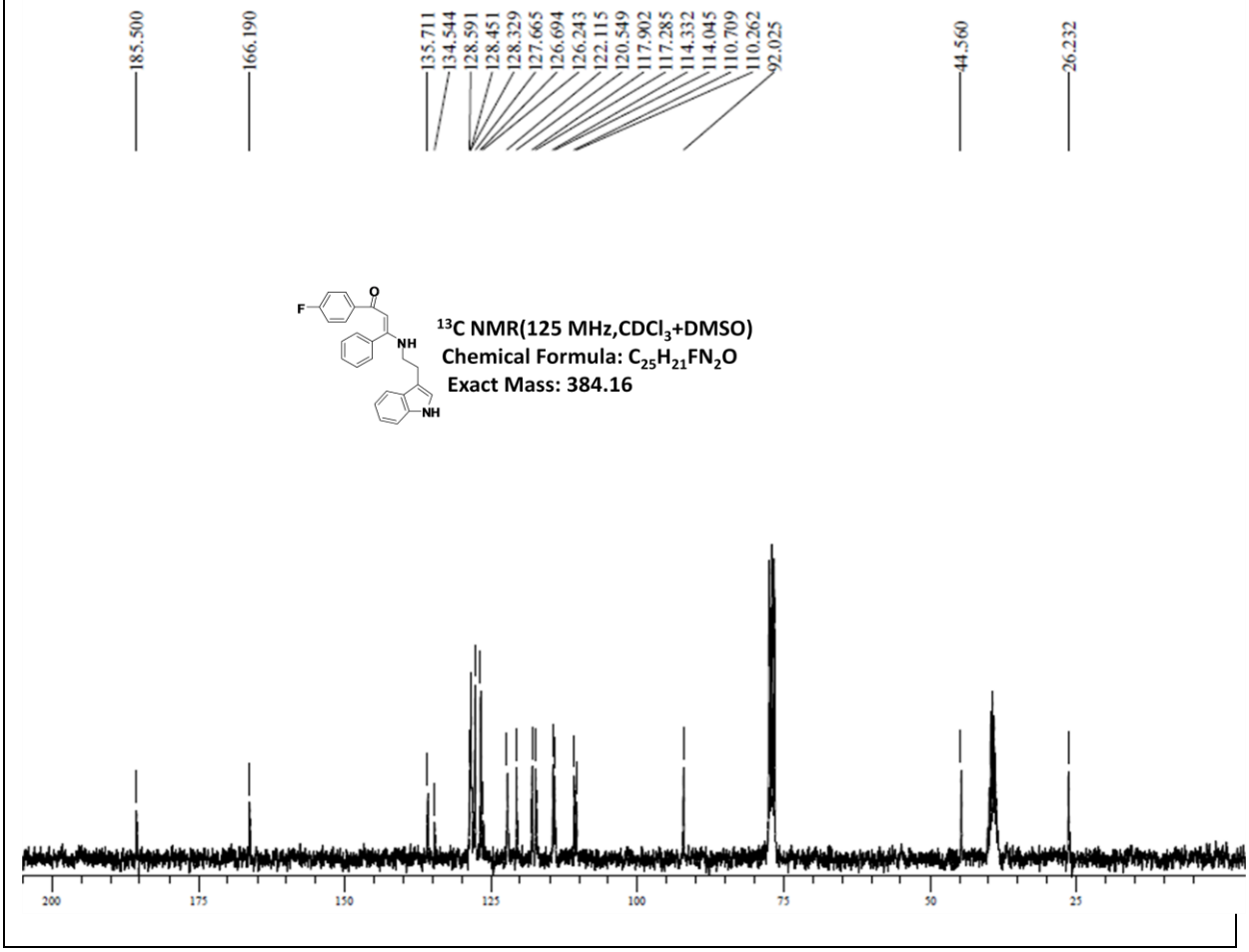
(*E*)-3-(2-(1H-Indol-3-yl)ethylamino)-1-(4-methoxyphenyl)-3-phenylprop-2-en-1-one **1c**



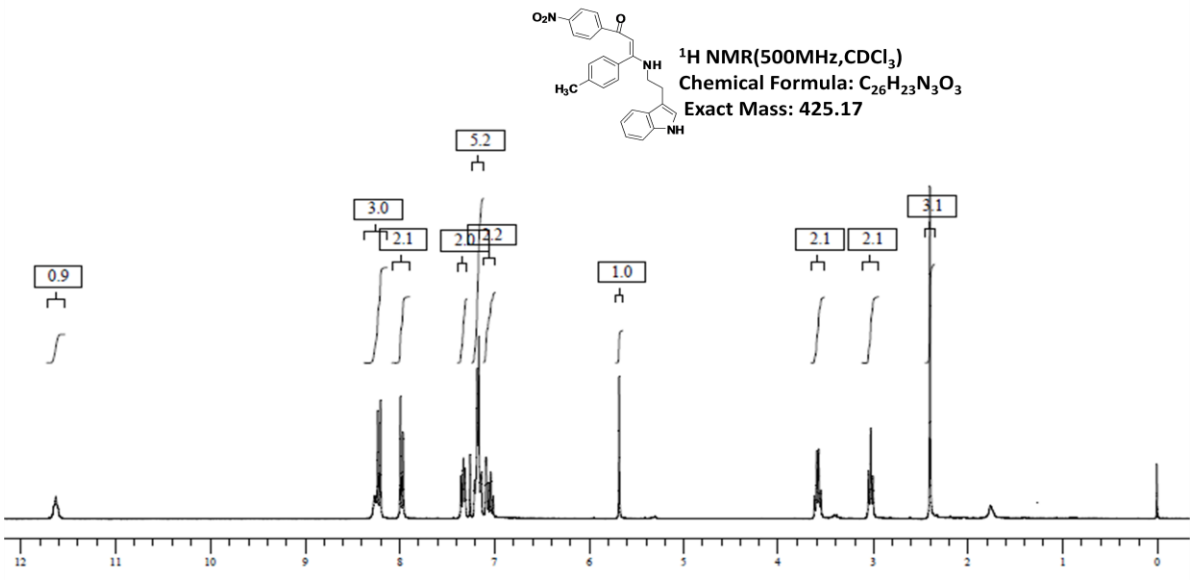


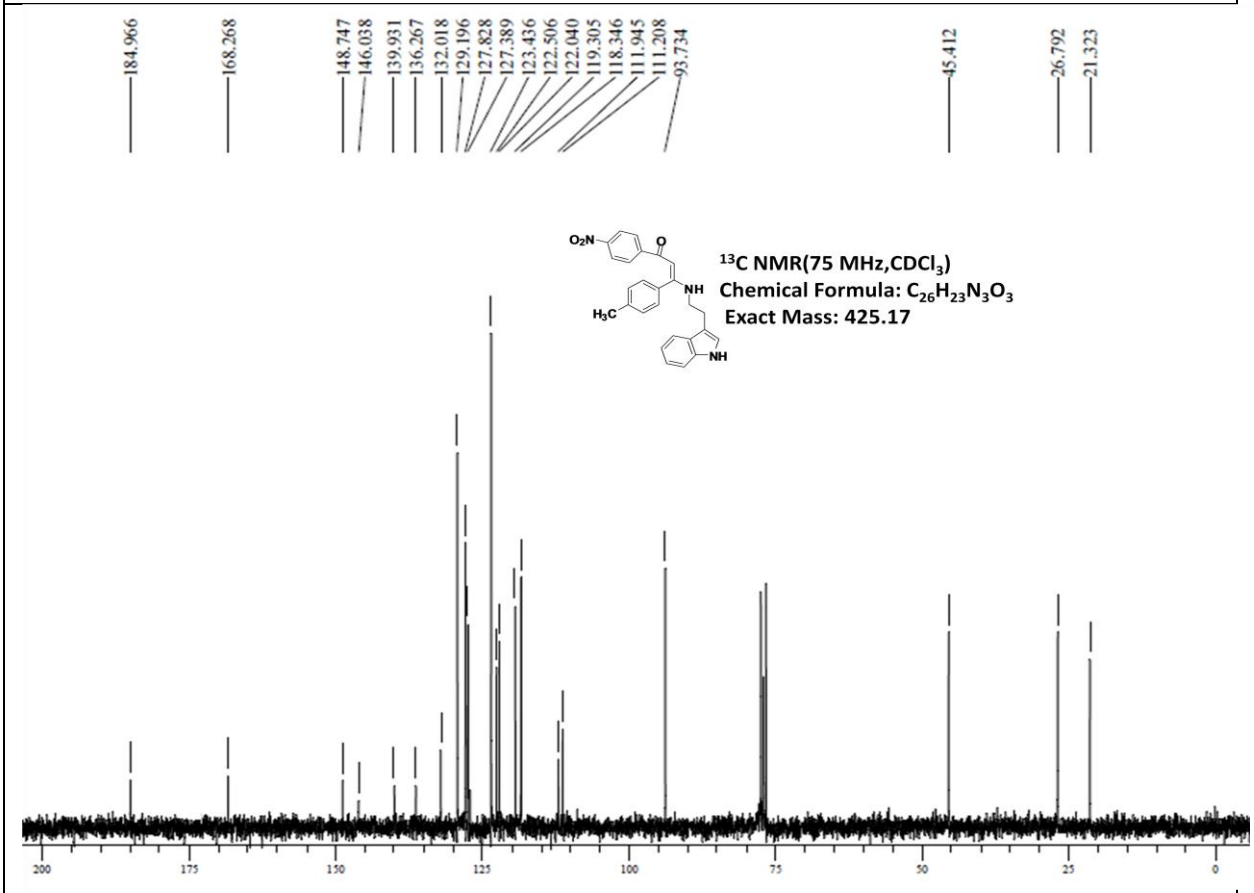
(*E*)-3-(2-(1H-Indol-3-yl)ethylamino)-1-(4-fluorophenyl)-3-phenylprop-2-en-1-one1e **1d**



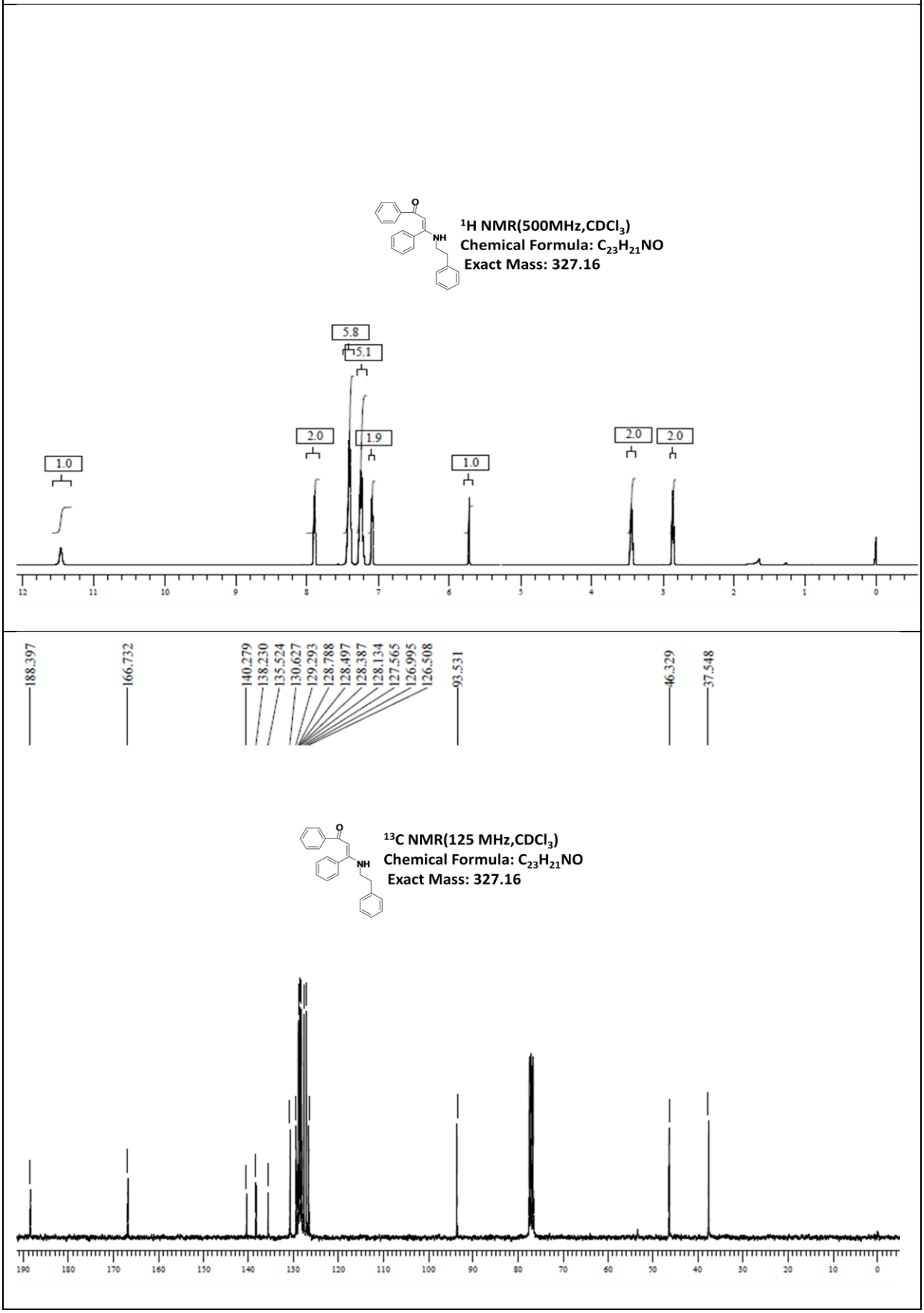


(*E*)-3-(2-(1H-Indol-3-yl)ethylamino)-1-(4-nitrophenyl)-3-*p*-tolylprop-2-en-1-one **1e**

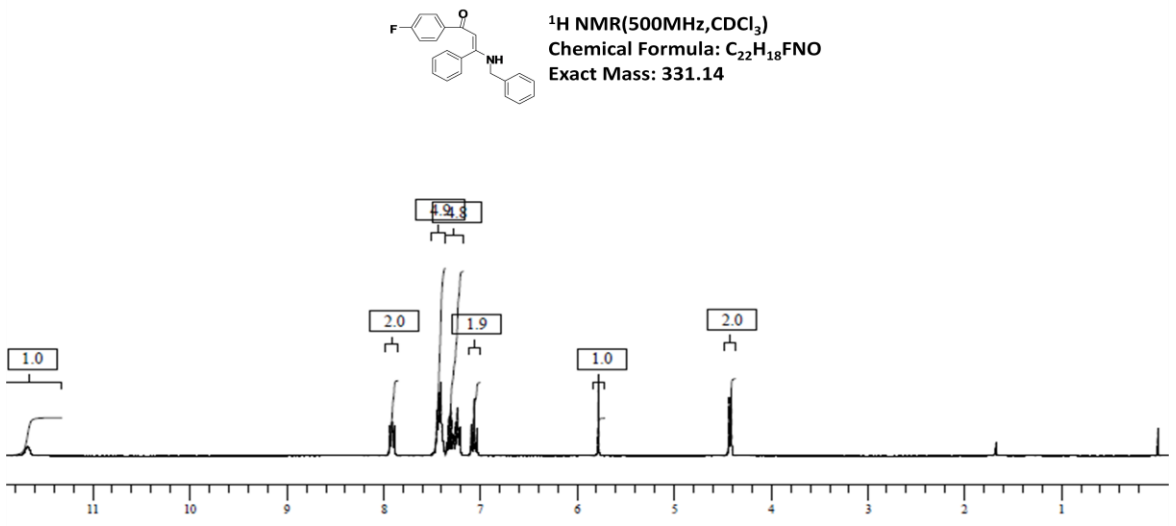


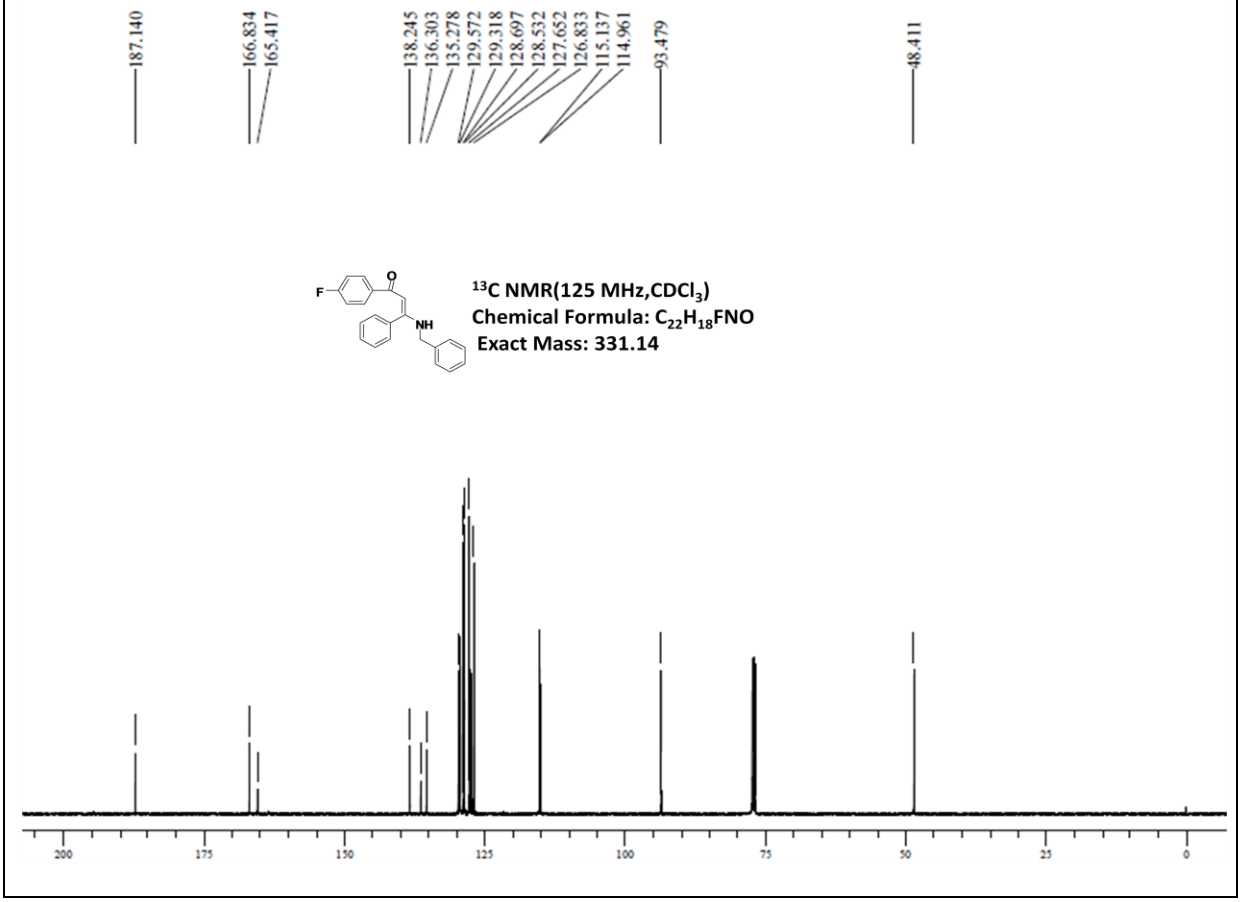


(*E*)-3-(Phenethylamino)-1,3-diphenylprop-2-en-1-one -**1f**

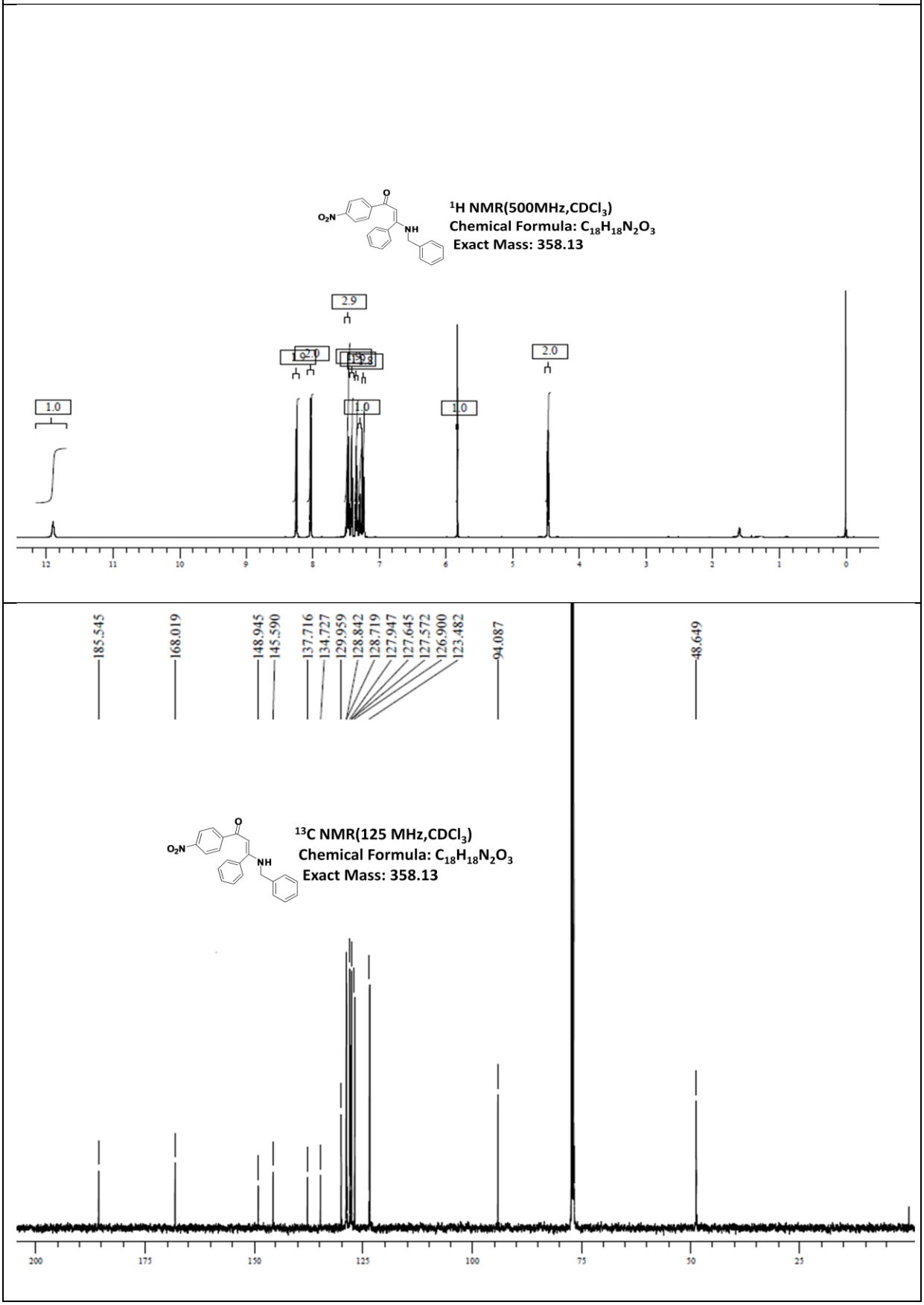


(*E*)-3-(Benzylamino)-1-(4-fluorophenyl)-3-phenylprop-2-en-1-one – **1g**

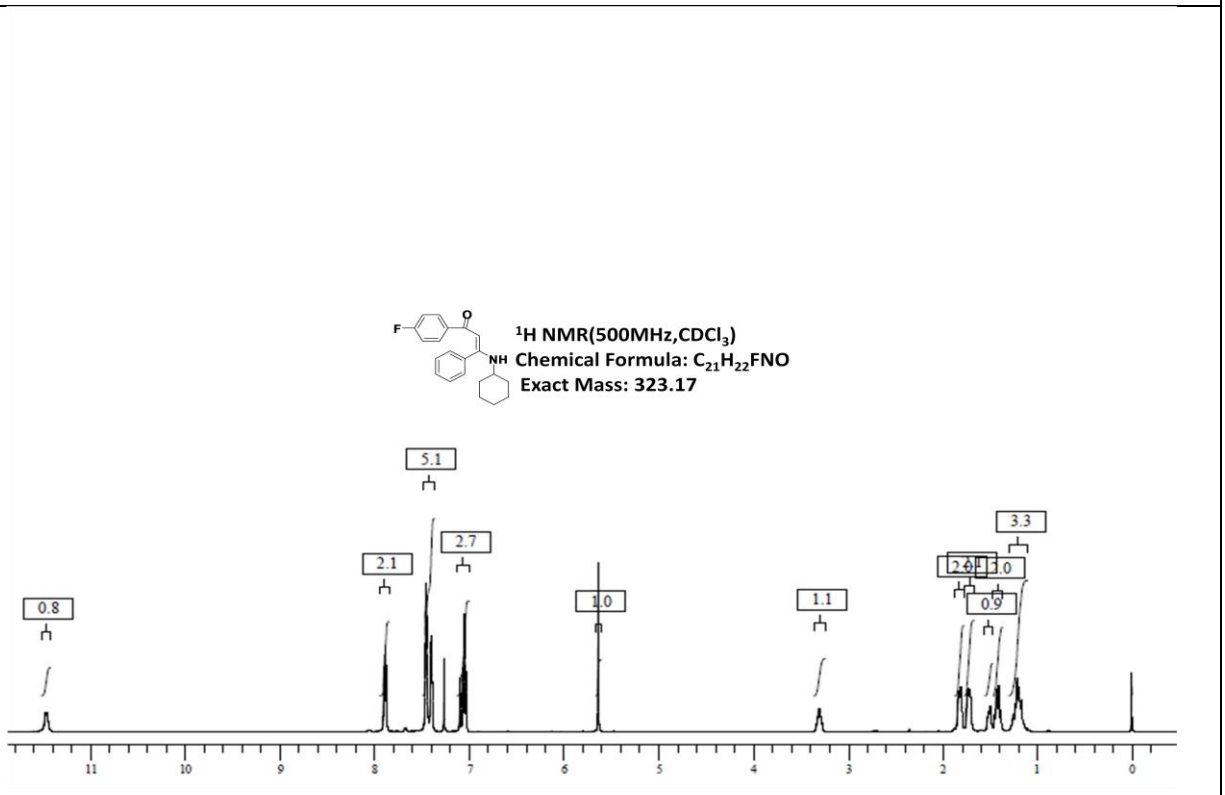


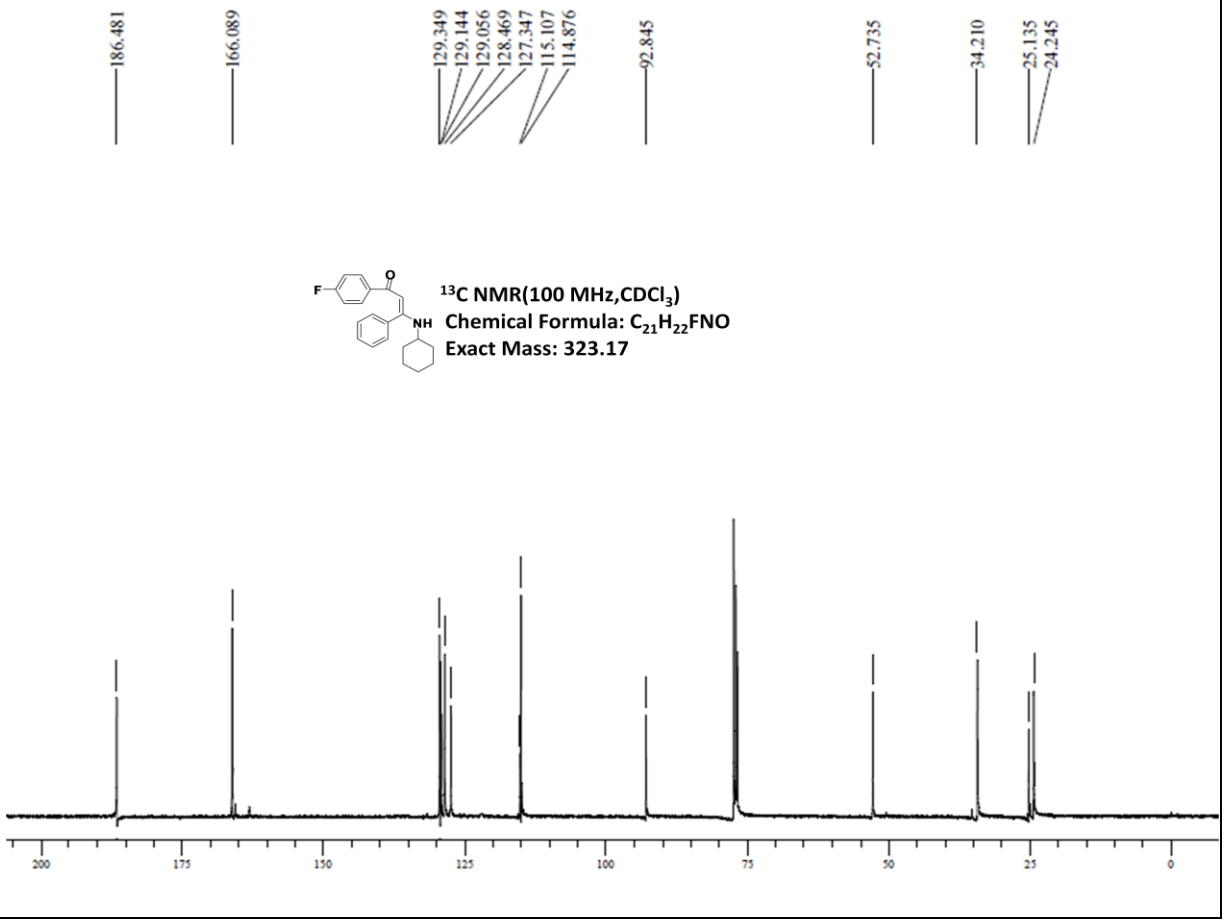


(*E*)-3-(Benzylamino)-1-(4-nitrophenyl)-3-phenylprop-2-en-1-one – **1h**

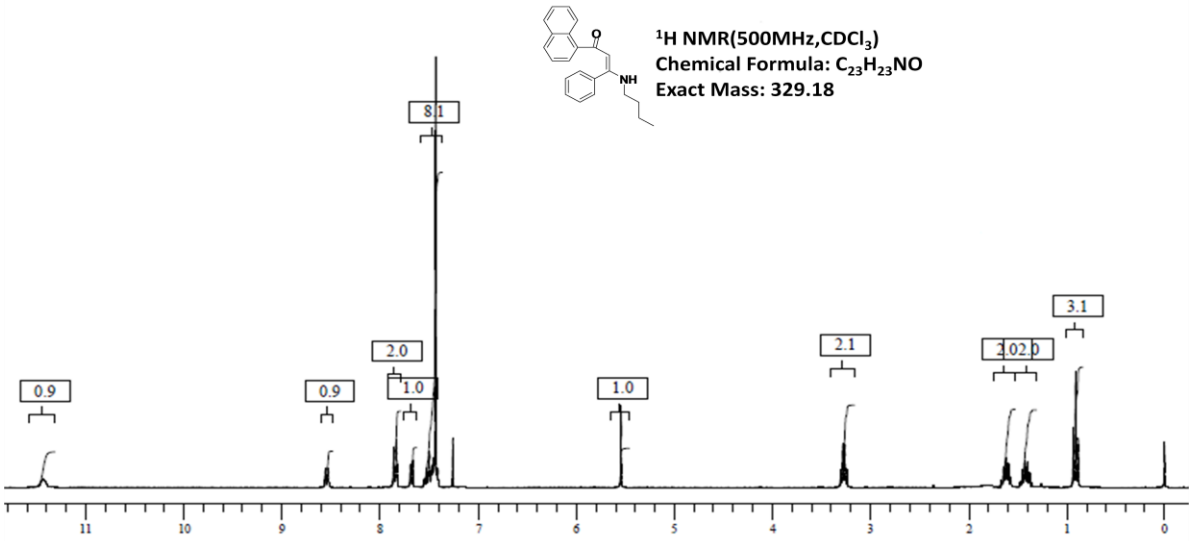


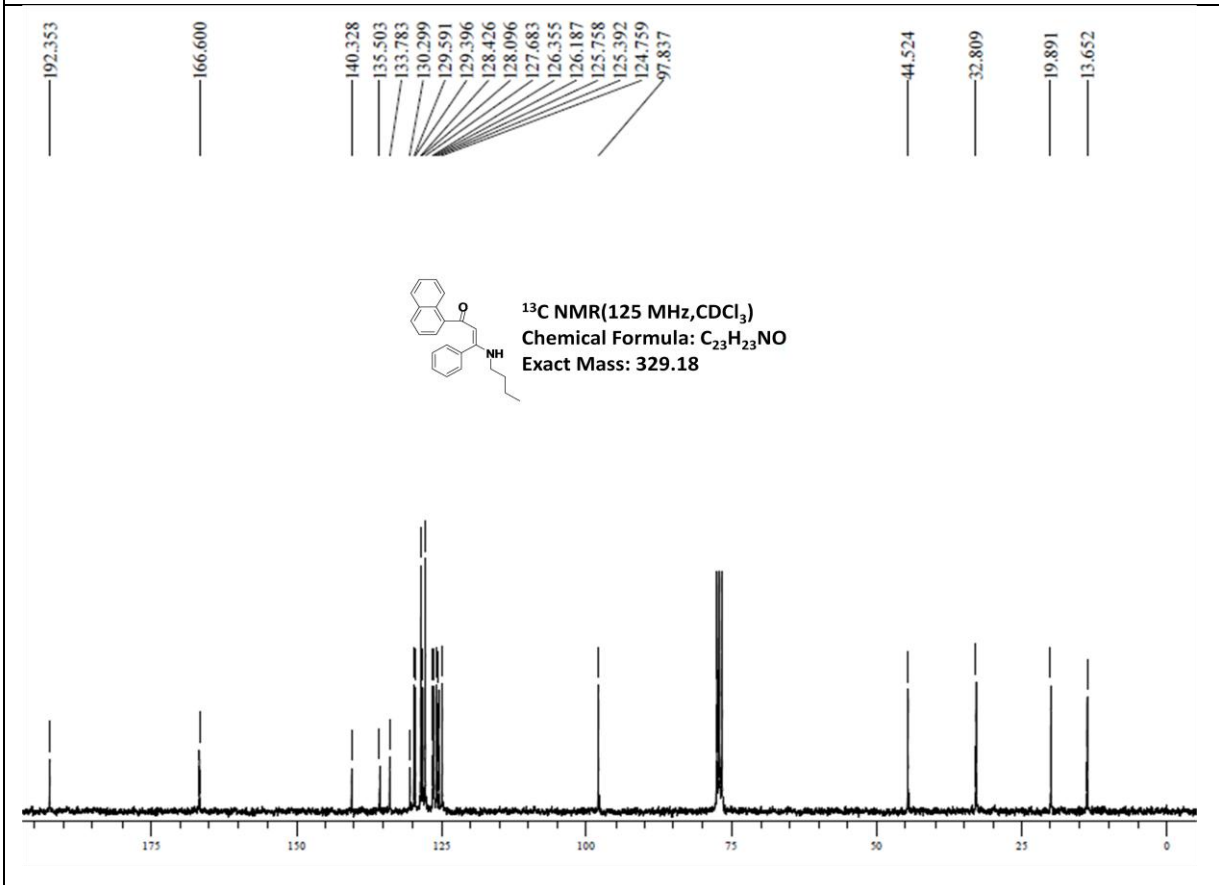
(*E*)-3-(Cyclohexylamino)-1-(4-fluorophenyl)-3-phenylprop-2-en-1-one -**1i**



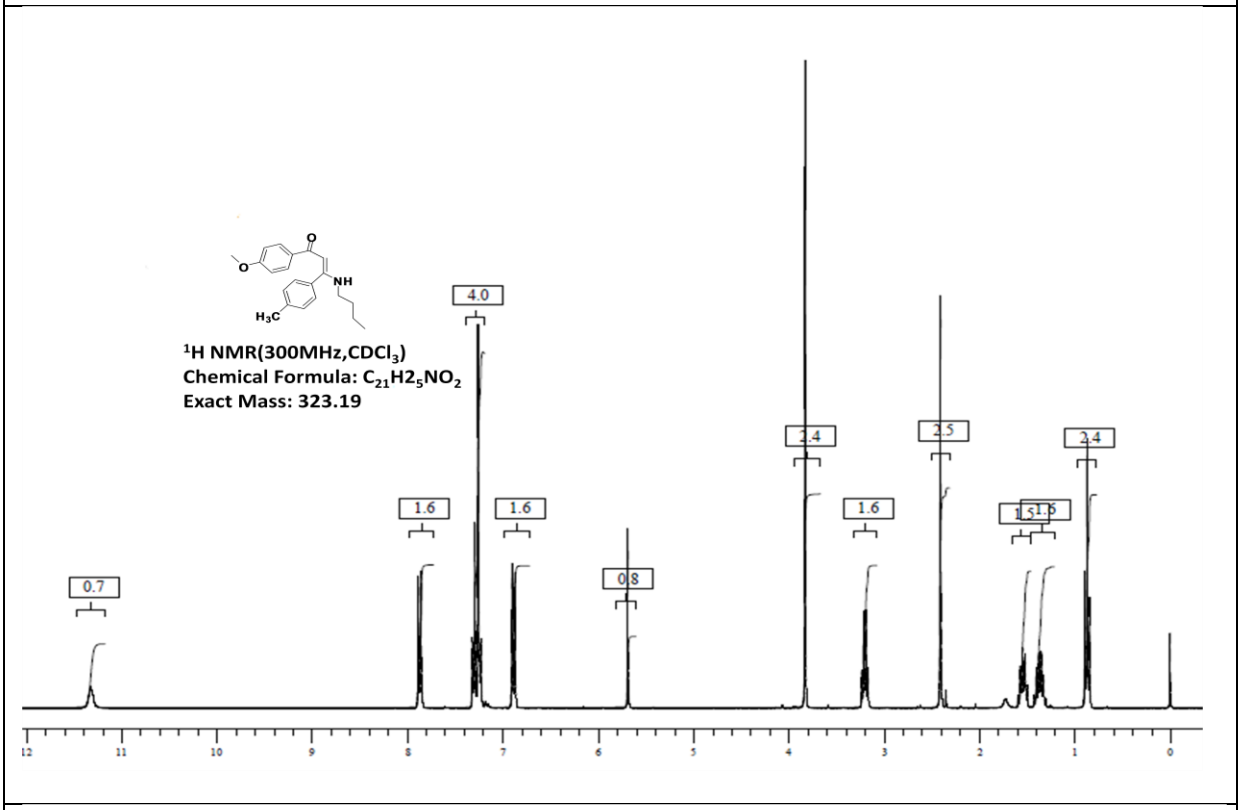


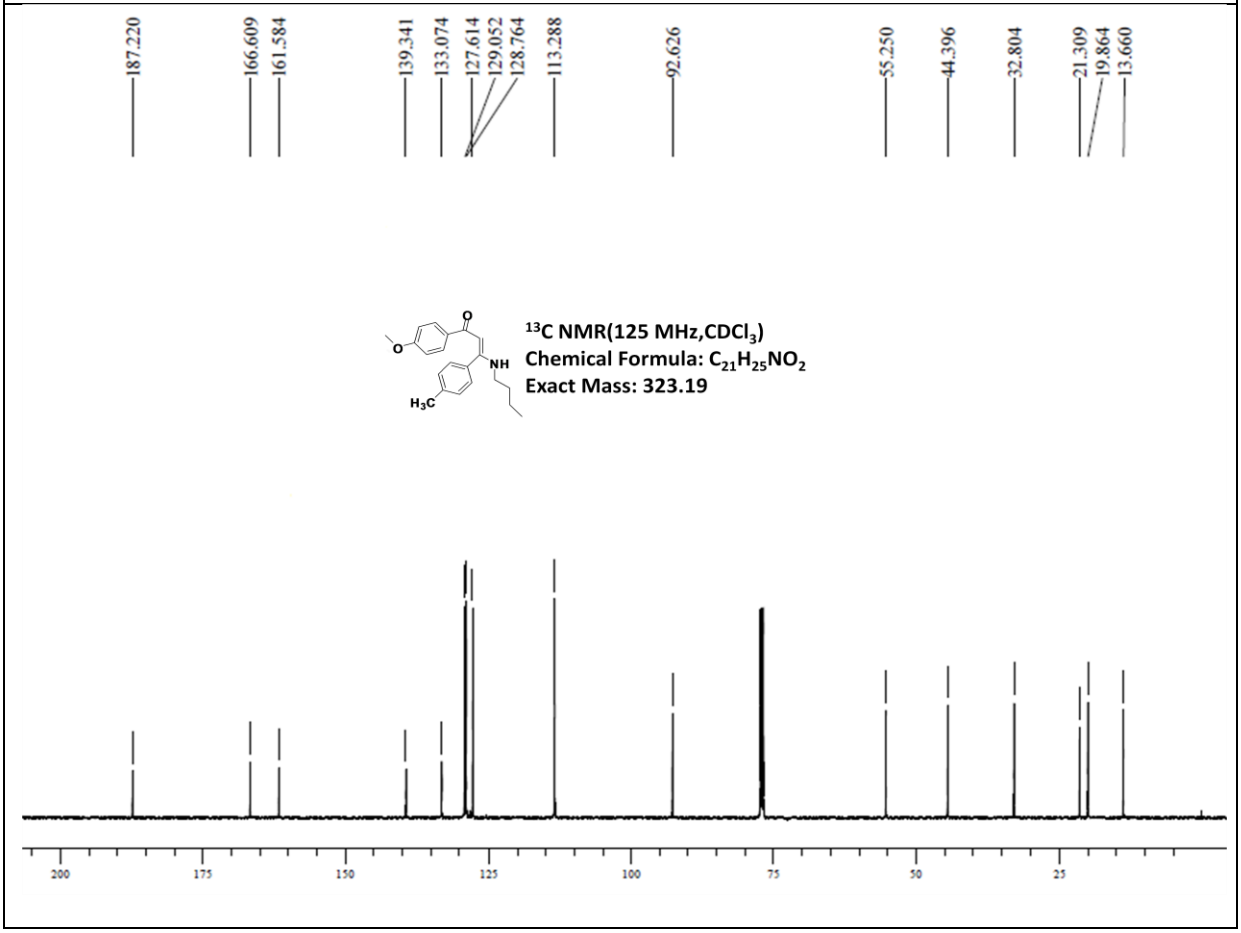
(*E*)-3-(Butylamino)-1-(naphthalen-1-yl)-3-phenylprop-2-en-1-one -**1j**



