Supporting Information

Synthesis of Amide-Functionalized Isoquinoline Derivatives by Photo-Induced Carbamoyl Radical Cascade Amidation/Cyclization

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1. General Information

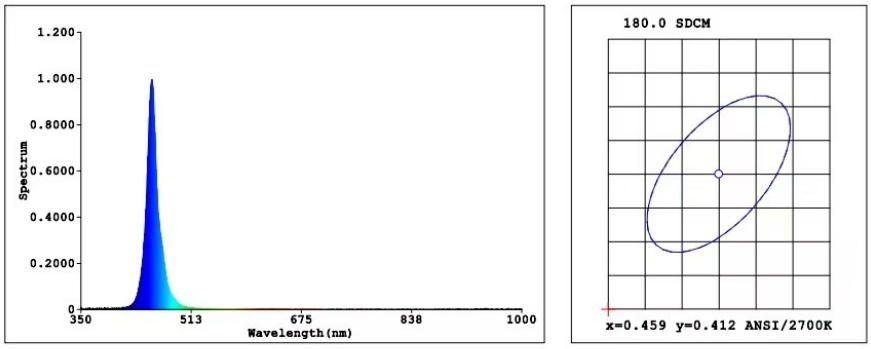
Unless stated otherwise, all reactions were carried out under an argon atmosphere. All solvents were purified and dried according to standard methods prior to use. All the commercial reagents were used without additional purification. Flash chromatography was carried out with silica gel (300-400 mesh). ^{1}H NMR and ^{13}C NMR spectra were recorded at 400/500/600 MHz and 100/125 MHz spectrometers in $CDCl_3$. The data are reported as follows: chemical shift (δ ppm), integration, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets), and coupling constants (*J*) in Hertz (Hz). High-resolution mass spectra (HRMS) were obtained using ESI ionization sources.

2. General procedure for the synthesis of substrates

Typical experimental setup for photoredox catalytic reactions

Figure S1. Typical experimental setup for photoredox catalytic reactions.

A schematic representation of the typical experimental setup for photoredox catalytic reactions is illustrated in Figure S1.The light source used for illuminating the reaction vessel (commercial supplier: Xuzhou Aijia Technology Electronics) consists of 45 W blue LEDs (λ= 450-460 nm) Detailed specifications of the blue LED are provided in Figure S2.



Color Parameters:

Chromaticity Coordinate(2Deg):x=0.1497 y=0.0372/u'=0.1903 v'=0.1063 duv=-1.953

Tc=100000K Dominant WL:Ld=459.5nm Purity=97.3%

Ratio:R=1.7% G=18.2% B=80.1% Peak WL:Lp=454.5nm HWL:15.5nm

Render Index:Ra=-49.6

R1 =7.69	R2 =-36.00	R3 =-153.17	R4 =-95.14	R5 =19.27
R6 =-42.09	R7 =-54.93	R8 =-42.42	R9 =-218.59	R10=-215.23
R11=-119.63	R12=-96.30	R13=-9.11	R14=-33.44	R15=18.45

TM30 Parameters: Rf = 0.0, Rg:53.7

Photo Parameters:

Flux = 1.846 lm Eff. : 34.12 lm/W Fe = 38.47 mW

Electrical parameters:

VF = 2.705 V IF = 19.99 mA P = 54.11 mW

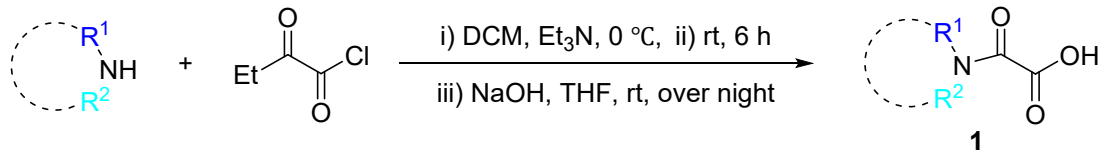
IR = 0 uA (VR = 5.002 V)

LEVEL:**[OUT] WHITE:OUT

Status: T=600.00ms Ip=9764 (15%) [HAAS1200_V1_USB] V2.00.289

Figure S2: Detailed specifications of the Blue LED

A representative procedure for the method above — the synthesis of 1[1-4]

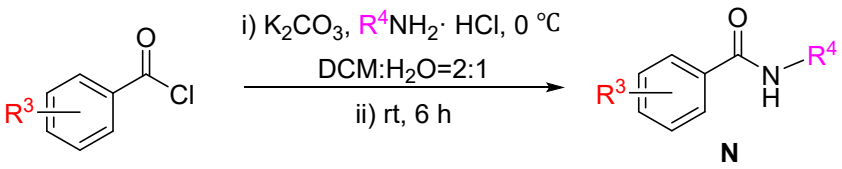


1

In a 100 mL round bottom flask a solution of amine (10 mmol, 1.0 equiv.) in dichloromethane (30 mL) was added Et_3N (12 mmol, 1.2 equiv.) and then ethyl chlorooxoacetate (12 mmol, 1.2 equiv.) was added to the solution slowly at 0 °C. The reaction mixture was stirred at room temperature for 6 h. After the completion of the reaction (TLC), the reaction mixture was treated with 1.0 M HCl (20 mL) and extracted with dichloromethane (3 × 30 mL). The combined organic layer was washed with brine, dried over Na_2SO_4, concentrated under reduced pressure, and the obtained residue was directly subjected to hydrolysis. The residue was dissolved in THF (20 mL)

