Supporting Information

Boric/Boronic Acid-Promoted Redox Transformation of 4-Hydroxy-1,2,3,4-Tetrahydroacridine *N*-oxides to Functionalized 2,3-Dihydroacridin-4(1*H*)-ones and Mechanistic Studies Using DFT calculations

Subir Majhi,[a] Thangellapally Shirisha,[a] Suman Das,[a] Mohmmad Faizan,[a] Ravinder Pawar,*[a]

Dhurke Kashinath*[a]

[a]*Department of Chemistry, National Institute of Technology, Warangal-506 004, India.*

E-mail: kashinath@nitw.ac.in, kashinath.dhurke@gmail.com; Tel: +91-870-2462677.

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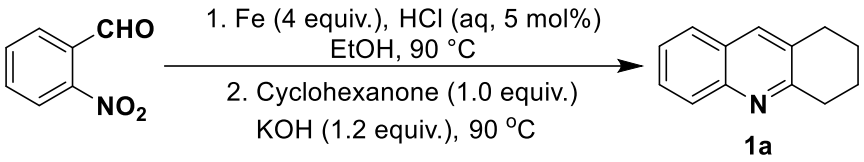
1. General Information

Unless otherwise stated, all commercials were used as received. All reagents and solvents were commercially available and used without further purification unless specified. All the solvents were dried and distilled according to standard procedures. Column chromatography was performed using silica gel (100-200 mesh). Analytical thin-layer chromatography (TLC) was performed on pre-coated 0.2 mm thick Merk 90 F245 silica plates, and various combinations of ethyl acetate and petroleum ether were used as eluent. All reactions were carried out with magnetic stirring and in dried glassware. Nuclear magnetic resonance (NMR) spectra are recorded in parts per million from internal tetramethyl silane on a δ scale. ^{1}H NMR and ^{13}C NMR spectra were recorded in $CDCl_3$ on a Bruker 400 MHz, respectively. All chemical shift values are quoted in ppm and coupling constants in Hz. The solvent peak was used as a reference value for ^{1}H NMR: TMS = 0.00 ppm, $CDCl_3$ = 7.26; for ^{13}C NMR: $CDCl_3$ = 77.00 ppm. The following was used to explain multiplicities: s = singlet, d = doublet, dd = doublet of doublet, t = triplet, td = triplet of doublet, q = quartet, m = multiplet, and br = broad. High-resolution mass spectra (HRMS) were obtained on an Agilent mass spectrometer using ESI-TOF (electrospray ionization-time of flight).

2. General procedure for the synthesis of 1,2,3,4-tetrahydroacridine and its derivatives

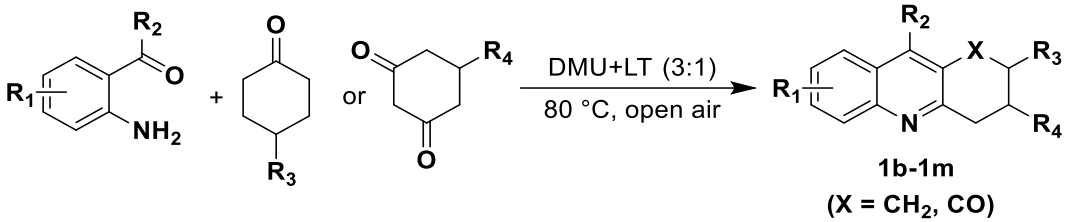
All the 1,2,3,4-tetrahydroacridine derivatives were synthesized according to the literature reports.

2.1 Procedure for the synthesis of compound 1a.



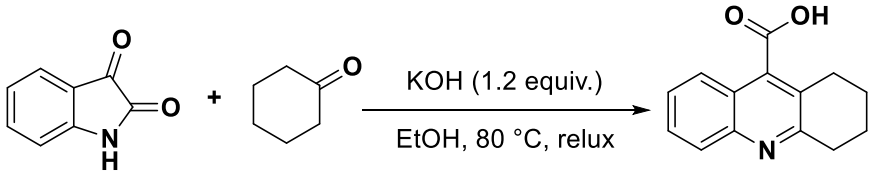
To a solution of o-nitro benzaldehyde (604 mg. 4.0 mmol, 1 equiv.) in ethanol (12 mL) was added iron powder (4.0 equiv.) followed by 0.1 N aq. HCl (5 mol%) and the resulting mixture was vigorously stirred at 90 °C in an oil bath for 1 h. After checking the TLC for the completion of the reduction reaction, the respective cyclohexanone (1 equiv.) and powdered KOH (1.0 equiv.) were added. (Caution! Potential exotherm; add KOH slowly). Then the reaction mixture was stirred at 90 °C in an oil bath for 4-8 h. After completion of the reaction, the reaction mixture was cooled to rt, diluted with CH_2Cl_2 (50 mL), and filtered through a celite pad. The filtrate was washed with water (20 mL), and the aqueous phase was back-extracted with CH_2Cl_2 (3 x 15 mL). The combined organic layer was dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude material was purified by isocratic column chromatography over silica gel (eluent: hexane/ethyl acetate, 9:1, v/v) to afford the desired 1,2,3,4-tetrahydroacridine product (**1a**) in 95% yield.[1]

2.2 Procedure for the synthesis of compound 1b to 1m.



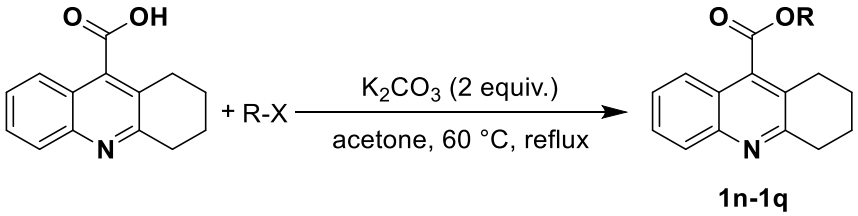
In a round-bottom flask, 1.53g of Deep Eutectic Solvent (DES) was prepared by heating N, N'-dimethyl urea (0.975g) + L-(+)-tartaric acid (0.555g) in a heating mantle at 80 °C for 30 min. To this melt, substituted 2-aminoacetophenone (0.231g, 1 mmol, 1 equiv.) and cyclohexanone and its derivatives (1 equiv.) were added, and heating was continued for another 1-2 h at 80 °C in an oil bath. After completion of the reaction (monitoring by TLC), the mixture was washed with water (20 mL), and the aqueous phase was back-extracted with CH_2Cl_2 (3 x 15 mL). The combined organic layer was dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude material was purified by column chromatography over silica gel (eluent: hexane/ethyl acetate, 9:1, v/v) to afford the desired products **1b-1m** in 80-96% of yield.[2]

2.3 Procedure for the synthesis of 1,2,3,4-tetrahydroacridine-9-carboxylic acid.



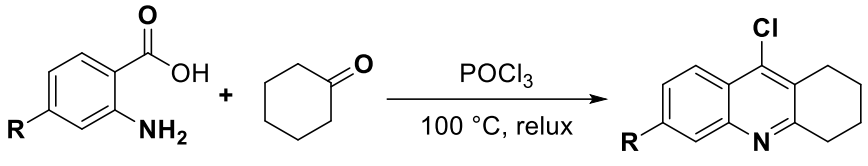
A mixture of isatin (1.47g, 0.01mol) and cyclohexanone (0.98 mL, 0.01 mol) in 50% EtOH (15 mL) containing KOH (3g) was heated in an oil bath under reflux for 4h. The resulting mixture was diluted with 50% aqueous EtOH to obtain a homogeneous mixture and acidified with AcOH. The resulting precipitate was collected, washed aq. EtOH to give the corresponding acid.[3]

2.4 Procedure for the synthesis of compound 1n to 1q.



1,2,3,4-Tetrahydroacridine-9-carboxylic acid (682 mg, 3 mmol, 1 equiv.) and potassium carbonate (2 equiv.) were weighed into a round-bottom flask, followed by the addition of the corresponding alkyl halide (1.1 equiv.) and acetone (5 mL). The reaction mixture was refluxed with magnetic stirring in an oil bath at 60 °C and continued until the completion of the reaction. The solvent was evaporated in vacuo, and water was added to the reaction mixture. The aqueous phase was back-extracted with CH_2Cl_2 (3 x 15 mL) and dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude material was purified by column chromatography over silica gel (eluent: hexane/ethyl acetate, 9:1, v/v) to afford the desired products **1n-1q** in 78-82% of yield.[4]

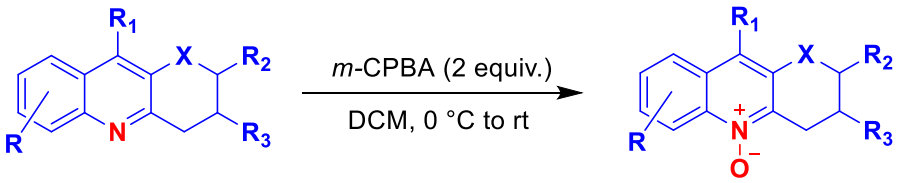
2.5 Procedure for the synthesis of compound 1r to 1t.



R = H, Br, NO_2 1r-1t

$POCl_3$ (25 mL) was added dropwise using a constant pressure dropping funnel to an ice-cooled mixture of anthranilic acid (3.2 g, 23.3 mmol, 1 equiv.) and cyclohexanone (1.2 equiv.). Then, the reaction mixture was heated in an oil bath at 100 °C for 3 h. After completion of the reaction, the reaction mixture was cooled to rt. Then, the solvent was reduced in a vacuum, and the ethyl acetate was added to the residue and neutralized with 1(N) K_2CO_3 solution, brine, and the organic layer was dried over anhydrous Na_2SO_4. The crude material was purified by column chromatography over silica gel (eluent: hexane/ethyl acetate, 8:2, v/v) to afford the desired products **1r-1t** in 75-87% of yield.[5]

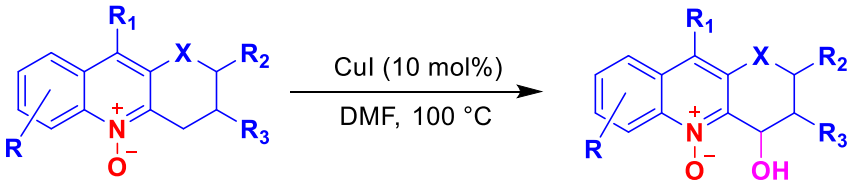
3. Procedure for the synthesis of 1,2,3,4-tetrahydroacridine *N*-oxides.



(X = CH_2, C=O: 1a-1t) (2a-2t)

To a stirred solution of 1,2,3,4-tetrahydroacridines (2 mmol, 1 equiv.) in CH_2Cl_2 (5 mL) at 0 °C, a solution of *m*-CPBA (2.5 equiv.) in CH_2Cl_2 (5mL) was added slowly. The resulting mixture was allowed to warm to rt and stirred overnight. The crude product was washed with saturated aqueous $NaHCO_3$ (10 mL), and extracted with CH_2Cl_2 (3 x 20 mL). The organic phase was dried over anhydrous Na_2SO_4, and the solvent was removed under vacuum. The resulting crude *N*-oxides **2a-2t** were purified by column chromatography on silica gel [eluent: hexane/ethyl acetate, 2:8, v/v] in 75-96% yield.[6]

4. Procedure for the CuI-Catalyzed hydroxylation of 1,2,3,4-tetrahydroacridine *N*-oxides.

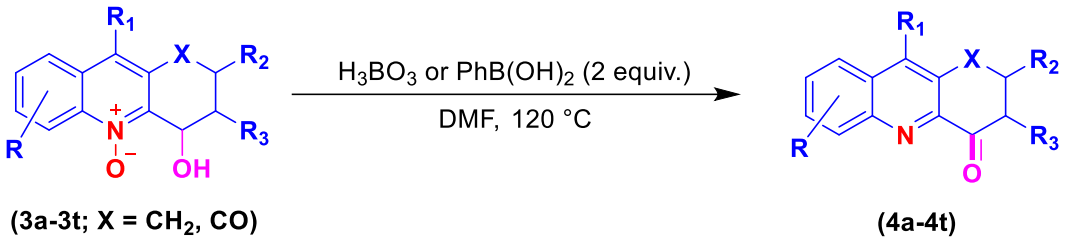


(2a-2t; X = CH_2, CO) (3a-3t)

A 50mL flask was thoroughly degassed to remove all air and refilled with O_2 by using an O_2 balloon three times. Subsequently, compound 2 (0.3 mmol, 1equiv.) and CuI (10 mol%) in DMF (2 mL) were sequentially added to the flask. The resulting mixture was stirred at 100 °C in an oil bath until the completion of the reaction, as monitored by TLC, and was transferred to a separation funnel, 20mL of brine solution was added, and the mixture was extracted with

DCM (3 x 20mL). Then the combined organic layers were dried over Na_2SO_4, filtered, and concentrated. After evaporation, the residue was purified by column chromatography on silica gel [eluent: hexane/ethyl acetate, 6:4, v/v] to afford products **3a-t** in 83-95% yield.

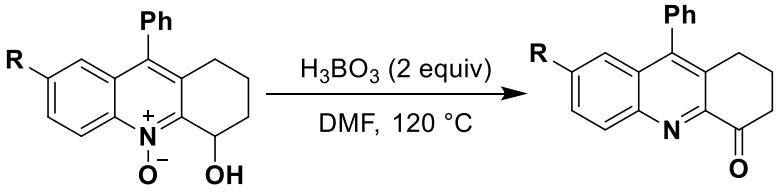
5. Procedure for the rection boric acid or phenyl boronic acid mediated one pot reduction and oxidation of 4-hydroxy-1,2,3,4-tetrahydroacridine *N*-oxides.



(3a-3t; X = CH_2, CO) **(4a-4t)**

To a round bottom-flask equipped with a magnetic stir bar was added under an air atmosphere 4-hydroxy-1,2,3,4-tetrahydroacridine *N*-oxides (0.3 mmol, 1equiv.), boric acid or phenylboronic acid (2 equiv.), and DMF (2 mL). The reaction mixture was allowed to stir at 120 °C in an oil bath until completion. Then the reaction mixture was transferred to a separating funnel, and the mixture was extracted with EtOAc (3 x 20 mL). The combined organic layers were dried on Na_2SO_4, filtered, and concentrated. The residue was purified by column chromatography over silica gel [eluent: hexane/ethyl acetate, 5:5, v/v] to afford products **4a-t** in 92-98% yield.

6. Gram-scale experiment

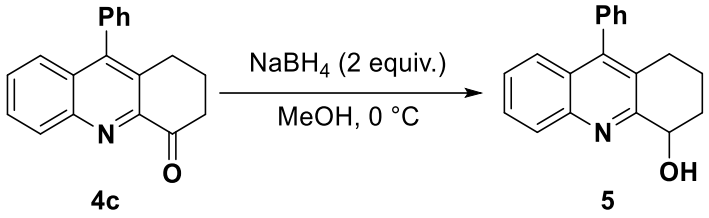


R = H, 3c
R = Cl, 3d

R = H, 4c
R = Cl, 4d

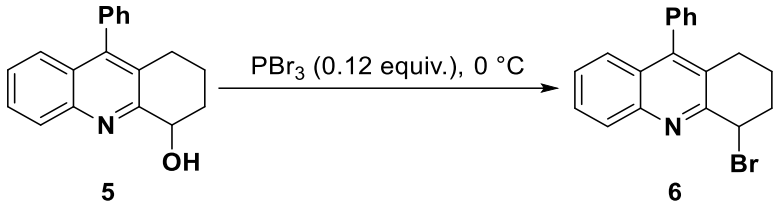
To a round bottom-flask equipped with a magnetic stir bar was added under an air atmosphere **3c** (3.43 mmol, 1equiv.) or **3d** (3.069 mmol, 1 equiv.), boric acid (2 equiv.), and DMF (2 mL). The reaction mixture was heated at 120 °C in an oil bath until completion. Then the reaction mixture was transferred to a separating funnel and the mixture was extracted with EtOAc (3 x 20 mL). The combined organic layers were dried on Na_2SO_4, filtered, and concentrated. The residue was purified by column chromatography over silica gel [eluent: hexane/ethyl acetate, 5:5, v/v] to yield the desired. The corresponding compound **4c** and **4d** were obtained with 88% (0.82g) and 89% (0.84g) of yield, respectively.

7. Procedure for the synthesis of compound (5).



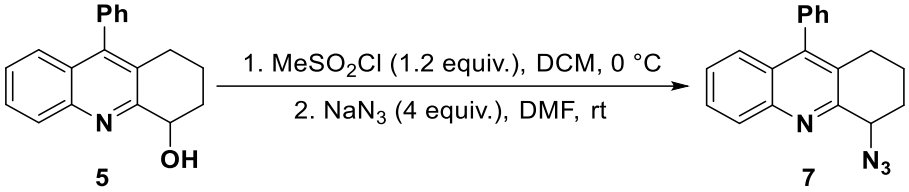
In a 50 mL round-bottom flask, compound **4c** (273mg, 1 mmol) was taken in dry MeOH (3mL) and was cooled down to 0 °C. Then, $NaBH_4$ (2 equiv.) was added, and the reaction mixture was stirred until the total consumption of the starting material. After completion of the reaction, the solvent was evaporated under vacuum, and the crude mixture was dissolved in DCM and washed with an aqueous solution of NH_4Cl (2 x 20 mL). The combined organic phases were then washed with brine (1 x 20 mL), dried over Na_2SO_4, filtered, and evaporated under reduced pressure. Further purification was done using column chromatography [eluent: hexane/ethyl acetate, 8:2, v/v] to afford the compound **5** in 98% yield.[7]

8. Procedure for the synthesis of compound (6).



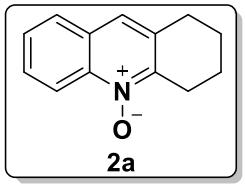
The compound **5** (136 mg, 0.5 mmol) was taken in a 50 mL round-bottom flask and cooled to 0 °C, after being stirred for 10 min. Phosphorous tribromide (0.12 equiv.) was added dropwise over a period of 1h. The reaction mixture was slowly warmed at room temperature; the mixture was further heated in an oil bath at 60 °C and kept stirring for 4h. The residue was collected, and further, the crude mixture was purified by column chromatography [eluent: hexane/ethyl acetate, 9:1, v/v] to yield the compound **6** in 87% yield.[8]

9. Procedure for the synthesis of compound (7).

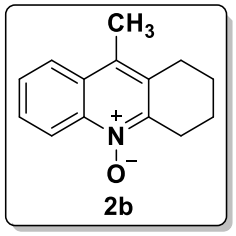


The corresponding alcohol **5** (136 mg, 0.5 mmol) was added in anhydrous DCM (1 mL) and followed by methanesulfoonyl chloride (1.2 equiv.) over 5 min. After that, the reaction mixture was kept at 0 °C for 10min and diluted with DCM. The solution was diluted with brine solution (3 x 5 mL) and dried over Na_2SO_4. Then the crude mesylate was redissolved in DMF (2 mL), treated with NaN_3 (4 equiv.), and stirred at room temperature for 1h. The reaction mixture was poured into ice-cold water, extracted with brine and EtOAc (3 x 20 mL), dried, and purified by column chromatography [eluent: hexane/ethyl acetate, 7:3, v/v] to afford compound **7** in 78% yield.[9]

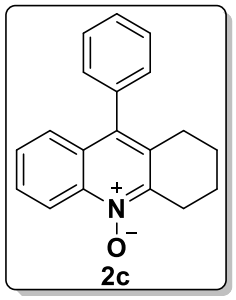
10. Characterization data of the compounds



1,2,3,4-Tetrahydroacridine *N*-oxide (2a): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.3785g and 95%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 98.3-99.5 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3022, 2930, 2865,1630, 1567, 1503, 1310, 766; ^1H NMR (400 MHz, $CDCl_3$) δ 8.71 (d, *J* = 8.8 Hz, 1H), 7.74 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.66 (m 1H), 7.54 (m, 1H), 7.46 (s, 1H), 3.18 (t, *J* = 6.8 Hz, 2H), 2.95 (t, *J* = 6.8 Hz, 2H), 2.02 – 1.97 (m, 2H), 1.86 – 1.80 (m, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 146.9, 140.2, 132.3, 129.2, 128.2, 127.6, 127.3, 124.3, 119.4, 29.3, 26.03, 22.1, 21.9; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{13}NO$ $(M+H)^+$ 200.1070, found 200.1070.

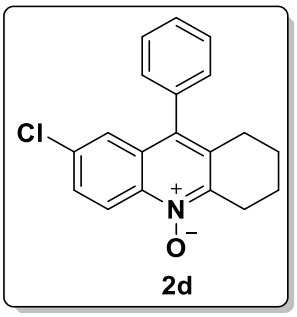


9-Methyl-1,2,3,4-tetrahydroacridine *N*-oxide (2b): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.409g and 96%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 126.1-126.7 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3086, 2933, 2862,1630, 1567, 1503, 1449, 1310, 763; ^1H NMR (400 MHz, $CDCl_3$) δ 8.79 (d, *J* = 8.8 Hz, 1H), 7.99 (d, *J* = 8.8 Hz, 1H), 7.68 (m, 1H), 7.58 (m 1H), 3.21 (t, *J* = 6.8 Hz, 2H), 2.87 (t, *J* = 6.4 Hz, 2H), 2.53 (s, 3H), 1.94 (m, 2H), 1.86 (m, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 146.7, 139.3, 131.3, 129.9, 129.1, 127.8, 127.3, 123.9, 119.8, 27.2, 26.7, 22.1, 21.5, 13.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{14}H_{15}NO$ $(M+H)^+$ 214.1226, found 214.1258.

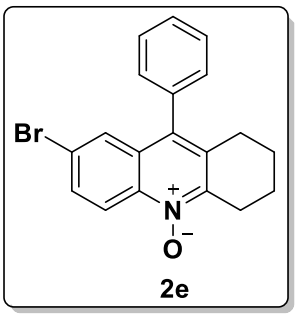


9-Phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2c): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.467 g and 85%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 193.8-195.4 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3034, 2946, 2854, 1548, 1502, 1440, 1310, 763; ^1H NMR (400 MHz, $CDCl_3$) δ 8.80 (dd, *J* = 8.8Hz, 1.2 Hz, 1H), 7.67 (m, 1H), 7.55 – 7.47 (m, 3H), 7.44 – 7.36 (m, 2H), 7.27 – 7.24 (m, 2H), 3.27 (t, *J* = 6.8 Hz, 2H), 2.58 (t, *J* = 6.4 Hz, 2H), 1.96 (m, 2H), 1.76 – 1.70 (m, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 146.6, 139.7, 136.3, 136.3, 130.1, 129.7, 129.1, 128.8, 128.1, 128.0, 127.4, 126.4, 119.3, 28.3, 26.6,

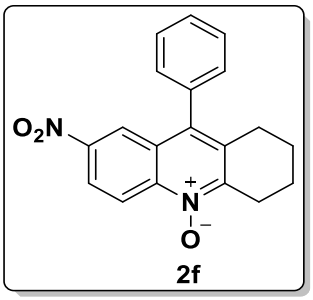
22.1, 21.8; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{17}NO$ $(M+H)^+$ 276.1383, found 276.1392.



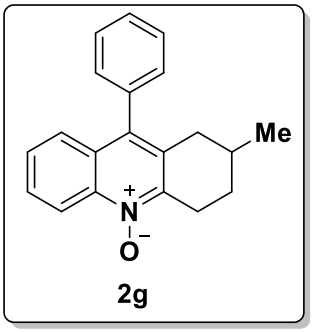
7-Chloro-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2d): By silica gel column chromatography (eluent: hexanes/ethyl acetate), off white solid; yield: 0.533 g and 86%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 176.2-178.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3110, 2952, 2858, 1544, 1454, 1311, 770, 708; ^1H NMR (400 MHz, $CDCl_3$) δ 8.75 (d, *J* = 9.6 Hz, 1H), 7.61 – 7.50 (m, 4H), 7.33 (d, *J* = 2.0 Hz, 1H), 7.25 – 7.21 (m, 2H), 3.23 (t, *J* = 6.8 Hz, 2H), 2.57 (t, *J* = 6.4 Hz, 2H), 1.99 – 1.92 (m, 2H), 1.75 – 1.69 (m, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 146.9, 138.2, 135.5, 135.3, 133.7, 131.5, 129.8, 129.6, 129.0, 128.9, 128.4, 125.2, 121.3, 28.4, 26.6, 22.0, 21.7; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{16}ClNO$ $(M+H)^+$ 310.0993, found 310.0942.



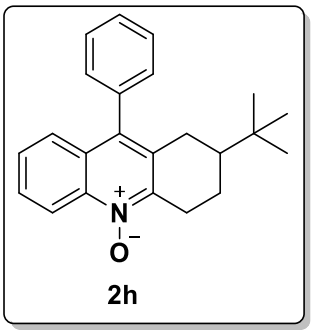
7-Bromo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2e): By silica gel column chromatography (eluent: hexanes/ethyl acetate), light yellow solid; yield: 0.623 g and 88%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 151.7-153.1 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3432, 2937, 2860, 1600, 1370, 1309, 1213, 1065, 801, 774, 711, 644, 591; ^1H NMR (400 MHz, $CDCl_3$) δ 8.68 (d, *J* = 9.2 Hz, 1H), 7.73 (dd, *J* = 9.2, 2.0 Hz, 1H), 7.58 – 7.53 (m, 2H), 7.52 (dd, *J* = 7.6, 2.0 Hz, 2H), 7.25 – 7.22 (dt,6.4, 2.0 Hz 2H), 3.25 (t, *J* = 6.8 Hz, 2H), 2.57 (t, *J* = 6.4 Hz, 2H), 1.99 – 1.92 (m, 2H), 1.75 – 1.69 (m, 2H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 147.5, 138.4, 136.1, 135.4, 132.7, 131.6, 129.5, 129.2, 129.0, 128.5, 128.4, 122.1, 121.4, 28.4, 26.6, 21.9, 21.6; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{16}BrNO$ $(M+H)^+$ 354.0488, found 354.0549.



7-Nitro-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2f): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.563 g and 88%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 169.1-170.8 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3366, 3067, 2937, 2869, 1649, 1528, 1424, 1373, 1341, 1302, 1222, 831, 703, 554; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.95 (d, *J* = 9.6 Hz, 1H), 8.40 (dd, *J* = 9.6, 2.4 Hz, 1H), 8.32 (d, *J* = 2.4 Hz, 1H), 7.60 – 7.55 (m, 3H), 7.28 (d, *J* = 2.0 Hz, 1H), 7.26 (d, *J* = 1.6 Hz, 1H), 3.27 (t, *J* = 6.8 Hz, 2H), 2.63 (t, *J* = 6.4 Hz, 2H), 1.99 (m, 2H), 1.75 (m, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 150.1, 146.6, 141.4, 137.2, 134.6, 132.9, 129.5, 129.2, 128.9, 127.5, 123.3, 122.4, 121.8, 28.5, 26.9, 21.8, 21.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{16}N_2O_3$ $(M+H)^+$ 321.1234, found 321.1239.

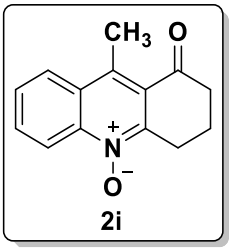


2-Methyl-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2g): By silica gel column chromatography (eluent: hexanes/ethyl acetate), light yellow solid; yield: 0.492 g and 85%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 182.0-183.5 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3433, 3065, 2954, 2926, 2868, 1499, 1318, 1226, 1092, 762, 704, 645, 587, 489; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.80 (d, *J* = 9.2 Hz, 1H), 7.67 (m, 1H), 7.56 – 7.46 (m, 3H), 7.42 (m, 1H), 7.36 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.24 (m, 2H), 3.53 (ddd, *J* = 20.0, 6.4, 2.8 Hz, 1H), 3.13 – 3.03 (m, 1H), 2.61 (ddd, *J* = 16.8, 4.8, 2.4 Hz, 1H), 2.22 (dd, *J* = 16.8, 10.8 Hz, 1H), 2.10 (m, 1H), 1.83 – 1.76 (m, 1H), 1.56 – 1.46 (m, 1H), 1.00 (d, *J* = 6.8 Hz, 3H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 146.4, 139.7, 136.3, 129.8, 129.6, 129.2, 128.8, 128.7, 128.2, 128.1, 127.4, 126.5, 119.3, 36.4, 29.8, 28.4, 26.5, 21.3; HRMS (ESI-TOF) *m/z*: calculated for $C_{20}H_{20}NO$ $(M+H)^+$ 290.1539, found 290.1547.



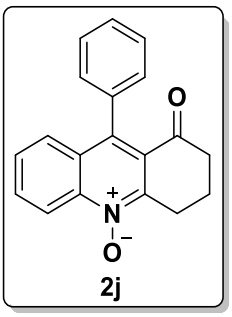
2h

2-(Tert-butyl)-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2h): By silica gel column chromatography (eluent: hexanes/ethyl acetate), light yellow solid; yield: 0.543 g and 82%; mp: 147.5-148.1 °C; R_f = 0.2 (60% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3426, 3031, 2957, 2867, 1615, 1501, 1428, 1380, 1151, 1080, 763, 710, 646, 587. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.79 (d, *J* = 8.4 Hz, 1H), 7.67 (m, 1H), 7.56 – 7.52 (m, 2H), 7.51 – 7.48 (m, 1H), 7.44 – 7.40 (m, 1H), 7.37 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.27 (m, 1H), 7.24 – 7.21 (m, 1H), 3.65 (dd, *J* = 19.2, 5.2 Hz, 1H), 2.98 (dd, *J* = 19.2, 12.0 Hz, 1H), 2.66 (m, 1H), 2.32 – 2.21 (m, 2H), 1.45 – 1.35 (m, 2H), 0.85 (s, 9H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 146.8, 139.5, 137.1, 136.1, 130.5, 129.7, 129.4, 129.3, 128.8, 128.8, 128.1, 127.4, 126.4, 119.2, 43.8, 32.3, 29.7, 27.7, 27.1, 23.1; HRMS (ESI-TOF) *m/z*: calculated for $C_{23}H_{25}NO$ $(M+H)^+$ 332.2009, found 322.2018.



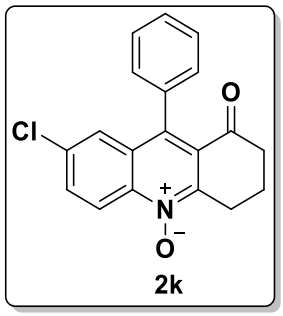
2i

9-Methyl-1-oxo-1,2,3,4-tetrahydroacridine *N*-oxide (2i): By silica gel column chromatography (eluent: hexanes/ethyl acetate), light yellow solid; yield: 0.391 g and 86%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 157.5-148.1 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3426, 3031, 2933, 2928, 1705, 1536, 1334, 1311, 1283, 1227, 1099, 996, 763, 706, 649, 577, 525; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.84 (dd, *J* = 8.8, 1.2 Hz, 1H), 8.26 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.90 – 7.82 (m, 1H), 7.70 (ddd, *J* = 8.4, 6.8, 1.2 Hz, 1H), 3.46 (t, *J* = 6.4 Hz, 2H), 2.98 (s, 3H), 2.82 – 2.74 (m, 2H), 2.25 (p, *J* = 6.8 Hz, 2H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 198.9, 149.1, 141.7, 137.9, 132.3, 128.6, 126.3, 126.2, 120.1, 40.5, 26.4, 19.9, 15.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{14}H_{13}NO_2$ $(M+H)^+$ 228.1019, found 228.1019.

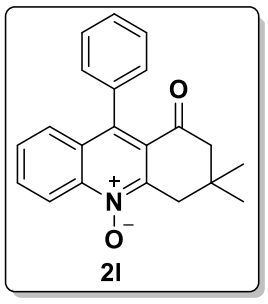


2j

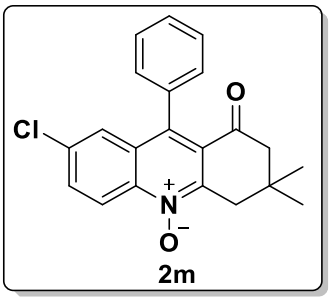
1-Oxo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2j): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.532 g and 92%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 192.0-193.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2928, 1705, 1536, 1334, 1311, 1283, 1227, 1099, 996, 763, 706, 649, 577, 525; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.85 (dt, *J* = 8.8, 1.0 Hz, 1H), 7.88 – 7.80 (m, 1H), 7.54 – 7.47 (m, 5H), 7.22 – 7.17 (m, 2H), 3.52 (t, *J* = 6.8 Hz, 2H), 2.70 – 2.64 (m, 2H), 2.31 – 2.24 (m, 2H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ 196.2, 149.1, 142.3, 139.6, 136.7, 132.4, 129.1, 128.8, 128.7, 128.3, 128.2, 127.9, 125.2, 119.5, 39.9, 26.3, 19.9; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{15}NO_2$ $(M+H)^+$ 290.1176, found 290.1179.



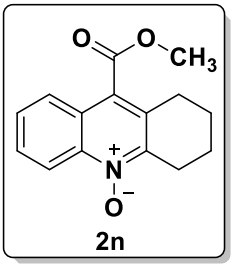
7-Chloro-1-oxo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2k): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.602 g and 93%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 227.2-228.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3071, 2964, 1698, 1535, 1329, 1307, 1216, 1103, 1001, 944, 838, 697, 636, 553, 522; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.80 (d, *J* = 9.6 Hz, 1H), 7.75 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.54 – 7.50 (m, 3H), 7.48 (d, *J* = 2.4 Hz, 1H), 7.20 – 7.15 (m, 2H), 3.48 (t, *J* = 4.4 Hz, 2H), 2.70 – 2.65 (m, 2H), 2.27 (p, *J* = 6.4 Hz, 2H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ 195.9, 149.3, 140.8, 138.2, 135.9, 134.9, 133.0, 129.7, 128.6, 128.5, 128.2, 127.7, 126.2, 121.5, 39.8, 26.2, 19.8; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{14}ClNO_2$ $(M+H)^+$ 324.0786, found 324.0785.



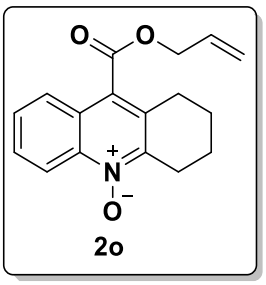
3,3-Dimethyl-1-oxo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2l): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.546 g and 86%; R_f = 0.2 (60% EtOAc/n-hexane); mp; 179.4-180.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2940, 2887, 2869, 1694, 1536, 1370, 1321, 1267, 1216, 1097, 779, 684, 590. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.86 (d, *J* = 8.8 Hz, 1H), 7.85 (m, 1H), 7.56 – 7.49 (m, 5H), 7.20 (m, 2H), 3.42 (s, 2H), 2.55 (s, 2H), 1.19 (s, 6H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 196.3, 148.0, 142.5, 139.4, 136.5, 132.4, 129.2, 128.7, 128.4, 128.3, 127.9, 124.3, 119.5, 53.2, 40.0, 31.3, 28.7; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{19}NO_2$ $(M+H)^+$ 318.1489, found 318.1489.



7-Chloro-3,3-dimethyl-1-oxo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (2m): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.611 g and 87%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 218.9-220.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2955, 2927, 1708, 1536, 1367, 1315, 1183, 1080, 835, 700, 537; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.81 (d, *J* = 9.2 Hz, 1H), 7.75 (dd, *J* = 9.3, 2.2 Hz, 1H), 7.55 – 7.48 (m, 4H), 7.22 – 7.15 (m, 2H), 3.38 (s, 2H), 2.54 (s, 2H), 1.19 (s, 6H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 196.0, 148.1, 141.0, 137.9, 135.8, 134.9, 133.0, 129.7, 128.7, 128.5, 128.2, 127.7, 125.3, 121.5, 53.2, 40.0, 31.3, 28.7; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{18}ClNO_2$ $(M+H)^+$ 352.1099, found 352.1109.

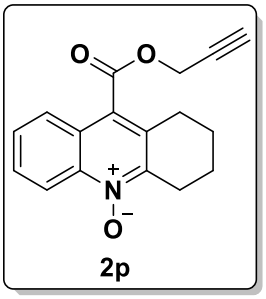


9-(Methoxycarbonyl)-1,2,3,4-tetrahydroacridine *N*-oxide (2n): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.432 g and 84%; mp: 115.2-116.9 °C; R_f = 0.2 (60% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3422, 2939, 2872, 1724, 1552, 1501, 1428, 1315, 1282, 1215, 1166, 1013, 778, 758, 585; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 (dt, *J* = 8.8, 1.2 Hz, 1H), 7.79 (dd, *J* = 8.4, 1.8 Hz, 1H), 7.70 (m, 1H), 7.59 (m, 1H), 4.05 (s, 3H), 3.18 (t, *J* = 6.8 Hz, 2H), 2.93 (t, *J* = 6.4 Hz, 2H), 2.02 – 1.94 (m, 2H), 1.85 – 1.82 (m, 2H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ 167.5, 146.4, 140.0, 130.2, 129.7, 128.5, 126.6, 125.0, 119.6, 52.6, 27.4, 26.4, 21.7, 21.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{15}H_{15}NO_3$ $(M+H)^+$ 258.1125, found 258.1128.

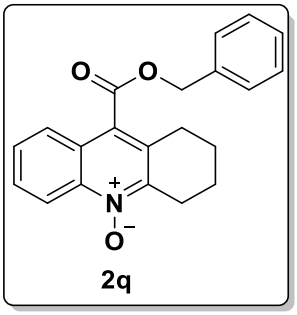


9-((Allyloxy)carbonyl)-1,2,3,4-tetrahydroacridine *N*-oxide (2o): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red liquid; yield: 0.504 g and 89%; R_f = 0.2 (60% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3489, 2928, 2872, 1726, 1552, 1501, 1428, 1315, 1282, 1212, 1166, 1013, 778, 758, 689, 642; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.75 (dd, *J* = 8.8, 1.2 Hz, 1H), 7.83 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.77 – 7.68 (m, 1H), 7.61 (ddt, *J* = 8.4, 6.8,

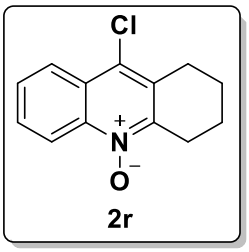
1.2 Hz, 1H), 6.15 – 6.04 (m, 1H), 5.49 (dp, *J* = 17.2, 1.2 Hz, 1H), 5.39 (m, 1H), 4.97 (dq, *J* = 6.0, 1.2 Hz, 2H), 3.20 (t, *J* = 6.8 Hz, 2H), 2.95 (t, *J* = 6.4 Hz, 2H), 2.02 – 1.95 (m, 2H), 1.88 – 1.81 (m, 2H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 166.7, 146.6, 140.0, 131.3, 130.1, 129.7, 128.5, 126.8, 125.0, 125.0, 120.1, 119.6, 66.9, 27.4, 26.4, 21.7, 21.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{17}H_{17}NO_3$ $(M+H)^+$ 284.1281, found 284.1283.



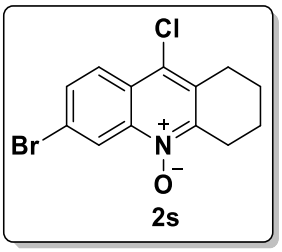
9-((Prop-2-yn-1-yloxy) carbonyl)-1,2,3,4-tetrahydroacridine *N*-oxide (2p): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red liquid; yield: 0.422 g and 75%; R_f = 0.2 (60% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3440, 3156, 2943, 2866, 2118, 1724, 1502, 1318, 1213, 1171, 1023, 952, 762, 588; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 (d, *J* = 8.8 Hz, 1H), 7.85 (d, *J* = 6.8 Hz, 1H), 7.71 (m, 1H), 7.61 (m, 1H), 5.05 (d, *J* = 2.8 Hz, 2H), 3.18 (t, *J* = 6.4 Hz, 2H), 2.97 (t, *J* = 6.4 Hz, 2H), 2.62 (t, *J* = 2.4 Hz, 1H), 1.99 (m, 2H), 1.87 – 1.81 (m, 2H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 166.3, 146.5, 140.0, 130.6, 129.8, 128.6, 124.9, 119.6, 76.0, 53.1, 27.4, 26.4, 21.7, 21.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{17}H_{15}NO_3$ $(M+H)^+$ 282.1125, found 282.1159.



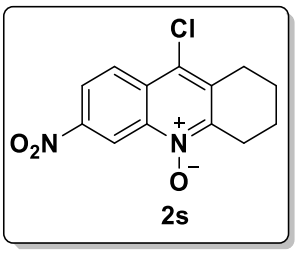
9-((Benzyloxy)carbonyl)-1,2,3,4-tetrahydroacridine *N*-oxide (2q): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red liquid; yield: 0.506 g and 76%; R_f = 0.2 (60% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3411, 2928, 2114, 1726, 1499, 1348, 1212, 1078, 1015, 780, 699; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 (s, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.69 – 7.63 (m, 1H), 7.56 (t, *J* = 7.6 Hz, 1H), 7.49 (t, *J* = 2.0 Hz, 1H), 7.47 (d, *J* = 1.6 Hz, 1H), 7.44 – 7.39 (m, 3H), 5.50 (s, 2H), 3.27 (m, 2H), 2.89 – 2.85 (m, 1H), 2.04 (t, *J* = 3.2 Hz, 1H), 1.95 (d, *J* = 5.2 Hz, 2H), 1.80 (m, 3H), 1.68 (d, *J* = 1.2 Hz, 1H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 163.2, 154.0, 149.1, 147.1, 134.3, 131.3, 130.0, 129.3, 127.9, 127.2, 125.0, 124.1, 120.1, 66.6, 29.7, 28.5, 22.7, 21.7; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{19}NO_3$ $(M+H)^+$ 334.1438, found 334.1443.



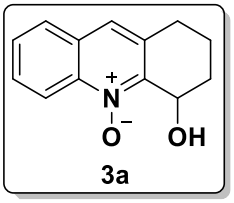
9-Chloro-1,2,3,4-tetrahydroacridine *N*-oxide (2r): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.41 g and 88%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 150.1-152.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3421, 2938, 2868, 1559, 1317, 1270, 1099, 915, 756, 589; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.75 (m, 1H), 8.20 (m, 1H), 7.74 (m, 1H), 7.65 (m, 1H), 3.19 (t, *J* = 6.8 Hz, 2H), 2.99 (t, *J* = 6.4 Hz, 2H), 1.98 – 1.94 (m, 2H), 1.91 – 1.85 (m, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 147.4, 140.4, 130.1, 130.0, 128.9, 128.5, 126.2, 124.5, 119.8, 27.8, 26.5, 21.7, 21.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{12}ClNO$ $(M+H)^+$ 234.0680, found 234.0683.



6-Bromo-9-chloro-1,2,3,4-tetrahydroacridine *N*-oxide (2s): By silica gel column chromatography (eluent: hexanes/ethyl acetate), white solid; yield: 0.512 g and 82%; R_f = 0.2 (60% EtOAc/n-hexane); mp: 185.5-187.2 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3430, 3098, 2938, 2867, 1601, 1547, 1482, 1315, 1106, 923, 890, 679, 604; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.94 (d, *J* = 2.0 Hz, 1H), 8.06 (d, *J* = 8.8 Hz, 1H), 7.73 (dd, *J* = 8.8, 2.0 Hz, 1H), 3.17 (t, *J* = 6.8 Hz, 2H), 2.97 (t, *J* = 6.4 Hz, 2H), 1.95 (m, 2H), 1.91 – 1.85 (m, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 148.4, 140.7, 132.1, 130.7, 128.6, 126.1, 125.0, 124.8, 122.6, 27.7, 26.6, 21.6, 21.3; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{11}BrClNO$ $(M+H)^+$ 311.9785, found 311.9795.

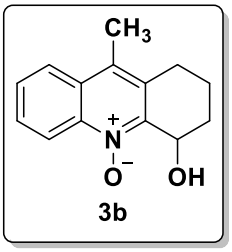


9-Chloro-6-nitro-1,2,3,4-tetrahydroacridine *N*-oxide (2t): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.473 g and 85%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 184.5-186.6 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3418, 3108, 2938, 2871, 1613, 1519, 1344, 1322, 1310, 1129, 1054, 833, 741, 684; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.60 (d, *J* = 2.4 Hz, 1H), 8.42 – 8.35 (m, 2H), 3.19 (t, *J* = 6.4 Hz, 2H), 3.04 (t, *J* = 6.4 Hz, 2H), 1.99 (m, 2H), 1.95 – 1.88 (m, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 149.5, 148.2, 139.8, 134.3, 129.1, 127.8, 126.7, 122.0, 117.0, 28.0, 26.6, 21.4, 21.1; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{11}ClN_2O_3$ $(M+H)^+$ 279.0531, found 279.0535.



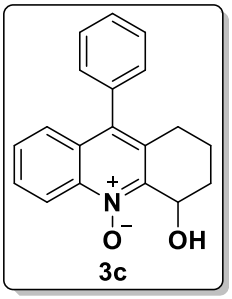
3a

4-Hydroxy-1,2,3,4-tetrahydroacridine *N*-oxide (3a): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.058 g and 92%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 142.8-142.4 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3371, 2952, 2871, 1571, 1309, 1222, 1065, 769; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (d, *J* = 8.8 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.67 (m, 1H), 7.64 – 7.54 (m, 2H), 6.45 (s, 1H), 5.30 (t, *J* = 6.8 Hz, 1H), 3.03 – 2.86 (m, 2H), 2.33 – 2.23 (m, 1H), 2.17 – 2.08 (m, 1H), 2.04 – 1.94 (m, 1H), 1.80 (dd, *J* = 8.1, 5.0 Hz, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 146.9, 140.0, 132.3, 129.9, 128.9, 128.5, 127.4, 126.0, 119.0, 65.2, 30.5, 29.6, 19.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{13}NO_2$ $(M+H)^+$ 216.1019, found 216.1029.



3b

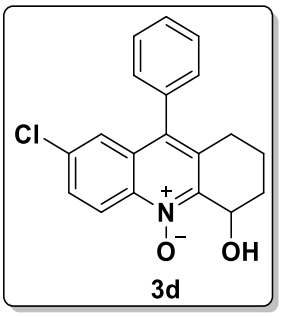
4-Hydroxy-9-methyl-1,2,3,4-tetrahydroacridine *N*-oxide (3b): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.063 g and 87%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 159.8-160.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3280, 3094, 2936, 2881, 1698, 1303, 1085, 763, 587; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.75 (d, *J* = 8.8 Hz, 1H), 8.03 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.73 (m, 1H), 7.64 (m, 1H), 6.69 (s, 1H), 5.31 (t, *J* = 6.8 Hz, 1H), 2.87 (m, 2H), 2.57 (s, 3H), 2.26 – 2.18 (m, 1H), 2.11 – 2.00 (m, 2H), 1.85 – 1.78 (m, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 146.5, 139.1, 133.24, 130.1, 129.7, 128.5, 128.2, 124.1, 119.5, 65.5, 29.8, 27.6, 19.0, 13.9; HRMS (ESI-TOF) *m/z*: calculated for $C_{14}H_{15}NO_2$ $(M+H)^+$ 230.1176, found 230.1176.



3c

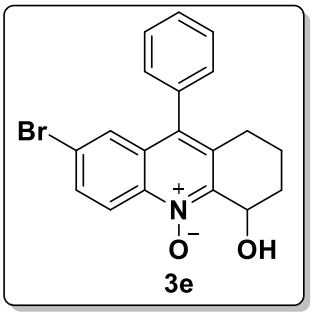
4-Hydroxy-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3c): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.074 g and 86%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 192.6-193.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3400, 2925, 2852, 1631, 1538, 1376, 1038, 767; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.77 (d, *J* = 8.8 Hz, 1H), 7.77 – 7.67 (m, 1H), 7.58 – 7.47 (m, 4H), 7.42 (d, *J* = 8.4 Hz, 1H), 7.25 (dq, *J* = 6.2, 2.2 Hz, 2H), 6.59 (s, 1H),

5.37 (t, *J* = 6.8 Hz, 1H), 2.69 – 2.49 (m, 2H), 2.30 – 2.20 (m, 1H), 2.16 – 2.05 (m, 1H), 1.92 – 1.85 (m, 1H), 1.70 (m, 1H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 146.6, 139.6, 138.2, 135.8, 130.3, 129.8, 129.6, 129.4, 128.9, 128.8, 128.7, 128.4, 128.3, 126.6, 119.0, 65.5, 30.2, 28.7, 19.4; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{17}NO_2$ $(M+H)^+$ 292.1332, found 292.1333.



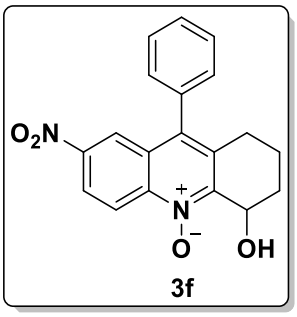
3d

7-Chloro-4-hydroxy-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3d): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.085 g and 87%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 182.6-183.4 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3436, 2949, 2923, 1606, 1574, 1366, 1080, 828, 699; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.72 (d, *J* = 9.2 Hz, 1H), 7.64 (m, 1H), 7.59 – 7.50 (m, 3H), 7.37 (d, *J* = 2.4 Hz, 1H), 7.26 – 7.19 (m, 2H), 6.38 (s, 1H), 5.34 (t, *J* = 6.8 Hz, 1H), 2.62 (m, 1H), 2.52 (m, 1H), 2.28 – 2.19 (m, 1H), 2.15 – 2.05 (m, 1H), 1.91 – 1.84 (m, 1H), 1.68 (td, *J* = 9.2, 6.2 Hz, 1H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 146.9, 138.1, 137.1, 135.1, 134.7, 131.7, 130.6, 129.5, 129.3, 129.1, 129.1, 128.7, 125.3, 121.0, 65.3, 30.0, 28.8, 19.2; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{16}ClNO_2$ $(M+H)^+$ 326.0942, found 326.0942.

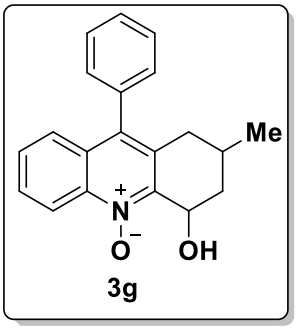


3e

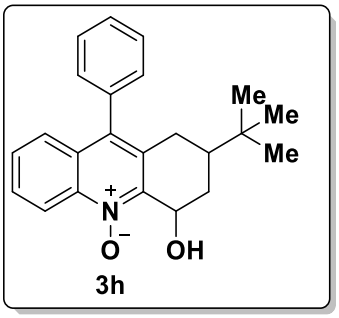
7-Bromo-4-hydroxy-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3e): By silica gel column chromatography (eluent: hexanes/ethyl acetate), light yellow solid; yield: 0.1 g and 91%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 170.1-171.9 C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3415,2948, 2923, 2863, 1600, 1478, 1367, 1301, 1077, 822, 702, 644, 582, 498. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (d, *J* = 9.2 Hz, 1H), 7.77 (dd, *J* = 9.2, 2.0 Hz, 1H), 7.59 – 7.56 (m, 1H), 7.56 – 7.52 (m, 3H), 7.23 (dq, *J* = 6.0, 2.0 Hz, 2H), 6.37 (s, 1H), 5.36 – 5.31 (t, *J* = 6.4 Hz 1H), 2.66 – 2.58 (m, 1H), 2.55 – 2.48 (m, 1H), 2.25 – 2.19 (m, 1H), 2.10 (m, 1H), 1.87 (m, 1H), 1.72 – 1.65 (m, 1H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 147.0, 138.4, 137.1, 135.1, 133.2, 131.8, 129.9, 129.5, 129.3, 129.2, 129.1, 128.7, 128.6, 123.0, 121.0, 65.3, 30.0, 28.8, 19.2; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{16}BrNO_2$ $(M+H)^+$ 370.0437, found 370.0446.



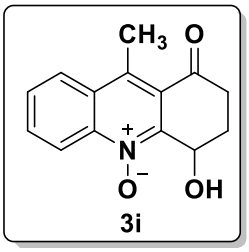
4-Hydroxy-7-nitro-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3f): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.092 g and 92%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 163.3-163.2 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3400, 3096, 2954, 2865, 1621, 1535, 1348, 1214, 1077, 859, 702; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.94 (d, *J* = 9.6 Hz, 1H), 8.44 (dd, *J* = 9.6, 2.4 Hz, 1H), 8.35 (d, *J* = 2.4 Hz, 1H), 7.60 (m, 3H), 7.27 (m, 2H), 6.20 (s, 1H), 5.36 (t, *J* = 6.4 Hz, 1H), 2.73 – 2.54 (m, 2H), 2.29 – 2.20 (m, 1H), 2.19 – 2.09 (m, 1H), 1.94 – 1.86 (m, 1H), 1.76 – 1.68 (m, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 149.8, 147.1, 141.3, 139.1, 134.2, 133.1, 129.5, 129.4, 129.3, 129.3, 128.3, 123.3, 122.9, 121.6, 65.3, 29.9, 28.9, 19.0; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{16}N_2O_4$ $(M+H)^+$ 337.1183, found 337.1198.



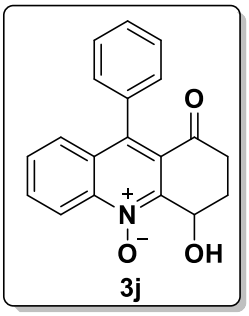
4-Hydroxy-2-methyl-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3g): By silica gel column chromatography (eluent: hexanes/ethyl acetate), light yellow solid; yield: 0.076 g and 84%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 184.1-184.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3388, 3066, 2957, 2923, 1502, 1452, 1377, 1311, 1213, 1053, 769, 700, 684, 586; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.76 (d, *J* = 8.4 Hz, 1H), 7.72 (m, 1H), 7.56 (m, 2H), 7.53 – 7.50 (m, 1H), 7.49 – 7.46 (m, 1H), 7.42 (dd, *J* = 8.6, 1.2 Hz, 1H), 7.29 – 7.27 (m, 1H), 7.24 – 7.21 (m, 1H), 5.55 (s, 1H), 5.46 (dd, *J* = 5.2, 1.6 Hz, 1H), 2.70 – 2.62 (m, 1H), 2.38 (dq, *J* = 13.6, 2.0 Hz, 1H), 2.22 (dd, *J* = 16.8, 12.0 Hz, 1H), 1.75 – 1.68 (m, 1H), 1.60 (td, *J* = 13.2, 5.2 Hz, 1H), 0.88 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 146.0, 139.5, 138.0, 135.8, 129.8, 129.6, 129.6, 128.9, 128.9, 128.4, 128.2, 126.7, 119.0, 64.2, 38.0, 36.6, 24.7, 21.0; HRMS (ESI-TOF) *m/z*: calculated for $C_{20}H_{19}NO_2$ $(M+H)^+$ 306.1489, found 306.1492.



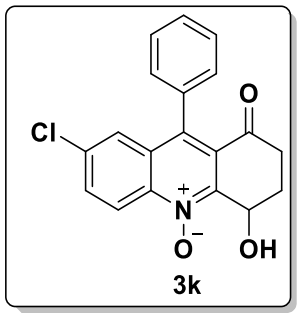
2-(Tert-butyl)-4-hydroxy-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3h): By silica gel column chromatography (eluent: hexanes/ethyl acetate), light yellow solid; yield: 0.086 g and 83%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 145.0-146.5 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3429, 2961, 2926, 2855, 1698, 1635, 1378, 1272, 1221, 1068, 761, 725, 699, 664, 587; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.77 (d, *J* = 8.0 Hz, 1H), 7.72 (m, 1H), 7.58 – 7.53 (m, 2H), 7.53 – 7.50 (m, 1H), 7.50 – 7.47 (m, 1H), 7.42 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.27 – 7.22 (m, 2H), 5.78 (s, 1H), 5.42 (dd, *J* = 5.6, 2.4 Hz, 1H), 2.62 (m, 1H), 2.29 – 2.14 (m, 2H), 2.13 – 2.05 (m, 1H), 1.73 – 1.67 (m, 1H), 1.03 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 146.0, 139.4, 138.4, 135.8, 130.3, 129.8, 129.6, 129.4, 128.9, 128.4, 128.2, 126.7, 64.4, 39.0, 31.9, 31.5, 29.9, 27.2; HRMS (ESI-TOF) *m/z*: calculated for $C_{23}H_{26}NO_2$ $(M+H)^+$ 348.1958, found 348.1965.



4-Hydroxy-9-methyl-1-oxo-1,2,3,4-tetrahydroacridine *N*-oxide (3i): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Red liquid; yield: 0.063 g and 87%; R_f = 0.3 (40% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3429, 2961, 2926, 2855, 1698, 1635, 1378, 1272, 1221, 1068, 761, 725, 699, 664, 587; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.77 (d, *J* = 8.8 Hz, 1H), 8.24 (d, *J* = 10.4 Hz, 1H), 7.85 (m, 1H), 7.70 (m, 1H), 6.40 (s, 1H), 5.48 (t, *J* = 6.1 Hz, 1H), 2.95 (s, 4H), 2.61 (m, 1H), 2.52 – 2.44 (m, 1H), 2.35 – 2.26 (m, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 196.8, 147.8, 140.4, 139.2, 131.9, 128.4, 128.2, 125.4, 124.0, 118.8, 63.8, 36.2, 26.5, 14.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{14}H_{13}NO_3$ $(M+H)^+$ 244.0968, found 244.1017.

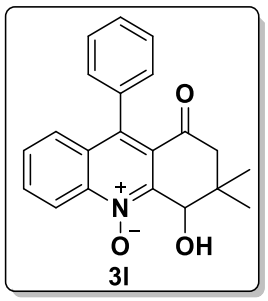


4-Hydroxy-1-oxo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3j): By silica gel column chromatography (eluent: hexanes/ethyl acetate), off white solid; yield: 0.079 g and 87%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 180.1-181.5 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3402, 2960, 2928, 1702, 1674, 1536, 1370, 1074, 761, 701; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.83 (d, *J* = 8.6 Hz, 1H), 7.89 (m, 1H), 7.61 – 7.46 (m, 5H), 7.24 – 7.13 (m, 2H), 6.45 (s, 1H), 5.57 (t, *J* = 6.4 Hz, 1H), 2.96 – 2.85 (m, 1H), 2.64 – 2.52 (m, 2H), 2.44 – 2.32 (m, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 195.2, 148.5, 142.2, 141.0, 135.9, 132.9, 129.6, 129.2, 129.1, 128.8, 128.5, 128.3, 128.3, 128.1, 124.1, 119.2, 64.9, 36.7, 27.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{15}NO_3$ $(M+H)^+$ 306.1125, found 306.1127.



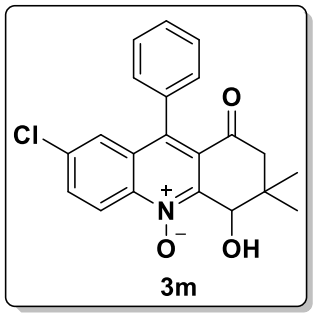
3k

7-Chloro-4-hydroxy-1-oxo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3k): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.089 g and 88%; R_f = 0.3 (40% EtOAc/n-hexane); mp:179.0-180.6 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3402, 3075, 2913, 1706, 1536, 1367, 1300, 1069, 940, 836, 693; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.79 (d, *J* = 9.2 Hz, 1H), 7.80 (dd, *J* = 9.2, 2.0 Hz, 1H), 7.67 – 7.36 (m, 4H), 7.25 – 7.08 (m, 2H), 6.22 (s, 1H), 5.54 (t, *J* = 6.4 Hz, 1H), 2.91 (m, 1H), 2.66 – 2.49 (m, 2H), 2.44 – 2.33 (m, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 194.9, 148.6, 140.7, 139.6, 135.8, 135.2, 133.5, 130.5, 128.7, 128.6, 128.5, 127.8, 125.1, 121.2, 64.7, 36.6, 27.4; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{14}ClNO_3$ $(M+H)^+$ 340.0735, found 340.0746.

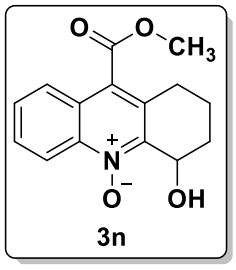


3l

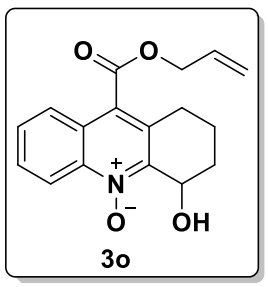
4-Hydroxy-3,3-dimethyl-1-oxo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3l): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.089 g and 90%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 159.5-160.8 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3393, 2952, 2926, 1704, 1537, 1367, 1320, 1217, 1033, 782, 759, 700; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.84 (d, *J* = 8.8 Hz, 1H), 7.90 – 7.86 (m, 1H), 7.59 – 7.57 (m, 2H), 7.51 (m, 3H), 7.22 – 7.17 (m, 2H), 6.25 (s, 1H), 5.26 (s, 1H), 2.83 (d, *J* = 14.8 Hz, 1H), 2.42 (d, *J* = 14.8 Hz, 1H), 1.35 (s, 1H), 1.24 (s, 3H), 1.19 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 195.3, 148.2, 142.4, 140.6, 136.0, 132.8, 129.2, 129.1, 128.7, 128.6, 128.4, 128.2, 128.1, 123.5, 121.2, 119.3, 72.4, 50.1, 35.5, 26.7, 23.5, 20.8; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{19}NO_3$ $(M+H)^+$ 334.1438, found 334.1433.



7-Chloro-4-hydroxy-3,3-dimethyl-1-oxo-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide (3m): By silica gel column chromatography (eluent: hexanes/ethyl acetate), light yellow solid; yield: 0.1 g and 91%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 224.6-226.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3424, 3081, 2954, 2927, 2868, 1708, 1538, 1363, 1266, 1099, 837, 766, 701; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.79 (d, *J* = 9.2 Hz, 1H), 7.79 (dd, *J* = 9.2, 1.2 Hz, 1H), 7.57 – 7.49 (m, 4H), 7.22 – 7.13 (m, 2H), 5.99 (s, 1H), 5.21 (s, 1H), 2.82 (d, *J* = 14.8 Hz, 1H), 2.41 (d, *J* = 14.8 Hz, 1H), 1.44-1.32 (m, 1H) 1.24 (s, 3H), 1.19 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 195.0, 148.3, 141.0, 139.2, 135.8, 135.2, 133.4, 130.5, 129.6, 128.6, 128.6, 128.5, 128.4, 127.8, 124.4, 121.39, 72.4, 50.1, 35.4, 26.7, 23.4; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{18}ClNO_3$ $(M+H)^+$ 368.1048, found 368.1041.

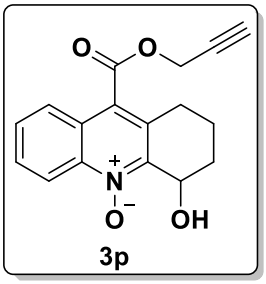


4-Hydroxy-9-(methoxycarbonyl)-1,2,3,4-tetrahydroacridine *N*-oxide (3n): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red solid; yield: 0.07 g and 86%; R_f = 0.3 (40% EtOAc/n-hexane); R_f = 0.3 (40% EtOAc/n-hexane); mp: 102.4-103.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3433, 2954, 1719, 1500, 1312, 1279, 1238, 1174, 1074, 1000, 774; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.72 (d, *J* = 8.8 Hz, 1H), 7.83 (dd, *J* = 8.4, 0.8 Hz, 1H), 7.75 (m, 1H), 7.66 (m, 1H), 6.07 (s, 1H), 5.29 (t, *J* = 6.4 Hz, 1H), 4.06 (s, 3H), 2.98 – 2.85 (m, 2H), 2.26 – 2.08 (m, 2H), 2.01 – 1.95 (m, 1H), 1.83 – 1.78 (m, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 167.1, 146.6, 139.9, 130.4, 130.3, 129.4, 128.2, 125.7, 125.2, 119.3, 64.9, 52.8, 29.9, 27.8, 18.8; HRMS (ESI-TOF) *m/z*: calculated for $C_{15}H_{15}NO_4$ $(M+H)^+$ 274.1074, found 274.1072.



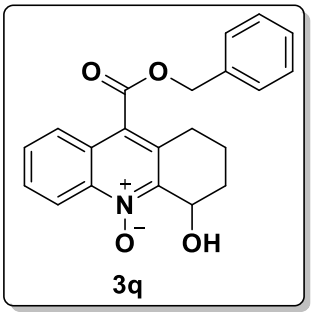
9-((Allyloxy)carbonyl)-4-hydroxy-1,2,3,4-tetrahydroacridine *N*-oxide (3o): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red liquid; yield: 0.077 g and 86%; R_f = 0.3 (40% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3433, 2954, 1719, 1500, 1312, 1279,

1238, 1174, 1074, 1000, 774; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.70 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.74 (m, 2H), 7.60 (m, 1H), 7.47 (dd, *J* = 8.0, 1.6 Hz, 2H), 7.44 – 7.38 (m, 3H), 6.04 (s, 1H), 5.50 (s, 2H), 5.27 (t, *J* = 6.4 Hz, 1H), 2.94 – 2.78 (m, 2H), 2.23 – 2.07 (m, 2H), 1.97 – 1.90 (m, 1H), 1.80 – 1.74 (m, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 166.5, 146.6, 139.9, 134.8, 130.3, 129.3, 128.9, 128.8, 128.1, 125.7, 125.1, 119.3, 67.9, 64.9, 29.9, 27.7, 18.7; HRMS (ESI-TOF) *m/z*: calculated for $C_{17}H_{17}NO_4$ $(M+H)^+$ 300.1230, found 300.1230.



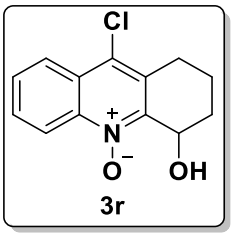
3p

4-Hydroxy-9-((prop-2-yn-1-yloxy) carbonyl)-1,2,3,4-tetrahydroacridine *N*-oxide (3p): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red liquid; yield: 0.076 g and 85%; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3442, 3152, 2928, 2856, 2102, 1725, 1511, 1323, 1224, 1106, 1054, 953, 762, 586; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.72 (d, *J* = 8.8 Hz, 1H), 7.88 (d, *J* = 6.8 Hz, 1H), 7.77 (m, 1H), 7.67 (m, 1H), 6.00 (s, 1H), 5.29 (t, *J* = 6.4 Hz, 1H), 5.06 (d, *J* = 2.4 Hz, 2H), 3.03 – 2.89 (m, 2H), 2.62 (t, *J* = 2.4 Hz, 1H), 2.23 – 2.12 (m, 2H), 2.00 (m, 1H), 1.82 (m, 1H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ 165.8, 146.7, 139.9, 130.7, 130.4, 129.5, 127.1, 125.7, 125.0, 119.4, 76.1, 64.8, 53.2, 29.9, 27.8, 18.7; HRMS (ESI-TOF) *m/z*: calculated for $C_{17}H_{15}NO_4$ $(M+H)^+$ 298.1074, found 298.1083.



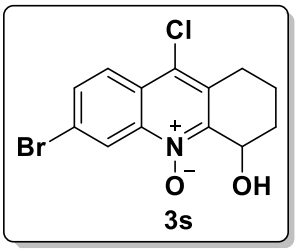
3q

9-((Benzyloxy)carbonyl)-4-hydroxy-1,2,3,4-tetrahydroacridine *N*-oxide (3q): By silica gel column chromatography (eluent: hexanes/ethyl acetate), red liquid; yield: 0.091 g and 87%; R_f = 0.3 (40% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3411, 2928, 1726, 1499, 1212, 1078, 1015, 699; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 (d, *J* = 8.8 Hz, 1H), 7.77 – 7.71 (m, 2H), 7.62 – 7.57 (m, 1H), 6.05 (s, 1H), 5.50 (s, 2H), 5.27 (t, *J* = 6.4 Hz, 1H), 2.85 (m, 2H), 2.20 – 2.08 (m, 2H), 1.95 – 1.91 (m, 1H), 1.78 – 1.73 (m, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 166.5, 146.6, 139.8, 134.8, 130.3, 129.4, 128.9, 128.8, 128.1, 125.7, 125.1, 119.3, 68.0, 64.9, 29.9, 27.7, 18.7; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{19}NO_4$ $(M+H)^+$ 350.1387, found 350.1387.



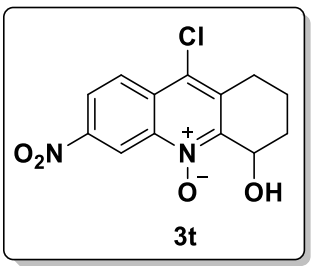
3r

9-Chloro-4-hydroxy-1,2,3,4-tetrahydroacridine *N*-oxide (3r): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.068 g and 92%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 142.0-144.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3329, 3092, 2938, 2886, 1552, 1311, 1083, 770,760; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.73 (d, *J* = 8.4 Hz, 1H), 8.24 (d, *J* = 8.4 Hz, 1H), 7.83 – 7.69 (m, 2H), 6.27 (s, 1H), 5.29 (t, *J* = 6.4 Hz, 1H), 3.08 – 2.92 (m, 2H), 2.26 – 2.17 (m, 1H), 2.17 – 2.09 (m, 1H), 2.08 – 1.98 (m, 1H), 1.88 – 1.80 (m, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 147.4, 140.27, 130.7, 130.6, 130.3, 129.4, 126.9, 124.7, 119.5, 65.1, 29.7, 28.1, 18.5; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{12}ClNO_2$ $(M+H)^+$ 250.0629, found 250.0618.



3s

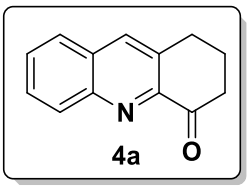
6-Bromo-9-chloro-4-hydroxy-1,2,3,4-tetrahydroacridine *N*-oxide (3s): By silica gel column chromatography (eluent: hexanes/ethyl acetate), yellow solid; yield: 0.096 g and 94%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 137.0-138.8 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3398, 3094, 2944, 2923, 1599, 1309, 1099, 758, 636; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.91 (s, 1H), 8.08 (d, *J* = 9.2 Hz, 1H), 7.79 (dd, *J* = 8.8, 2.0 Hz, 1H), 6.13 (s, 1H), 5.28 (t, *J* = 6.4 Hz, 1H), 3.04 – 2.87 (m, 2H), 2.22 – 2.09 (m, 2H), 2.06 – 2.01 (m, 1H), 1.88 – 1.81 (m, 1H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ 148.3, 140.5, 133.0, 130.9, 130.5, 126.2, 125.6, 122.3, 64.9, 29.6, 28.1, 18.4; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{11}ClBrNO_2$ $(M+H)^+$ 327.9724, found 327.9738.



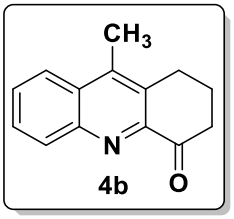
3t

9-Chloro-4-hydroxy-6-nitro-1,2,3,4-tetrahydroacridine *N*-oxide (3t): By silica gel column chromatography (eluent: hexanes/ethyl acetate), greenish solid; yield: 0.084 g and 95%; R_f = 0.3 (40% EtOAc/n-hexane); mp: 120.3-121.7 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3392, 3094, 2954, 2921, 1527, 1344, 1309, 1130, 743; ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.56 (s, 1H), 8.46 (d, *J* = 9.6 Hz, 1H), 8.40 (d, *J* = 9.2 Hz, 1H), 5.78 (s, 1H), 5.29 (t, *J* = 6.0 Hz, 1H), 3.13 – 2.95 (m, 2H), 2.24 – 2.12 (m, 2H), 2.06 (m, 1H), 1.92 – 1.85 (m, 1H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$)

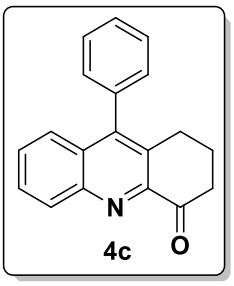
δ 149.4, 148.5, 139.8, 134.5, 129.6, 127.0, 122.8, 116.7, 64.6, 29.5, 28.4, 18.1; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{11}ClN_2O_4$ $(M+H)^+$ 295.0480, found 295.0484.



2,3-Dihydroacridin-4(1*H*)-one (4a): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Brown Solid; Yield: 0.058 g and 98%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 195.5-197.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3058, 2943, 1706, 1561, 1484, 1174, 1019, 916, 768; ^{1}H NMR (400 MHz, $CDCl_3$): ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 8.4 Hz, 1H), 8.11 (s, 1H), 7.80 (d, *J* = 8.4 Hz, 1H), 7.73 (m, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 3.21 (t, *J* = 6.4 Hz, 2H), 2.93 (t, *J* = 6.4, 2H), 2.26 (p, *J* = 6.4 Hz, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 197.3, 148.5, 147.4, 136.3, 136.0, 131.3, 129.8, 129.5, 128.9, 126.8, 40.5, 29.5, 22.8; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{11}NO$ $(M+H)^+$ 198.0913, found 198.0916.

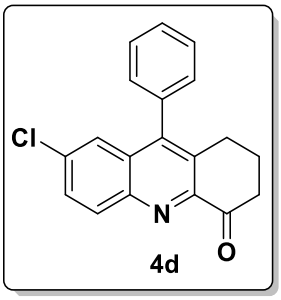


9-Methyl-2,3-dihydroacridin-4(1*H*)-one (4b): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Red Solid; Yield: 0.061 g and 96%: R_f = 0.2 (40% EtOAc/n-hexane); mp: 76.7-77.3 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2924, 2854, 1703, 1598, 1381, 1113, 1032, 757; ^{1}H NMR (400 MHz, $CDCl_3$); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.05 – 7.99 (m, 1H), 7.71 (ddd, *J* = 8.4, 6.8, 1.6 Hz, 1H), 7.63 (ddd, *J* = 8.4, 6.8, 1.6 Hz, 1H), 3.16 (t, *J* = 6.0 Hz, 2H), 2.89 (m, 2H), 2.69 (s, 3H), 2.30 – 2.24 (m, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 197.7, 148.0, 146.6, 143.2, 133.7, 132.2, 129.2, 129.1, 128.5, 123.4, 39.8, 26.8, 22.1, 14.2; HRMS (ESI-TOF) *m/z*: calculated for $C_{14}H_{13}NO$ $(M+H)^+$ 212.1070, found 212.1073.

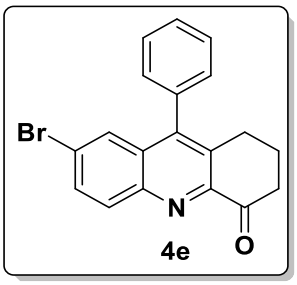


1c. 9-Phenyl-2,3-dihydroacridin-4(1*H*)-one (4c): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Red Solid; Yield: 0.079 g and 96%: R_f = 0.2 (40% EtOAc/n-hexane); mp: 186.5-188.3 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3058, 2944, 1706, 1485, 1305, 1140, 1020, 916, 768; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (d, *J* = 8.8 Hz, 1H), 7.72 (ddd, *J* = 8.4, 6.8, 1.6 Hz, 1H), 7.60 – 7.55 (m, 2H), 7.54 – 7.42 (m, 3H), 7.32 – 7.27 (m, 2H), 2.98 – 2.90 t, *J* = 6.0 Hz, 2H), 2.87 (t, *J* = 6.0 Hz, 2H), 2.15 (p, *J* = 6.4 Hz, 2H); ^{13}C{^{1}H} NMR (100 MHz,

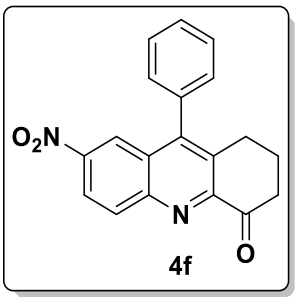
$CDCl_3$) δ 197.7, 148.3, 148.2, 147.2, 136.1, 134.0, 133.5, 131.5, 129.5, 129.2, 128.8, 128.7, 128.4, 125.8, 40.2, 28.0, 22.6; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{15}NO$ $(M+H)^+$ 274.1226, found 274.1229.



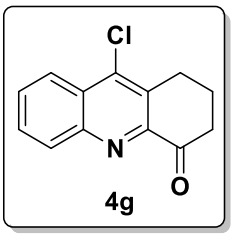
7-Chloro-9-phenyl-2,3-dihydroacridin-4(1*H*)-one (4d): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Red Solid; Yield: 0.089 g and 97%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 218.2-219.8 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3045, 2948, 1708, 1599, 1476, 1166, 845, 709; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (d, *J* = 9.2 Hz, 1H), 7.68 – 7.52 (m, 4H), 7.40 (s, 1H), 7.27 (s, 1H), 7.25 (s, 1H), 2.91 (t, *J* = 6.4 Hz, 2H), 2.89 – 2.81 (t, *J* = 6.4 Hz, 2H), 2.14 (p, *J* = 6.4 Hz, 2H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 197.3, 148.5, 147.5, 145.5, 135.4, 135.0, 134.5, 133.1, 130.8, 129.4, 129.1, 129.1, 128.7, 124.6, 40.1, 28.0, 22.4; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{14}ClNO$ $(M+H)^+$ 308.0837, found 308.0839.



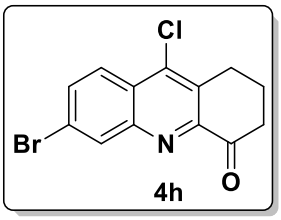
7-Bromo-9-phenyl-2,3-dihydroacridin-4(1*H*)-one (4e): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Off White Solid; Yield: 0.102 g and 97%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 228.2-229.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3046, 2948,2863, 1705, 1568, 1476, 1166, 845, 649, 709; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (d, *J* = 8.8 Hz, 1H), 7.73 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.60 – 7.52 (m, 4H), 7.27 (d, *J* = 2.4 Hz, 1H), 7.26 (s, 1H), 3.03 (t, *J* = 6.4 Hz, 2H), 2.68 (t, *J* = 6.4 Hz, 2H), 1.89 (p, *J* = 6.4 Hz, 2H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ 197.1, 148.4, 147.9, 147.0, 136.0, 132.5, 131.4, 129.6, 129.0, 128.9, 128.8, 128.7, 128.4, 125.9, 47.9, 36.0, 21.3; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{15}BrNO$ $(M+H)^+$ 352.0332, found 352.0264.



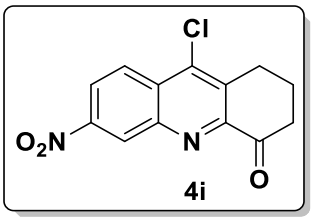
7-Nitro-9-phenyl-2,3-dihydroacridin-4(1*H*)-one (4f): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Green Solid; Yield: 0.092 g and 97%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 73.8-76.7 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2924, 2853, 1708, 1530, 1339, 1170, 1030, 704; ^1H NMR (400 MHz, $CDCl_3$) δ 8.53 (dd, *J* = 9.2, 0.8 Hz, 1H), 8.46 (dd, *J* = 9.2, 2.4 Hz, 1H), 8.40 (dd, *J* = 2.4, 0.8 Hz, 1H), 7.65 – 7.60 (m, 3H), 7.32 – 7.28 (m, 2H), 2.96 (dd, *J* = 6.4, 5.6 Hz, 2H), 2.93 (dd, *J* = 6.4, 5.6 Hz, 2H), 2.22 – 2.16 (m, 2H); $^{13}C\{^1H\}$NMR (100 MHz, $CDCl_3$) δ 196.8, 150.9, 148.8, 147.0, 135.3, 134.4, 133.4, 129.3, 129.0, 127.8, 122.8, 40.1, 28.0, 22.3; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{14}N_2O_3$ $(M+H)^+$ 319.1077, found 319.1087.



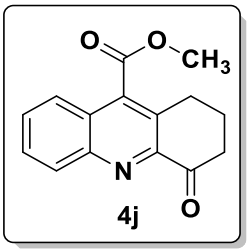
9-Chloro-2,3-dihydroacridin-4(1*H*)-one (4g): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Pale yellow Solid; Yield 0.068 g and 98%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 138.0-139.7 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2951, 1706, 1547, 1479, 1293, 1022, 913, 768; ^1H NMR (400 MHz, $CDCl_3$) δ 8.36 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.24 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.79 (ddd, *J* = 8.4, 6.8, 1.6 Hz, 1H), 7.73 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 3.31 (t, *J* = 6.4 Hz, 2H), 2.98 – 2.87 (m, 2H), 2.30 (p, *J* = 6.8 Hz, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 196.7, 148.6, 147.5, 142.8, 133.9, 132.0, 130.7, 130.1, 127.8, 124.0, 39.9, 27.5, 21.9; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_{10}ClNO$ $(M+H)^+$ 232.0524, found 232.0522.



6-Bromo-9-chloro-2,3-dihydroacridin-4(1*H*)-one (4h): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Brick Red Solid; Yield: 0.09 g and 97%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 120.0-121.5 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2926, 2854, 1699, 1620, 1574, 1456, 1059, 915, 833; ^1H NMR (400 MHz, $CDCl_3$) δ 8.54 (d, *J* = 2.0 Hz, 1H), 8.10 (d, *J* = 9.2 Hz, 1H), 7.79 (dd, *J* = 9.2, 2.0 Hz, 1H), 3.28 (t, *J* = 6.4 Hz, 2H), 2.93 (dd, *J* = 7.8, 5.5 Hz, 2H), 2.35 – 2.26 (m, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 196.0, 149.2, 147.7, 143.0, 134.1, 133.7, 133.4, 126.3, 125.2, 124.9, 39.6, 27.3, 21.6; HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_7ClBrNO$ $(M+H)^+$ 309.9629, found 309.9605.

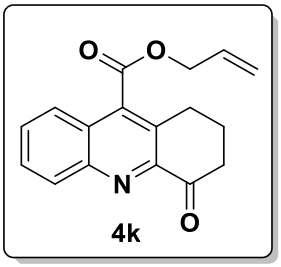


9-Chloro-6-nitro-2,3-dihydroacridin-4(1*H*)-one (4i): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Yellow Solid; Yield: 0.08 and 97%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 123.4-124.8 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3094, 2682, 1714, 1527, 1346, 1143, 1021, 909, 772; ^1H NMR (400 MHz, $CDCl_3$) δ 9.23 (d, *J* = 2.0 Hz, 1H), 8.47 – 8.39 (m, 2H), 3.36 (t, *J* = 6.4 Hz, 2H), 3.00 – 2.96 (m, 2H), 2.39 – 2.33 (m, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 195.3, 150.5, 148.7, 146.2, 143.2, 136.7, 130.2, 127.8, 126.0, 122.9, 39.5, 27.6, 21.3 HRMS (ESI-TOF) *m/z*: calculated for $C_{13}H_9ClN_2O_3$ $(M+H)^+$ 277.0374, found 277.0379.



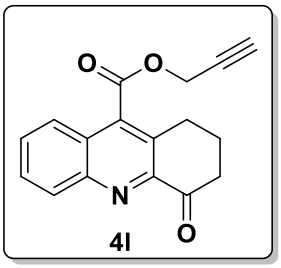
4j

Methyl 4-oxo-1,2,3,4-tetrahydroacridine-9-carboxylate (4j): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Yellow Solid; Yield: 0.075 g and 98%: R_f = 0.2 (40% EtOAc/n-hexane); mp: 133.0-134.5 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2943, 1723, 1707, 1458, 1283, 1224, 1032, 975, 752; ^1H NMR (400 MHz, $CDCl_3$) δ 8.37 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.82 – 7.74 (m, 2H), 7.73 – 7.64 (m, 1H), 4.11 (s, 3H), 3.17 (t, *J* = 6.4 Hz, 2H), 2.95 (t, *J* = 6.4 Hz, 2H), 2.27 (p, *J* = 6.4 Hz, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 196.3, 167.4, 148.3, 147.1, 139.0, 132.2, 131.8, 130.2, 130.0, 125.1, 124.2, 52.9, 40.1, 27.3, 22.1; HRMS (ESI-TOF) *m/z*: calculated for $C_{15}H_{13}NO_3$ $(M+H)^+$ 256.0968, found 256.0972.

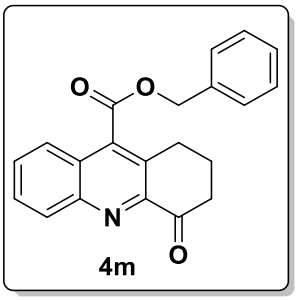


4k

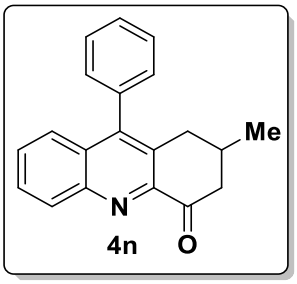
Allyl 4-oxo-1,2,3,4-tetrahydroacridine-9-carboxylate (4k): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Red Solid; Yield: 0.077 g and 92%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 145.3-146.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3.32, 2925, 2853, 1729, 1456, 1211, 1028, 960, 755; ^1H NMR (400 MHz, $CDCl_3$) δ 8.41 – 8.35 (m, 1H), 7.84 – 7.75 (m, 2H), 7.68 (ddd, *J* = 8.4, 6.8, 1.6 Hz, 1H), 6.17 – 6.05 (m, 1H), 5.51 (m, 1H), 5.41 (m, 1H), 5.02 (dt, *J* = 6.0, 1.2 Hz, 2H), 3.22 – 3.16 (m, 2H), 2.98 – 2.92 (m, 2H), 2.31 – 2.23 (m, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 196.3, 166.7, 148.3, 147.2, 138.9, 132.2, 131.8, 131.1, 130.2, 130.0, 125.2, 124.2, 120.4, 66.8, 40.1, 27.2, 22.2; HRMS (ESI-TOF) *m/z*: calculated for $C_{17}H_{15}NO_3$ $(M+H)^+$ 282.1125, found 282.1159.



Prop-2-yn-1-yl 4-oxo-1,2,3,4-tetrahydroacridine-9-carboxylate (4l): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Red Solid; Yield: 0.078 g and 94%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 163.7-167.9 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3053, 2924, 1603, 1367, 1221,1024, 701, 578; ^1H NMR (400 MHz, $CDCl_3$) δ 8.41 – 8.35 (dt, *J* = 8.8, 1.2 Hz 1H), 7.84 – 7.75 (m, 2H), 7.68 (m, 1H), 6.11 (m, 1H), 5.51 (m, 1H), 5.41 (m, 1H), 5.02 (dt, *J* = 6.1, 1.3 Hz, 2H), 3.22 – 3.16 (t, 2H), 2.98 – 2.92 (t, 2H), 2.31 – 2.23 (p, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 196.1, 166.2, 148.3, 147.1, 137.9, 132.5, 131.8, 130.3, 130.2, 125.1, 124.0, 76.2, 53.3, 40.1, 29.7, 27.2, 22.1, 14.1; HRMS (ESI-TOF) *m/z*: calculated for $C_{17}H_{13}NO_3$ $(M+H)^+$ 280.0968, found 280.0969.