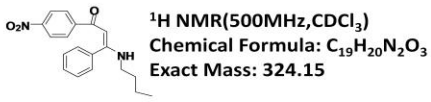


(*E*)-3-(Butylamino)-1-(4-methoxyphenyl)-3-*p*-tolylprop-2-en-1-one- **1k**

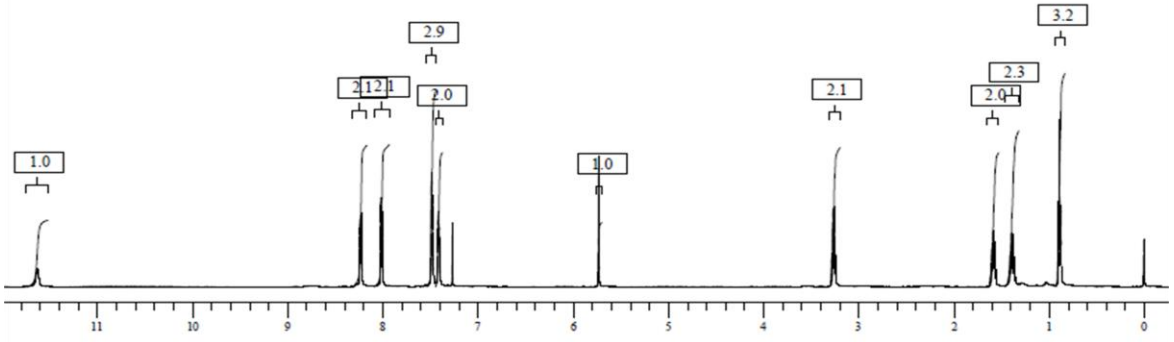


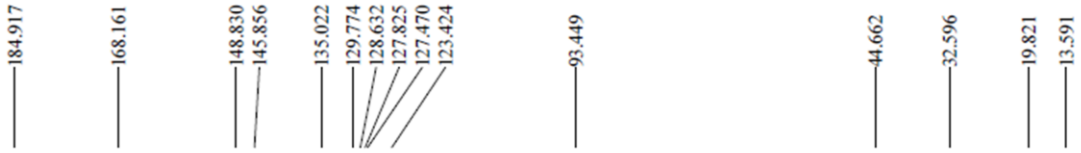


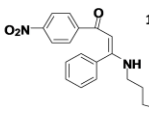
(*E*)-3-(Butylamino)-1-(4-nitrophenyl)-3-phenylprop-2-en-1-one – **1l**



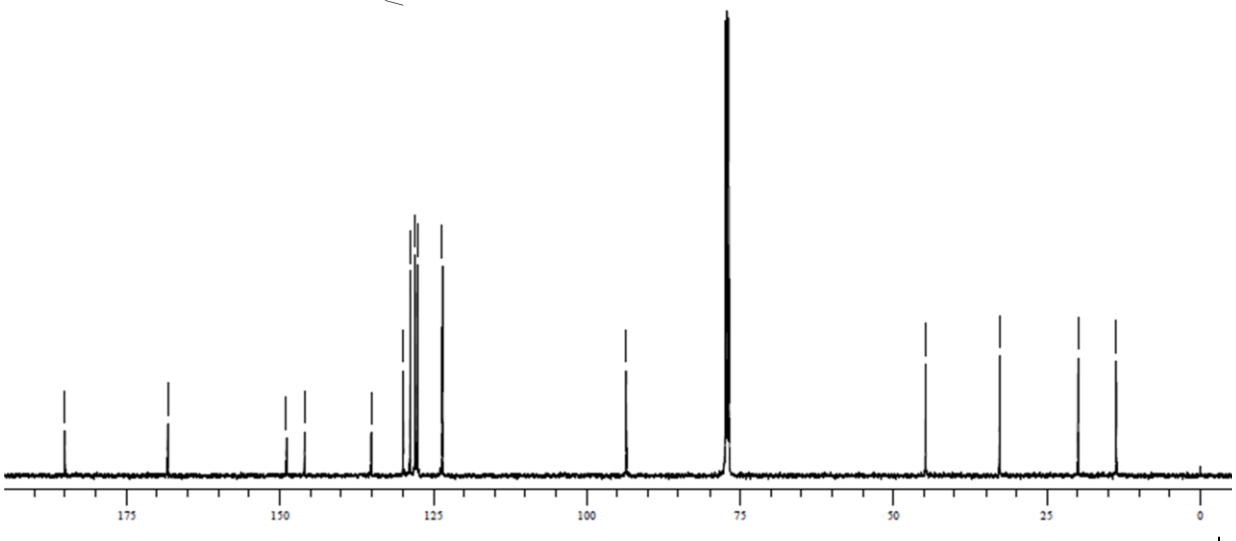
^{1}H NMR(500MHz,CDCl$_3$)
Chemical Formula: $C_{19}H_{20}N_2O_3$
Exact Mass: 324.15



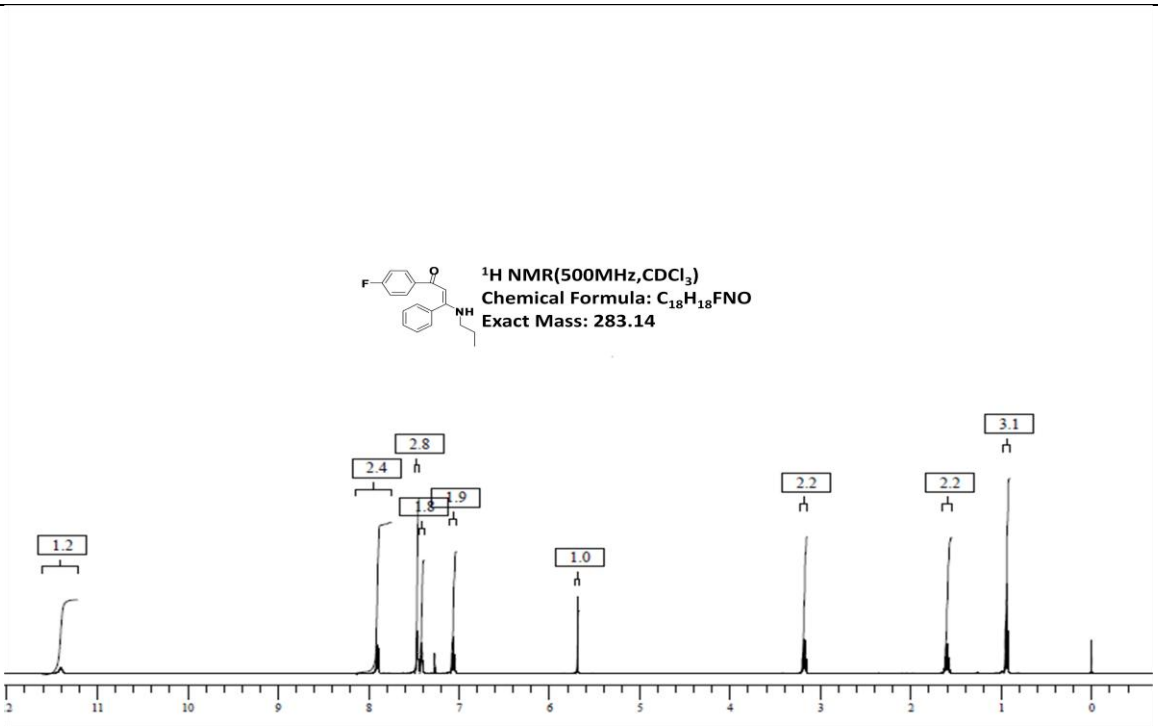


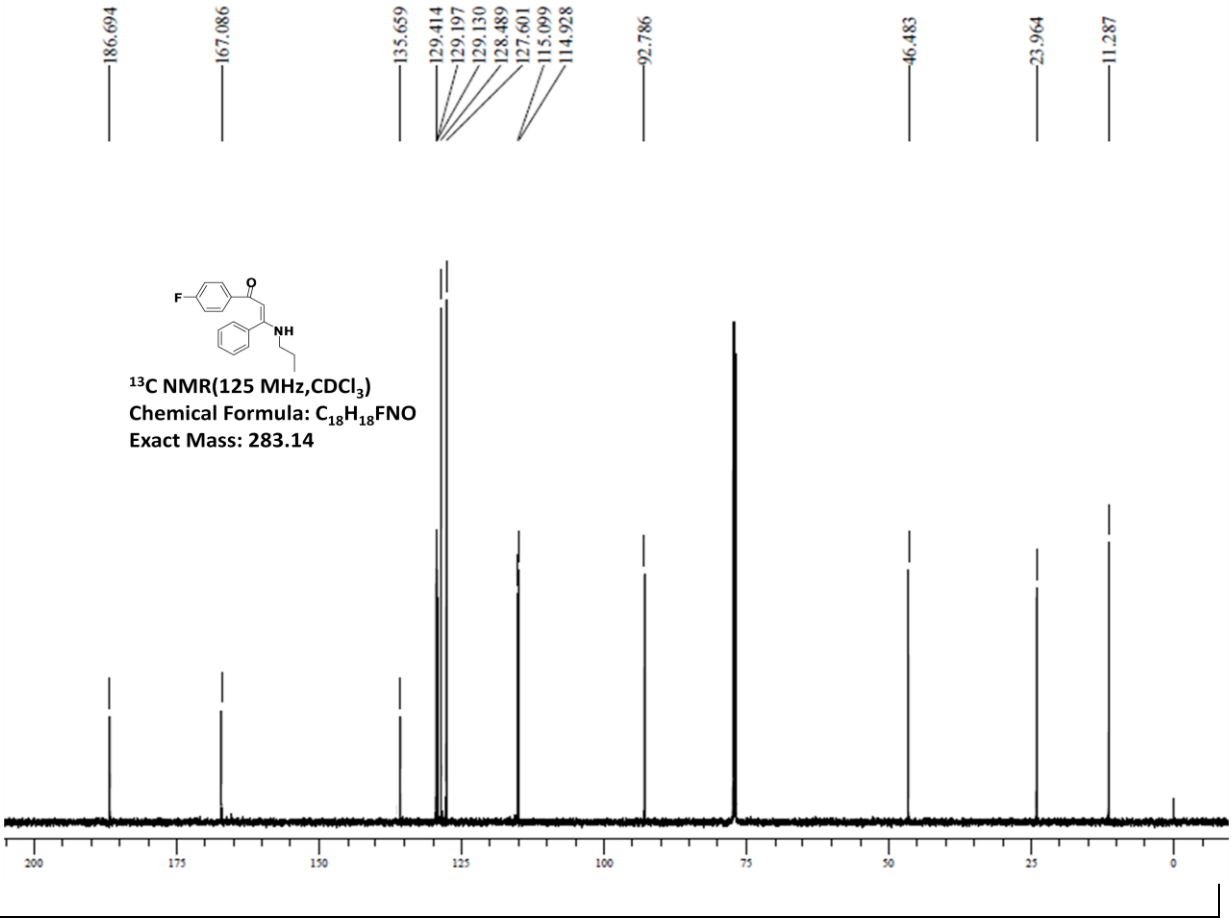


^{13}C NMR(125 MHz,CDCl$_3$)
Chemical Formula: $C_{19}H_{20}N_2O_3$
Exact Mass: 324.15

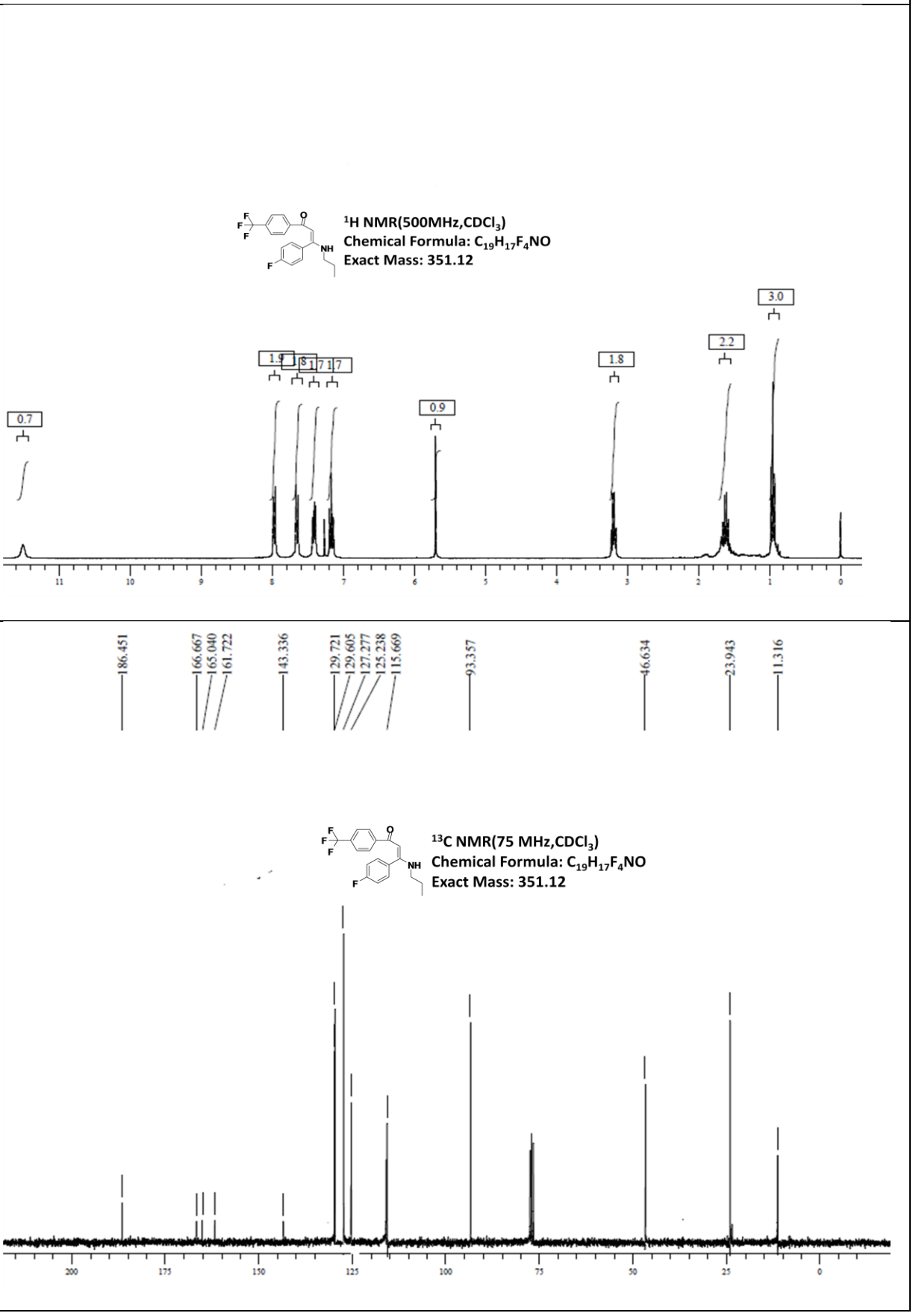


(*E*)-1-(4-Fluorophenyl)-3-phenyl-3-(propylamino)prop-2-en-1-one -**1m**

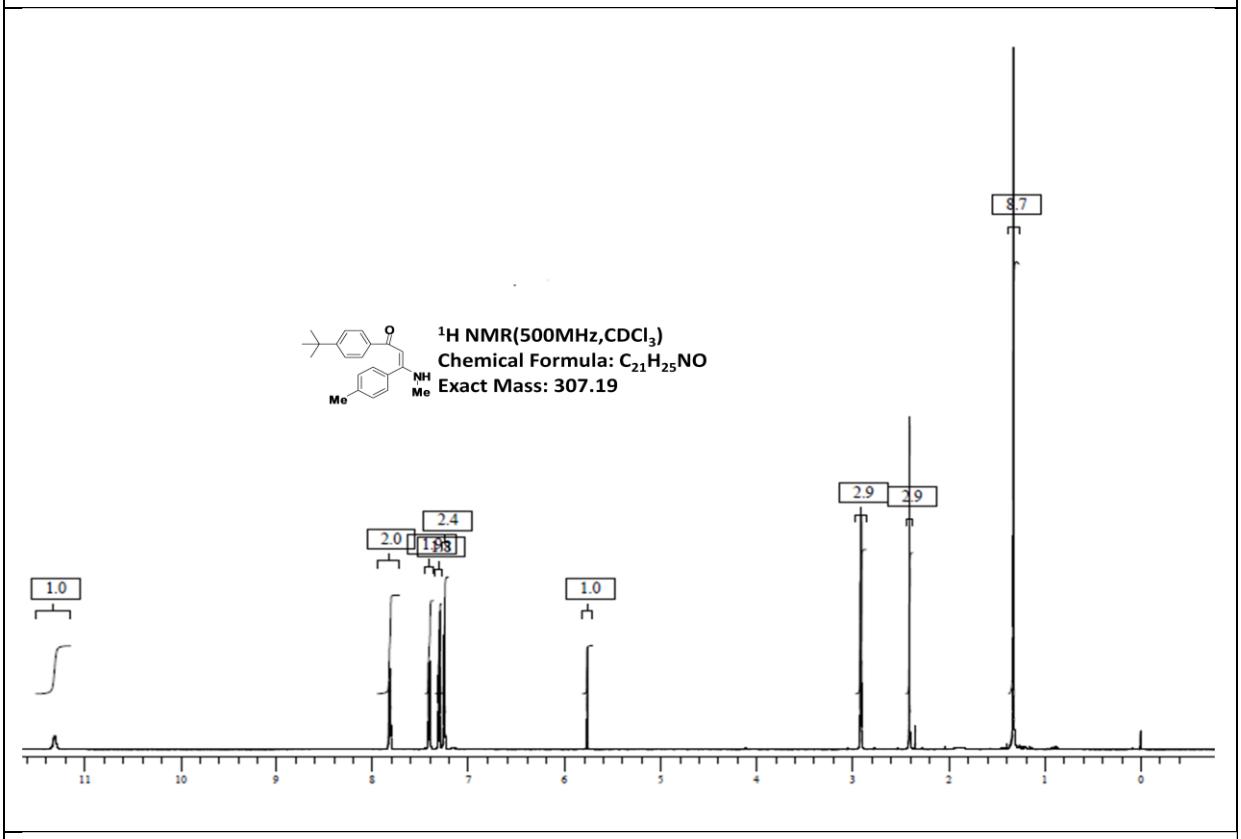


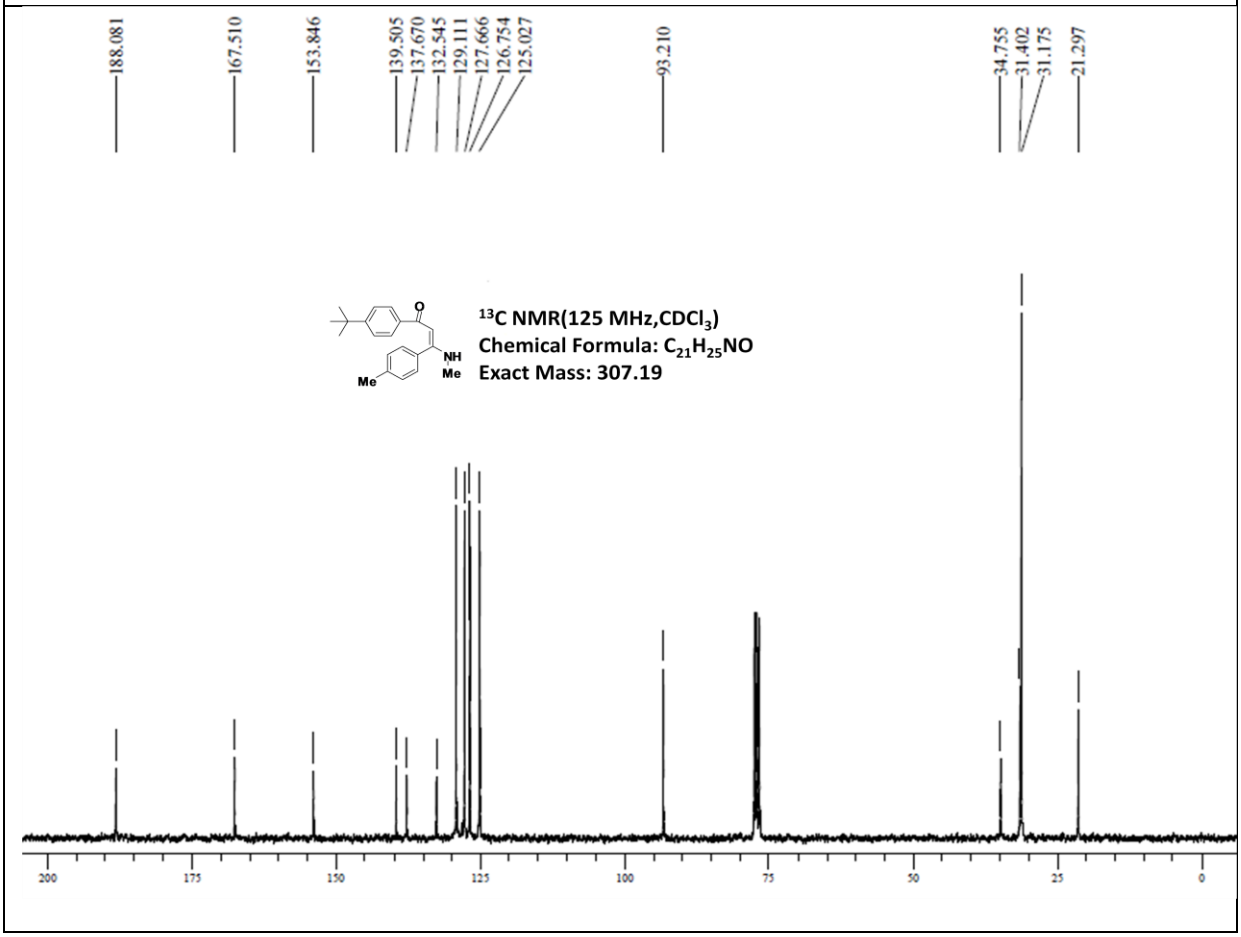


(*E*)-3-(4-Fluorophenyl)-3-(propylamino)-1-(4-(trifluoromethyl)phenyl)prop-2-en-1-one – **1n**

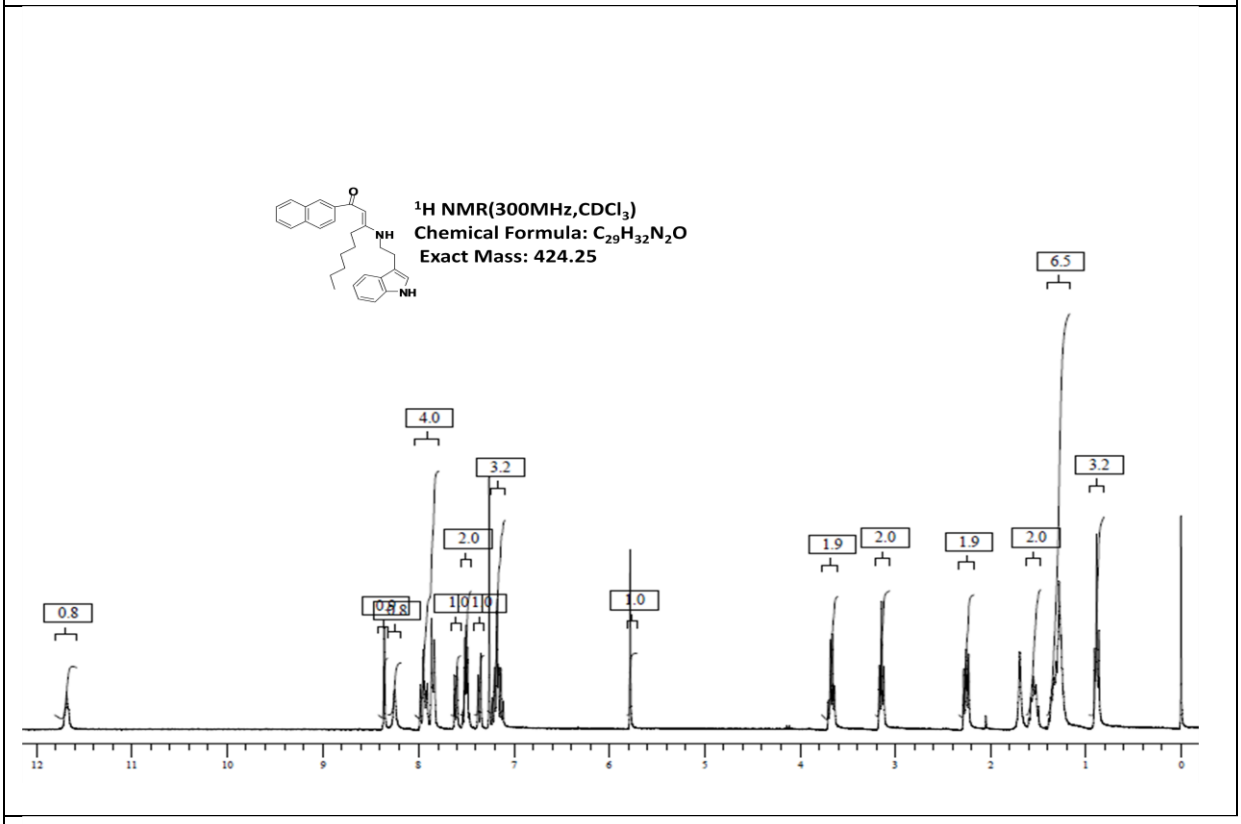


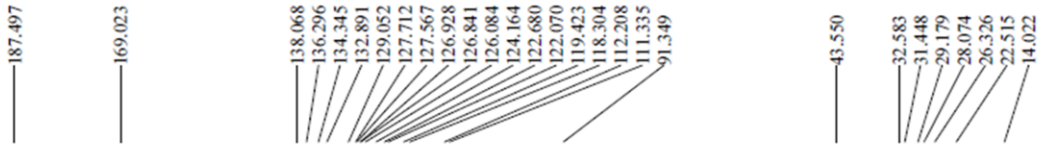
(*E*)-1-(4-Tert-butylphenyl)-3-(methylamino)-3-*p*-tolylprop-2-en-1-one -**1o**

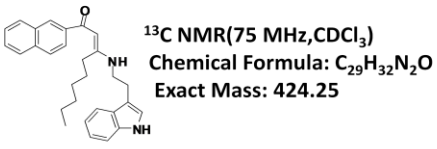


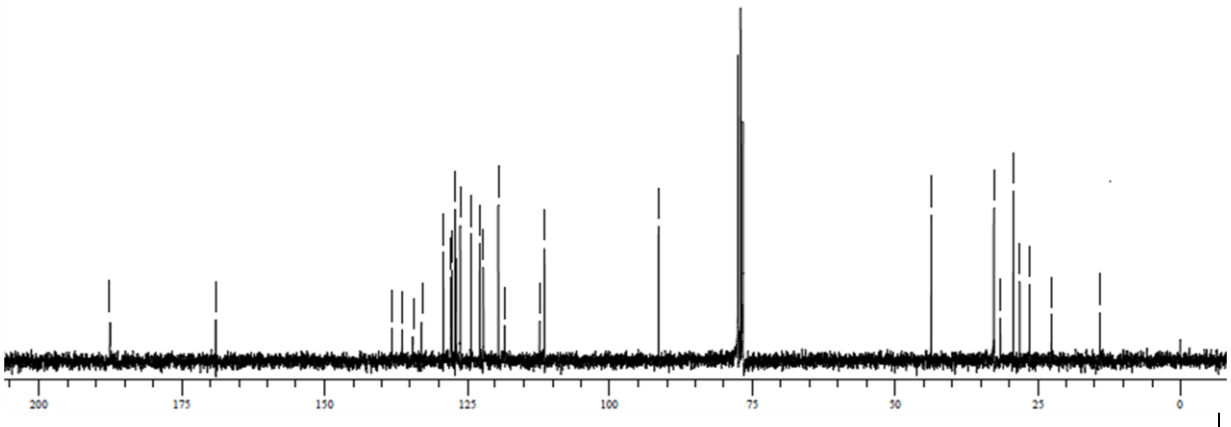


(*E*)-3-(2-(1H-Indol-3-yl)ethylamino)-1-(naphthalen-2-yl)-3-phenylprop-2-en-1-one **1p**

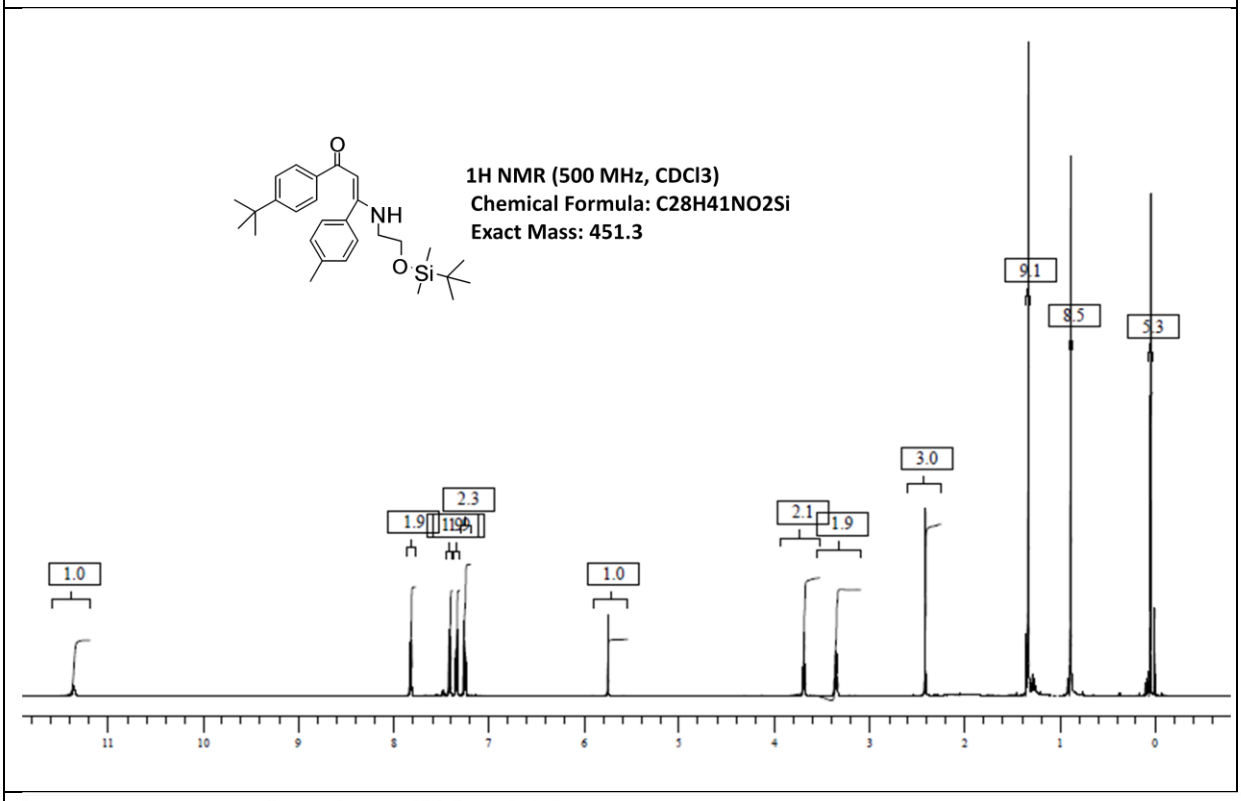


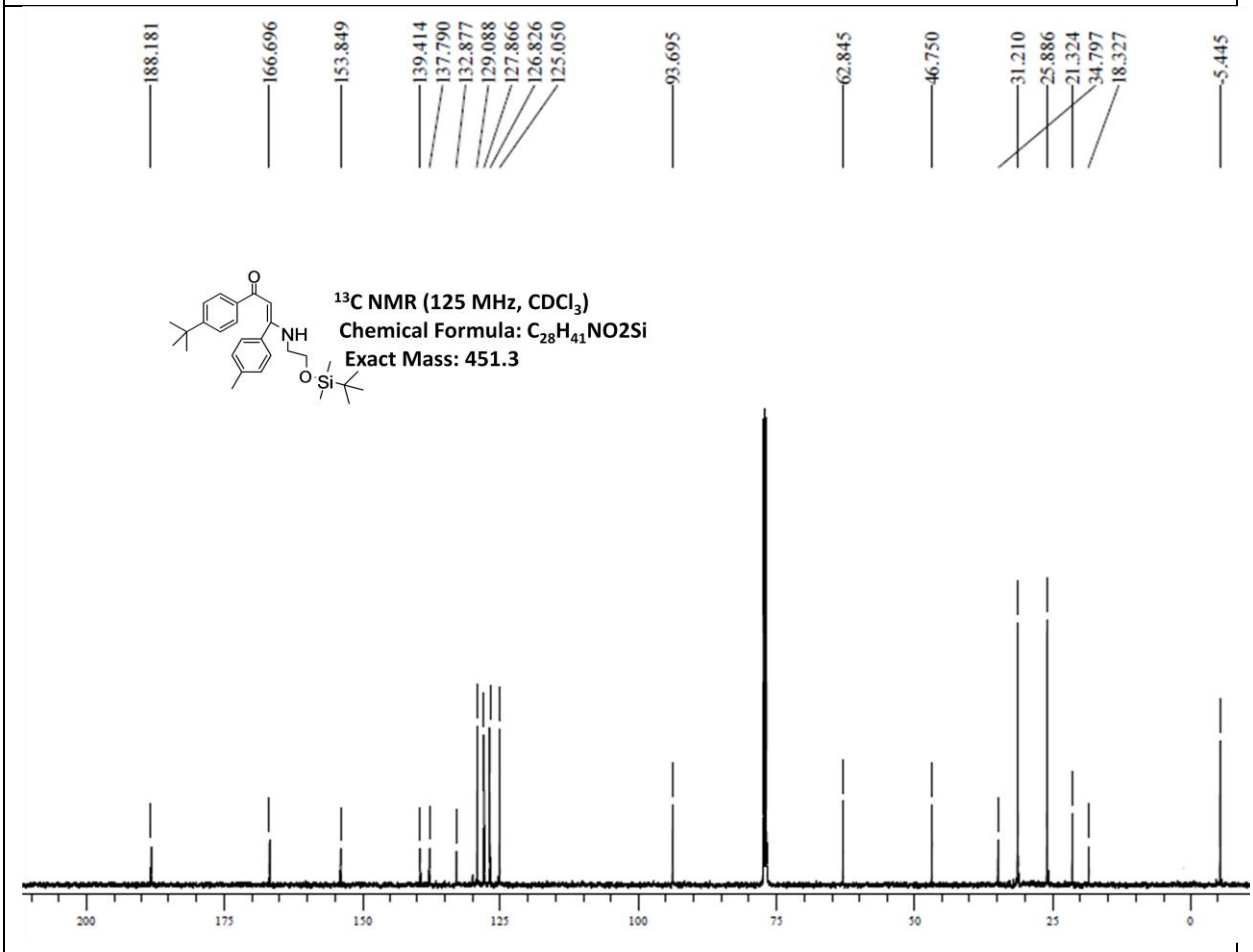




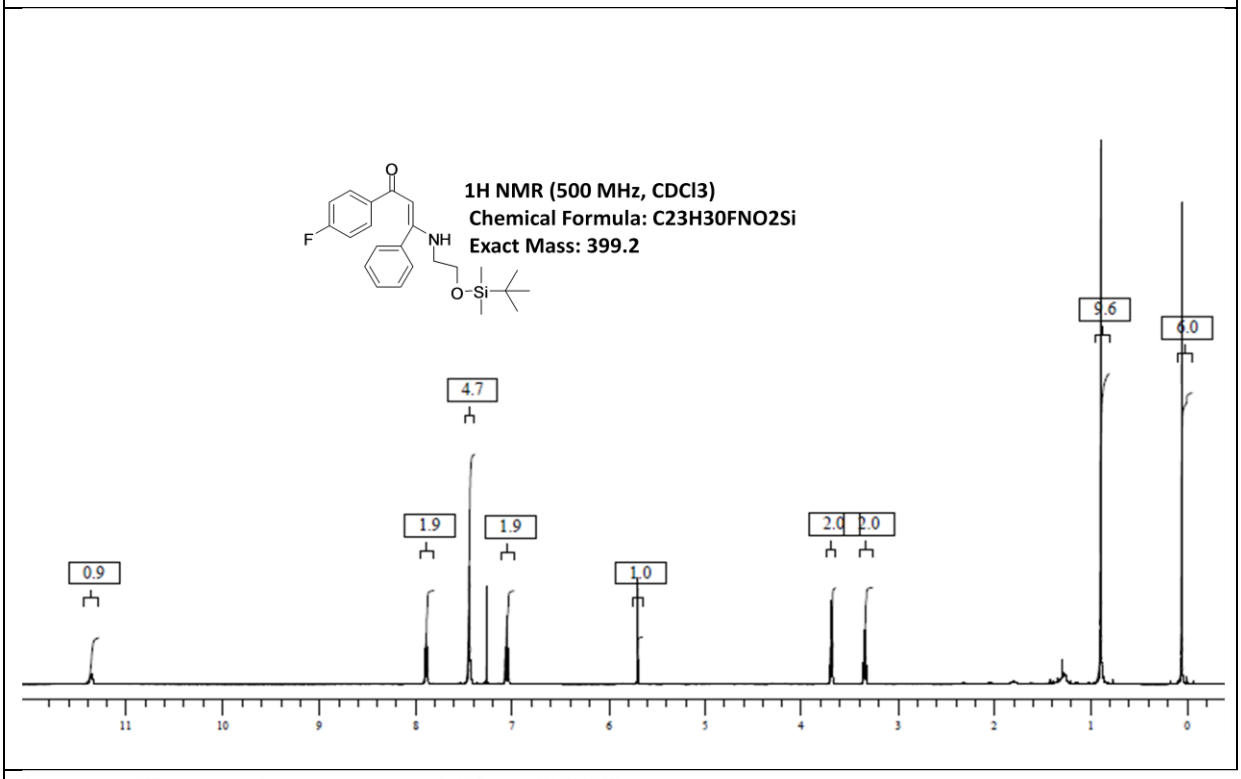


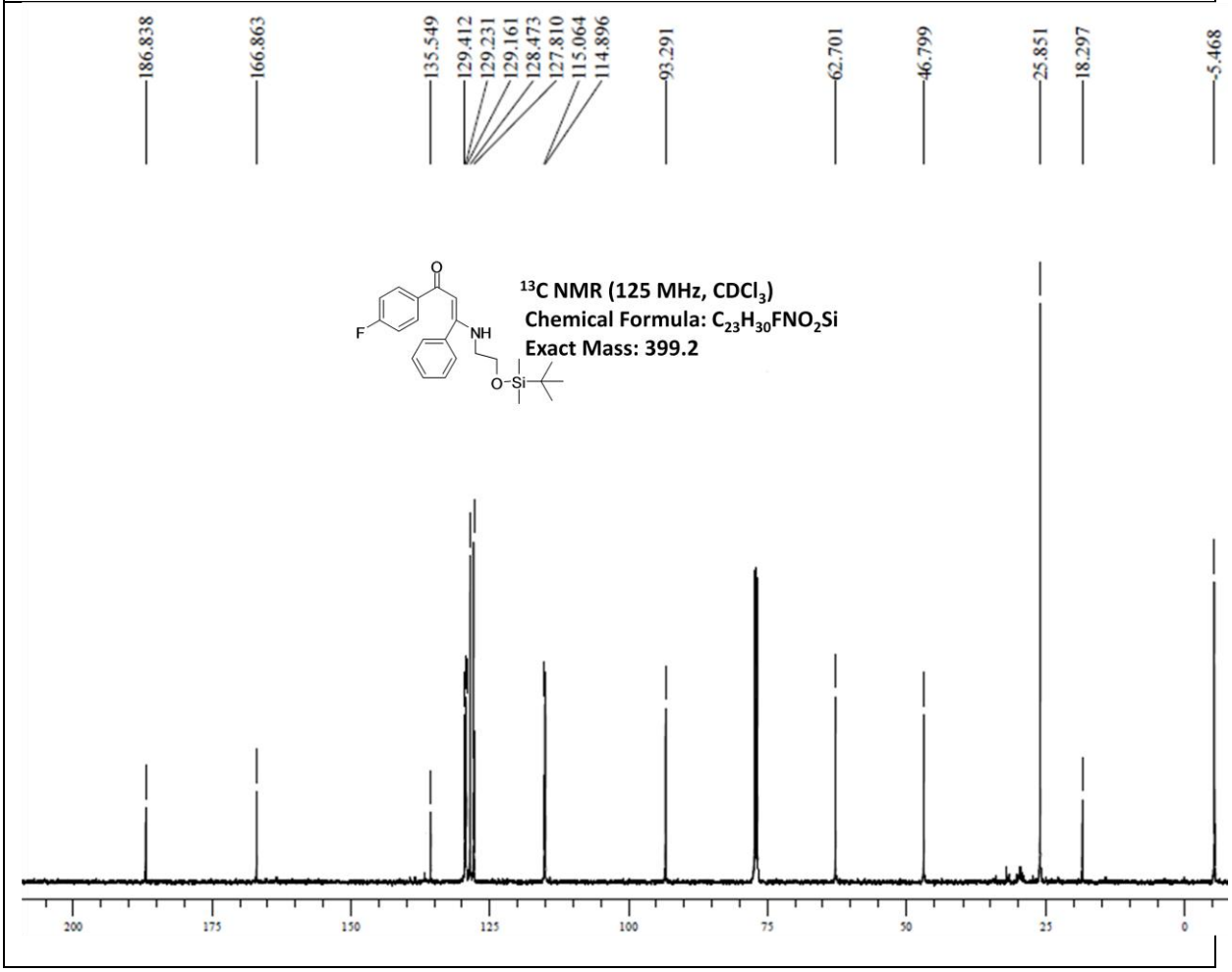
(E)-3-(2-(Tert-butyldimethylsilyloxy)ethylamino)-1-(4-tert-butylphenyl)-3-p-tolylprop-2-en-1-one **1q**