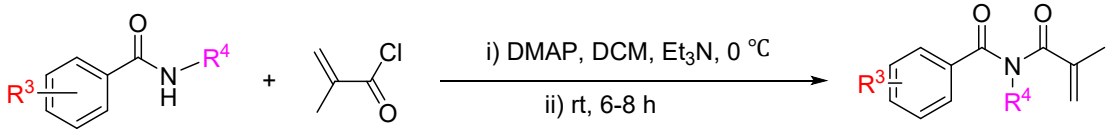
and NaOH (20 ml,2 M) was added to the solution. The resulting reaction mixture was then stirred overnight at room temperature. After completion of hydrolysis, the aqueous phase was separated and acidified with 1.0 M aqueous HCl solution. The resulting mixture was extracted with ethyl acetate (3 × 20 mL) and the combined organic layers were washed with brine (20 mL) and dried over Na_2SO_4. The solvent was removed under reduced pressure and the residue obtained was recrystallized by dichloromethane-hexanes to obtain oxalic monoamide **1**.

A representative procedure for the method above — the synthesis of 2[5, 6].



N

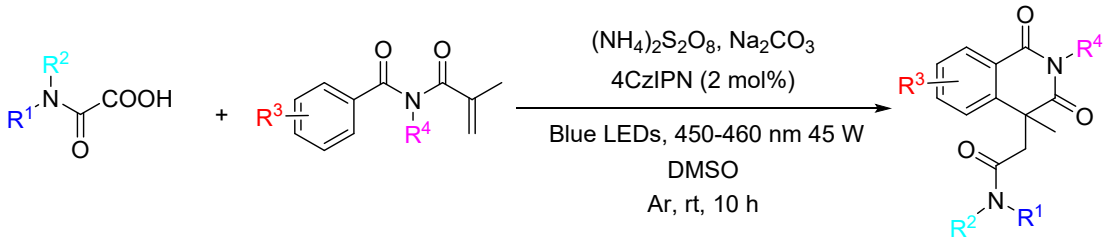
In a 100 mL round-bottom flask, 30 mL of dichloromethane (DCM) and 15 mL of water were added, followed by the addition of amine hydrochloride (2.0 equiv.) and potassium carbonate (2.0 equiv.). The mixture was stirred until homogeneous. The mixture was then cooled to 0 ℃, and acyl chloride was added dropwise. After the completion of the addition, the reaction system was allowed to return to room temperature and stirred for 6 – 8 hours. The reaction progress was monitored by thin-layer chromatography (TLC) to ensure completion. The reaction mixture was extracted with dichloromethane (DCM), and the organic phase was dried over anhydrous sodium sulfate. The solvent was then removed under reduced pressure to afford the crude amide **N.** The obtained amide N was used directly in subsequent reactions without further purification.



N **2**

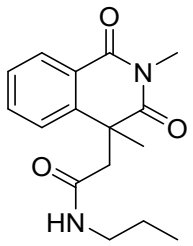
Amide **N** (10 mmol,1.0 equiv.), 4-dimethylaminopyridine (1 mmol, 0.1 equiv.), and Et_3N (20 mmol, 2.0 equiv.) were placed in a round-bottom flask and dissolved in DCM (30 ml). The reaction system was then cooled to 0 ℃. Subsequently, acryloyl chloride (12 mmol ,1.2 equiv.) was added dropwise at 0 ℃. After the addition was completed, the reaction system was allowed to return to room temperature and stirred for 4–6 hours. The completion of the reaction was monitored by thin-layer chromatography (TLC). The organic phase was separated, dried over anhydrous sodium sulfate, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (petroleum ether: ethyl acetate = 20:1) to afford the target compound **2**.

General procedure for the synthesis of carbamoylated isoquinoline-1,3(2H,4H)-dione derivatives.



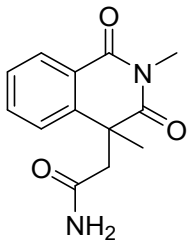
1 (0.4 mmol, 2.0 equiv.), **2** (0.2 mmol, 1.0 equiv.), 4CzIPN (0.01 mmol, 2 mol%), Na_2CO_3 (0.4 mmol,2.0 equiv.), $(NH_4)_2S_2O_8$ (0.4 mmol, 2.0 equiv.), were added to the 8 mL sealed glass bottle. After replacing the gas three times, DMSO (2 mL) was added under argon, and the reaction bottle was ensured. After the reaction was complete, the mixture was poured into water (20 mL) and extracted with ethyl acetate (3 × 15 mL). The organic phases were combined, dried over Na_2SO_4, and subsequently evaporated under vacuum on a rotary evaporator. The crude product obtained was purified by silica gel column chromatography using petroleum ether and ethyl acetate (PE:EA=3:1 to 1:1) as the eluents to obtain the corresponding **3**.

3. Characterization of Products



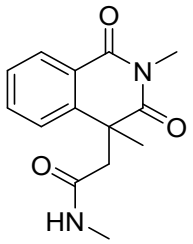
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3a).

