Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Supporting Information

Visible-light-induced C-H alkylation of 2-amino-1,4-naphthoquinones

Yuanyuan Li,[a] Pingping Ruan,[b] Jian Chen,[a] Kang Chen,[a] Zhaohui Ma,[b] Li Guo, Guanghui Lv*[b] and Yong Wu*[a]

[a] Key Laboratory of Drug-Targeting and Drug Delivery System of the Education Ministry and Department of Medicinal Chemistry, Sichuan Engineering Laboratory for Plant-Sourced Drug and Sichuan Research Center for Drug Precision Industrial Technology, West China School of Pharmacy, Sichuan University, No. 17 Southern Renmin Road, Chengdu, Sichuan 610041, People's Republic of China. E-mail: wyong@scu.edu.cn

[b] Department of Pharmacy, Hubei Provincial Clinical Research Center for Umbilical Cord Blood Hematopoietic Stem Cells, Taihe Hospital, Hubei University of Medicine, Shiyan 442000, Hubei, China

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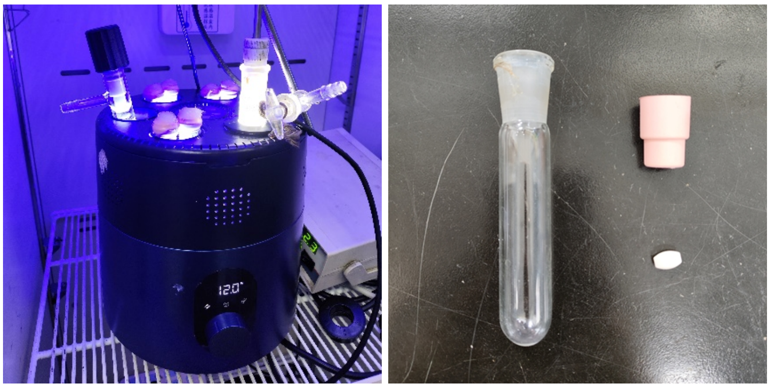
1. General methods

Unless otherwise noted, all reagents were obtained from commercial suppliers and used without further purification. All reactions were carried out in sealed tubes. Except for the specially mentioned dry solvent, all the solvents were treated according to general methods. All the reactions were monitored by thin-layer chromatography (TLC) and were visualized using UV light. Product purification was done using silica gel column chromatography. Thin-layer chromatography (TLC) characterization was performed with precoated silica gel GF254 (0.2 mm), while column chromatography characterization was performed with silica gel (100-200 mesh). ^{1}H NMR and ^{13}C NMR spectra were recorded with tetramethylsilane (TMS, $\delta = 0.00$ ppm) as the internal standard. ^{1}H NMR spectra were recorded at 400 or 600 MHz (Varian) and ^{13}C NMR spectra were recorded at 100 or 150 MHz (Varian). Shifts are reported in ppm downfield from $CDCl_3$ (δ =7.26 ppm) or DMSO-d_6 ($\delta = 2.50$ ppm; H_2O signal was found at $\delta = 3.34$ ppm) for ^{1}H NMR and chemical shifts for ^{13}C NMR spectra are reported in ppm relative to the central $CDCl_3$ ($\delta = 77.0$ ppm) or DMSO-d_6 ($\delta = 39.6$ ppm). Coupling constants were given in Hz. The following notations were used: br-broad, s-singlet, d-doublet, t-triplet, q-quartet, m-multiplet, dd-doublet of doublet, dt-doublet of triplet, td-triplet of doublet. HRMS spectra were recorded a MicrOTOF-QIII (Bruker.Daltonics). Melting points were measured with YRT-3 melting point apparatus (Shantou Keyi Instrument &Equipment Co., Ltd., Shantou, China). The volume of the reaction tube is 10 ml. Photochemical reaction was carried out under visible light irradiation by a blue LED at SSSTECH-LAL1CV 1.0 parallel reactor manufactured by Shanghai 3S Technology Co., Ltd was used in this system. The blue LED's energy peak wavelength is 451 nm, peak width at half-height is 21 nm, irradiance@12 W is 49.2 mW/cm^2. The reaction vessel is a borosilicate glass test tube and the distance between it and the lamp is 0.5 cm, no filter applied (Figure S1).



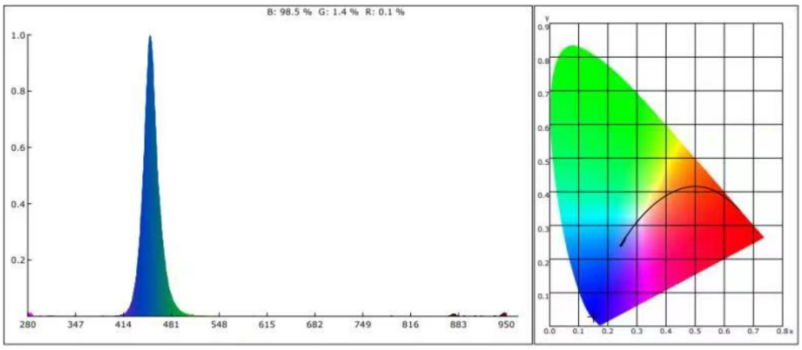
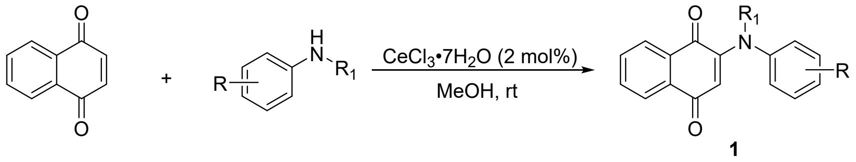


Figure S1. Photo-reactor and the spectrum of our lamp (blue LED)

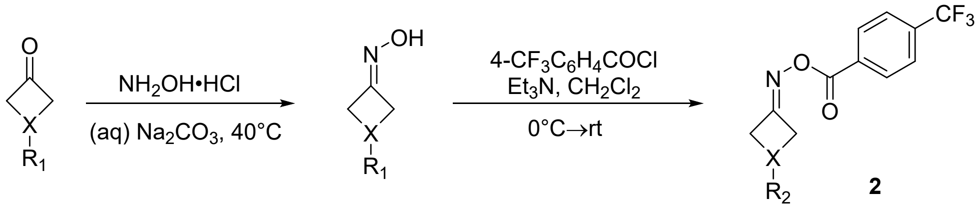
2. Preparation of substrates

2.1 Preparation of naphthoquinone derivatives 1[1]



1,4-naphthoquinone (5.0 mmol, 1.0 equiv.) was dissolved in ethanol (20 mL) and $CeCl_3.7H_2O$ (0.1 mmol, 0.02 equiv.) was added. The solution was placed in a 100 mL round-bottom flask. A solution of a substituted aniline (5.0 mmol, 1.0 equiv.) in ethanol (20 mL) was slowly added, and the reaction mixture was stirred at room temperature for several hours. After the reaction was completed, the resulting solid was filtered and washed with cold ethanol (5 mL). All products were crystalline solids, which were used without further purification.

2.2 Preparation of cyclobutanone oxime ester 2[2]



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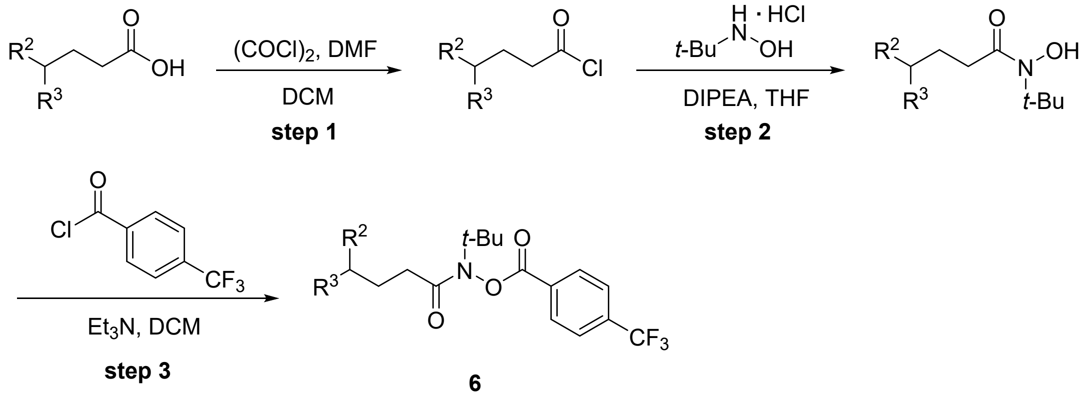
[1] Sun, B.; Shi, X.; Zhuang, X.; Huang, P.; Shi, R.; Zhu, R.; Jin, C., Photoinduced EDA Complexes Enabled Radical Tandem Cyclization/Arylation of Unactivated Alkene with 2-Amino-1,4-naphthoquinones. *Org. Lett.* **2021,** *23*, 1862-1867.

[2] Yu, X.-Y.; Chen, J.-R.; Wang, P.-Z.; Yang, M.-N.; Liang, D.; Xiao, W.-J., A Visible-Light-

The ketone (5.0 mmol, 1.0 equiv.) and hydroxylamine hydrochloride (5.5 mmol, 1.1 equiv.) were placed in a 100 mL flask equipped with stirrer. The pH of the solution w[3]a s held at 7–8 by adding saturated aq. sodium carbonate (10 mL). The resulting solution was stirred at 40 °C. After extraction with ether, the solution was dried over Na_2SO_4 and evaporated to provide crude products which were used in the next step without further purification.

To a mixture of cyclobutanone oxime (1.0 equiv.), triethylamine (2.0 equiv.) and DCM (0.5 M) in a 30 mL two-necked flask was added *p*-CF_3benzoyl chloride (1.5 equiv.) at 0 °C. After 6 h, water was added to the above solution, and the mixture was diluted with diethyl ether. The organic layer was washed with water and dried over Na_2SO_4. The solvent was removed under vacuum and the residue was subjected to column chromatography on SiO_2 with PE-EA as an eluent to give cyclobutanone oxime esters.

2.3 Preparation of hydroxamic acid derivatives 6[3]



Step 1: To a solution of carboxylic acid (1.0 equiv.) and 3-5 drops of anhydrous DMF in anhydrous CH_2Cl_2 (0.5 M) at 0 ˚C, oxalyl chloride (1.5 equiv.) was added dropwise over 10 minutes. The reaction was vigorously stirred at room temperature for 3 h. The solvent was removed in vacuum. Anhydrous CH_2Cl_2 was added to remove the residual of oxalyl chloride in vacuum. Then the resulting acyl chloride was redissolved in anhydrous acetonitrile and used directly for the next step without

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Driven Iminyl Radical-Mediated C−C Single Bond Cleavage/Radical Addition Cascade of Oxime Esters. *Angew. Chem. Int. Ed.* **2018,** *57*, 738-743.

[3] Chen, H.; Fan, W.; Yuan, X. A.; Yu, S., Site-Selective Remote C(sp(3))-H Heteroarylation of Amides Via Organic Photoredox Catalysis. *Nat. Commun.* **2019,** *10*, 4743.

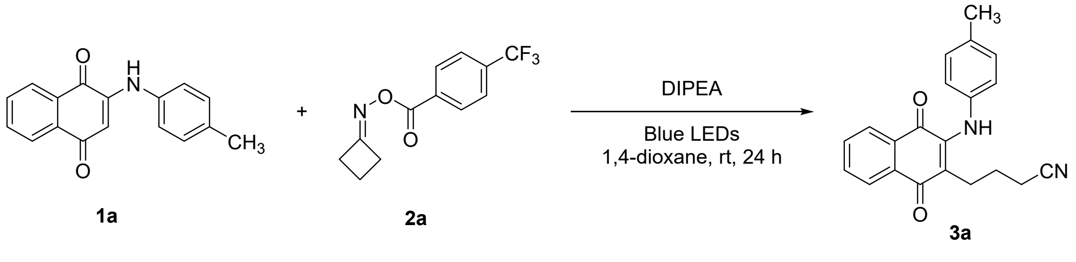
further purification.

Step 2: A solution of the N-(tert-butyl)hydroxylamine hydrochloride in anhydrous THF (0.4 M) was cooled to 0 ºC, treated with DIPEA (2.0 equiv.) and stirred for 15 minutes. The acyl chloride (1.0 equiv.) in anhydrous acetonitrile was added dropwise over 15 minutes and the mixture was allowed to warm to room temperature overnight. The mixture was diluted with saturated $NaHCO_3$ and EtOAc and the layers were separated. The aqueous layer was extracted with EtOAc (2 x) and the combined organic layers were washed with 1 M HCl, saturated $NaHCO_3$ and brine, successively, and then evaporated. Purification by column chromatography on silica gel eluting with Petroleum ether and EtOAc gave the hydroxylamine.

Step 3: To a solution of hydroxylamine (1.05 equiv.) in anhydrous CH_2Cl_2 (0.35 M) at 0 ˚C, Et_3N (1.5 equiv.) was added dropwise. 4-trifluoromethyl-benzoyl chloride (1.0 equiv.) was then added dropwise over 5 minutes. The reaction was vigorously stirred at room temperature for 2 h. After removal of the solvent, the resulting residue was added saturated $NaHCO_3$ and THF, and stirred for 30 minutes. Then, the layers were separated. The aqueous layer was extracted with EtOAc again and the combined organic layers were washed with 1 M HCl, saturated $NaHCO_3$ and brine, successively, and then evaporated. Purification by column chromatography on silica gel gave **6**.

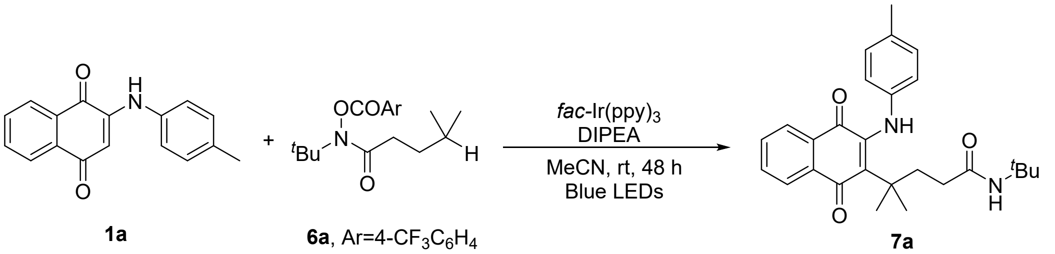
3. General procedure for synthesis of product 3 and 7

3.1 synthesis of product 3 (3a as an example)



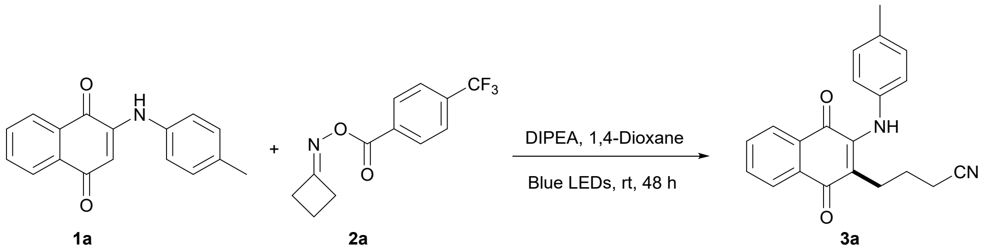
To a 10 mL sealed tube was charged with 2-(4-methylphenyamino)-1,4-naphthoquinone **1a** (0.12 mmol), cyclobutanone oxime ester **2a** (0.10 mmol), base DIPEA (0.30 mmol) and 1,4-dioxane (1.0 mL). Then the reaction was stirred under Ar atmosphere upon irradiation of 12 W blue LEDs (λ = 460-465 nm) at room temperature for 24 h. After the reaction was completed, the solvent was evaporated and the reaction mixture was purified over silica gel by flash column chromatography (PE/EA) to afford the desired product **3a** as a red solid in 85% yield.

3.2 synthesis of product 7 (7a as an example)

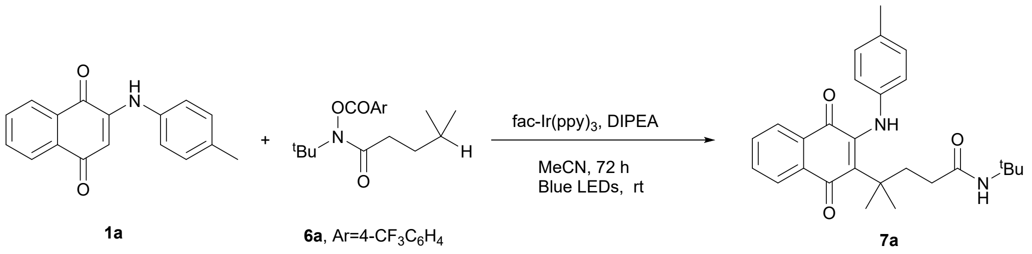


To a 10 mL sealed tube was charged with 2-(4-methylphenyamino)-1,4-naphthoquinone **1a** (0.10 mmol), hydroxamic acid derivative **6a** (0.15 mmol), base DIPEA (0.10 mmol), photocatalysts *fac*-Ir(ppy)$_3$ (5.0 mol%) and MeCN (1.0 mL). Then the reaction was stirred under Ar atmosphere upon irradiation of 12 W blue LEDs (λ = 460-465 nm) at room temperature for 48 h. After the reaction was completed, the solvent was evaporated and the reaction mixture was purified over silica gel by flash column chromatography (PE/EA) to afford the desired product **7a** as a purple solid in 65% yield.

4. Scale-up reaction



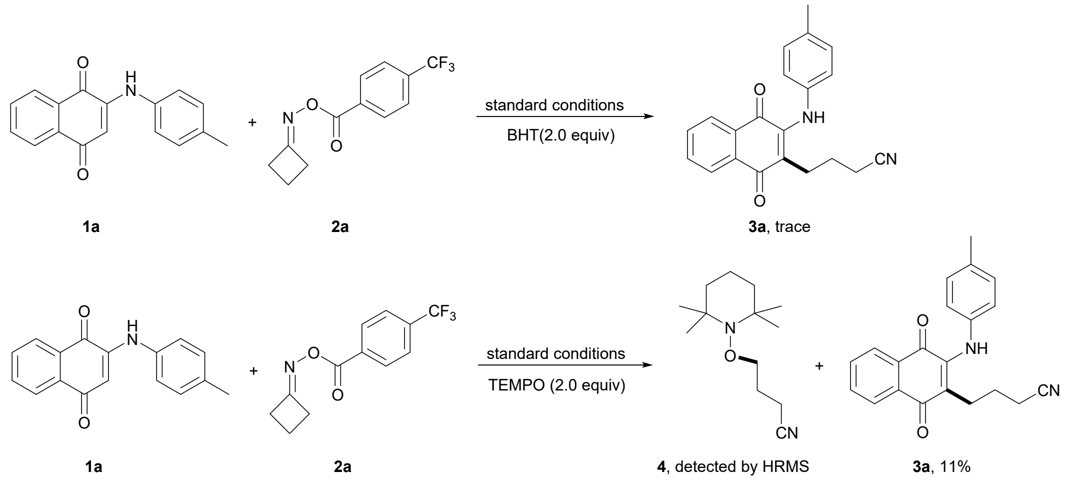
A 25 mL reaction tube with magnetic stirring bar was charged with 2-(4-methylphenyamino)-1,4-naphthoquinone **1a** (2.4 mmol, 1.2 equiv), cyclobutanone oxime ester **2a** (2.0 mmol, 1.0 equiv), DIPEA (3.0 equiv) and 1,4-dioxane (4.0 mL). The reaction tube was sealed and backfilled with Ar, then the solution was irradiated by 12 W blue LEDs (λ = 460-465 nm) at room temperature for 48 h. After reaction, the solvent was removed by rotary evaporation and the crude product was purified by column chromatography on silica gel (eluting with PE/EA) to give the desired product **3a** (530 mg, 80%).



A 25 mL reaction tube with magnetic stirring bar was charged with 2-(4-methylphenyamino)-1,4-naphthoquinone **1a** (1.0 mmol, 1.0 equiv), hydroxamic acid **6a** (1.5 mmol, 1.5 equiv), DIPEA (1.0 mmol, 1.0 equiv), *fac*-Ir(ppy)$_3$ (5.0 mol%) and MeCN (4.0 mL).. The reaction tube was sealed and backfilled with Ar, then the solution was irradiated by 12 W blue LEDs (λ = 460-465 nm) at room temperature for 72 h. After reaction, the solvent was removed by rotary evaporation and the crude product was purified by column chromatography on silica gel (eluting with petroleum PE/EA) to give the desired product **7a** (232 mg, 54%).

5. The mechanistic studies

5.1 Radical trapping experiment



Scheme S1 Control Experiments

The radical trapping experiments were conducted with 2-(4-methylphenyamino)-1,4-naphthoquinone **1a** (0.12 mmol) and cyclobutanone oxime ester **2a** (0.10 mmol) under the standard conditions with two different trapping agents BHT and TEMPO (2.0 equiv) to capture the radical intermediates. After 24 h, no desired product **3a** was detected with BHT as trapping agent by TLC analysis. Meanwhile, the desired product **3a** was afforded in only 11% yield when TEMPO was the trapping agent. The reaction mixture was also analyzed by HRMS. The HRMS spectrum (Figure S2) showed evidence for the formation of **4** (an adduct of TEMPO and cyanoalkyl radical). These experiments indicated the reaction was carried out through a radical-based mechanism.

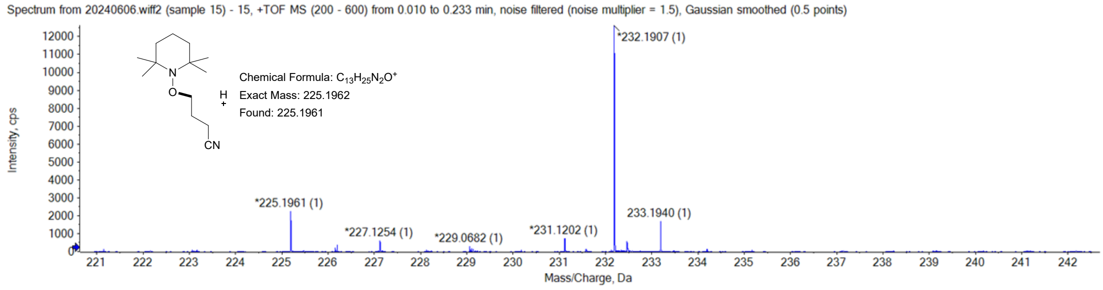
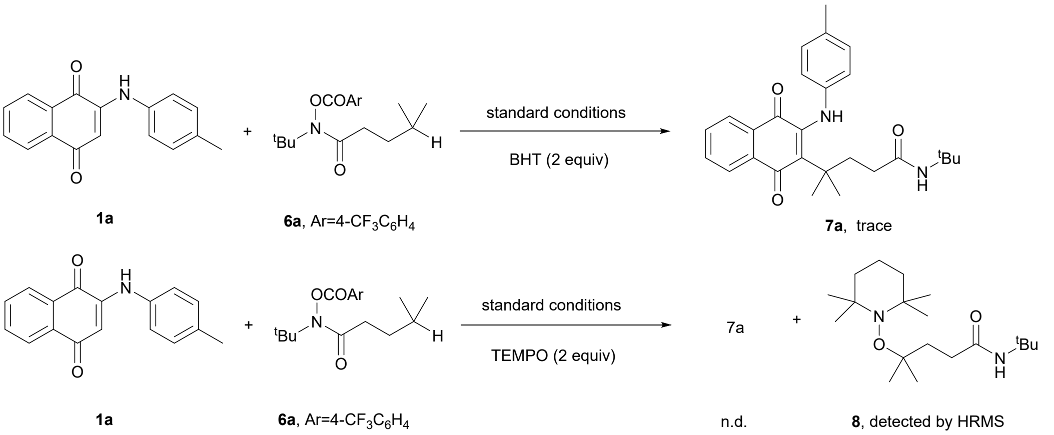


Figure S2. The HRMS spectrum of **4**



Scheme S2 Control Experiments

The radical trapping experiments were conducted with 2-(4-methylphenyamino)-1,4-naphthoquinone **1a** (0.12 mmol) and hydroxamic acid derivative **6a** (0.15 mmol) under the standard conditions with TEMPO or BHT (2.0 equiv) to capture the radical intermediates. After 24 h, no desired product **7a** was detected with BHT or TEMPO as trapping agent by TLC analysis. The reaction mixture was also analyzed by HRMS. The HRMS spectrum (Figure S3) showed evidence for the formation of **8** (an adduct of TEMPO and carbon-centered radical).

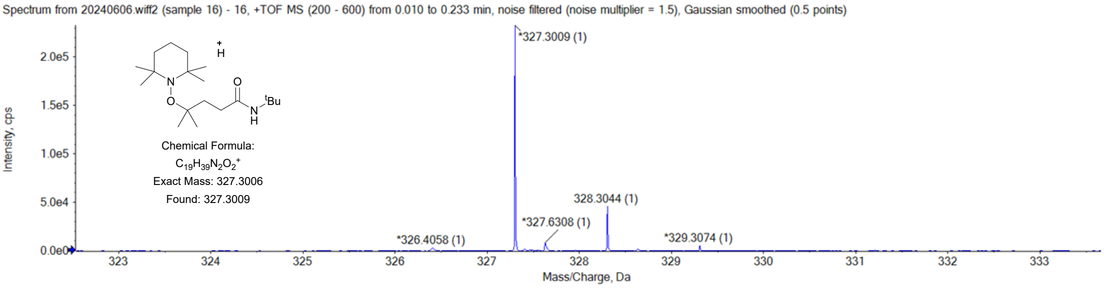


Figure S3. The HRMS spectrum of **8**

5.2 UV-vis absorption spectra (Investigation of potential EDA complex formation)

The UV/VIS spectra of all the reaction components were measured in DMSO in a quartz cuvette using a GENESYS 180 UV-visible spectrophotometer in a 10.0 mm quartz cuvette with the aim of investigating the possible formation of an excited donor-acceptor (EDA) complex (exciplex). Spectra were measured in different combinations at various concentrations mimicking the reaction concentration in DMSO. The following measurements were conducted: **1a** (0.012 M); **2a** (0.01 M); **1a** (0.012 M)+**2a** (0.01 M); **1a** (0.012 M)+DIPEA (0.03 M); **2a** (0.01 M)+DIPEA (0.03 M); **1a** (0.012 M)+**2a** (0.01 M)+DIPEA (0.03 M). In each case, no EDA complex (Electron-Donor Acceptor) was detected. These spectra are shown in (Figure S4) below along with the spectra for each component in isolation at these concentrations for comparison.

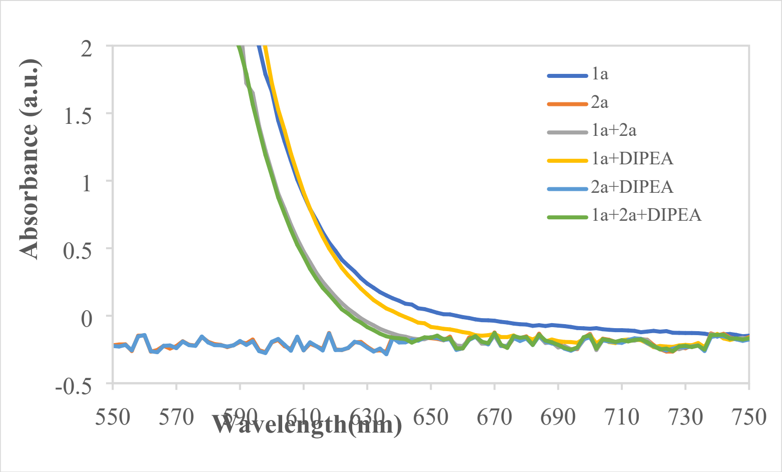
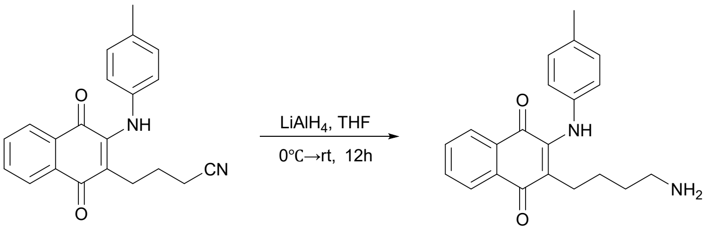


Figure S4. Absorption spectra.

6. Synthetic application



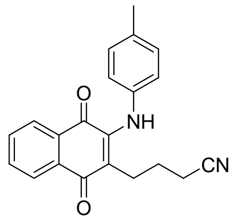
3a

5

A 25 mL flask equipped with a rubber septum and glass stopper was charged with **3a** (0.1 mmol, 1.0 equiv) and a stirred bar. The mixture was evacuated and backfilled with Ar for three times. Then, dry THF (5 mL) was added with a syringe. The mixture was cooled with ice bath to approximately 0 °C, after which Lithium aluminium (0.6 mL, 1 M in THF, 6.0 equiv) was slowly added with a syringe at 0 °C. After addition the reaction mixture was stirred at room temperature for 12 h. The resulting suspension was subsequently quenched with 20% aq. NaOH (5 mL), water (5 mL) and stirred for 30 min at r.t. The mixture was diluted with water and EtOAc and the layers were separated. The aqueous layer was extracted with EtOAc (3 x 10 mL) . The organic layers were combined and then evaporated. Purification by flash column chromatography on silica gel eluting with CH_2Cl_2 and MeOH gave the desired product **5** (16.1 mg, 48 %). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.03 (d, *J* = 7.8 Hz, 2H), 7.69 (td, *J* = 7.5, 1.4 Hz, 1H), 7.62 (dd, *J* = 7.5, 1.4 Hz, 1H), 7.41 (s, 1H), 7.13 (d, *J* = 8.0 Hz, 2H), 6.97 (d, *J* = 8.0 Hz, 2H), 2.68 (t, *J* = 7.1 Hz, 2H), 2.33 (s, 3H), 2.28 (t, *J* = 7.5 Hz, 2H), 1.38 – 1.29 (m, 4H). **^{13}C NMR (101 MHz, Chloroform-*d*)** δ 184.11, 182.95, 143.03, 137.13, 135.33, 134.60, 133.45, 132.48, 130.52, 129.75, 126.53, 126.32, 123.90, 121.03, 40.35, 29.85, 24.77, 24.60, 21.10.

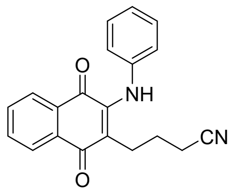
7. Characterization of all products

4-(1,4-Dioxo-3-(*p*-tolylamino)-1,4-dihydronaphthalen-2-yl)butanenitrile (3a)



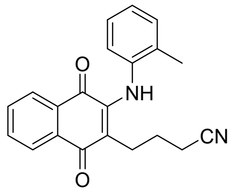
Yield 85%, red solid, m.p. 121-123°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.03 (ddd, *J* = 7.2, 5.4, 1.4 Hz, 2H), 7.67 (td, *J* = 7.6, 1.4 Hz, 1H), 7.59 (td, *J* = 7.5, 1.3 Hz, 1H), 7.37 (s, 1H), 7.12 (s, 1H), 7.10 (s, 1H), 6.96 (s, 1H), 6.94 (s, 1H), 2.35 – 2.27 (m, 2H), 2.30 (s, 3H), 1.91 (t, *J* = 7.5 Hz, 2H), 1.51 (dd, *J* = 8.4, 6.8 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 182.6, 181.6, 142.2, 135.5, 135.0, 133.7, 132.2, 131.5, 129.2, 128.8, 125.5, 125.3, 123.3, 118.3, 117.0, 23.3, 22.6, 20.0, 15.7. **HRMS (ESI):** m/z calculated for $C_{21}H_{19}N_2O_2$ $[M+H]^+$: 331.1441, found: 331.1443.

4-(1,4-Dioxo-3-(phenylamino)-1,4-dihydronaphthalen-2-yl)butanenitrile (3b)



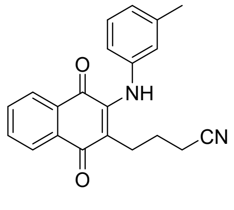
Yield 79%, red solid, m.p. 125-127°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.11 (ddd, *J* = 7.5, 4.8, 1.3 Hz, 2H), 7.75 (td, *J* = 7.6, 1.4 Hz, 1H), 7.67 (td, *J* = 7.6, 1.4 Hz, 1H), 7.48 (s, 1H), 7.39 (t, *J* = 7.7 Hz, 2H), 7.23 (t, *J* = 7.8 Hz, 1H), 7.13 (s, 1H), 7.11 (s, 1H), 2.42 (dd, *J* = 8.6, 6.7 Hz, 2H), 1.98 (t, *J* = 7.5 Hz, 2H), 1.63 – 1.58 (m, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 182.7, 181.6, 142.0, 138.2, 133.7, 132.1, 131.6, 129.2, 128.2, 125.5, 125.3, 124.8, 122.9, 118.2, 117.9, 23.6, 22.5, 15.7. **HRMS (ESI):** m/z calculated for $C_{20}H_{17}N_2O_2$ $[M+H]^+$: 317.1285, found: 317.1286.

4-(1,4-Dioxo-3-(*o*-tolylamino)-1,4-dihydronaphthalen-2-yl)butanenitrile (3c)



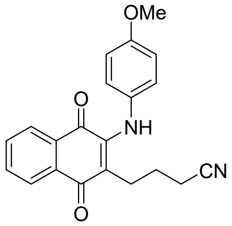
Yield 90%, red solid, m.p. 119-120°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.04 (d, *J* = 7.6 Hz, 2H), 7.68 (t, *J* = 7.5 Hz, 1H), 7.59 (t, *J* = 7.6 Hz, 1H), 7.26 (s, 1H), 7.21 (dd, *J* = 8.8, 5.0 Hz, 1H), 7.18 – 7.11 (m, 2H), 7.05 – 6.98 (m, 1H), 2.23 (s, 3H), 2.19 (d, *J* = 8.4 Hz, 2H), 1.82 (t, *J* = 7.5 Hz, 2H), 1.46 – 1.38 (m, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 182.5, 181.5, 142.1, 136.6, 133.7, 133.0, 132.2, 131.4, 130.0, 129.2, 125.9, 125.5, 125.5, 125.3, 124.5, 118.2, 116.4, 22.9, 22.8, 17.1, 15.8. **HRMS (ESI):** m/z calculated for $C_{21}H_{19}N_2O_2$ $[M+H]^+$: 331.1441, found: 331.1443.

4-(1,4-Dioxo-3-(*m*-tolylamino)-1,4-dihydronaphthalen-2-yl)butanenitrile (3d)



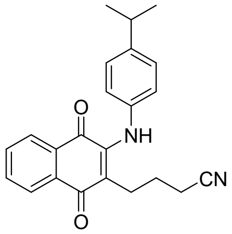
Yield 86%, red solid, m.p. 120-122°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (t, *J* = 7.5 Hz, 2H), 7.74 (t, *J* = 7.6 Hz, 1H), 7.66 (t, *J* = 7.5 Hz, 1H), 7.45 (s, 1H), 7.30 – 7.21 (m, 1H), 7.04 (d, *J* = 7.6 Hz, 1H), 6.92 (d, *J* = 7.8 Hz, 2H), 2.45 – 2.39 (m, 2H), 2.36 (s, 3H), 1.98 (t, *J* = 7.5 Hz, 2H), 1.68 – 1.58 (m, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.8, 182.6, 143.1, 139.4, 139.1, 134.7, 133.2, 132.6, 130.2, 129.0, 126.6, 126.5, 126.3, 124.6, 121.1, 119.3, 118.8, 24.6, 23.5, 21.4, 16.7. **HRMS (ESI):** m/z calculated for $C_{21}H_{19}N_2O_2$ [M+H]$^+$: 331.1441, found: 331.1442.

4-(3-((4-Methoxyphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenit-rile (3e)



Yield 79%, red solid, m.p. 119-120℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (ddd, *J* = 7.6, 4.0, 1.4 Hz, 2H), 7.74 (td, *J* = 7.6, 1.4 Hz, 1H), 7.65 (td, *J* = 7.5, 1.3 Hz, 1H), 7.43 (s, 1H), 7.11 (s, 1H), 7.09 (s, 1H), 6.93 (s, 1H), 6.90 (s, 1H), 3.84 (s, 3H), 2.40 – 2.32 (m, 2H), 1.99 (t, *J* = 7.5 Hz, 2H), 1.57 (tt, *J* = 9.5, 6.7 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.6, 182.6, 158.1, 143.6, 134.7, 133.2, 132.4, 131.8, 130.2, 126.5, 126.5, 126.3, 119.4, 117.1, 114.5, 55.6, 24.0, 23.8, 16.8. **HRMS (ESI):** m/z calculated for $C_{21}H_{19}N_2O_3$ [M+H]$^+$: 347.1390, found: 347.1393.

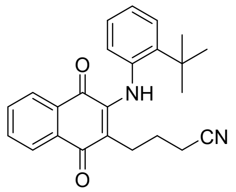
4-(3-((4-Isopropylphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenit-rile (3f)



Yield 80%, red solid, m.p. 109-110℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.13 (ddd, *J* = 7.5, 6.0, 1.3 Hz, 2H), 7.77 (td, *J* = 7.5, 1.4 Hz, 1H), 7.69 (td, *J* = 7.5, 1.4 Hz, 1H), 7.49 (s, 1H), 7.31 – 7.23 (m, 2H), 7.12 – 7.07 (m, 2H), 2.97 (p, *J* = 6.9 Hz, 1H), 2.45 – 2.38 (m, 2H), 1.95 (t, *J* = 7.6 Hz, 2H), 1.61 (p, *J* = 7.7 Hz, 2H), 1.30 (s, 3H), 1.29 (s, 3H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.7, 182.6, 147.2, 143.3, 136.8, 134.7, 133.2, 132.5, 130.2, 127.2, 126.5, 126.3, 124.6, 119.3, 118.0, 33.7, 24.4, 24.0, 23.7, 16.7. **HRMS (ESI):** m/z calculated for $C_{23}H_{23}N_2O_2$ [M+H]$^+$: 359.1754, found: 359.1756.

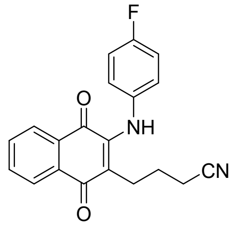
4-(3-((2-(*Tert*-butyl)phenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-

yl)butane-nitrile (3g)



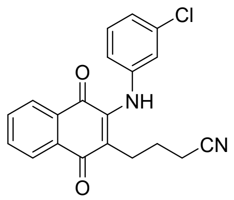
Yield 69%, red solid, m.p. 109-110°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (dd, *J* = 7.5, 1.5 Hz, 2H), 7.77 – 7.71 (m, 1H), 7.66 (td, *J* = 7.5, 1.4 Hz, 1H), 7.56 (s, 1H), 7.48 (dd, *J* = 7.7, 1.9 Hz, 1H), 7.29 – 7.19 (m, 2H), 7.03 (dd, *J* = 7.5, 1.9 Hz, 1H), 2.15 (t, *J* = 7.9 Hz, 2H), 1.93 (t, *J* = 7.6 Hz, 2H), 1.63 (d, *J* = 15.5 Hz, 2H), 1.45 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 184.0, 182.8, 145.1, 143.2, 138.2, 134.8, 133.4, 132.4, 130.1, 127.5, 127.1, 127.1, 126.6, 126.4, 126.4, 119.2, 116.6, 35.3, 30.5, 25.2, 23.9, 17.1. **HRMS (ESI):** m/z calculated for $C_{24}H_{25}N_2O_2$ $[M+H]^+$: 373.1911, found: 373.1914.

4-(3-((4-Fluorophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3h)



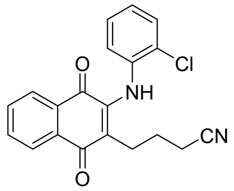
Yield 78%, red solid, m.p. 125-127°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (dd, *J* = 7.7, 3.9 Hz, 2H), 7.75 (t, *J* = 7.5 Hz, 1H), 7.67 (t, *J* = 7.7 Hz, 1H), 7.39 (s, 1H), 7.09 (h, *J* = 7.0, 5.4 Hz, 4H), 2.39 (t, *J* = 7.7 Hz, 2H), 2.05 (t, *J* = 7.4 Hz, 2H), 1.61 (q, *J* = 7.5 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.7, 182.4, 161.7, 159.3, 143.3, 135.3 (d, *J* = 3.2 Hz), 134.8, 133.1, 132.7, 130.2, 126.5 (d, *J* = 17.4 Hz), 125.9 (d, *J* = 8.2 Hz), 119.2, 118.7, 116.2 (d, *J* = 22.8 Hz). **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -115.28 (t, *J* = 6.7 Hz). **HRMS (ESI):** m/z calculated for $C_{20}H_{16}FN_2O_2$ $[M+H]^+$: 335.1190, found: 335.1192.

4-(3-((3-Chlorophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3i)



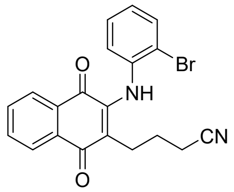
Yield 77%, red solid, m.p. 107-108°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.15 – 8.07 (m, 2H), 7.76 (td, *J* = 7.6, 1.5 Hz, 1H), 7.69 (td, *J* = 7.5, 1.4 Hz, 1H), 7.38 (s, 1H), 7.31 (t, *J* = 8.0 Hz, 1H), 7.17 (d, *J* = 8.1 Hz, 1H), 7.06 (t, *J* = 2.0 Hz, 1H), 6.98 (d, *J* = 8.0 Hz, 1H), 2.46 (dd, *J* = 8.7, 6.5 Hz, 2H), 2.10 (t, *J* = 7.3 Hz, 2H), 1.69 (p, *J* = 7.5 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 182.8, 181.3, 141.5, 139.8, 134.0, 133.8, 132.0, 131.8, 129.3, 129.2, 125.6, 125.4, 124.3, 121.9, 120.0, 120.0, 118.1, 24.1, 22.2, 15.8. **HRMS (ESI):** m/z calculated for $C_{20}H_{16}ClN_2O_2$ $[M+H]^+$: 351.0895, found: 351.0899.