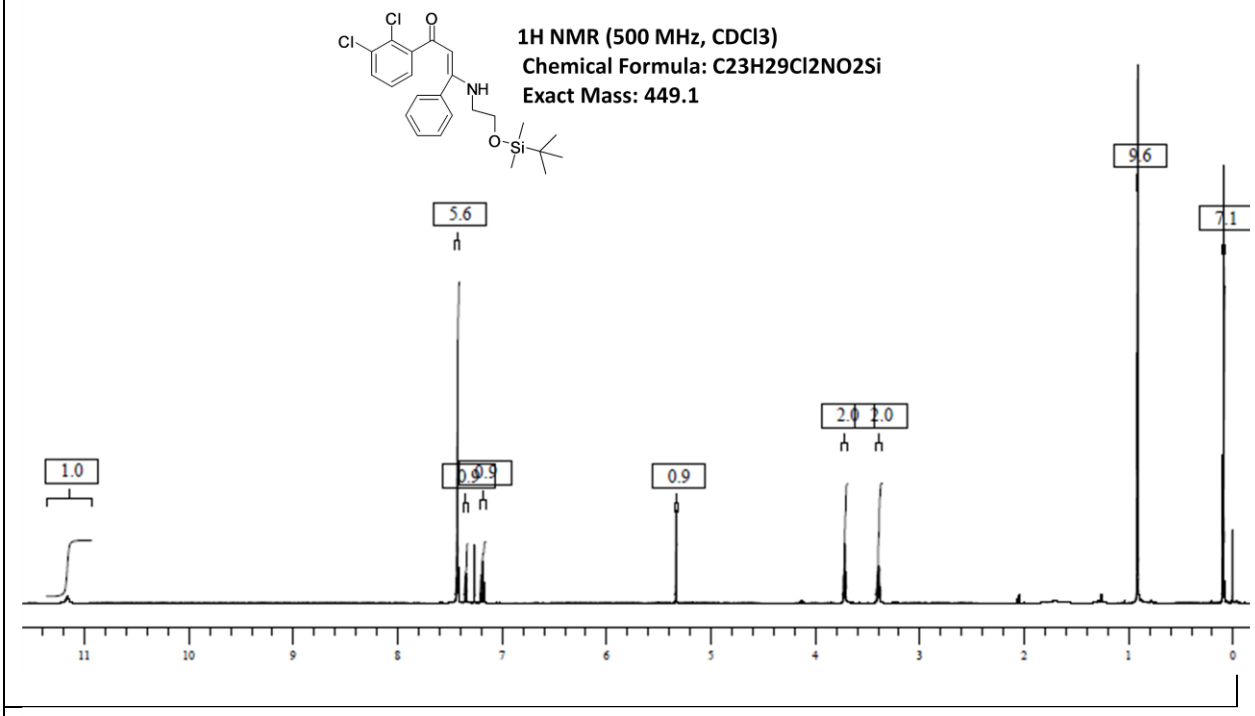


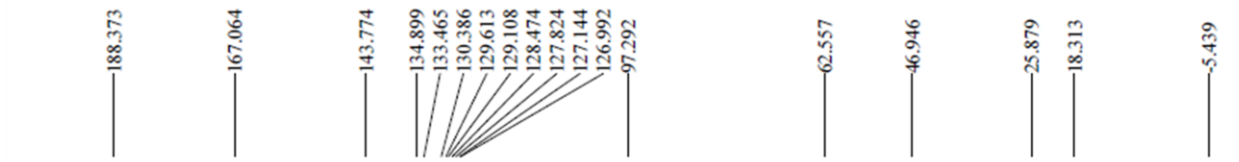
(E)-3-(2-(Tert-butyldimethylsilyloxy)ethylamino)-1-(4-fluorophenyl)-3-phenylprop-2-en-1-one **1r**

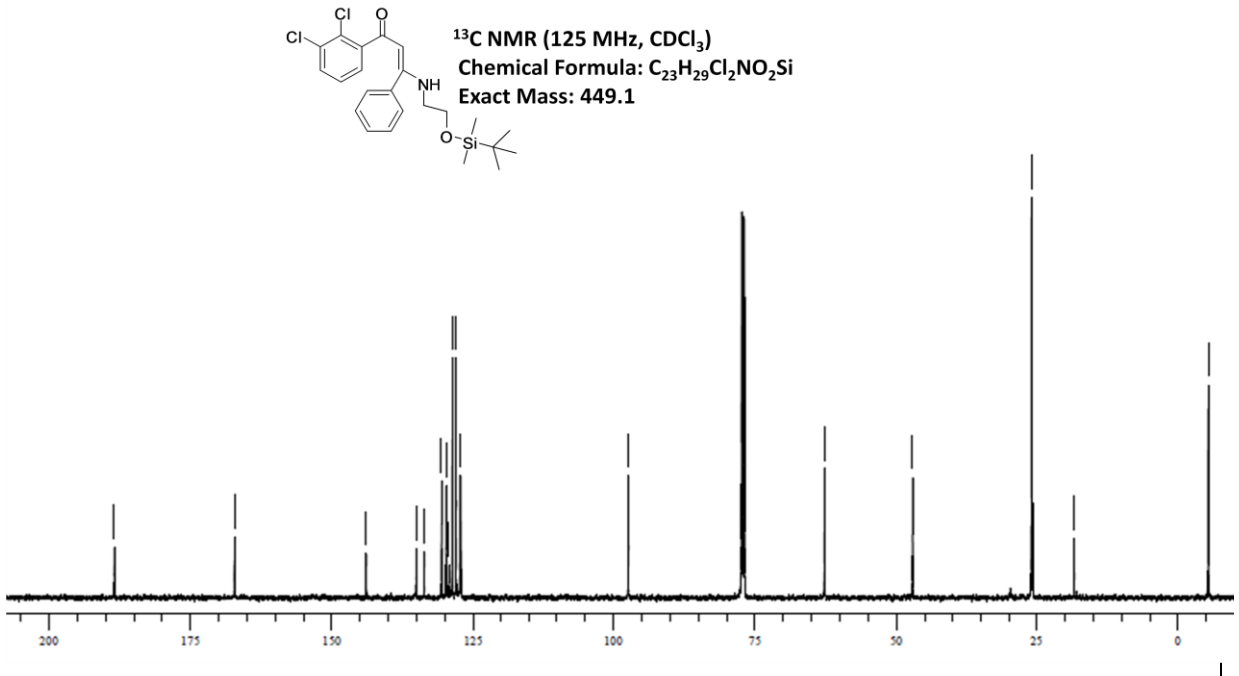




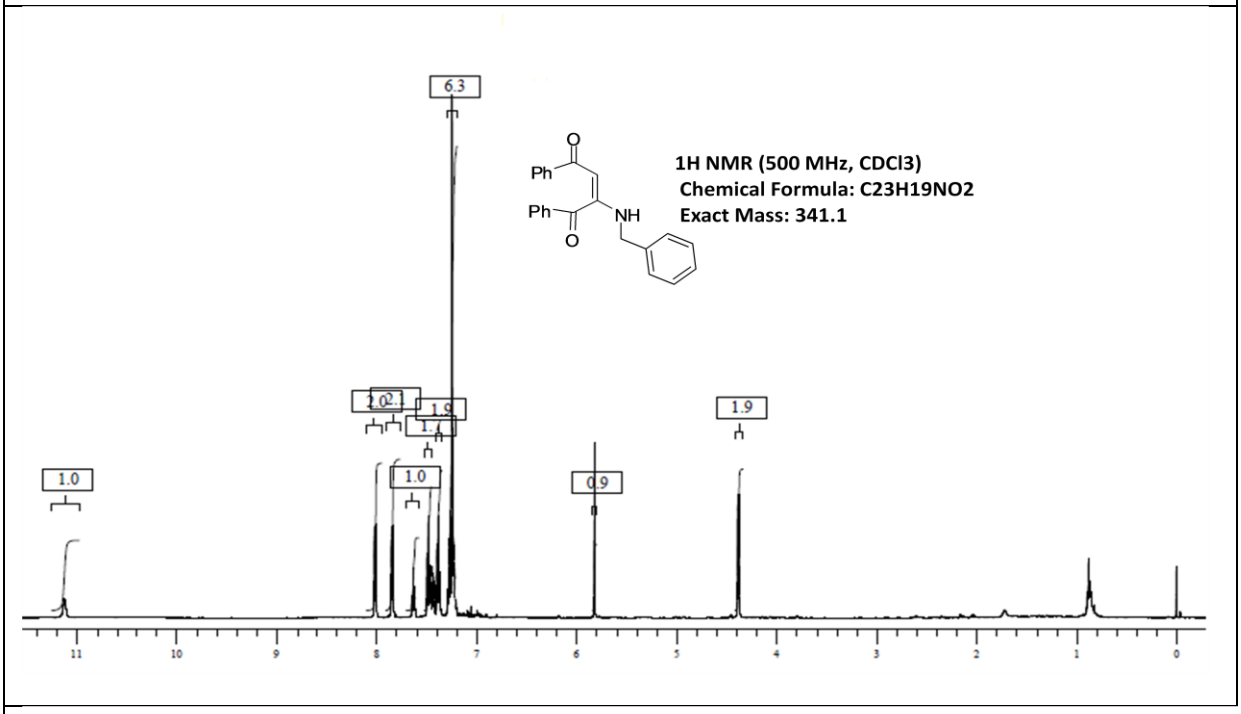
(E)-3-(2-(Tert-butyldimethylsilyloxy)ethylamino)-1-(2,3-dichlorophenyl)-3-phenylprop-2-en-1-one **1s**

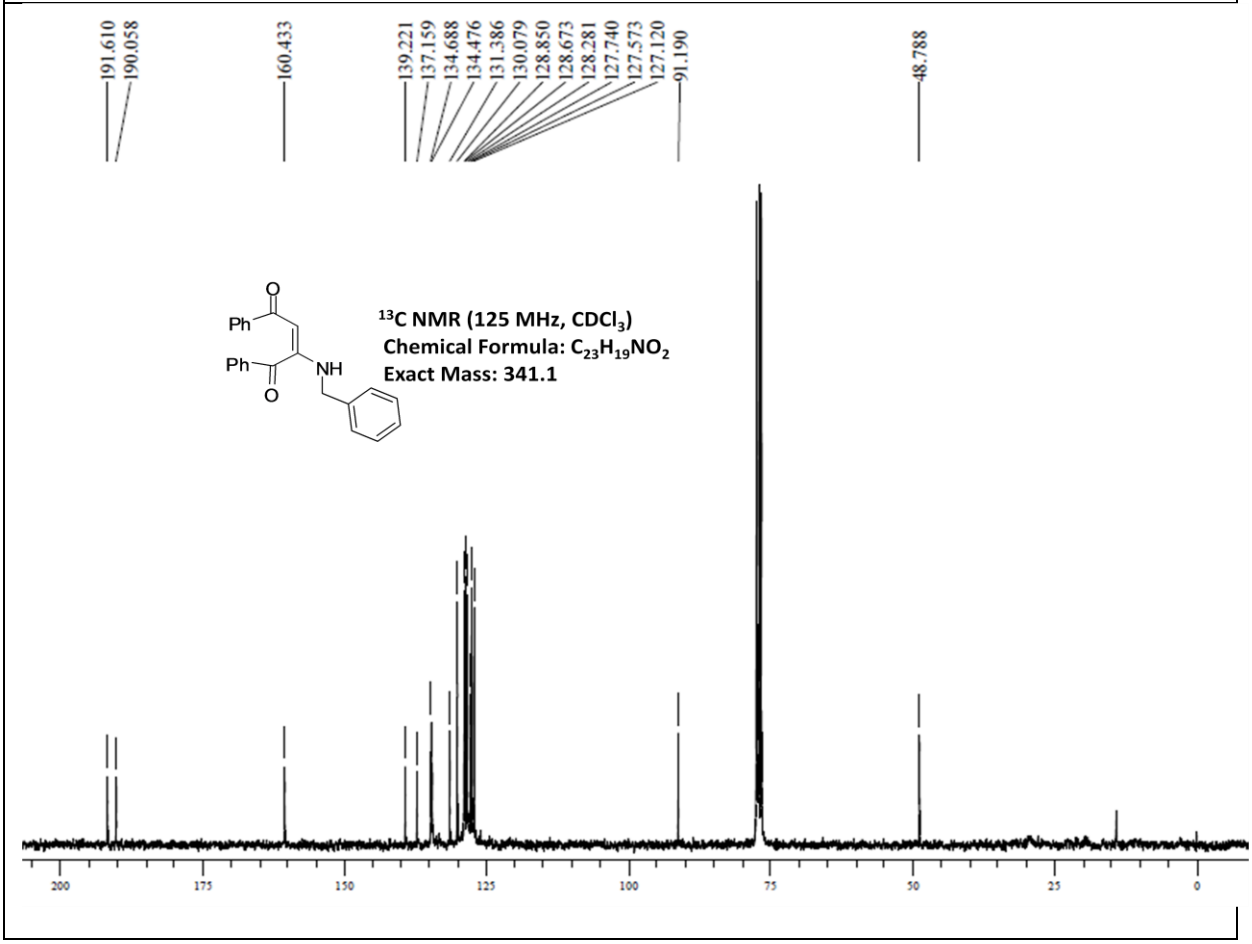




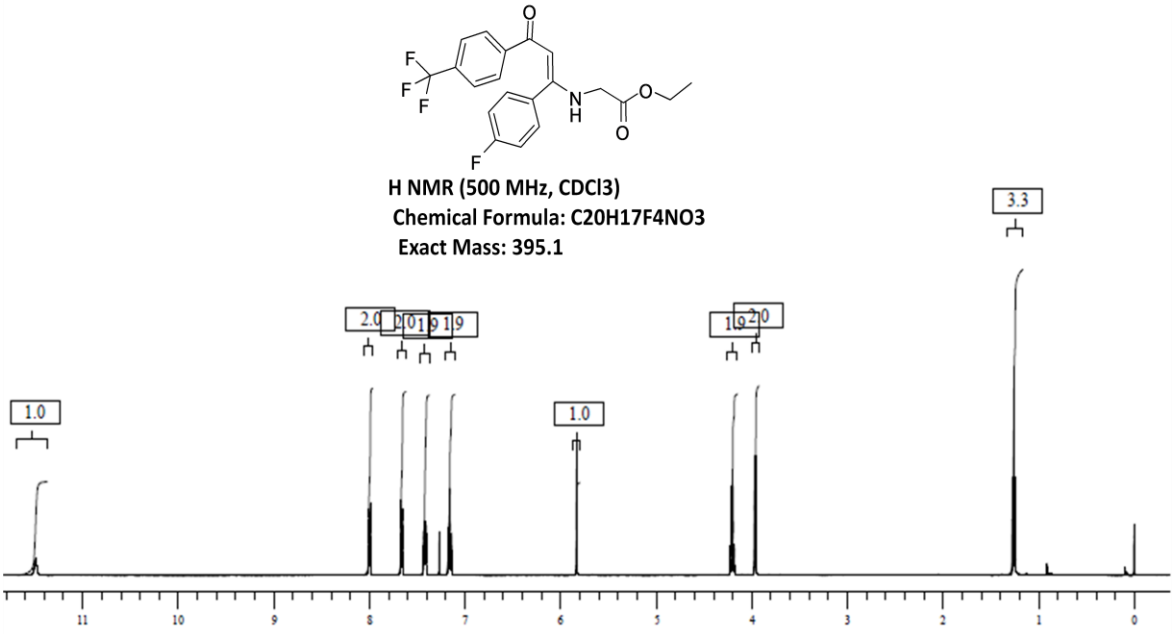


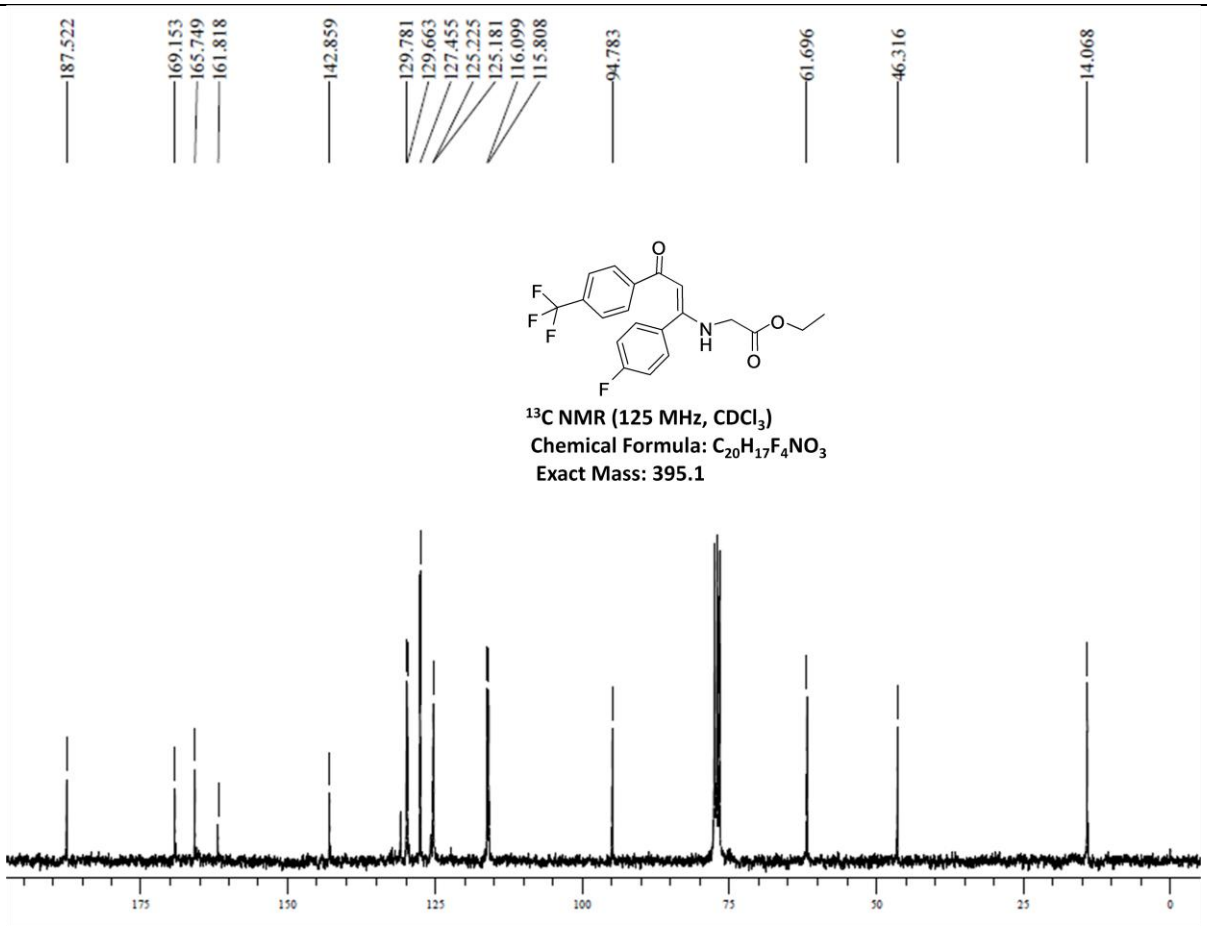
(E)-2-(Benzylamino)-1,4-diphenylbut-2-ene-1,4-dione **1t**



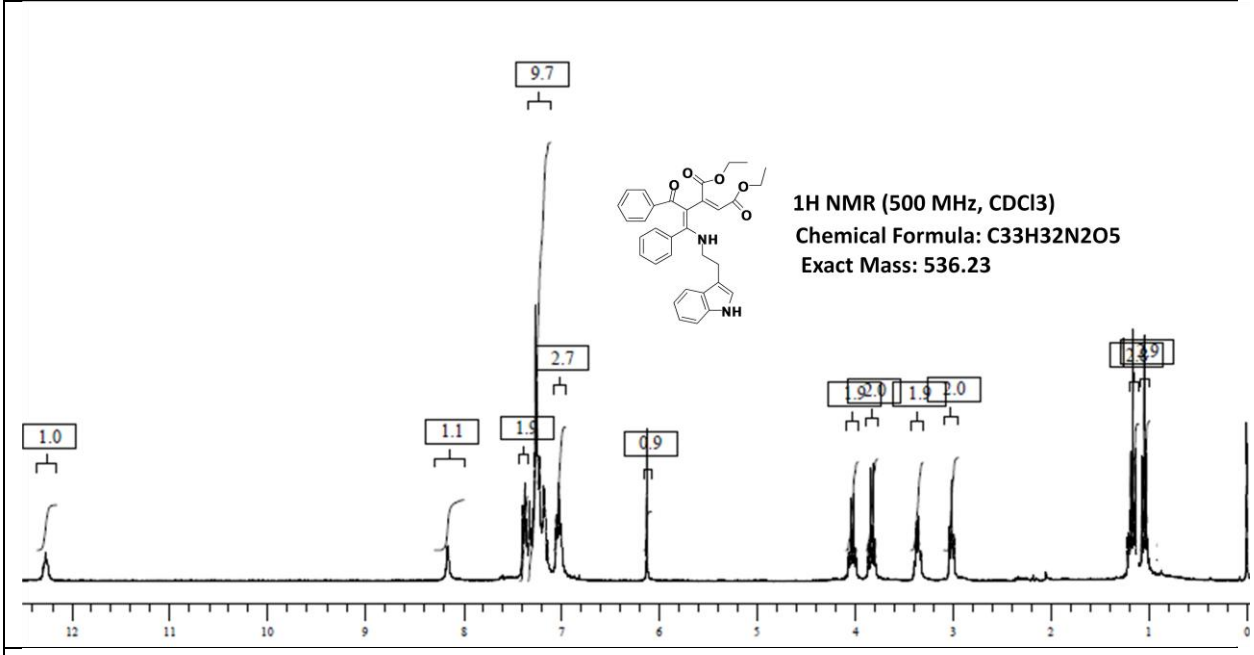


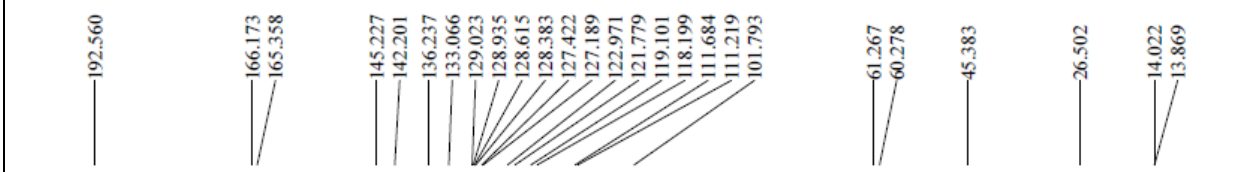
(E)-Ethyl 2-(1-(4-fluorophenyl)-3-oxo-3-(4-(trifluoromethyl)phenyl)prop-1-enylamino)acetate **1u**

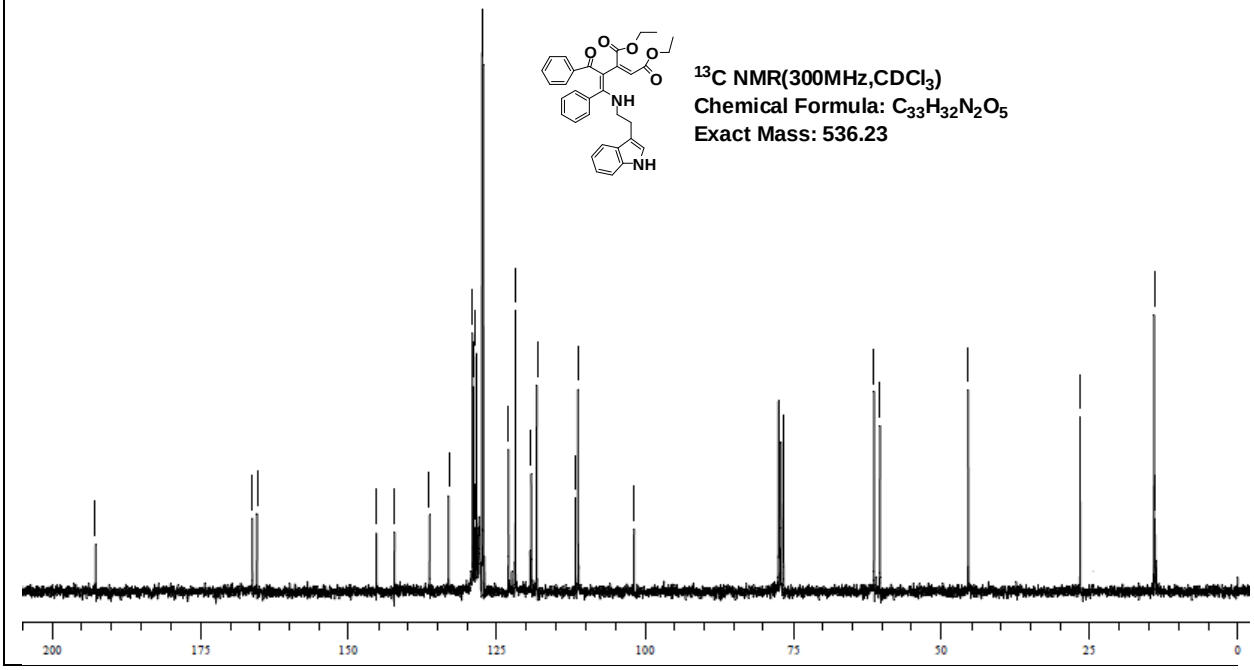




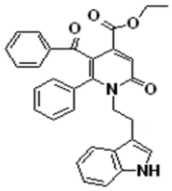
Diethyl 2-(1-(2-(1H-indol-3-yl)ethylamino)-3-oxo-1,3-diphenylprop-1-en-2-yl)maleate **4**



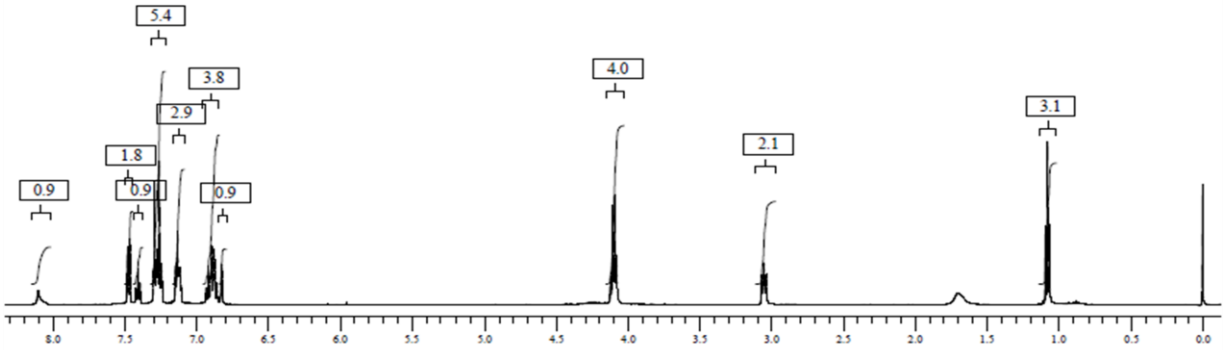


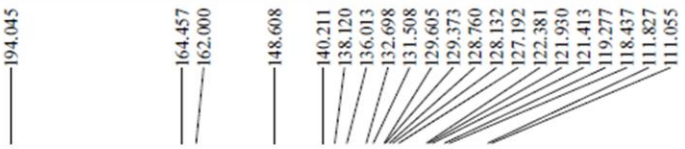


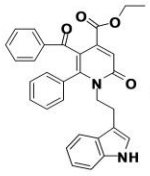
Ethyl 1-(2-(1H-indol-3-yl)ethyl)-5-benzoyl-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate - **3a**



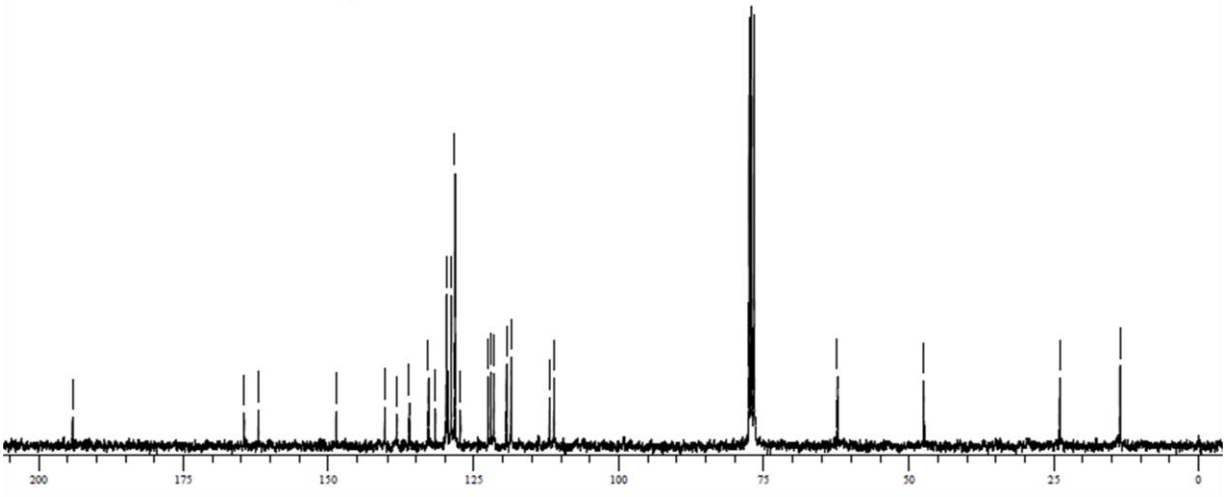
1H NMR, (300 MHz, CDCl3)
Chemical Formula: C31H26N2O4
Exact Mass: 490.19





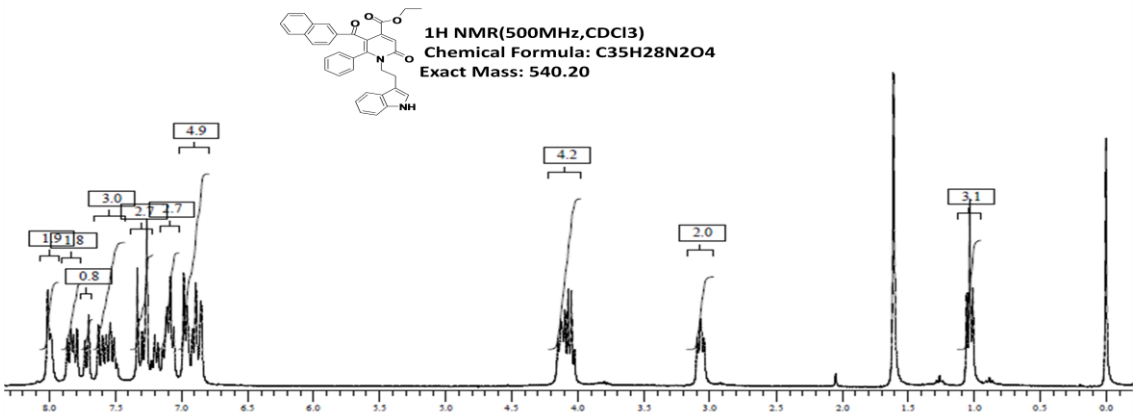


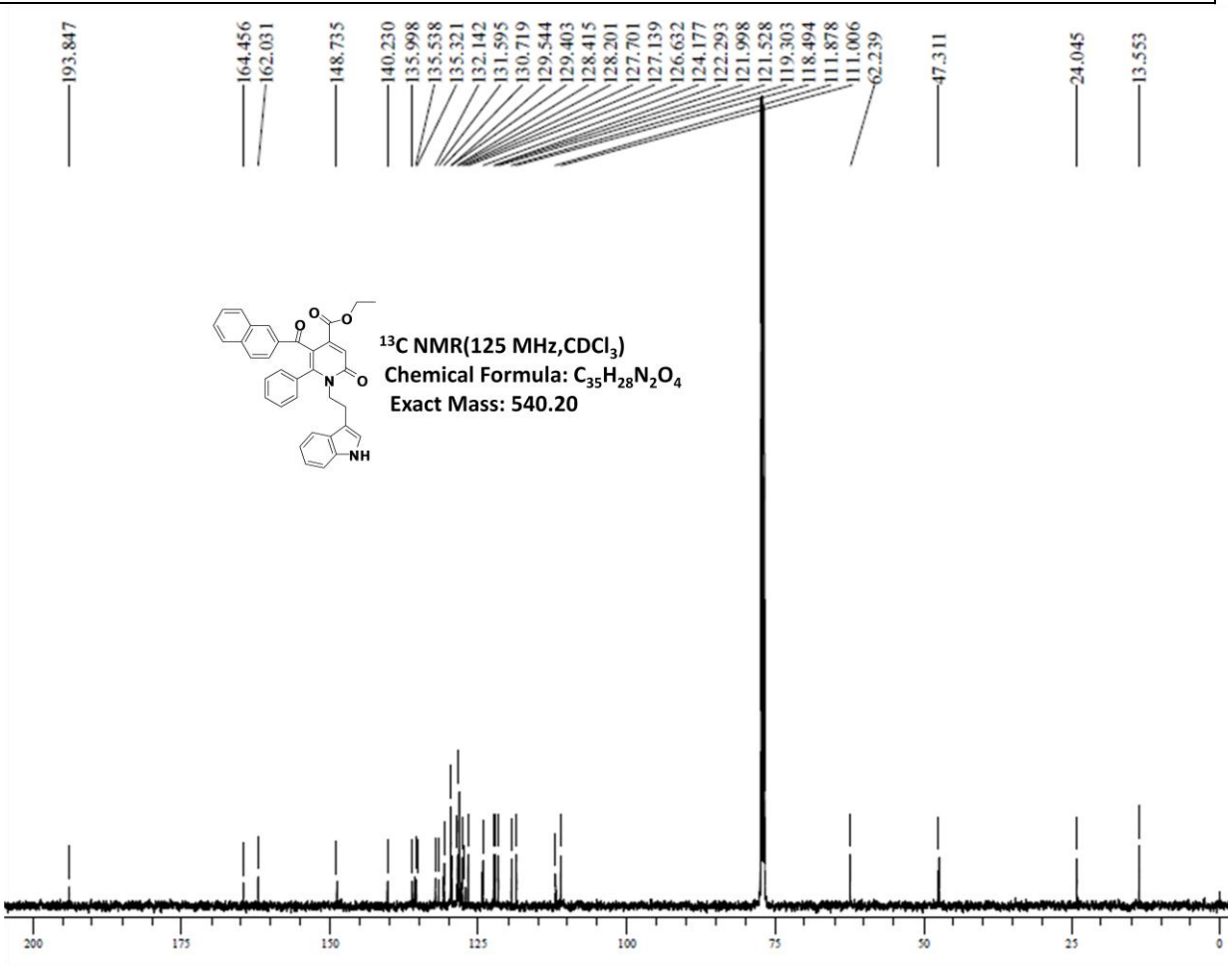
^{13}C NMR (75 MHz, $CDCl_3$)
Chemical Formula: $C_{31}H_{26}N_2O_4$
Exact Mass: 490.19



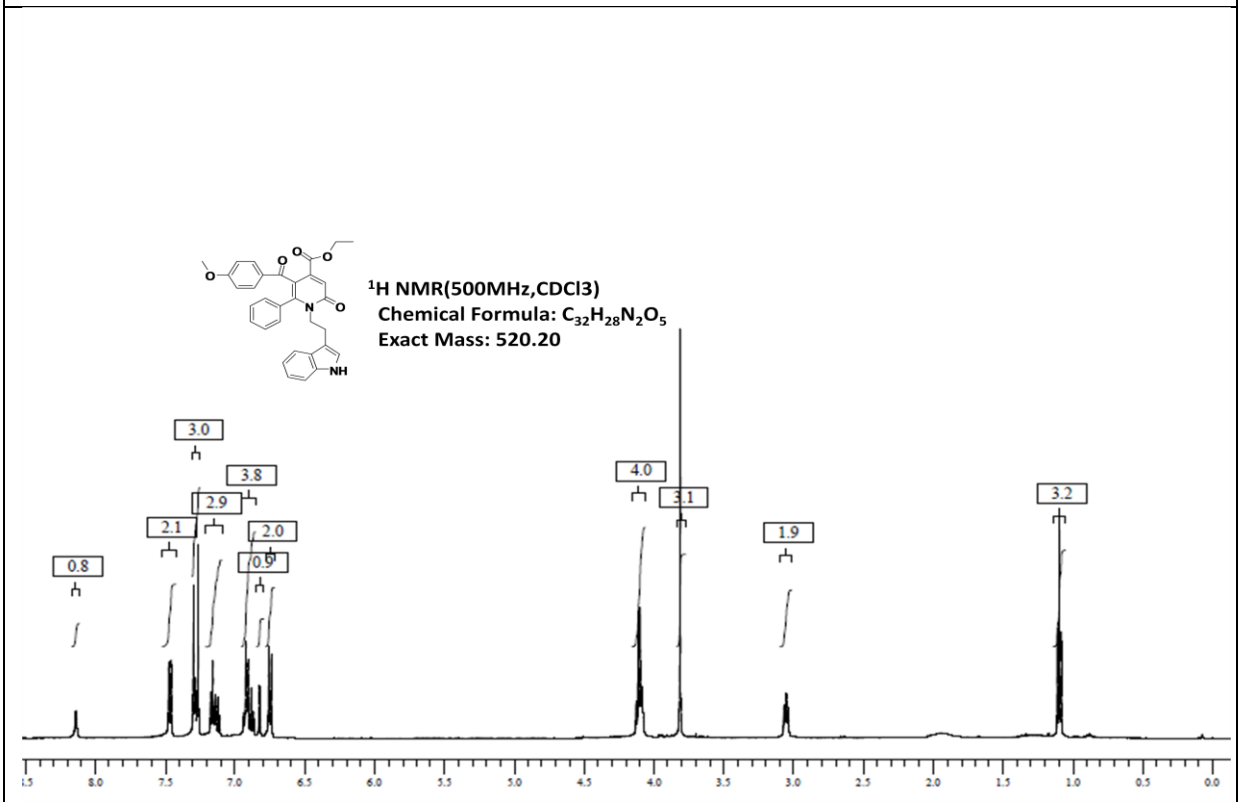
Ethyl 1-(2-(1H-indol-3-yl)ethyl)-5-(2-naphthoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate-