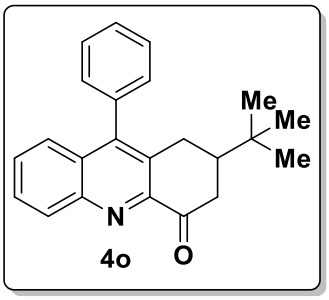


Benzyl 4-oxo-1,2,3,4-tetrahydroacridine-9-carboxylate (4m): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Red Liquid; Yield: 0.094 g and 94%; R_f = 0.2 (40% EtOAc/n-hexane); FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3064, 2926, 1729, 1456, 1211, 1078, 960, 758; ^1H NMR (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 8.4 Hz, 1H), 7.77 – 7.71 (m, 2H), 7.64 – 7.60 (m, 1H), 7.49 (dd, *J* = 7.2, 2.4 Hz, 2H), 7.45 – 7.39 (m, 3H), 5.55 (s, 2H), 3.10 (t, *J* = 6.4 Hz, 2H), 2.91(t, *J* = 6.4 Hz 2H), 2.25 – 2.18 (m, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 196.3, 166.9, 148.3, 147.1, 138.8, 134.8, 132.2, 131.8, 130.2, 130.0, 129.0, 128.9, 128.9, 125.2, 124.1, 68.0, 40.0, 27.1, 22.1; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{17}NO_3$ $(M+H)^+$ 332.1281, found 332.1280.

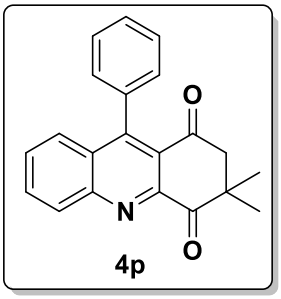


2-Methyl-9-phenyl-2,3-dihydroacridin-4(1*H*)-one (4n): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Yellow Solid; Yield: 0.082 g and 95%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 148.5-149.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3574, 3388, 3066, 2957, 2928, 2940, 2873, 1502, 1705, 1492, 1452, 1377, 1213, 1091, 1053, 1020, 769, 700, 684;

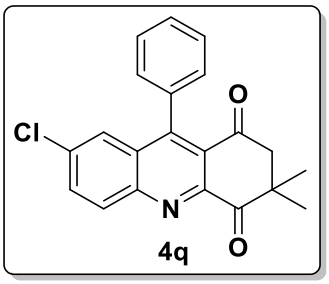
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (d, *J* = 8.8 Hz, 1H), 7.74 (ddd, *J* = 8.4, 6.8, 1.6 Hz, 1H), 7.62 – 7.56 (m, 3H), 7.56 – 7.49 (m, 2H), 7.45 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.32 – 7.29 (m, 1H), 3.02 (m, 1H), 2.89 (m, 1H), 2.61 (dt, *J* = 16.8, 11.2 Hz, 2H), 2.42 – 2.35 (m, 1H); ^{13}C{^{1}H}NMR (100 MHz, $CDCl_3$) δ 197.7, 148.4, 148.0, 147.0, 136.0, 132.5, 131.4, 129.6, 129.3, 129.0, 128.9, 128.8, 128.8, 128.4, 125.9, 48.0 36.0, 29.7, 21.3; HRMS (ESI-TOF) *m/z*: calculated for $C_{20}H_{18}NO$ $(M+H)^+$ 288.1383, found 288.1383.



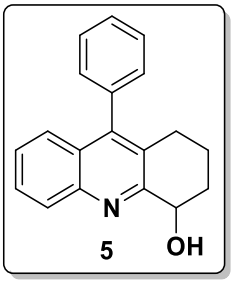
2-(Tert-butyl)-9-phenyl-2,3-dihydroacridin-4(1*H*)-one (4o): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Yellow Solid; Yield: 0.095 g and 97%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 159.5-160.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3383, 3061, 2960, 2868, 1702, 1564, 1486, 1456, 1395, 1366, 1264, 1051, 766, 709, 648, 633, 549; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 8.0 Hz, 1H), 7.72 (ddd, *J* = 8.4, 6.8, 1.6 Hz, 1H), 7.59 (dt, *J* = 7.2, 1.6 Hz, 1H), 7.57 – 7.51 (m, 2H), 7.51 – 7.46 (m, 1H), 7.43 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.28 (p, *J* = 1.2 Hz, 1H), 7.26 (d, *J* = 1.6 Hz, 1H), 3.09 (dt, *J* = 16.4, 3.2 Hz, 1H), 2.92 (dt, *J* = 16.4, 3.2 Hz, 1H), 2.58 (m, 2H), 2.01 – 1.93 (m, 1H), 0.89 (s, 9H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 198.7, 148.3, 148.2, 147.1, 136.1, 133.1, 131.5, 129.5, 129.3, 128.9, 128.8, 128.8, 128.7, 128.4, 125.9, 44.8, 42.3, 32.6, 29.5, 27.0; HRMS (ESI-TOF) *m/z*: calculated for $C_{23}H_{24}NO$ $(M+H)^+$ 330.1852, found 330.1860.



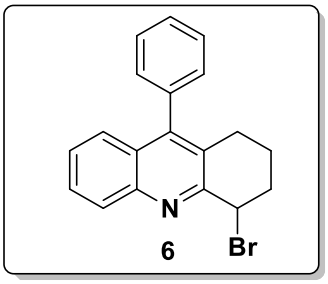
3,3-Dimethyl-9-phenyl-2,3-dihydroacridine-1,4-dione (4p): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Yellow Solid; Yield: 0.092 g and 97%: R_f = 0.2 (40% EtOAc/n-hexane); mp: 212.8-213.6 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3065, 2977, 2954, 1717, 1698, 1302, 1073, 992, 777; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (dt, *J* = 8.8, 1.2 Hz, 1H), 7.91 (m, 1H), 7.70 – 7.60 (m, 3H), 7.58 – 7.52 (m, 2H), 7.46 – 7.42 (m, 1H), 7.27 (q, *J* = 2.0 Hz, 1H), 4.65 (s, 1H), 1.65 (s, 3H), 1.58 (s, 3H); ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 196.2, 189.7, 152.6, 149.7, 146.4, 135.7, 132.6, 131.6, 129.7, 129.3, 129.1, 128.9, 128.5, 128.0, 127.9, 124.0, 48.9, 42.3, 25.7, 23.7; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{17}NO_2$ $(M+H)^+$ 316.1332, found 316.1331.



7-Chloro-3,3-dimethyl-9-phenyl-2,3-dihydroacridine-1,4-dione (4q): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Yellow Solid; Yield: 0.102 g and 98%; R_f = 0.2 (40% EtOAc/n-hexane); mp: 167.9-170.6 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 2925, 2853, 1716, 1703, 1367, 1075, 699; ^1H NMR (400 MHz, $CDCl_3$) δ 8.39 (d, *J* = 9.2 Hz, 1H), 7.81 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.58 – 7.54 (m, 4H), 7.24 – 7.19 (m, 2H), 2.99 (s, 2H), 1.40 (s, 6H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 199.3, 194.9, 150.4, 148.9, 148.0, 136.0, 135.3, 133.5, 132.9, 130.1, 128.5, 128.5, 128.3, 126.8, 126.6, 53.6, 45.4, 25.2; HRMS (ESI-TOF) *m/z*: calculated for $C_{21}H_{16}ClNO_2$ $(M+H)^+$ 350.0942, found 350.0942.

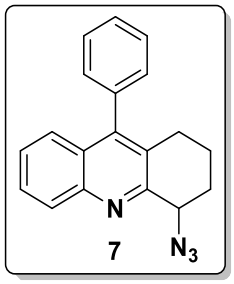


9-Phenyl-1,2,3,4-tetrahydroacridin-4-ol (5): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Colour: White Solid; Yield: 0.27 g and 98%; R_f = 0.6 (40% EtOAc/n-hexane); mp: 185.6-186.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3429, 3057, 2922, 2852, 1702, 1632, 1563, 1489, 1435, 1407, 1379, 1270, 1172, 1034, 767, 749, 701, 663, 611, 531; ^1H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 8.4 Hz, 1H), 7.74 – 7.69 (m, 1H), 7.57 – 7.54 (m, 2H), 7.49 – 7.42 (m, 2H), 7.39 – 7.36 (m, 1H), 7.29 (d, *J* = 1.7 Hz, 1H), 7.22 (dd, *J* = 9.6, 8.0 Hz, 1H), 5.58 – 4.44 (m, 1H), 3.86 (s, 1H), 2.89 (d, *J* = 4.0 Hz, 2H), 2.65 (t, *J* = 6.4 Hz, 1H), 2.57 – 2.24 (m, 1H), 2.15 (q, *J* = 6.7 Hz, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 159.7, 147.1, 145.7, 136.8, 129.0, 129.0, 128.7, 128.7, 128.5, 127.9, 127.3, 127.1, 126.1, 125.9, 70.1, 30.4, 27.3, 19.6; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{18}NO$ $(M+H)^+$ 276.1383, found 276.1385.



4-Bromo-9-phenyl-1,2,3,4-tetrahydroacridine (6): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Yellow Solid; Yield: 0.147 g and 87%; R_f = 0.4 (10% EtOAc/n-hexane); mp: 130.1-130.7 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3049, 2945, 2926, 2866, 1564, 1485, 1429, 1374, 1274, 1114, 1070, 767, 752, 613, 578, 516; ^1H NMR (400 MHz, $CDCl_3$) δ 8.09 (d,

J = 8.8 Hz, 1H), 7.63 (ddd, *J* = 8.4, 6.4, 2.0 Hz, 1H), 7.56 – 7.47 (m, 3H), 7.38 – 7.28 (m, 3H), 7.18 – 7.14 (m, 1H), 5.81 (dq, *J* = 2.8, 1.2 Hz, 1H), 2.79 (m, 1H), 2.69 – 2.59 (m, 1H), 2.53 (m, 1H), 2.35 – 2.27 (m, 2H), 1.91 – 1.86 (m, 1H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 156.2, 147.9, 146.6, 136.7, 129.1, 129.0, 128.9, 128.9, 128.8, 128.7, 128.0, 127.6, 126.8, 126.7, 125.8, 53.4, 32.6, 27.0, 18.4; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{17}BrN$ $[(M+2)+H]^+$ 340.0539, found 340.0525.



4-Azido-9-phenyl-1,2,3,4-tetrahydroacridine (7): By silica gel column chromatography (eluent: hexanes/ethyl acetate), Yellow Solid; Yield: 0.117 g and 78%; R_f = 0.3 (20% EtOAc/n-hexane); mp: 100.5-101.0 °C; FT-IR (KBr) $\bar{\nu}$ (cm^{-1}) 3660, 3573, 3480, 2923, 2851, 2097, 1701, 1631, 1563, 1456, 1406, 1270, 1172, 1072, 916, 766, 701, 653, 613, 532: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, *J* = 7.6 Hz, 1H), 7.67 – 7.62 (m, 1H), 7.55 – 7.48 (m, 3H), 7.40 – 7.34 (m, 2H), 7.31 – 7.26 (m, 1H), 7.22 – 7.18 (m, 1H), 4.97 (t, *J* = 4.8 Hz, 1H), 2.67 (dt, *J* = 16.8, 5.2 Hz, 1H), 2.60 – 2.51 (m, 1H), 2.14 – 2.07 (m, 2H), 1.98 – 1.90 (m, 1H), 1.78 – 1.74 (m, 1H); $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 155.1, 147.6, 146.5 136.7, 131.5, 129.3, 129.2, 129.0, 129.0, 128.8, 128.8, 128.7, 128.0, 126.6, 125.8, 61.3, 28.9, 27.5, 18.6; HRMS (ESI-TOF) *m/z*: calculated for $C_{19}H_{17}N_4$ $(M+H)^+$ 301.1448, found 301.1457.

11. Comparison of N-B and B-O bond opening in the intermediate IM5

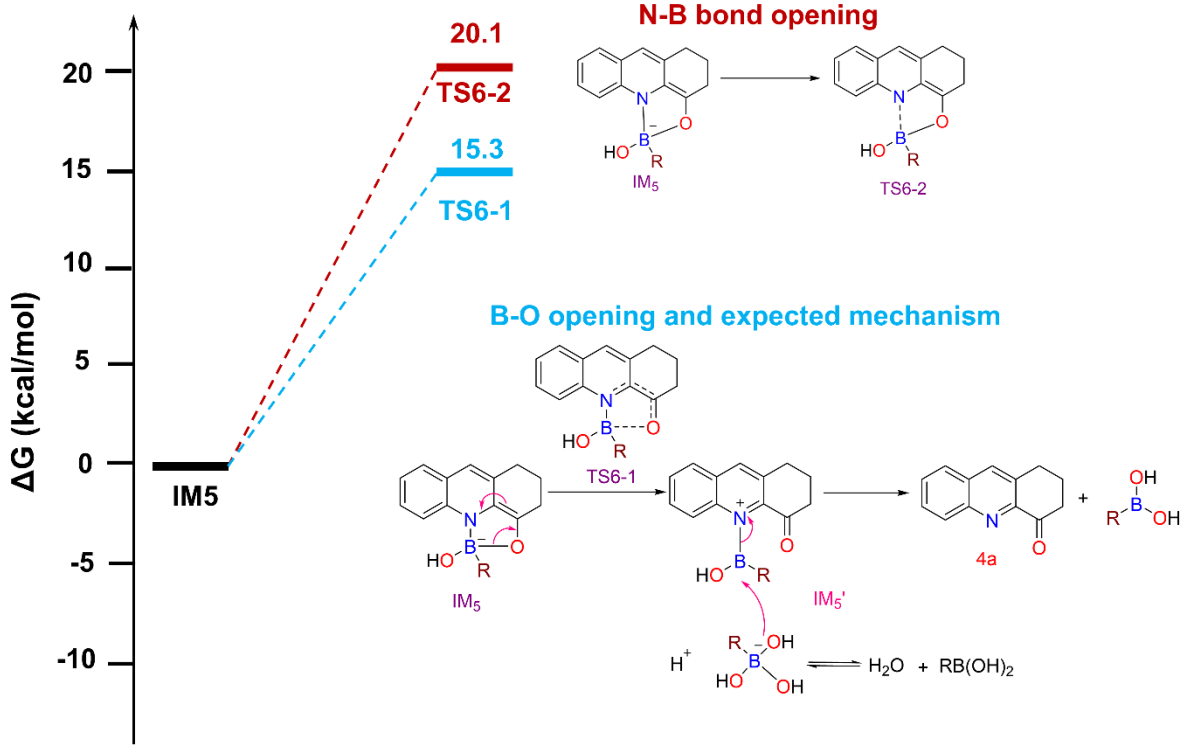


Figure S1. Relative energy profile for the comparison of N-B and B-O bond opening.

12. Optimized geometries of the structures obtained in the oxidation stage of the reaction

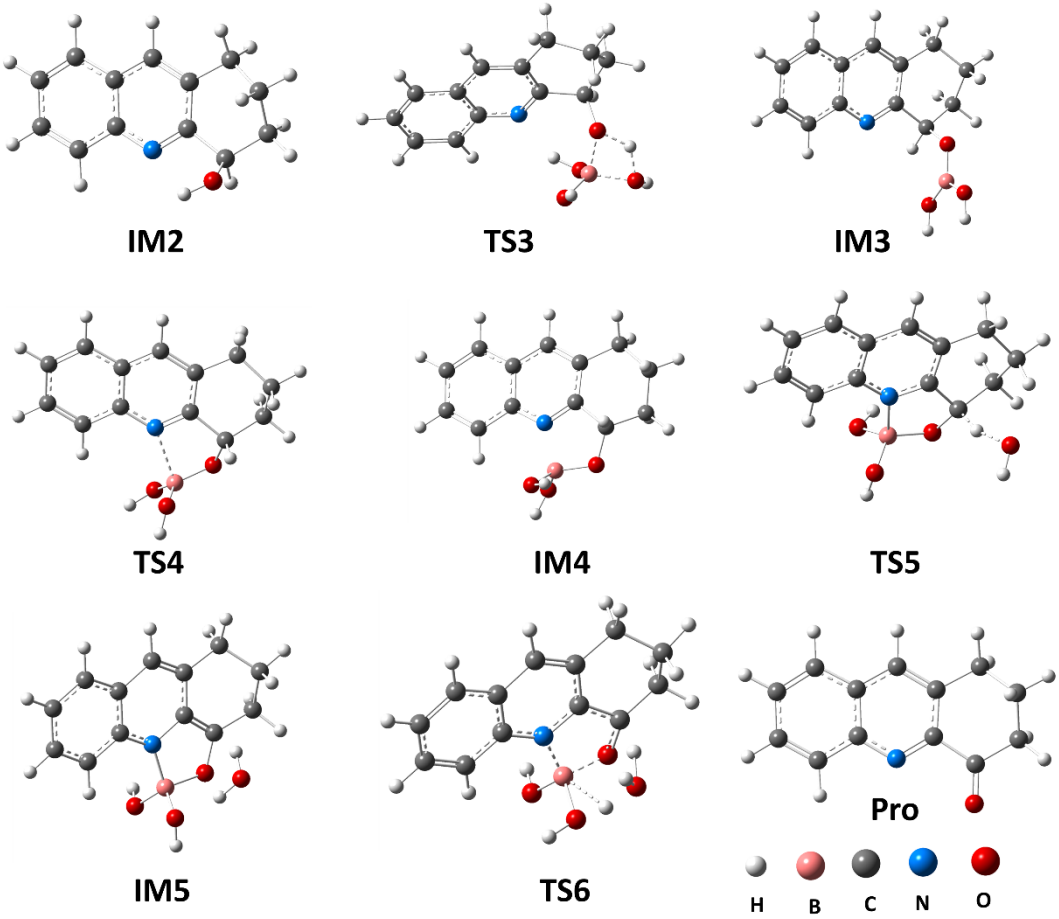


Figure S2. Optimized geometries of the structure obtained in the stage of the reaction.

13. Cartesian Coordinates of the Optimized Structure obtained in the DFT studies

All the structures have been optimized using ωB97XD functional employing 6-31+g(d) basis set. The vibrational frequency calculations have been carried out to unveil the nature of the optimized structures as local minima and as saddle points. All the transition state were confirmed by the presence of single imaginary frequency in the direction of bond making and breaking.

R1

C	0.81172600	1.17893500	-0.08609200
C	0.90756000	-0.22872200	-0.20111700
C	-0.42587500	1.76317000	0.01625200
C	-1.46482200	-0.43702400	-0.06899500
C	-1.59440100	0.96586100	0.00983500
C	-2.90425400	1.50591000	0.09975500
H	-3.01893000	2.58475300	0.16272000

C	-4.00221300	0.68258800	0.10689300
C	-3.84397300	-0.72145600	0.02879100
C	-2.59250600	-1.28203300	-0.05524000
H	-0.51719500	2.84252500	0.11244800
H	-4.99913400	1.10784400	0.17476800
H	-4.72067800	-1.36186900	0.03681600
H	-2.43604000	-2.35200100	-0.11321100
O	2.40865100	-1.79029300	0.83762600
C	2.20987100	-0.99291000	-0.31725900
C	3.40965900	-0.06605800	-0.47926500
H	3.49220400	0.23254000	-1.53323600
H	4.30465100	-0.64435600	-0.23145900
C	3.29024300	1.18332600	0.38981500
H	4.19784800	1.79156600	0.31393100
H	3.17773300	0.89174100	1.44099500
C	2.08126400	2.00217500	-0.06255400
H	2.27021900	2.39389500	-1.07252600
H	1.92919300	2.87142600	0.58662300
N	-0.19393100	-1.00035700	-0.15541400
O	-0.08350500	-2.28763100	-0.17816200
H	2.12533300	-1.64501400	-1.19825800
H	1.65747100	-2.40973600	0.84765700

R2

B	-0.00692100	-0.03325200	-0.00031100
O	1.10717300	-0.83162800	0.00011400
H	1.95268200	-0.37612600	-0.00040600
O	-1.21345500	-0.66649300	0.00005400
H	-1.94487100	-0.03993400	0.00030600
O	0.00180700	1.34889100	-0.00009000
H	0.86259900	1.77616000	0.00102800

TS1

C	1.01797300	-1.78975900	0.31476000
C	0.98368800	-0.42527100	-0.06083300
C	-0.16621400	-2.47794100	0.41149600
C	-1.39317900	-0.49641600	-0.27058700
C	-1.40025100	-1.84988300	0.13059400
C	-2.64984600	-2.51745600	0.21051800
H	-2.66724600	-3.55912800	0.51907800
C	-3.81054900	-1.85507200	-0.09844900
C	-3.77433300	-0.49925500	-0.50439800
C	-2.58563500	0.18284900	-0.59630100
H	-0.16143700	-3.52807700	0.69579000
H	-4.76392800	-2.37070400	-0.03465900
H	-4.70142500	0.01051100	-0.74814300
H	-2.53017000	1.22575600	-0.88715000
C	2.22200800	0.43701800	-0.25246900
C	3.48396300	-0.26339600	0.23644100
H	3.54911700	-0.15776100	1.32798500
H	4.33692900	0.26754600	-0.19571900
C	3.50041900	-1.74529100	-0.12919200
H	4.45183900	-2.20186900	0.16274600
H	3.40184300	-1.85939100	-1.21540900
C	2.34943700	-2.45544500	0.58316000
H	2.53734300	-2.44810600	1.66639000
H	2.28880700	-3.50703600	0.28239400
N	-0.18077700	0.15612400	-0.35374300

O	2.36804100	0.73343600	-1.62908000
H	1.64556000	1.34859600	-1.84017300
H	2.07502700	1.36514000	0.30875200
O	-0.17265200	1.41323400	-0.77555700
B	-0.36301800	2.65912800	0.47737300
O	0.65898600	3.56080300	0.09973700
H	0.27914100	4.33273700	-0.33071800
O	-1.69755600	3.10677100	0.28593200
H	-2.21726400	2.89204700	1.06698500
O	-0.12600300	1.93924700	1.68562300
H	0.64497700	2.30521200	2.12949600

IM1

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C	0.97941048	-0.42738622	-0.05699558
C	-0.17982657	-2.47772319	0.40026699
C	-1.39911626	-0.48572779	-0.26370847
C	-1.41149060	-1.84273272	0.12563900
C	-2.66338669	-2.50642704	0.20096102
H	-2.68439981	-3.55072969	0.50019341
C	-3.82158633	-1.83653282	-0.10075941
C	-3.78014349	-0.47696582	-0.49350105
C	-2.58921924	0.20186234	-0.58067930
H	-0.17946615	-3.53015690	0.67610756
H	-4.77705321	-2.34871680	-0.04072100
H	-4.70556688	0.03914299	-0.73006674
H	-2.53105845	1.24843065	-0.85808547
C	2.22281207	0.42927688	-0.24489770
C	3.47973875	-0.27985474	0.24415751
H	3.54079699	-0.18185420	1.33662194
H	4.33646503	0.25058261	-0.18106676
C	3.49126049	-1.75906098	-0.13213919
H	4.43997723	-2.22174256	0.15890428
H	3.39503055	-1.86490827	-1.21939460
C	2.33566695	-2.46942477	0.57233847
H	2.52207064	-2.47260223	1.65582333
H	2.26989600	-3.51796975	0.26216085
N	-0.18338147	0.15974348	-0.34276045
O	2.37220805	0.72663458	-1.62088003
H	1.66477409	1.35909210	-1.83023656
H	2.08055189	1.35624511	0.31878398
O	-0.16824345	1.42078326	-0.76238098
B	-0.34222142	2.63780011	0.45637712
O	0.68408667	3.54553473	0.08477757
H	0.29888747	4.33252587	-0.31222316
O	-1.67694431	3.10885817	0.27904729
H	-2.17693018	2.93991141	1.08375589
O	-0.10713963	1.93230650	1.67985716
H	0.63732646	2.33464974	2.13721917

TS2

C	0.89795500	-2.08610300	0.11290400
C	0.89746700	-0.66261200	0.16193800
C	-0.31898600	-2.71713700	0.02050000
C	-1.43231000	-0.56584100	0.05216700
C	-1.52525400	-1.98093500	-0.00253100
C	-2.80713100	-2.58606700	-0.08139200
H	-2.87598800	-3.67014500	-0.12737600
C	-3.93707600	-1.80922100	-0.09318700

C	-3.83548000	-0.39710700	-0.02093100
C	-2.61235500	0.22073500	0.04941300
H	-0.36317100	-3.80382400	-0.03779400
H	-4.91688700	-2.27415100	-0.15118600
H	-4.74135600	0.20219700	-0.01554300
H	-2.51551900	1.29798200	0.13089500
C	2.18886100	0.14556600	0.21828300
C	3.40191500	-0.71271400	0.55138000
H	3.39711000	-0.93932700	1.62651200
H	4.29805800	-0.11898100	0.34583300
C	3.39968200	-2.01362100	-0.24829700
H	4.32326600	-2.57544500	-0.07266400
H	3.35602200	-1.78111000	-1.31873200
C	2.19537200	-2.86320900	0.15756300
H	2.34761500	-3.23318700	1.18210600
H	2.10803600	-3.74870600	-0.48245500
N	-0.21656700	0.03737300	0.12547100
O	2.42693400	0.76582500	-1.03685500
H	1.61858000	1.25665200	-1.26584600
H	2.05070400	0.91072700	0.99374900
O	-0.12588600	1.89943900	-0.74248600
B	-0.12206400	2.96622500	0.26281400
O	-0.06316200	3.52640300	-1.23960700
H	-0.97518800	3.62956800	-1.55458400
O	-1.34662700	3.18203800	0.90207000
H	-1.22291900	3.67250100	1.72083100
O	1.02522700	3.25703900	1.00322500
H	1.83007100	3.20614800	0.47624900

IM2

C	0.81393400	1.00067100	-0.07745100
C	0.85930300	-0.41560200	-0.23863800
C	-0.42426600	1.57952300	0.05438400
C	-1.43689000	-0.61166300	-0.12785900
C	-1.59764100	0.79031500	0.02899200
C	-2.90517100	1.32857500	0.15859800
H	-3.02342400	2.40286400	0.27909300
C	-4.00040800	0.50291300	0.13147300
C	-3.83821600	-0.89686400	-0.02554300
C	-2.58652400	-1.44401900	-0.15231900
H	-0.51233300	2.65711000	0.18734200
H	-4.99875600	0.91911500	0.23046100
H	-4.71560600	-1.53696200	-0.04514000
H	-2.43926200	-2.51271500	-0.27502100
O	2.38816600	-1.87180500	0.90337800
C	2.17831000	-1.17162400	-0.31928100
C	3.38041200	-0.26372000	-0.54206500
H	3.41131500	0.03097300	-1.60021400
H	4.28673000	-0.84251500	-0.33752300
C	3.30653000	0.98533000	0.33446900
H	4.21594200	1.58577300	0.22315000
H	3.23846600	0.68681000	1.38722600
C	2.08579400	1.81945700	-0.05608600
H	2.24965000	2.24414600	-1.05758400
H	1.96013300	2.66967300	0.62424400
N	-0.20961200	-1.18296600	-0.25710200
H	2.09671500	-1.89546300	-1.14187600
H	1.58138700	-2.37336200	1.08649200

TS3

C	-0.31848300	1.82415200	0.09575100
C	0.22797100	0.63223200	-0.46352600
C	-1.65352800	1.81446000	0.41959400
C	-1.80551600	-0.46395300	-0.37600300
C	-2.44516900	0.66552800	0.19720200
C	-3.82762800	0.59374000	0.51356800
H	-4.31397600	1.46134400	0.95296600
C	-4.53437900	-0.55548500	0.26742900
C	-3.89242500	-1.68362100	-0.30385000
C	-2.55856400	-1.64200100	-0.61947700
H	-2.11514100	2.70050100	0.85364800
H	-5.59140200	-0.60744500	0.51146000
H	-4.46545600	-2.58768300	-0.48780800
H	-2.04941400	-2.49818300	-1.05146000
C	1.71447800	0.52575000	-0.78046500
C	2.38751900	1.88780400	-0.89620200
H	2.06348600	2.36455800	-1.83191900
H	3.47093500	1.74016200	-0.96846600
C	2.02708900	2.77641100	0.29255300
H	2.58497800	3.71803900	0.25277900
H	2.31039400	2.26813700	1.22143000
C	0.52622200	3.06627300	0.28706600
H	0.30227300	3.76386900	-0.53331900
H	0.22656000	3.57216600	1.21200400
N	-0.48478100	-0.45092100	-0.69555800
H	1.82318000	-0.04143200	-1.71261400
O	2.33409900	-0.20317600	0.28001000
H	3.43666200	-0.75127100	0.13857900
B	2.11789100	-1.82769900	0.38904500
O	1.51058800	-2.16264900	1.59377900
H	1.82126500	-1.62152900	2.32601100
O	3.69972900	-1.90510100	0.36778800
H	3.96909900	-2.39669400	-0.42101600
O	1.64572000	-2.39427900	-0.79282100
H	0.72395300	-2.11571200	-0.93048000

IM3

C	0.24815300	-1.66444400	0.06781000
C	-0.28206200	-0.42738800	-0.40653400
C	1.58743700	-1.70118700	0.36914700
C	1.76727800	0.61798300	-0.29440900
C	2.39675000	-0.55457900	0.20010700
C	3.78624600	-0.52645700	0.49271300
H	4.26329600	-1.42678500	0.87307800
C	4.51271200	0.62038700	0.29623300
C	3.88383400	1.79038100	-0.20106200
C	2.54296700	1.79143200	-0.49018700
H	2.03873100	-2.61994000	0.74213400
H	5.57529600	0.63715600	0.52112400
H	4.47331300	2.69047900	-0.35113900
H	2.03936500	2.67507200	-0.87017800
O	-2.34216600	0.38634600	0.44386200
C	-1.76914500	-0.26983000	-0.68688800
C	-2.47642700	-1.60597400	-0.87762700
H	-2.17614400	-2.03011900	-1.84640600
H	-3.55579700	-1.42645400	-0.92015400
C	-2.11588300	-2.57337100	0.24833800
H	-2.69642700	-3.49847800	0.16345400
H	-2.37104500	-2.11489800	1.21076700

C	-0.62233100	-2.89683200	0.19721000
H	-0.42925400	-3.54620000	-0.66959100
H	-0.31870600	-3.46664000	1.08310500
N	0.44054900	0.65587100	-0.58561200
H	-1.88172800	0.36077600	-1.57319100
B	-2.82271400	1.65484100	0.38546900
O	-3.18570900	2.20907300	1.59104300
H	-3.57923400	3.08418000	1.54472600
O	-2.95547600	2.28179500	-0.83622000
H	-3.24229900	3.19811400	-0.81436500

TS4

C	-0.59364900	-1.75418800	0.05597700
C	-0.79516000	-0.35102600	0.09416100
C	0.70881000	-2.18729800	0.02486400
C	1.46229500	0.12462000	0.00393200
C	1.78131000	-1.25917100	0.01629100
C	3.14572400	-1.64846600	-0.00731300
H	3.39150200	-2.70760600	0.00047900
C	4.13817200	-0.70101700	-0.04060500
C	3.81157200	0.67741100	-0.05434000
C	2.50147300	1.08549800	-0.03545300
H	0.93295800	-3.25248700	-0.01369900
H	5.18056500	-1.00507400	-0.05778700
H	4.60871200	1.41465700	-0.08058500
H	2.23223900	2.13604800	-0.04344500
C	-3.21702800	-0.61358600	-0.44947400
H	-3.03485600	-0.68878500	-1.52941200
H	-4.20970700	-0.17360800	-0.31230000
C	-3.12970600	-1.99215100	0.21721700
H	-3.94196700	-2.63753300	-0.13292800
H	-3.26859800	-1.87445500	1.30054000
C	-1.78474800	-2.68290000	-0.05679800
H	-1.79572100	-3.08609800	-1.07900000
H	-1.65593200	-3.54255700	0.61130400
N	0.16697400	0.53448400	0.03429900
B	-0.97303100	2.31139300	-0.01818500
O	-0.47965100	3.17012400	-0.99153200
H	0.19379600	3.76665600	-0.65330400
C	-2.16578400	0.28834000	0.17705800
O	-2.06534500	1.53689500	-0.44105200
O	-0.81363500	2.60261000	1.35430000
H	-0.84259100	3.54411200	1.54636200
H	-2.40469600	0.41494800	1.24777900

IM4

C	-0.86576700	-1.66071000	0.08579900
C	-0.91811700	-0.25500600	0.18350400
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C	-2.17643000	0.55484900	0.30928600
O	-1.85308700	1.83415300	-0.11832700
O	-0.00570800	2.90593600	1.08651800
H	-0.70555400	2.94669400	1.74481400
H	-2.45411900	0.56210300	1.38299500

TS5

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C	3.03271900	-1.96361500	0.12210600
H	3.14117000	-3.04645700	0.12443800
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H	0.19147100	3.66519300	0.98457900
H	-2.38875900	0.44900600	1.00118500
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H	-3.03427900	0.48257700	2.97954700

IM5

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C	0.85381300	0.37373900	-0.32628500
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C	-1.70840400	1.37527600	-0.01118300
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O	1.38529500	-1.00988100	2.75256500
H	1.49040800	-0.30401500	2.09917100

TS6

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O	-1.78261300	1.63541000	-0.64752100
O	-0.27203600	2.57855100	0.96684200
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H	-1.92217200	0.54072000	1.25893900
O	-1.64879500	1.08671800	2.24170000
H	-0.90271900	1.88381800	1.75473400
H	-1.15397200	0.45440000	2.78174000

Product

C	0.85728000	-0.98443200	0.10324800
C	0.90087300	0.44292900	0.05835600
C	-0.38149100	-1.57904600	0.08408800
C	-1.38928500	0.62020900	-0.00359900
C	-1.55320700	-0.79251400	0.03294300
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H	-2.98659800	-2.41939300	0.03915300
C	-3.95616100	-0.51177600	-0.03813700
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H	-0.46836600	-2.66432300	0.10540100
H	-4.95687400	-0.93391800	-0.05216100
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C	2.12830200	-1.79808500	0.17193400
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H	1.96244700	-2.77794900	-0.28936300
N	-0.16874100	1.20640200	0.00611400

14. References

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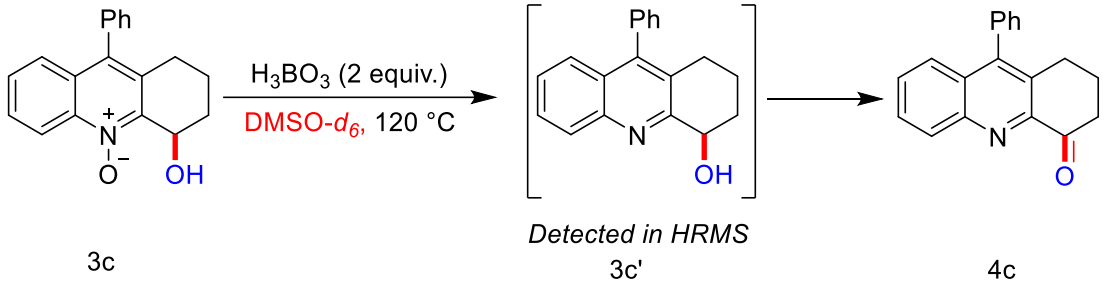
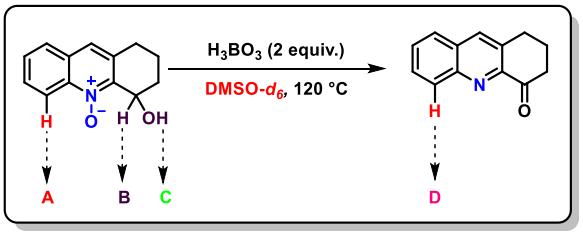
15. Controlled experiments

15.1 ^{1}H NMR and ^{11}B NMR experiments studies for boric acid (H_3BO_3) mediated reaction

The reaction was performed in the NMR tube by taking 4-hydroxy-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide with 2 equiv. of boric acid under standard condition and subsequently the ^{1}H NMR were recorded at regular time interval. In Figure 1, a gradual disappearance of the NMR signal at δ = 8.51–8.53 ppm corresponding to CH (H_A) of C5 carbon of *N*-Oxide was observed with simultaneous appearance of the NMR signal at δ = 8.20–8.22 ppm (H_D) due to the reduction of *N*-Oxide. This is accompanied by disappearance of the NMR signal at δ = 5.35 ppm due to aliphatic CH of C4 (H_B) attached to –OH as well as signal at δ = 6.55 ppm (H_C) due to the oxidation of the hydroxyl group at C4 into ketone in 0 to 120 minutes.





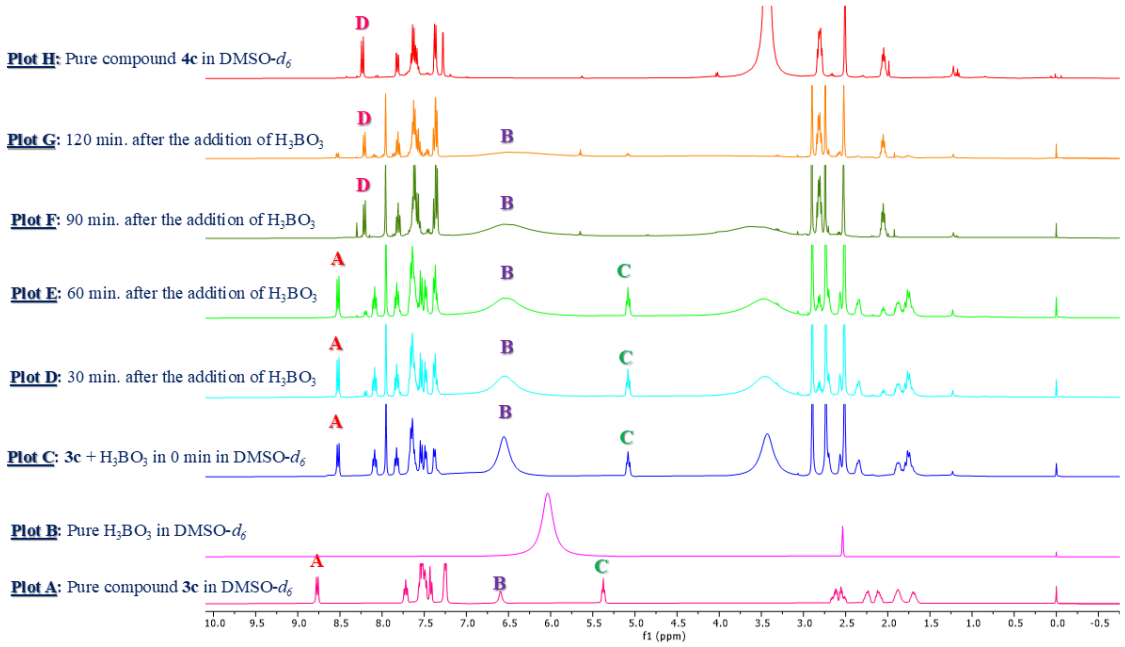


Figure S3: ^{1}H NMR experiments studies to probe the reaction intermediates

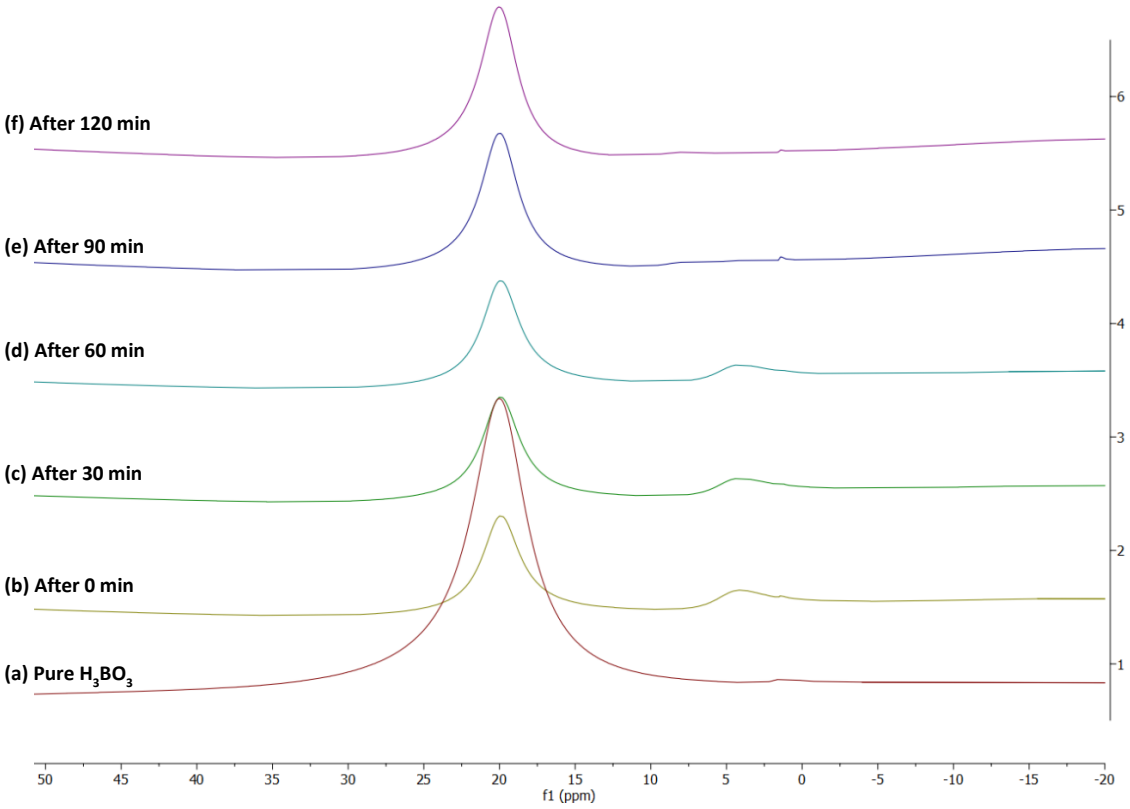
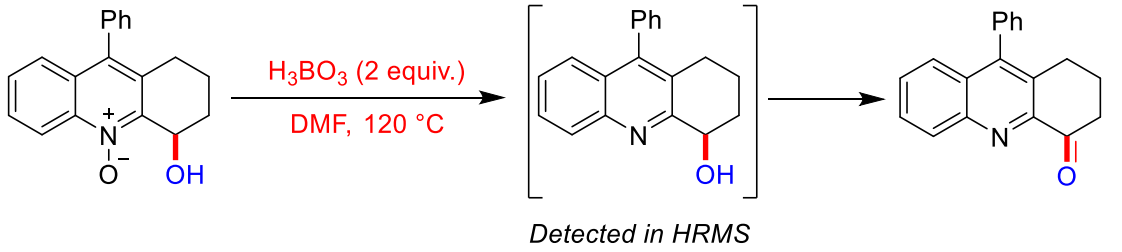


Figure S4: ^{11}B NMR experiments studies to probe the reaction intermediates

15.2 ESI-MS studies for the analysis of reaction intermediate boric acid (H_3BO_3) mediated reaction:



Detected in HRMS

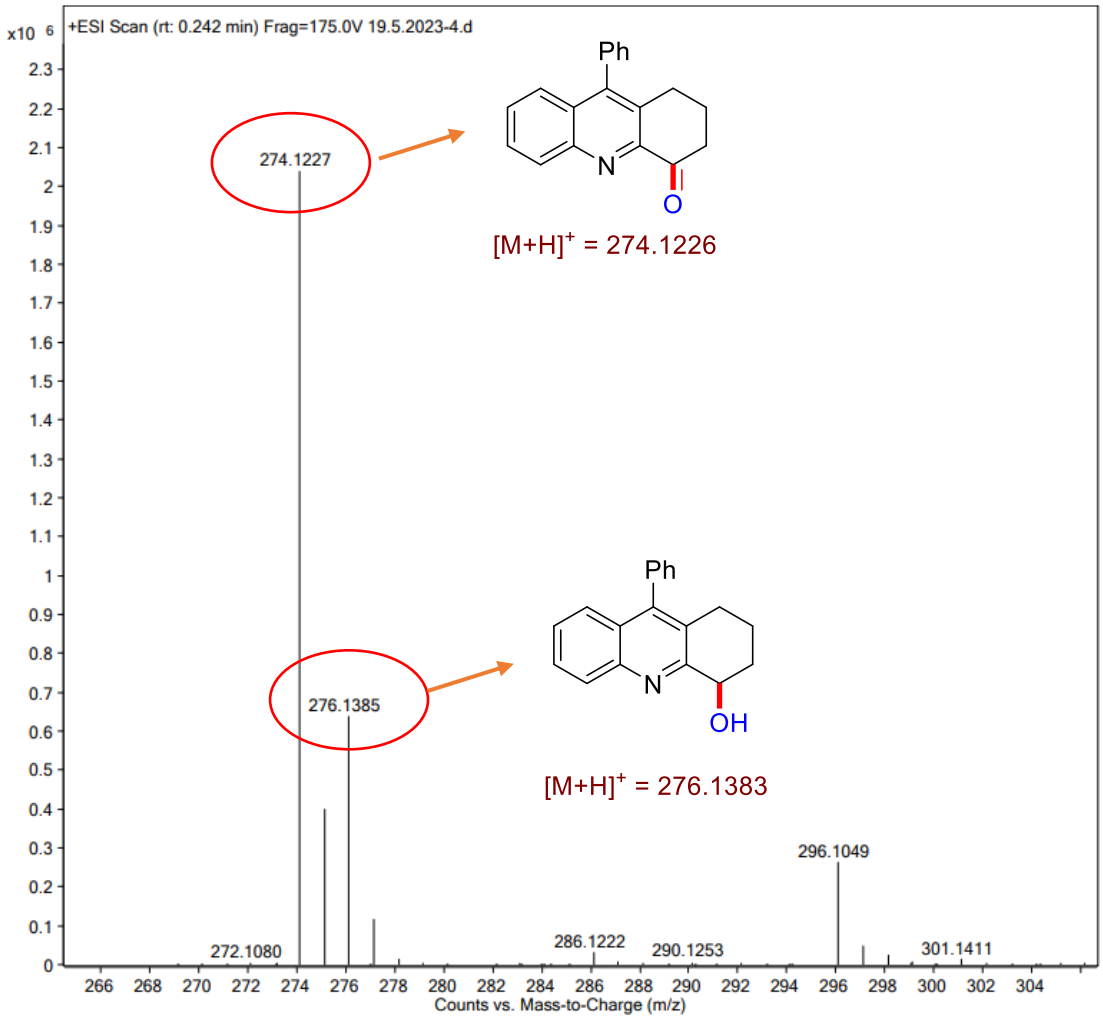
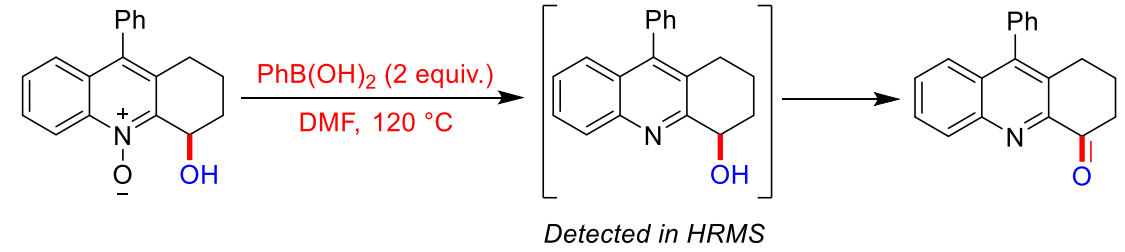


Figure S7. ESI-MS studies to probe the reaction intermediates

15.4 ESI-MS studies for the analysis of reaction intermediate phenylboronic caid ($PhB(OH)_2$) mediated reaction:



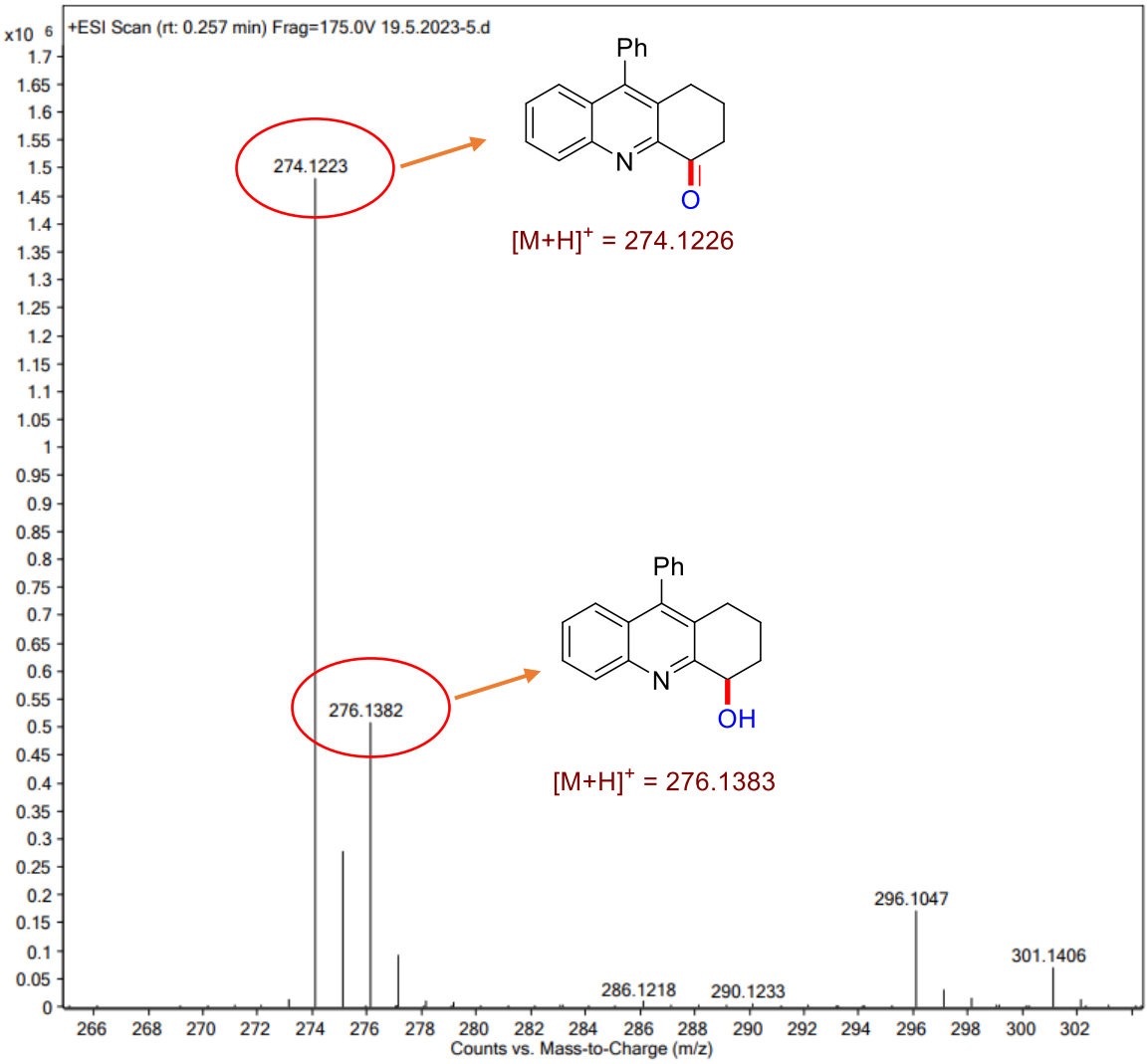


Figure S8. ESI-MS studies to probe the reaction intermediates

16. 2D spectra

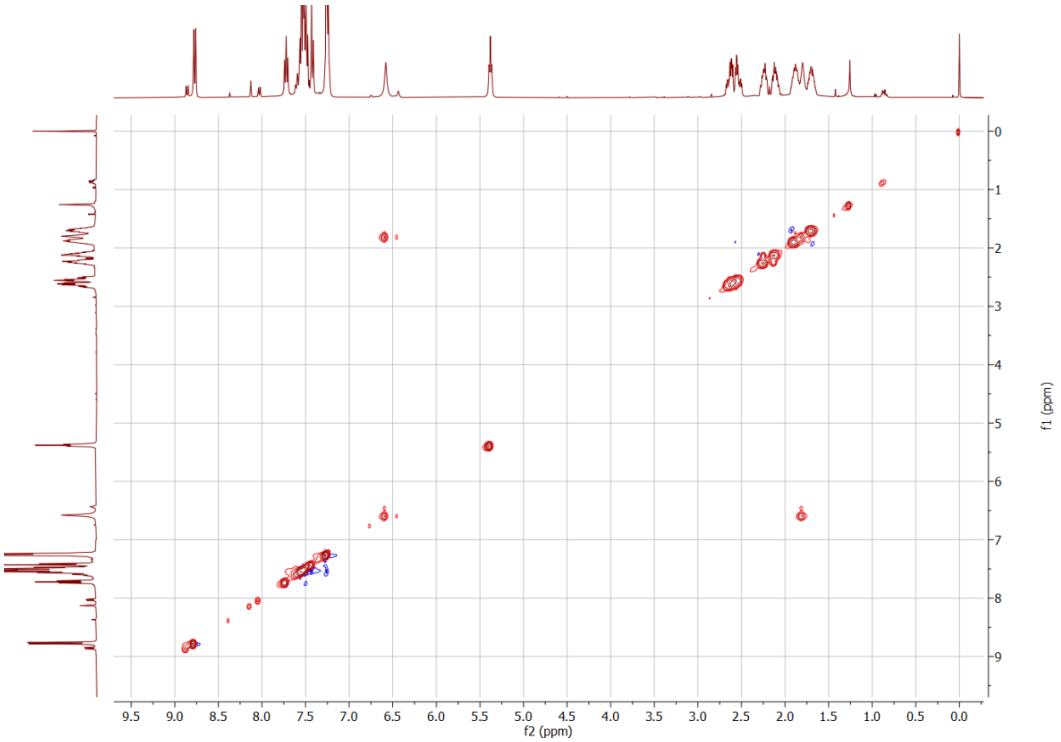


Figure S9. NOESY (400 MHz, $CDCl_3$) spectrum of 4-hydroxy-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide

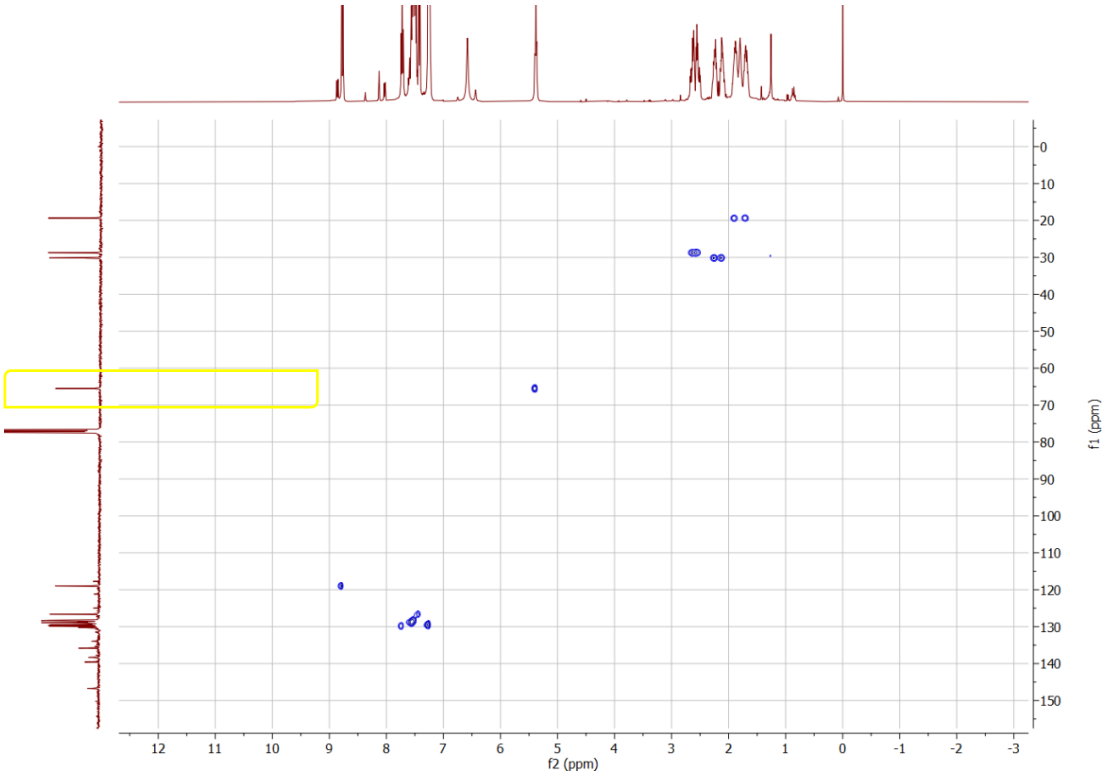


Figure S10. HSQC (400 MHz, $CDCl_3$) spectrum of 4-hydroxy-9-phenyl-1,2,3,4-tetrahydroacridine *N*-oxide

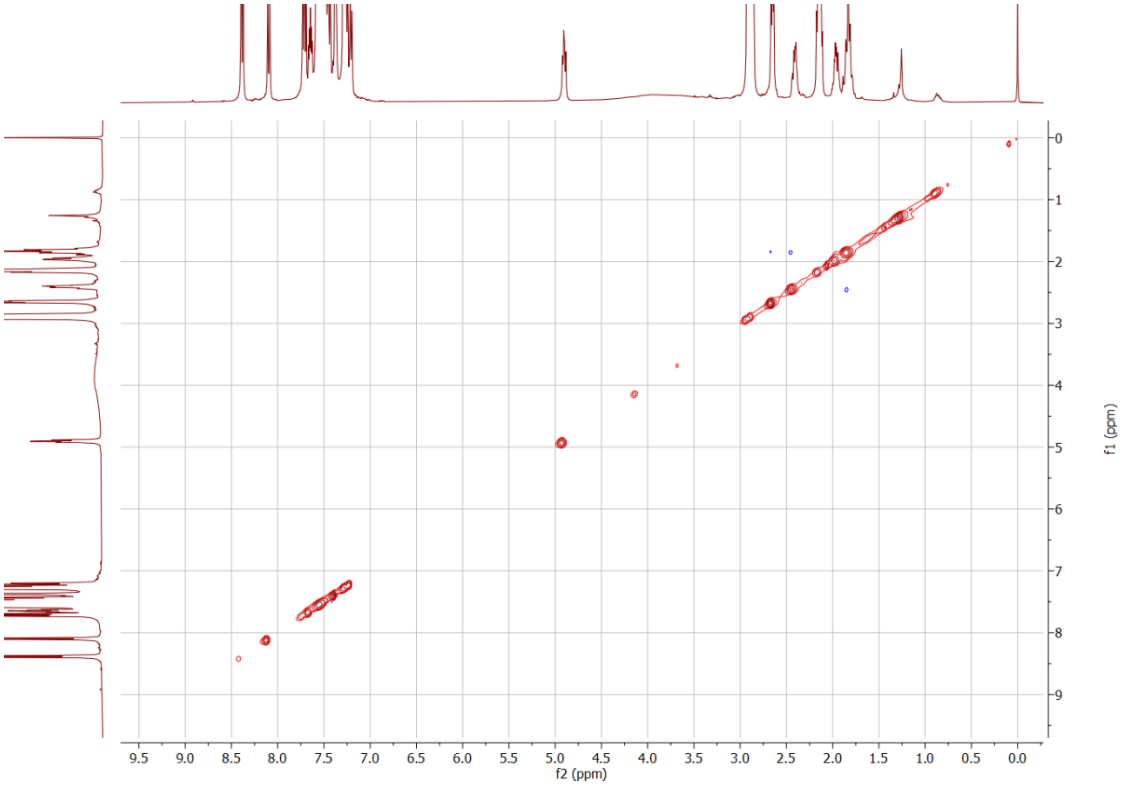


Figure S11. NOESY (400 MHz, $CDCl_3$) spectrum of 9-phenyl-1,2,3,4-tetrahydroacridin-4-ol

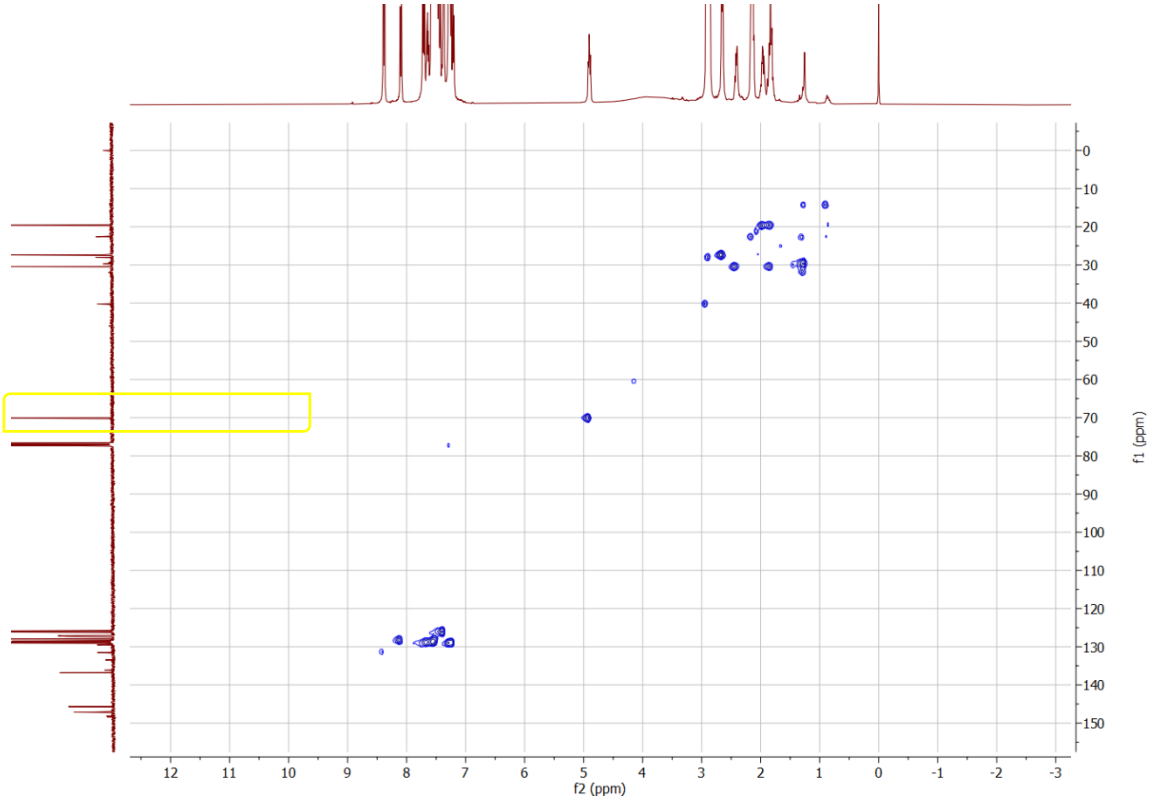


Figure S12. HSQC (400 MHz, $CDCl_3$) spectrum of 9-phenyl-1,2,3,4-tetrahydroacridin-4-ol

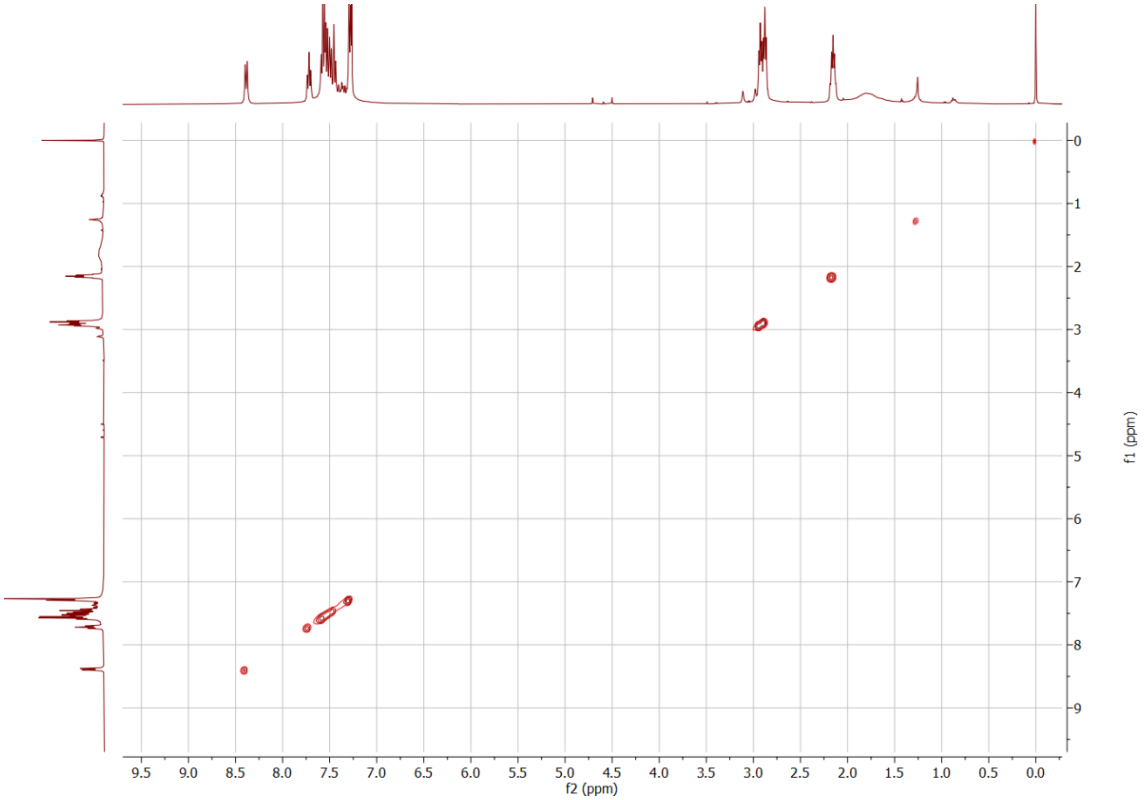


Figure S13. NOESY (400 MHz, $CDCl_3$) spectrum of 9-phenyl-2,3-dihydroacridin-4(1*H*)-one

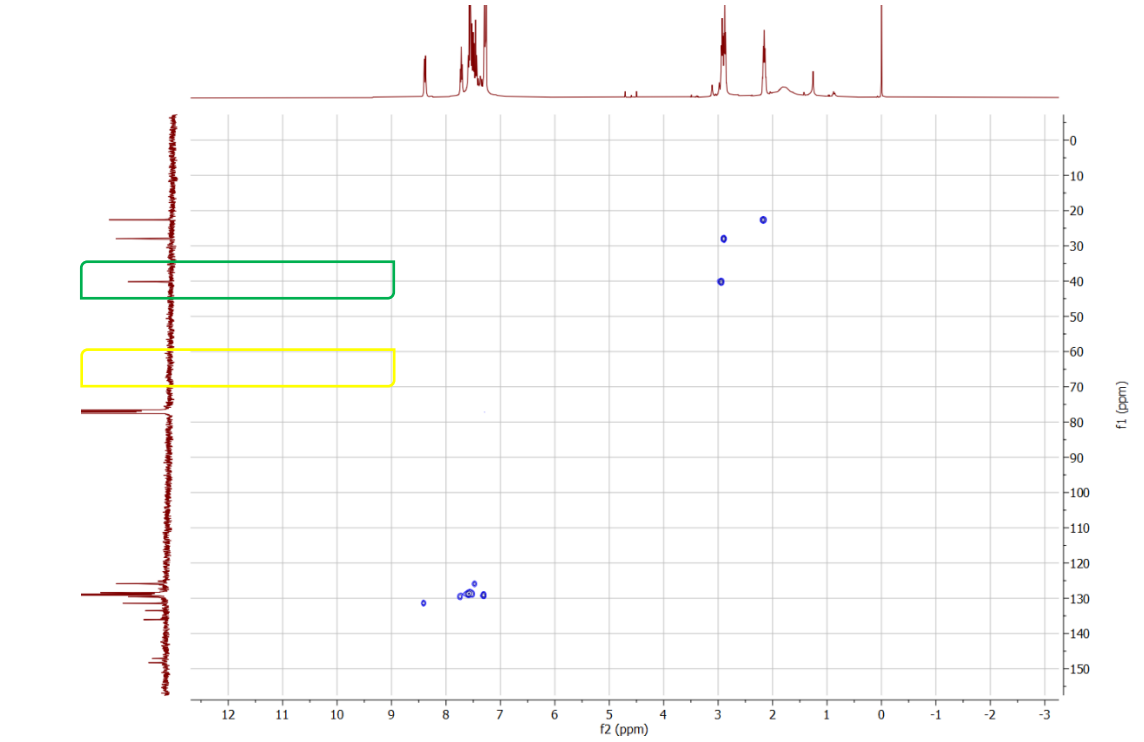


Figure S14. HSQC (400 MHz, $CDCl_3$) spectrum of 9-phenyl-2,3-dihydroacridin-4(1*H*)-one

