Supporting Information
For

Visible Light Catalyzed Synthesis of Quinolines from (Aza)-Morita-Baylis-Hillman Adducts

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1. General Information

All reactions were monitored by TLC, visualization was effected with UV and/or by developing in iodine. Melting points were recorded on a Precision melting point apparatus and are uncorrected. NMR spectra were recorded on a Brucker Avance spectrometer at 400/500 MHz (^{1}H) and 75/100/125 MHz (^{13}C). Chemical shifts are reported in δ (ppm) relative to TMS as the internal standard. To describe spin multiplicity, standard abbreviations such as s, d, t, q, m, dd referring to singlet, doublet, triplet, quartet, multiplet and doublet of doublet respectively, are used. The ESI-HRMS spectra were recorded on Agilent 6520-Q-Tof LC/MS system.

The *N*-tosylamide derivatives of MBH adducts **1a-1j** (EWG = CO_2Et) and **1k-1o** (EWG = SO_2Ph) were synthesized following the procedure reported by Kim et al[1] and **1p-1t** (EWG = COEt) were synthesized by following the procedure reported by Park et al.[2] The aza-MBH adducts **4a-4h** were synthesized *via* Heck reaction of corresponding *β*-unsubstituted MBH adducts with aryl halides following literature protocol.[3] All other chemicals, solvents and catalysts were purchased from commercial sources and used as received.

The characterization data for all starting substrates (except **1a** and **4a** which are known compounds) and products has been provided. All the aza-MBH adducts **4a-4h** (except **4b**) were isolated as the mixture of *E* and *Z* isomers and were used as such for the VLPC reaction. In case of **4b**, the two isomers were separated and *E*-isomer was used for the dihydroquinoline synthesis. The peaks for the *E* and *Z* isomers isomers in ^{1}H NMR were assigned by comparing with literature data and by establishing analogy with the pure *E*-isomer separated in case of **4b**. The yield of **5a** and **5c**-**5h** were calculated on the basis of recovered starting material (primarily *Z*-isomer).

2. General Procedures

2.1 VLPC synthesis of dihydroquinolines (2, 5) &/or quinolines (3)

In an oven dried 5 mL snap vial equipped with a magnetic stirring bar, the *N*-tosylamide derivatives of MBH adducts **1** or aza-MBH adducts **4** (0.2 mmol), NaOH (0.016 g, 0.4 mmol, 2.0 equiv) and photocatalyst $Ru(bpy)_3Cl_2$ (0.003 g, 0.004 mmol, 2.0 mol%) were dissolved in anhydrous $CHCl_3$ (3 mL). The open vial was irradiated using 450 nm blue LEDs with a cooling device maintaining the temperature around 25 ^oC. After 8-12 h of irradiation (TLC monitoring), the reaction mixture was diluted with water and extracted with dichloromethane (3 x 10 mL). The combined organic layers were dried (Na_2SO_4) and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel using hexane/ethyl acetate as eluent to afford the pure products **2**, **5** and/or **3**.

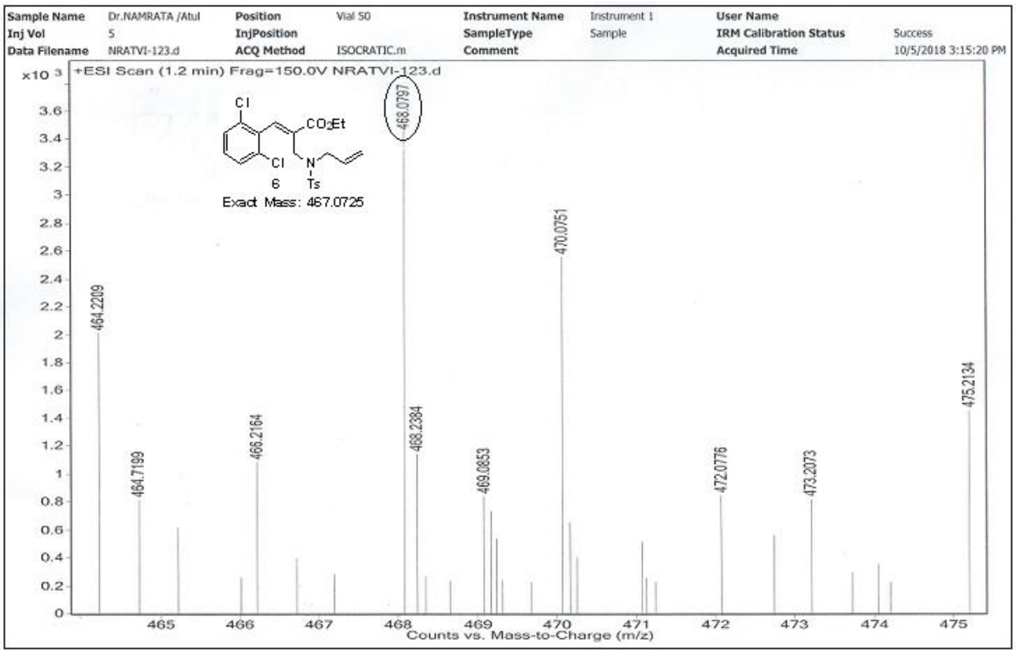
2.2 Synthesis of quinolines from dihydroquinolines

Method A[4]: A mixture of **2** (0.1 mmol) in aq NaOH (1 mL) and MeOH (4 mL) was refluxed overnight. The reaction was brought to room temperature upon completion (TLC monitoring) and solvent was removed under pressure. The reaction mixture was extracted with dichloromethane (3 x 10 mL) and combined organic layers were washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel using hexane/ethyl acetate as eluent to afford the pure product **3**.

Method B[5]: A mixture of **2** (0.1 mmol) and DBU (0.015 g, 0.1 mmol, 1.0 equiv) in THF (5 mL) was refluxed overnight. Upon reaction completion (TLC monitoring), the reaction mixture was brought to room temperature and extracted with dichloromethane (3 x 10 mL). Combined organic layers were washed with brine, dried (Na_2SO_4) and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel using hexane/ethyl acetate as eluent to afford the pure product **3**.

2.3 Details of radical trapping experiment

In an oven dried 5 mL snap vial equipped with a magnetic stirring bar, the ethyl (*E*)-3-(2,6-dichlorophenyl)-2-(((4-methylphenyl)sulfonamido)methyl)acrylate **1u** (0.2 mmol), NaOH (0.016 g, 0.4 mmol, 2.0 equiv), photocatalyst $Ru(bpy)_3Cl_2$ (0.003 g, 0.004 mmol, 2.0 mol%) and allyl tributyltin (0.12 mL, 0.4 mmol, 2.0 equiv) were dissolved in anhydrous $CHCl_3$ (3 mL). The open vial was irradiated using 450 nm blue LEDs with a cooling device maintaining the temperature around 25 ^{o}C. After 12 h of irradiation (TLC monitoring), the reaction mixture was diluted with water and extracted with dichloromethane (3 x 10 mL). The combined organic layers were dried (Na_2SO_4) and concentrated under reduced pressure. The crude product **6** was analyzed by High Resolution Mass Spectrometry.



3. Compound Characterization

Ethyl (*E*)-2-(((4-methylphenyl)sulfonamido)methyl)-3-phenylacrylate (1a)[6]

White solid; Isolated yield 61% (219 mg). The spectroscopic data matches well with the reported data.

Ethyl (*E*)-2-(((4-methylphenyl)sulfonamido)methyl)-3-(*p*-tolyl)acrylate (1b)

White sticky solid; isolated yield 69% (193 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.70 (d, *J* = 2.4 Hz, 2H), 7.67 (s, 1H), 7.31 (d, *J* = 8.1 Hz, 2H), 7.26 (d, *J* = 7.9 Hz, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 5.30 (t, *J* = 6.4 Hz, 1H), 4.18 (q, *J* = 7.1 Hz, 2H), 3.95 (d, *J* = 6.4 Hz, 2H), 2.41 (s, 3H), 2.37 (s, 3H), 1.27 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.30, 143.30, 139.77, 136.51, 131.09, 129.58, 129.43, 127.21, 125.68, 61.18, 40.64, 21.45, 21.35, 14.13; **HRMS** for $C_{20}H_{23}NO_4S$: calcd. $(M+H)^+$: 374.1421, found: 374.1420

Ethyl (*E*)-3-(2-bromo-4-methylphenyl)-2-(((4-methylphenyl)sulfonamido) methyl) acrylate (1c)

White solid; isolated yield 40% (180 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.71 (s, 1H), 7.60 (d, *J* = 7.9 Hz, 2H), 7.35 (s, 1H), 7.29 (d, *J* = 7.9 Hz, 1H), 7.19 (d, *J* = 7.9 Hz, 2H), 7.09 (d, *J* = 7.9 Hz, 1H), 5.16 (t, *J* = 6.6 Hz, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.75 (d, *J* = 6.6 Hz, 2H), 2.35 (s, 3H), 2.29 (s, 3H), 1.23 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.95, 143.45, 142.18, 141.42, 136.46, 133.31, 131.32, 130.56, 129.71, 128.52, 127.59, 127.19, 123.99, 61.45, 40.89, 21.53, 21.00, 14.18; **HRMS** for $C_{20}H_{22}BrNO_4S$: calcd. $(M+H)^+$: 452.0526, found: 452.0529

Ethyl (*E*)-3-(2-bromophenyl)-2-(((4-methylphenyl)sulfonamido)methyl)acrylate (1d)

White solid; isolated yield 48% (209 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.79 (s, 1H), 7.64-7.67 (m, 2H), 7.60 (dd, *J* = 8.0 Hz, 1.0 Hz, 1H), 7.45 (dd, *J* = 7.7 Hz, 1.4 Hz, 1H), 7.34-7.38 (m, 1H), 7.22-7.27 (m, 3H), 5.26 (d, *J* = 6.6 Hz, 1H), 4.23 (q, *J* = 7.2 Hz, 2H), 3.81 (d, *J* = 6.6 Hz, 2H), 2.41 (s, 3H), 1.31 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.79, 143.45, 142.01, 136.51, 134.40, 132.81, 130.78, 130.66, 129.71, 128.36, 127.68, 127.19, 124.03, 61.53, 40.75, 21.51, 14.17; **HRMS** for $C_{19}H_{20}BrNO_4S$: calcd. $(M+H)^+$: 438.0369, found: 438.0362

Ethyl (*E*)-3-(3-chlorophenyl)-2-(((4-methylphenyl)sulfonamido)methyl)acrylate (1e)

White solid; isolated yield 61% (240 mg). R_f 0.50 (25% EtOAc/hexane);**^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.58-7.60 (s, d merged, 3H), 7.25-7.29 (m, 4H), 7.20 (d, *J* = 7.9 Hz, 2H), 5.15 (d, *J* = 5.9 Hz, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.84 (d, *J* = 6.6 Hz, 2H), 2.35 (s, 3H), 1.23 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.88, 143.54, 141.32, 136.48, 135.72, 134.74, 130.09, 129.69, 129.41, 129.36, 128.24, 127.38, 127.23, 61.54, 40.42, 21.53, 14.18; **HRMS** for $C_{19}H_{20}ClNO_4S$: calcd. $(M+H)^+$: 394.0874, found: 394.0867

Ethyl (*E*)-3-(3-fluorophenyl)-2-(((4-methylphenyl)sulfonamido)methyl)acrylate (1f)

White solid; isolated yield 63% (237 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.59-7.61 (s, d merged, 3H), 7.28-7.33 (m, 1H), 7.20 (d, *J* = 6.8 Hz, 2H), 7.13 (d, *J* = 7.7 Hz, 1H), 6.99-7.03 (m, 2H), 5.12-5.18 (m, 1H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.85 (d, *J* = 6.6 Hz, 2H), 2.35 (s, 3H), 1.23 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.92, 162.74 (d, J_{C-F} = 246.0 Hz), 143.56, 141.55 (d, J_{C-F} = 2.2 Hz), 136.48, 136.03 (d, J_{C-F} = 7.7 Hz), 130.42 (d, J_{C-F} = 8.3 Hz), 129.69, 128.06, 127.23, 125.12 (d, J_{C-F} = 3.0 Hz), 116.35 (d, J_{C-F} = 20.9 Hz), 116.21 (d, J_{C-F} = 22.0 Hz), 61.52, 40.45, 21.51, 14.17; **HRMS** for $C_{19}H_{20}FNO_4S$: calcd. $(M+H)^+$: 378.1170, found: 378.1173

Ethyl (*E*)-2-(((4-methylphenyl)sulfonamido)methyl)-3-(2-(trifluoromethoxy)phenyl) acrylate (1g)

White solid; isolated yield 68% (301 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.72 (s, 1H), 7.60 (d, *J* = 8.3 Hz, 2H), 7.49 (d, *J* = 7.5 Hz, 1H), 7.35-7.39 (m, 1H), 7.27-7.31 (m, 1H), 7.18-7.24 (m, 4H), 5.15 (d, *J* = 6.0 Hz, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 3.76 (d, *J* = 6.6 Hz, 2H), 2.35 (s, 3H), 1.24 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.66, 147.11, 143.54, 136.93, 136.46, 130.99, 130.91, 129.71, 129.23, 127.43, 127.18, 120.84, 61.56, 40.87, 21.49, 14.10; **HRMS** for $C_{20}H_{20}F_3NO_5S$: calcd. $(M+H)^+$: 444.1087, found: 444.1088

Ethyl (*E*)-2-(((4-methylphenyl)sulfonamido)methyl)-3-(3-nitrophenyl)acrylate (1h)

White solid; isolated yield 57% (230 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.15-8.18 (m, 1H), 8.08 (br s, 1H), 7.73-7.78 (m, 2H), 7.67 (s, 1H), 7.54-7.59 (m, 2H), 7.19-7.24 (m, 2H), 5.24 (t, *J* = 6.5 Hz, 1H), 4.18 (q, *J* = 7.1 Hz, 2H), 3.82 (d, *J* = 6.6 Hz, 2H), 2.35 (s, 3H), 1.25 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.52, 148.37, 143.75, 140.02, 136.38, 135.61, 135.00, 130.02, 129.74, 127.15, 126.45, 124.24, 123.90, 61.79, 40.29, 21.51, 14.16; **HRMS** for $C_{19}H_{20}N_2O_6S$: calcd. $(M+H)^+$: 405.1115, found: 405.1114

Ethyl (*E*)-2-(((4-methylphenyl)sulfonamido)methyl)-3-(4-nitrophenyl)acrylate (1i)

Light yellow solid; isolated yield 70% (283 mg). R_f 0.50 (25% EtOAc/hexane);**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 8.7 Hz, 2H), 7.76 (s, 1H), 7.68 (d, *J* = 8.2 Hz, 2H), 7.57 (d, *J* = 8.6 Hz, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 5.24 (t, *J* = 6.6 Hz, 1H), 4.26 (q, *J* = 7.1 Hz, 2H), 3.85 (d, *J* = 6.6 Hz, 2H), 2.44 (s, 3H), 1.33 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.48, 147.96, 143.87, 140.35, 140.24, 136.29, 130.22, 130.10, 129.80, 127.24, 123.91, 61.85, 40.41, 21.53, 14.16; **HRMS** for $C_{19}H_{20}N_2O_6S$: calcd. $(M+H)^+$: 405.1115, found: 405.1111

Ethyl (*E*)-2-(((4-methylphenyl)sulfonamido)methyl)-3-(thiophen-2-yl)acrylate (1j)

White solid; isolated yield 49% (178 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.66 (d, *J* = 8.3 Hz, 2H),7.52 (s, 1H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.04 (d, *J* = 4.0 Hz, 1H), 6.98 (d, *J* = 3.9 Hz, 1H), 5.03 (d, *J* = 6.2 Hz, 1H), 4.10 (q, *J* = 7.1 Hz, 2H), 3.98 (d, *J* = 6.3 Hz, 2H), 2.35 (s, 3H), 1.19 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.16, 143.43, 136.76, 136.73, 134.79, 133.16, 130.64, 129.60, 128.04, 127.30, 123.03, 61.30, 40.69, 21.53, 14.22; **HRMS** for $C_{17}H_{19}NO_4S_2$: calcd. $(M+H)^+$: 366.0828, found: 394.874

(*E*)-4-Methyl-*N*-(3-phenyl-2-(phenylsulfonyl)allyl)benzenesulfonamide (1k)

White solid; isolated yield 58% (248 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 (s, 1H), 7.65 (d, *J* = 8.2 Hz, 2H), 7.48-7.54 (m, 3H), 7.43-7.44 (m, 2H), 7.32-7.36 (m, 5H), 7.28 (d, *J* = 8.0 Hz, 2H), 5.13 (d, *J* = 5.6 Hz, 1H), 3.65 (d, *J* = 5.8 Hz, 2H), 2.44 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 143.86, 143.30, 137.88, 135.46, 134.28, 133.81, 131.97, 130.81, 129.94, 129.83, 129.33, 129.11, 128.15, 127.65, 39.74, 21.63; **HRMS** for $C_{22}H_{21}NO_4S_2$: calcd. $(M+H)^+$: 428.0985, found: 428.0981

(*E*)-4-Methyl-*N*-(2-(phenylsulfonyl)-3-(p-tolyl)allyl)benzenesulfonamide (1l)

White solid; isolated yield 59% (260 mg). R_f 0.50 (25% EtOAc/hexane) **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.83 (s, 1H), 7.66 (d, *J* = 8.2 Hz, 2H), 7.46-7.51 (m, 3H), 7.33-7.36 (m, 4H), 7.28-7.31 (m, 2H), 7.14 (d, *J* = 7.8 Hz, 2H), 5.09 (s, 1H), 3.65 (d, *J* = 5.7 Hz, 2H), 2.44 (s, 3H), 2.31 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 143.82, 143.37, 141.50, 138.08, 135.51, 133.70, 133.09, 130.07, 129.85, 129.81, 129.29, 129.19, 128.10, 127.66, 39.83, 21.62, 21.51; **HRMS** for $C_{23}H_{23}NO_4S_2$: calcd. $(M+H)^+$: 442.1141, found: 442.1134

(*E*)-*N*-(3-(2-Bromo-4-methylphenyl)-2-(phenylsulfonyl)allyl)-4-methylbenzenesulfonamide (1m)

White solid; isolated yield 70% (363 mg). R_f 0.50 (25% EtOAc/hexane) **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.97 (s, 1H), 7.63 (d, *J* = 8.2 Hz, 2H), 7.50-7.54 (m, 3H), 7.41 (d, *J* = 7.9 Hz, 1H), 7.37 (s, 1H), 7.34 (d, *J* = 7.7 Hz, 2H), 7.27 (d, *J* = 8.0 Hz, 2H), 7.08 (d, *J* = 7.7 Hz, 1H), 5.30 (t, *J* = 5.8 Hz, 1H), 3.49 (d, *J* = 5.9 Hz, 2H), 2.43 (s, 3H), 2.29 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 143.84, 143.11, 142.65, 137.76, 135.61, 135.45, 133.86, 133.45, 130.25, 129.83, 129.38, 129.33, 128.86, 128.21, 127.58, 124.40, 39.81, 21.62, 21.10; **HRMS** for $C_{23}H_{22}BrNO_4S_2$: calcd. $(M+H)^+$: 520.0246, found: 520.0240

(*E*)-*N*-(3-(3-Fluorophenyl)-2-(phenylsulfonyl)allyl)-4-methylbenzenesulfonamide (1n)

White solid; isolated yield 52% (231 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.87 (s, 1H), 7.70-7.72 (m, 2H), 7.56-7.63 (m, 3H), 7.30-7.45 (m, 6H), 7.10-7.19 (m, 2H), 5.21 (t, *J* = 5.8 Hz, 1H), 3.70 (d, *J* = 5.8 Hz, 2H), 2.50 (s, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 162.80 (d, J_{C-F} = 246.7 Hz), 143.99, 141.70 (d, J_{C-F} = 1.5 Hz), 137.64, 136.00, 135.42, 134.01, 133.95 (d, J_{C-F} = 7.5 Hz), 130.79 (d, J_{C-F} = 8.2 Hz), 129.86, 129.44, 128.22, 127.58, 125.49 (d, J_{C-F} = 2.7 Hz), 117.69 (d, J_{C-F} = 20.9 Hz), 116.65 (d, J_{C-F} = 22.4 Hz), 39.55, 21.60; **HRMS** for $C_{22}H_{20}FNO_4S_2$: calcd. $(M+H)^+$: 446.0891, found: 446.0883

(*E*)-4-methyl-N-(2-(phenylsulfonyl)-3-(4-(trifluoromethoxy) phenyl) allyl) benzenesulfonamide (1o)

White solid; isolated yield 56% (286 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.83 (s, 1H), 7.66 (d, *J* = 8.2 Hz, 2H), 7.47-7.55 (m, 5H), 7.36 (t, *J* = 8.1 Hz, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 7.17 (d, *J* = 8.2 Hz, 2H), 5.12 (t, *J* = 5.8 Hz, 1H), 3.61 (d, *J* = 5.9 Hz, 2H), 2.44 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 144.41, 138.73, 136.79, 134.85, 134.53, 134.16, 130.79, 130.27, 129.71, 129.44, 128.21, 127.24, 125.11, 119.18, 119.07, 43.62, 21.58; **HRMS** for $C_{23}H_{20}F_3NO_5S_2$: calcd. $(M+H)^+$: 512.0808, found: 512.0810

(*E*)-*N*-(2-Benzylidene-3-oxopentyl)-4-methylbenzenesulfonamide (1p)[2]

White solid; isolated yield 57% (195 mg). The spectroscopic data matches well with the reported data.

(*E*)-4-Methyl-*N*-(2-(4-methylbenzylidene)-3-oxopentyl)benzenesulfonamide (1q)[7]

White solid; isolated yield 36% (128 mg). The spectroscopic data matches well with the reported data.

(*E*)-*N*-(2-(3-bromobenzylidene)-3-oxopentyl)-4-methylbenzenesulfonamide (1r)

Colourless solid; isolated yield 46% (193 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.59 (d, *J* = 8.2 Hz, 2H), 7.47 (d, *J* = 6.4 Hz, 2H), 7.43 (s, 1H), 7.37 (d, *J* = 7.6 Hz, 1H), 7.19-7.27 (m merged with solvent peak, 3H), 5.16 (t, *J* = 6.5 Hz, 1H), 3.78 (d, *J* = 6.7 Hz, 2H), 2.63 (q, *J* = 7.2 Hz, 2H), 2.35 (s, 3H), 1.03 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 202.85, 143.50, 140.75, 136.61, 136.49, 135.97, 132.51, 132.24, 130.44, 129.70, 127.95, 127.24, 122.86, 40.08, 30.44, 21.53, 8.24; **HRMS** for $C_{20}H_{33}NO_3S$: calcd. $(M+H)^+$: 422.0420, found: 422.0423

(*E*)-*N*-(2-(2-fluorobenzylidene)-3-oxopentyl)-4-methylbenzenesulfonamide (1s)

Colourless solid; isolated yield 52% (188 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.57 (d, *J* = 8.2 Hz, 2H), 7.55 (s, 1H), 7.49 (t, *J* = 7.6 Hz, 1H), 7.31-7.37 (m, 1H), 7.15-7.20 (m, 3H), 7.02-7.07 (m, 1H), 5.20 (t, *J* = 6.3 Hz, 1H), 3.79 (d, *J* = 6.7 Hz, 2H), 2.62 (q, *J* = 7.2 Hz, 2H), 2.34 (s, 3H), 1.02 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 202.89, 160.32 (d, $J_{C\text{-}F}$ = 248.6 Hz), 143.45, 136.86, 136.59, 135.23 (d, $J_{C\text{-}F}$ = 4.0 Hz), 131.73 (d, $J_{C\text{-}F}$ = 8.4 Hz), 130.89 (d, $J_{C\text{-}F}$ = 1.7 Hz), 129.68, 127.21, 124.64 (d, $J_{C\text{-}F}$ = 3.6 Hz), 121.95 (d, $J_{C\text{-}F}$ = 13.3 Hz), 115.63 (d, $J_{C\text{-}F}$ = 21.4 Hz), 40.51, 30.49, 21.50, 8.21; **HRMS** for $C_{19}H_{20}FNO_3S$: calcd. $(M+H)^+$: 362.1221, found: 362.1226

(*E*)-4-methyl-*N*-(3-oxo-2-(4-(trifluoromethoxy)benzylidene)pentyl)benzenesulfonamide (1t)

Colourless solid; isolated yield 48% (205 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.60-7.63 (m, 2H), 7.50 (s, 1H), 7.43-7.46 (m, 2H), 7.20-7.23 (m, 4H), 5.12 (t, *J* = 6.6 Hz, 1H), 3.76 (d, *J* = 6.7 Hz, 2H), 2.66 (q, *J* = 7.2 Hz, 2H), 2.35 (s, 3H), 1.04 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 202.87, 149.96, 143.60, 141.03, 136.35, 136.02, 132.44, 131.28, 129.73, 127.27, 121.03, 40.21, 30.38, 21.50, 8.25; **HRMS** for $C_{20}H_{20}F_3NO_4S$: calcd. $(M+H)^+$: 428.1138, found: 428.1139

Ethyl (*E*)-3-(2,6-dichlorophenyl)-2-(((4-methylphenyl)sulfonamido)methyl)acrylate (1u)

White solid; isolated yield 68% (290 mg). R_f 0.50 (25% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.48-7.51 (m, 2H), 7.42 (s, 1H), 7.23-7.25 (m, 2H), 7.11-7.17 (m, 3H), 5.03 (t, *J* = 6.4 Hz, 1H), 4.14 (q, *J* = 7.2 Hz, 2H), 3.57 (d, *J* = 6.5 Hz, 2H), 2.31 (s, 3H), 1.23 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (75 MHz, $CDCl_3$) δ 165.87, 143.17, 136.72, 136.62, 134.05, 132.03, 131.88, 130.10, 129.52, 128.11, 127.07, 61.63, 41.01, 21.48, 14.10; **HRMS** for $C_{19}H_{19}Cl_2NO_4S$: calcd. $(M+H)^+$: 428.0485, found: 428.0486

Ethyl 1-tosyl-1,2-dihydroquinoline-3-carboxylate (2a)[8]

White solid; isolated yield 84% (60 mg). R_f 0.50 (20% EtOAc/hexane); Mp 116 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.1 Hz, 1H), 7.32-7.36 (m, 1H), 7.16-7.20 (m, 3H), 7.04 (dd, *J* = 7.6 Hz, 1.2 Hz, 1H), 6.97 (d, *J* = 8.2 Hz, 2H), 6.88 (s, 1H), 4.60 (d, *J* = 0.8 Hz, 2H), 4.15 (q, *J* = 7.1 Hz, 2H), 2.26 (s, 3H), 1.24 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.26, 143.78, 136.20, 135.87, 133.38, 130.44, 129.14, 128.52, 128.07, 127.24, 126.99, 126.95, 125.38, 60.84, 44.33, 21.50, 14.33; **HRMS** for $C_{19}H_{19}NO_4S$: calcd. $(M+H)^+$: 358.1108, found: 358.1110

Ethyl 7-methyl-1-tosyl-1,2-dihydroquinoline-3-carboxylate (2b)

White solid; isolated yield 84% (62 mg). R_f 0.50 (20% EtOAc/hexane); Mp 127-128 °C;**^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.49 (s, 1H), 7.17-7.19 (m, 2H), 6.91-6.99 (m, 4H), 6.84 (s, 1H), 4.55 (br s, 2H), 4.12 (q, *J* = 7.1 Hz, 2H), 2.35 (s, 3H), 2.24 (s, 3H), 1.22 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.38, 143.70, 141.17, 136.14, 135.91, 133.49, 129.09, 128.34, 127.83, 127.79, 127.01, 125.47, 124.13, 60.71, 44.38, 21.79, 21.50, 14.35; **HRMS** for $C_{20}H_{21}NO_4S$: calcd. $(M+H)^+$: 372.1264, found: 372.1256

Ethyl 5-bromo-7-methyl-1-tosyl-1,2-dihydroquinoline-3-carboxylate (2c)

White solid; isolated yield 78% (70 mg). R_f 0.50 (20% EtOAc/hexane); Mp 152-153 °C;**^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.47 (s, 1H), 7.26 (s, 1H), 7.20 (d, *J* = 8.3 Hz, 2H), 7.14 (s, 1H), 7.01 (d, *J* = 8.1 Hz, 2H), 4.52 (s, 2H), 4.14 (q, *J* = 7.1 Hz, 2H), 2.34 (s, 3H), 2.28 (s, 3H), 1.24 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.00, 144.10, 141.85, 137.64, 135.81, 131.95, 131.75, 129.27, 127.21, 126.93, 125.75, 125.28, 122.98, 60.93, 43.99, 21.54, 21.47, 14.33; **HRMS** for $C_{20}H_{20}BrNO_4S$: calcd. $(M+H)^+$: 450.0369, found: 450.0373

Ethyl 5-bromo-1-tosyl-1,2-dihydroquinoline-3-carboxylate (2d)

White solid; isolated yield 81% (70 mg). R_f 0.50 (20% EtOAc/hexane); Mp 96-97 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.1 Hz, 1H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.24-7.28 (m, 4H), 7.09 (d, *J* = 8.2 Hz, 2H), 4.63 (d, *J* = 0.9 Hz, 2H), 4.23 (q, *J* = 7.1 Hz, 2H), 2.36 (s, 3H), 1.32 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.89, 144.19, 137.90, 135.76, 131.85, 130.05, 130.76, 129.33, 127.93, 126.96, 126.54, 123.27, 61.06, 43.93, 21.56, 14.32; **HRMS** for $C_{19}H_{18}BrNO_4S$: calcd. $(M+H)^+$: 436.0213, found: 436.0209

Ethyl 6-chloro-1-tosyl-1,2-dihydroquinoline-3-carboxylate (2e)

White solid; isolated yield 66% (52 mg). R_f 0.50 (20% EtOAc/hexane); Mp 129 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.63 (d, *J* = 8.6 Hz, 1H), 7.29 (dd, *J* = 8.7 Hz, 2.0 Hz, 1H), 7.20 (d, *J* = 8.6 Hz, 2H), 7.03 (d, *J* = 2.1 Hz, 1H), 7.00 (d, *J* = 8.0 Hz, 2H), 6.80 (s, 1H), 4.59 (s, 2H), 4.15 (q, *J* = 7.1 Hz, 2H), 2.27 (s, 3H), 1.25 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ

163.90, 144.08, 135.63, 134.63, 132.50, 132.06, 130.19, 129.37, 129.31, 128.56, 127.97, 126.97, 126.73, 61.05, 44.32, 21.53, 14.30; **HRMS** for $C_{19}H_{18}ClNO_4S$: calcd. $(M+H)^+$: 392.0718, found: 392.0720

Ethyl 6-fluoro-1-tosyl-1,2-dihydroquinoline-3-carboxylate (2f)

White solid; isolated yield 68% (52 mg). R_f 0.50 (20% EtOAc/hexane); Mp 130-132 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.73 (dd, *J* = 8.8 Hz, 5.0 Hz, 1H), 7.25 (d, *J* = 8.2 Hz, 2H), 7.10-7.13 (m, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.86 (s, 1H), 6.82 (dd, *J* = 8.2 Hz, 2.8 Hz, 1H), 4.66 (s, 2H), 4.23 (q, *J* = 7.1 Hz, 2H), 2.34 (s, 3H), 1.32 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.94, 160.98 (d, J_{C-F} = 245.8 Hz), 144.01, 135.52, 132.23 (d, J_{C-F} = 2.0 Hz), 132.02 (d, J_{C-F} = 2.8 Hz), 129.66 (d, J_{C-F} = 8.7 Hz), 129.23, 129.22 (d, J_{C-F} = 8.3 Hz), 127.00, 126.78, 117.11 (d, J_{C-F} = 22.6 Hz), 114.53 (d, J_{C-F} = 23.1 Hz), 61.03, 44.40, 21.51, 14.30; **HRMS** for $C_{19}H_{18}FNO_4S$: calcd. $(M+H)^+$: 376.1013, found: 376.1005

Ethyl 1-tosyl-5-(trifluoromethoxy)-1,2-dihydroquinoline-3-carboxylate (2g)

White sticky solid; isolated yield 68% (60 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.66 (d, *J* = 8.2 Hz, 1H), 7.36 (t, *J* = 8.3 Hz, 1H), 7.16-7.19 (m, 2H), 7.09-7.12 (m, 1H), 7.07 (s, 1H), 6.99 (d, *J* = 8.0 Hz, 2H), 4.60 (d, *J* = 1.3 Hz, 2H), 4.17 (q, *J* = 7.1 Hz, 2H), 2.27 (s, 3H), 1.26 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.81, 145.58, 144.28, 137.57, 135.52, 130.46, 129.29, 126.87, 126.84, 126.38, 125.77, 121.79, 121.67, 118.87, 61.10, 43.99, 21.49, 14.28; **HRMS** for $C_{20}H_{18}F_3NO_5S$: calcd. $(M+H)^+$: 442.0931, found: 442.0924

Ethyl 6-nitro-1-tosyl-1,2-dihydroquinoline-3-carboxylate (2h)

White solid; isolated yield 64% (52 mg). R_f 0.50 (20% EtOAc/hexane); Mp 147-148 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.16 (dd, *J* = 9.0 Hz, 2.6 Hz, 1H), 7.94 (d, *J* = 2.6 Hz, 1H), 7.86 (d, *J* = 9.0 Hz, 1H), 7.28 (d, *J* = 8.3 Hz, 2H), 7.05 (d, *J* = 8.4 Hz, 2H), 7.00 (s, 1H), 4.67 (d, *J* = 1.0 Hz, 2H), 4.19 (q, *J* = 7.2 Hz, 2H), 2.29 (s, 3H), 1.26 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.51, 145.57, 144.69, 141.74, 135.62, 131.51, 129.65, 128.24, 127.77, 126.94, 126.86, 124.98, 123.49, 61.36, 44.35, 21.56, 14.27; **HRMS** for $C_{19}H_{18}N_2O_6S$: calcd. $(M+H)^+$: 403.958, found: 403.956

3-(Phenylsulfonyl)-1-tosyl-1,2-dihydroquinoline (2k)

White solid; isolated yield 72% (61 mg). R_f 0.50 (20% EtOAc/hexane); Mp 151-153 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.5 Hz, 2H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.62 (t, *J* = 7.3 Hz, 1H), 7.52-7.55 (m, 2H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.17 (d, *J* = 7.4 Hz, 1H), 7.07 (d, *J* = 7.3 Hz, 1H), 6.98 (peaks merged to appear as d, *J* = 8.4 Hz, 3H), 6.91 (d, *J* = 8.1 Hz, 2H), 4.55 (s, 2H), 2.25 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 143.99, 138.96, 135.20, 134.68, 134.46, 133.99, 132.09, 131.38, 129.62, 129.24, 129.18, 128.17, 127.25, 127.18, 126.95, 126.90, 43.83, 21.56; **HRMS** for $C_{22}H_{19}NO_4S_2$: calcd. $(M+H)^+$: 426.0828, found: 426.0820

7-Methyl-3-(phenylsulfonyl)-1-tosyl-1,2-dihydroquinoline (2l)

White solid; isolated yield 74% (66 mg). R_f 0.50 (20% EtOAc/hexane); Mp 162-164 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.80-7.82 (m, 2H), 7.59-7.63 (m, 1H), 7.50-7.54 (m, 3H), 6.90-7.00 (peaks merged to appear as m, 7H), 4.51 (d, *J* = 0.8 Hz, 2H), 2.35 (s, 3H), 2.25 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 143.90, 142.34, 139.15, 135.14, 134.75, 133.87, 133.07, 132.27, 129.58, 129.20, 128.99, 128.10, 128.01, 127.46, 127.25, 124.29, 43.88, 21.85, 21.56; **HRMS** for $C_{23}H_{21}NO_4S_2$: calcd. $(M+H)^+$: 440.0985, found: 440.0980

5-Bromo-7-methyl-3-(phenylsulfonyl)-1-tosyl-1,2-dihydroquinoline (2m)

White solid; isolated yield 74% (66 mg). R_f 0.50 (20% EtOAc/hexane); Mp 190-191 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 7.6 Hz, 2H), 7.62 (t, *J* = 7.3 Hz, 1H), 7.51-7.55 (m, 3H), 7.25 (d, *J* = 5.6 Hz, 2H), 7.05 (d, *J* = 8.2 Hz, 2H), 6.98 (d, *J* = 8.2 Hz, 2H), 4.48 (s, 2H), 2.32 (s, 3H), 2.29 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 144.37, 142.90, 138.95, 136.70, 135.01, 134.58, 134.00, 131.90, 130.88, 129.63, 129.38, 128.22, 127.28, 126.71, 124.20, 123.57, 43.53, 21.61, 21.53; **HRMS** for $C_{23}H_{20}BrNO_4S_2$: calcd. $(M+H)^+$: 518.0090, found: 518.0088

6-Fluoro-3-(phenylsulfonyl)-1-tosyl-1,2-dihydroquinoline (2n)

White solid; isolated yield 59% (52 mg). R_f 0.50 (20% EtOAc/hexane); Mp 158-160 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.4 Hz, 2H), 7.68-7.71 (m, 1H), 7.64 (t, *J* = 7.4 Hz, 1H), 7.54 (t, *J* = 7.8 Hz, 2H), 7.03-7.08 (m, 1H), 7.00 (d, *J* = 8.3 Hz, 2H), 6.95 (d, *J* = 8.2 Hz, 2H), 6.87 (s, 1H), 6.78 (dd, *J* = 7.9 Hz, 2.8 Hz, 1H), 4.53 (s, 2H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 160.90 (d, $J_{C\text{-}F}$ = 247.0 Hz), 144.24, 138.64, 136.18, 134.36, 134.19, 131.06 (d, $J_{C\text{-}F}$ = 3.7 Hz), 130.87 (d, $J_{C\text{-}F}$ = 1.9 Hz), 129.70, 129.35, 129.00 (d, $J_{C\text{-}F}$ = 8.4 Hz), 128.55 (d, $J_{C\text{-}F}$ = 8.6 Hz), 128.25, 127.32, 118.03 (d, $J_{C\text{-}F}$ = 22.6 Hz), 115.28 (d, $J_{C\text{-}F}$ = 23.5 Hz), 43.92, 21.58; **HRMS** for $C_{22}H_{18}FNO_4S_2$: calcd. $(M+H)^+$: 444.0734, found: 444.0732