4-(3-((2-Chlorophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3j)



Yield 76%, red solid, m.p. 106-107°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.12 (d, *J* = 7.6 Hz, 2H), 7.76 (t, *J* = 7.5 Hz, 1H), 7.68 (t, *J* = 7.5 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.36 (s, 1H), 7.27 (d, *J* = 8.8 Hz, 1H), 7.18 (t, *J* = 7.7 Hz, 1H), 7.06 (d, *J* = 7.9 Hz, 1H), 2.41 (t, *J* = 7.7 Hz, 2H), 2.04 (t, *J* = 7.4 Hz, 2H), 1.60 (p, *J* = 7.5 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.7, 182.1, 142.4, 136.4, 134.8, 133.0, 132.8, 130.3, 130.3, 128.9, 127.2, 126.6, 126.5, 126.5, 124.7, 120.6, 119.1, 24.6, 23.3, 16.9. **HRMS (ESI):** m/z calculated for $C_{20}H_{16}ClN_2O_2$ $[M+H]^+$: 351.0895, found: 351.0898.

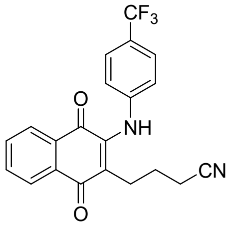
4-(3-((2-Bromophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3k)



Yield 70%, red solid, m.p. 99-100°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.12 (d,

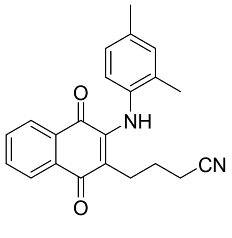
J = 7.6 Hz, 2H), 7.76 (t, J = 7.6 Hz, 1H), 7.68 (d, J = 6.0 Hz, 1H), 7.38 – 7.30 (m, 2H), 7.12 (d, J = 7.9 Hz, 1H), 7.06 (d, J = 8.2 Hz, 1H), 2.40 (t, J = 7.7 Hz, 2H), 2.04 (t, J = 7.4 Hz, 2H), 1.60 (p, J = 7.6 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.7, 182.1, 142.4, 137.7, 134.8, 133.4, 133.0, 132.8, 130.3, 127.9, 126.9, 126.6, 126.5, 124.9, 120.5, 119.2, 119.1, 24.7, 23.3, 16.9. **HRMS (ESI):** m/z calculated for $C_{20}H_{16}BrN_2O_2$ $[M+H]^+$: 395.0390, found: 395.0395.

4-(1,4-Dioxo-3-((4-(trifluoromethyl)phenyl)amino)-1,4-dihydronaphthalen-2-yl)butanenitrile (3l)



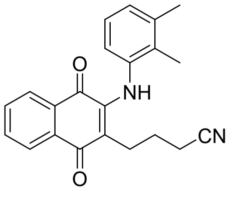
Yield 80%, red solid, m.p. 114-116°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.12 (td, J = 7.5, 1.3 Hz, 2H), 7.78 (td, J = 7.5, 1.5 Hz, 1H), 7.71 (td, J = 7.5, 1.4 Hz, 1H), 7.62 (s, 1H), 7.60 (s, 1H), 7.44 (s, 1H), 7.11 (s, 1H), 7.09 (s, 1H), 2.50 (dd, J = 8.2, 6.6 Hz, 2H), 2.12 (t, J = 7.3 Hz, 2H), 1.71 (p, J = 7.4 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.9, 182.3, 142.8, 142.1, 134.9, 133.1, 132.9, 130.3, 126.7, 126.6 (q, J = 4.1 Hz), 126.2, 125.3, 123.0, 122.6, 121.4, 119.1, 25.6, 23.4, 16.8. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -62.07. **HRMS (ESI):** m/z calculated for $C_{21}H_{16}F_3N_2O_2$ $[M+H]^+$: 385.1158, found: 385.1161.

4-(3-((2,4-Dimethylphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3m)



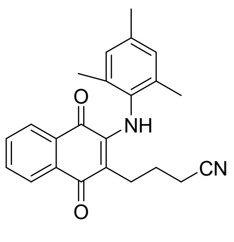
Yield 76%, red solid, m.p. 108-109°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (dd, J = 7.6, 3.1 Hz, 2H), 7.74 (t, J = 7.5 Hz, 1H), 7.65 (t, J = 7.6 Hz, 1H), 7.30 (s, 1H), 7.09 (s, 1H), 7.01 (q, J = 8.2 Hz, 2H), 2.35 (s, 3H), 2.24 (s, 5H), 1.90 (t, J = 7.6 Hz, 2H), 1.49 (p, J = 7.7 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.5, 182.6, 143.4, 137.1, 134.9, 134.7, 134.1, 133.3, 132.4, 131.6, 130.2, 127.2, 126.5, 126.3, 125.8, 119.3, 116.7, 24.0, 23.7, 21.0, 18.1, 16.8. **HRMS (ESI):** m/z calculated for $C_{22}H_{21}N_2O_2$ $[M+H]^+$: 345.1598, found: 345.1599.

4-(3-((2,3-Dimethylphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3n)



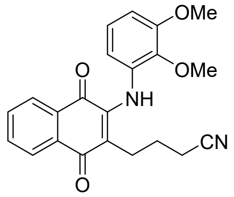
Yield 77%, red solid, m.p. 123-125°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (d, *J* = 7.6 Hz, 2H), 7.74 (t, *J* = 7.5 Hz, 1H), 7.65 (t, *J* = 7.6 Hz, 1H), 7.34 (s, 1H), 7.15 – 7.09 (m, 2H), 7.01 – 6.91 (m, 1H), 2.34 (s, 3H), 2.22 (s, 5H), 1.87 (t, *J* = 7.6 Hz, 2H), 1.48 (p, *J* = 7.6 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 182.5, 181.5, 142.5, 137.4, 136.6, 133.7, 132.2, 132.0, 131.4, 129.2, 127.6, 125.4, 125.3, 124.8, 122.7, 118.2, 115.8, 23.0, 22.8, 19.5, 15.8, 13.3. **HRMS (ESI):** m/z calculated for $C_{22}H_{21}N_2O_2$ $[M+H]^+$: 345.1598, found: 345.1601.

4-(3-(Mesitylamino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3o)



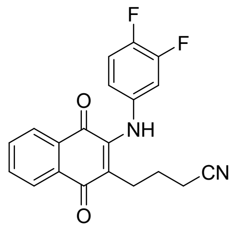
Yield 60%, red solid, m.p. 129-130°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (d, *J* = 1.2 Hz, 1H), 8.08 (d, *J* = 1.4 Hz, 1H), 7.73 (td, *J* = 7.5, 1.3 Hz, 1H), 7.67 – 7.61 (m, 1H), 7.29 (s, 1H), 6.94 (s, 2H), 2.32 (s, 3H), 2.19 (s, 6H), 2.13 – 2.05 (m, 2H), 1.84 (t, *J* = 7.6 Hz, 2H), 1.36 (p, *J* = 7.7 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.4, 182.4, 143.0, 137.9, 135.7, 134.8, 133.5, 133.4, 132.2, 130.2, 129.1, 126.4, 126.3, 119.3, 115.1, 24.9, 23.1, 21.0, 18.5, 17.0. **HRMS (ESI):** m/z calculated for $C_{23}H_{23}N_2O_2$ $[M+H]^+$: 359.1754, found: 359.1759.

4-(3-((2,3-Dimethoxyphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butan-enitrile (3p)



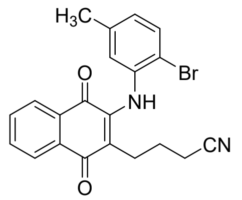
Yield 77%, red solid, m.p. 108-110°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (ddd, *J* = 7.1, 5.3, 1.4 Hz, 2H), 7.74 (td, *J* = 7.6, 1.4 Hz, 1H), 7.66 (td, *J* = 7.5, 1.3 Hz, 1H), 7.41 (s, 1H), 7.02 (t, *J* = 8.2 Hz, 1H), 6.76 (dd, *J* = 8.3, 1.4 Hz, 1H), 6.57 (dd, *J* = 8.1, 1.4 Hz, 1H), 3.90 (s, 3H), 3.86 (s, 3H), 2.53 – 2.44 (m, 2H), 2.08 (t, *J* = 7.4 Hz, 2H), 1.70 – 1.61 (m, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.8, 182.5, 153.4, 143.0, 142.1, 134.6, 133.3, 133.1, 132.6, 130.4, 126.5, 126.4, 123.5, 120.5, 119.3, 115.3, 109.2, 60.7, 56.0, 25.0, 23.7, 16.9. **HRMS (ESI):** m/z calculated for $C_{22}H_{21}N_2O_4$ [M+H]$^+$: 377.1496, found: 377.1499.

4-(3-((3,4-Difluorophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3q)



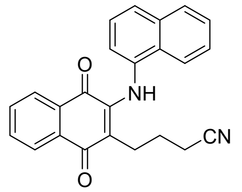
Yield 55%, red solid, m.p. 108-110°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.10 (ddd, *J* = 7.2, 5.5, 1.4 Hz, 2H), 7.76 (td, *J* = 7.6, 1.4 Hz, 1H), 7.68 (td, *J* = 7.5, 1.3 Hz, 1H), 7.33 (s, 1H), 7.17 (q, *J* = 9.0 Hz, 1H), 6.93 (ddd, *J* = 10.8, 6.8, 2.7 Hz, 1H), 6.85 (ddd, *J* = 7.9, 4.7, 2.4 Hz, 1H), 2.53 – 2.40 (m, 2H), 2.14 (t, *J* = 7.2 Hz, 2H), 1.66 (p, *J* = 7.3 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.8, 182.2, 151.6 (d, *J* = 13.6 Hz), 149.2 (dd, *J* = 13.1, 10.1 Hz), 146.8 (d, *J* = 12.6 Hz), 142.8, 136.1 (d, *J* = 4.7 Hz), 134.9, 132.9, 132.9, 130.2, 126.6 (d, *J* = 17.7 Hz), 120.6, 119.3 (dd, *J* = 6.0, 3.5 Hz), 119.1, 117.8 (dd, *J* = 9.0, 2 Hz), 112.7 (d, *J* = 19.1 Hz), 24.8, 23.4, 16.8. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -134.52 (dt, *J* = 20.6, 9.9 Hz), -140.24 – -140.38 (m). **HRMS (ESI):** m/z calculated for $C_{20}H_{15}F_2N_2O_2$ [M+H]$^+$: 353.1096, found: 353.1095.

4-(3-((2-Bromo-5-methylphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3r)



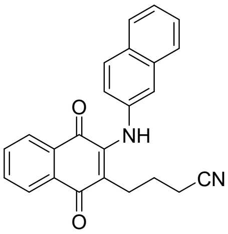
Yield 57%, red solid, m.p. 176-177°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.12 (ddd, *J* = 7.5, 3.7, 1.4 Hz, 2H), 7.75 (td, *J* = 7.5, 1.4 Hz, 1H), 7.68 (td, *J* = 7.5, 1.3 Hz, 1H), 7.51 (d, *J* = 8.2 Hz, 1H), 7.30 (s, 1H), 6.92 (dd, *J* = 8.2, 2.0 Hz, 1H), 6.87 (d, *J* = 2.1 Hz, 1H), 2.42 – 2.36 (m, 2H), 2.31 (s, 3H), 2.03 (t, *J* = 7.4 Hz, 2H), 1.60 (p, *J* = 7.5 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.8, 182.2, 142.5, 138.3, 137.3, 134.7, 133.1, 133.0, 132.8, 130.3, 127.9, 126.6, 126.4, 125.6, 120.1, 119.1, 115.9, 24.6, 23.3, 21.0, 16.9. **HRMS (ESI):** m/z calculated for $C_{21}H_{18}BrN_2O_2$ $[M+H]^+$: 409.0546, found: 409.0547.

4-(3-(Naphthalen-1-ylamino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3s)



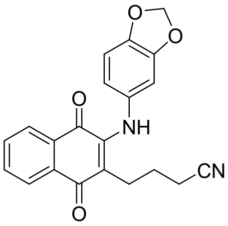
Yield 68%, red solid, m.p. 114-115°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.14 (ddd, *J* = 13.1, 7.6, 1.4 Hz, 2H), 8.01 (dd, *J* = 6.3, 3.4 Hz, 1H), 7.94 (dt, *J* = 7.1, 3.5 Hz, 1H), 7.83 (d, *J* = 8.3 Hz, 1H), 7.79 – 7.72 (m, 2H), 7.69 (td, *J* = 7.5, 1.4 Hz, 1H), 7.58 (dt, *J* = 6.3, 3.5 Hz, 2H), 7.48 (t, *J* = 7.8 Hz, 1H), 7.29 – 7.25 (m, 1H), 2.17 – 2.08 (m, 2H), 1.63 (t, *J* = 7.6 Hz, 2H), 1.36 (p, *J* = 7.7 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.7, 182.6, 144.1, 135.3, 134.8, 134.3, 133.2, 132.6, 130.3, 129.8, 128.8, 127.4, 127.3, 127.0, 126.6, 126.4, 125.2, 122.7, 122.3, 119.0, 118.1, 24.4, 23.9, 16.6. **HRMS (ESI):** m/z calculated for $C_{24}H_{19}N_2O_2$ $[M+H]^+$: 367.1441, found: 367.1445.

4-(3-(Naphthalen-2-ylamino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3t)



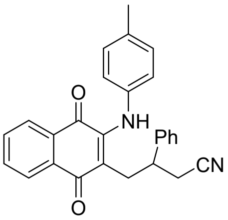
Yield 68%, red solid, m.p. 137-138°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.14 (ddd, *J* = 7.0, 5.1, 1.3 Hz, 2H), 7.85 (dd, *J* = 8.8, 2.5 Hz, 2H), 7.80 – 7.73 (m, 2H), 7.69 (td, *J* = 7.5, 1.3 Hz, 1H), 7.63 (s, 1H), 7.55 – 7.49 (m, 1H), 7.47 (dd, *J* = 5.0, 1.7 Hz, 2H), 7.28 (d, *J* = 2.3 Hz, 1H), 2.46 (dd, *J* = 8.3, 6.7 Hz, 2H), 1.90 (t, *J* = 7.6 Hz, 2H), 1.64 (p, *J* = 7.6 Hz, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.8, 182.6, 143.0, 136.7, 134.8, 133.4, 133.2, 132.7, 131.1, 130.3, 129.3, 127.9, 127.3, 127.2, 126.6, 126.4, 125.9, 122.7, 120.4, 119.8, 119.2, 24.9, 23.5, 16.6. **HRMS (ESI):** m/z calculated for $C_{24}H_{19}N_2O_2$ $[M+H]^+$: 367.1441, found: 367.1444.

4-(3-(Benzo[*d*][1,3]dioxol-5-ylamino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)butanenitrile (3u)



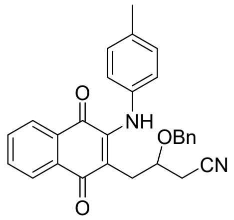
Yield 78%, red solid, m.p. 136-138°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.15 – 8.06 (m, 2H), 7.74 (td, *J* = 7.6, 1.4 Hz, 1H), 7.65 (td, *J* = 7.6, 1.3 Hz, 1H), 7.38 (s, 1H), 6.80 (d, *J* = 8.1 Hz, 1H), 6.68 – 6.59 (m, 2H), 6.02 (s, 2H), 2.49 – 2.37 (m, 2H), 2.10 (t, *J* = 7.3 Hz, 2H), 1.68 – 1.53 (m, 2H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.7, 182.5, 148.4, 146.2, 143.5, 134.7, 133.2, 133.1, 132.5, 130.2, 126.5, 126.3, 119.3, 118.1, 117.7, 108.2, 106.3, 101.9, 24.1, 23.7, 17.0. **HRMS (ESI):** m/z calculated for $C_{21}H_{17}N_2O_4$ $[M+H]^+$: 361.1183, found: 361.1181.

4-(1,4-Dioxo-3-(*p*-tolylamino)-1,4-dihydronaphthalen-2-yl)-3-phenylbutanenitrile (3aa)



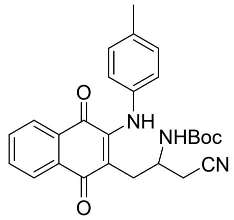
Yield 78%, red solid, m.p. 161-163°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.09 (dd, *J* = 7.7, 1.3 Hz, 1H), 8.06 (dd, *J* = 7.7, 1.3 Hz, 1H), 7.73 (td, *J* = 7.6, 1.4 Hz, 1H), 7.64 (td, *J* = 7.5, 1.3 Hz, 1H), 7.45 (s, 1H), 7.18 – 7.16 (m, 5H), 6.97 (d, *J* = 8.2 Hz, 2H), 6.88 (dd, *J* = 7.6, 1.9 Hz, 2H), 3.00 (p, *J* = 7.5 Hz, 1H), 2.86 (dd, *J* = 14.2, 7.7 Hz, 1H), 2.77 (dd, *J* = 14.1, 7.7 Hz, 1H), 2.38 (d, *J* = 1.2 Hz, 1H), 2.36 (s, 1H), 2.36 (s, 3H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.7, 182.5, 143.1, 141.1, 136.0, 135.6, 134.8, 133.0, 132.5, 130.1, 129.8, 128.5, 127.3, 127.0, 126.6, 126.3, 123.6, 118.5, 116.8, 40.5, 31.4, 23.7, 21.0. **HRMS (ESI):** m/z calculated for $C_{27}H_{23}N_2O_2$ $[M+H]^+$: 407.1754, found: 407.1758.

3-(Benzyloxy)-4-(1,4-dioxo-3-(*p*-tolylamino)-1,4-dihydronaphthalen-2-yl)butanen-itrile (3ab)



yield 62%, red solid, m.p. 112-113°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.09 (t, *J* = 7.7 Hz, 2H), 7.75 (td, *J* = 7.5, 1.4 Hz, 1H), 7.67 (td, *J* = 7.5, 1.4 Hz, 1H), 7.52 (s, 1H), 7.23 – 7.18 (m, 5H), 7.14 (d, *J* = 8.0 Hz, 2H), 6.87 (d, *J* = 7.9 Hz, 2H), 4.45 (d, *J* = 11.5 Hz, 1H), 4.27 (d, *J* = 11.5 Hz, 1H), 3.75 (p, *J* = 6.0 Hz, 1H), 2.91 (dd, *J* = 14.1, 6.7 Hz, 1H), 2.64 (dd, *J* = 14.1, 6.1 Hz, 1H), 2.34 (s, 3H), 2.32 (s, 1H), 2.31 (s, 1H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 183.6, 182.2, 143.8, 137.4, 136.5, 135.4, 134.6, 133.0, 132.6, 130.5, 129.8, 128.4, 128.0, 127.9, 126.5, 126.4, 123.4, 117.6, 116.3, 73.9, 72.1, 30.6, 23.3, 21.0. **HRMS (ESI):** m/z calculated for $C_{28}H_{25}N_2O_3$ $[M+H]^+$: 437.1860, found: 437.1864.

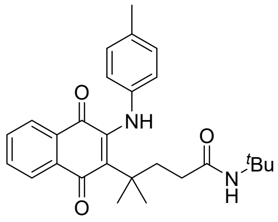
***Tert*-butyl (1-cyano-3-(1,4-dioxo-3-(p-tolylamino)-1,4-dihydronaphthalen-2-yl)pr-opan-2-yl)carbamate (3ac)**



Yield 65%, red solid, m.p. 178-180°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.13

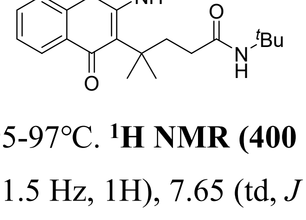
(dd, *J* = 7.7, 1.3 Hz, 1H), 8.10 (dd, *J* = 7.7, 1.3 Hz, 1H), 7.76 (td, *J* = 7.5, 1.4 Hz, 1H), 7.67 (td, *J* = 7.6, 1.3 Hz, 1H), 7.62 (s, 1H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.08 (d, *J* = 7.8 Hz, 2H), 4.89 (d, *J* = 8.0 Hz, 1H), 3.67 (dq, *J* = 8.9, 4.8 Hz, 1H), 2.90 (dd, *J* = 14.3, 10.2 Hz, 1H), 2.48 (dd, *J* = 16.7, 5.2 Hz, 1H), 2.38 (s, 3H), 1.86 (dd, *J* = 16.8, 4.4 Hz, 1H), 1.29 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 184.2, 182.3, 155.2, 144.0, 136.8, 135.9, 134.8, 133.1, 132.6, 130.2, 130.0, 126.7, 126.4, 125.2, 116.9, 114.3, 46.6, 28.4, 28.3, 28.2, 23.7, 21.0. **HRMS (ESI):** m/z calculated for $C_{26}H_{28}N_3O_4$ $[M+H]^+$: 446.2074, found: 446.2078.

N-(*tert*-butyl)-4-(1,4-dioxo-3-(*p*-tolylamino)-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7a)



Yield 65%, purple solid, m.p. 102-103°C. **^{1}H NMR (400 MHz, Chloroform-d)** δ 7.98 (dd, *J* = 12.4, 7.8 Hz, 2H), 7.66 (dt, *J* = 26.5, 7.5 Hz, 2H), 7.12 (s, 1H), 7.06 (d, *J* = 8.1 Hz, 2H), 6.84 (d, *J* = 8.4 Hz, 2H), 5.24 (s, 1H), 2.28 (s, 3H), 2.01 (td, *J* = 8.5, 7.9, 4.3 Hz, 4H), 1.31 (s, 6H), 1.27 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.0, 182.5, 172.7, 144.3, 142.1, 137.0, 134.3, 134.1, 132.7, 132.4, 130.9, 129.8, 126.1, 126.0, 120.1, 51.1, 39.7, 38.3, 33.9, 28.7, 28.1, 20.8. **HRMS (ESI):** m/z calculated for $C_{27}H_{33}N_2O_3$ $[M+H]^+$: 433.2486, found: 433.2489.

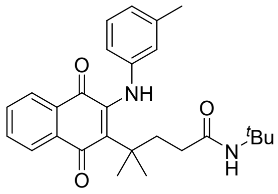
N-(*tert*-butyl)-4-(1,4-dioxo-3-(phenylamino)-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7b)



Yield 61%, purple solid, m.p. 95-97°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.03 – 7.96 (m, 2H), 7.71 (td, *J* = 7.5, 1.5 Hz, 1H), 7.65 (td, *J* = 7.5, 1.4 Hz, 1H), 7.30 – 7.23 (m, 2H), 7.18 (s, 1H), 7.00 (tt, *J* = 7.3, 1.1 Hz, 1H), 6.94 (d, *J* = 1.4 Hz, 1H), 6.93 – 6.90 (m, 1H), 5.19 (s, 1H), 2.07 – 2.01 (m, 4H), 1.33 (s, 6H), 1.27 (s, 9H). **^{13}C NMR**

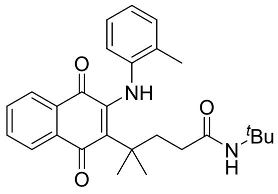
(100 MHz, Chloroform-*d*) δ 187.2, 182.4, 172.7, 144.6, 143.9, 138.3, 134.3, 134.1, 132.8, 130.9, 129.2, 126.1, 126.0, 122.5, 119.7, 51.1, 39.8, 38.2, 33.9, 28.7, 28.1. **HRMS (ESI):** m/z calculated for $C_{26}H_{31}N_2O_3$ $[M+H]^+$: 419.2329, found: 419.2331.

N-(*tert*-butyl)-4-(1,4-dioxo-3-(*m*-tolylamino)-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7c)



Yield 58%, purple solid, m.p. 98-99°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.00 (ddd, *J* = 10.7, 7.5, 1.4 Hz, 2H), 7.71 (td, *J* = 7.5, 1.5 Hz, 1H), 7.65 (td, *J* = 7.5, 1.4 Hz, 1H), 7.14 (t, *J* = 7.7 Hz, 1H), 6.81 (d, *J* = 7.6 Hz, 1H), 6.76 (s, 1H), 6.71 (dd, *J* = 7.9, 2.1 Hz, 1H), 5.20 (s, 1H), 2.29 (s, 3H), 2.08 – 1.97 (m, 4H), 1.32 (s, 6H), 1.27 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.1, 182.5, 172.6, 144.5, 143.9, 139.1, 137.8, 134.3, 134.1, 132.8, 130.8, 129.1, 126.1, 126.1, 123.5, 120.3, 116.8, 51.1, 39.8, 38.3, 33.9, 28.7, 27.9, 21.4. **HRMS (ESI):** m/z calculated for $C_{27}H_{33}N_2O_3$ $[M+H]^+$: 433.2486, found: 433.2487.

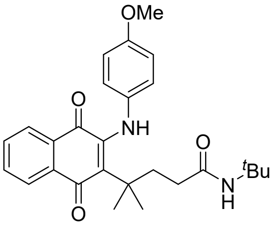
N-(*tert*-butyl)-4-(1,4-dioxo-3-(*o*-tolylamino)-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7d)



Yield 56%, purple solid, m.p. 97-98°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.02 (dd, *J* = 7.8, 1.3 Hz, 1H), 7.98 (dd, *J* = 7.6, 1.4 Hz, 1H), 7.72 (td, *J* = 7.6, 1.4 Hz, 1H), 7.65 (td, *J* = 7.5, 1.4 Hz, 1H), 7.20 (d, *J* = 7.4 Hz, 1H), 7.07 (td, *J* = 7.7, 1.6 Hz, 1H), 6.96 (td, *J* = 7.4, 1.2 Hz, 1H), 6.78 – 6.72 (m, 2H), 5.14 (s, 1H), 2.39 (s, 3H), 2.05 – 1.99 (m, 4H), 1.28 (s, 6H), 1.25 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.0, 182.5, 172.4, 144.7, 143.1, 137.0, 134.3, 134.2, 132.7, 131.0, 130.7, 128.8, 126.5, 126.1, 126.1, 123.1, 119.6, 51.1, 39.7, 38.4, 33.8, 28.7, 27.6, 18.1. **HRMS (ESI):** m/z calculated for $C_{27}H_{33}N_2O_3$ $[M+H]^+$: 433.2486, found: 433.2487.

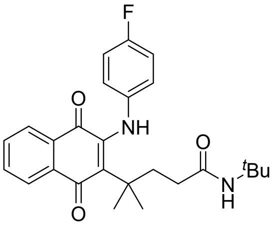
N-(*tert*-butyl)-4-(3-((4-methoxyphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-

2-yl)-4-methylpentanamide (7e)



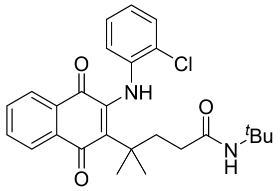
Yield 51%, purple solid, m.p. 90-92°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.00 (ddd, *J* = 9.2, 7.7, 1.4 Hz, 2H), 7.71 (td, *J* = 7.5, 1.5 Hz, 1H), 7.64 (td, *J* = 7.5, 1.4 Hz, 1H), 7.10 (s, 1H), 6.93 (s, 1H), 6.91 (s, 1H), 6.84 (s, 1H), 6.81 (s, 1H), 5.19 (s, 1H), 3.78 (s, 3H), 2.01 – 2.00 (m, 4H), 1.29 (s, 6H), 1.29 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 186.9, 182.7, 172.6, 155.9, 144.8, 137.8, 135.5, 134.4, 134.2, 132.6, 130.7, 126.1, 126.0, 122.2, 114.5, 55.5, 51.1, 39.5, 38.2, 33.9, 28.8, 28.0. **HRMS (ESI):** m/z calculated for $C_{27}H_{33}N_2O_4$ $[M+H]^+$: 449.2435, found: 449.2438.

N-(*tert*-butyl)-4-(3-((4-fluorophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7f)



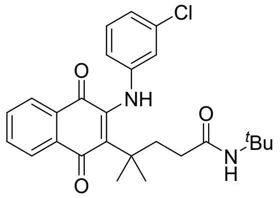
Yield 58%, purple solid, m.p. 141-143°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.98 (ddd, *J* = 9.4, 7.6, 1.4 Hz, 2H), 7.71 (td, *J* = 7.5, 1.5 Hz, 1H), 7.65 (td, *J* = 7.5, 1.4 Hz, 1H), 7.25 (s, 1H), 6.97 (t, *J* = 8.6 Hz, 2H), 6.92 – 6.87 (m, 2H), 5.22 (s, 1H), 2.05 (t, *J* = 2.4 Hz, 4H), 1.31 (s, 6H), 1.28 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.2, 182.3, 172.7, 159.8, 157.4, 144.3, 140.7 (d, *J* = 2.8 Hz), 138.0, 134.3, 134.1, 132.8, 130.9, 126.0 (d, *J* = 9.8 Hz), 121.3 (d, *J* = 8.0 Hz), 115.9 (d, *J* = 22.7 Hz), 51.2, 39.7, 38.0, 33.9, 28.7, 28.2. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -120.73 (tt, *J* = 8.5, 4.5 Hz). **HRMS (ESI):** m/z calculated for $C_{26}H_{30}FN_2O_3$ $[M+H]^+$: 437.2235, found: 437.2236.

N-(*tert*-butyl)-4-(3-((2-chlorophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7g)



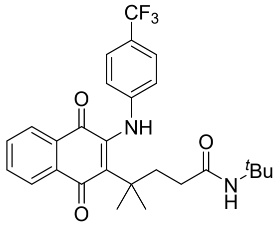
Yield 46%, purple solid, m.p. 90-92°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.99 (t, *J* = 6.5 Hz, 2H), 7.69 (dt, *J* = 22.1, 7.4 Hz, 2H), 7.37 (s, 1H), 7.17 (t, *J* = 8.0 Hz, 1H), 6.92 (d, *J* = 8.0 Hz, 1H), 6.86 (t, *J* = 1.5 Hz, 1H), 6.77 (dd, *J* = 8.1, 2.2 Hz, 1H), 5.26 (s, 1H), 2.12 – 2.04 (m, 4H), 1.34 (s, 6H), 1.27 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.2, 181.8, 172.2, 143.3, 141.2, 141.2, 134.2, 134.2, 133.0, 130.9, 129.9, 127.3, 126.2, 123.5, 122.5, 119.0, 53.4, 51.1, 40.0, 38.5, 33.9, 28.7, 28.3. **HRMS (ESI):** m/z calculated for $C_{26}H_{30}ClN_2O_3$ $[M+H]^+$: 453.1939, found: 453.1942.

N-(*tert*-butyl)-4-(3-((3-chlorophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7h)



Yield 48%, purple solid, m.p. 99-101°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.99 (ddd, *J* = 7.3, 5.6, 1.5 Hz, 2H), 7.71 (td, *J* = 7.5, 1.5 Hz, 1H), 7.66 (td, *J* = 7.5, 1.4 Hz, 1H), 7.37 (s, 1H), 7.17 (t, *J* = 8.0 Hz, 1H), 6.92 (dd, *J* = 7.9, 1.9 Hz, 1H), 6.86 (t, *J* = 2.1 Hz, 1H), 6.76 (dd, *J* = 8.1, 2.2 Hz, 1H), 5.25 (s, 1H), 2.12 – 2.05 (m, 4H), 1.34 (s, 6H), 1.26 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 186.4, 180.8, 171.8, 145.0, 142.2, 140.0, 133.8, 133.2, 133.1, 131.9, 130.0, 129.1, 125.2, 125.0, 120.7, 117.7, 116.0, 50.2, 39.1, 37.1, 33.0, 27.7, 27.5. **HRMS (ESI):** m/z calculated for $C_{26}H_{30}ClN_2O_3$ $[M+H]^+$: 453.1939, found: 453.1940.

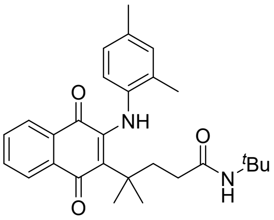
N-(*tert*-butyl)-4-(1,4-dioxo-3-((4-(trifluoromethyl)phenyl)amino)-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7i)



Yield 56%, purple solid, m.p. 102-105°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ

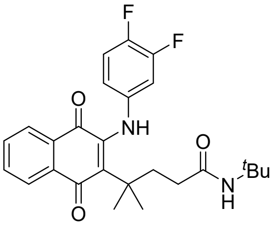
7.97 (ddd, *J* = 7.2, 3.3, 1.5 Hz, 2H), 7.78 (s, 1H), 7.74 – 7.69 (m, 1H), 7.66 (td, *J* = 7.4, 1.5 Hz, 1H), 7.48 (s, 1H), 7.46 (s, 1H), 6.88 (s, 1H), 6.86 (s, 1H), 5.28 (s, 1H), 2.15 (s, 4H), 1.35 (s, 6H), 1.25 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.9, 181.3, 173.2, 147.7, 143.5, 143.1, 134.3, 133.9, 133.0, 131.4, 126.4 (d, *J* = 3.8 Hz), 126.2, 126.0, 123.3, 122.7, 122.4, 117.4, 51.4, 40.3, 38.0, 34.3, 29.2, 28.6. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -61.47. **HRMS (ESI):** m/z calculated for $C_{27}H_{30}F_3N_2O_3$ $[M+H]^+$: 487.2203, found: 487.2206.

_N_-(_tert_-butyl)-4-(3-((2,4-dimethylphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7j)



Yield 66%, purple solid, m.p. 86-88°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.02 (dd, *J* = 7.6, 1.3 Hz, 1H), 7.98 (dd, *J* = 7.6, 1.4 Hz, 1H), 7.71 (td, *J* = 7.5, 1.4 Hz, 1H), 7.63 (td, *J* = 7.5, 1.4 Hz, 1H), 7.03 – 7.01 (m, 1H), 6.87 (dd, *J* = 8.1, 2.1 Hz, 1H), 6.72 (s, 1H), 6.67 (d, *J* = 8.1 Hz, 1H), 5.15 (s, 1H), 2.35 (s, 3H), 2.28 (s, 3H), 2.07 – 1.95 (m, 4H), 1.28 (s, 6H), 1.25 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 186.8, 182.6, 172.5, 145.1, 140.5, 135.6, 134.3, 134.2, 132.9, 132.6, 131.7, 130.7, 129.0, 127.0, 126.1, 126.0, 120.1, 51.0, 39.5, 38.4, 33.8, 28.7, 27.6, 20.8, 18.0. **HRMS (ESI):** m/z calculated for $C_{28}H_{35}N_2O_3$ $[M+H]^+$: 447.2642, found: 447.2647.

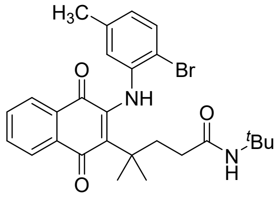
_N_-(_tert_-butyl)-4-(3-((3,4-difluorophenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)-4-methylpentanamide (7k)



Yield 45%, purple solid, m.p. 113-114°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.97 (td, *J* = 7.6, 1.5 Hz, 2H), 7.71 (td, *J* = 7.5, 1.5 Hz, 1H), 7.65 (td, *J* = 7.5, 1.5 Hz, 1H), 7.49 (s, 1H), 7.04 (dt, *J* = 10.1, 8.8 Hz, 1H), 6.71 (ddd, *J* = 11.7, 6.8, 2.7 Hz, 1H), 6.62 – 6.57 (m, 1H), 5.26 (s, 1H), 2.09 (d, *J* = 2.8 Hz, 4H), 1.33 (s, 6H), 1.27 (s, 9H).

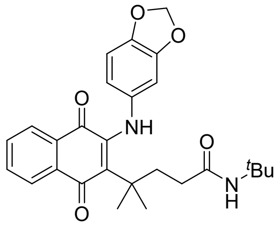
^{13}C NMR (100 MHz, Chloroform-*d*) δ 187.5, 181.8, 173.0, 149.3 (d, J = 14.0 Hz), 144.6 (d, J = 12.8 Hz), 143.6, 141.4 (dd, J = 8.2, 2.7 Hz), 140.6, 134.3, 134.1, 132.9, 131.1, 126.2, 126.0, 117.4 (dd, J = 18.2, 1.3 Hz), 114.6 (dd, J = 5.8, 3.3 Hz), 108.3 (d, J = 20.2 Hz), 51.3, 40.0, 37.9, 34.0, 28.7, 28.6. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -136.40 (ddd, *J* = 21.1, 11.7, 8.7 Hz), -146.66 (dddd, *J* = 21.1, 10.5, 6.9, 3.6 Hz). **HRMS (ESI):** m/z calculated for $C_{26}H_{29}F_2N_2O_3$ $[M+H]^+$: 455.2141, found: 455.2140.

4-(3-((2-Bromo-5-methylphenyl)amino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)-*N*-(*tert*-butyl)-4-methylpentanamide (7l)



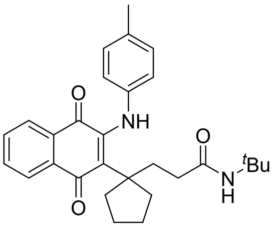
Yield 40%, purple solid, m.p. 168-169°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.03 (dd, *J* = 12.2, 7.6 Hz, 2H), 7.74 (t, *J* = 7.5 Hz, 1H), 7.68 (t, *J* = 7.4 Hz, 1H), 7.42 (d, *J* = 8.1 Hz, 1H), 6.81 (s, 1H), 6.67 (d, *J* = 8.2 Hz, 1H), 6.58 (s, 1H), 5.22 (s, 1H), 2.08 (d, *J* = 8.2 Hz, 2H), 2.02 (d, *J* = 8.3 Hz, 2H), 1.34 (s, 6H), 1.26 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.0, 182.0, 172.2, 143.1, 142.0, 139.9, 138.1, 134.3, 133.0, 132.7, 130.7, 126.3, 126.2, 124.2, 119.9, 110.8, 51.1, 40.0, 38.6, 33.8, 28.7, 27.7, 21.1. **HRMS (ESI):** m/z calculated for $C_{27}H_{32}BrN_2O_3$ $[M+H]^+$: 511.1591, found: 511.1595.

4-(3-(Benzo[*d*][1,3]dioxol-5-ylamino)-1,4-dioxo-1,4-dihydronaphthalen-2-yl)-*N*-(*tert*-butyl)-4-methylpentanamide (7m)



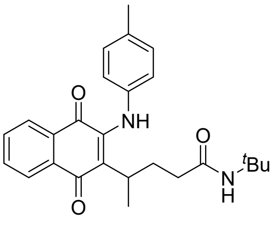
Yield 59%, purple solid, m.p. 90-91°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.01 – 7.95 (m, 2H), 7.67 (ddd, *J* = 26.3, 7.5, 6.1 Hz, 2H), 7.12 (s, 1H), 6.70 (d, *J* = 8.2 Hz, 1H), 6.51 (d, *J* = 2.2 Hz, 1H), 6.41 (dd, *J* = 8.3, 2.3 Hz, 1H), 5.94 (s, 2H), 5.21 (s, 1H), 2.03 (q, *J* = 3.9 Hz, 4H), 1.32 (s, 6H), 1.28 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.0, 182.5, 172.7, 148.3, 144.5, 143.6, 139.3, 136.7, 134.3, 134.2, 132.7, 130.8, 126.1, 126.0, 113.2, 108.4, 102.7, 101.3, 51.1, 39.6, 38.1, 33.9, 28.7, 28.1. **HRMS (ESI):** m/z calculated for $C_{27}H_{31}N_2O_5$ $[M+H]^+$: 463.2227, found: 463.2228.

N-(*tert*-butyl)-3-(1-(1,4-dioxo-3-(*p*-tolylamino)-1,4-dihydronaphthalen-2-yl)cyclopentyl)propanamide (7p)



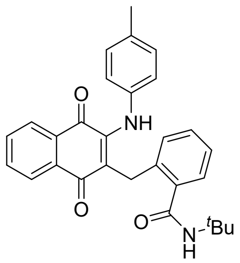
Yield 58%, purple solid, m.p. 118-120°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.96 (d, *J* = 7.6 Hz, 1H), 7.90 (d, *J* = 7.5 Hz, 1H), 7.67 (t, *J* = 7.4 Hz, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.09 (s, 1H), 7.07 (s, 1H), 6.81 (s, 1H), 6.79 (s, 1H), 5.33 (s, 1H), 2.31 (s, 4H), 2.22 (t, *J* = 7.3 Hz, 2H), 2.06 (t, *J* = 6.9 Hz, 2H), 1.69 – 1.58 (m, 4H), 1.28 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 187.1, 181.8, 173.2, 145.3, 141.3, 138.0, 133.9, 133.6, 132.6, 132.0, 131.9, 129.7, 126.0, 125.7, 120.0, 51.3, 50.6, 38.9, 35.0, 33.4, 29.7, 28.7, 23.1, 20.8, 14.1. **HRMS (ESI):** m/z calculated for $C_{29}H_{35}N_2O_5$ $[M+H]^+$: 459.2642, found: 459.2645.

N-(*tert*-butyl)-4-(1,4-dioxo-3-(*p*-tolylamino)-1,4-dihydronaphthalen-2-yl)pentanamide (7q)



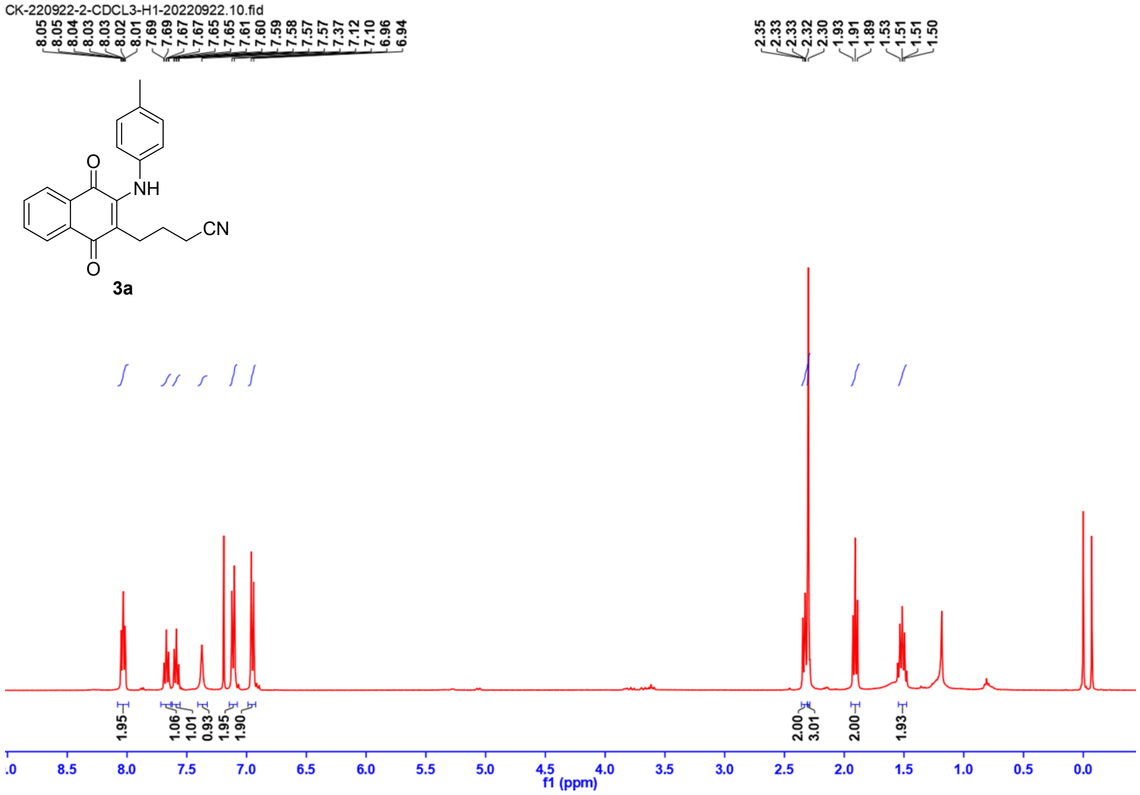
Yield 60%, purple solid, m.p. 105-107°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.08 – 8.01 (m, 2H), 7.72 (td, *J* = 7.5, 1.4 Hz, 1H), 7.63 (td, *J* = 7.5, 1.3 Hz, 1H), 7.15 – 7.13 (m, 1H), 7.12 – 7.10 (m, 1H), 6.97 (s, 1H), 6.95 (s, 1H), 5.15 (s, 1H), 2.61 (p, *J* = 6.9 Hz, 1H), 2.34 (s, 3H), 2.04 (d, *J* = 1.5 Hz, 1H), 1.97 – 1.92 (m, 1H), 1.91 – 1.83 (m, 1H), 1.83 – 1.73 (m, 1H), 1.29 (s, 9H), 1.22 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 184.2, 182.8, 172.3, 144.2, 139.1, 134.4, 134.3, 133.8, 132.3, 130.5, 129.8, 126.1, 126.1, 126.0, 122.4, 51.1, 36.3, 33.2, 31.1, 28.8, 20.9, 17.8. **HRMS (ESI):** m/z calculated for $C_{26}H_{31}N_2O_3$ $[M+H]^+$: 419.2329, found: 419.2327.