17. ^{1}H and ^{13}C NMR spectra

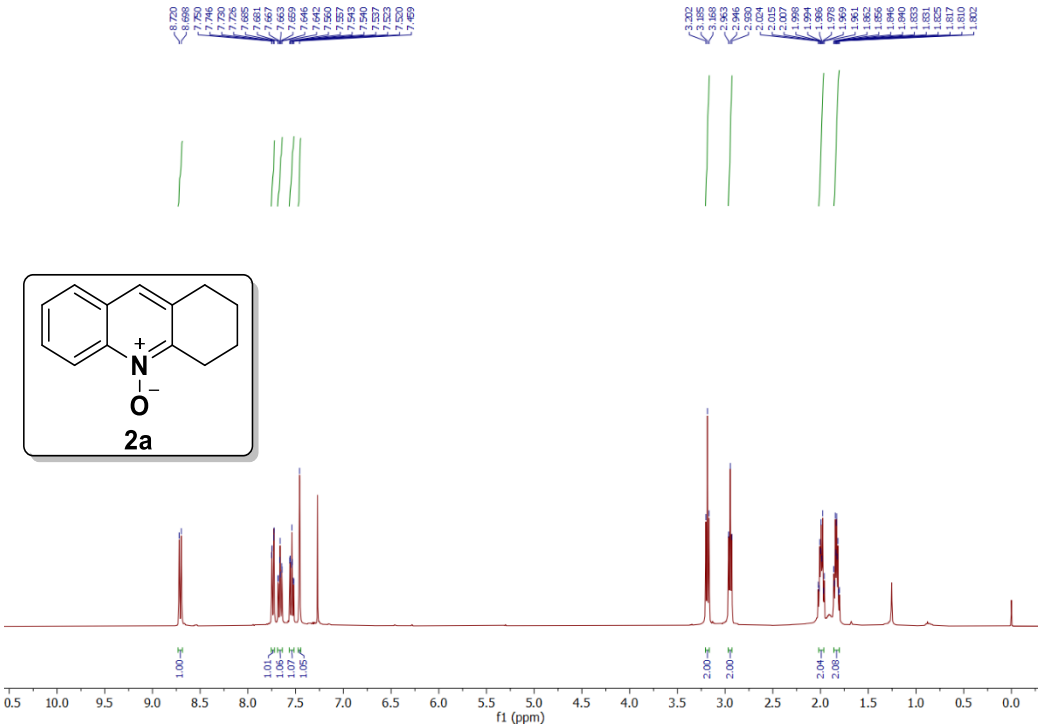


Figure-S15. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2a**

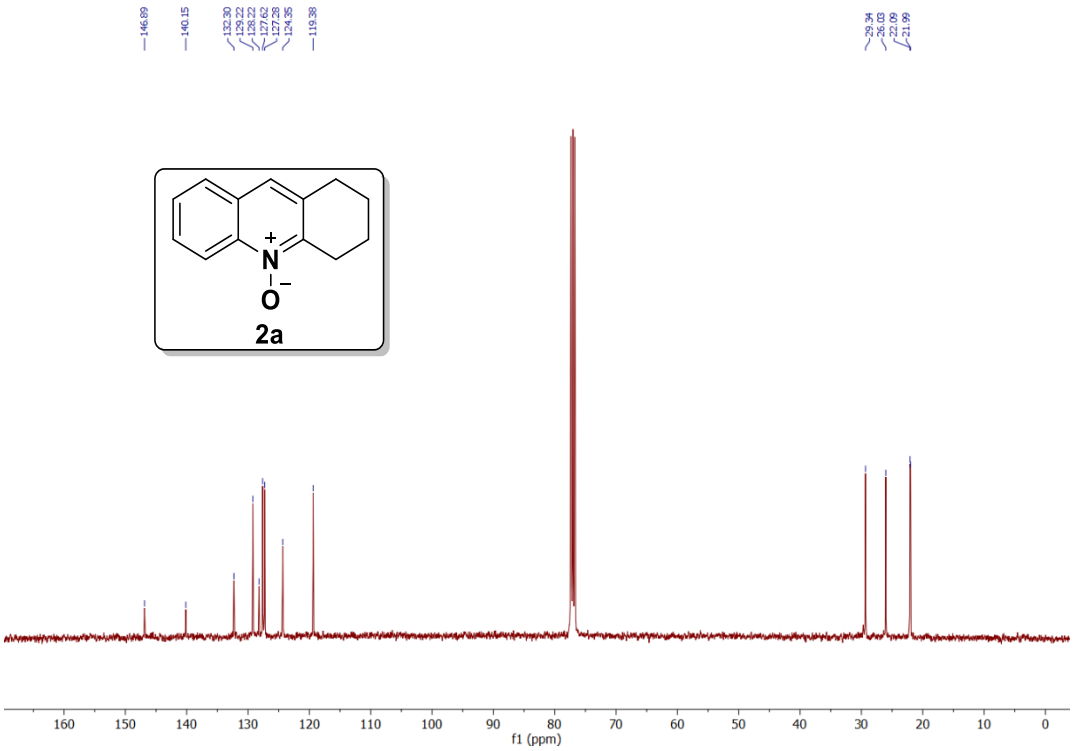


Figure-S16. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2a**

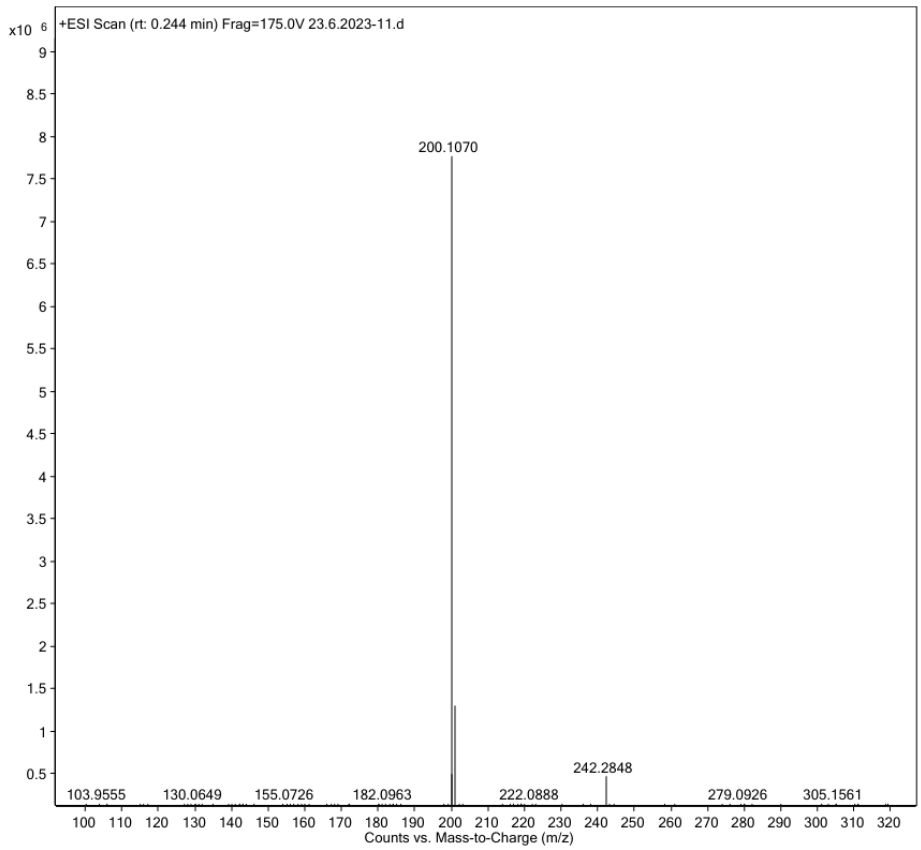


Figure-S17. HR-MS(ESI$^+$) spectrum of compound **2a**

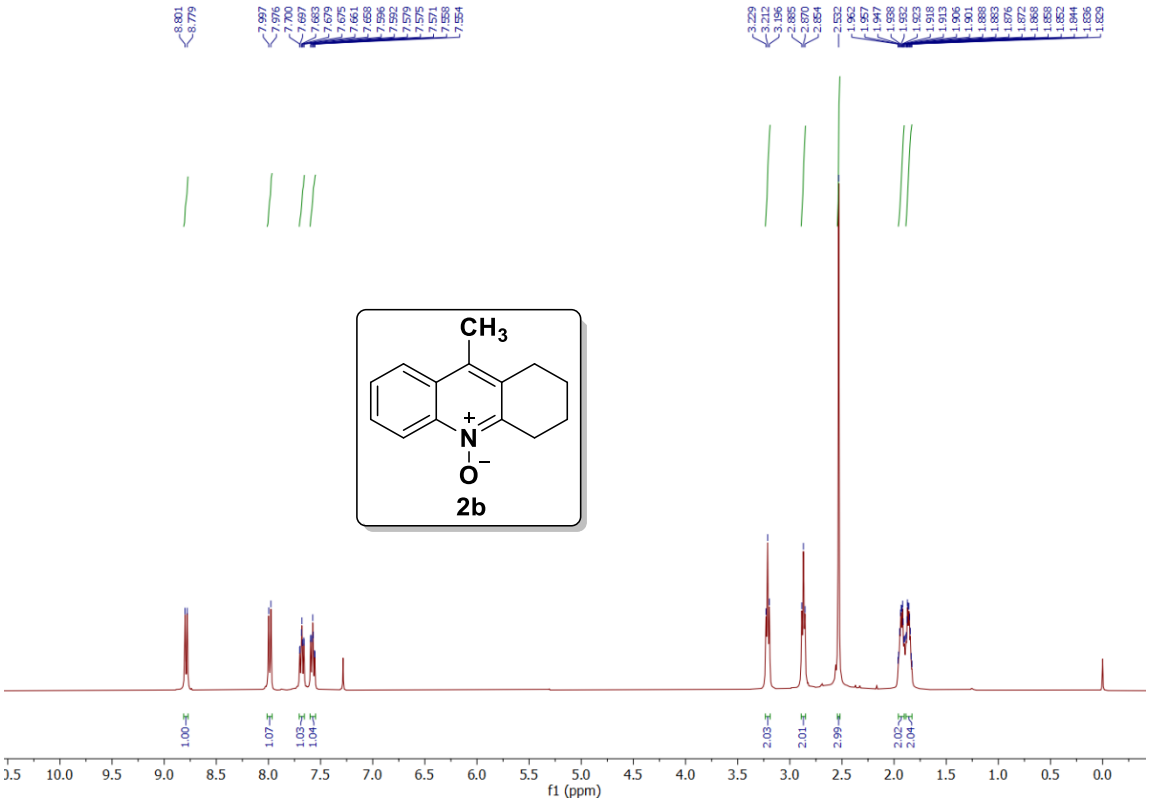


Figure-S18. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2b**

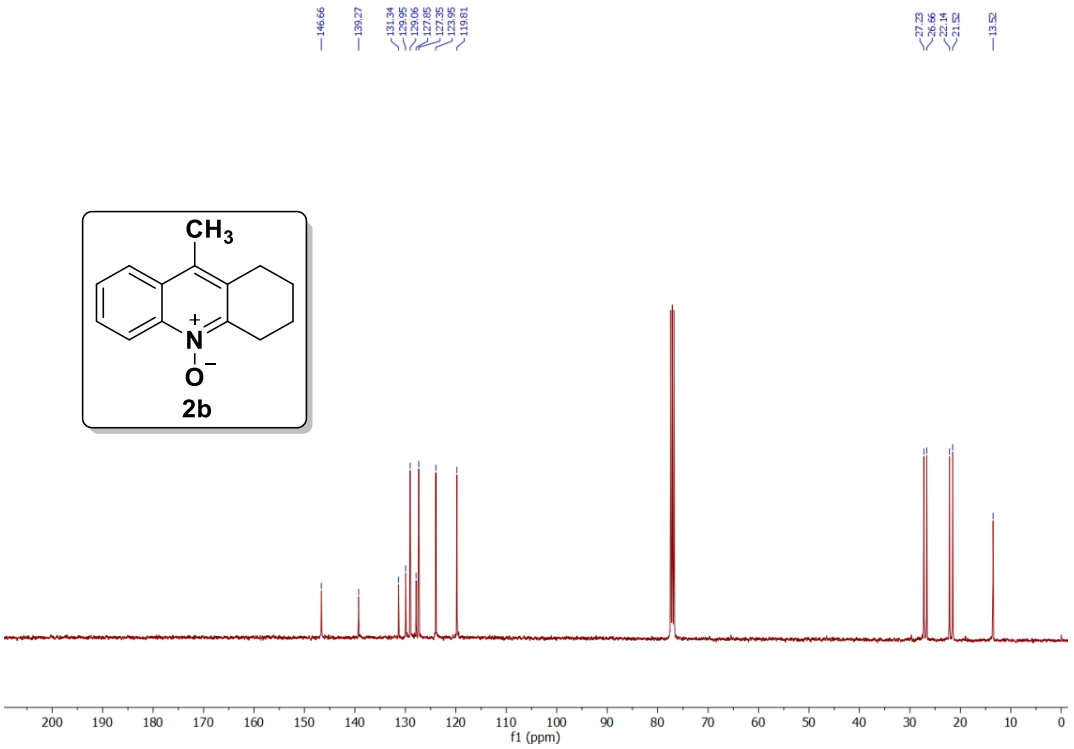


Figure-S19. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2b**

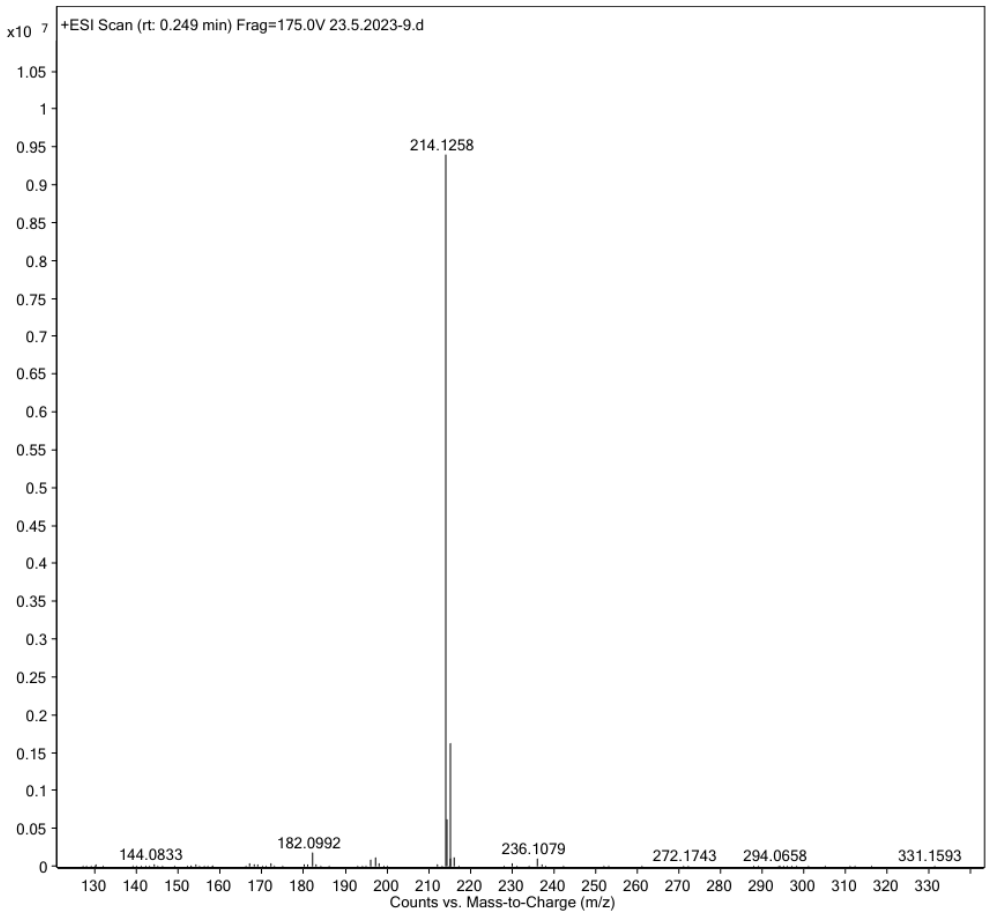


Figure-S20. HR-MS(ESI^{+}) spectrum of compound **2b**

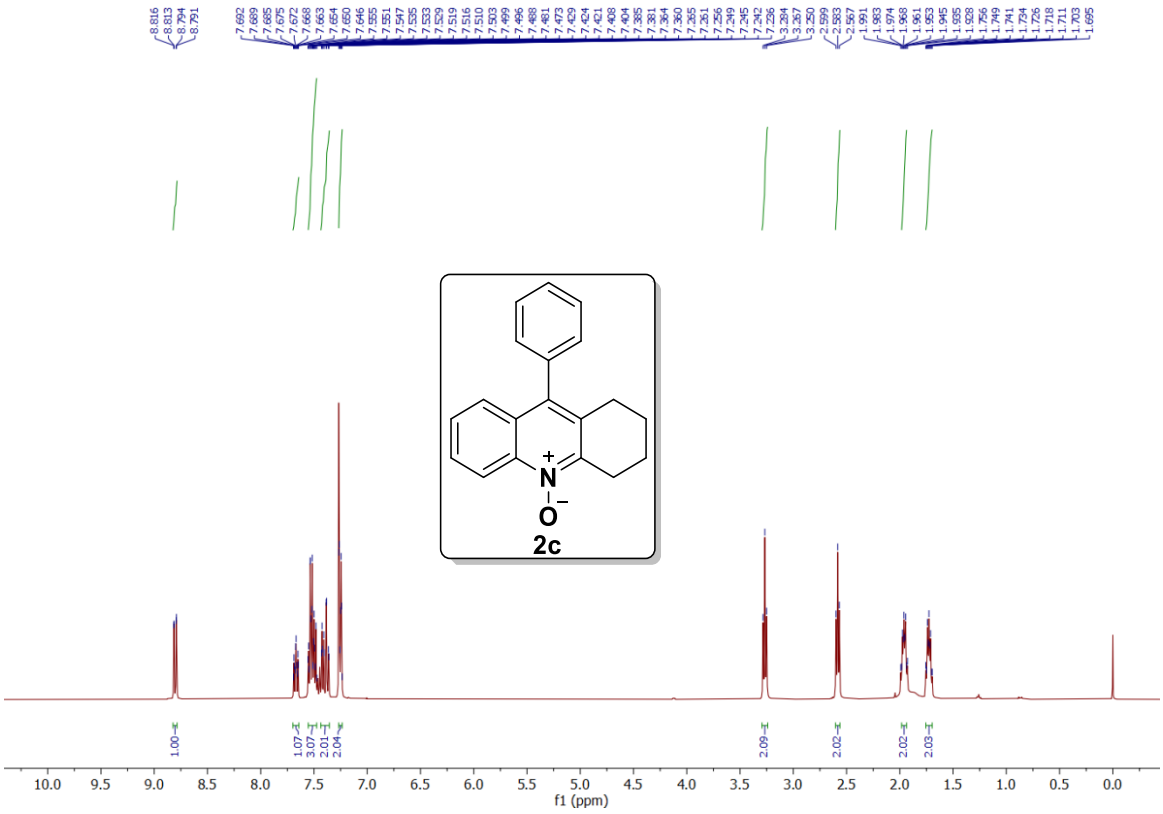


Figure-S21. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2c**

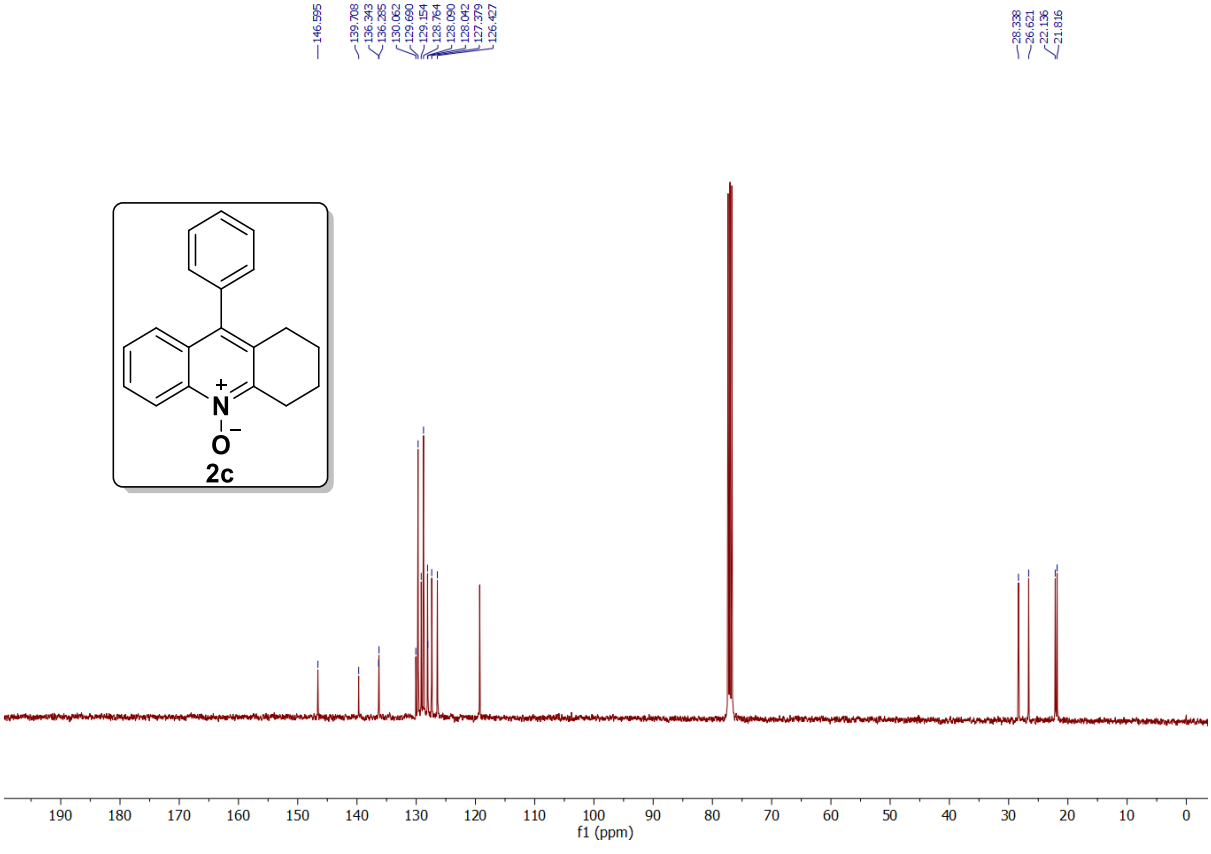


Figure-S22. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2c**

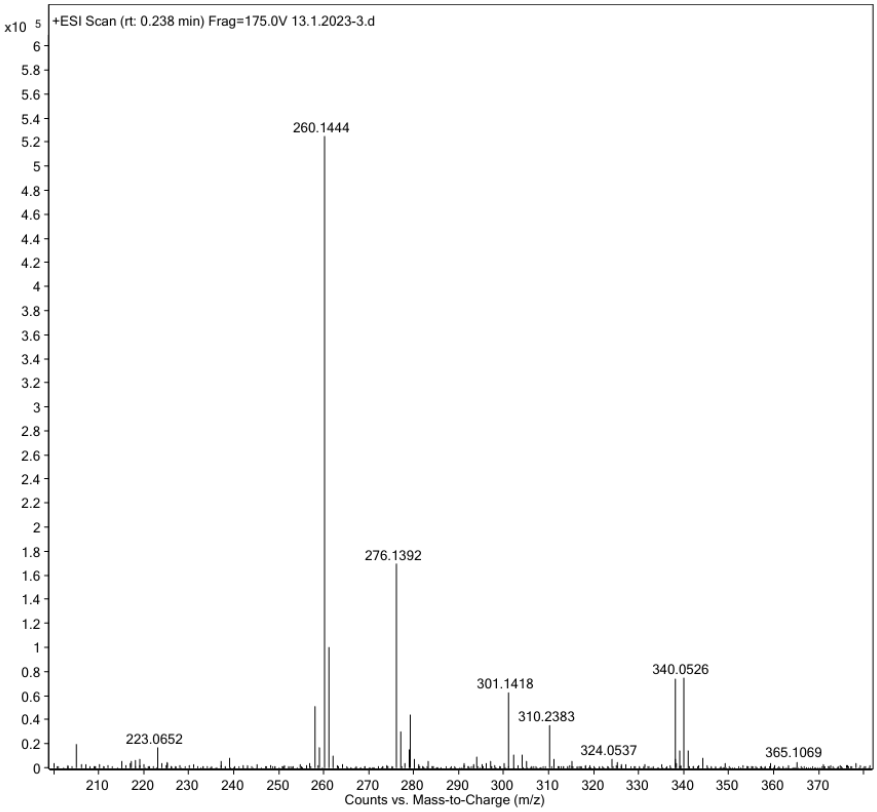


Figure-S23. HR-MS(ESI$^+$) spectrum of compound **2c**

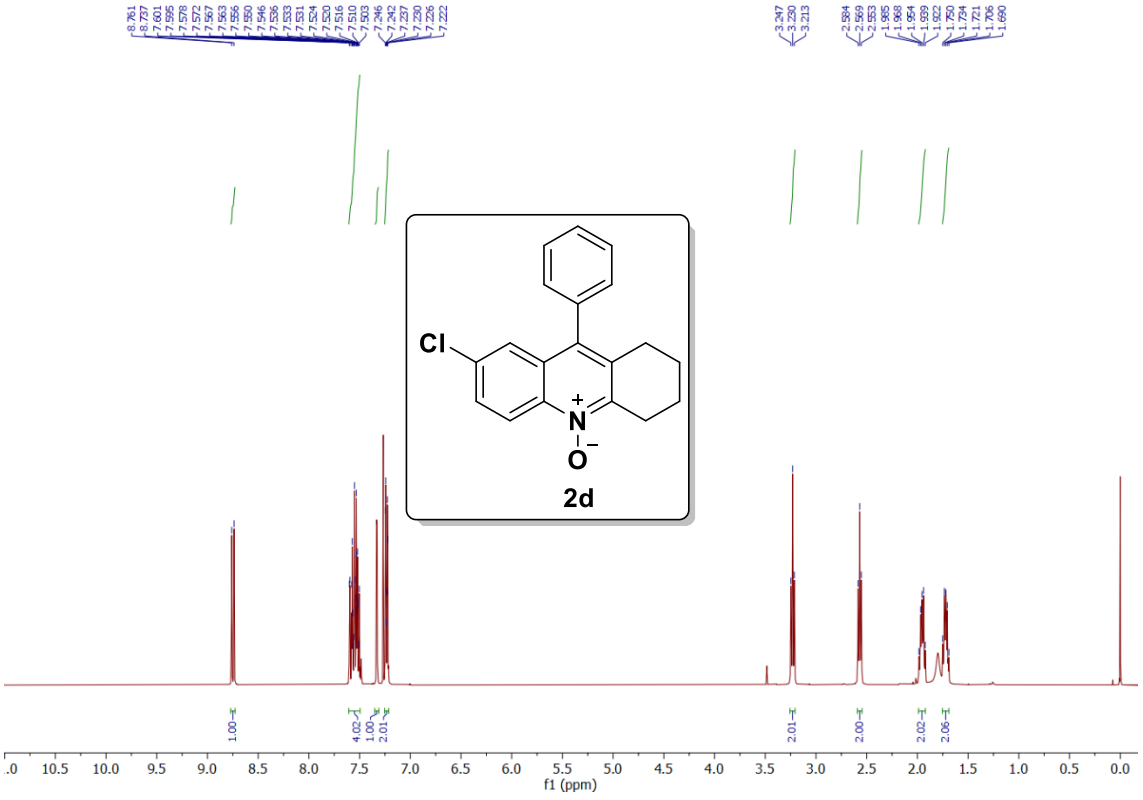


Figure-S24. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2d**

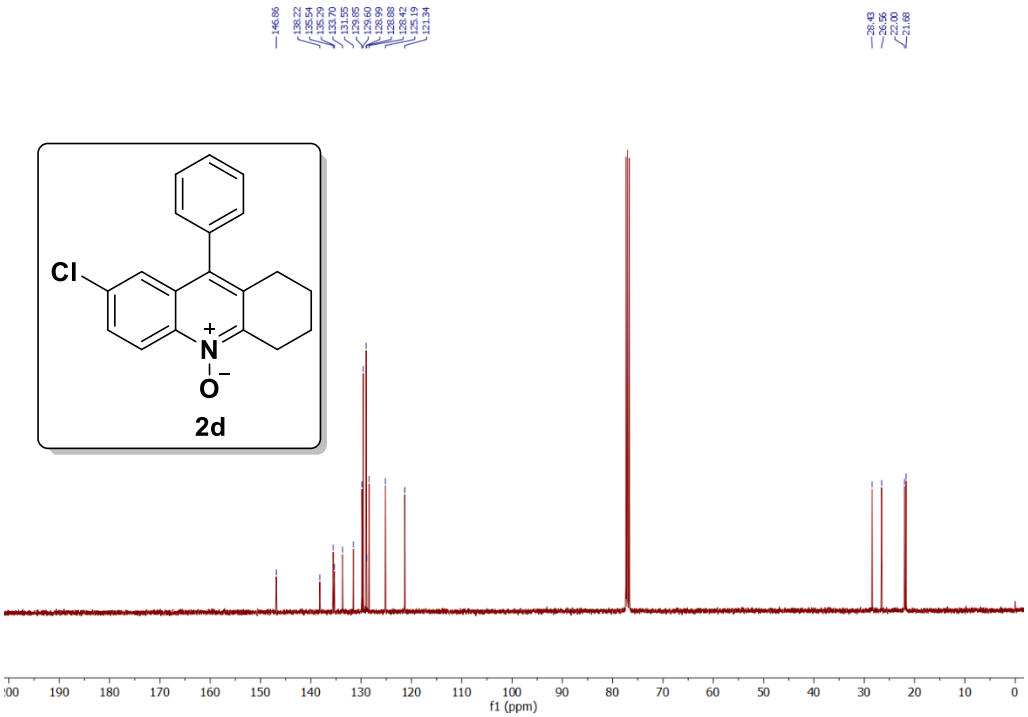


Figure-S25. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2d**

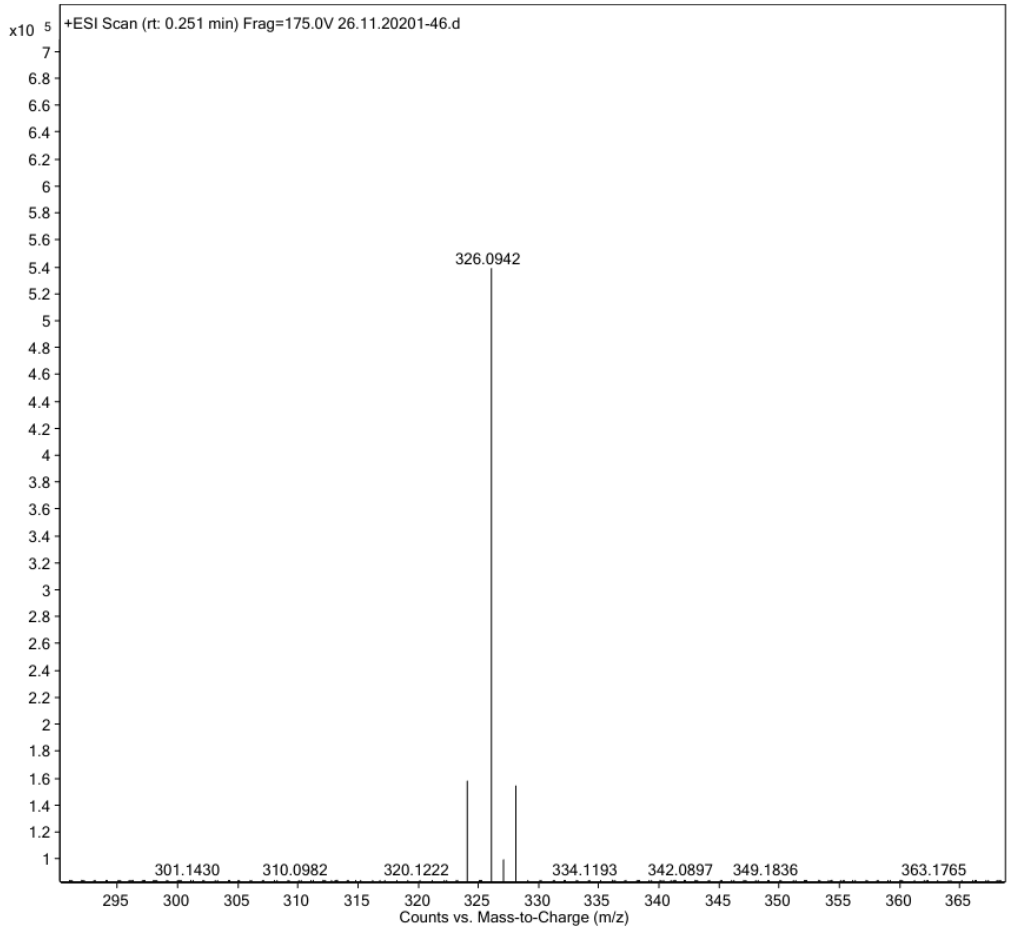


Figure-S26. HR-MS(ESI^{+}) spectrum of compound **2d**

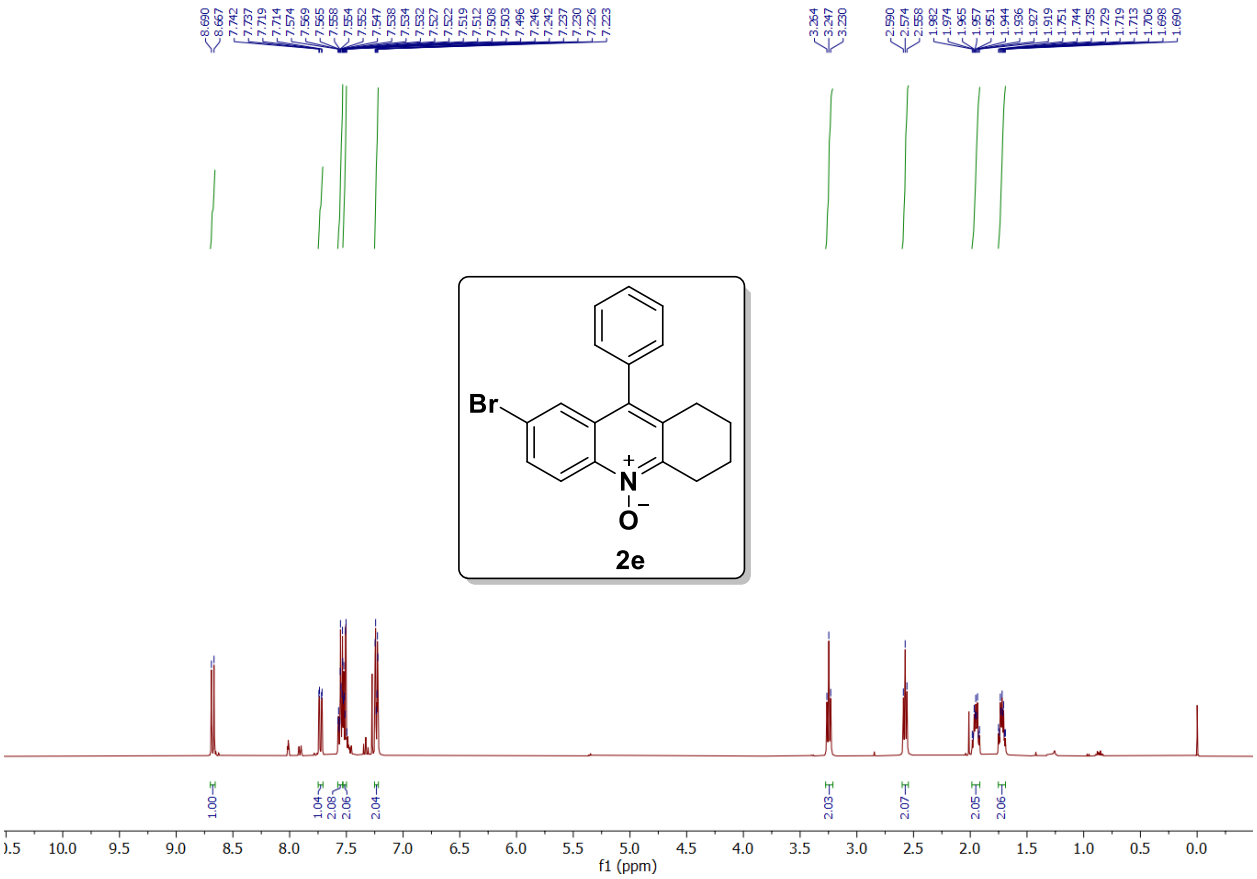


Figure-S27. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2e**

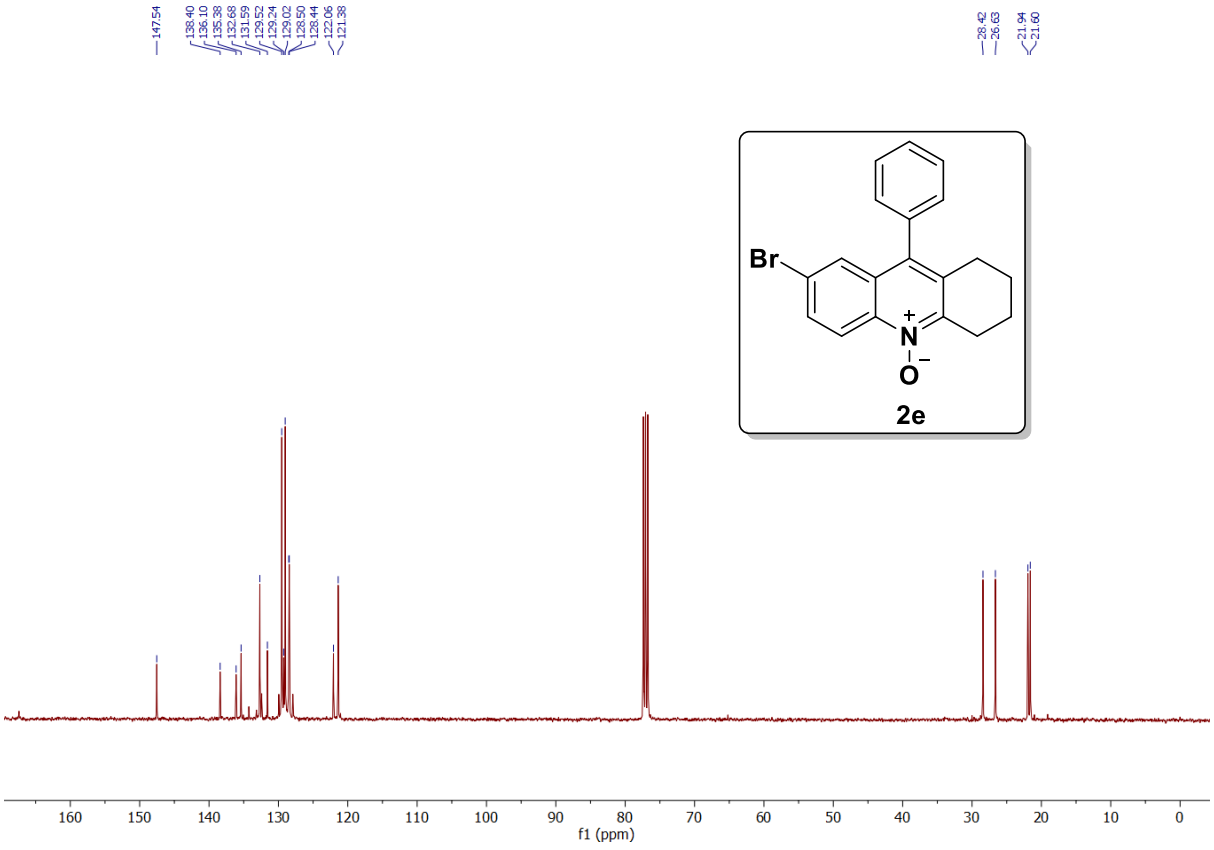


Figure-S28. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2e**

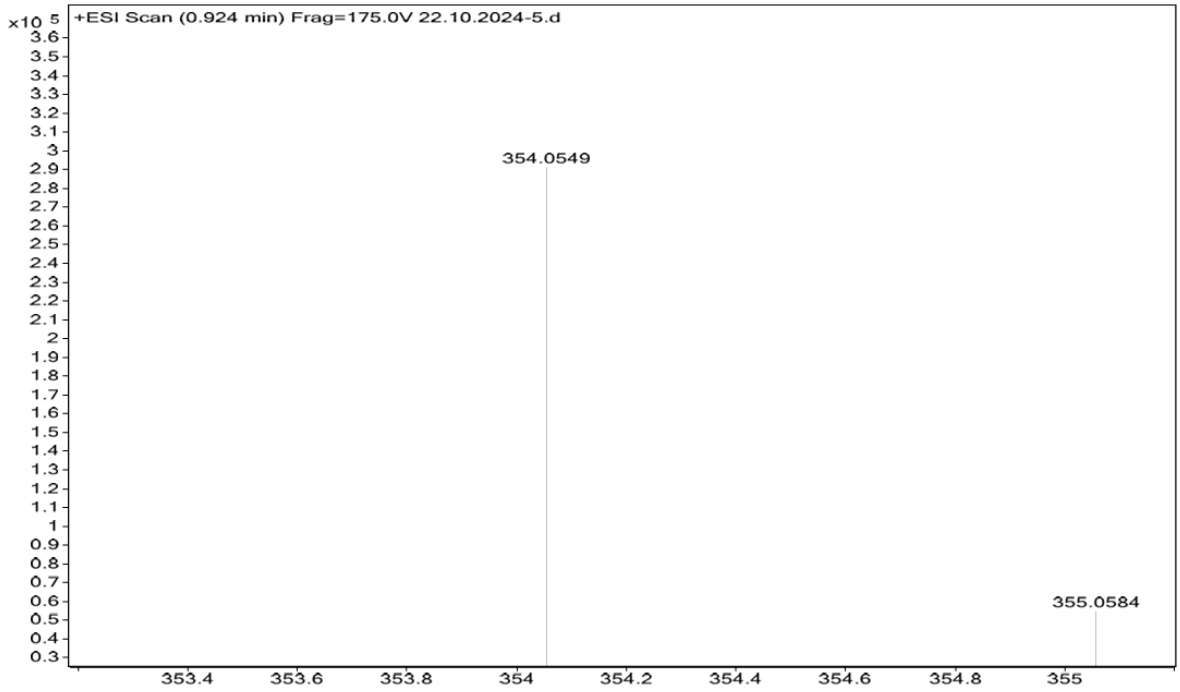


Figure-S29. HR-MS(ESI$^+$) spectrum of compound **2e**

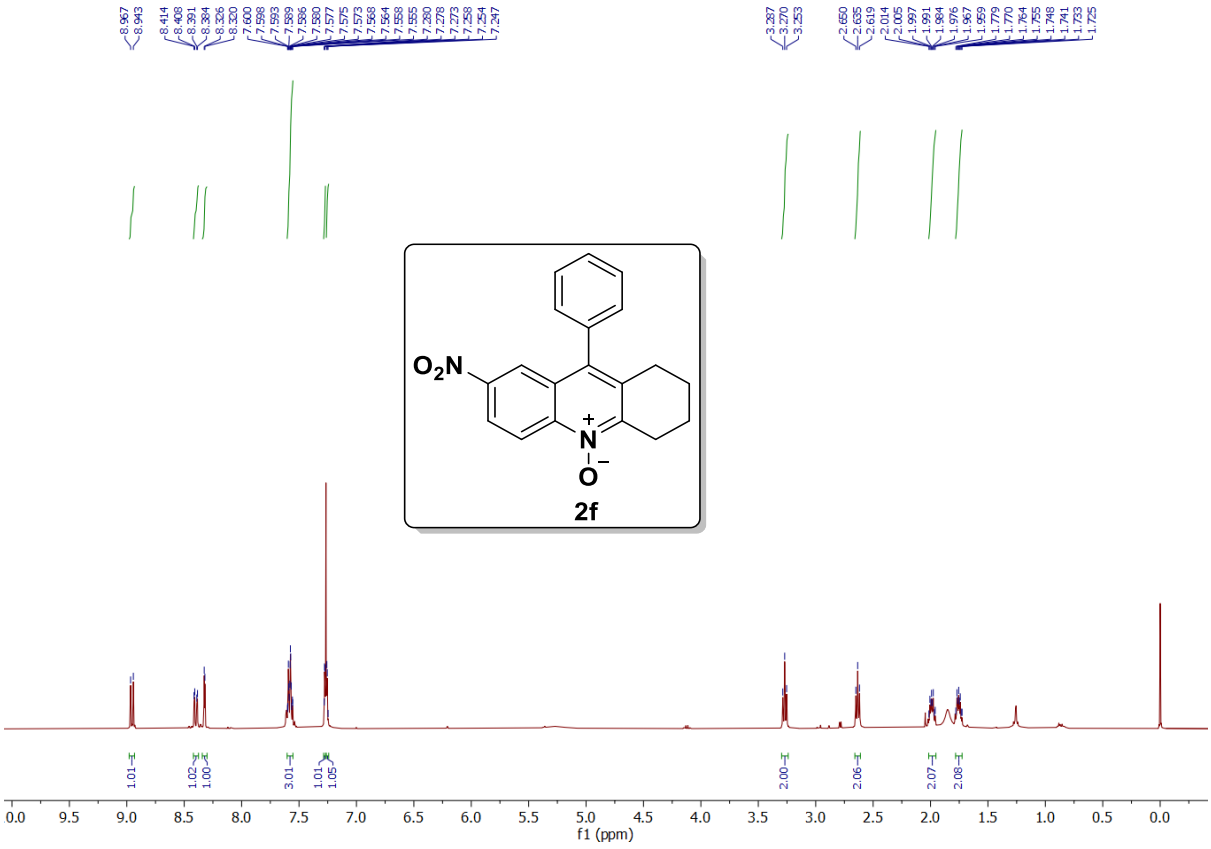


Figure-S30. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2f**

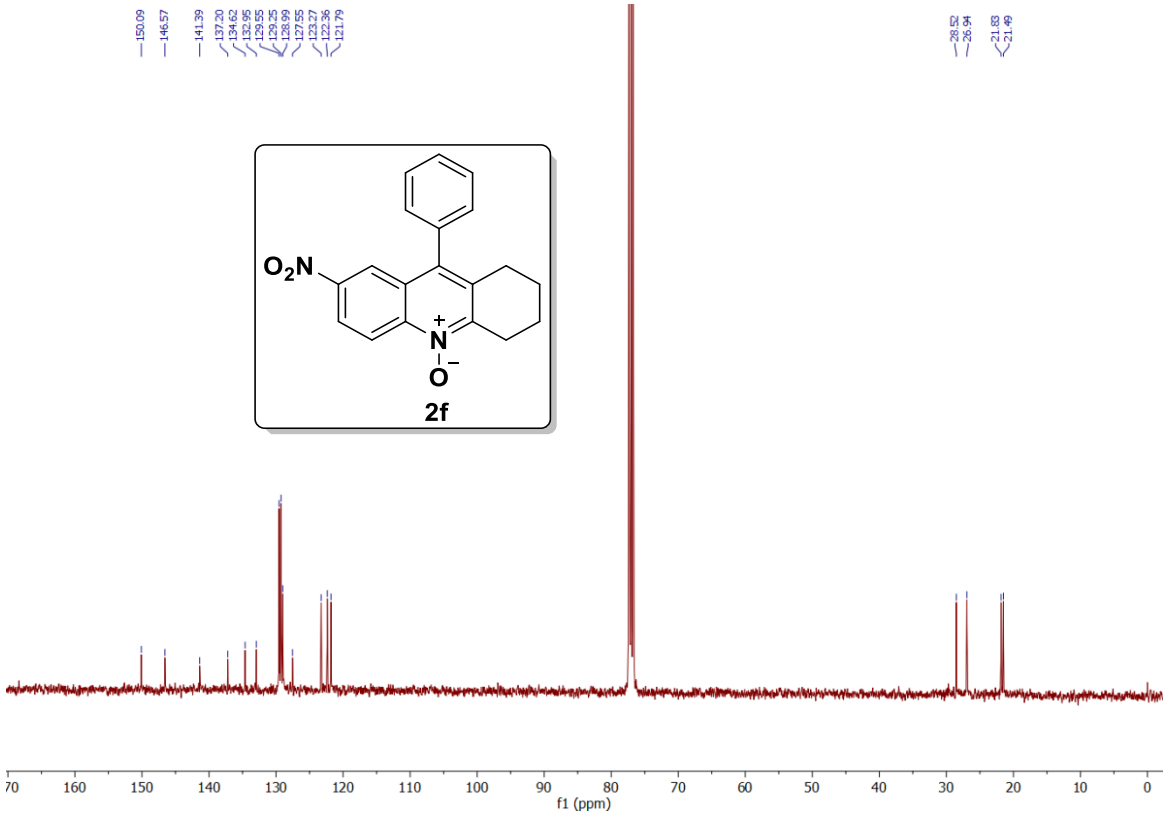


Figure-S31. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2f**

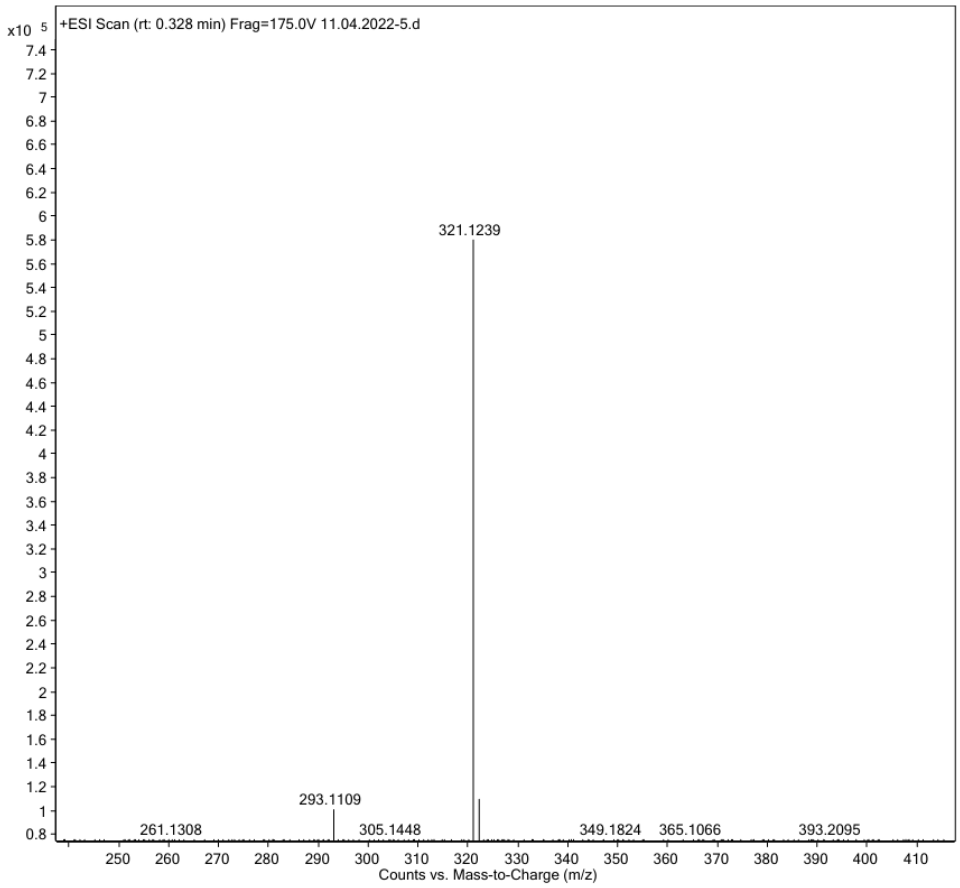


Figure-S32. HR-MS(ESI^+) spectrum of compound **2f**

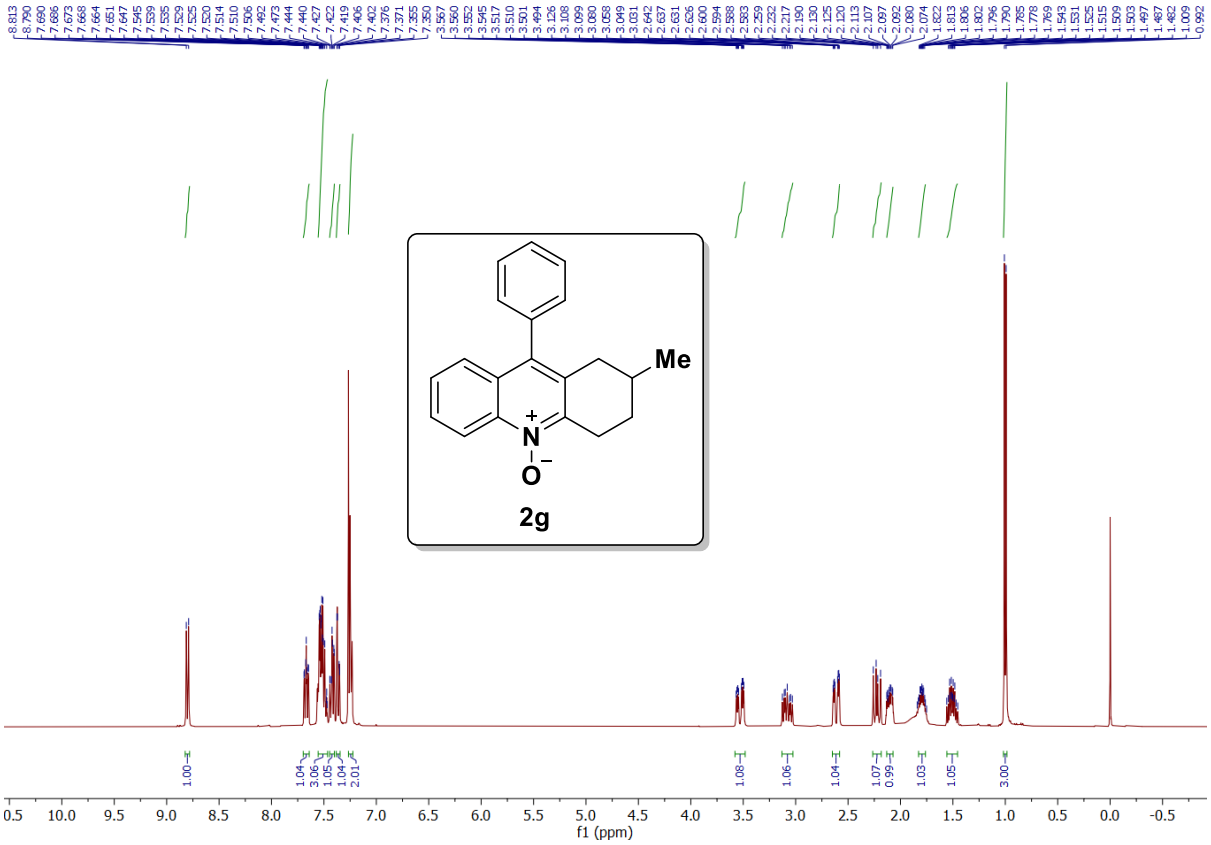


Figure-S33. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2g**

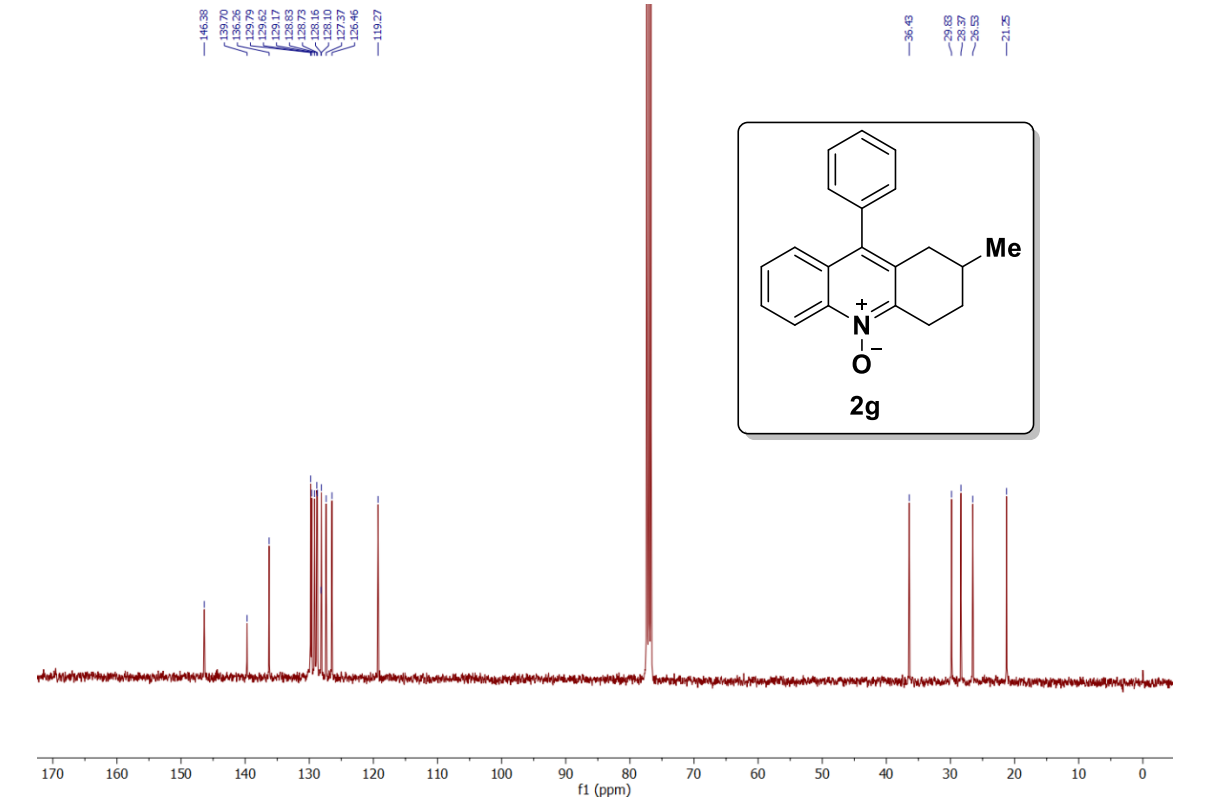


Figure-S34. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2g**

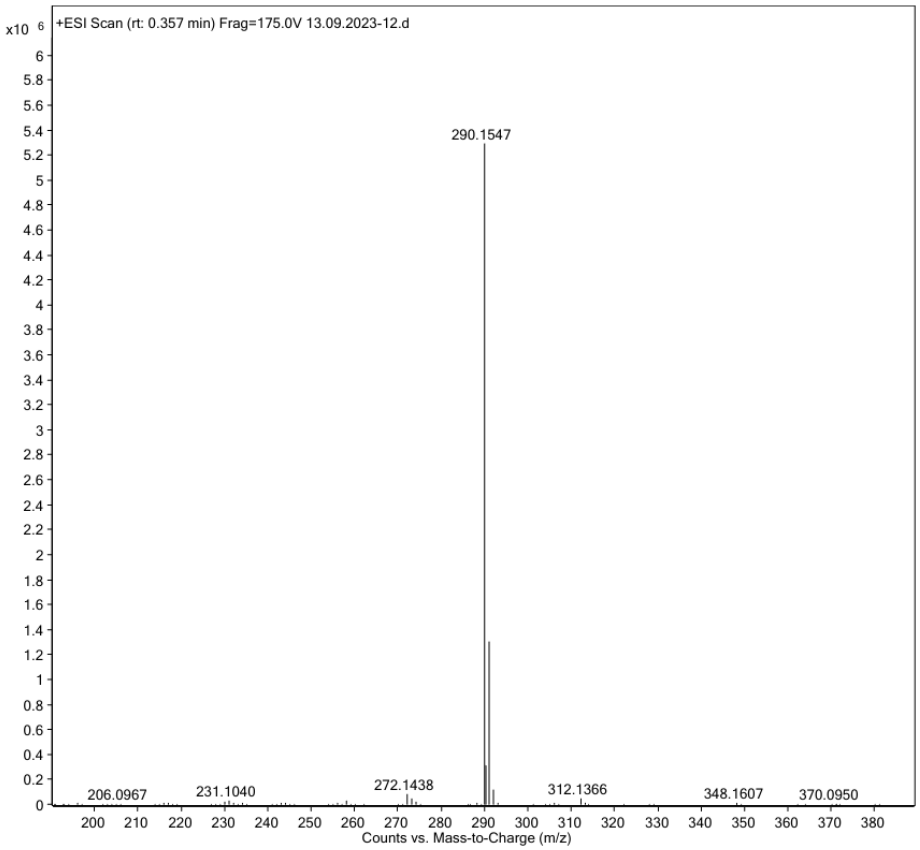


Figure-S35. HR-MS(ESI$^+$) spectrum of compound **2g**

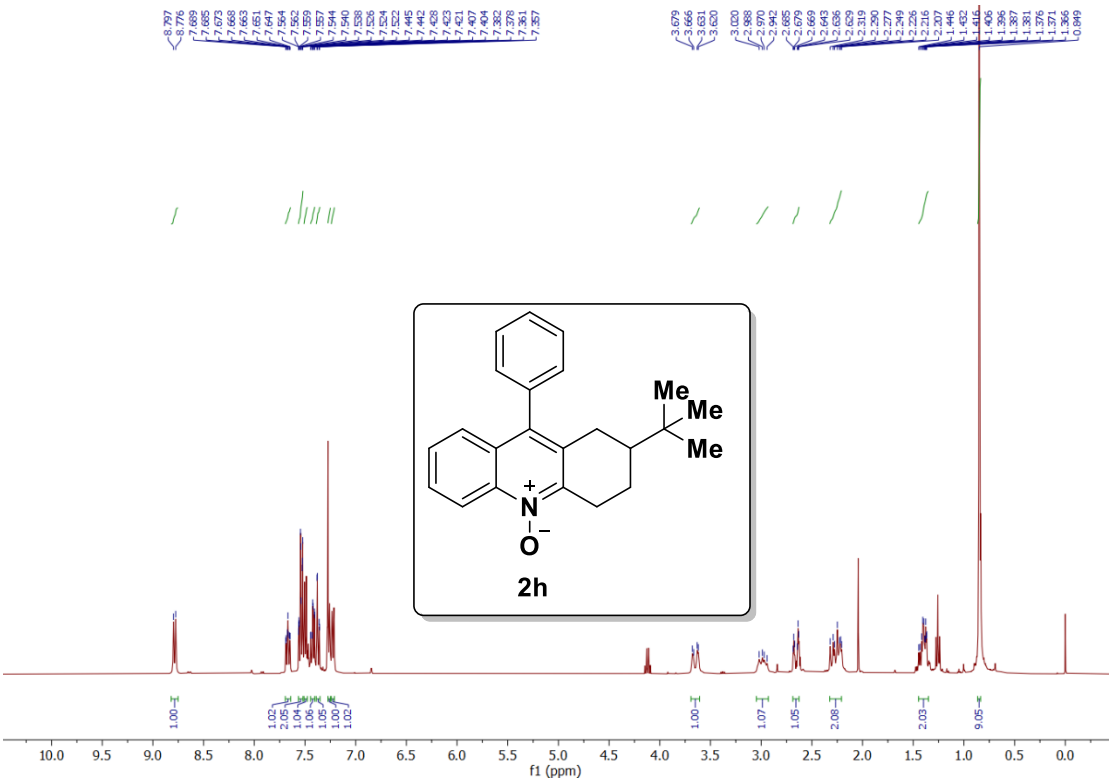


Figure-S36. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2h**

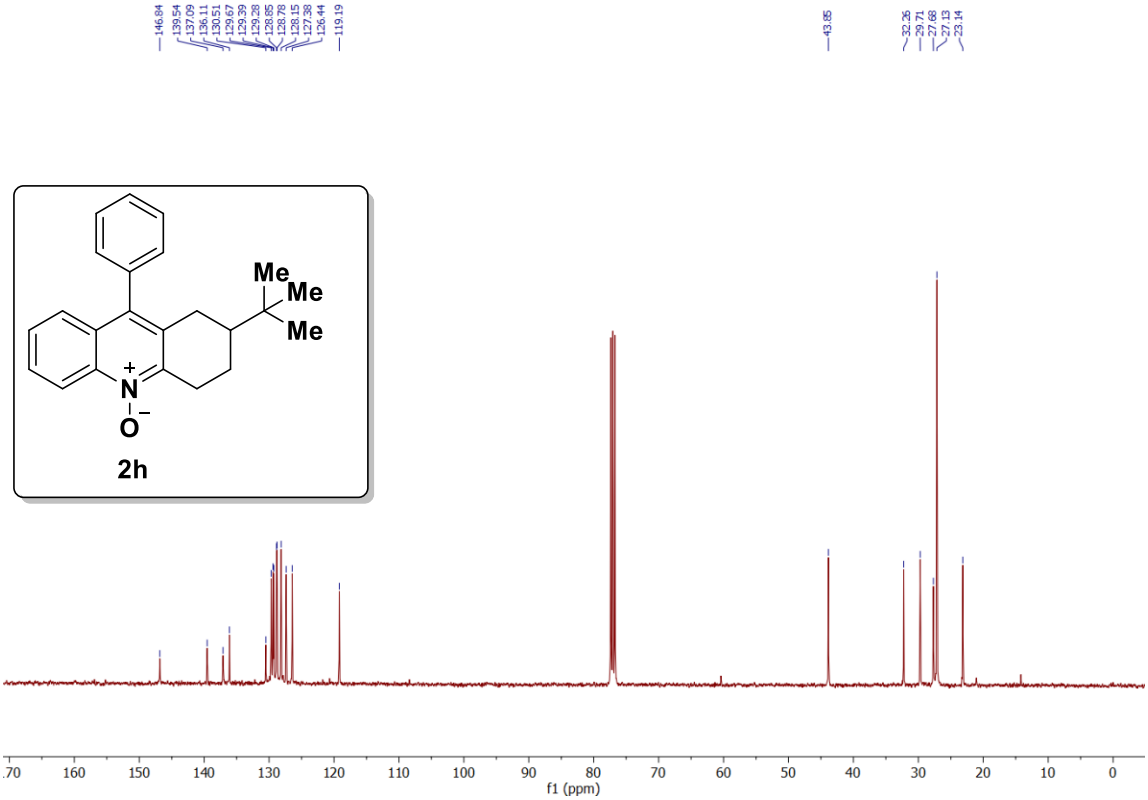


Figure-S37. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2h**

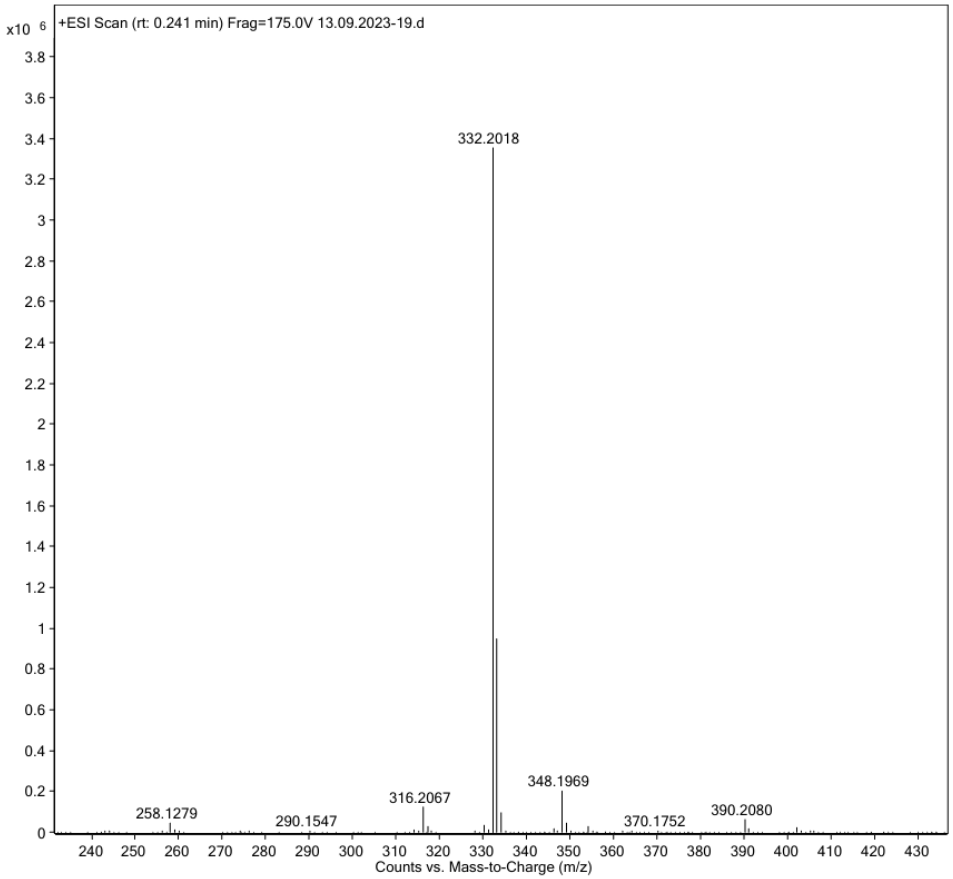


Figure-S38. HR-MS(ESI^{+}) spectrum of compound **2h**

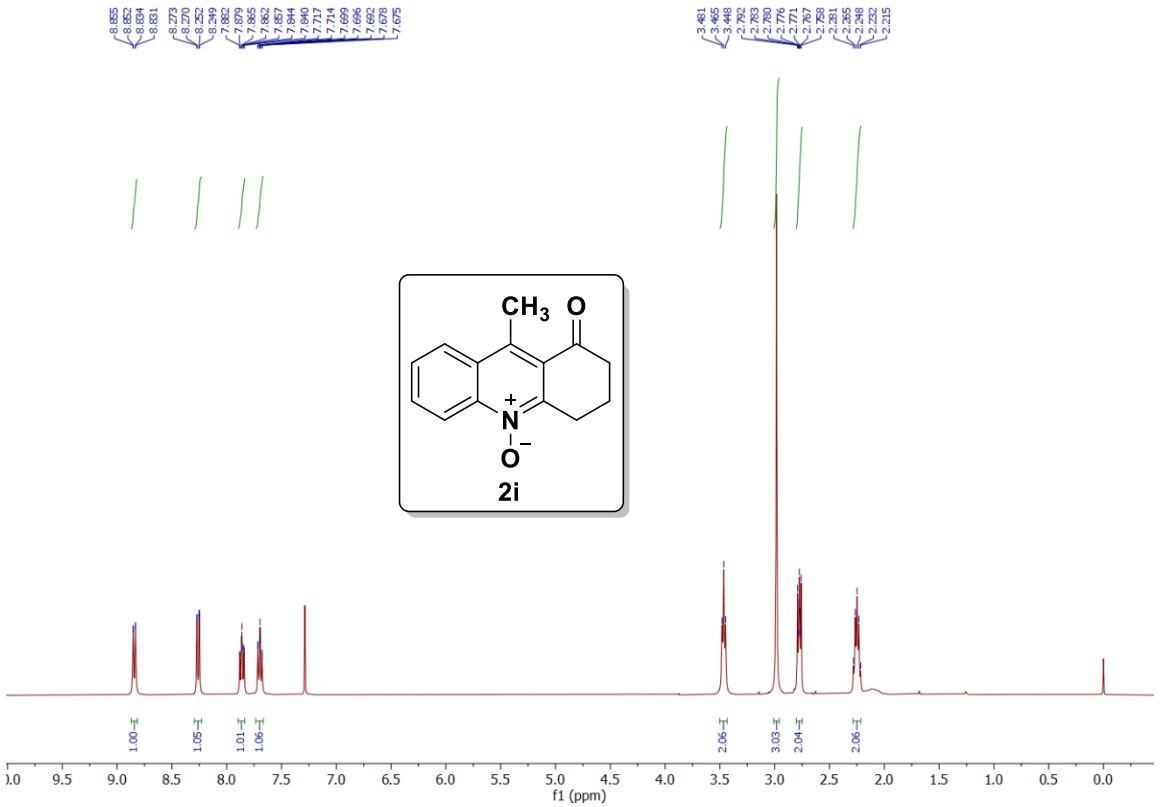


Figure-S39. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2i**

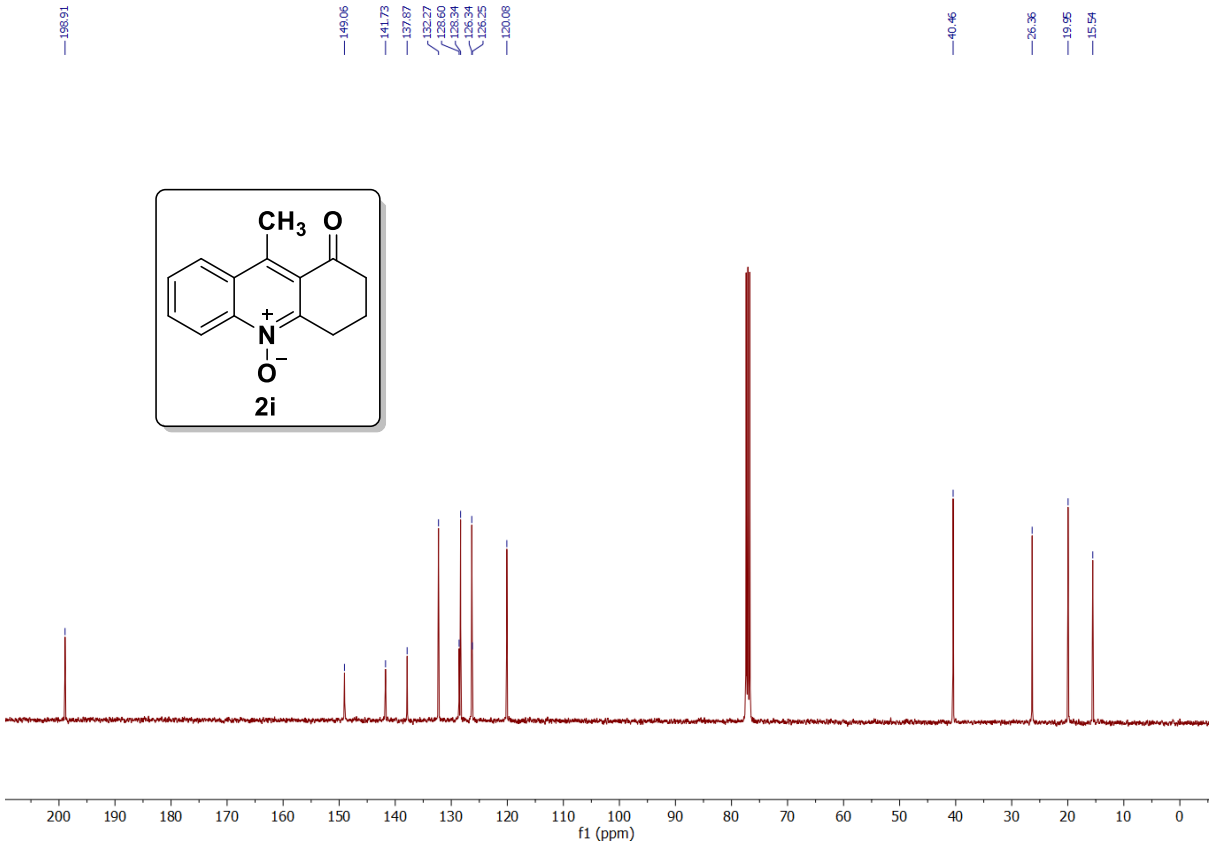


Figure-S40. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2i**

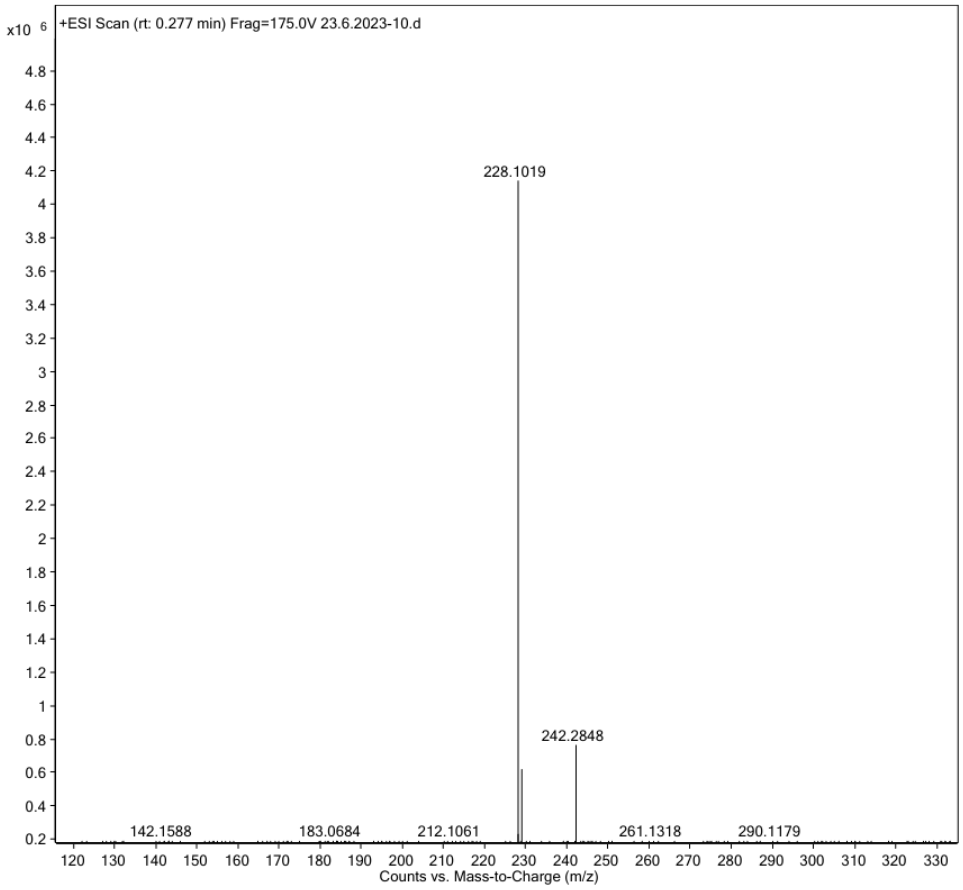


Figure-S41. HR-MS(ESI$^+$) spectrum of compound **2i**

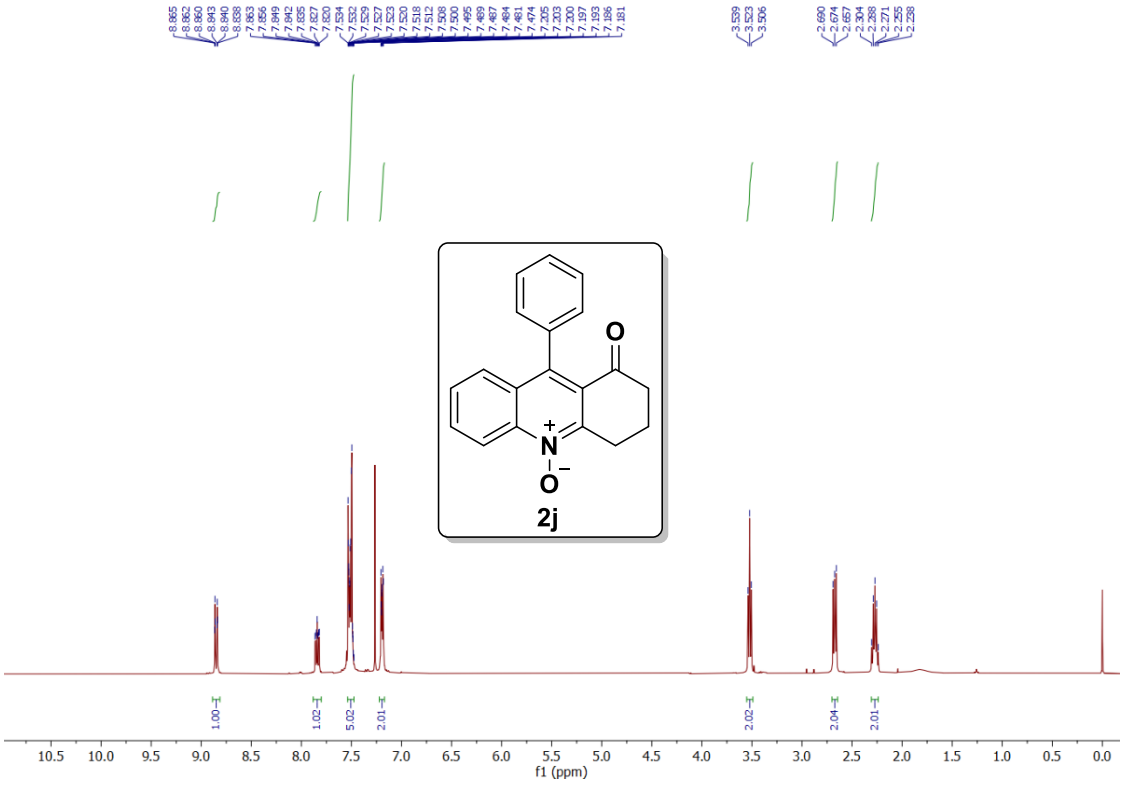


Figure-S42. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2j**

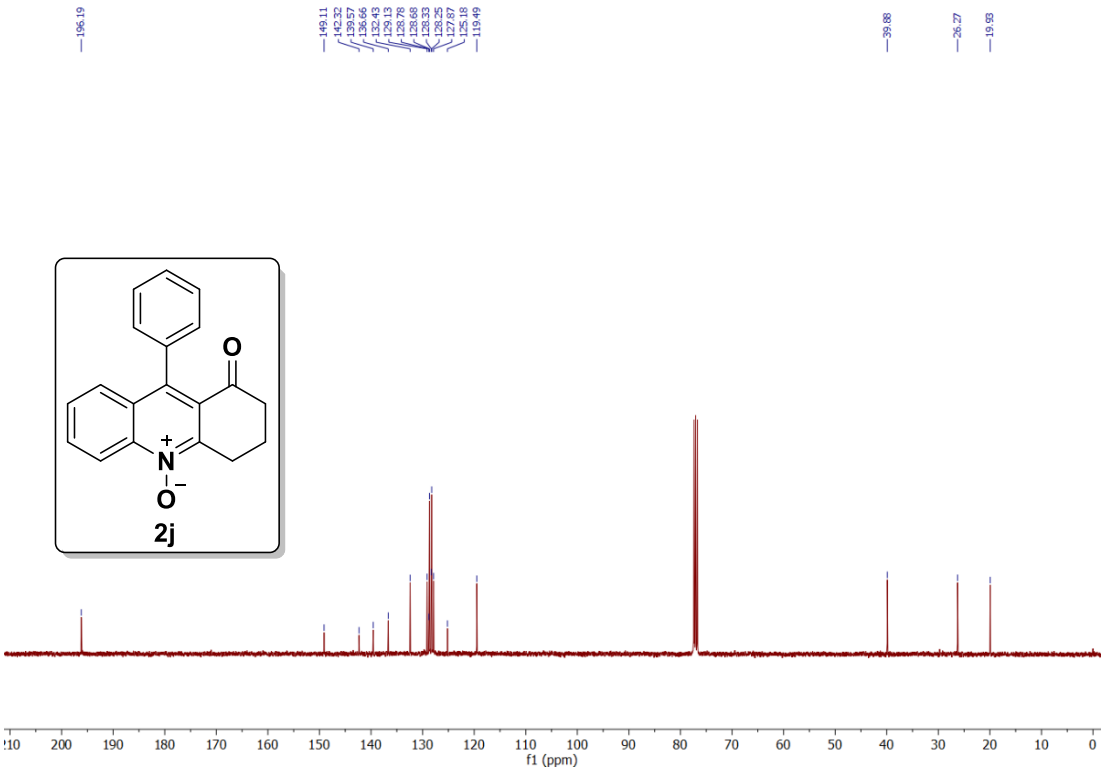


Figure-S43. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2j**

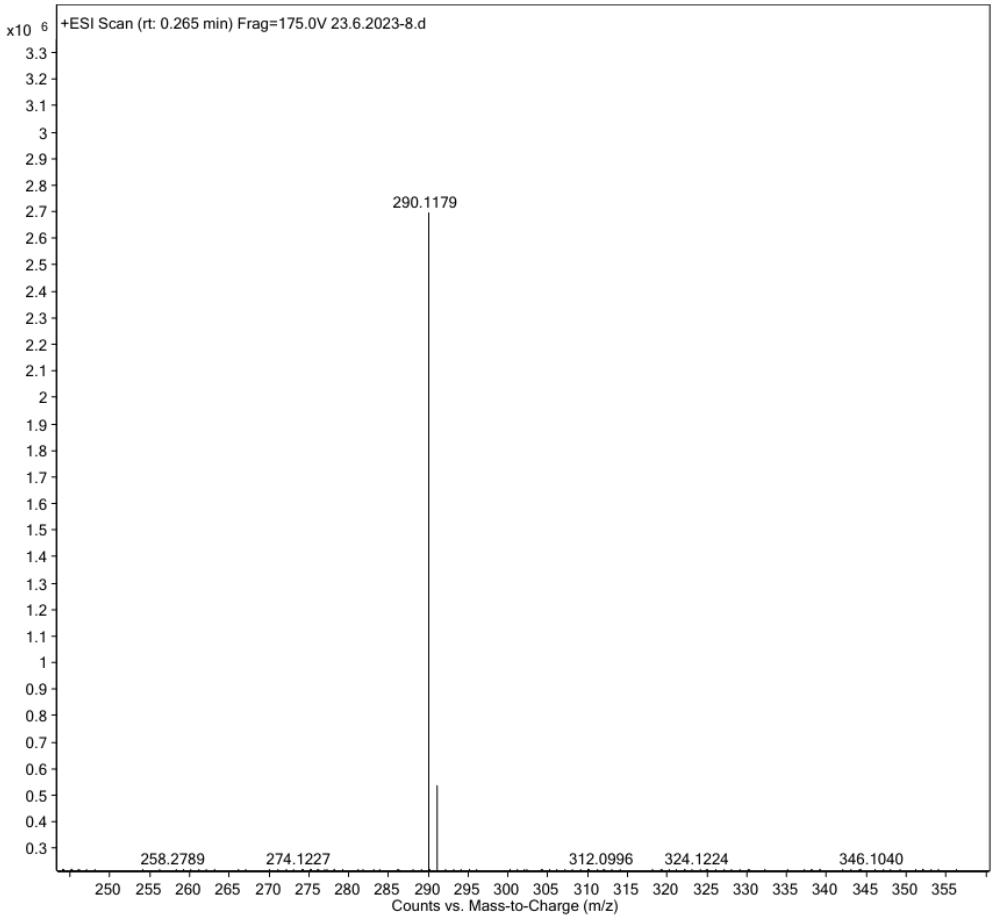


Figure-S44. HR-MS(ESI^+) spectrum of compound **2j**

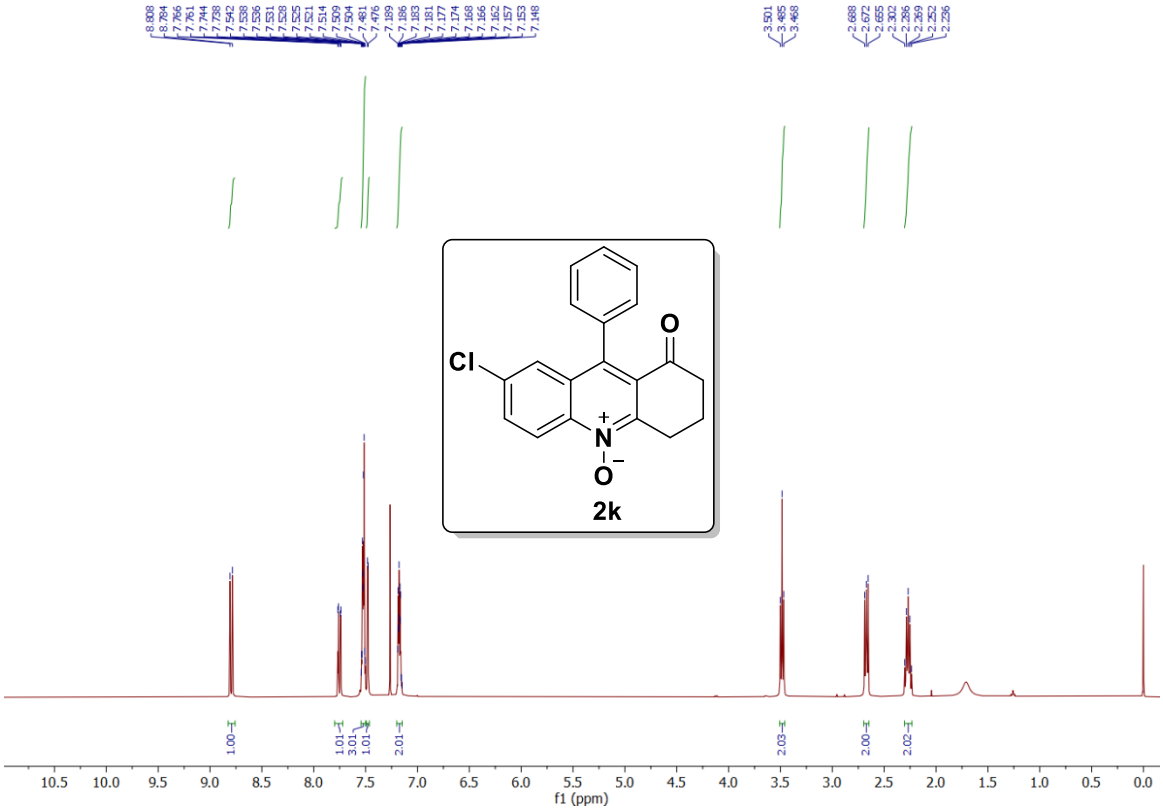


Figure-S45. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2k**

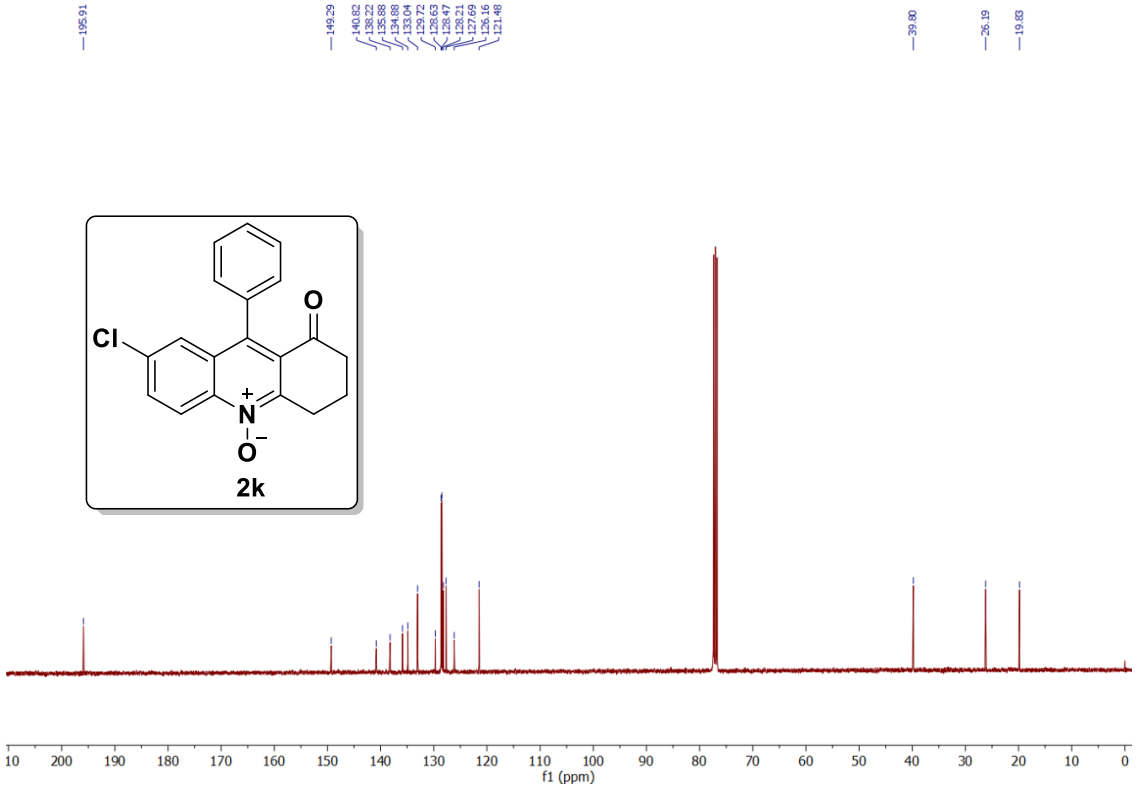


Figure-S46. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2k**

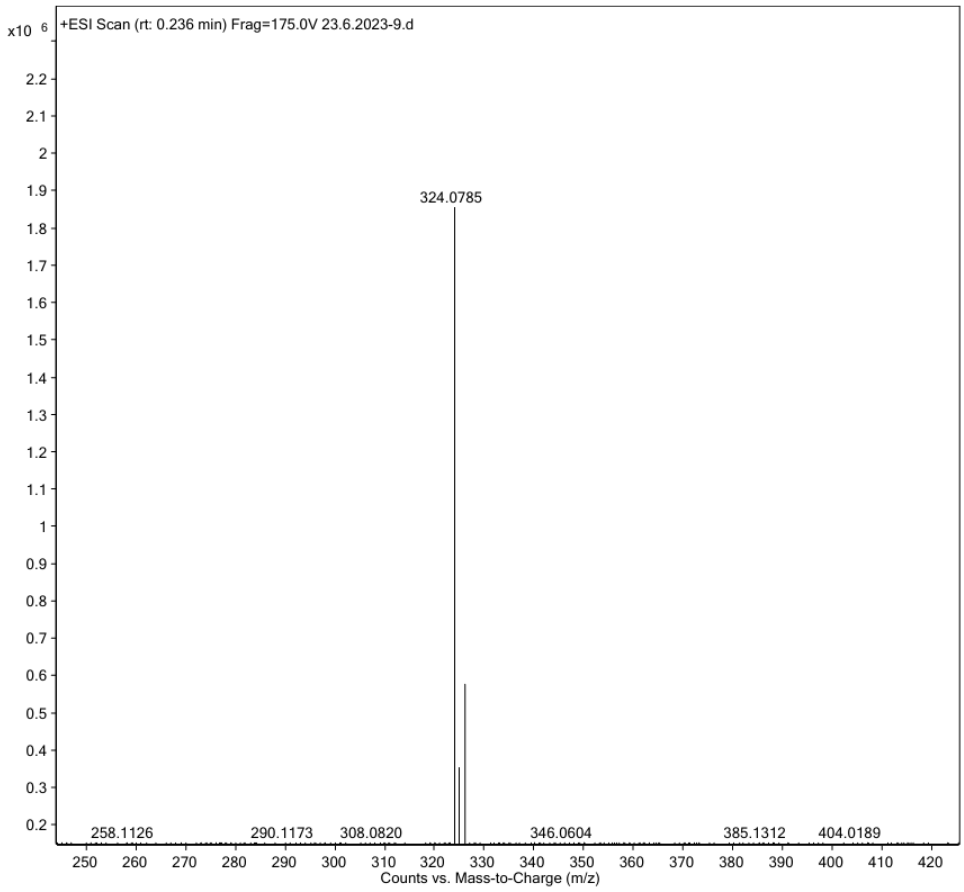


Figure-S47. HR-MS(ESI^{+}) spectrum of compound **2k**

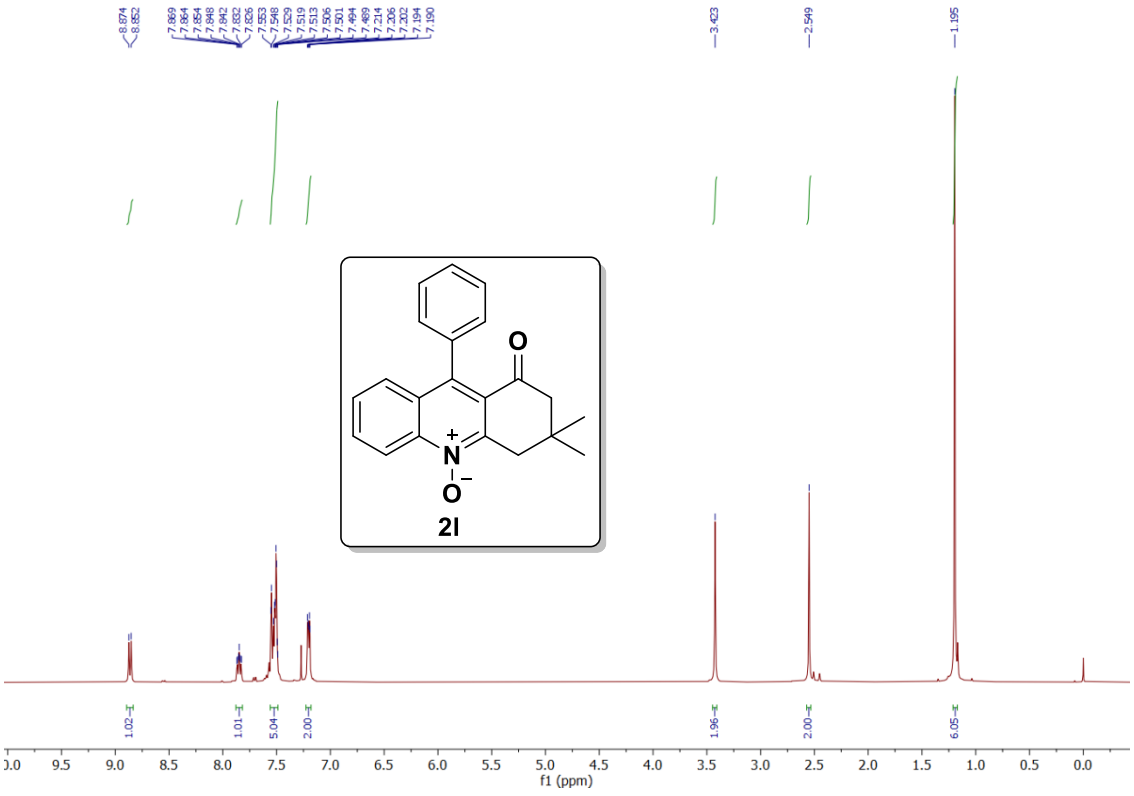


Figure-S48. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2l**

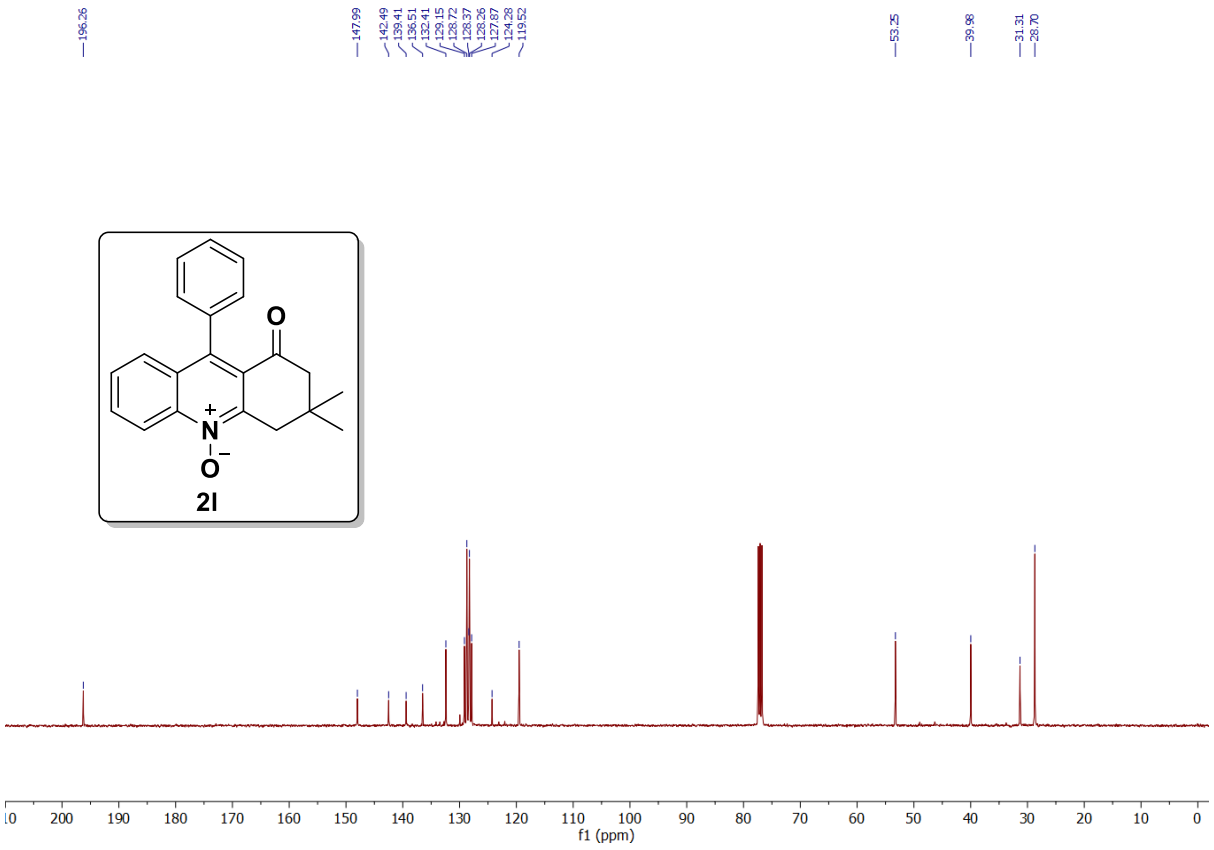


Figure-S49. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2l**

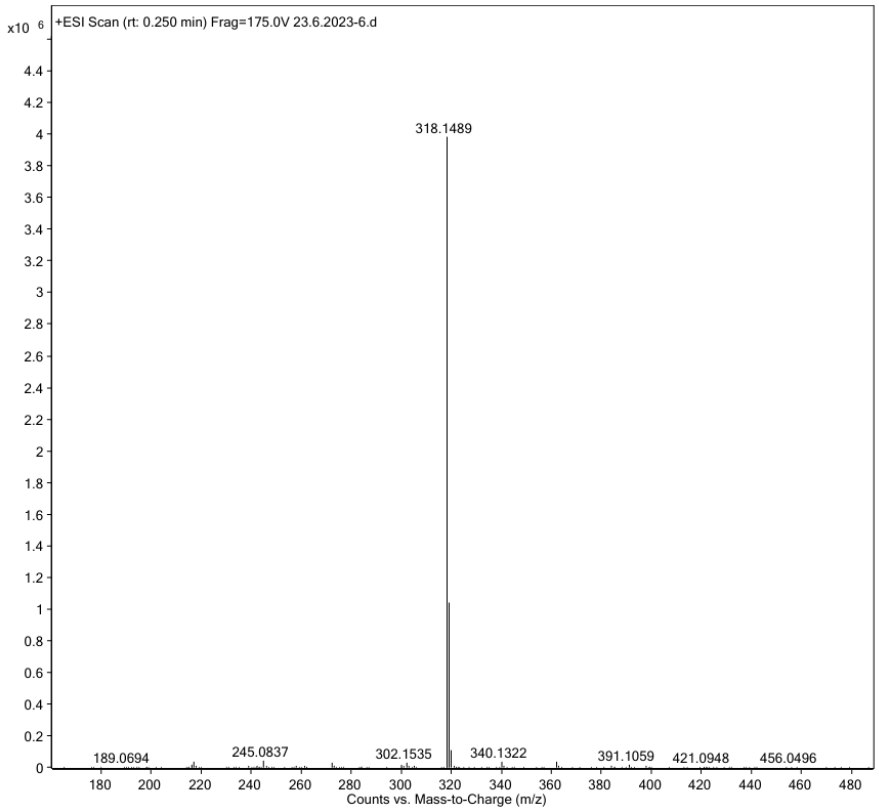


Figure-S50. HR-MS(ESI^+) spectrum of compound **2l**

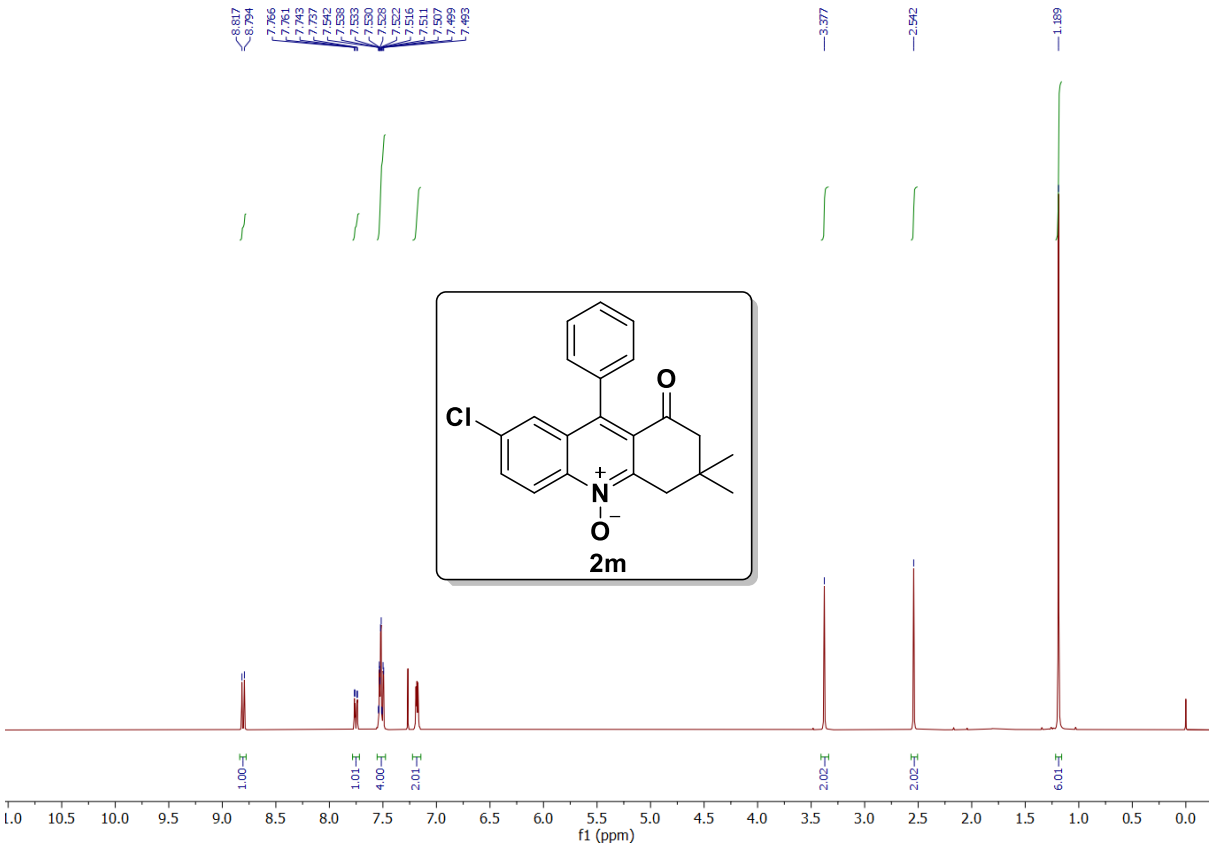


Figure-S51. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2m**

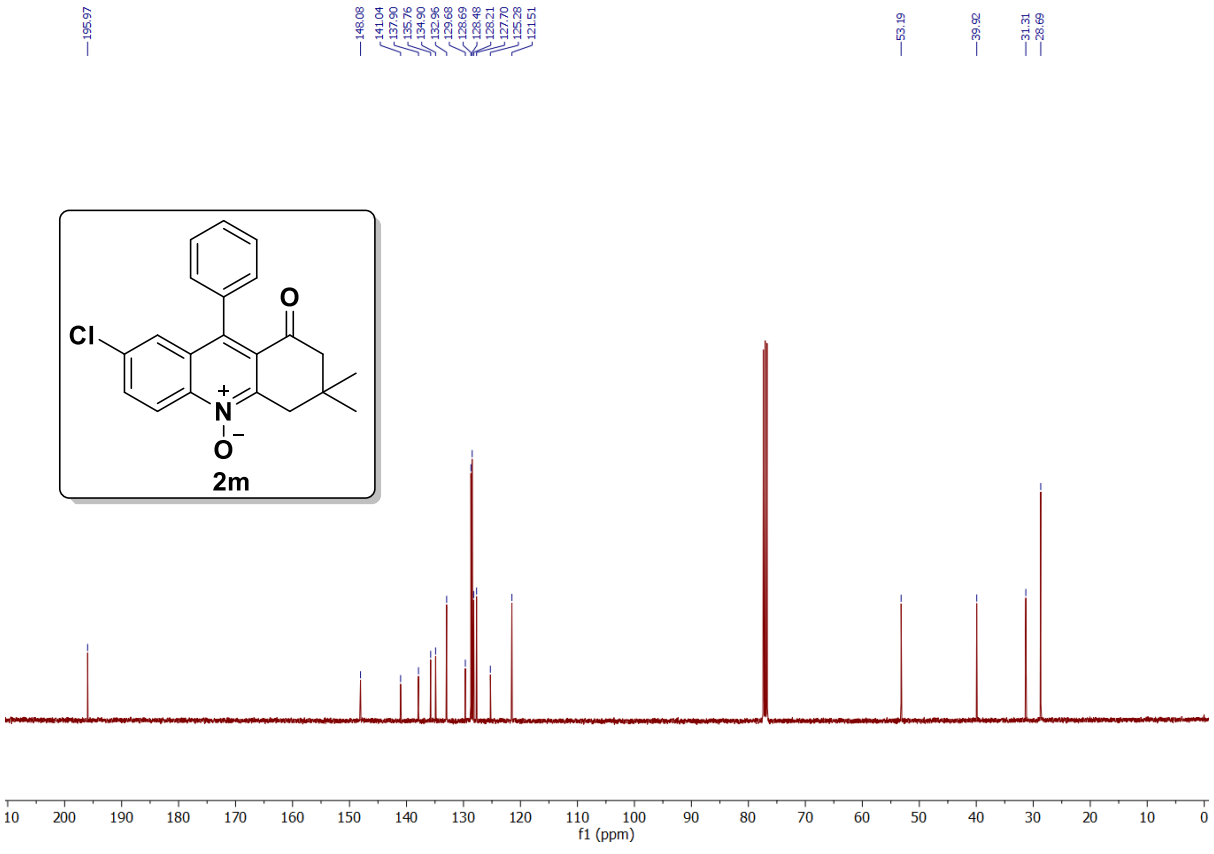


Figure-S52. ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectrum of compound **2m**