3-(Phenylsulfonyl)-1-tosyl-7-(trifluoromethoxy)-1,2-dihydroquinoline (2o)

White sticky solid; isolated yield 38% (38 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.5 Hz, 2H), 7.65 (br s, 2H), 7.54-7.58 (m, 2H), 7.11 (d, *J* = 8.5 Hz, 1H), 7.01-7.06 (m, 4H), 6.96 (d, *J* = 8.1 Hz, 2H), 4.58 (s, 2H), 2.27 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 150.75, 144.08, 141.49, 137.56, 135.31, 135.26, 134.00, 131.70, 130.42, 129.89, 129.43, 128.20, 127.66, 121.14, 119.03, 39.63, 21.59; **HRMS** for $C_{23}H_{18}F_3NO_5S_2$: calcd. $(M+H)^+$: 510.0651, found: 510.0652

1-(1-Tosyl-1,2-dihydroquinolin-3-yl)propan-1-one (2p)

White solid; isolated yield 70% (48 mg). R_f 0.50 (20% EtOAc/hexane); Mp 135-136 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 8.0 Hz, 1H), 7.41-7.45 (m, 1H), 7.22-7.29 (m, 3H), 7.16 (d, *J* = 7.5 Hz, 1H), 7.04 (d, *J* = 8.1 Hz, 2H), 6.83 (s, 1H), 4.64 (s, 2H), 2.39 (q, *J* = 7.1 Hz, 2H), 2.33 (s, 3H), 1.03 (t, *J* = 7.3 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 198.30, 143.66, 136.63, 136.01, 132.74, 132.55, 130.79, 129.09, 128.71, 128.07, 127.40, 127.02, 126.97, 43.48, 30.09, 21.45, 8.40; **HRMS** for $C_{19}H_{19}NO_3S$: calcd. $(M+H)^+$: 342.1158, found: 342.1147

1-(7-Methyl-1-tosyl-1,2-dihydroquinolin-3-yl)propan-1-one (2q)

White solid; isolated yield 72% (51 mg). R_f 0.50 (20% EtOAc/hexane); Mp 152-154 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.51 (s, 1H), 7.16 (d, *J* = 8.2 Hz, 2H), 6.95-7.03 (m, 4H), 6.73 (s, 1H), 4.54 (s, 2H), 2.37 (s, 3H), 2.29 (q, *J* = 7.6 Hz, 2H), 2.25 (s, 3H), 0.94 (t, *J* = 7.3 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 198.25, 143.55, 141.63, 136.60, 136.10, 132.70, 131.71, 129.04, 128.51, 127.97, 127.87, 127.05, 125.43, 43.54, 29.98, 21.83, 21.45, 8.45; **HRMS** for $C_{20}H_{21}NO_3S$: calcd. $(M+H)^+$: 356.1315, found: 356.1312

1-(6-Bromo-1-tosyl-1,2-dihydroquinolin-3-yl)propan-1-one (2r)

White solid; isolated yield 69% (58 mg). R_f 0.50 (20% EtOAc/hexane); Mp 137-139 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.57 (d, *J* = 8.6 Hz, 1H), 7.46 (dd, *J* = 8.6 Hz, 2.3 Hz, 1H), 7.22 (d, *J* = 2.2 Hz, 1H), 7.18 (d, *J* = 8.2 Hz, 2H), 7.00 (d, *J* = 8.0 Hz, 2H), 6.66 (s, 1H), 4.56 (d, *J* = 0.9 Hz, 2H), 2.30 (q, *J* = 7.4 Hz, 2H), 2.27 (s, 3H), 0.96 (t, *J* = 7.3 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 198.00, 143.93, 135.83, 135.61, 133.82, 133.43, 131.05, 131.00, 129.75, 129.27, 128.95, 127.01, 120.20, 43.43, 30.21, 21.48, 8.30; **HRMS** for $C_{19}H_{18}BrNO_3S$: calcd. $(M+H)^+$: 420.0264, found: 420.0268

1-(5-Fluoro-1-tosyl-1,2-dihydroquinolin-3-yl)propan-1-one (2s)

White solid; isolated yield 68% (49 mg). R_f 0.50 (20% EtOAc/hexane); Mp 141-143 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.52 (d, *J* = 8.2 Hz, 1H), 7.30-7.35 (m, 1H), 7.20 (d, *J* = 5.9 Hz, 1H), 6.99-7.01 (d and s merged, 3H), 6.90-6.94 (m, 1H), 4.58 (s, 2H), 2.35 (q, *J* = 7.3 Hz, 2H), 2.27 (s, 3H), 0.97 (t, *J* = 7.3 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 198.06, 158.97 (d, J_{C-F} = 251.7 Hz), 143.98, 137.71 (d, J_{C-F} = 4.3 Hz), 135.98, 132.87 (d, J_{C-F} = 1.9 Hz), 131.25 (d, J_{C-F} = 9.5 Hz), 129.26, 129.96, 124.96 (d, J_{C-F} = 5.2 Hz), 122.88 (d, J_{C-F} = 3.2 Hz), 116.78 (d, J_{C-F} = 16.3 Hz), 113.16 (d, J_{C-F} = 20.7 Hz), 43.22, 30.15, 21.48, 8.31; **HRMS** for $C_{19}H_{18}FNO_3S$: calcd. $(M+H)^+$: 360.1064, found: 360.1067

1-(1-Tosyl-7-(trifluoromethoxy)-1,2-dihydroquinolin-3-yl)propan-1-one (2t)

White solid; isolated yield 63% (54 mg). R_f 0.50 (20% EtOAc/hexane); Mp 138-139 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.60 (s, 1H), 7.20-7.23 (m, 2H), 7.11 (d, *J* = 8.4 Hz, 1H), 7.03-7.06 (m, 1H), 7.00 (d, *J* = 8.1 Hz, 2H), 6.76 (s, 1H), 4.59 (d, *J* = 0.8 Hz, 2H), 2.35 (q, *J* = 7.3 Hz, 2H), 2.27 (s, 3H), 0.97 (t, *J* = 7.3 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 198.04, 150.17, 144.03, 138.14, 135.84, 133.00, 131.15, 129.64, 129.28, 127.02, 126.36, 121.65, 119.65, 118.86, 43.31, 30.19, 21.47, 8.33; **HRMS** for $C_{20}H_{18}F_3NO_4S$: calcd. $(M+H)^+$: 426.0981, found: 426.0983

Ethyl 6-chloroquinoline-3-carboxylate (3e)[9]

White solid; isolated yield 94% (22 mg). R_f 0.50 (20% EtOAc/hexane); Mp 106-108 ^oC; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.35 (d, *J* = 2.1 Hz, 1H), 8.67 (d, *J* = 1.8 Hz, 1H), 8.02 (d, *J* = 9.0 Hz, 1H), 7.83 (d, *J* = 2.3 Hz, 1H), 7.67 (dd, *J* = 9.0 Hz, 2.4 Hz, 1H), 4.41 (q, *J* = 7.2 Hz, 2H), 1.40 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 164.97, 150.25, 148.17, 137.57, 133.26, 132.59, 131.10, 127.52, 127.50, 124.14, 61.70, 14.31; **HRMS** for $C_{12}H_{10}ClNO2$: calcd. $(M+H)^+$: 236.0473, found: 236.0480

Ethyl 6-fluoroquinoline-3-carboxylate (3f)[9]

White solid; isolated yield 87% (19 mg). R_f 0.50 (20% EtOAc/hexane); Mp 109-111 ^oC; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.33 (d, *J* = 1.9 Hz, 1H), 8.71 (d, *J* = 1.8 Hz, 1H), 8.09 (dd, *J* = 9.2 Hz, 5.3 Hz, 1H), 7.50-7.54 (m, 1H), 7.47 (dd, *J* = 8.5 Hz, 2.8 Hz, 1H), 4.41 (q, *J* = 7.2 Hz, 2H), 1.39 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (125 MHz, $CDCl_3$) δ 165.09, 160.78 (d, $J_{C\text{-}F}$ = 248.5 Hz), 149.39 (d, $J_{C\text{-}F}$ = 2.6 Hz), 146.94, 137.86 (d, $J_{C\text{-}F}$ = 5.5 Hz), 132.04 (d, $J_{C\text{-}F}$ = 9.1 Hz), 127.59 (d, $J_{C\text{-}F}$ = 10.2 Hz), 124.02, 121.98 (d, $J_{C\text{-}F}$ = 25.6 Hz), 111.87 (d, $J_{C\text{-}F}$ = 21.7 Hz), 61.66, 14.30; **HRMS** for $C_{12}H_{10}FNO_2$: calcd. $(M+H)^+$: 220.0768, found: 220.0772

Ethyl 7-nitroquinoline-3-carboxylate (3i)

Yellow solid; isolated yield 76% (37 mg). R_f 0.50 (20% EtOAc/hexane); Mp 159-160 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.51 (s, 1H), 8.97 (s, 1H), 8.85 (s, 1H), 8.32 (d, *J* = 7.7 Hz, 1H), 8.04 (d, *J* = 8.9 Hz, 1H), 4.44 (q, *J* = 7.1 Hz, 2H), 1.40 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.48, 152.18, 149.41, 148.86, 138.21, 130.73, 129.99, 125.87, 125.65, 120.91, 62.11, 14.30; **HRMS** for $C_{12}H_{10}N_2O_4$: calcd. $(M+H)^+$: 247.0713, found: 247.0706

Ethyl thieno[3,2-b]pyridine-6-carboxylate (3j)

White solid; isolated yield 38% (16 mg). R_f 0.50 (20% EtOAc/hexane); Mp 88-90 ^oC; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.23 (d, *J* = 1.8 Hz, 1H), 8.78 (d, *J* = 1.8 Hz, 1H), 7.89 (d, *J* = 5.5 Hz, 1H), 7.57 (d, *J* = 5.6 Hz, 1H), 4.39 (q, *J* = 7.2 Hz, 2H), 1.38 (t, *J* = 7.2 Hz, 3H); **^{13}C**

NMR (100 MHz, $CDCl_3$) δ 165.46, 158.50, 148.35, 134.77, 132.29, 125.17, 121.33, 61.52, 14.34; **HRMS** for $C_{10}H_9NO_2S$: calcd. $(M+H)^+$: 208.0427, found: 208.0423

3-(Phenylsulfonyl)quinoline (3k)[10]

White solid; isolated yield 81% (22 mg). R_f 0.50 (20% EtOAc/hexane); Mp 151-153 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.21 (d, *J* = 2.3 Hz, 1H), 8.75 (d, *J* = 2.0 Hz, 1H), 8.10 (d, *J* = 8.4 Hz, 1H), 7.96-7.98 (m, 2H), 7.90 (d, *J* = 8.3 Hz, 1H), 7.79-7.83 (m, 1H), 7.60-7.64 (m, 1H), 7.45-7.56 (m, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 149.40, 147.14, 141.04, 136.91, 134.76, 133.74, 132.78, 129.67, 129.60, 129.19, 128.38, 127.83, 126.39; **HRMS** for $C_{15}H_{11}NO_2S$: calcd. $(M+H)^+$: 270.0583, found: 270.0588

7-Methyl-3-(phenylsulfonyl)quinoline (3l)

White solid; isolated yield 84% (24 mg). R_f 0.50 (20% EtOAc/hexane); Mp 161-163 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.16 (d, *J* = 2.3 Hz, 1H), 8.68 (d, *J* = 2.1 Hz, 1H), 7.94-7.96 (m, 2H), 7.86 (s, 1H), 7.78 (d, *J* = 8.4 Hz, 1H), 7.43-7.54 (m, 4H), 2.53 (s, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 149.65, 147.19, 143.92, 141.24, 136.56, 133.87, 133.62, 130.67, 129.55, 128.77, 128.64, 127.75, 124.45, 22.17; **HRMS** for $C_{16}H_{13}NO_2S$: calcd. $(M+H)^+$: 284.0740, found: 284.0745

3-(Phenylsulfonyl)-7-(trifluoromethoxy)-1,2-dihydroquinoline (3o)

White solid; isolated yield 22% (15 mg). R_f 0.50 (20% EtOAc/hexane); Mp 139-140 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.24 (d, *J* = 2.2 Hz, 1H), 8.78 (d, *J* = 1.9 Hz, 1H), 7.94-7.99 (m, 4H), 7.47-7.58 (m, 4H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 152.01, 149.98, 148.42, 140.73, 136.56, 135.28, 133.95, 131.13, 129.70, 127.86, 124.54, 122.29, 119.02; **HRMS** for $C_{16}H_{12}F_3NO_3S$: calcd. $(M+H)^+$: 354.0406, found: 354.0409

1-(Quinolin-3-yl)propan-1-one (3p)

White solid; isolated yield 89% (16 mg). R_f 0.50 (20% EtOAc/hexane); Mp 138-139 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.38 (d, *J* = 2.2 Hz, 1H), 8.66 (d, *J* = 1.9 Hz, 1H), 8.10 (d, *J* = 8.2 Hz, 1H), 7.89 (dd, *J* = 8.1 Hz, 1.1 Hz, 1H), 7.75-7.79 (m, 1H), 7.55-7.59 (m, 1H), 3.09 (q, *J* = 7.2 Hz, 2H), 1.24 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 199.48, 149.77, 149.13, 136.87, 131.89, 129.45, 129.34, 129.13, 127.53, 126.96, 32.26, 8.03; **HRMS** for $C_{12}H_{11}NO$: calcd. $(M+H)^+$: 186.0913, found: 186.0911

1-(7-Methylquinolin-3-yl)propan-1-one (3q)

White solid; isolated yield 90% (17 mg). R_f 0.50 (20% EtOAc/hexane); Mp 126-127 °C; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.33 (s, 1H), 8.61 (s, 1H), 7.86 (s, 1H), 7.77 (d, *J* = 8.3 Hz, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 3.06 (q, *J* = 7.1 Hz, 2H), 2.53 (s, 3H), 1.23 (t, *J* = 7.2 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 199.49, 149.98, 149.19, 142.84, 136.58, 129.83, 128.97, 128.51, 128.44, 124.98, 32.17, 22.14, 8.06; **HRMS** for $C_{13}H_{13}NO$: calcd. $(M+H)^+$: 200.1070, found: 200.1071

Ethyl-2-(((4-methylphenyl)sulfonamido)(phenyl)methyl)-3-phenylacrylate (4a)[3]

White solid; isolated yield 62% (270 mg). The spectroscopic data matches well with the reported data.

Ethyl (*E*)-2-(((4-methylphenyl)sulfonamido)(p-tolyl)methyl)-3-phenylacrylate (4b)

White solid; isolated yield 63% (283 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (500 MHz, $CDCl_3$): δ 7.60 (s, 1H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.30-7.32 (m, 3H), 7.19 (d, *J* = 9.3 Hz, 2H), 7.12 (d, *J* = 6.3 Hz, 1H), 7.03-7.07 (m, 4H), 6.27 (d, *J* = 10.4 Hz, 1H), 5.74 (d, *J* = 10.4 Hz, 1H), 4.04-4.09 (m, 2H), 2.34 (s, 3H), 2.27 (s, 3H), 1.16 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.52, 142.83, 142.36, 137.87, 137.28, 136.23, 133.83, 129.49, 129.37, 129.30, 129.26, 129.00, 128.78, 127.10, 126.25, 61.14, 53.87, 21.50, 21.01, 14.09; **HRMS** for $C_{26}H_{27}NO_4S$: calcd. $(M+H)^+$: 472.1553, found: 472.1548

Ethyl-2-((2,6-dichlorophenyl)((4-methylphenyl)sulfonamido)methyl)-3-phenylacrylate (4c)

White solid; isolated yield 67% (337 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) (*E*-*Z* mixture): δ 7.62-7.64 (m appearing as br d, 4H), 7.13-7.30 (m, 12H), 7.03-7.11 (m, 6H), 6.39-6.43 (m, 4H), 6.28-6.31 (m, 1H, *E*), 6.07-6.11 (m, 1H, *Z*), 5.90-5.93 (m, 1H, *E*), 5.83 (d, *J* = 2.1 Hz, 1H, *E*), 4.04-4.14 (m, 4H), 2.33 (s, 3H, *E*), 2.31 (s, 3H, *Z*), 1.21 (t, *J* = 7.2 Hz, 3H, *E*), 1.11 (t, *J* = 7.1 Hz, 3H, *Z*); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.32, 165.23, 143.24, 137.71, 137.04, 134.73, 133.36, 132.54, 132.46, 131.14, 129.57, 129.24, 129.19, 128.36, 128.23, 127.22, 126.88, 126.85, 61.31, 61.16, 56.11, 54.19, 21.42, 21.39, 13.95, 13.72; **HRMS** for $C_{25}H_{23}Cl_2NO_4S$: calcd. $(M+H)^+$: 504.0798, found: 504.0795

Ethyl-2-(((4-methylphenyl)sulfonamido)(thiophen-3-yl)methyl)-3-phenylacrylate (4d)

White solid; isolated yield 50% (220 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) (*E*-*Z* mixture): δ 7.66 (d, *J* = 8.2 Hz, 2H, *Z*), 7.54 (s, 1H, *E*), 7.30-7.35 (m, 6H), 7.11-7.20 (m, 7H), 7.02-7.08 (m, 6H), 6.95-6.96 (m, 1H, *E*), 6.86-6.90 (m, 2H), 6.53 (s, 1H, *Z*), 6.32 (d, *J* = 10.3 Hz, 1H, *E*), 5.94 (d, *J* = 9.6 Hz, 1H, *Z*), 5.71 (d, *J* = 10.4 Hz, 1H, *E*), 5.22 (d, *J* = 9.6 Hz, 1H, *Z*), 4.02-4.10 (m, 2H, *E*), 3.79-3.89 (m, 2H, *Z*), 2.32 (2s, 3H, *E*), 2.14 (s, 3H, *Z*), 1.15 (t, *J* = 7.1 Hz, 3H, *E*), 0.80 (t, *J* = 7.1 Hz, 3H, *Z*); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.47, 143.38, 142.95, 141.90, 141.02, 140.01, 137.89, 137.77, 134.63, 133.72, 130.14, 129.56, 129.30, 128.98, 128.83, 128.55, 128.43, 127.88, 127.27, 127.08, 126.62, 126.40, 126.34, 126.24, 122.12, 121.71, 61.20, 60.94, 58.88, 51.33, 21.51, 21.30, 14.09, 13.39; **HRMS** for $C_{23}H_{23}NO_4S_2$: calcd. $(M+H)^+$: 464.0961, found: 464.0953

Ethyl-3-(2,4-dimethylphenyl)-2-(((4-methylphenyl)sulfonamido)(phenyl)methyl)acrylate (4e)

White solid; isolated yield 58% (268 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) (*E-Z* mixture): δ 7.75 (s, 1H, *E*), 7.68 (d, *J* = 8.2 Hz, 2H, *E*), 7.35 (d, *J* = 8.2 Hz, 2H, *E*), 7.26 (d, *J* = 7.3 Hz, 2H, *Z*), 7.16-7.23 (m, 8H), 7.11 (d, *J* = 8.0 Hz, 2H, *Z*), 7.00 (d, *J* = 8.1 Hz, 2H, *Z*), 6.98 (s, 1H, *Z*), 6.84-6.91 (m, 3H), 6.74 (d, *J* = 9.2 Hz, 2H, *E*), 6.41 (d, *J* = 7.7 Hz, 1H, *Z*), 6.26 (d, *J* = 10.3 Hz, 1H, *E*), 5.94 (d, *J* = 9.2 Hz, 1H, *Z*), 5.67 (d, *J* = 10.3 Hz, 1H, *E*), 5.28 (d, *J* = 9.2 Hz, 1H, *Z*), 4.04-4.12 (m, 2H, *E*), 3.72 (q, *J* = 7.1 Hz, 2H, *Z*), 2.29 (s, 3H, *E*), 2.27 (s, 3H, *E*), 2.22, 2.21 (2 s merged, 6H, *Z*), 2.10 (s, 3H, *E*), 2.07 (s, 3H, *Z*), 1.13 (t, *J* = 7.1 Hz, 3H, *E*), 0.68 (t, *J* = 7.1 Hz, 3H, *Z*); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.46, 166.73, 143.32, 142.81, 141.42, 139.77, 139.54, 138.83, 138.74, 138.16, 138.07, 137.95, 137.30, 135.54, 131.82, 131.21, 131.04, 130.35, 130.06, 129.61, 129.49, 129.31, 128.52, 128.45, 128.00, 127.85, 127.69, 127.35, 127.19, 126.97, 126.89, 126.47, 126.34, 125.77, 61.40, 61.14, 60.64, 53.96, 21.47, 21.37, 21.29, 21.14, 19.83, 19.79, 14.07, 13.33; **HRMS** for $C_{27}H_{29}NO_4S$: calcd. $(M+H)^+$: 464.1890, found: 464.1896

Ethyl-3-(2,4-dimethylphenyl)-2-(((4-methylphenyl)sulfonamido)(p-tolyl)methyl) acrylate (4f)

White solid; isolated yield 46% (219 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) (*E-Z* mixture): δ 7.73 (s, 1H, *E*), 7.67 (d, *J* = 8.1 Hz, 2H, *Z*), 7.34 (d, *J* = 8.1 Hz, 2H, *E*), 7.08-7.13 (m, 6H), 6.97-7.02 (m, 7H), 6.73-6.89 (m, 5H), 6.41 (d, *J* = 7.7 Hz, 1H, *Z*), 6.24 (d, *J* = 10.1 Hz, 1H, *E*), 5.87 (d, *J* = 9.4 Hz, 1H, *Z*), 5.62 (d, *J* = 10.3 Hz, 1H, *E*), 5.23 (d, *J* = 9.2 Hz, 1H, *Z*), 4.03-4.11 (m, 2H, *E*), 3.72 (q, *J* = 7.1 Hz, 2H, *Z*), 2.29 (s, 3H, *E*), 2.26 (s, 3H, *E*), 2.22 (s, 9H, *Z*), 2.21 (s, 3H, *E*), 2.09 (s, 3H, *Z*), 2.06 (s, 3H, *E*), 1.14 (t, *J* = 7.1 Hz, 3H, *E*), 0.69 (t, *J* = 7.1 Hz, 3H, *Z*); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.48, 166.78, 143.26, 142.74, 141.23, 139.48, 138.61, 138.10, 138.07, 137.99, 137.41, 137.29, 137.03, 136.76, 135.77, 135.53, 131.92, 131.18, 130.32, 130.09, 129.58, 129.53, 129.27, 129.21, 129.16, 128.01, 127.87, 127.21, 126.98, 126.86, 126.38, 126.26, 125.74, 61.18, 61.09, 60.59, 53.78, 21.47, 21.37, 21.28, 21.13, 21.01, 20.99, 19.83, 19.79, 14.10, 13.35; **HRMS** for $C_{28}H_{31}NO_4S$: calcd. $(M+H)^+$: 500.1866, found: 500.1873

Ethyl-3-(2,4-dimethylphenyl)-2-(((4-methylphenyl)sulfonamido)(thiophen-3-yl) methyl) acrylate (4g)

White solid; isolated yield 39% (183 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) (*E-Z* mixture): δ 7.67-7.69 (m, 3H), 7.35 (d, *J* = 8.2 Hz, 2H, *E*), 6.87-7.17 (m, 14H), 6.74 (d, *J* = 7.9 Hz, 1H, *Z*), 6.72 (s, 1H, *Z*), 6.36 (d, *J* = 7.8 Hz, 1H, *Z*), 6.29 (d, *J* = 10.2 Hz, 1H, *E*), 6.02 (d, *J* = 9.5 Hz, 1H, *Z*), 5.61 (d, *J* = 10.2 Hz, 1H, *E*), 5.27 (d, *J* = 9.6 Hz, 1H, *Z*), 4.04-4.16 (m, 2H, *E*), 3.72-3.80 (m, 2H, *Z*), 2.28, 2.30 (2s, 6H, *E*), 2.21, 2.22 (2s, 6H, *Z*), 2.09 (s, 3H, *Z*), 2.06 (s, 3H, *E*), 1.16 (t, *J* = 7.1 Hz, 3H, *E*), 0.72 (t, *J* = 7.1 Hz, 3H, *Z*); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.50, 166.72, 143.35, 142.85, 141.46, 140.98, 140.58, 139.53, 138.54, 138.24, 138.08, 137.89, 137.17, 135.52, 131.69, 131.19, 130.71, 130.37, 129.98, 129.65, 129.62, 129.32, 127.99, 127.19, 126.94, 126.88, 126.65, 126.43, 126.31, 126.00, 125.77, 121.95, 121.62, 61.16, 60.68, 58.57, 51.17, 21.48, 21.38, 21.29, 21.14, 19.82, 19.77, 14.10, 13.36; **HRMS** for $C_{25}H_{27}NO_4S_2$: calcd. $(M+Na)^+$: 492.1274, found: 492.1276

Ethyl-3-(4-isopropylphenyl)-2-(((4-methylphenyl)sulfonamido)(p-tolyl)methyl)acrylate (4h)

White solid; isolated yield 52% (255 mg). R_f 0.50 (20% EtOAc/hexane); **^{1}H NMR** (400 MHz, $CDCl_3$) (*E-Z* mixture): δ 7.63 (d, *J* = 8.2 Hz, 2H, Z), 7.53 (s, 1H, *E*), 7.33 (d, *J* = 8.2 Hz, 2H, *E*), 7.20 (d, *J* = 7.9 Hz, 2H, *E*), 7.10-7.14 (m, 4H), 6.97-7.04 (m, 12H), 6.83 (d, *J* = 8.1 Hz, 2H, *Z*), 6.47 (s, 1H, *Z*), 6.29 (d, *J* = 10.4 Hz, 1H, *E*), 5.88 (d, *J* = 9.4 Hz, 1H, *Z*), 5.76 (d, *J* = 10.4 Hz, 1H, *E*), 5.17 (d, *J* = 9.4 Hz, 1H, *Z*), 3.97-4.05 (m, 2H, *E*), 3.78-3.86 (m, 2H, *Z*), 2.76-2.86 (m, 2H), 2.31 (s, 3H, *E*), 2.24 (s, 3H, *E*), 2.20 (s, 3H, *Z*), 2.10 (s, 3H, *Z*), 1.19 (s, 3H, *E*), 1.17 (s, 3H, *E*), 1.15 (s, 3H, *Z*), 1.13 (s, 3H, *Z*), 1.11 (t, *J* = 7.2 Hz, 3H, *E*), 0.79 (t, *J* = 7.2 Hz, 3H, *Z*); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.97, 166.67, 150.71, 149.57, 143.23, 142.81, 142.58, 137.98, 137.93, 137.87, 137.42, 137.23, 136.34, 135.43, 132.16, 131.30, 129.68, 129.53, 129.32, 129.30, 129.23, 129.17, 128.71, 128.31, 127.27, 127.14, 126.91, 126.48, 126.34, 125.93, 61.61, 61.06, 60.81, 54.00, 34.00, 33.94, 31.69, 23.88, 23.84, 23.81, 23.79, 21.53, 21.27, 21.03, 14.11, 13.44; **HRMS** for $C_{29}H_{33}NO_4S$: calcd. $(M+Na)^+$: 514.2023, found: 514.2027

Ethyl 2-phenyl-1-tosyl-1,2-dihydroquinoline-3-carboxylate (5a)

White solid; isolated yield 62% (30 mg). R_f 0.50 (20% EtOAc/hexane); Mp 99-100 °C **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.0 Hz, 1H), 7.07-7.29 (m, 11H), 6.99 (d, *J* = 8.2 Hz, 2H), 6.44 (s, 1H), 4.13 (q, *J* = 7.1 Hz, 2H), 2.27 (s, 3H), 1.20 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.59, 143.78, 137.38, 135.75, 134.12, 133.41, 130.71, 129.15, 128.38, 128.29, 128.12, 128.02, 127.84, 127.45, 127.22, 126.98, 126.81, 60.97, 55.90, 21.53, 14.28; **HRMS** for $C_{25}H_{23}NO_4S$: calcd. $(M+H)^+$: 434.1421, found: 434.1418

Ethyl 2-(p-tolyl)-1-tosyl-1,2-dihydroquinoline-3-carboxylate (5b)

White solid; isolated yield 69% (62 mg). R_f 0.50 (20% EtOAc/hexane); Mp 128-129 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.61 (d, *J* = 8.1 Hz, 1H), 7.26-7.28 (m, 1H), 7.21-7.24 (m, 2H), 7.14 (s, 1H), 7.08-7.13 (m, 2H), 7.06 (br d, *J* = 7.9 Hz, 2H), 6.99 (d, *J* = 8.0 Hz, 2H), 6.93 (d,

J = 8.0 Hz, 2H), 6.40 (s, 1H), 4.12 (q, J = 7.1 Hz, 2H), 2.27 (s, 3H), 2.17 (s, 3H), 1.20 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.59, 143.71, 137.79, 135.81, 134.31, 134.12, 133.26, 130.65, 129.13, 129.10, 128.23, 128.15, 127.99, 127.51, 126.76, 60.93, 55.74, 21.52, 21.04, 14.28; **HRMS** for $C_{26}H_{25}NO_4S$: calcd. $(M+H)^+$: 448.1577, found: 448.1576

Ethyl 2-(2,6-dichlorophenyl)-1-tosyl-1,2-dihydroquinoline-3-carboxylate (5c)

White solid; isolated yield 56% (44 mg). R_f 0.50 (20% EtOAc/hexane); Mp 147-148 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.60 (d, J = 8.1 Hz, 1H), 7.30-7.34 (m, 3H), 7.18-7.22 (m, 2H), 7.12-7.16 (m, 2H), 7.00-7.06 (m, 4H), 4.00-4.08 (m, 2H), 2.28 (s, 3H), 1.11 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.51, 143.94, 136.76, 136.40, 135.59, 135.31, 135.04, 130.93, 129.65 129.30, 128.16, 127.57, 127.43, 126.64, 126.28, 124.87, 60.92, 54.53, 21.56, 14.06; **HRMS** for $C_{25}H_{21}Cl_2NO_4S$: calcd. $(M+H)^+$: 502.0641, found: 502.0643

Ethyl 2-(thiophen-3-yl)-1-tosyl-1,2-dihydroquinoline-3-carboxylate (5d)

White solid; isolated yield 40% (21 mg). R_f 0.50 (20% EtOAc/hexane); Mp 130-132 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.66 (d, J = 8.1 Hz, 1H), 7.28-7.32 (m, 1H), 7.22 (d, J = 8.3 Hz, 2H), 7.13-7.16 (m, 1H), 7.06-7.09 (m, 3H), 6.99 (d, J = 8.1 Hz, 2H), 6.94 (dd, J = 5.0 Hz, 1.1 Hz, 1H), 6.86 (t, J = 1.4 Hz, 1H), 6.46 (s, 1H), 4.12-4.18 (m, 2H), 2.27 (s, 3H), 1.22 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.45, 143.82, 138.71, 135.77, 134.31, 132.84, 130.78, 129.17, 128.41, 128.20, 127.90, 126.95, 126.83, 126.80, 125.91, 122.91, 60.99, 52.68, 21.52, 14.31; **HRMS** for $C_{23}H_{21}NO_4S_2$: calcd. $(M+H)^+$: 440.0985, found: 440.0976

Ethyl 5,7-dimethyl-2-phenyl-1-tosyl-1,2-dihydroquinoline-3-carboxylate (5e)

White solid; isolated yield 61% (28 mg). R_f 0.50 (20% EtOAc/hexane); Mp 129-130 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.31 (s, 1H), 7.29 (br s, 1H), 7.21-7.25 (m, 2H), 7.10-7.17 (m, 4H), 6.99 (d, J = 8.0 Hz, 2H), 6.77 (s, 1H), 6.40 (s, 1H), 4.13 (q, J = 7.1 Hz, 2H), 2.28 (s, 3H), 2.23 (s, 3H), 2.20 (s, 3H), 1.20 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.90, 143.61, 140.73, 137.59, 135.98, 135.80, 134.23, 130.72, 129.51, 129.01, 128.28, 127.83, 127.20, 127.01, 126.50, 126.17, 123.59, 60.84, 55.25, 21.68, 21.54, 18.91, 14.33; **HRMS** for $C_{27}H_{27}NO_4S$: calcd. $(M+H)^+$: 462.1734, found: 462.1736

Ethyl 5,7-dimethyl-2-(p-tolyl)-1-tosyl-1,2-dihydroquinoline-3-carboxylate (5f)

White solid; isolated yield 56% (32 mg). R_f 0.50 (20% EtOAc/hexane); Mp 90-91 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.30 (s, 1H), 7.27 (s, 1H), 7.22 (d, J = 8.3 Hz, 2H), 7.07 (d, J = 8.0 Hz, 2H), 6.99 (d, J = 8.0 Hz, 2H), 6.93 (d, J = 8.0 Hz, 2H), 6.77 (s, 1H), 6.36 (s, 1H), 4.12 (q, J = 7.1 Hz, 2H), 2.27 (s, 3H), 2.23 (s, 3H), 2.20 (s, 3H), 2.17 (s, 3H), 1.20 (t, J = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.91, 143.56, 140.64, 137.56, 136.02, 135.74, 134.51, 134.22, 130.59, 129.47, 129.02, 128.99, 127.14, 127.00, 126.53, 126.30, 123.63, 60.80, 55.09, 21.68, 21.53, 21.05, 18.91, 14.33; **HRMS** for $C_{28}H_{29}NO_4S$: calcd. $(M+H)^+$: 476.1890, found: 476.1890

Ethyl 7-isopropyl-2-(p-tolyl)-1-tosyl-1,2-dihydroquinoline-3-carboxylate (5g)

White solid; isolated yield 47% (28 mg). R_f 0.50 (20% EtOAc/hexane); Mp 98-99 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.48 (s, 1H), 7.19-7.21 (m, 2H), 7.13 (br s, 1H), 7.07 (br d, *J* = 8.1 Hz, 2H), 6.93-6.98 (m, 6H), 6.39 (s, 1H), 4.11 (q, *J* = 7.1 Hz, 2H), 2.78-2.88 (m, 1H), 2.26 (s, 3H), 2.17 (s, 3H), 1.16-1.19 (m, 9H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.81, 152.22, 143.59, 137.63, 135.82, 134.76, 134.08, 133.36, 129.08, 129.05, 128.21, 127.20, 127.00, 126.64, 126.23, 125.10, 124.79, 60.80, 55.82, 34.17, 23.75, 23.47, 21.51, 21.06, 14.29; **HRMS** for $C_{29}H_{31}NO_4S$: calcd. $(M+H)^+$: 490.2047, found: 490.2045

Ethyl 5,7-dimethyl-2-(thiophen-3-yl)-1-tosyl-1,2-dihydroquinoline-3-carboxylate (5h)

White solid; isolated yield 57% (31 mg). R_f 0.50 (20% EtOAc/hexane); Mp 135-136 °C; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.33 (br s, 1H), 7.21-7.23 (m, 3H), 7.07 (dd, *J* = 5.0 Hz, 3.0 Hz, 1H), 6.99 (d, *J* = 8.0 Hz, 2H), 6.93 (dd, *J* = 5.0 Hz, 1.2 Hz, 1H), 6.84 (m, 1H), 6.79 (br s, 1H), 6.42 (s, 1H), 4.11-4.19 (m, 2H), 2.26, 2.27 (2s, 6H), 2.19 (s, 3H), 1.22 (t, *J* = 7.1 Hz, 3H); **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.73, 143.66, 140.80, 138.95, 135.98, 135.92, 134.44, 130.11, 129.52, 129.03, 126.99, 126.90, 126.57, 126.28, 125.68, 123.32, 122.73, 60.86, 52.21, 21.71, 21.53, 18.91, 14.36; **HRMS** for $C_{25}H_{25}NO_4S_2$: calcd. $(M+H)^+$: 468.1298, found: 468.1288

4. References

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5. ^{1}H & ^{13}C NMR Spectra of Products