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 46.6mg (81%) of **3a** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 8.06 (dd, J = 7.8, 1.5 Hz, 1H), 7.79 – 7.73 (m, 1H), 7.68 – 7.62 (m, 1H), 7.55 (dd, J = 8.3, 1.0 Hz, 1H), 7.43 (ddd, J = 8.2, 7.3, 1.2 Hz, 1H), 3.22 (s, 3H), 3.19 (d, J = 15.8 Hz, 1H), 3.03 (d, J = 15.8 Hz, 1H), 2.79 – 2.64 (m, 2H), 1.45 (s, 3H), 1.09 (p, J = 7.2 Hz, 2H), 0.59 (t, J = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.1, 168.6, 164.0, 143.7, 133.5, 127.7, 126.9, 125.3, 124.4, 46.0, 44.5, 29.5, 26.8, 22.2, 11.0; HRMS (ESI) m/z calcd for $C_{16}H_{20}N_2O_3Na^+$(M+Na)$^+$311.1366137,found 311.13629.



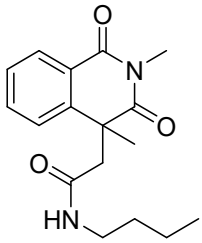
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3b)[7]

Purification was performed by column chromatography (MeOH/DCM = 1/30) to afford 33.0mg (67%) of **3b** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.60 (td, *J* = 7.6, 1.5 Hz, 1H), 7.44 – 7.39 (m, 1H), 7.35 (d, *J* = 7.8 Hz, 1H), 5.39 (s,1H), 5.26 (s, 1H), 3.53 (d, *J* = 16.0 Hz, 1H), 3.40 (s, 3H), 2.95 (d, *J* = 15.9 Hz, 1H), 1.54 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.7, 171.2, 164.6, 143.1, 133.9, 129.4, 127.5, 125.1, 124.2, 45.6, 45.3, 30.8, 27.5.



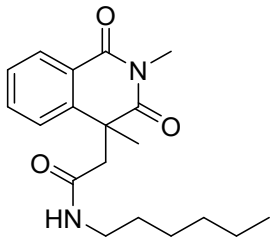
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-methylacetamide(3c)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 36.8mg (71%) of **3c** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.58 (td, *J* = 7.6, 1.5 Hz, 1H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.33 (d, *J* = 7.9 Hz, 1H), 5.53 (s, 1H), 3.49 (d, *J* = 15.7 Hz, 1H), 3.42 (s, 2H), 2.90 (d, *J* = 15.7 Hz, 1H), 2.55 (d, *J* = 4.7 Hz, 3H), 1.52 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.8, 169.7, 164.6, 143.3, 133.8, 129.4, 127.4, 125.0, 124.2, 46.2, 45.3, 30.7, 27.5, 26.2; HRMS (ESI) m/z calcd for $C_{14}H_{16}N_2O_3Na^+$ $(M+Na)^+$ 283.10531, found 283.10544.



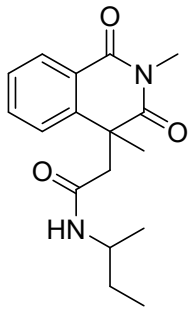
N-butyl-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3d)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 45.1 mg (69%) of **3d** (pale yellow solid). ^{1}H NMR (400 MHz, CDCl3) δ 8.25 (dd, J = 7.8, 1.5 Hz, 1H), 7.59 (td, J = 7.6, 1.5 Hz, 1H), 7.43 – 7.38 (m, 1H), 7.34 (d, J = 7.9 Hz, 1H), 5.35 (s, 1H), 3.49 (d, J = 15.3 Hz, 1H), 2.98 (qd, J = 7.0, 2.6 Hz, 2H), 2.85 (d, J = 15.4 Hz, 1H), 1.54 (s, 3H), 1.28 – 1.19 (m, 2H), 1.16 – 1.07 (m, 2H), 0.78 (t, J = 7.2 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.7, 168.8, 164.5, 143.2, 133.7, 129.4, 127.4, 125.1, 124.3, 46.8, 45.5, 39.2, 31.6, 30.6, 27.5, 19.9, 13.7; HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17041.



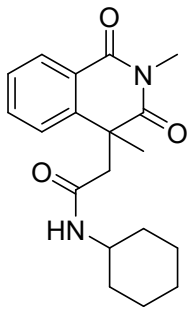
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-hexylacetamide (3e).

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 30.4 mg (46%) of **3e** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.59 (td, *J* = 7.6, 1.4 Hz, 1H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.34 (d, *J* = 7.9 Hz, 1H), 5.33 (s, 1H), 3.49 (d, *J* = 15.4 Hz, 1H), 3.42 (s, 3H), 2.98 (dt, *J* = 9.6, 7.2 Hz, 2H), 2.85 (d, *J* = 15.4 Hz, 1H), 1.54 (s, 3H), 1.34 – 1.18 (m, 4H), 1.12 (m, 4H), 0.83 (t, *J* = 7.0 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.7, 168.8, 164.5, 143.2, 133.7, 129.4, 127.4, 125.1, 124.3, 46.7, 45.5, 39.5, 31.5, 30.6, 29.6, 27.5, 26.5, 22.6, 14.1; HRMS (ESI) m/z calcd for $C_{19}H_{27}N_2O_3^+$ $(M+H)^+$ 331.20162, found 331.20178.



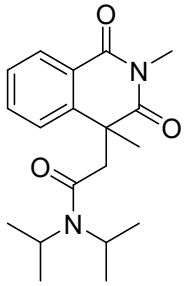
N-(sec-butyl)-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3f).