N-(*tert*-butyl)-2-((1,4-dioxo-3-(*p*-tolylamino)-1,4-dihydronaphthalen-2-yl)methyl)benzamide (7r)

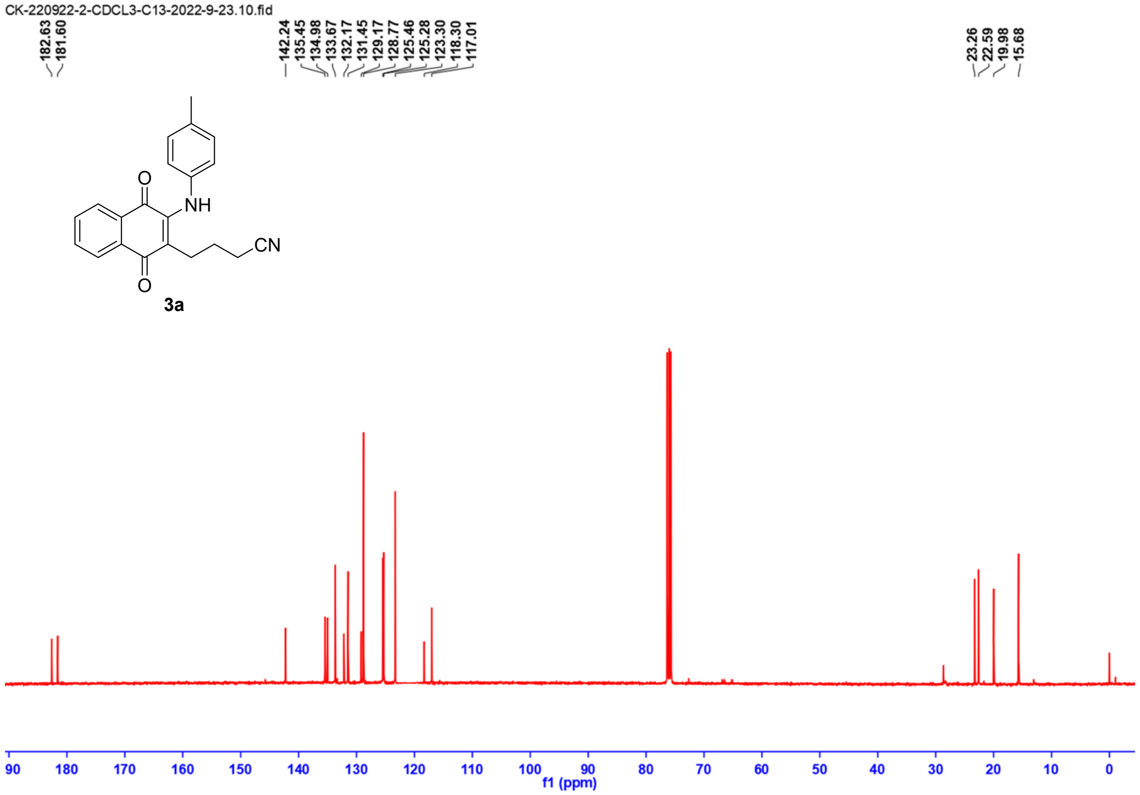


Yield 32%, purple solid, m.p. 154-156°C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.37 (s, 1H), 8.05 (t, *J* = 1.7 Hz, 1H), 8.03 (t, *J* = 1.8 Hz, 1H), 7.69 (td, *J* = 7.5, 1.4 Hz, 1H), 7.63 (td, *J* = 7.5, 1.4 Hz, 1H), 7.33 (dd, *J* = 7.5, 1.7 Hz, 1H), 7.24 (s, 1H), 7.17 (td, *J* = 7.4, 1.7 Hz, 1H), 7.12 (td, *J* = 7.4, 1.5 Hz, 1H), 7.05 (dd, *J* = 8.2, 2.5 Hz, 3H), 6.90 (s, 1H), 6.88 (s, 1H), 3.79 (s, 2H), 2.30 (s, 3H), 1.48 (s, 9H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 184.1, 182.6, 170.0, 145.2, 137.8, 137.3, 137.2, 134.7, 134.3, 133.1, 132.5, 131.1, 129.7, 129.6, 128.7, 127.5, 126.4, 126.3, 126.1, 122.8, 121.0, 51.8, 28.8, 28.5, 20.9. **HRMS (ESI):** m/z calculated for $C_{29}H_{29}N_2O_3$ $[M+H]^+$: 453.2173, found: 453.2175.

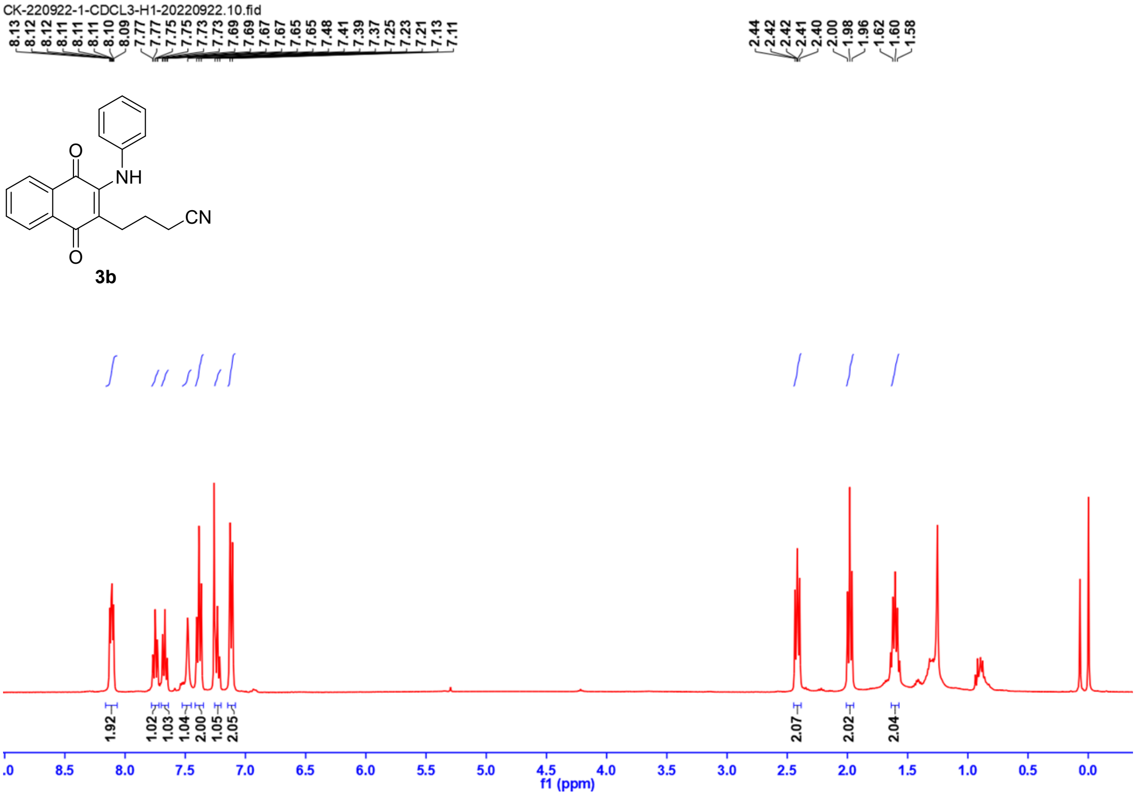
8. NMR spectra



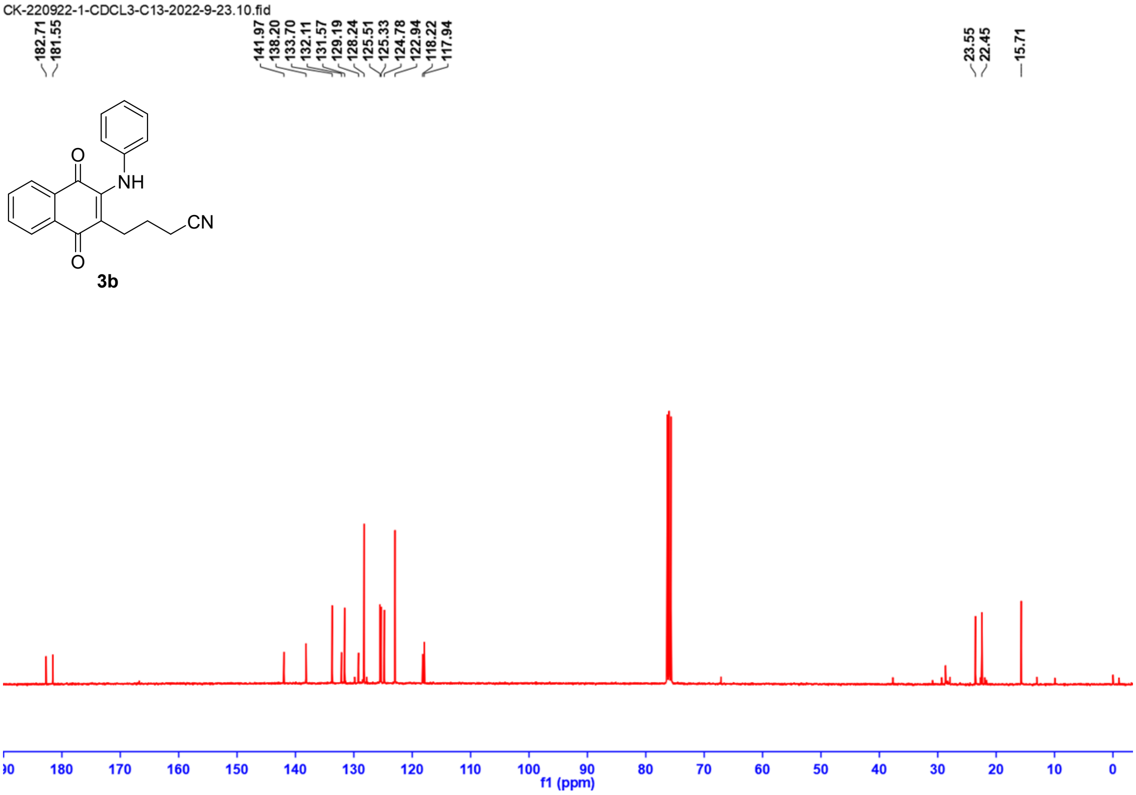
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3a**



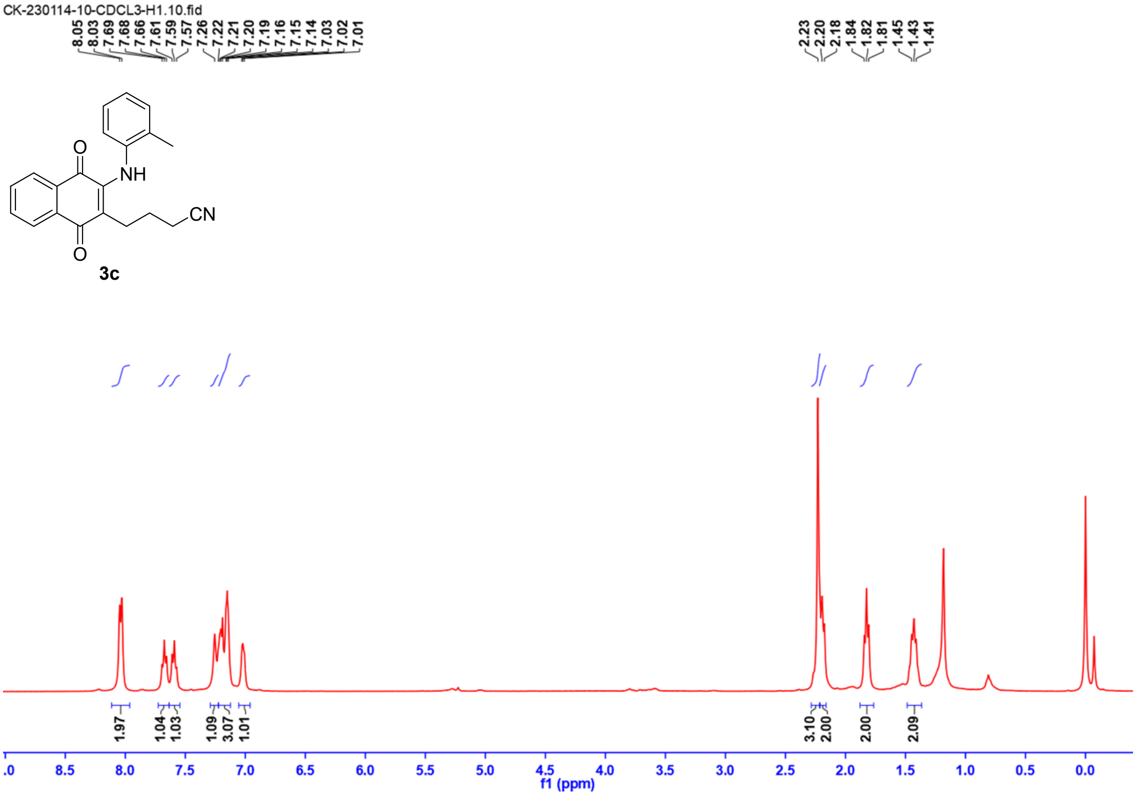
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3a**



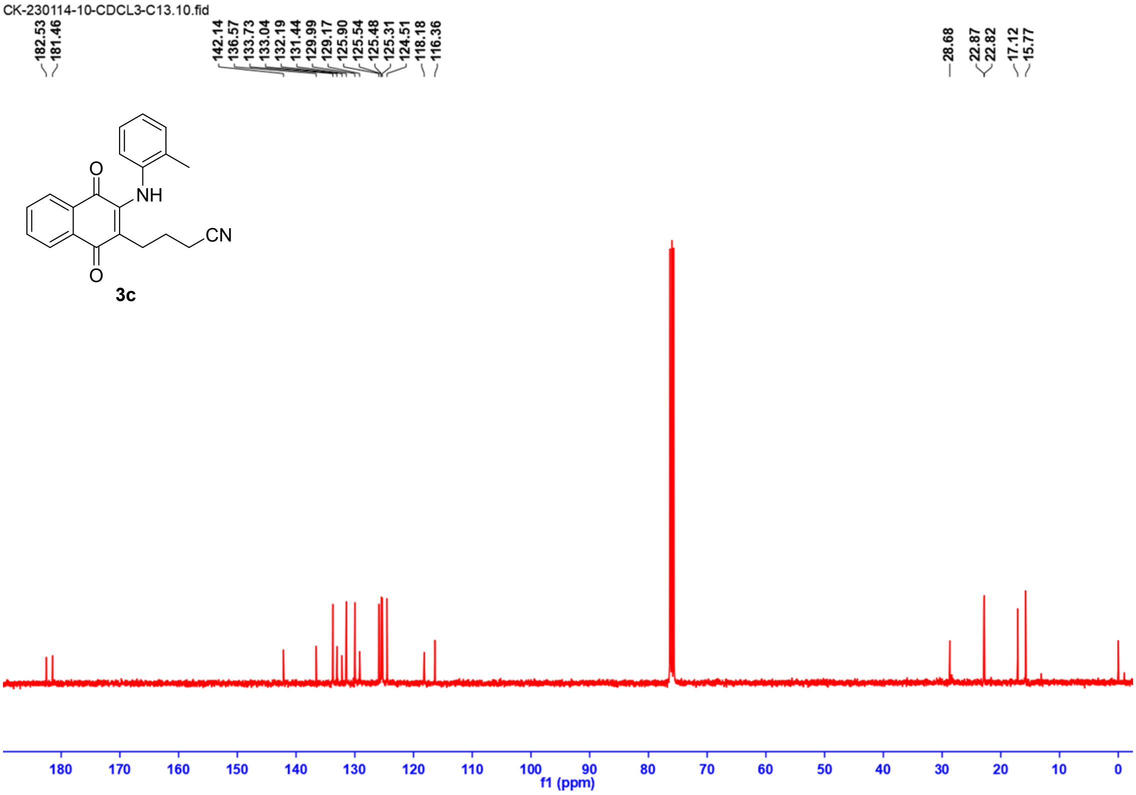
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3b**



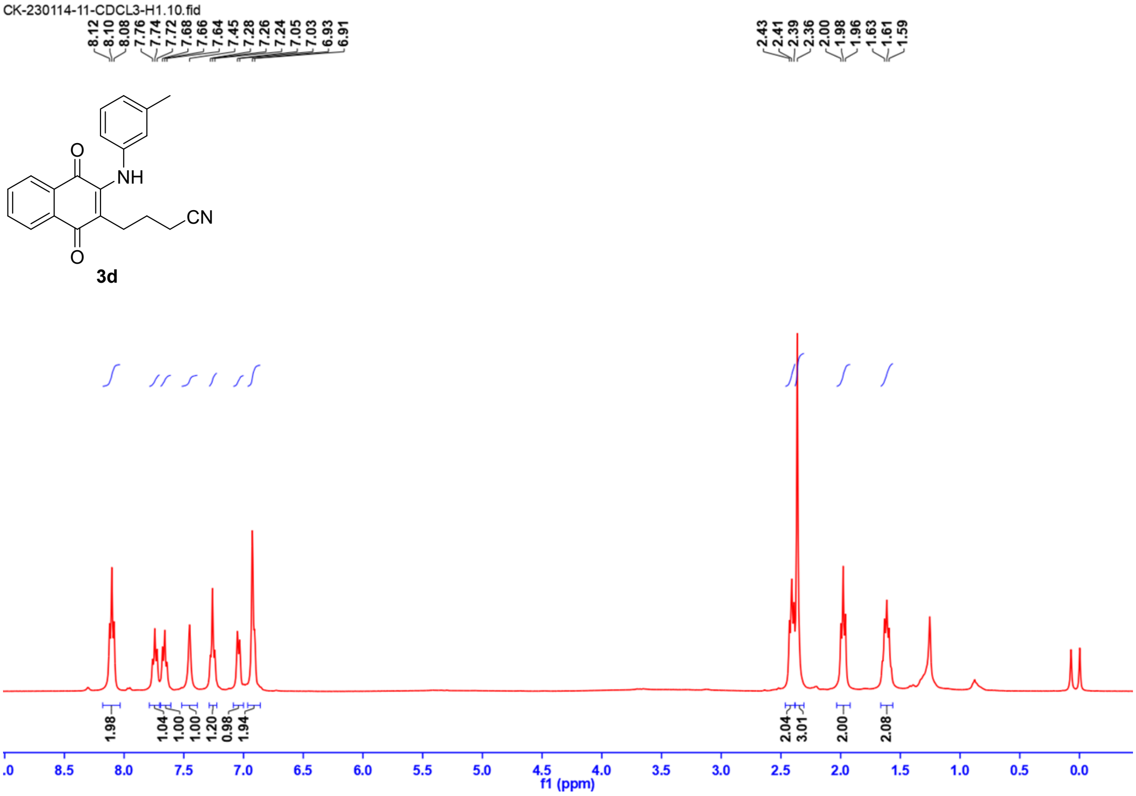
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3b**



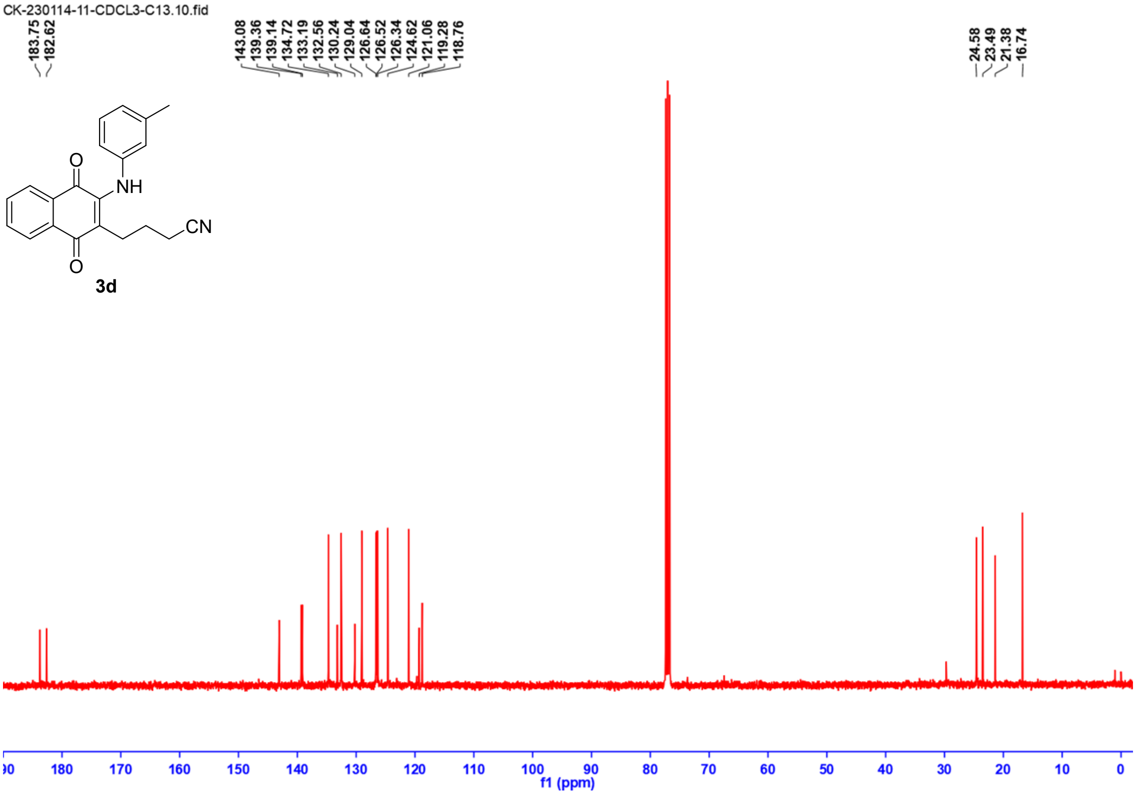
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3c**



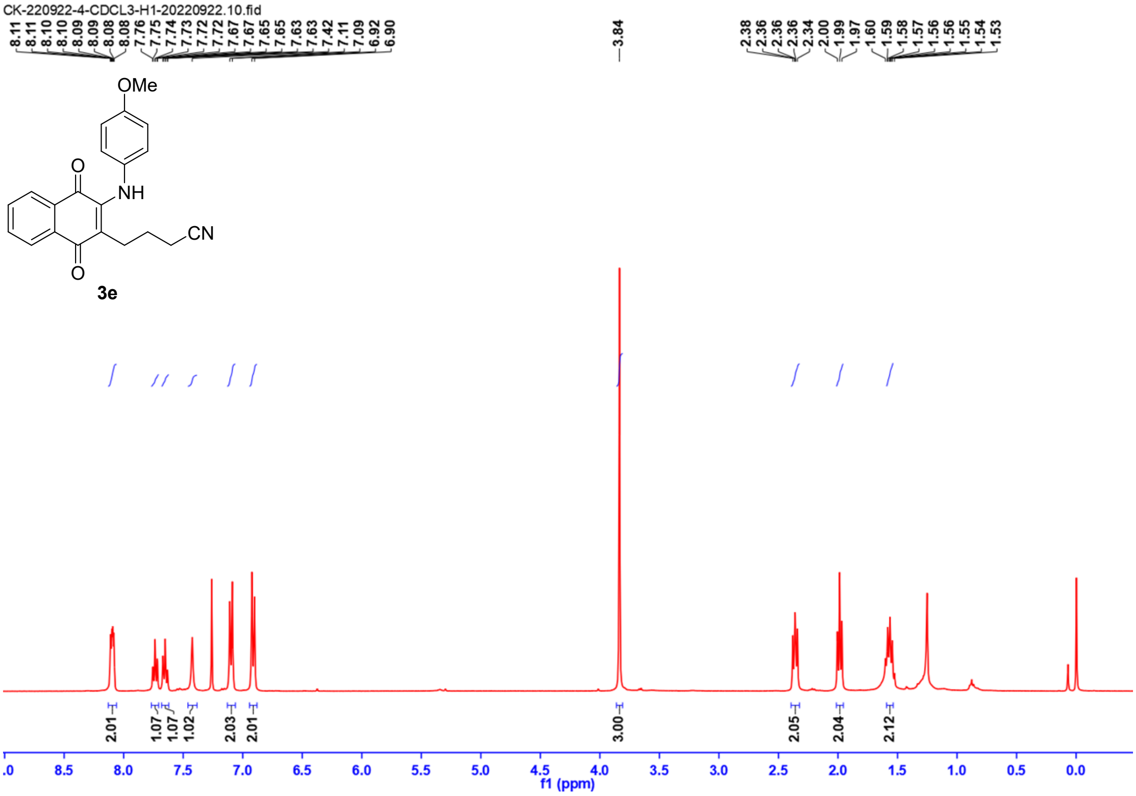
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3c**



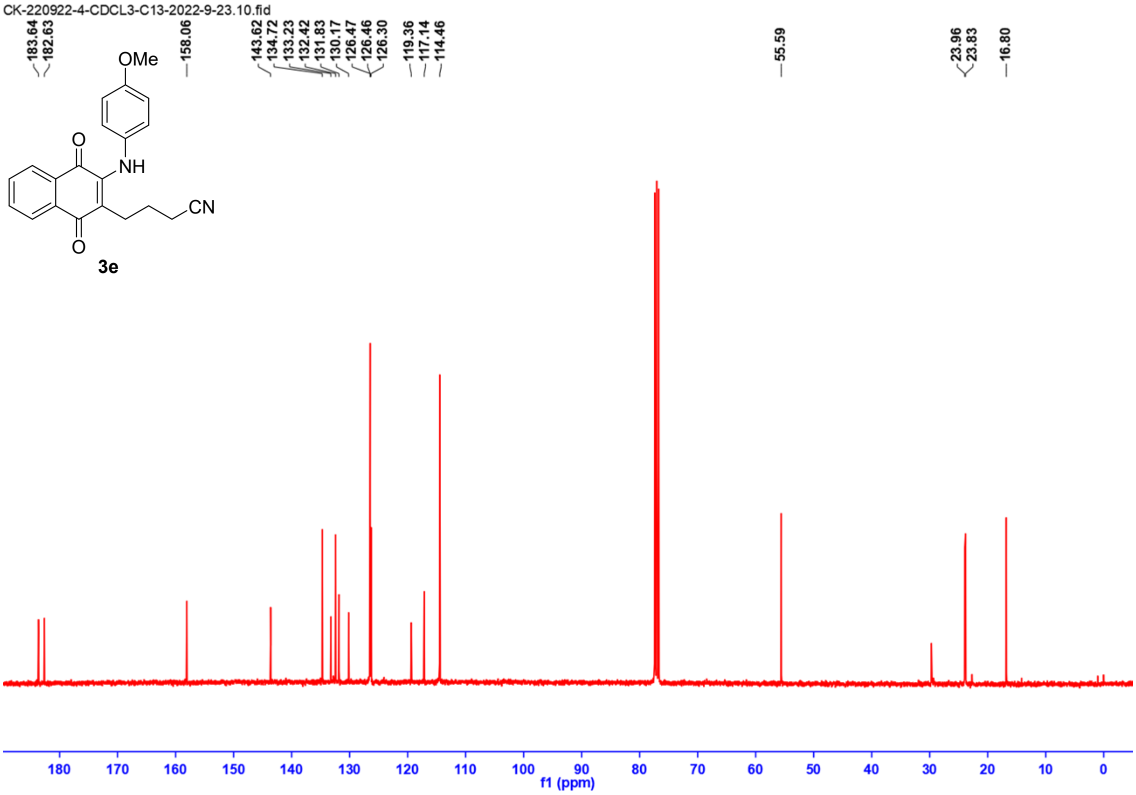
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3d**



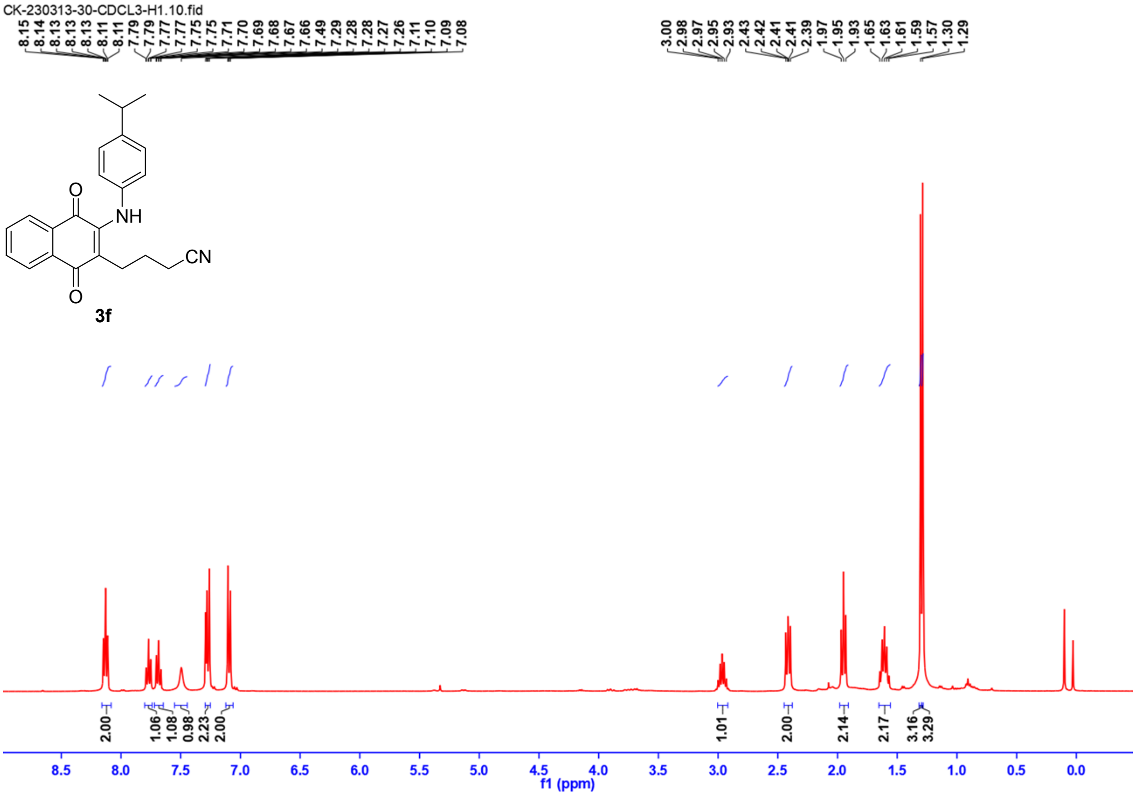
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3d**



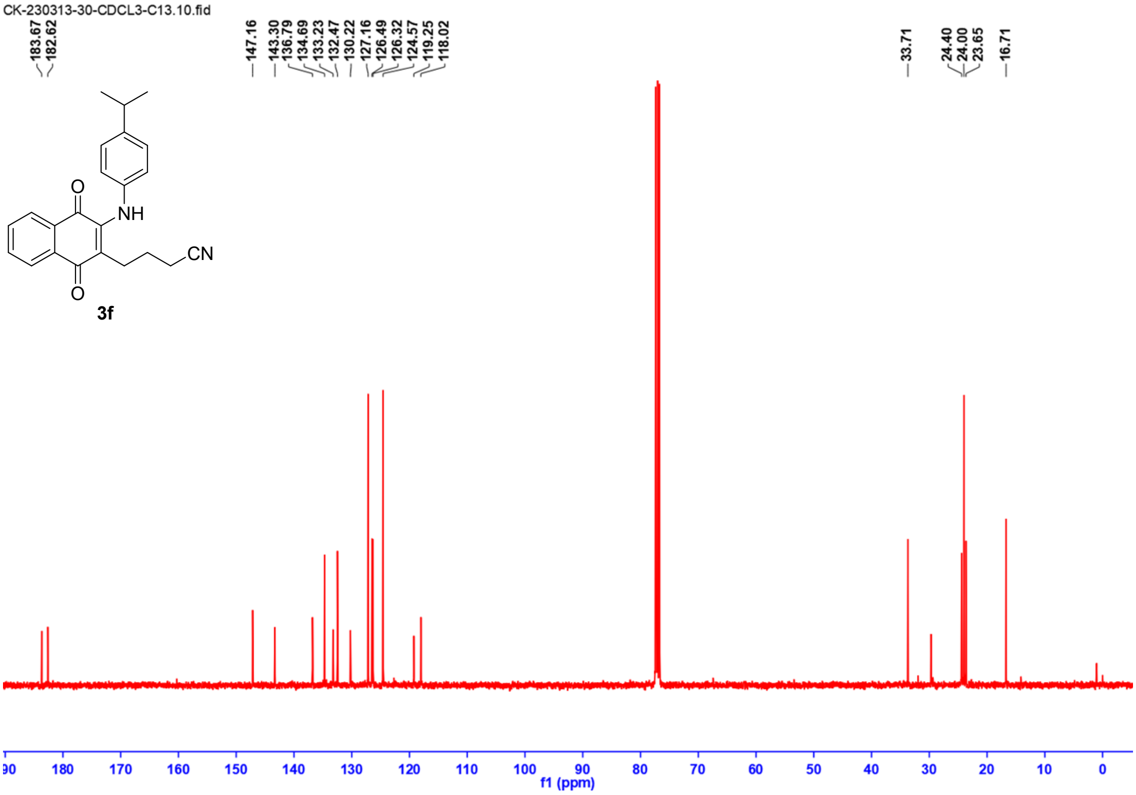
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3e**



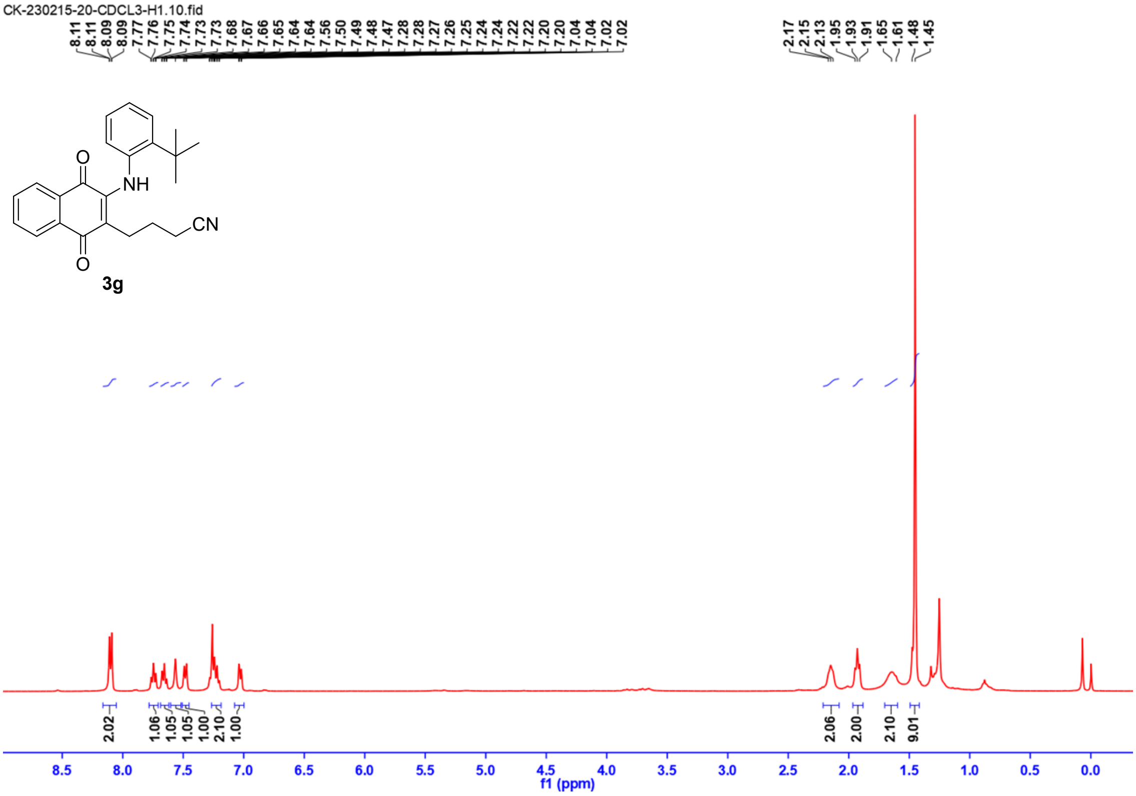
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3e**



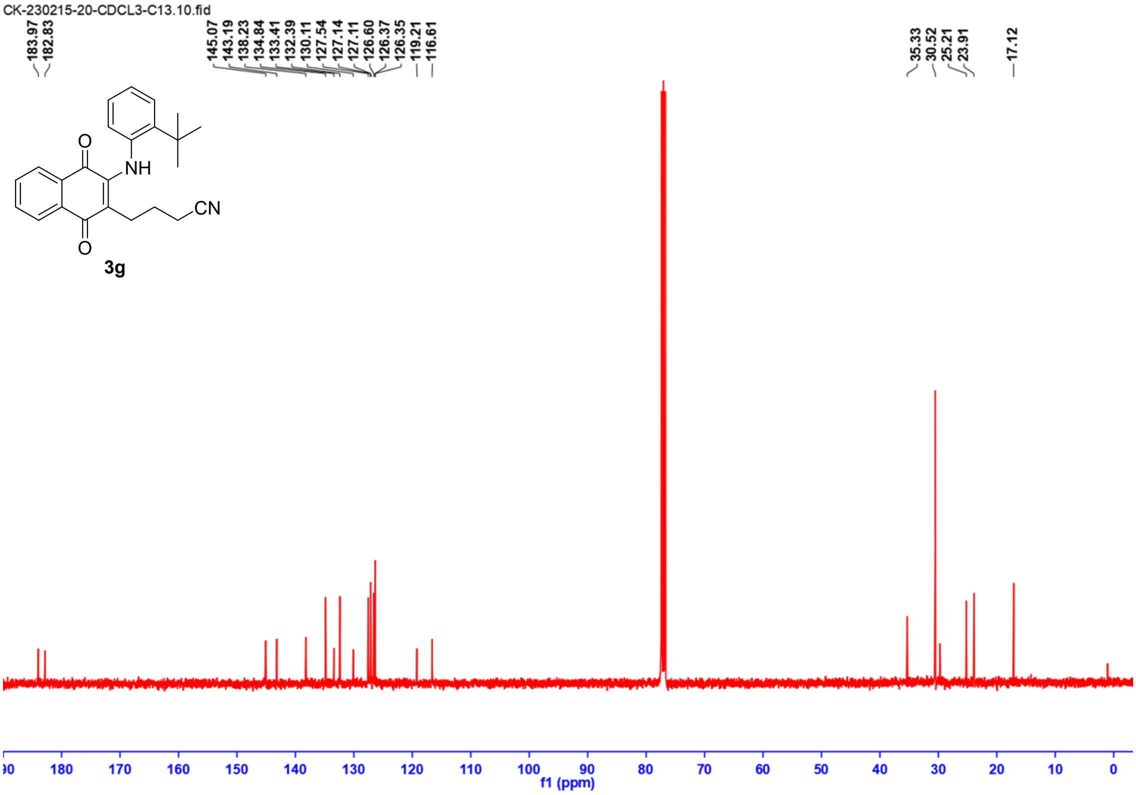
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3f**