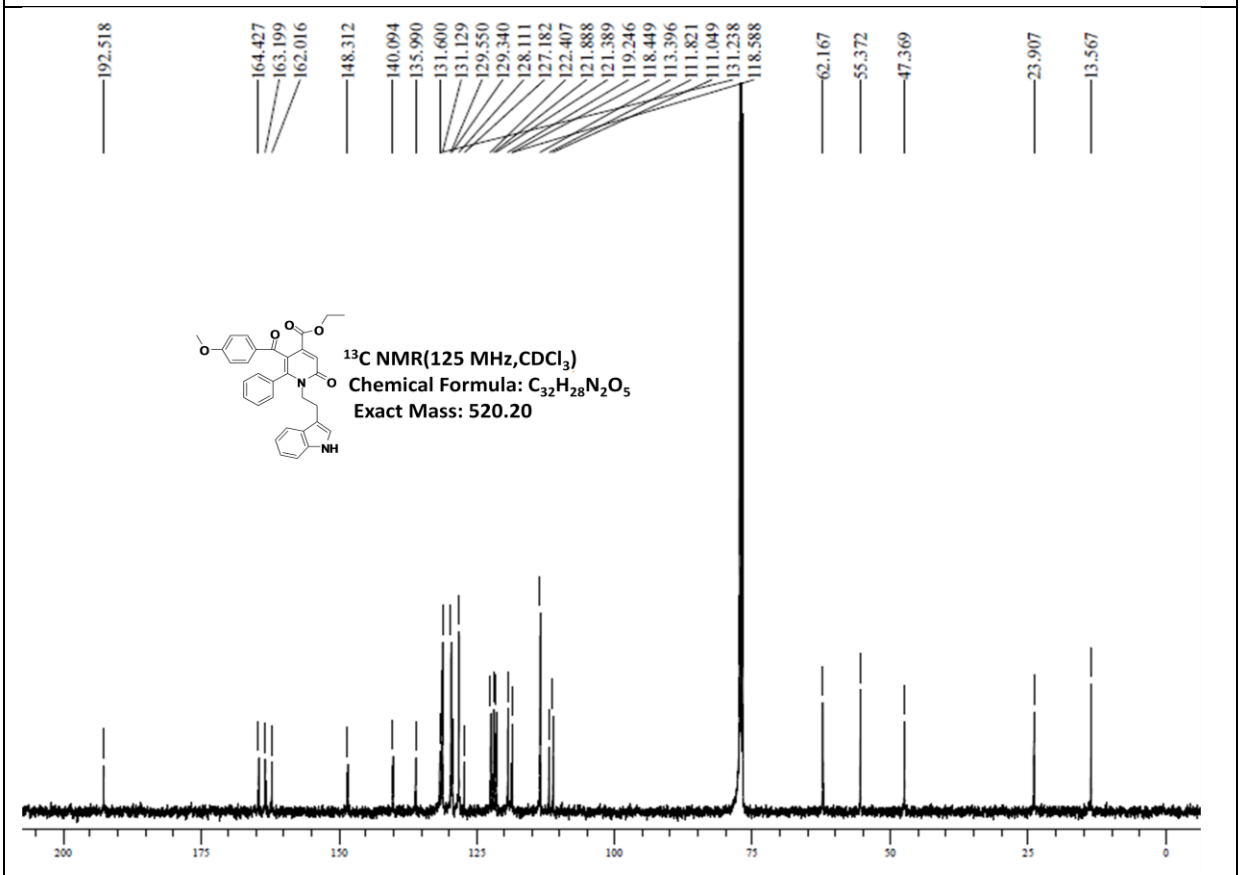
3b



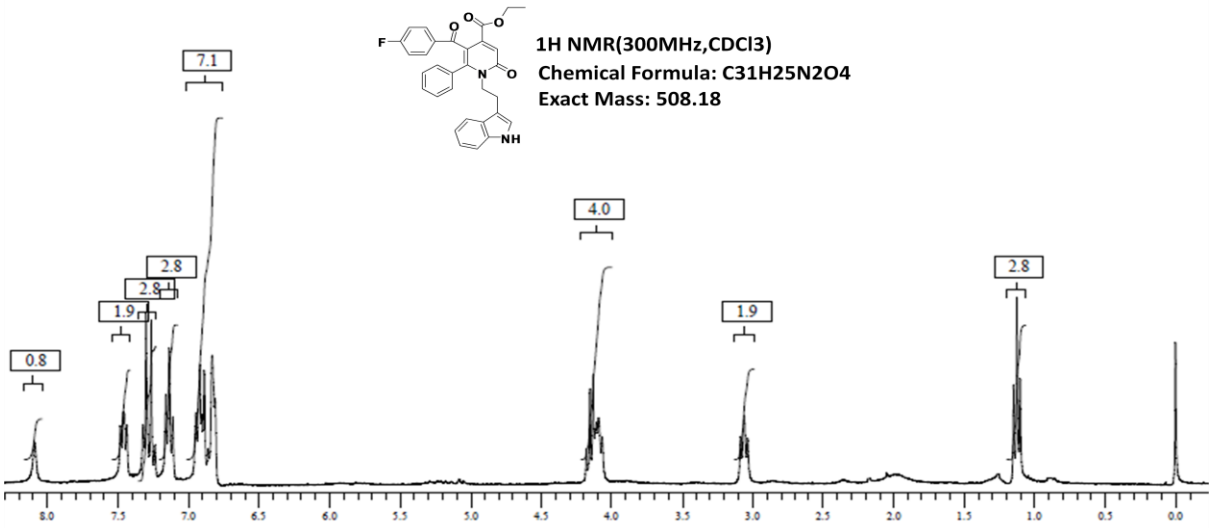


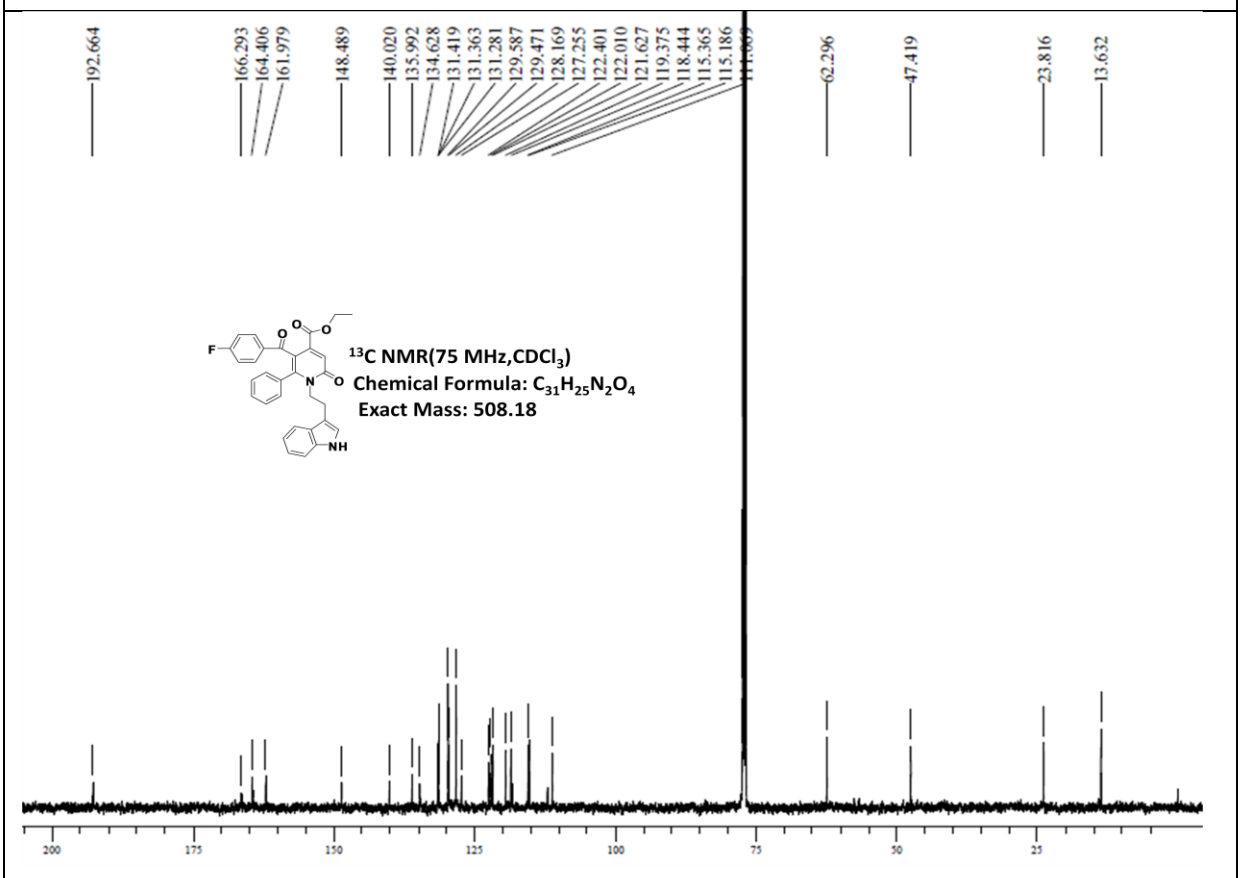
Ethyl 1-(2-(1H-indol-3-yl)ethyl)-5-(4-methoxybenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate – **3c**



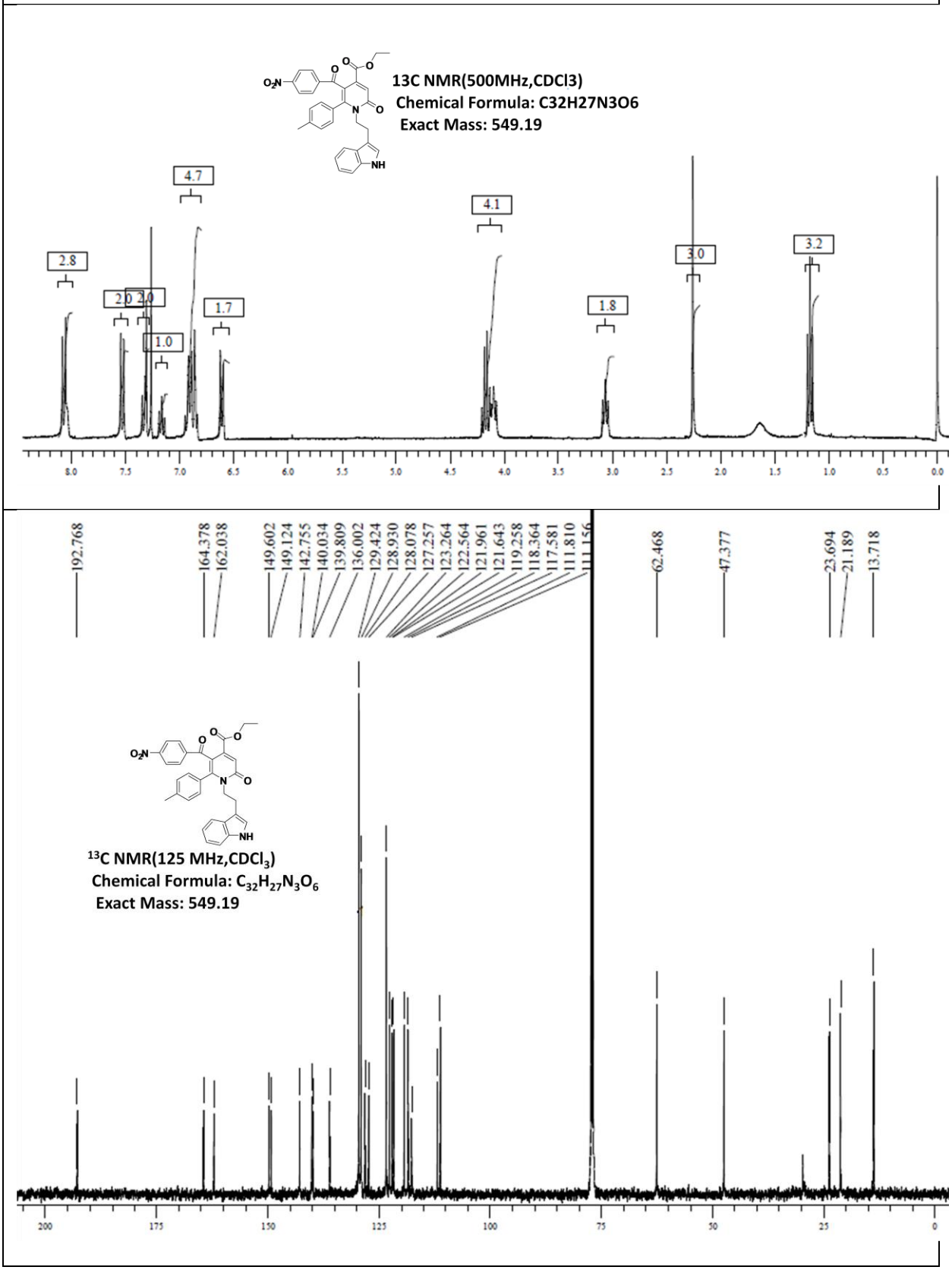


Ethyl 1-(2-(1H-indol-3-yl)ethyl)-5-(4-fluorobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4carboxylate- **3d**

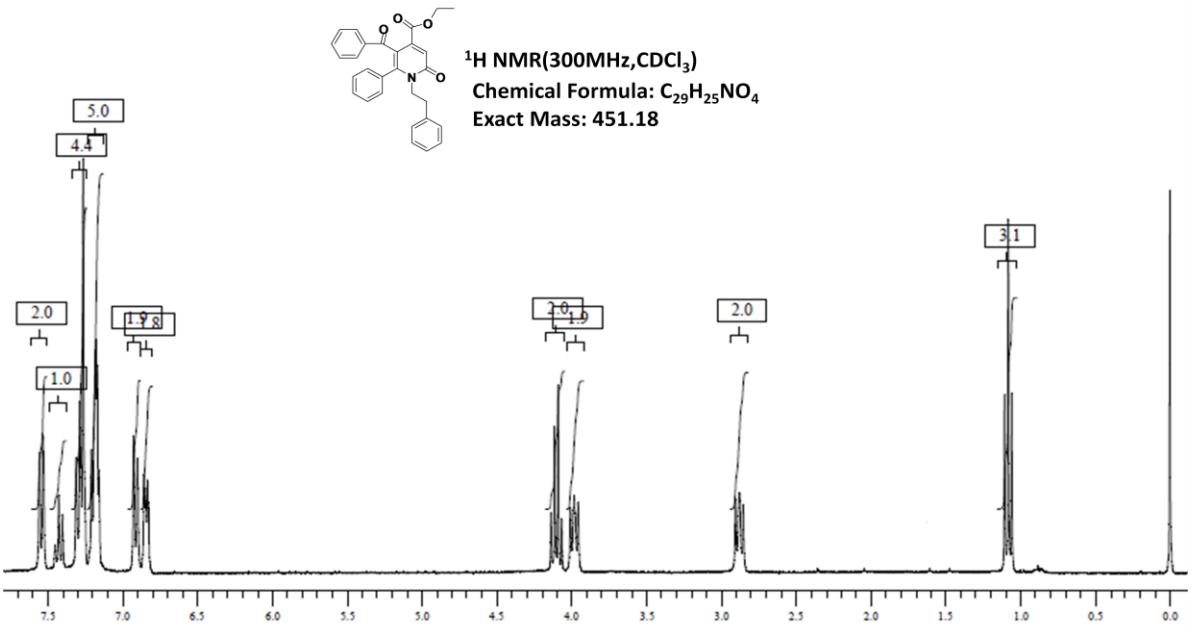


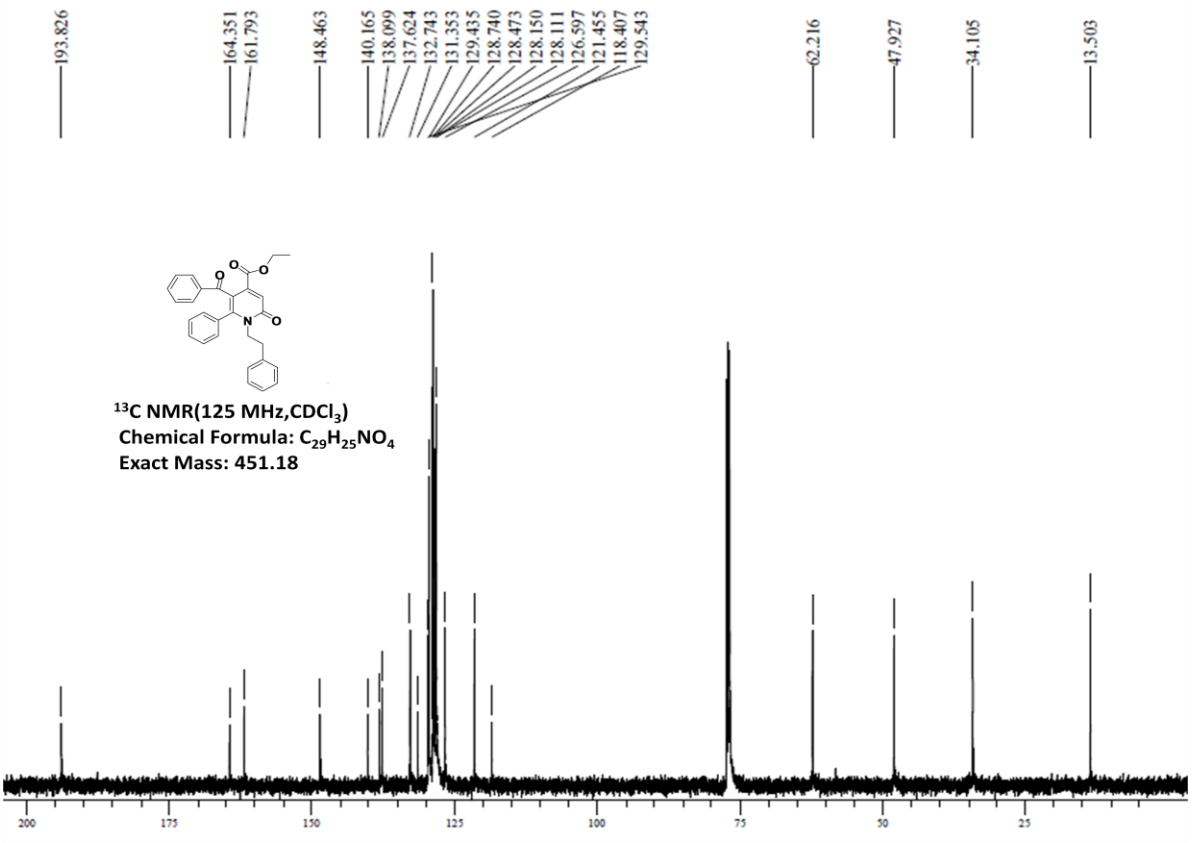


Ethyl 1-(2-(1H-indol-3-yl)ethyl)-5-(4-nitrobenzoyl)-2-oxo-6-p-tolyl-1,2-dihydropyridine-4-carboxylate – **3e**

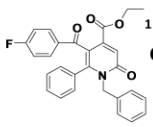


Ethyl 1-(2-(1H-indol-3-yl)ethyl)-5-(4-nitrobenzoyl)-2-oxo-6-p-tolyl-1,2-dihydropyridine-4-carboxylate -**3f**

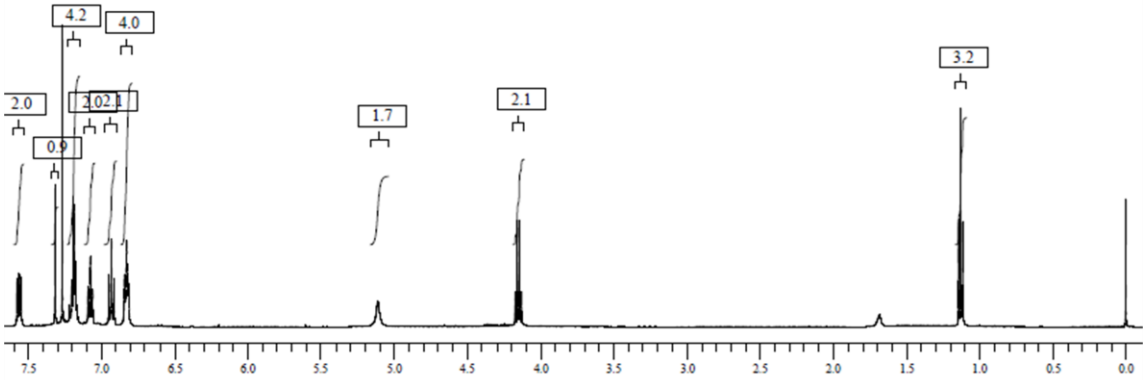


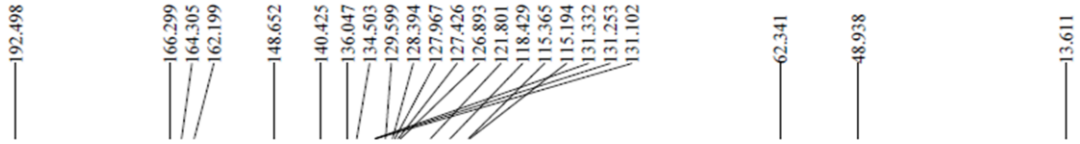


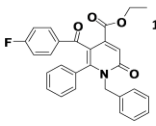
Ethyl 1-benzyl-5-(4-fluorobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3g**



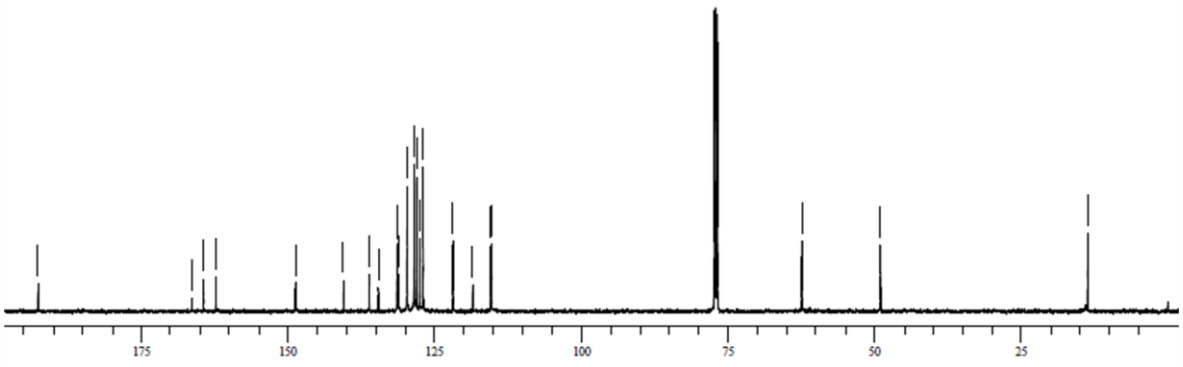
^{1}H NMR(500MHz,$CDCl_3$)
Chemical Formula: $C_{28}H_{22}NO_4$
Exact Mass: 455.15



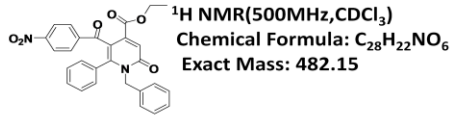




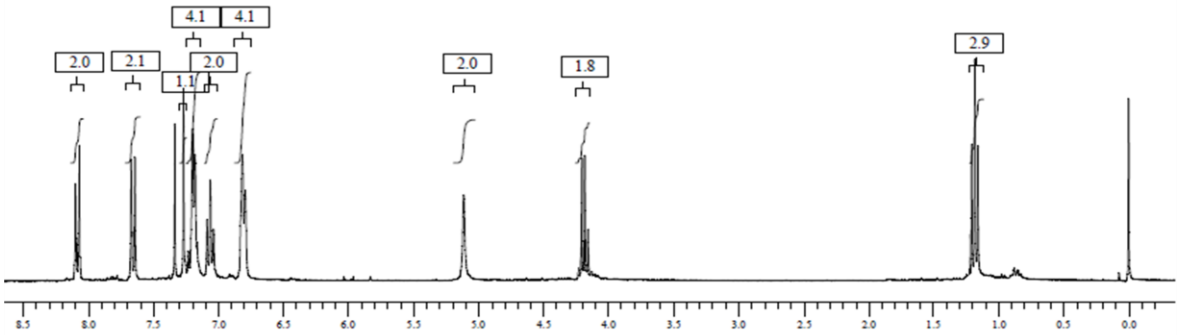
^{13}C NMR(125 MHz,$CDCl_3$)
Chemical Formula: $C_{28}H_{22}NO_4$
Exact Mass: 455.15

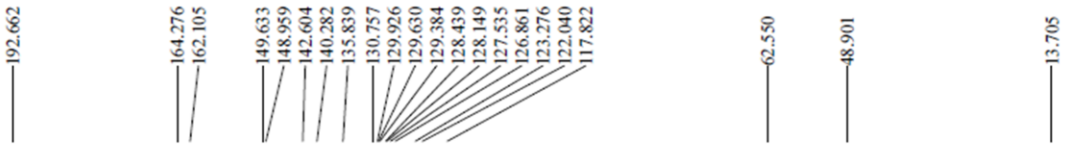


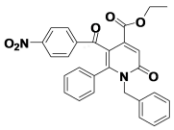
Ethyl 1-benzyl-5-(4-nitrobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3h**



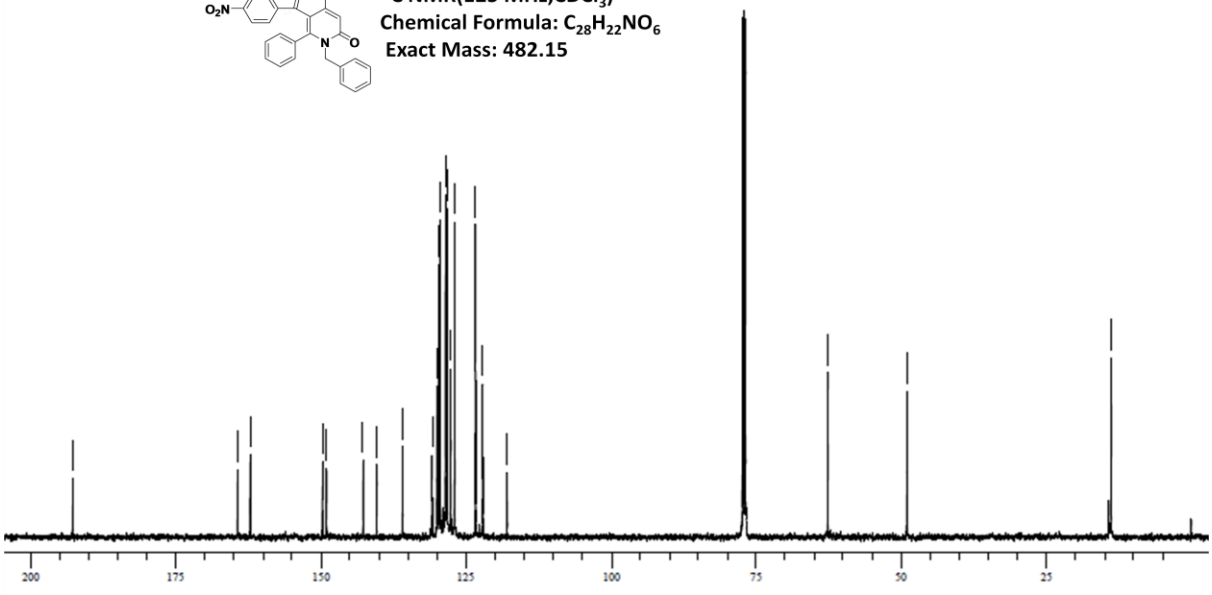
^{1}H NMR(500MHz,$CDCl_3$)
Chemical Formula: $C_{28}H_{22}NO_6$
Exact Mass: 482.15



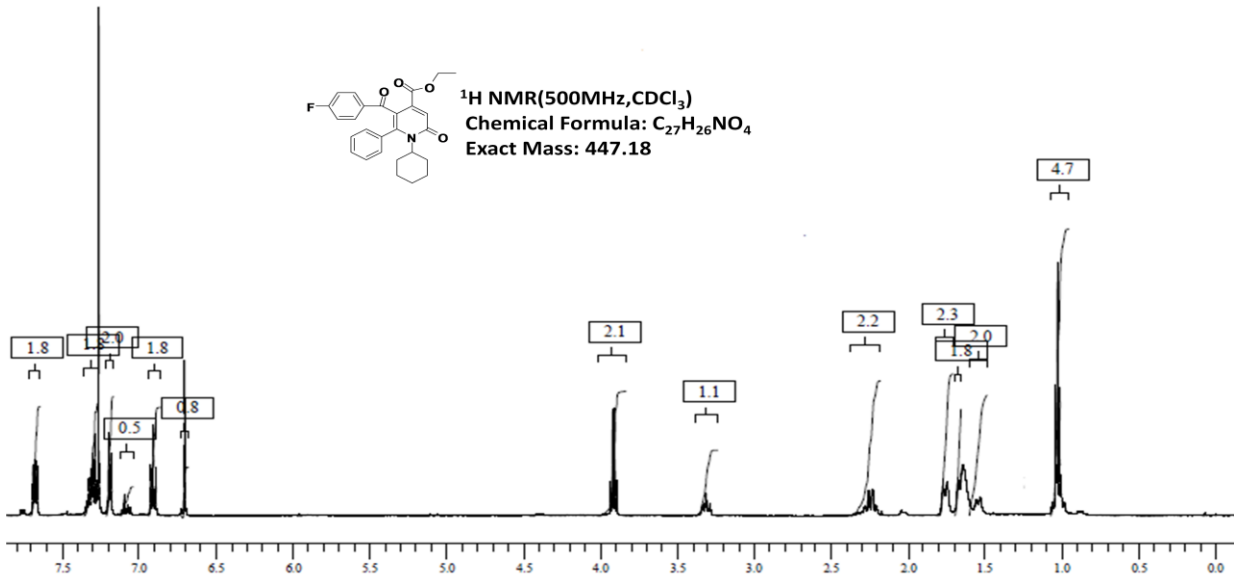


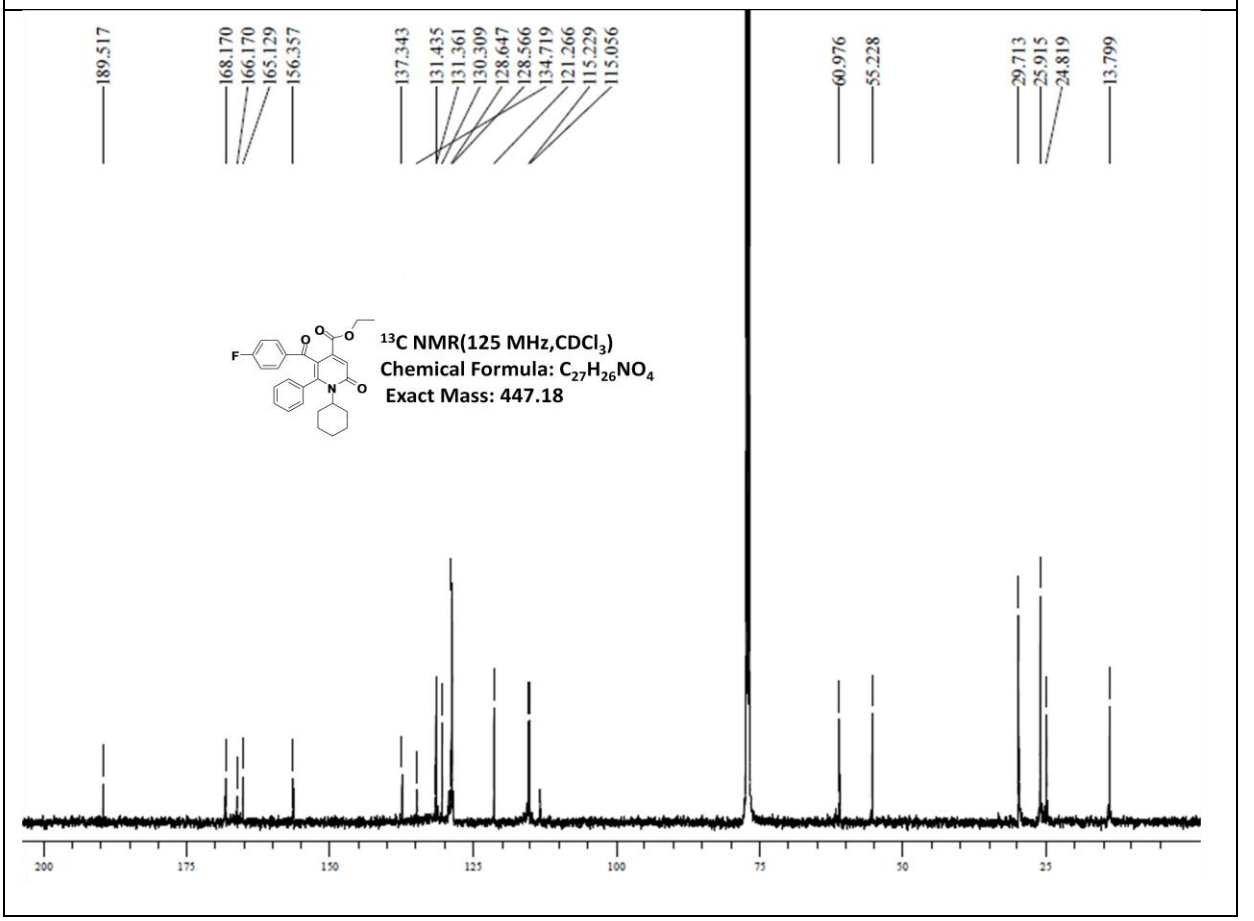


^{13}C NMR(125 MHz,$CDCl_3$)
Chemical Formula: $C_{28}H_{22}NO_6$
Exact Mass: 482.15

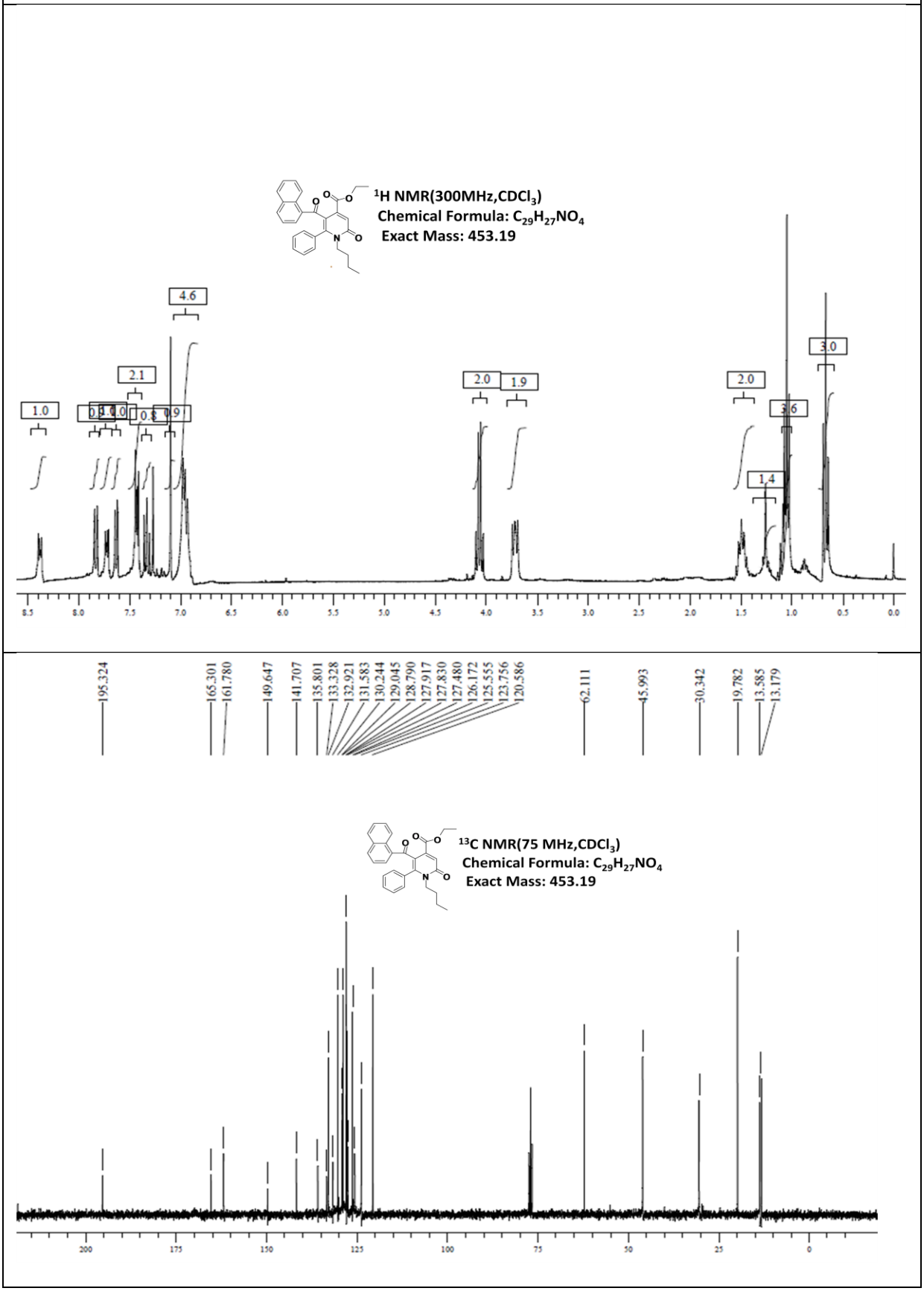


Ethyl 1-cyclohexyl-5-(4-fluorobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3i**

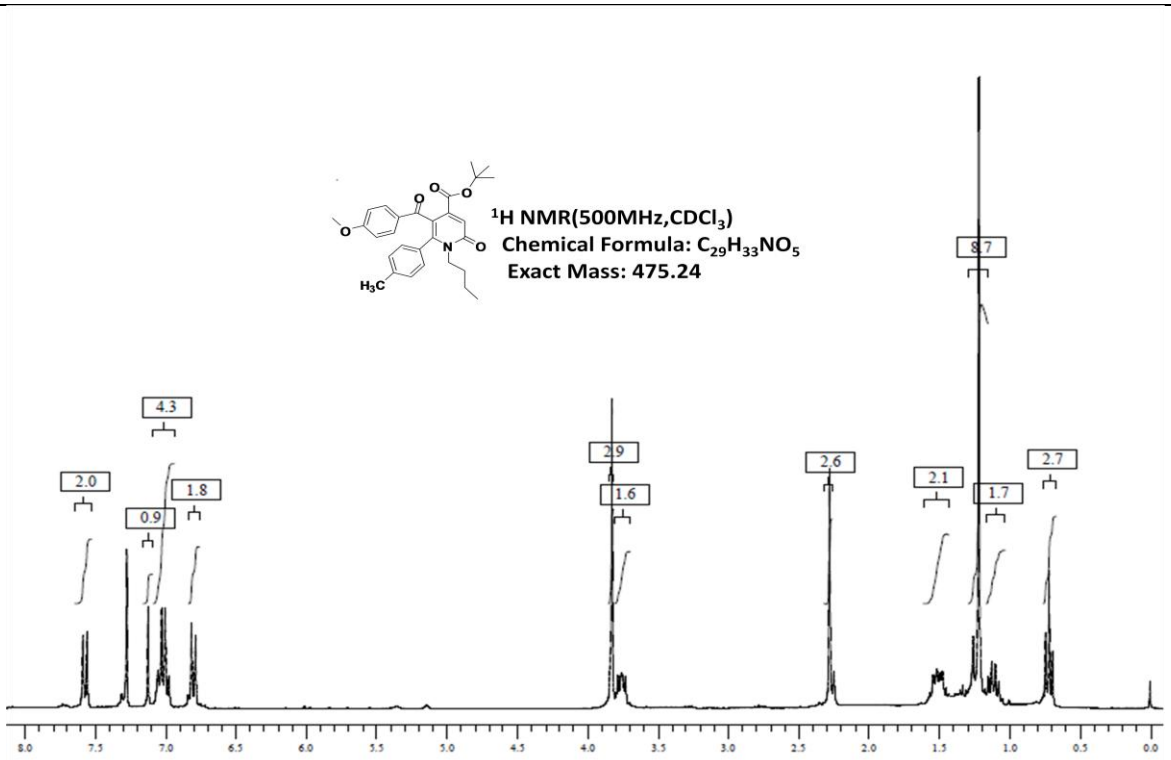


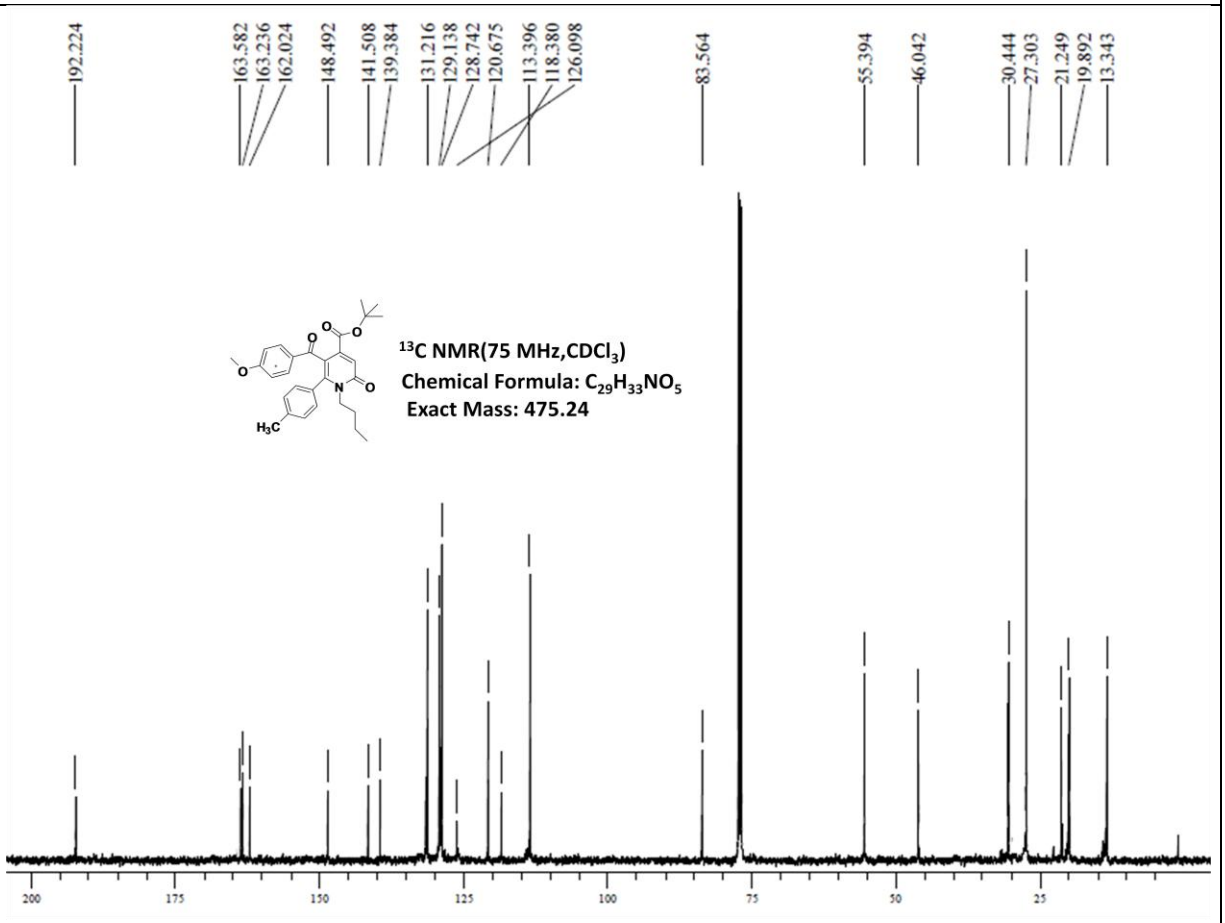


Ethyl 5-(1-naphthoyl)-1-butyl-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3j**