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 30.6 mg (51%) of **3f** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (d, *J* = 7.8 Hz, 1H), 7.59 (t, *J* = 7.5 Hz, 1H), 7.43 – 7.31 (m, 2H), 5.42 (s, 1H), 3.52 (d, *J* = 15.3 Hz, 1H), 3.42 (d, *J* = 1.0 Hz, 3H), 2.91 – 2.75 (m, 3H), 1.55 (s, 3H), 1.52 – 1.44 (m, 1H), 0.69 (dd, *J* = 8.5, 6.7 Hz, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.7, 168.9, 164.5, 143.2, 133.7, 129.4, 127.4, 125.1, 124.3, 46.8, 46.7, 45.6, 30.7, 28.5, 27.5, 20.0, 19.9; HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17059.



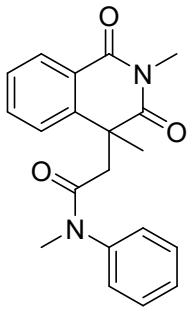
N-cyclohexyl-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3g) .

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 37.0 mg (56%) of **3g** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.58 (td, *J* = 7.6, 1.5 Hz, 1H), 7.40 (td, *J* = 7.6, 1.1 Hz, 1H), 7.35 (dd, *J* = 7.9, 1.2 Hz, 1H), 5.23 (d, *J* = 8.4 Hz, 1H), 3.49 – 3.43 (m, 2H), 3.42 (s, 3H), 2.81 (d, *J* = 15.2 Hz, 1H), 1.76 – 1.65 (m, 2H), 1.54 (s, 3H), 1.52 – 1.48 (m, 2H), 1.24 – 0.76 (m, 6H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.6, 167.8, 164.4, 143.0, 133.5, 129.2, 127.3, 124.9, 124.3, 48.0, 47.0, 45.5, 33.0, 30.3, 27.4, 25.4, 24.7; HRMS (ESI) m/z calcd for $C_{19}H_{25}N_2O_3^+$ $(M+H)^+$ 329.18597, found 329.18533.



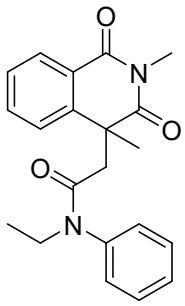
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N-diisopropylacetamide (3h).

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 28.4 mg (43%) of **3h** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.54 (td, *J* = 7.6, 1.5 Hz, 1H), 7.36 (td, *J* = 7.6, 1.1 Hz, 1H), 7.24 – 7.19 (m, 1H), 3.97 (p, *J* = 6.8 Hz, 1H), 3.57 (d, *J* = 15.9 Hz, 1H), 3.41 (s, 3H), 3.33 (s, 1H), 3.14 (d, *J* = 15.9 Hz, 1H), 1.50 (s, 3H), 1.25 – 1.14 (m, 9H), 0.89 (d, *J* = 6.8 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.1, 167.8, 165.0, 144.7, 133.3, 129.4, 126.8, 125.4, 123.3, 45.6, 45.5, 30.7, 27.4, 21.2, 20.7, 20.1; HRMS (ESI) m/z calcd for $C_{19}H_{27}N_2O_3^+$ $(M+H)^+$ 331.20162, found 331.20166.



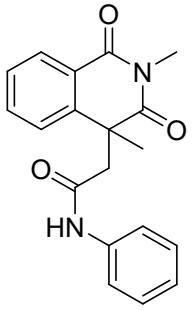
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-methyl-N-phenylacetamide (3i)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 44.4mg (66%) of 3i (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.58 (td, *J* = 7.6, 1.5 Hz, 1H), 7.50 (t, *J* = 7.6 Hz, 2H), 7.44 – 7.38 (m, 2H), 7.21 – 7.16 (m, 2H), 7.08 (d, *J* = 7.8 Hz, 1H), 3.44 (s, 3H), 3.37 (d, *J* = 16.8 Hz, 1H), 3.02 (s, 3H), 2.84 (d, *J* = 16.8 Hz, 1H), 1.34 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.1, 169.3, 164.7, 144.0, 143.7, 133.6, 130.3, 129.5, 128.4, 127.5, 127.2, 125.2, 123.6, 45.5, 45.0, 37.3, 30.7, 27.4; HRMS (ESI) m/z calcd for $C_{20}H_{20}N_2O_3Na^+$ $(M+Na)^+$ 359.13661, found 359.13657.



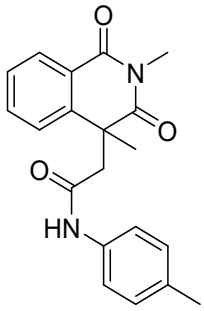
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-ethyl-N-phenylacetamide (3j)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 39.4mg (56%) of 3j (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.58 (td, *J* = 7.6, 1.5 Hz, 1H), 7.50 (t, *J* = 7.8 Hz, 2H), 7.45 – 7.42 (m, 1H), 7.40 (d, *J* = 7.5 Hz, 1H), 7.17 – 7.12 (m, 2H), 7.07 (d, *J* = 7.8 Hz, 1H), 3.54 (dt, *J* = 13.9, 7.1 Hz, 1H), 3.44 (s, 3H), 3.42 – 3.37 (m, 1H), 3.33 (d, *J* = 16.6 Hz, 1H), 2.76 (d, *J* = 16.7 Hz, 1H), 1.33 (s, 3H), 0.88 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.1, 168.6, 164.7, 144.0, 142.0, 133.5, 130.1, 129.4, 128.4, 127.2, 125.3, 123.7, 45.5, 45.3, 44.0, 30.6, 27.4, 13.0; HRMS (ESI) m/z calcd for $C_{21}H_{22}N_2O_3Na^+$ $(M+Na)^+$ 373.15226, found 373.15234.