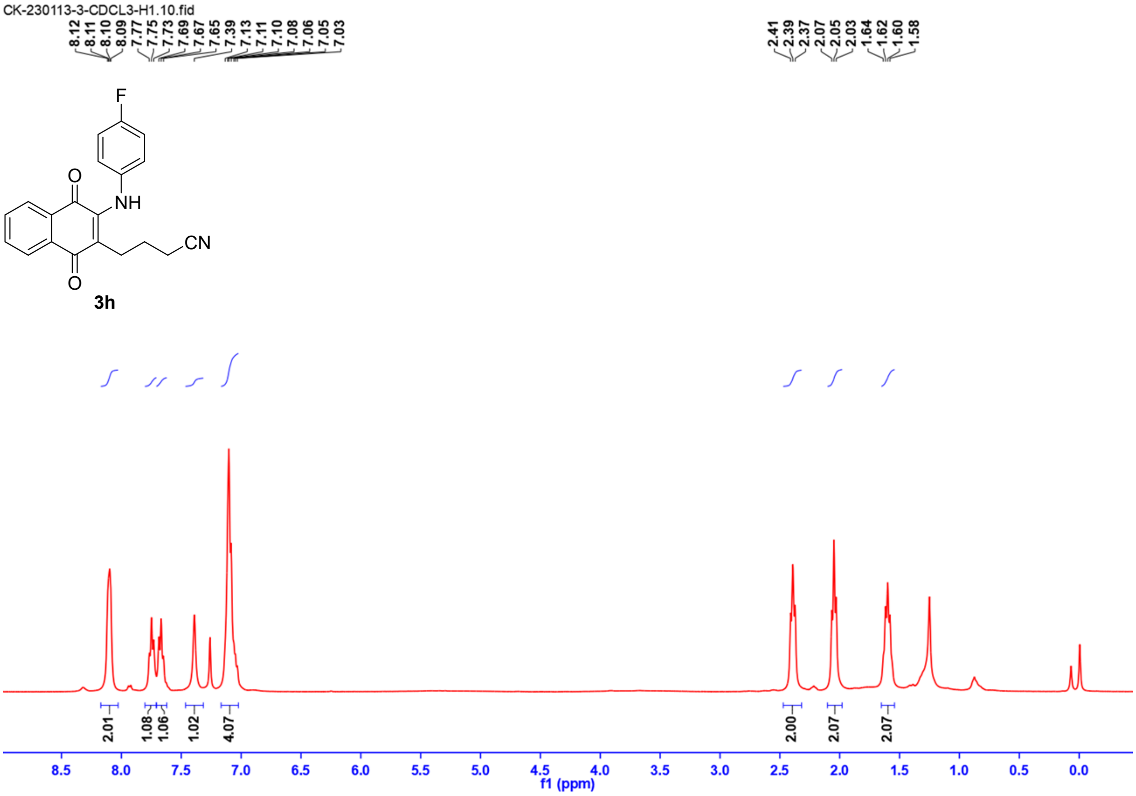
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3f**



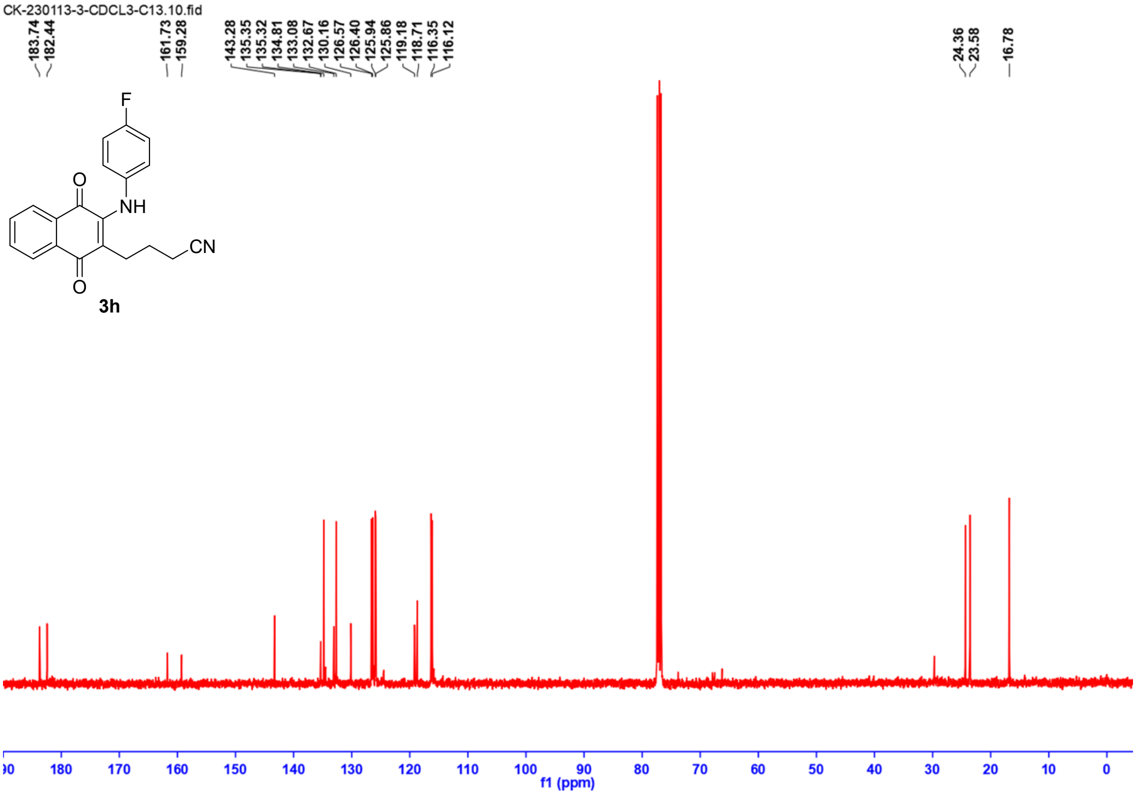
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3g**



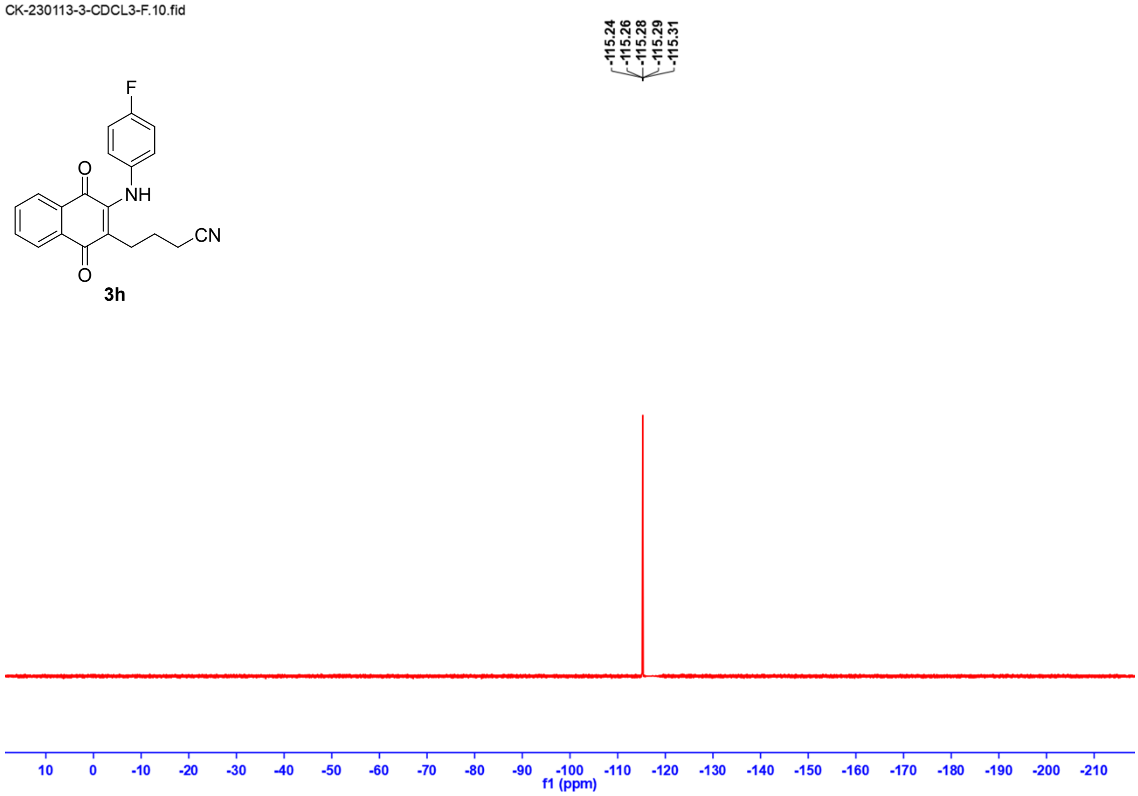
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3g**



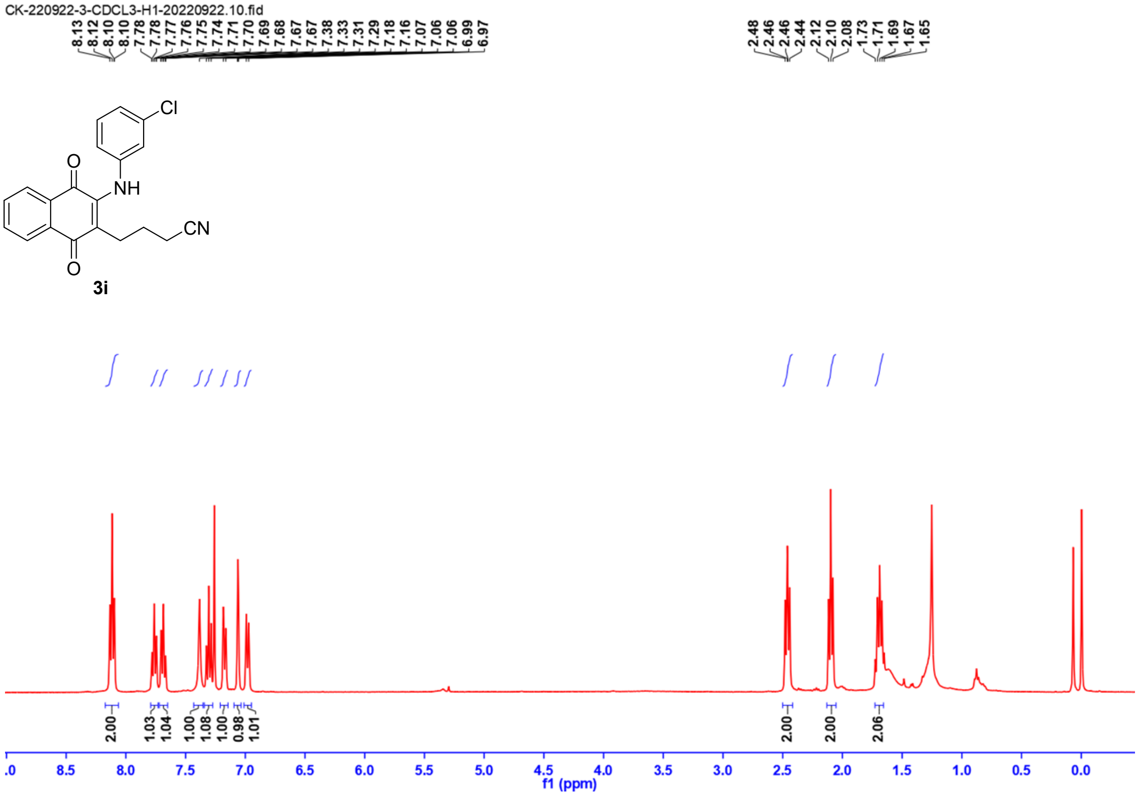
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3h**



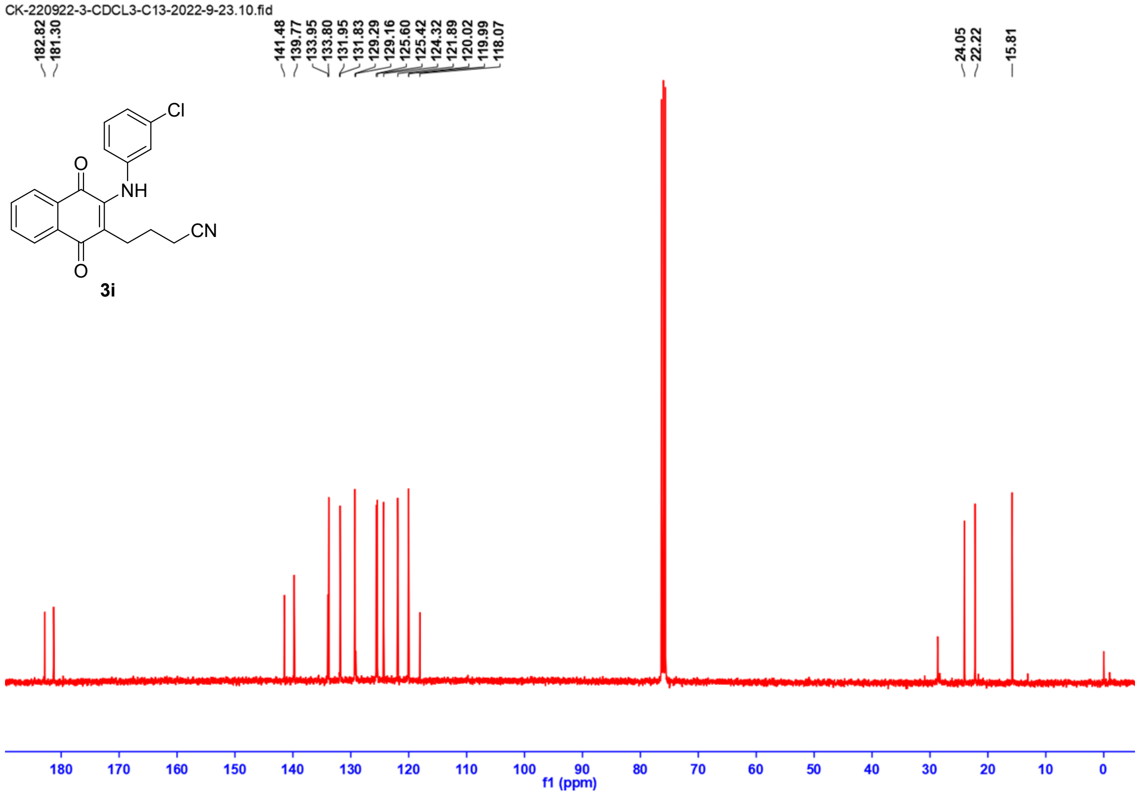
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3h**



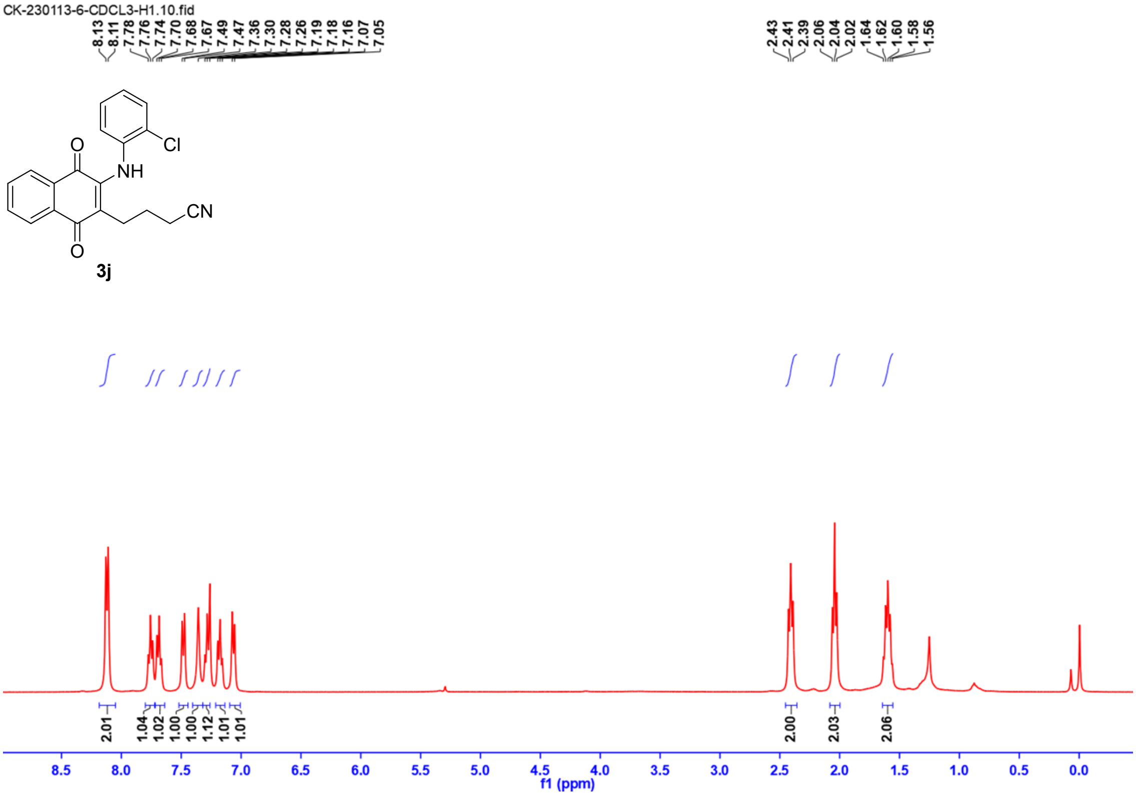
^{19}F NMR (CDCl$_3$, 376 MHz) spectra of compound **3h**



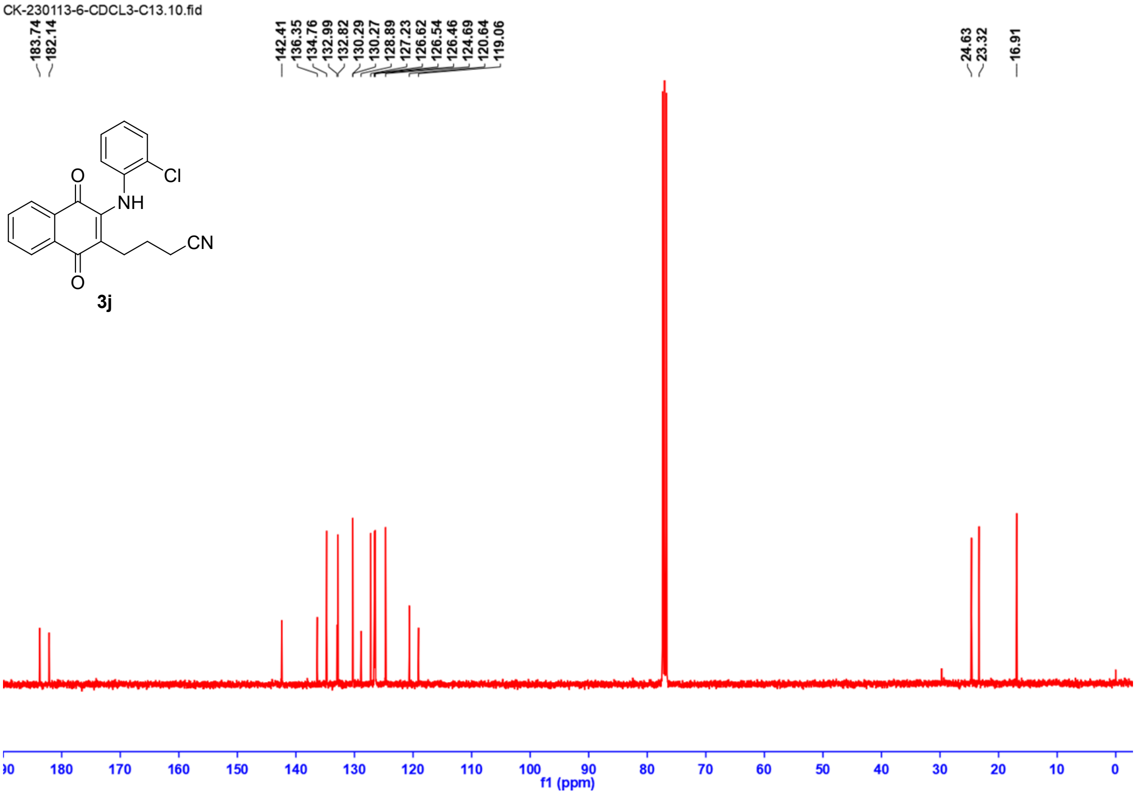
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3i**



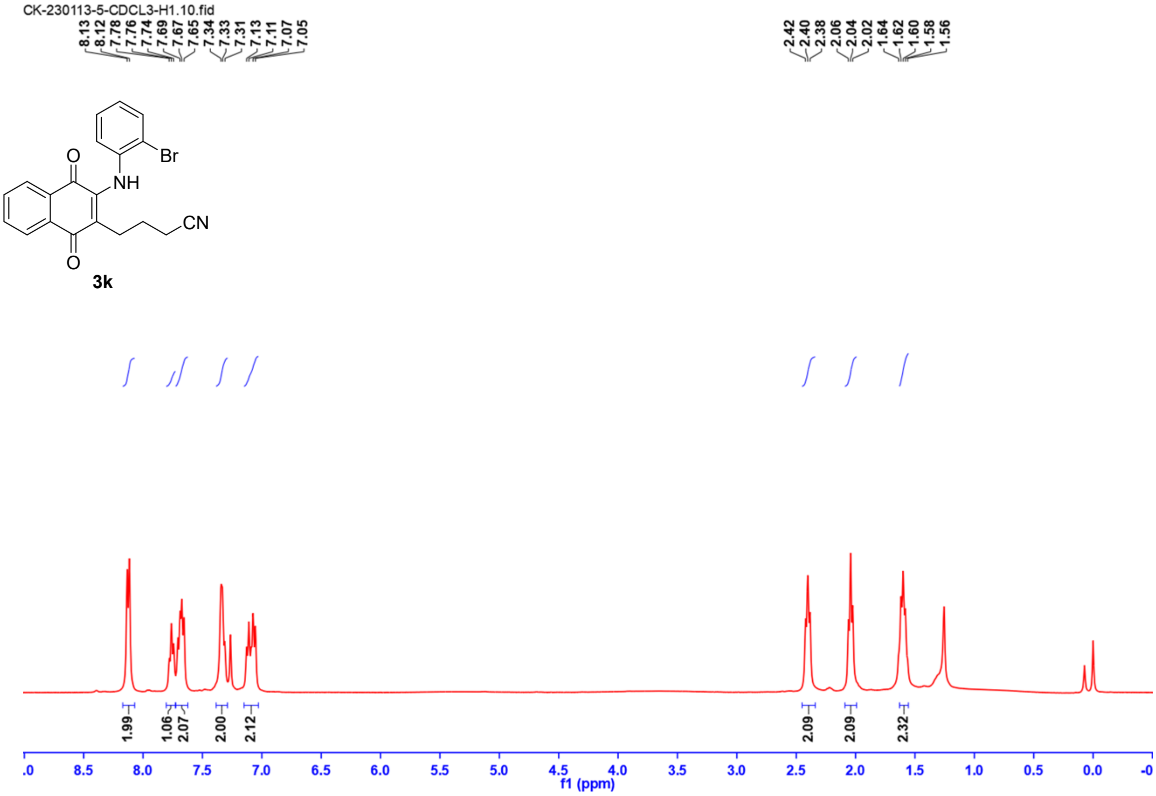
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3i**



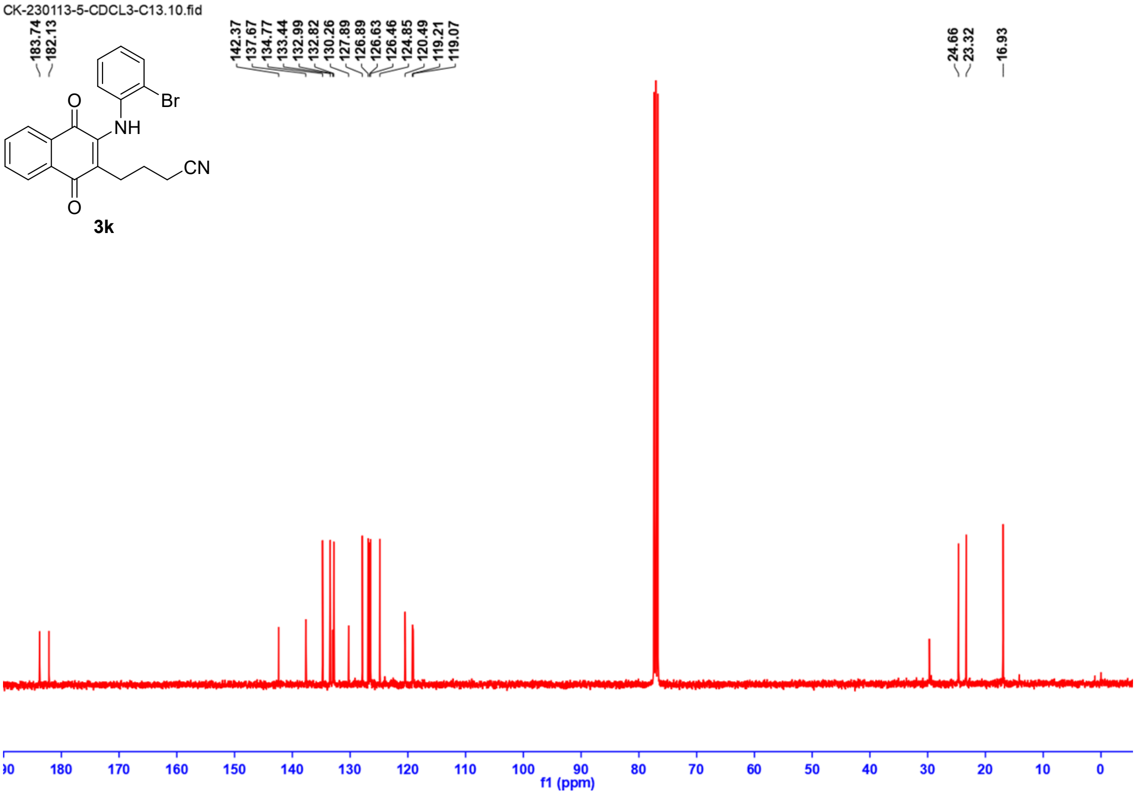
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3j**



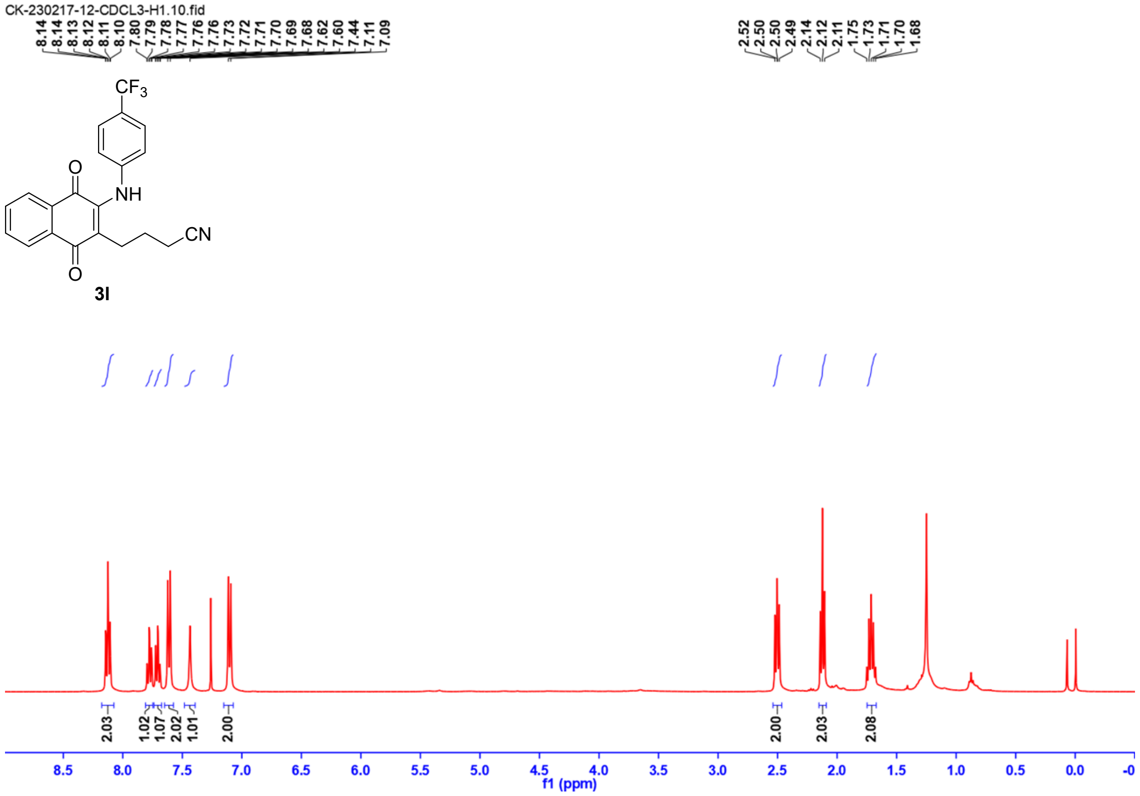
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3j**



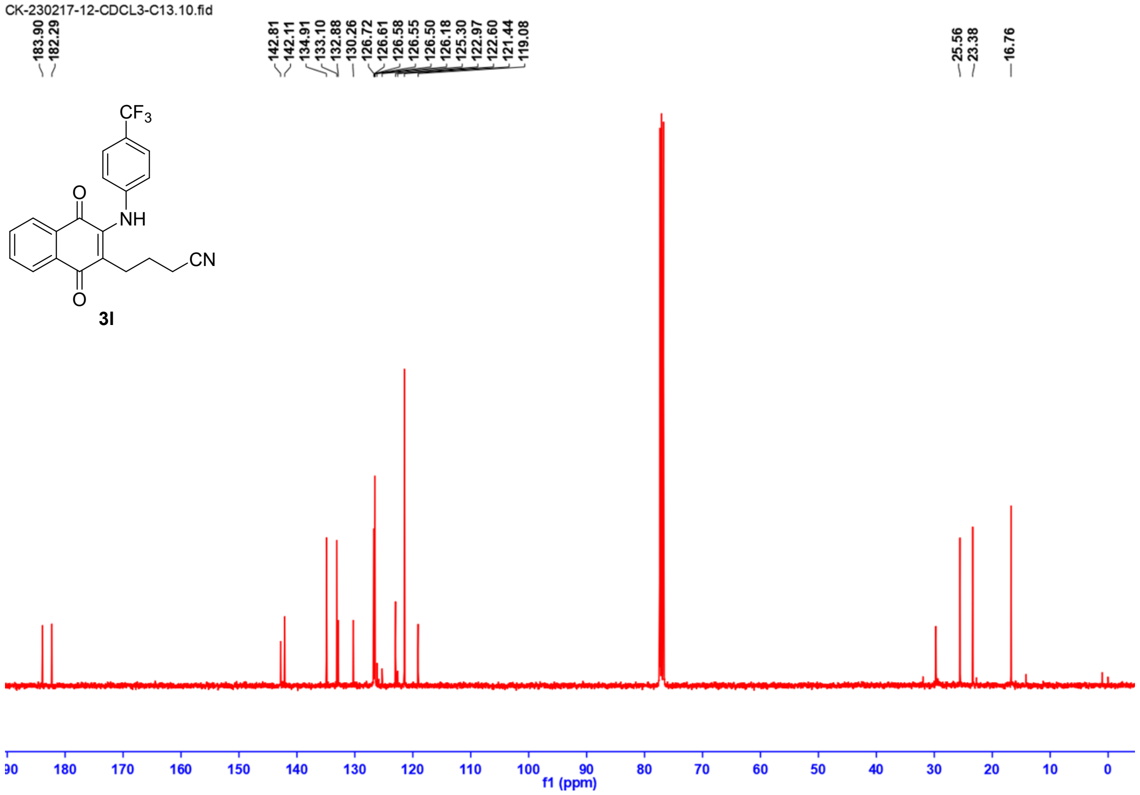
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3k**



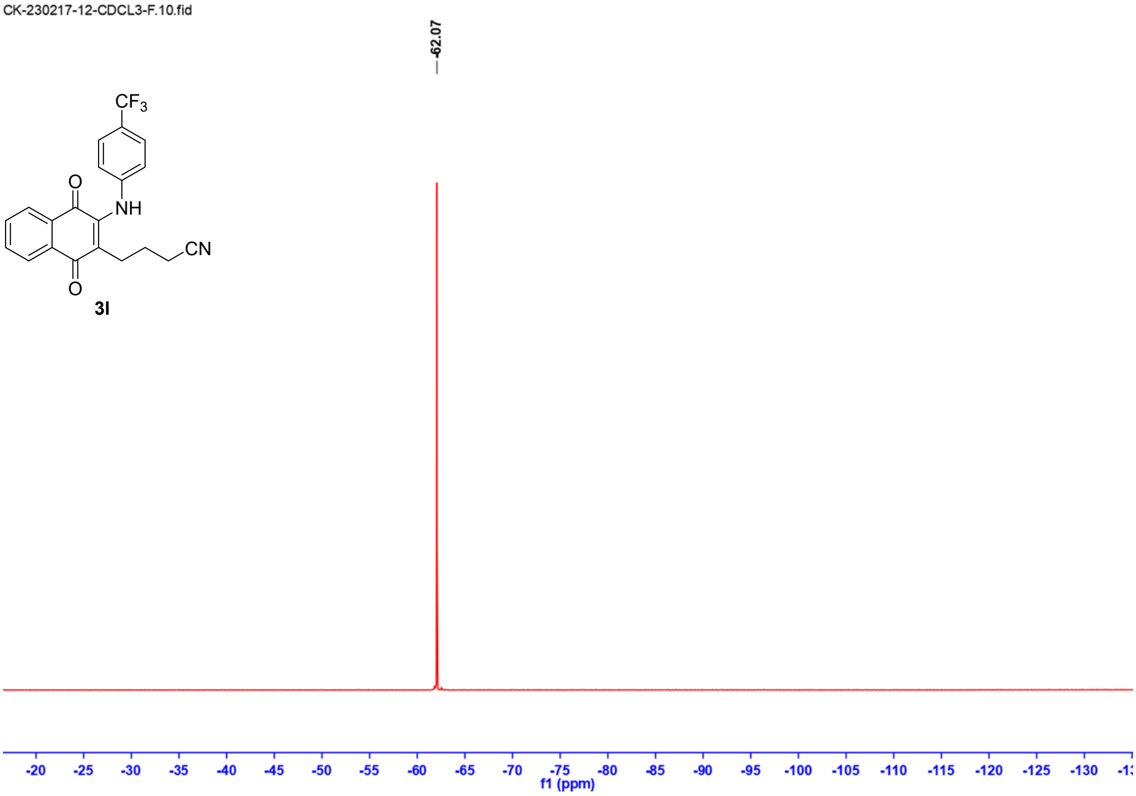
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3k**



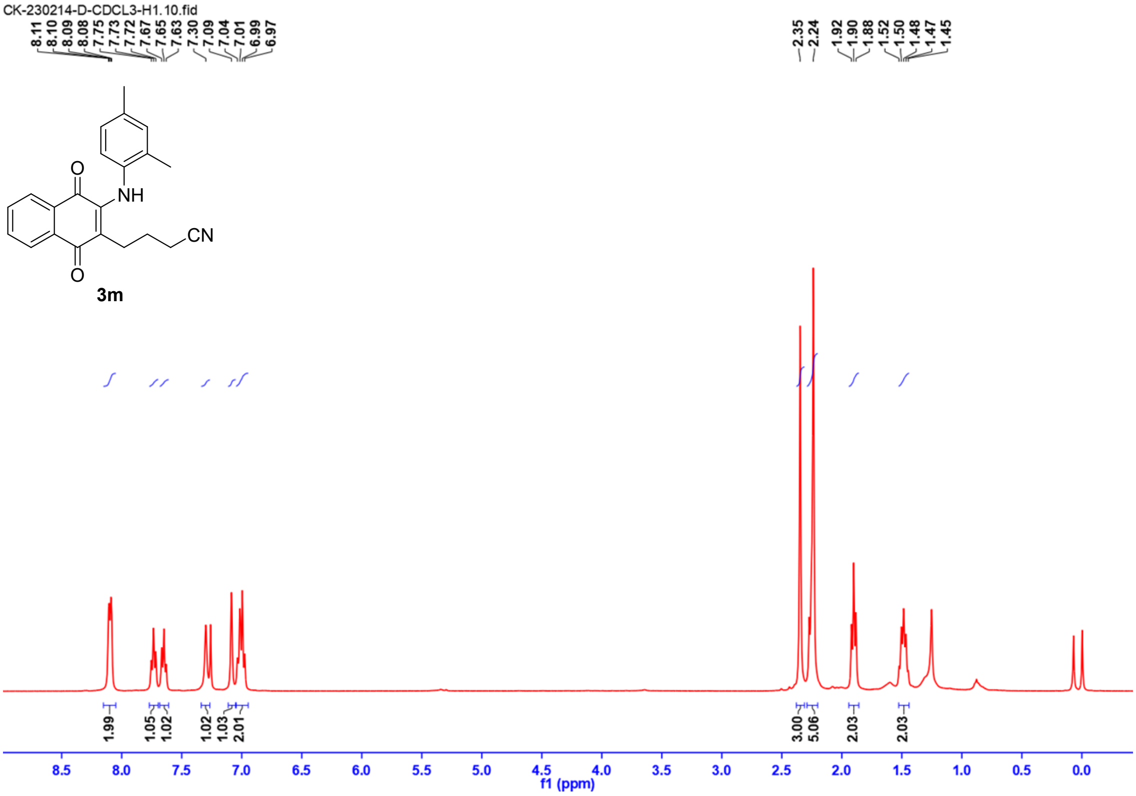
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3l**



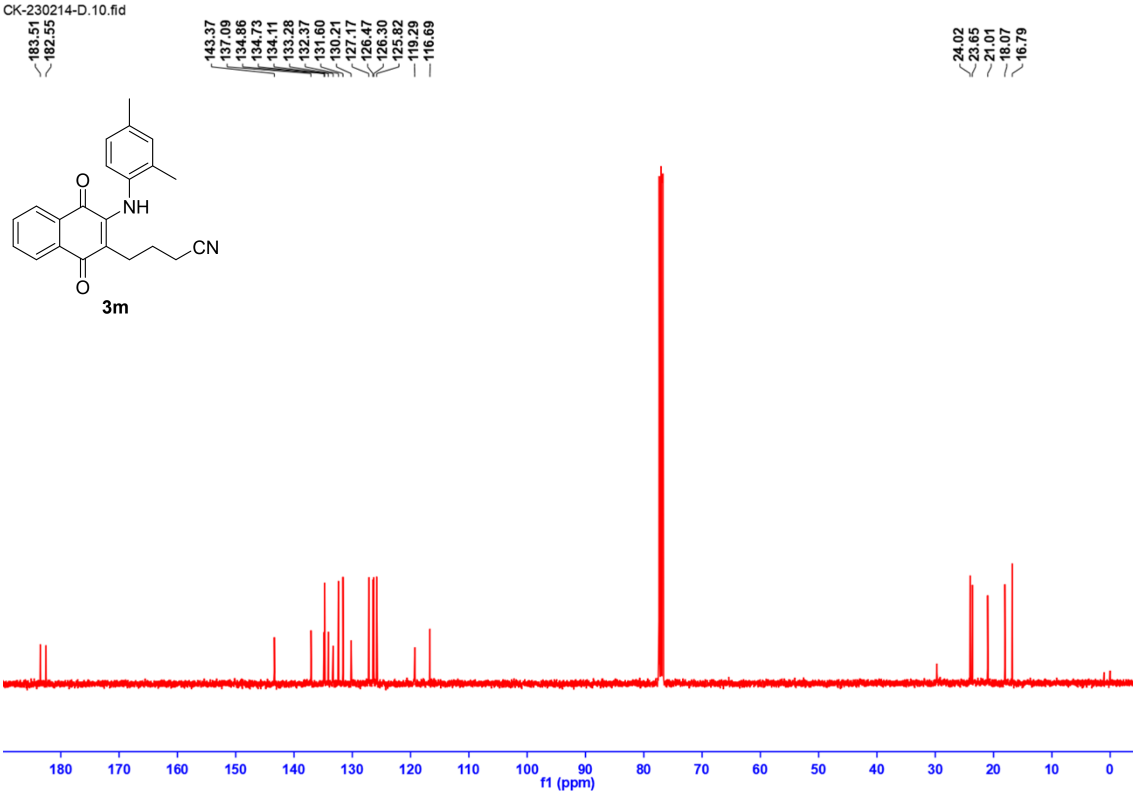
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3l**



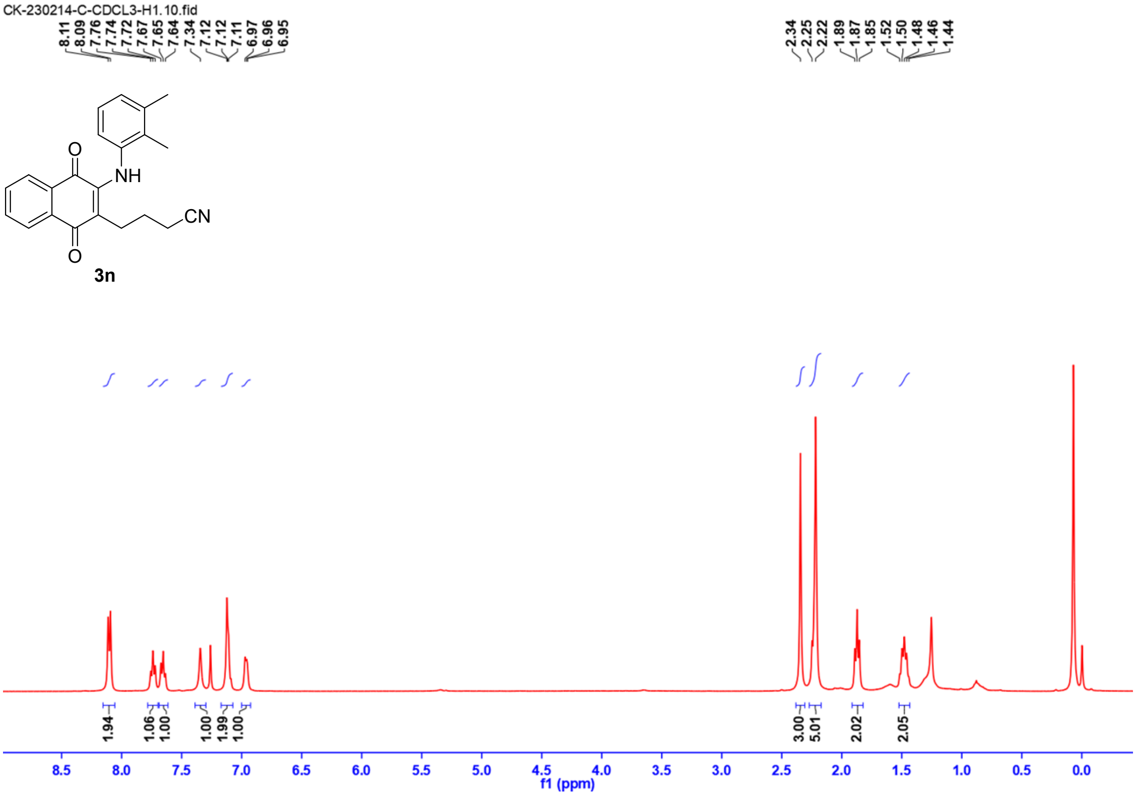
^{19}F NMR (CDCl$_3$, 376 MHz) spectra of compound **3l**