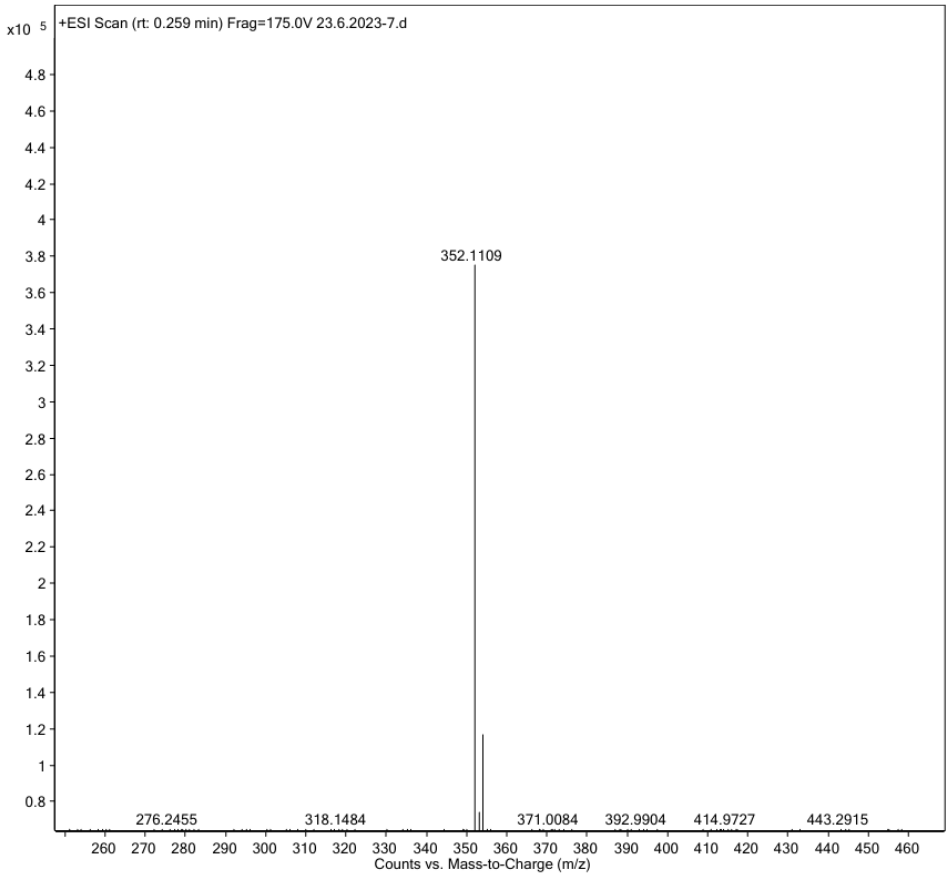


Figure-S53. HR-MS(ESI$^+$) spectrum of compound **2m**

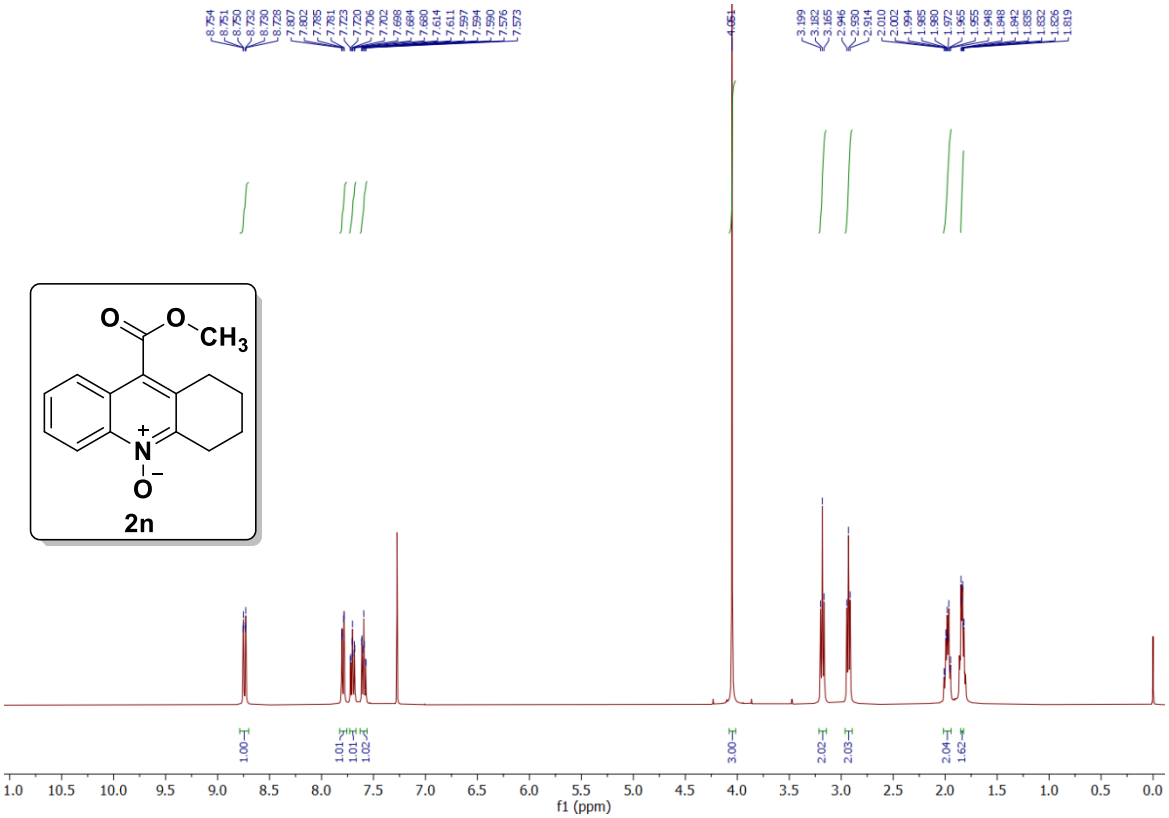


Figure-S54. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2n**

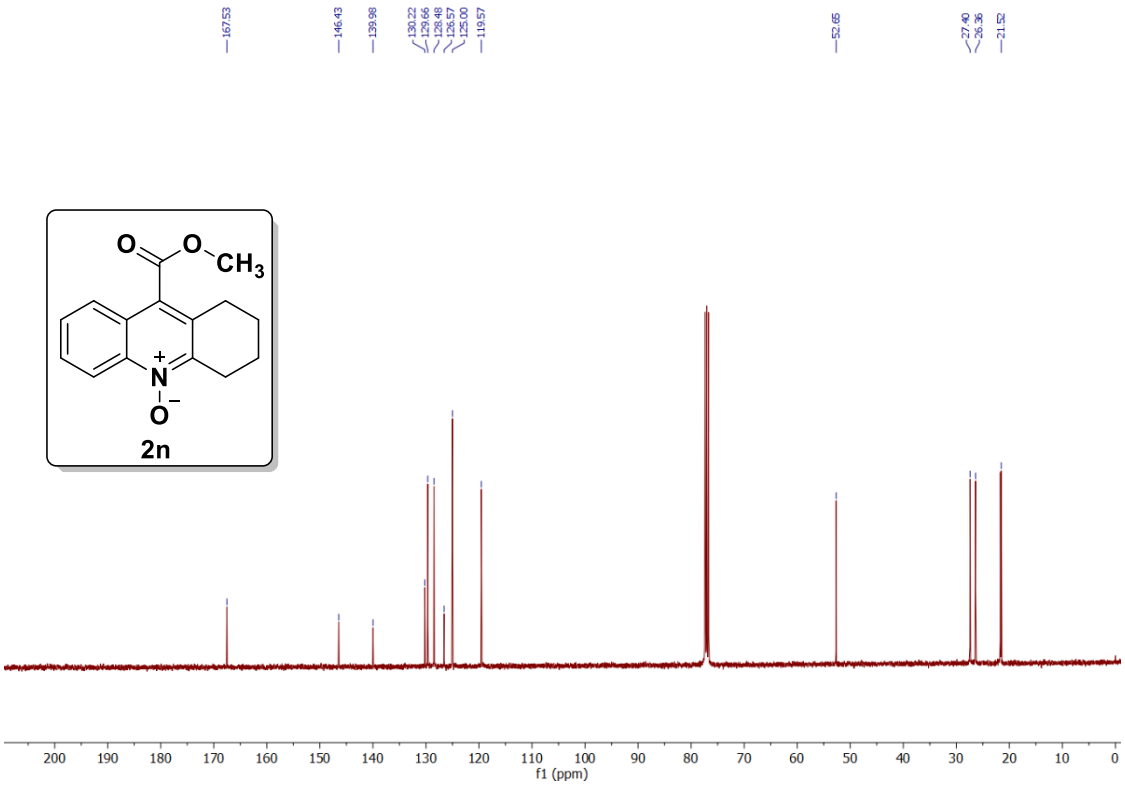


Figure-S55. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2n**

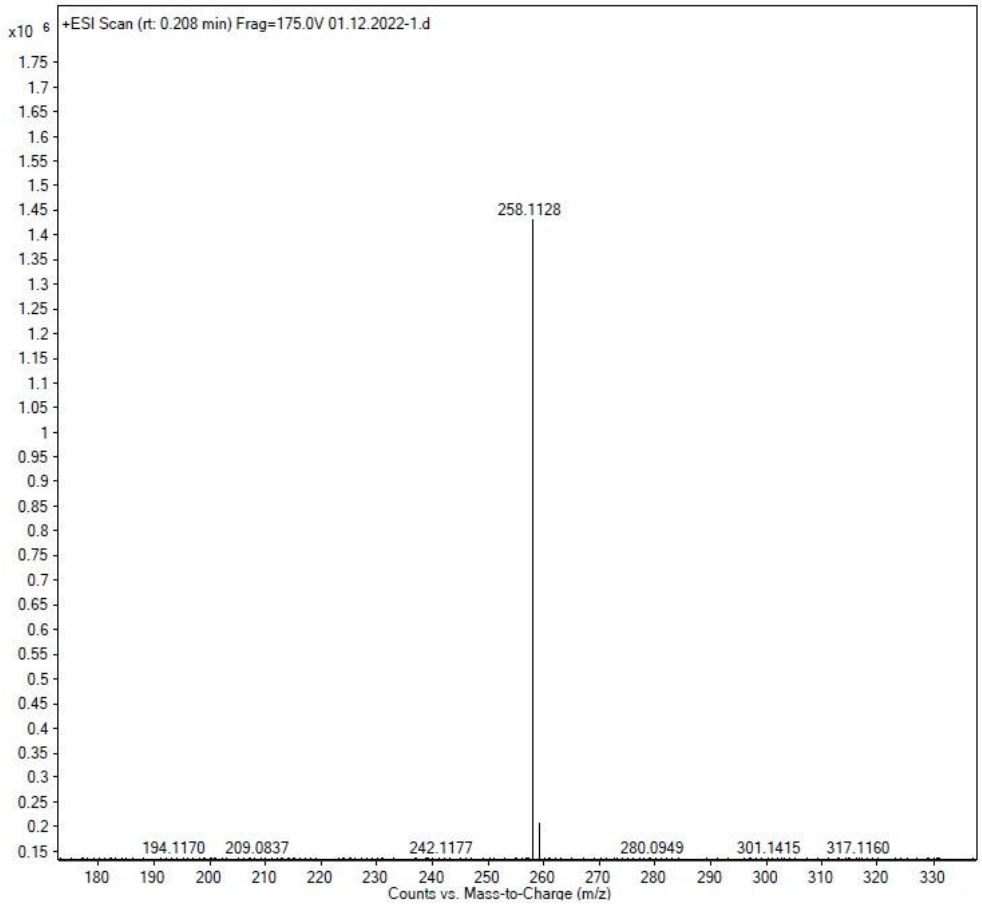


Figure-S56. HR-MS(ESI^+) spectrum of compound **2n**

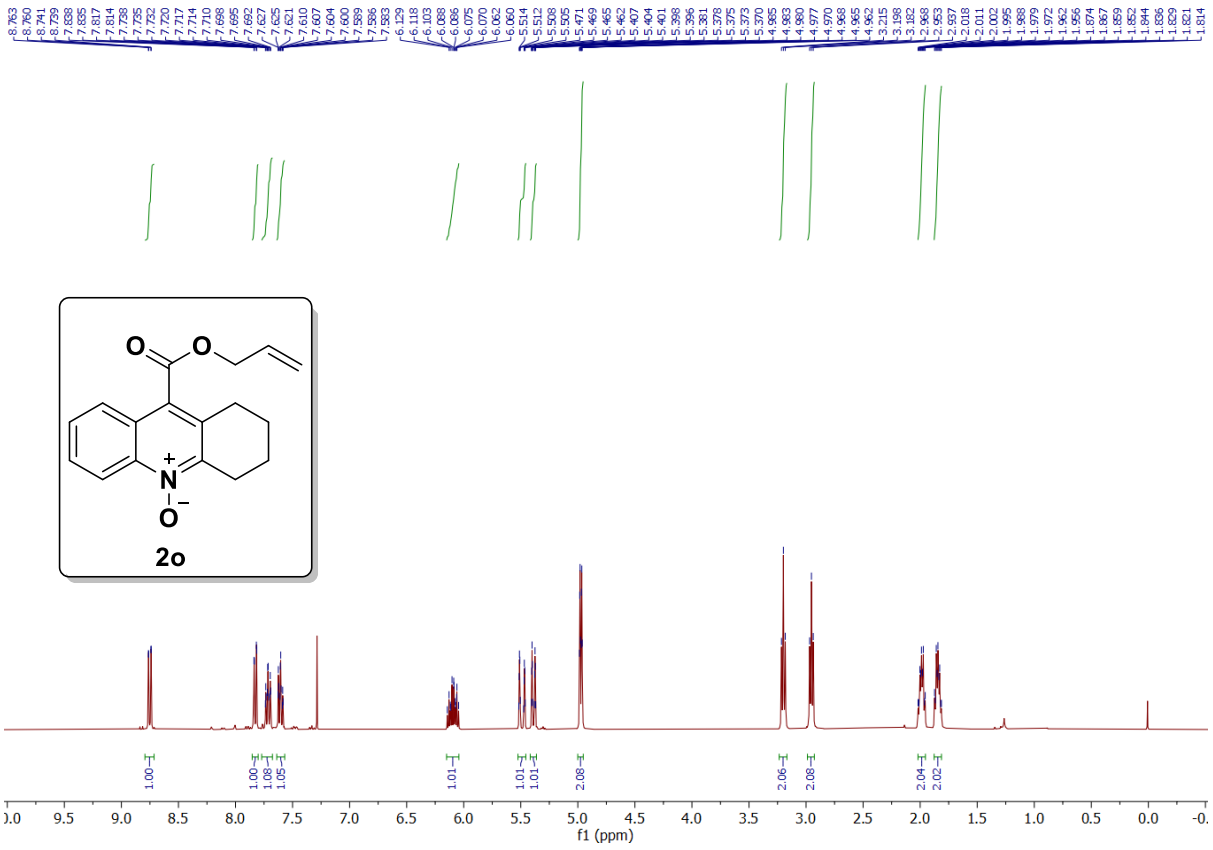


Figure-S57. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2n**

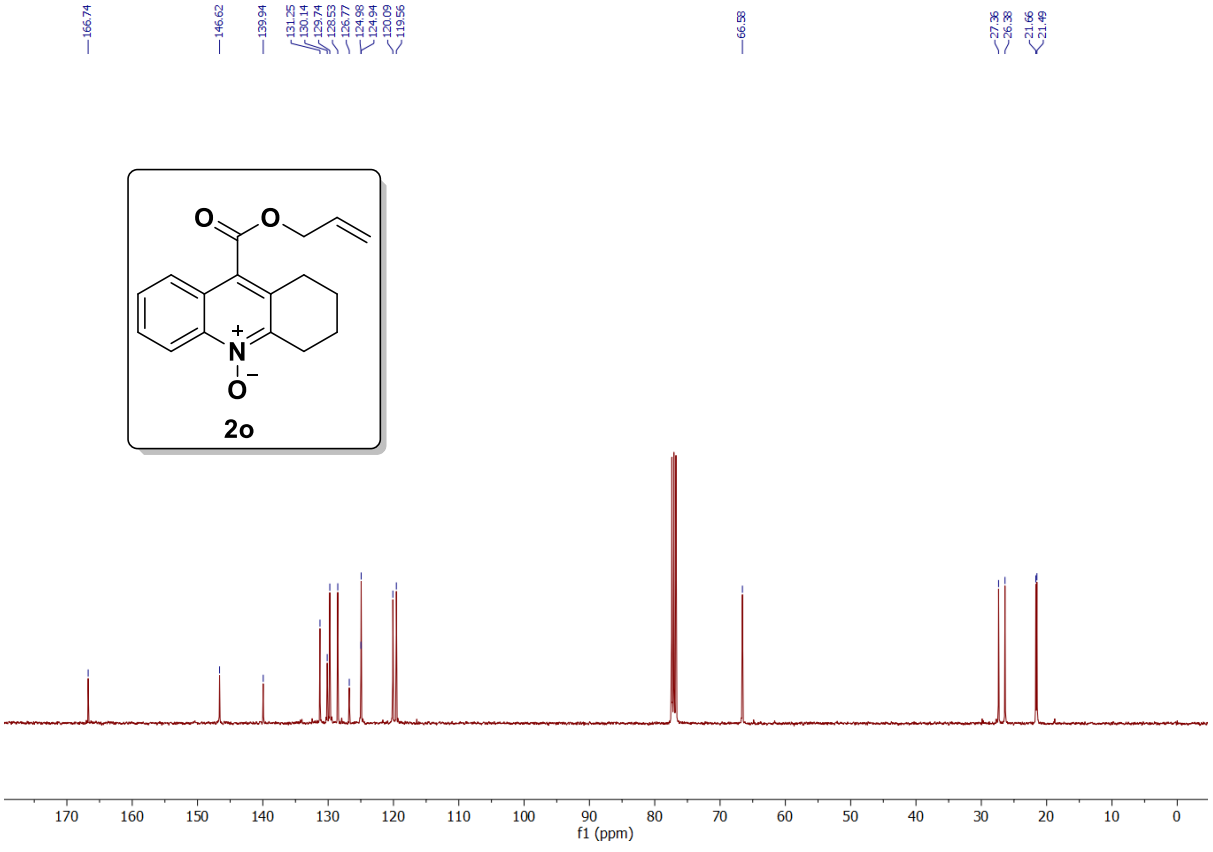


Figure-S58. ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectrum of compound **2n**