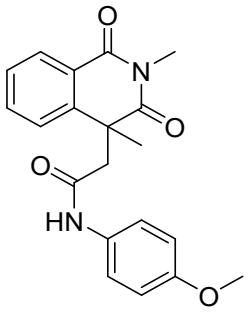
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-phenylacetamide (3k)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 47.3 mg (73%) of **3k** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 9.99 (s, 1H), 8.09 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.71 – 7.61 (m, 2H), 7.44 (ddd, *J* = 8.2, 6.8, 1.6 Hz, 1H), 7.34 – 7.28 (m, 2H), 7.18 (ddd, *J* = 8.5, 5.7, 1.8 Hz, 2H), 6.95 (td, *J* = 7.3, 1.2 Hz, 1H), 3.49 (d, *J* = 16.6 Hz, 1H), 3.36 (d, *J* = 16.6 Hz, 1H), 3.26 (s, 3H), 1.50 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.2, 168.0, 164.1, 143.8, 138.5, 133.8, 128.7, 128.0, 127.1, 125.1, 124.4, 123.2, 118.9, 46.5, 44.5, 29.8, 26.9; HRMS (ESI) m/z calcd for $C_{19}H_{18}N_2O_3$ Na^+(M+Na)$^+$345.1209636,found 345.12085.



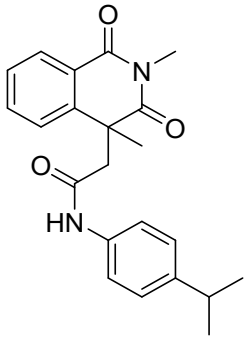
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(p-tolyl)acetamide (3l)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 44.0 mg (65%) of **3l** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 9.87 (s, 1H), 8.09 (d, *J* = 7.9 Hz, 1H), 7.66 (dt, *J* = 14.8, 7.7 Hz, 2H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.19 (d, *J* = 8.1 Hz, 2H), 6.98 (d, *J* = 8.0 Hz, 2H), 3.46 (d, *J* = 16.6 Hz, 1H), 3.33 (d, *J* = 16.6 Hz, 1H), 3.26 (s, 3H), 2.17 (s, 3H), 1.50 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.2, 167.7, 164.1, 143.8, 136.0, 133.8, 132.1, 129.0, 127.9, 127.0, 125.1, 124.4, 118.9, 46.5, 44.4, 29.8, 26.9, 20.3; HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_3^+$ (M+H)$^+$ 337.15467, found 337.15442.



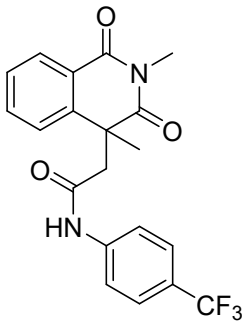
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(4-methoxyphenyl)acetamide (3m)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 47.8 mg (68%) of **3m** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 9.81 (s, 1H), 8.11 – 8.05 (m, 1H), 7.69 – 7.60 (m, 2H), 7.48 – 7.41 (m, 1H), 7.23 – 7.16 (m, 2H), 6.77 – 6.69 (m, 2H), 3.64 (s, 3H), 3.45 (d, *J* = 16.4 Hz, 1H), 3.30 (d, *J* = 19.4 Hz, 1H), 3.25 (s, 3H), 1.50 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.2, 167.4, 164.1, 155.1, 143.8, 133.8, 131.7, 127.9, 127.1, 125.1, 124.4, 120.5, 113.7, 55.1, 46.5, 44.5, 29.8, 26.9; HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_4^+$ $(M+H)^+$ 353.14958, found 353.14944.



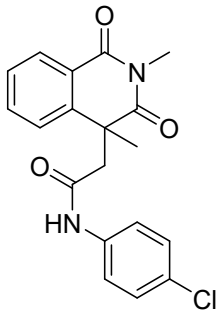
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(4-isopropylphenyl)acetamide (3n)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 24.6 mg (50%) of **3n** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 9.88 (s, 1H), 8.09 (d, *J* = 7.9 Hz, 1H), 7.66 (dt, *J* = 15.3, 7.8 Hz, 2H), 7.44 (t, *J* = 7.4 Hz, 1H), 7.21 (d, *J* = 8.2 Hz, 2H), 7.04 (d, *J* = 8.2 Hz, 2H), 3.46 (d, *J* = 16.4 Hz, 1H), 3.32 (d, *J* = 16.4 Hz, 1H), 3.26 (s, 3H), 2.75 (p, *J* = 6.9 Hz, 1H), 1.50 (s, 3H), 1.11 (s, 3H), 1.09 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.2, 167.7, 164.0, 143.8, 143.3, 136.3, 133.8, 127.9, 127.1, 126.3, 125.1, 124.4, 119.1, 46.5, 44.5, 32.8, 29.8, 26.9, 23.9; HRMS (ESI) m/z calcd for $C_{22}H_{25}N_2O_3^+$ $(M+H)^+$ 365.18597, found 365.18619.