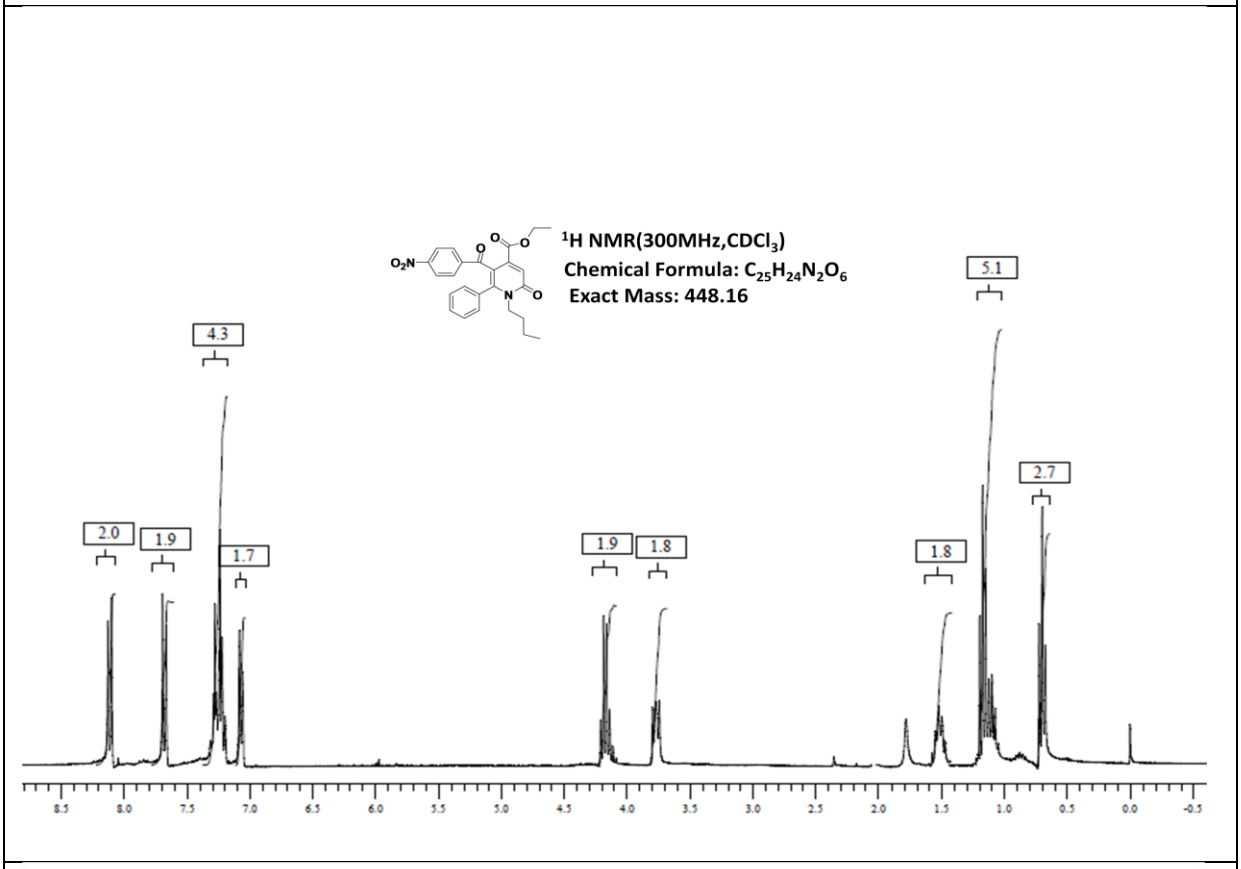


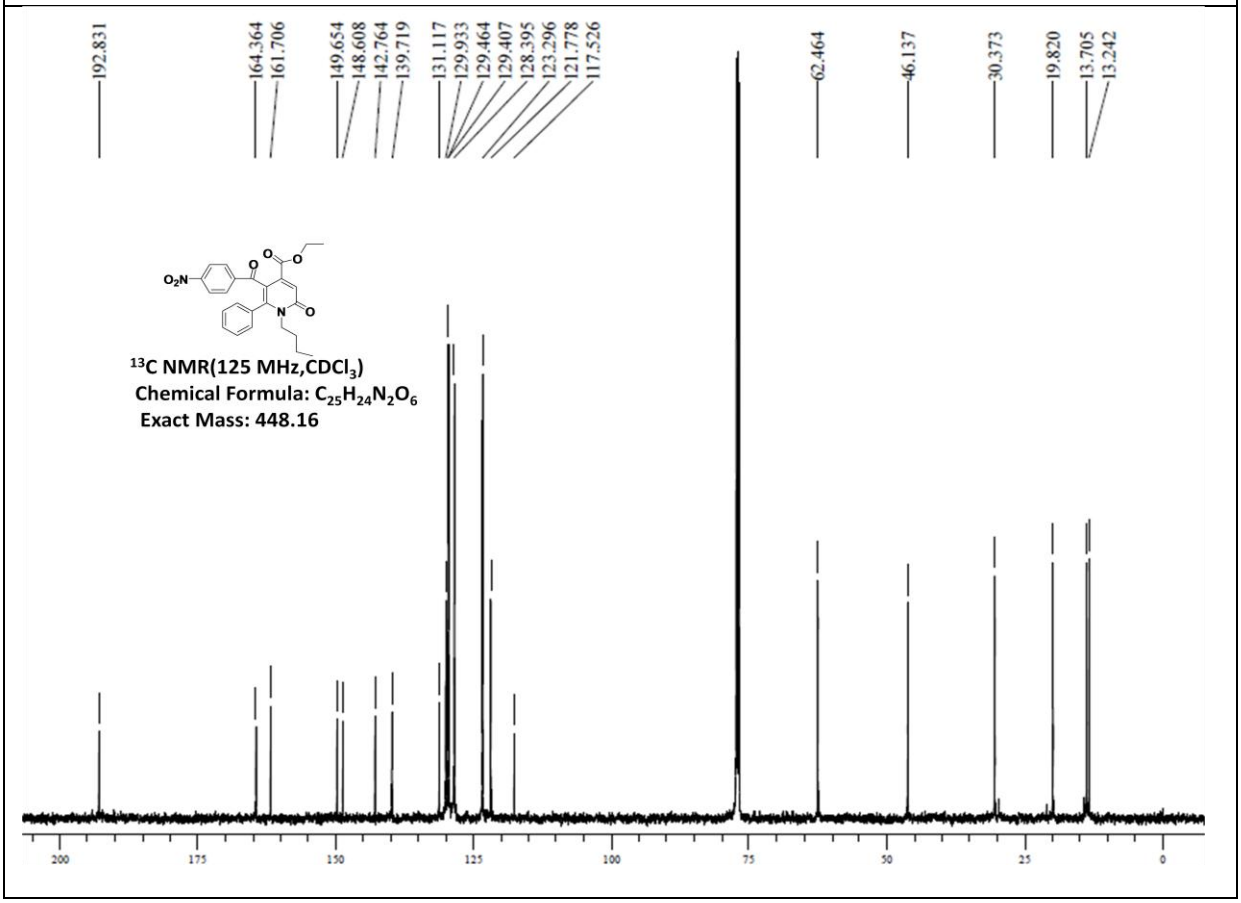
Tert-butyl 1-butyl-5-(4-methoxybenzoyl)-2-oxo-6-p-tolyl-1,2-dihydropyridine-4-carboxylate **3k**



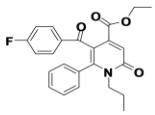


Ethyl 1-butyl-5-(4-nitrobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3l**

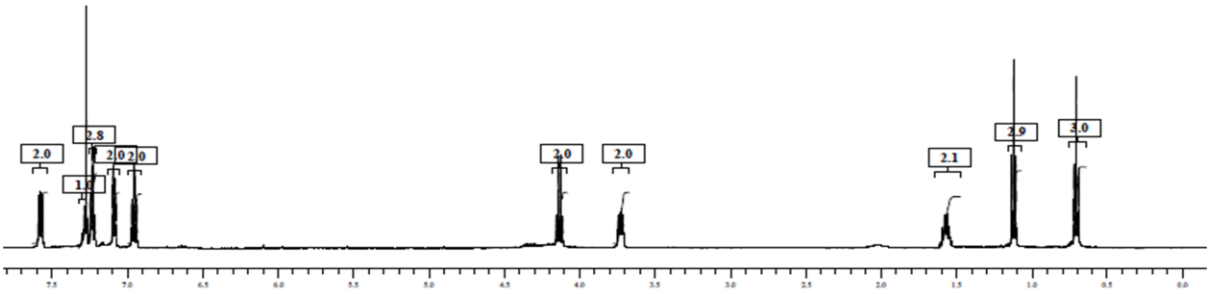


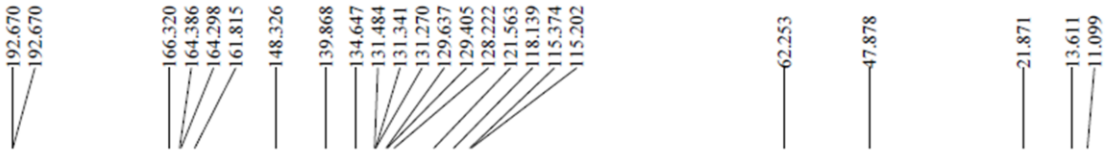


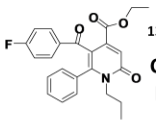
Ethyl 5-(4-fluorobenzoyl)-2-oxo-6-phenyl-1-propyl-1,2-dihydropyridine-4-carboxylate **3m**



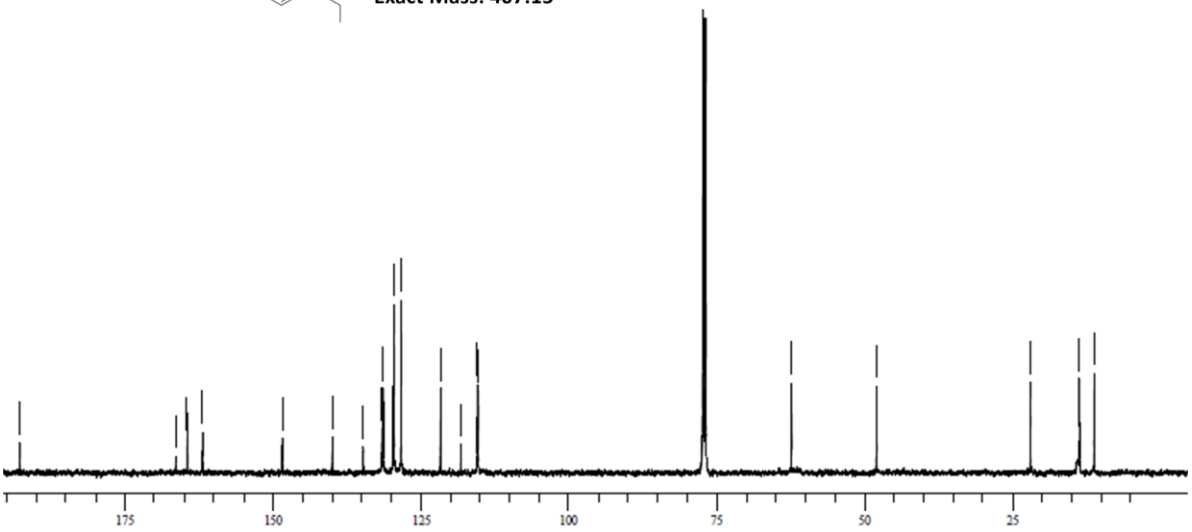
^{1}H NMR(500MHz,$CDCl_3$)
Chemical Formula: $C_{24}H_{22}FNO_4$
Exact Mass: 407.15



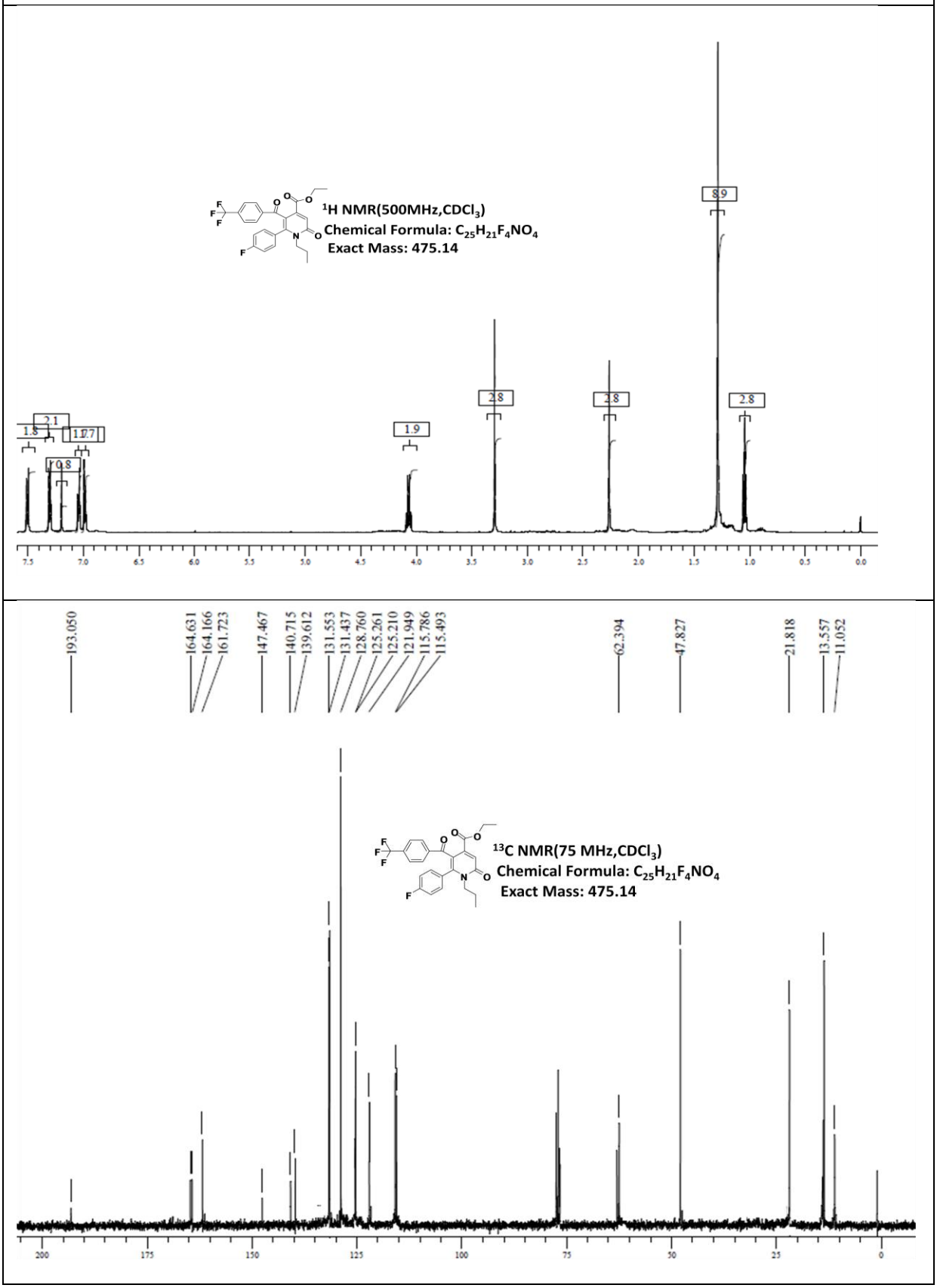




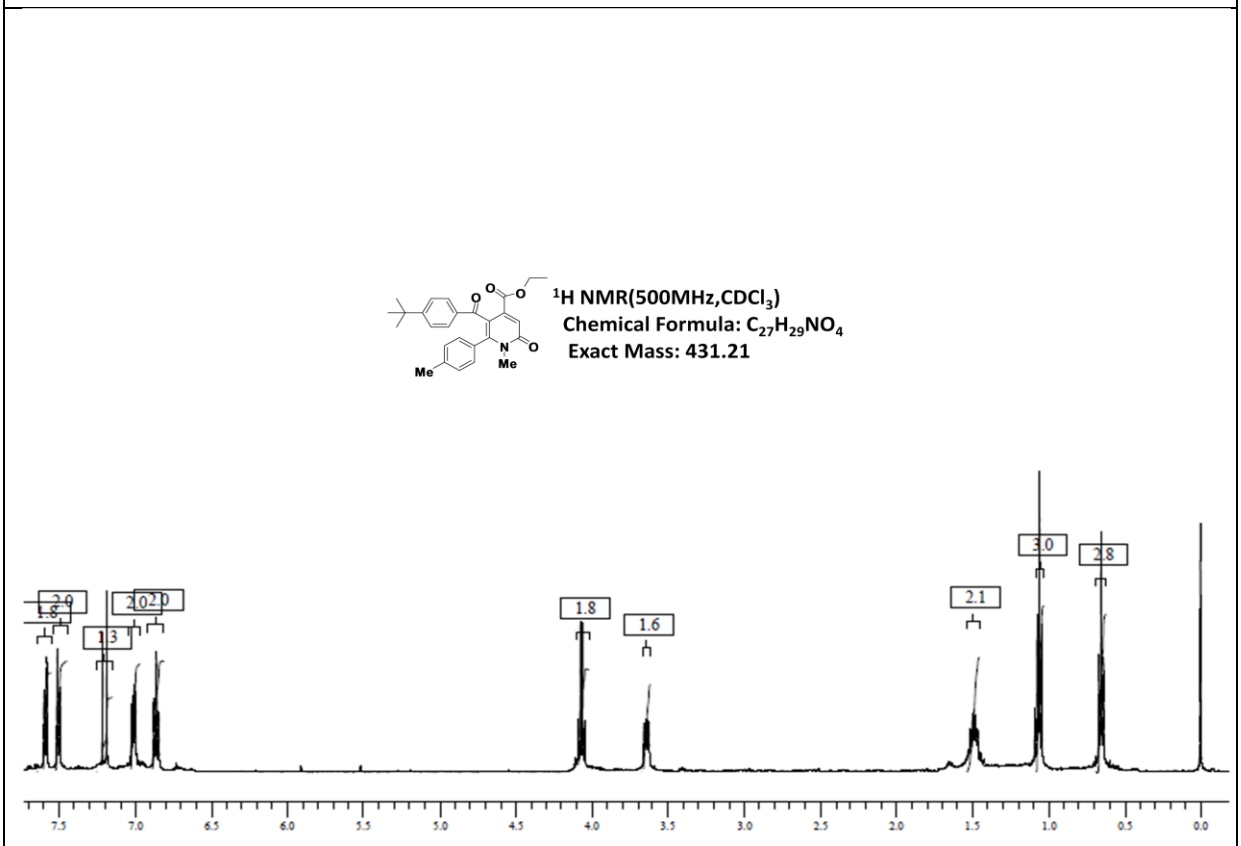
^{13}C NMR(125 MHz,$CDCl_3$)
Chemical Formula: $C_{24}H_{22}FNO_4$
Exact Mass: 407.15

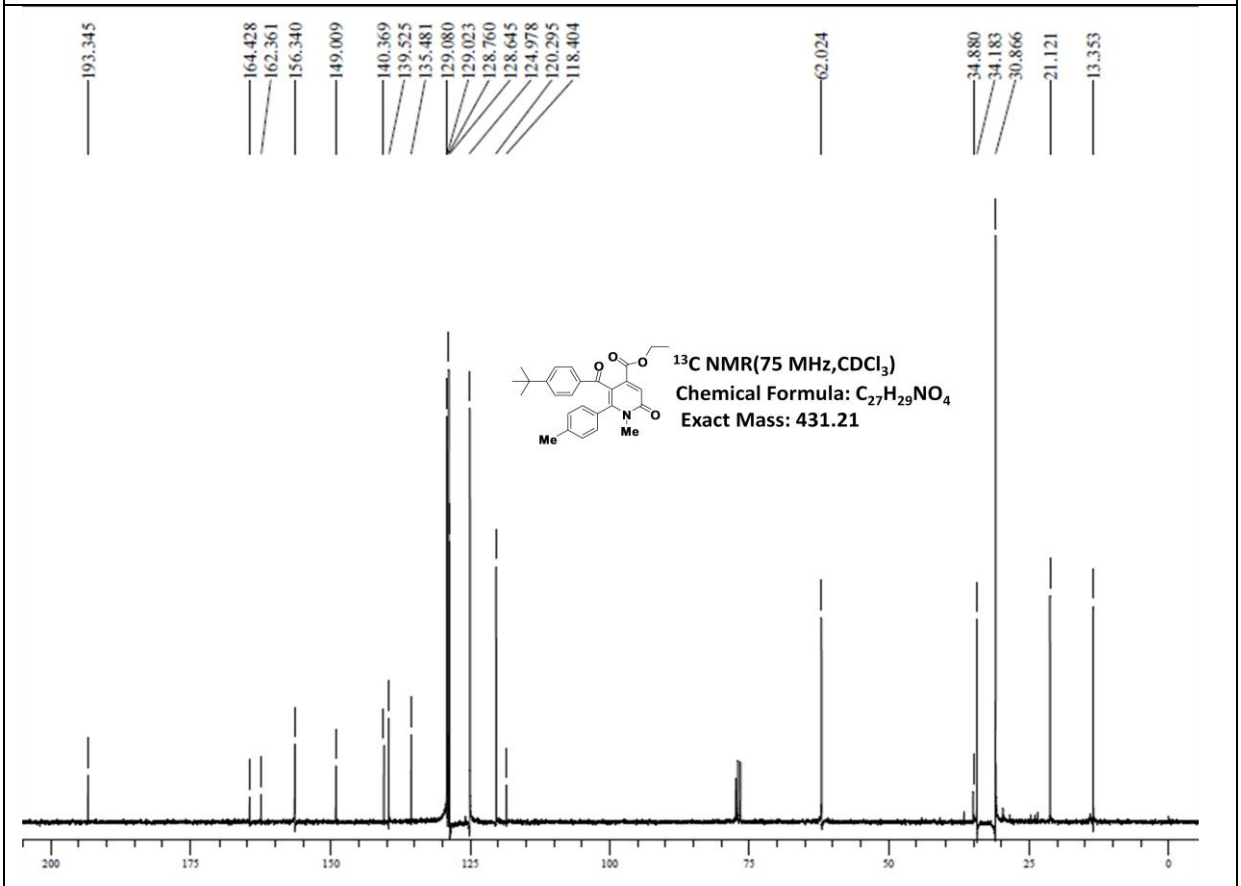


Ethyl 6-(4-fluorophenyl)-2-oxo-1-propyl-5-(4-(trifluoromethyl)benzoyl)-1,2-dihydropyridine-4-carboxylate – **3n**

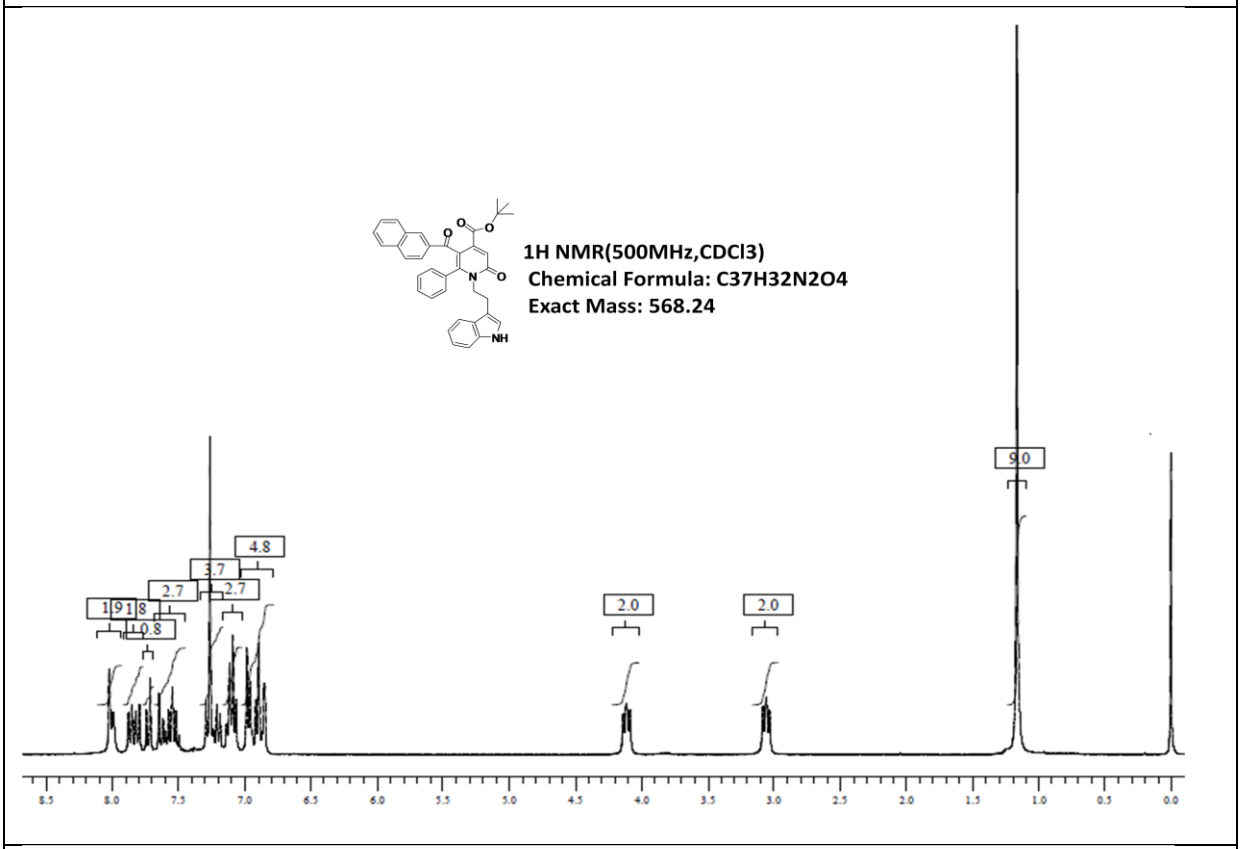


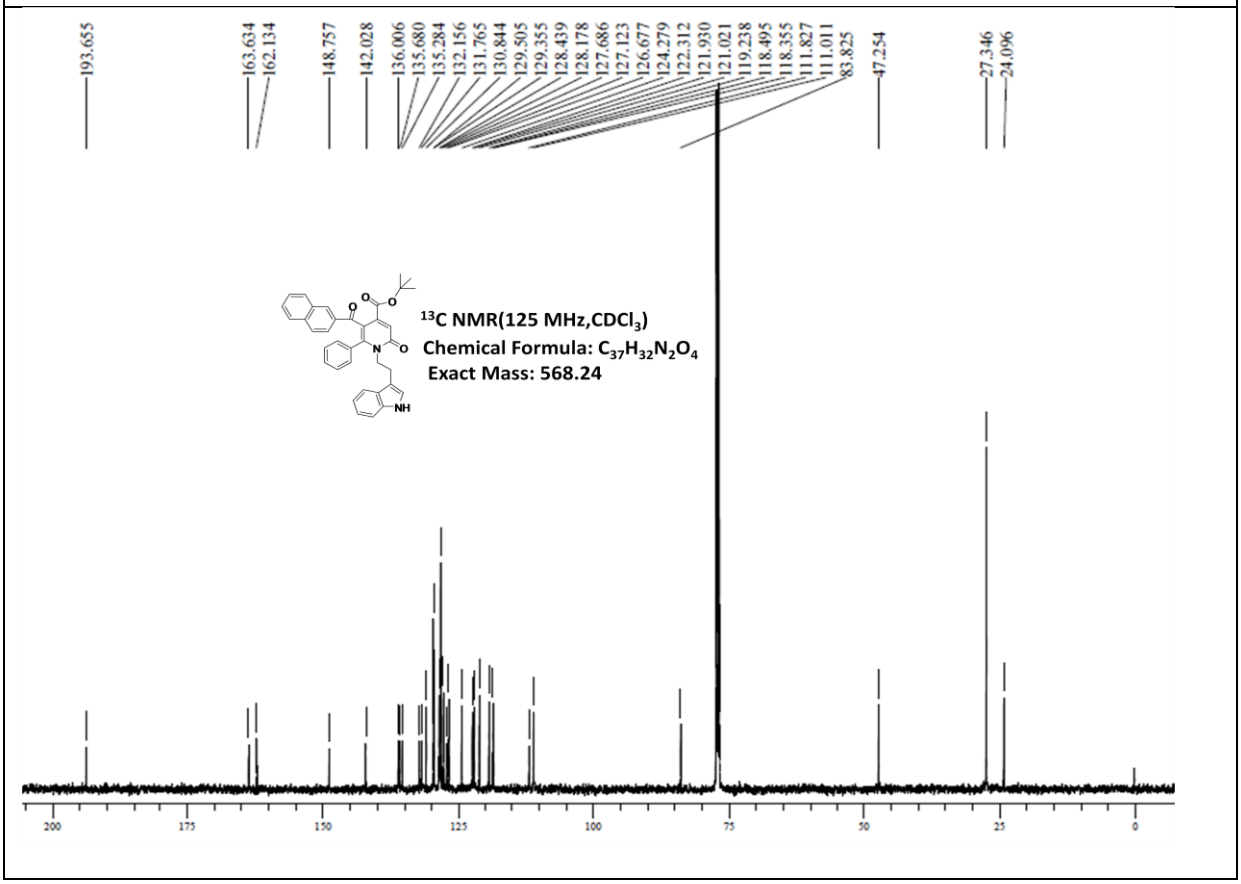
Ethyl 5-(4-tert-butylbenzoyl)-1-methyl-2-oxo-6-p-tolyl-1,2-dihydropyridine-4-carboxylate **3o**



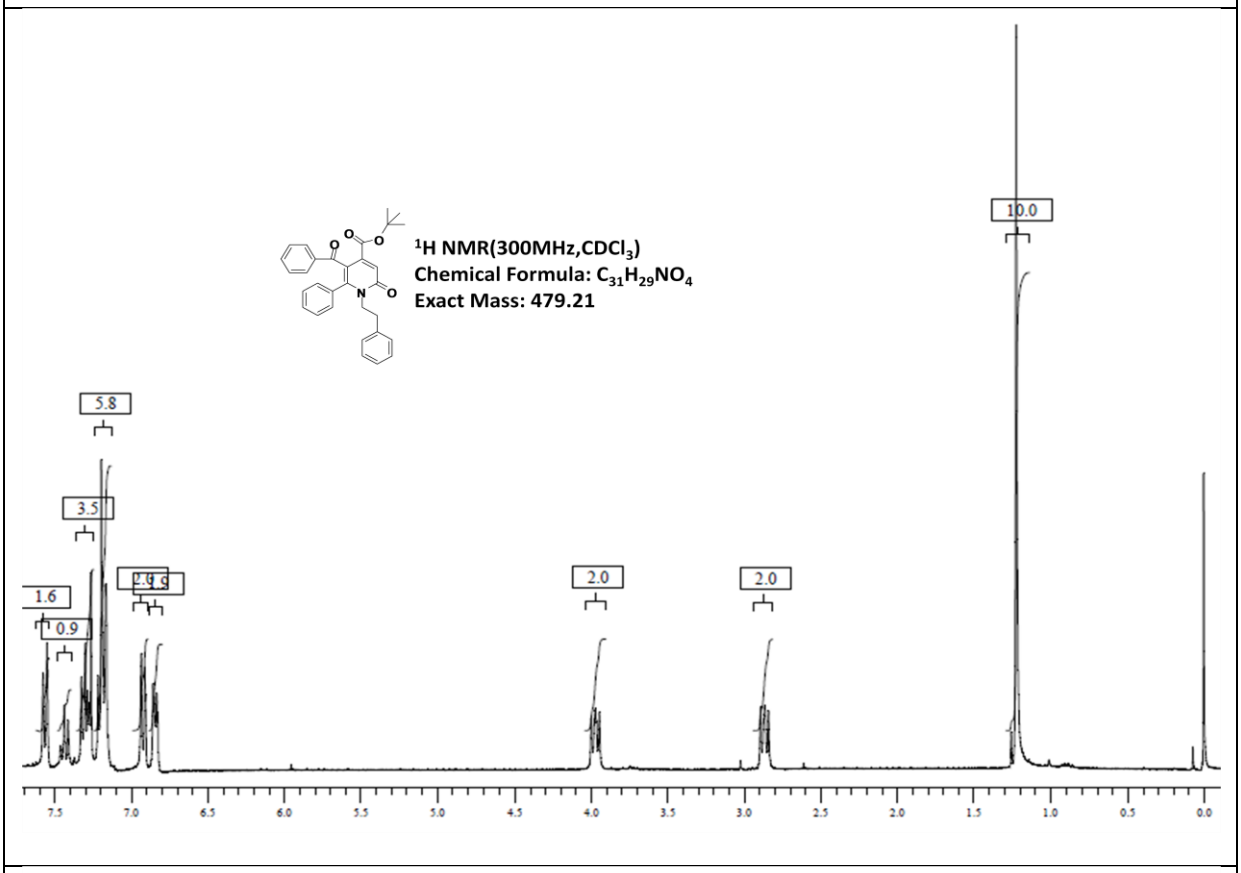


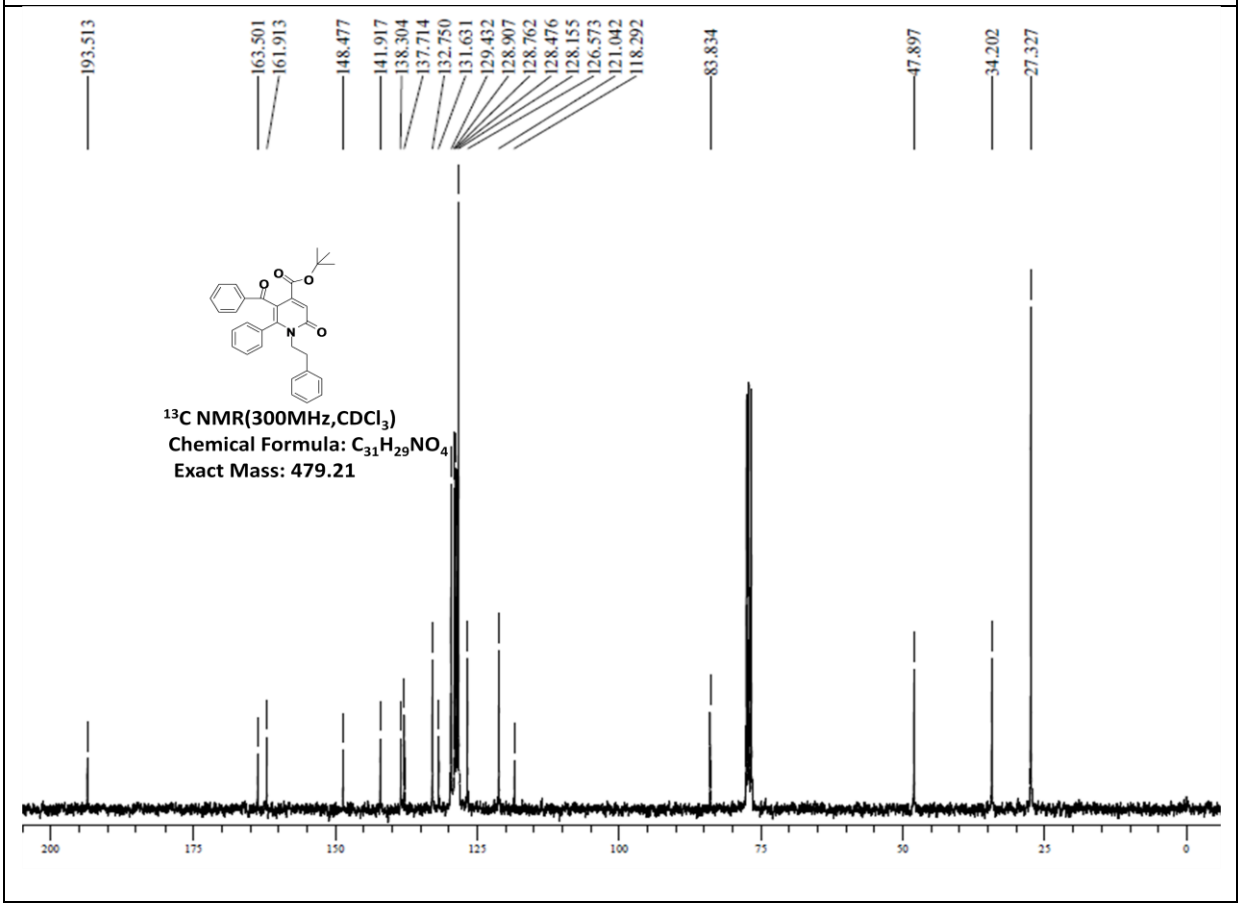
Tert-butyl 1-(2-(1H-indol-3-yl)ethyl)-5-(2-naphthoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3p**



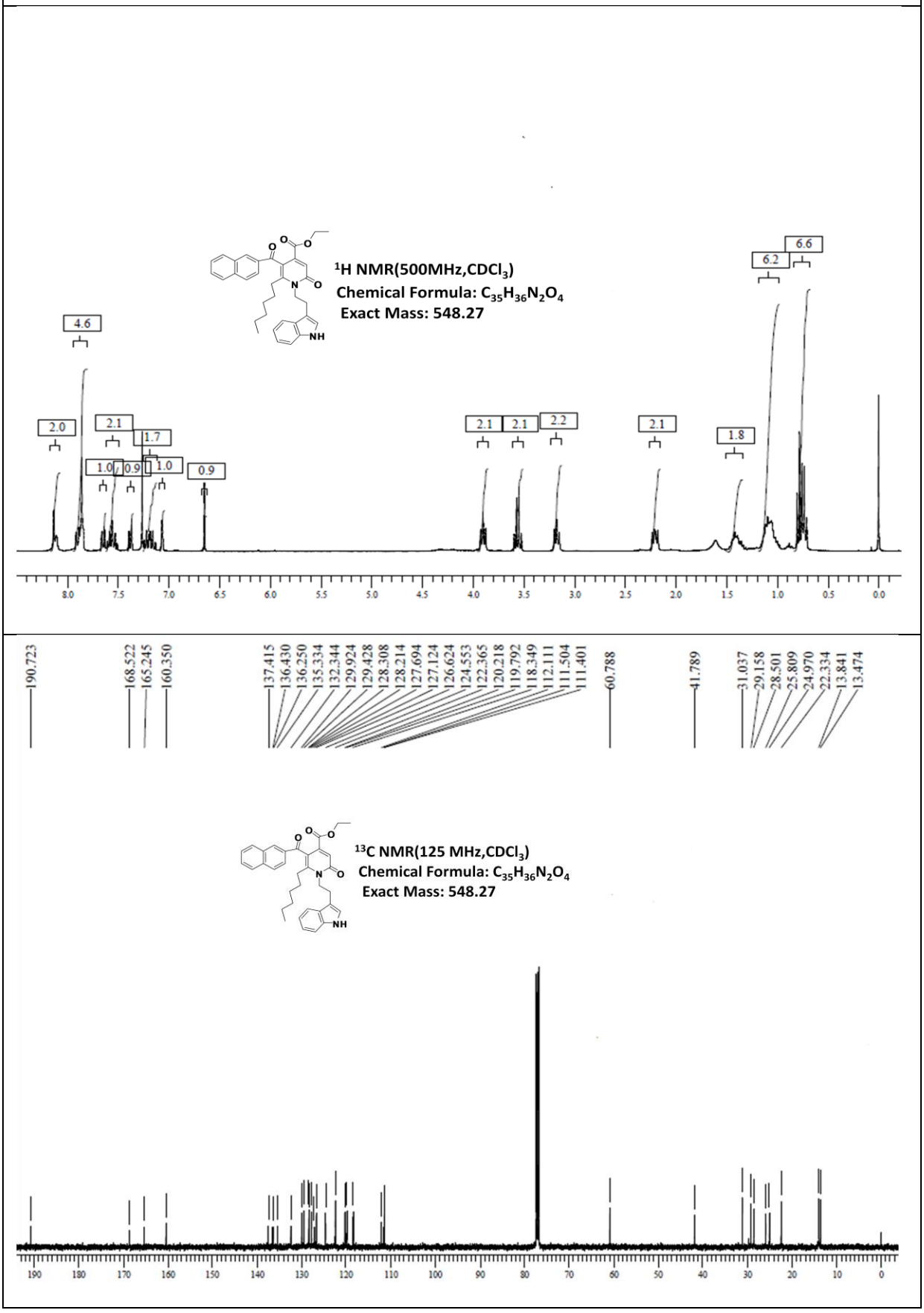


Tert-butyl 5-benzoyl-2-oxo-1-phenethyl-6-phenyl-1,2-dihydropyridine-4-carboxylate **3q**