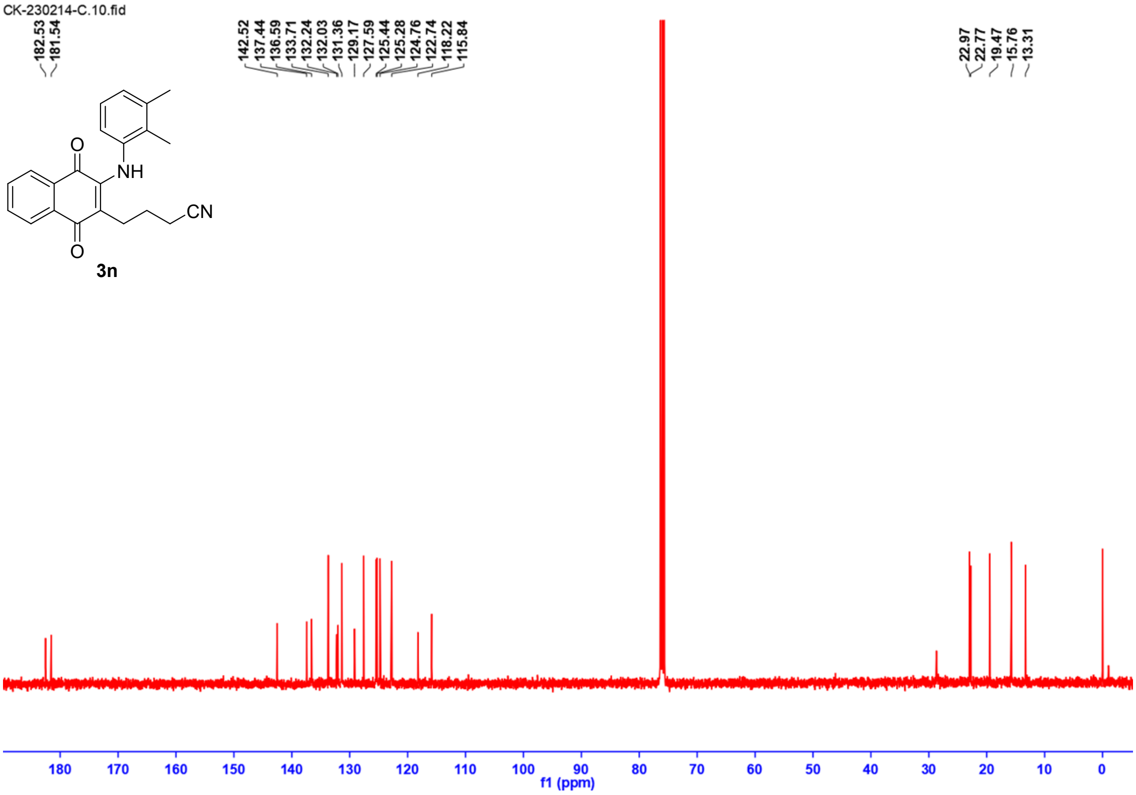
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3m**



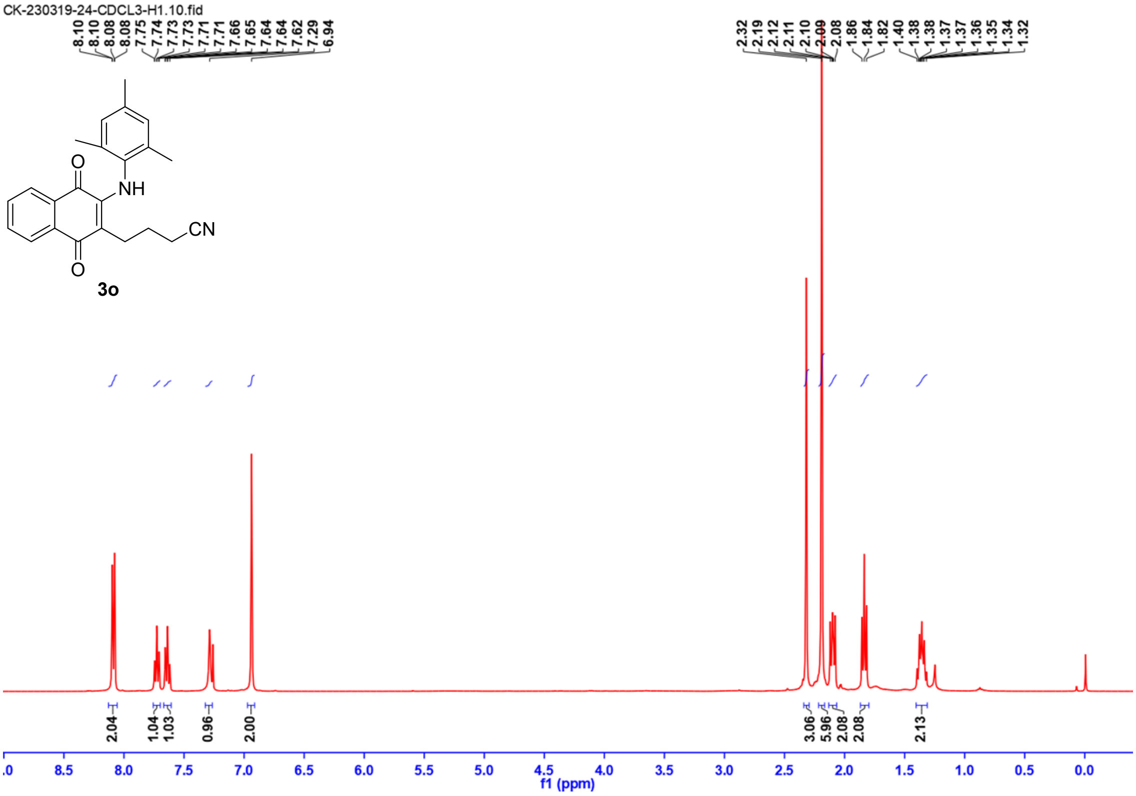
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3m**



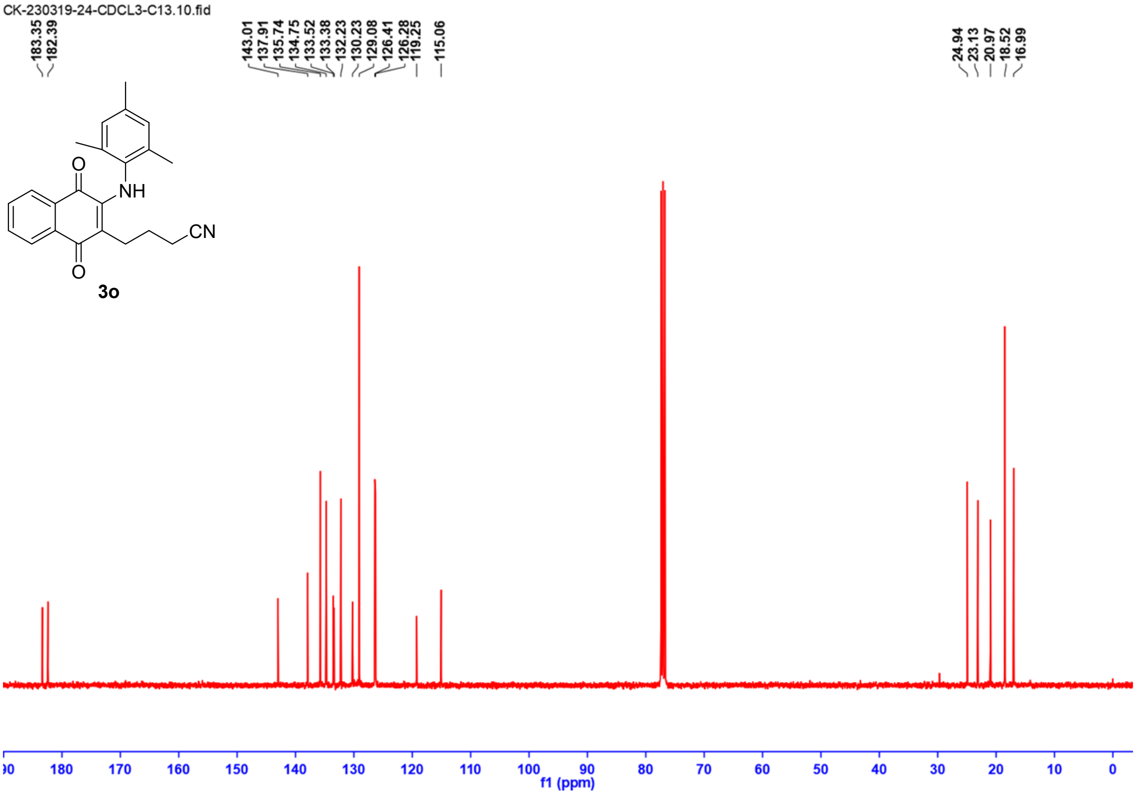
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3n**



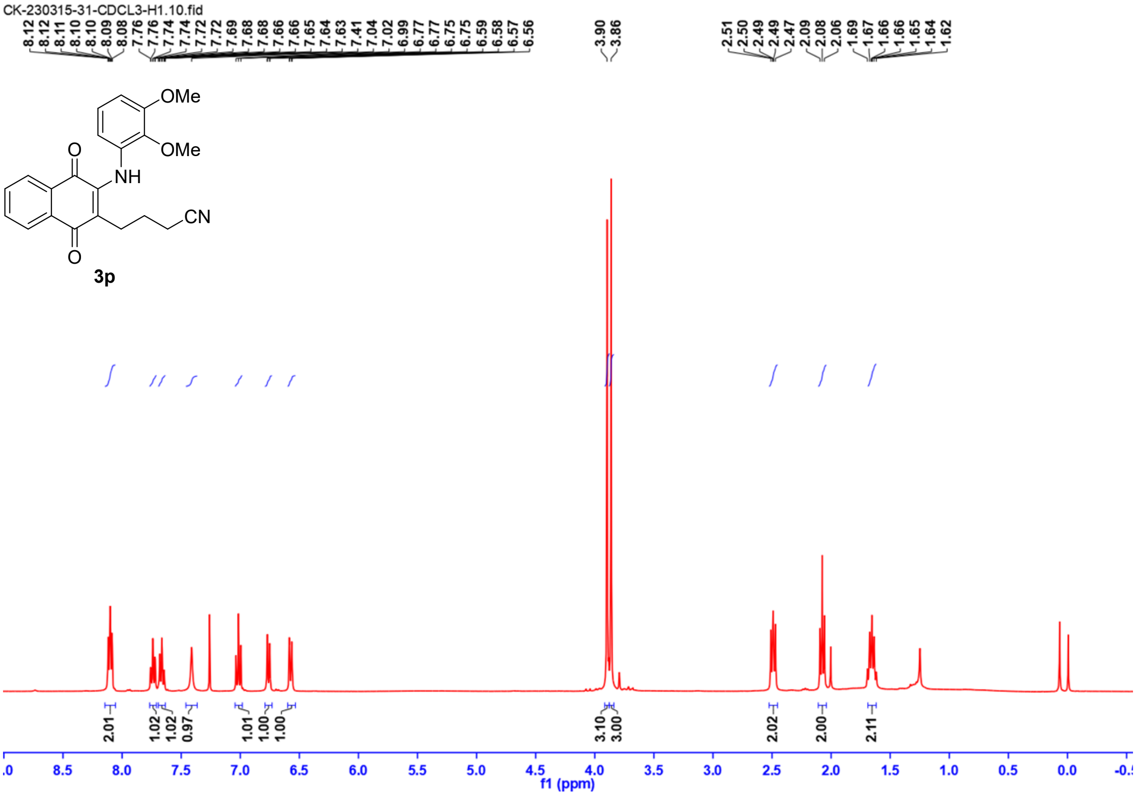
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3n**



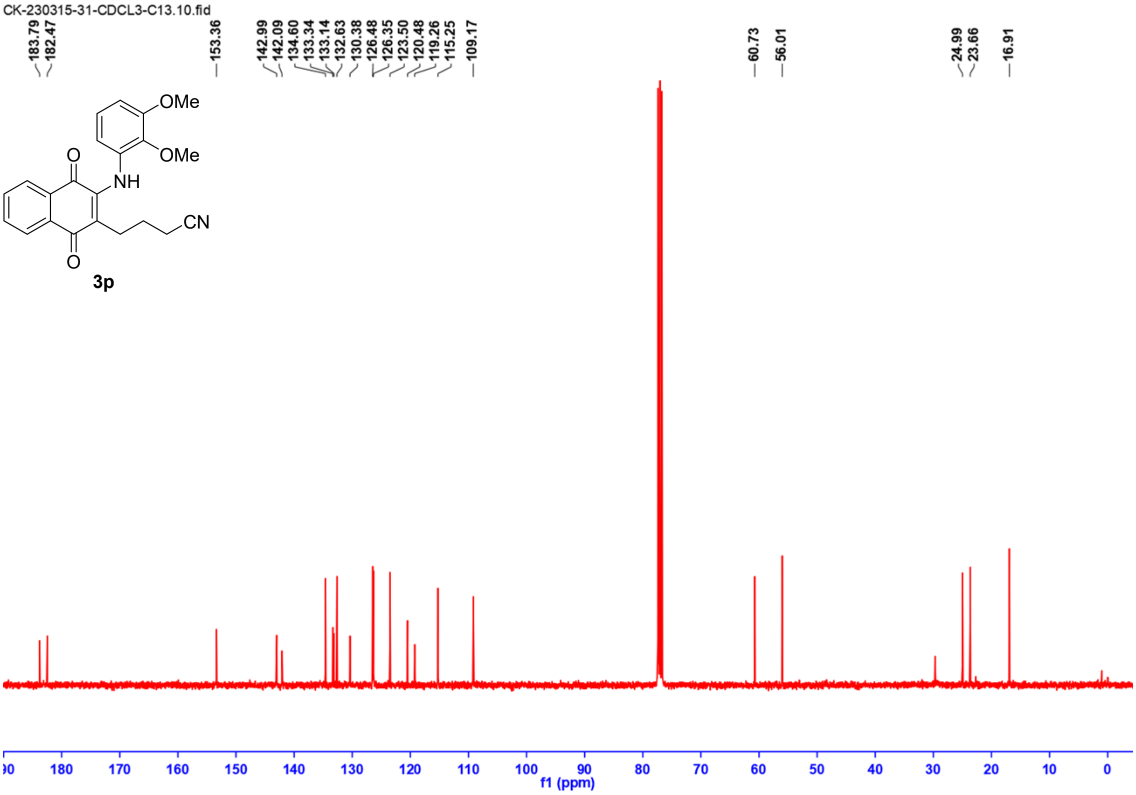
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3o**



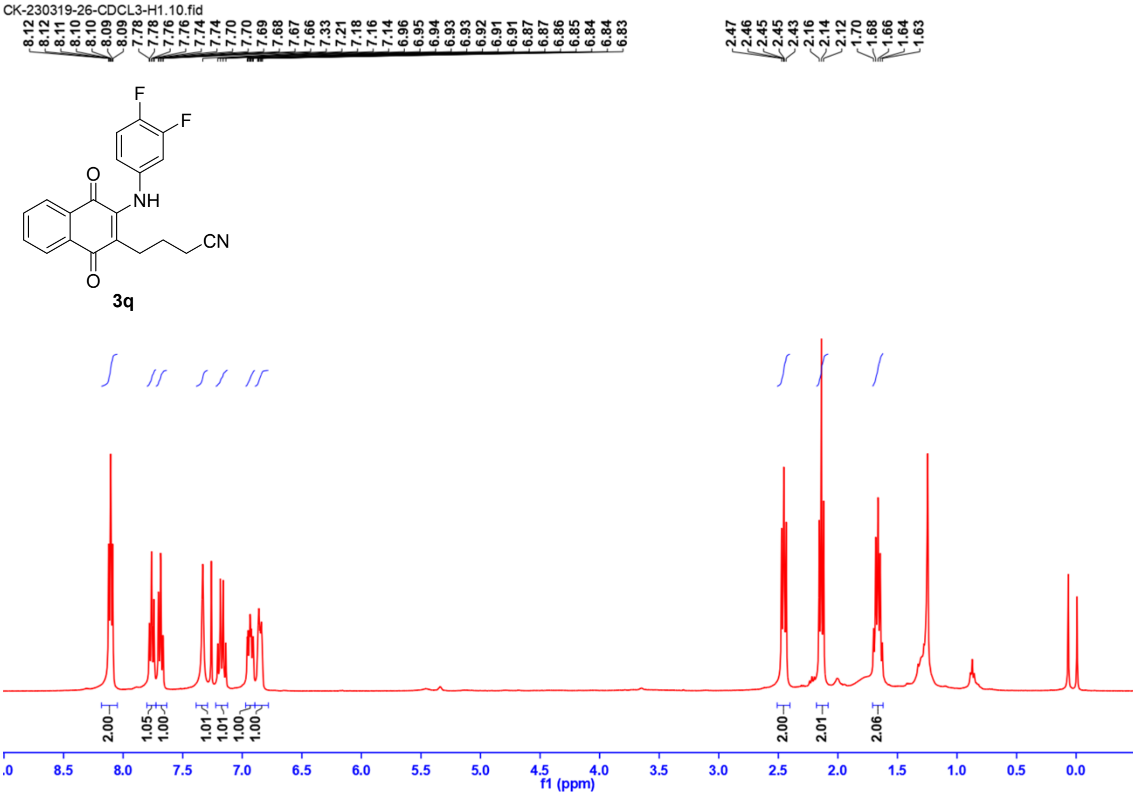
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3o**



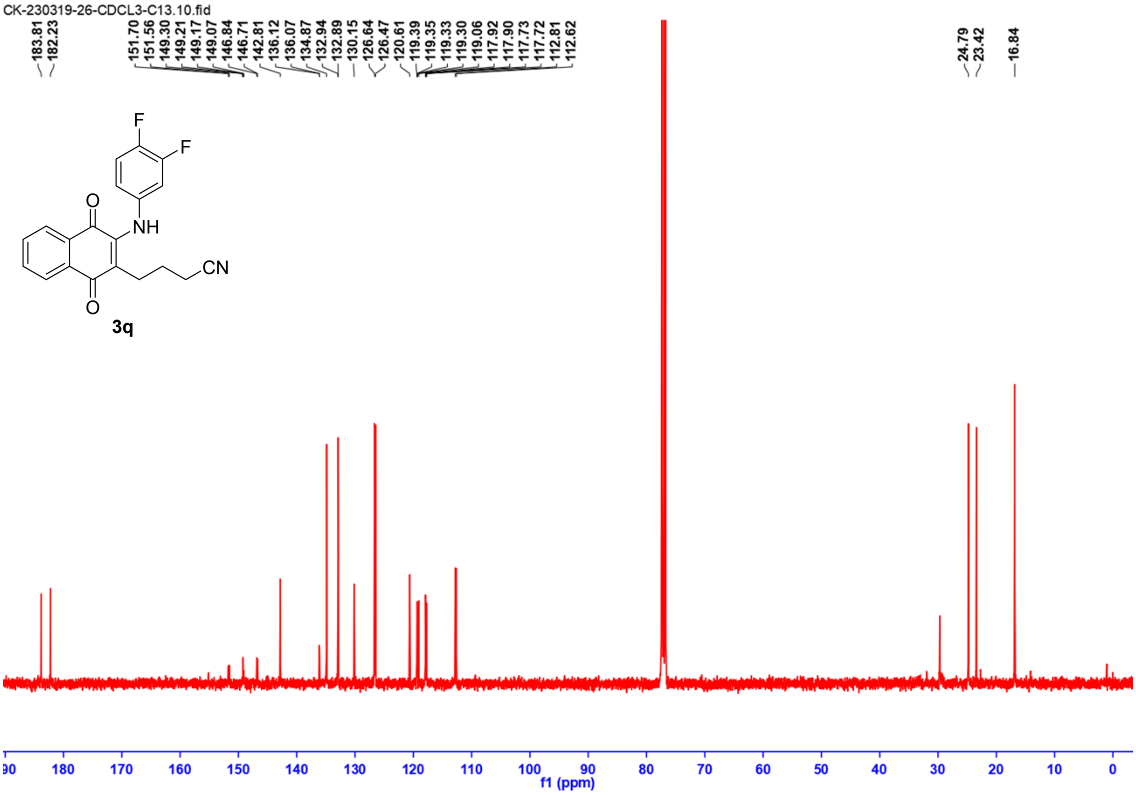
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3p**



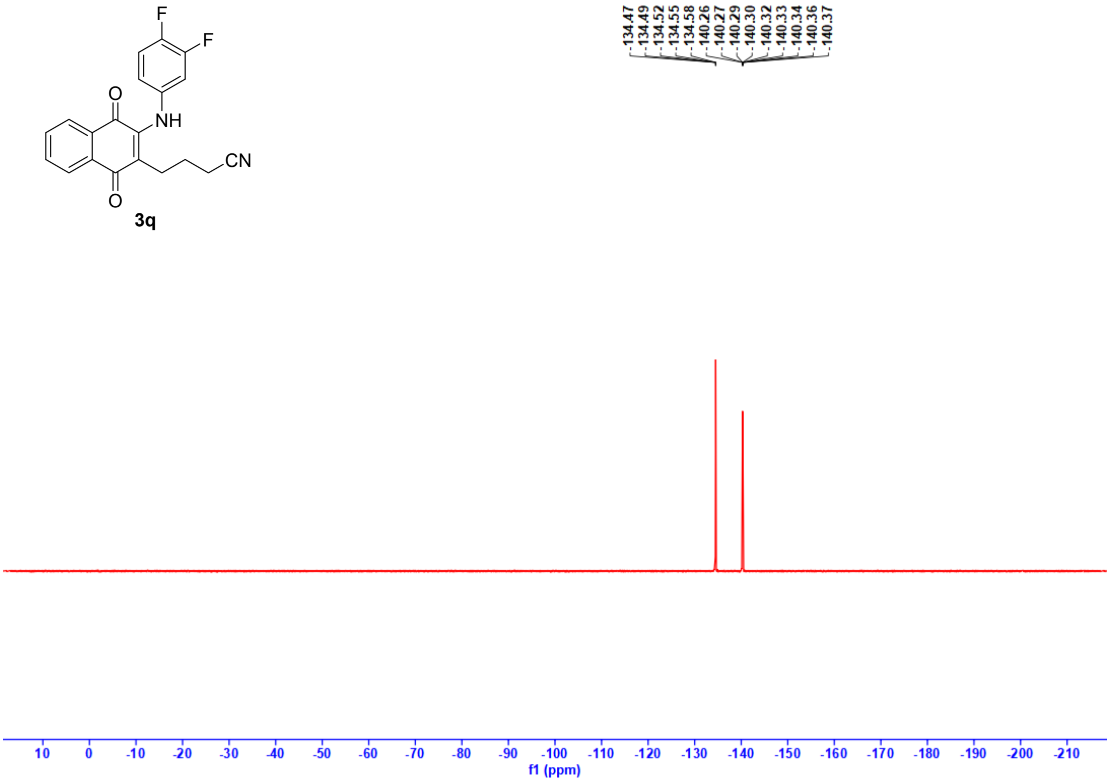
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3p**



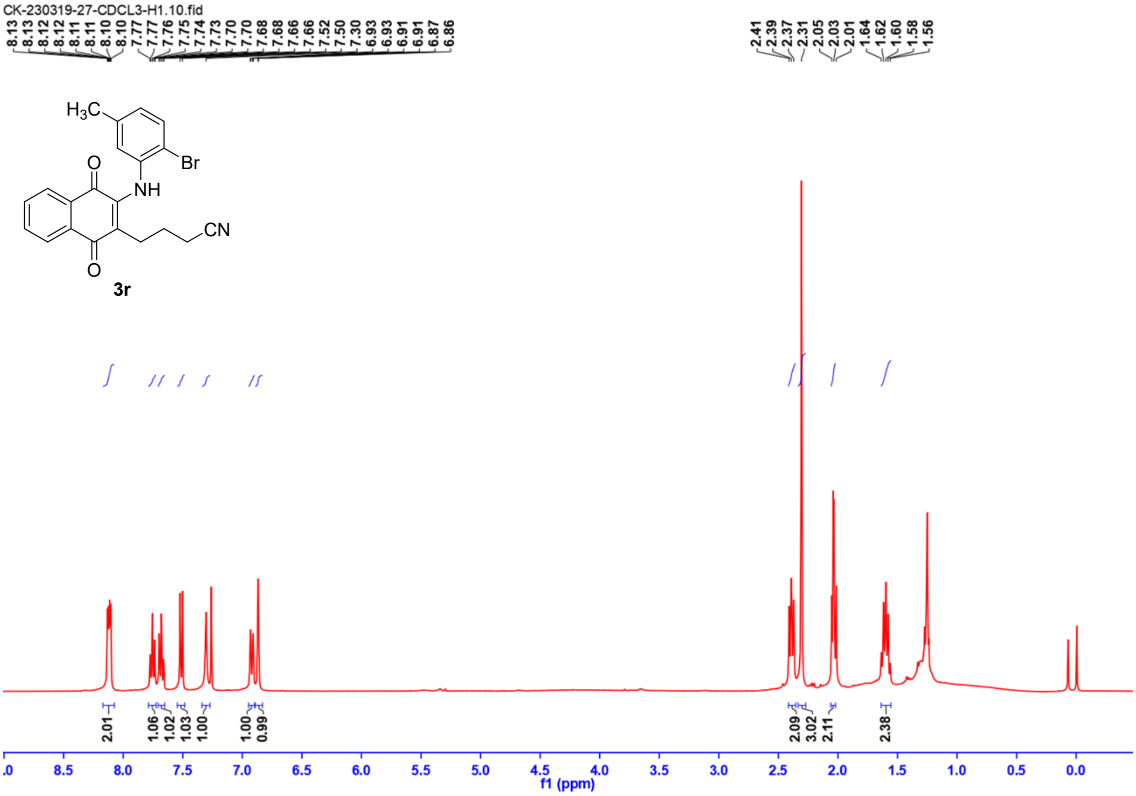
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3q**



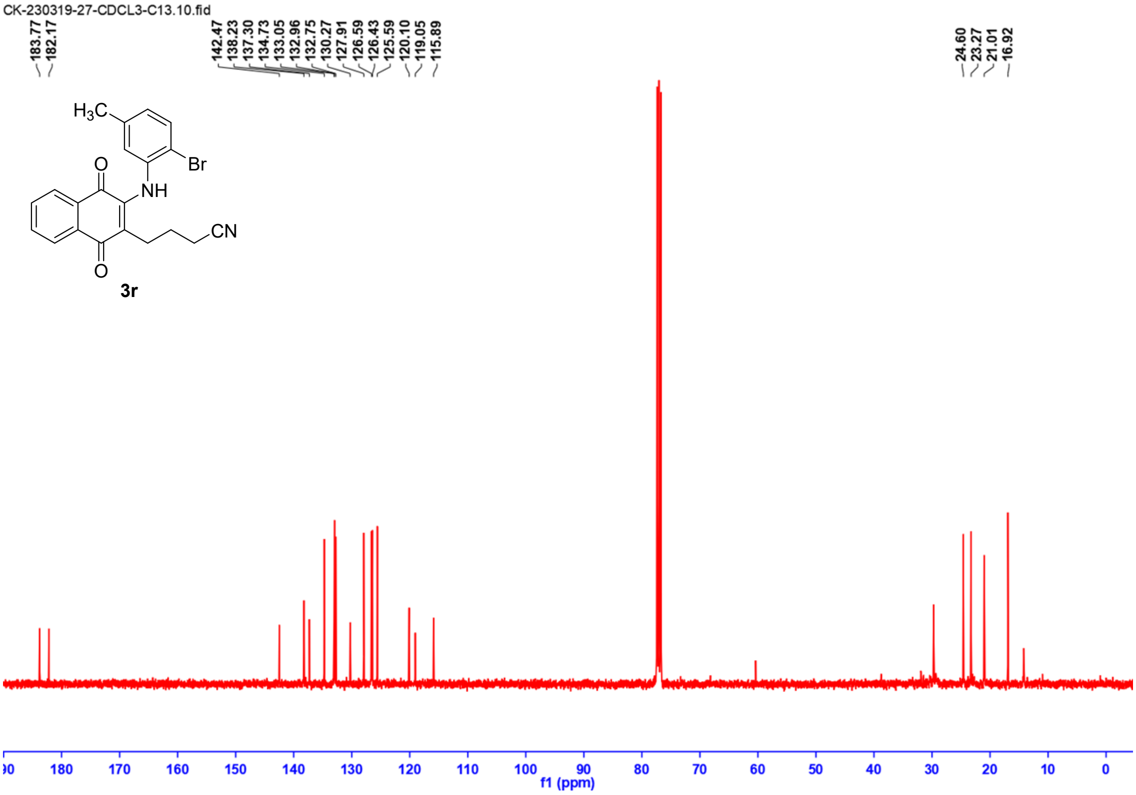
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3q**



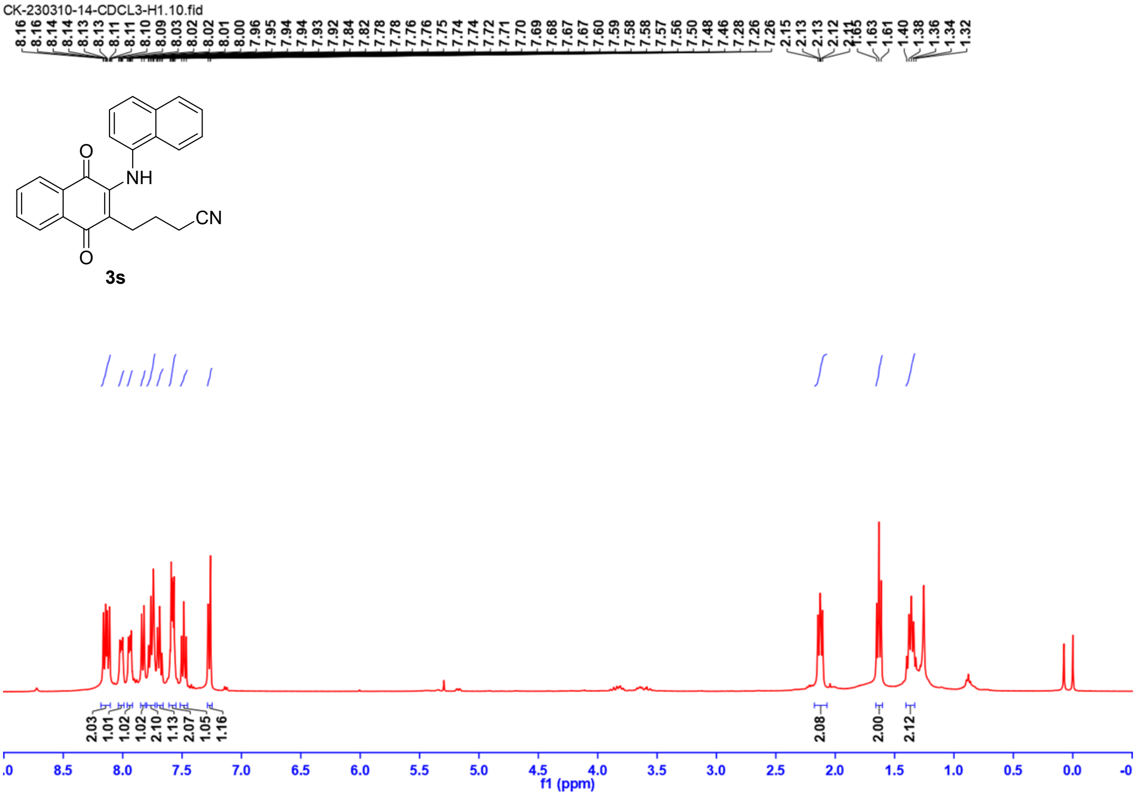
^{19}F NMR ($CDCl_3$, 100 MHz) spectra of compound **3q**



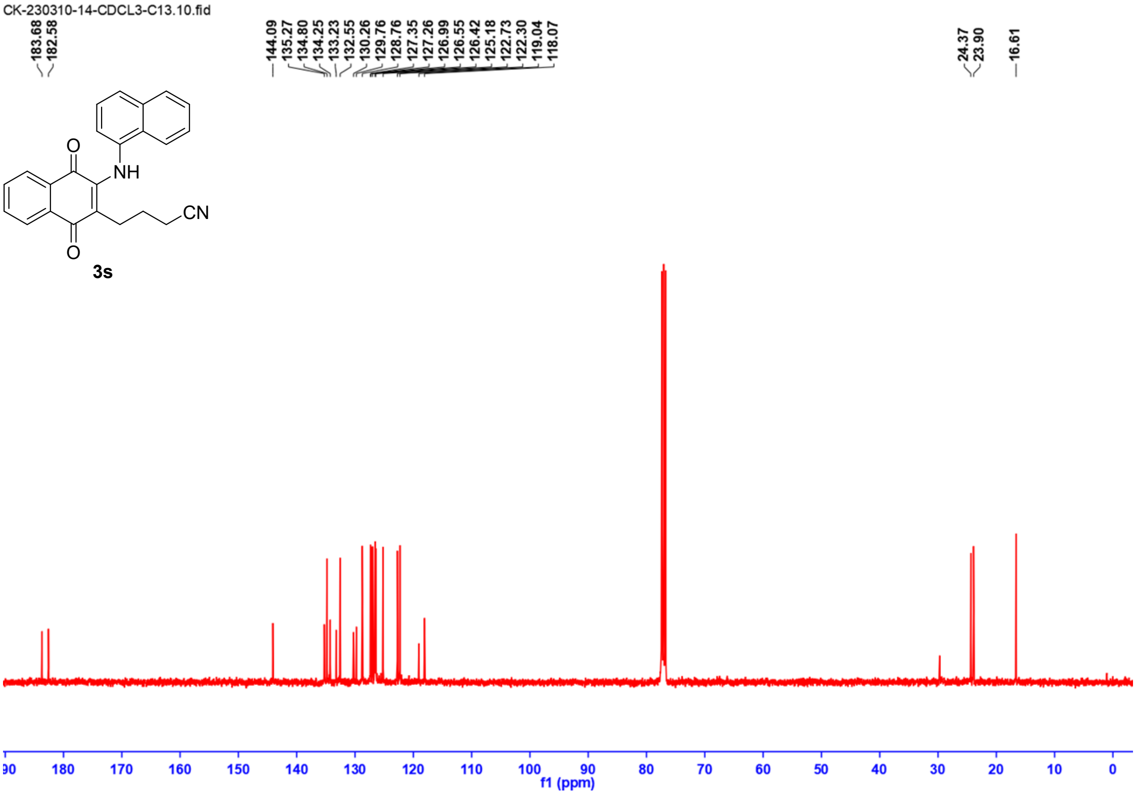
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3r**



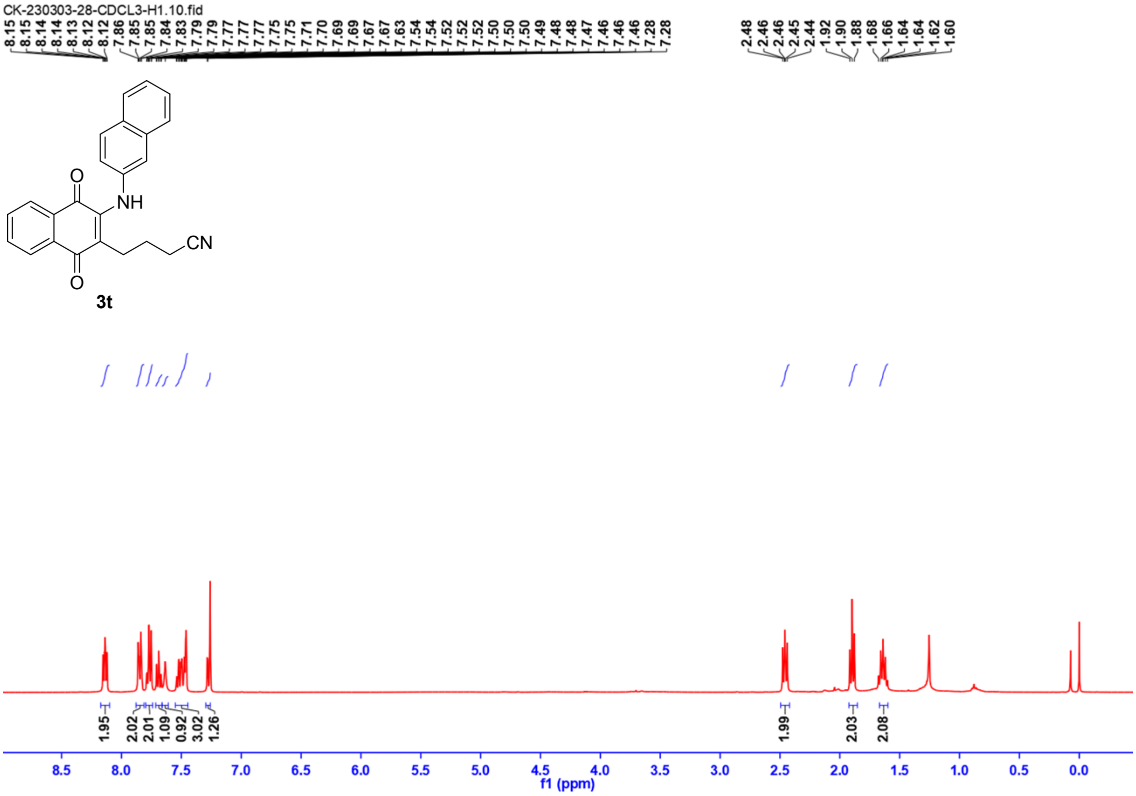
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3r**



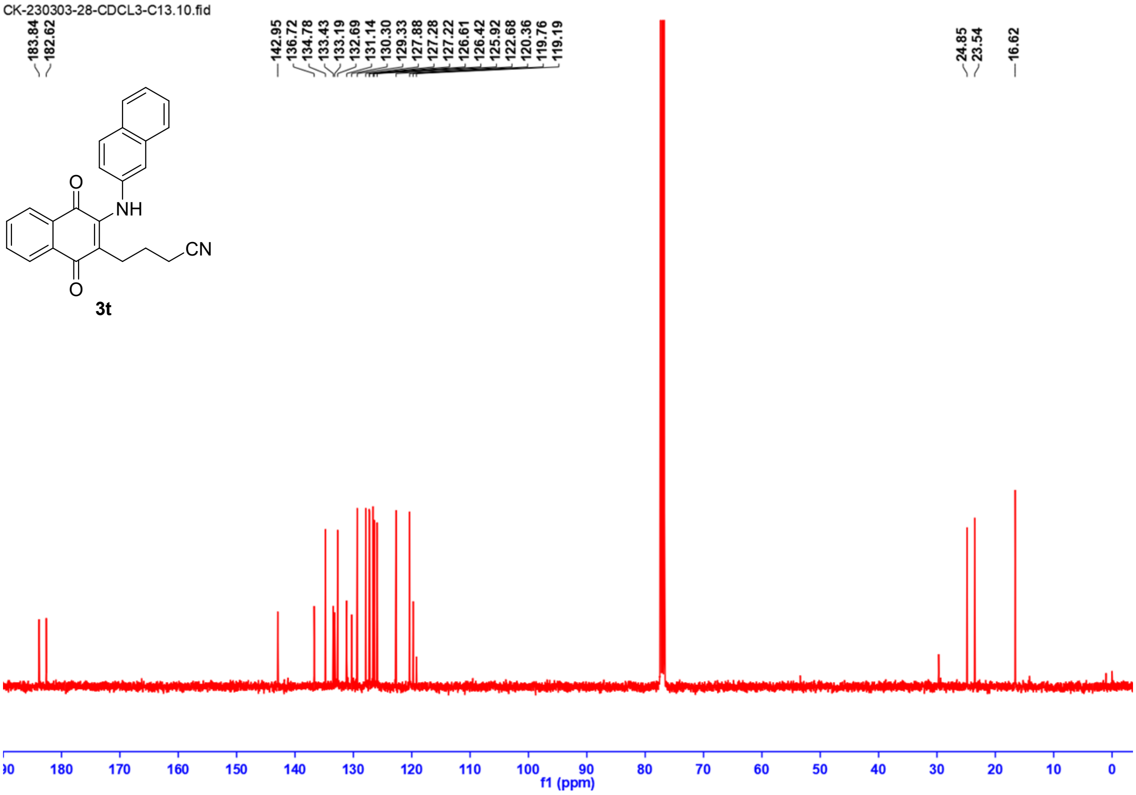
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3s**



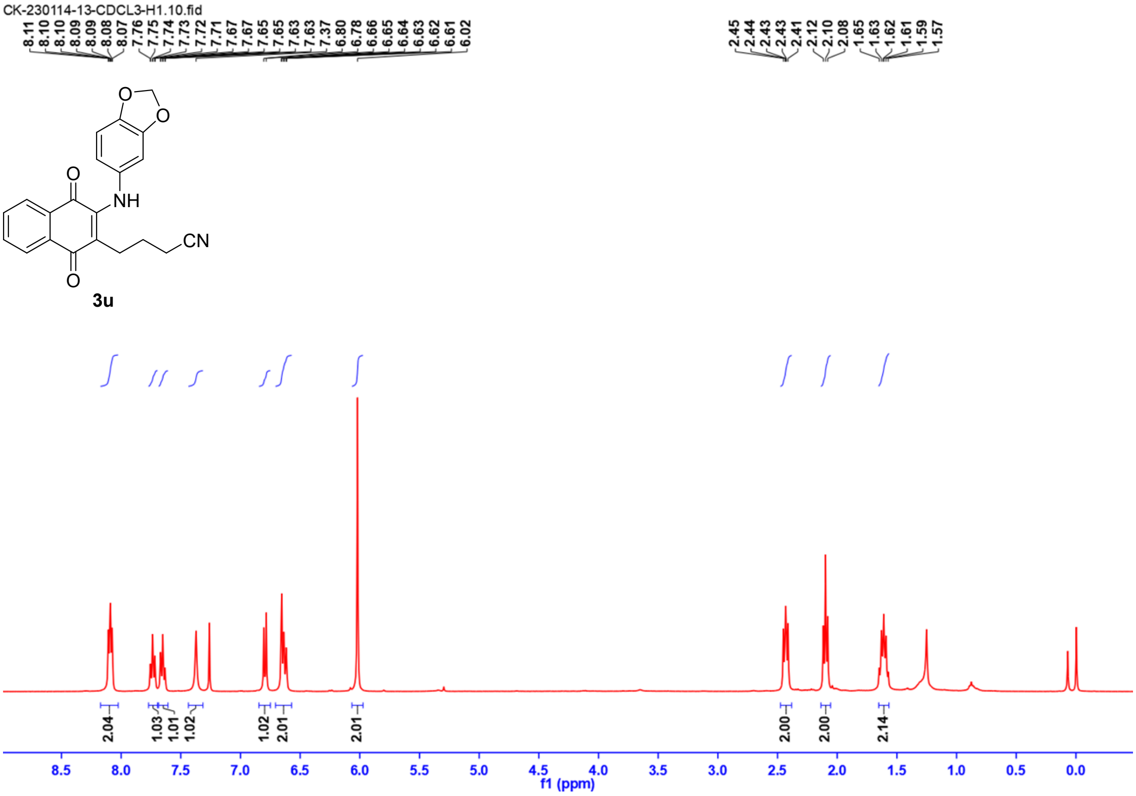
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **3s**