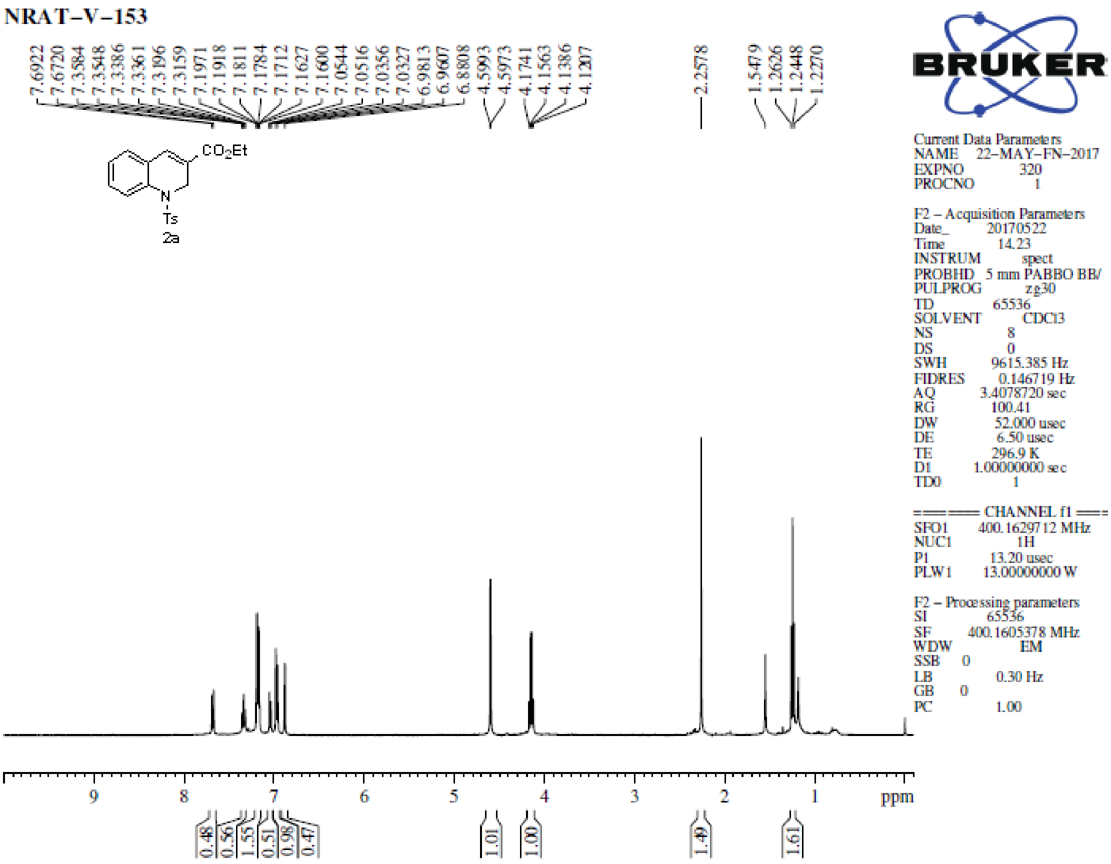

Figure 1: ^{1}H NMR spectrum of 2a

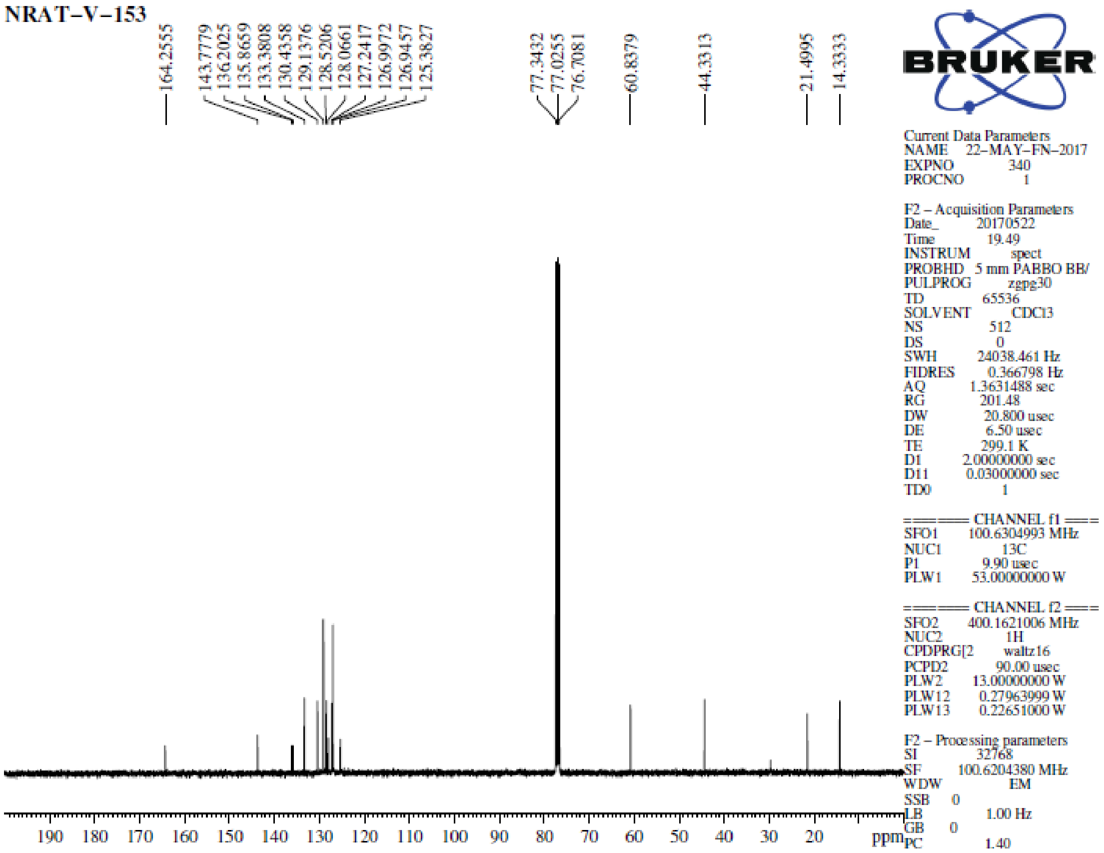

Figure 2: ^{13}C NMR spectrum of 2a

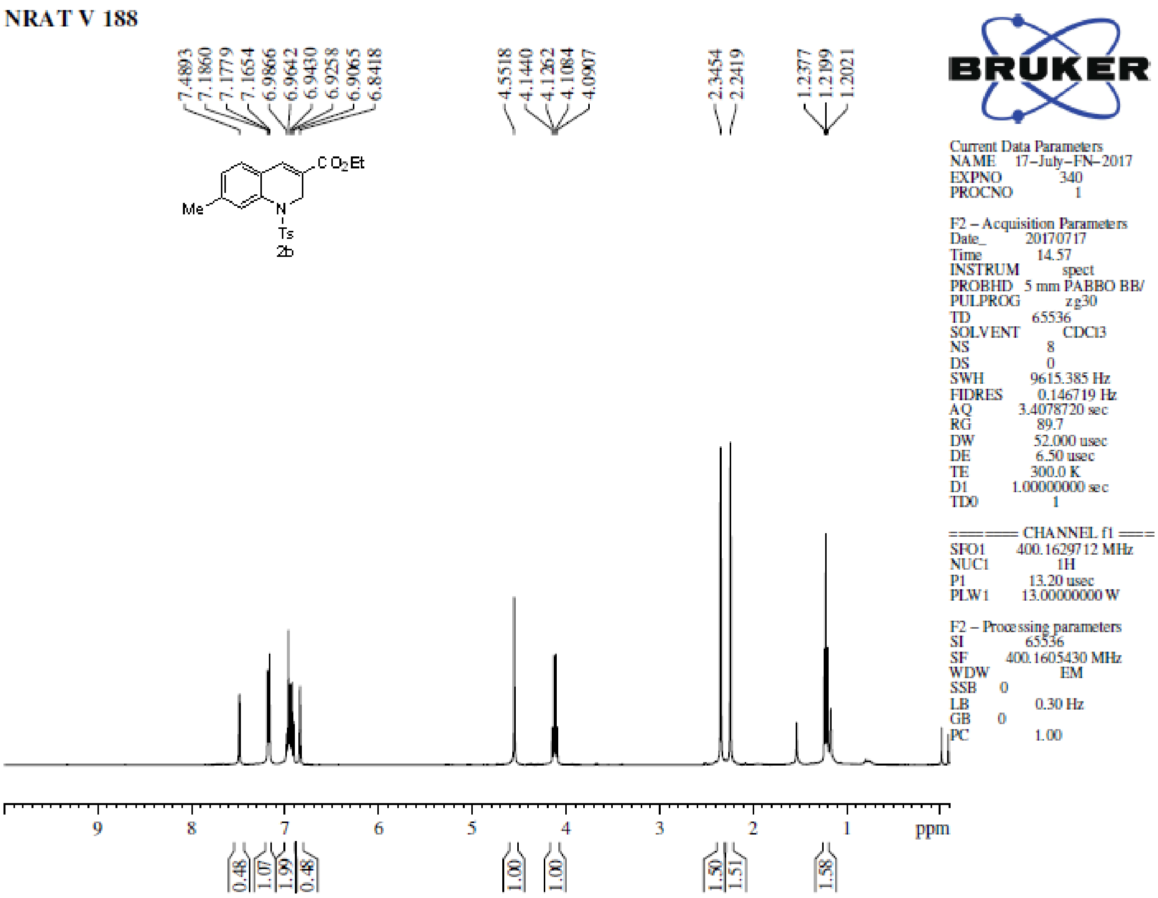

Figure 3: ^{1}H NMR spectrum of 2b

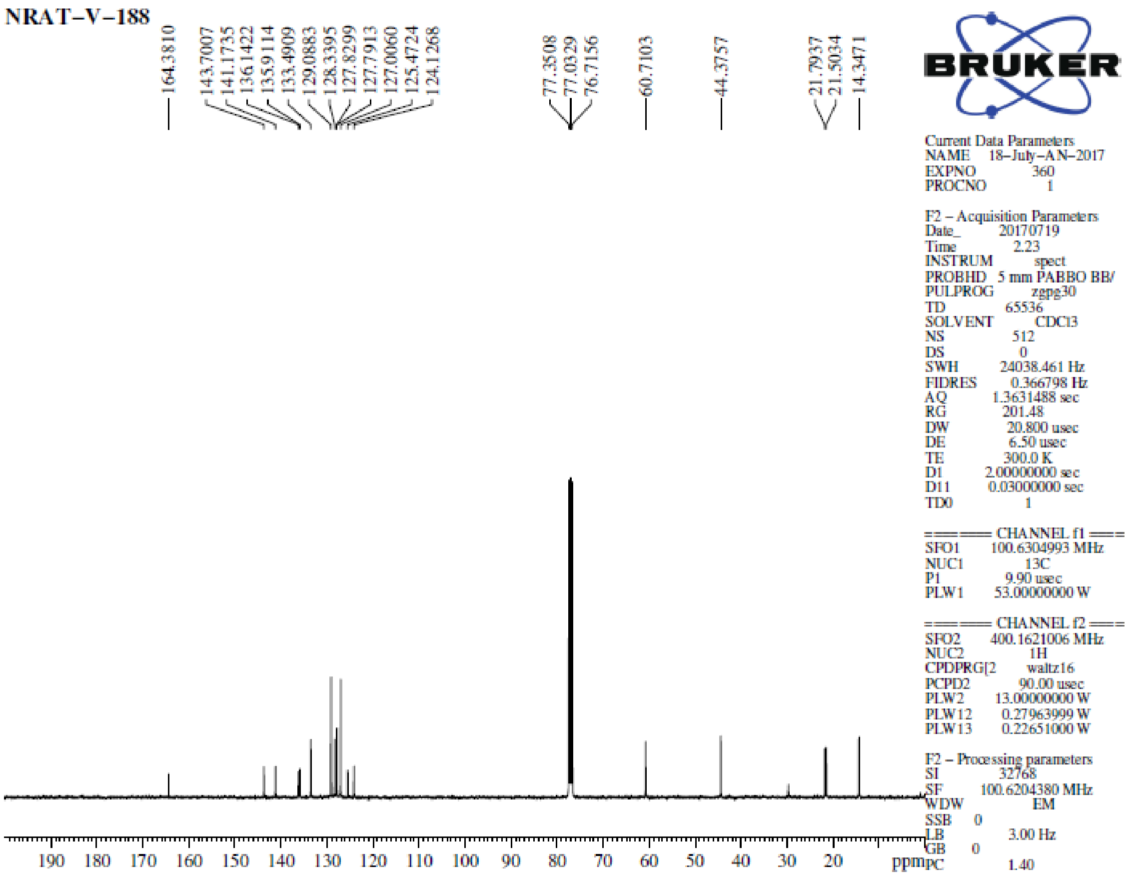

Figure 4: ^{13}C NMR spectrum of 2b

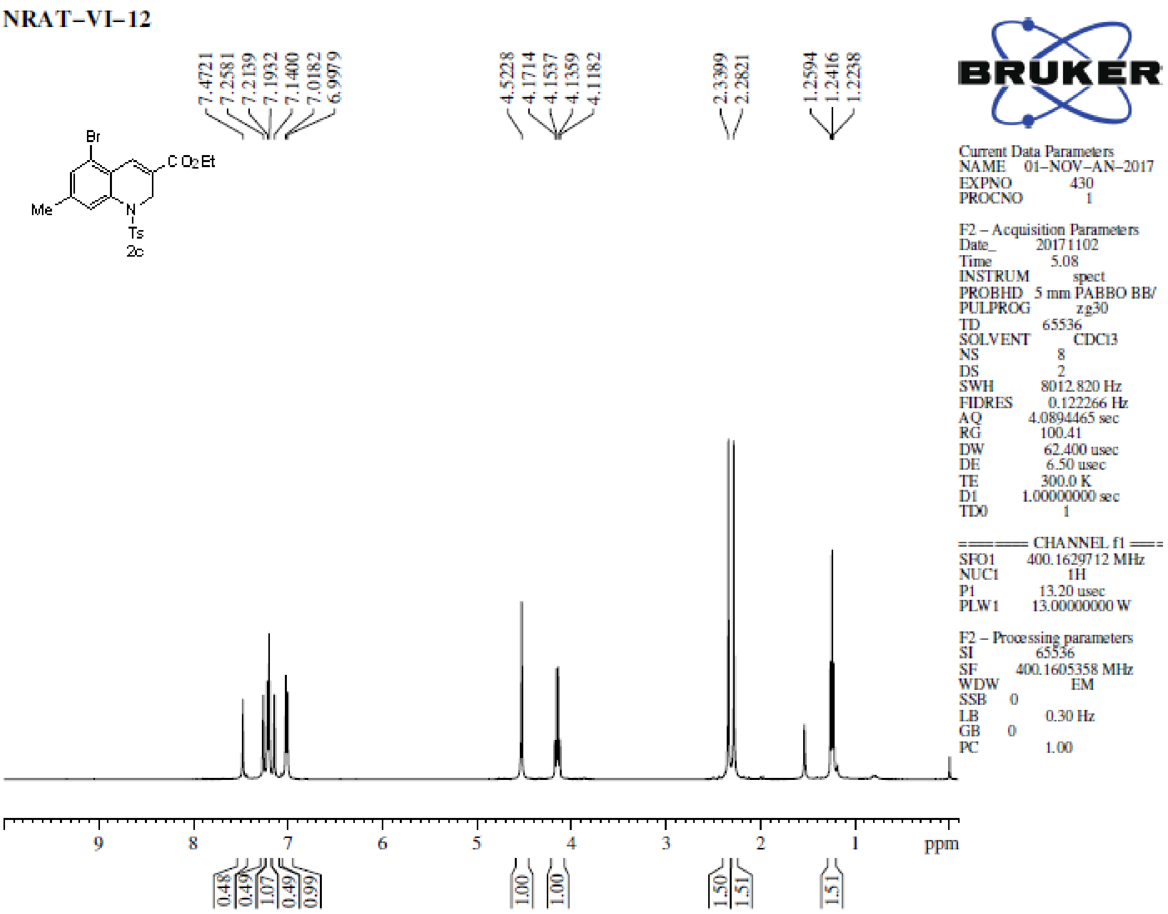

Figure 5: ^{1}H NMR spectrum of 2c

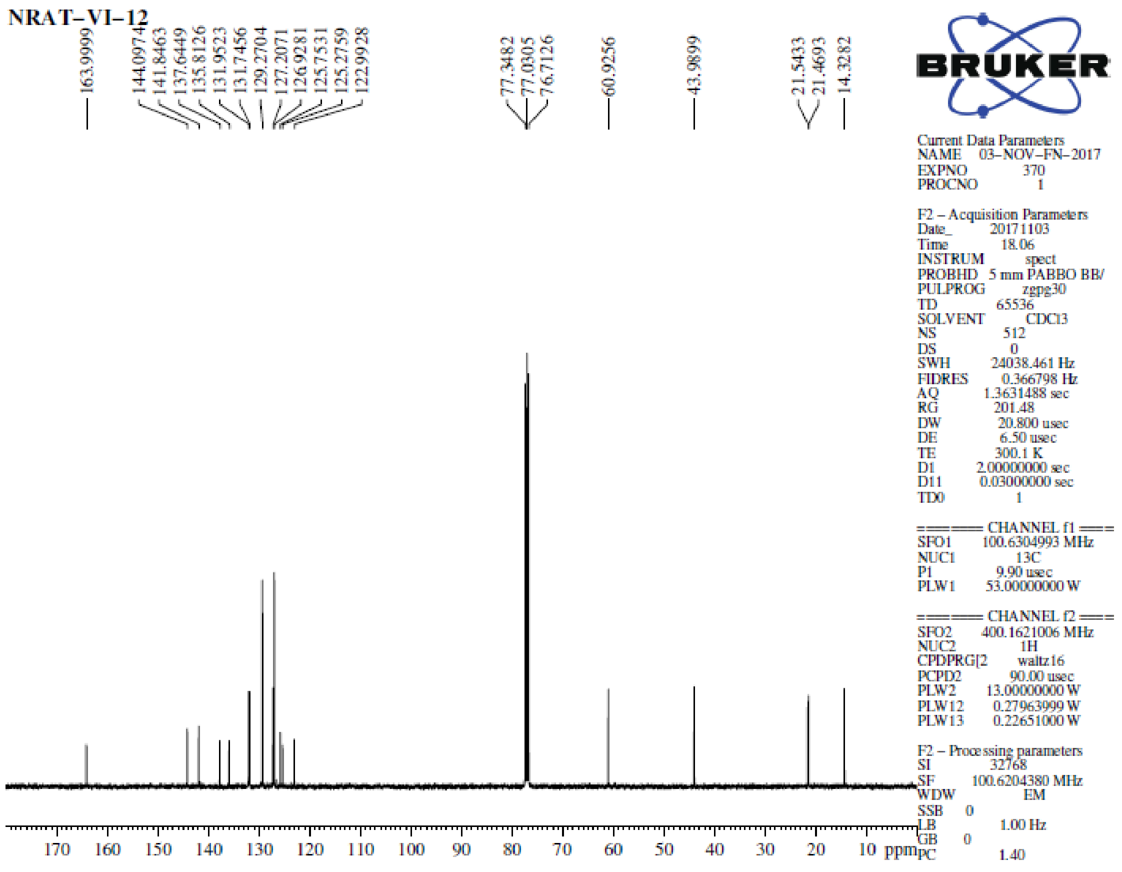

Figure 6: ^{13}C NMR spectrum of 2c

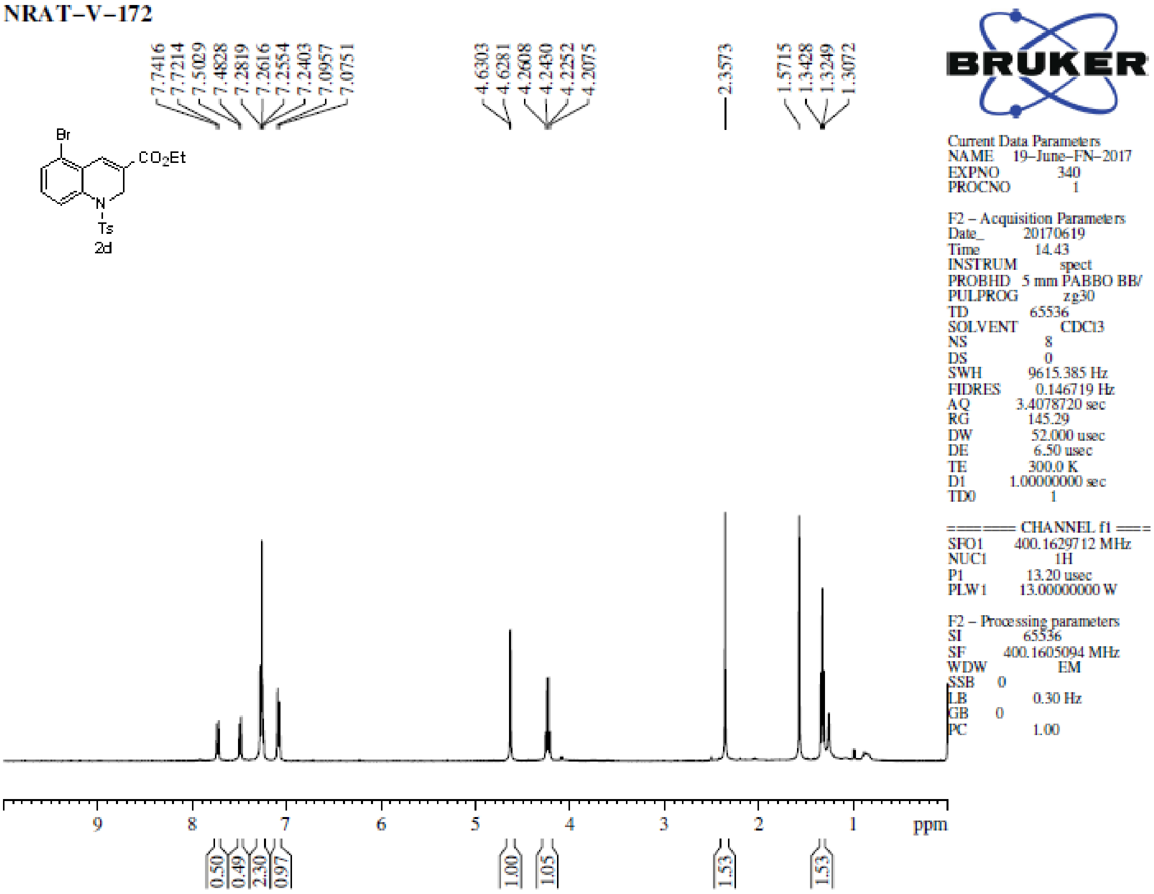

Figure 7: ^{1}H NMR spectrum of 2d

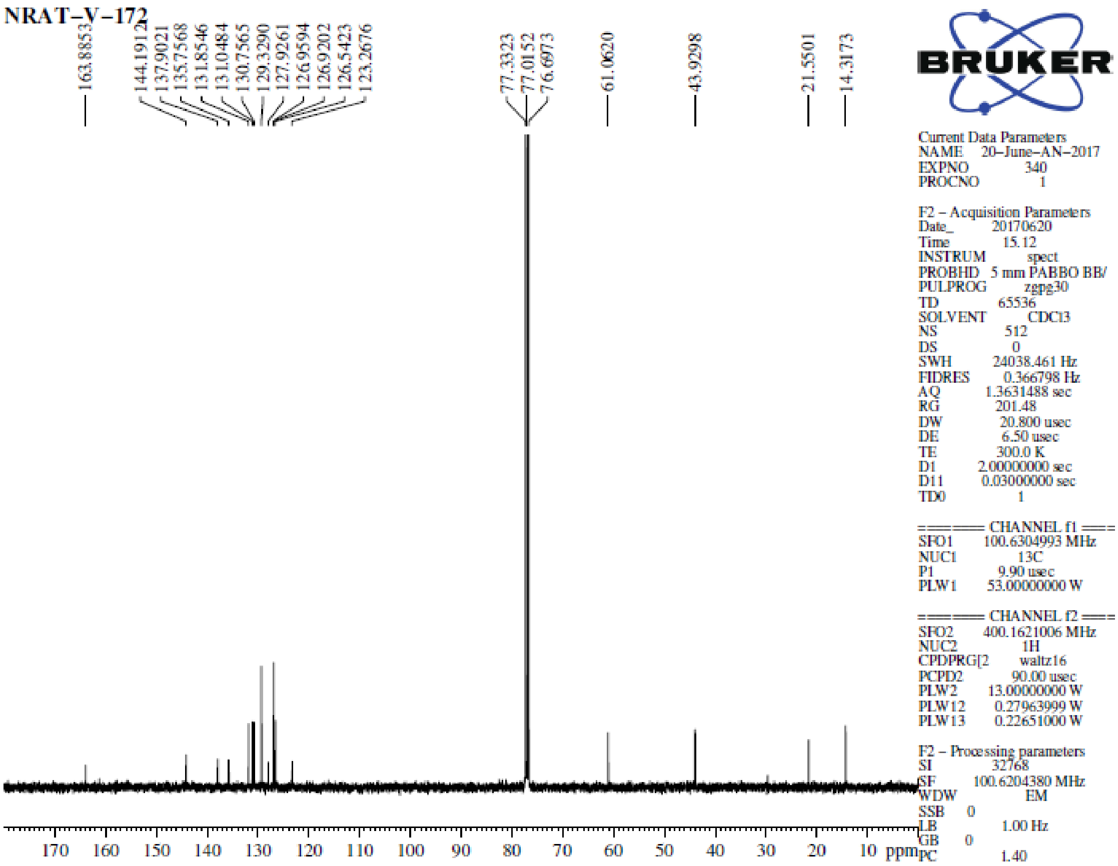

Figure 8: ^{13}C NMR spectrum of 2d

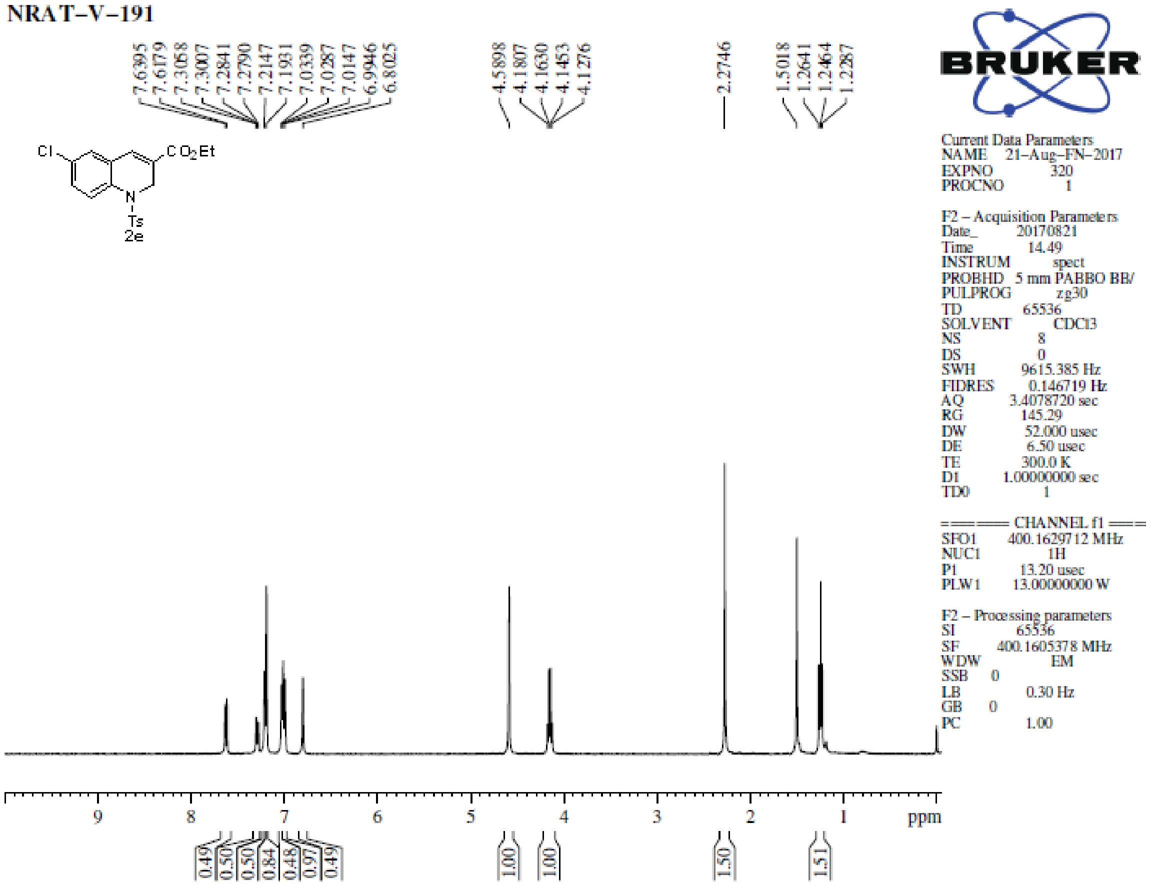

Figure 9: ^{1}H NMR spectrum of 2e

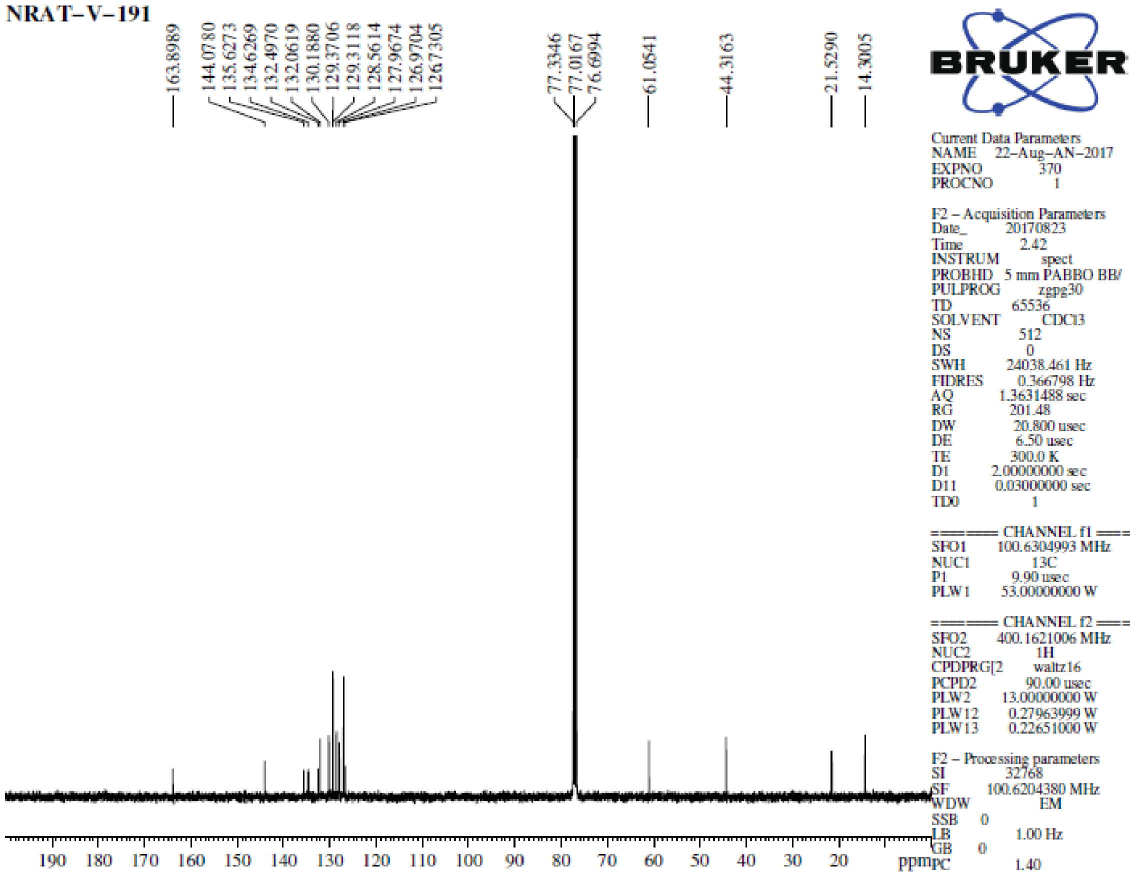

Figure 10: ^{13}C NMR spectrum of 2e

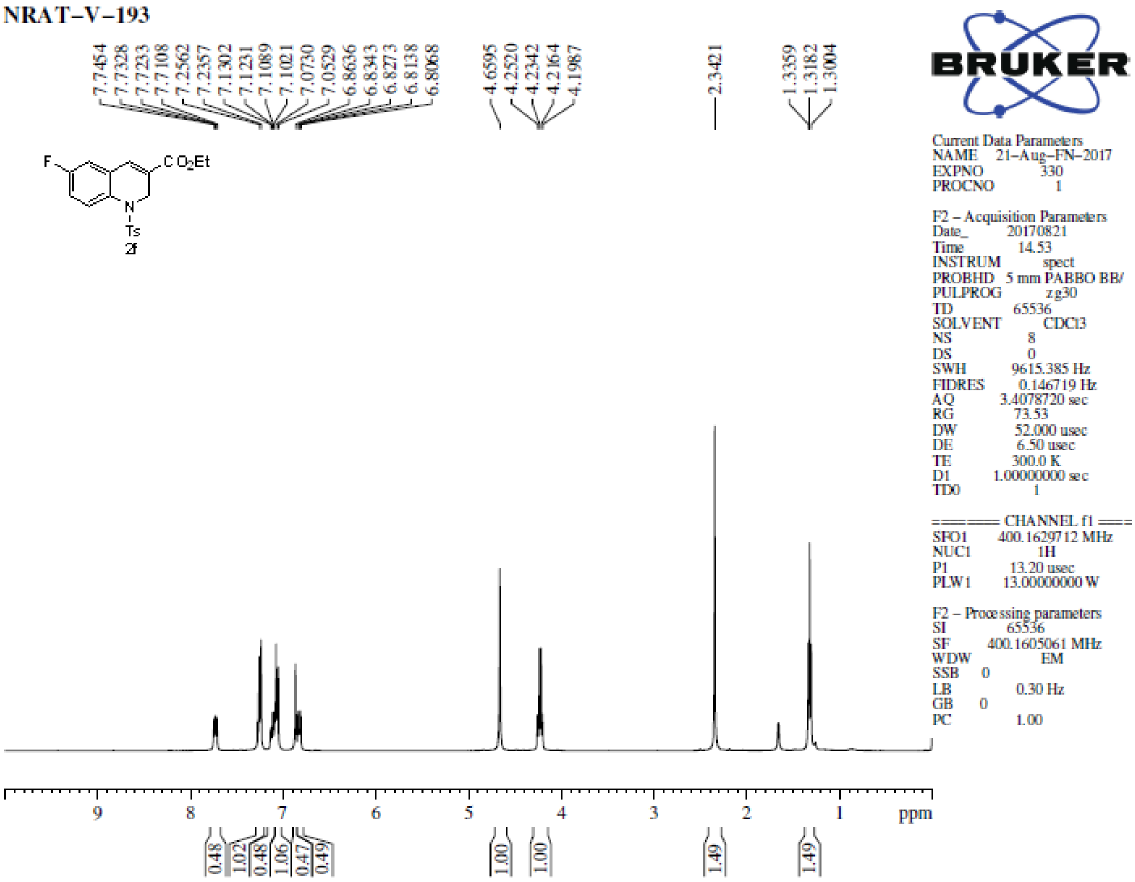

Figure 11: ^{1}H NMR spectrum of 2f

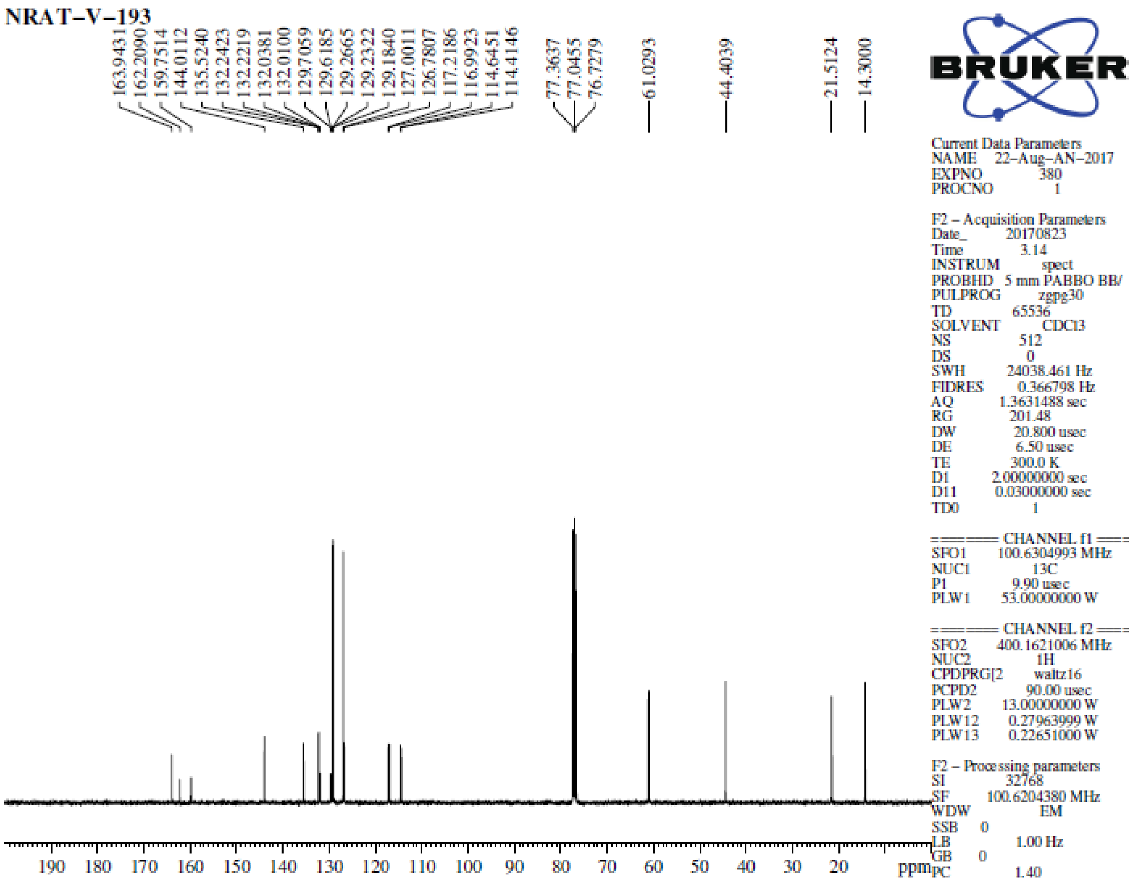