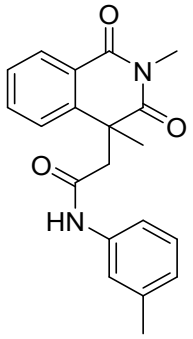
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(4-(trifluoromethyl)phenyl)acetamide (3o)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 43.7 mg (56%) of **3o** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 10.37 (s, 1H), 8.12 – 8.06 (m, 1H), 7.70 – 7.63 (m, 2H), 7.55 (s, 4H), 7.45 (ddd, *J* = 8.1, 6.5, 1.9 Hz, 1H), 3.53 (d, *J* = 16.8 Hz, 1H), 3.41 (d, *J* = 16.9 Hz, 1H), 3.26 (s, 3H), 1.51 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.2, 168.8, 164.0, 143.7, 142.0, 133.9, 128.0, 127.2, 126.0 (q, $J_{C\text{-}F}$ = 4.0 Hz), 125.6, 125.1, 124.4, 123.4, 123.1, 122.9, 118.8, 46.5, 44.4, 29.8, 26.9; ^{19}F NMR (376 MHz, DMSO) δ -60.44 (s); HRMS (ESI) m/z calcd for $C_{20}H_{18}F_3N_2O_3^+$ $(M+H)^+$ 391.12640, found 391.12640.



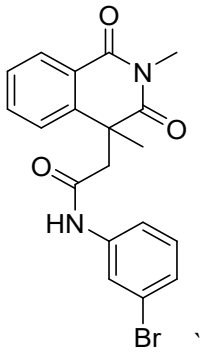
N-(4-chlorophenyl)-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3p)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 42.2mg (59%) of **3p** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 10.12 (s, 1H), 8.09 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.72 – 7.60 (m, 2H), 7.44 (ddd, *J* = 8.1, 6.8, 1.5 Hz, 1H), 7.37 – 7.33 (m, 2H), 7.25 – 7.21 (m, 2H), 3.49 (d, *J* = 16.7 Hz, 1H), 3.36 (d, *J* = 16.7 Hz, 1H), 3.26 (s, 3H), 1.50 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.2, 168.2, 164.0, 143.7, 137.4, 133.8, 128.5, 128.0, 127.1, 126.7, 125.1, 124.4, 120.5, 46.5, 44.4, 29.8, 26.9; HRMS (ESI) m/z calcd for $C_{19}H_{18}ClN_2O_3^+$ $(M+H)^+$ 357.10005, found 357.10040.



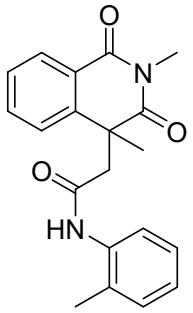
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(m-tolyl)acetamide (3q)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 40.5 mg (60%) of **3q** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 9.87 (s, 1H), 8.09 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.70 – 7.61 (m, 2H), 7.44 (ddd, *J* = 8.1, 6.9, 1.4 Hz, 1H), 7.21 – 7.17 (m, 2H), 6.98 (d, *J* = 8.2 Hz, 2H), 3.46 (d, *J* = 16.6 Hz, 1H), 3.33 (d, *J* = 16.6Hz, 1H), 3.25 (s, 3H), 2.17 (s, 3H), 1.49 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.2, 167.7, 164.1, 143.8, 136.0, 133.8, 132.1, 129.0, 127.9, 127.1, 125.1, 124.4, 118.9, 46.5, 44.5, 29.8, 26.9, 20.3; HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_3^+$ $(M+H)^+$ 337.15467, found 337.15469.



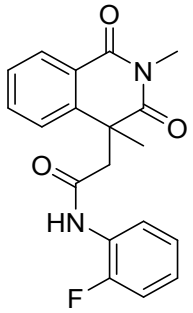
N-(3-bromophenyl)-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3r)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 46.5mg (58%) of **3r** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 10.17 (s, 1H), 8.10 (d, *J* = 7.9 Hz, 1H), 7.65 (q, *J* = 6.8 Hz, 3H), 7.45 (t, *J* = 7.4 Hz, 1H), 7.28 – 7.23 (m, 1H), 7.19 – 7.14 (m, 2H), 3.50 (d, *J* = 16.7 Hz, 1H), 3.37 (d, *J* = 16.7 Hz, 1H), 3.27 (s, 3H), 1.50 (s, 2H); ^{13}C NMR (101 MHz, DMSO) δ 176.1, 168.4, 164.0, 143.7, 140.1, 133.9, 130.7, 128.0, 127.1, 125.8, 125.1, 124.3, 121.4, 121.1, 117.7, 46.3, 44.4, 29.9, 26.9; HRMS (ESI) m/z calcd for C19H18BrN2O3+ (M+H)+ 401.04953, found 401.04996.



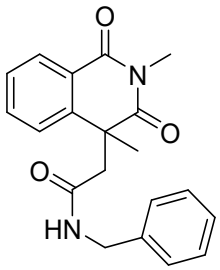
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(o-tolyl)acetamide (3s)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 28.8 mg (43%) of **3s** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 9.34 (s, 1H), 8.08 (d, J = 7.9 Hz, 1H), 7.76 – 7.64 (m, 2H), 7.46 (t, J = 7.4 Hz, 1H), 7.07 (d, J = 6.7 Hz, 1H), 7.00 (p, J = 6.5 Hz, 3H), 3.46 (d, J = 15.9 Hz, 1H), 3.36 (d, J = 15.9 Hz, 1H), 3.23 (s, 3H), 1.83 (s, 3H), 1.53 (s, 3H);^{13}C NMR (101 MHz, DMSO) δ 176.1, 168.0, 164.0, 143.5, 135.7, 133.7, 131.9, 130.1, 127.8, 127.1, 125.8, 125.4, 125.3, 125.1, 124.5, 46.4, 44.6, 29.5, 26.8, 17.4; HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_3^+$ $(M+H)^+$ 337.15467, found 337.15472.