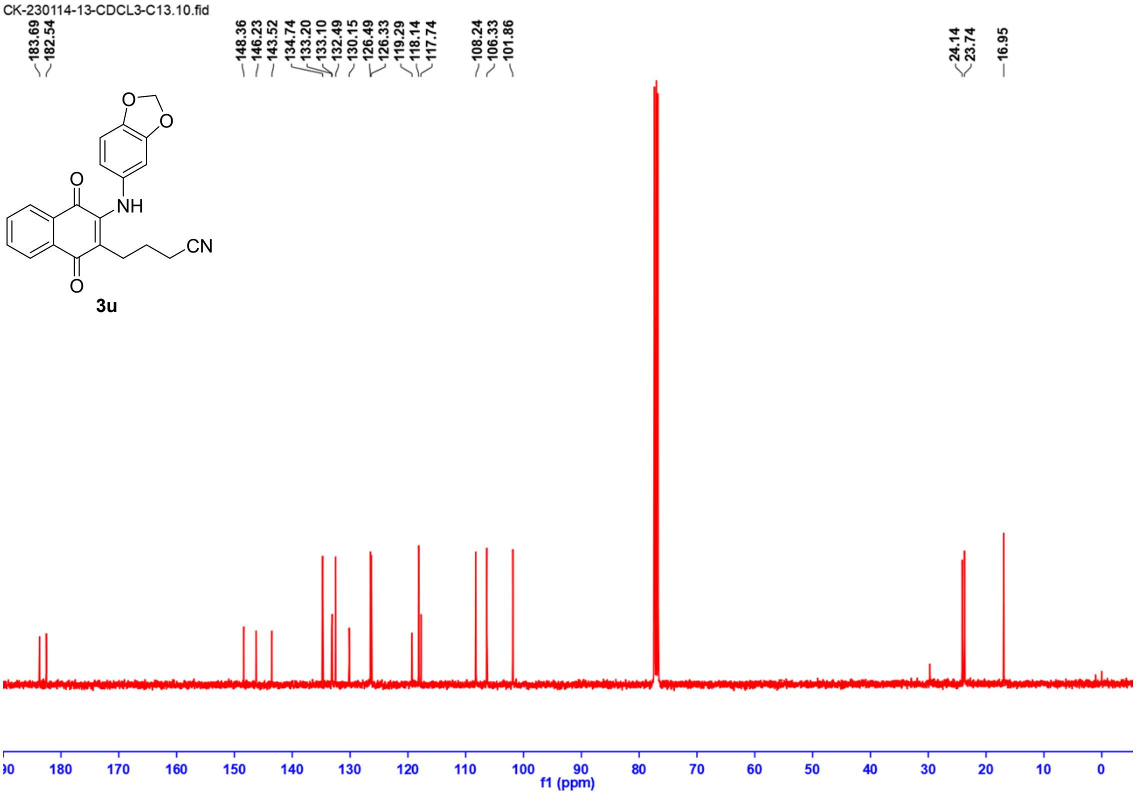
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3t**



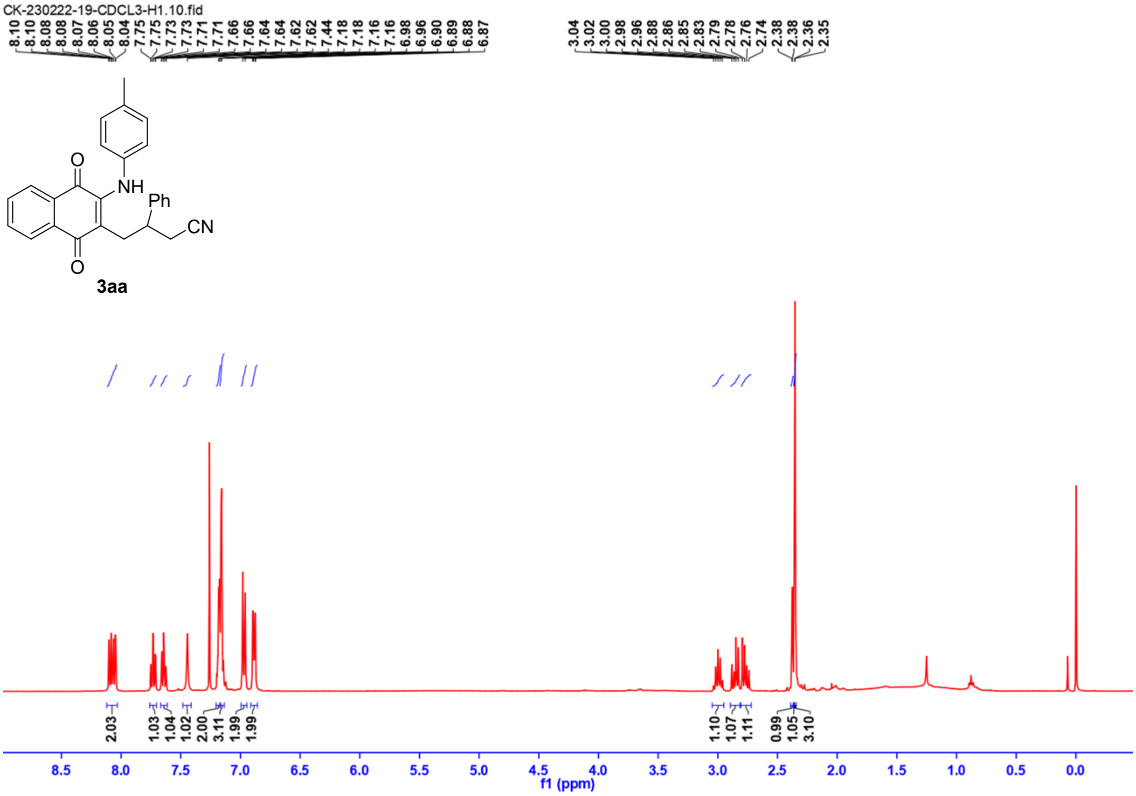
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3t**



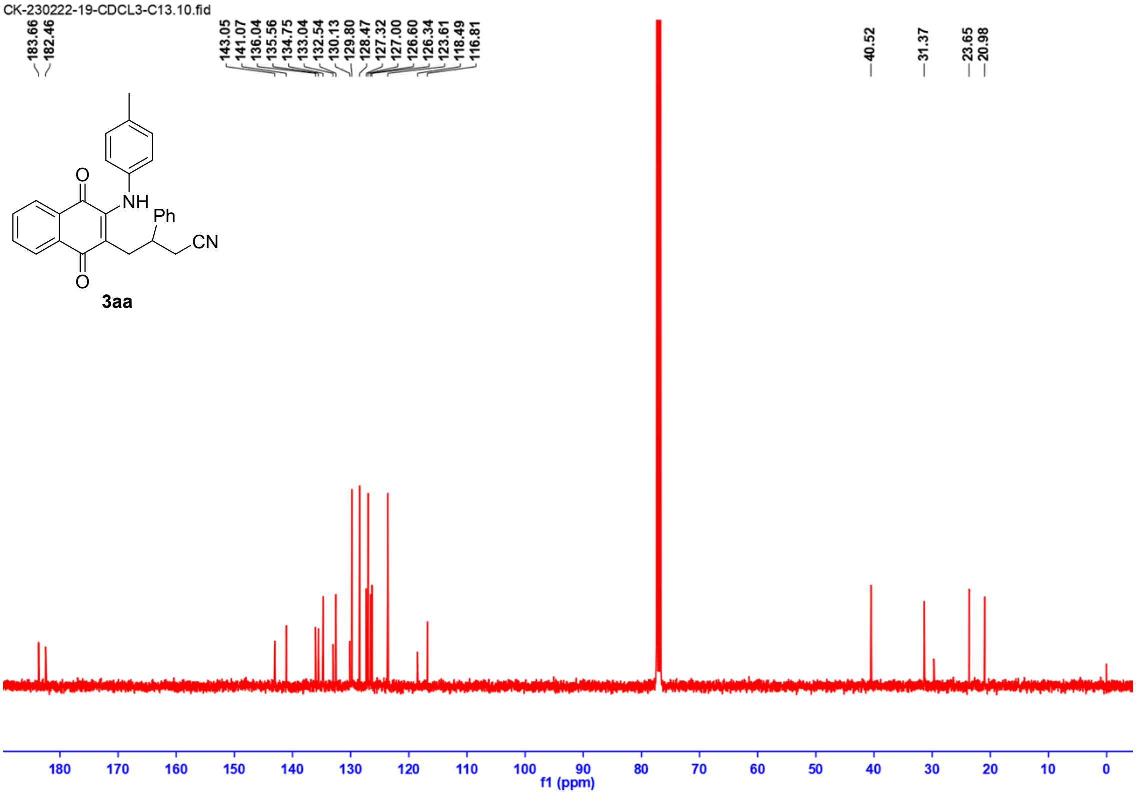
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3u**



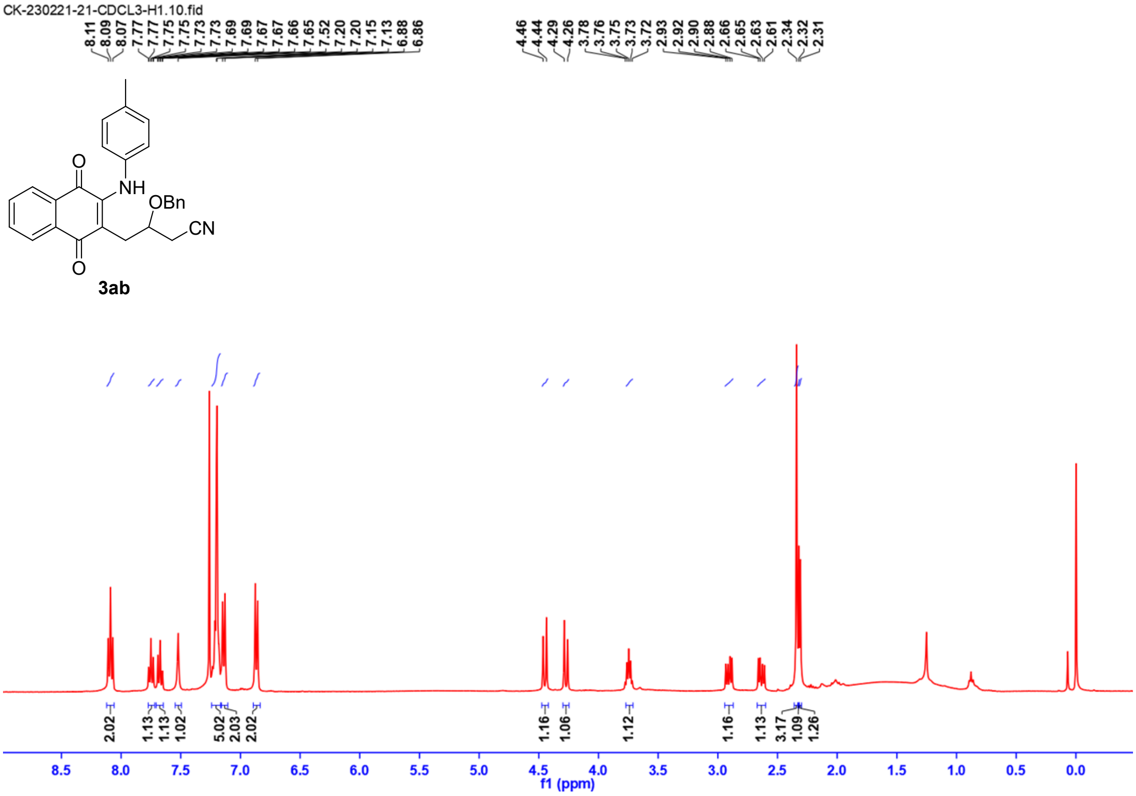
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3u**



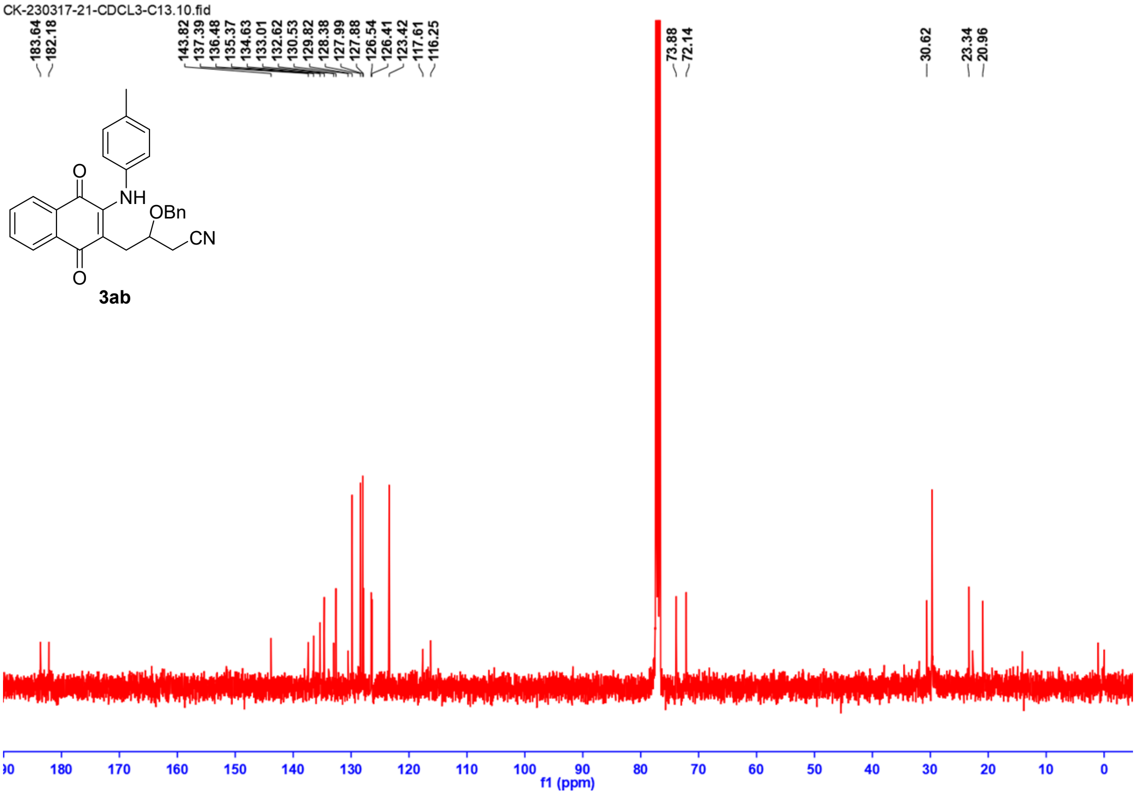
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3aa**



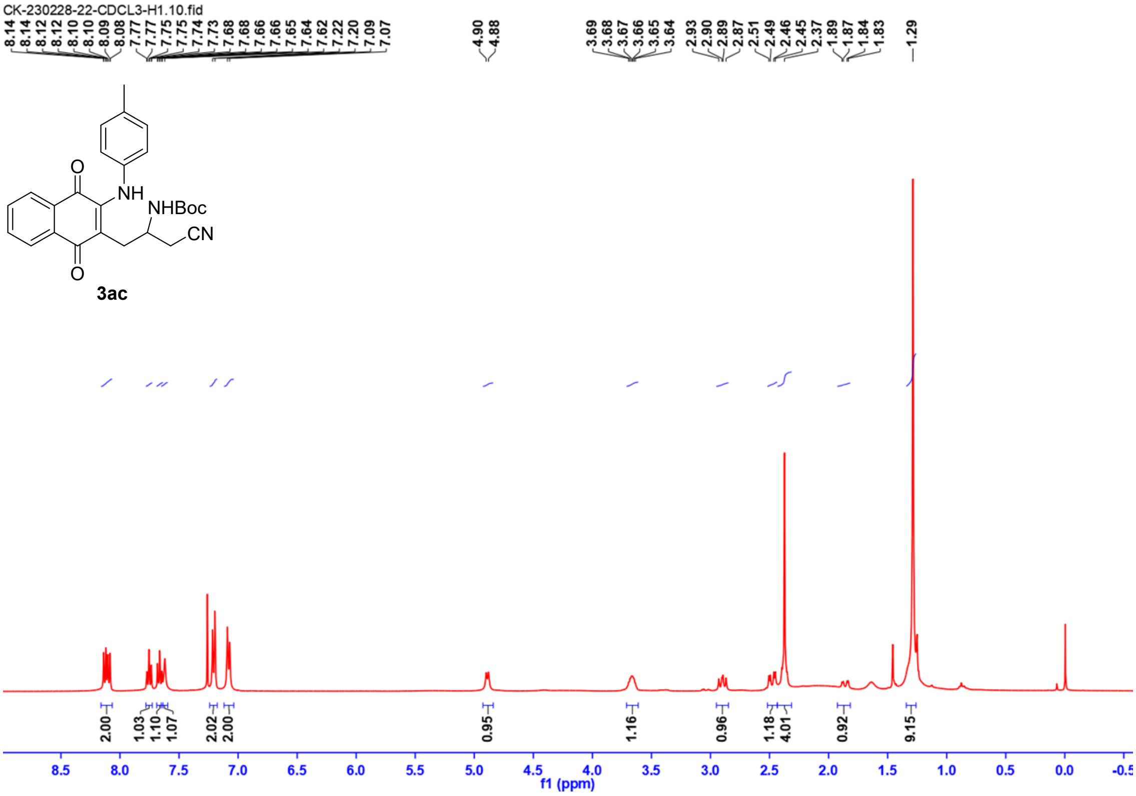
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3aa**



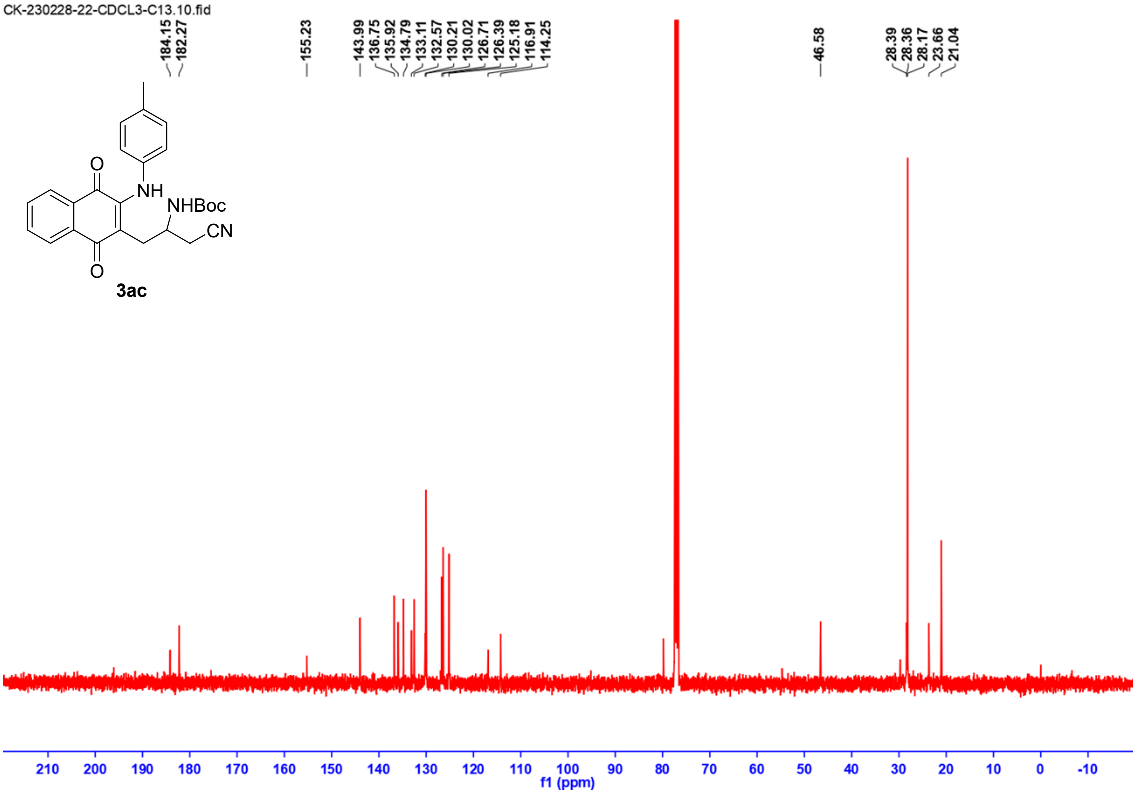
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3ab**



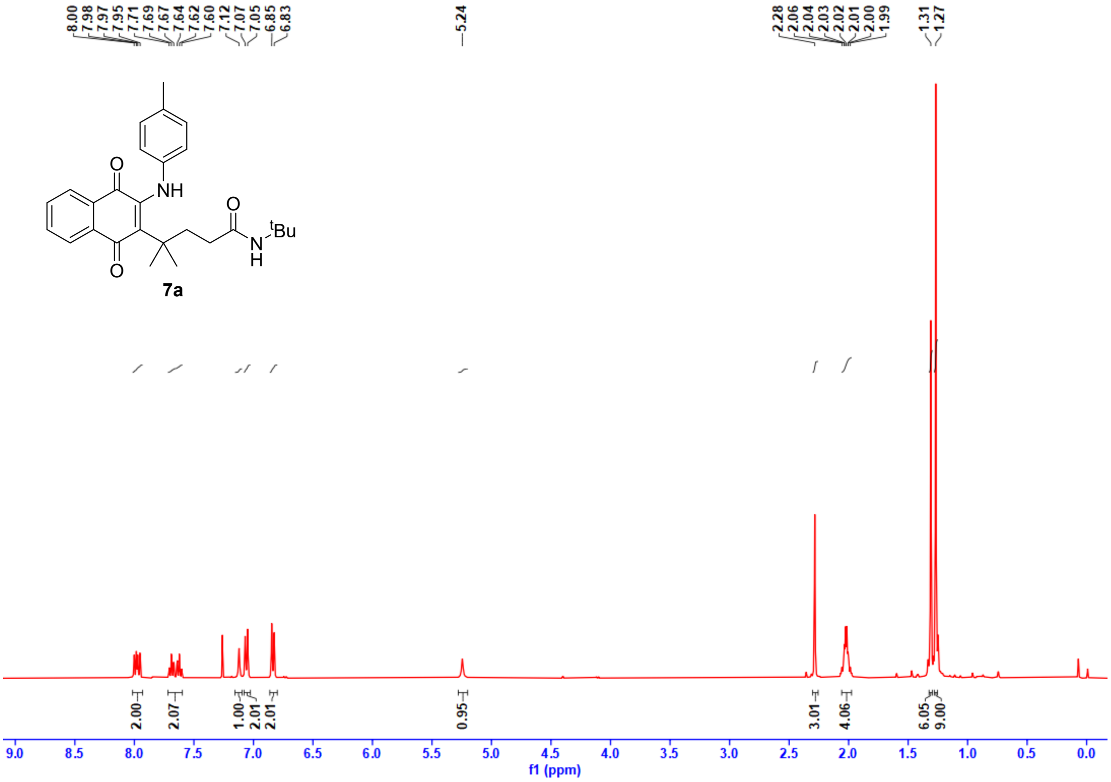
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3ab**



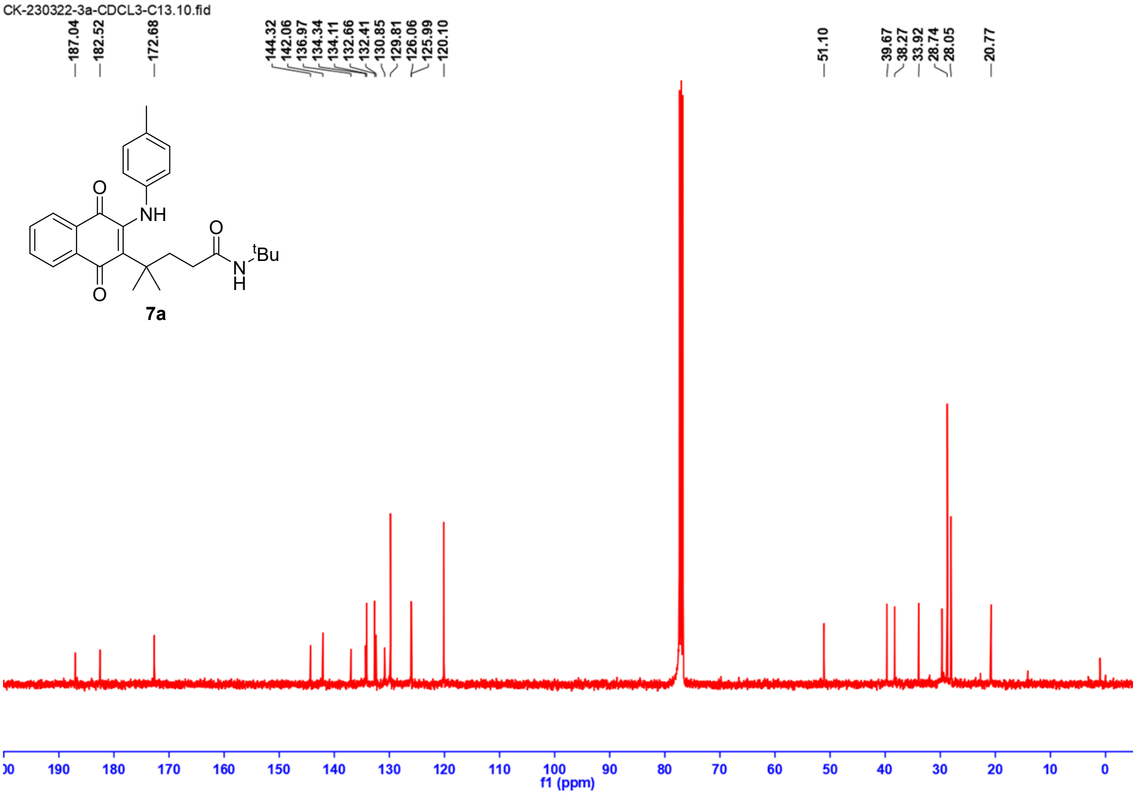
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **3ac**



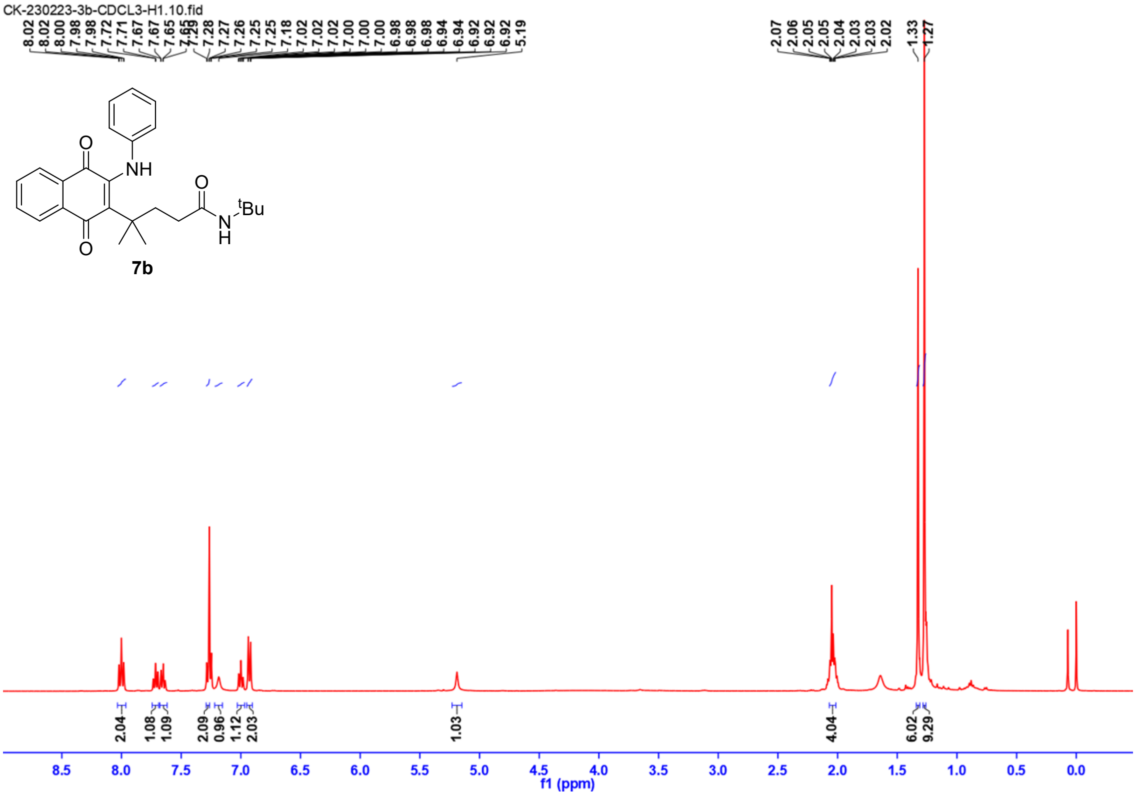
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **3ac**



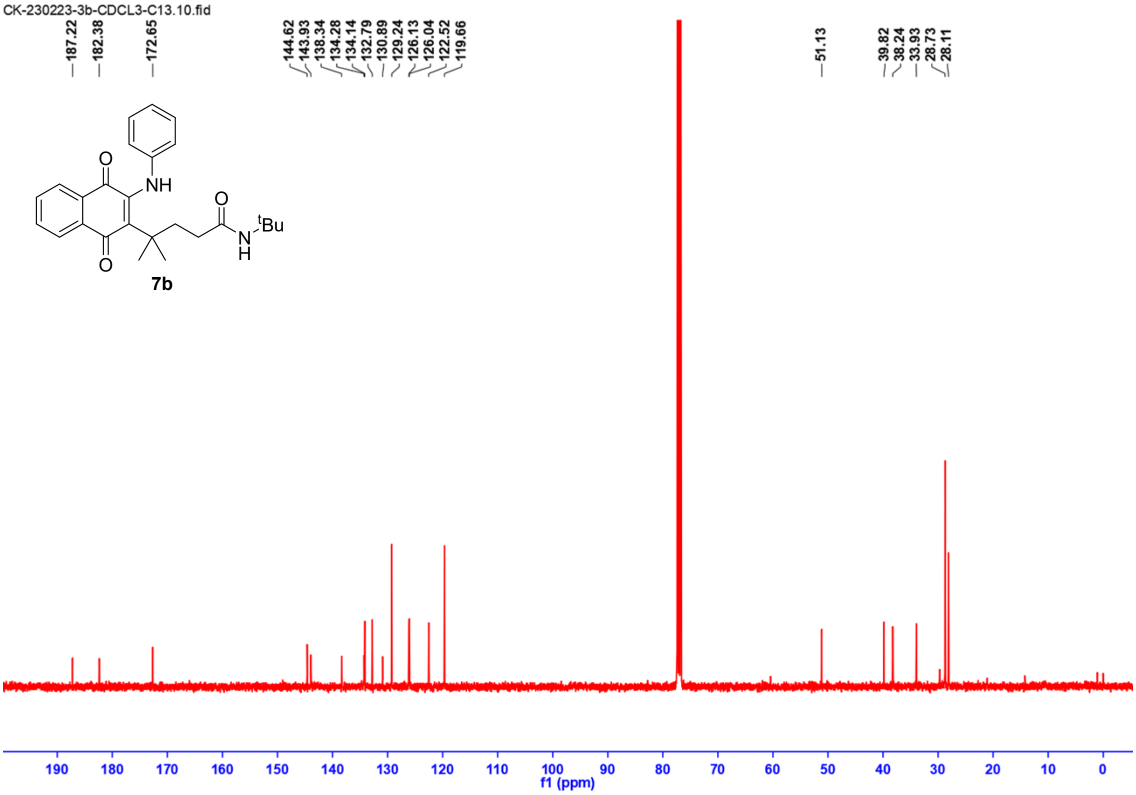
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7a**



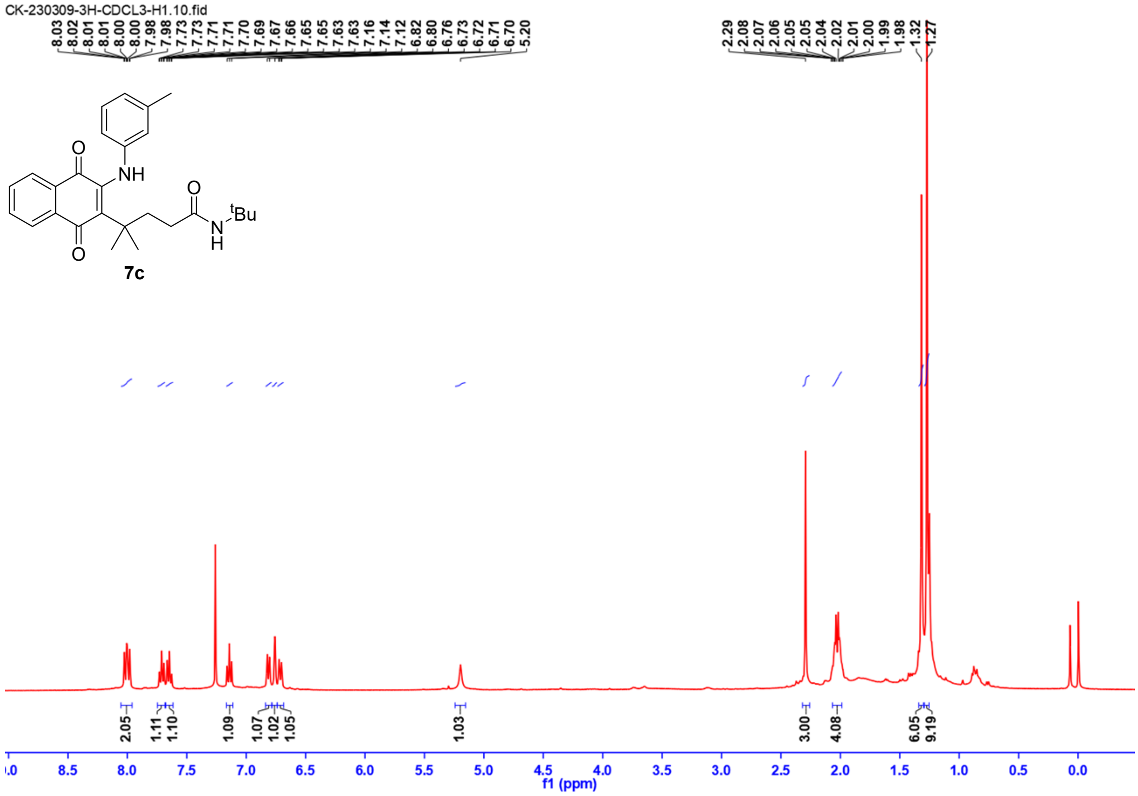
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7a**



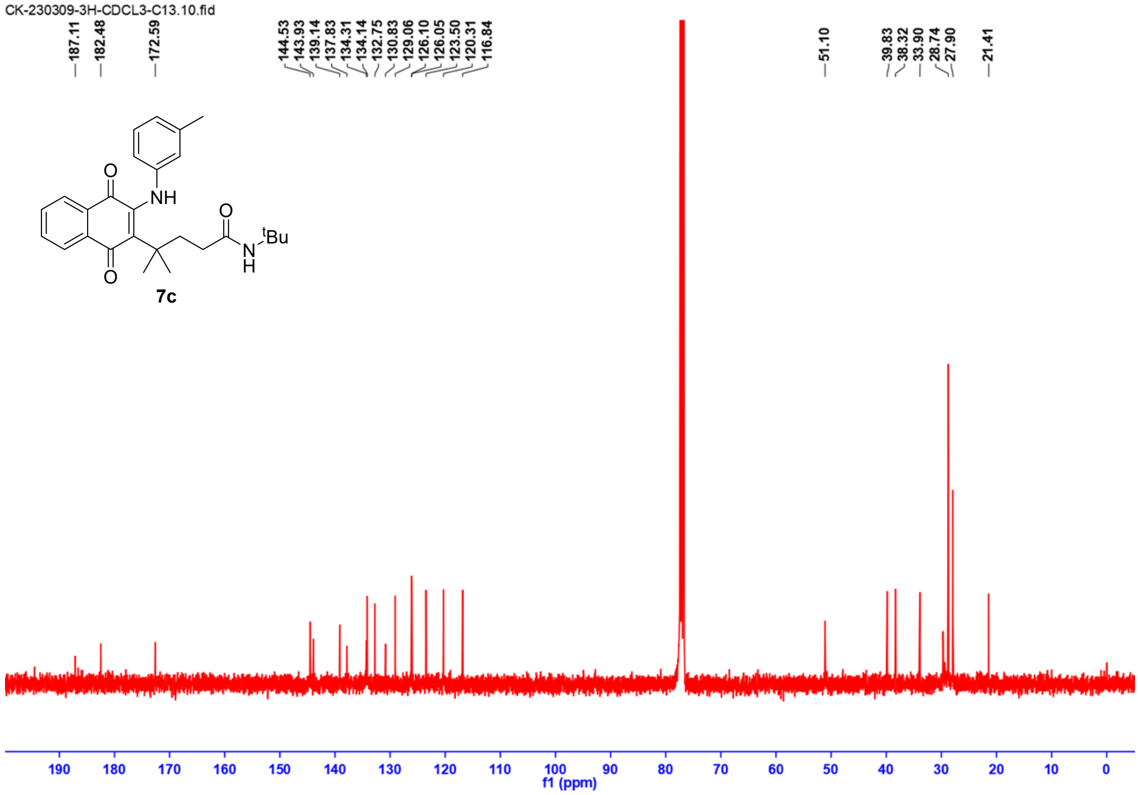
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7b**



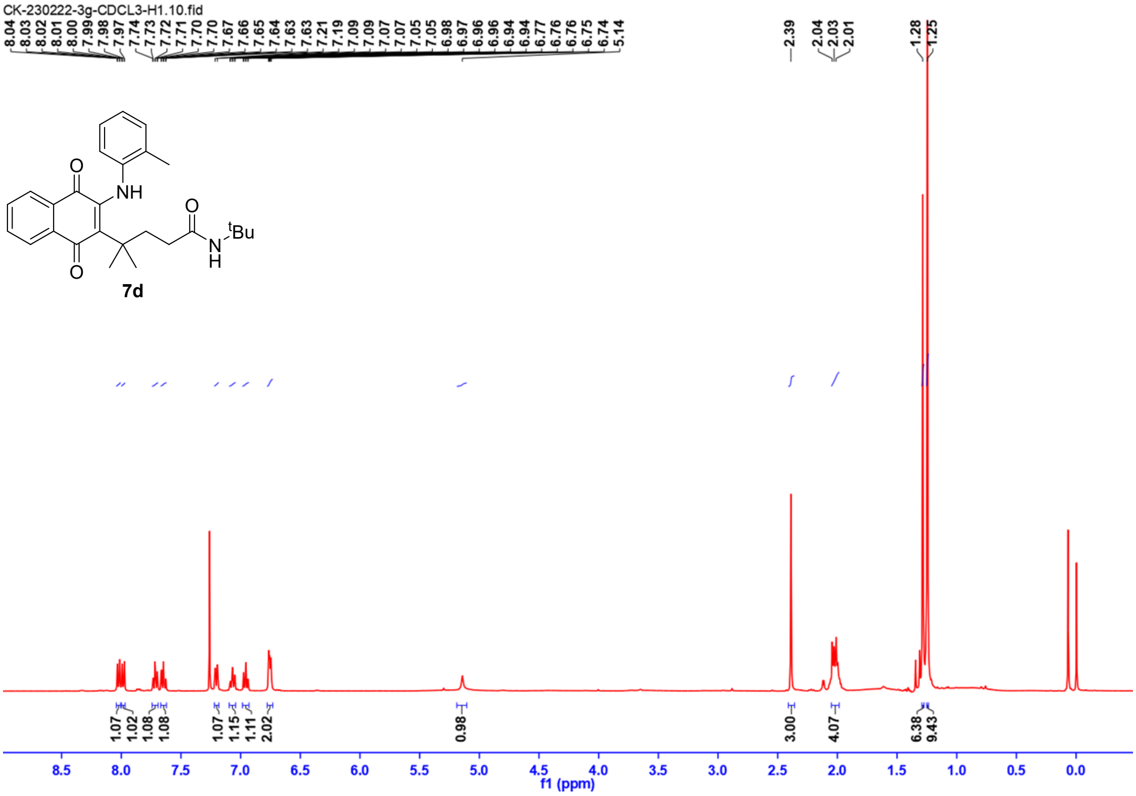
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7b**



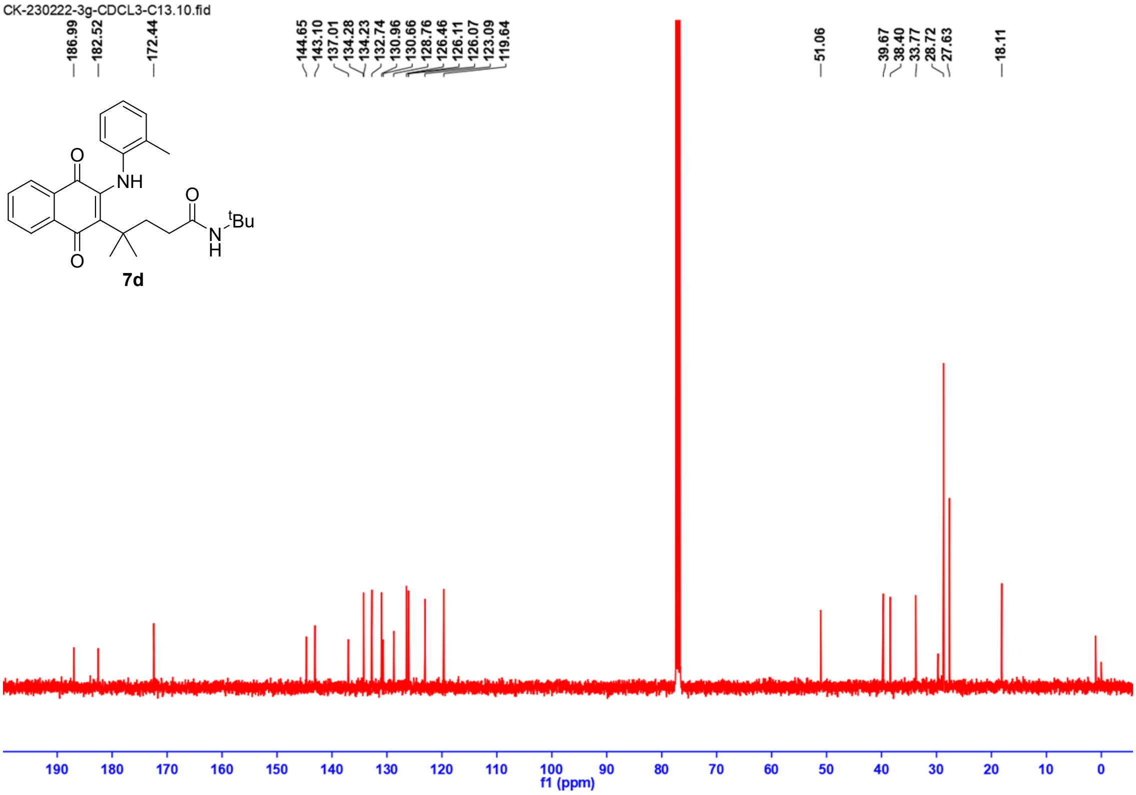
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7c**