Figure 12: ^{13}C NMR spectrum of 2f

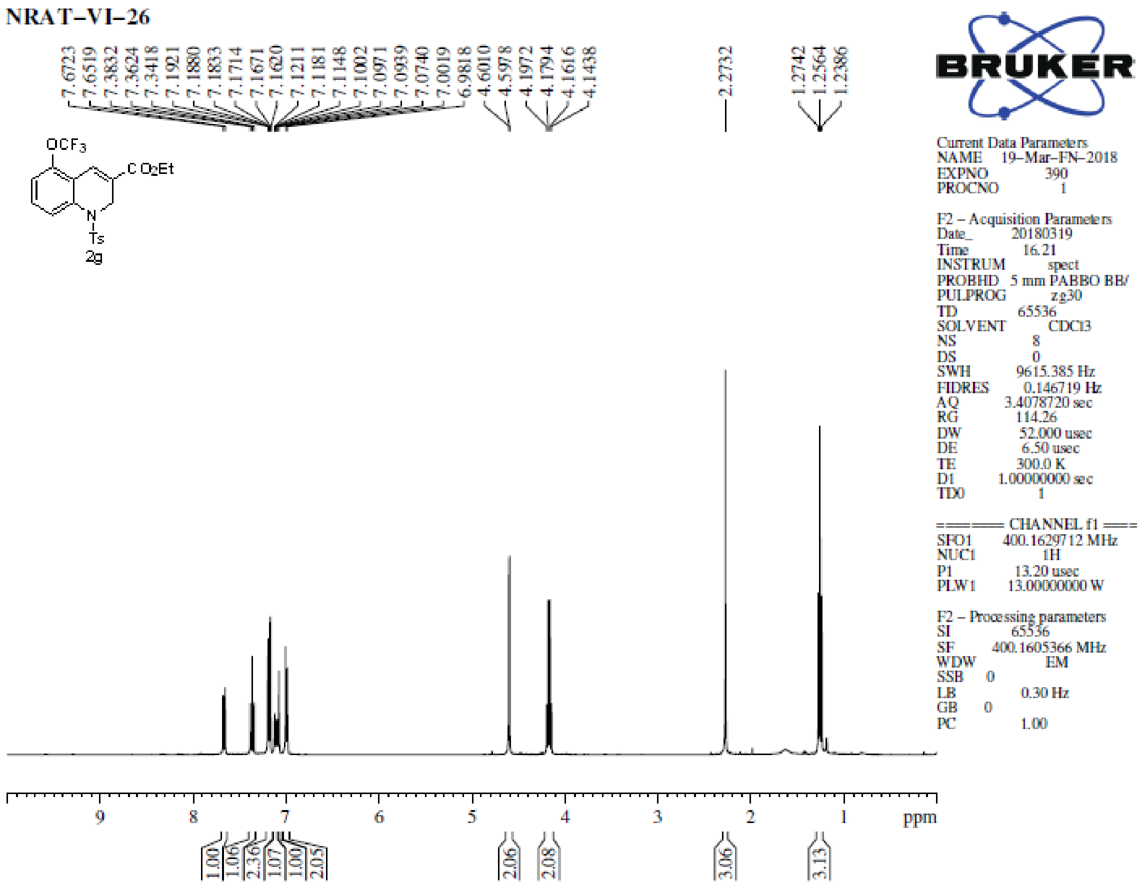

Figure 13: ^{1}H NMR spectrum of 2g

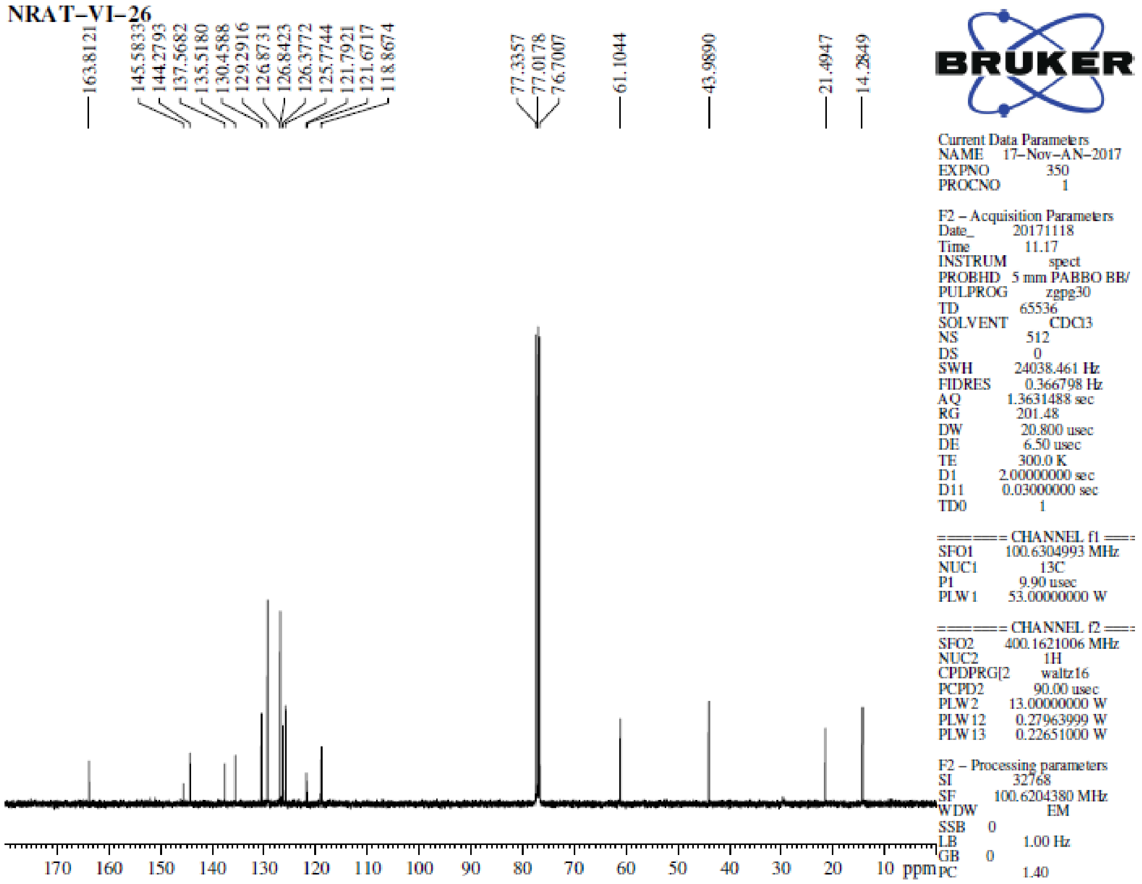

Figure 14: ^{13}C NMR spectrum of 2g

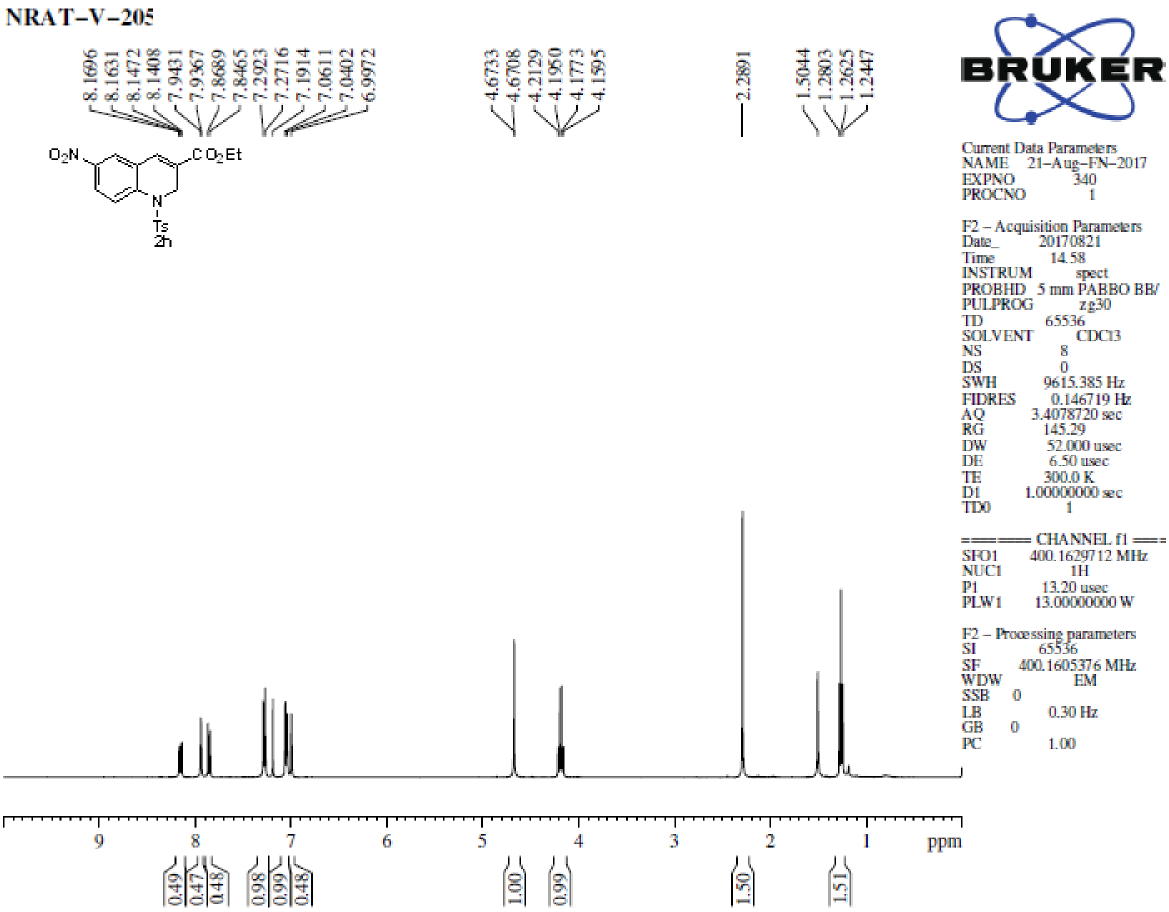

Figure 15: ^{1}H NMR spectrum of 2h

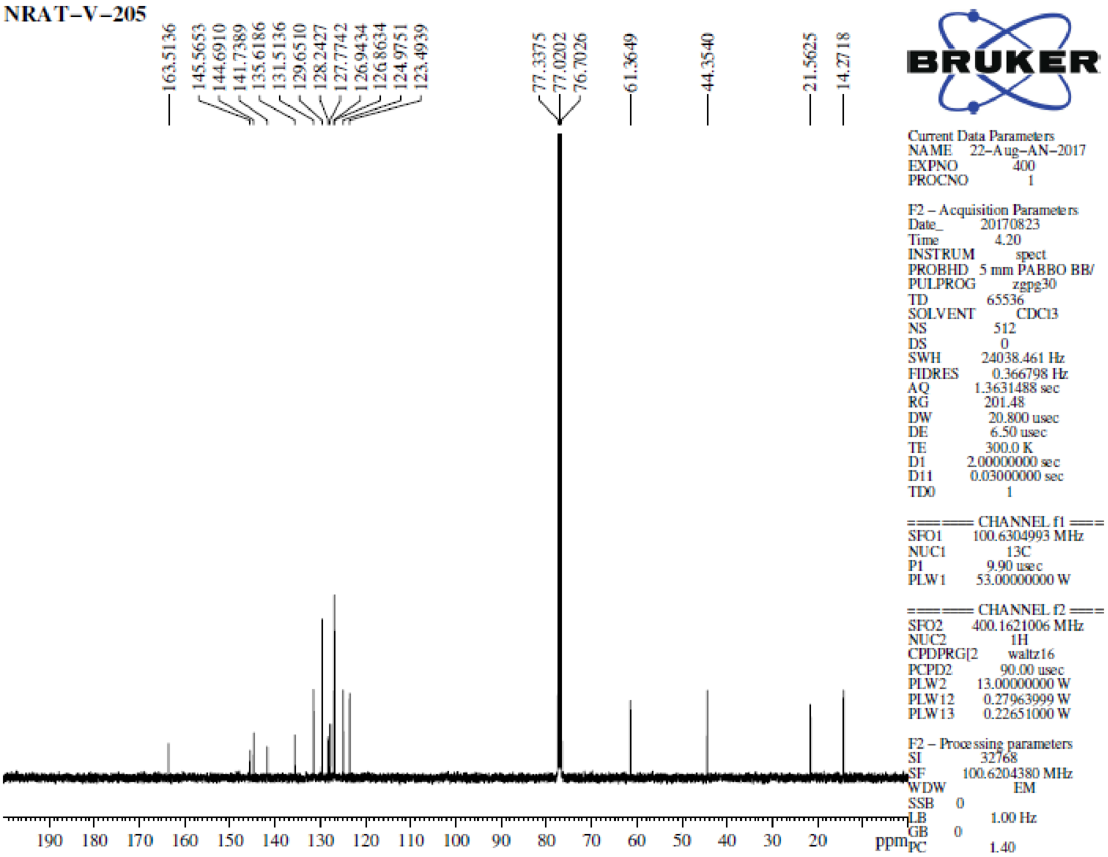

Figure 16: ^{13}C NMR spectrum of 2h

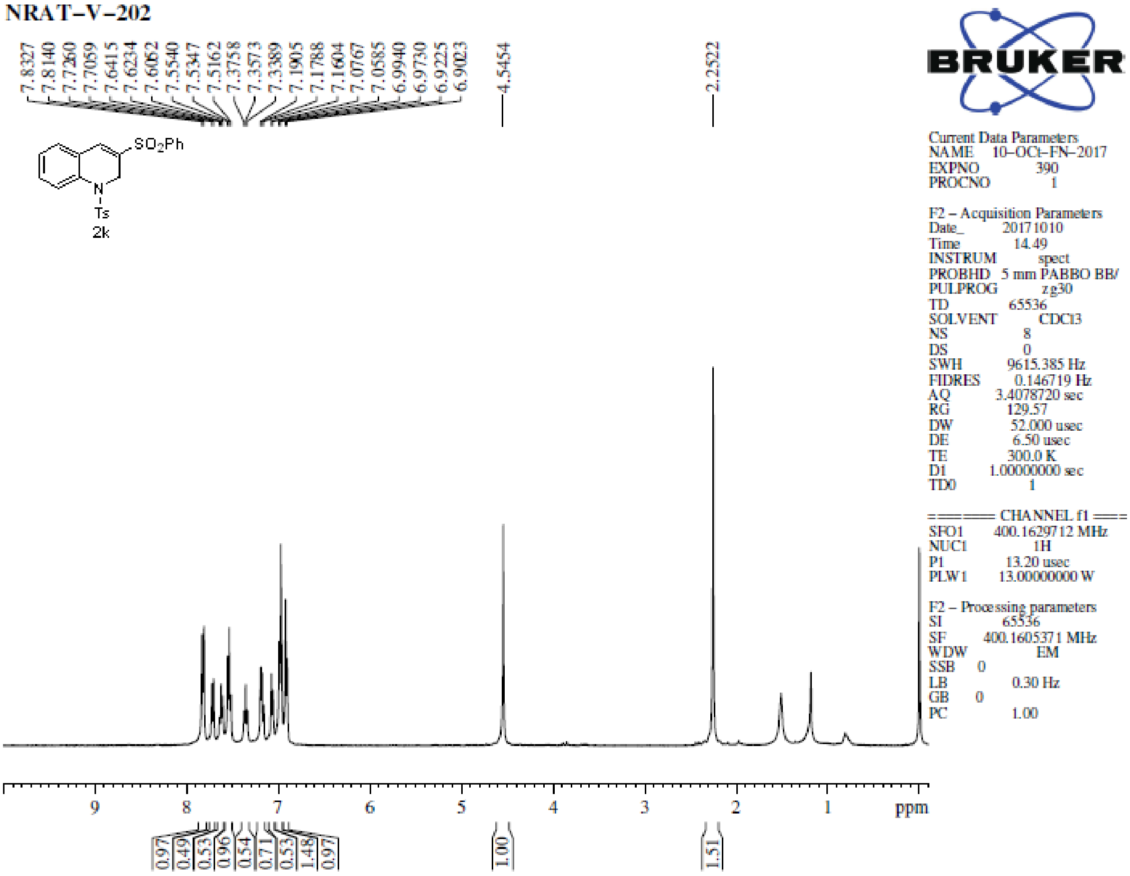

Figure 17: ^{1}H NMR spectrum of 2k

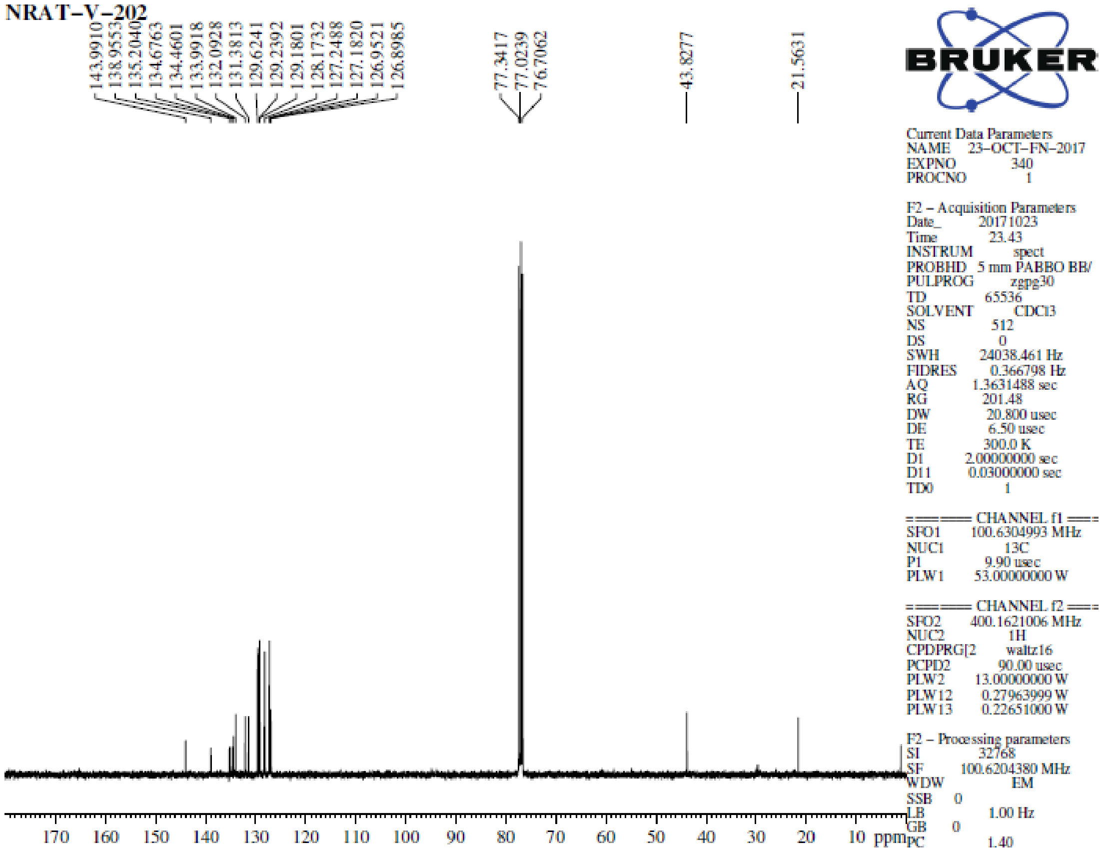

Figure 18: ^{13}C NMR spectrum of 2k

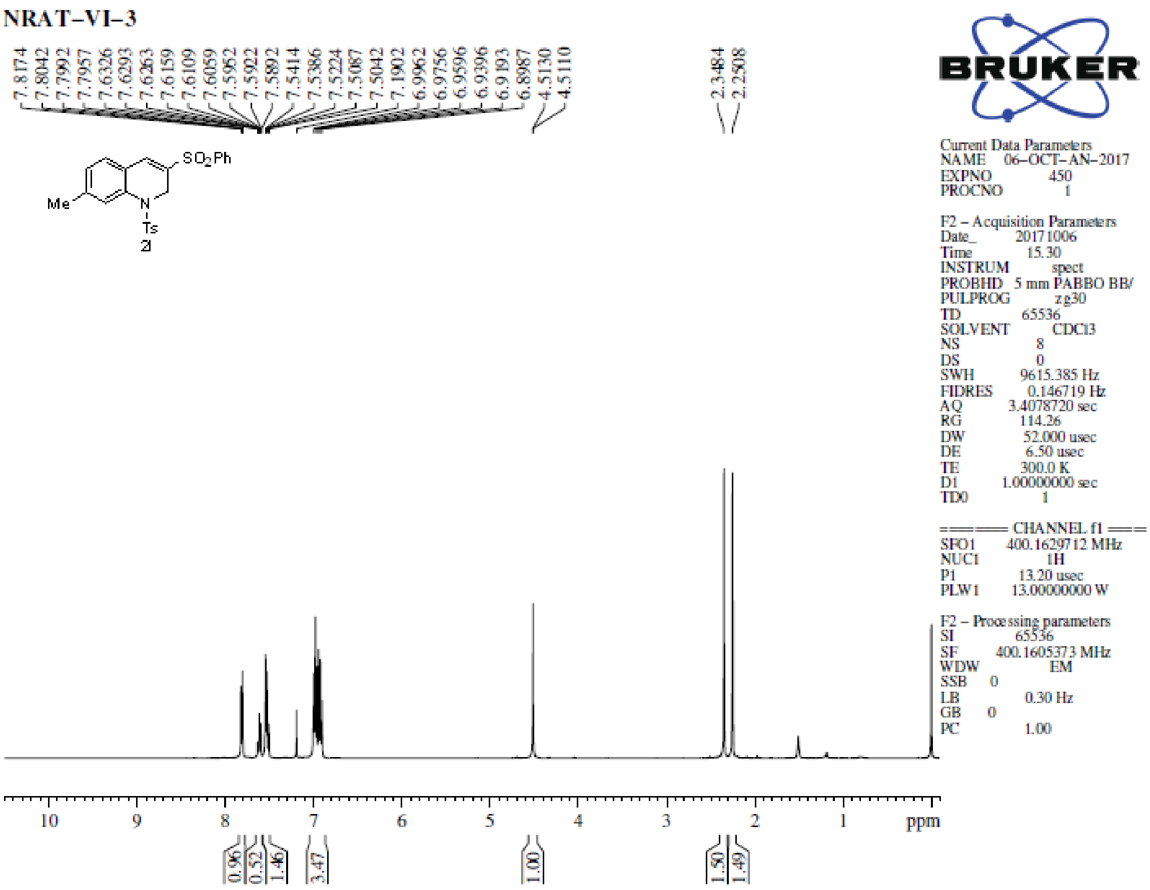

Figure 19: ^{1}H NMR spectrum of 2l

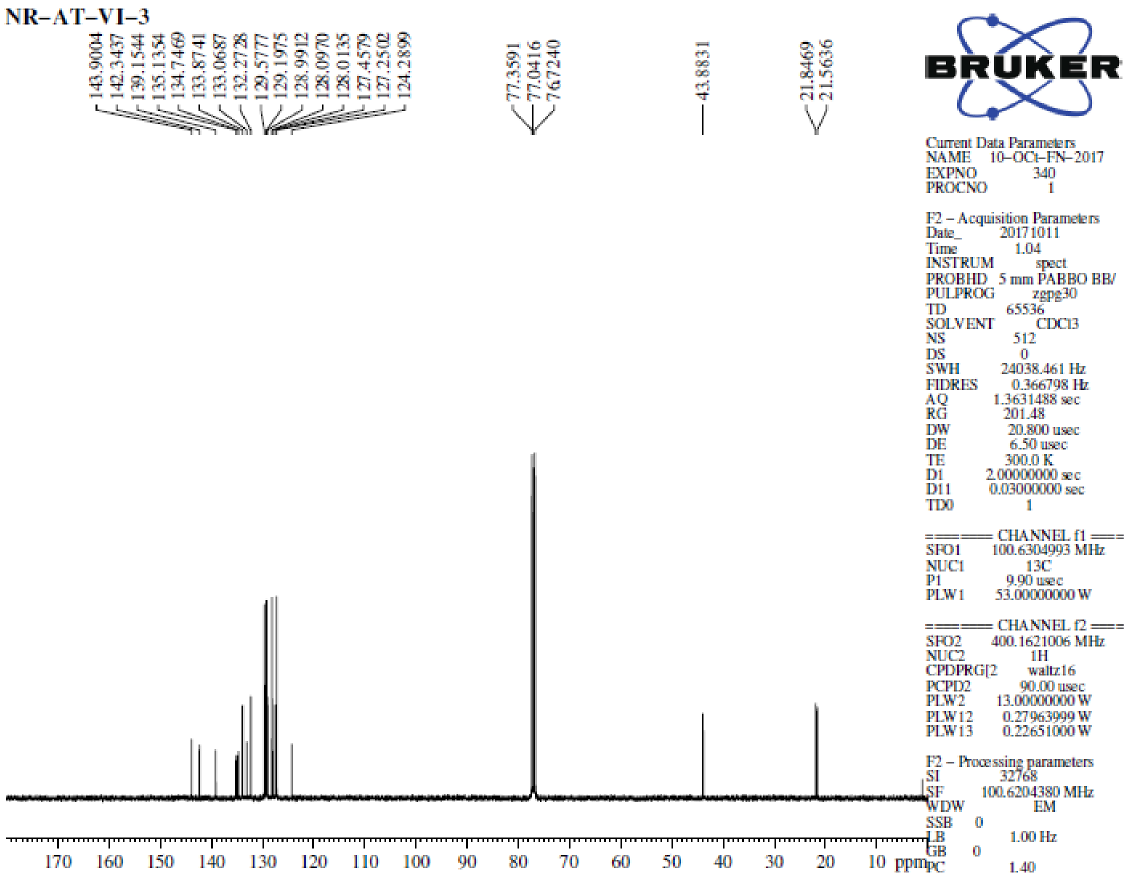

Figure 20: ^{13}C NMR spectrum of 2l

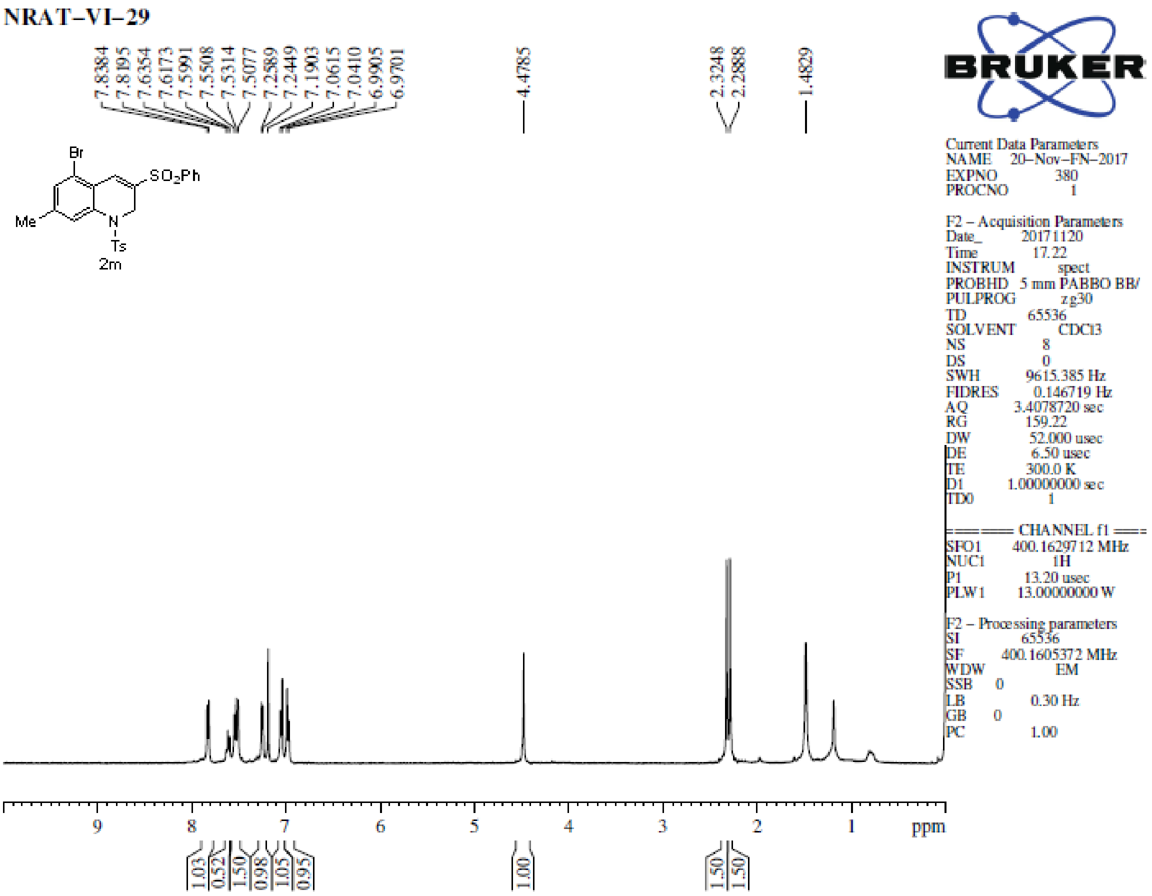

Figure 21: ^{1}H NMR spectrum of 2m

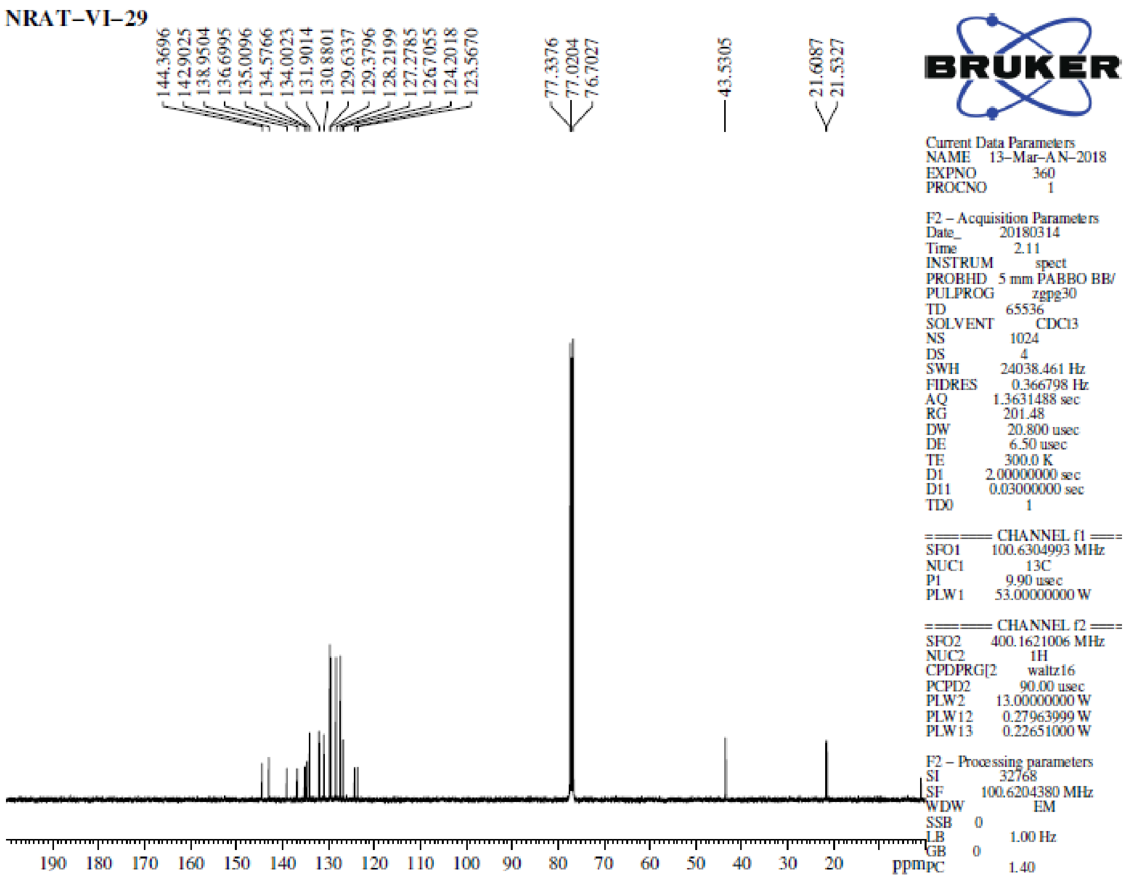

Figure 22: ^{13}C NMR spectrum of 2m

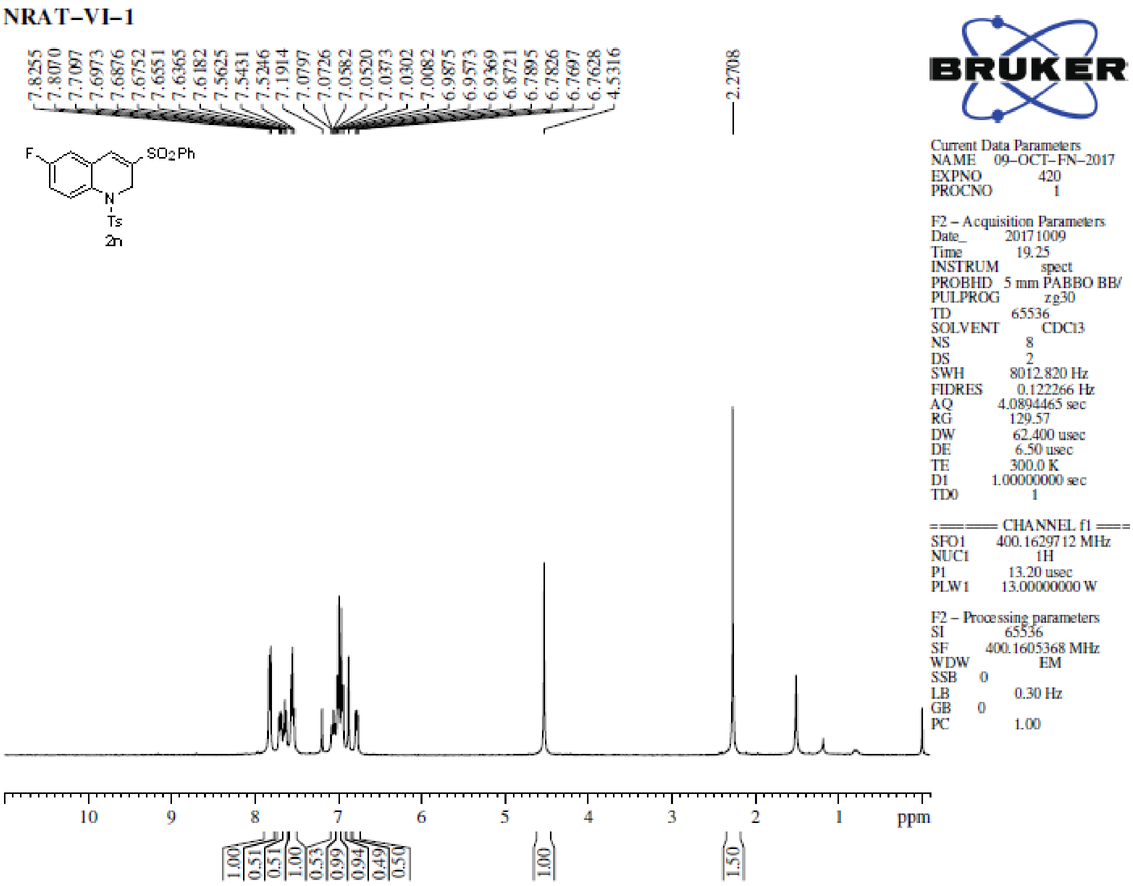

Figure 23: ^{1}H NMR spectrum of 2n

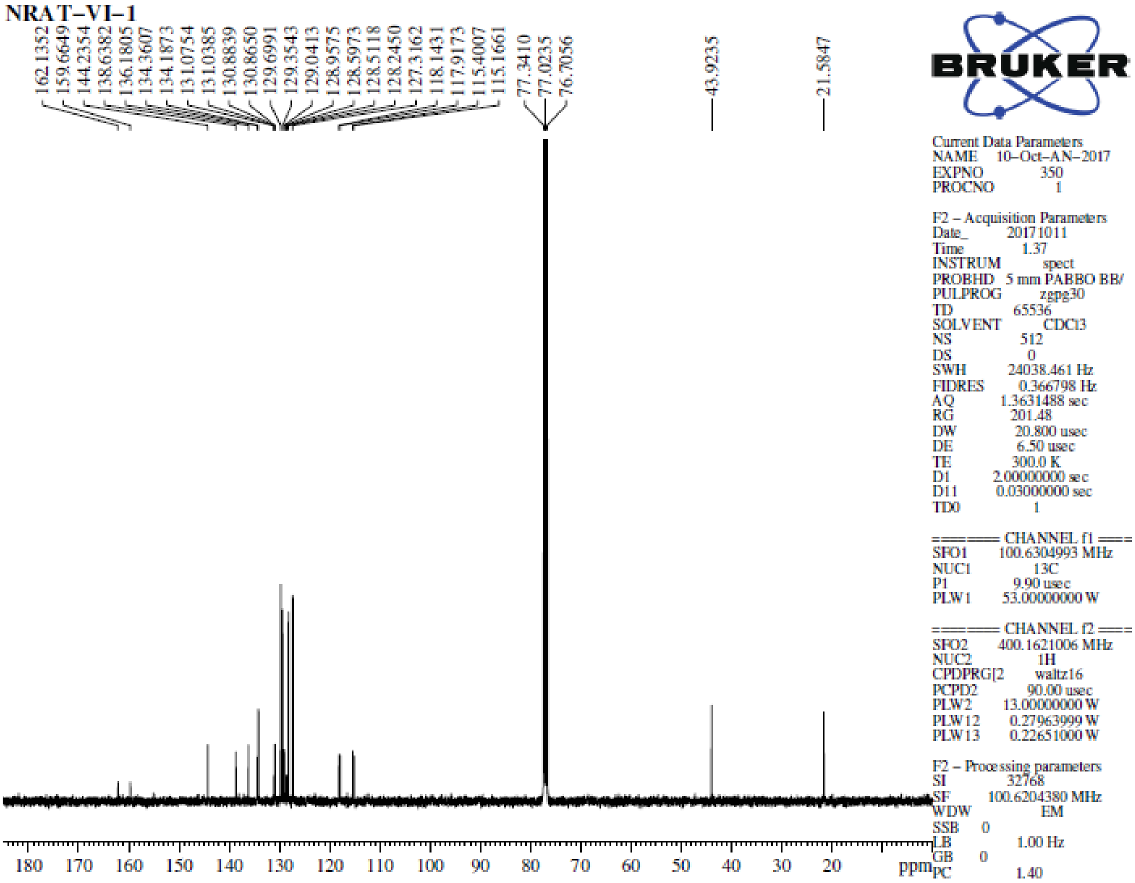