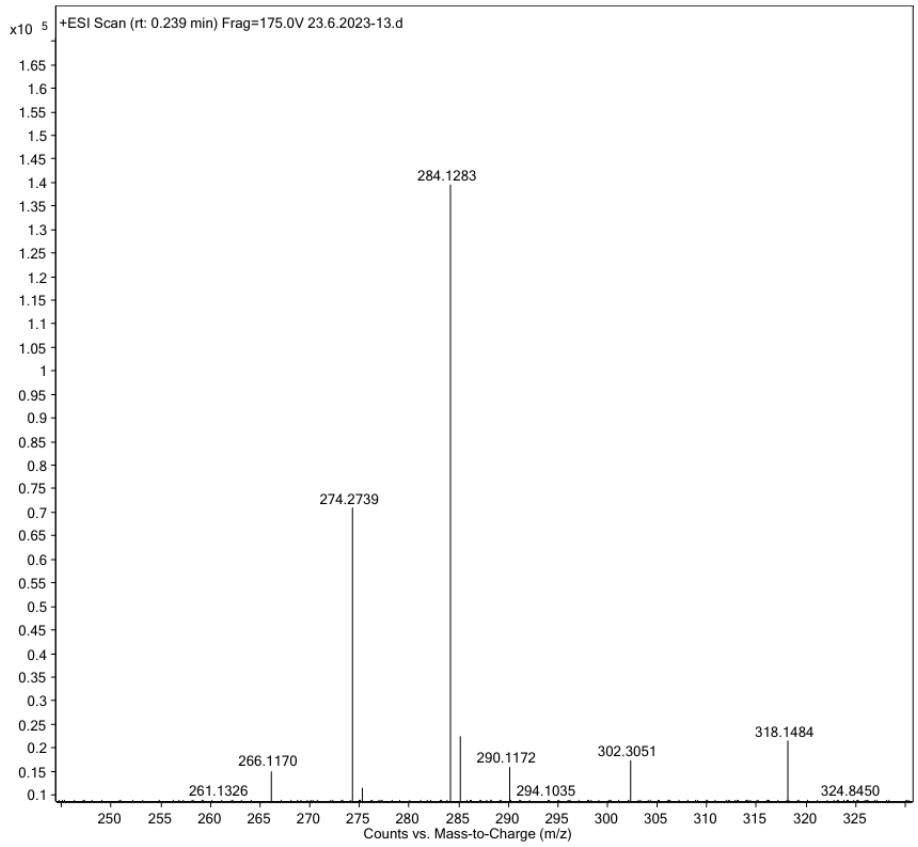


Figure-S59. HR-MS(ESI$^+$) spectrum of compound **2o**

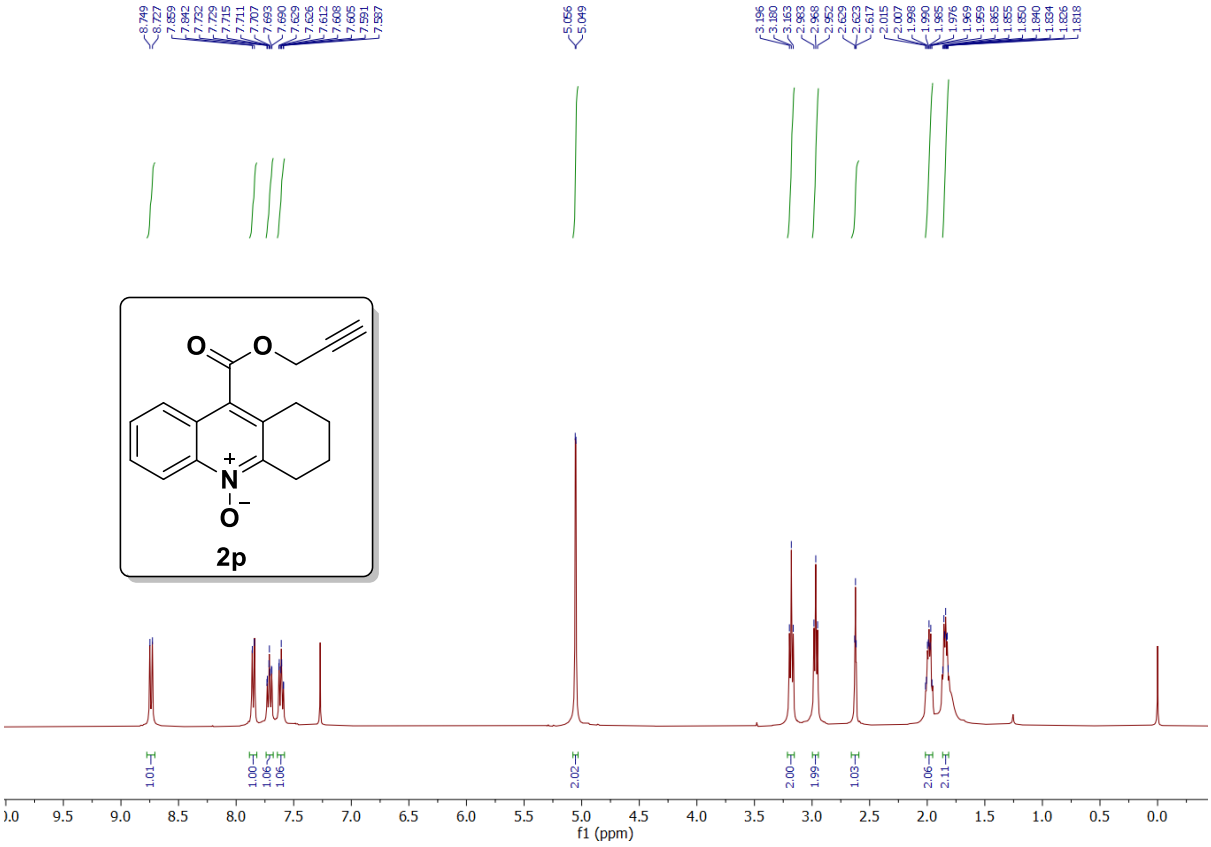


Figure-S60. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2p**

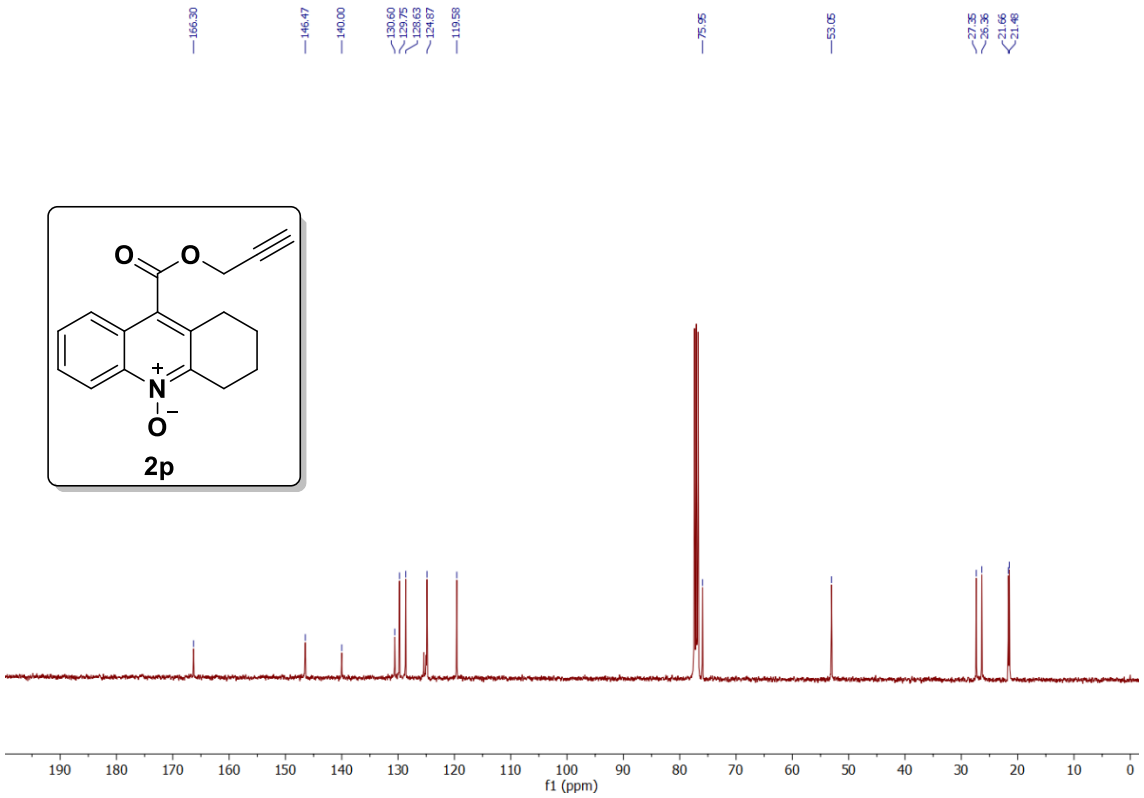


Figure-S61. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2p**

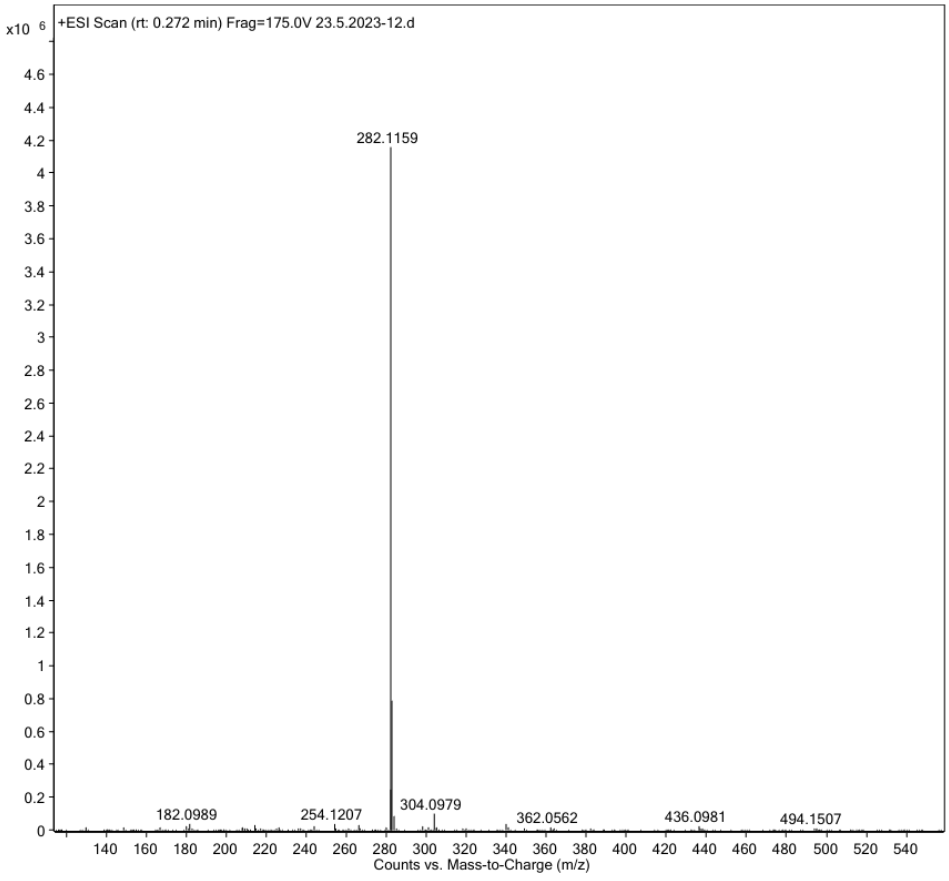


Figure-S62. HR-MS(ESI^{+}) spectrum of compound **2p**

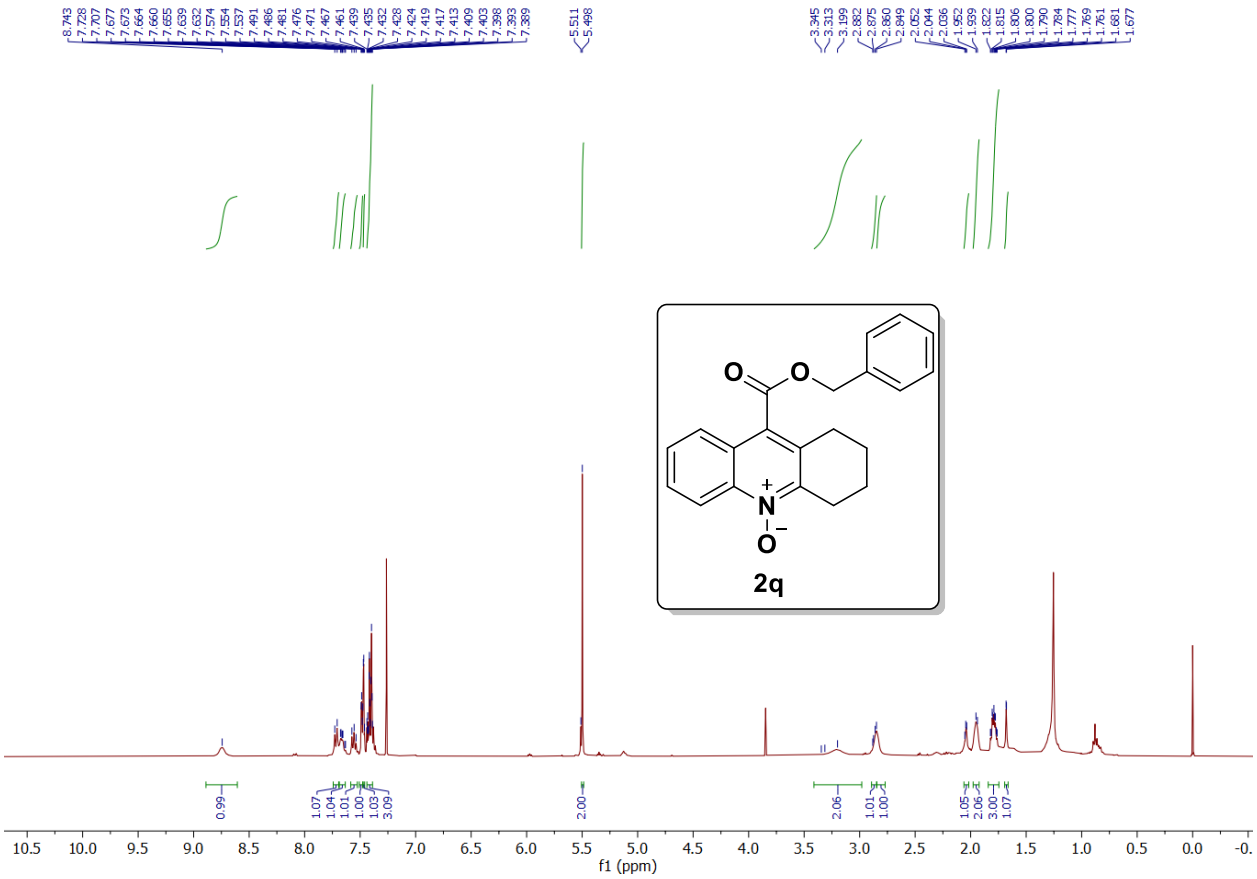


Figure-S63. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2q**

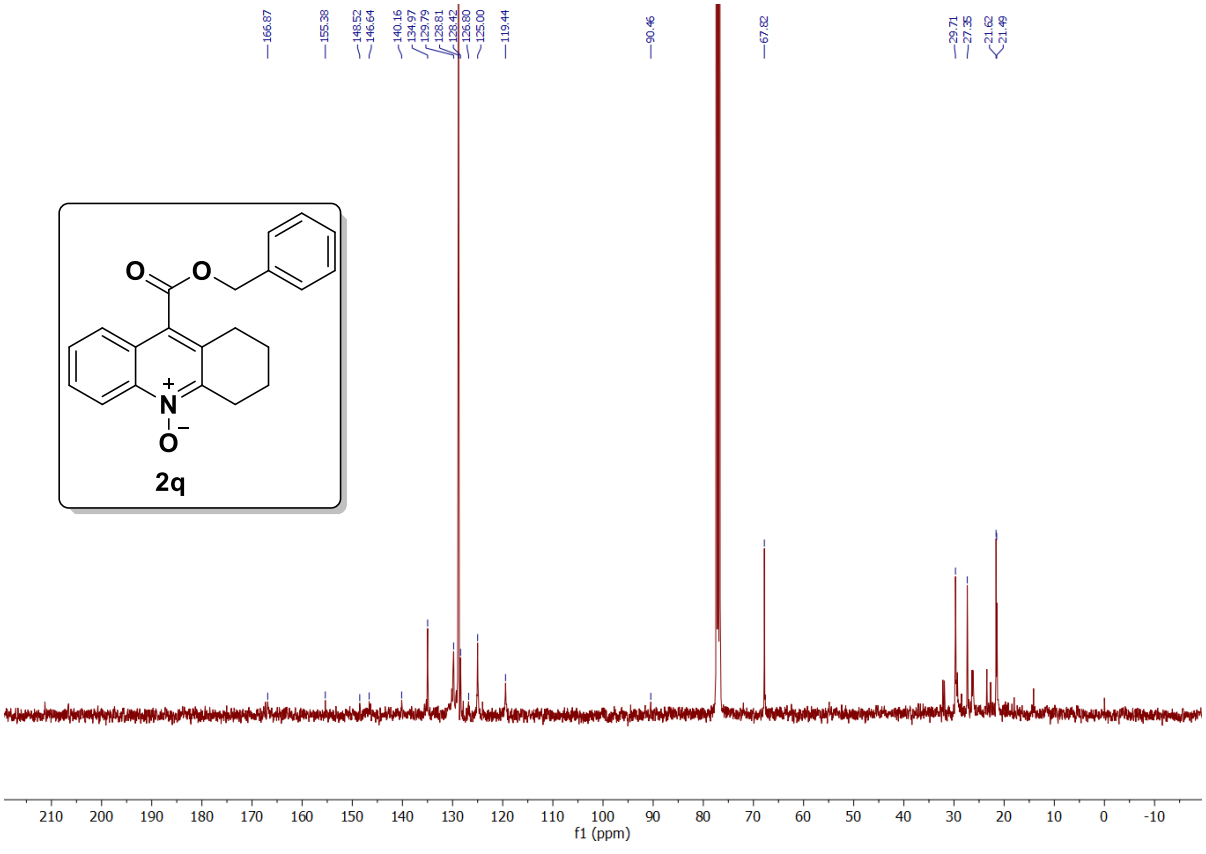


Figure-S64. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2q**

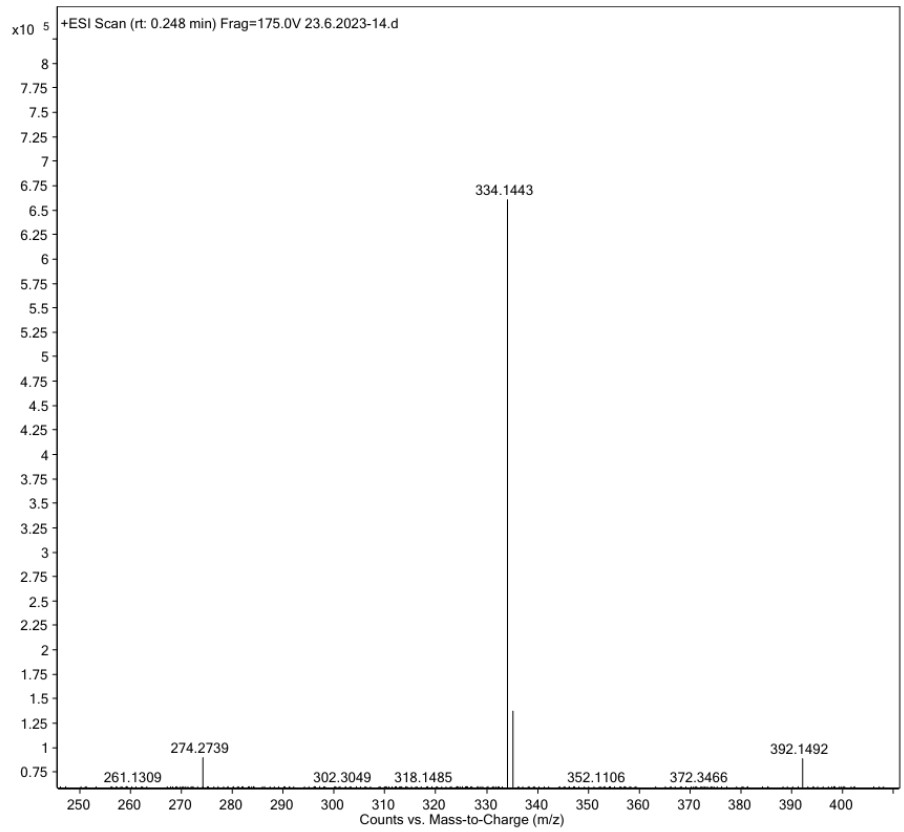


Figure-S65. HR-MS(ESI^{+}) spectrum of compound **2q**

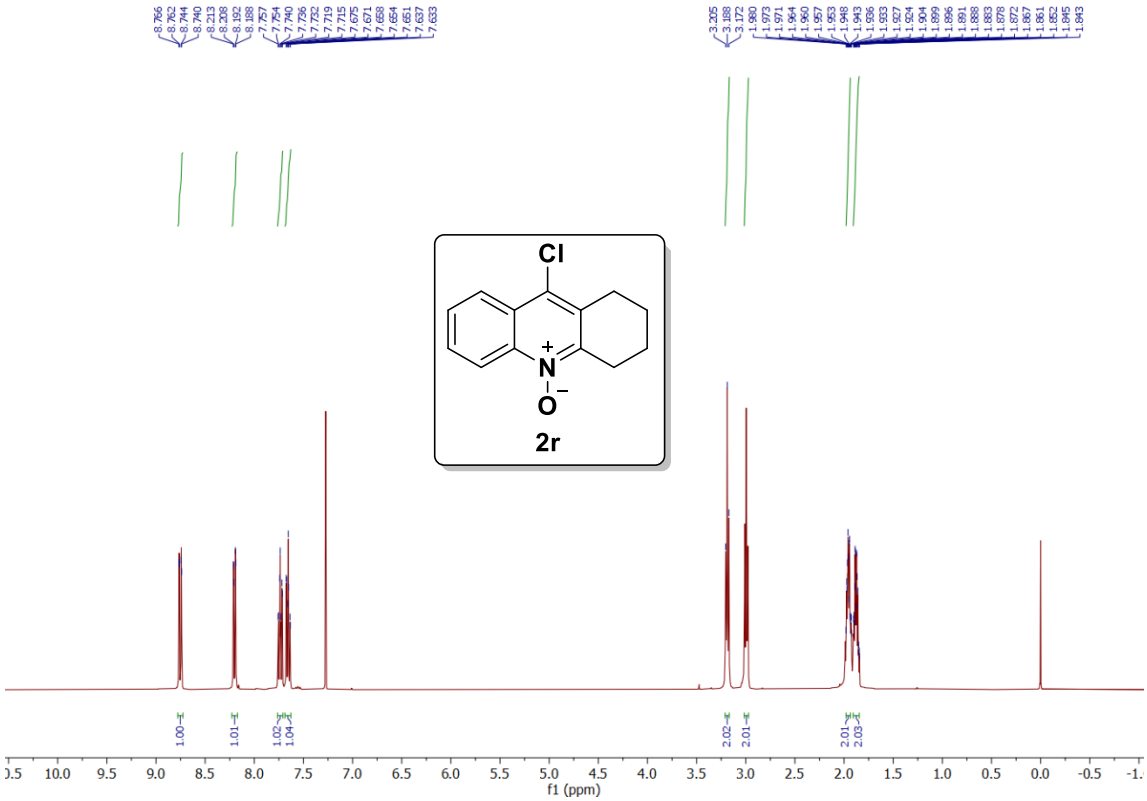


Figure-S66. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2r**

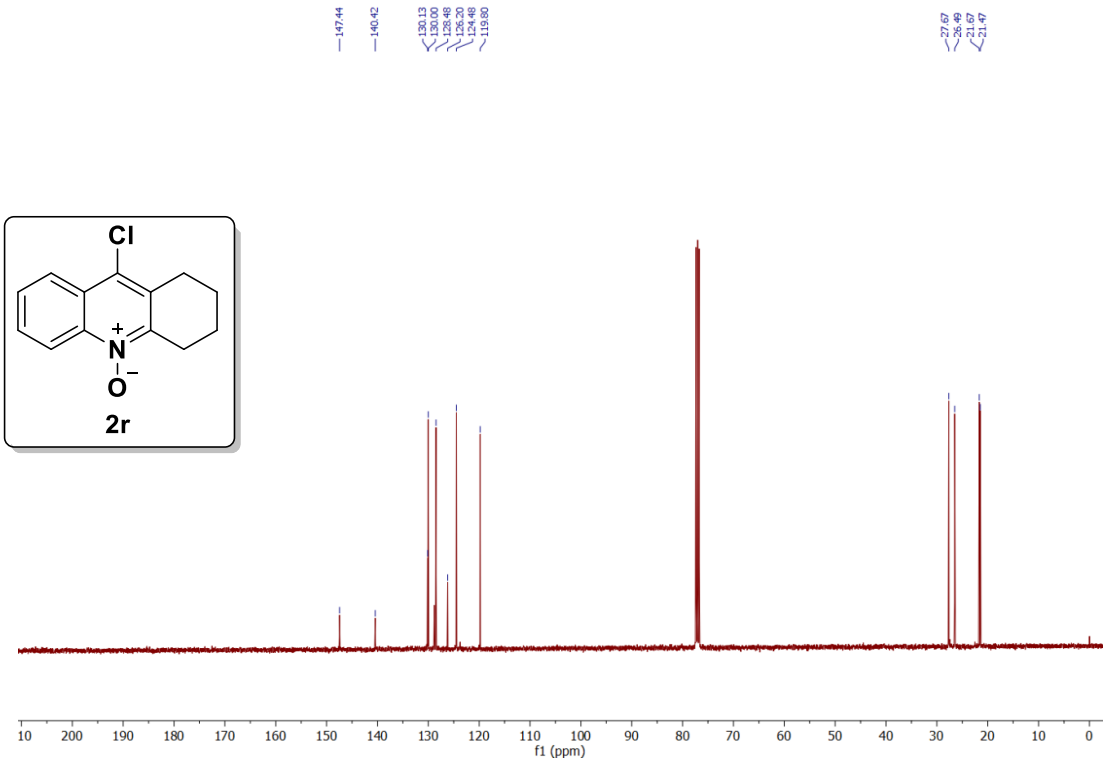


Figure-S67. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2r**

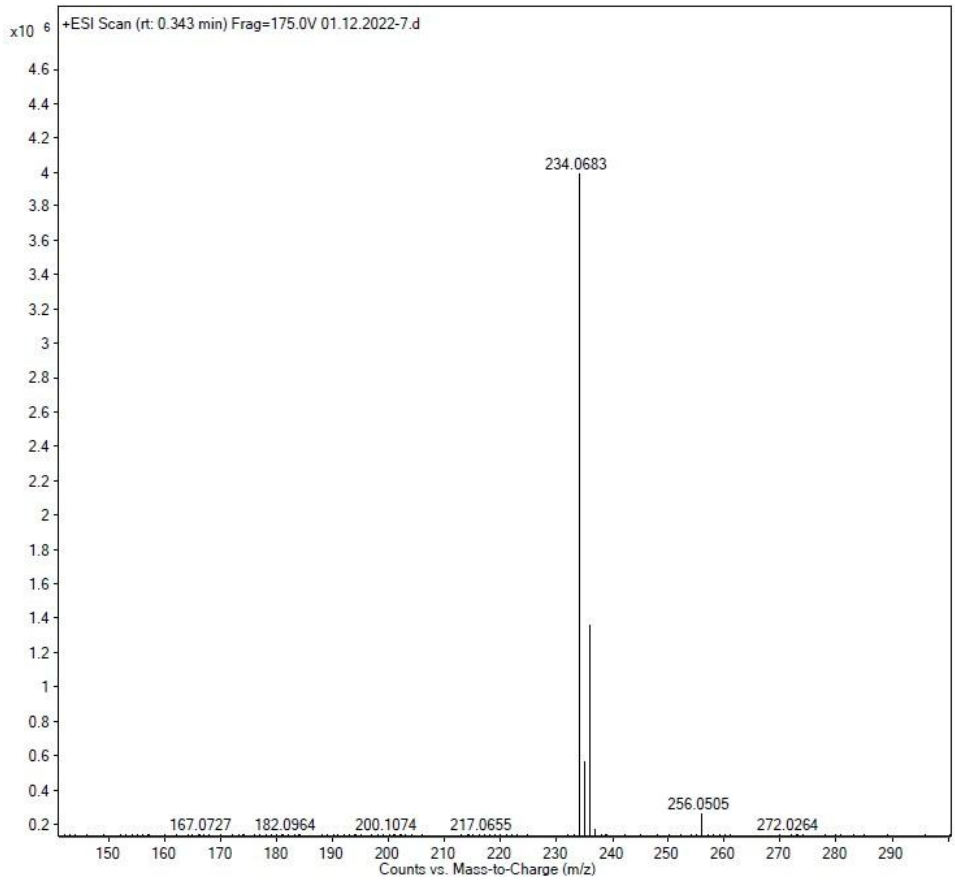


Figure-S68. HR-MS(ESI^+) spectrum of compound **2r**

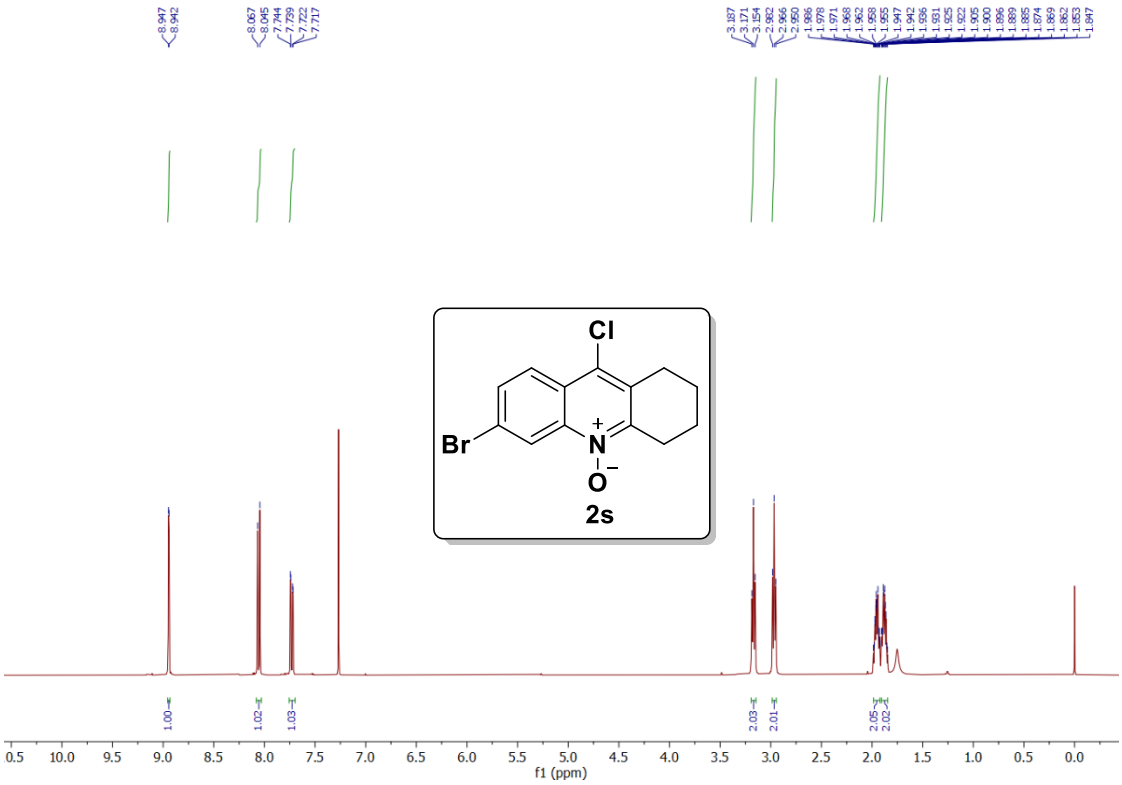


Figure-S69. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2s**

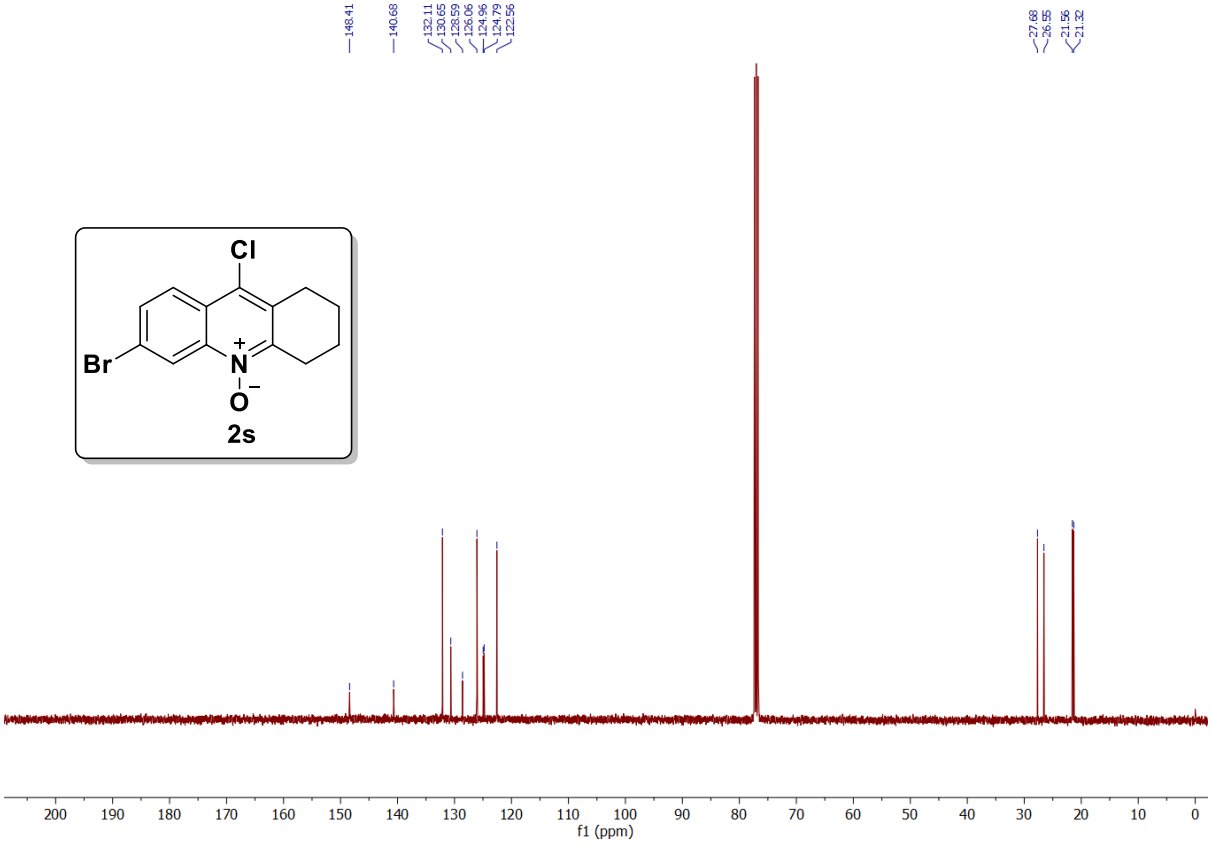


Figure-S70. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2s**

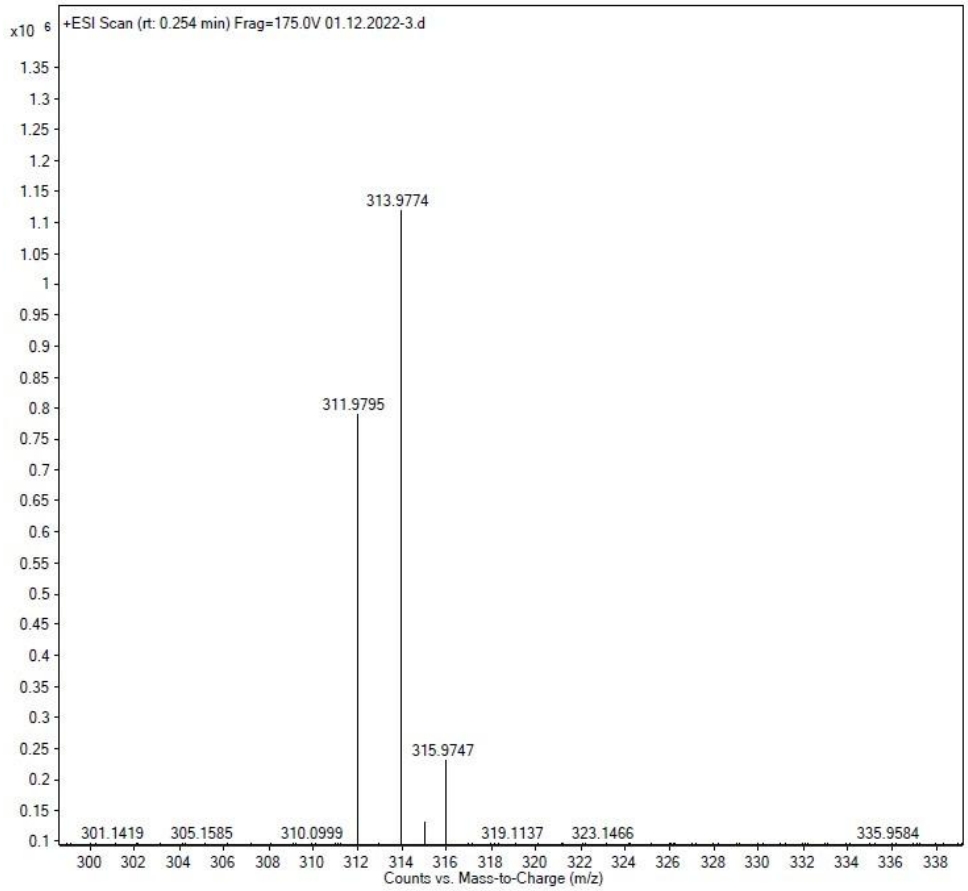


Figure-S71. HR-MS(ESI$^+$) spectrum of compound **2s**

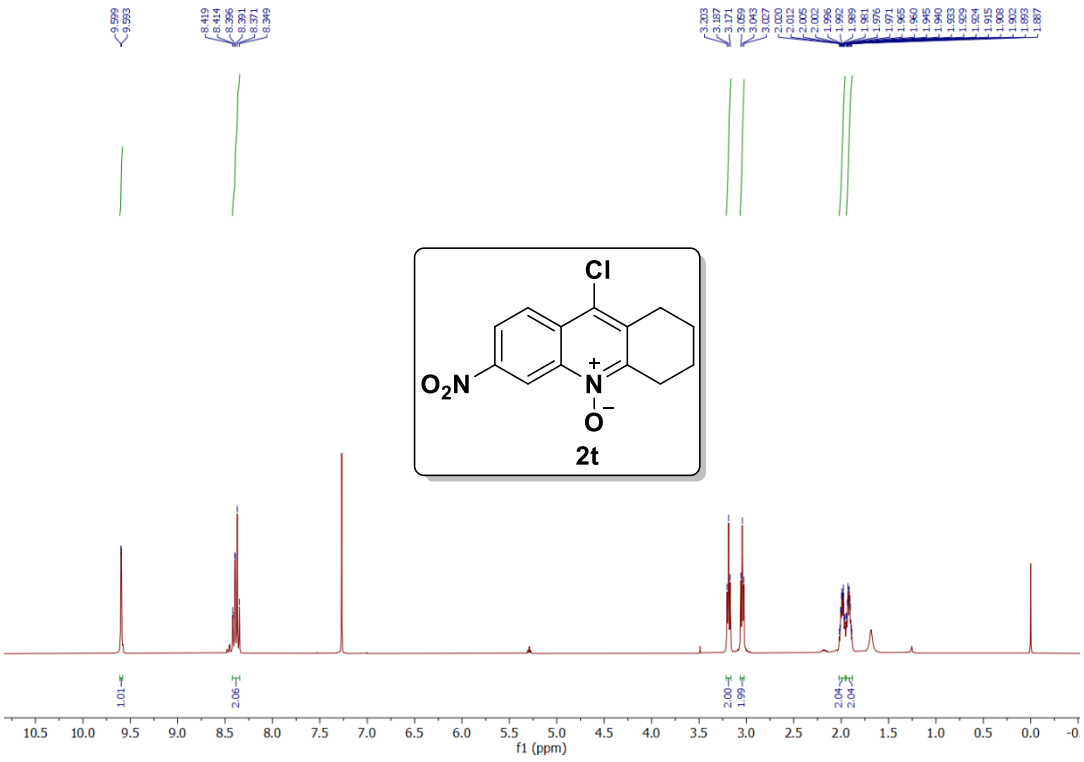


Figure-S72. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **2t**

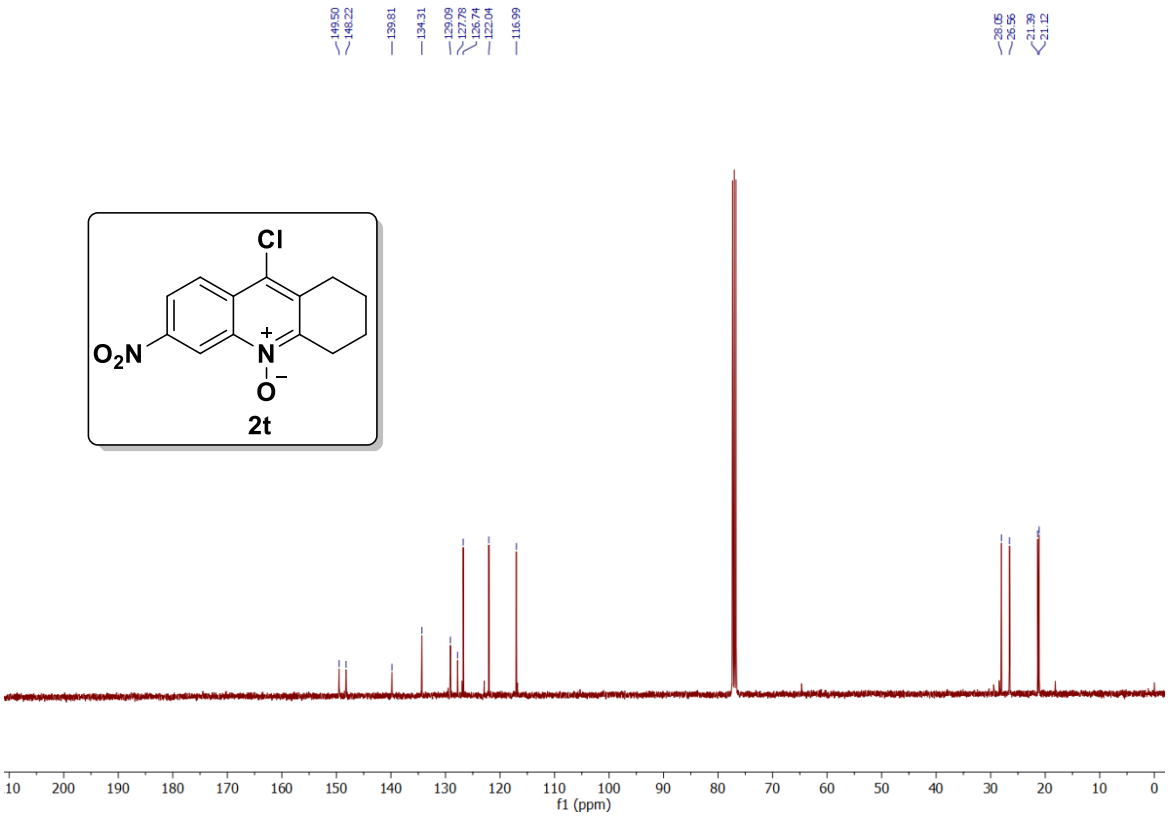


Figure-S73. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **2t**

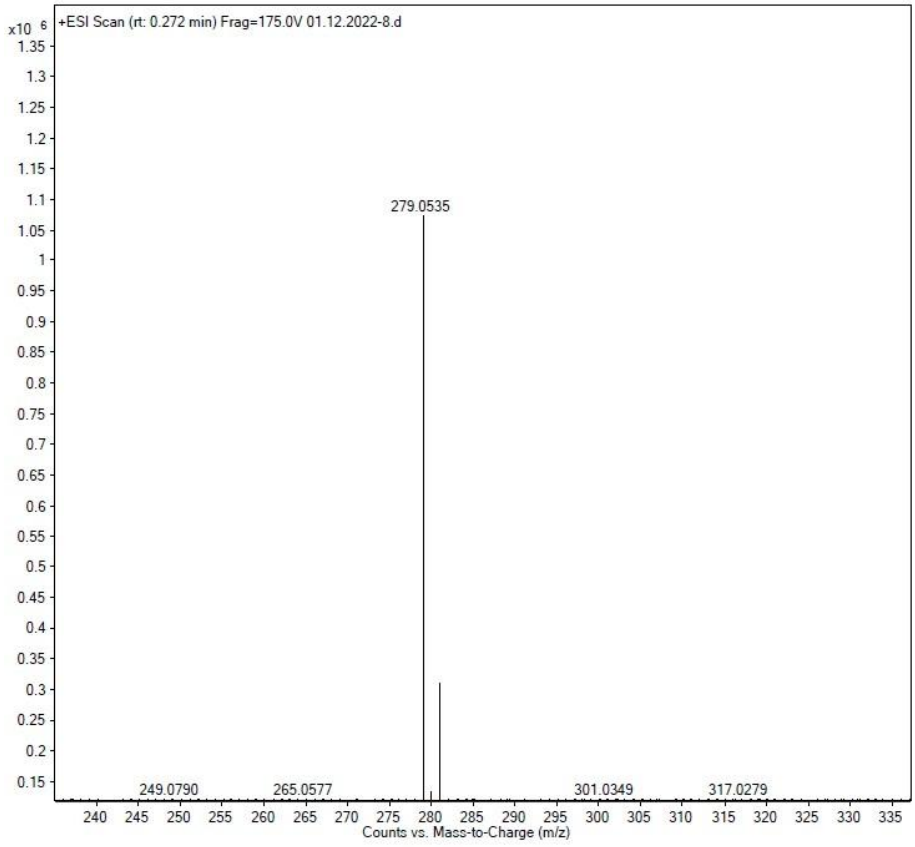


Figure-S74. HR-MS(ESI^+) spectrum of compound **2t**

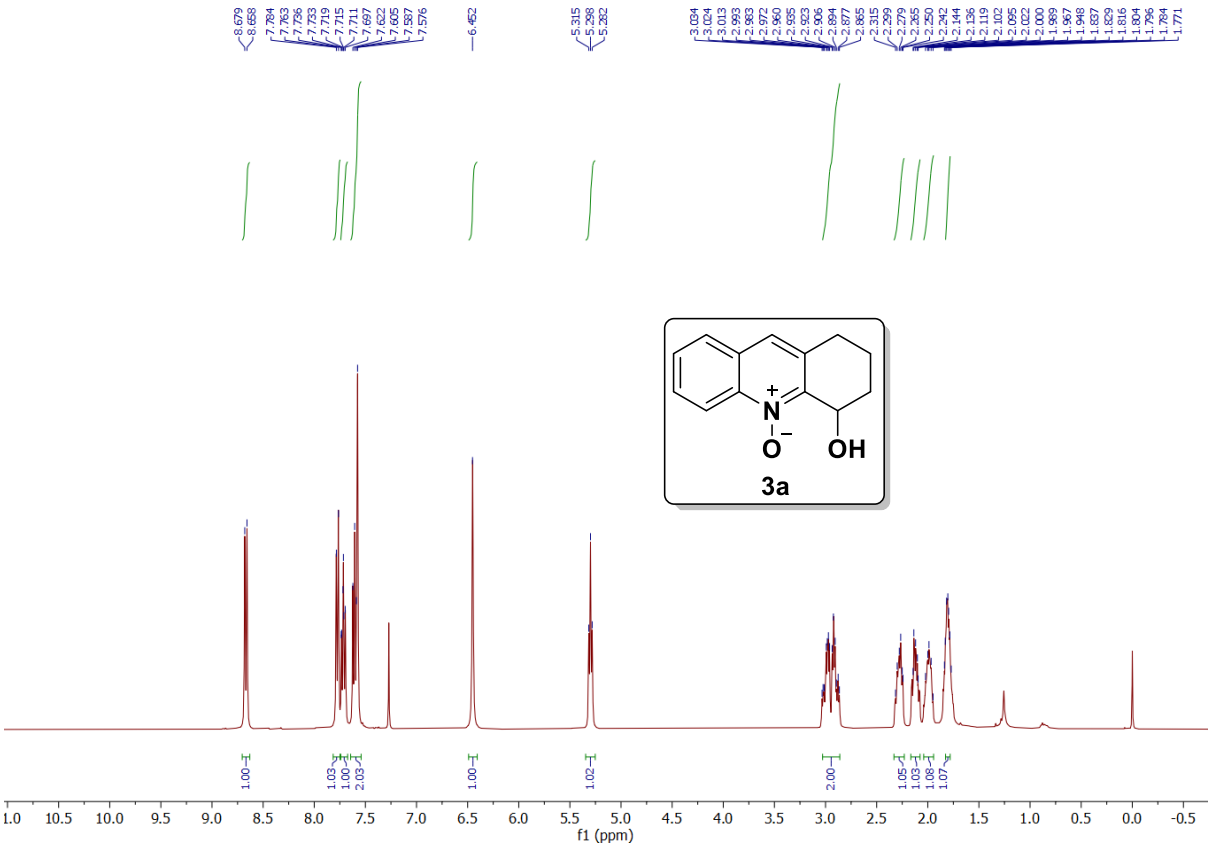


Figure-S75. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3a**

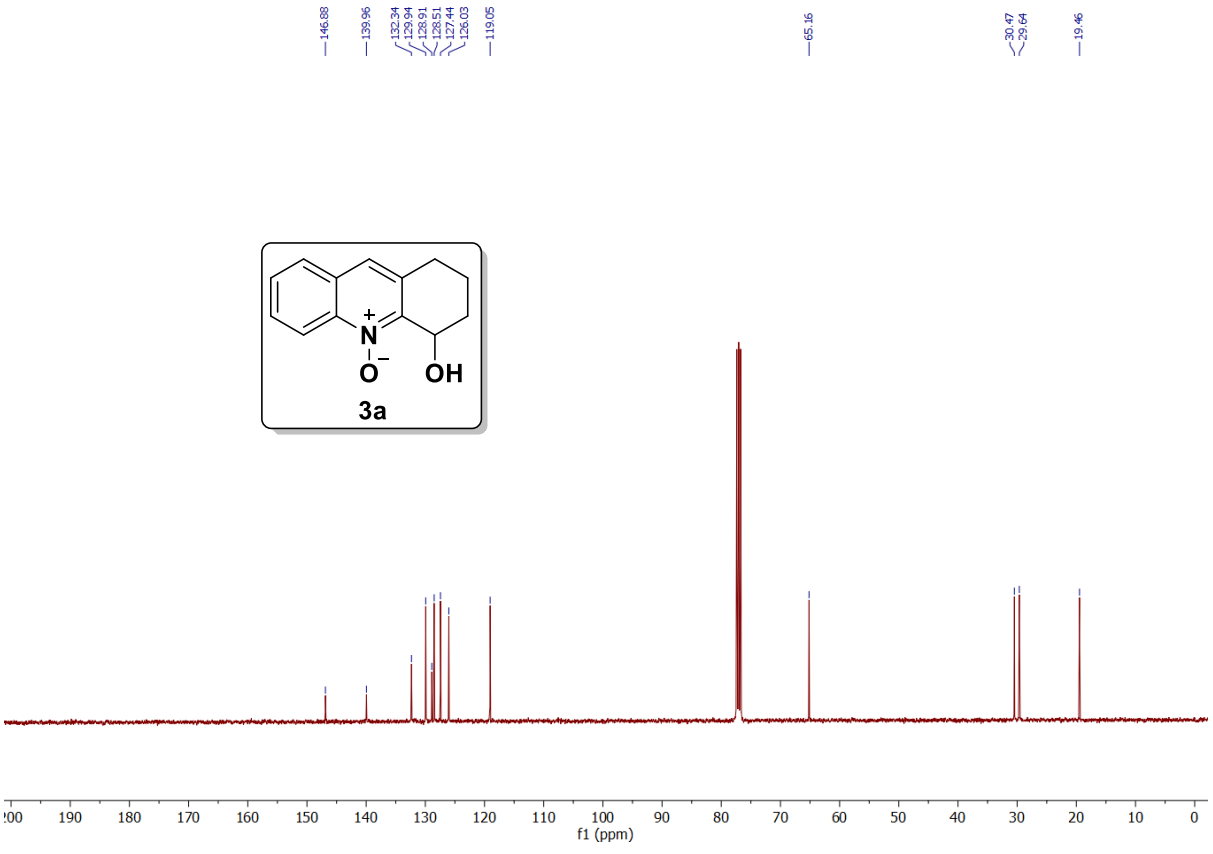


Figure-S76. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3a**

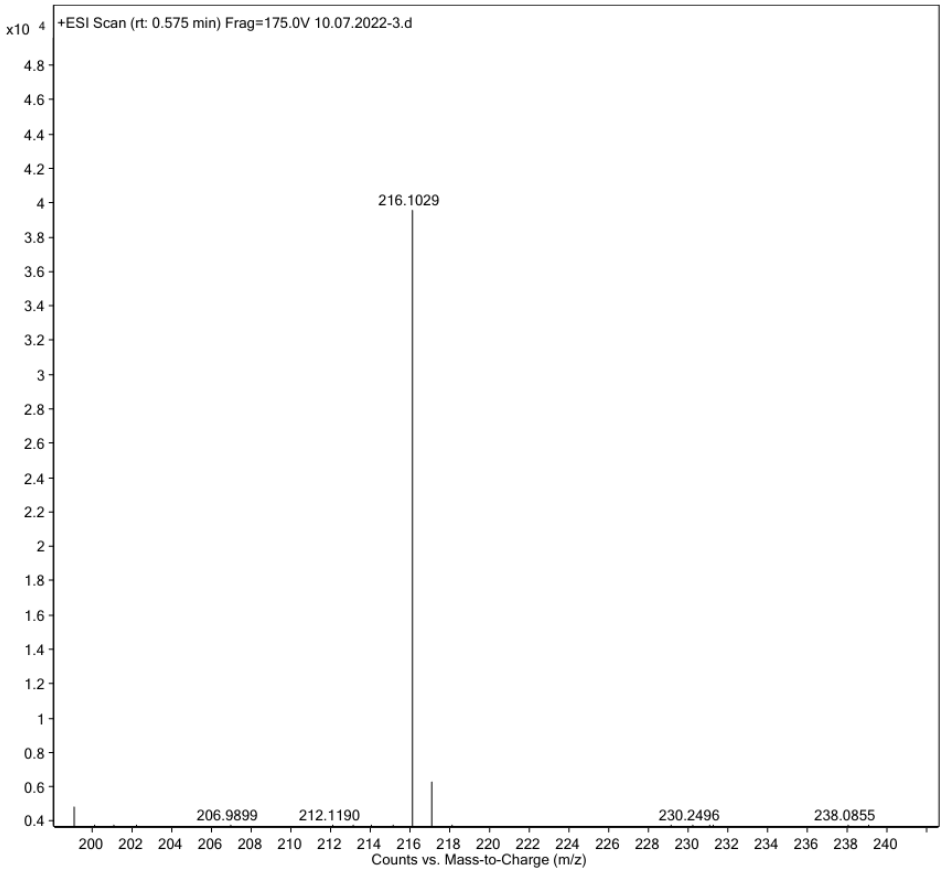


Figure-S77. HR-MS(ESI$^+$) spectrum of compound **3a**

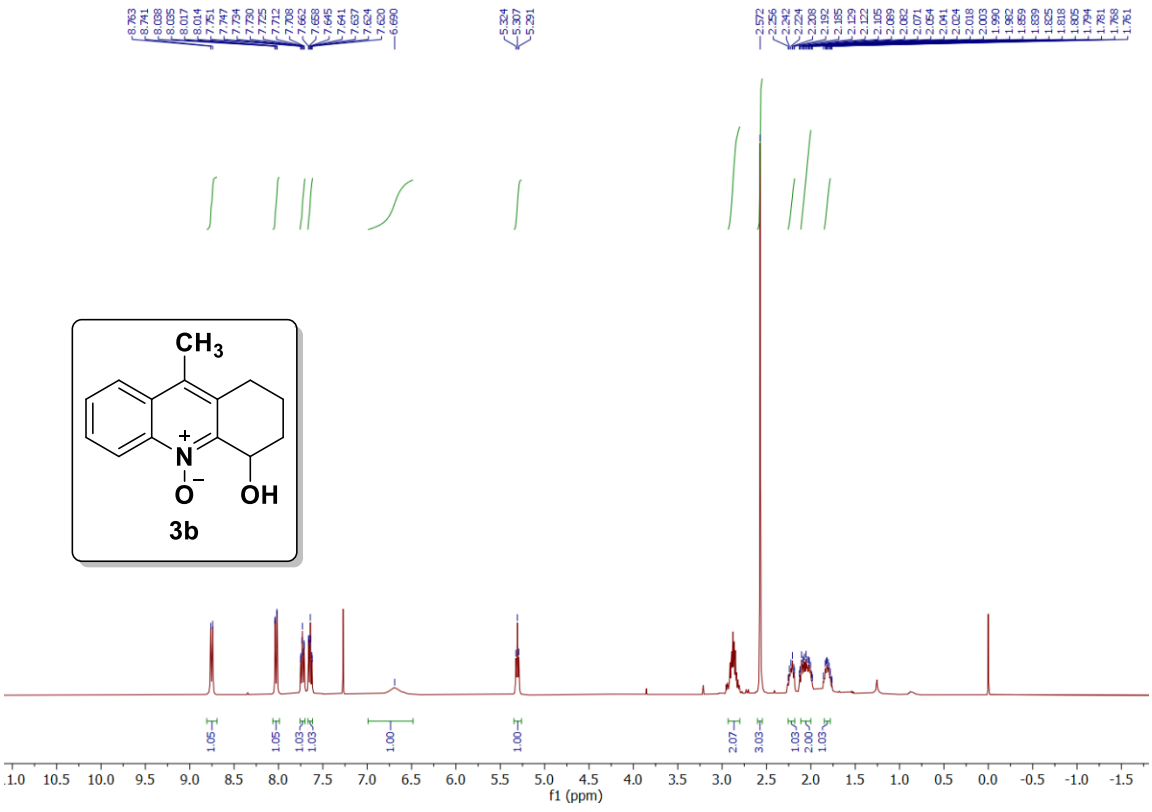


Figure-S78. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3b**

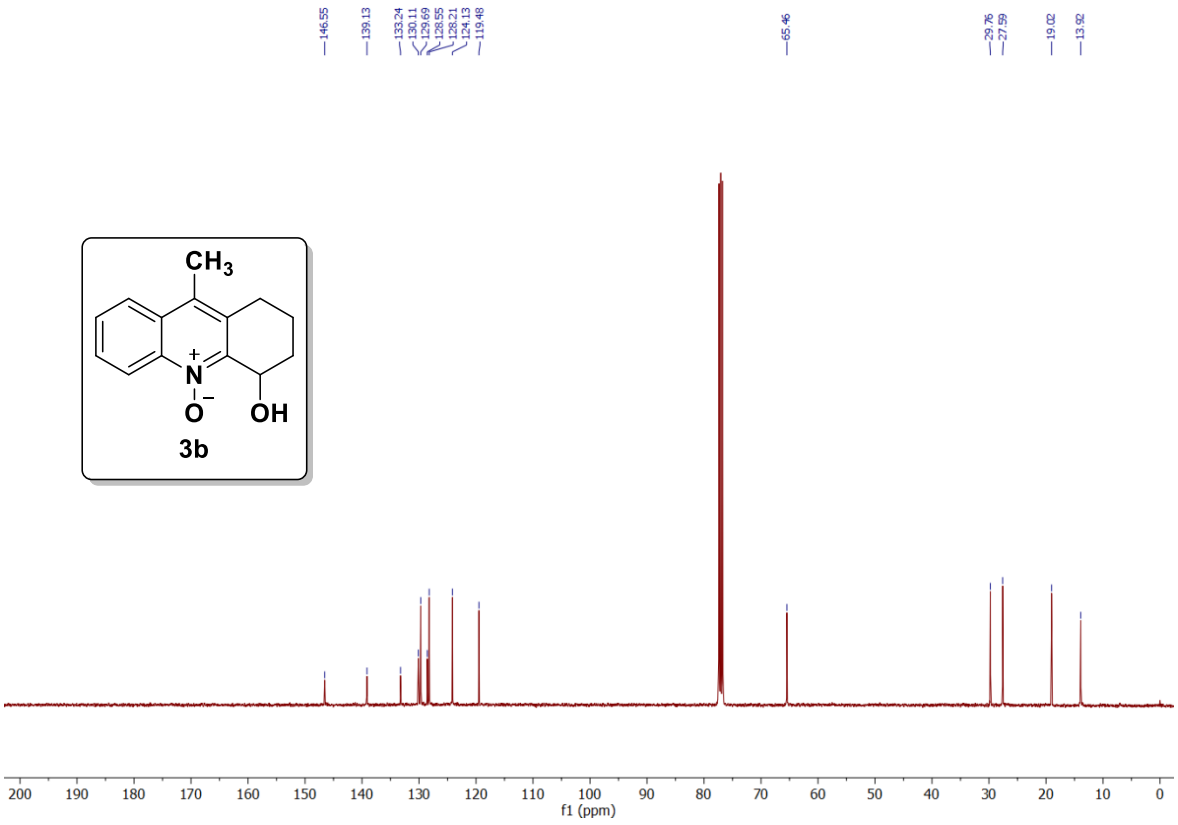


Figure-S79. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3b**

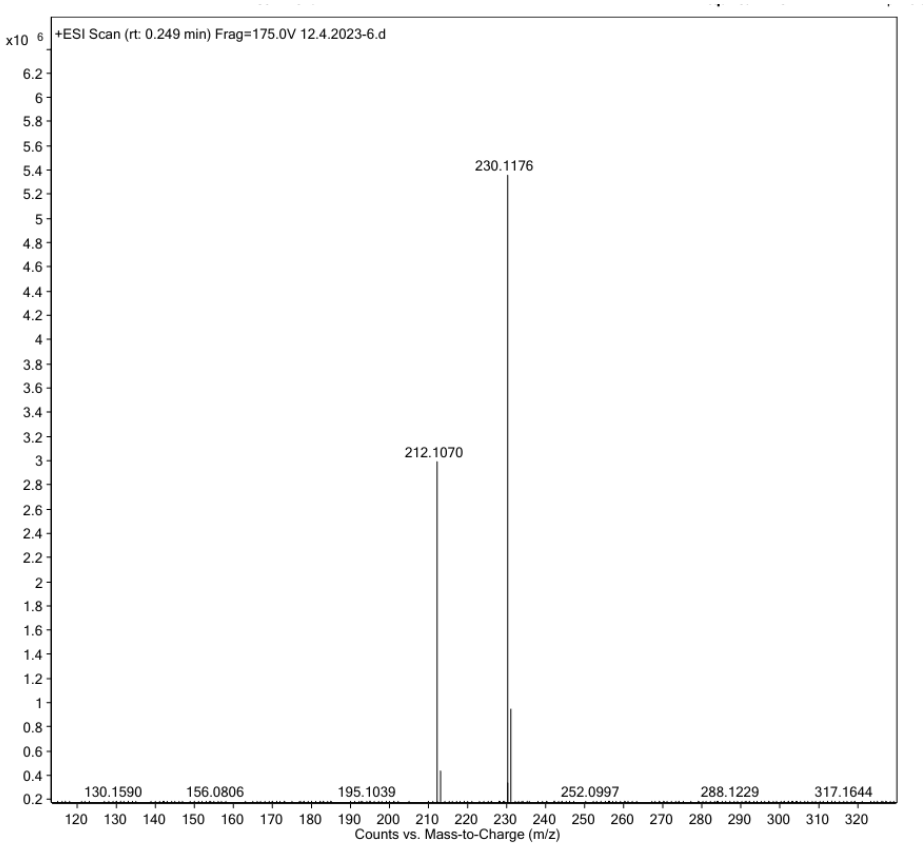


Figure-S80. HR-MS(ESI^+) spectrum of compound **3b**

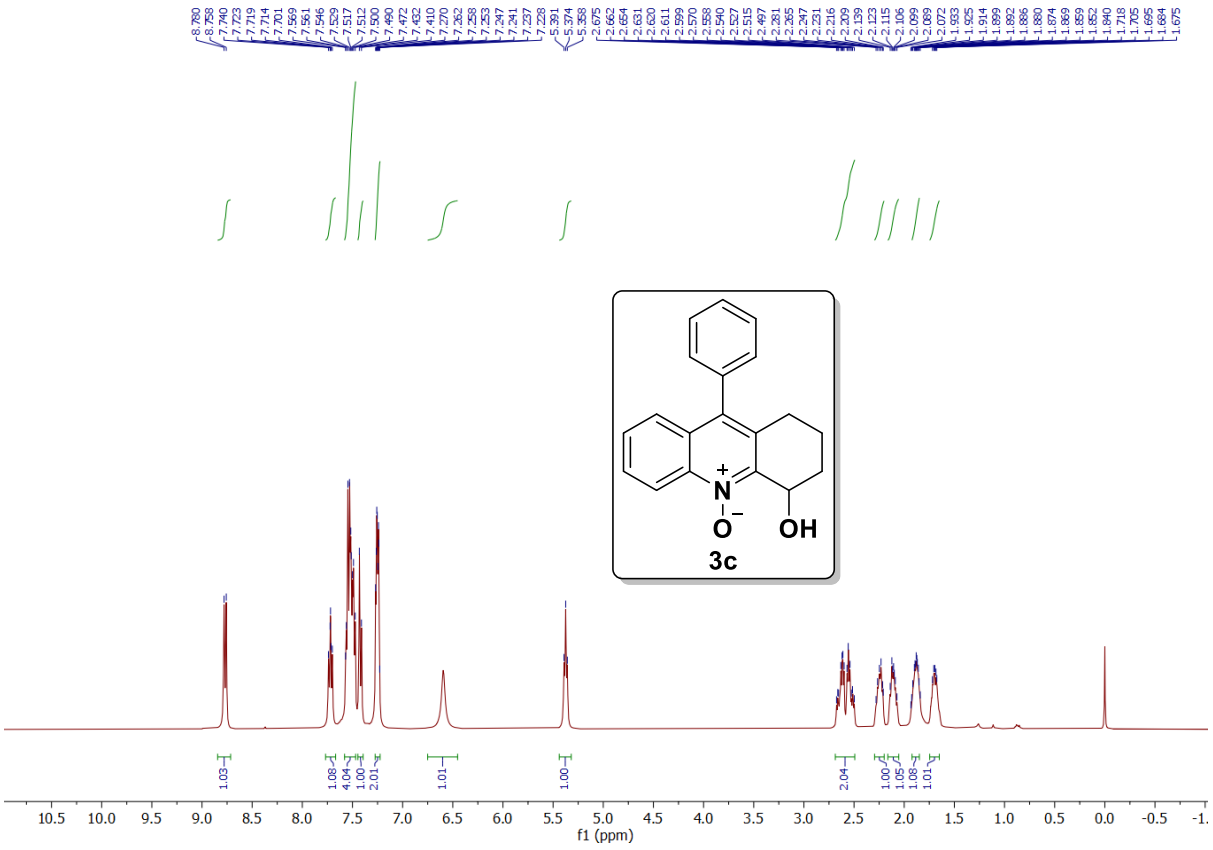


Figure-S81. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3c**

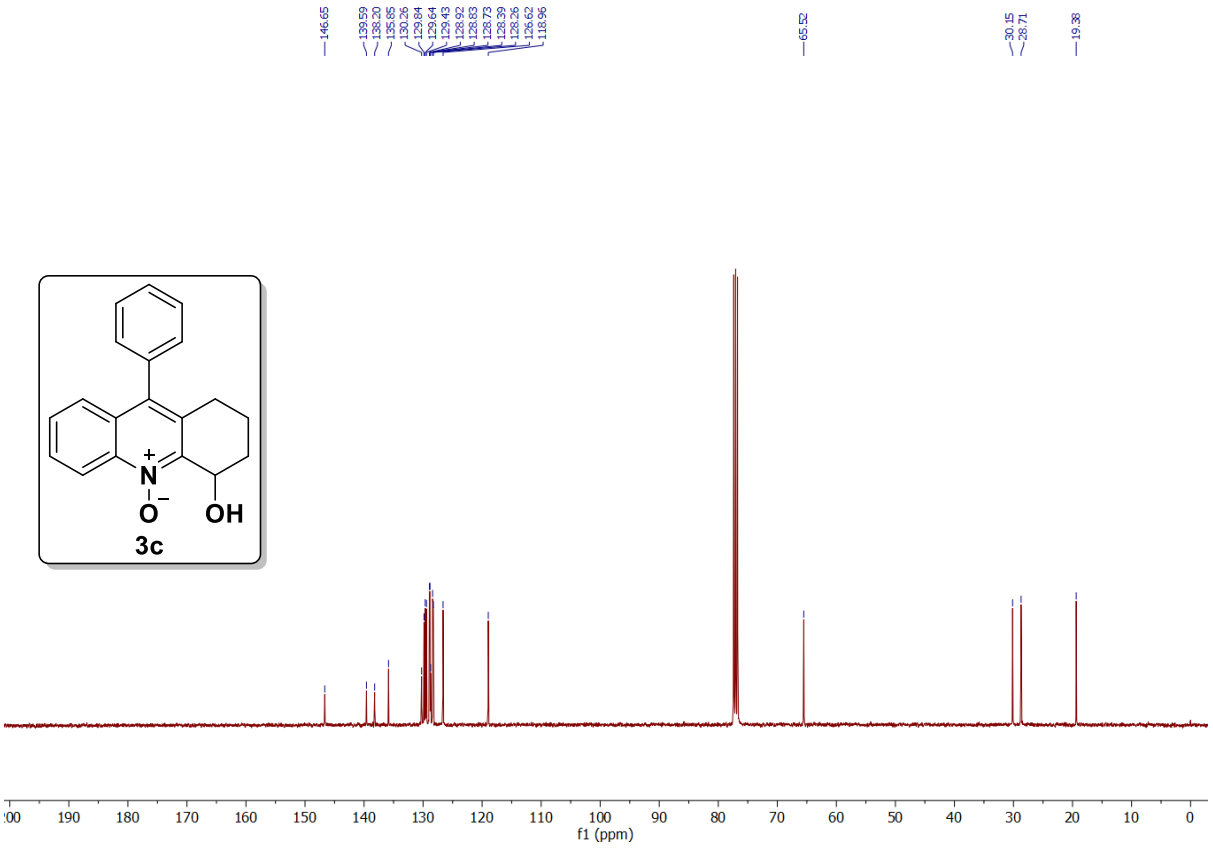


Figure-S82. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3c**

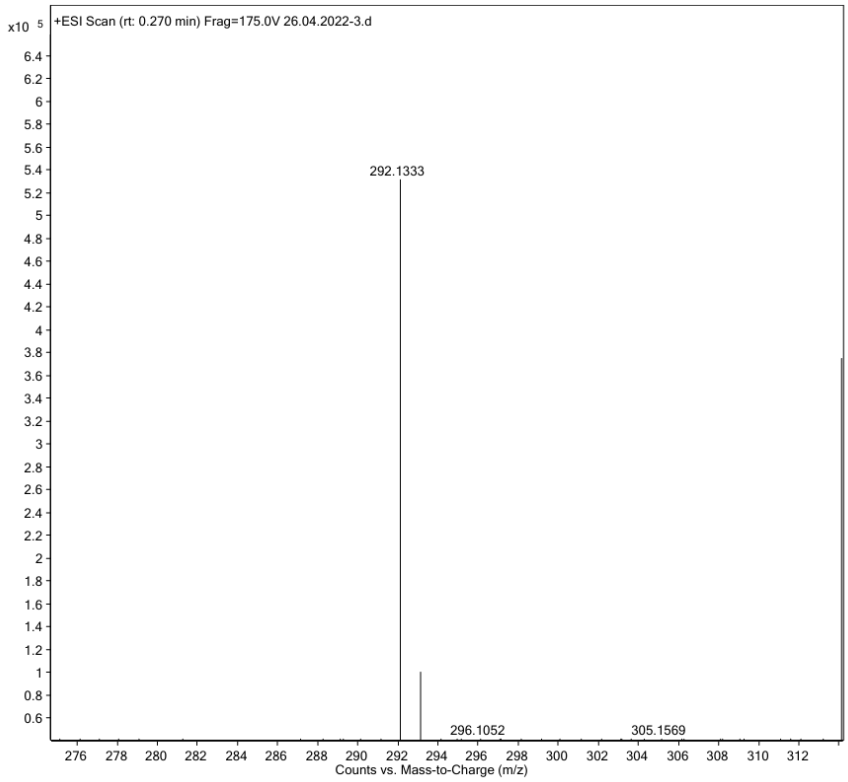


Figure-S83. HR-MS(ESI^{+}) spectrum of compound **3c**

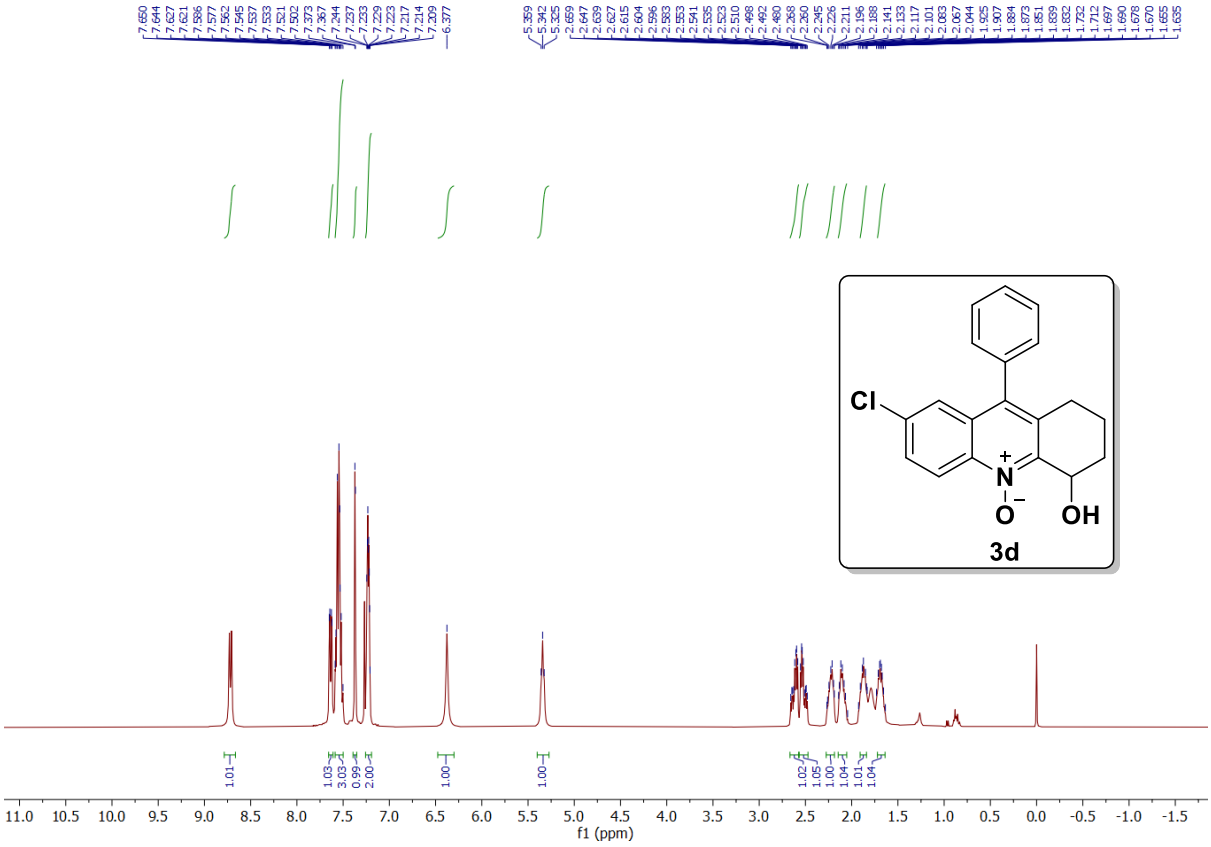


Figure-S84. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3d**

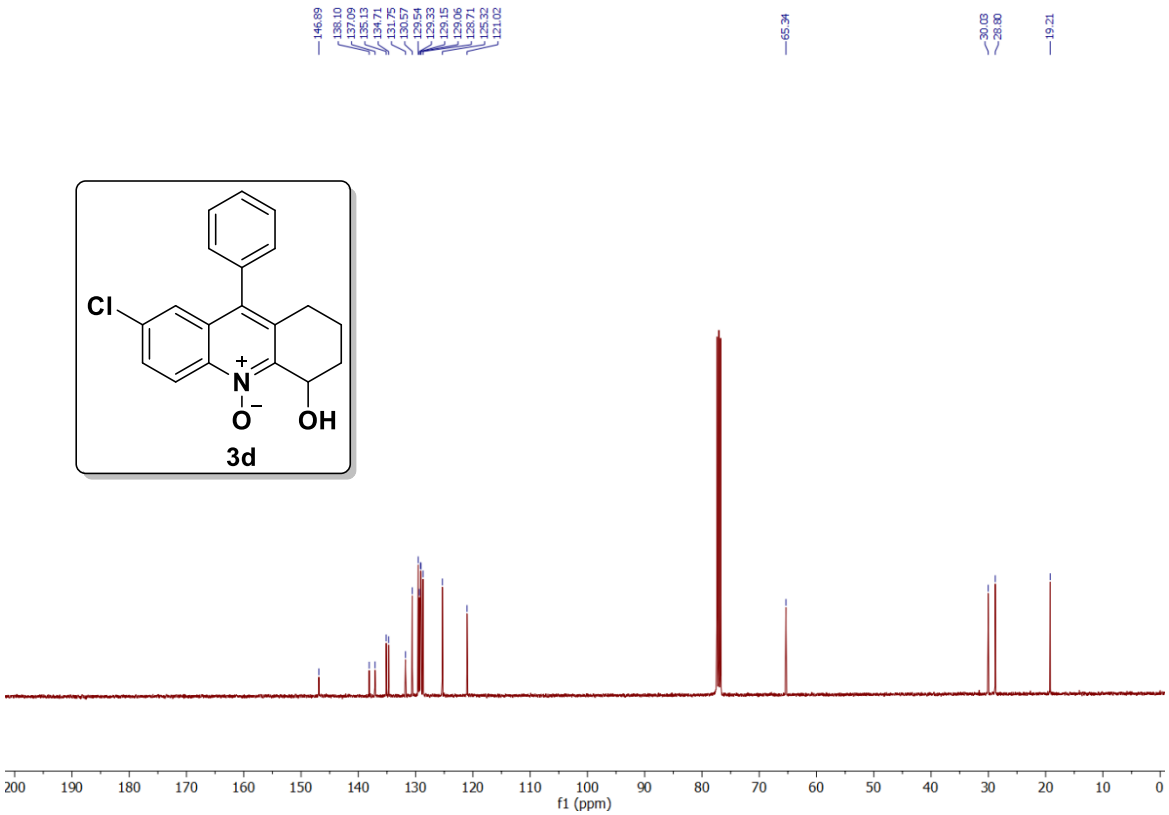


Figure-S85. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3d**

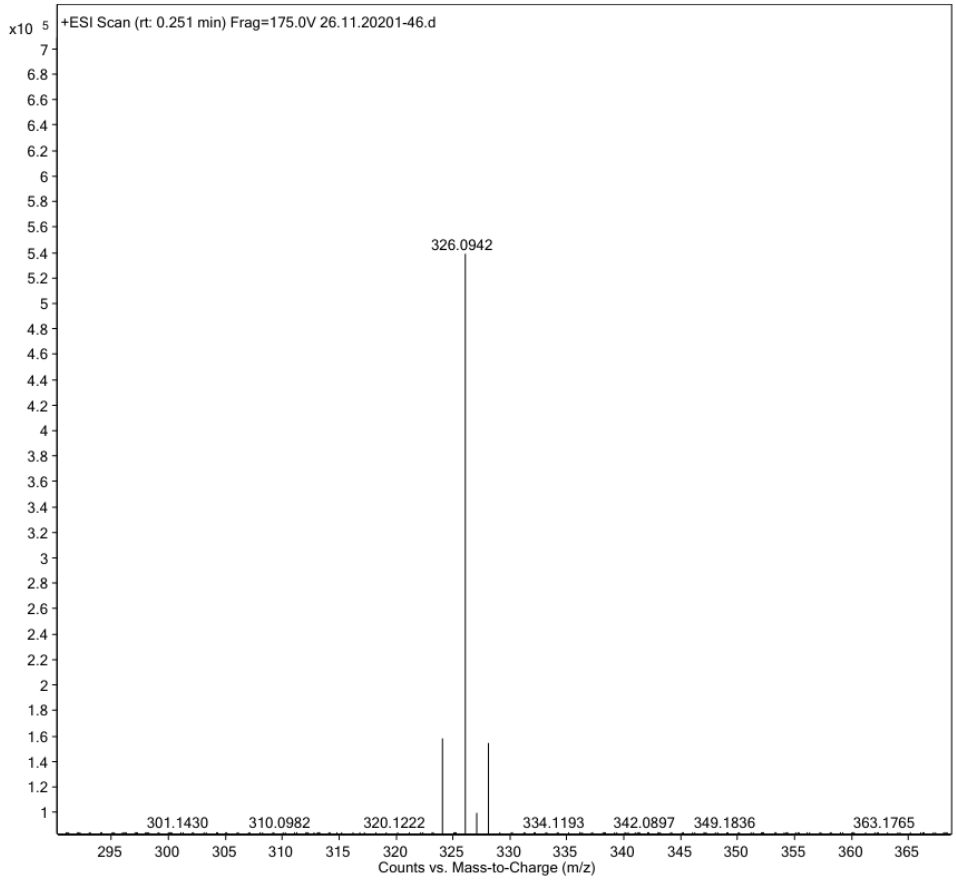


Figure-S86. HR-MS(ESI^{+}) spectrum of compound **3d**

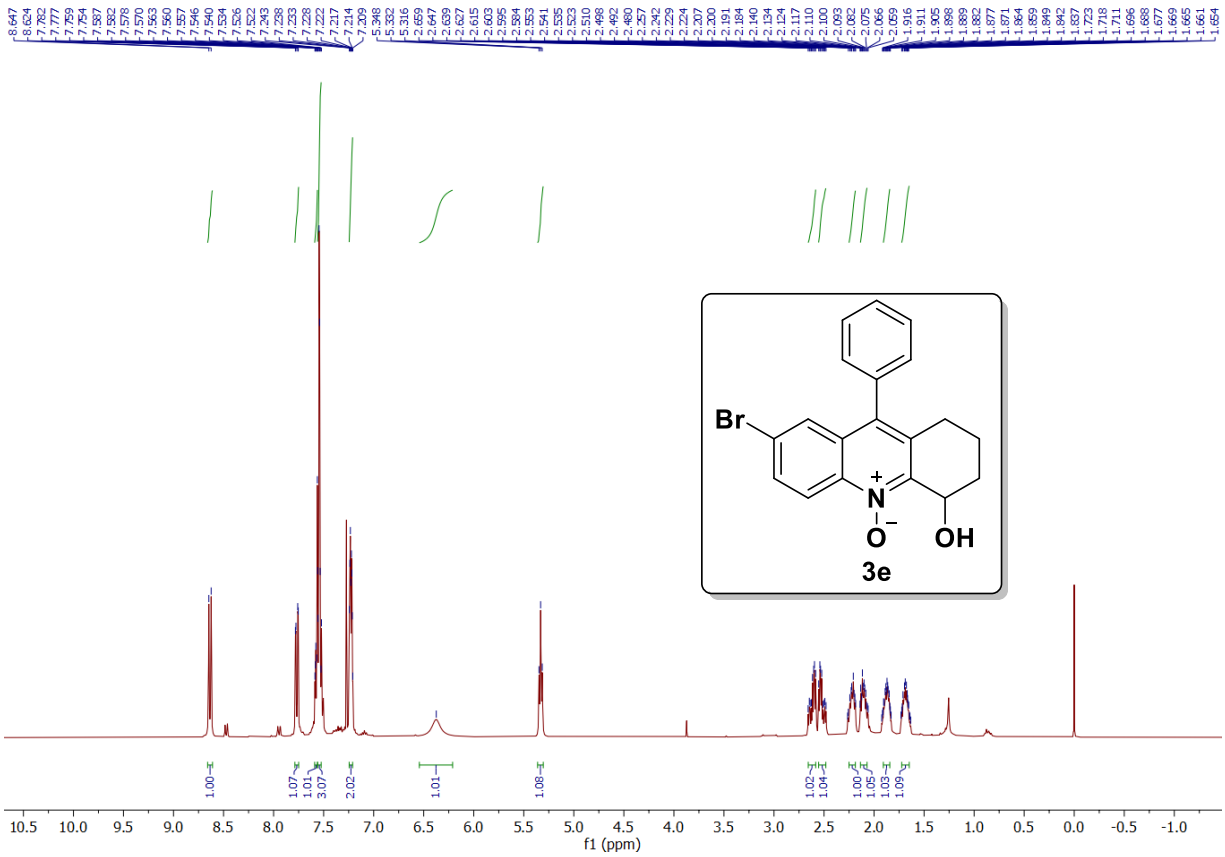


Figure-S87. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3e**

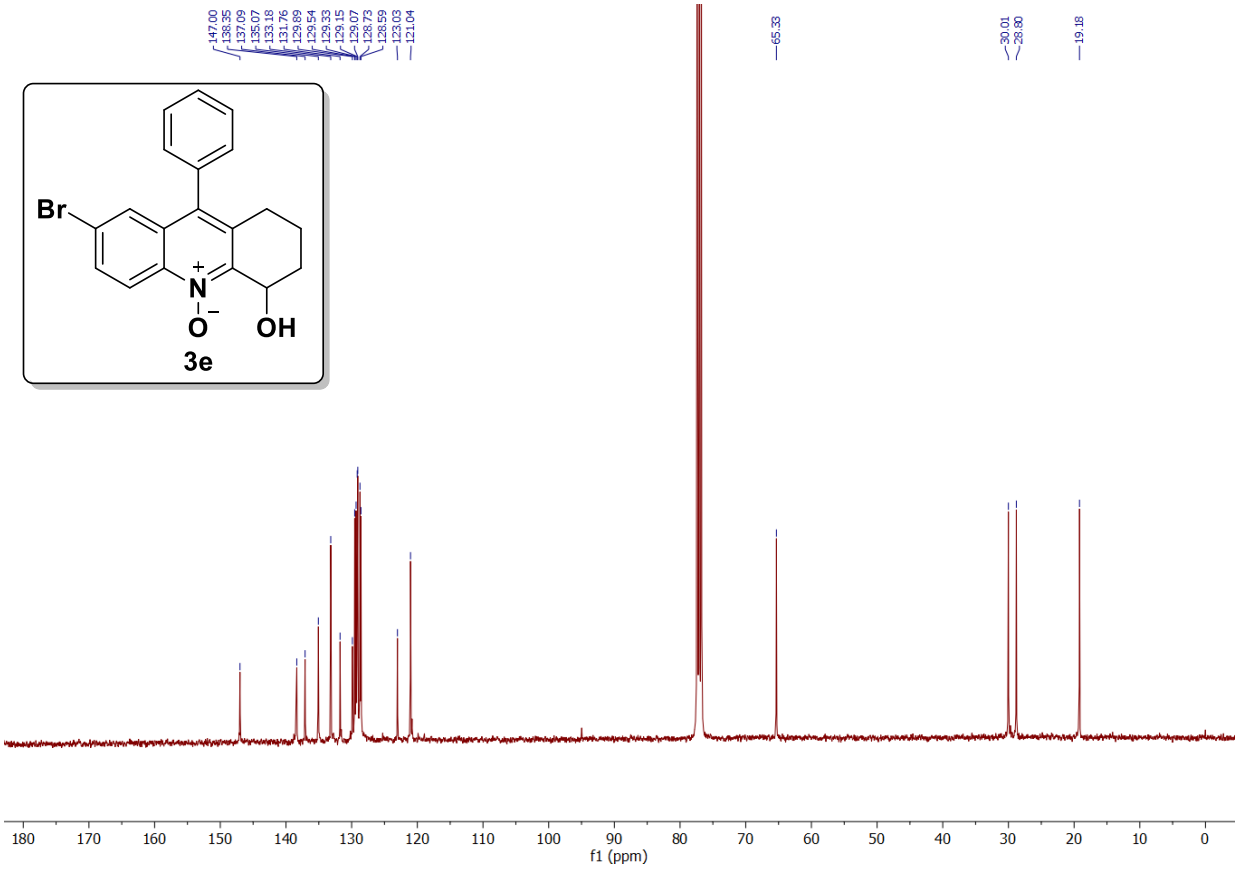


Figure-S88. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3e**

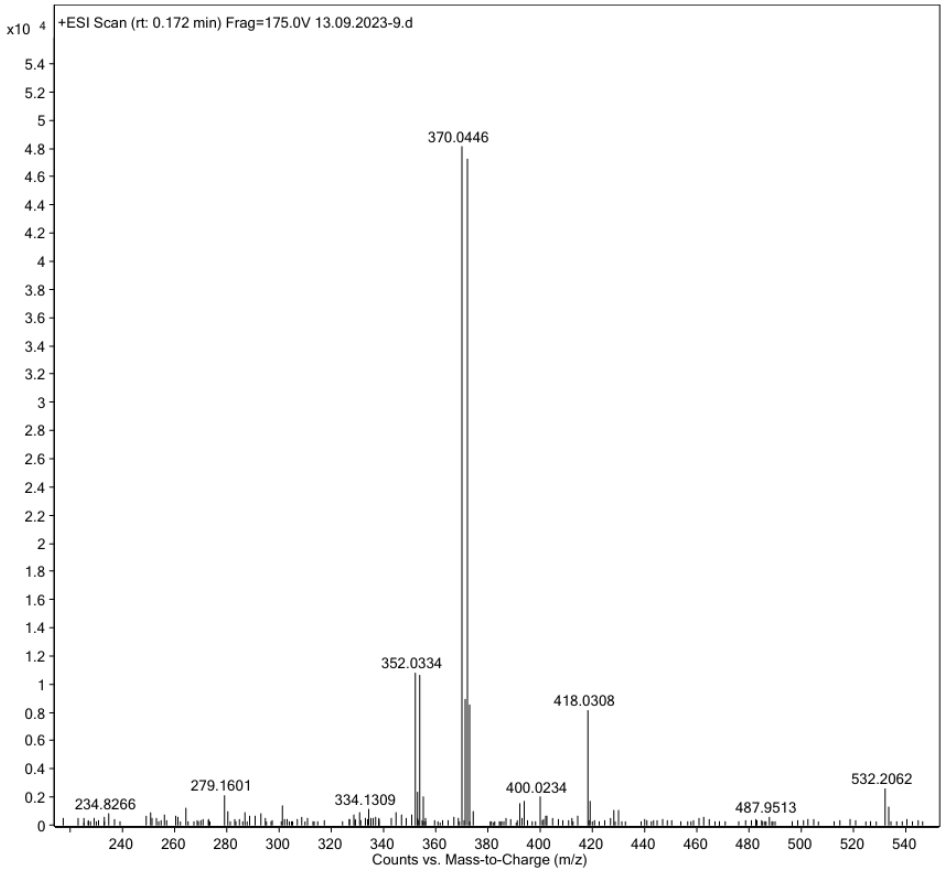


Figure-S89. HR-MS(ESI^{+}) spectrum of compound **3e**

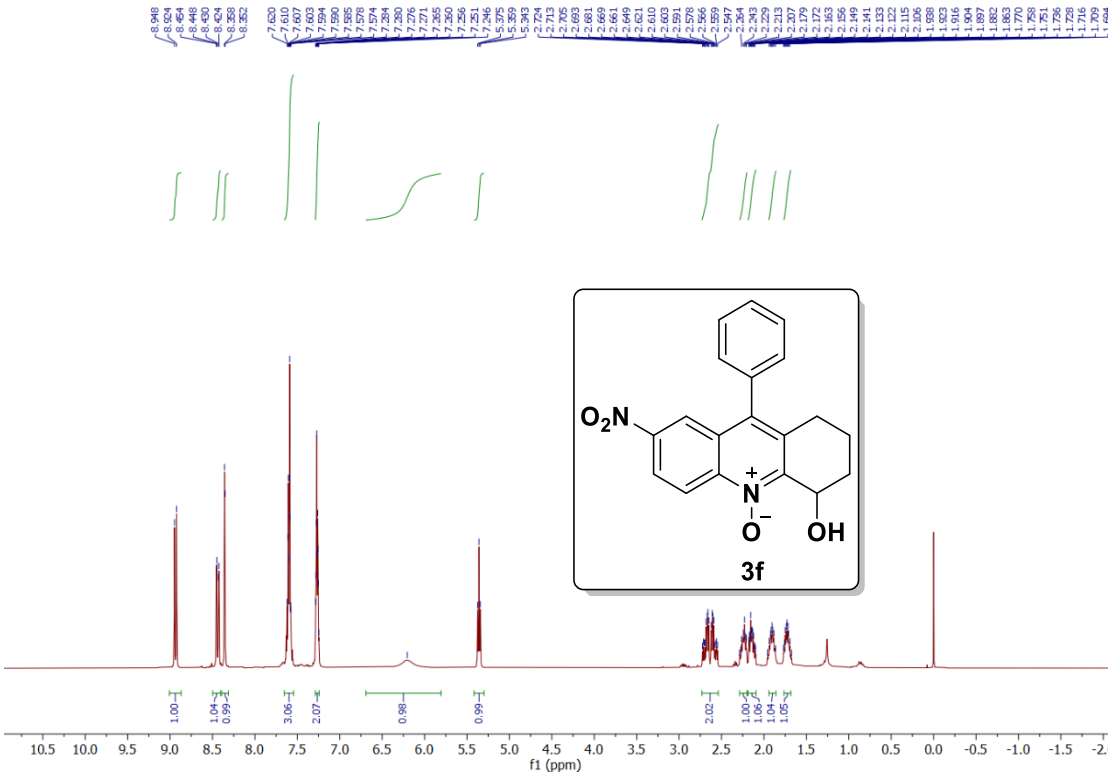


Figure-S90. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3f**

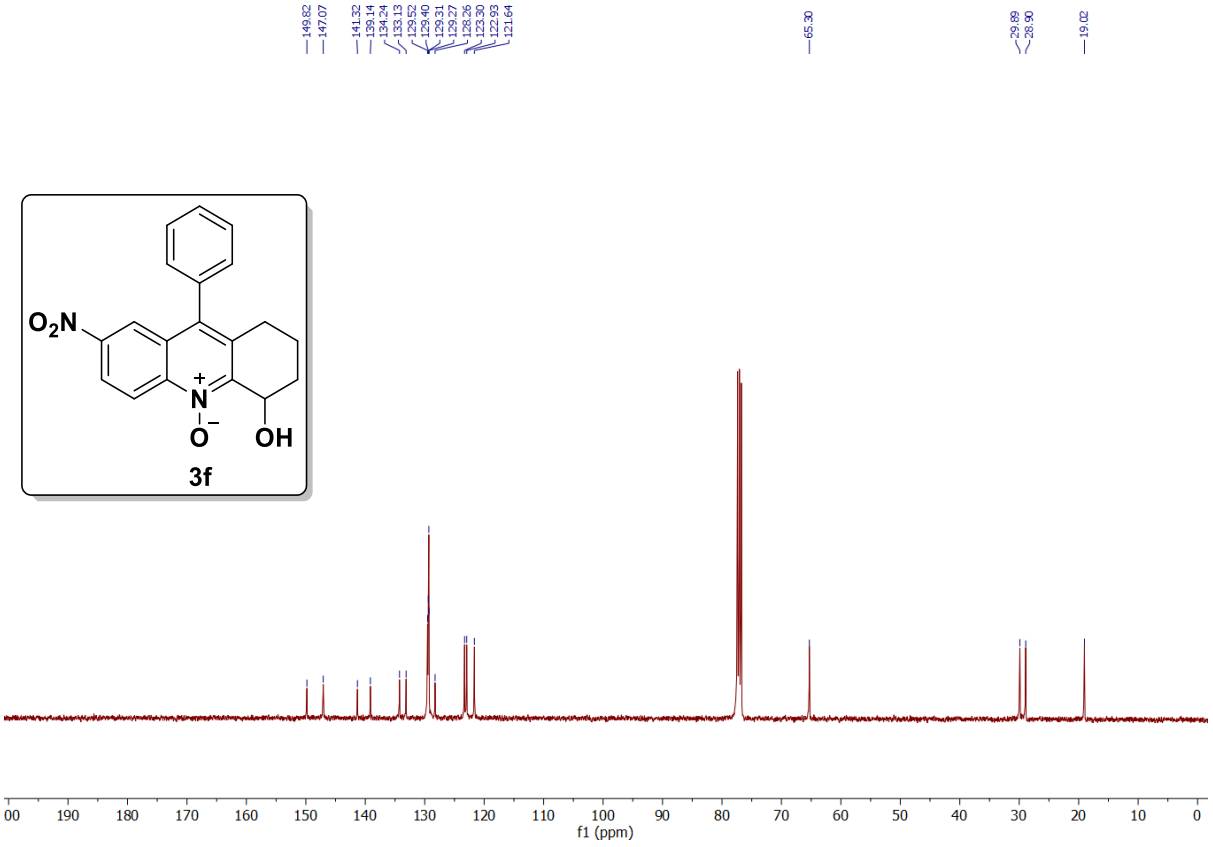


Figure-S91. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3f**

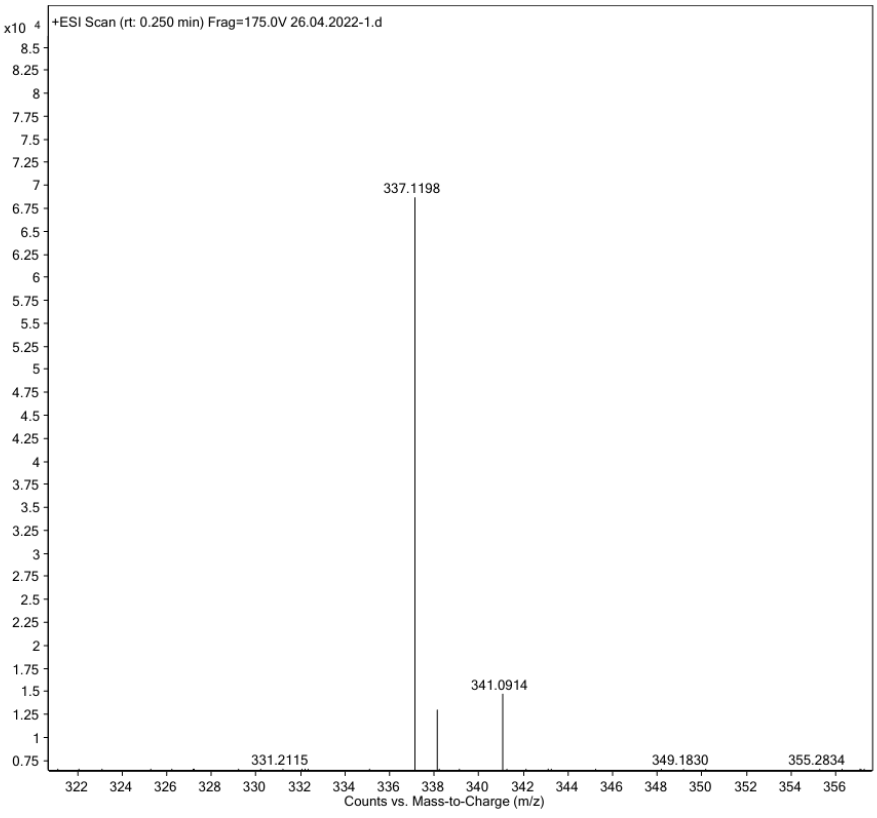


Figure-S92. HR-MS(ESI^+) spectrum of compound **3f**

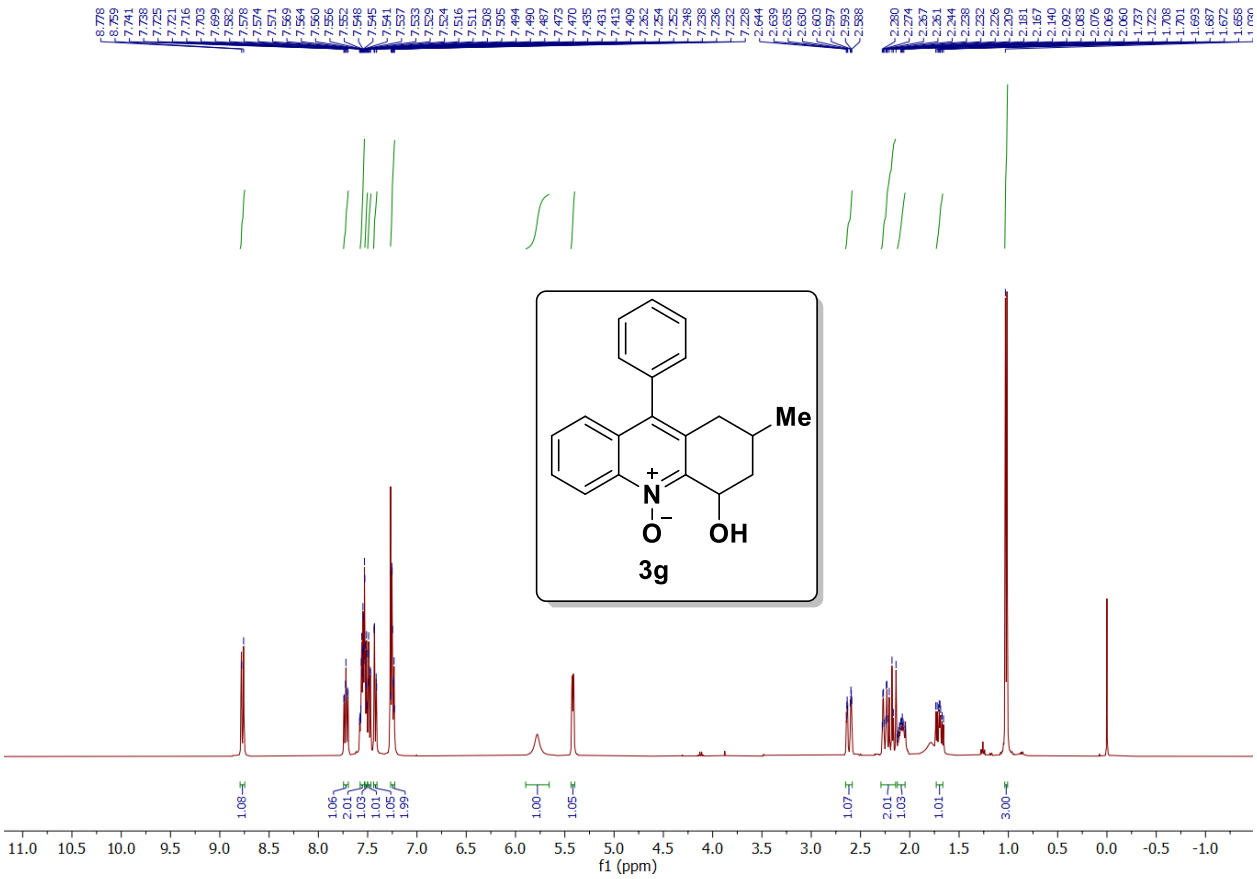


Figure-S93. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3g**

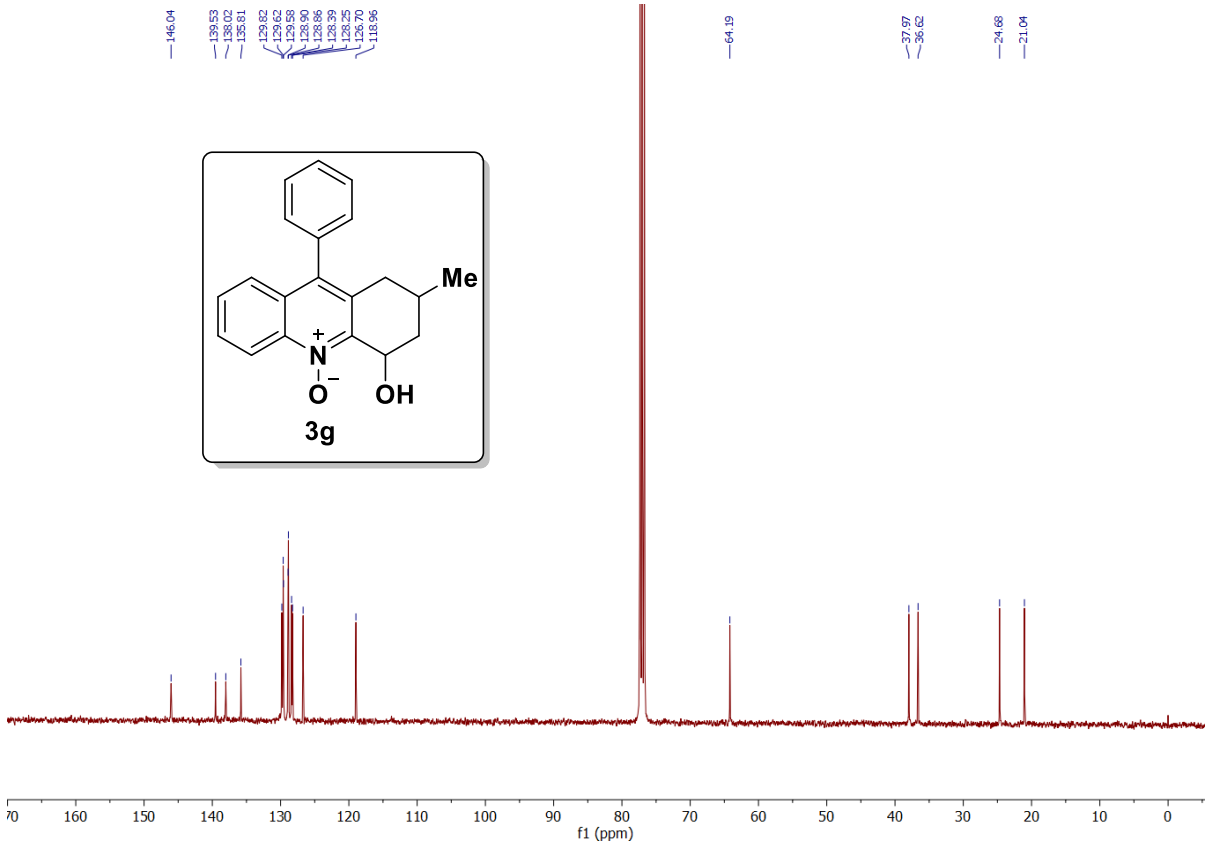


Figure-S94. 13C{^{1}H} NMR (100 MHz, $CDCl_3$) spectrum of compound **3g**

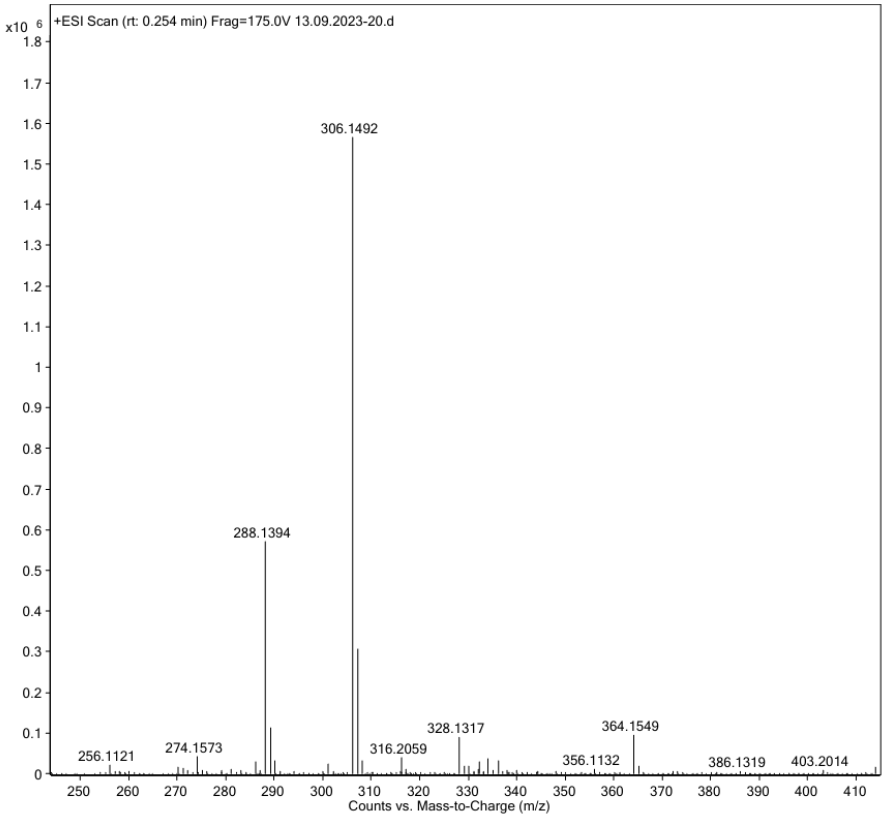


Figure-S95. HR-MS(ESI$^+$) spectrum of compound **3g**

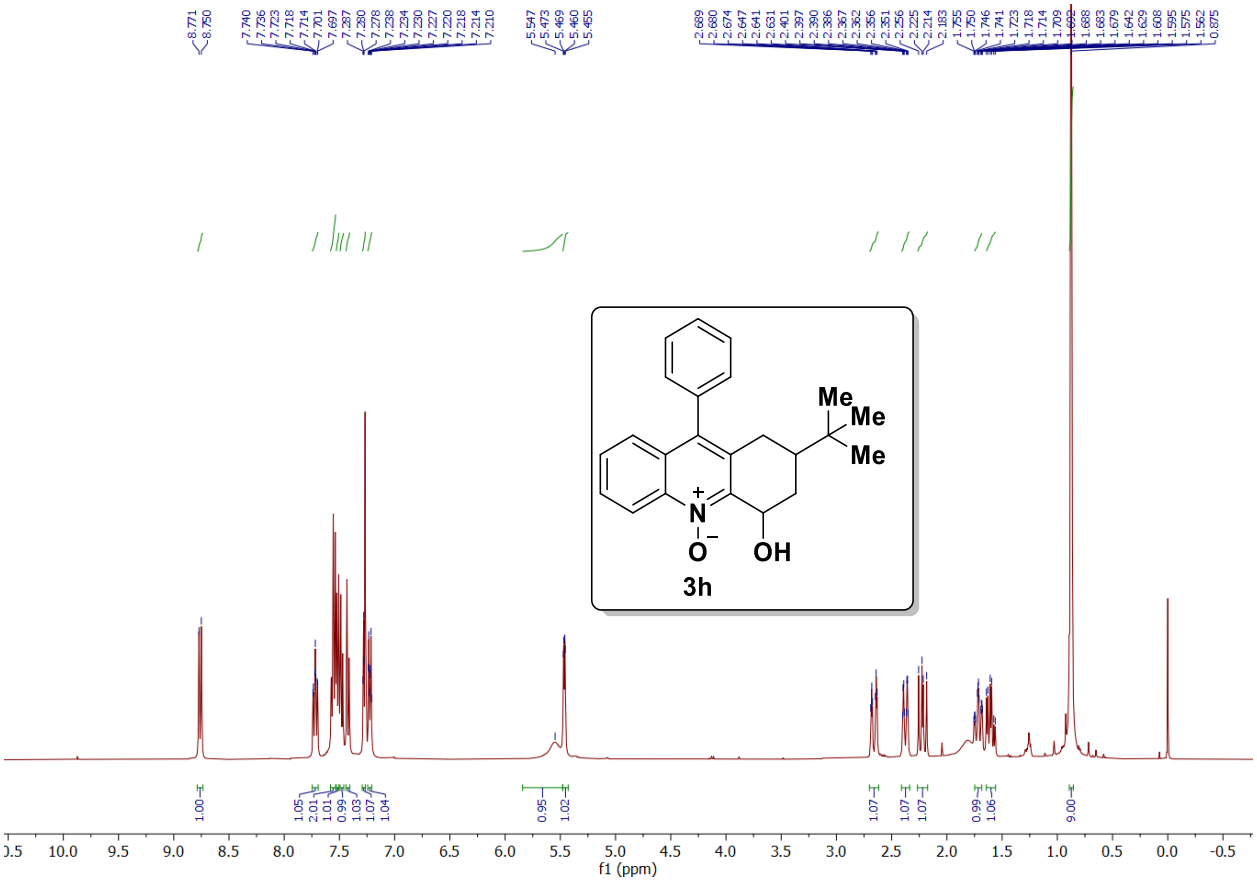


Figure-S96. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3h**