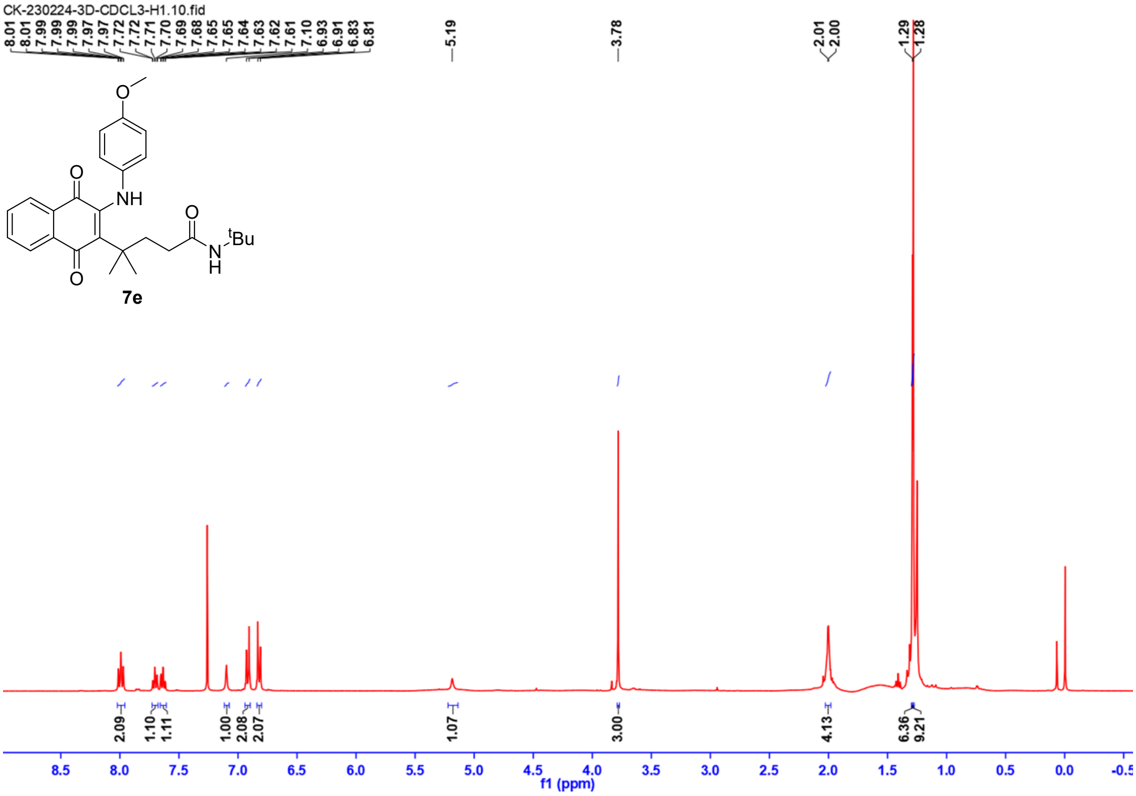
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7c**



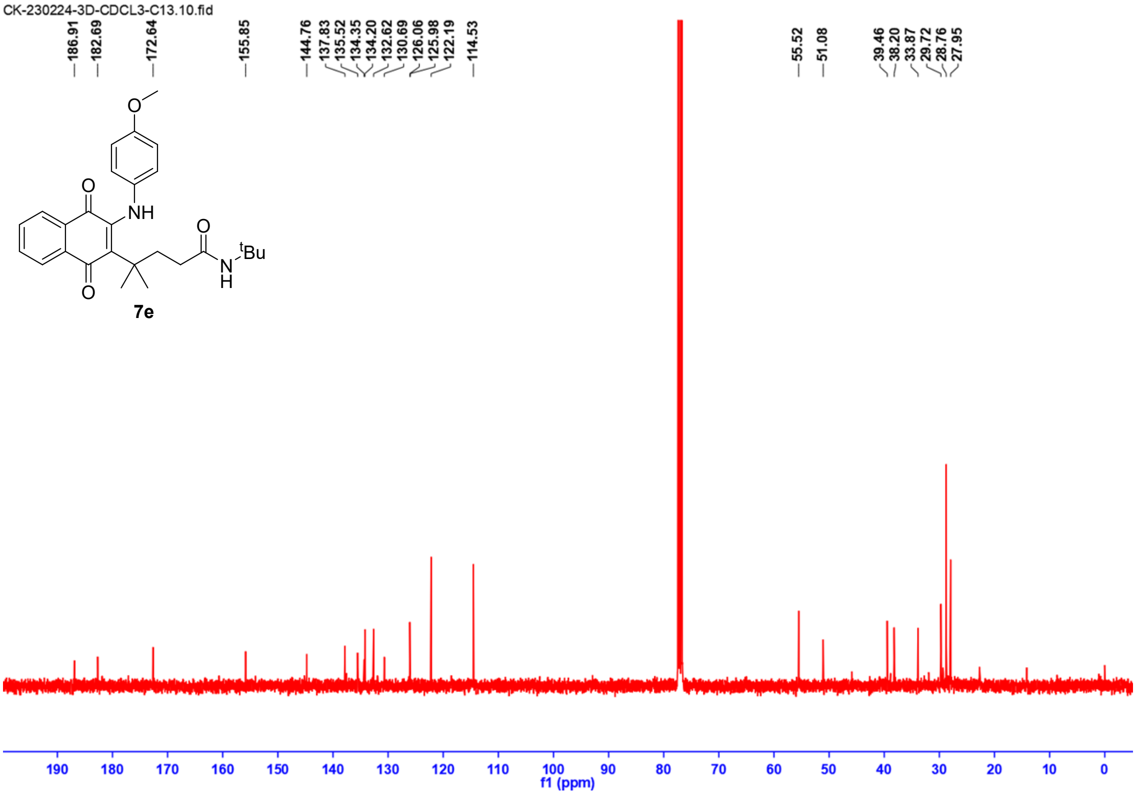
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7d**



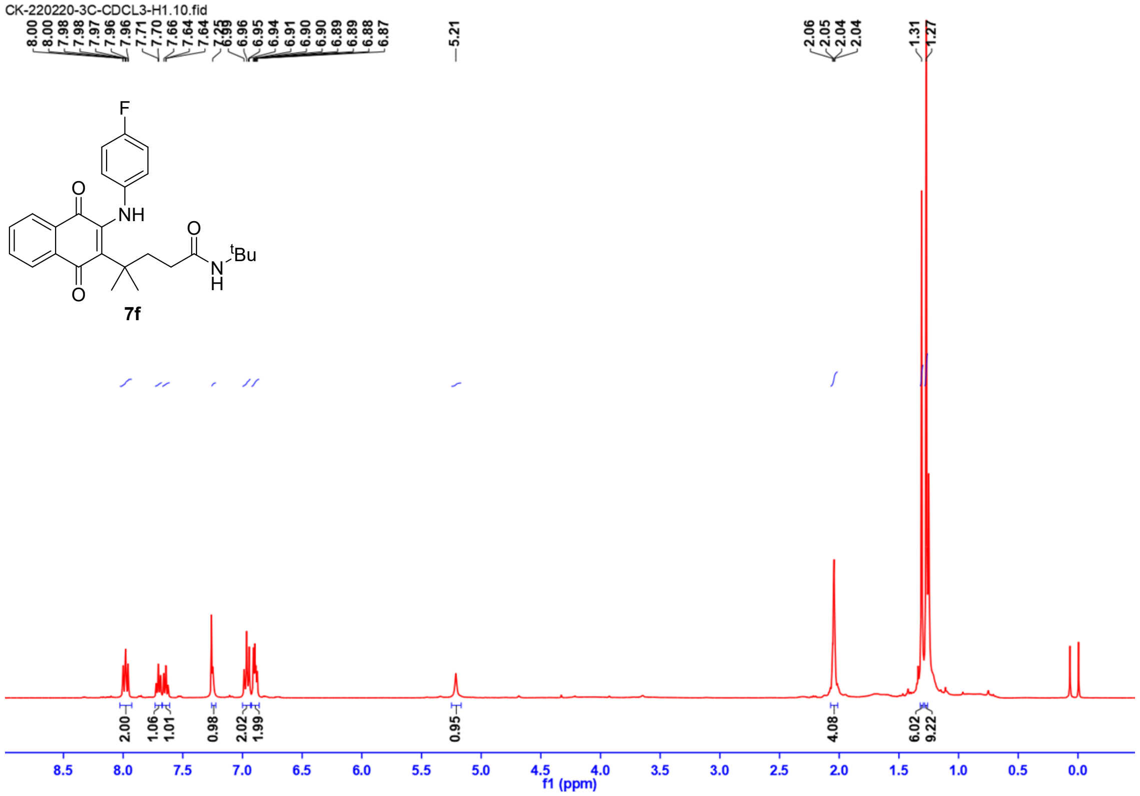
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7d**



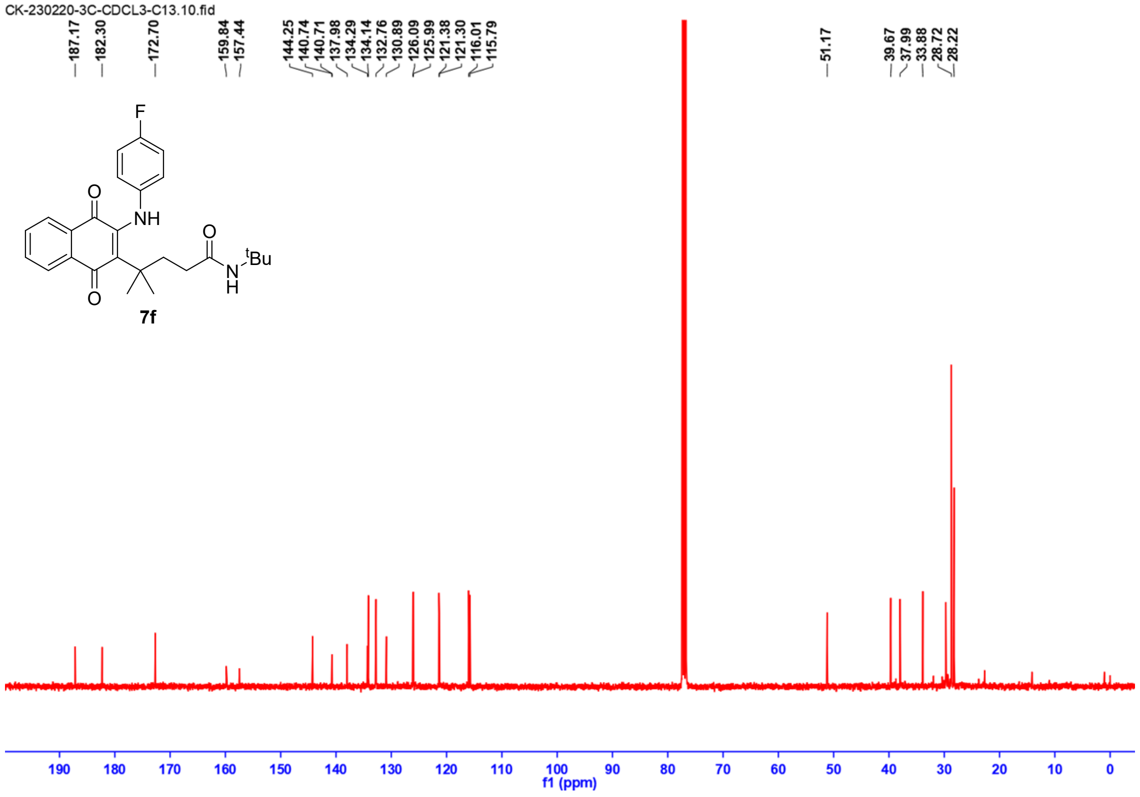
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7e**



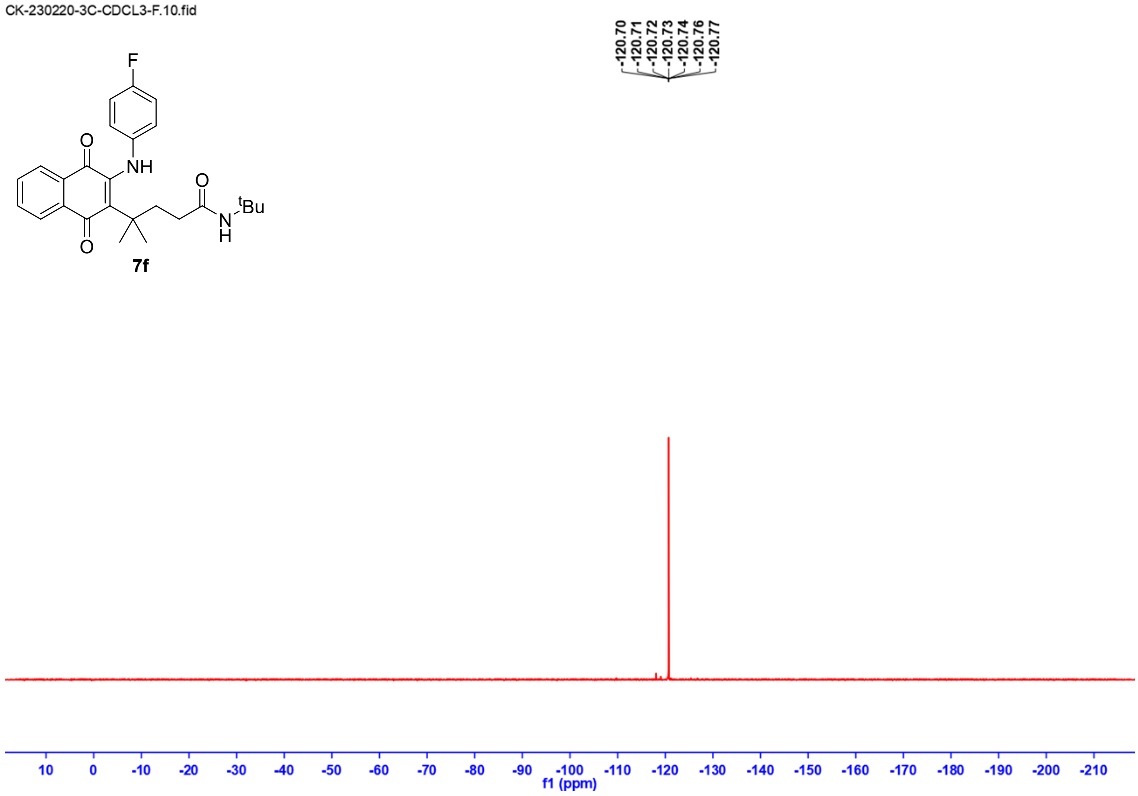
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7e**



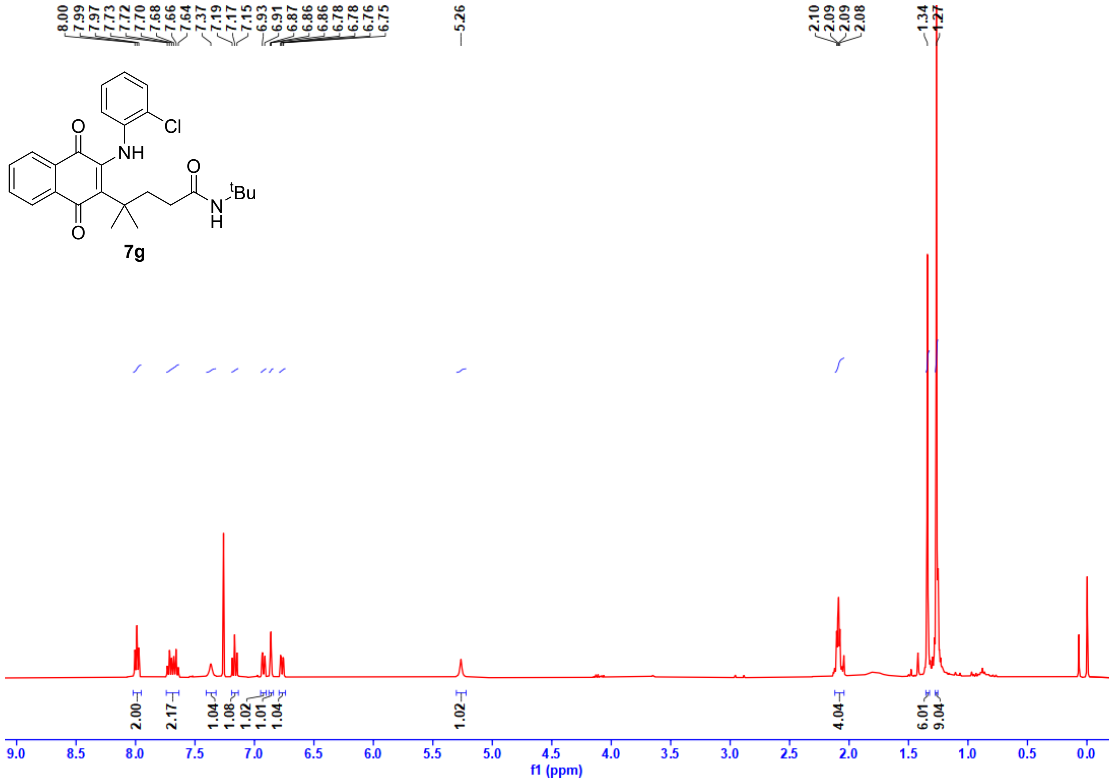
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7f**



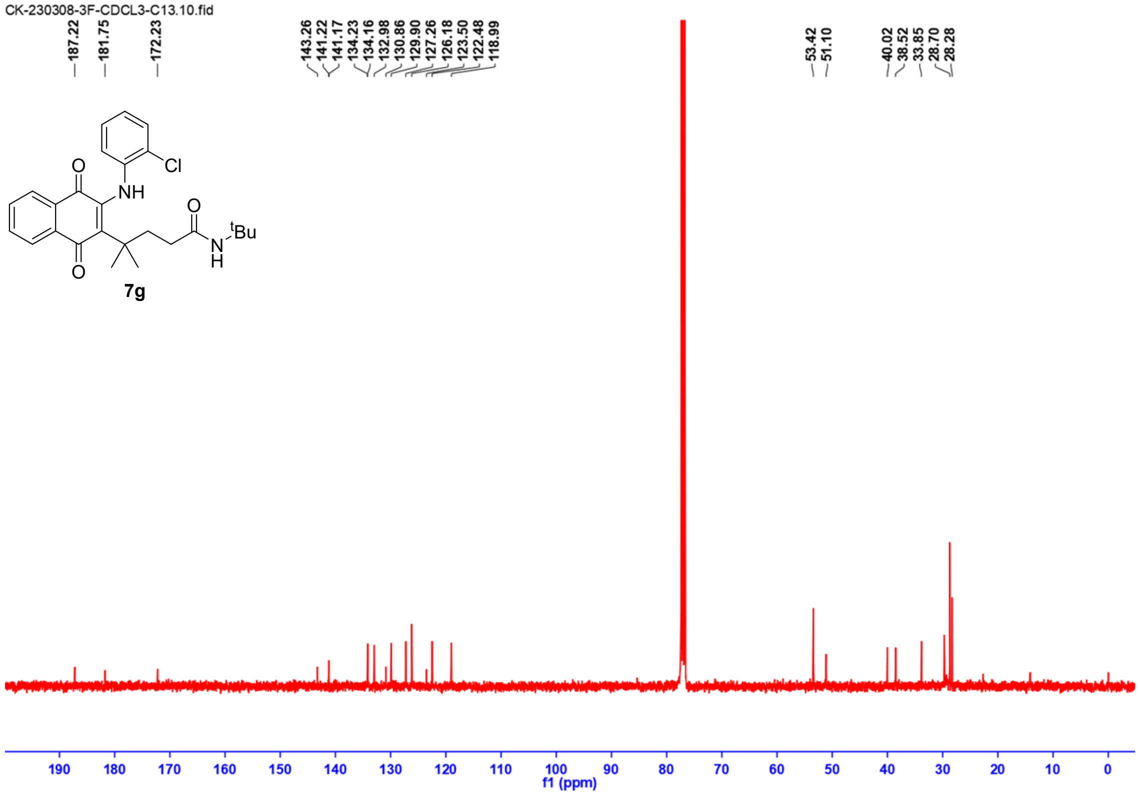
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7f**



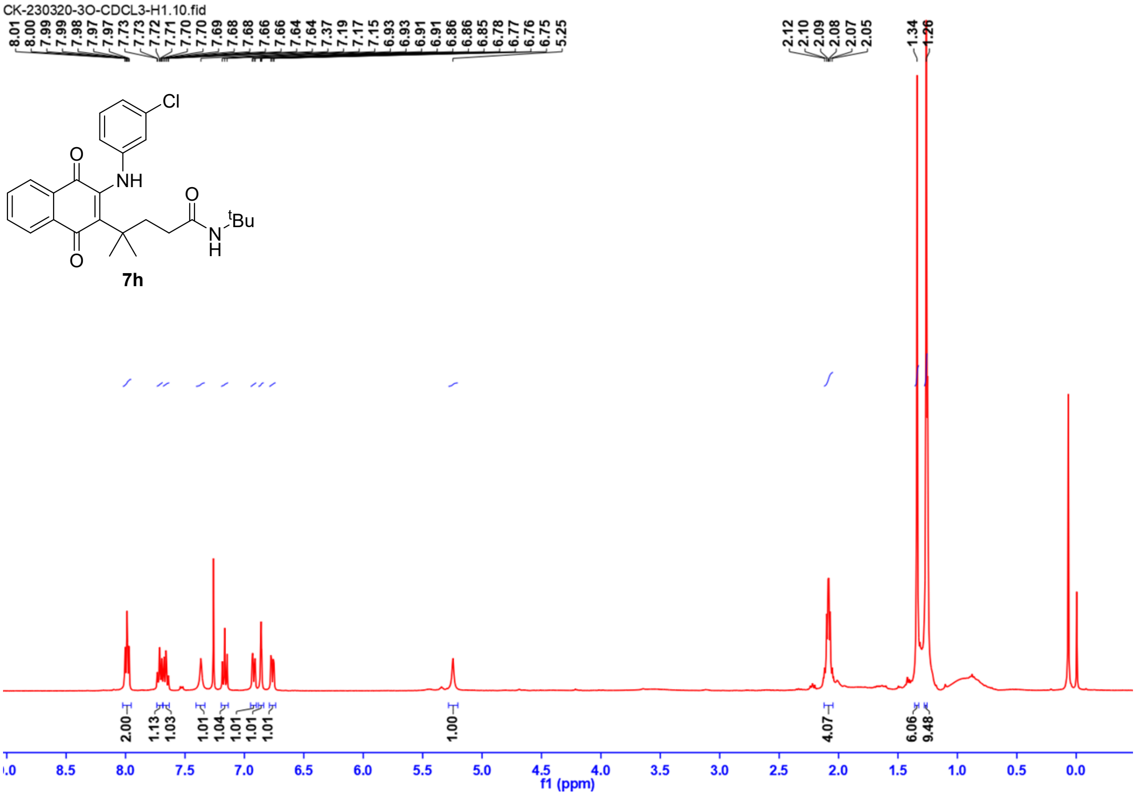
^{19}F NMR (CDCl$_3$, 376 MHz) spectra of compound **7f**



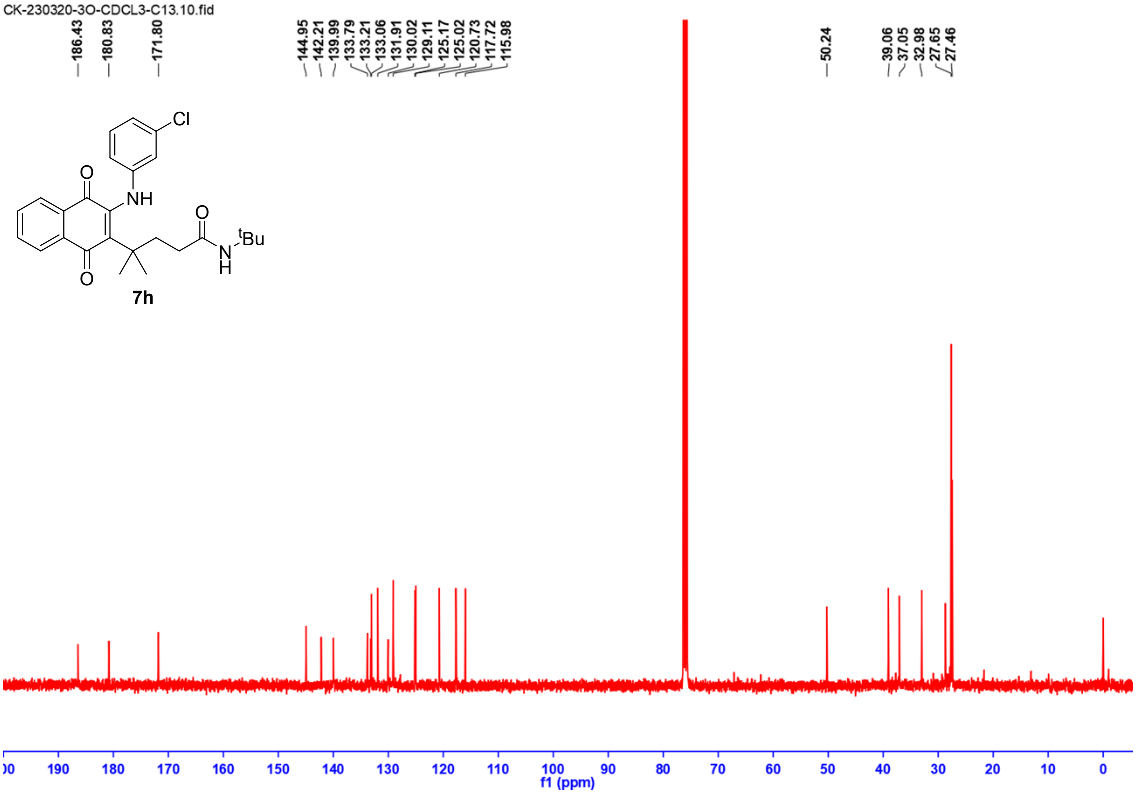
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **7g**



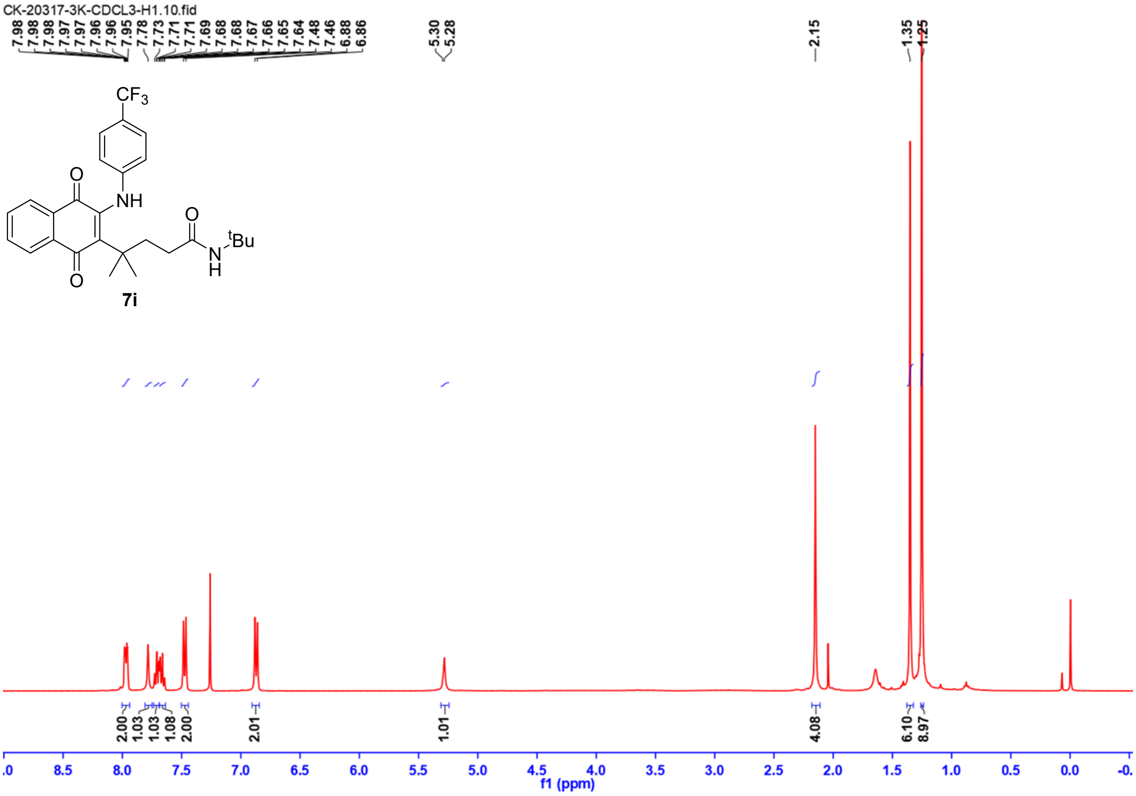
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **7g**



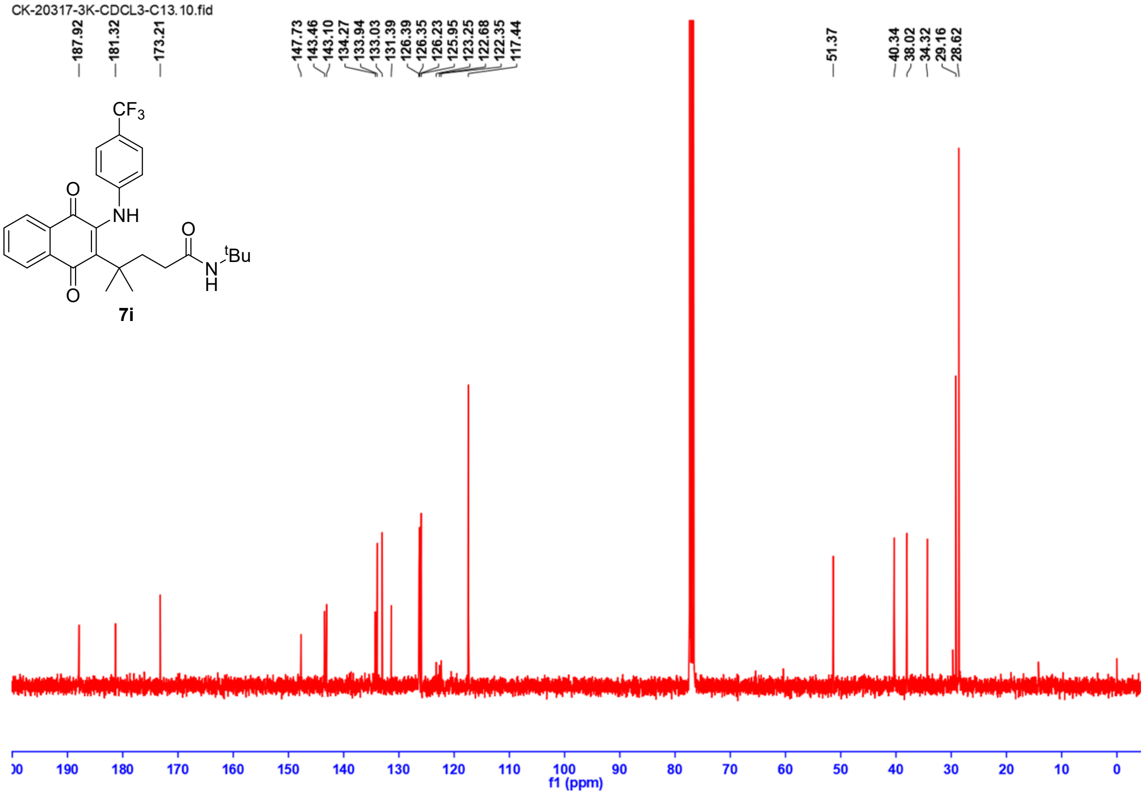
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **7h**



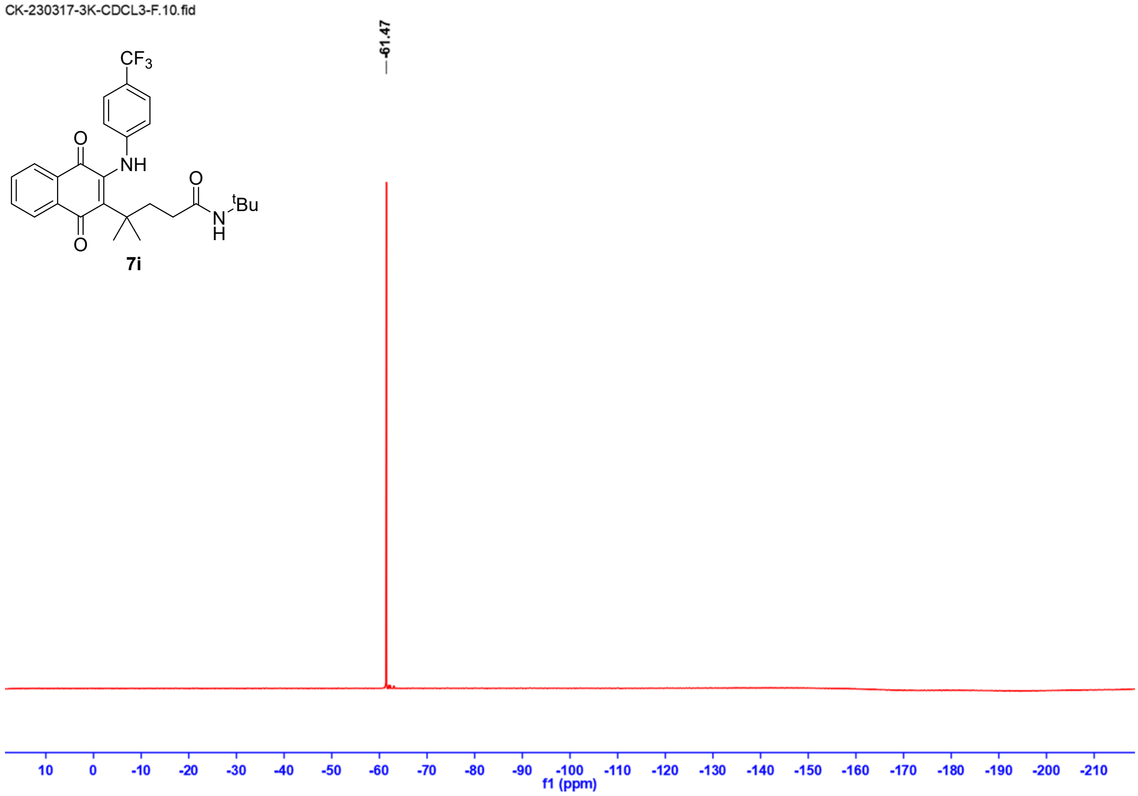
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **7h**



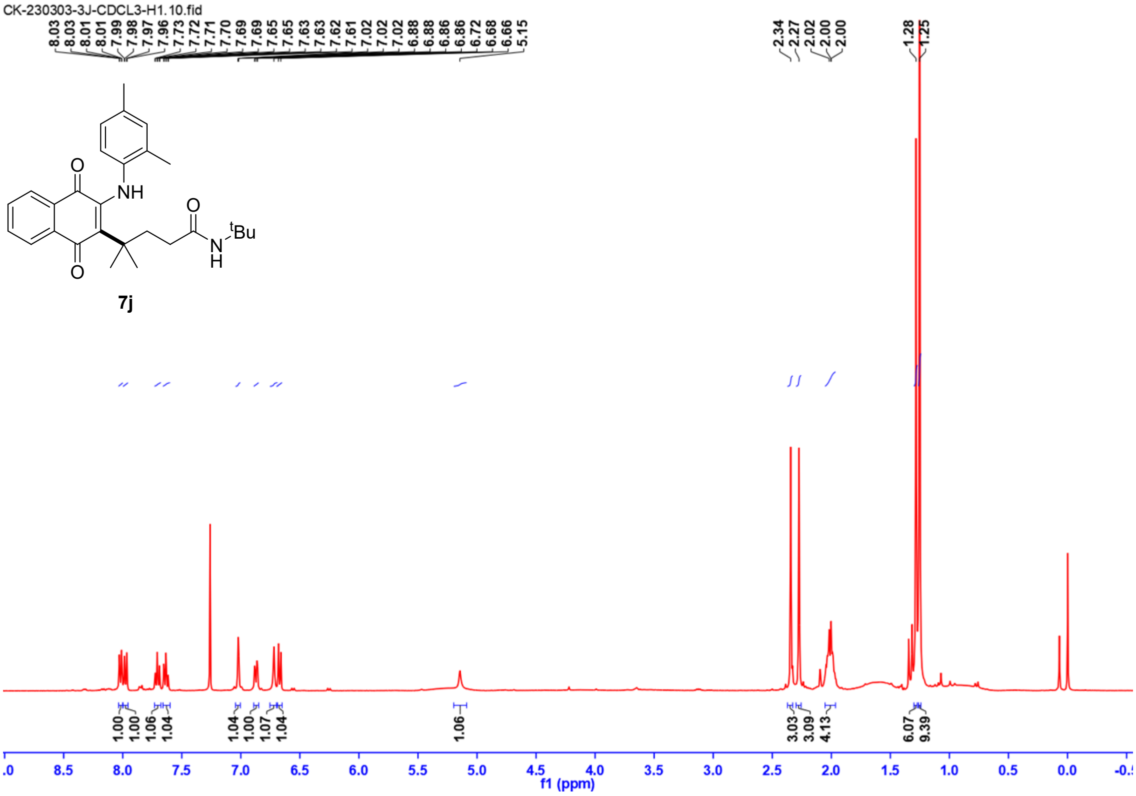
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7i**



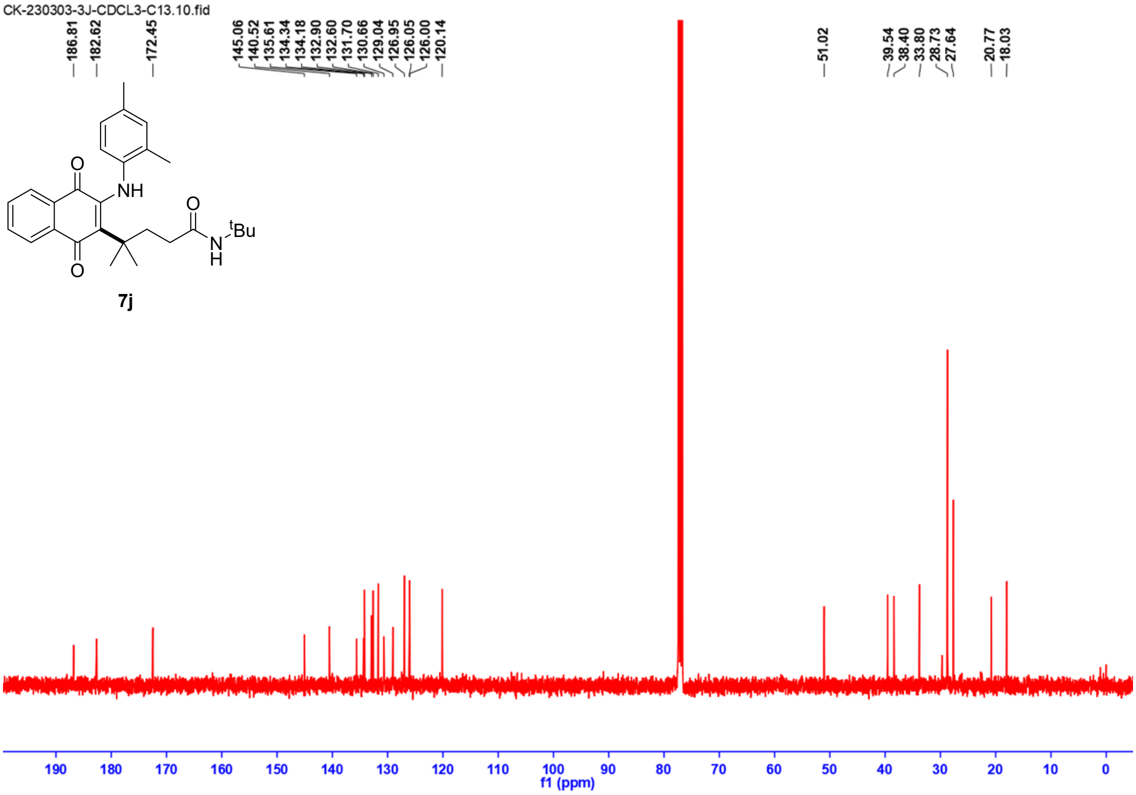
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7i**