Figure 24: ^{13}C NMR spectrum of 2n

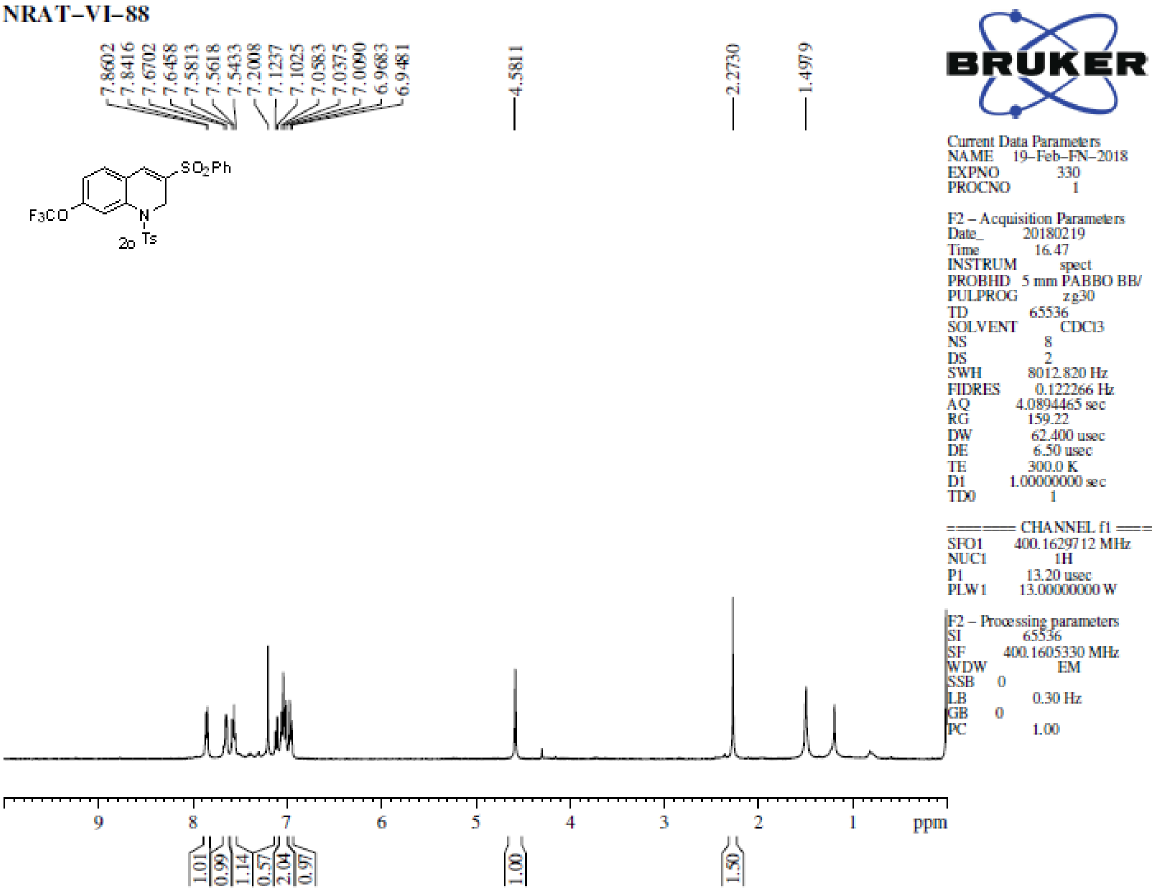

Figure 25: ^{1}H NMR spectrum of 2o

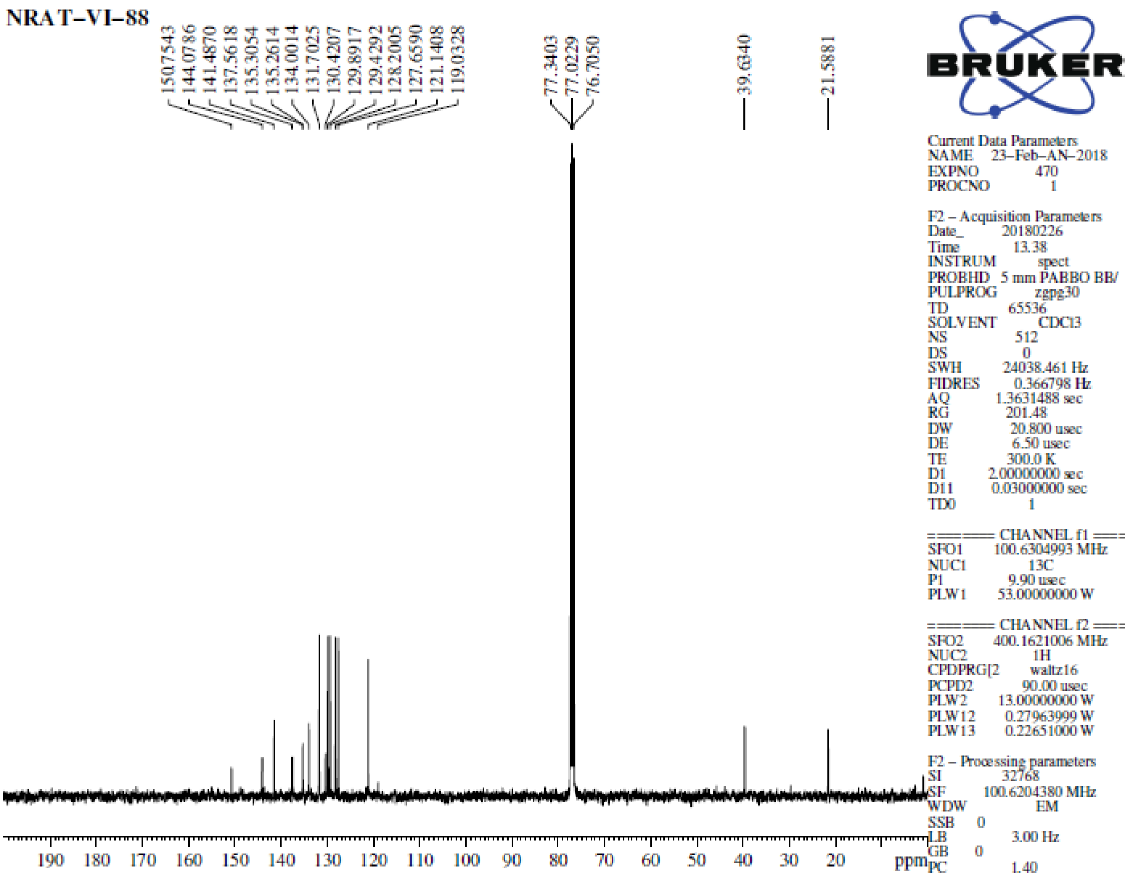

Figure 26: ^{13}C NMR spectrum of 2o

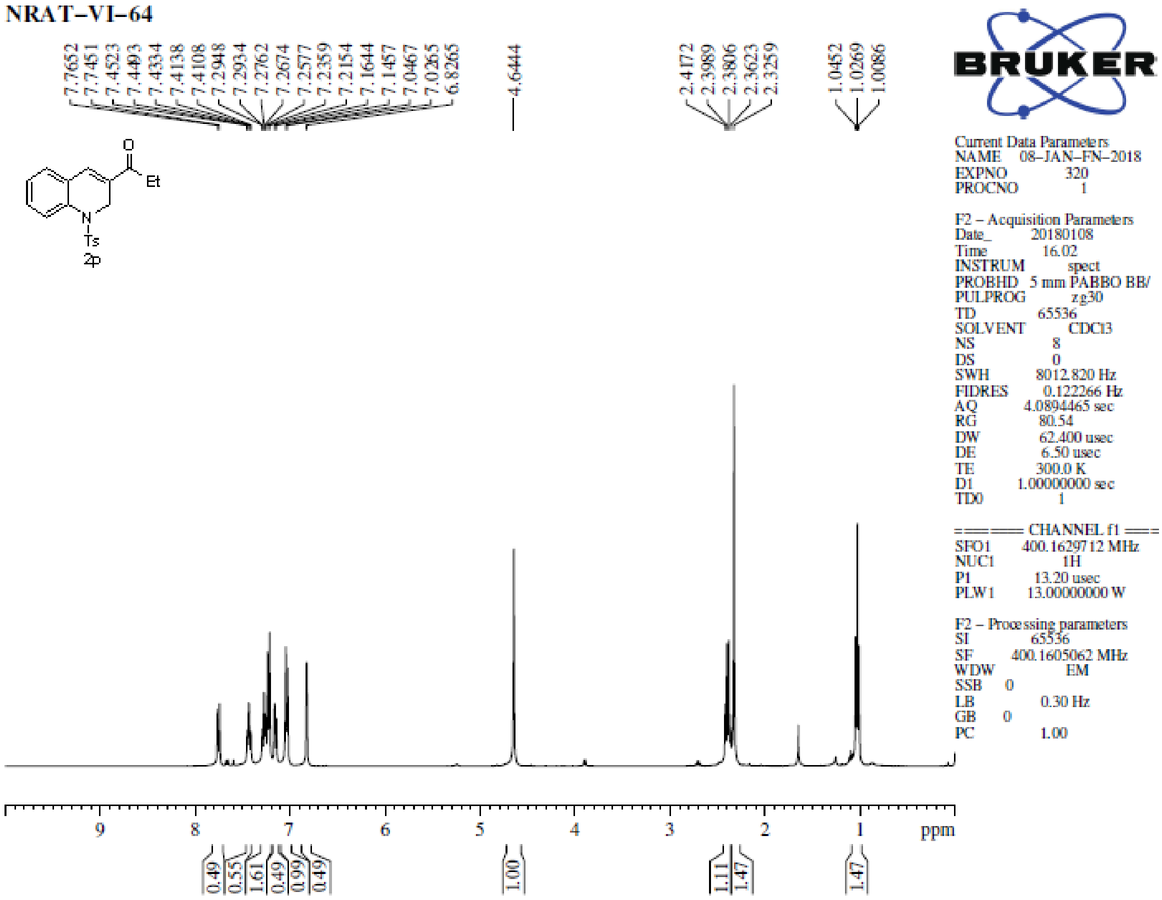

Figure 27: ^{1}H NMR spectrum of 2p

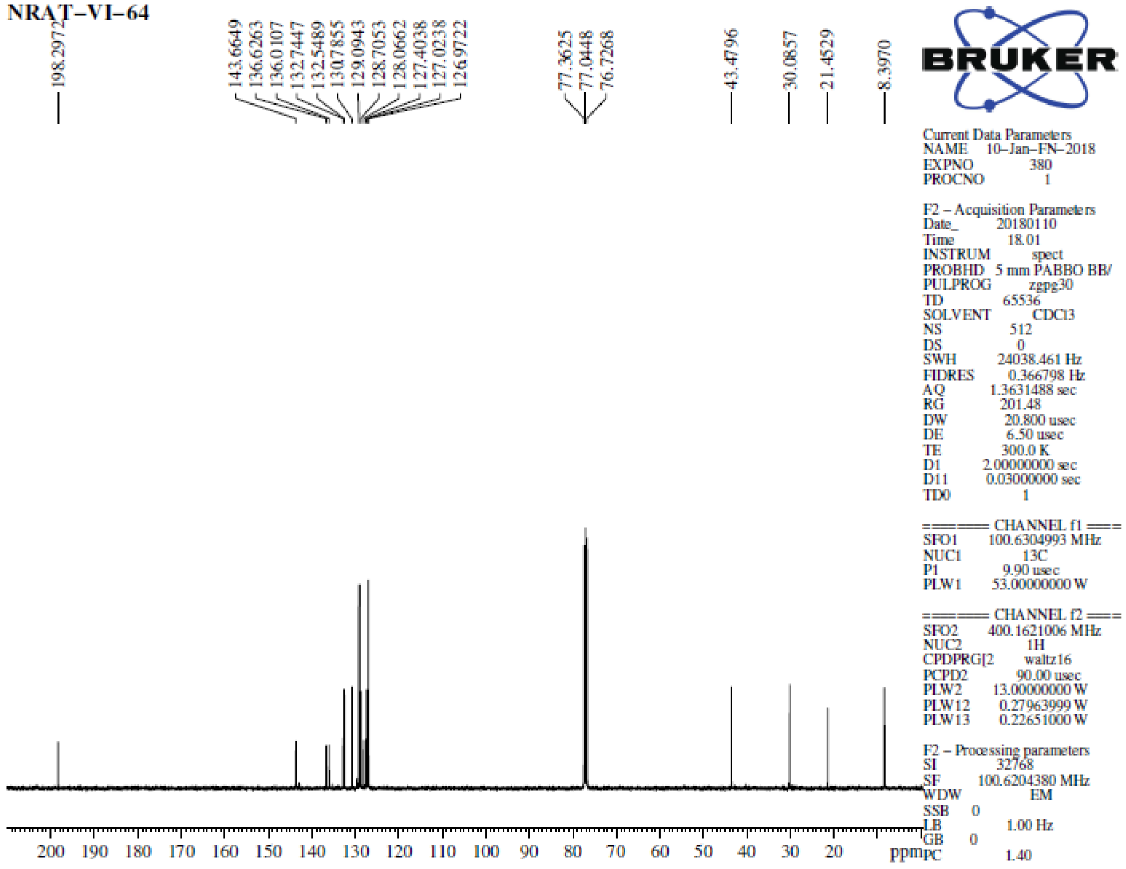

Figure 28: ^{13}C NMR spectrum of 2p

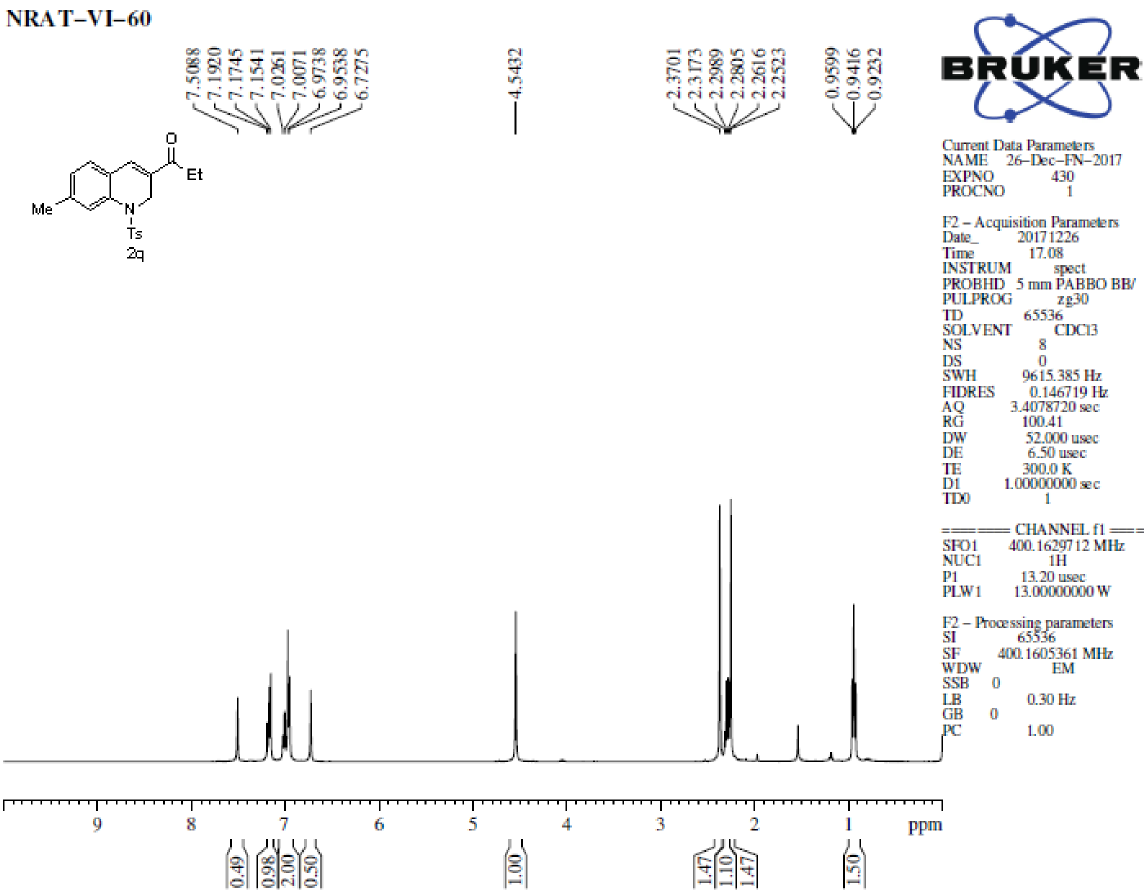

Figure 29: ^{1}H NMR spectrum of 2q

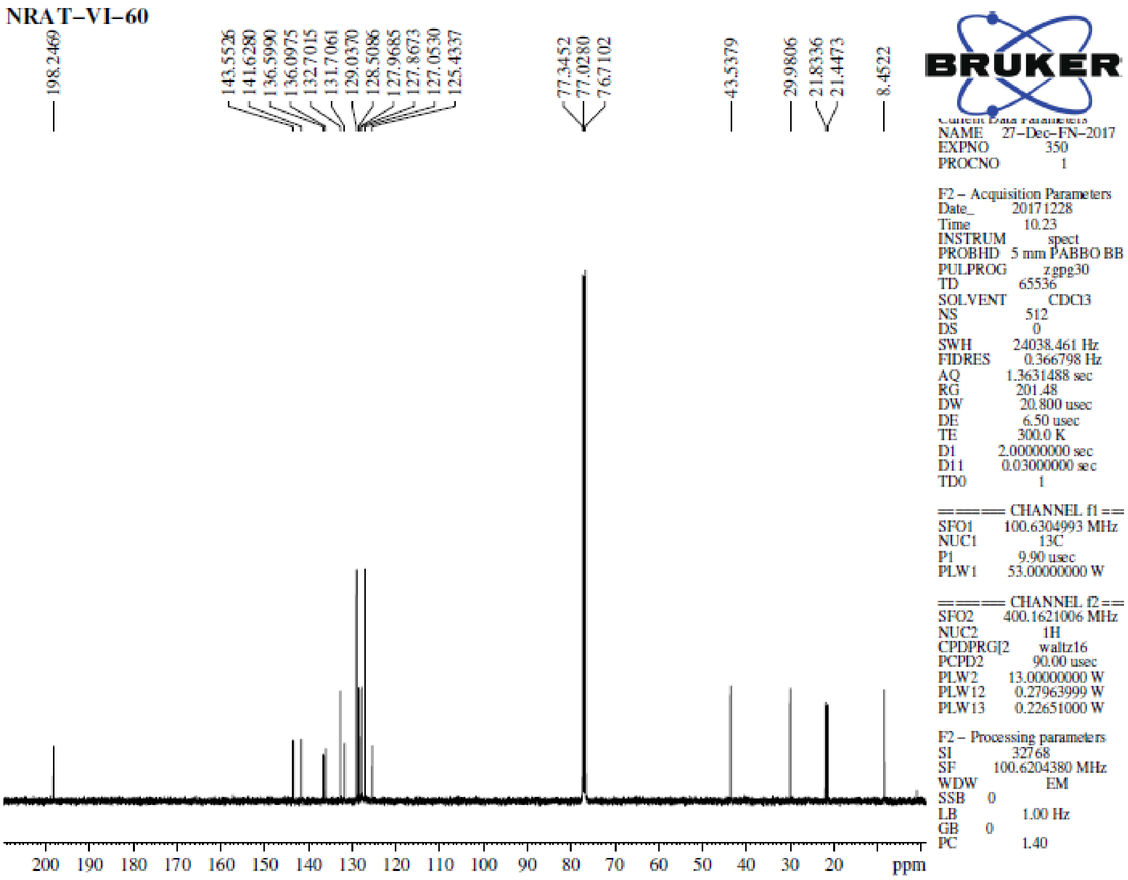

Figure 30: ^{13}C NMR spectrum of 2q

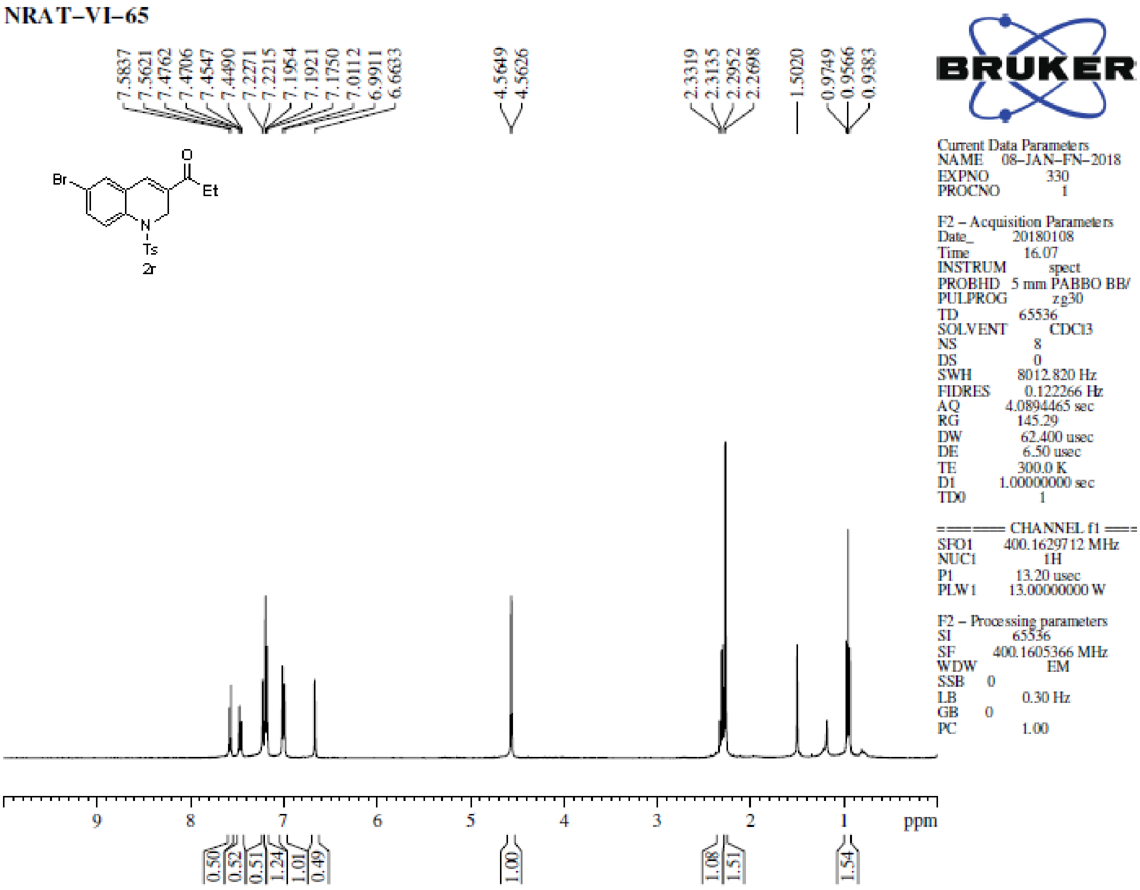

Figure 31: ^{1}H NMR spectrum of 2r

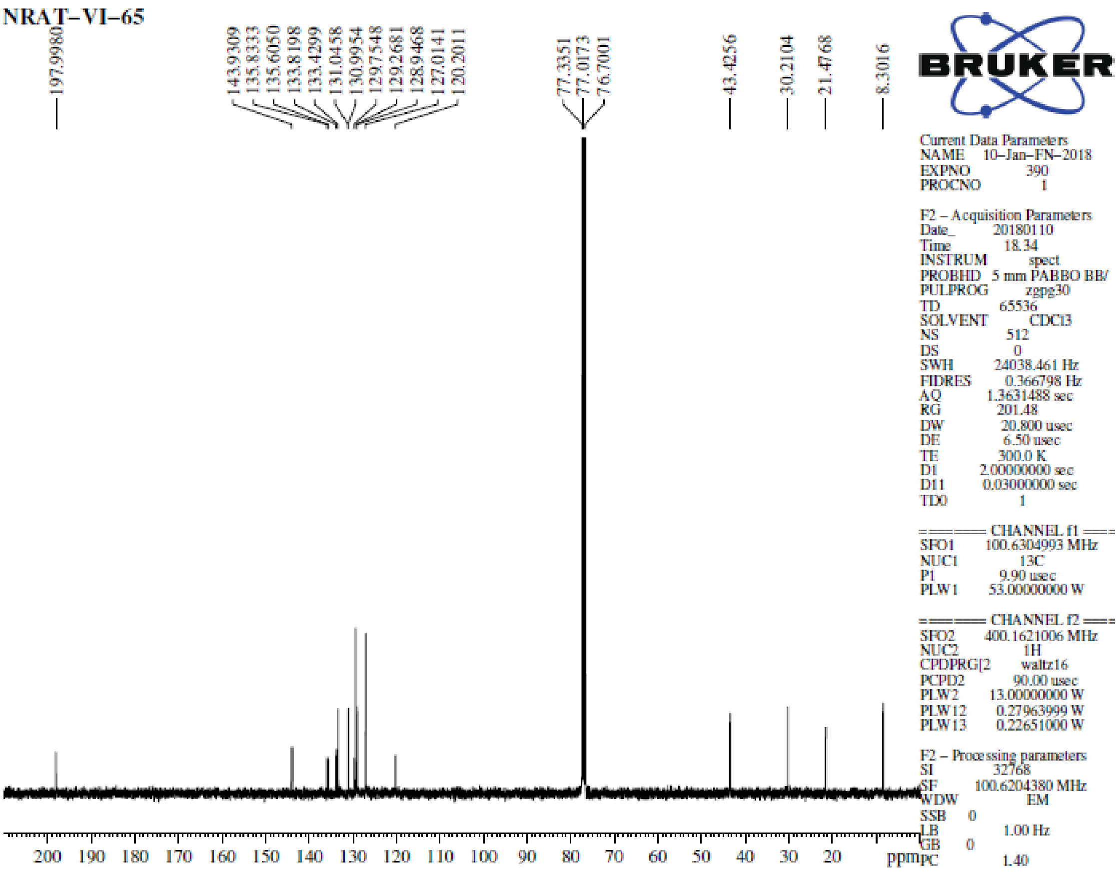

Figure 32: ^{13}C NMR spectrum of 2r

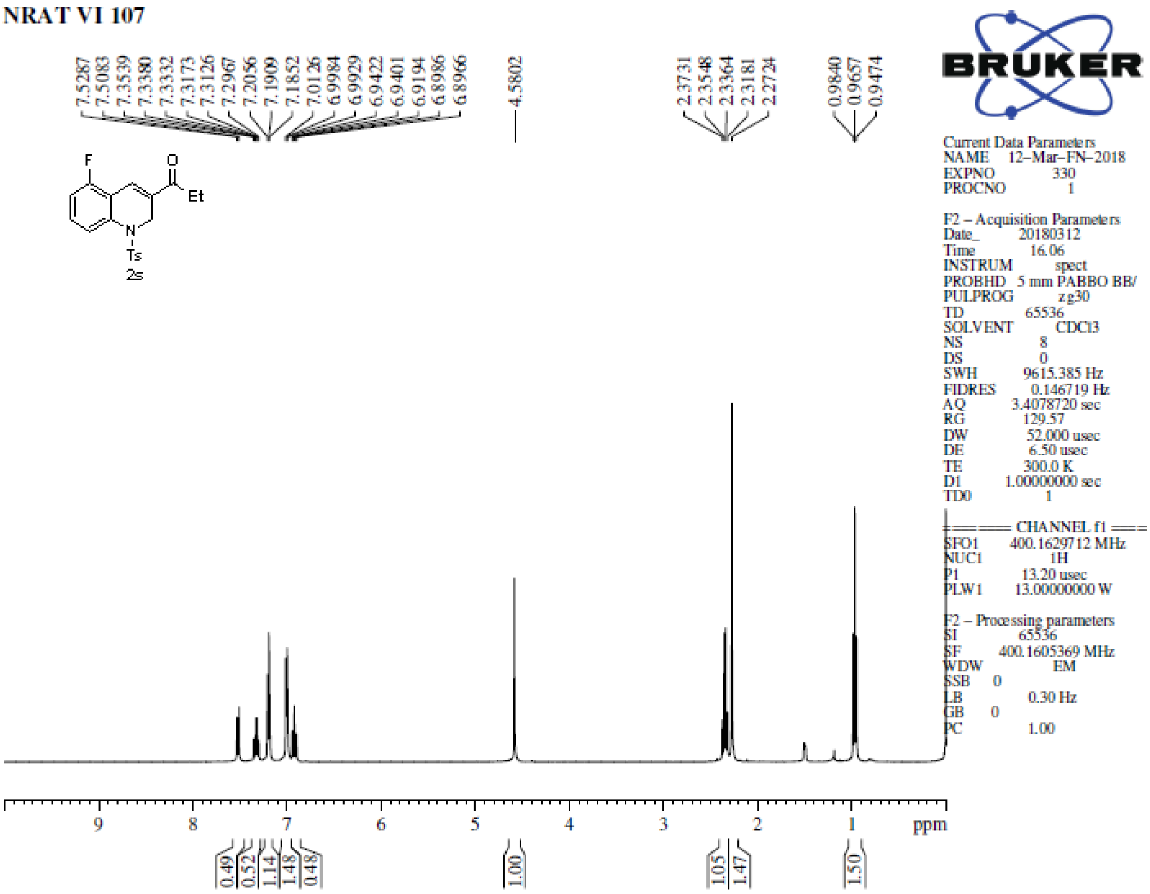

Figure 33: ^{1}H NMR spectrum of 2s

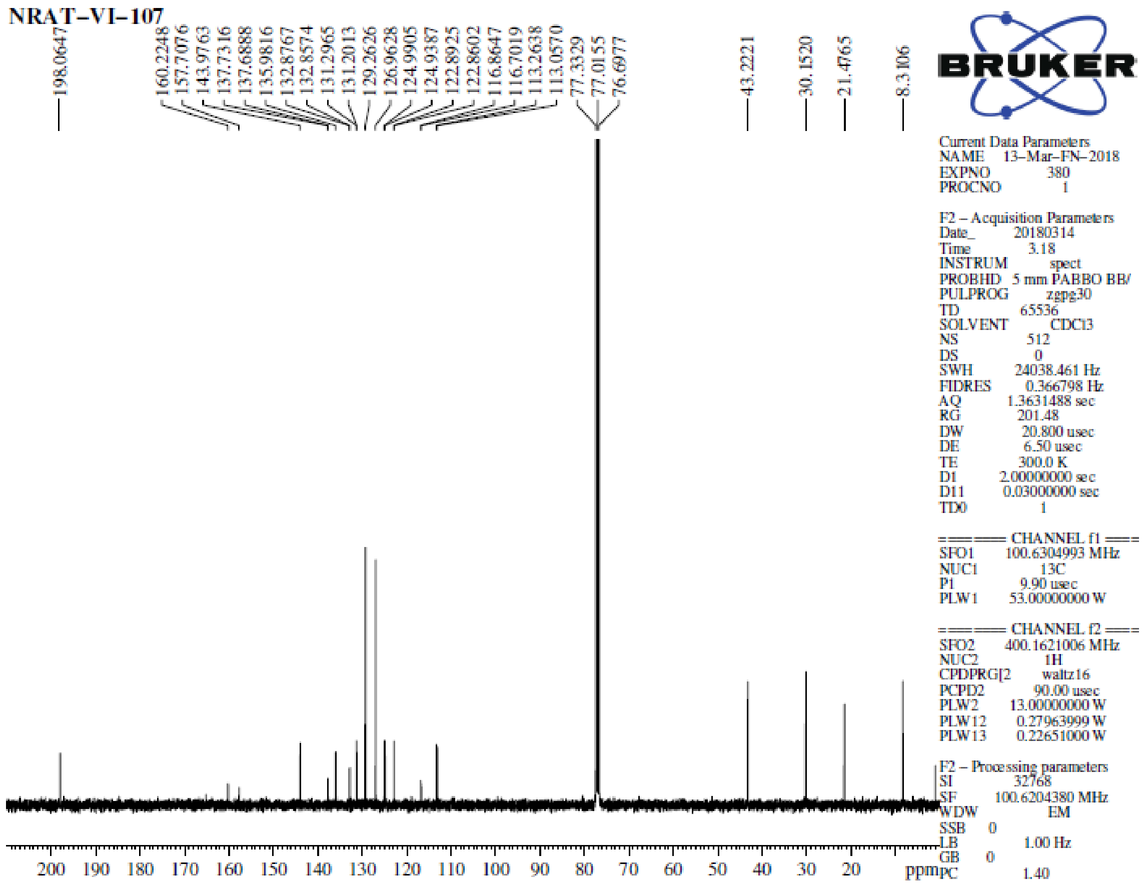

Figure 34: ^{13}C NMR spectrum of 2s

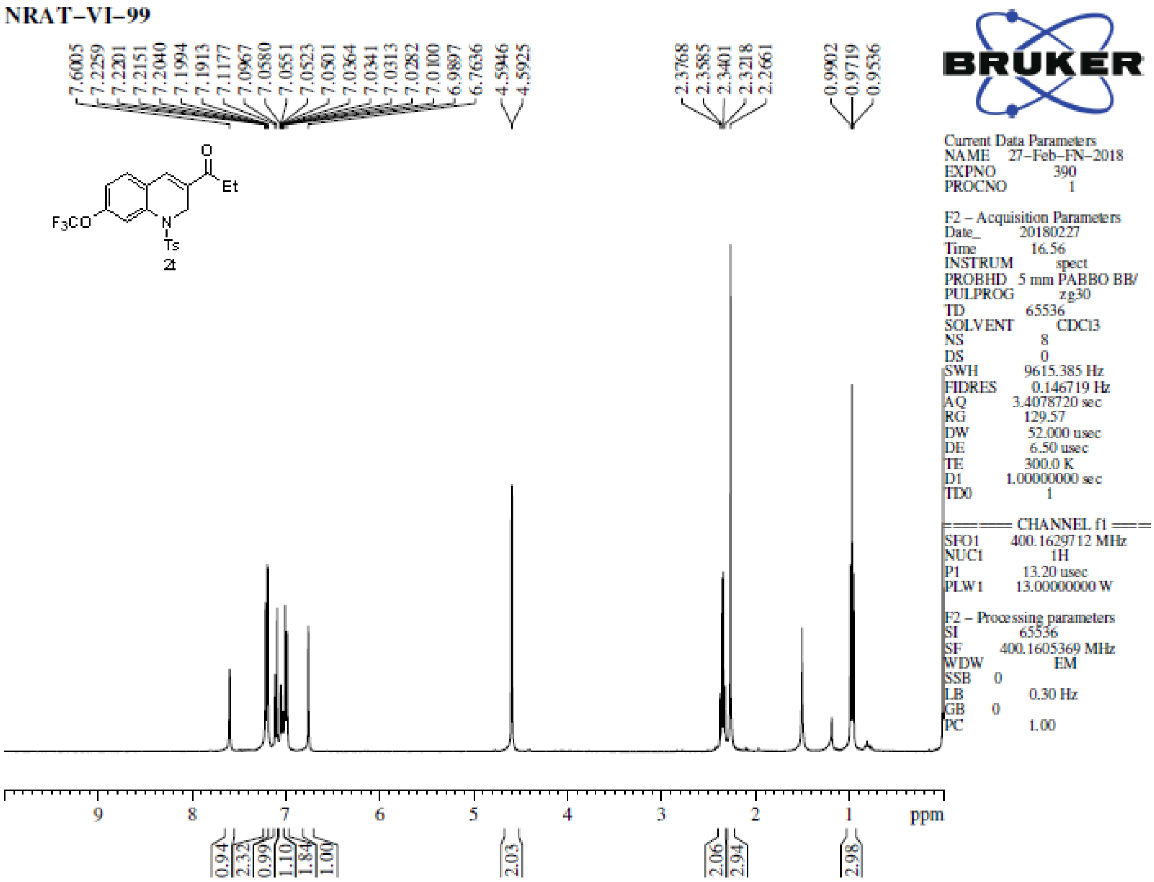

Figure 35: ^{1}H NMR spectrum of 2t