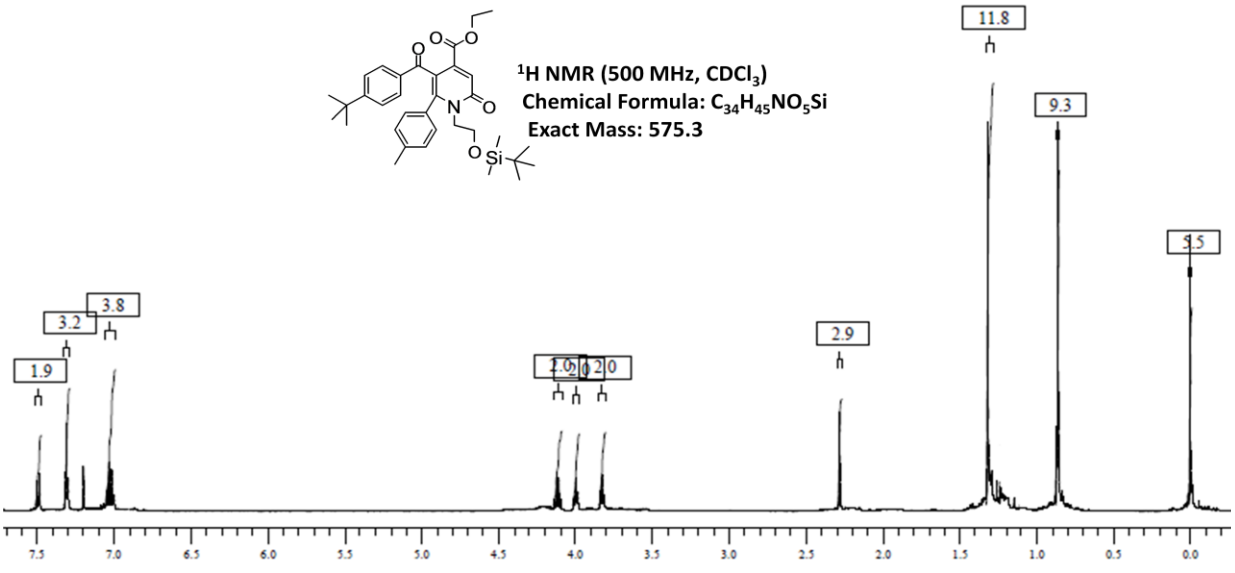


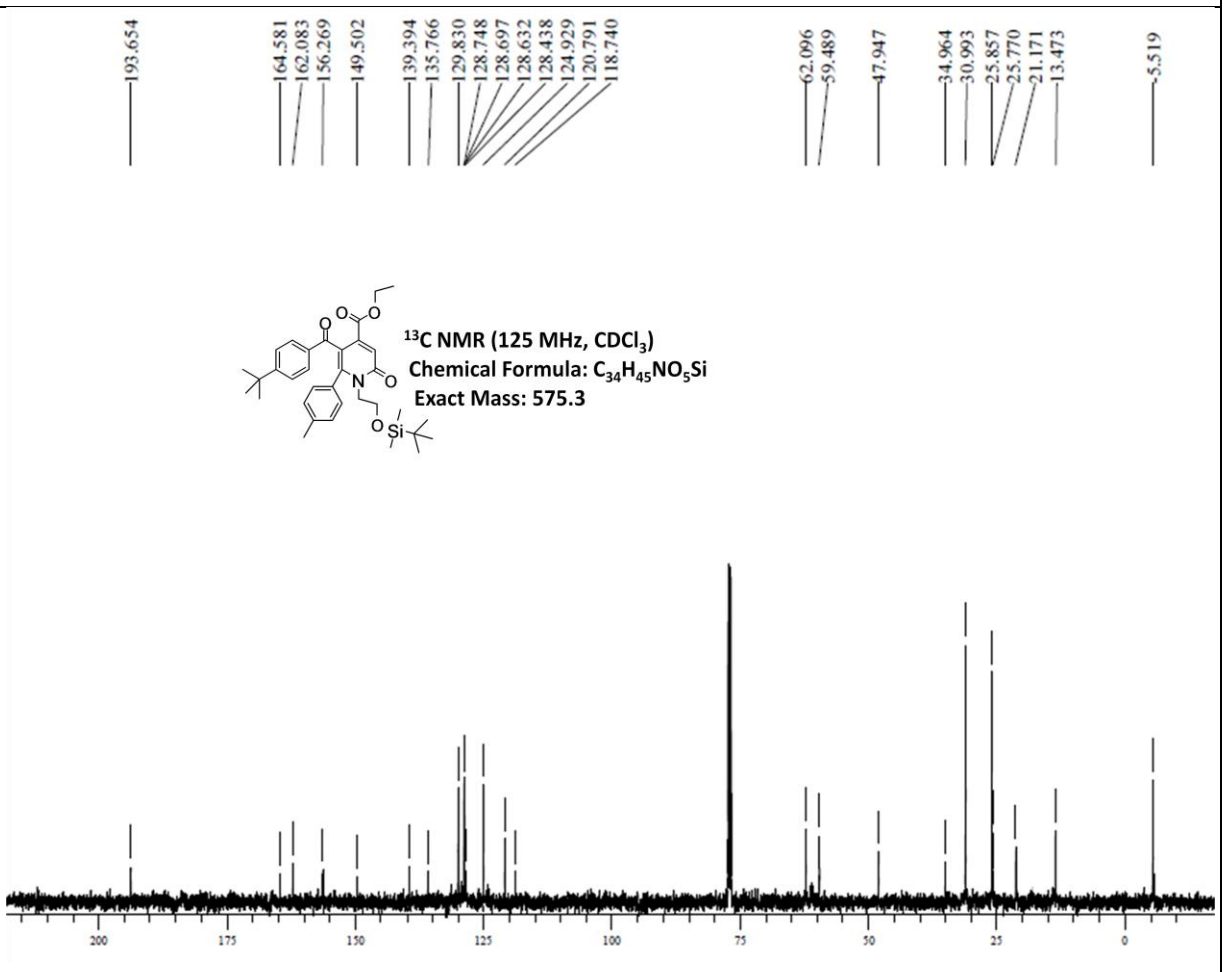


Ethyl 1-(2-(1H-indol-3-yl)ethyl)-5-(2-naphthoyl)-6-hexyl-2-oxo-1,2-dihydropyridine-4-carboxylate **3r**

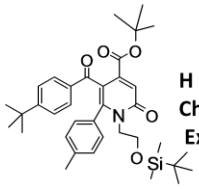


Ethyl 5-(4-tert-butylbenzoyl)-1-(2-(tert-butyldimethylsilyloxy)ethyl)-2-oxo-6-p-tolyl-1,2-dihydropyridine-4-carboxylate **3s**

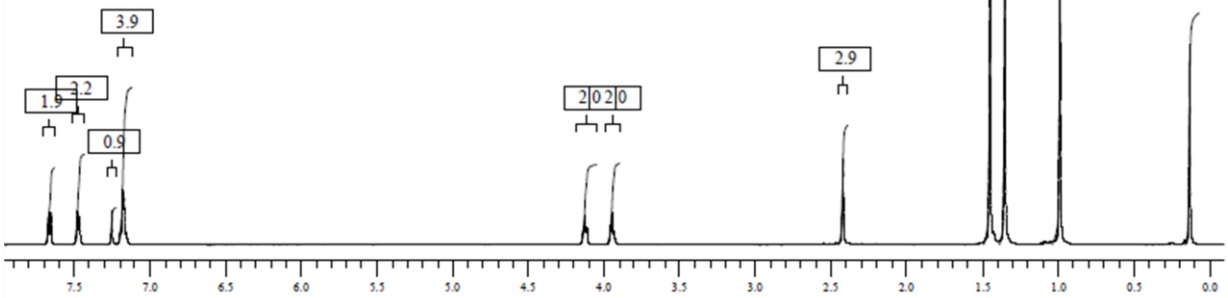


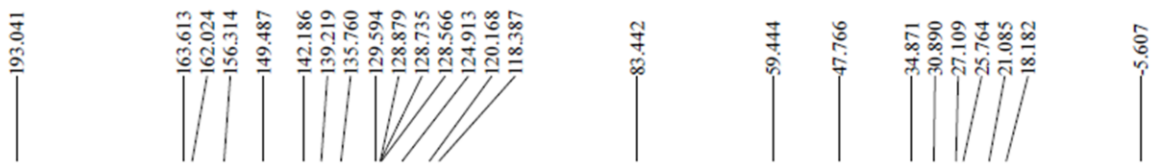


Tert-butyl 5-(4-tert-butylbenzoyl)-1-(2-(tert-butyldimethylsilyloxy)ethyl)-2-oxo-6-p-tolyl-1,2-dihydropyridine-4-carboxylate **3t**

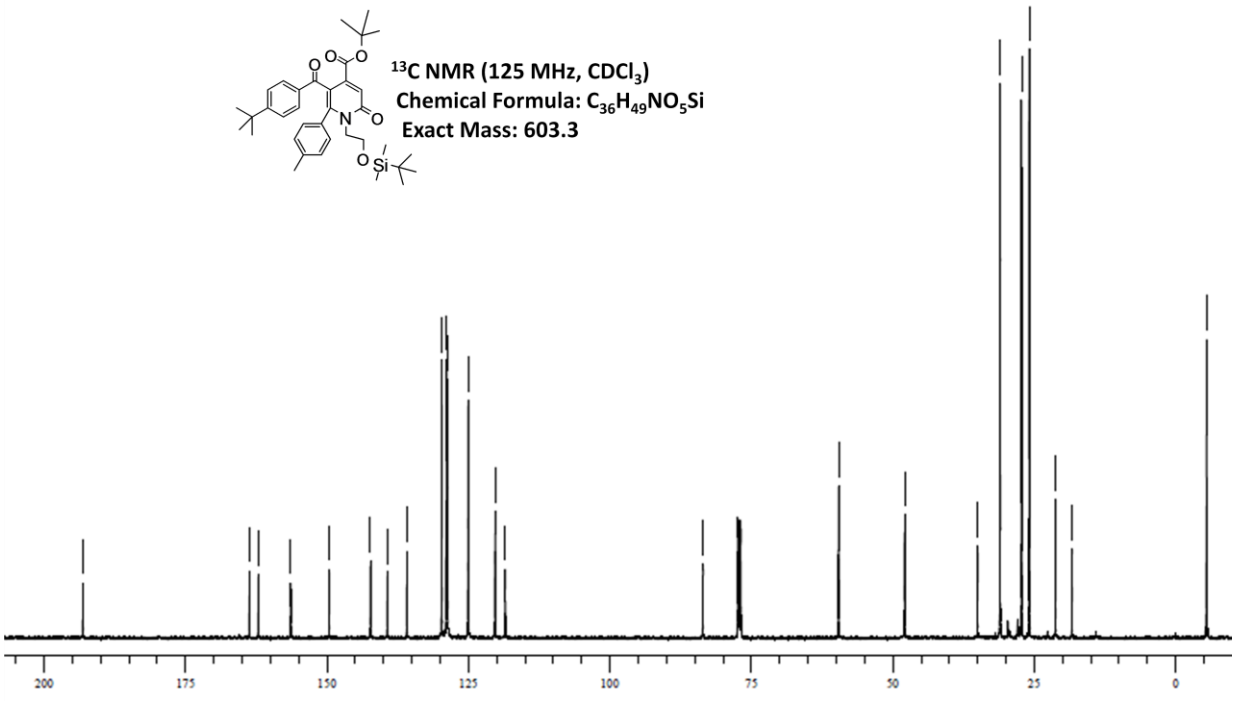


H NMR (500 MHz, CDCl3)
Chemical Formula: C36H49NO5Si
Exact Mass: 603.3

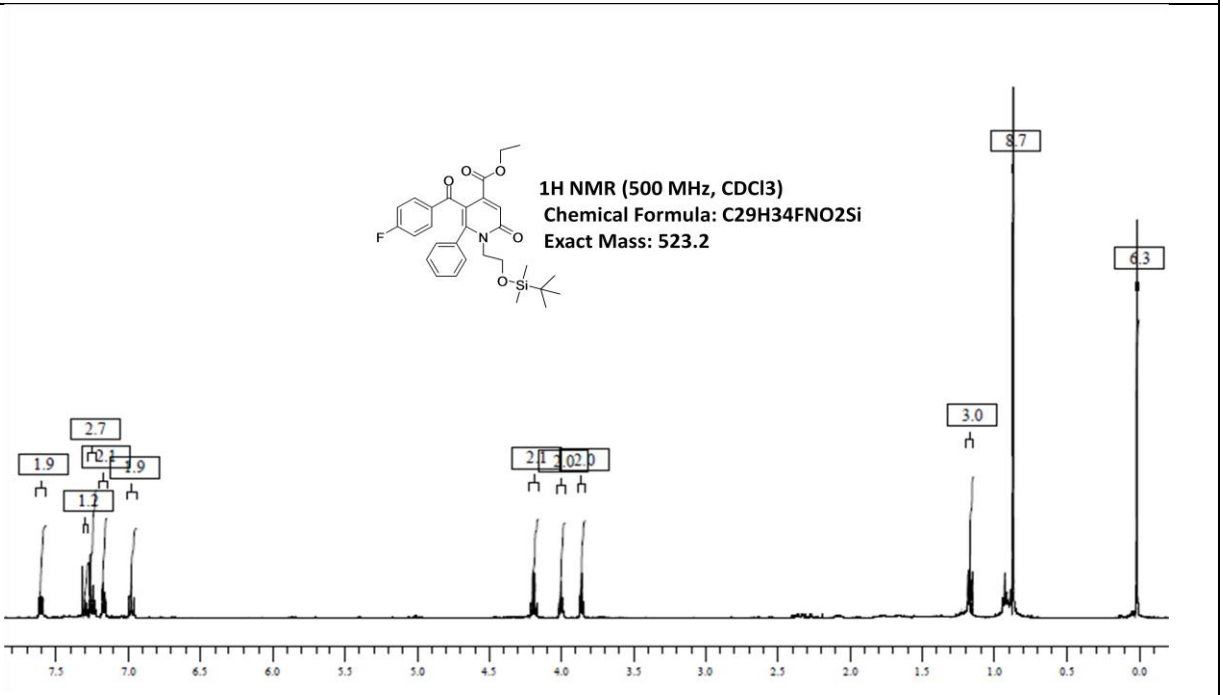


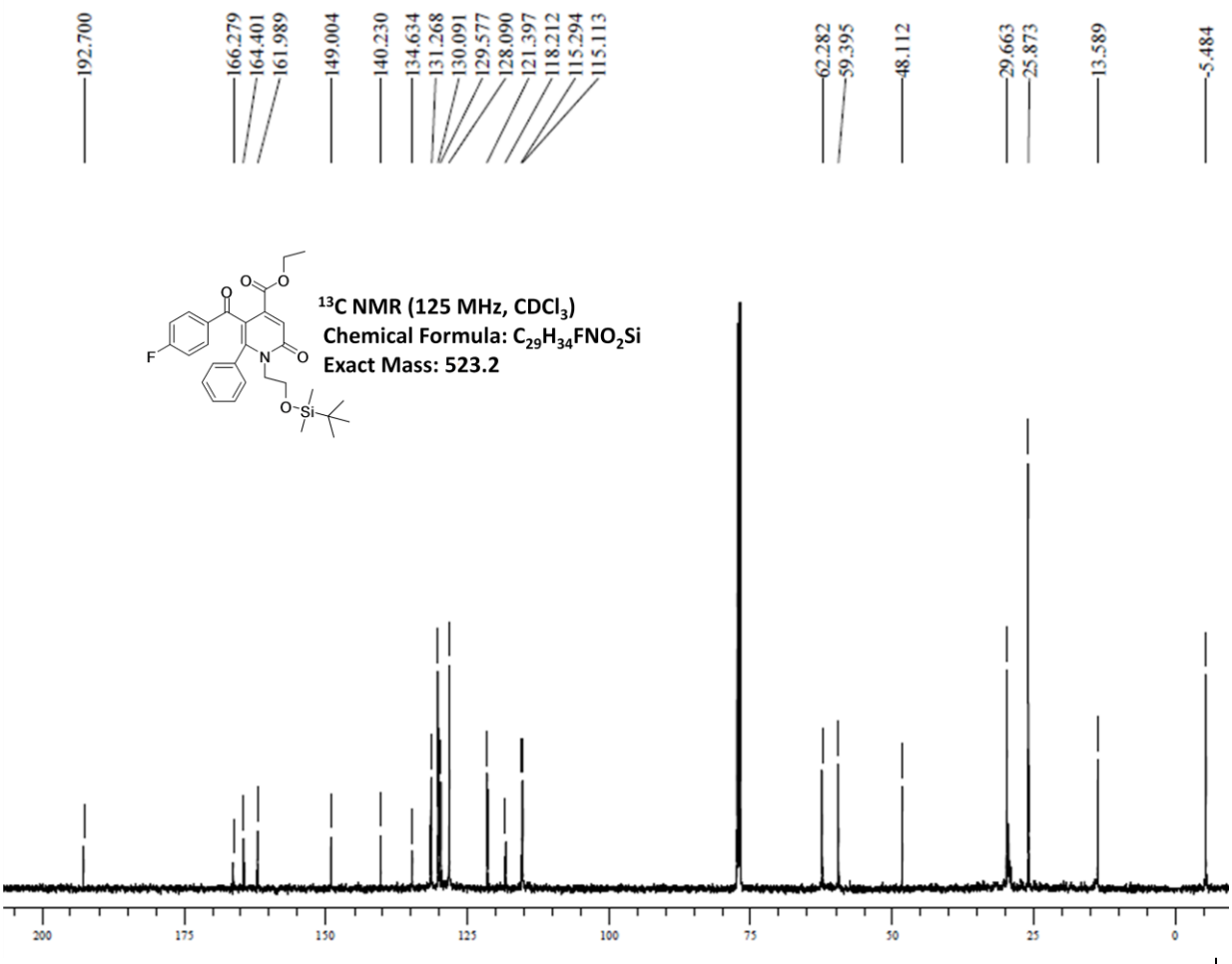


^{13}C NMR (125 MHz, $CDCl_3$)
Chemical Formula: $C_{36}H_{49}NO_5Si$
Exact Mass: 603.3

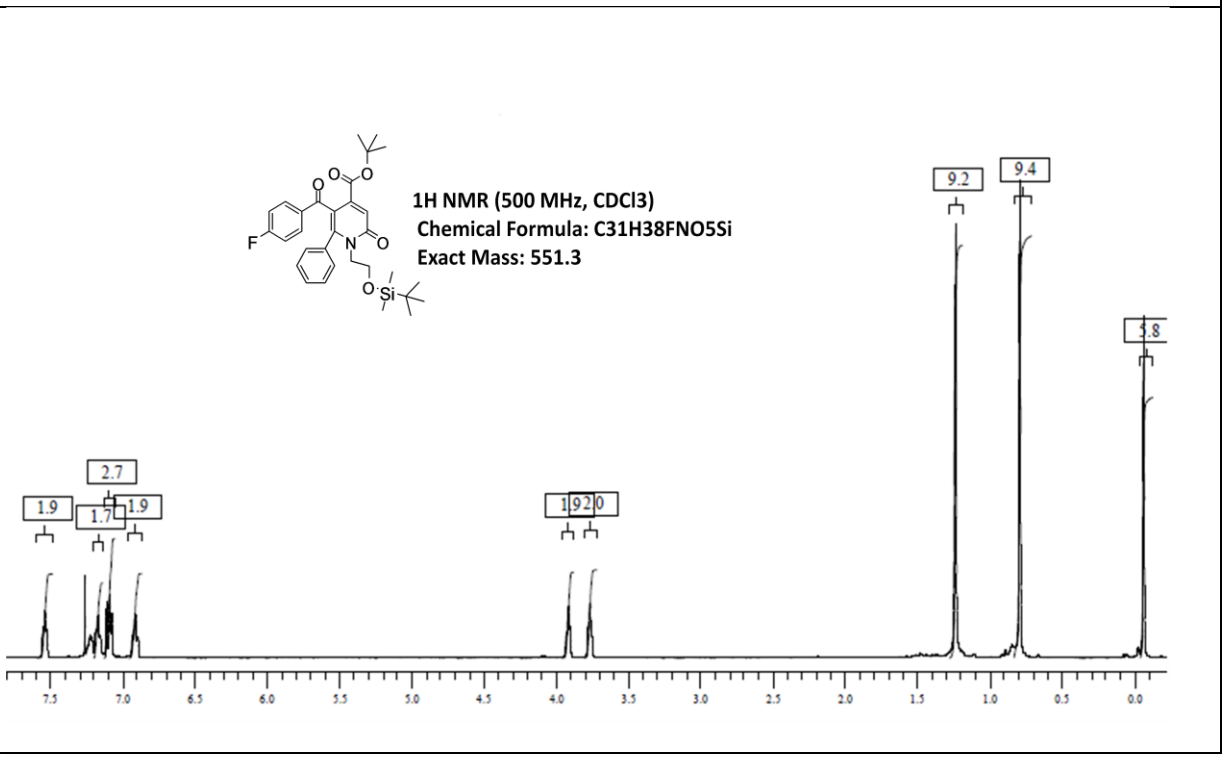


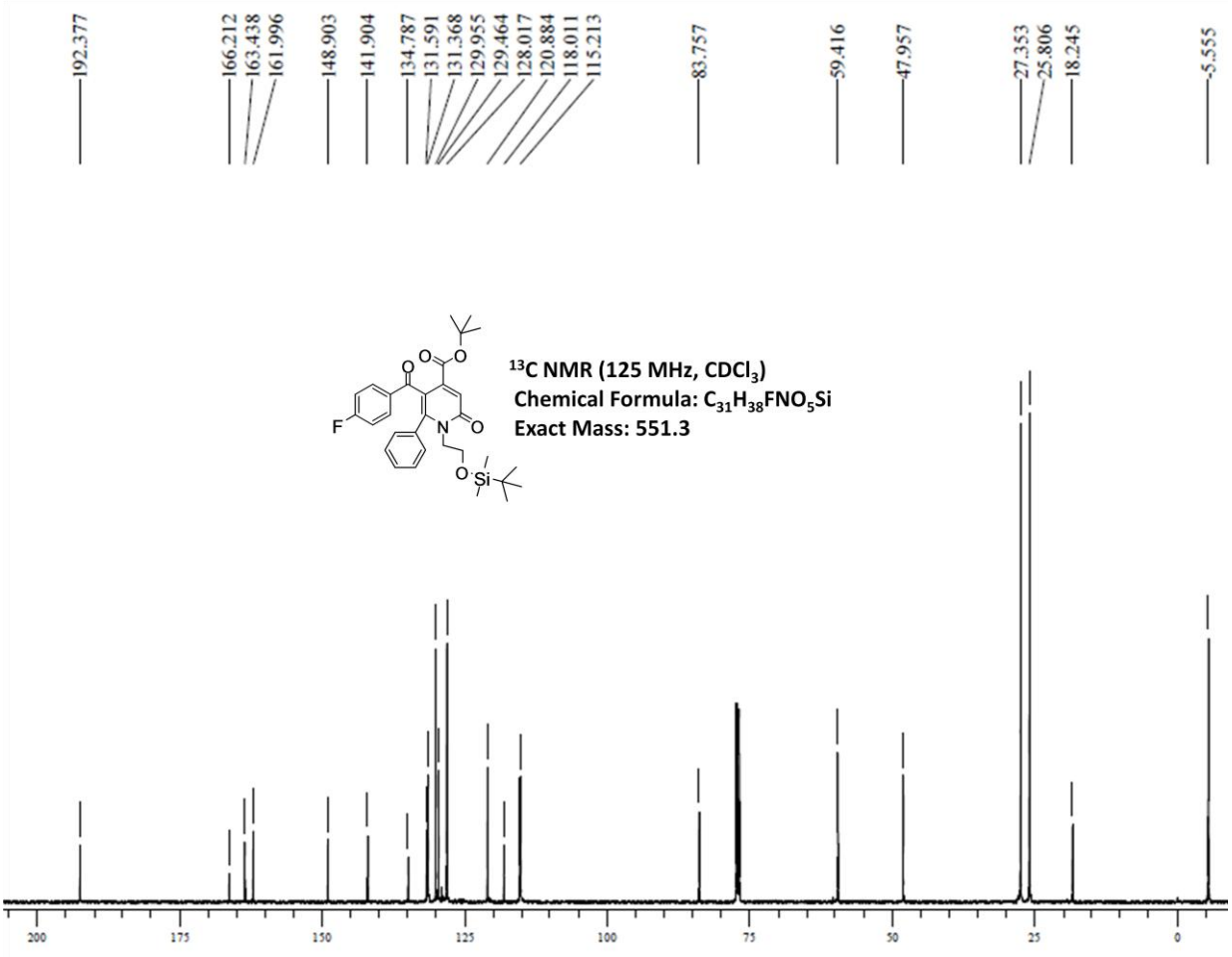
Ethyl 1-(2-(tert-butyldimethylsilyloxy)ethyl)-5-(4-fluorobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3u**



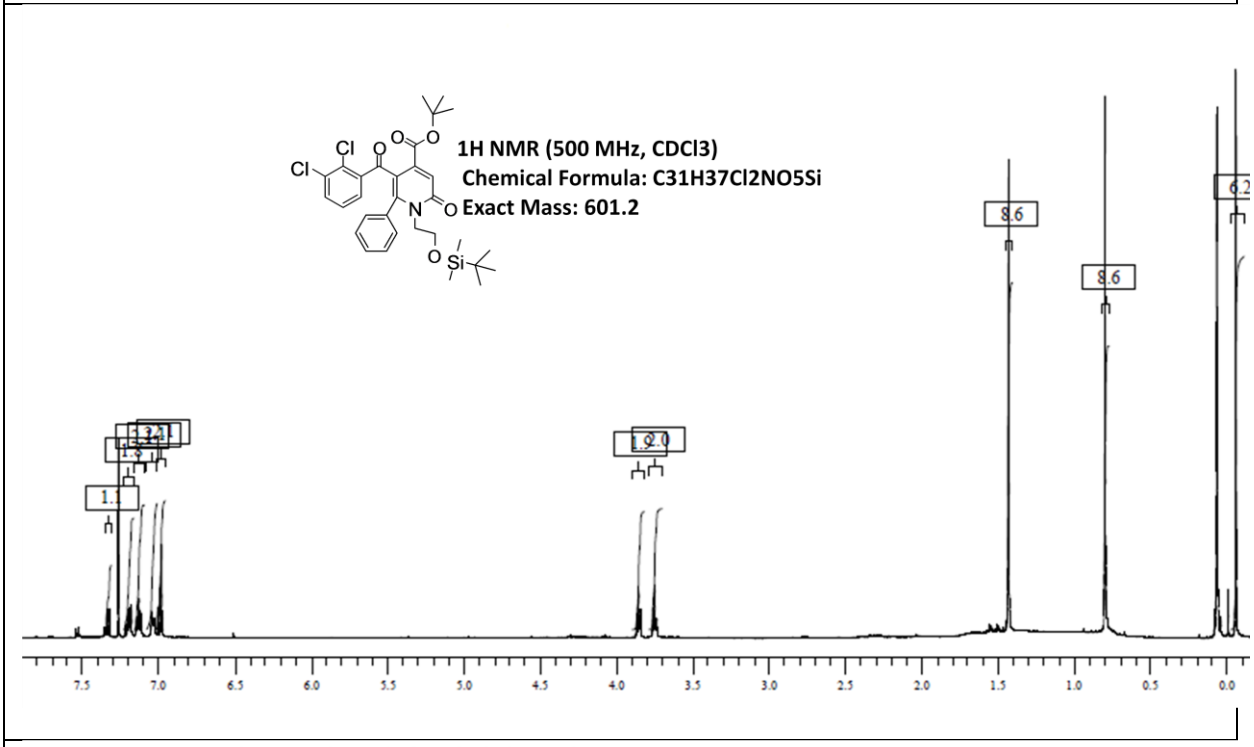


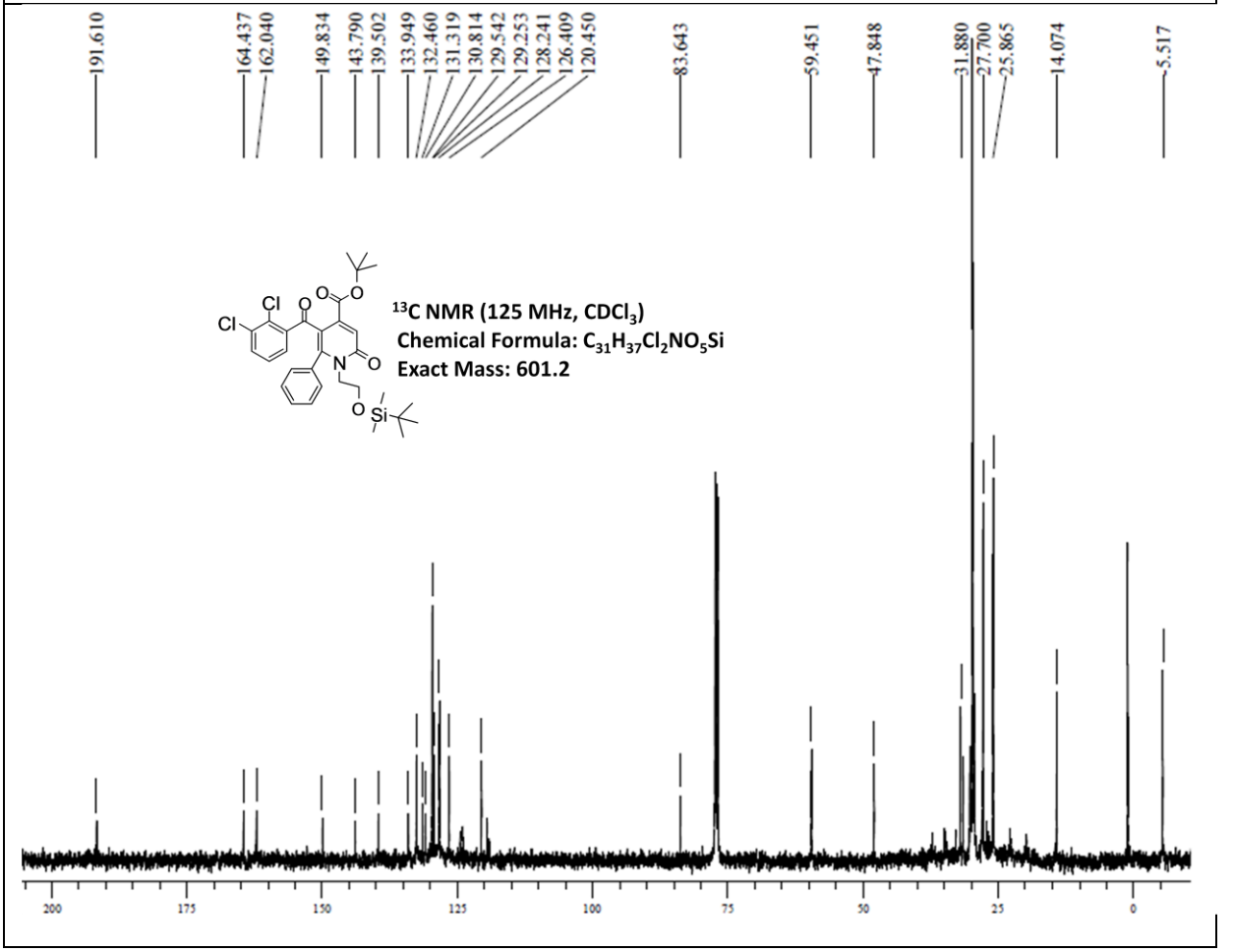
Tert-butyl 1-(2-(tert-butyldimethylsilyloxy)ethyl)-5-(4-fluorobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3v**



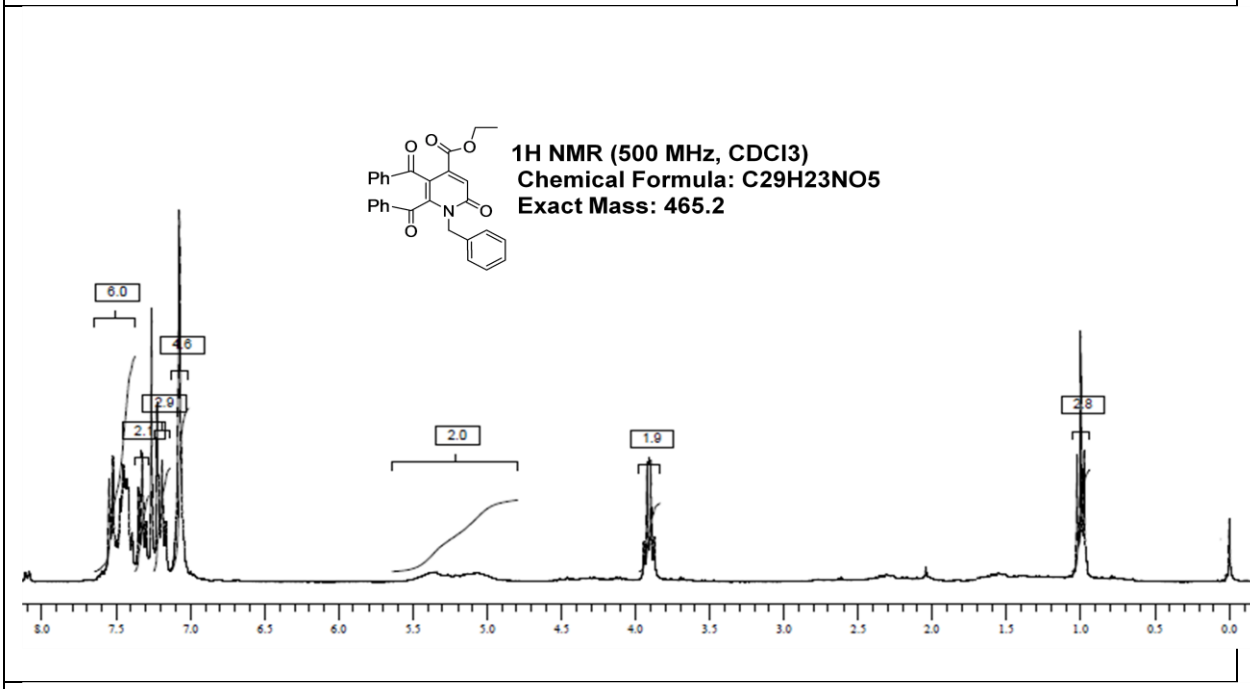


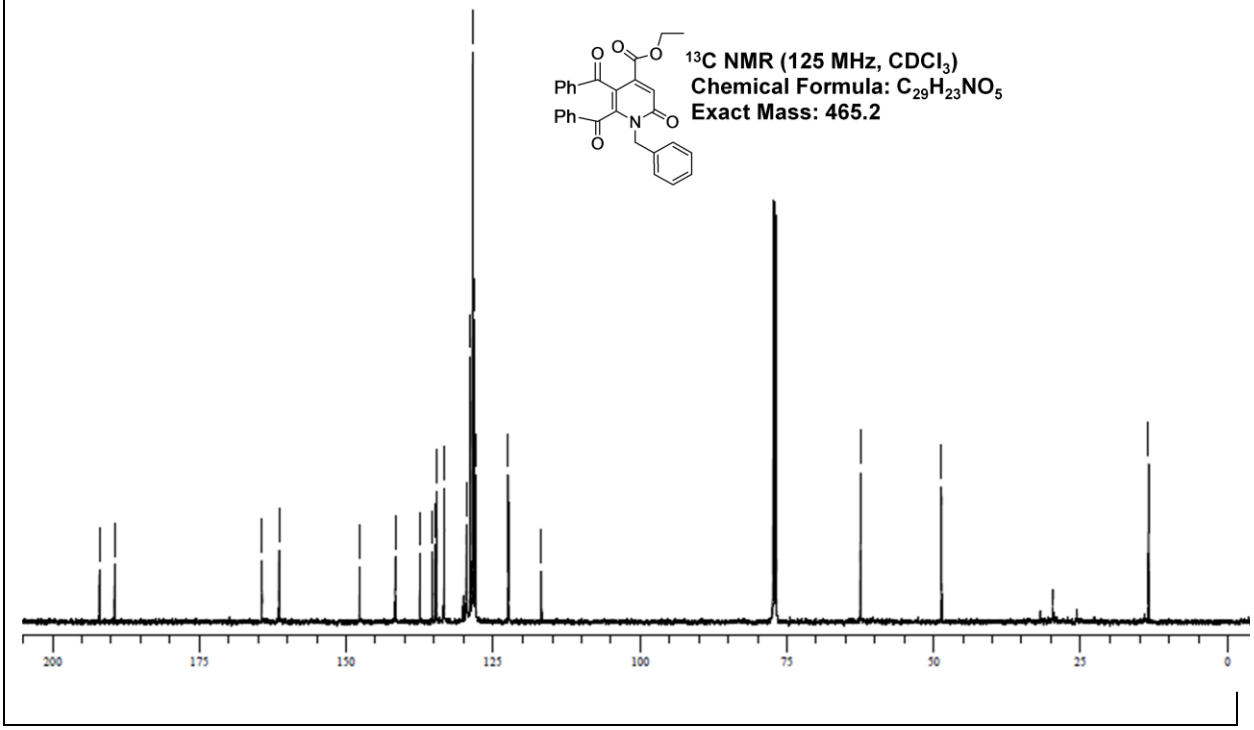
Tert-butyl 1-(2-(tert-butyldimethylsilyloxy)ethyl)-5-(2,3-dichlorobenzoyl)-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **3w**



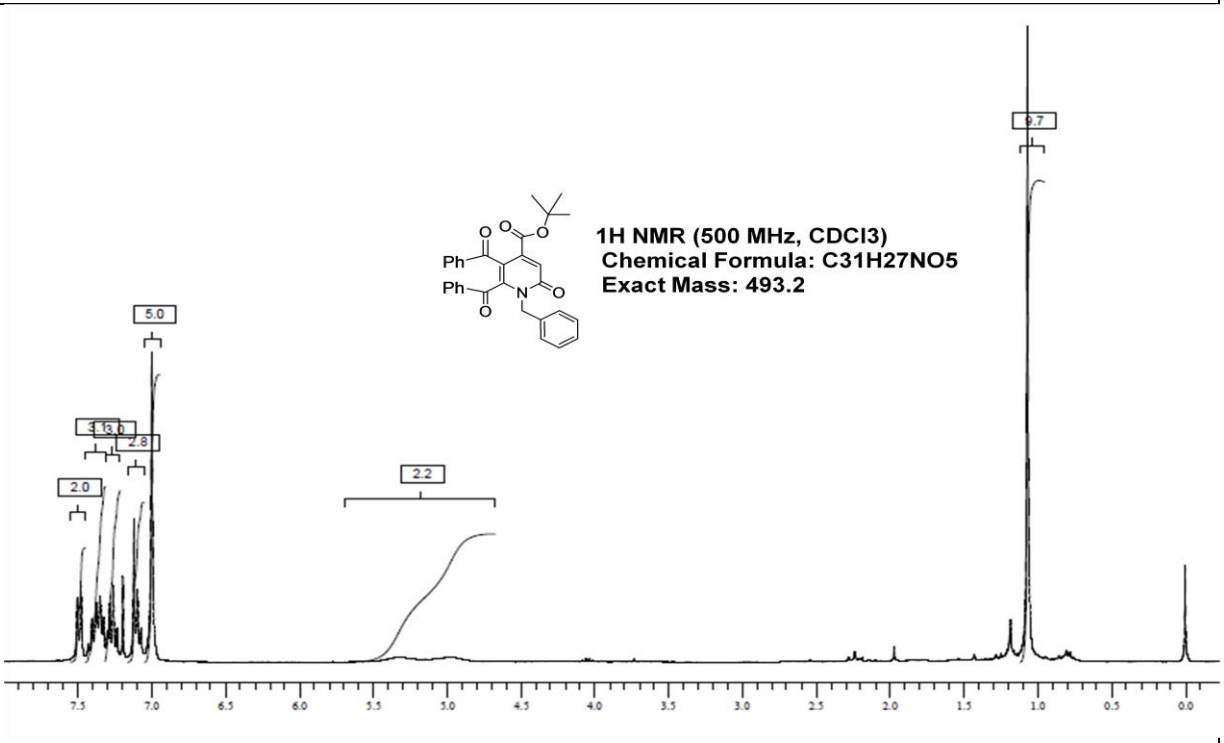


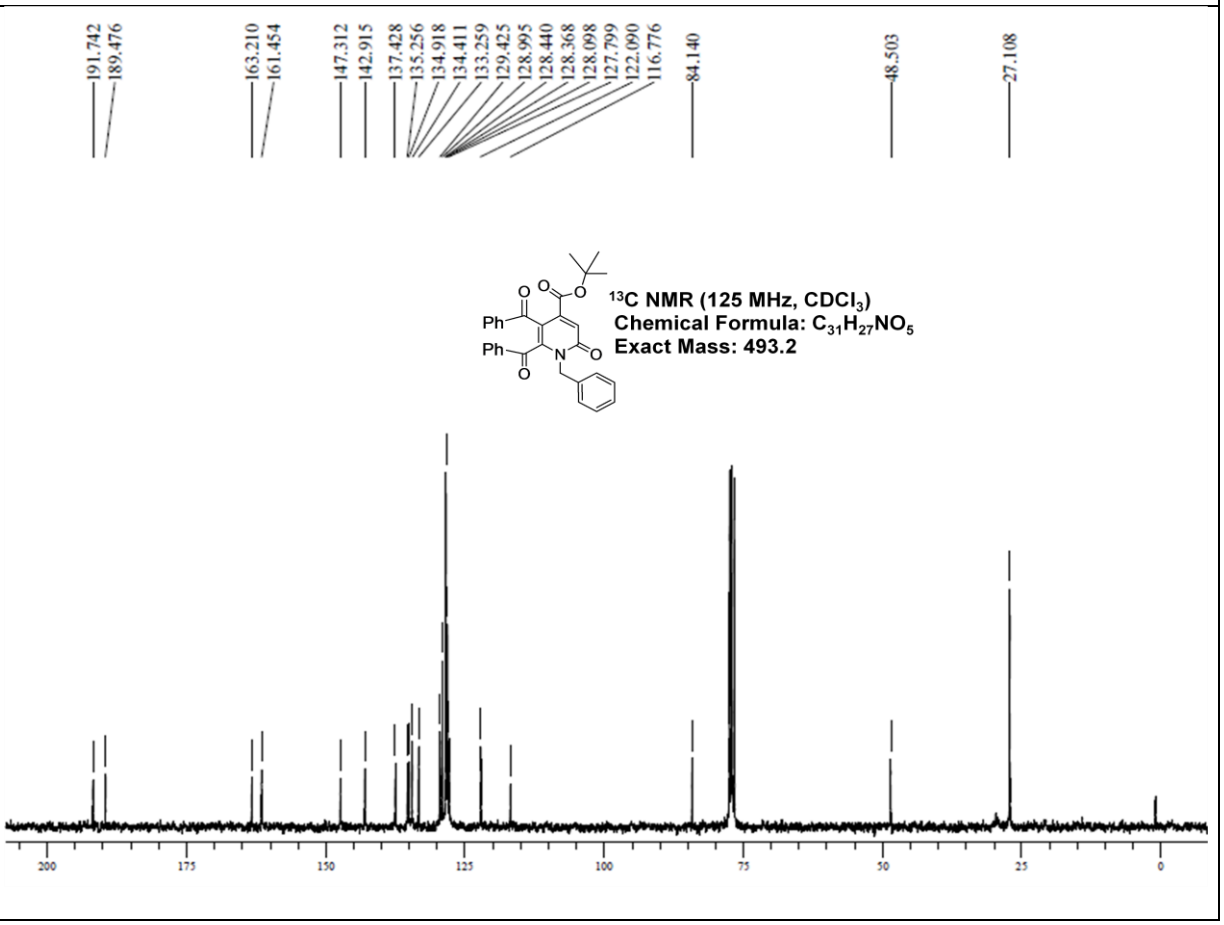
Ethyl 5,6-dibenzoyl-1-benzyl-2-oxo-1,2-dihydropyridine-4-carboxylate **3x**