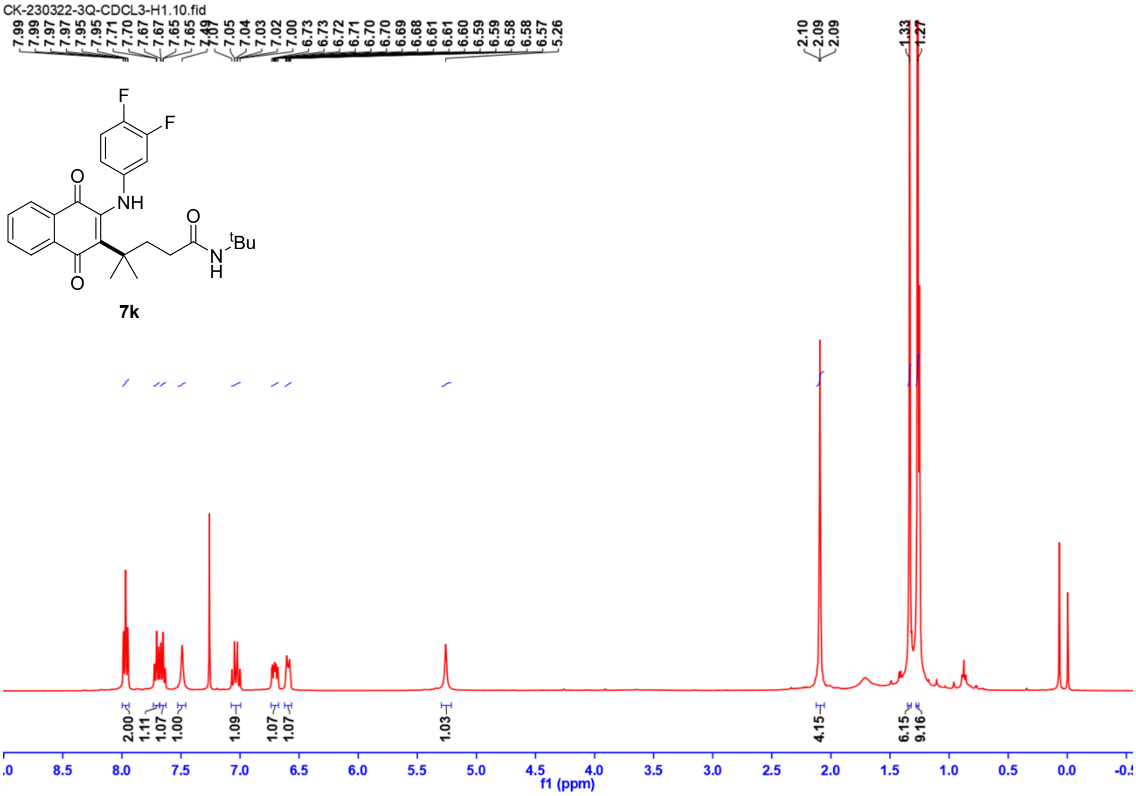
^{19}F NMR (CDCl$_3$, 376 MHz) spectra of compound **7i**



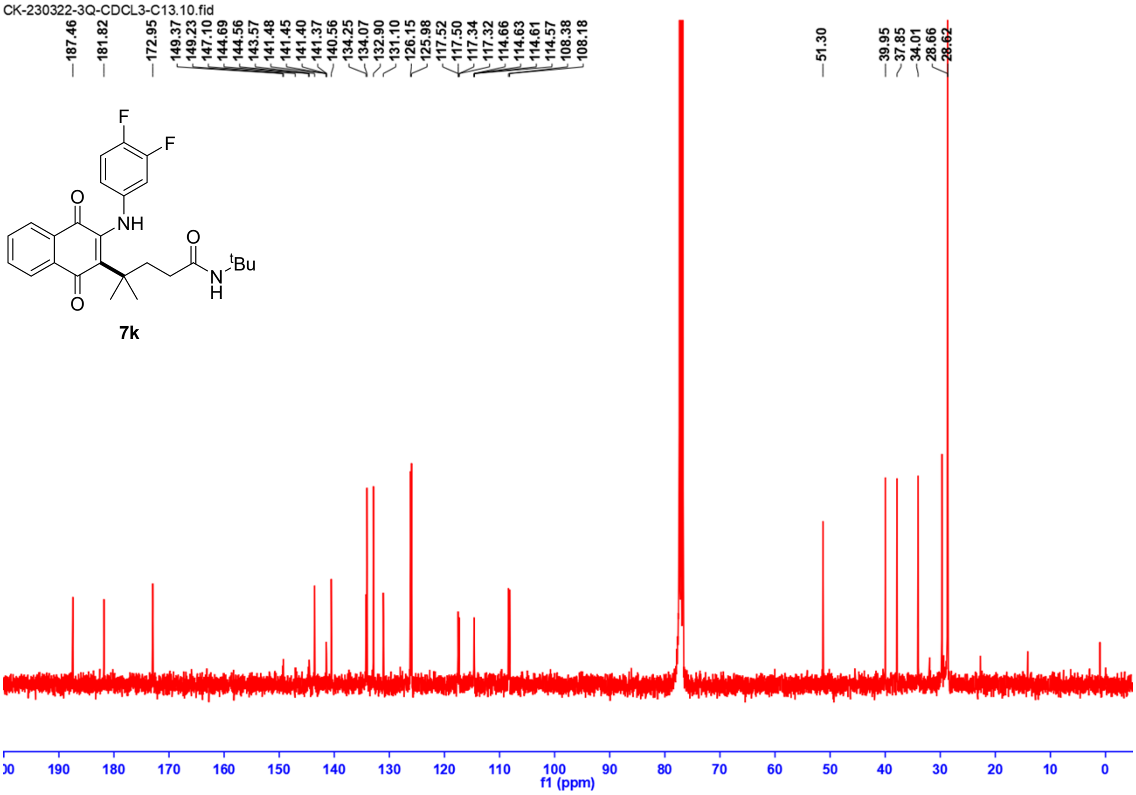
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **7j**



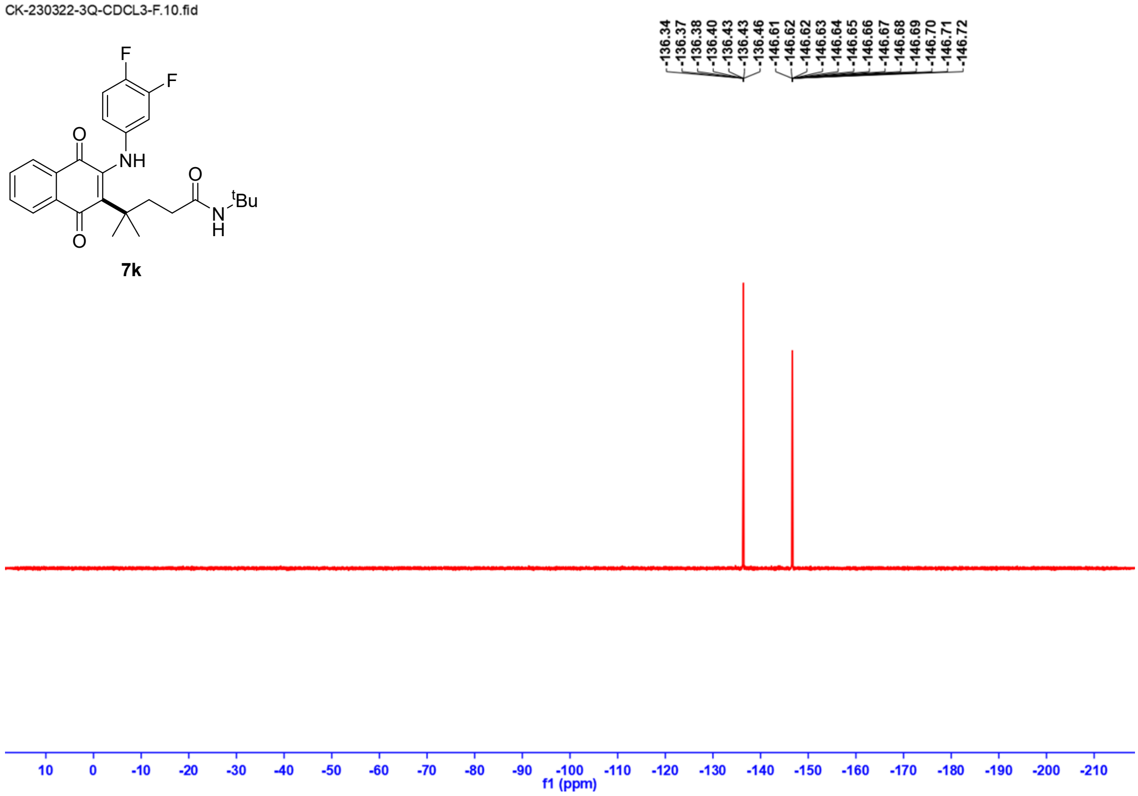
^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **7j**



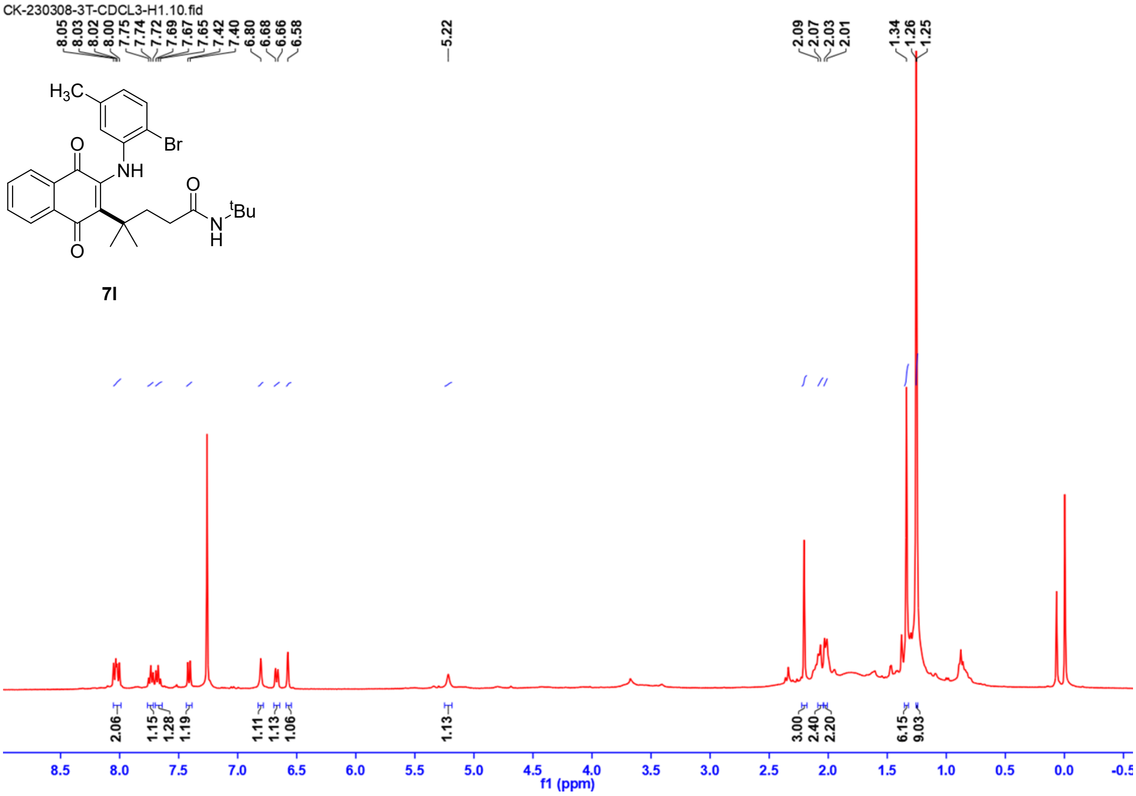
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7k**



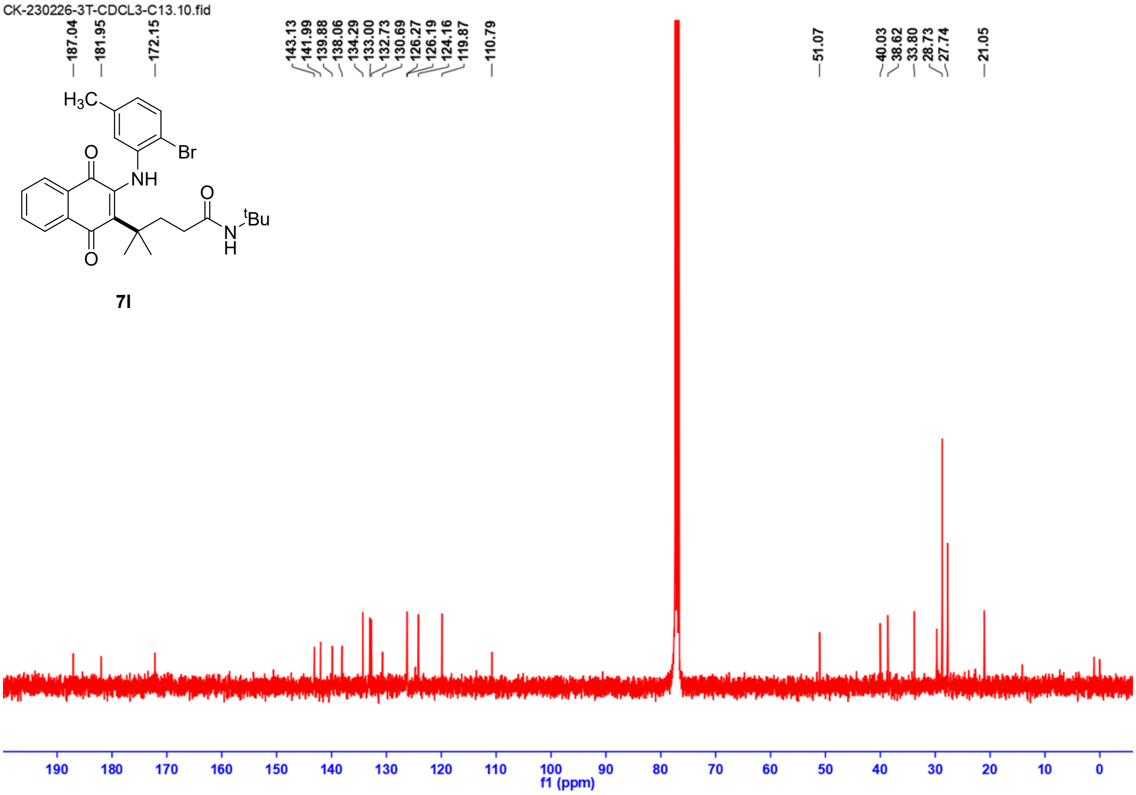
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7k**



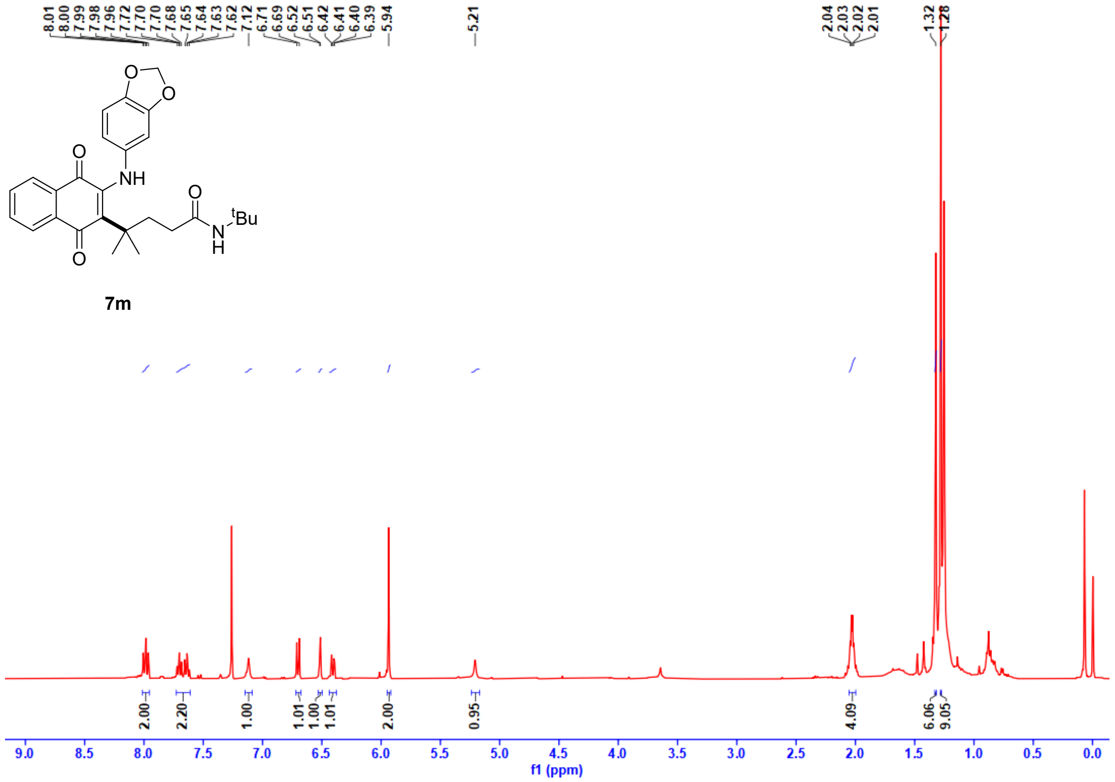
^{19}F NMR ($CDCl_3$, 376 MHz) spectra of compound **7k**



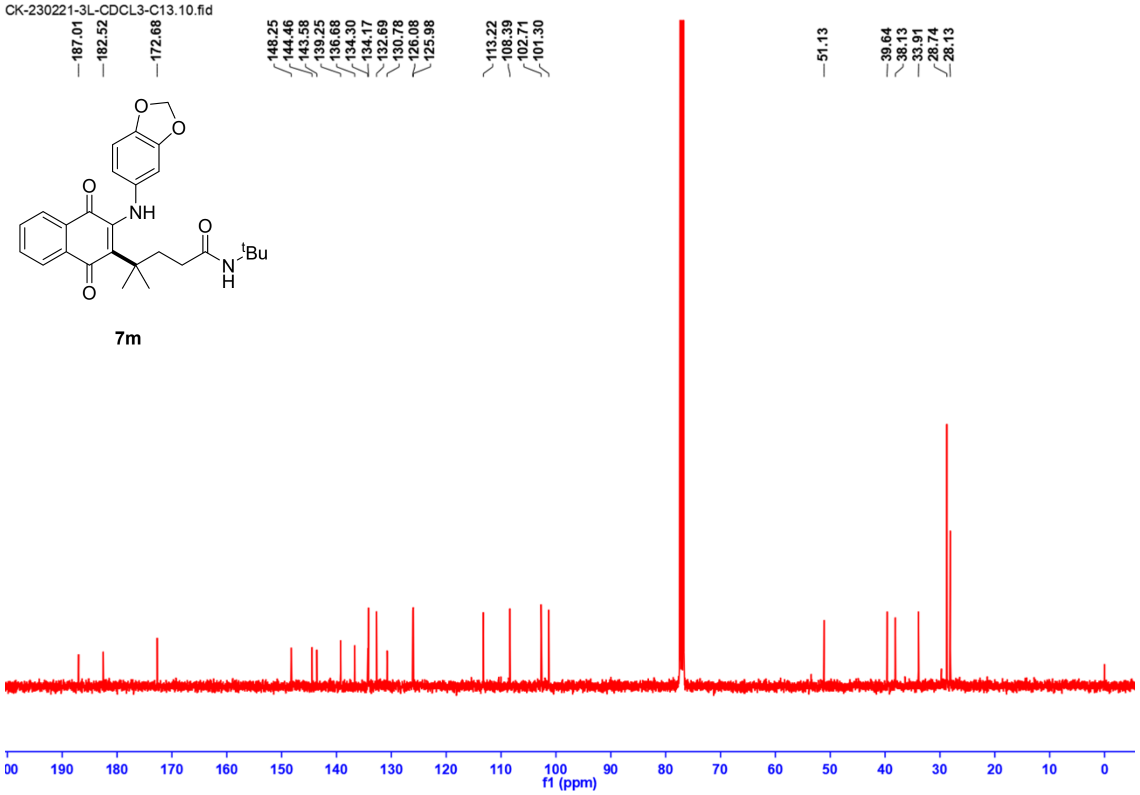
^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7l**



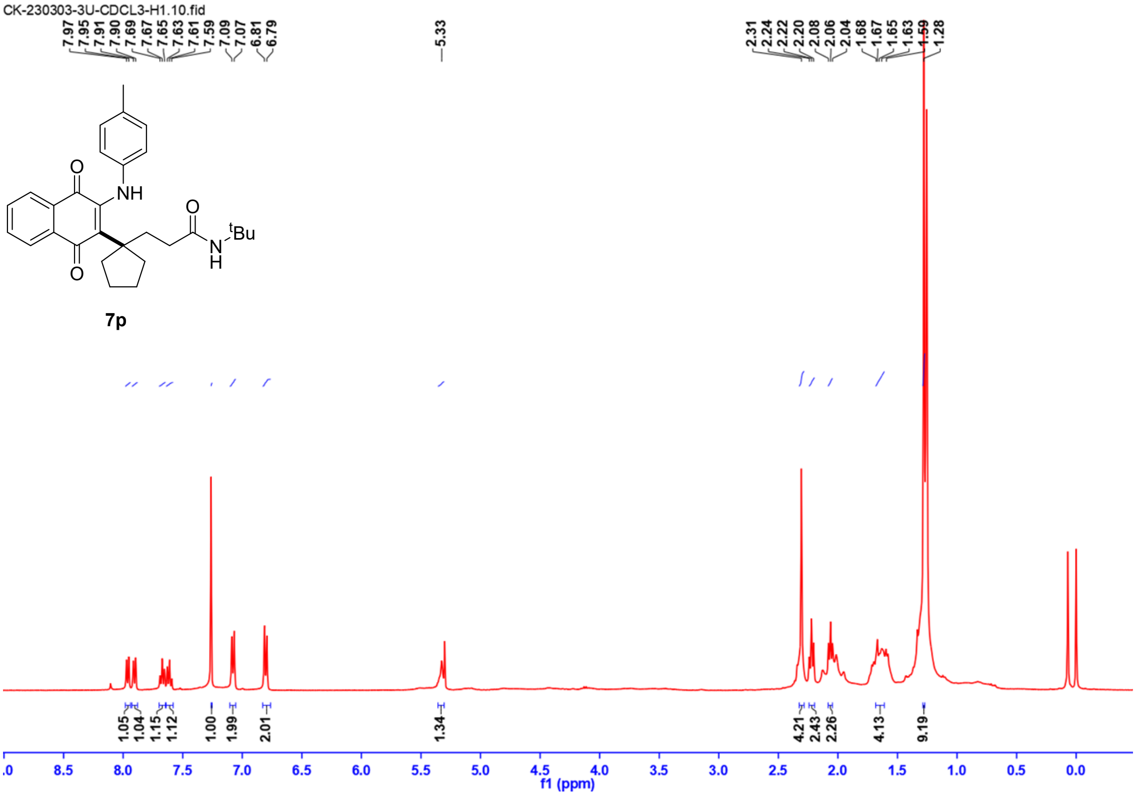
^{13}C NMR (CDCl$_3$, 100 MHz) spectra of compound **7l**



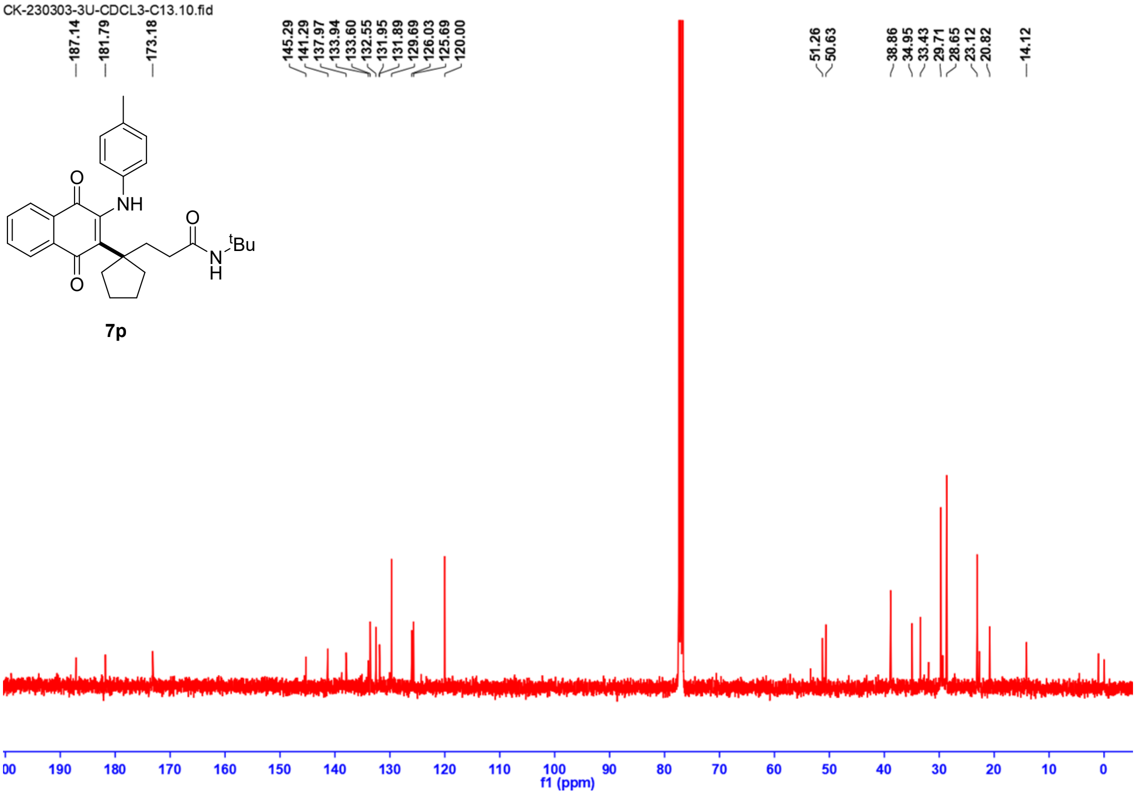
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **7m**



^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **7m**



^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7p**



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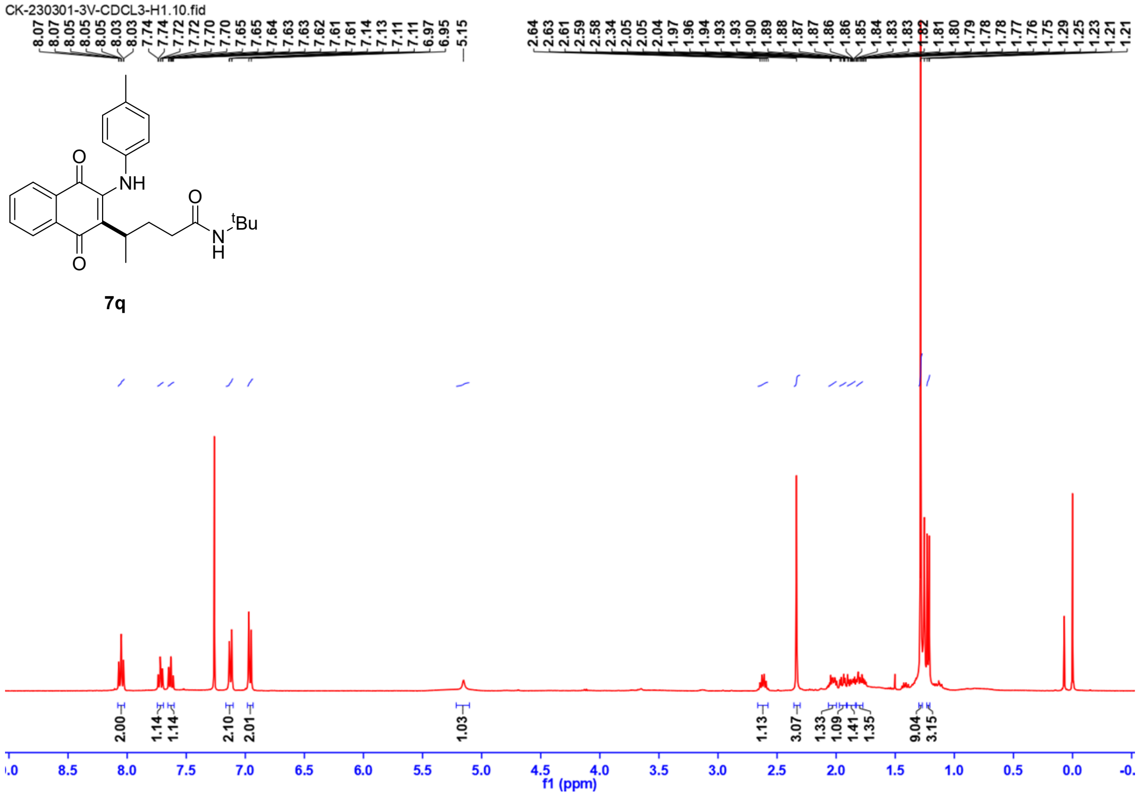
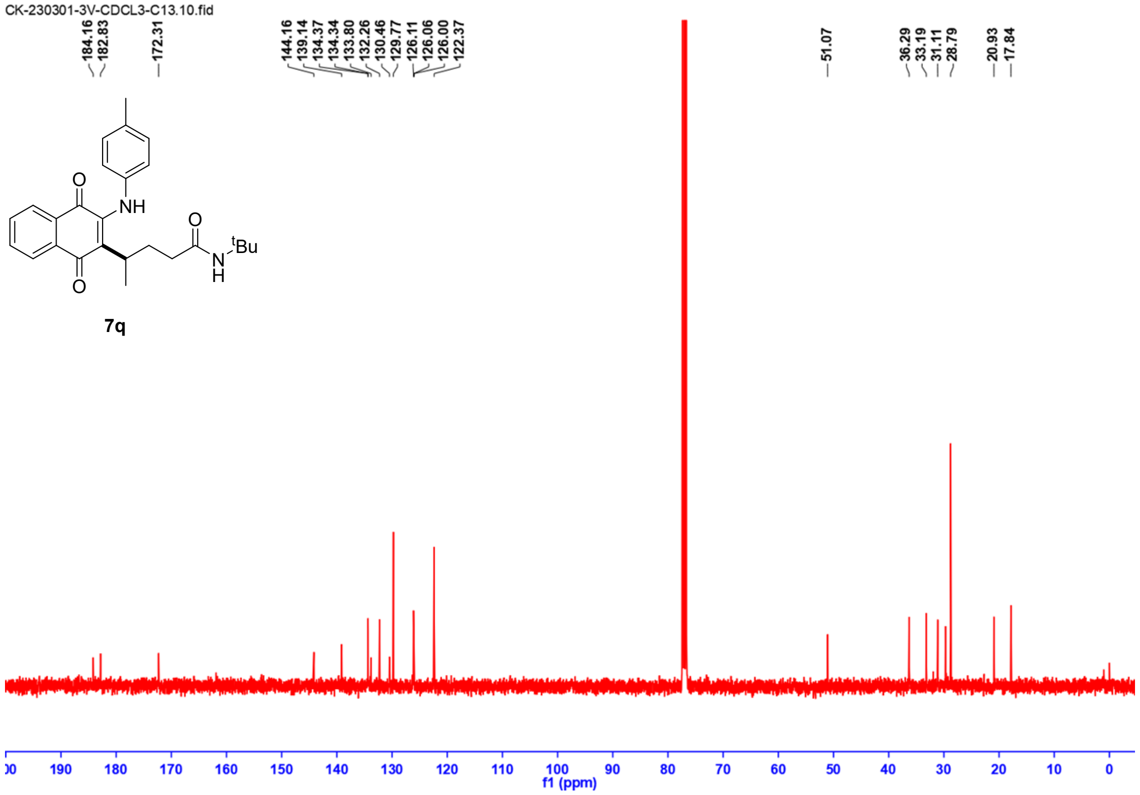


^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7q**



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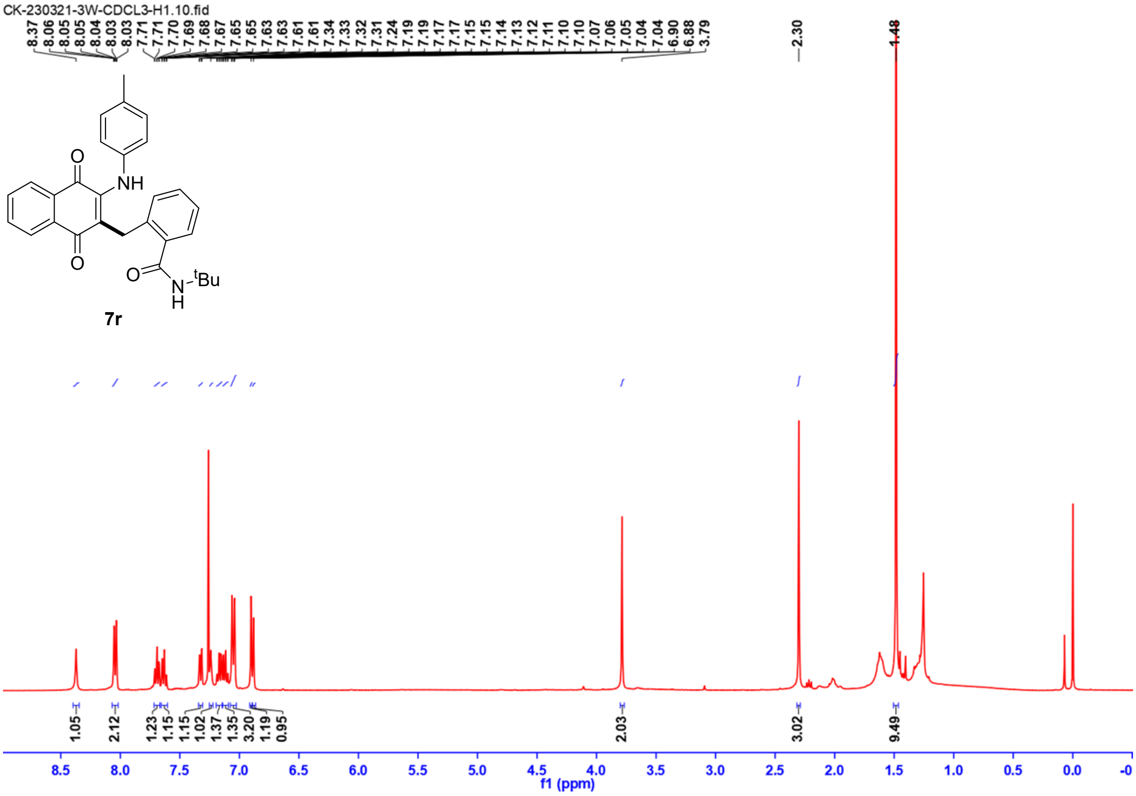
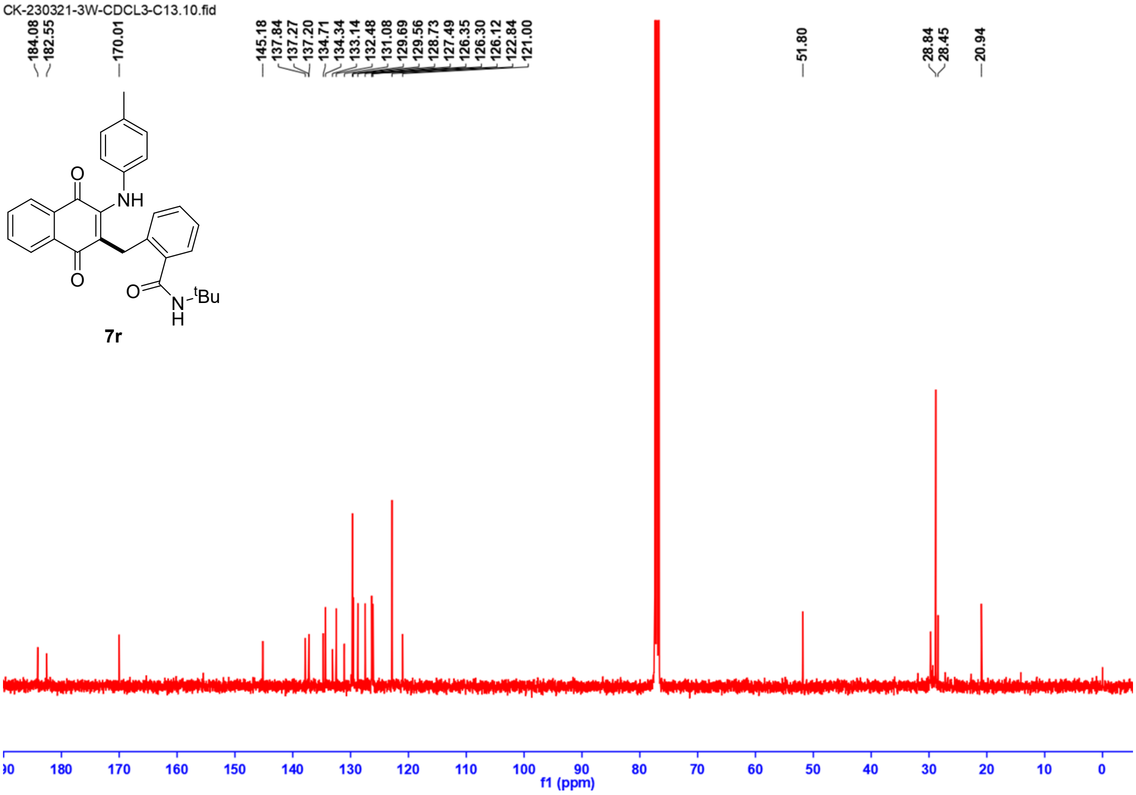


^{1}H NMR (CDCl$_3$, 400 MHz) spectra of compound **7r**



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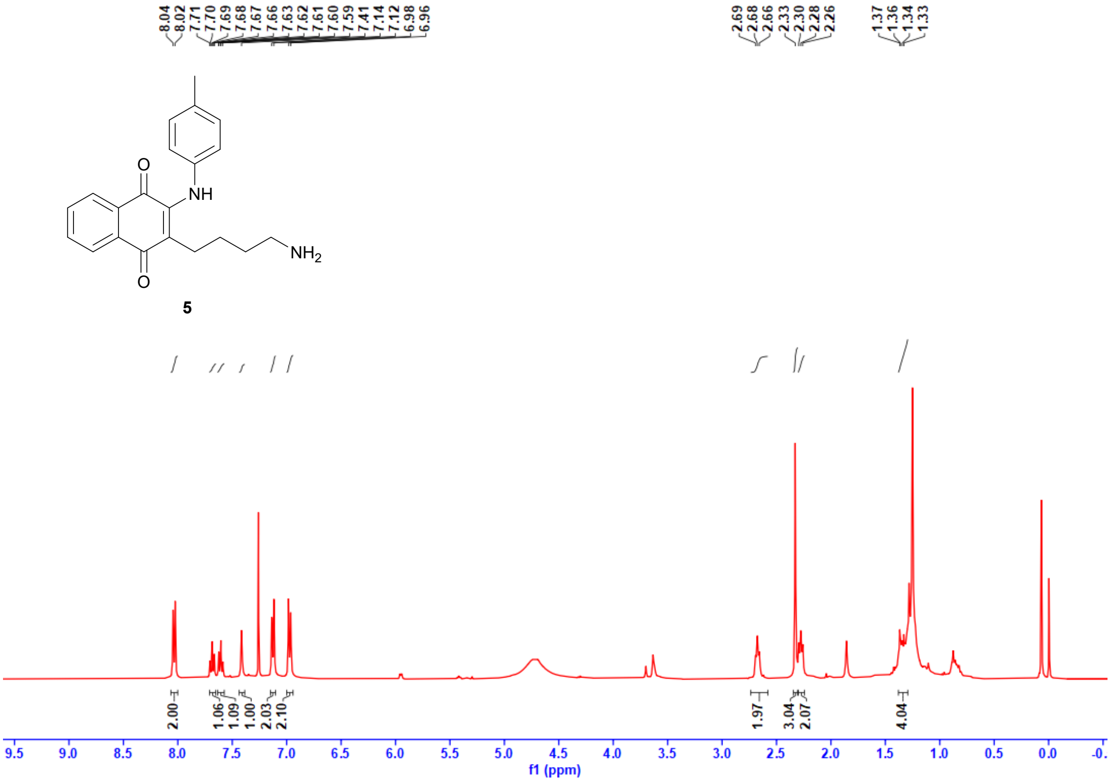
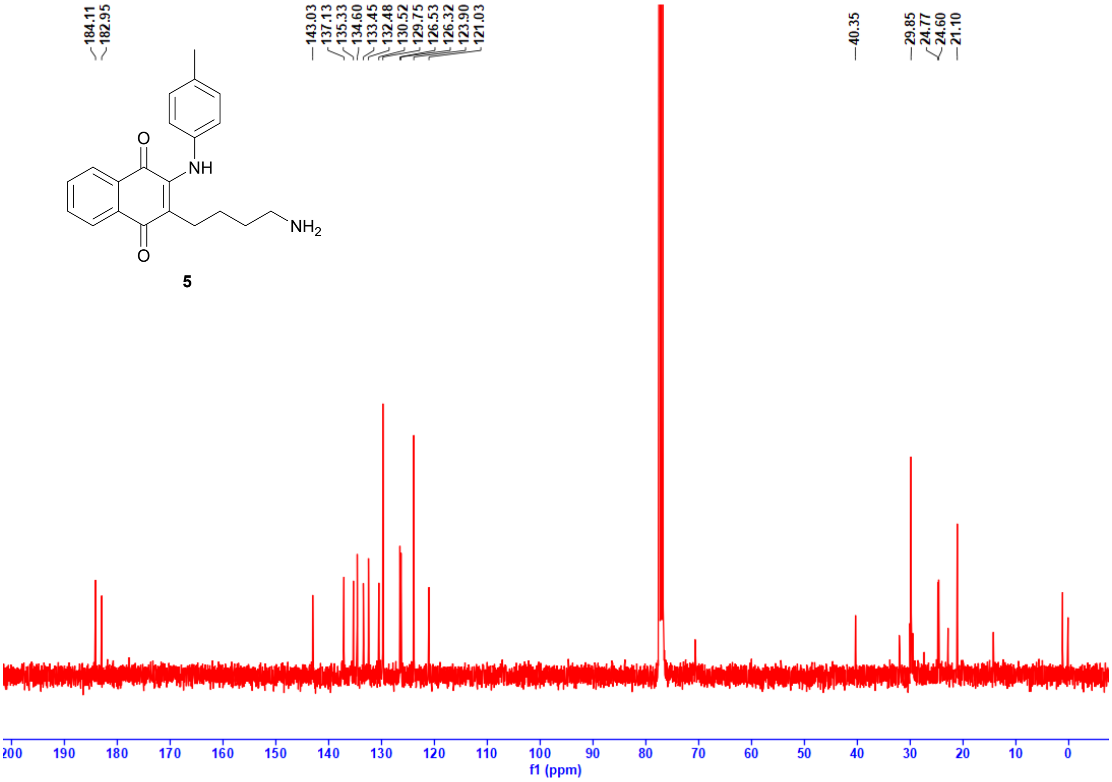


^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **5**



^{13}C NMR ($CDCl_3$, 100 MHz) spectra of compound **5**