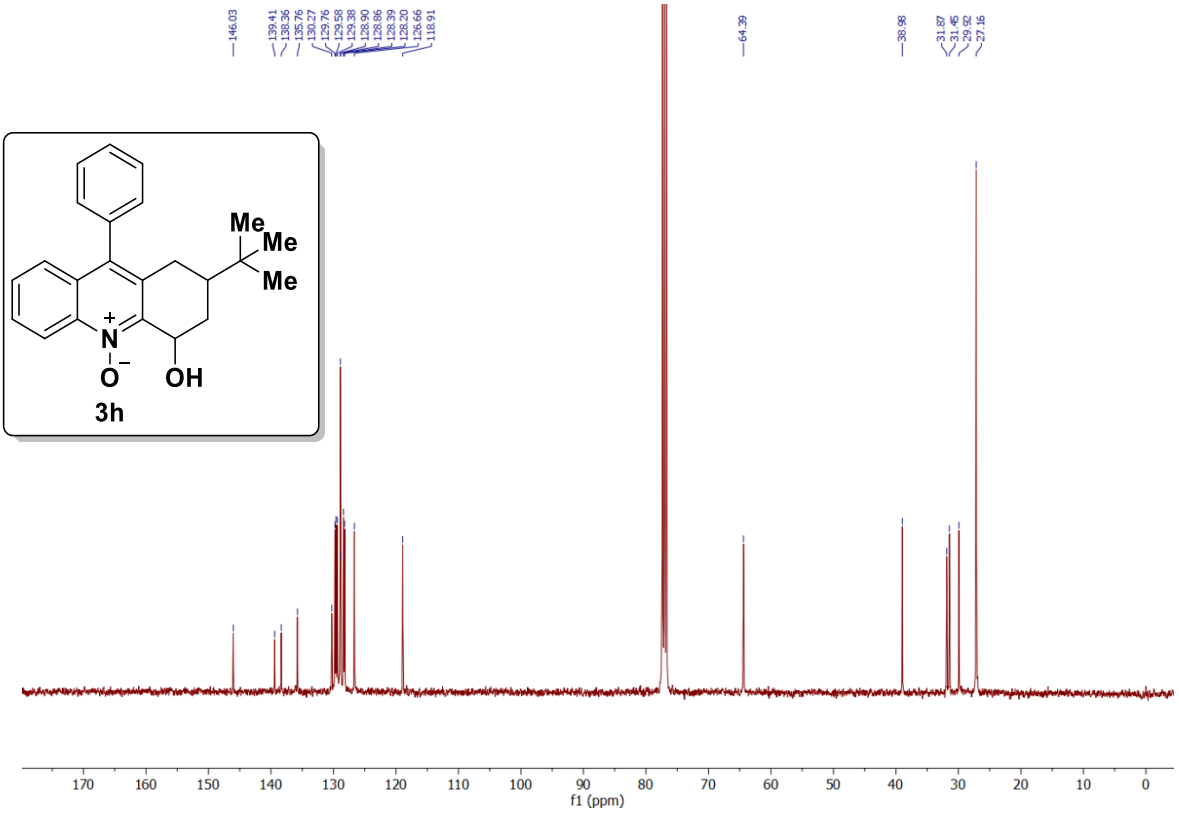


Figure-S97. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3h**

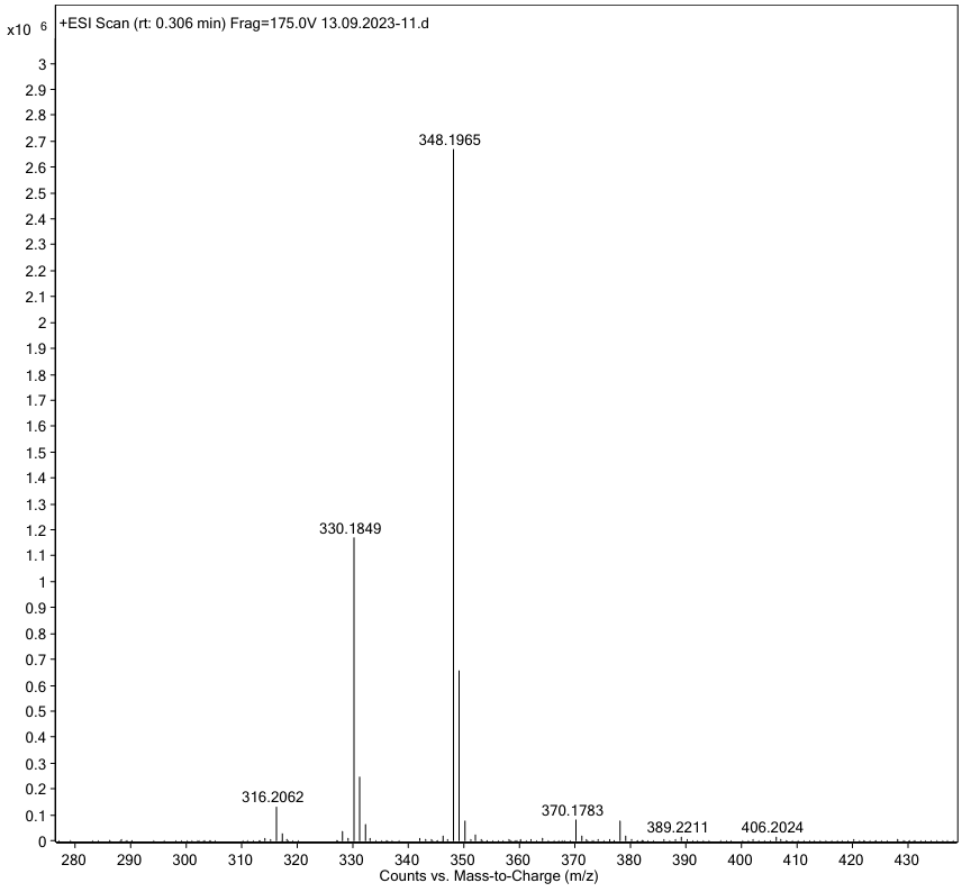


Figure-S98. HR-MS(ESI^{+}) spectrum of compound **3h**

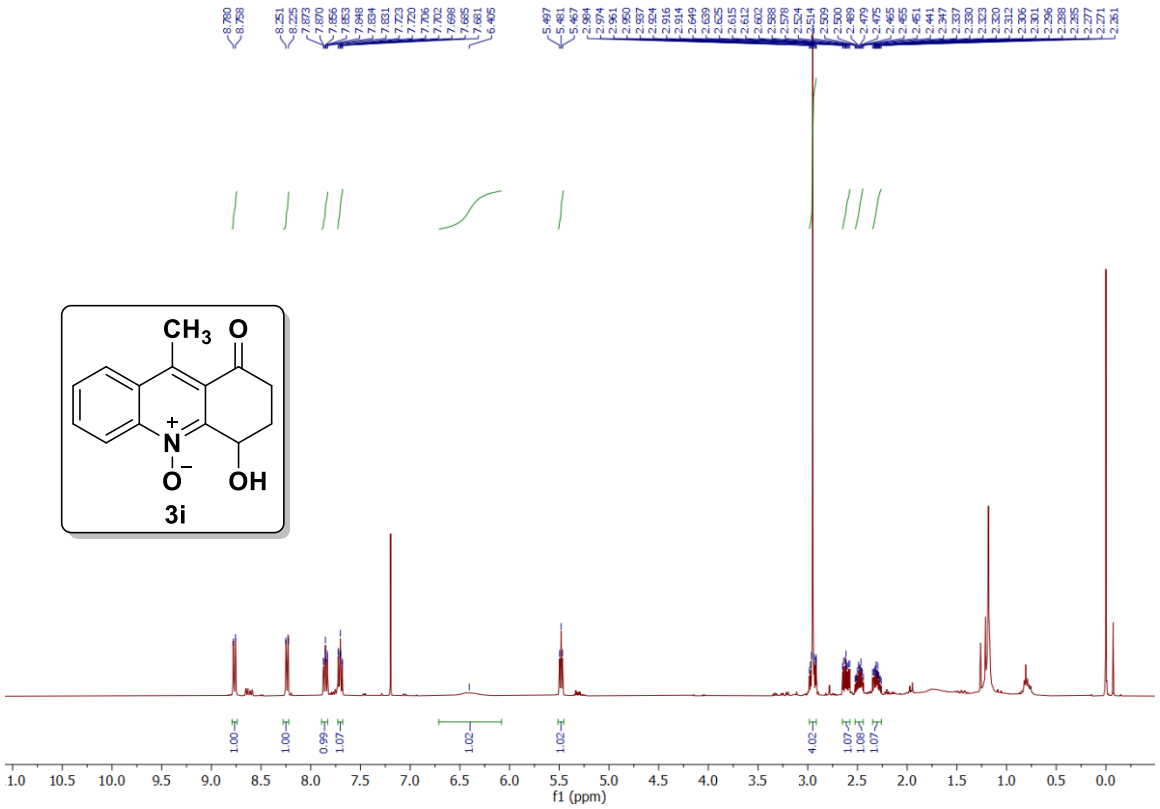


Figure-S99. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3h**

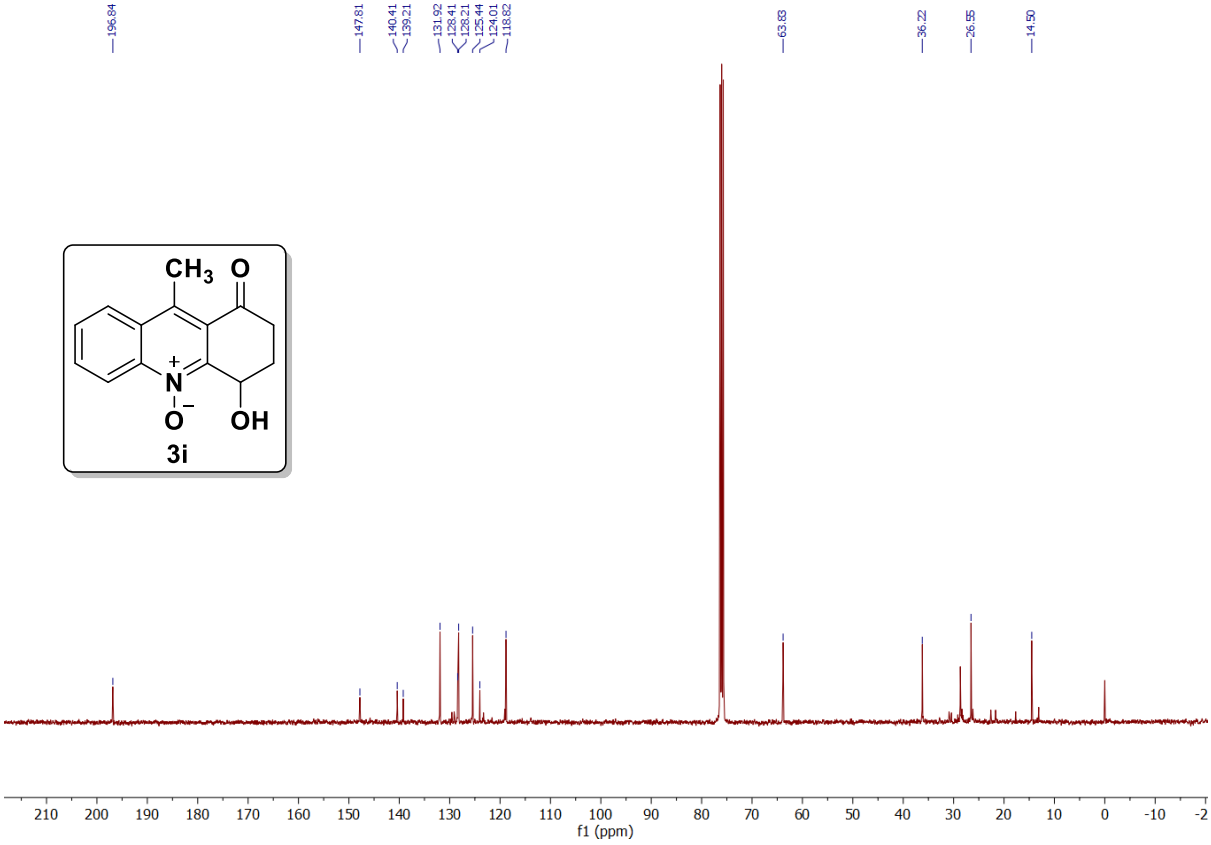


Figure-S100. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3h**

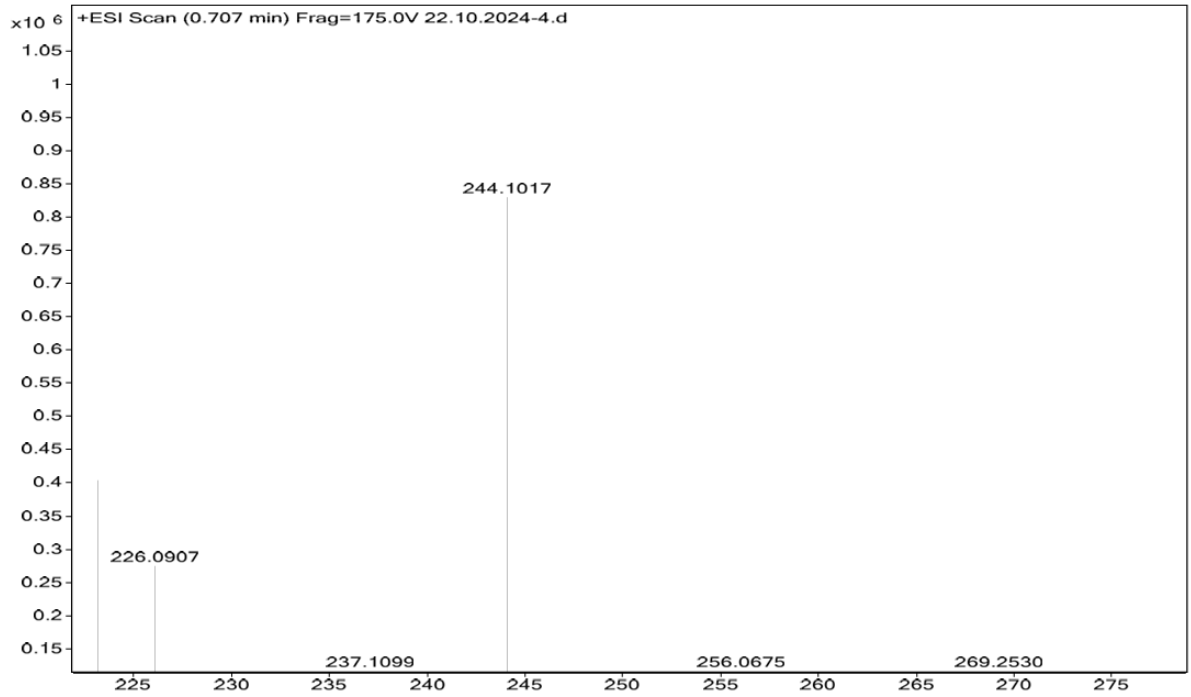


Figure-S101. HR-MS(ESI$^+$) spectrum of compound **3i**

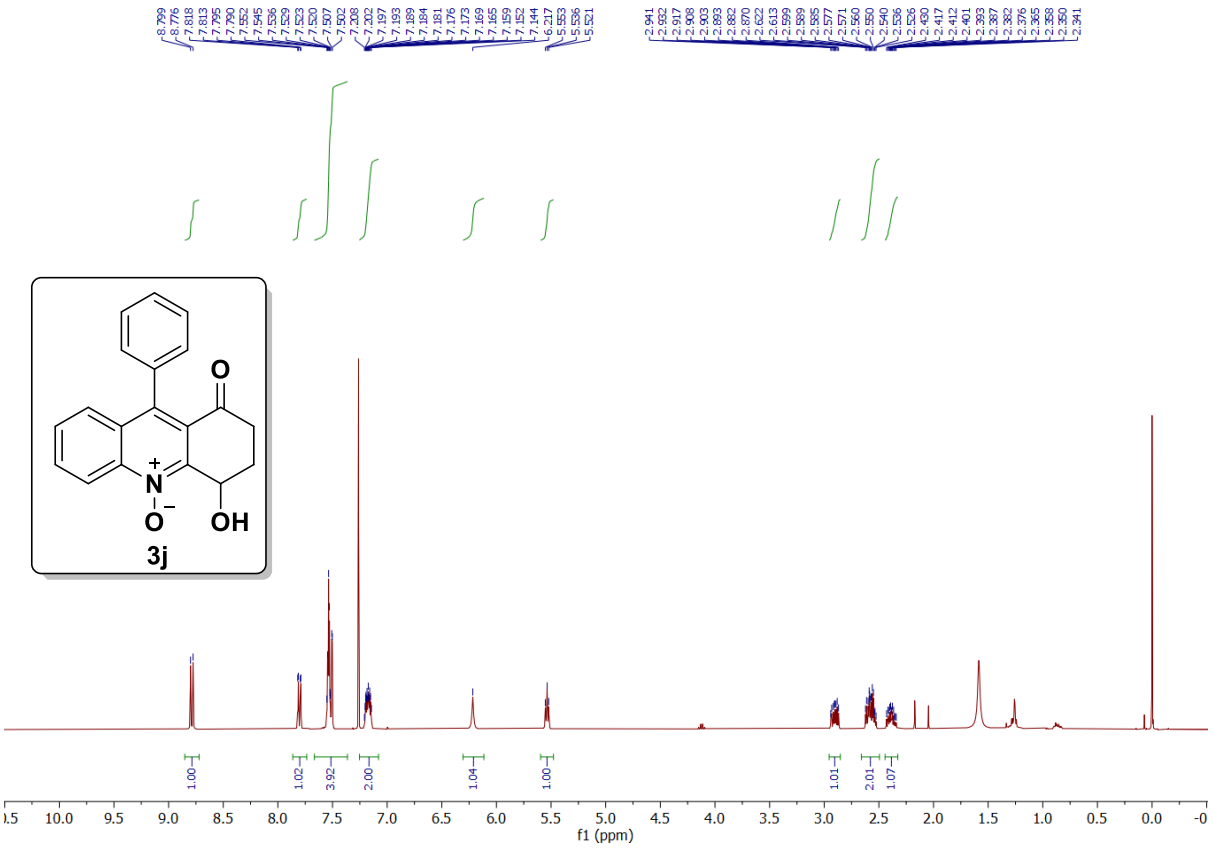


Figure-S102. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3j**

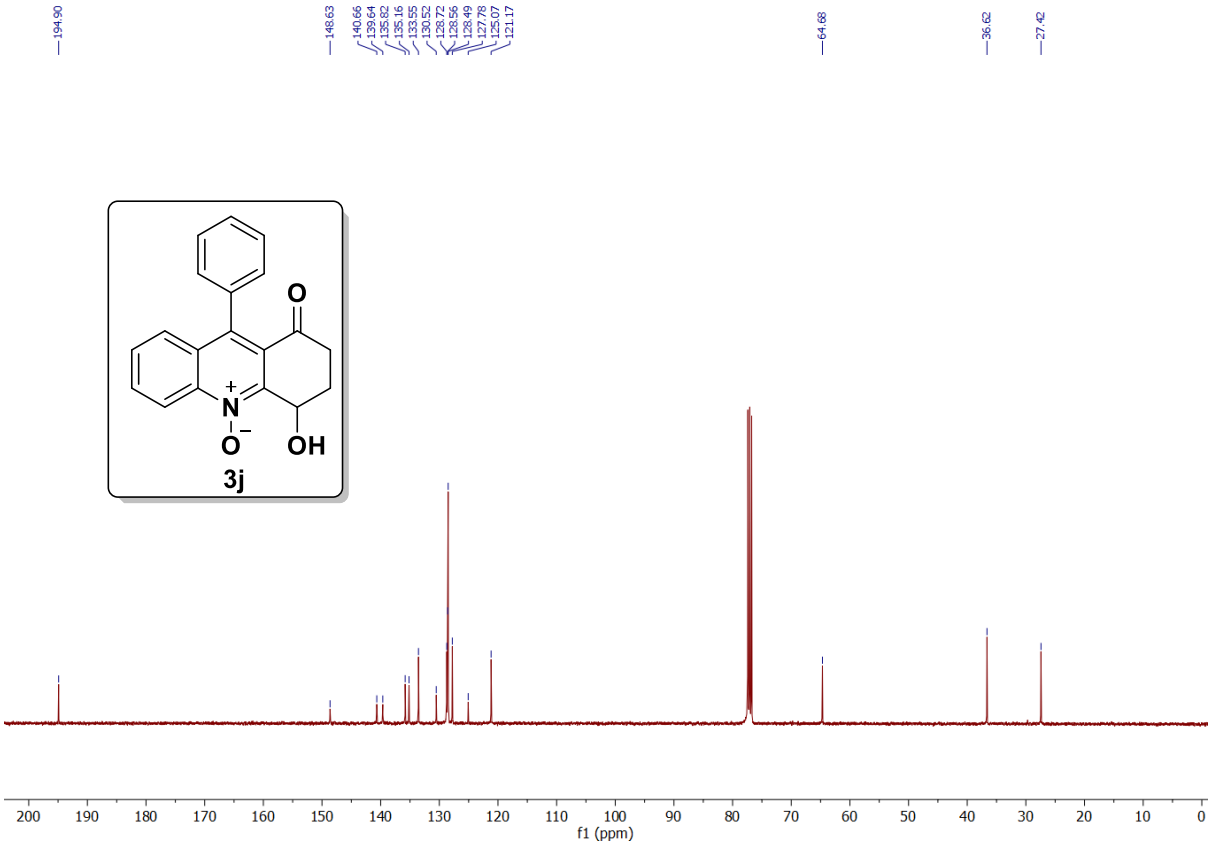


Figure-S103. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3j**

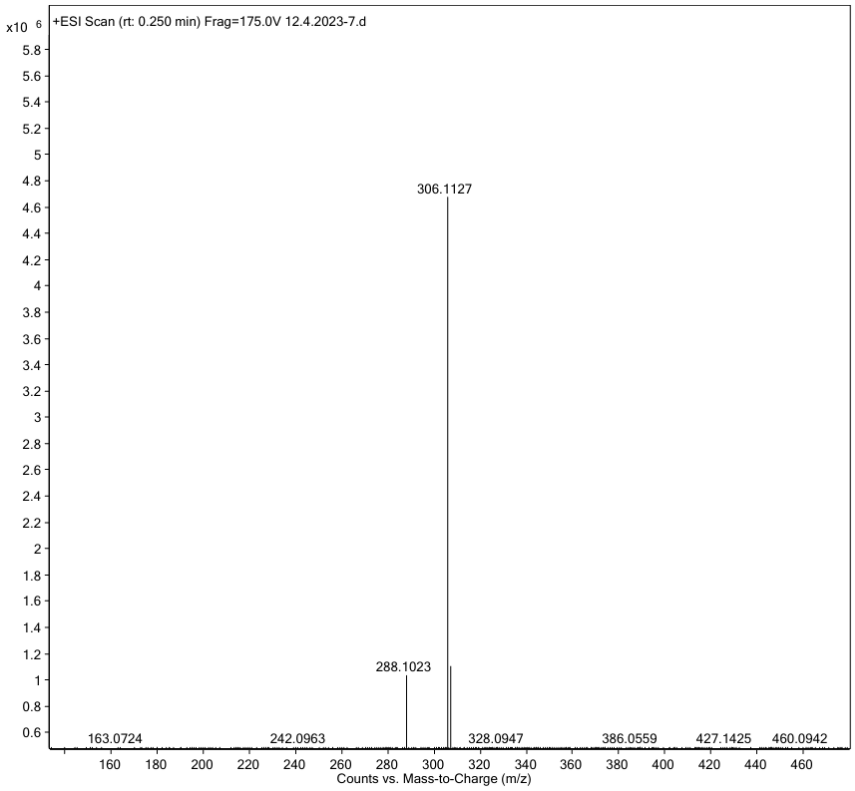


Figure-S104. HR-MS(ESI^+) spectrum of compound **3j**

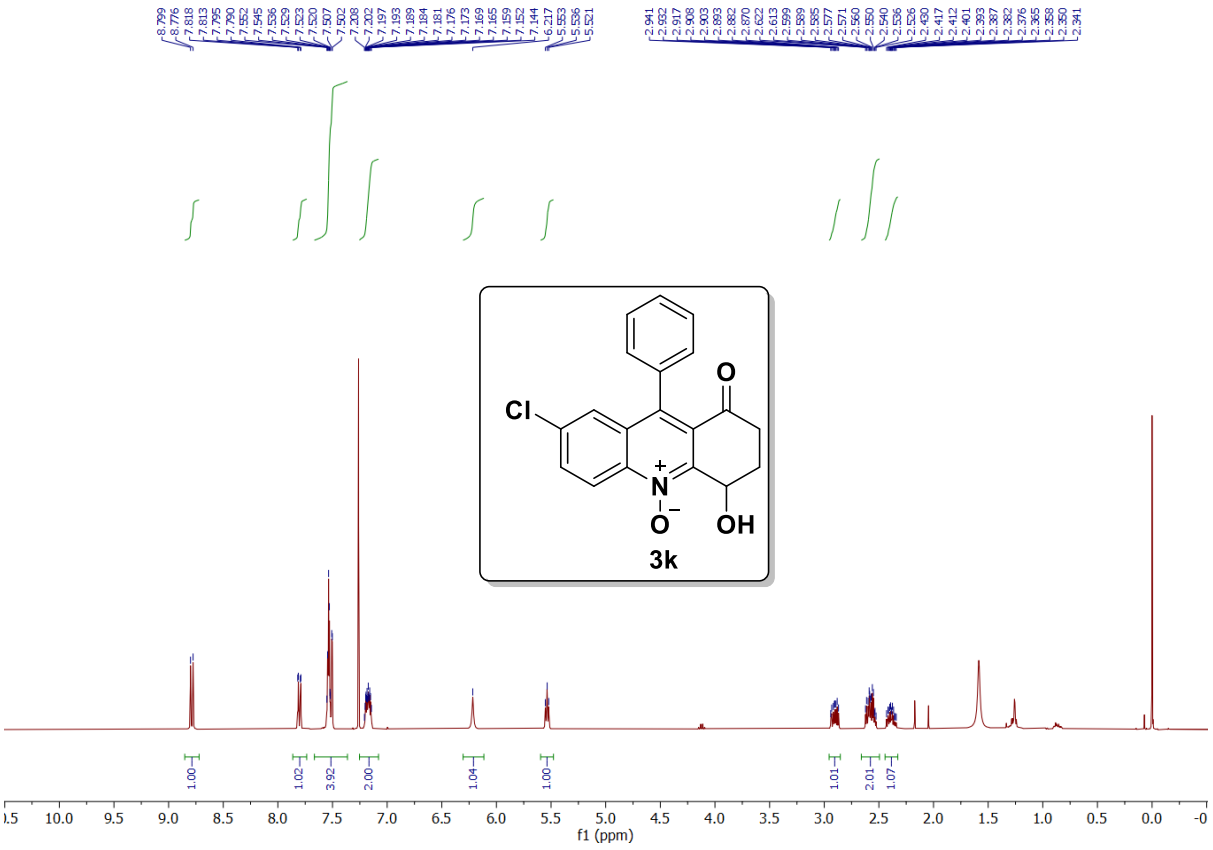


Figure-S105. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3k**

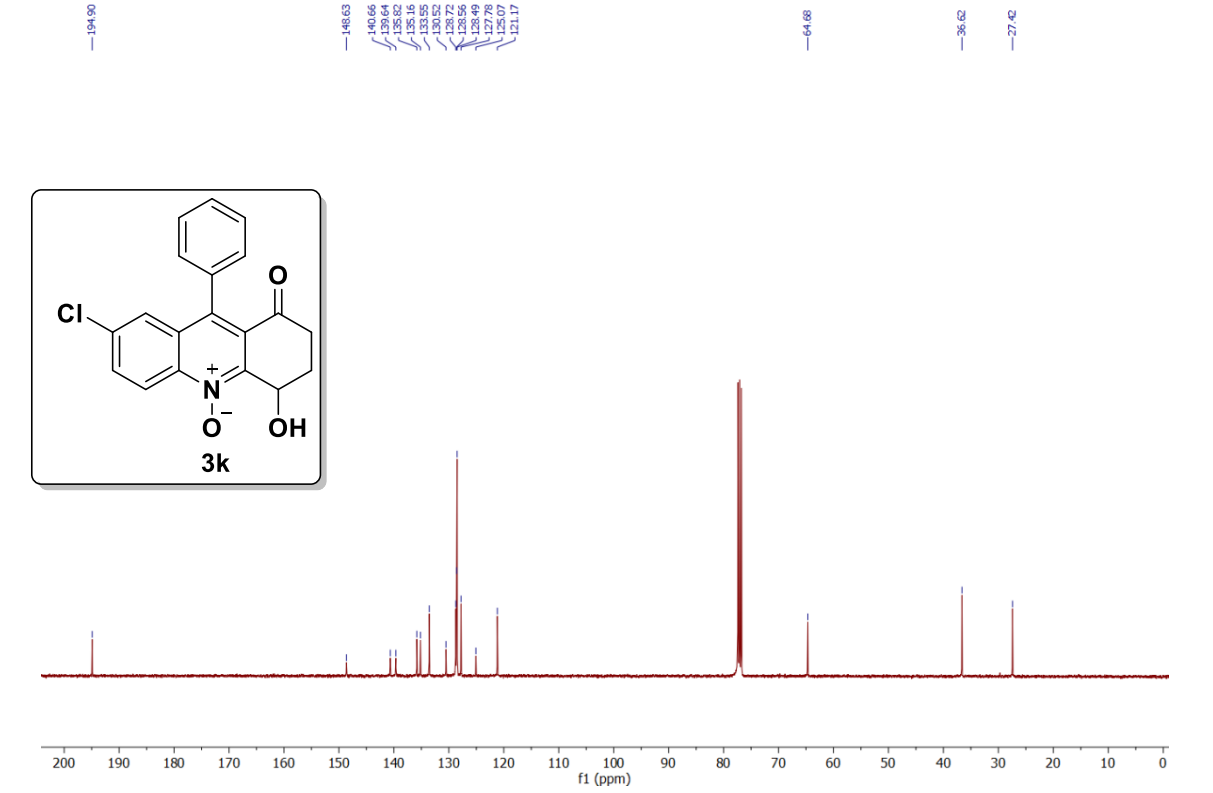


Figure-S106. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3k**

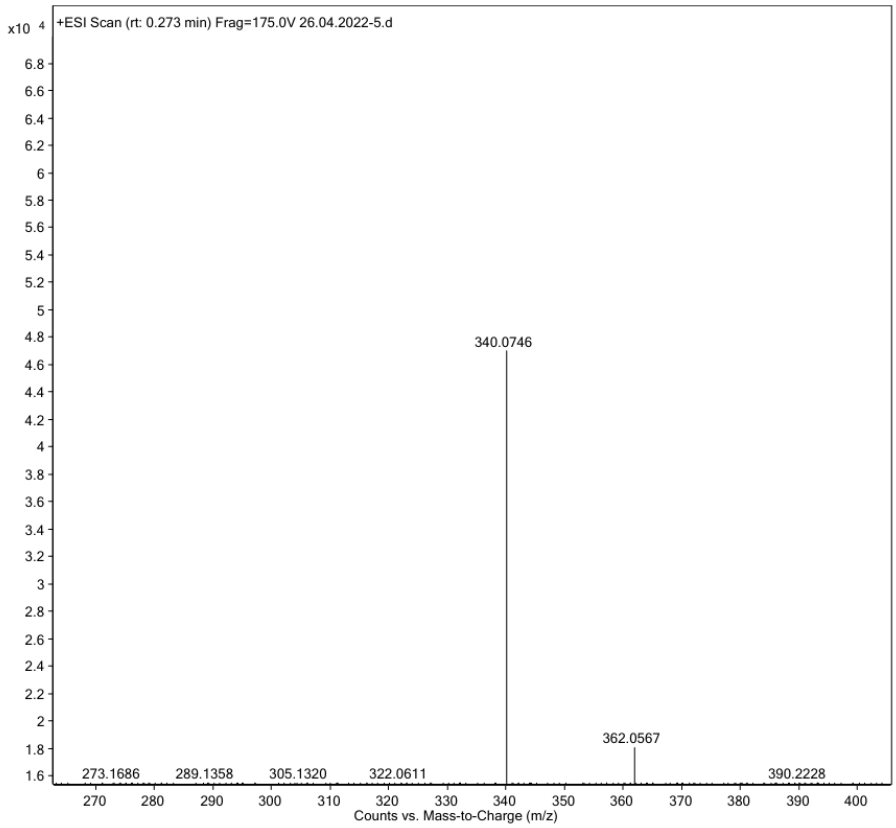


Figure-S107. HR-MS(ESI$^+$) spectrum of compound **3k**

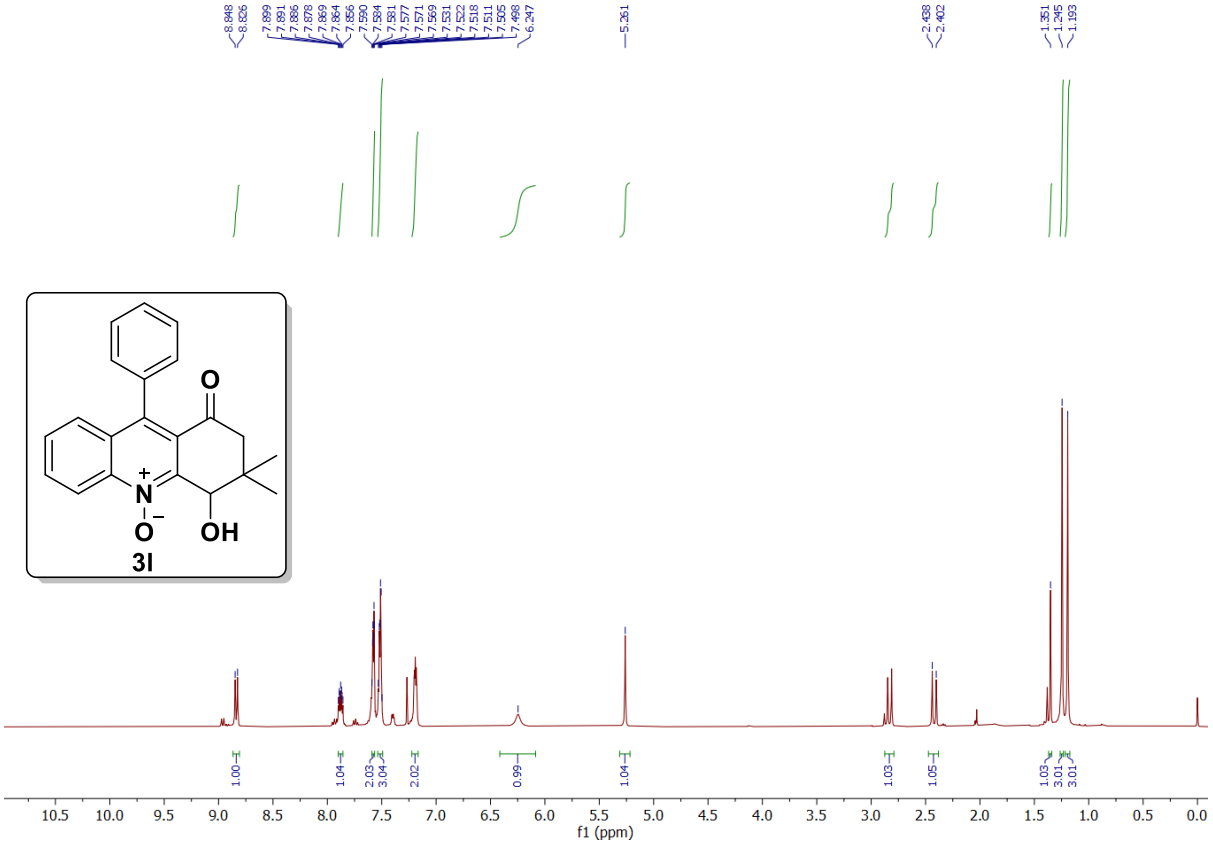


Figure-S108. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3l**

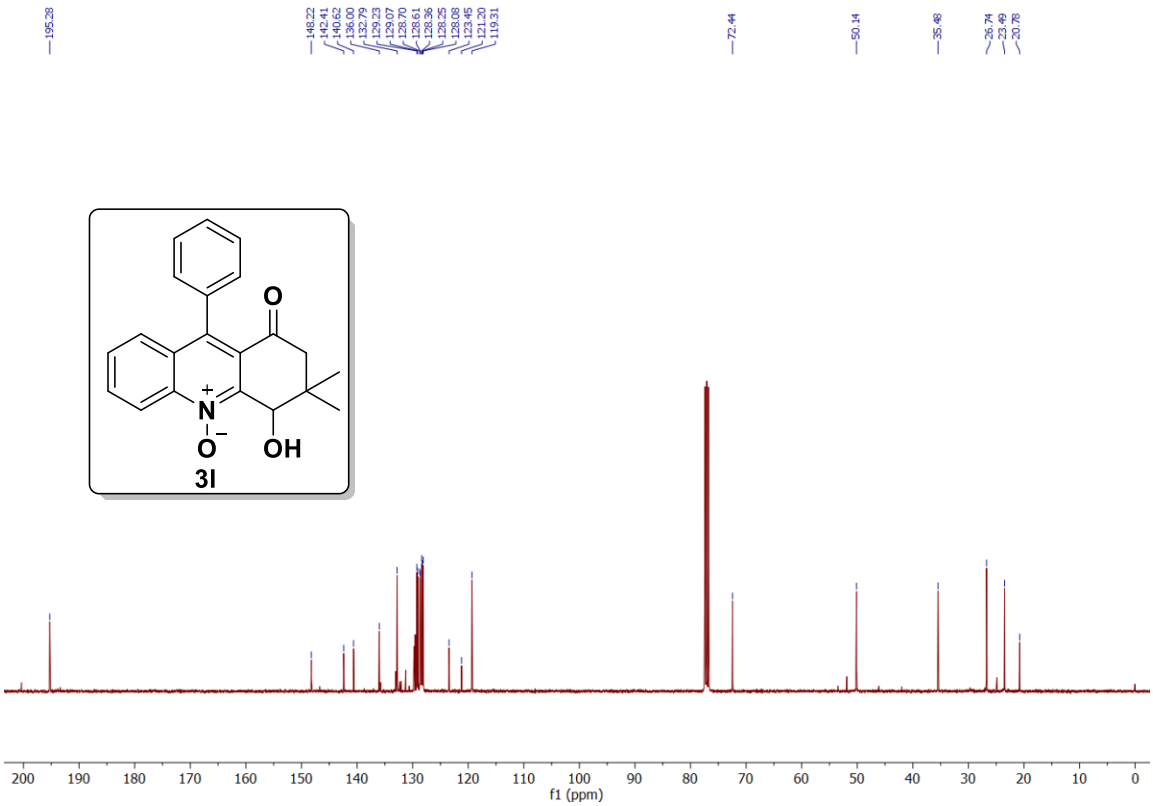


Figure-S109. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3l**

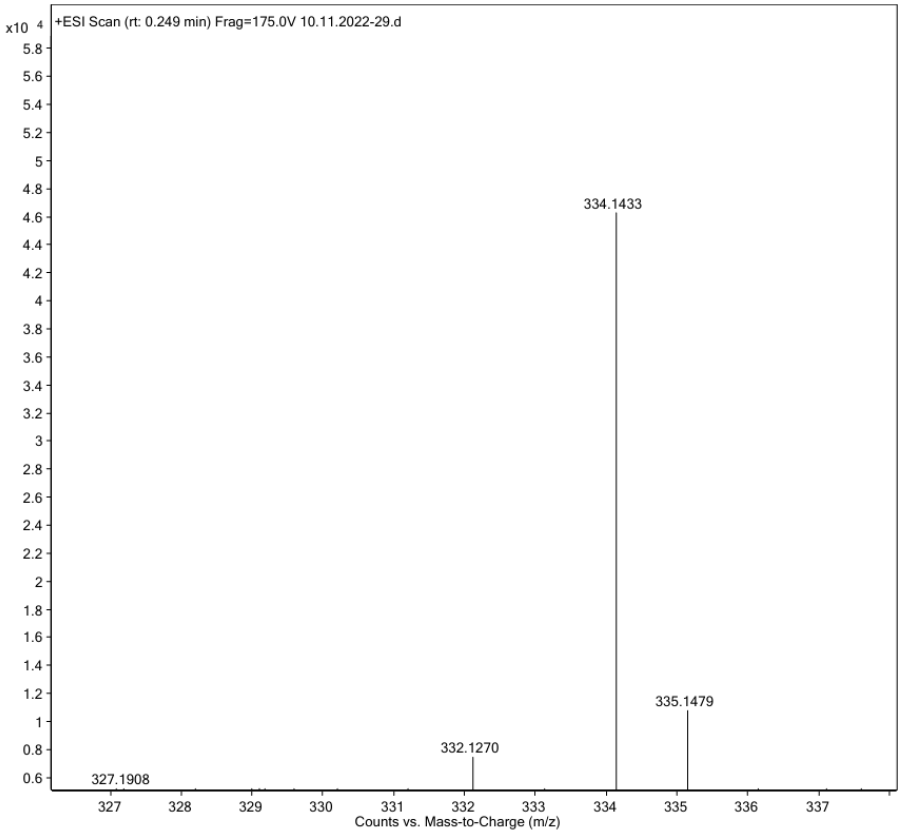


Figure-S110. HR-MS(ESI^{+}) spectrum of compound **3l**

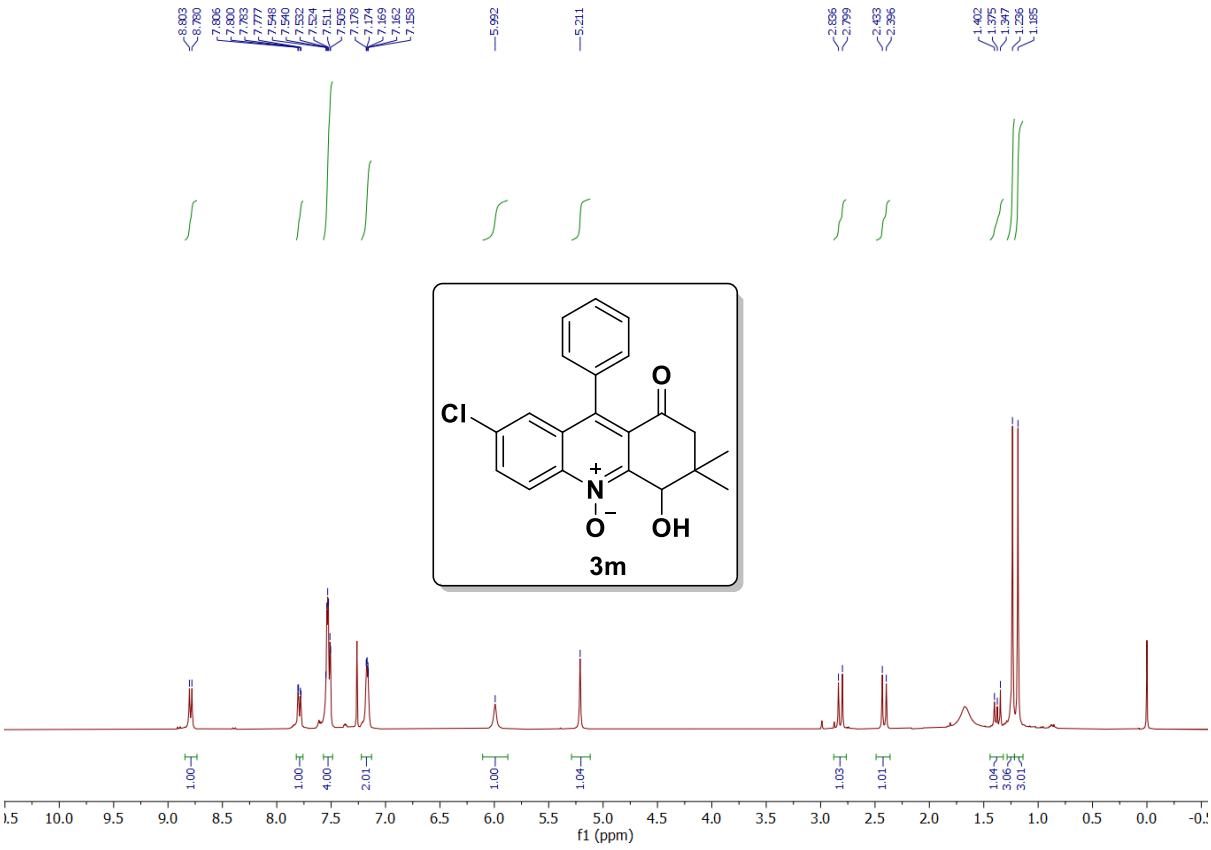


Figure-S111. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3m**

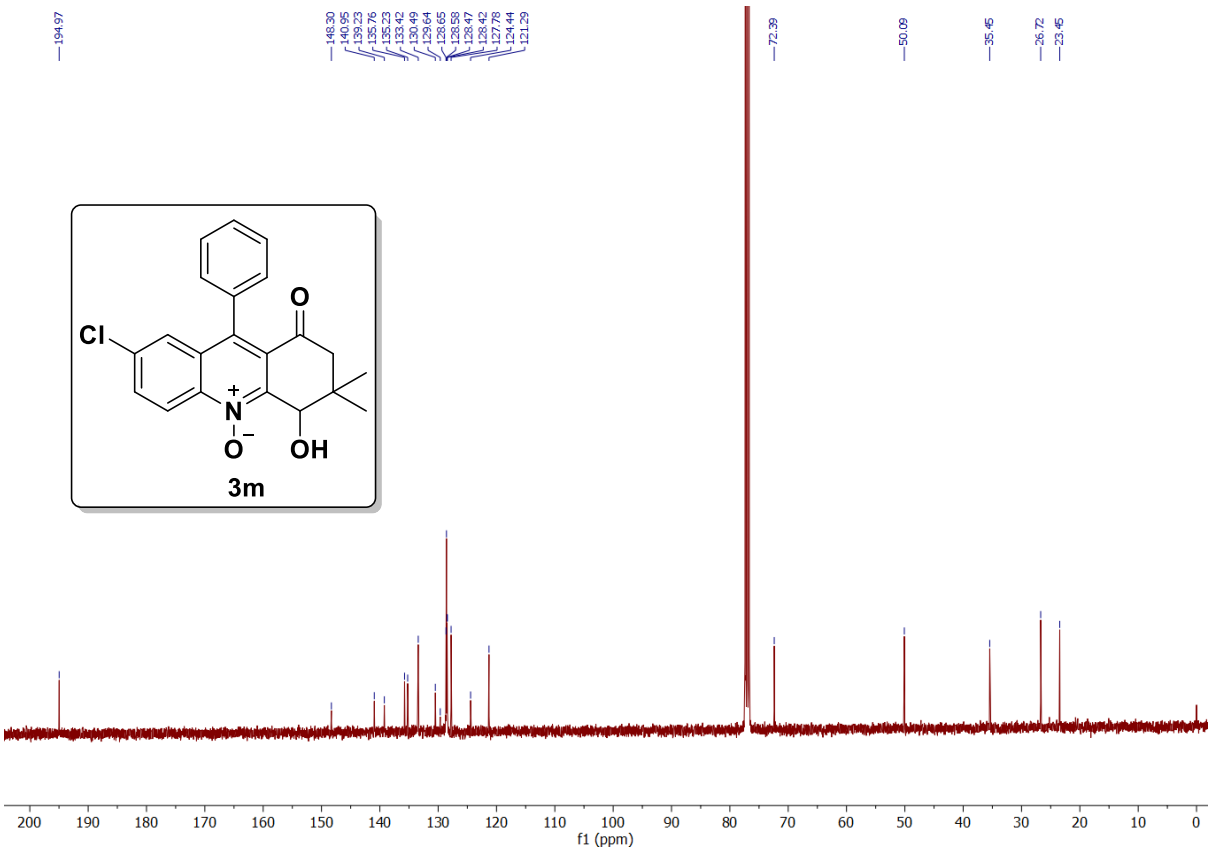


Figure-S112. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3m**

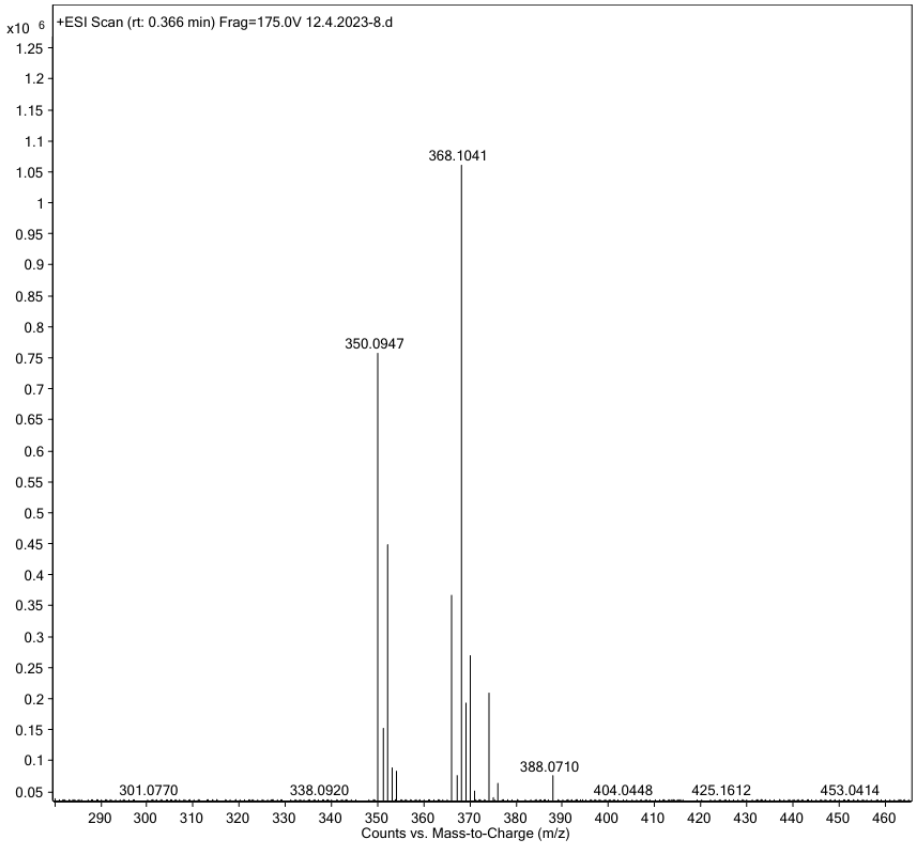


Figure-S113. HR-MS(ESI$^+$) spectrum of compound **3m**

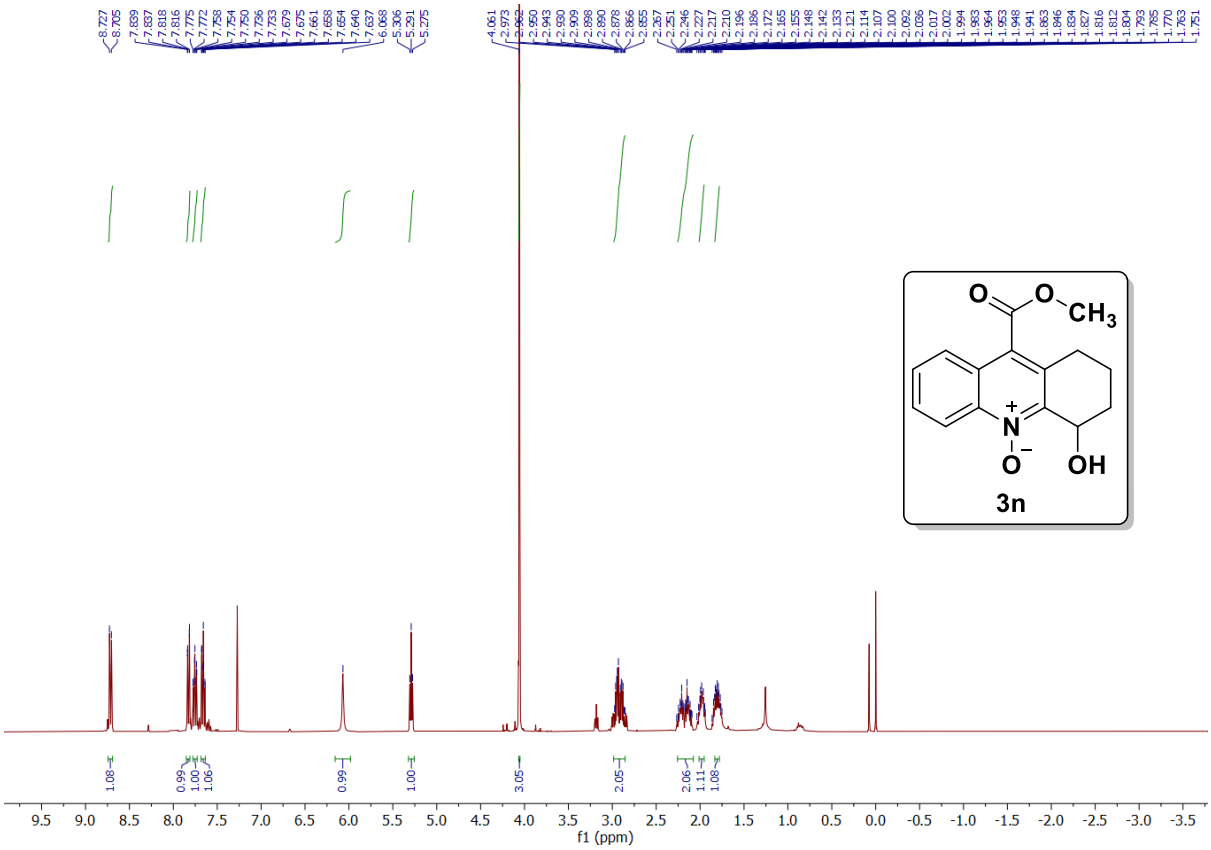


Figure-S114. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3n**

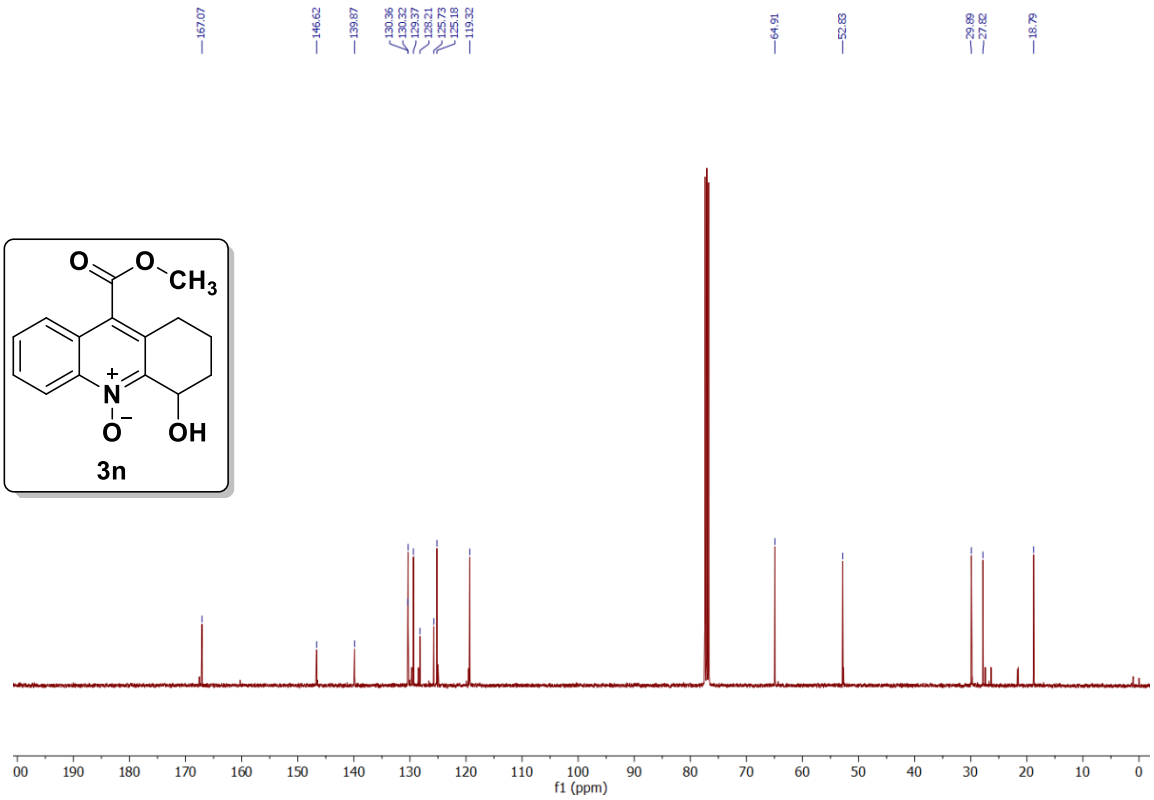


Figure-S115. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3n**

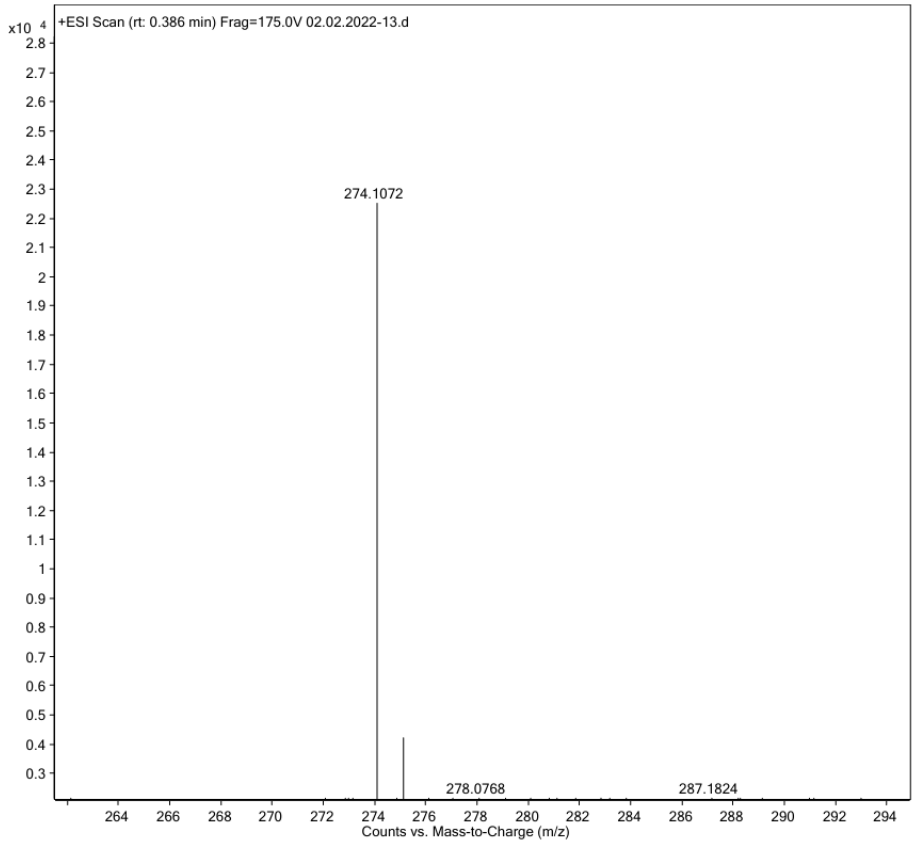


Figure-S116. HR-MS(ESI^+) spectrum of compound **3n**

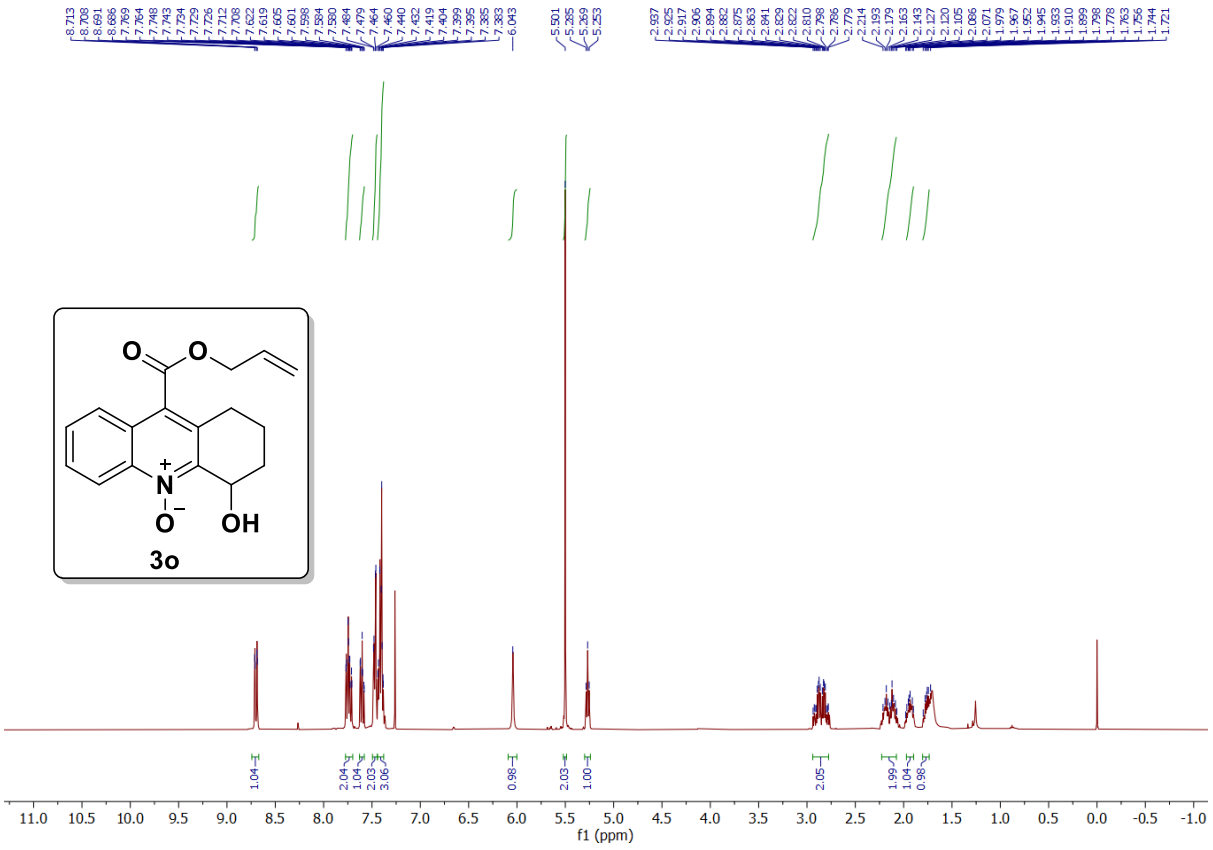


Figure-S117. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3o**

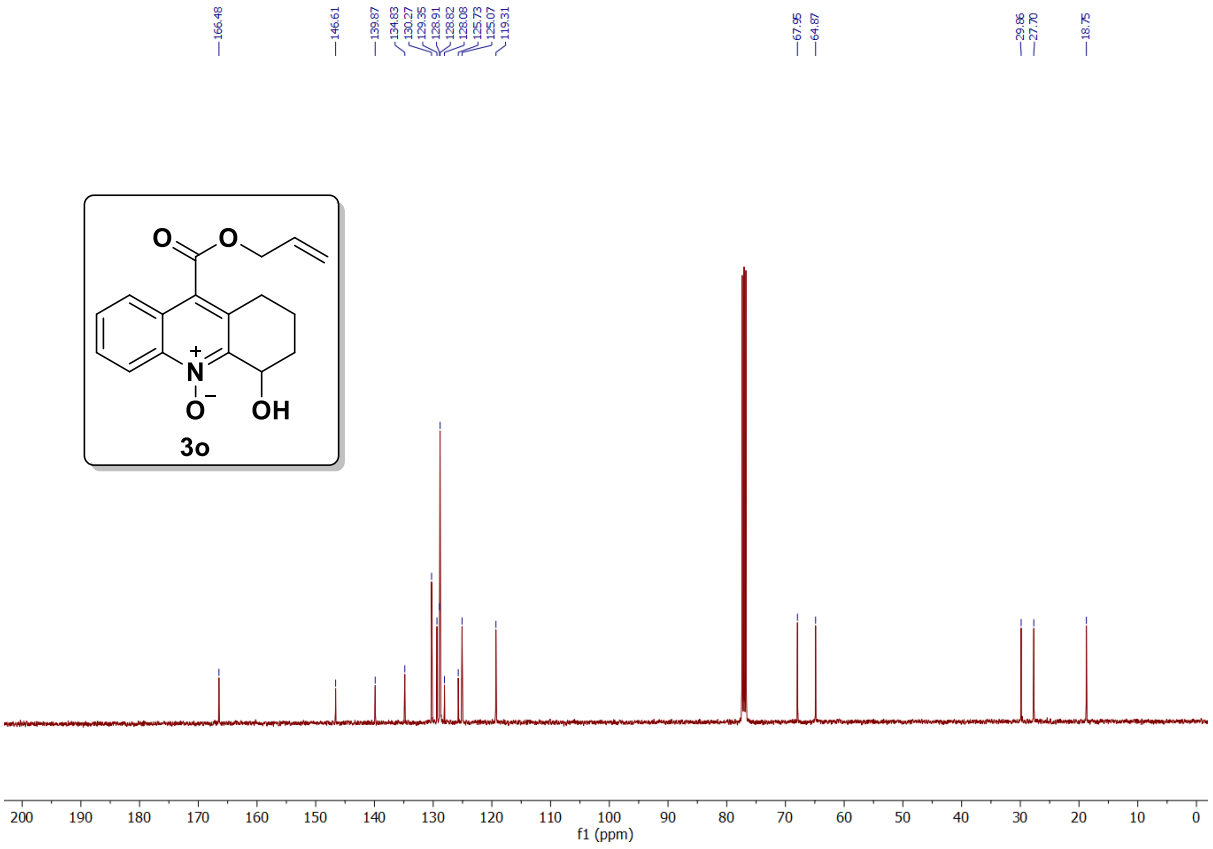


Figure-S118. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3o**

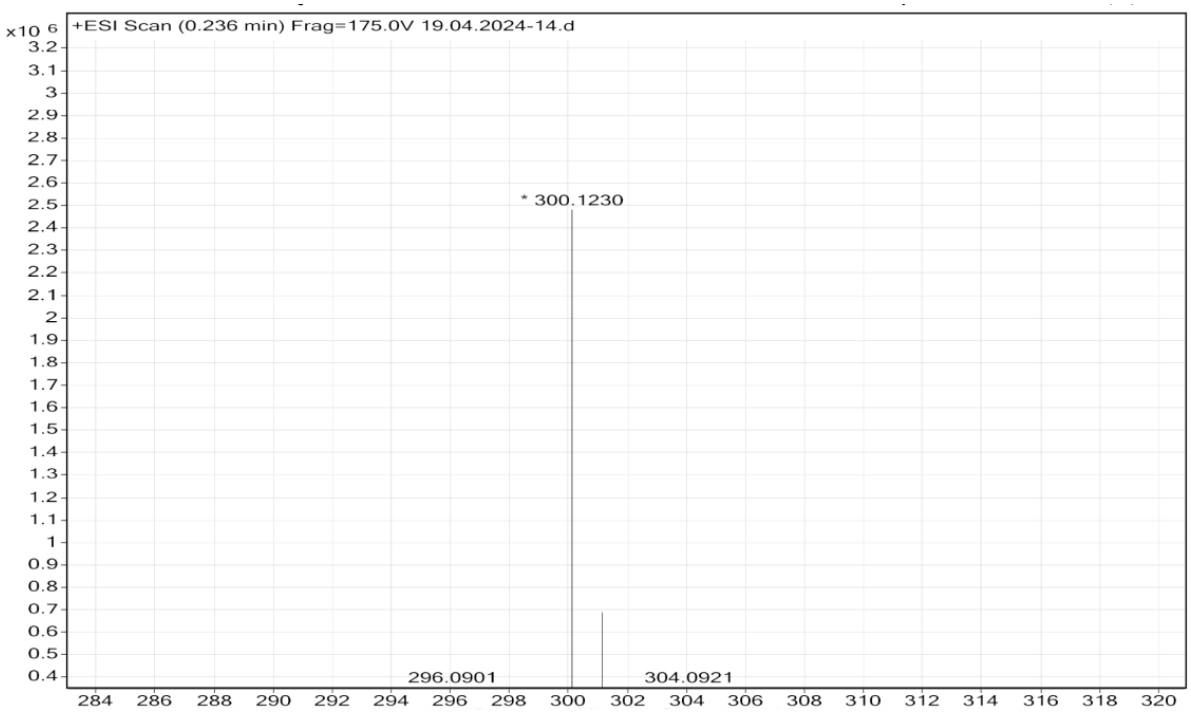


Figure-S119. HR-MS(ESI$^+$) spectrum of compound **3o**

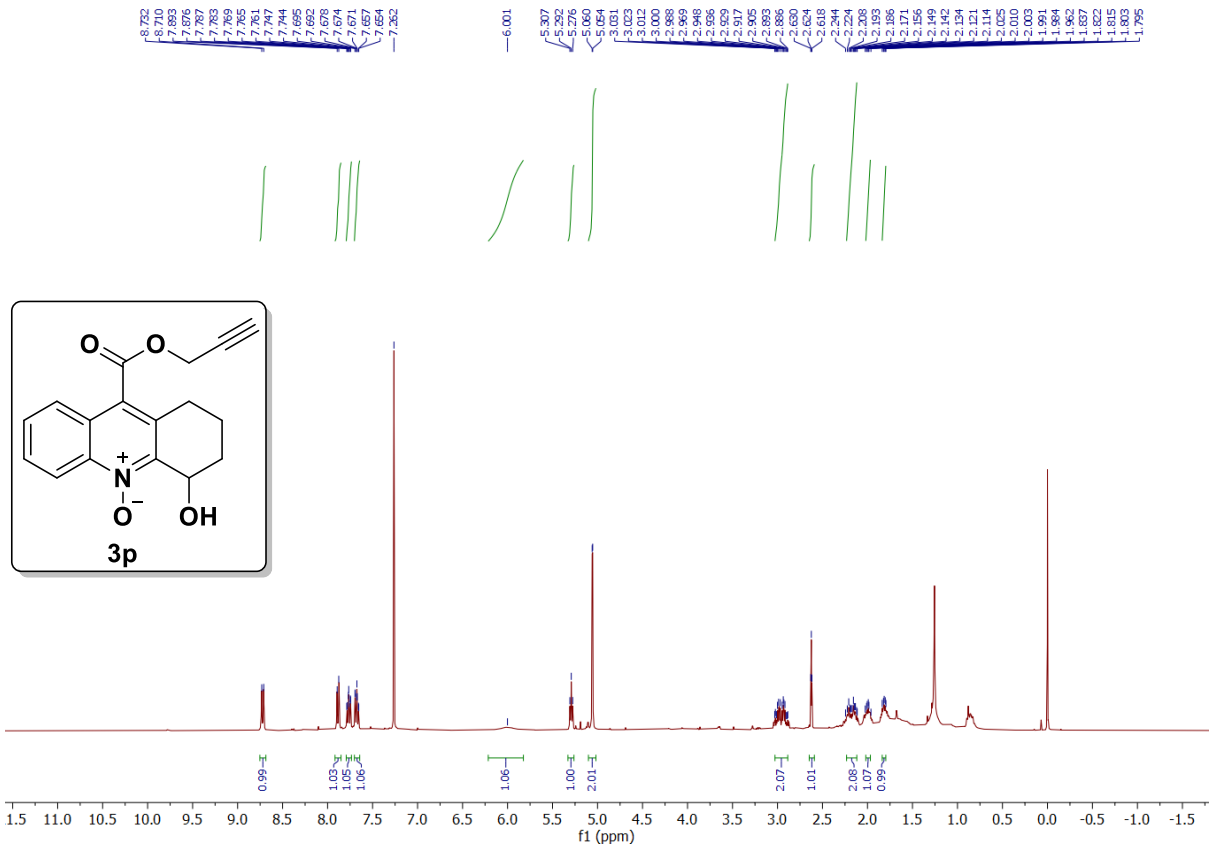


Figure-S120. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3p**

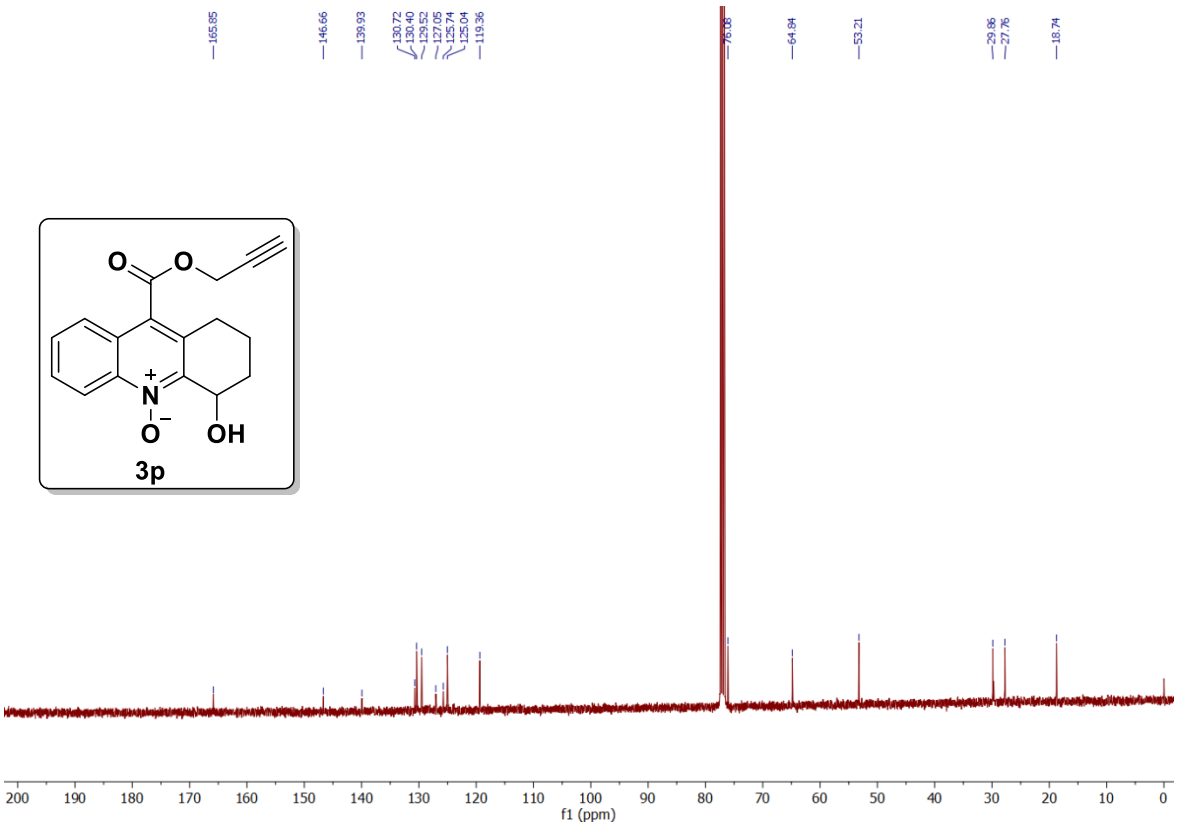


Figure-S121. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3p**

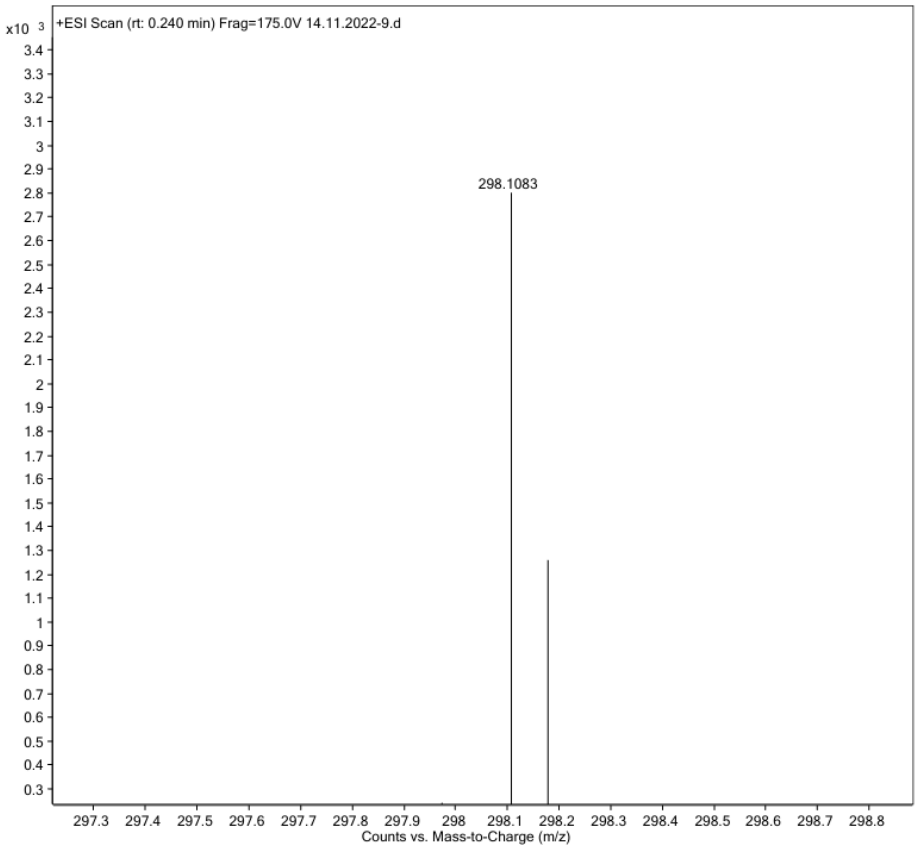


Figure-S122. HR-MS(ESI^+) spectrum of compound **3p**

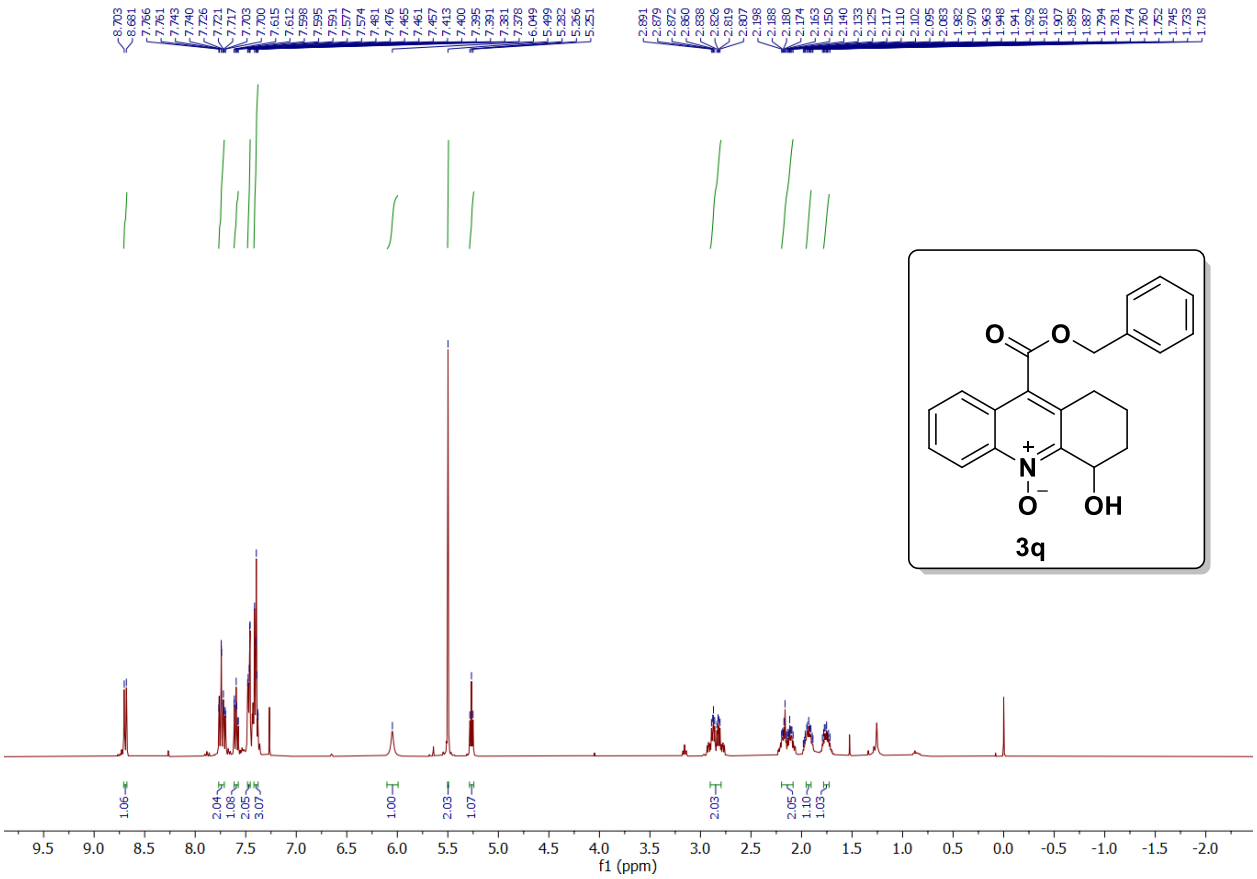


Figure-S123. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3q**

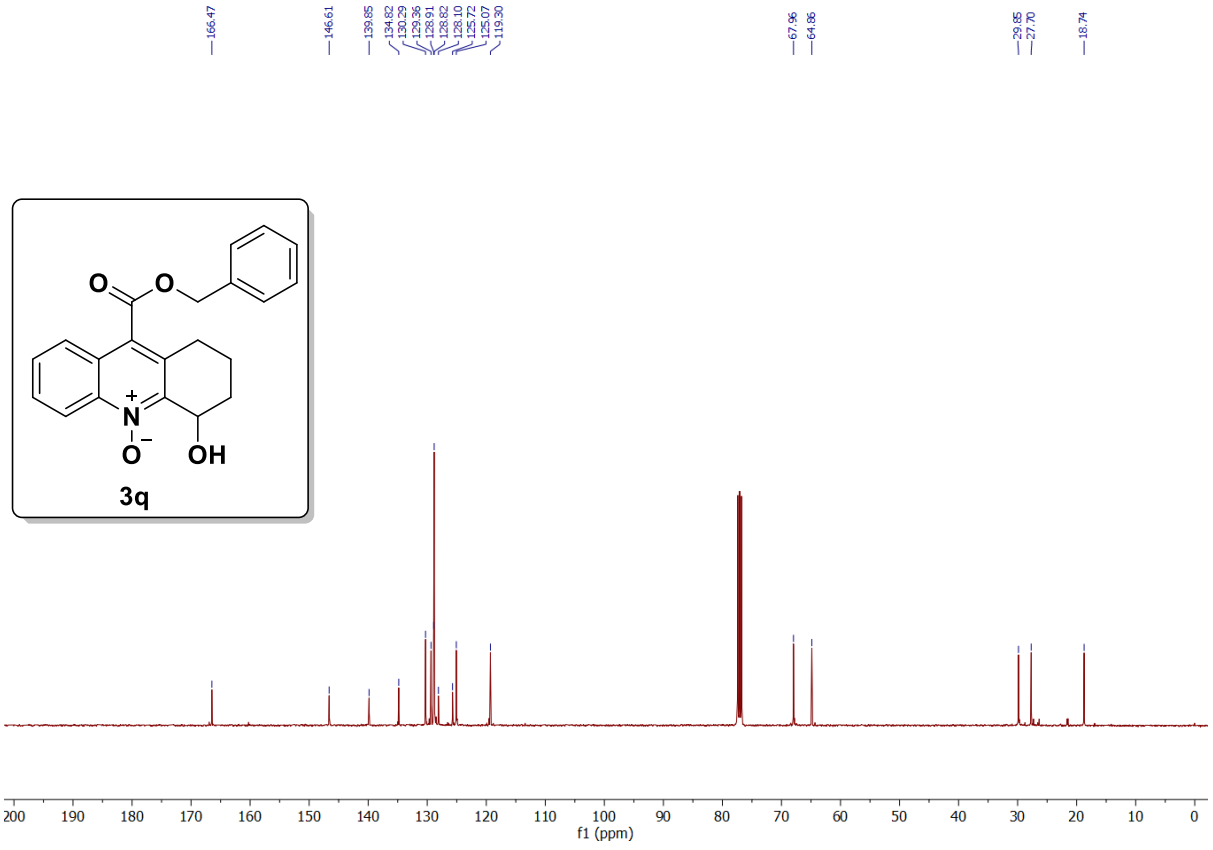


Figure-S124. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3q**

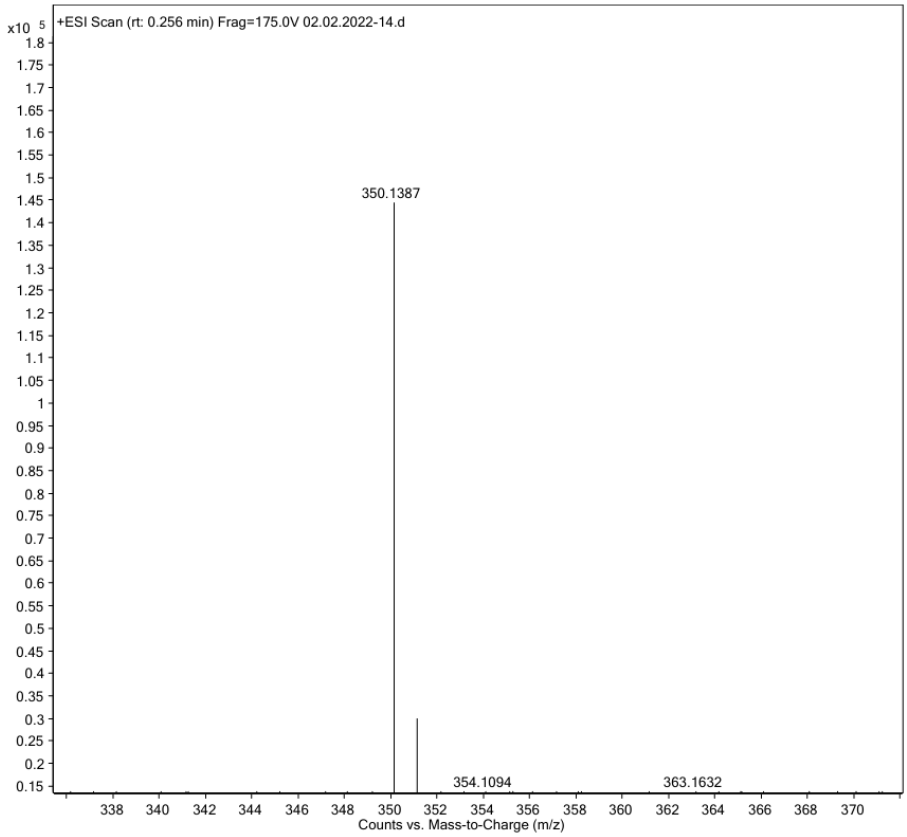


Figure-S125. HR-MS(ESI$^+$) spectrum of compound **3q**

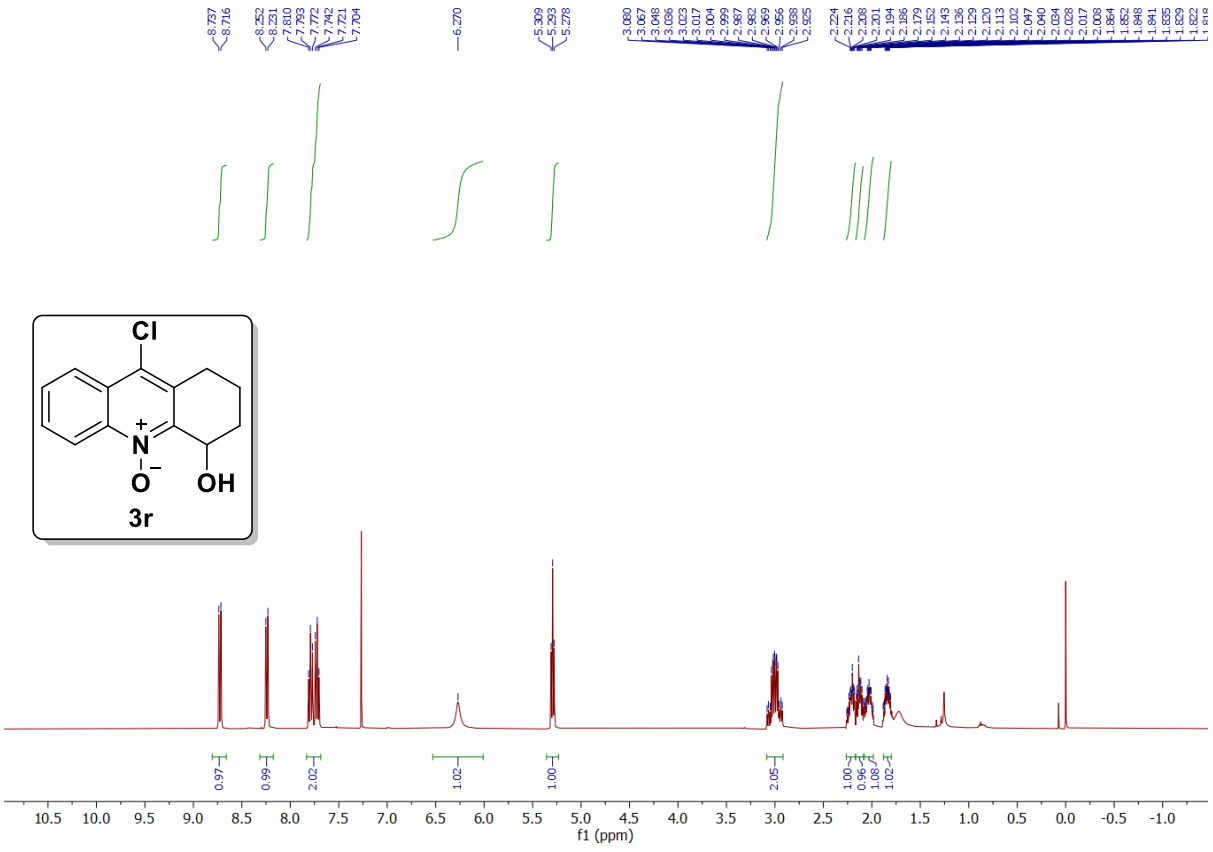


Figure-S126. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3r**

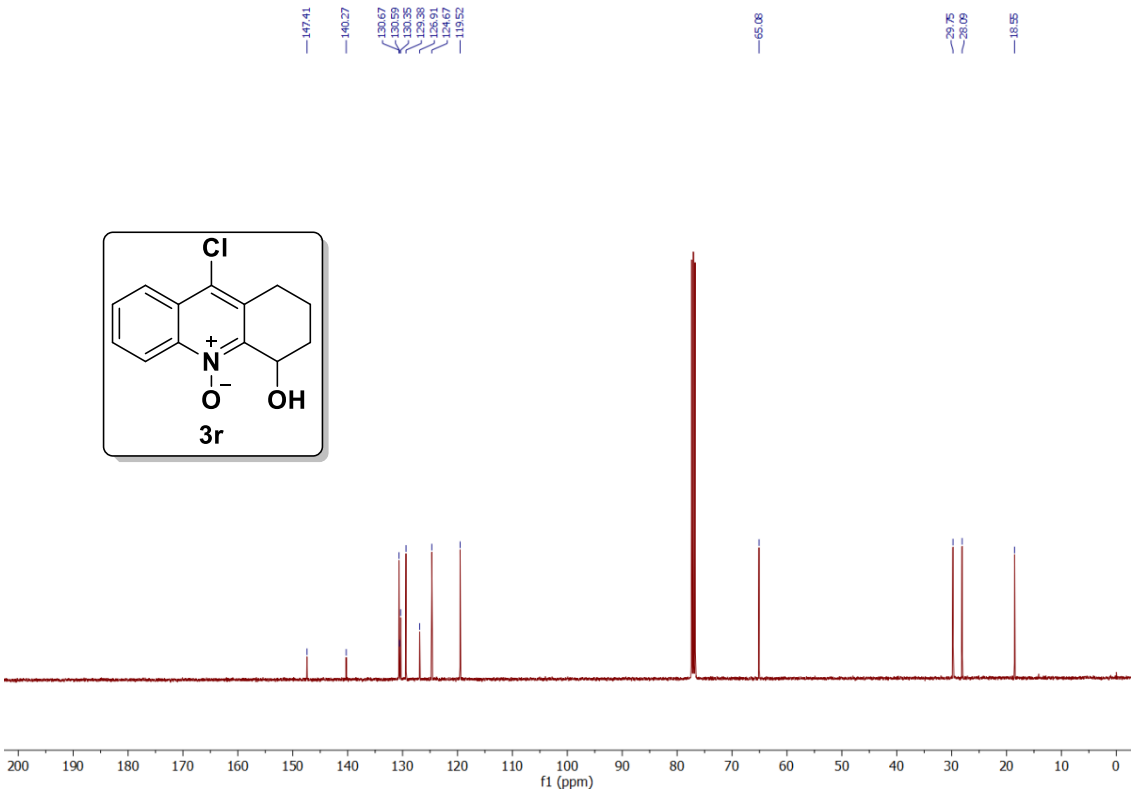


Figure-S127. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3r**

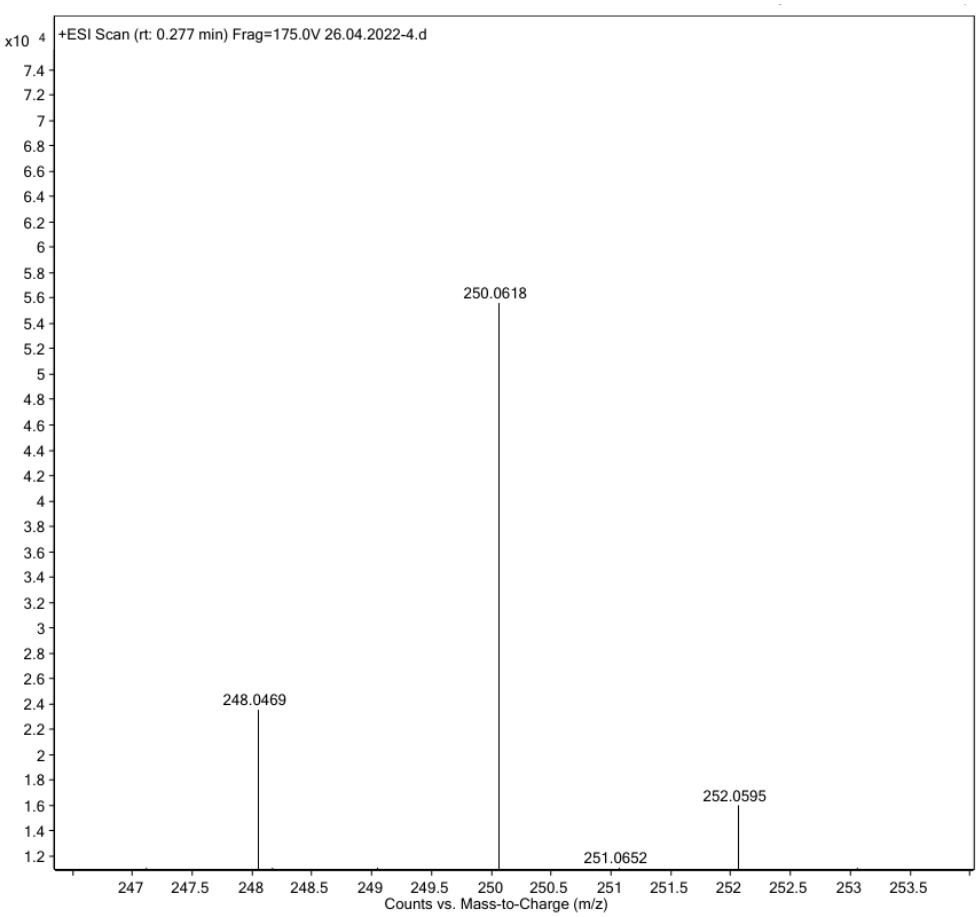


Figure-S128. HR-MS(ESI^{+}) spectrum of compound **3r**

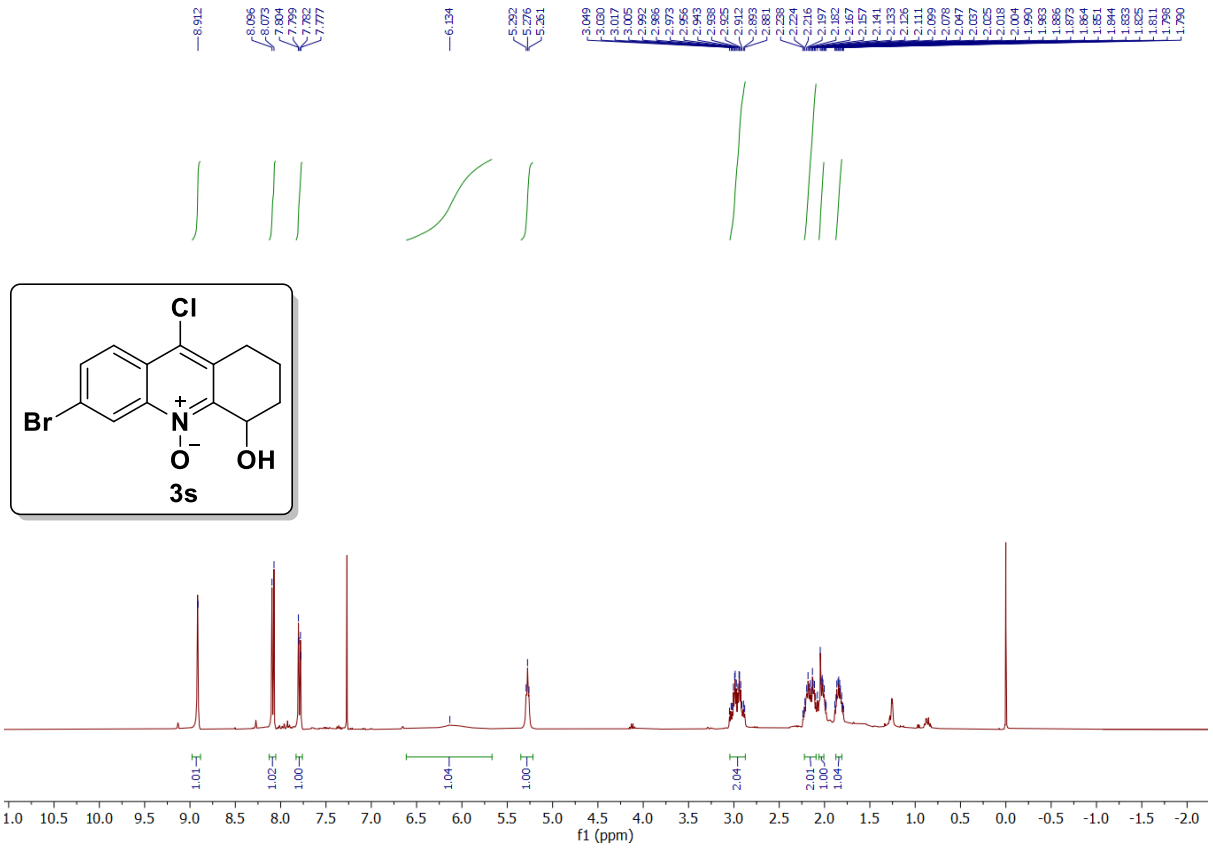


Figure-S129. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3s**

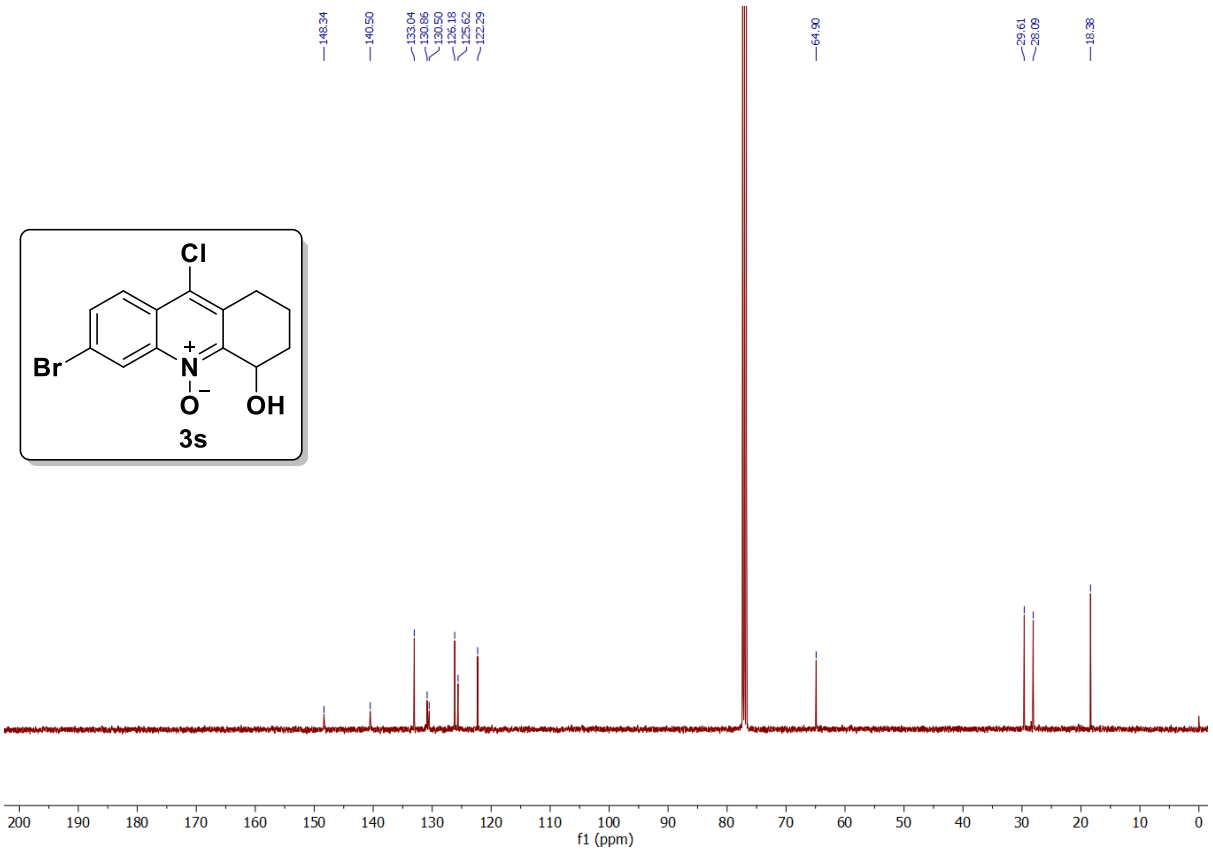


Figure-S130. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3s**

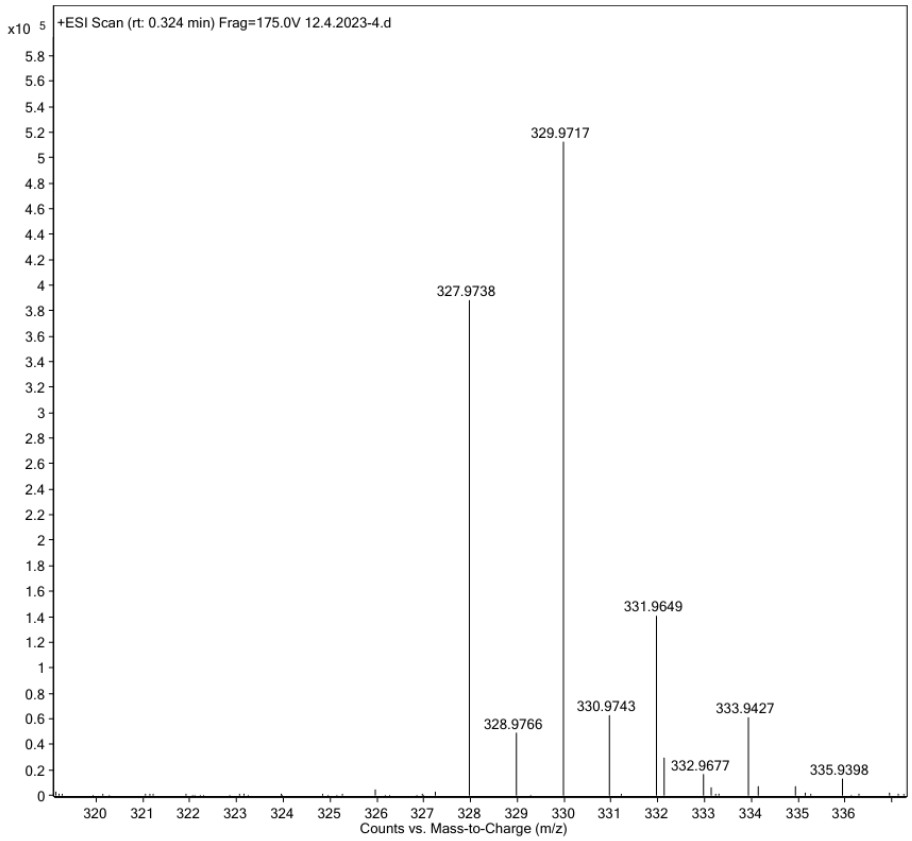


Figure-S131. HR-MS(ESI$^+$) spectrum of compound **3s**

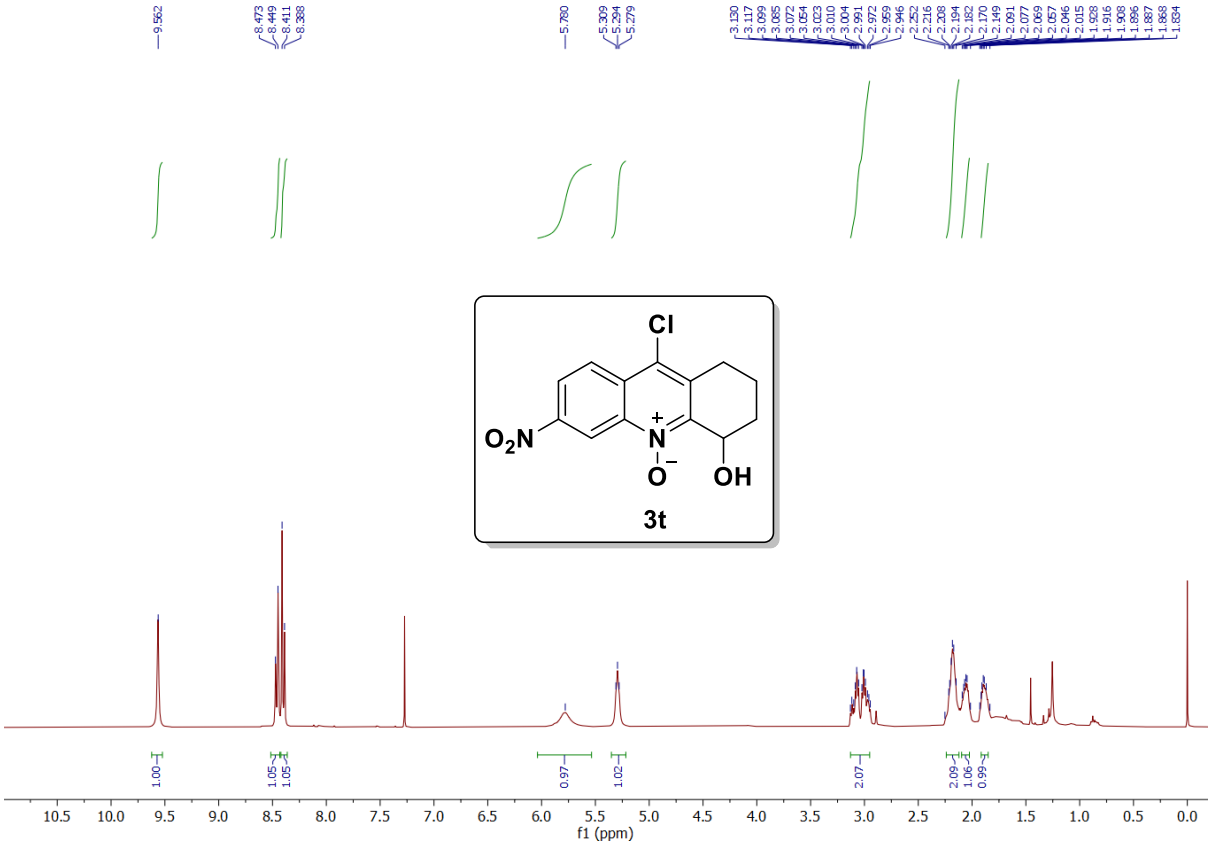


Figure-S132. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **3t**

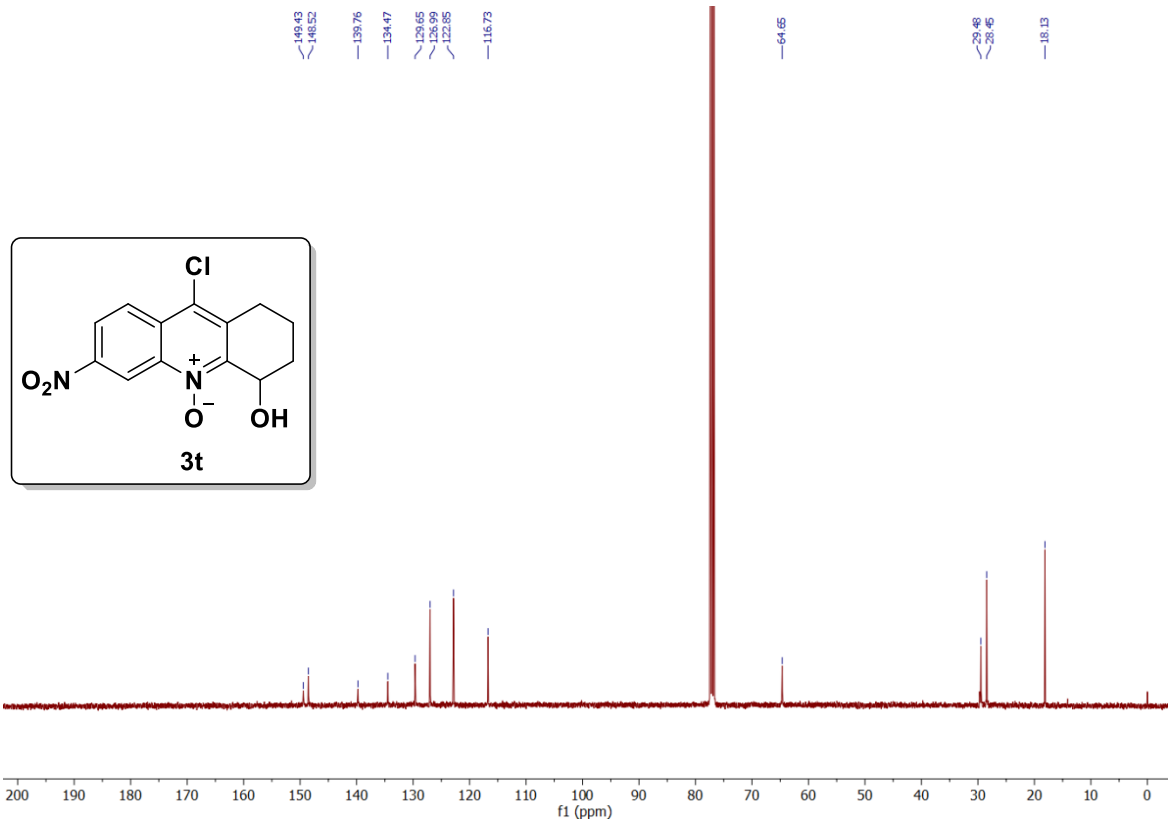


Figure-S133. $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectrum of compound **3t**