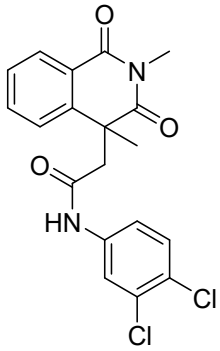
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(2-fluorophenyl)acetamide (3t)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 19.5 mg (29%) of **3t** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 9.76 (s, 1H), 8.13 – 8.05 (m, 1H), 7.72 – 7.62 (m, 2H), 7.53 – 7.41 (m, 2H), 7.15 (t, J = 9.8 Hz, 1H), 7.09 – 6.94 (m, 2H), 3.48 (s, 2H), 3.26 – 3.24 (m, 3H), 1.50 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.1, 168.6, 164.0, 143.61 133.8, 127.9, 127.1, 125.6, 125.5, 125.2, 124.4, 124.2, 123.8, 115.4 (d, $J_{C\text{-}F}$ = 19.2 Hz), 46.2, 44.5, 29.8, 26.9; ^{19}F NMR (376 MHz, DMSO) δ -125.00 (s); HRMS (ESI) m/z calcd for $C_{19}H_{18}FN_2O_3^+$ $(M+H)^+$ 341.12960, found 341.12979.



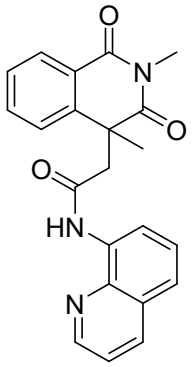
N-benzyl-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3u)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 38.7 mg (58%) of **3u** (yellow oily liquid). ^{1}H NMR (400 MHz, DMSO) δ 8.35 (t, *J* = 6.1 Hz, 1H), 8.09 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.72 – 7.66 (m, 1H), 7.61 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.51 (td, *J* = 7.6, 1.2 Hz, 1H), 7.15 (dd, *J* = 5.0, 1.8 Hz, 3H), 6.78 – 6.74 (m, 2H), 4.13 (dd, *J* = 15.5, 6.6 Hz, 1H), 3.89 (dd, *J* = 15.5, 5.3 Hz, 1H), 3.29 (d, *J* = 15.7 Hz, 1H), 3.23 (s, 3H), 3.13 (d, *J* = 15.7 Hz, 1H), 1.49 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.1, 168.9, 164.0, 143.5, 139.0, 133.7, 128.1, 127.8, 127.1, 126.6, 126.5, 125.5, 124.5, 46.2, 44.6, 41.5, 29.5, 26.8; HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_3^+$ $(M+H)^+$ 337.15467, found 337.15442.



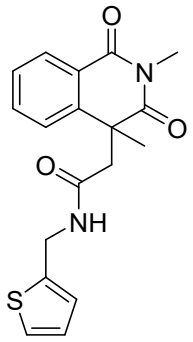
N-(3,4-dichlorophenyl)-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3v)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 50.4 mg (64%) of **3v** (pale yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 10.30 (s, 1H), 8.10 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.70 – 7.62 (m, 3H), 7.45 – 7.42 (m, 2H), 7.26 (dd, *J* = 8.9, 2.5 Hz, 1H), 3.51 (d, *J* = 16.8 Hz, 1H), 3.38(d, *J* = 16.8 Hz, 1H), 3.26 (s, 3H), 1.50 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.1, 168.6, 164.0, 143.6, 138.5, 133.9, 130.9, 130.6, 128.0, 127.1, 125.1, 124.7, 124.3, 120.0, 119.0, 46.3, 44.4, 29.9, 26.9; HRMS (ESI) m/z calcd for $C_{19}H_{17}Cl_2N_2O_3^+$ $(M+H)^+$ 391.06107, found 391.06183.



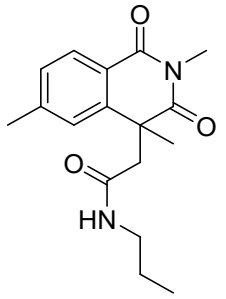
2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(quinolin-8-yl)acetamide (3w)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 41.7 mg (56%) of **3w** (yellow solid). ^{1}H NMR (400 MHz, DMSO) δ 10.13 (s, 1H), 8.93 (dt, *J* = 3.1, 1.5 Hz, 1H), 8.35 (dd, *J* = 8.4, 1.8 Hz, 1H), 8.24 (d, *J* = 7.7 Hz, 1H), 8.10 (dt, *J* = 7.8, 1.4 Hz, 1H), 7.77 (d, *J* = 7.9 Hz, 1H), 7.68 – 7.54 (m, 3H), 7.41 (q, *J* = 7.5 Hz, 2H), 3.89 (d, *J* = 16.8 Hz, 1H), 3.70 (d, *J* = 16.8 Hz, 1H), 3.30 (s, 3H), 1.53 (s, 3H); ^{13}C NMR (101 MHz, DMSO) δ 176.3, 168.8, 164.1, 148.8, 143.8, 137.9, 136.6, 133.9, 133.9, 127.9, 127.8, 127.1, 126.8, 125.3, 124.4, 122.1, 121.9, 116.6, 46.7, 44.6, 29.9, 26.9; HRMS (ESI) m/z calcd for $C_{22}H_{20}N_3O_3^+$ $(M+H)^+$ 374.14992, found 374.14993.