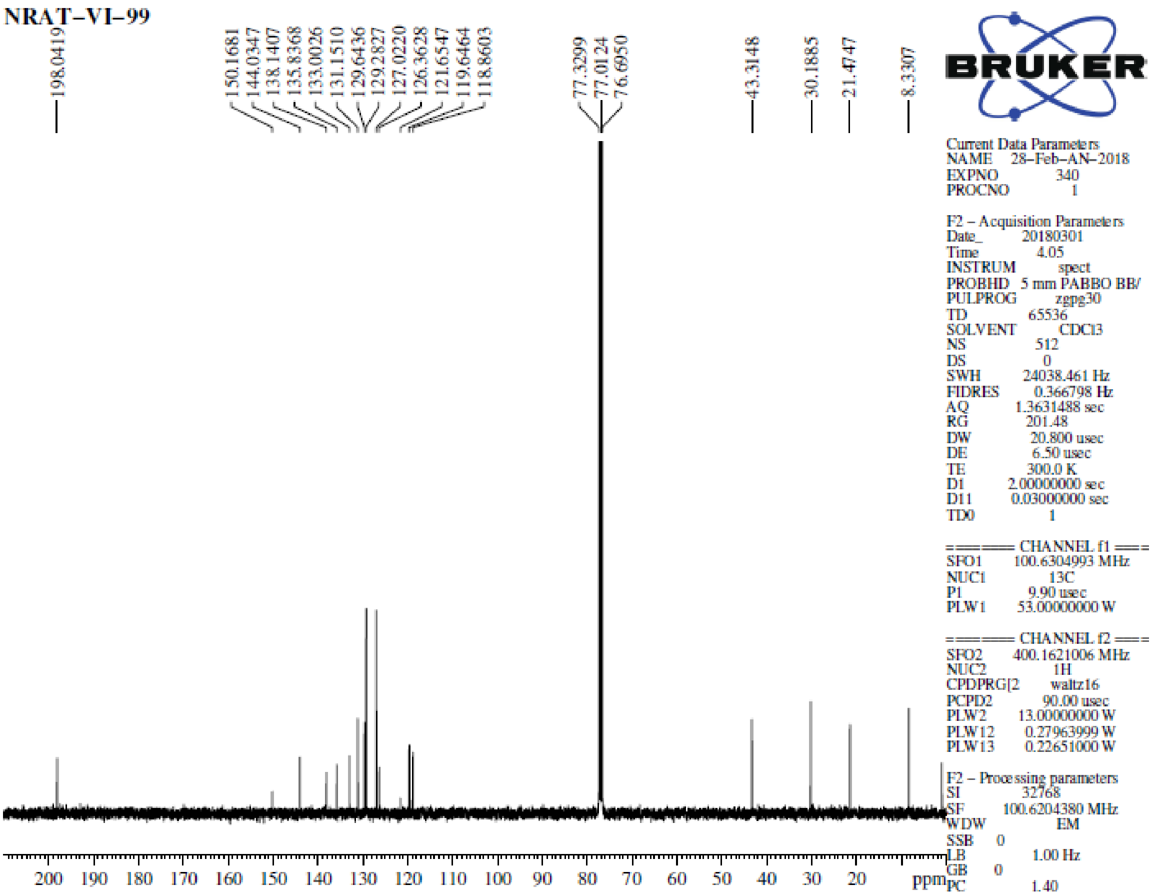

Figure 36: ^{13}C NMR spectrum of 2t

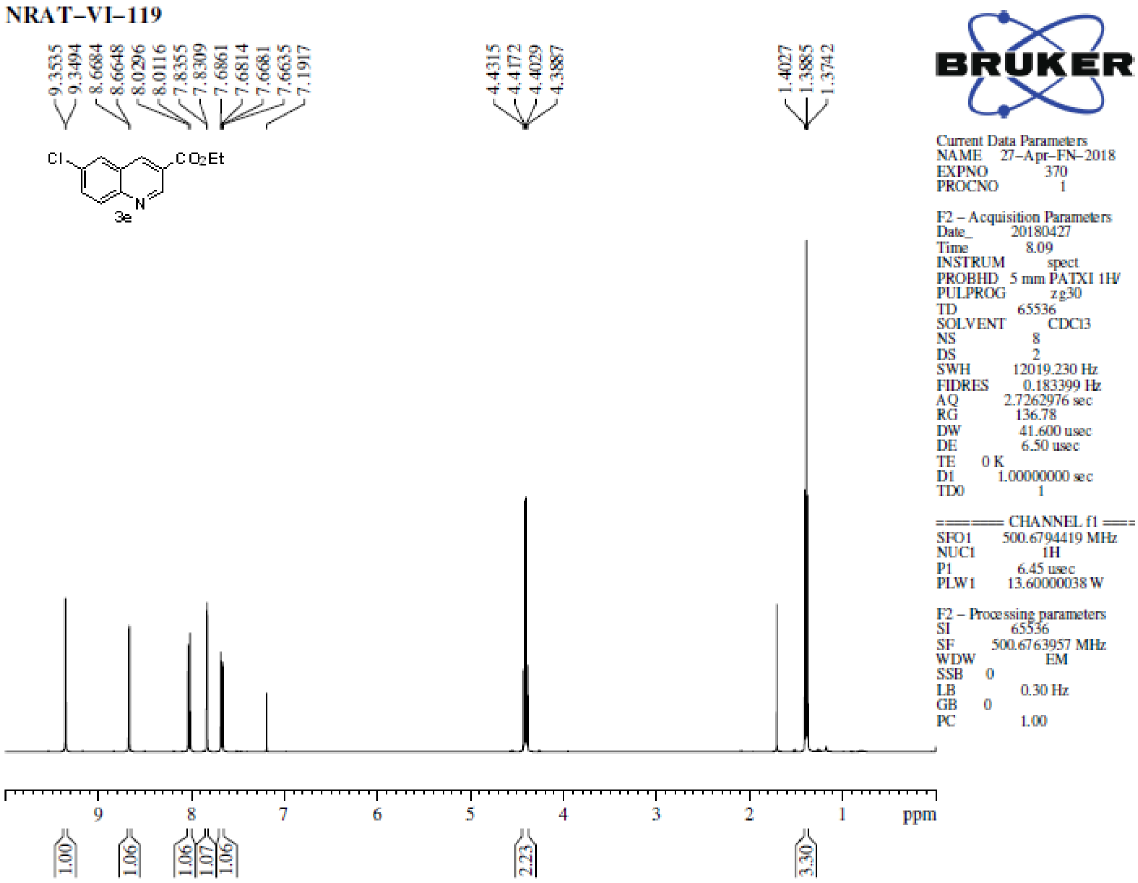

Figure 37: ^{1}H NMR spectrum of 3e

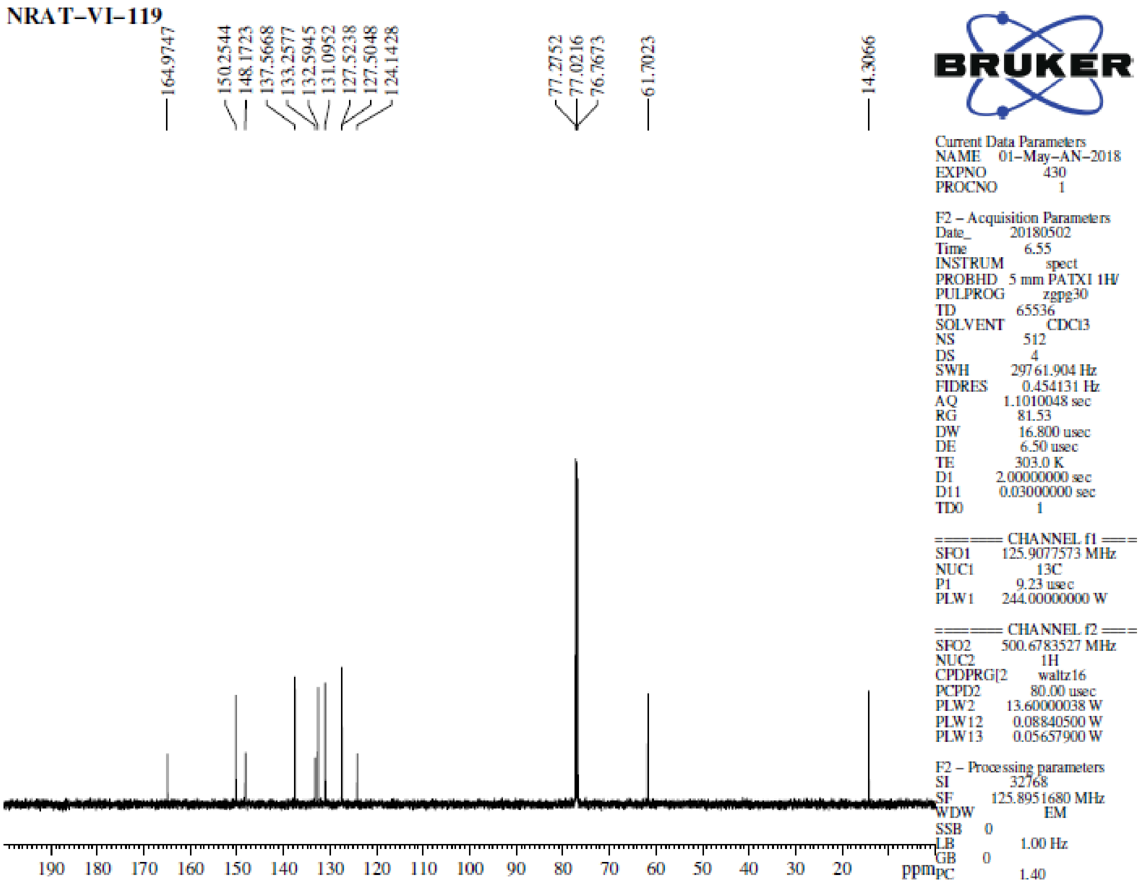

Figure 38: ^{13}C NMR spectrum of 3e

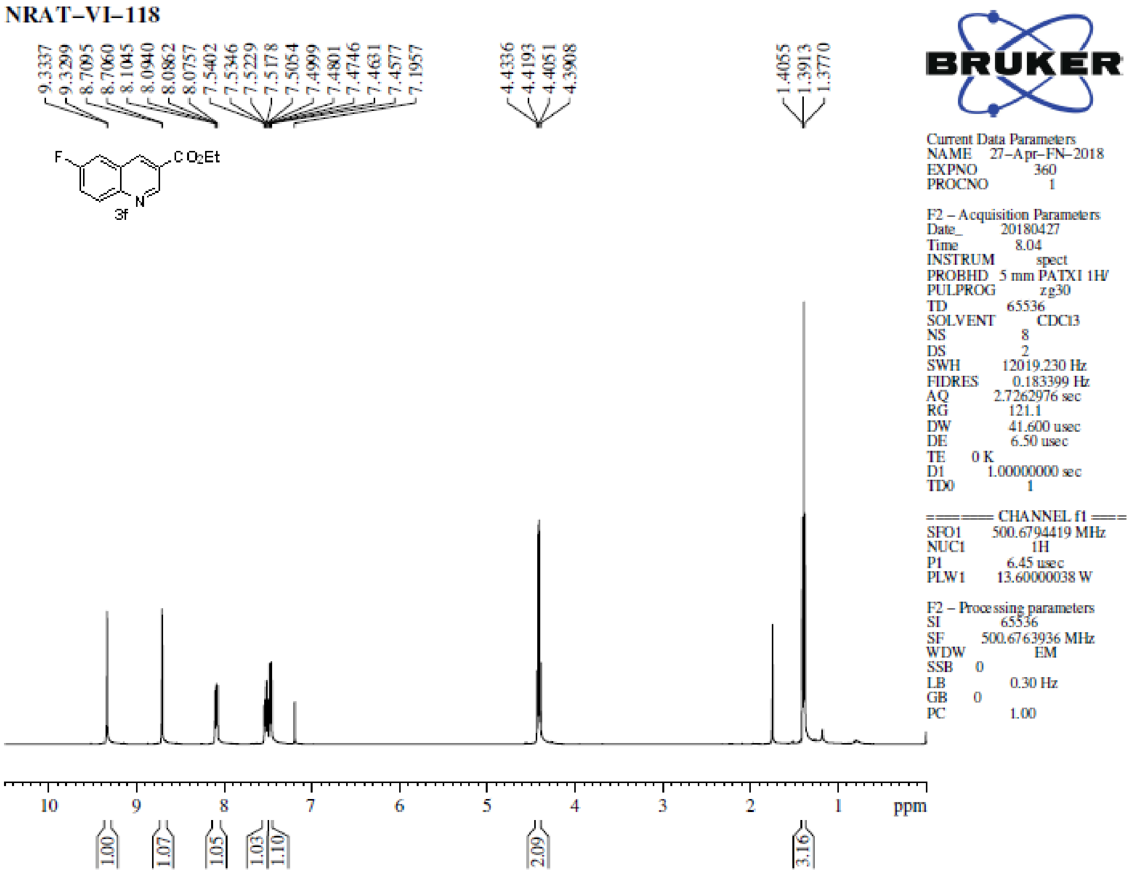

Figure 39: ^{1}H NMR spectrum of 3f

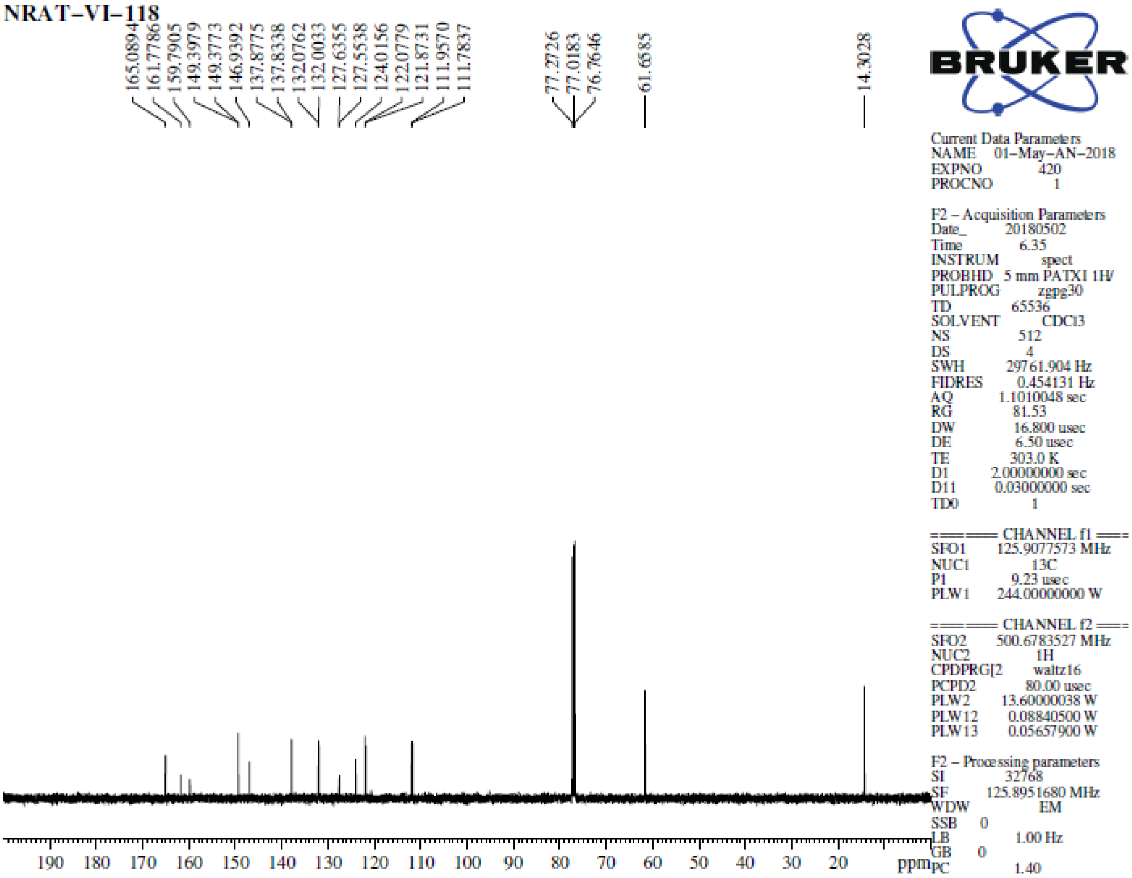

Figure 40: ^{13}C NMR spectrum of 3f

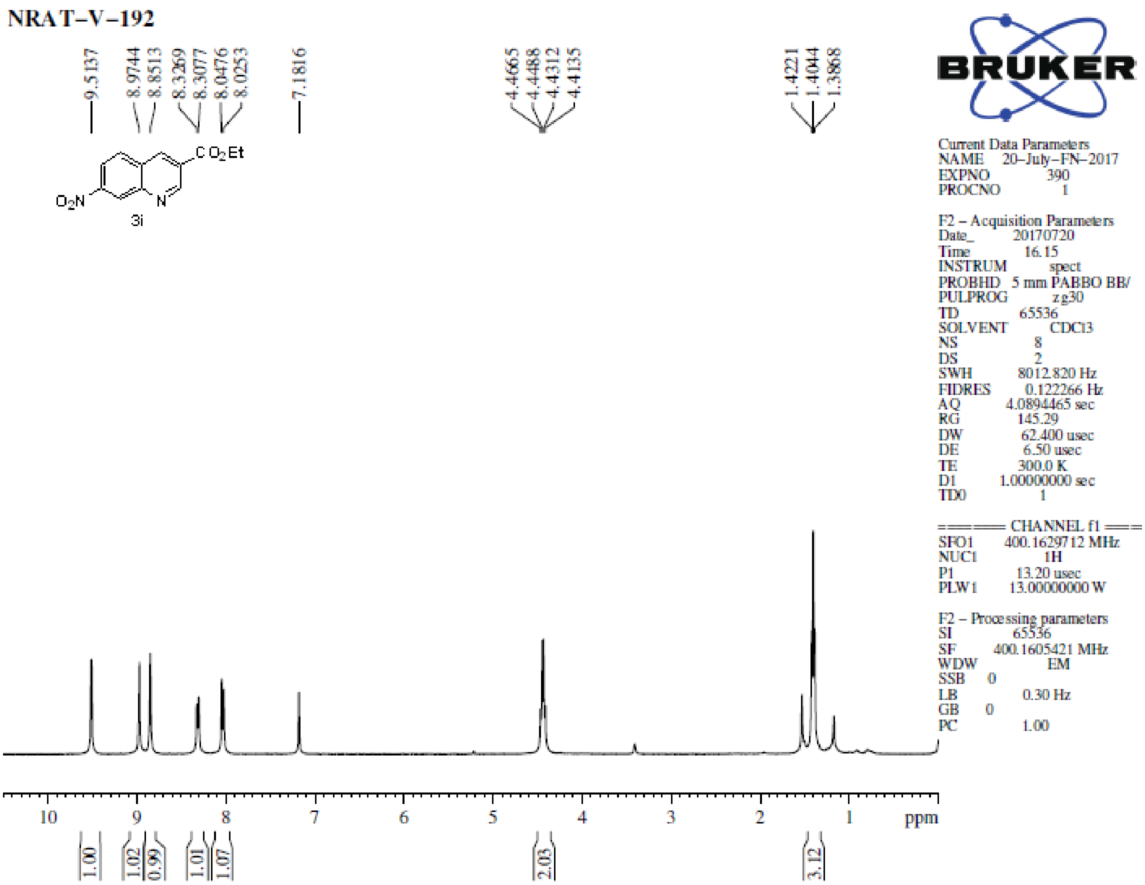

Figure 41: ^{1}H NMR spectrum of 3i

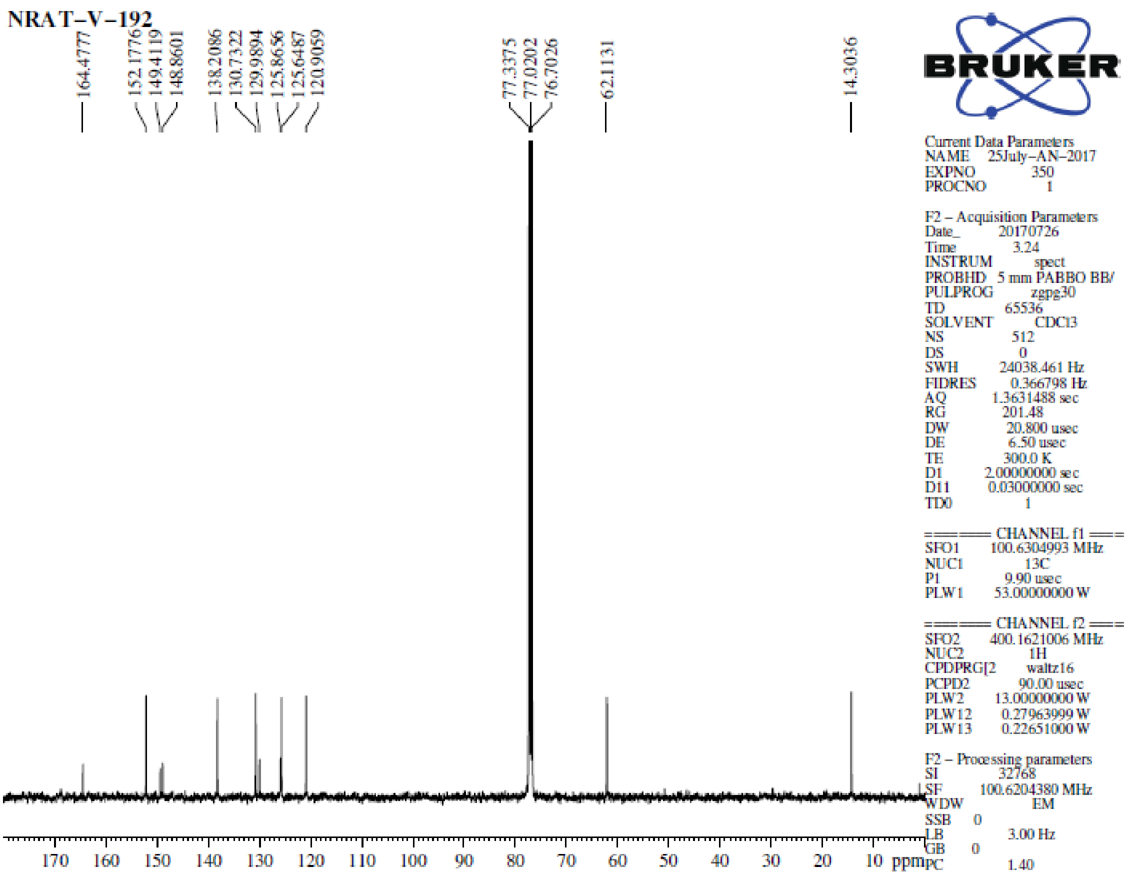

Figure 42: ^{13}C NMR spectrum of 3i

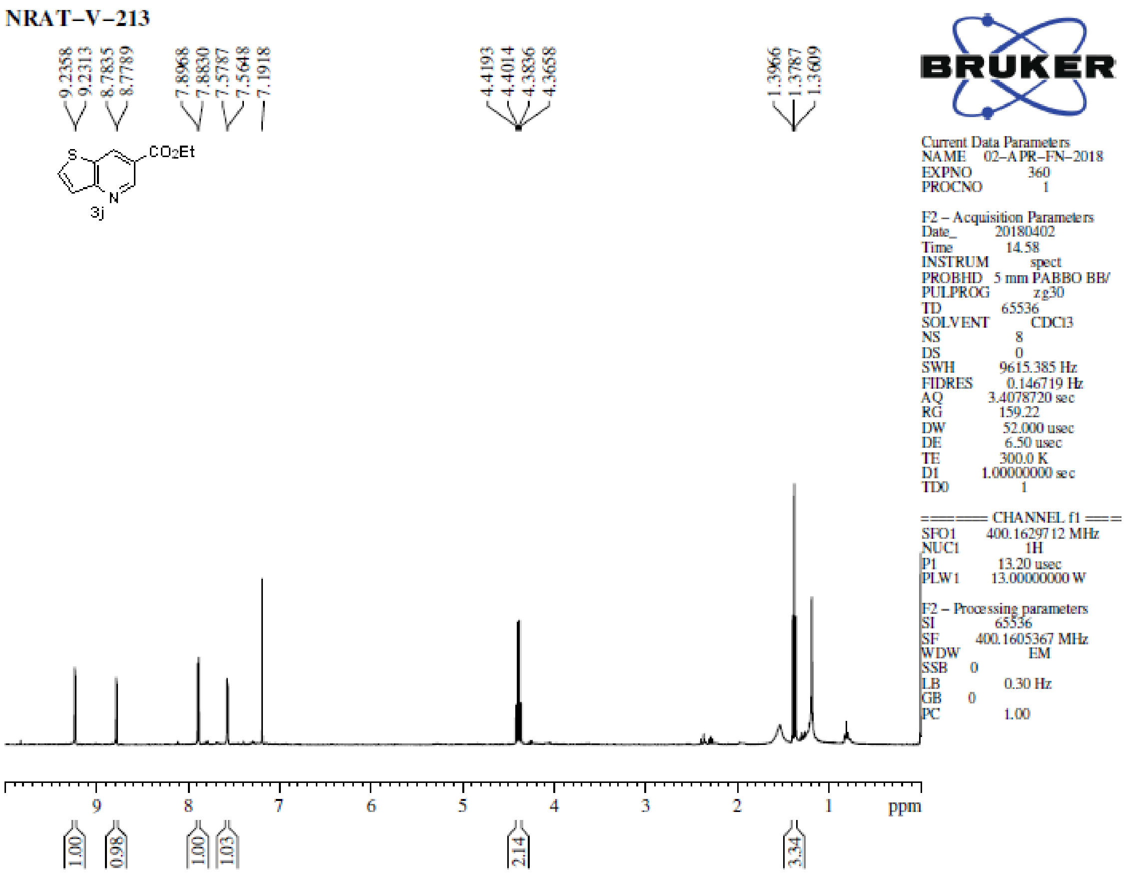

Figure 43: ^{1}H NMR spectrum of 3j

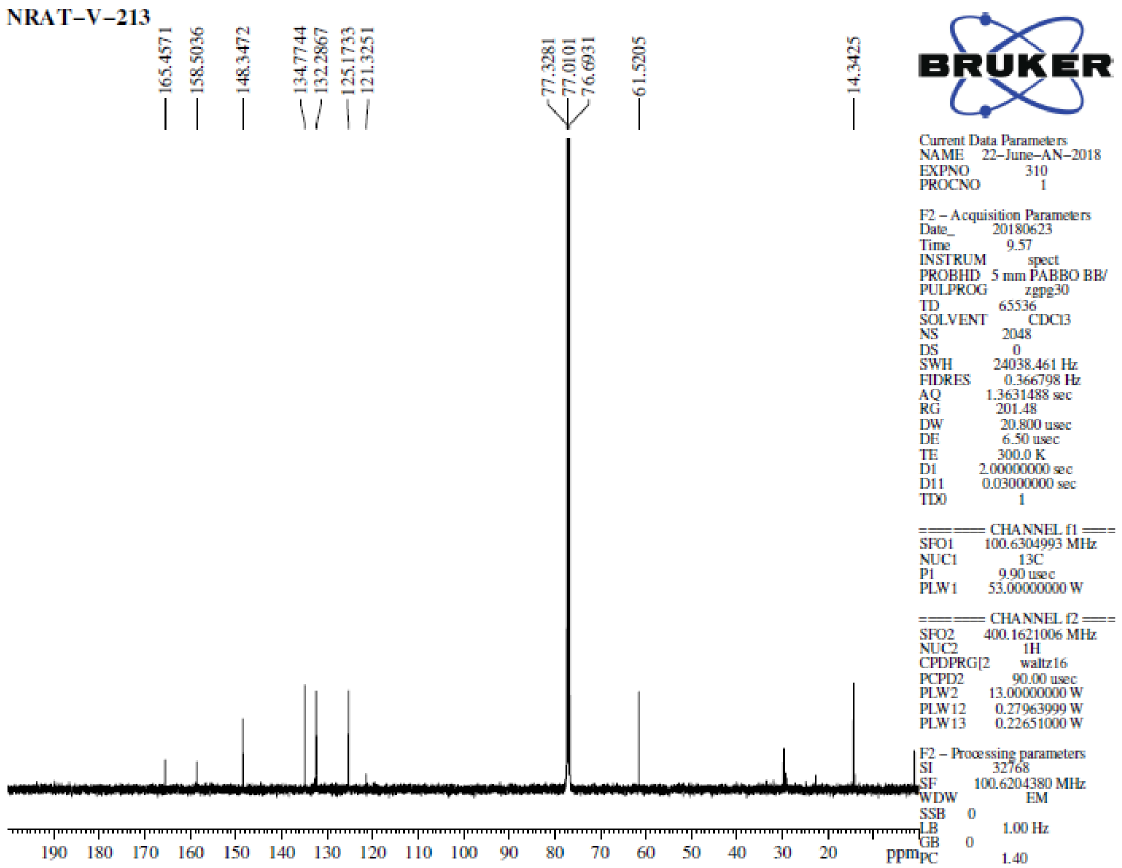

Figure 44: ^{13}C NMR spectrum of 3j

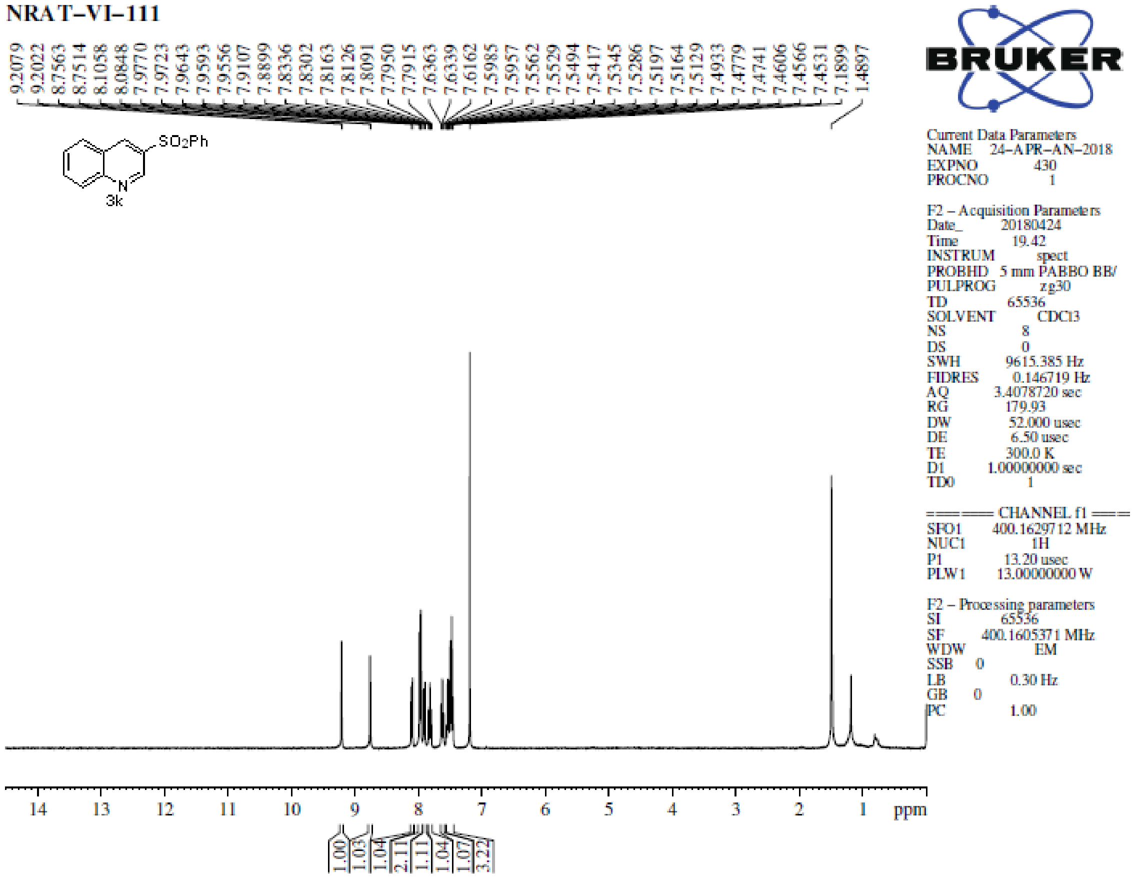

Figure 45: ^{1}H NMR spectrum of 3k

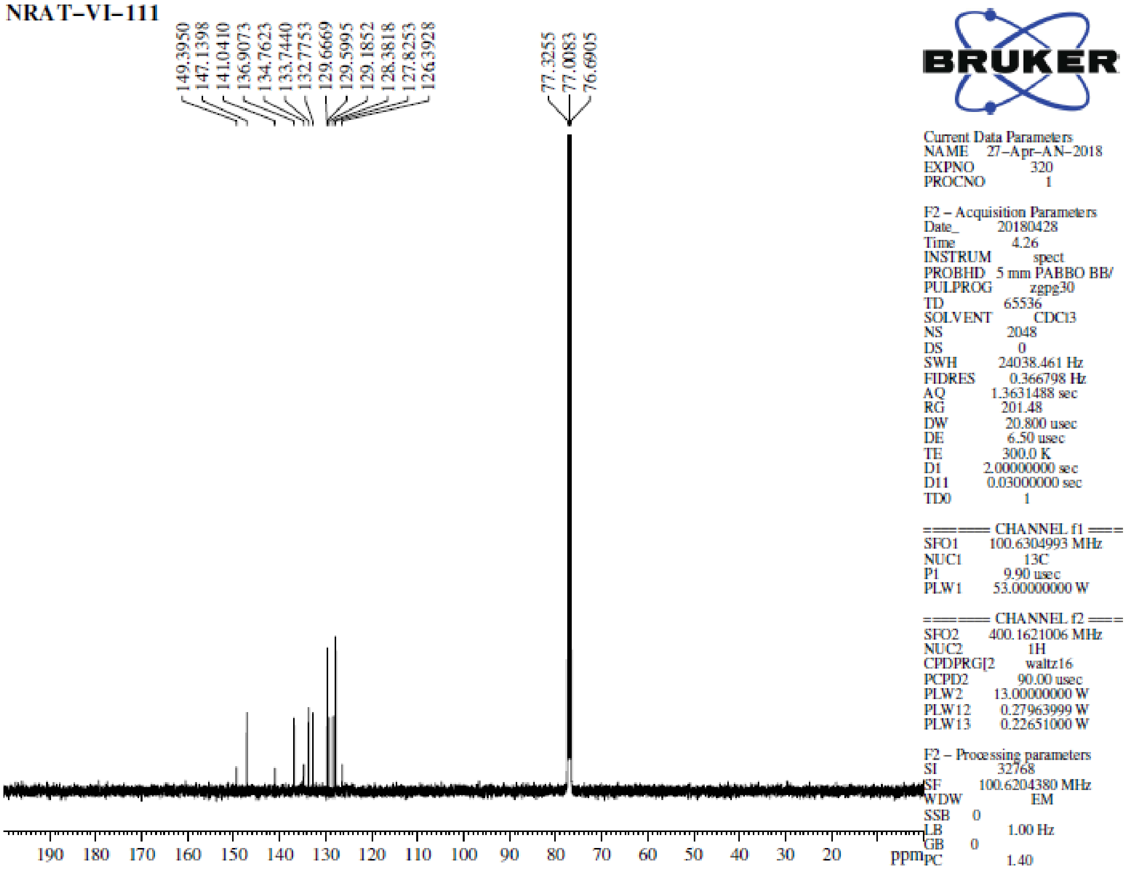

Figure 46: ^{13}C NMR spectrum of 3k

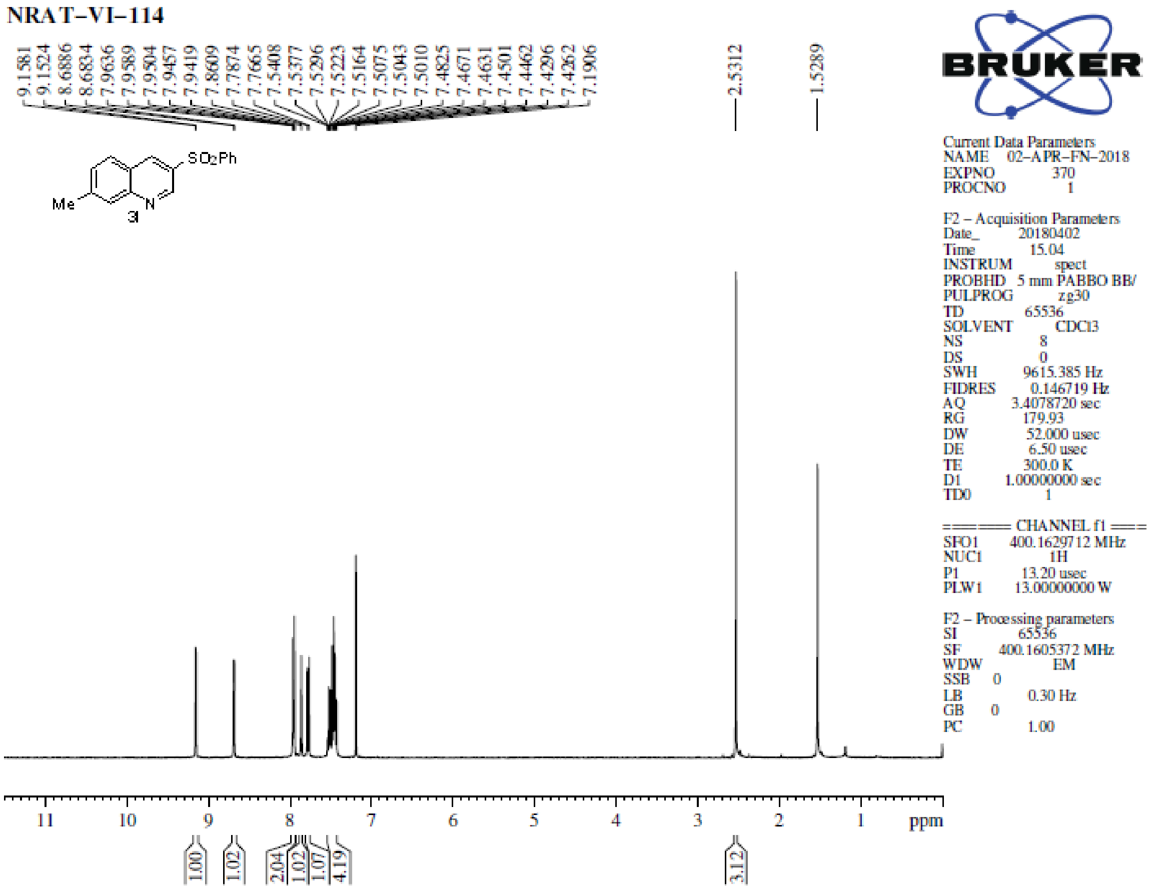