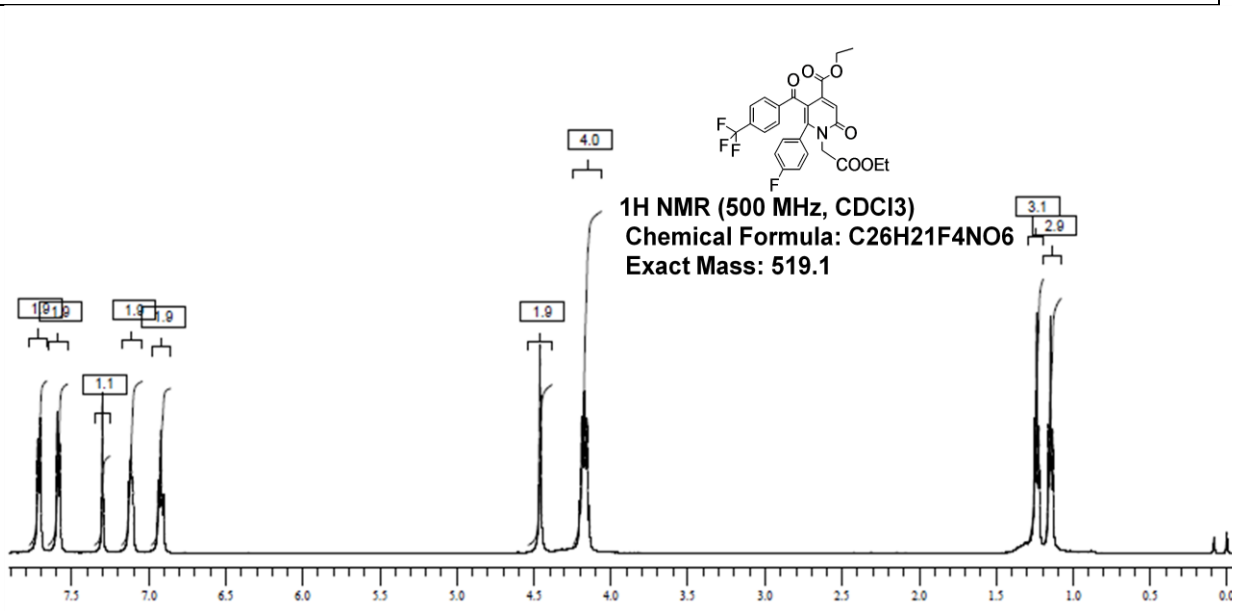


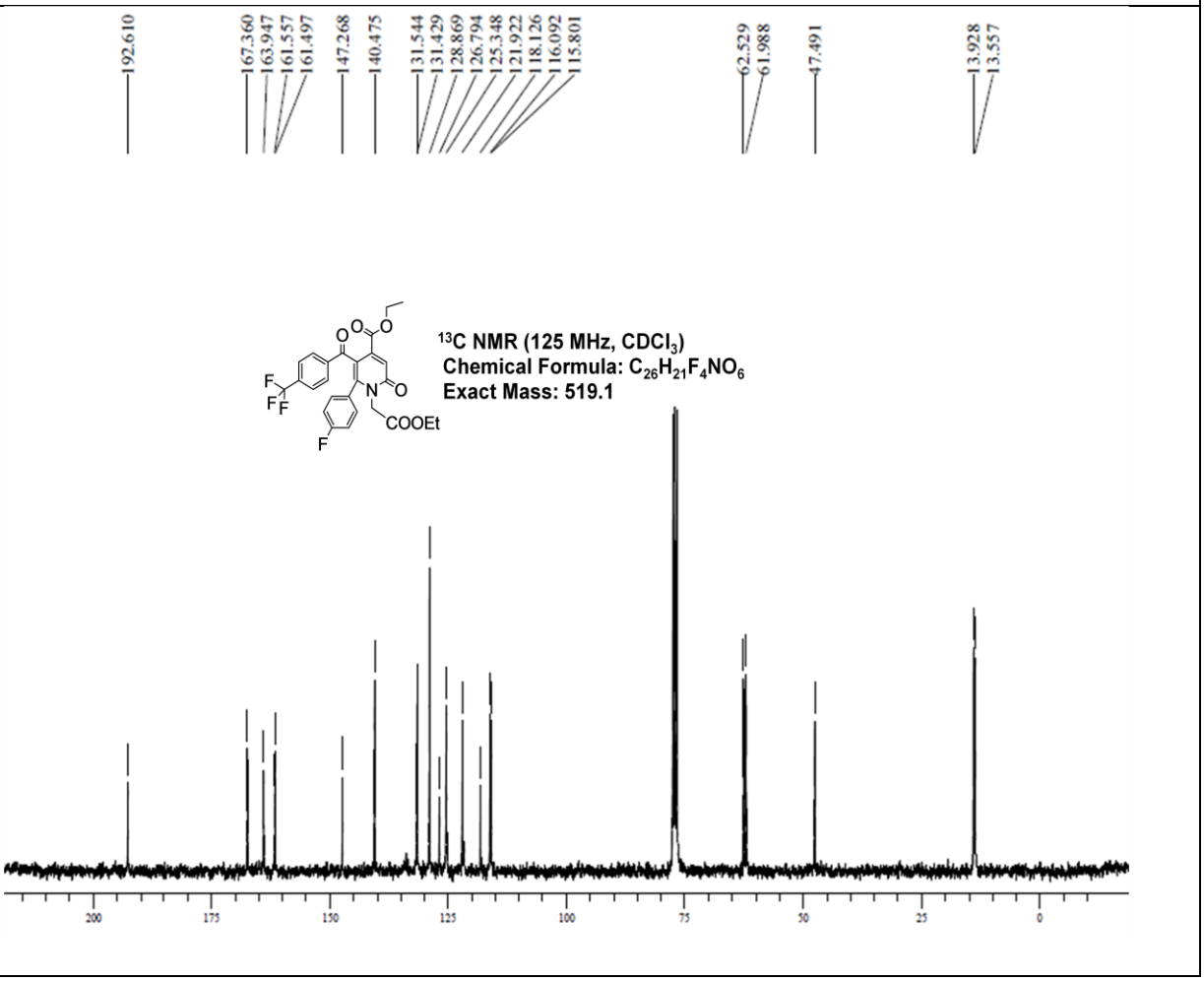
Tert-butyl 5,6-dibenzoyl-1-benzyl-2-oxo-1,2-dihydropyridine-4-carboxylate **3y**



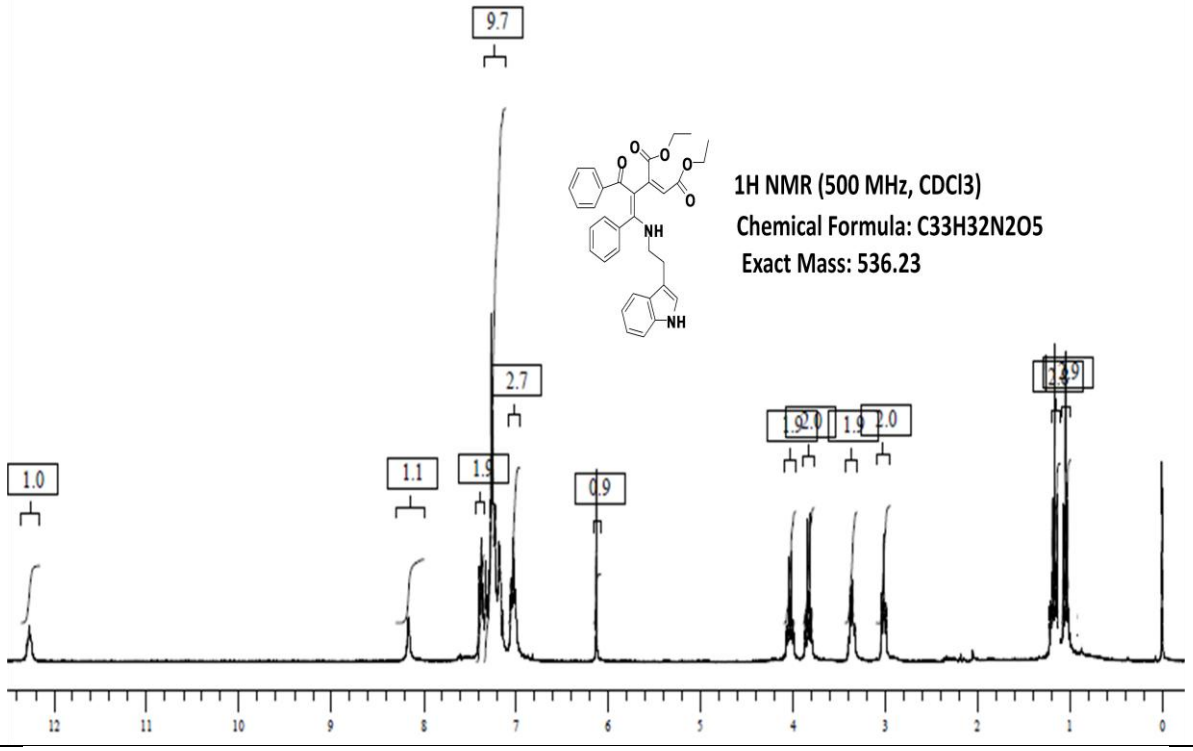


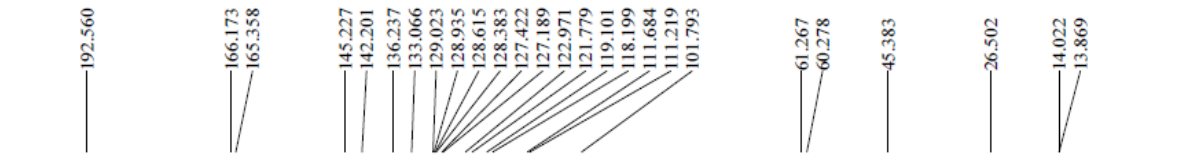
Ethyl 1-(2-ethoxy-2-oxoethyl)-6-(4-fluorophenyl)-2-oxo-5-(4-(trifluoromethyl)benzoyl)-1,2-dihydropyridine-4-carboxylate **3z**

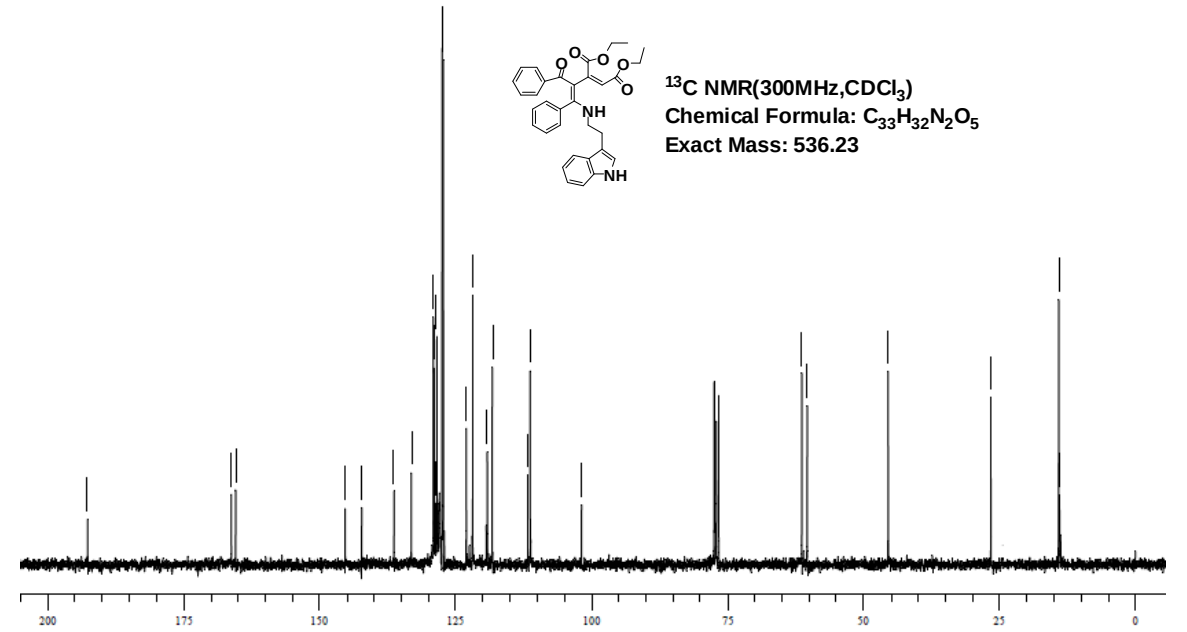




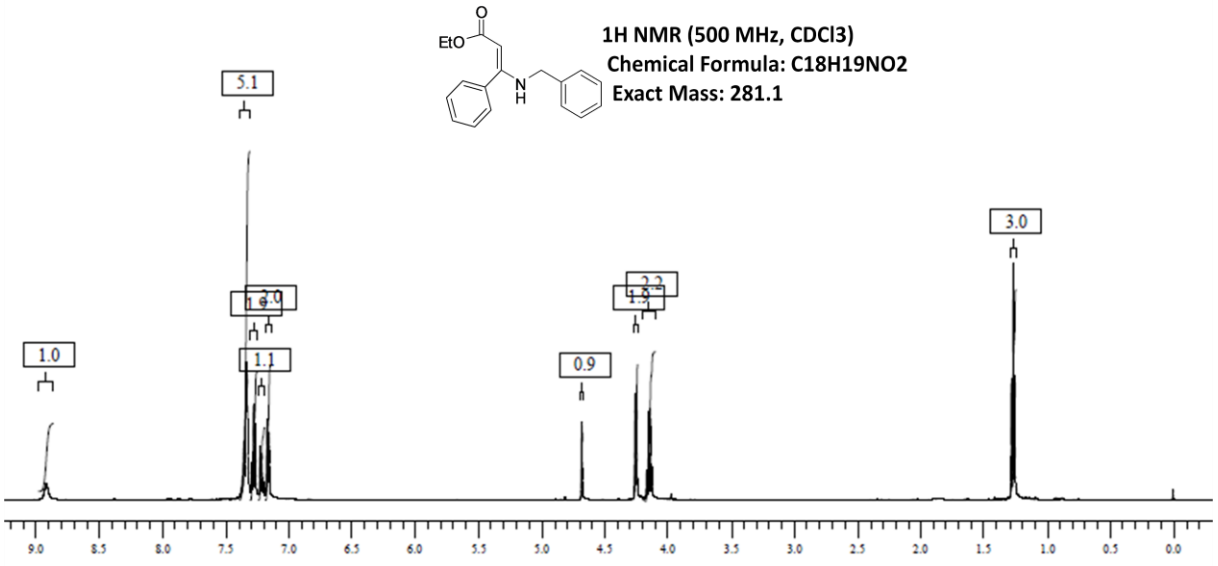
Diethyl 2-(1-(2-(1H-indol-3-yl)ethylamino)-3-oxo-1,3-diphenylprop-1-en-2-yl)maleate **4**

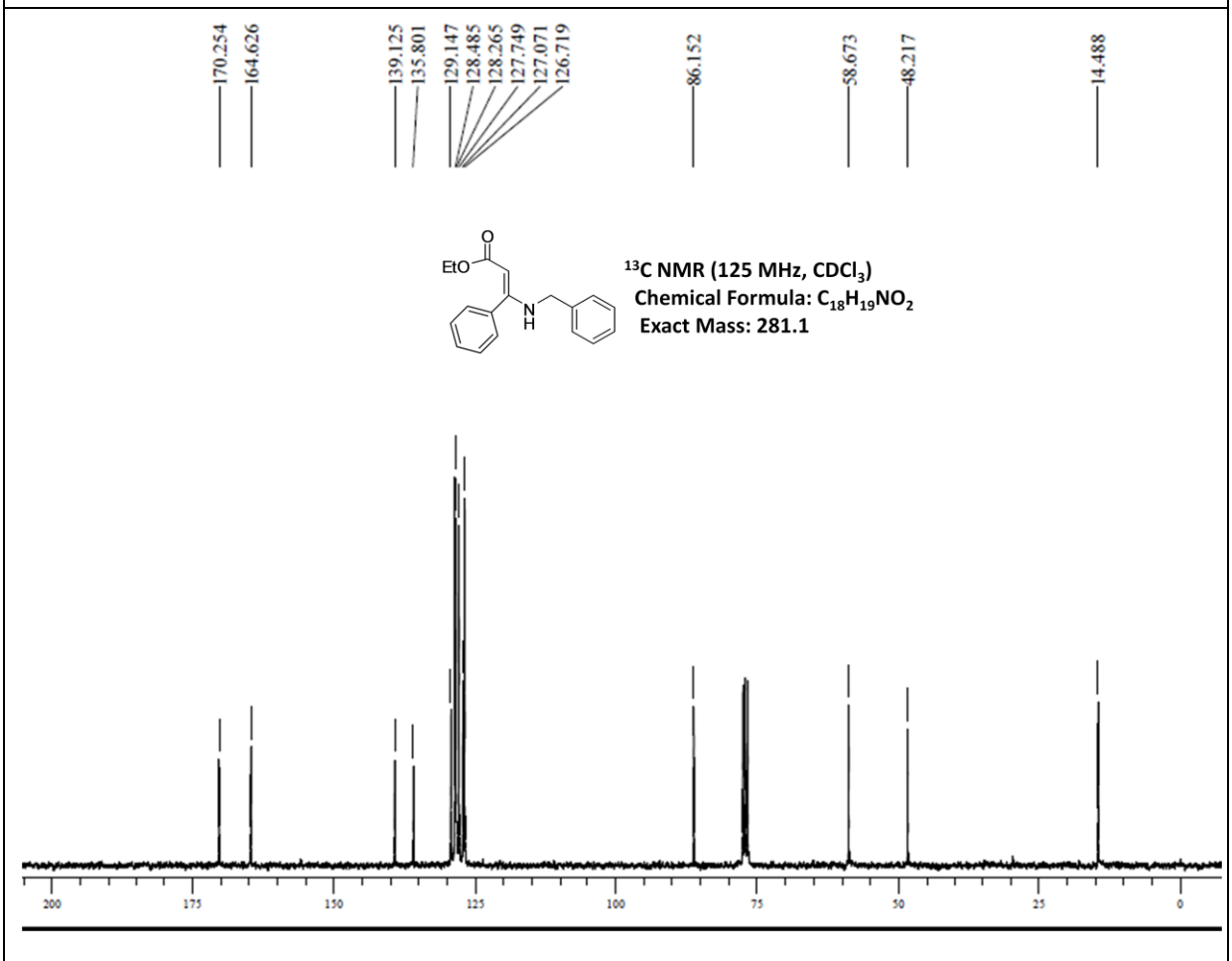




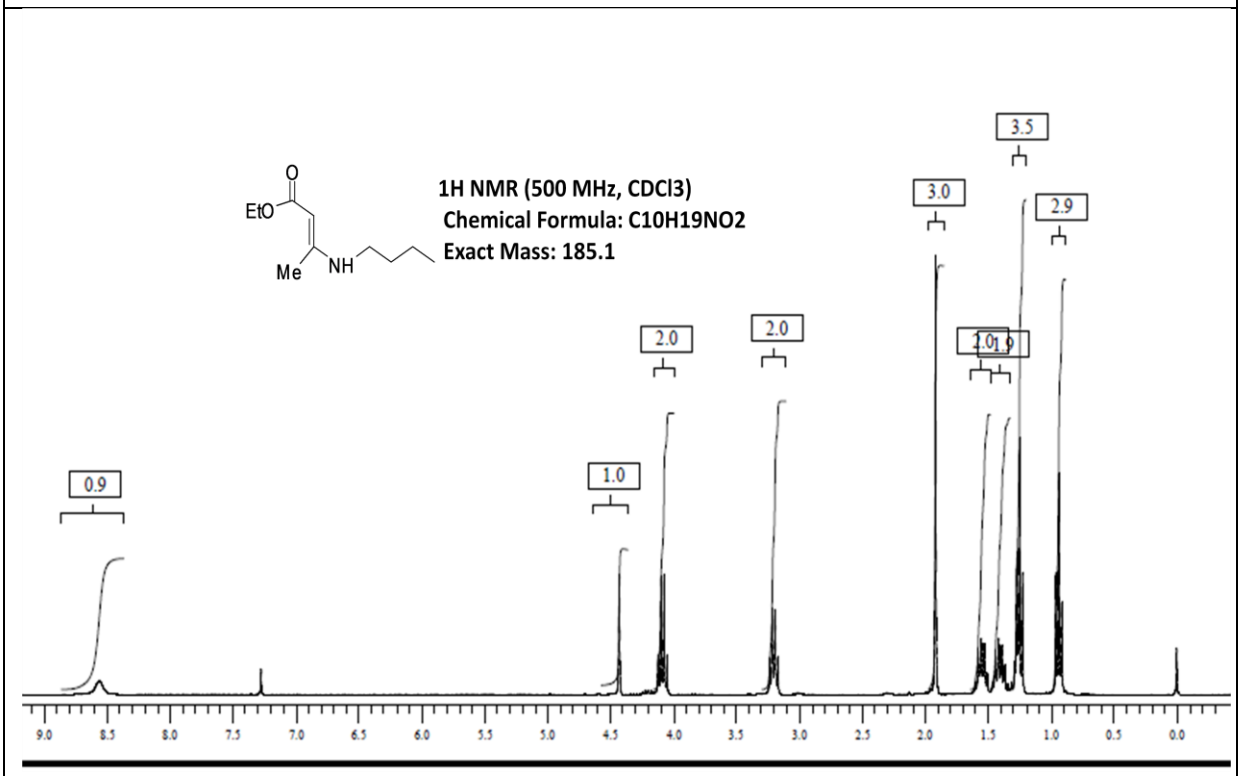


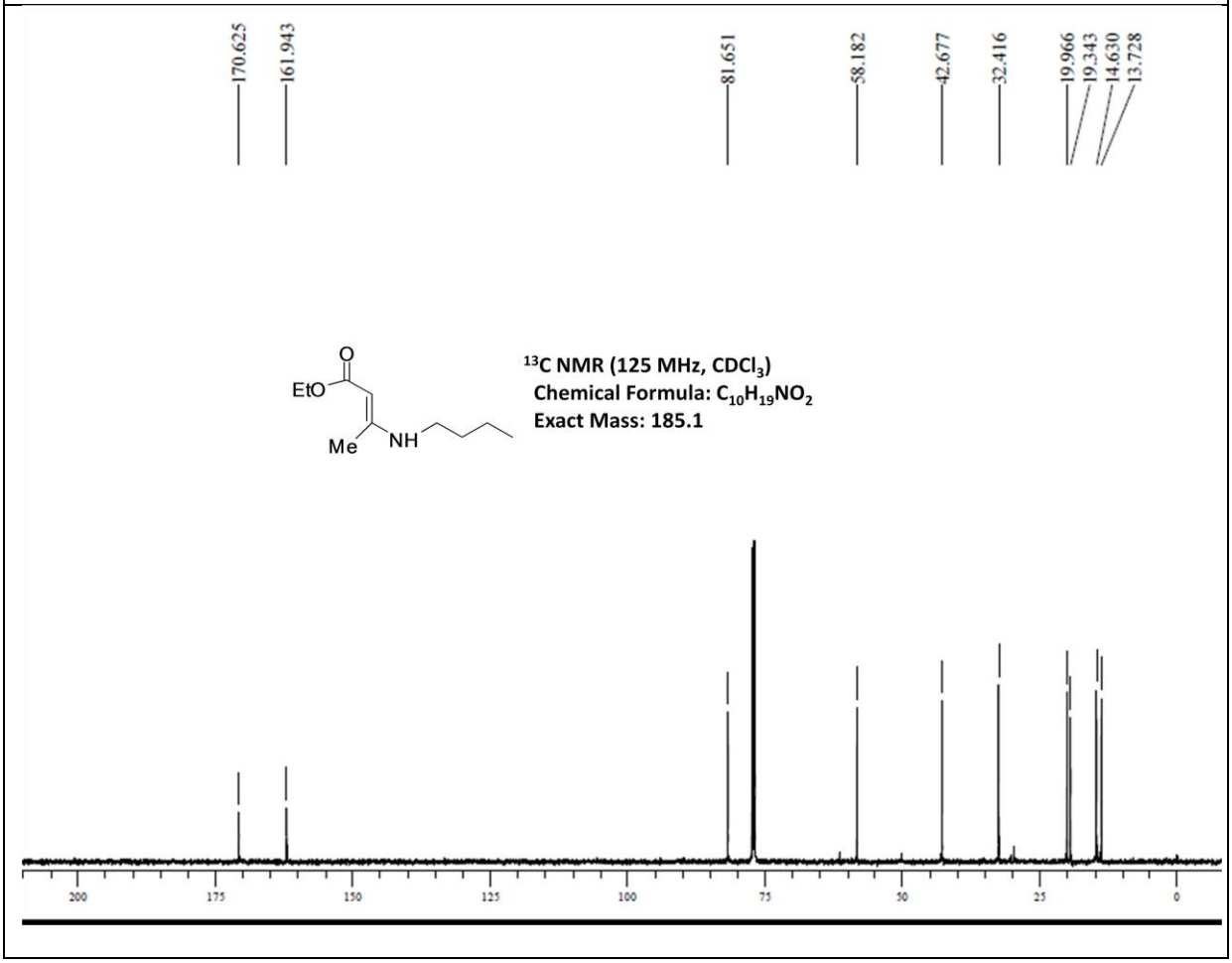
(E)-Ethyl 3-(benzylamino)-3-phenylacrylate **5a**



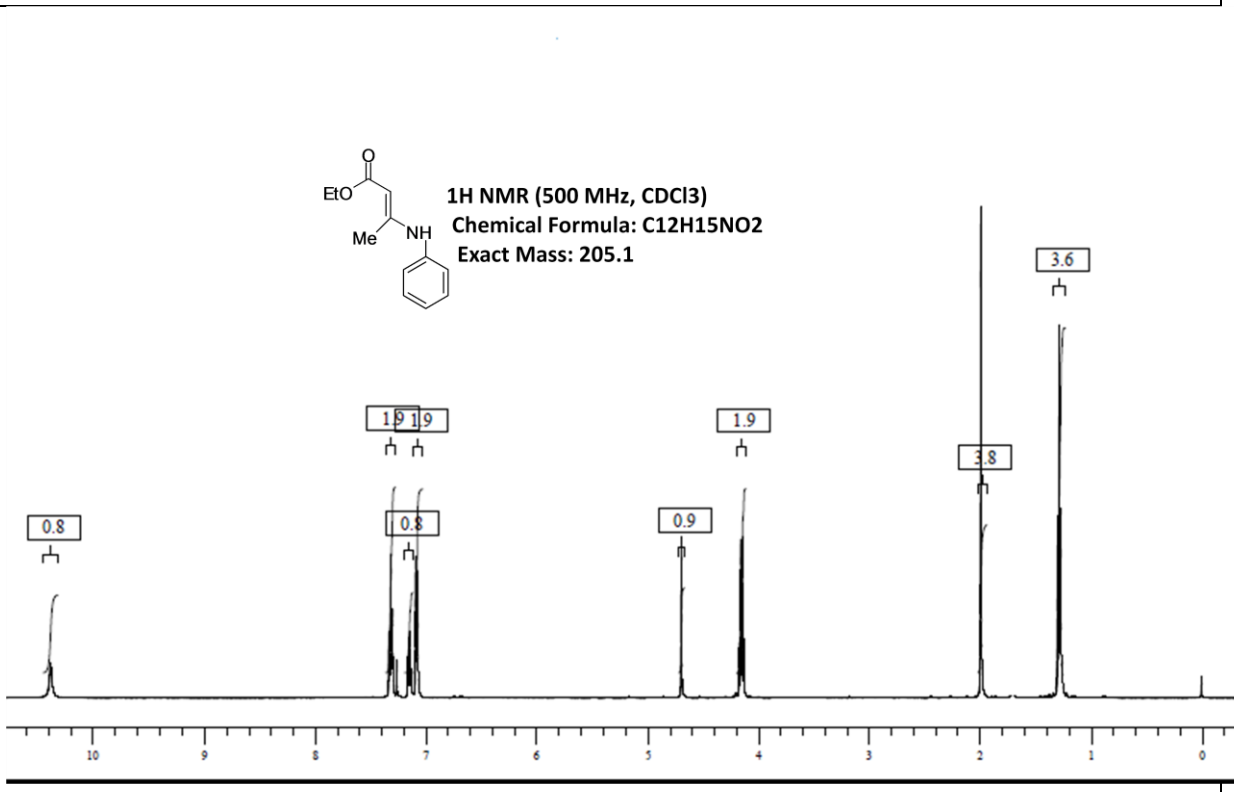


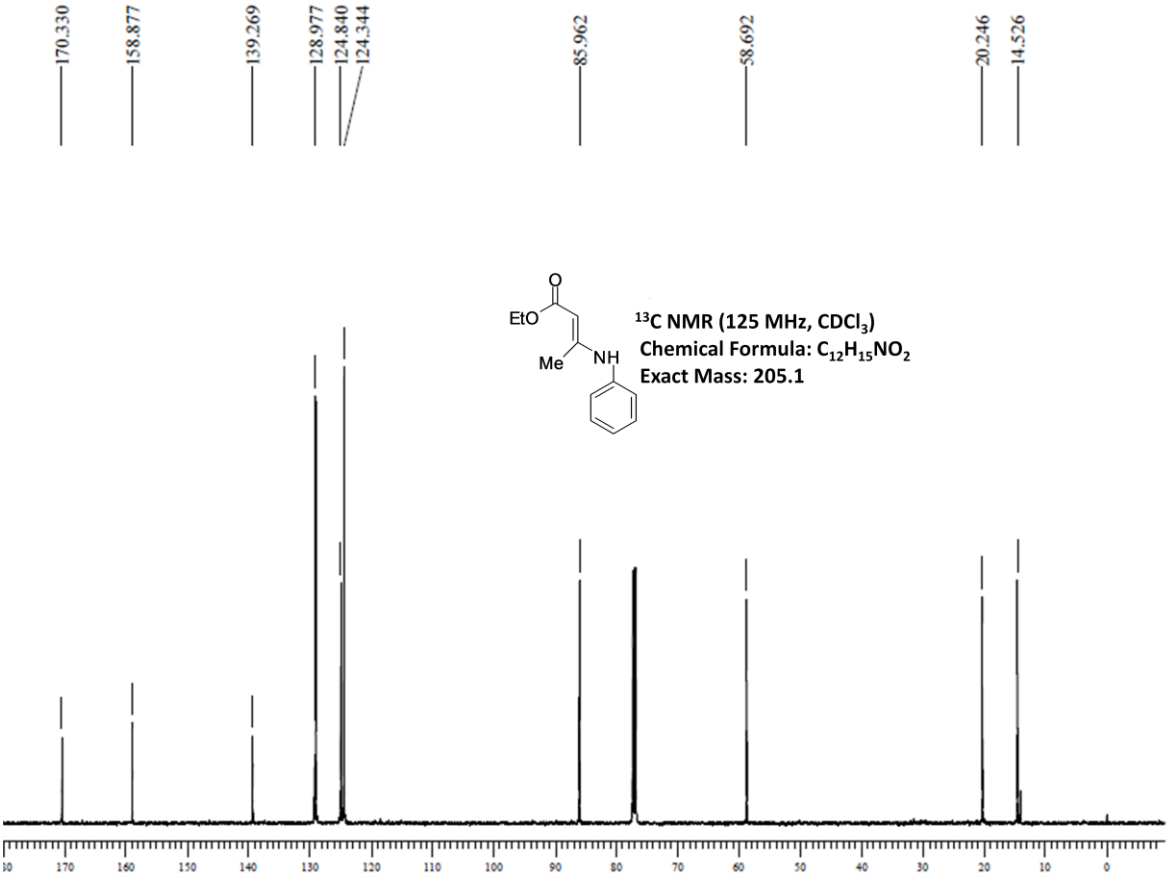
(E)-Ethyl 3-(butylamino)but-2-enoate **5b**



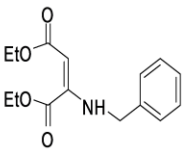


(E)-Ethyl 3-(phenylamino)but-2-enoate **5c**

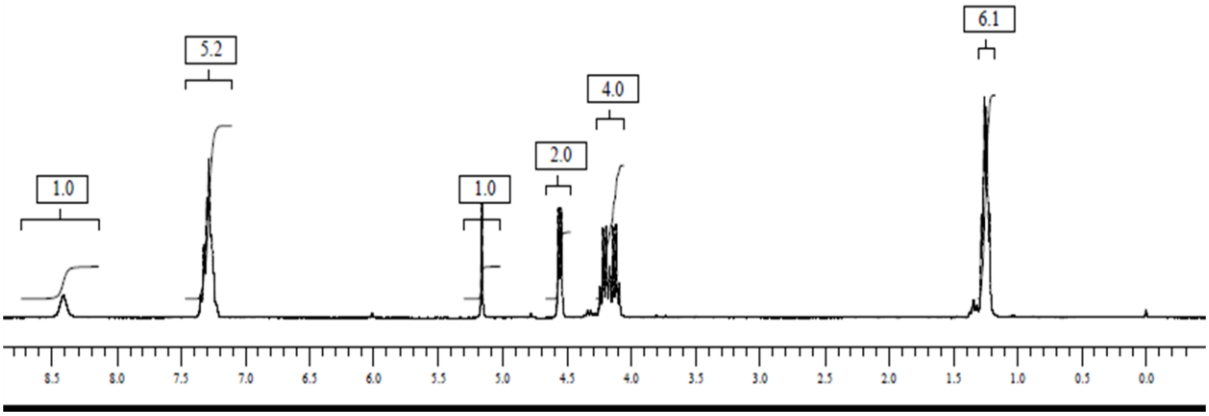


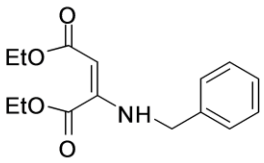


Diethyl 2-(benzylamino)maleate **5d**

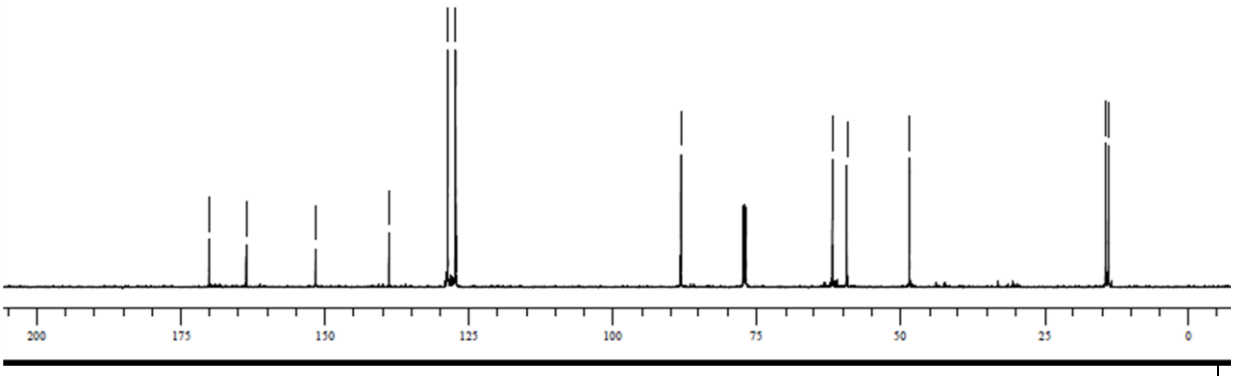


1H NMR (500 MHz, CDCl3)
Chemical Formula: C15H19NO4
Exact Mass: 277.1

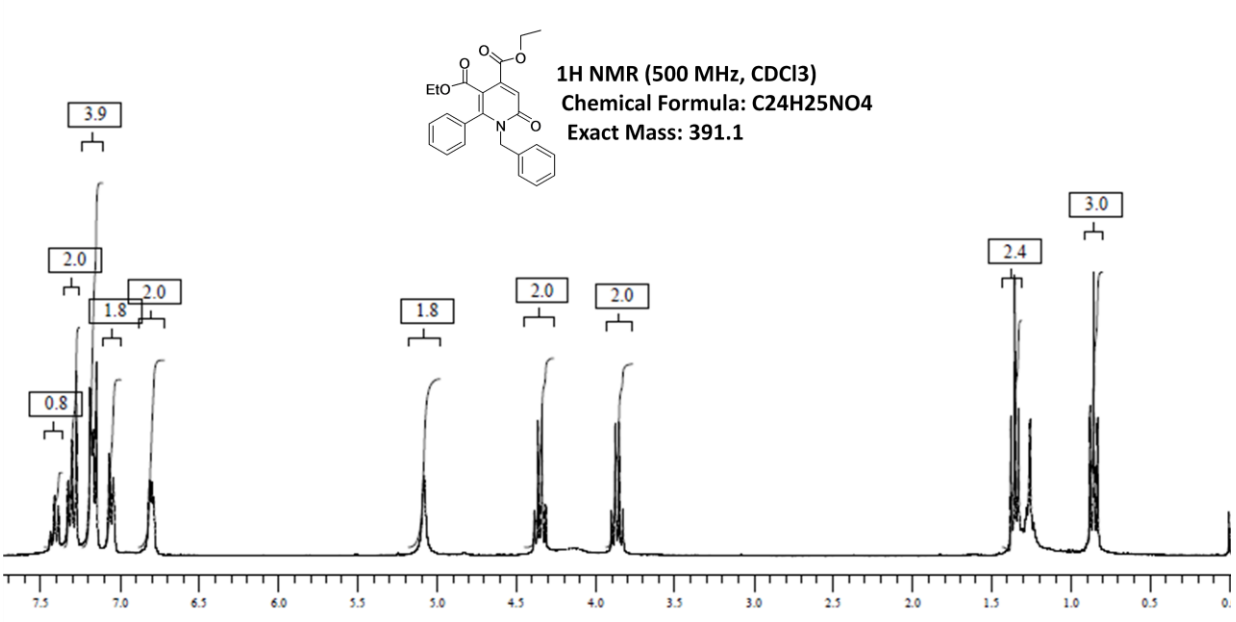


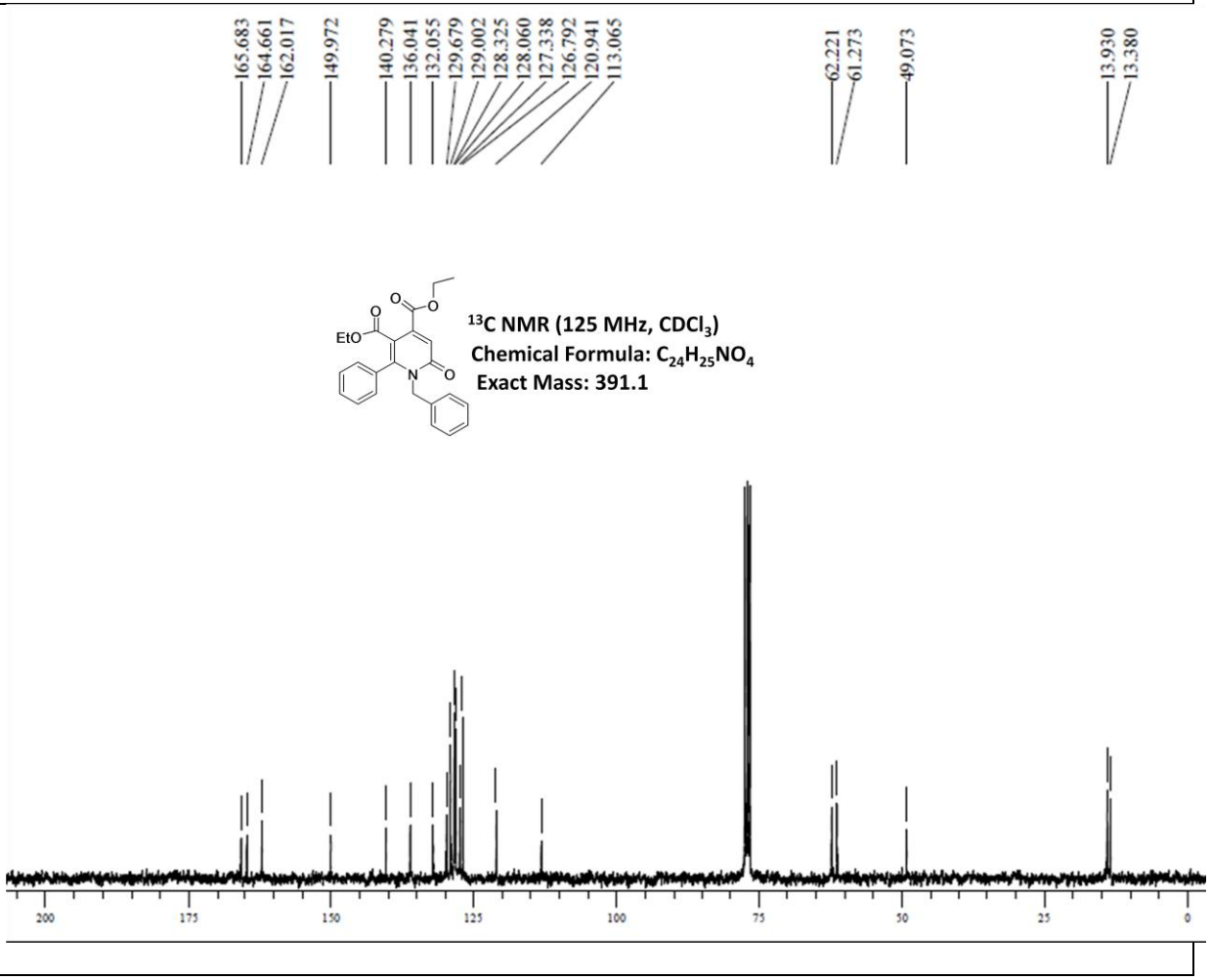


^{13}C NMR (125 MHz, $CDCl_3$)
Chemical Formula: $C_{15}H_{19}NO_4$
Exact Mass: 277.1