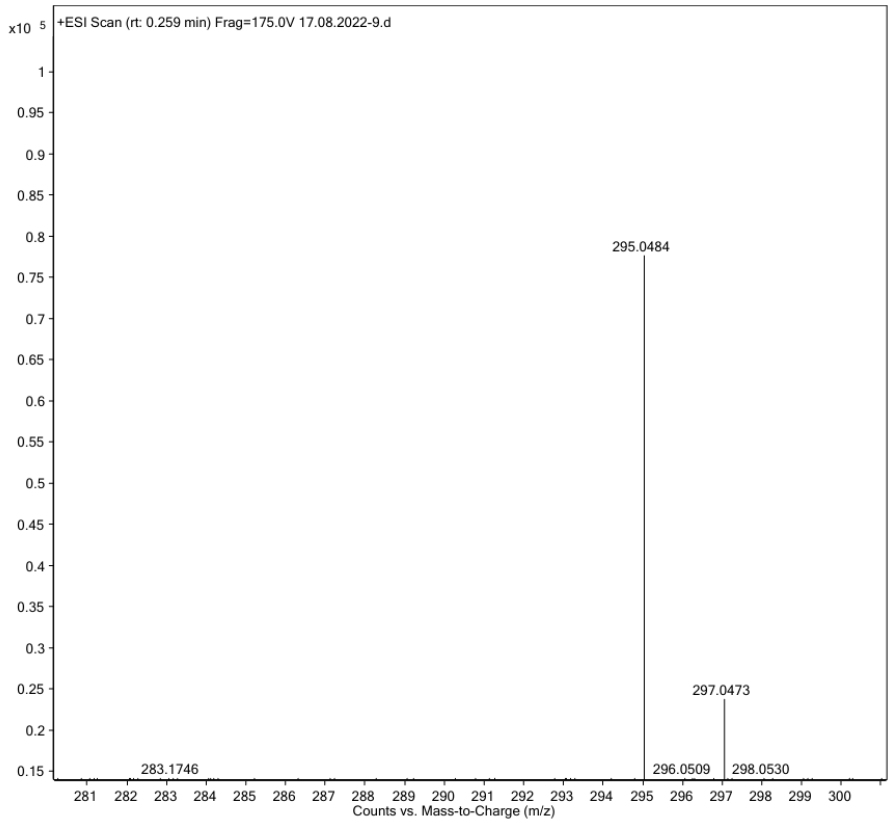


Figure-S134. HR-MS(ESI^{+}) spectrum of compound **3t**

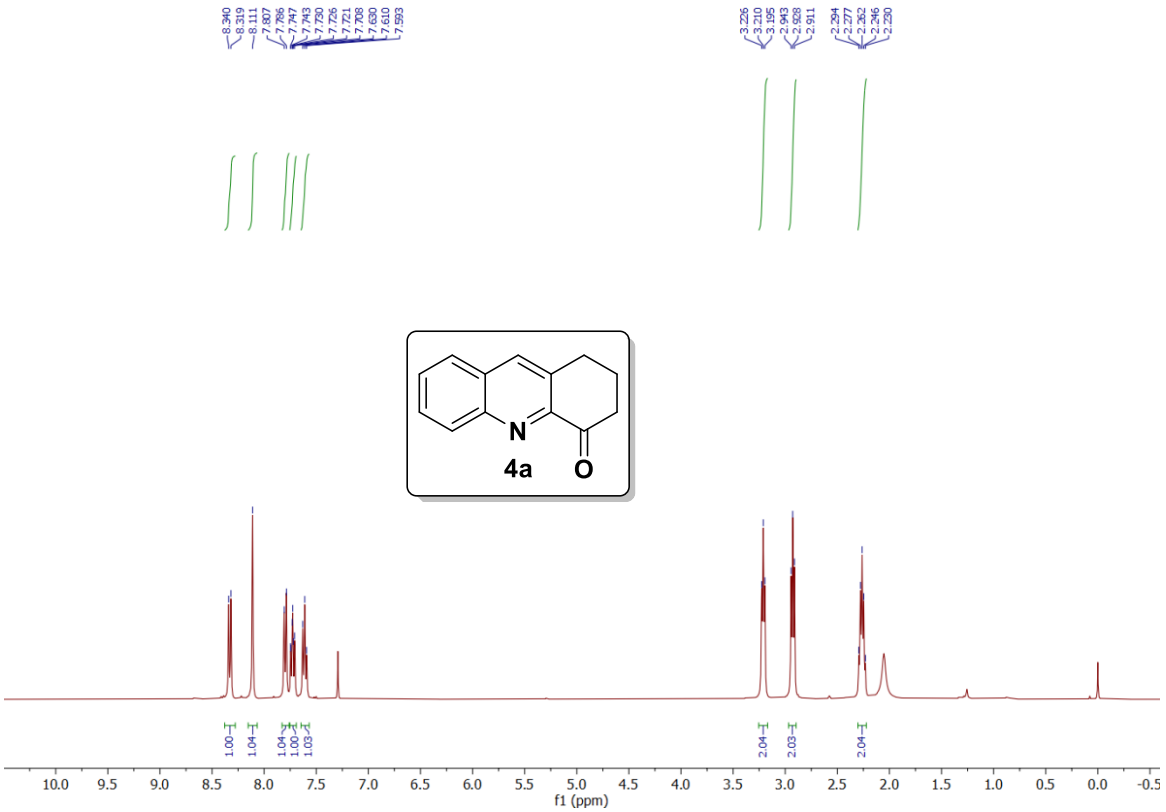


Figure-S135. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4a**

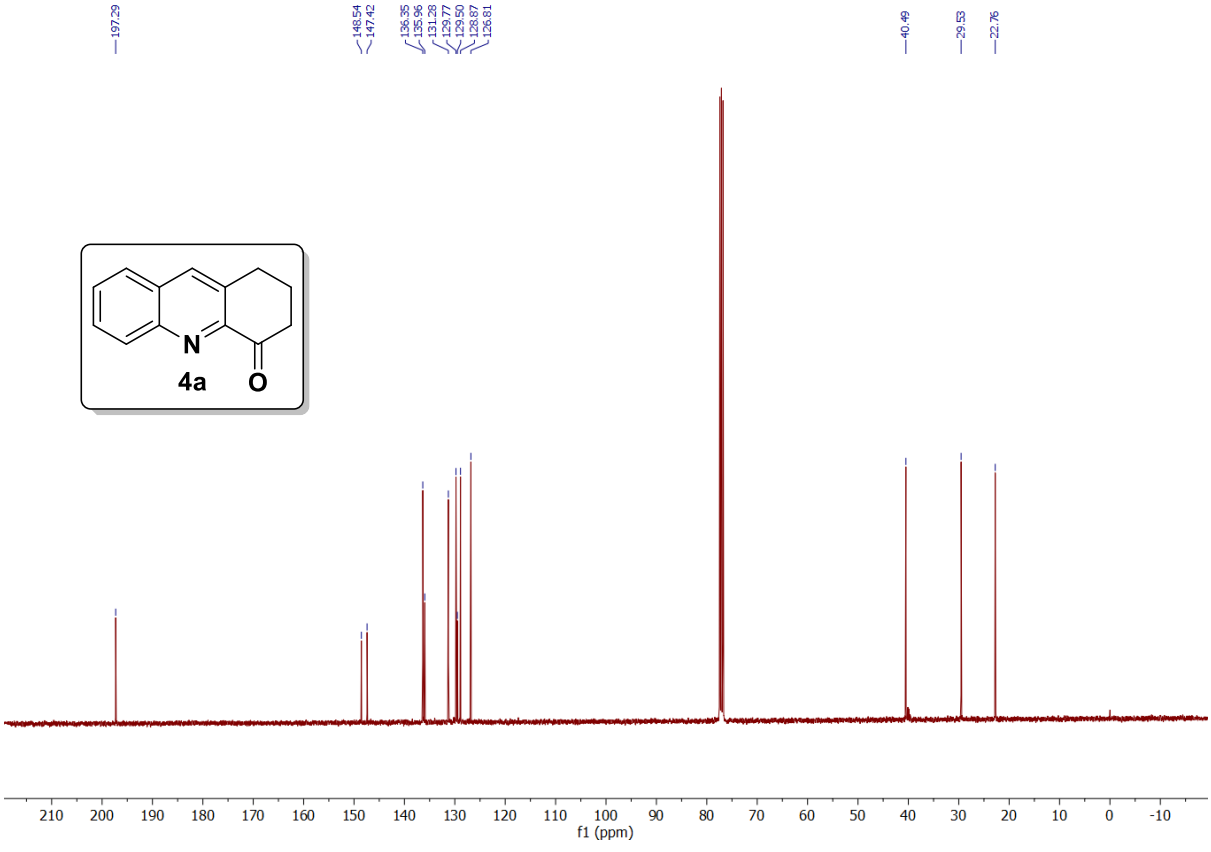


Figure-S136. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4a**

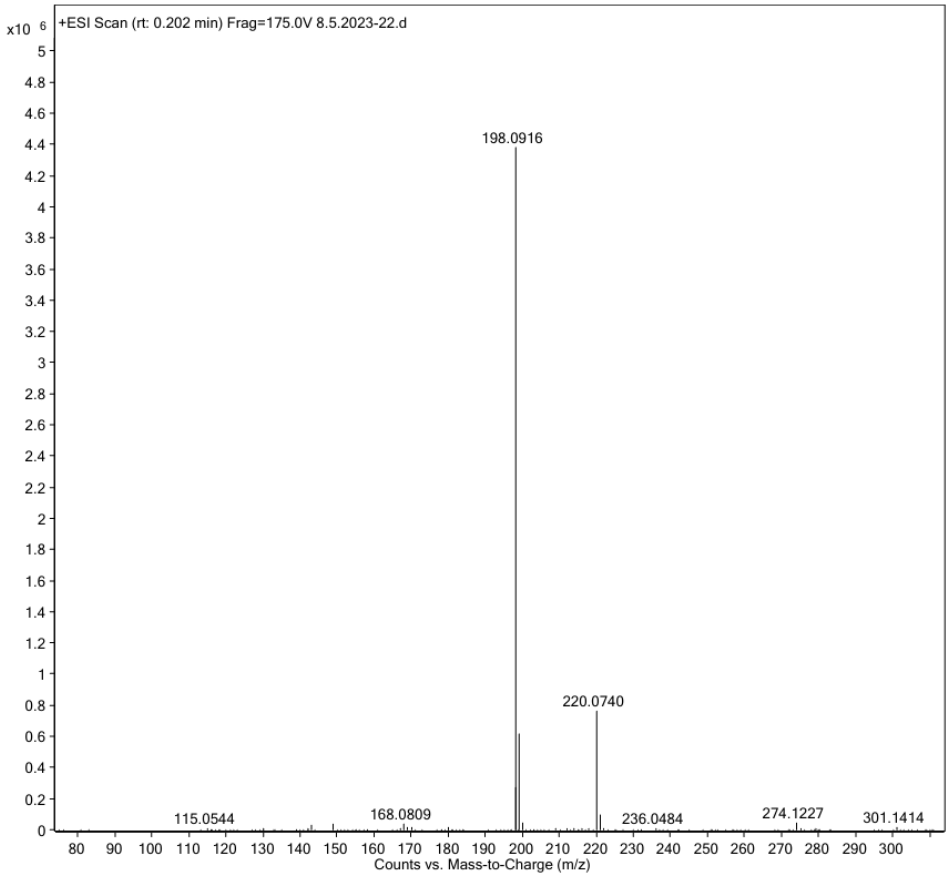


Figure-S137. HR-MS(ESI$^+$) spectrum of compound **4a**

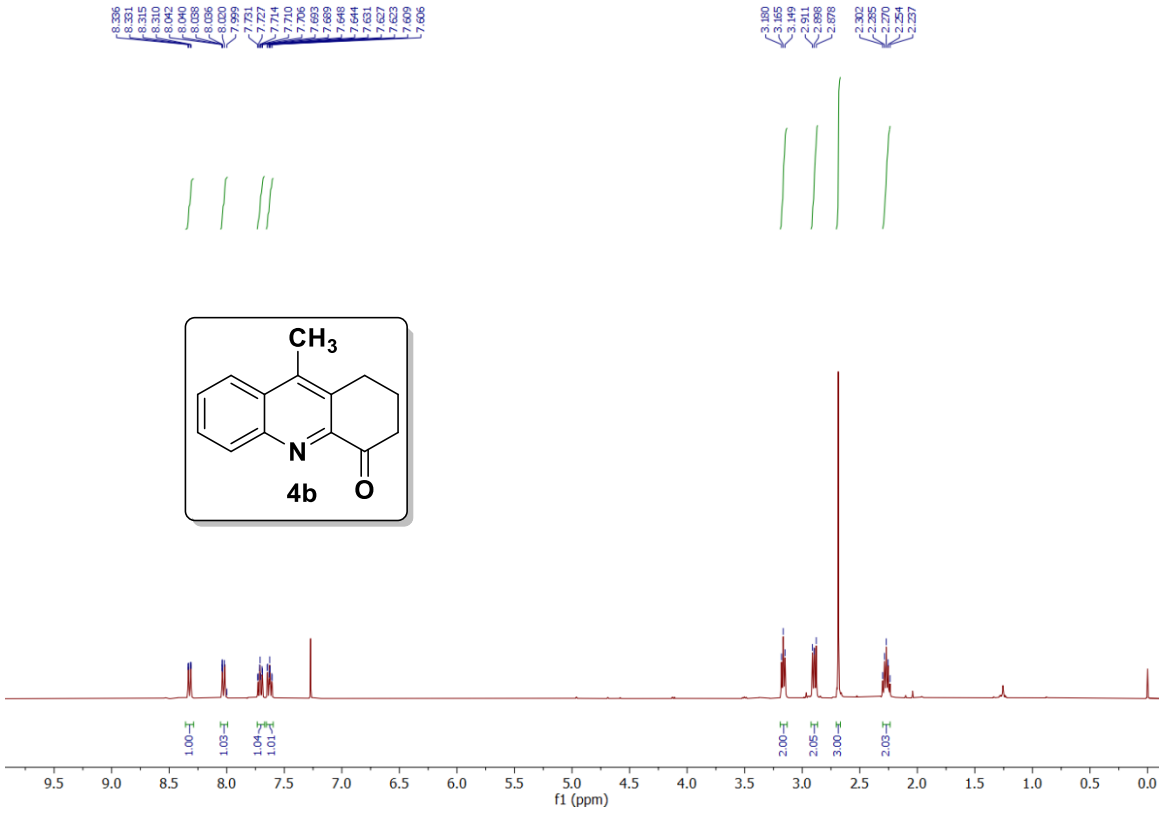


Figure-S138. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4b**

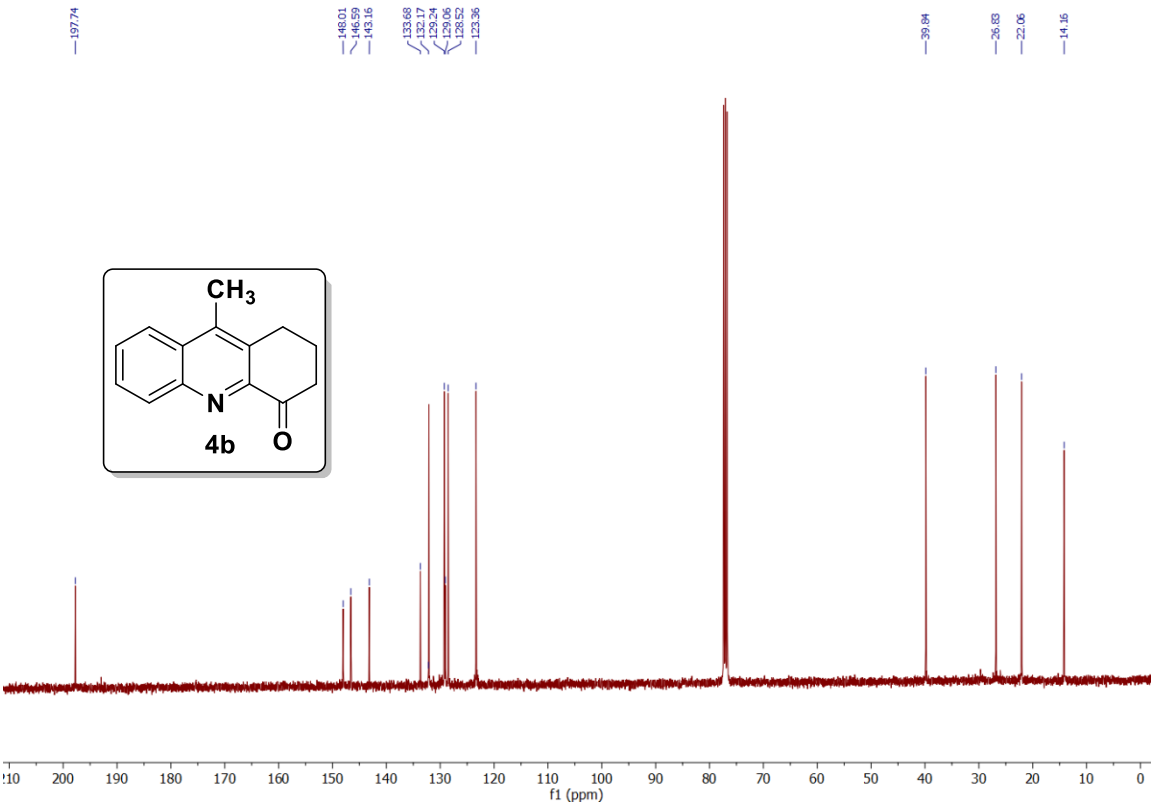


Figure-S139. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4b**

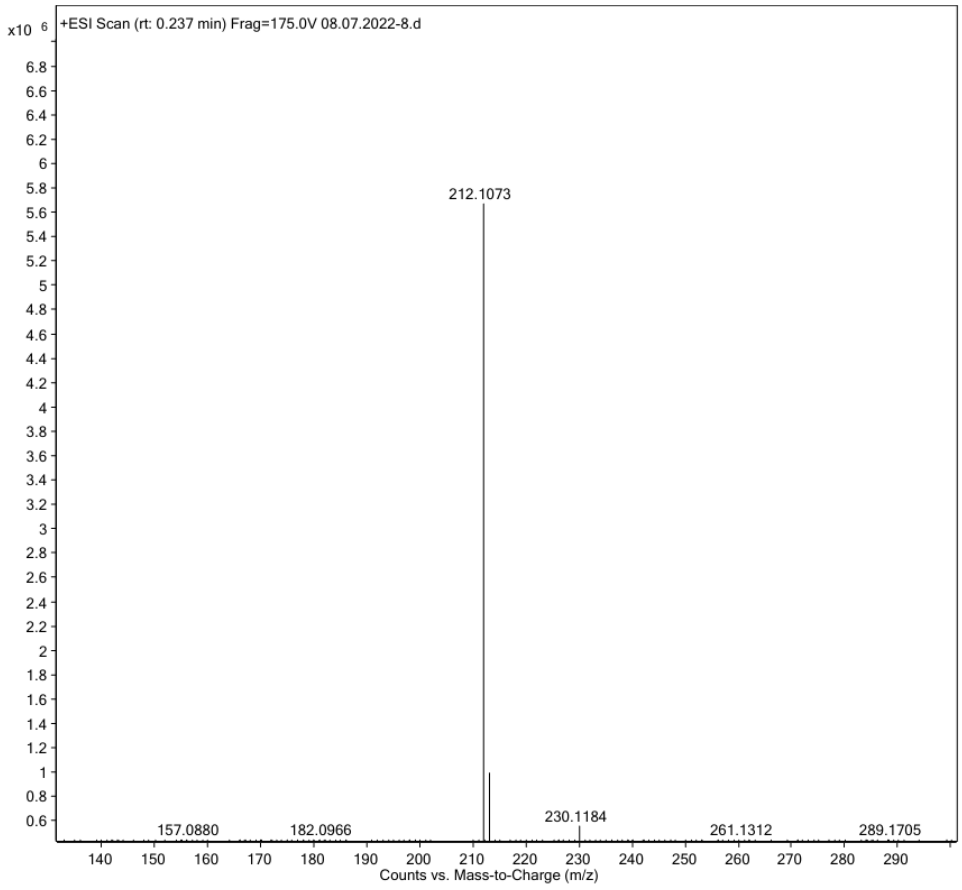


Figure-S140. HR-MS(ESI^+) spectrum of compound **4b**

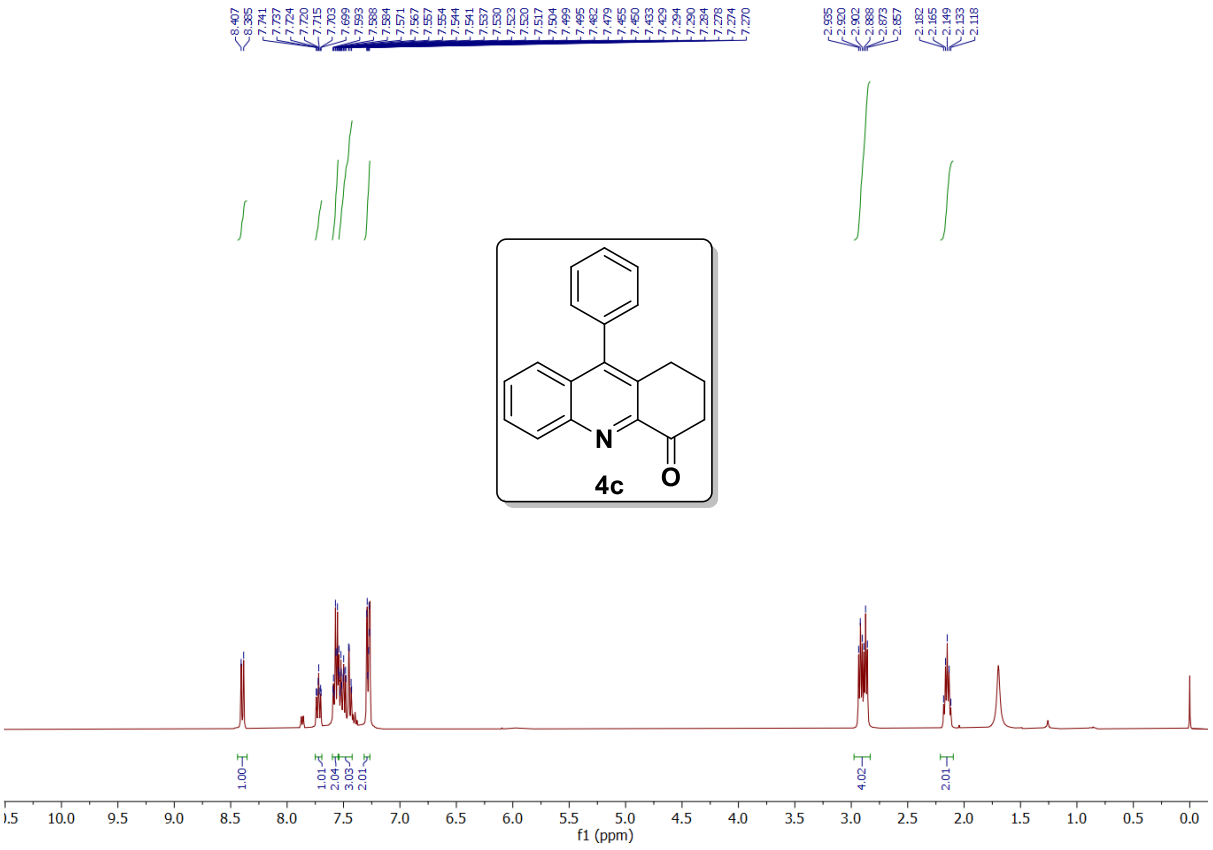


Figure-S141. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4c**

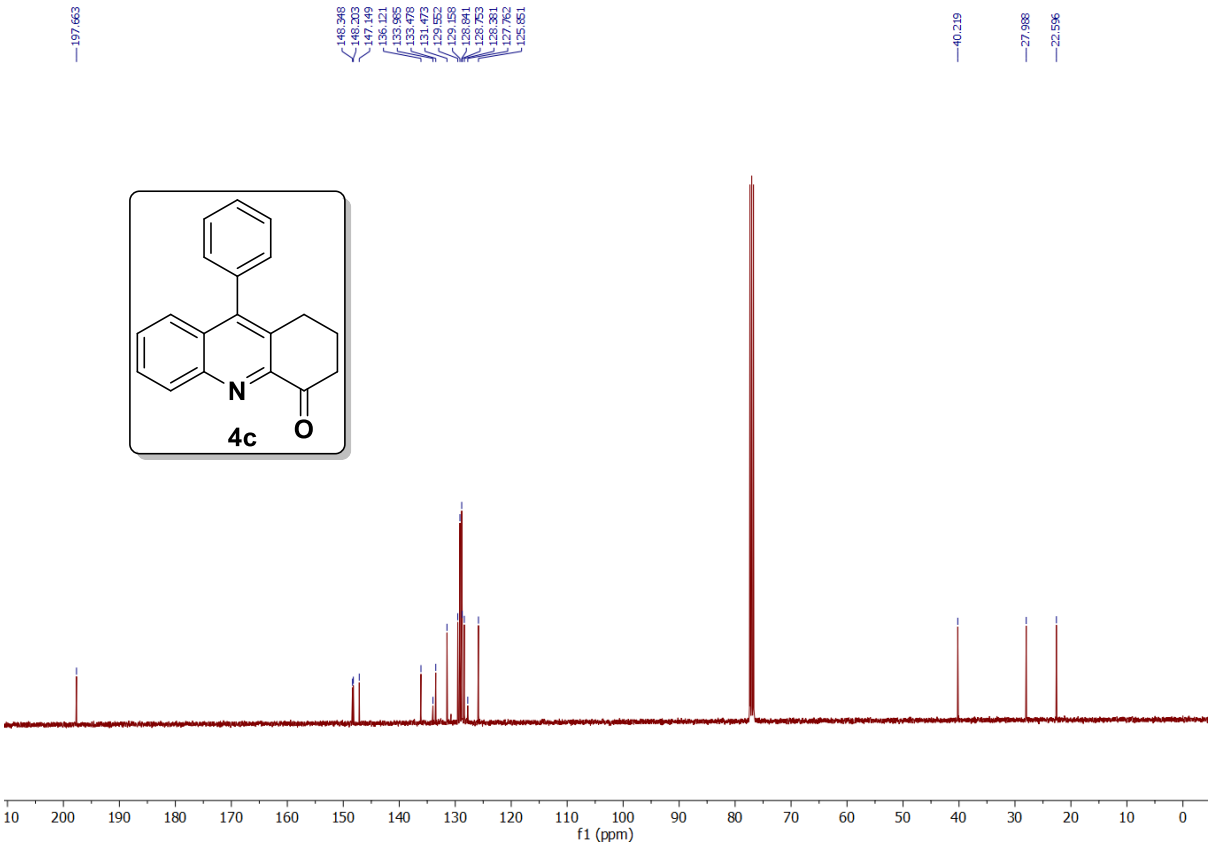


Figure-S142. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4c**

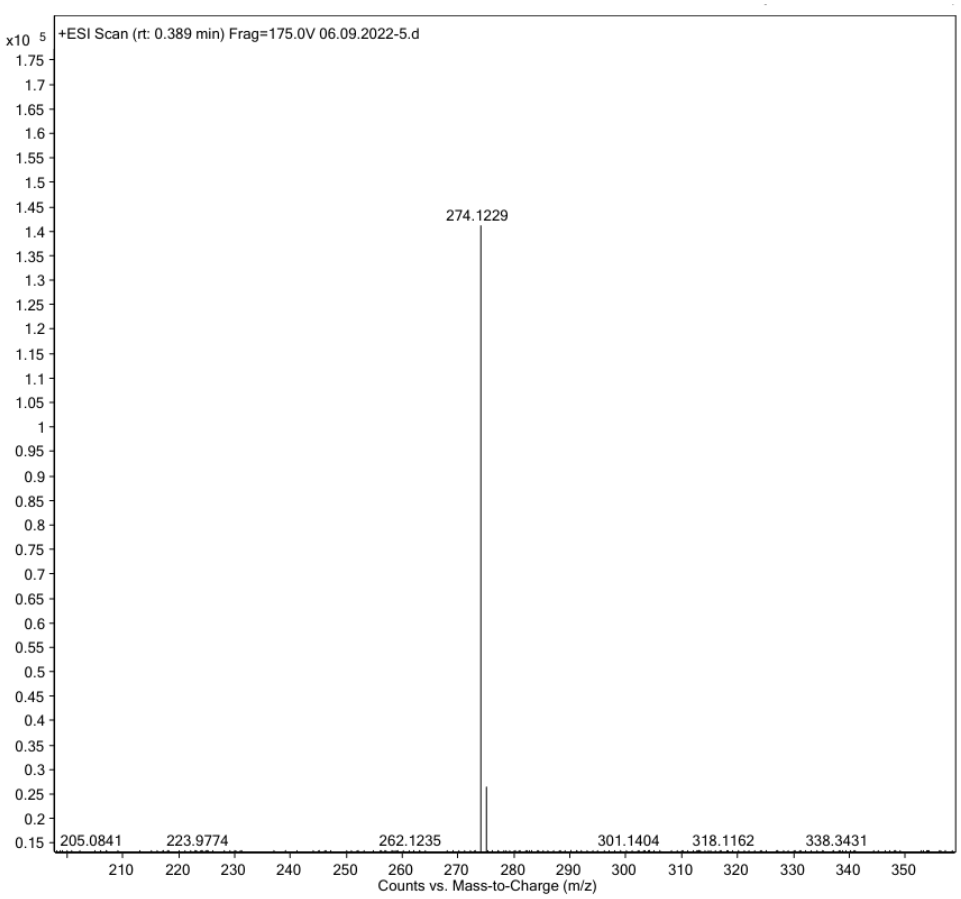


Figure-S143. HR-MS(ESI$^+$) spectrum of compound **4c**

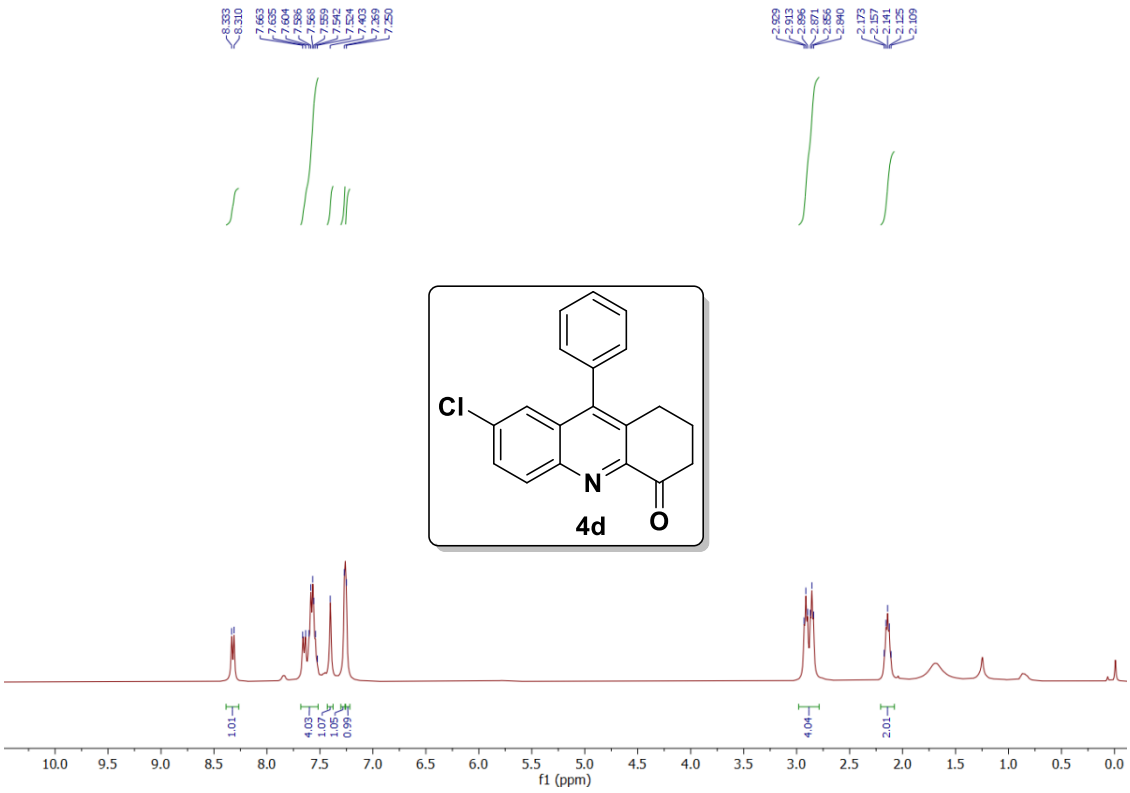


Figure-S144. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4d**

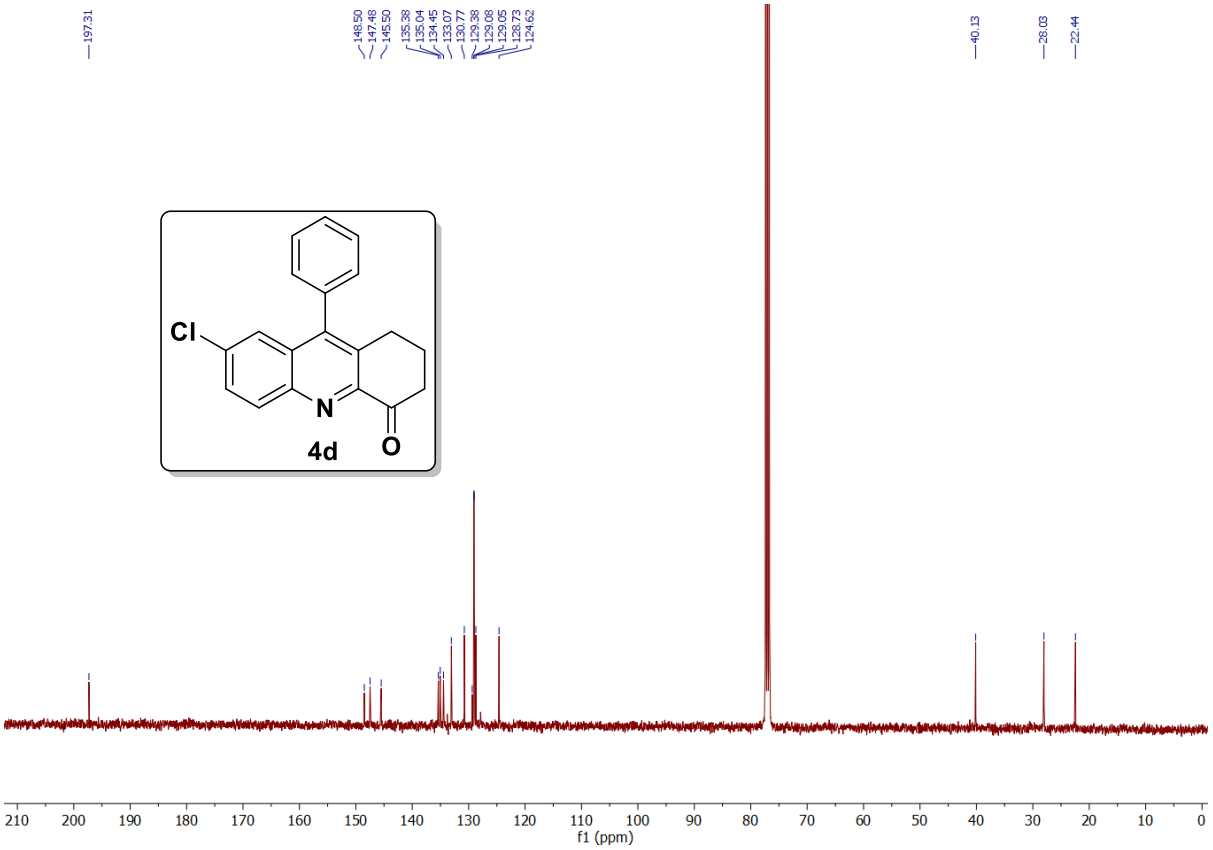


Figure-S145. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4d**

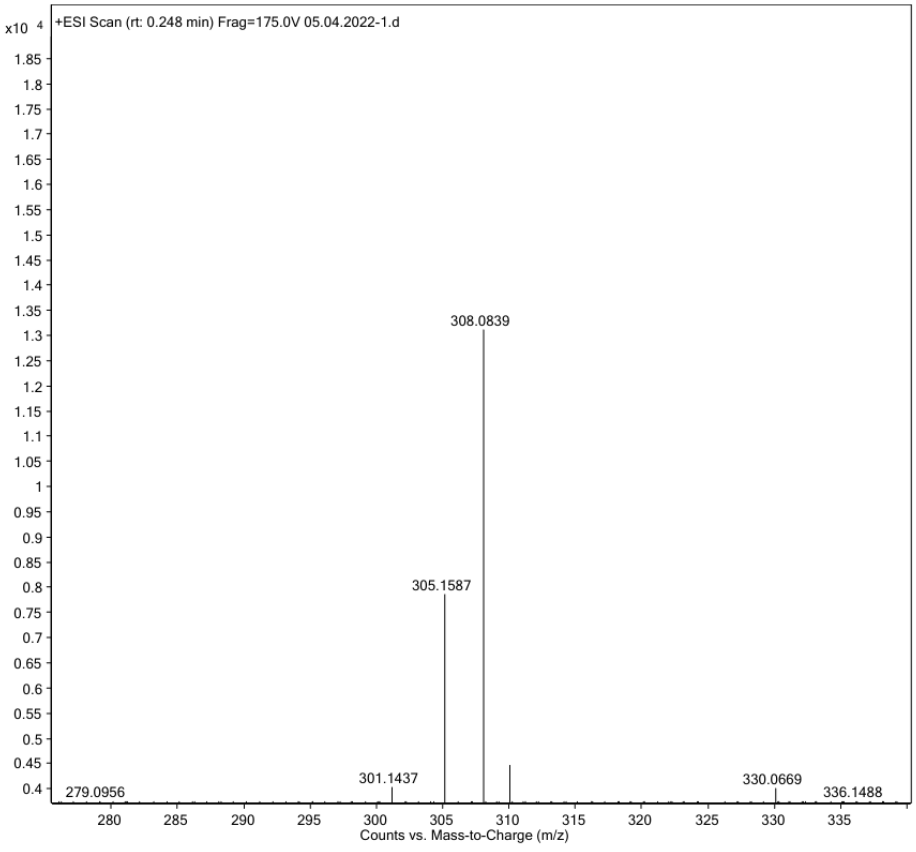


Figure-S146. HR-MS(ESI^{+}) spectrum of compound **4d**

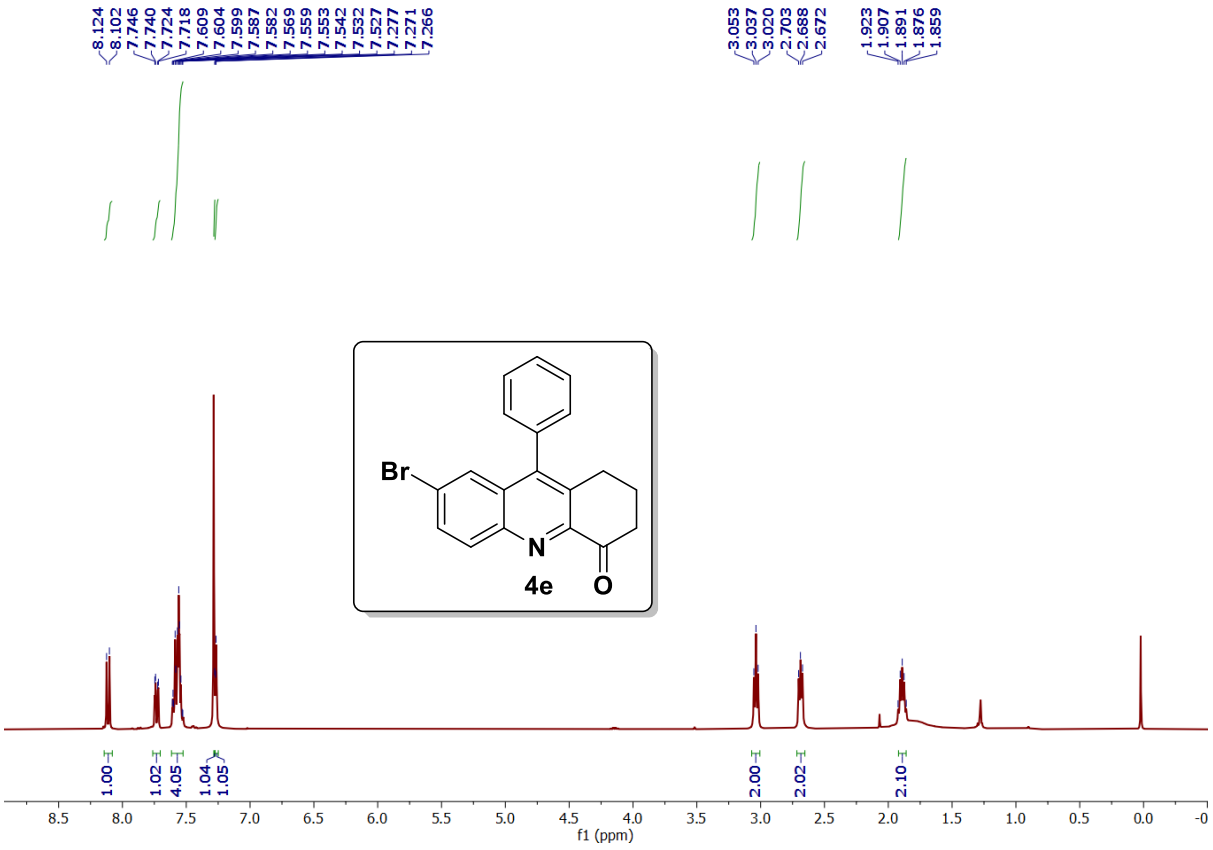


Figure-S147. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4e**

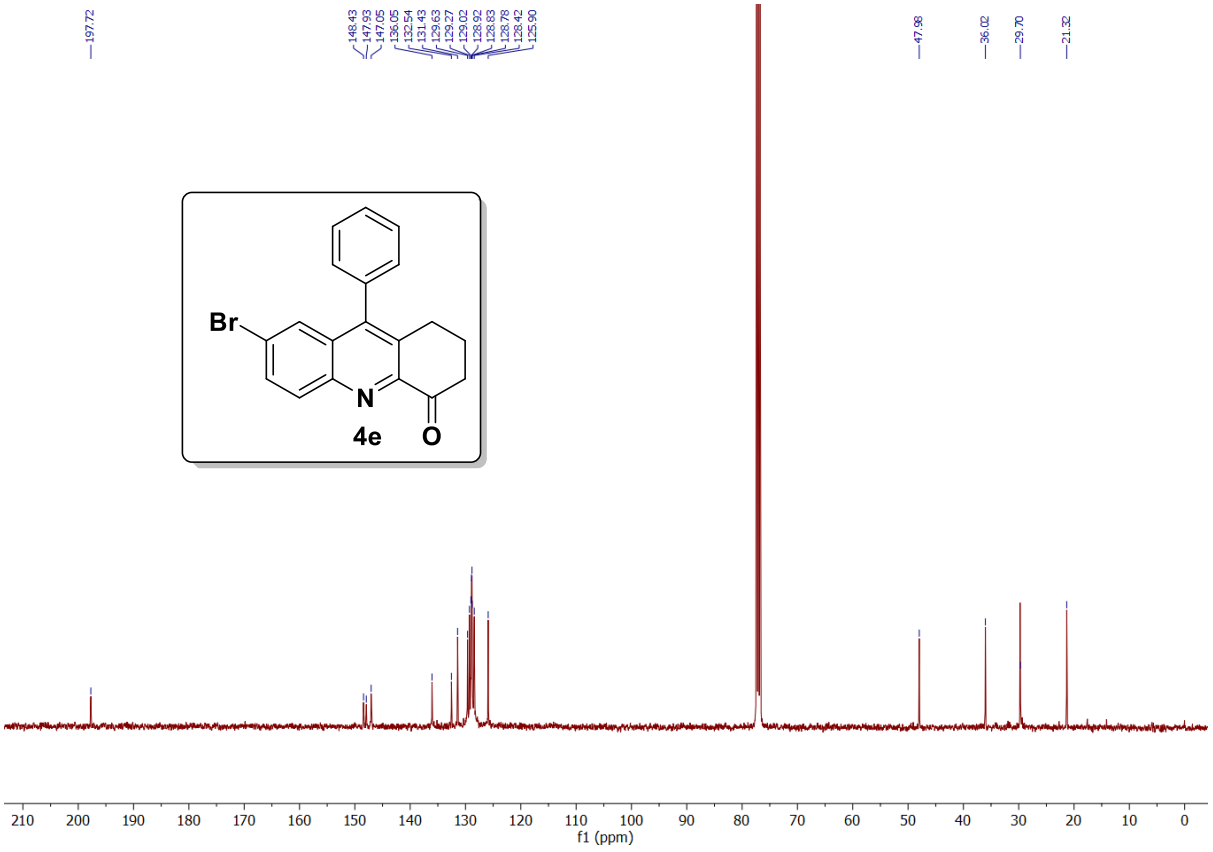


Figure-S148. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4e**

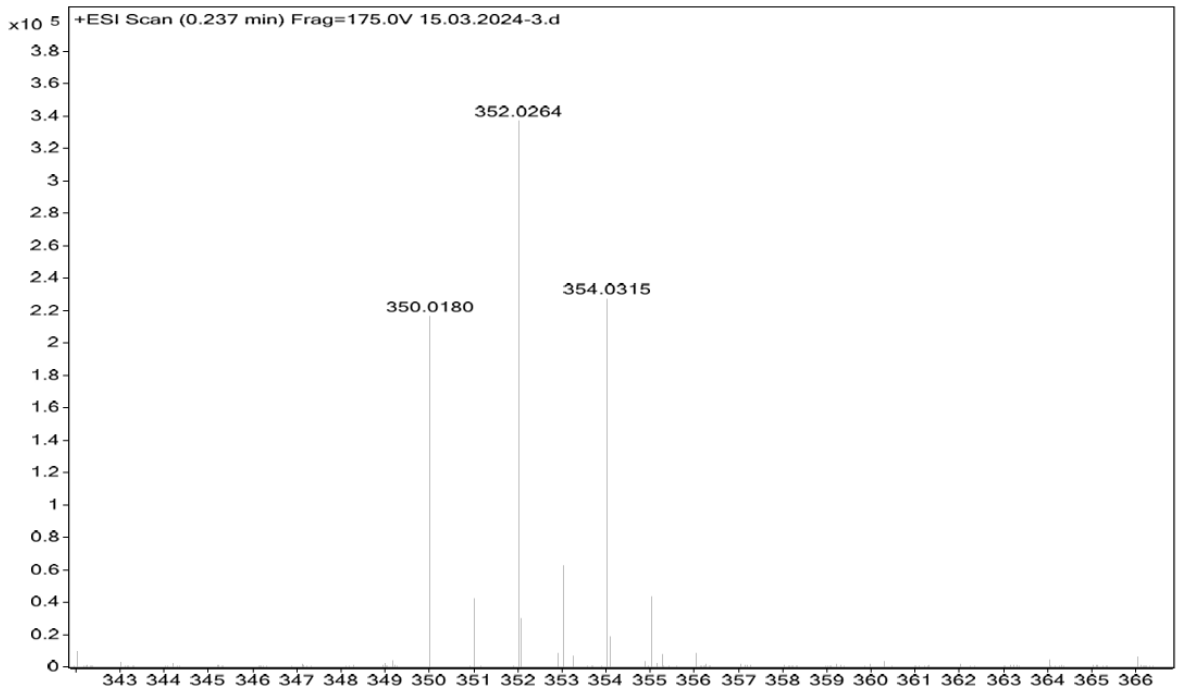


Figure-S149. HR-MS(ESI^{+}) spectrum of compound **4e**

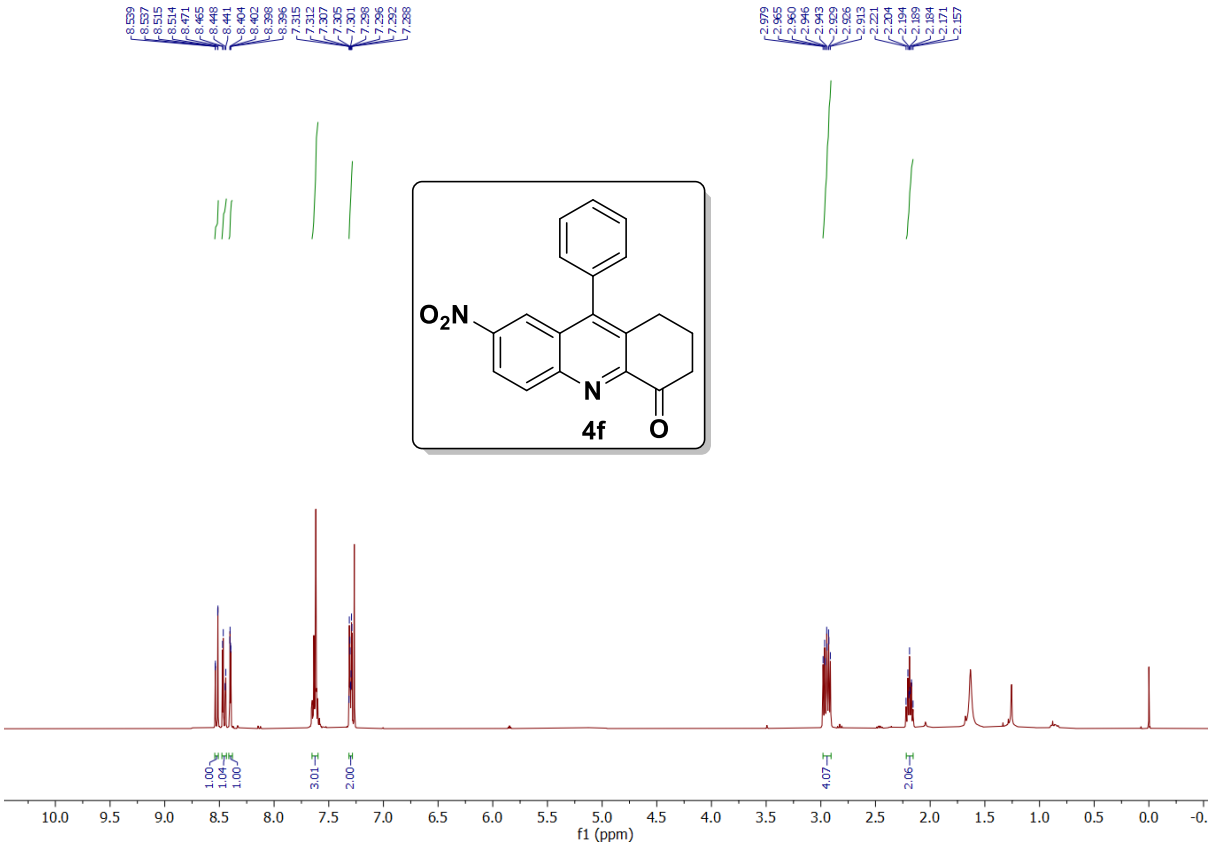


Figure-S150. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4f**

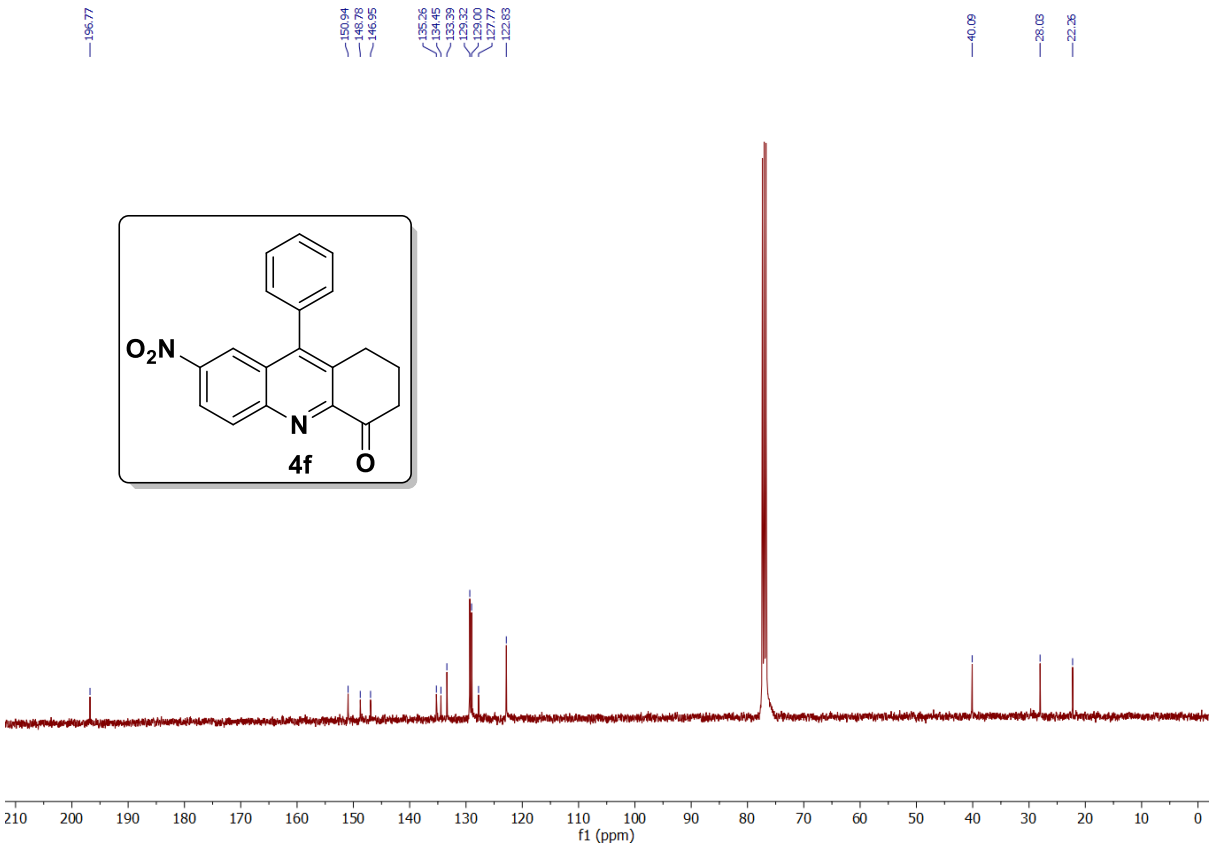


Figure-S151. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4f**

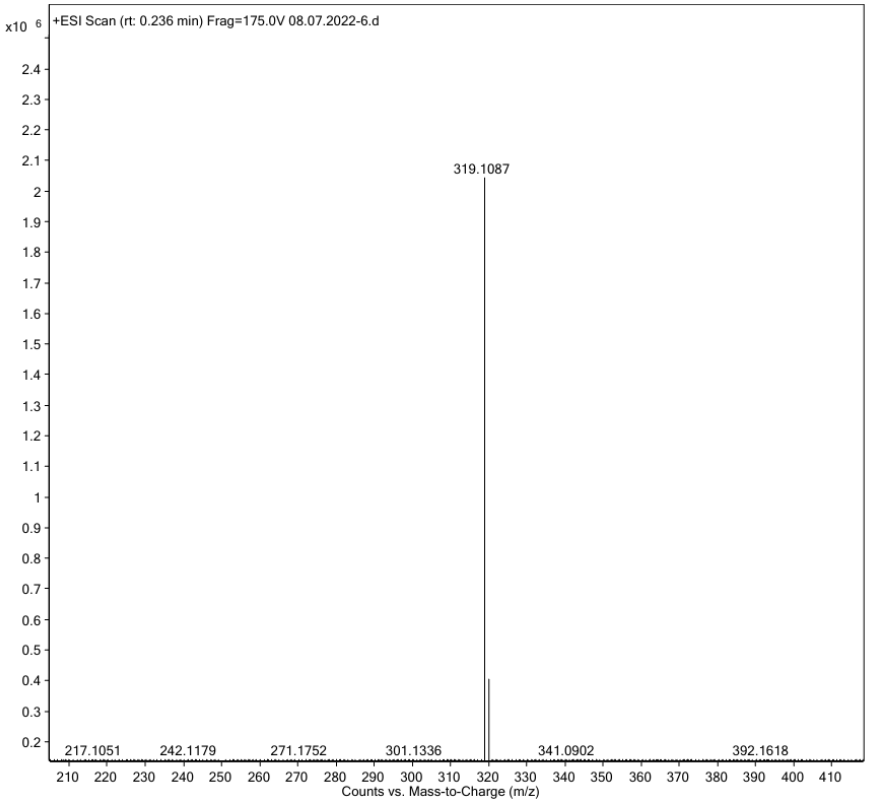


Figure-S152. HR-MS(ESI^{+}) spectrum of compound **4f**

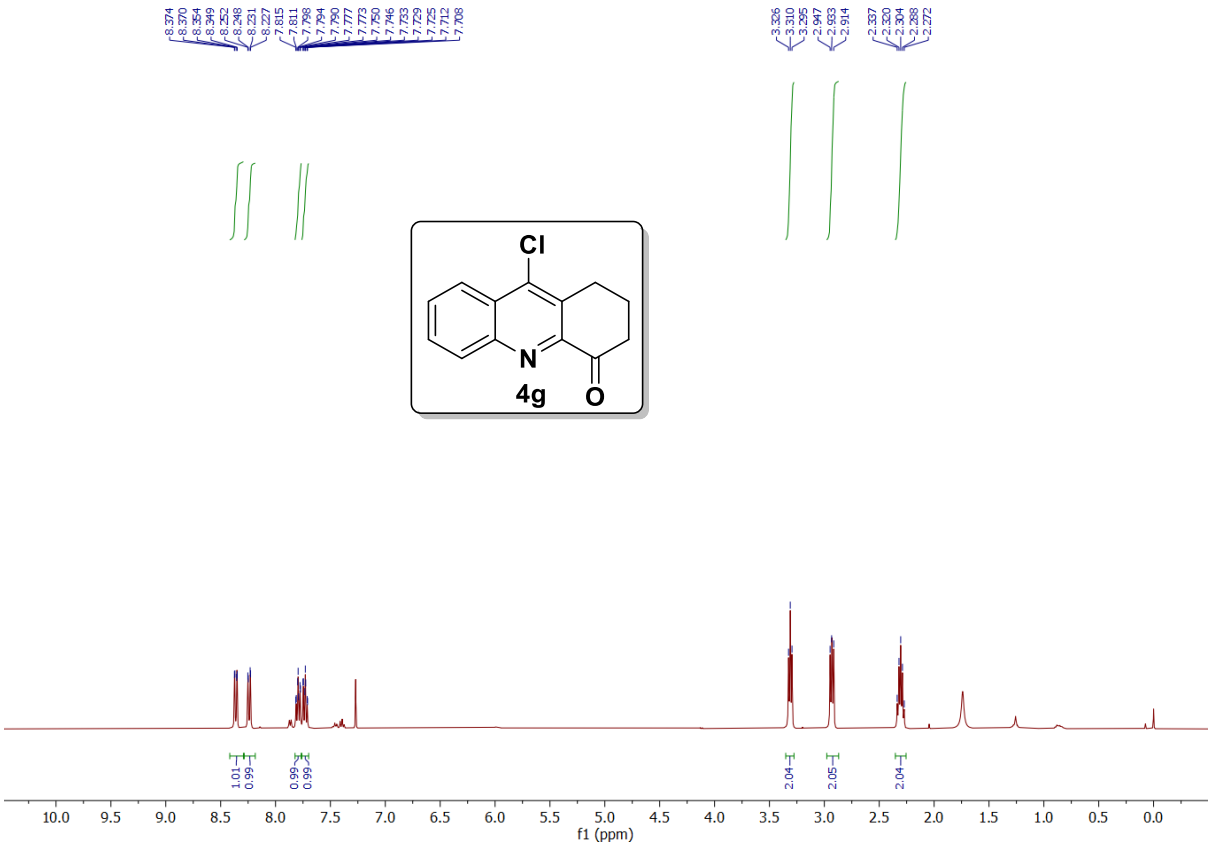


Figure-S153. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4g**

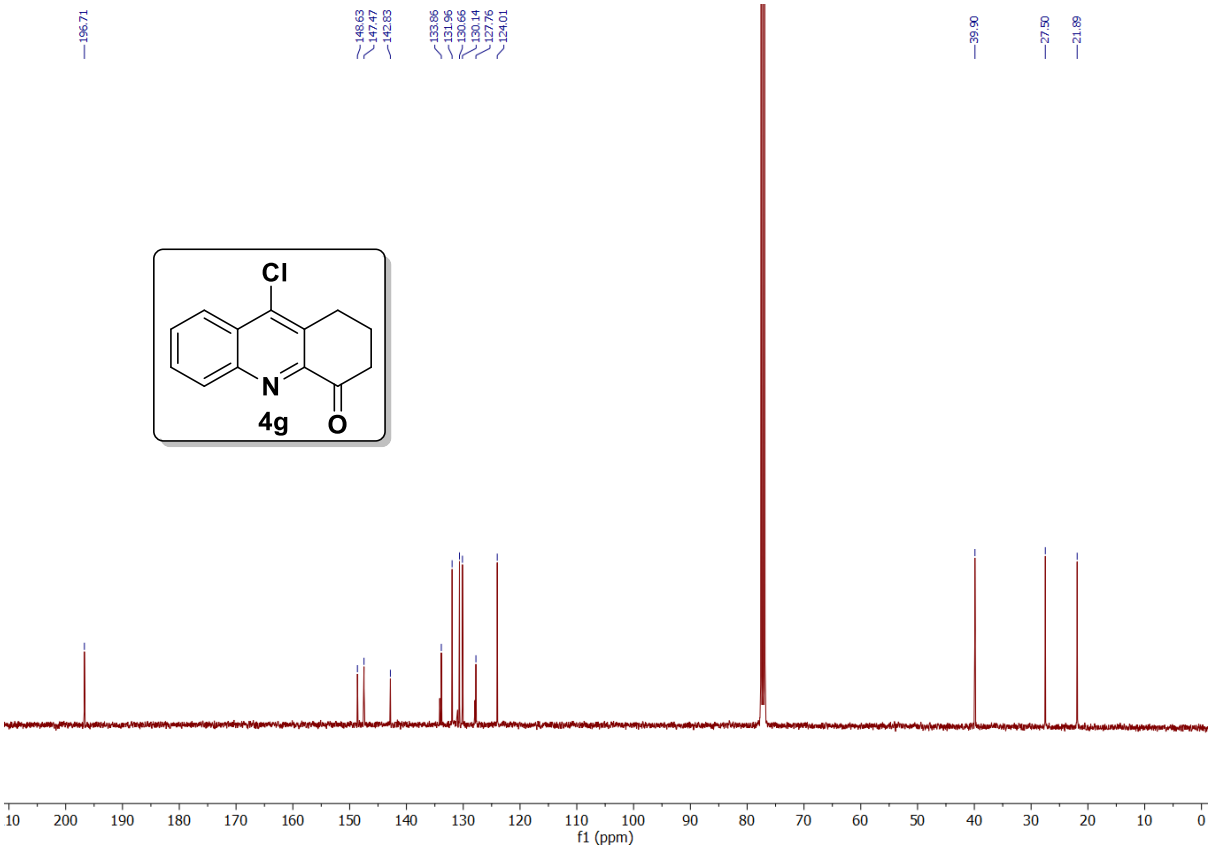


Figure-S154. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4g**

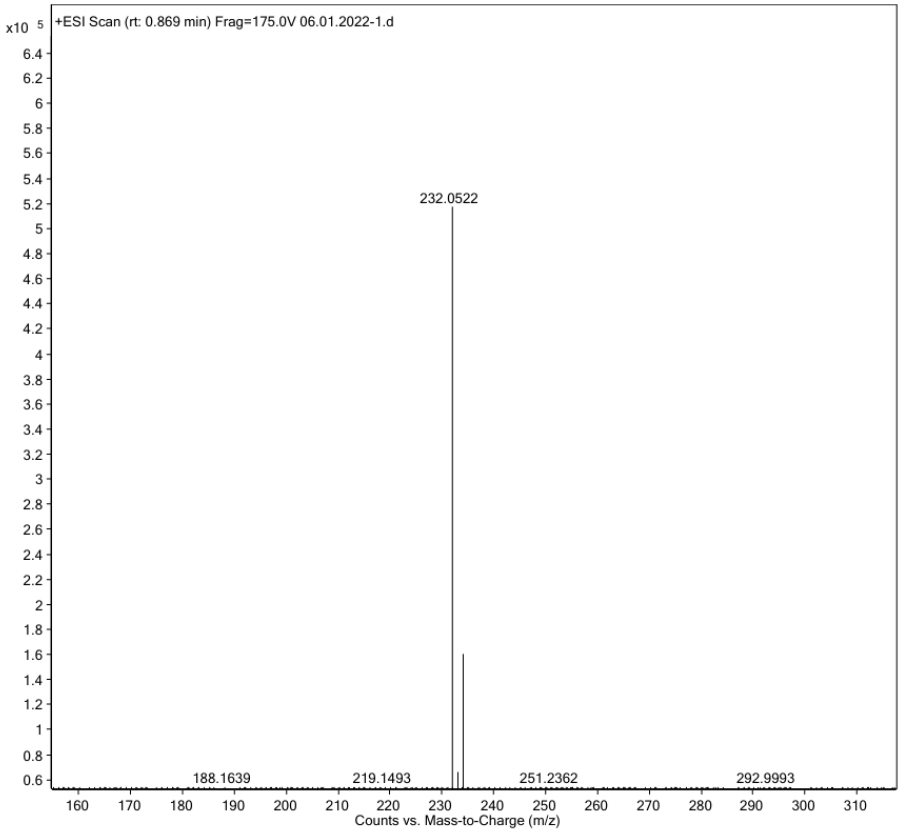


Figure-S155. HR-MS(ESI$^+$) spectrum of compound **4g**

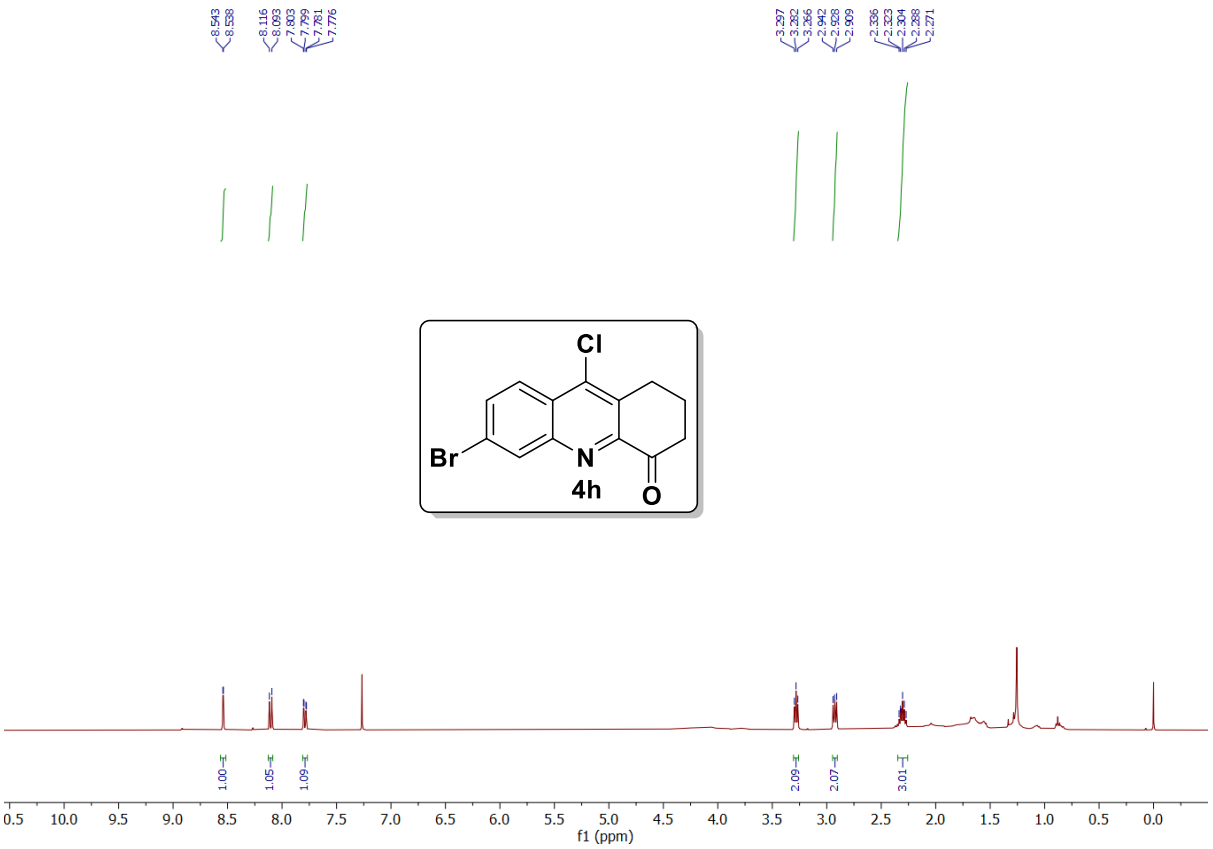


Figure-S156. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4h**

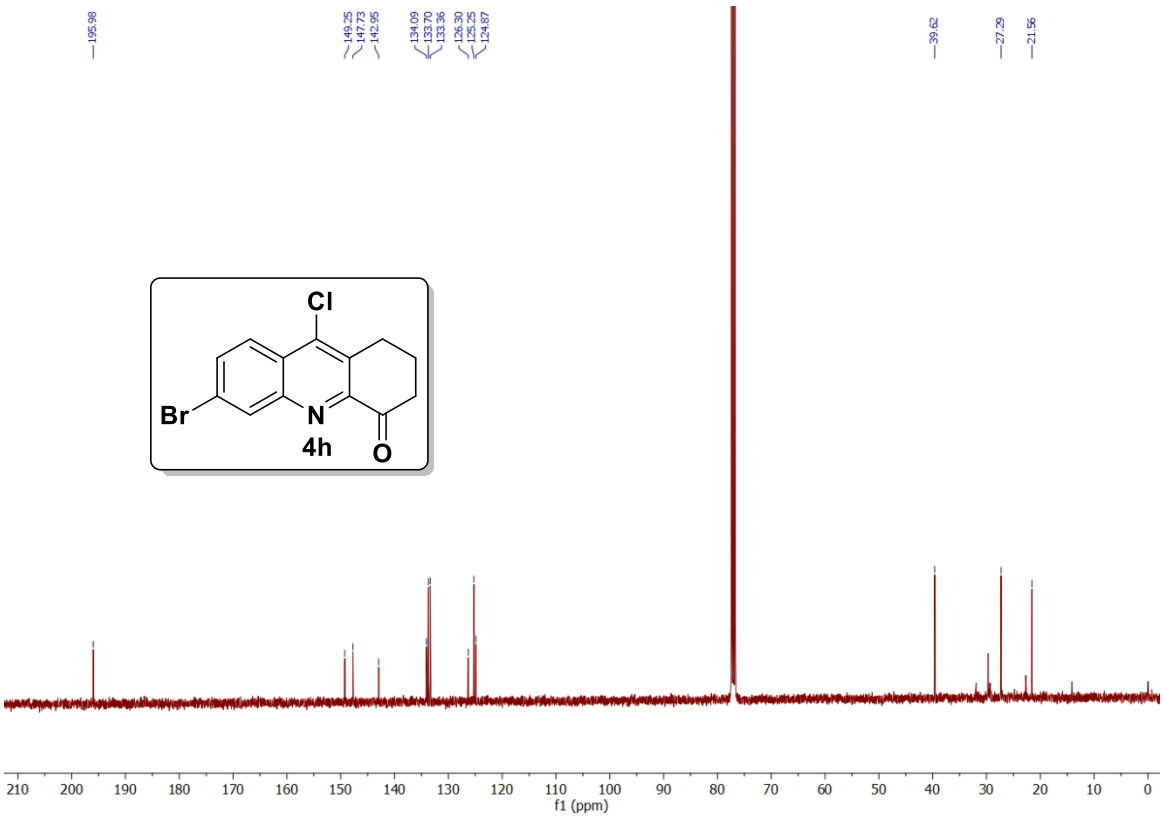


Figure-S157. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4h**

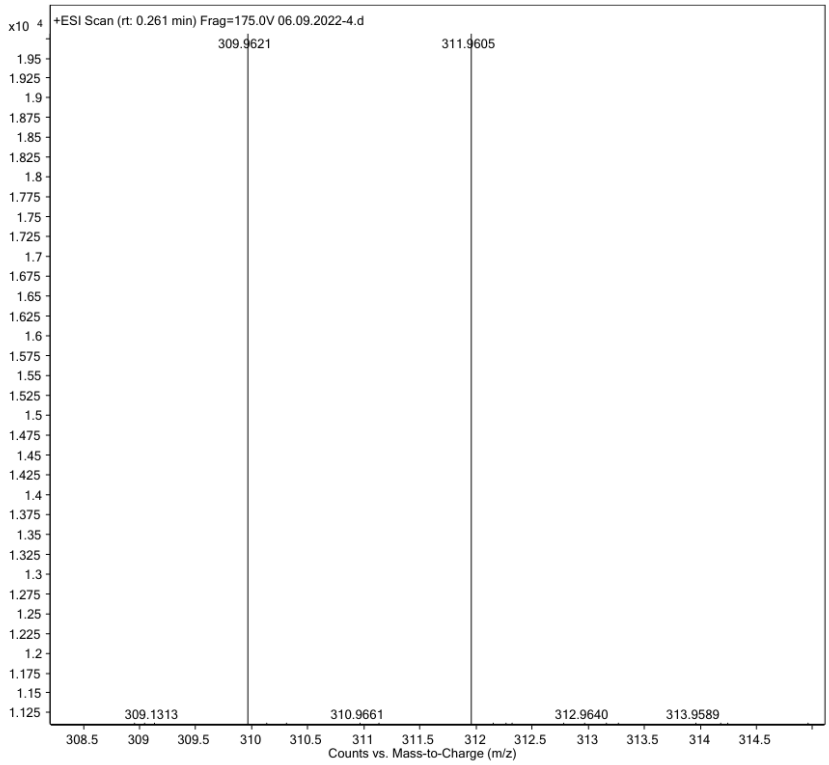


Figure-S158. HR-MS(ESI^{+}) spectrum of compound **4h**

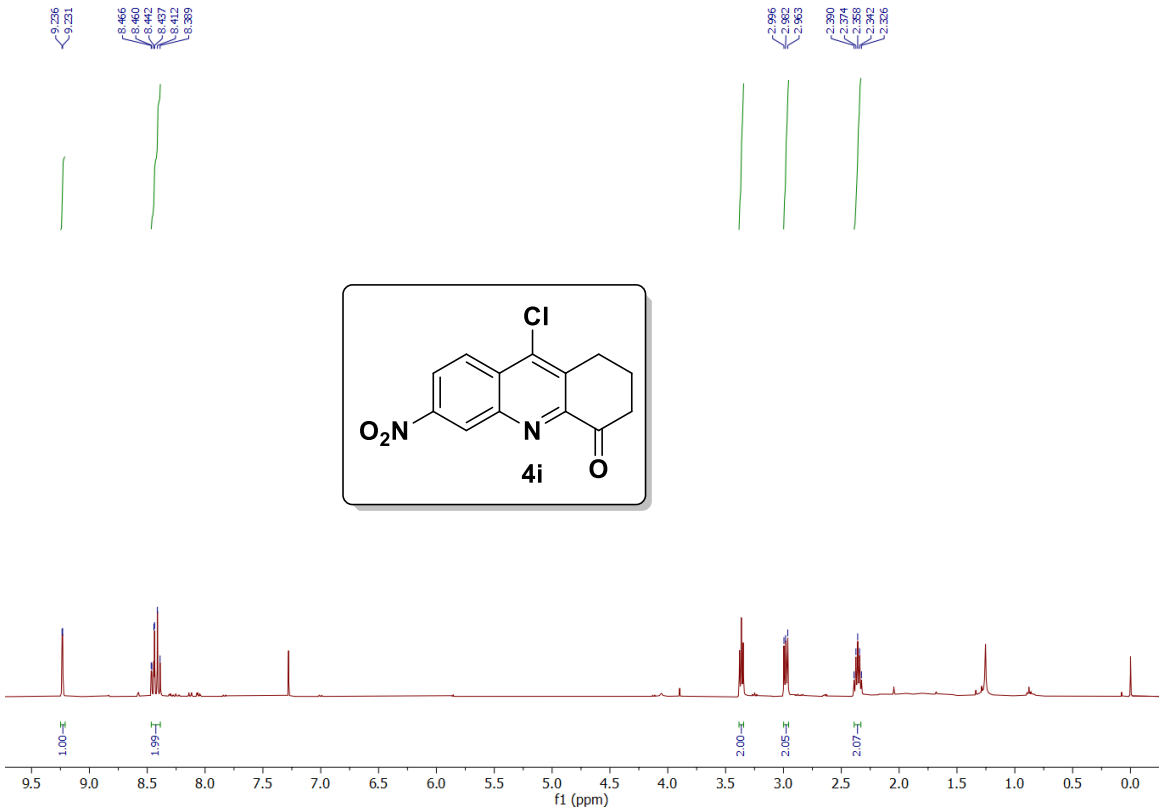


Figure-S159. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4i**

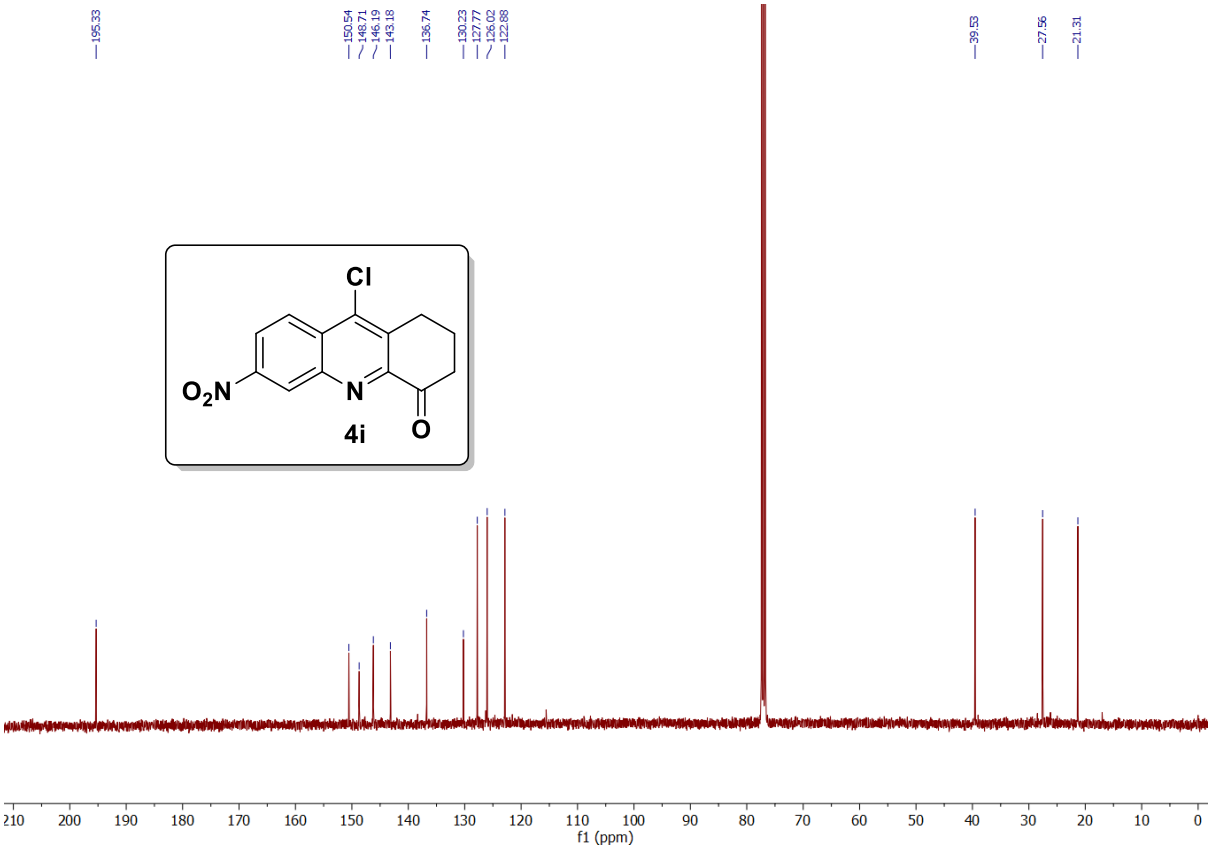


Figure-S160. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4i**

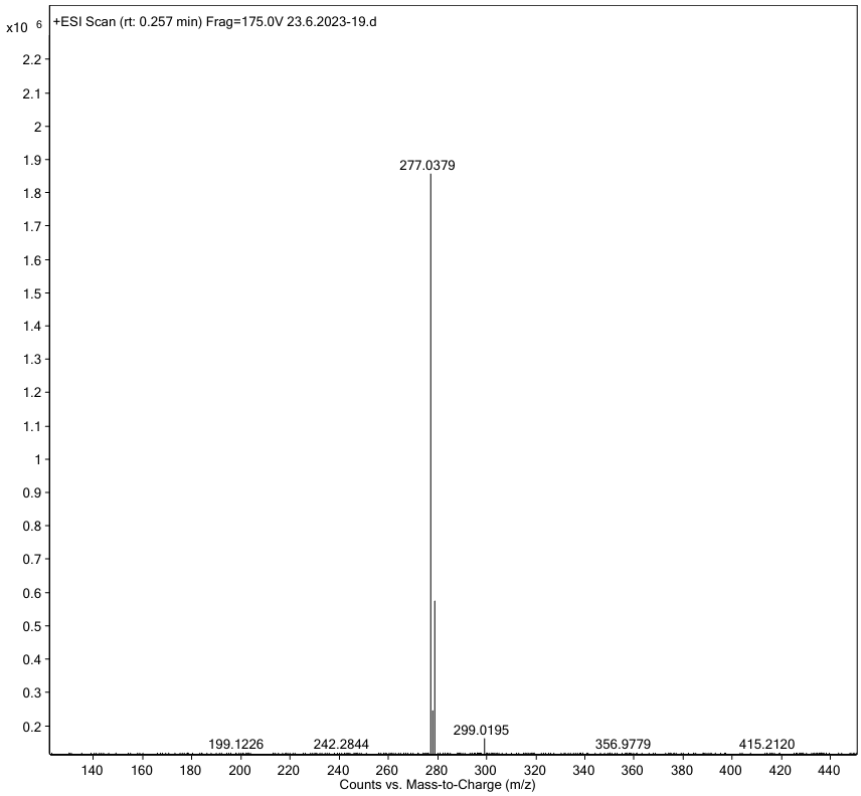


Figure-S161. HR-MS(ESI$^+$) spectrum of compound **4i**

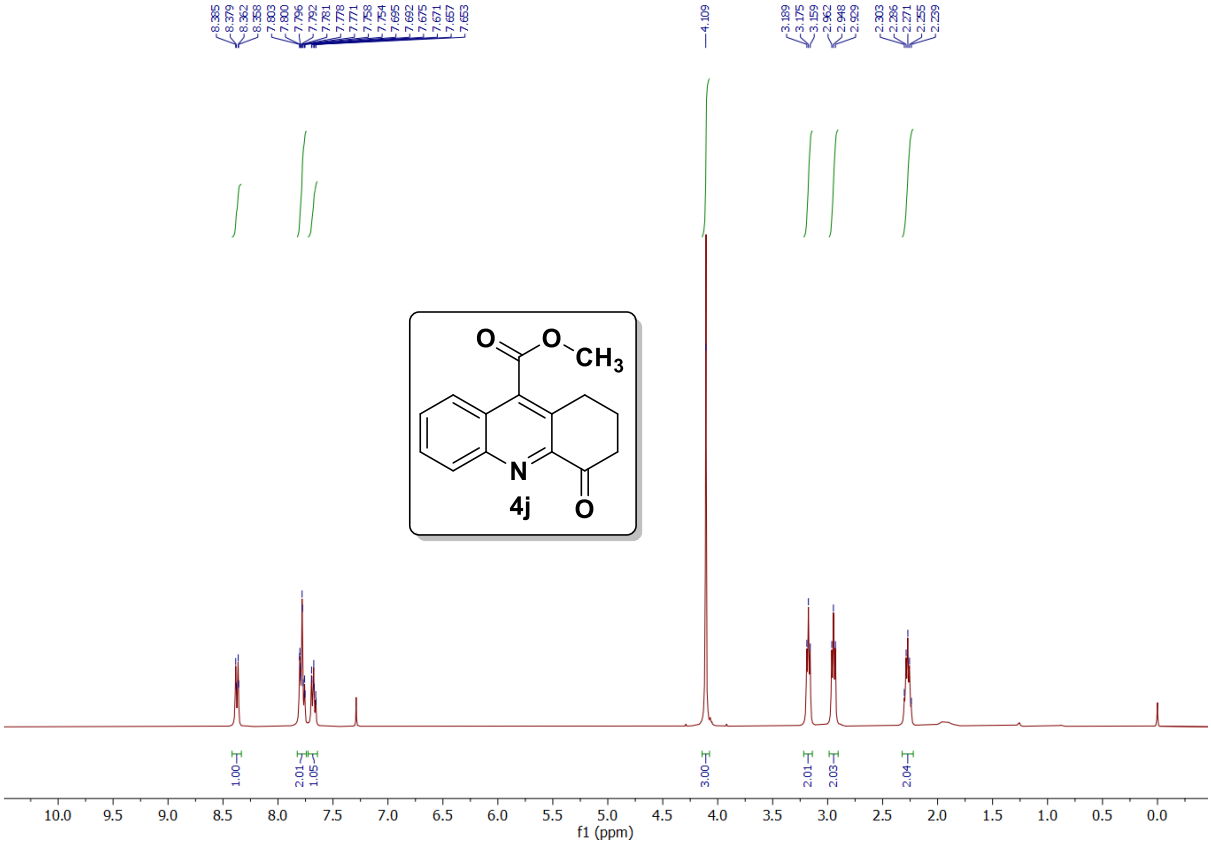


Figure-S162. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4j**

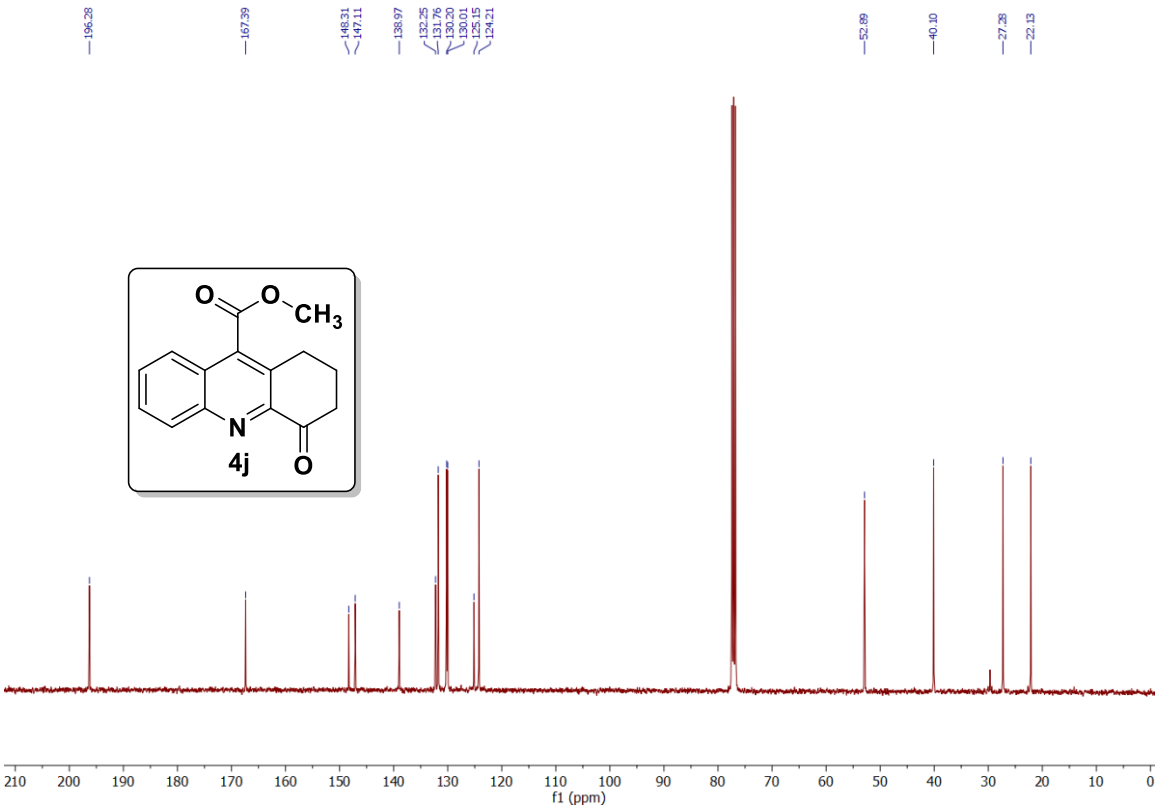


Figure-S163. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4j**

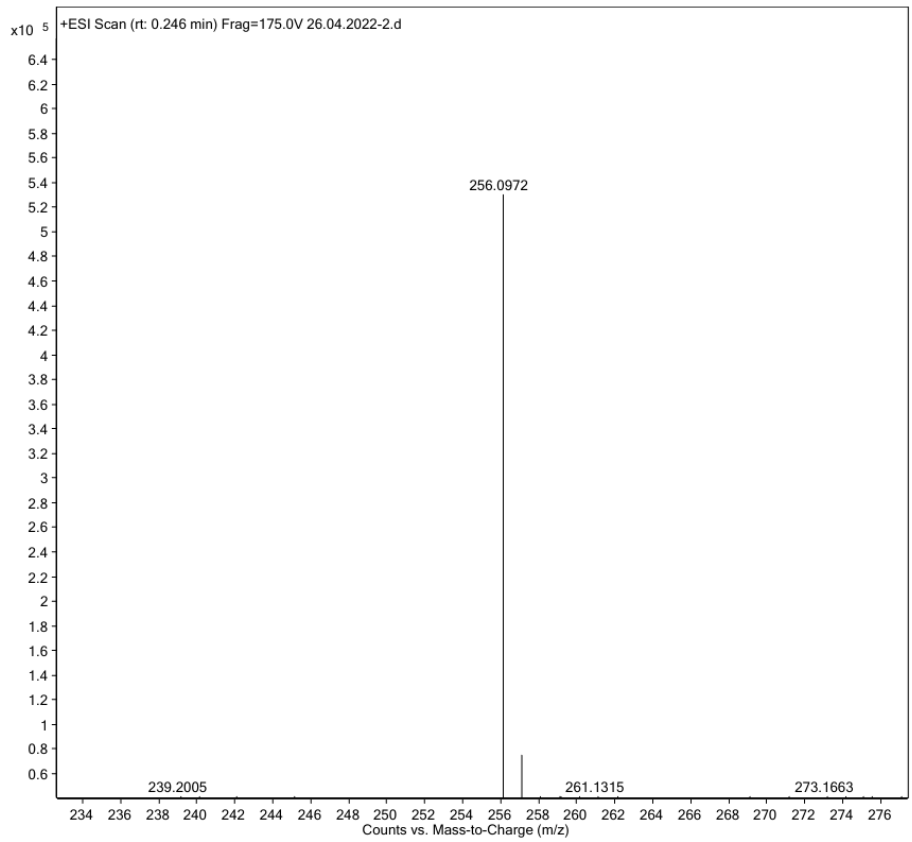


Figure-S164. HR-MS(ESI^+) spectrum of compound **4j**

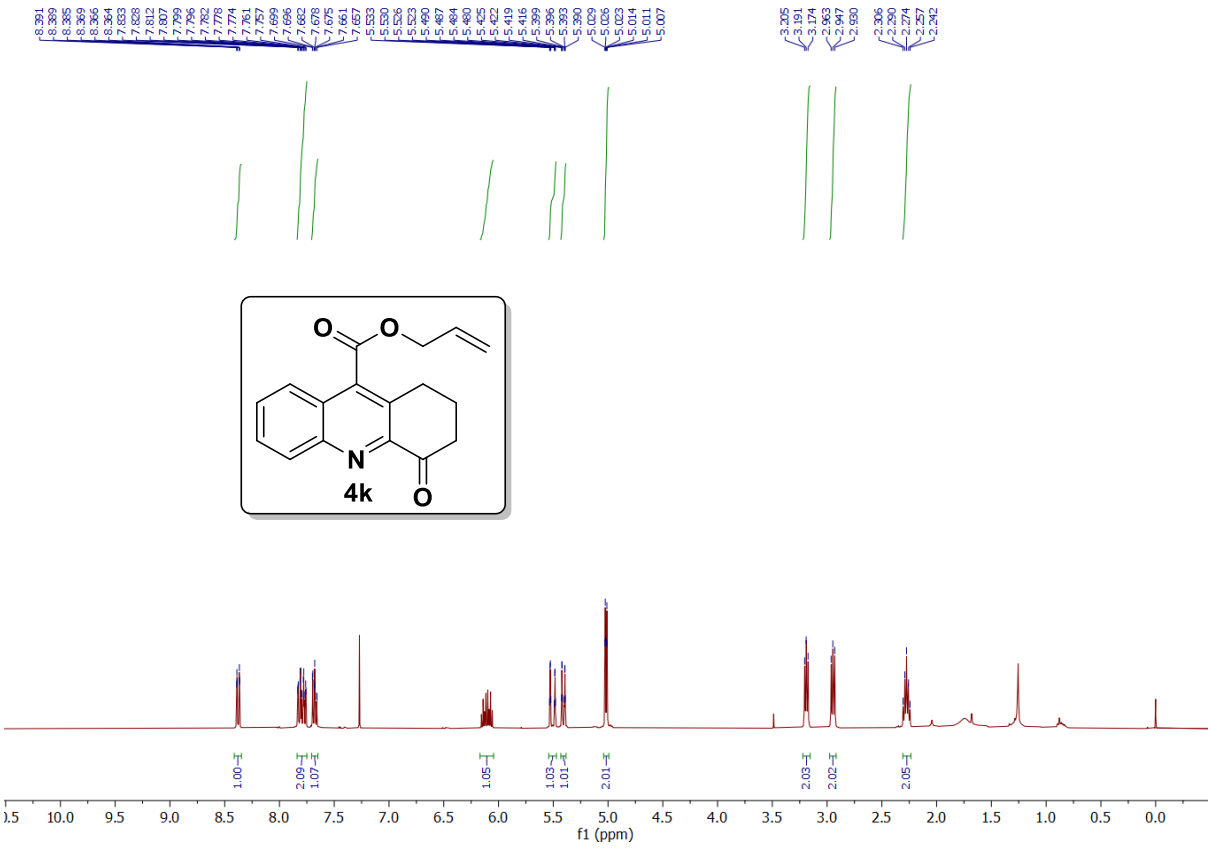


Figure-S165. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4k**