Figure 47: ^{1}H NMR spectrum of 3l

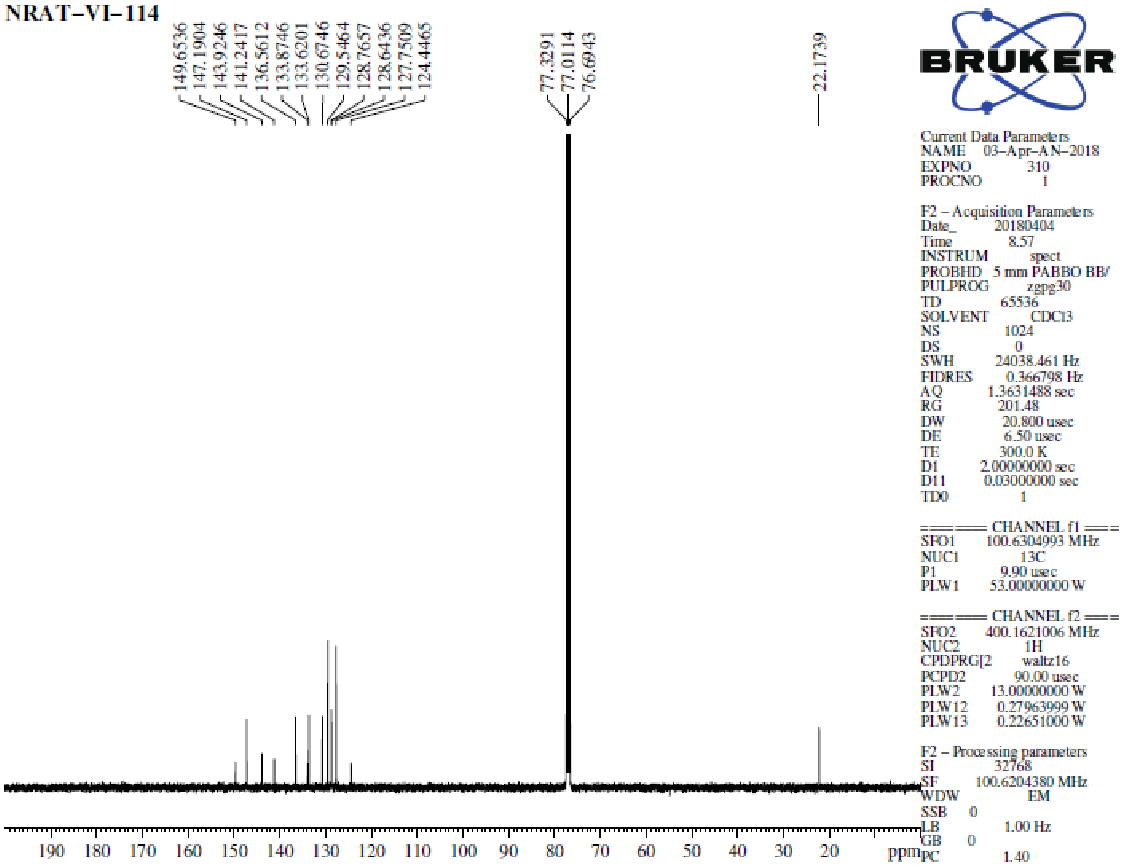

Figure 48: ^{13}C NMR spectrum of 3l

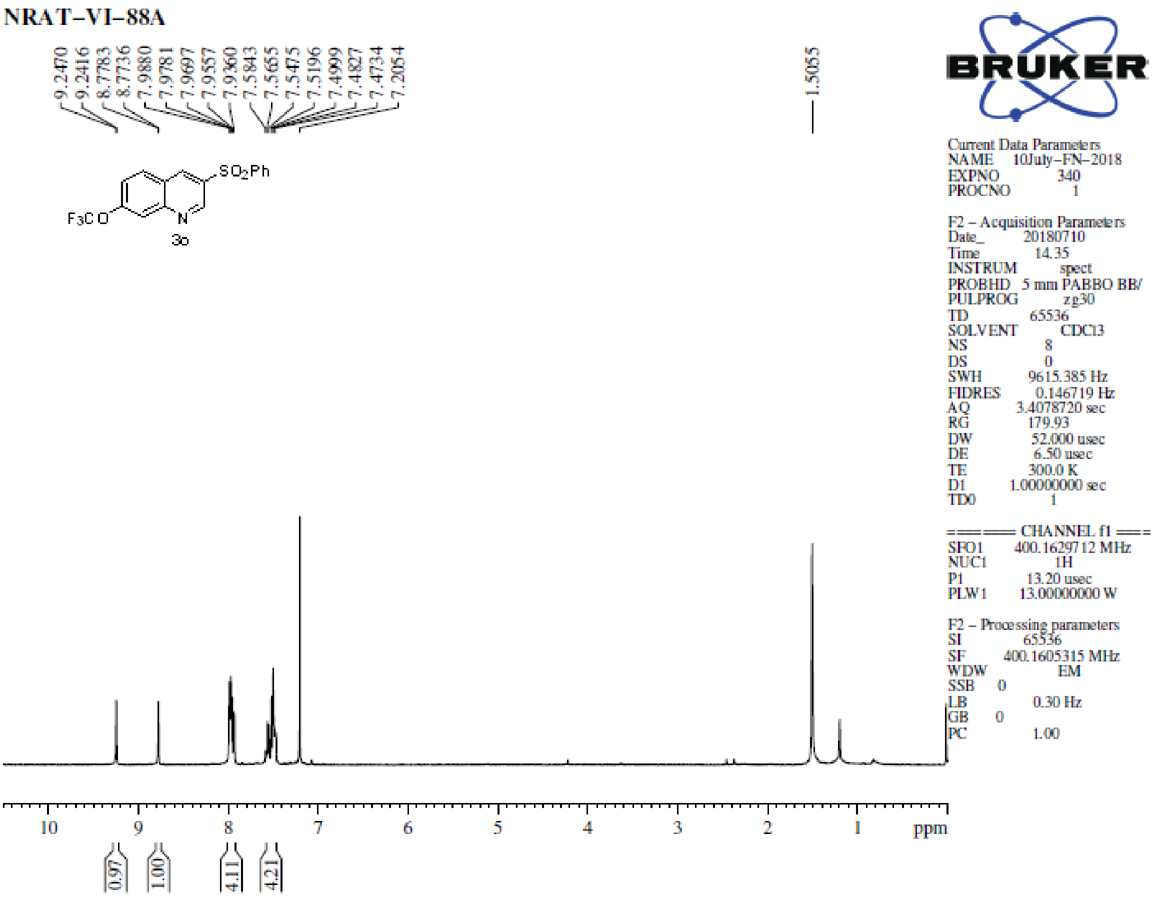

Figure 49: ^{1}H NMR spectrum of 3o

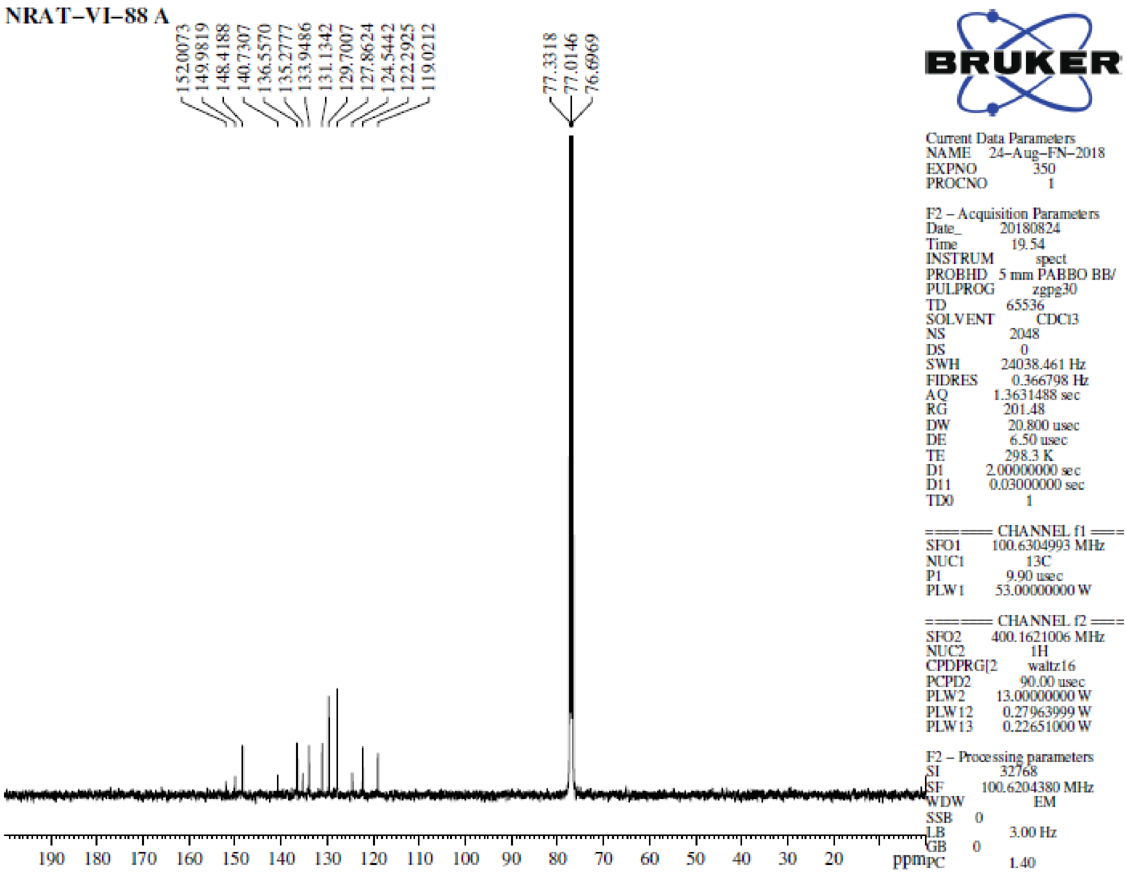

Figure 50: ^{13}C NMR spectrum of 3o

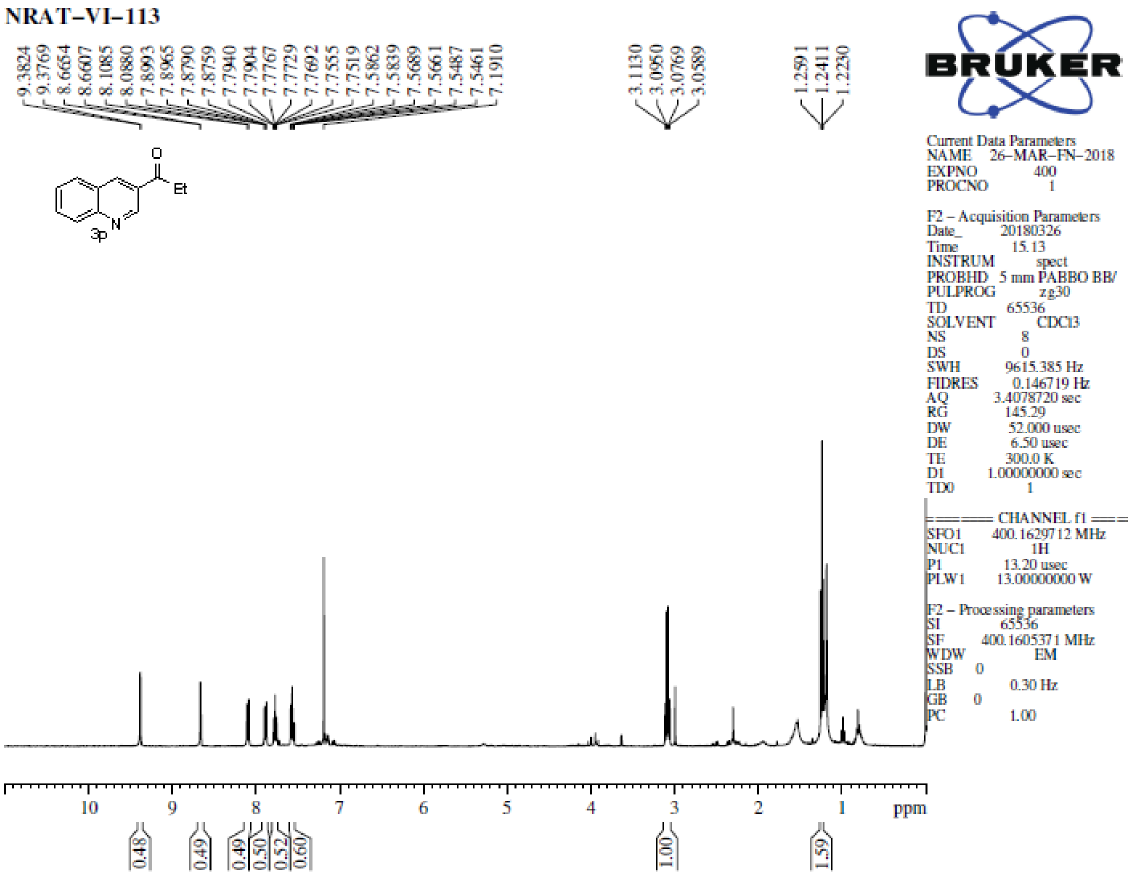

Figure 51: ^{1}H NMR spectrum of 3p

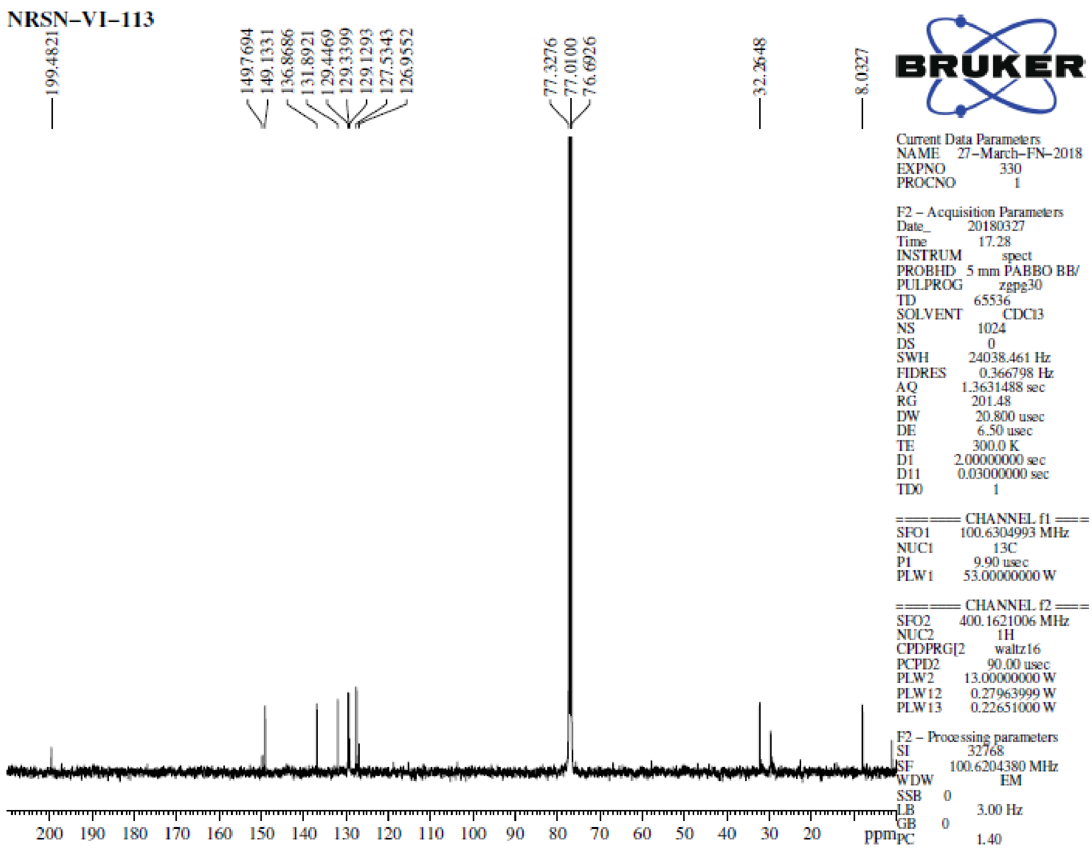

Figure 52: ^{13}C NMR spectrum of 3p

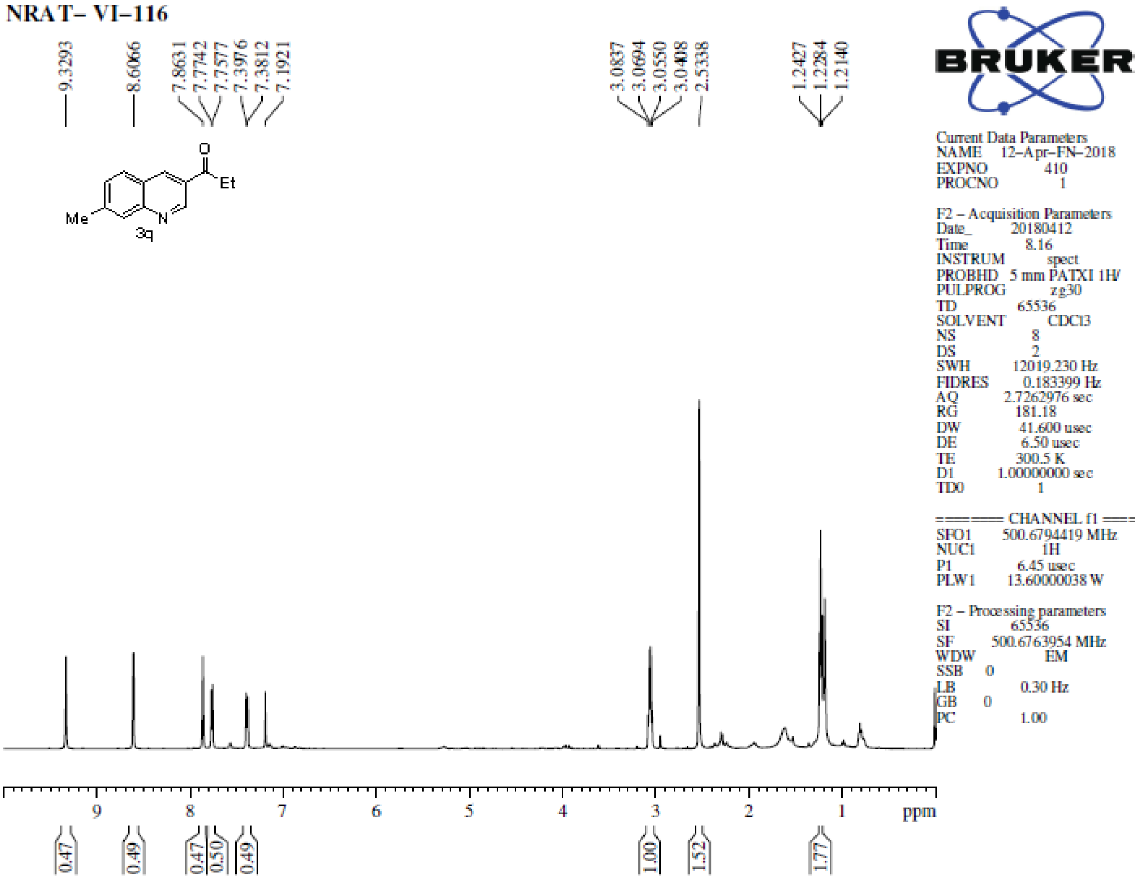

Figure 53: ^{1}H NMR spectrum of 3q

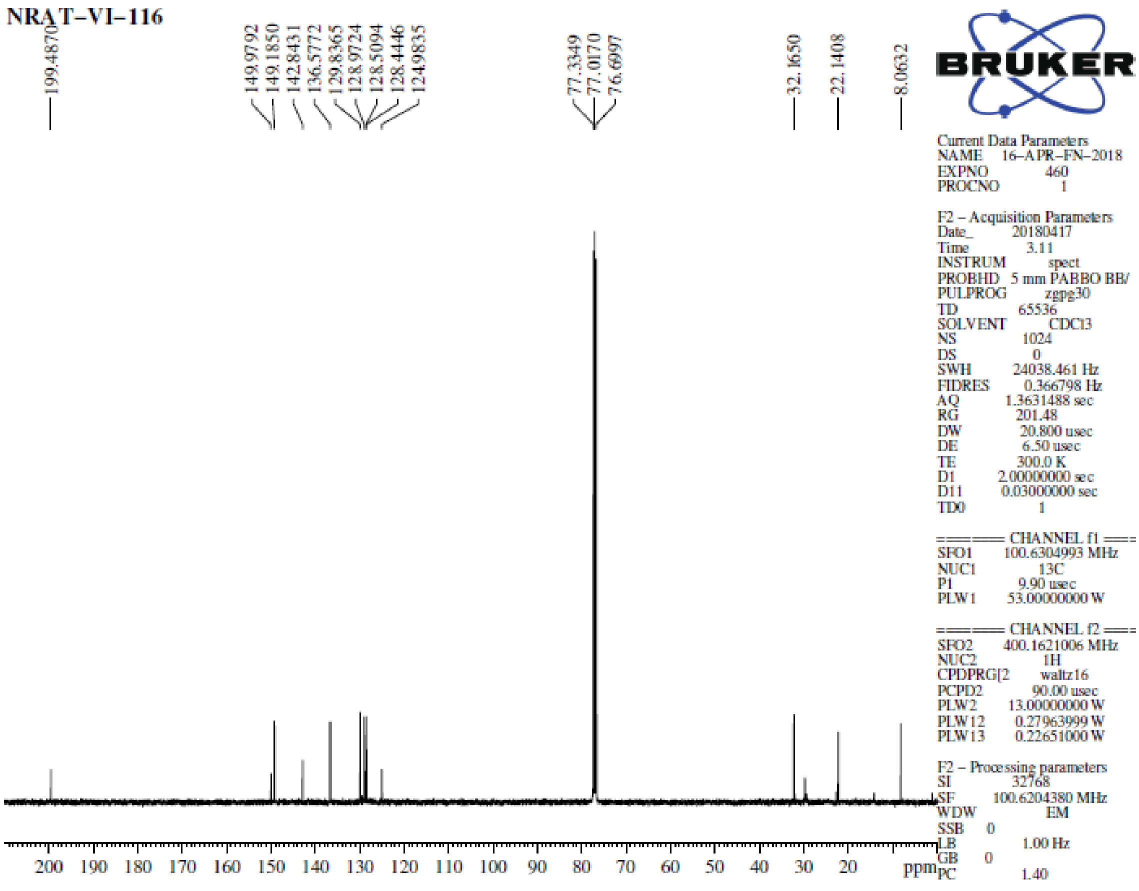

Figure 54: ^{13}C NMR spectrum of 3q

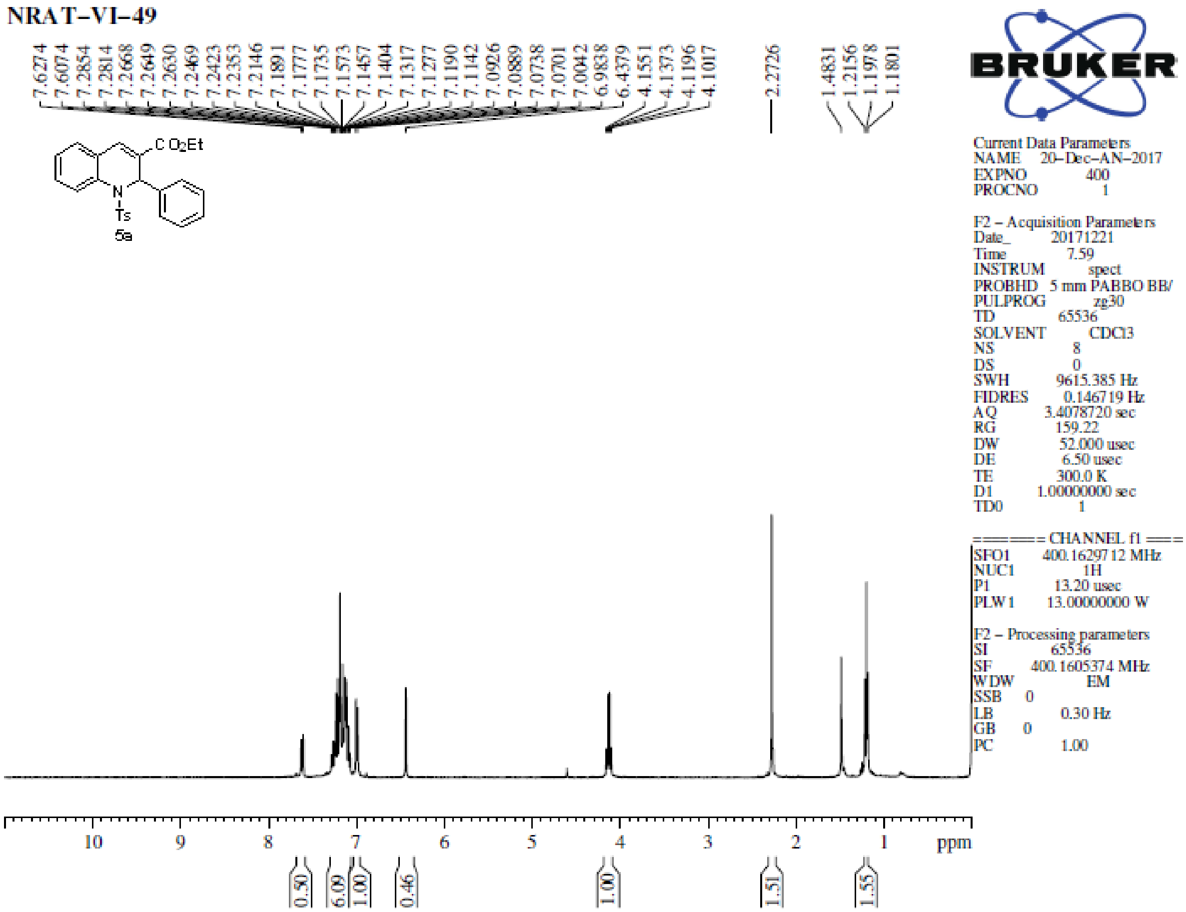

Figure 55: ^{1}H NMR spectrum of 5a

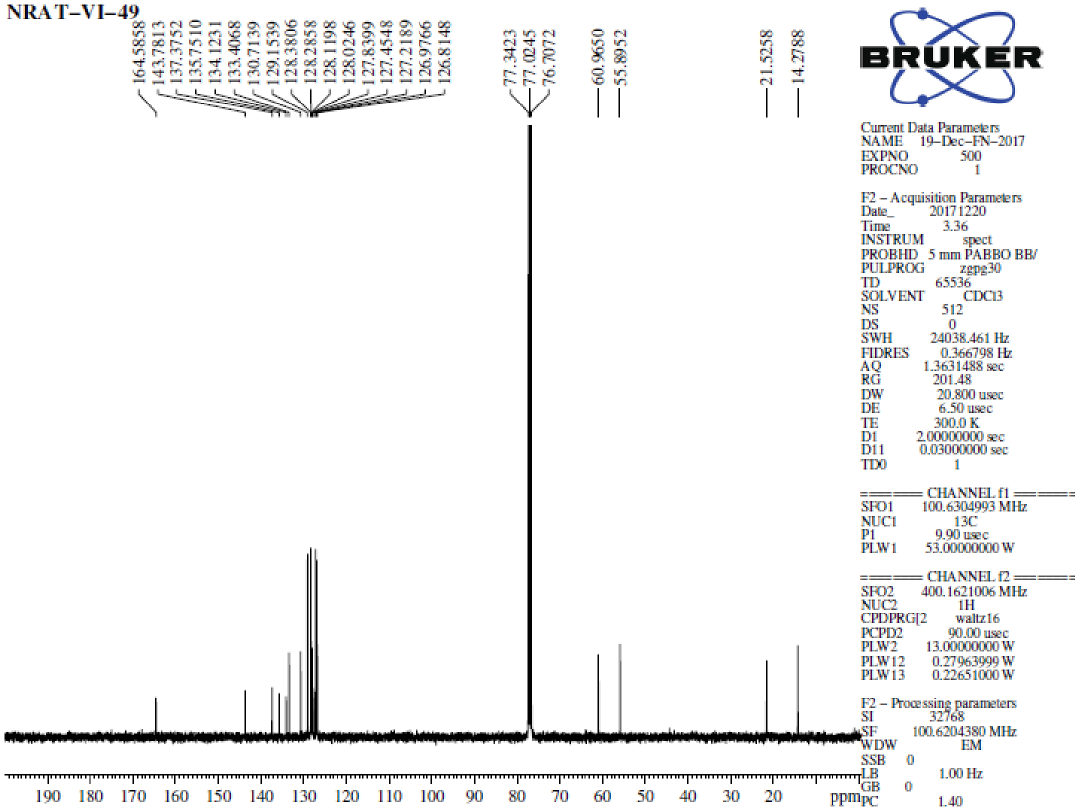

Figure 56: ^{13}C NMR spectrum of 5a

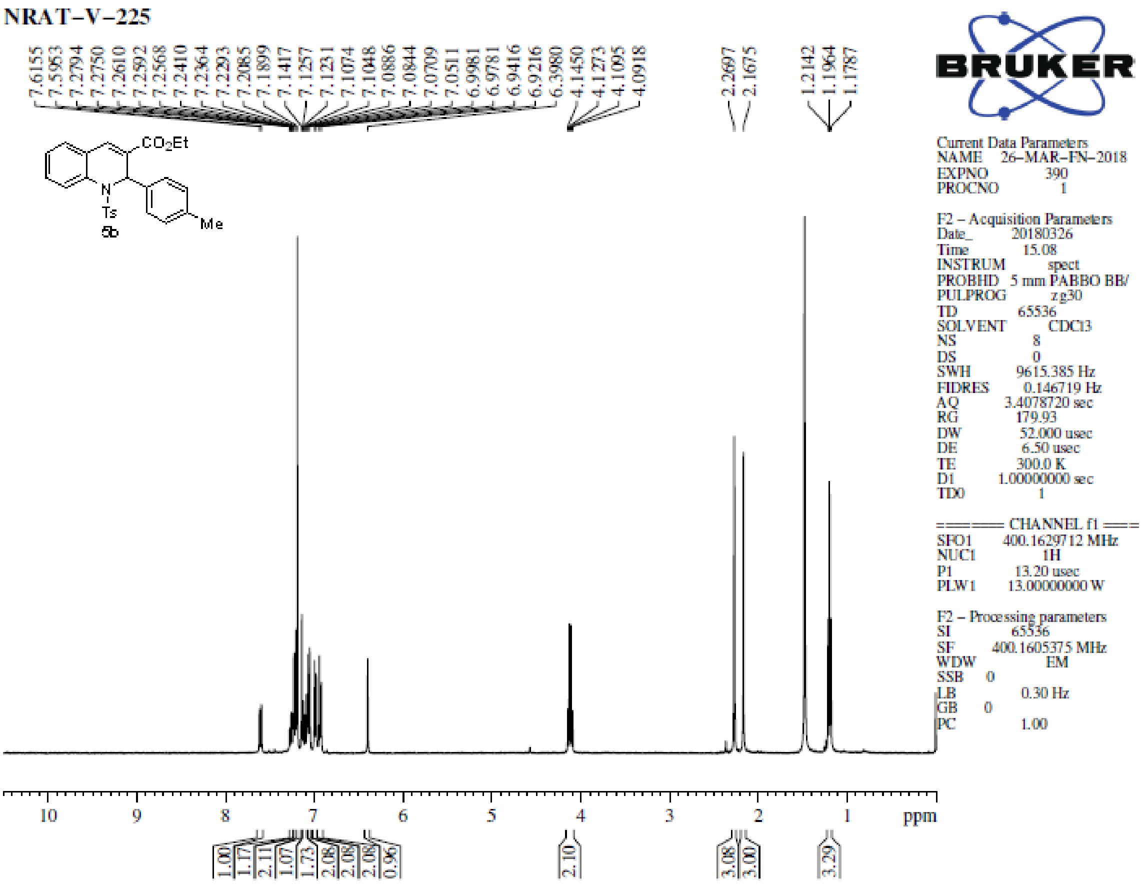

Figure 57: ^{1}H NMR spectrum of 5b

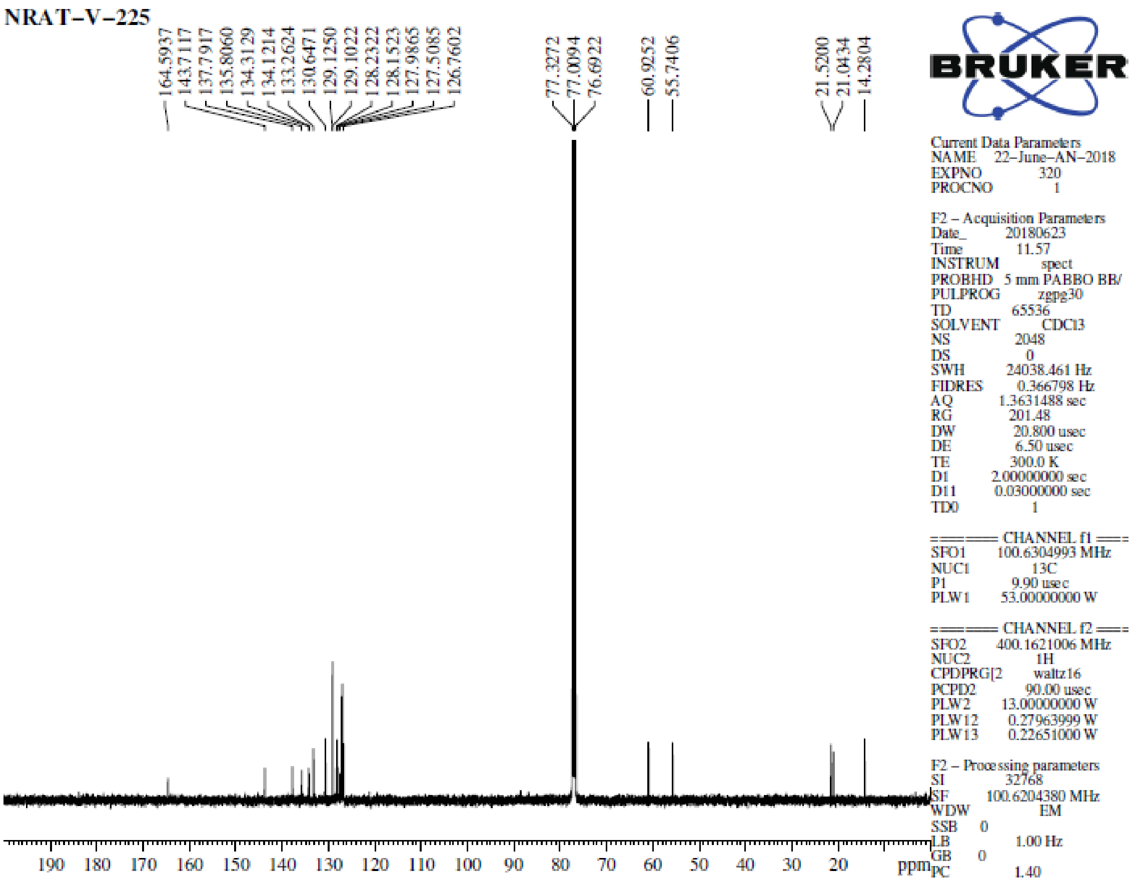

Figure 58: ^{13}C NMR spectrum of 5b

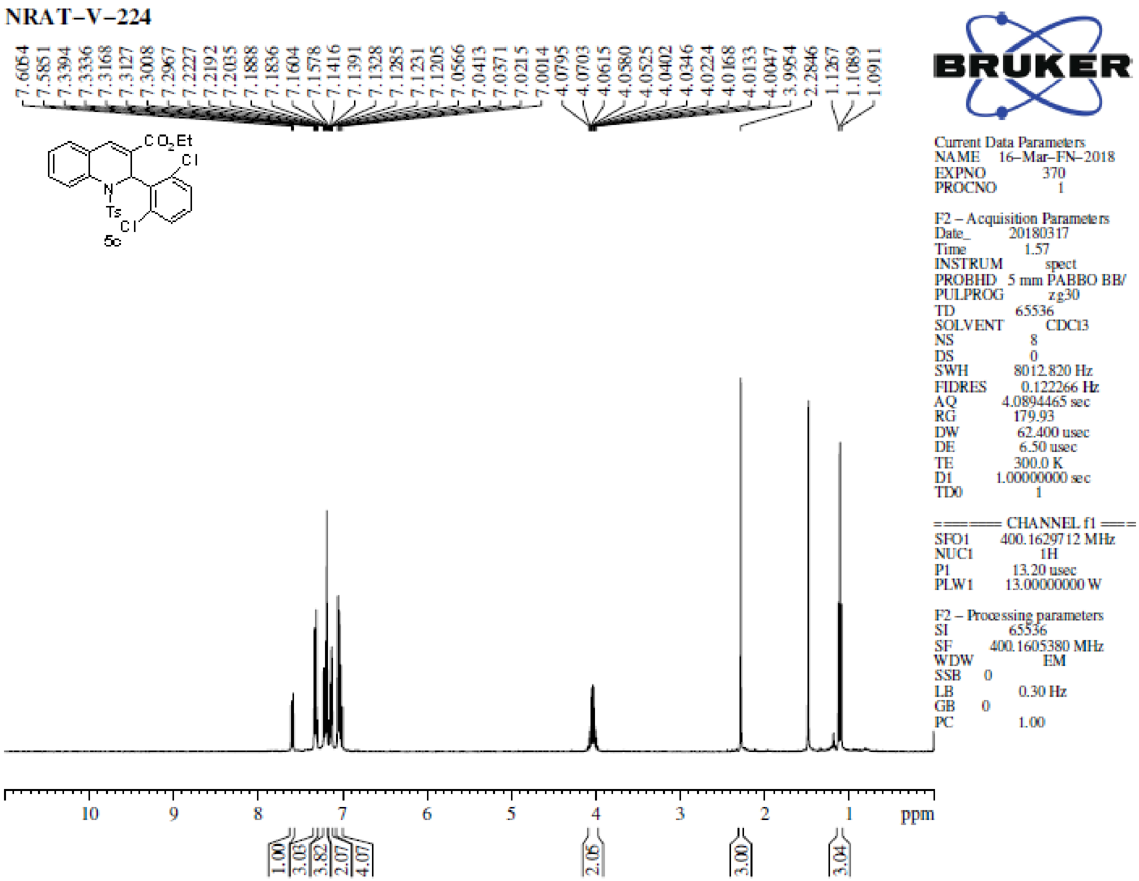