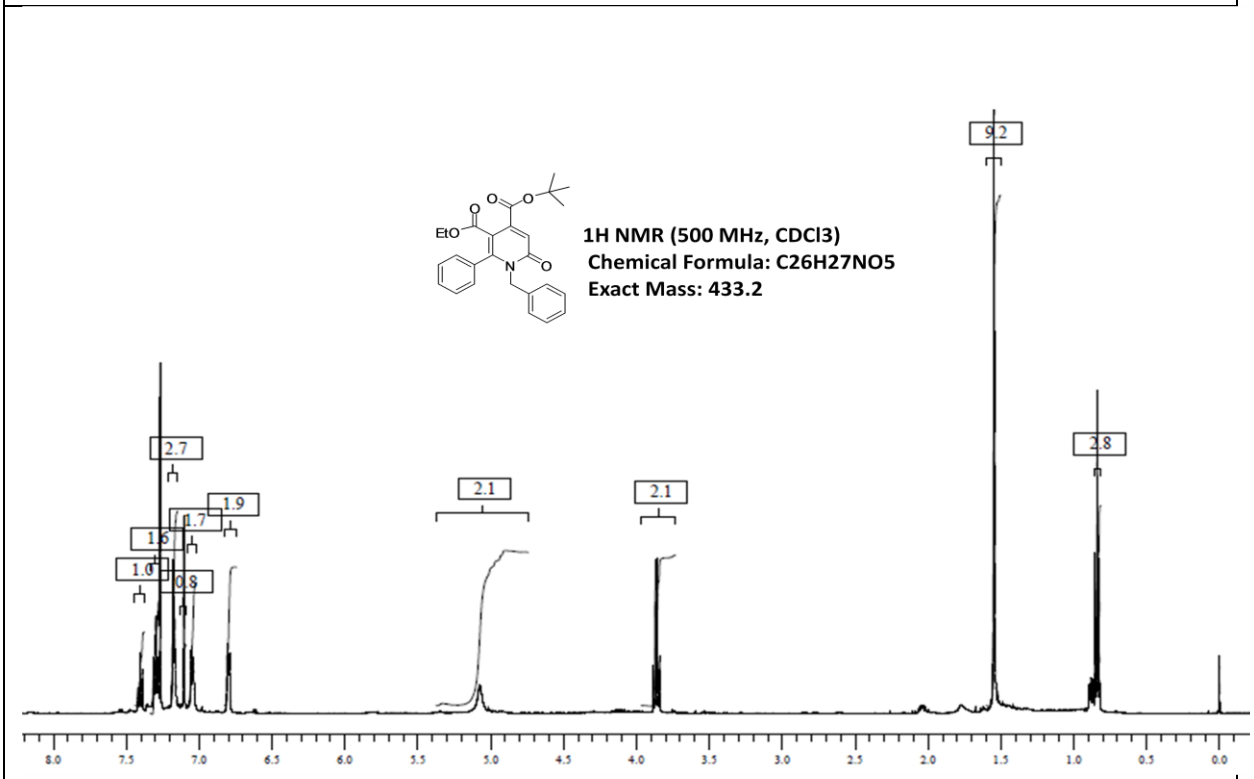


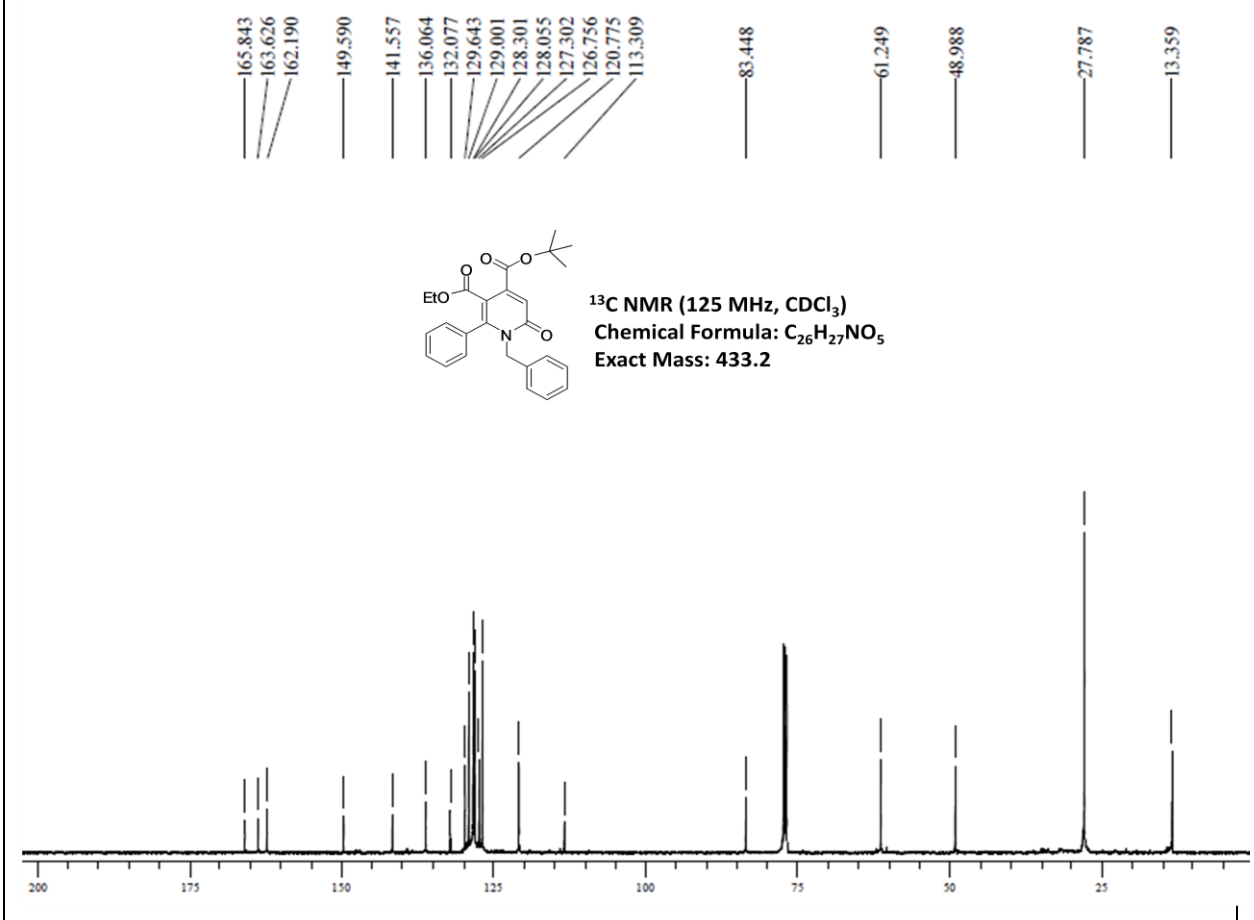
Diethyl 1-benzyl-6-oxo-2-phenyl-1,6-dihydropyridine-3,4-dicarboxylate **6a**



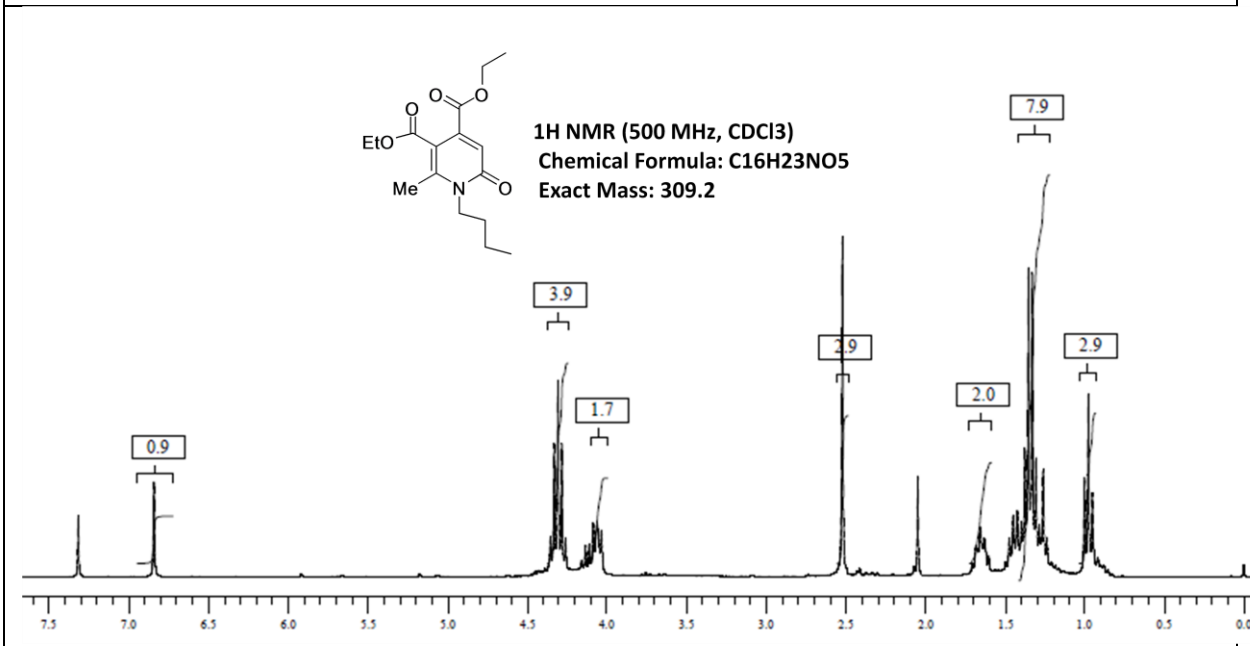


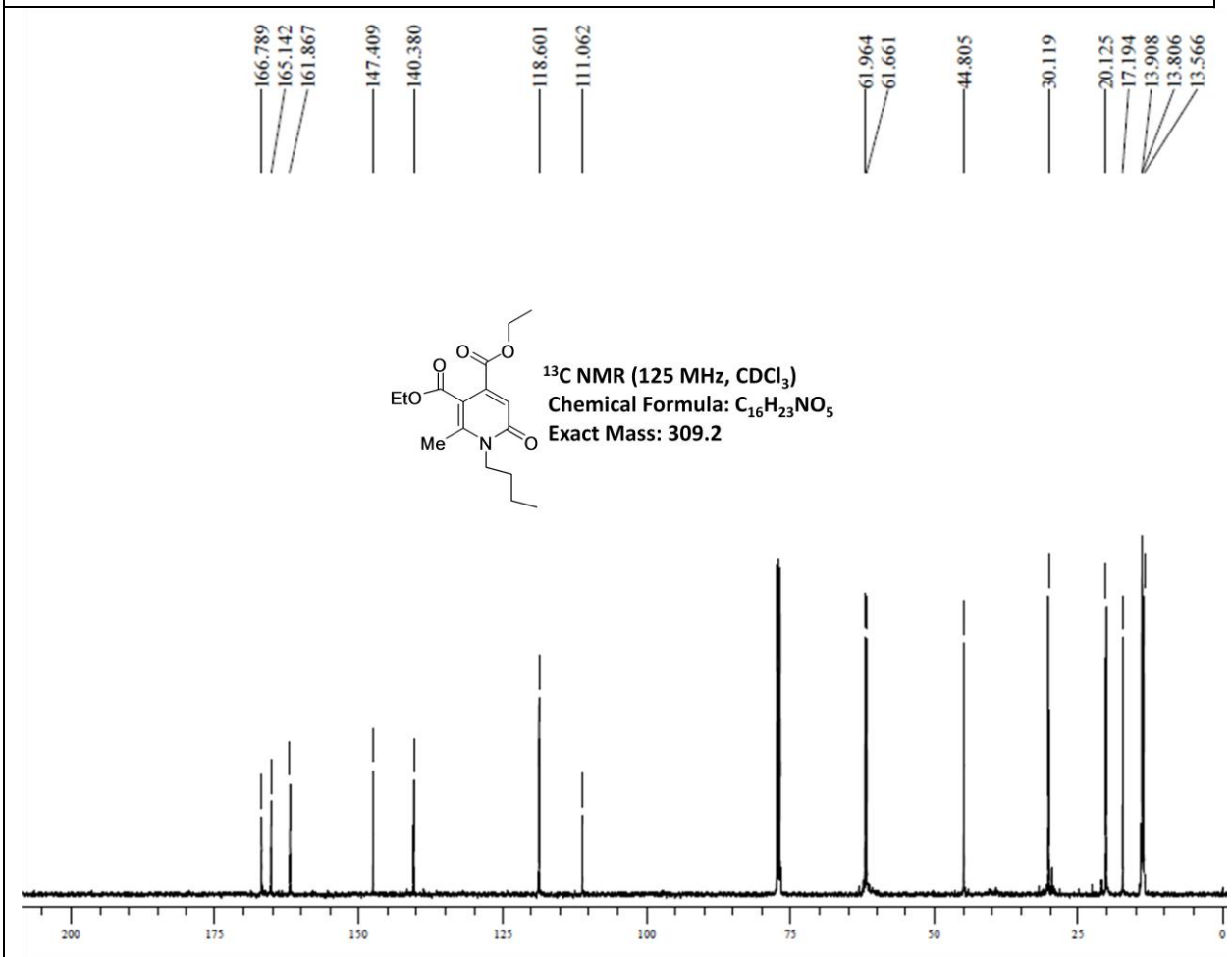
4-Tert-butyl 3-ethyl 1-benzyl-6-oxo-2-phenyl-1,6-dihydropyridine-3,4-dicarboxylate **6b**



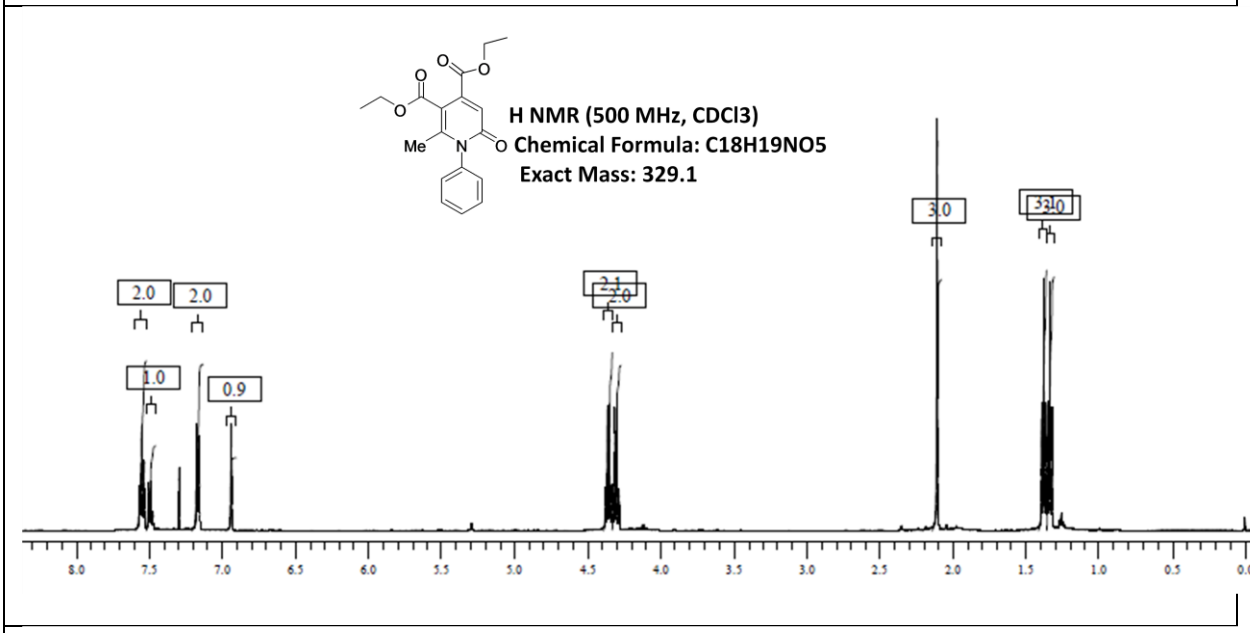


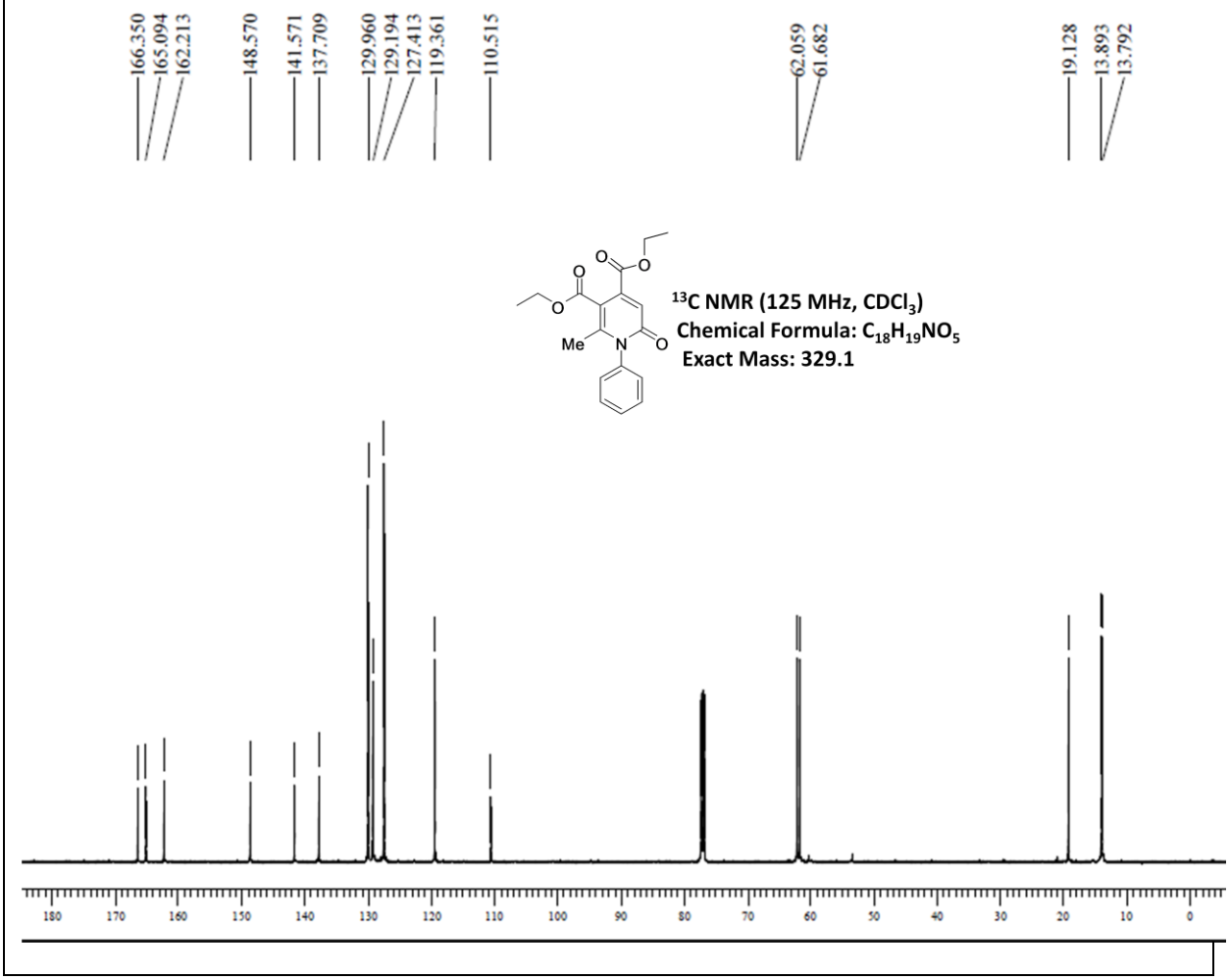
Diethyl 1-butyl-2-methyl-6-oxo-1,6-dihydropyridine-3,4-dicarboxylate **6c**



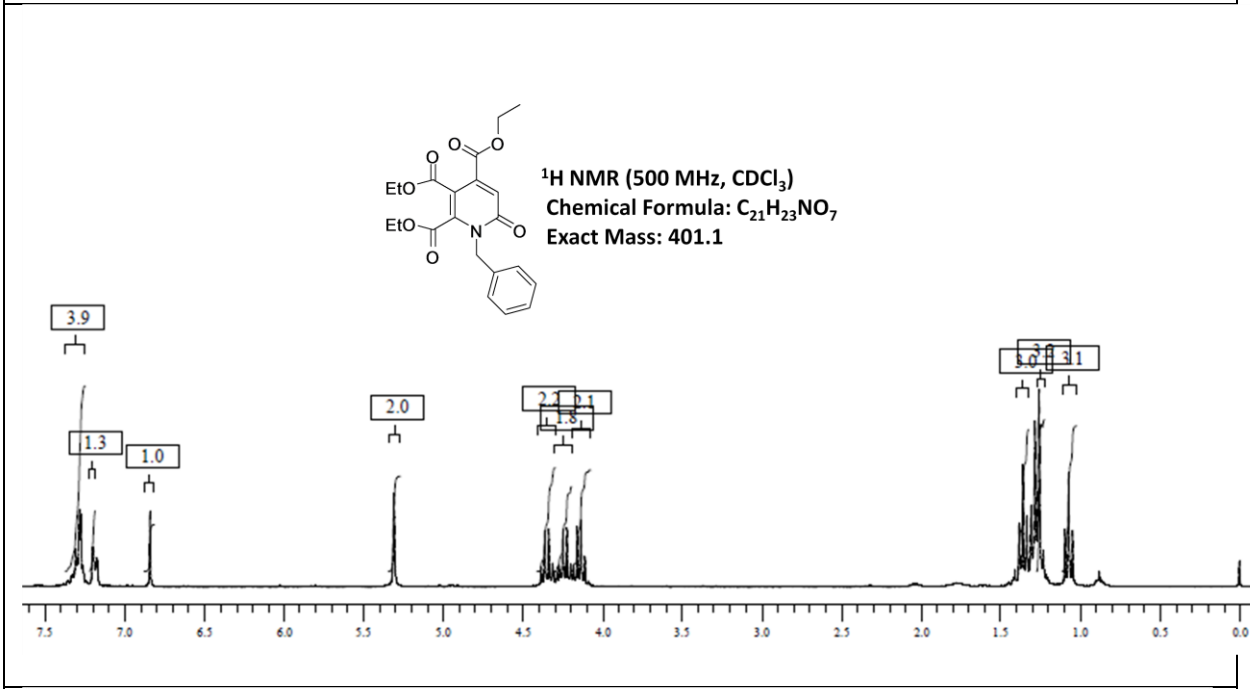


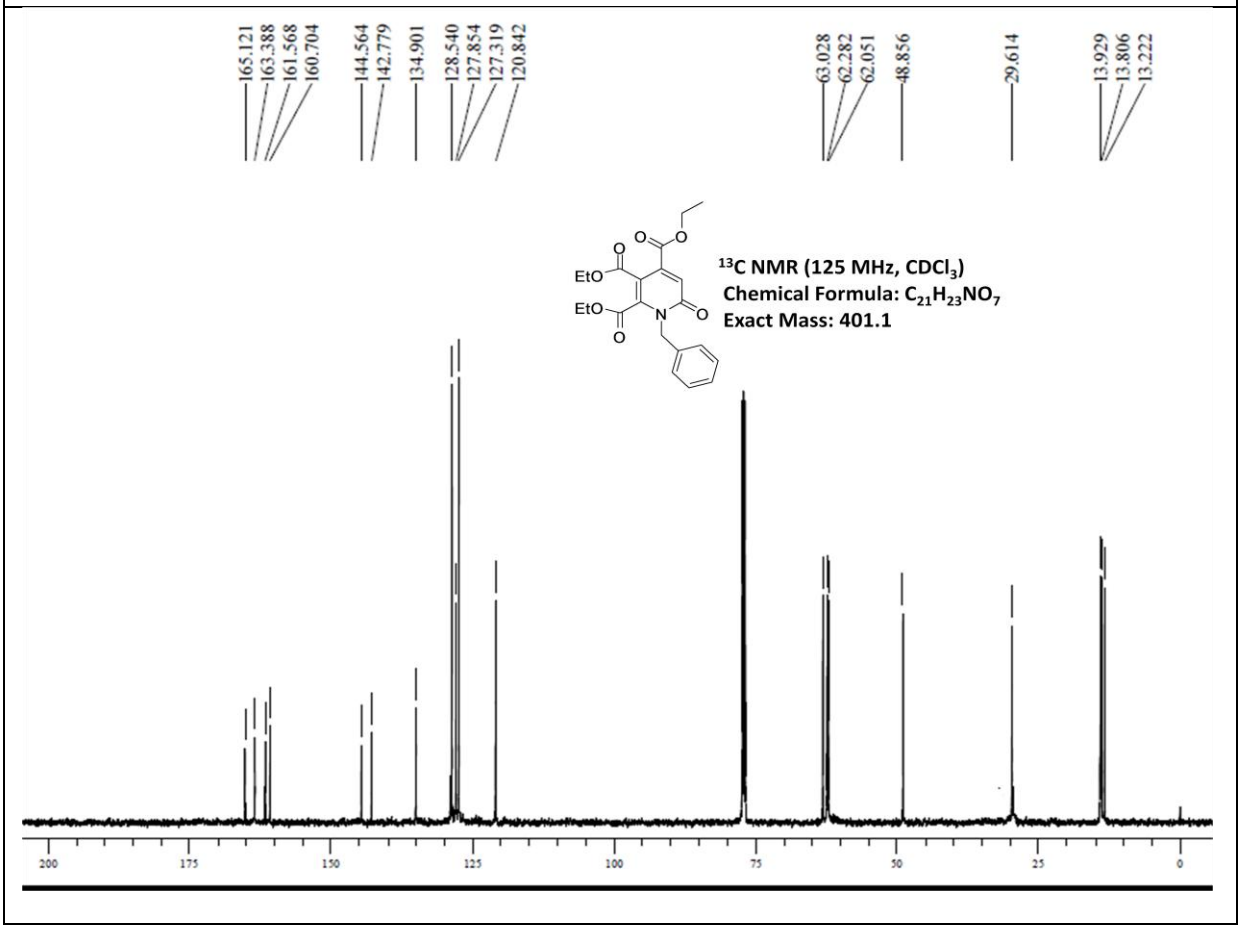
Diethyl 2-methyl-6-oxo-1-phenyl-1,6-dihydropyridine-3,4-dicarboxylate **6d**



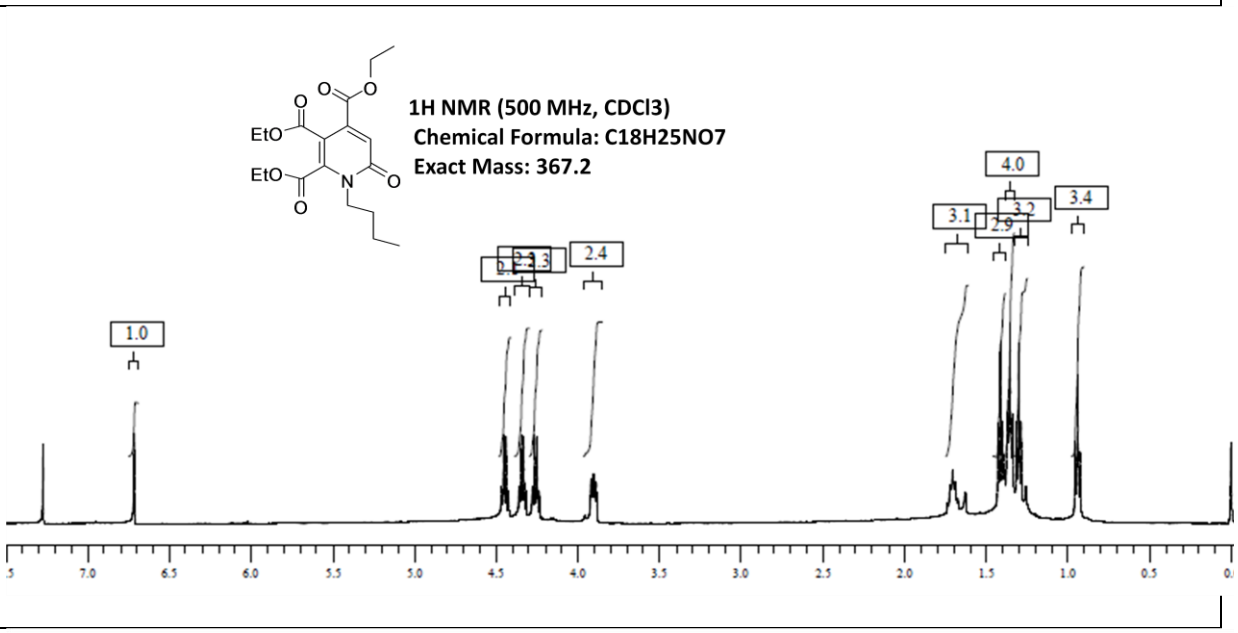


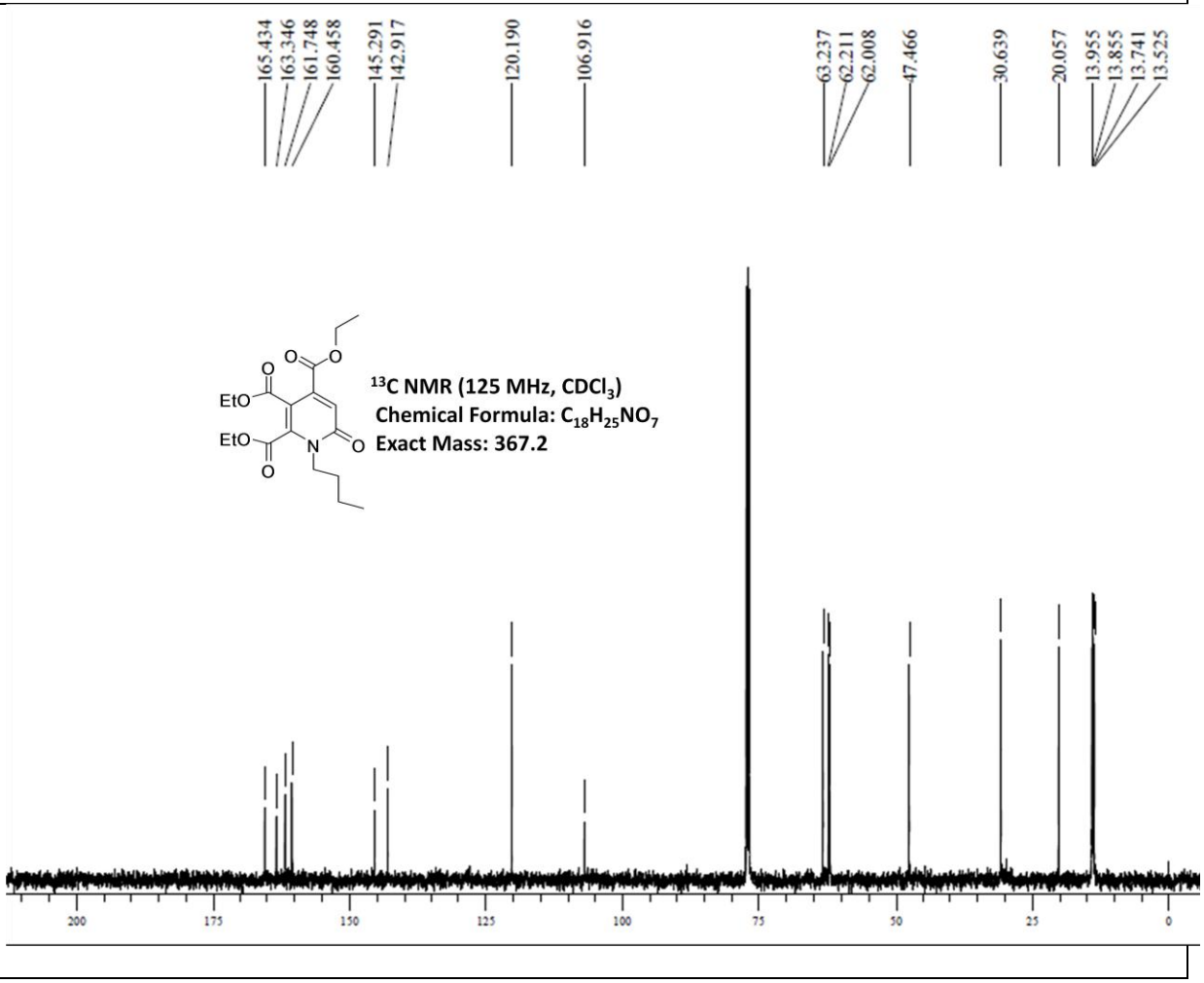
Triethyl 1-benzyl-6-oxo-1,6-dihydropyridine-2,3,4-tricarboxylate **6e**



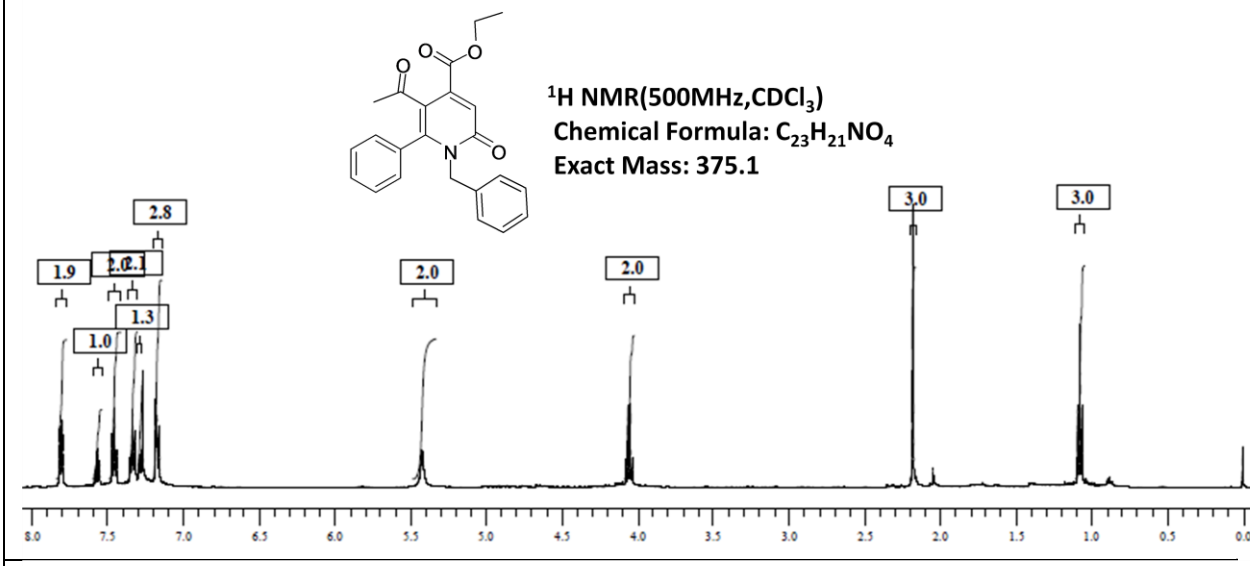


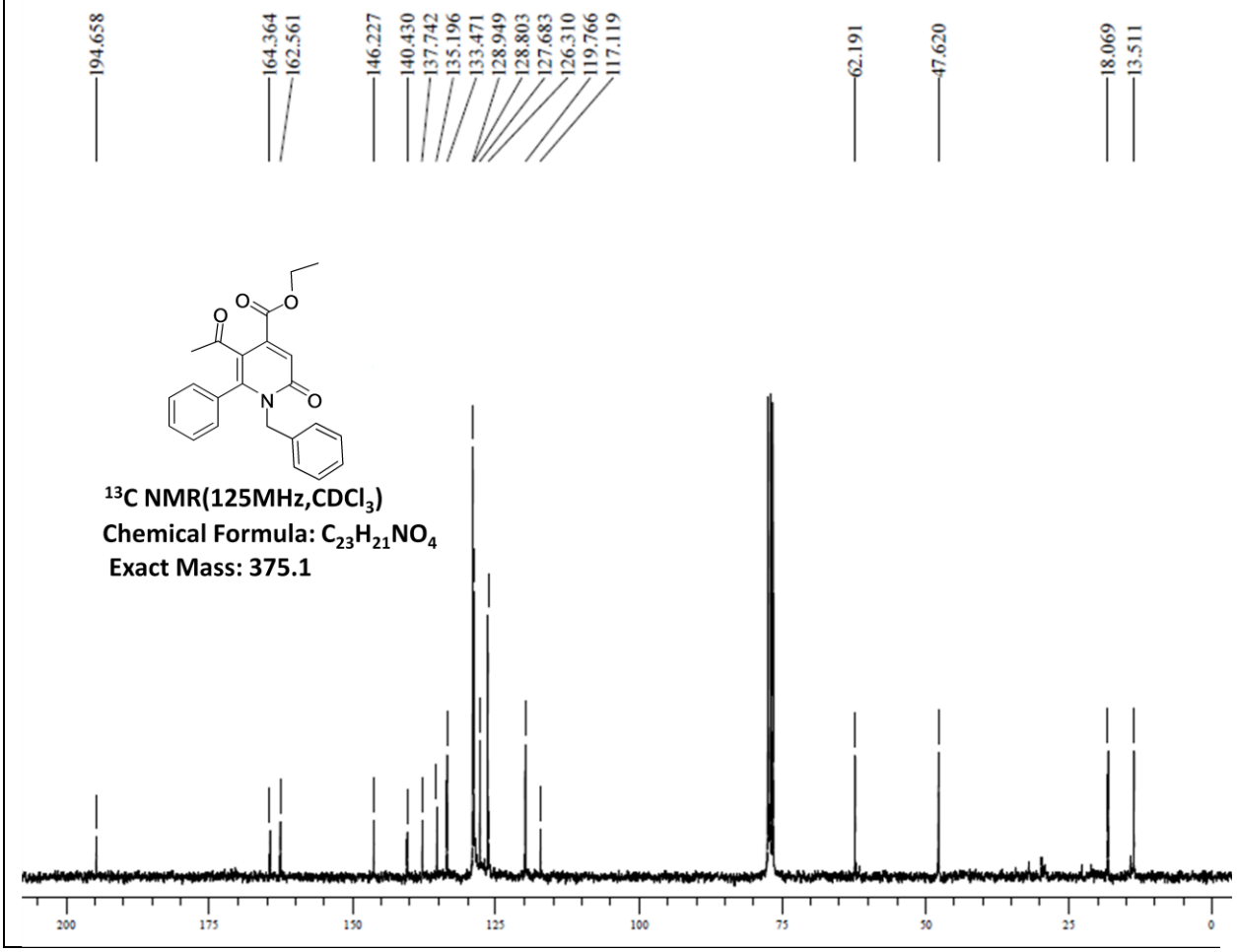
Triethyl 1-butyl-6-oxo-1,6-dihydropyridine-2,3,4-tricarboxylate **6f**





Ethyl 5-acetyl-1-benzyl-2-oxo-6-phenyl-1,2-dihydropyridine-4-carboxylate **6g**





1.12 X-ray crystallography data

Cambridge Crystallographic Data Centre

CCDC

This CIF contains data from an original supplementary publication deposited with the CCDC, and may include chemical, crystal, experimental, refinement, atomic coordinates, anisotropic displacement parameters and molecular geometry data, as required by the journal to which it was submitted. This CIF is provided on the understanding that it is used for bona fide research purposes only. It may contain copyright material of the CCDC or of third parties, and may not be copied or further disseminated in any form, whether machine-readable or not, except for the purpose of generating routine backup copies on your local computer system. For further information on the CCDC, data deposition and data retrieval see: www.ccdc.cam.ac.uk

Crystal structure data of 3h: Crystal structure deposition no: CCDC 1004429

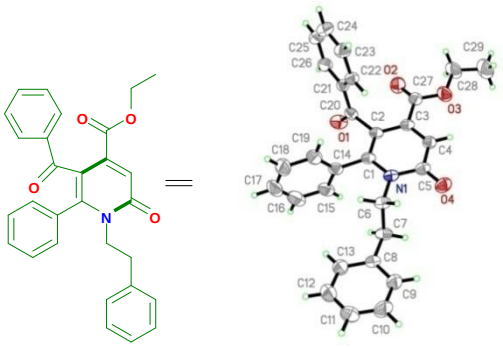


Figure 2 ORTEP representation of 1,2-dihydropyridinones (**3h**: CCDC 1004429)