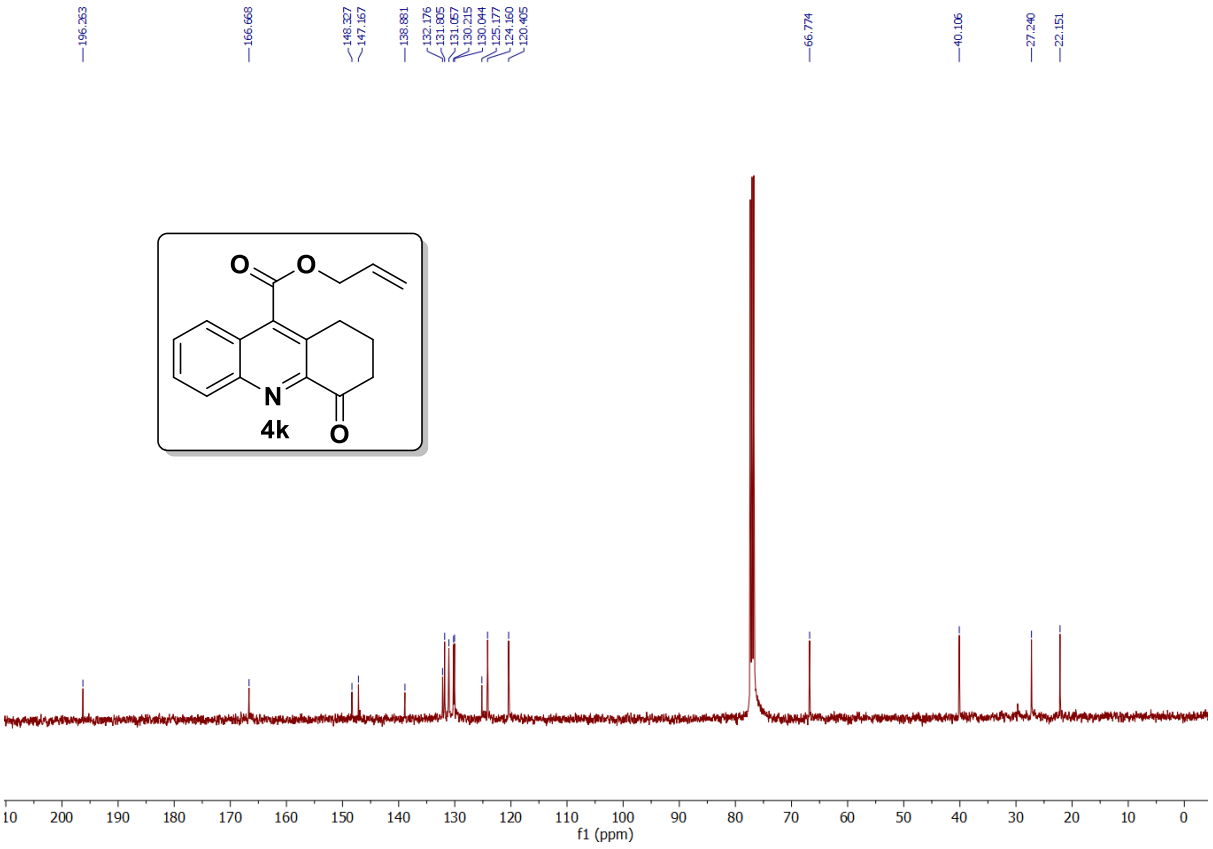


Figure-S166. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4k**

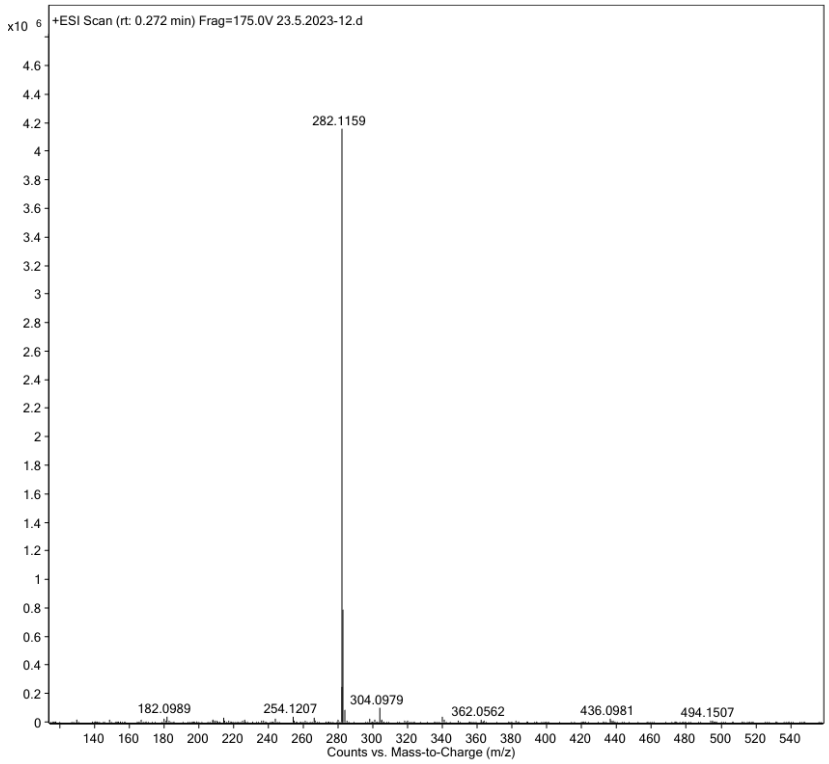


Figure-S167. HR-MS(ESI$^+$) spectrum of compound **4k**

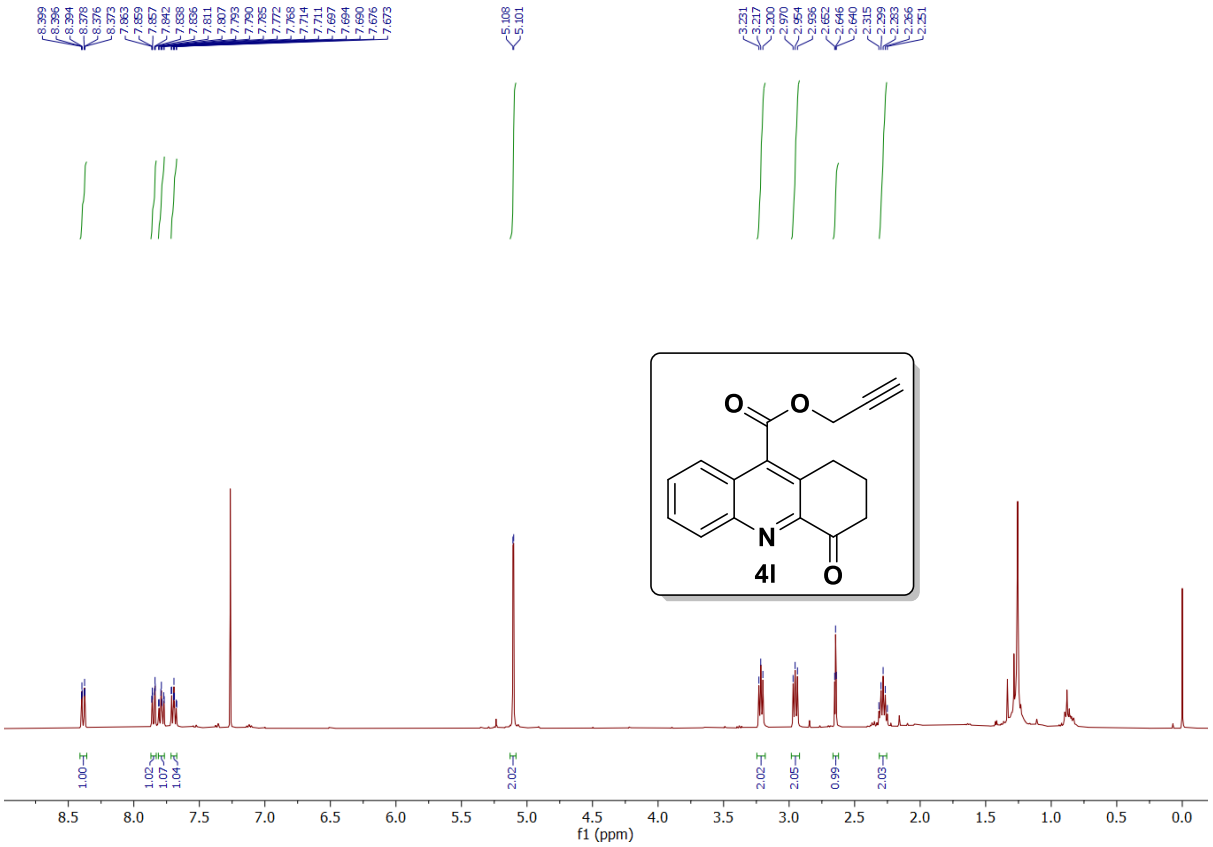


Figure-S168. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4l**

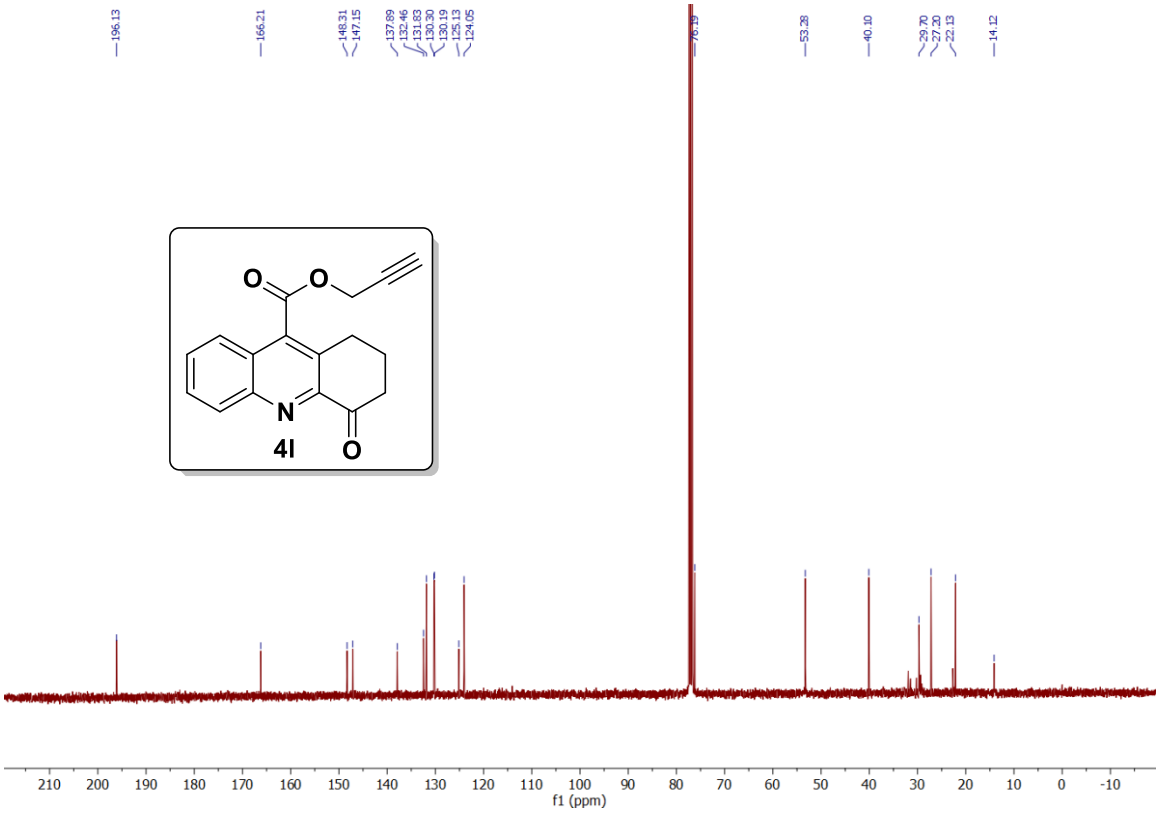


Figure-S169. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4l**

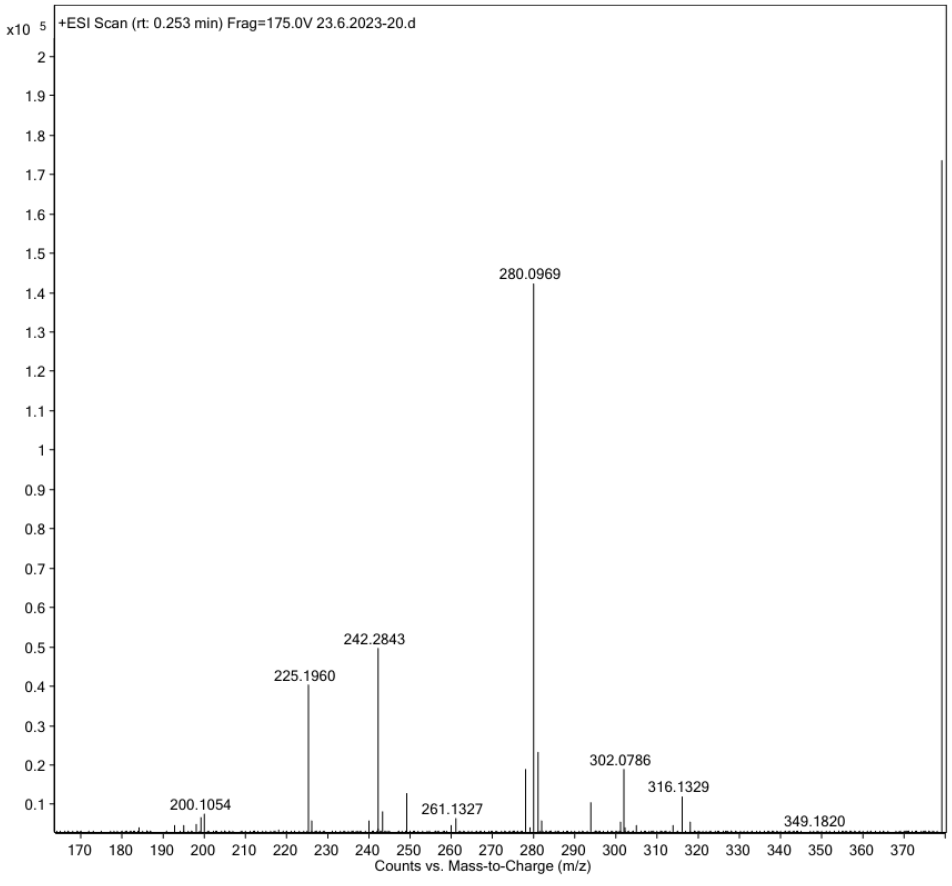


Figure-S170. HR-MS(ESI^{+}) spectrum of compound **4l**

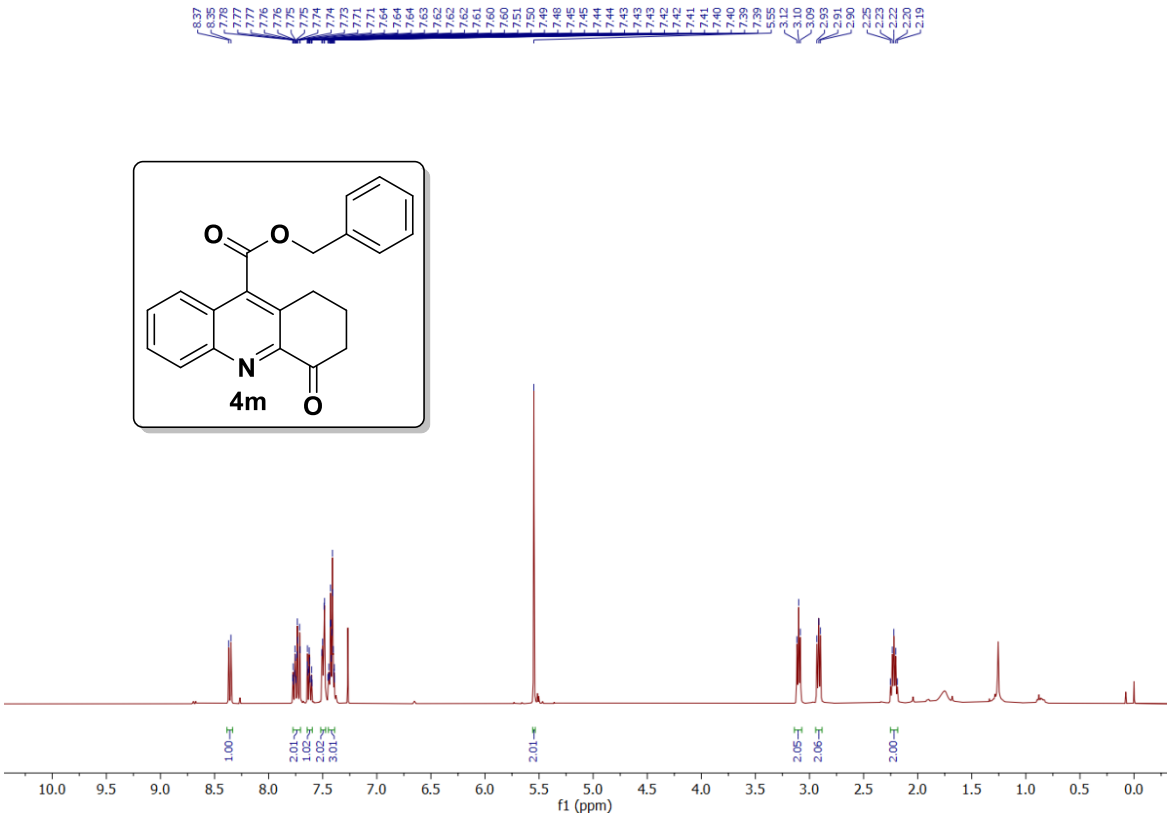


Figure-S171. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4m**

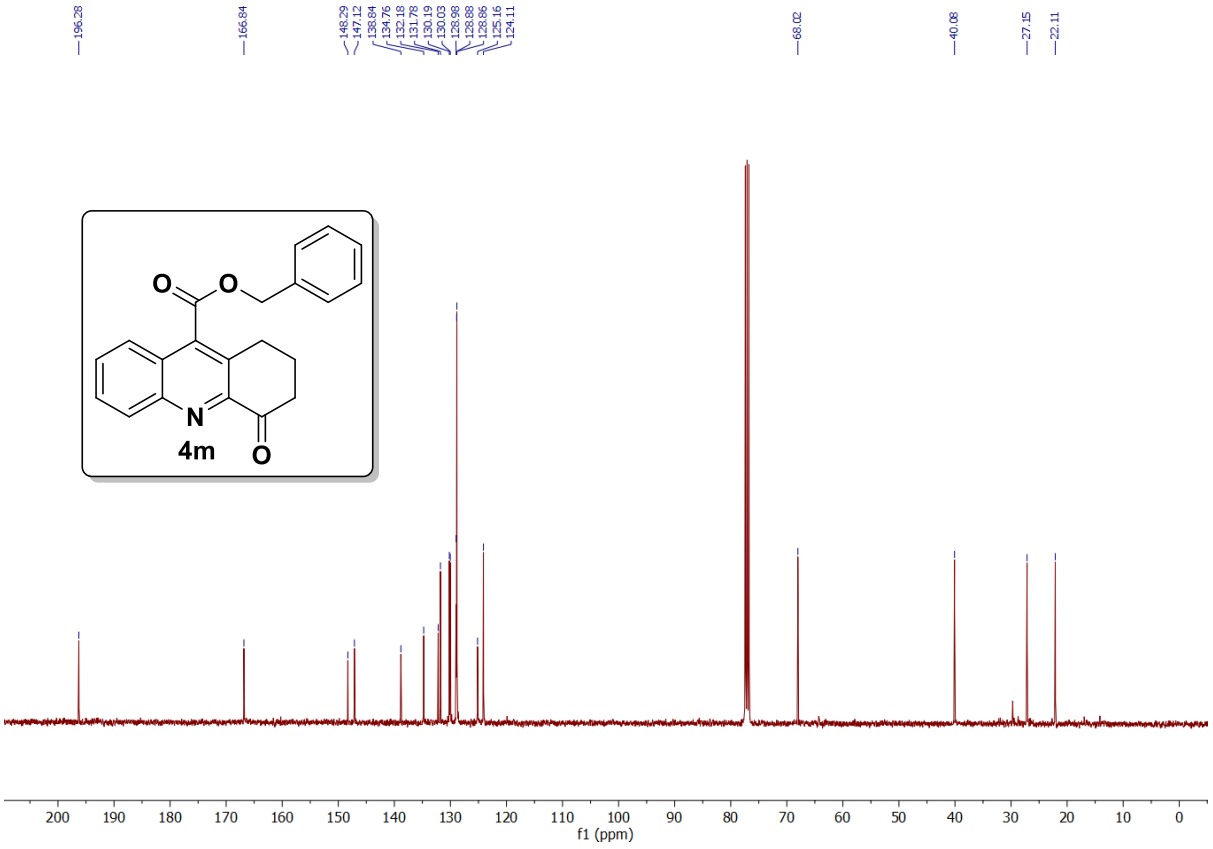


Figure-S172. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4m**

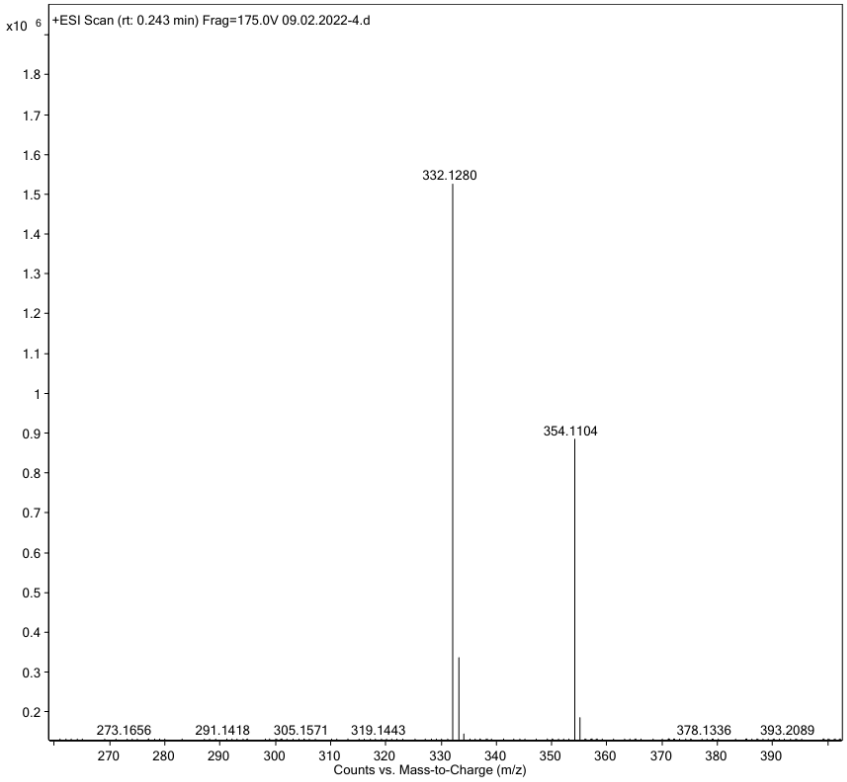


Figure-S173. HR-MS(ESI$^+$) spectrum of compound **4m**

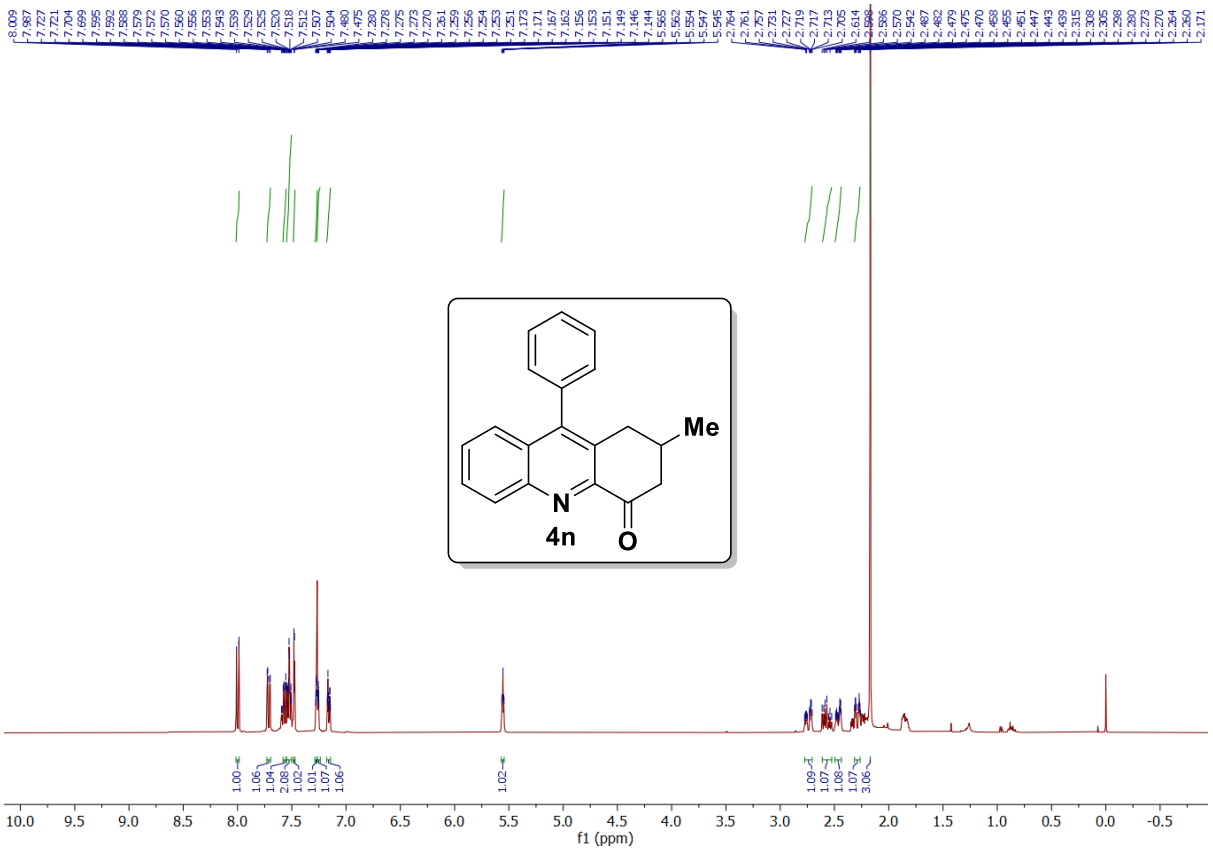


Figure-S174. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4n**

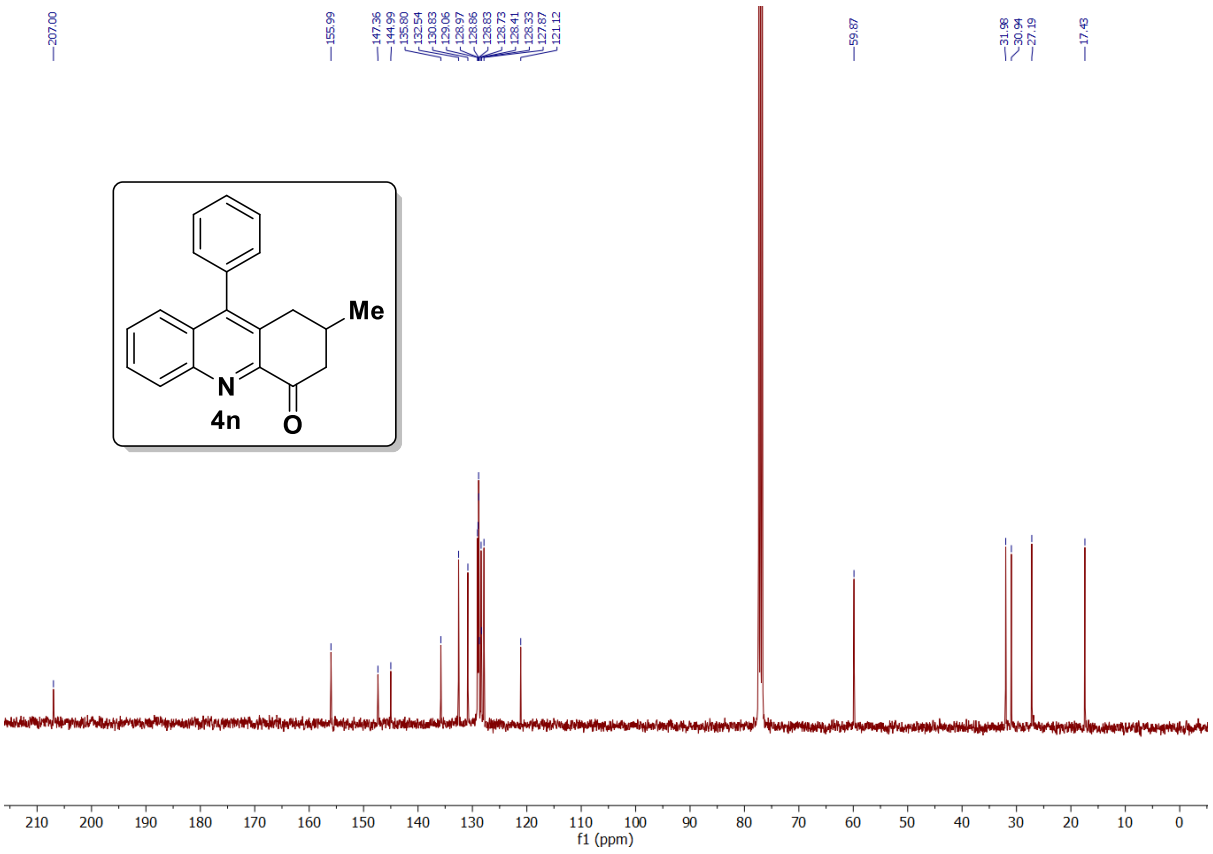


Figure-S175. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4n**

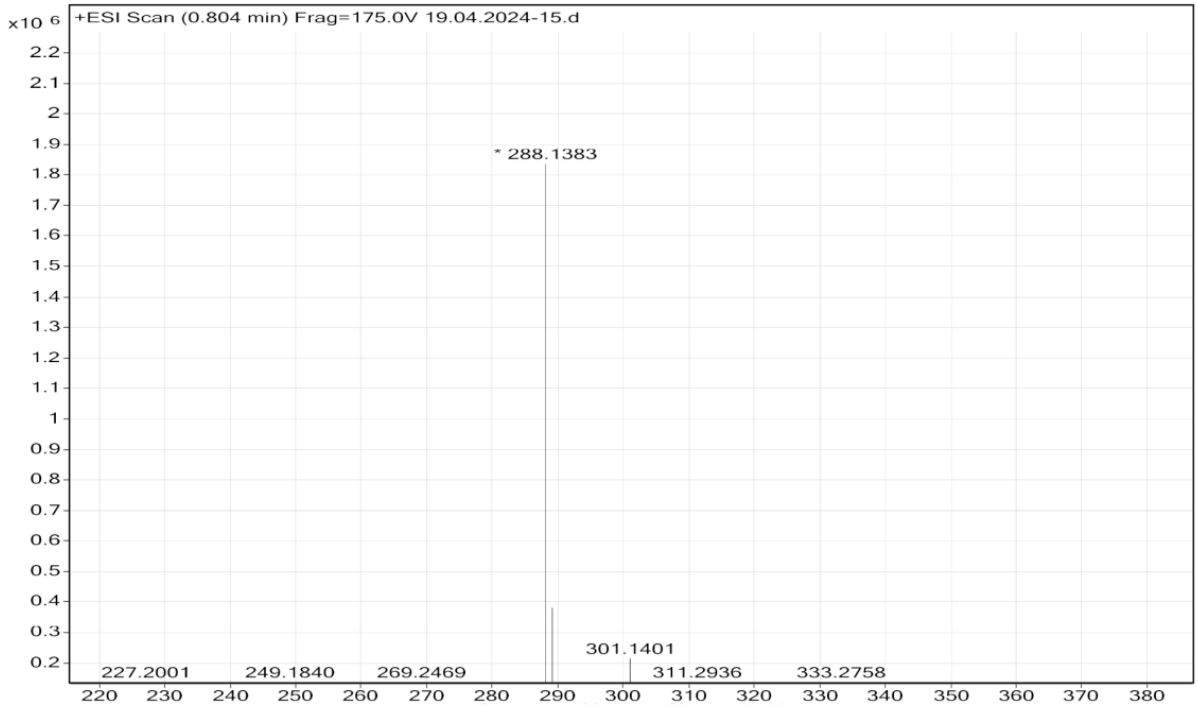


Figure-S176. HR-MS(ESI^+) spectrum of compound **4n**

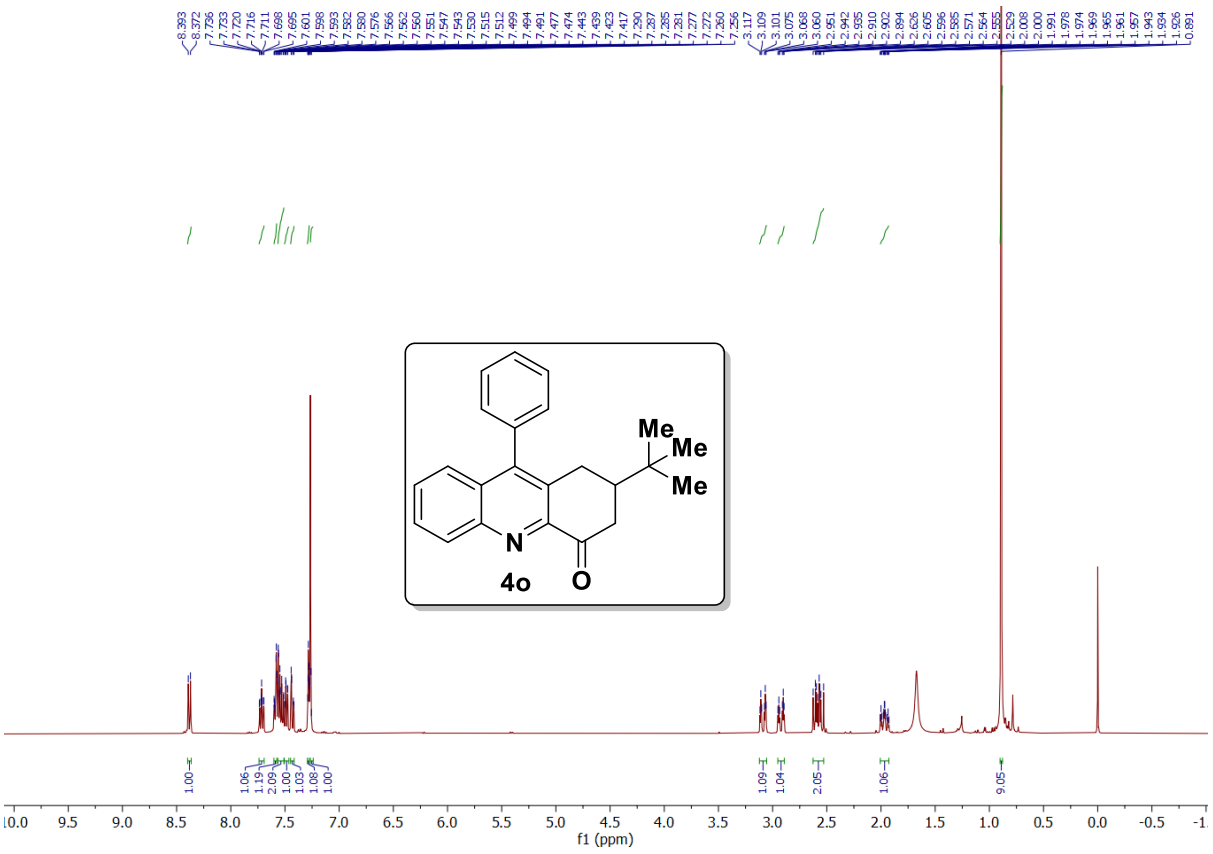


Figure-S177. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4o**

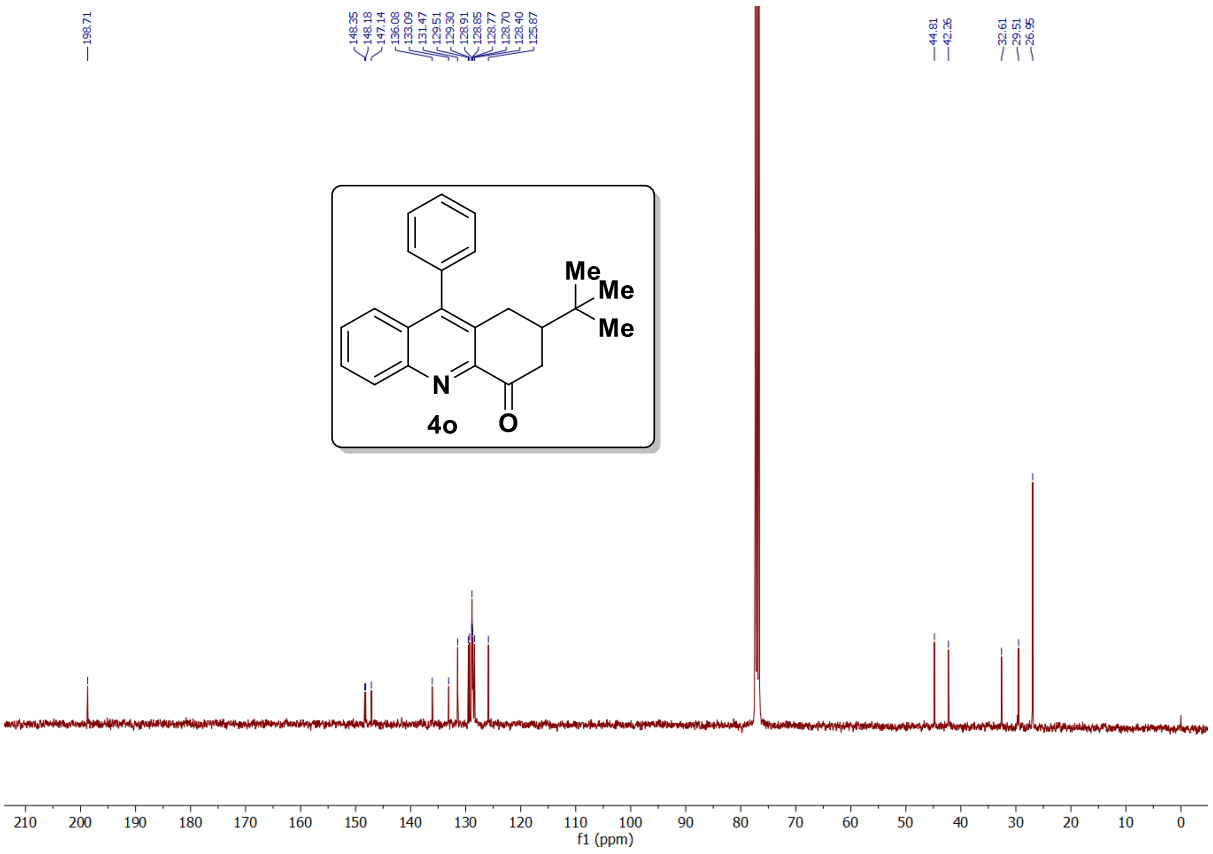


Figure-S178. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4o**

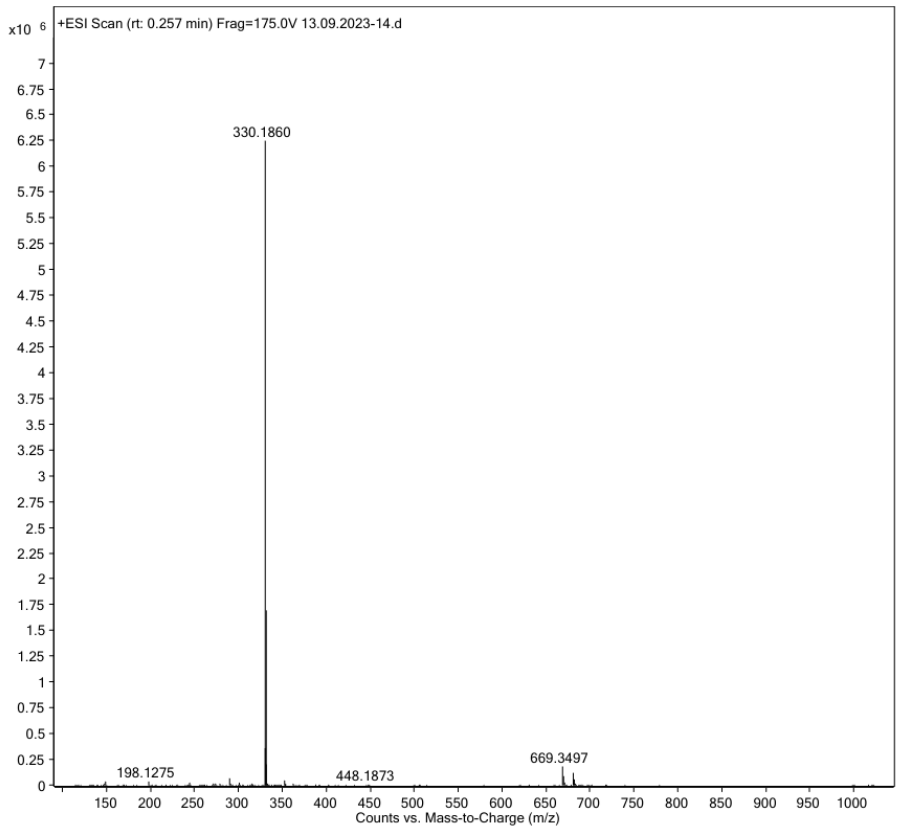


Figure-S179. HR-MS(ESI$^+$) spectrum of compound **4o**

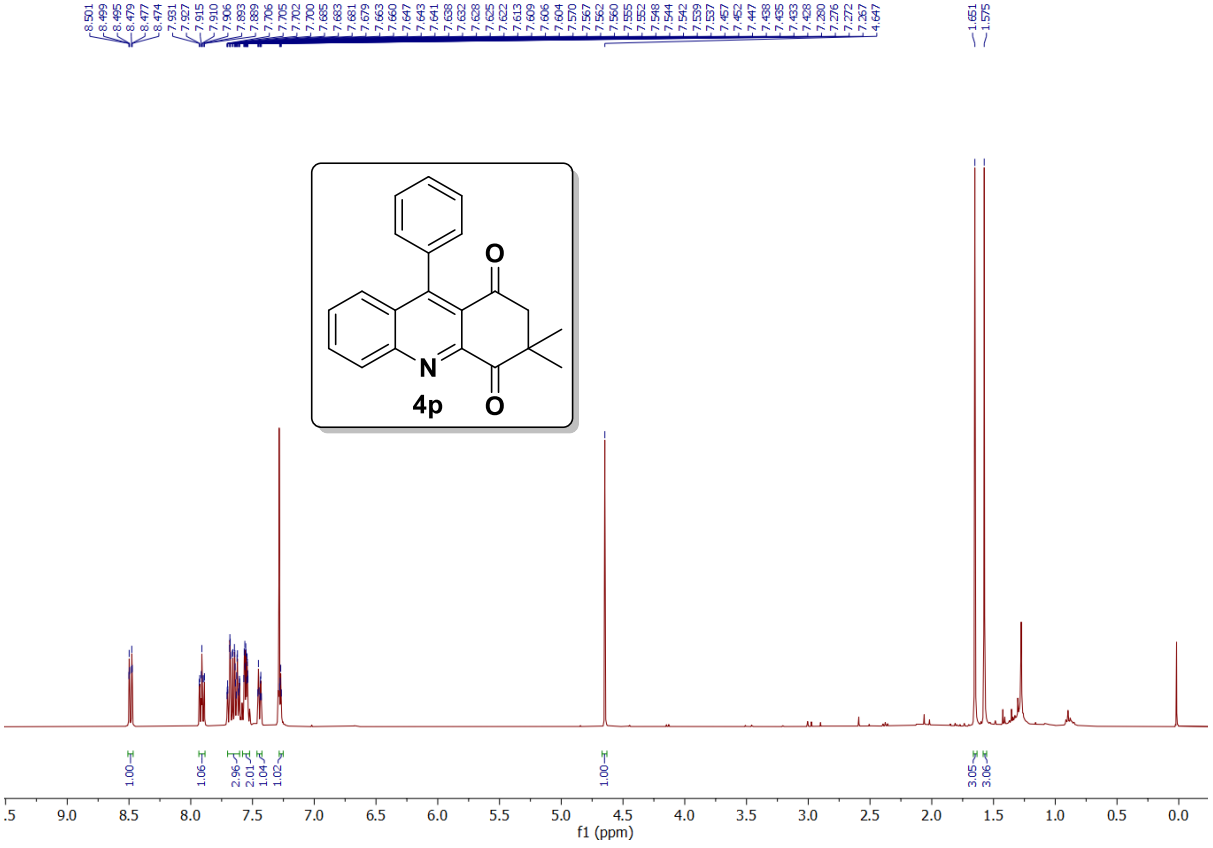


Figure-S180. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4p**

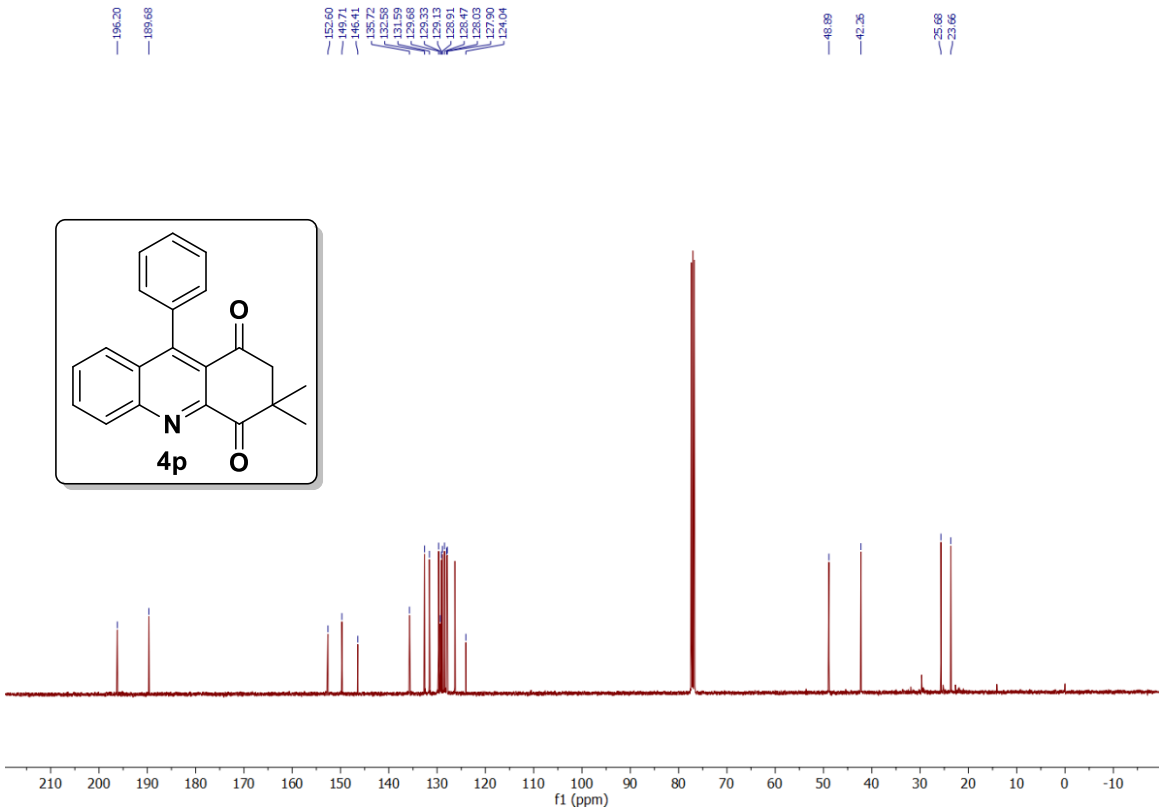


Figure-S181. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4p**

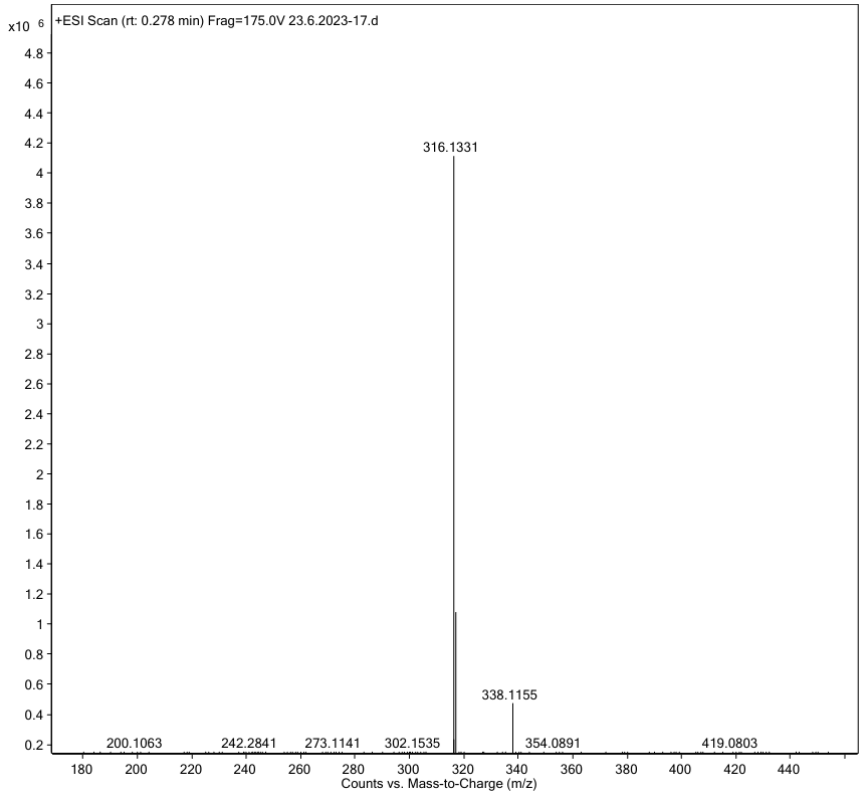


Figure-S182. HR-MS(ESI^+) spectrum of compound **4p**

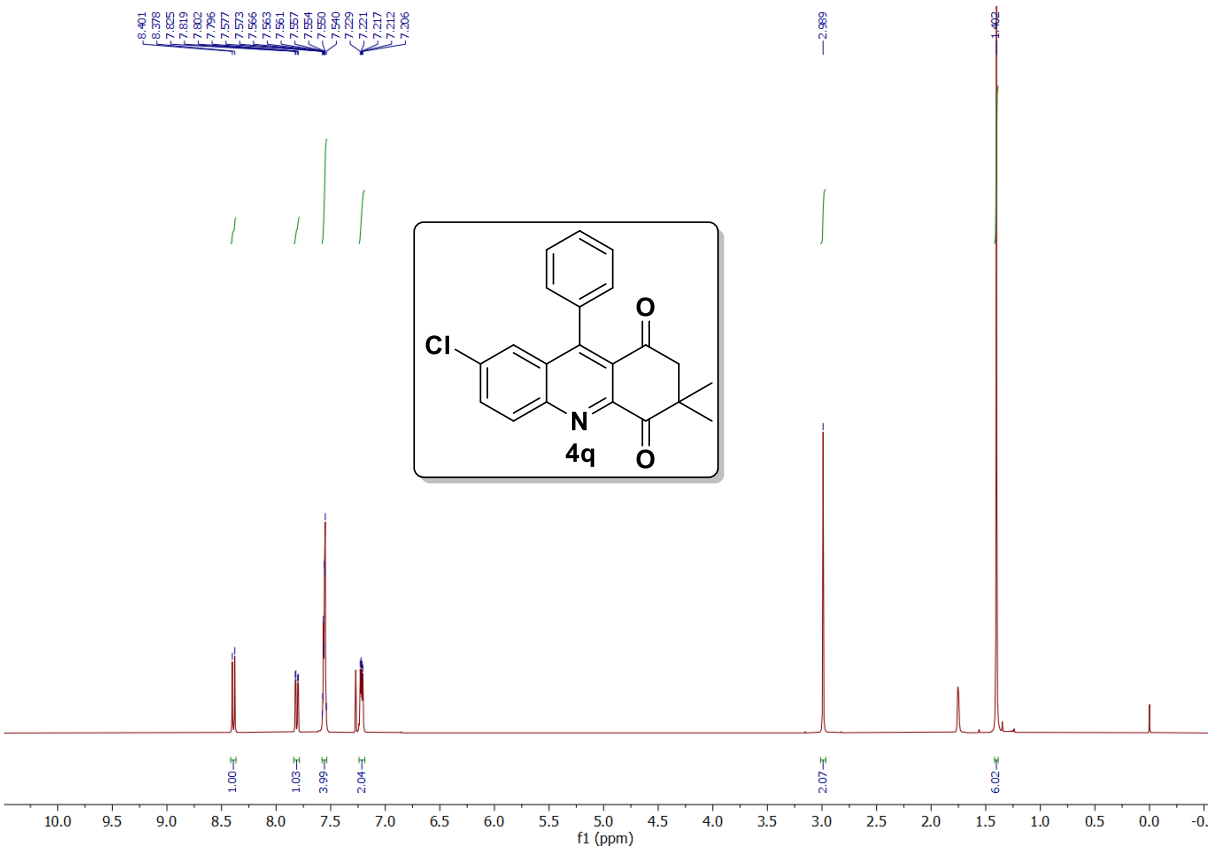


Figure-S183. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **4q**

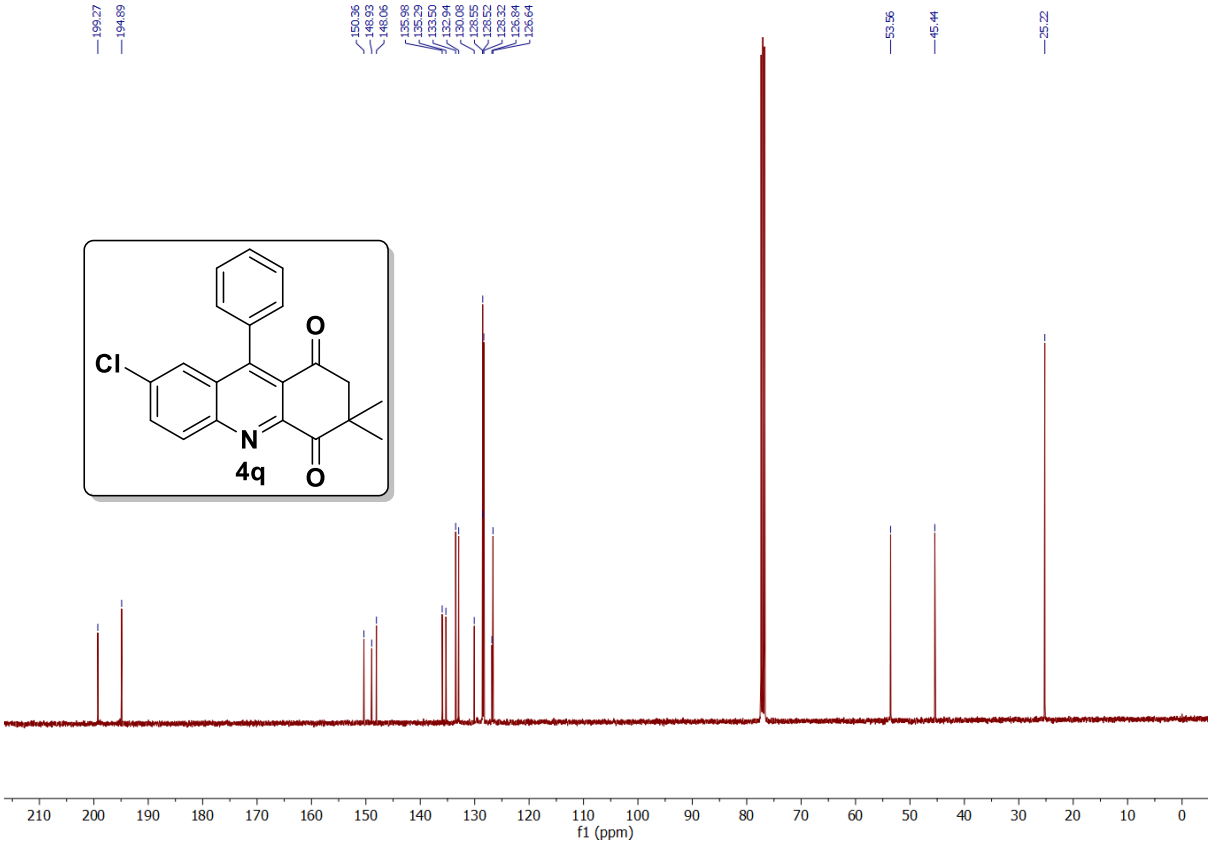


Figure-S184. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **4q**

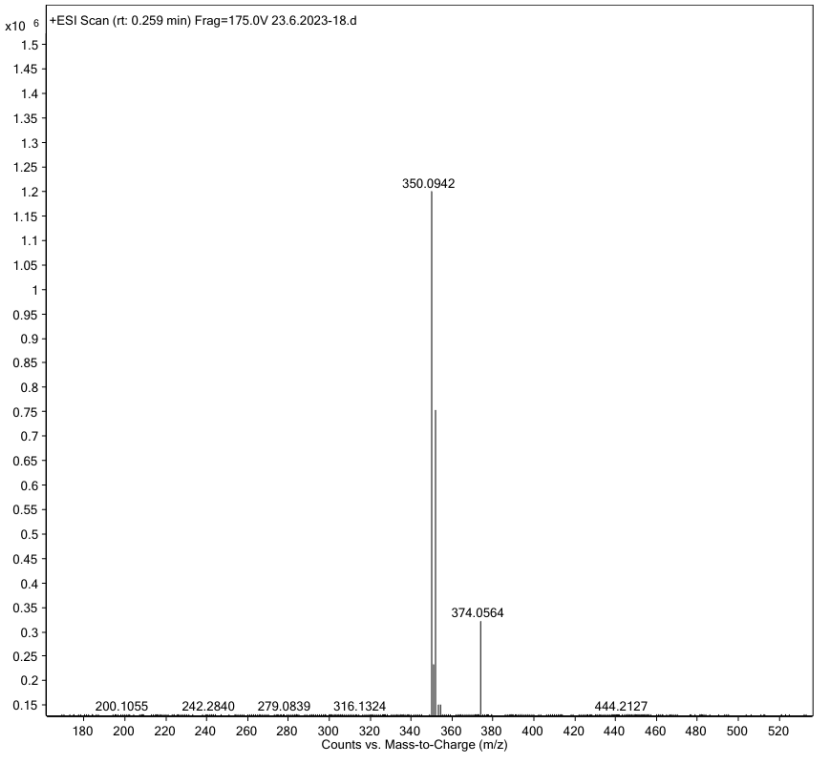


Figure-S185. HR-MS(ESI$^+$) spectrum of compound **4q**

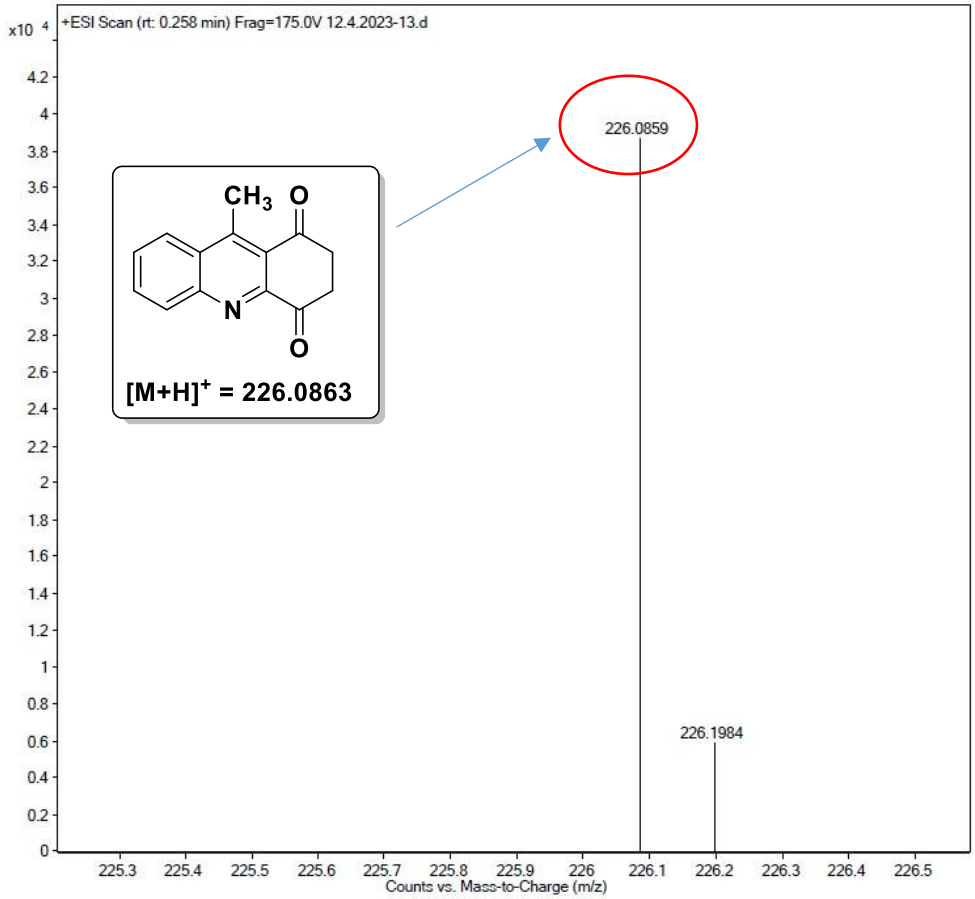


Figure-S186. HR-MS(ESI$^+$) spectrum of compound **4r**

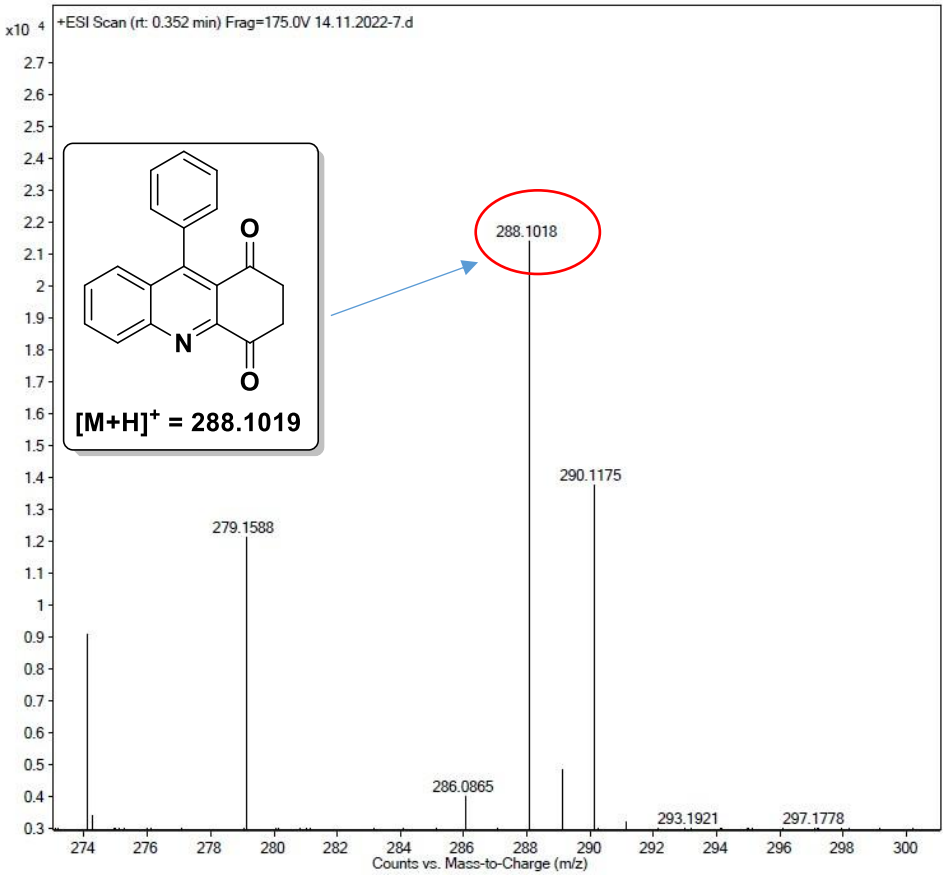


Figure-S187. HR-MS(ESI$^+$) spectrum of compound **4s**

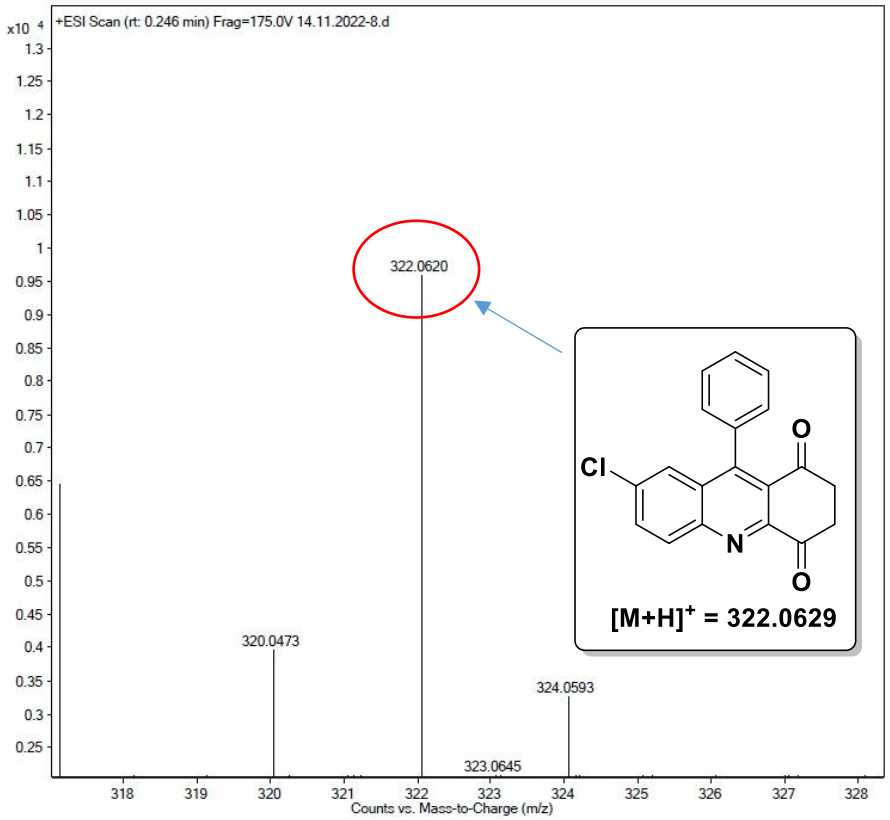


Figure-S188. HR-MS(ESI$^+$) spectrum of compound **4t**

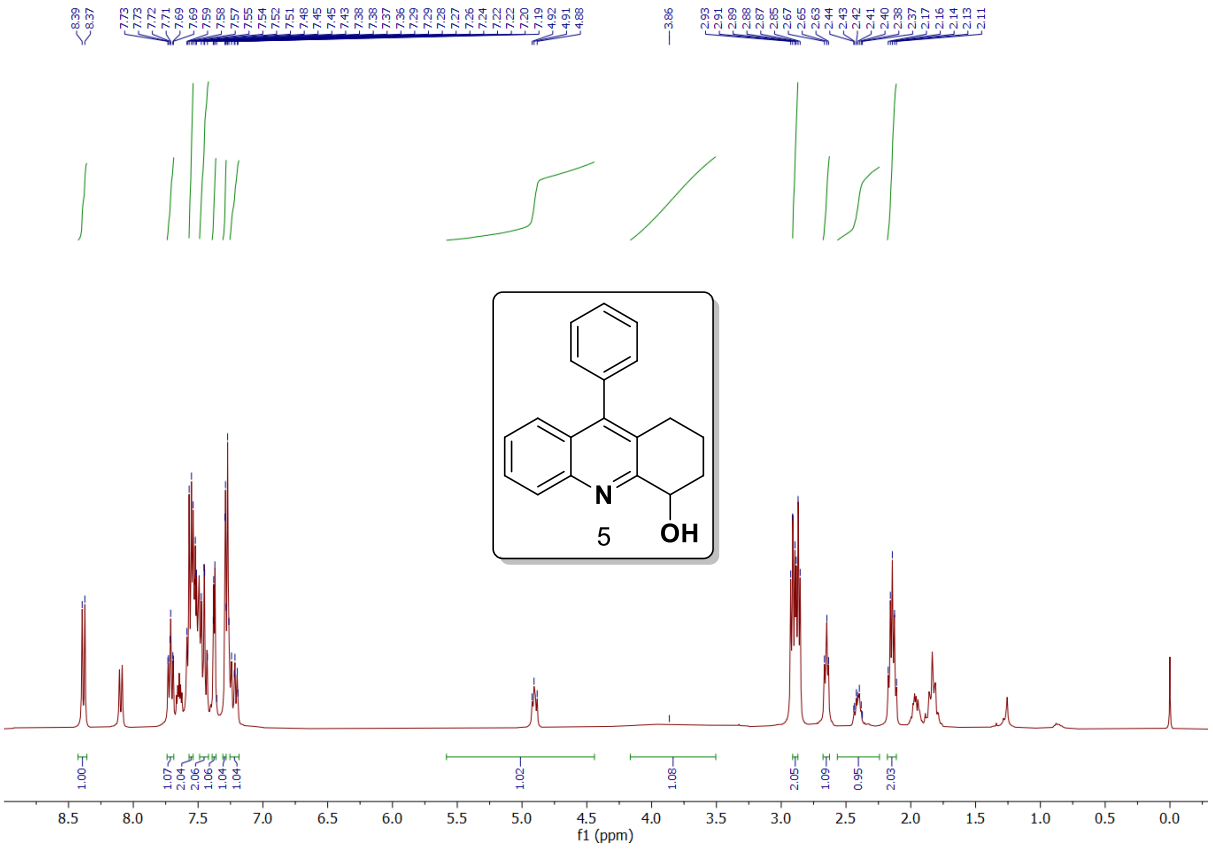


Figure-S189. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **5**

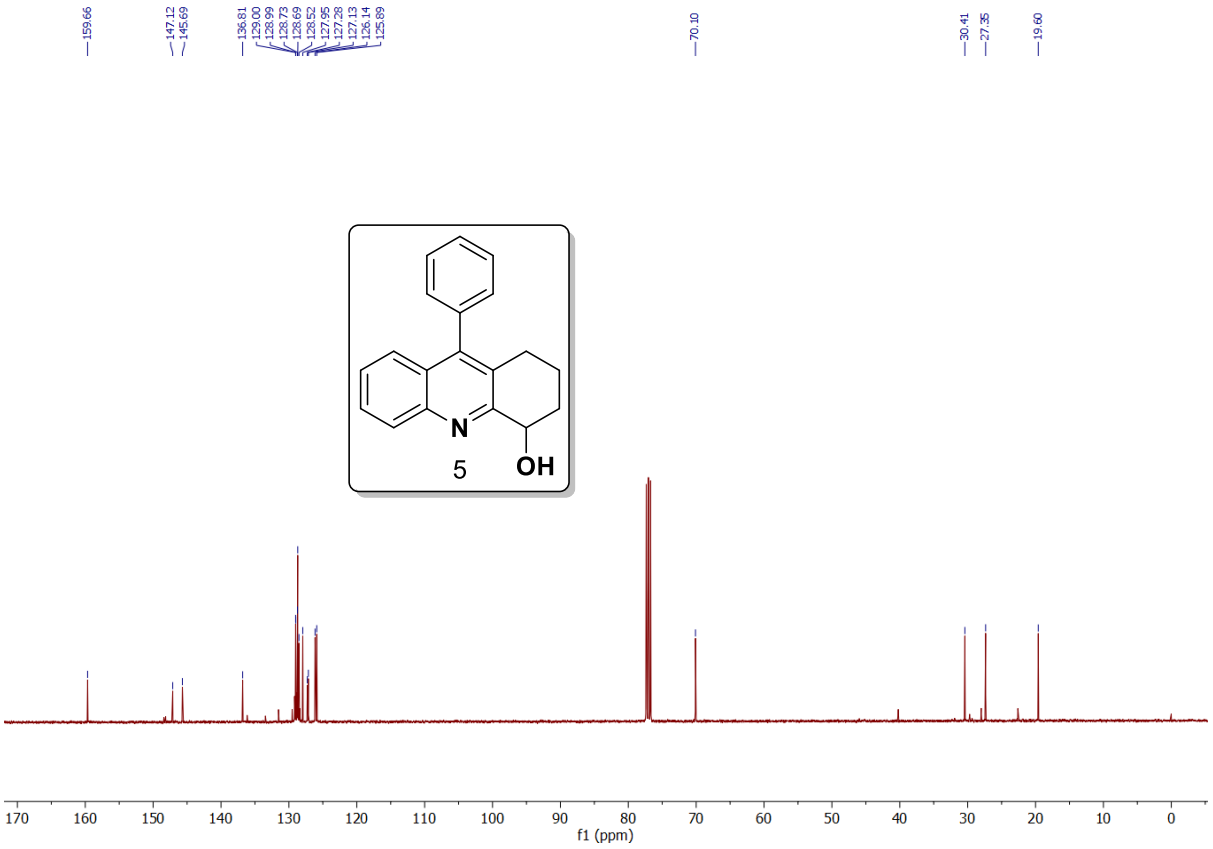


Figure-S190. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **5**

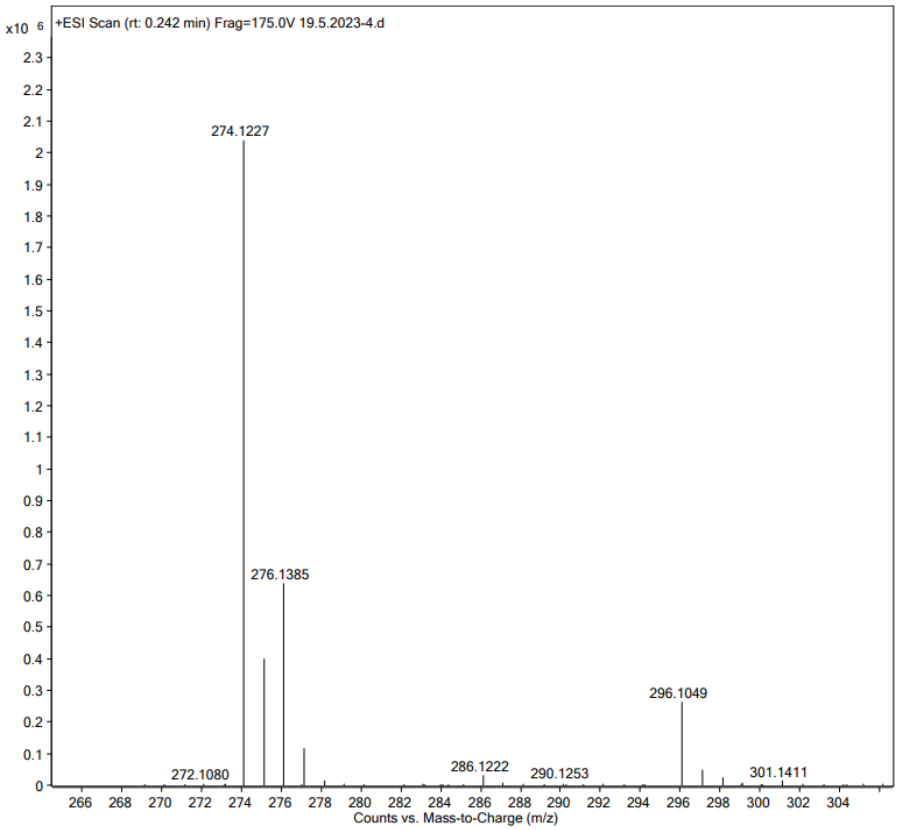


Figure-S191. HR-MS(ESI$^+$) spectrum of compound **5**

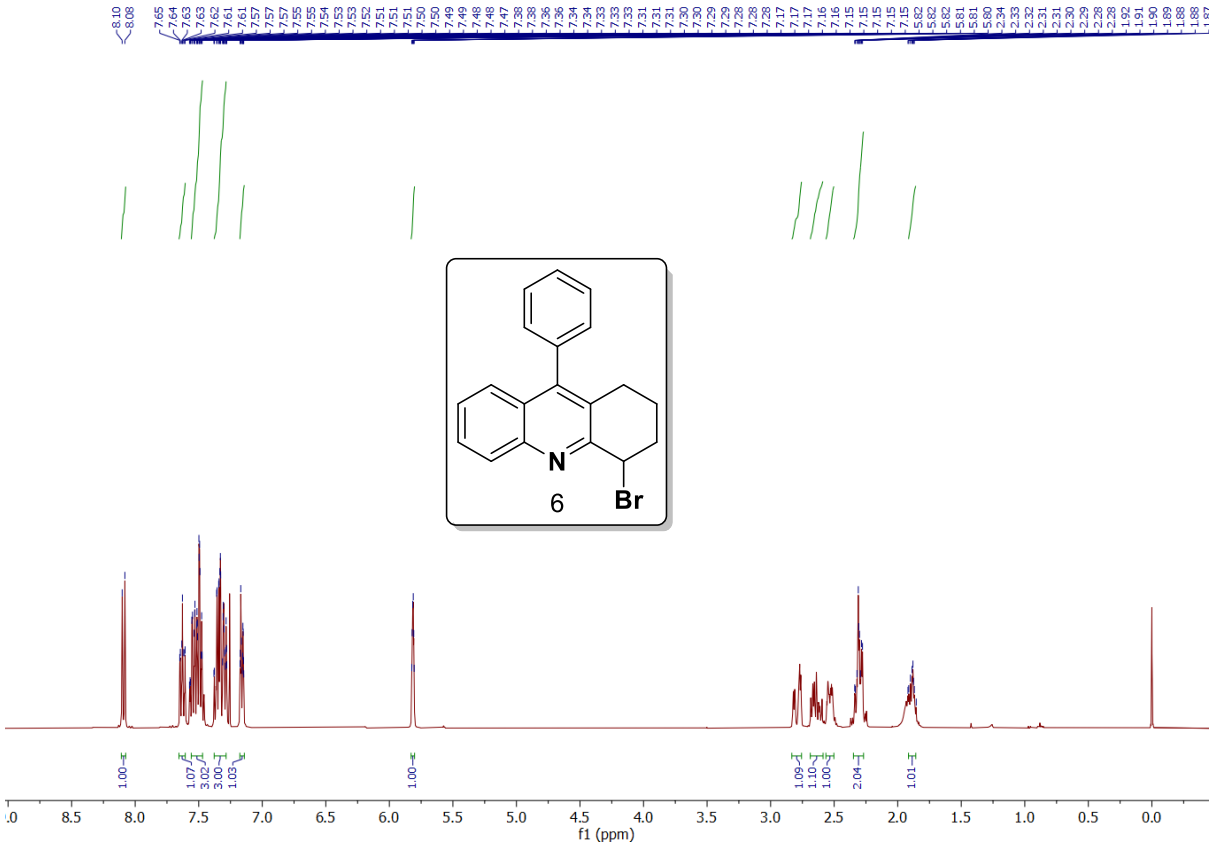


Figure-S192. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **6**

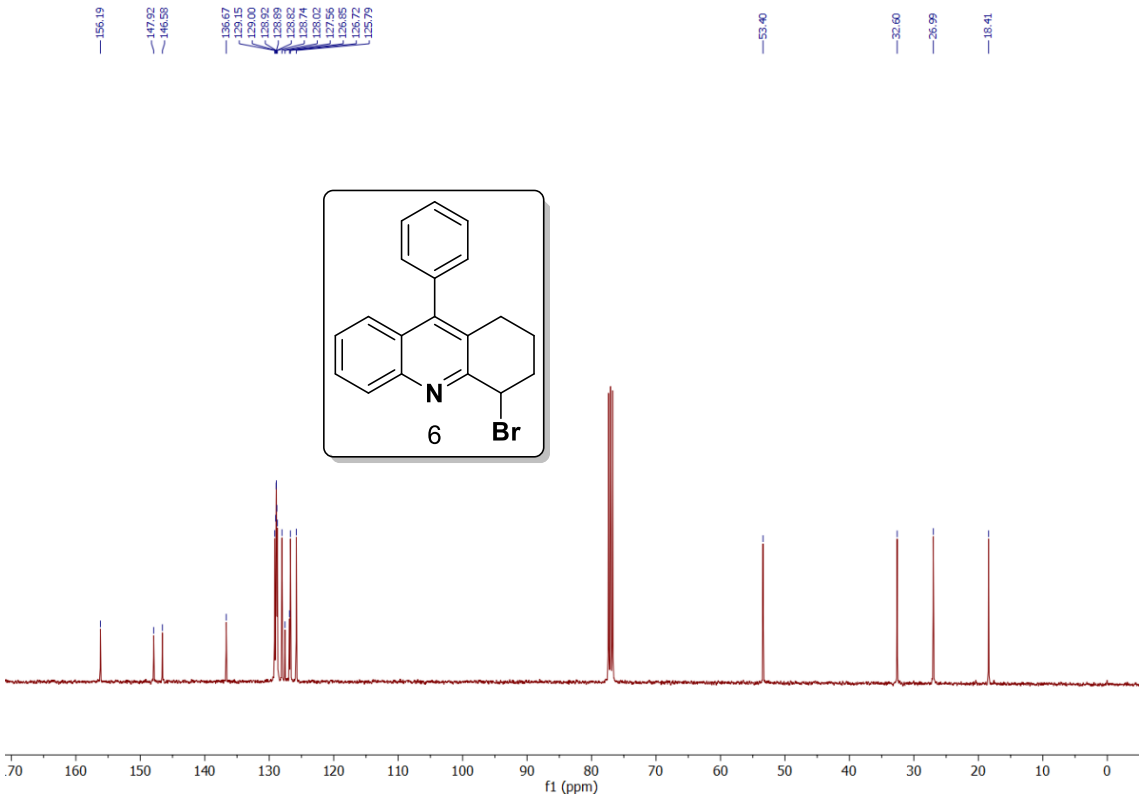


Figure-S193. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **6**

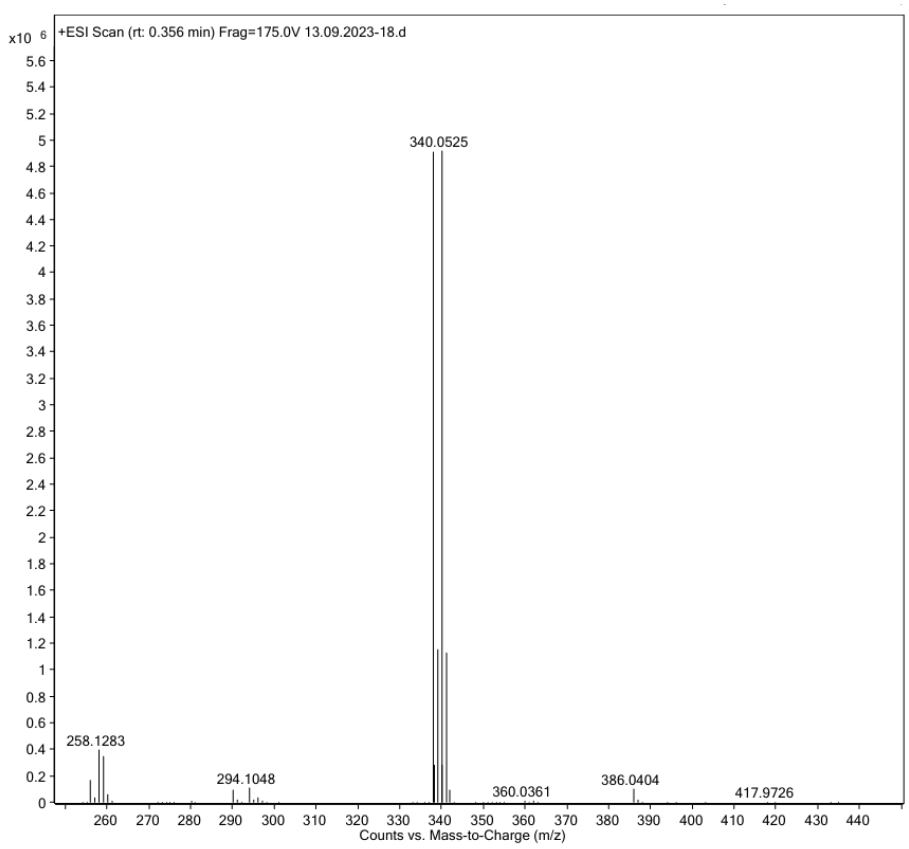


Figure-S194. HR-MS(ESI^+) spectrum of compound **6**

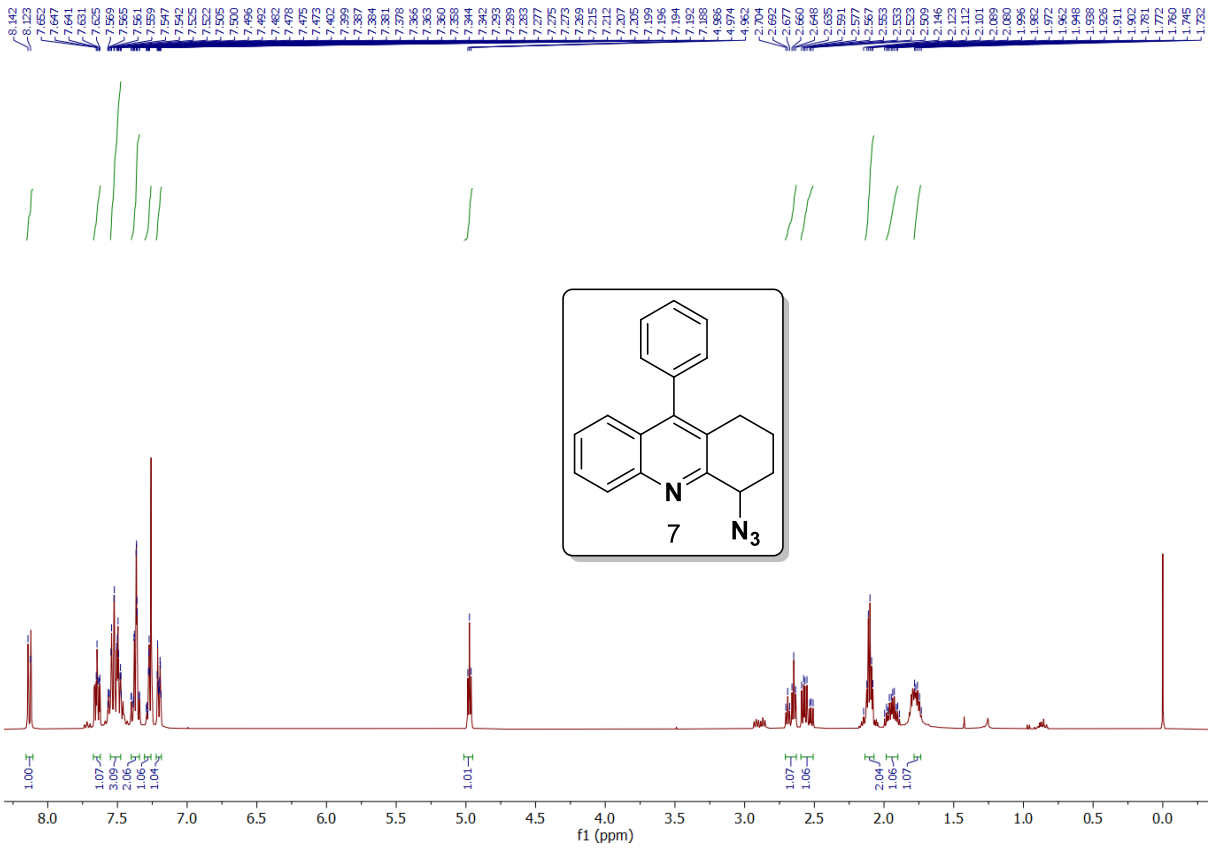


Figure-S195. ^{1}H NMR (400 MHz, $CDCl_3$) spectrum of compound **7**

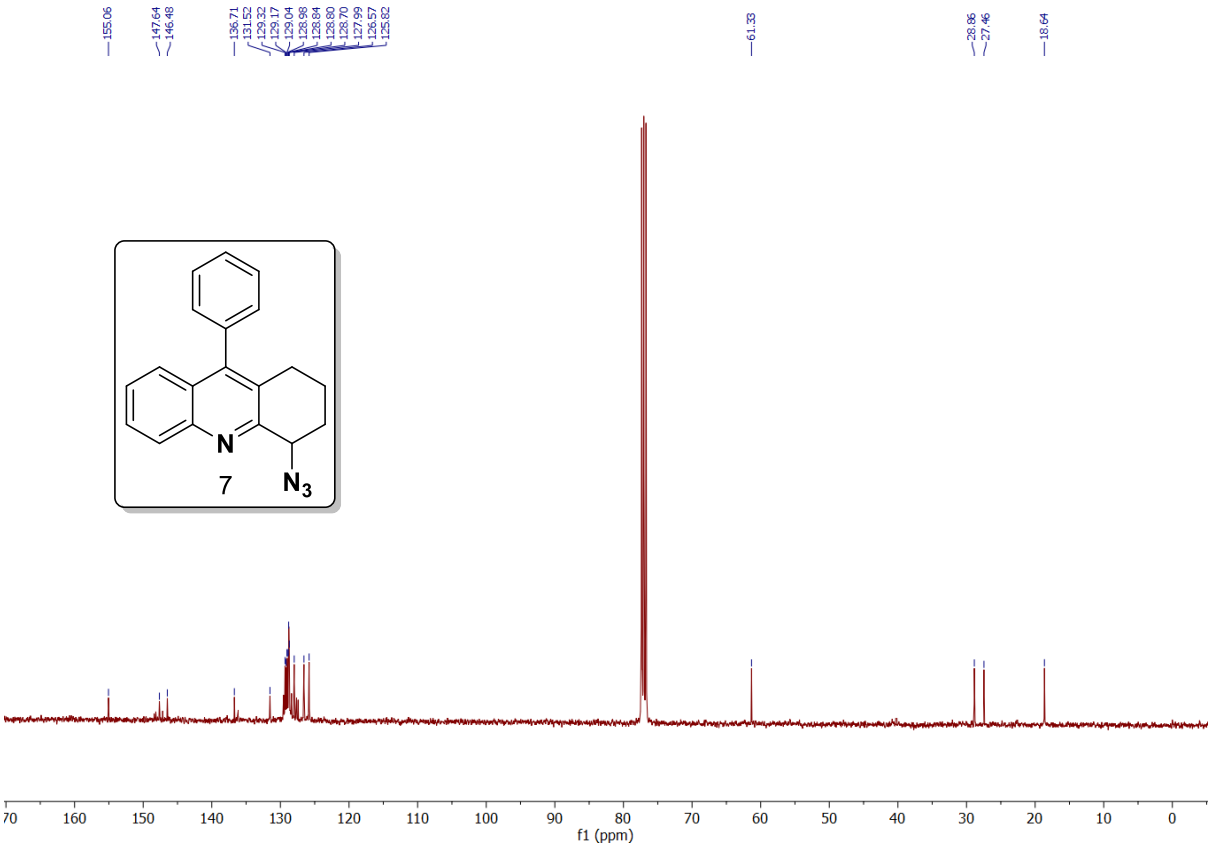


Figure-S196. $^{13}C\{^{1}H\}$ NMR (400 MHz, $CDCl_3$) spectrum of compound **7**

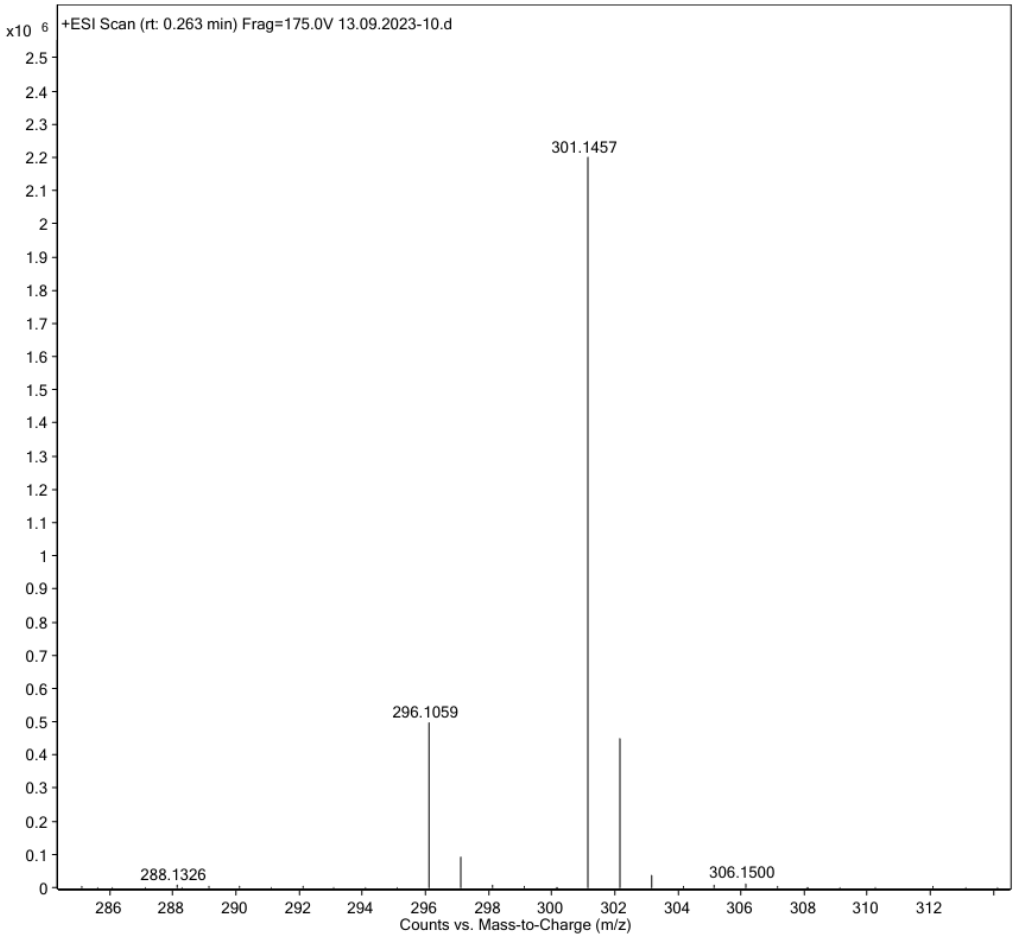


Figure-S197. HR-MS(ESI$^+$) spectrum of compound **7**