Figure 59: ^{1}H NMR spectrum of 5c

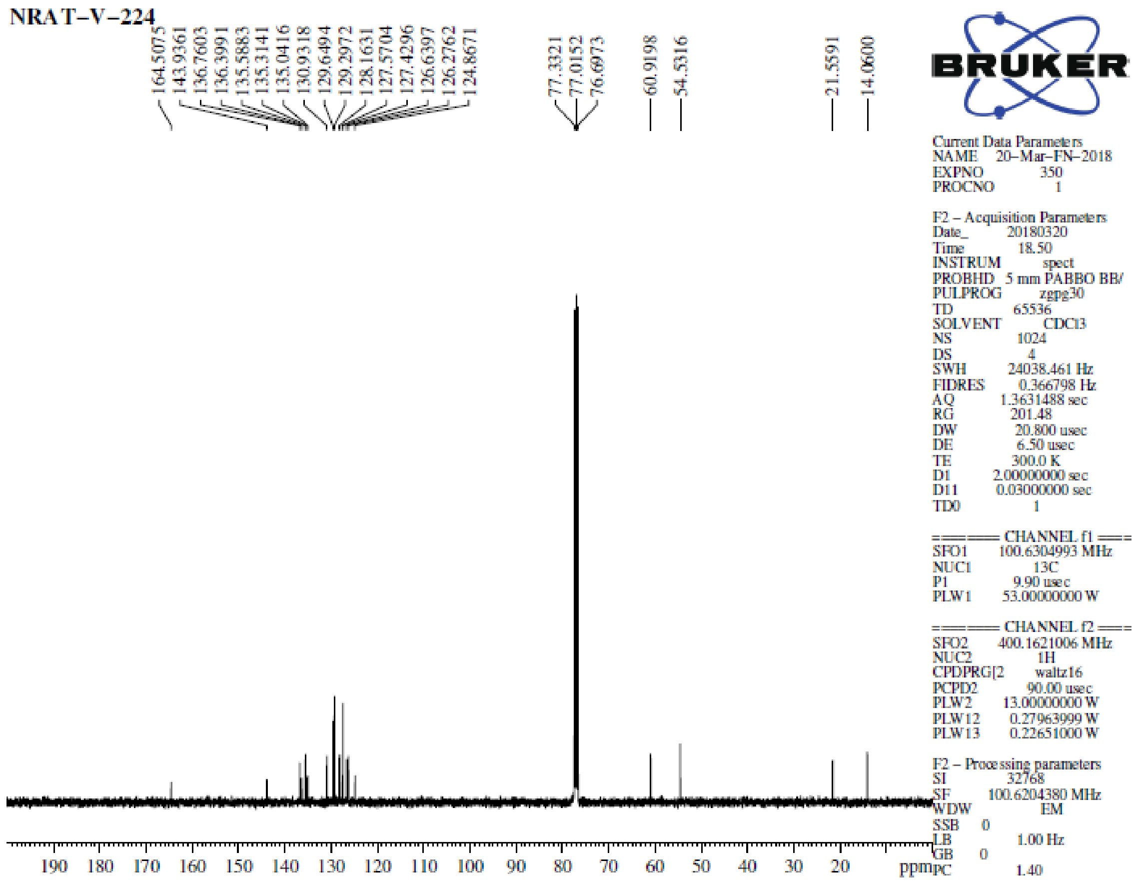

Figure 60: ^{13}C NMR spectrum of 5c

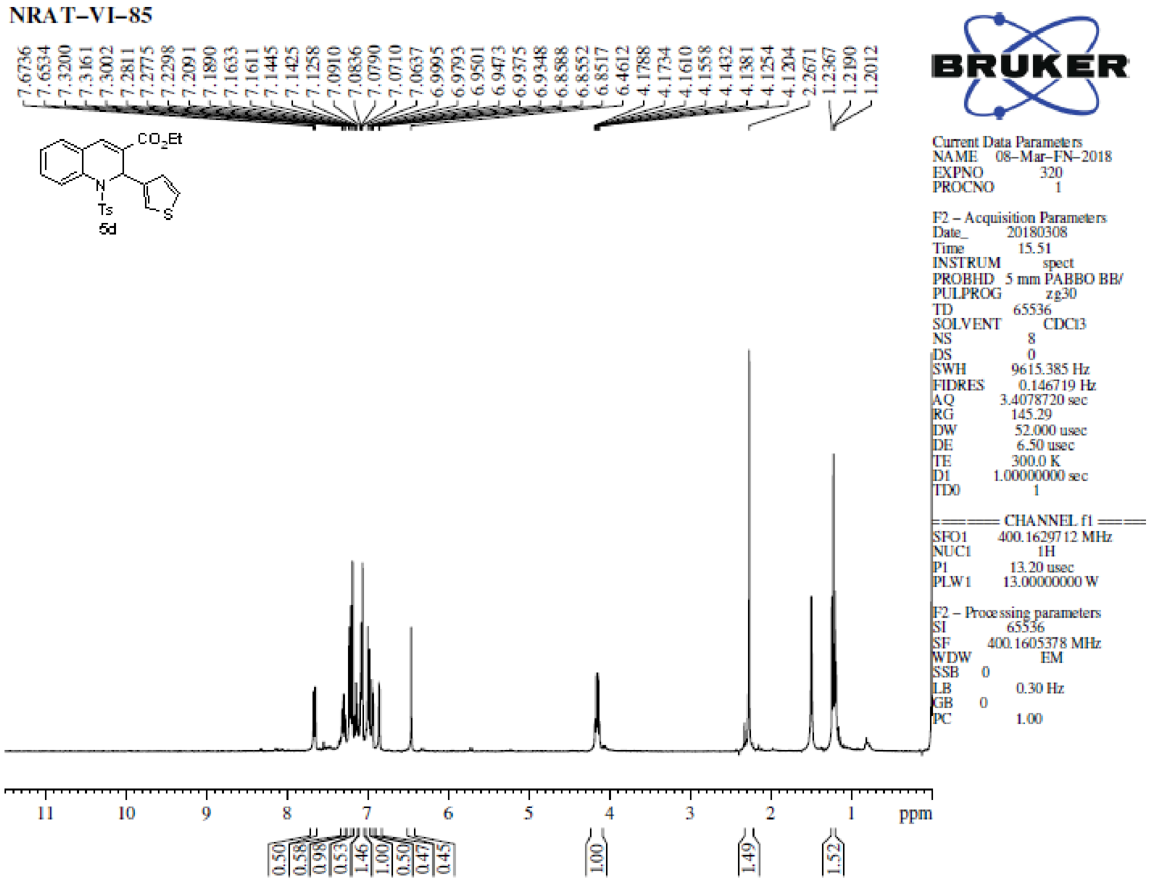

Figure 61: ^{1}H NMR spectrum of 5d

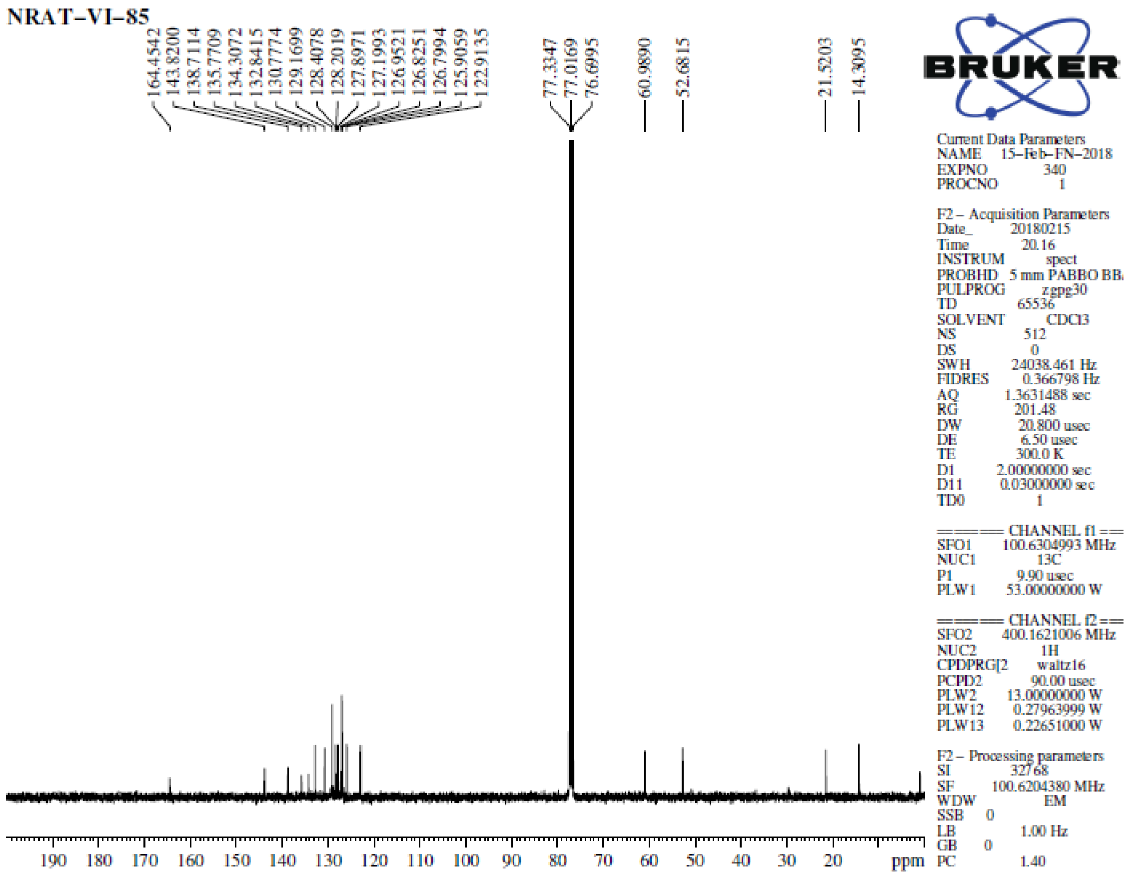

Figure 62: ^{13}C NMR spectrum of 5d

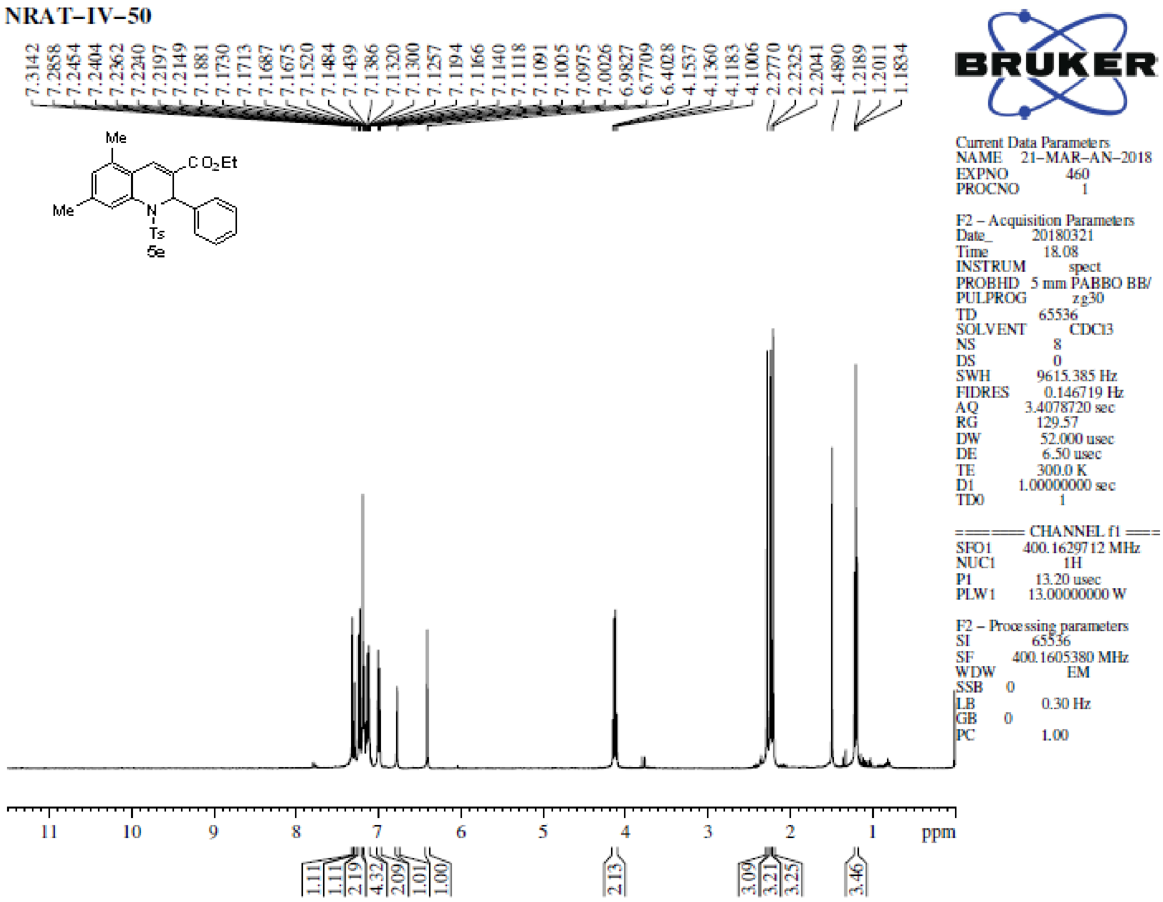

Figure 63: ^{1}H NMR spectrum of 5e

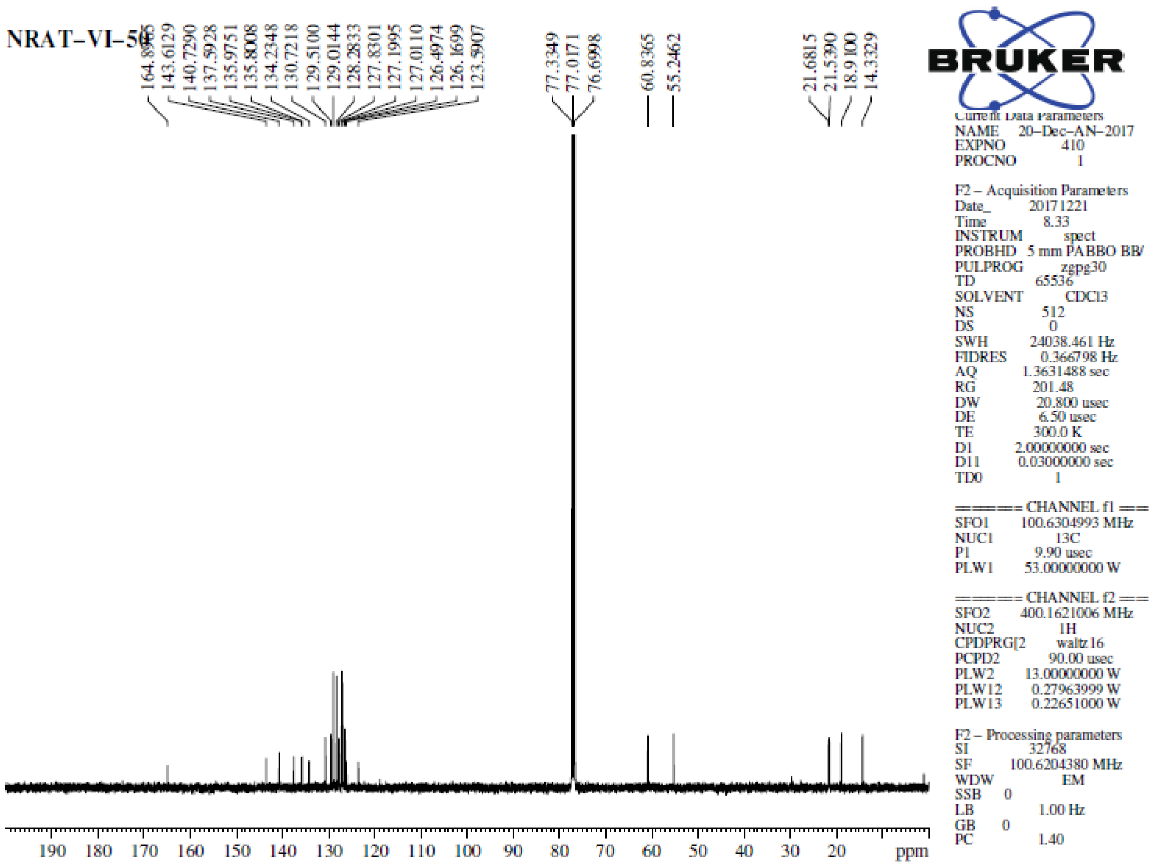

Figure 64: ^{13}C NMR spectrum of 5e

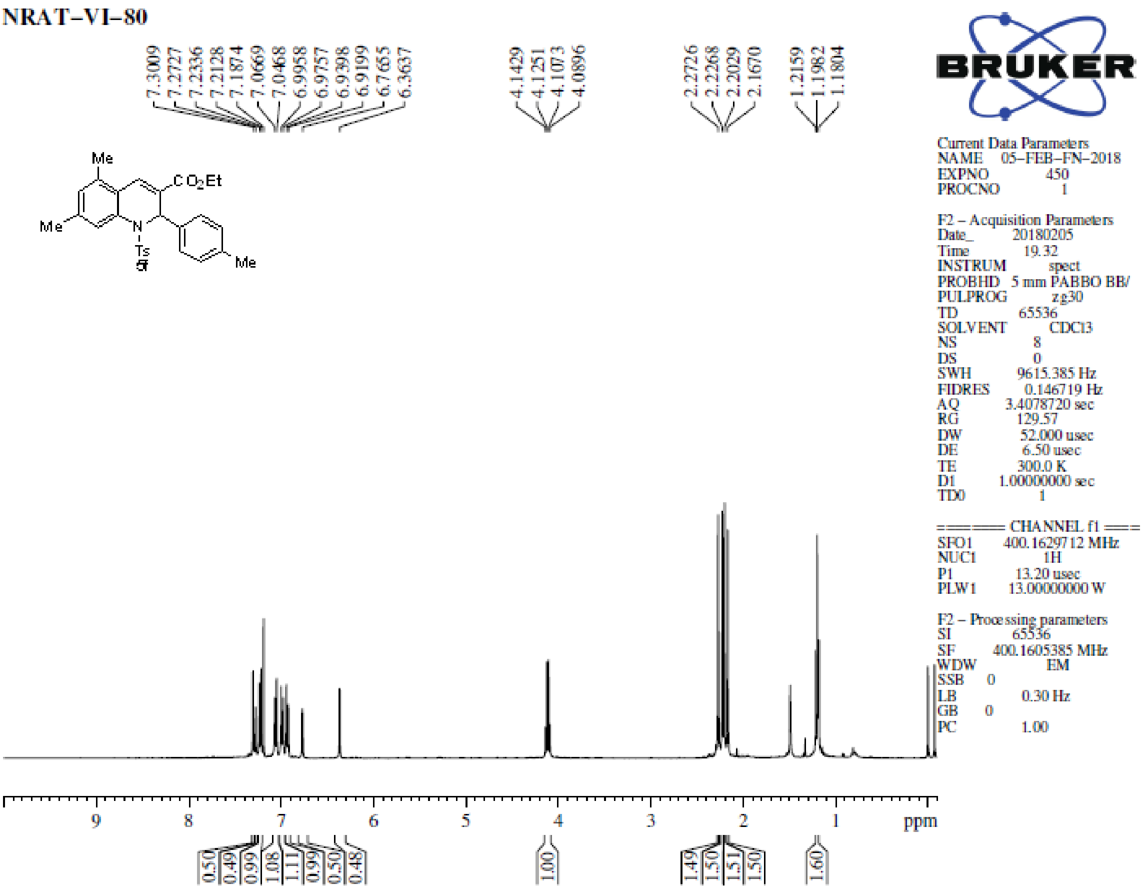

Figure 65: ^{1}H NMR spectrum of 5f

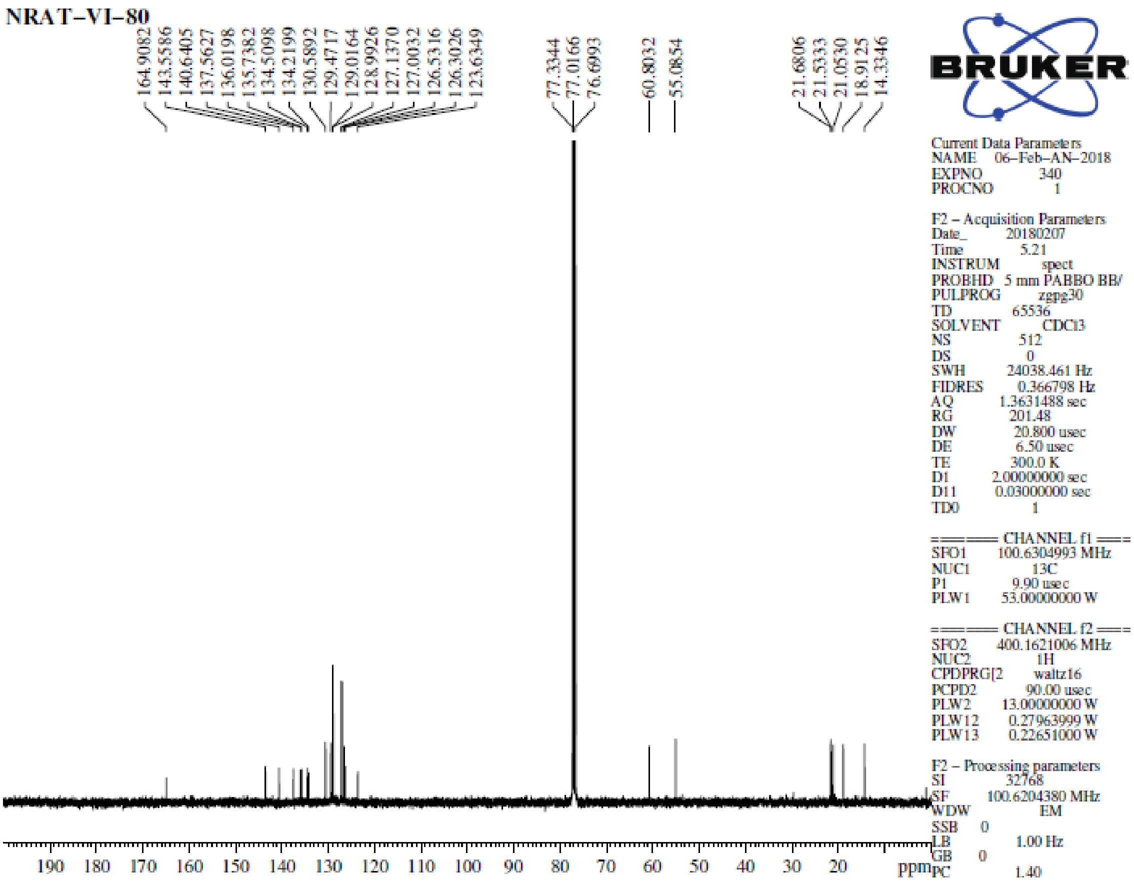

Figure 66: ^{13}C NMR spectrum of 5f

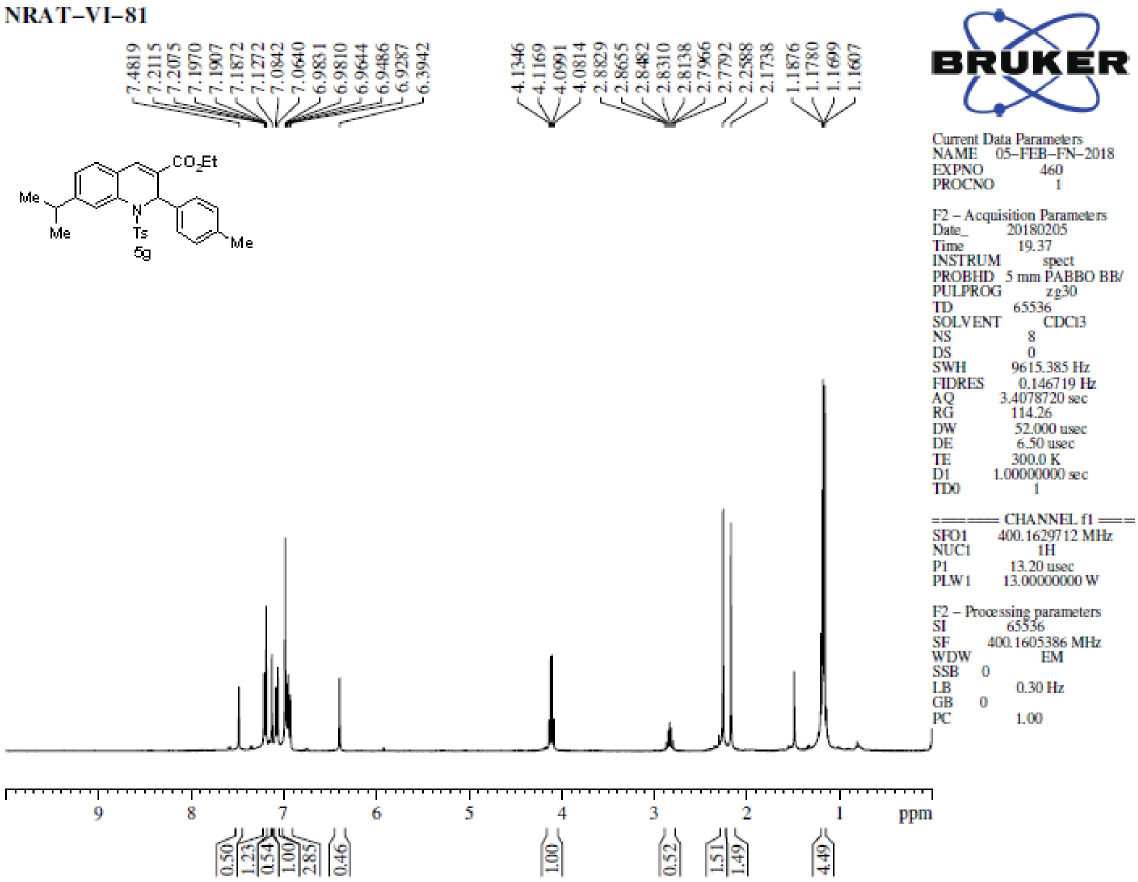

Figure 67: ^{1}H NMR spectrum of 5g

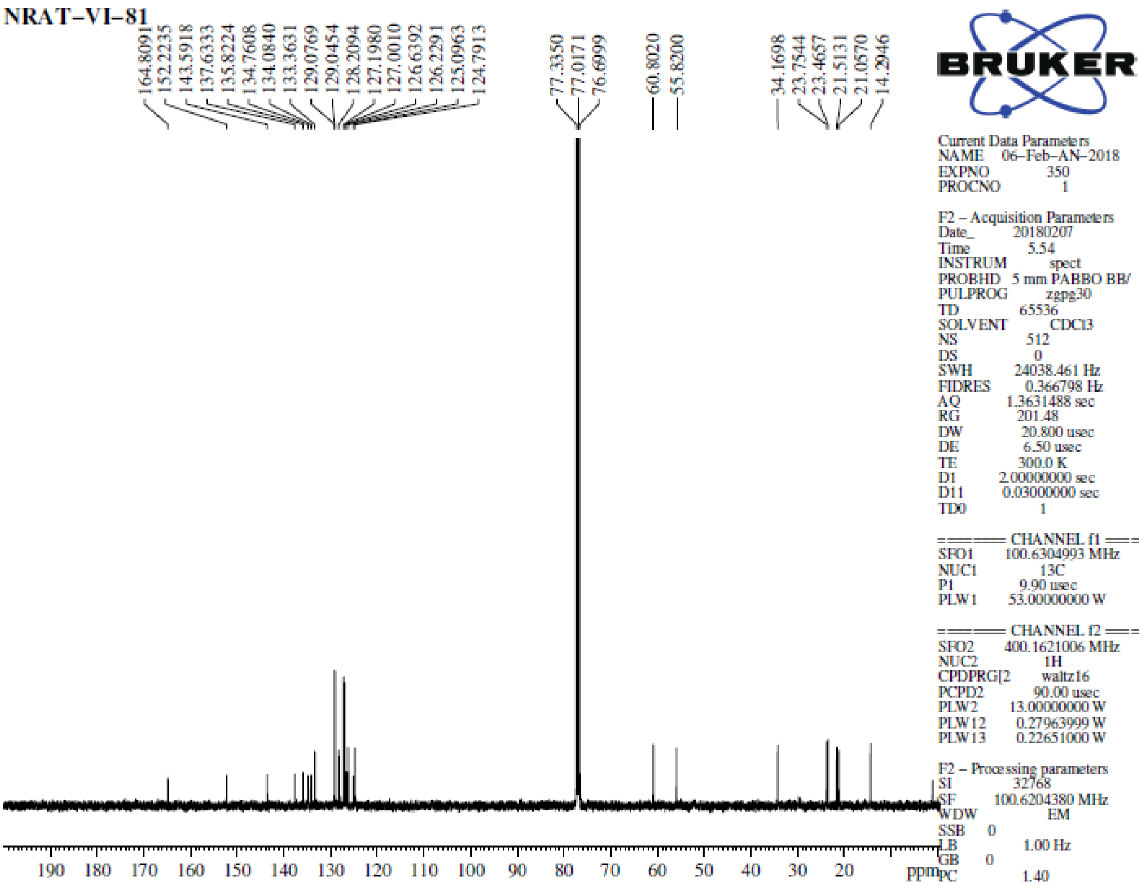

Figure 68: ^{13}C NMR spectrum of 5g

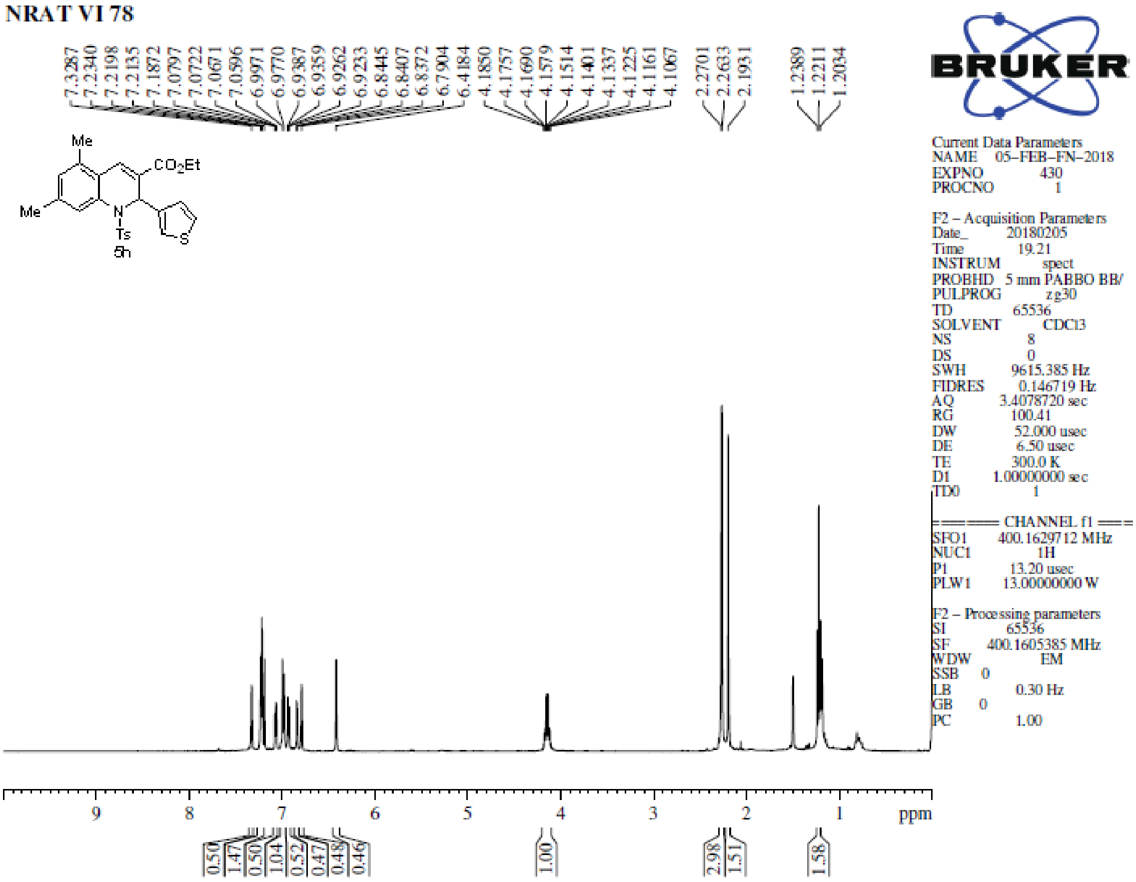

Figure 69: ^{1}H NMR spectrum of 5h

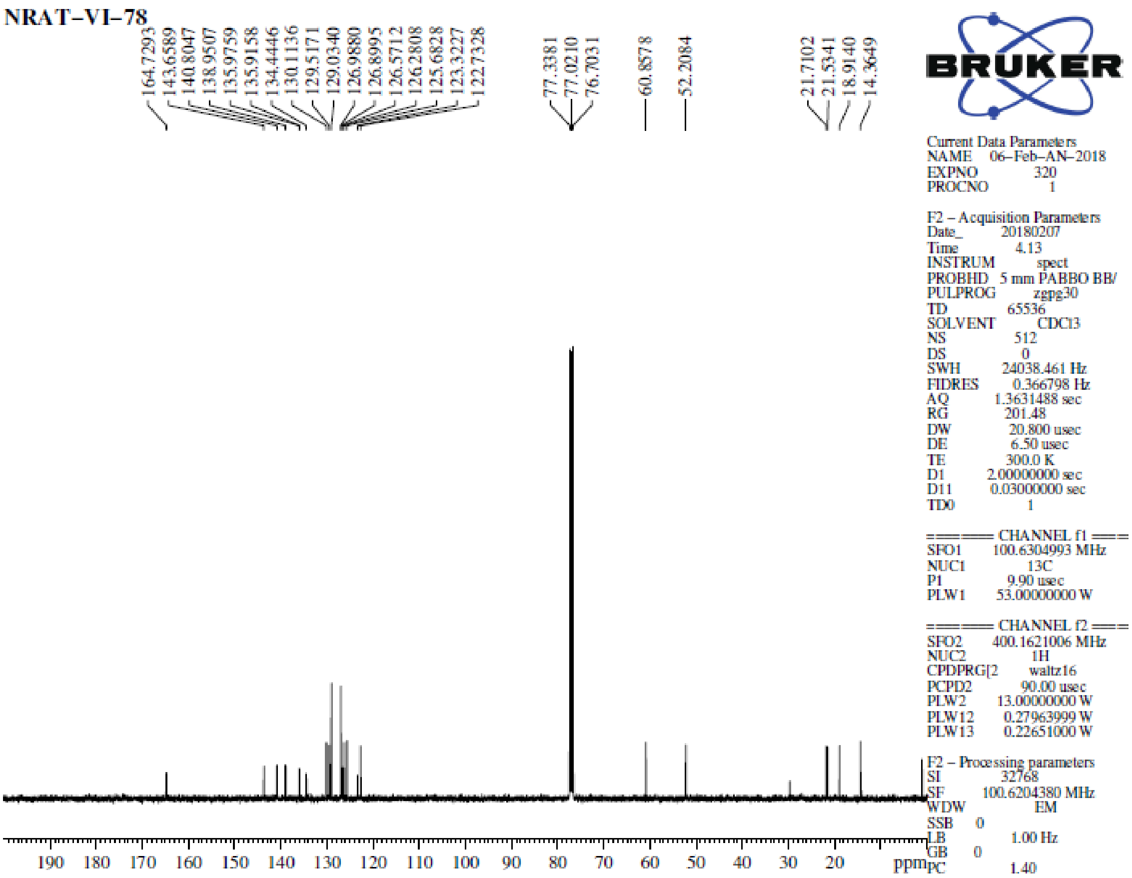

Figure 70: ^{13}C NMR spectrum of 5h