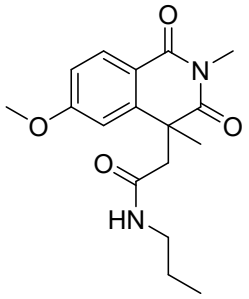
N^4-(2-chlorobenzyl)-2-methyl-N^1,2-diphenylsuccinamide (3x)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 41.5 mg (61%) of **3x** (yellow oily liquid). ^{1}H NMR (400 MHz, DMSO) δ 8.45 (s, 1H), 8.11 – 8.04 (m, 1H), 7.69 – 7.62 (m, 1H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.45 (t, *J* = 7.9 Hz, 1H), 7.28 (td, *J* = 3.1, 1.5 Hz, 1H), 6.88 – 6.80 (m, 1H), 6.61 (d, *J* = 3.3 Hz, 1H), 4.16 (qd, *J* = 15.6, 5.6 Hz, 2H), 3.30 (d, *J* = 20.9 Hz, 1H), 3.24 (s, 3H), 3.11 (d, *J* = 16.0 Hz, 1H), 1.47 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 176.1, 168.8, 164.1, 143.6, 142.1, 133.7, 127.8, 127.0, 126.5, 125.3, 124.9, 124.8, 124.4, 45.7, 44.5, 36.9, 29.6, 26.8; HRMS (ESI) m/z calcd for $C_{18}H_{19}N_2O_3S^+$ $(M+H)^+$ 343.11109, found 343.11108.



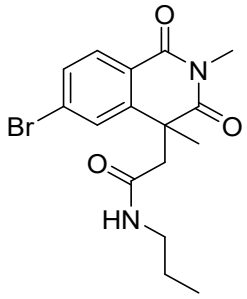
N-propyl-2-(2,4,6-trimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3aa)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 33.9mg (56%) of **3aa** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, *J* = 8.0 Hz, 1H), 7.23 – 7.18 (m, 1H), 7.12 (d, *J* = 1.7 Hz, 1H), 5.41 (s, 1H), 3.46 (d, *J* = 15.4 Hz, 1H), 3.00 – 2.93 (m, 2H), 2.85 (d, *J* = 15.4 Hz, 1H), 2.42 (s, 3H), 1.52 (s, 3H), 1.35 – 1.22 (m, 3H), 0.73 (t, *J* = 7.4 Hz, 3H);^{13}C NMR (101 MHz, $CDCl_3$) δ 176.9, 168.9, 164.5, 144.4, 143.2, 129.4, 128.5, 124.8, 124.8, 122.5, 46.6, 45.5, 41.1, 30.7, 27.4, 22.8, 22.1, 11.2; HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17026.



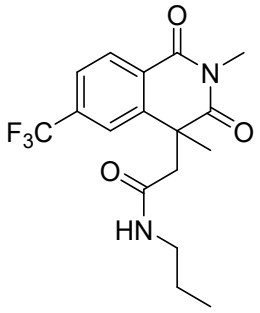
2-(6-methoxy-2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3ab)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 38.2mg (60%) of **3ab** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, *J* = 8.0 Hz, 1H), 7.22 – 7.17 (m, 1H), 7.12 (s, 1H), 5.42 (s, 1H), 3.46 (d, *J* = 15.3 Hz, 1H), 3.40 (s, 3H), 2.96 (qd, *J* = 6.9, 4.8 Hz, 2H), 2.85 (d, *J* = 15.3 Hz, 1H), 2.41 (s, 3H), 1.52 (s, 3H), 1.28 (m, 2H), 0.72 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.8, 168.9, 164.2, 163.9, 145.5, 131.7, 118.1, 112.4, 110.4, 110.4, 55.6, 46.5, 45.7, 41.1, 30.8, 27.3, 22.8, 11.2; HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_4^+$ $(M+H)^+$ 319.16523, found 319.16580.



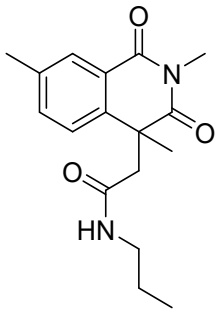
2-(6-bromo-2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3ac)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 43.3 mg 68%) of **3ac** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (d, *J* = 8.4 Hz, 1H), 7.54 (dd, *J* = 8.4, 1.8 Hz, 1H), 7.46 (d, *J* = 1.9 Hz, 1H), 5.39 (s, 1H), 3.52 (d, *J* = 15.7 Hz, 1H), 3.40 (s, 3H), 2.99 (tt, *J* = 6.9, 5.6 Hz, 2H), 2.82 (d, *J* = 15.7 Hz, 1H), 1.53 (s, 3H), 1.37 – 1.29 (m, 2H), 0.76 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.0, 168.6, 163.9, 145.2, 131.1, 130.9, 128.8, 127.5, 124.1, 46.5, 45.4, 41.3, 30.4, 27.6, 22.8, 11.2; HRMS (ESI) m/z calcd for $C_{16}H_{20}BrN_2O_3^+$ $(M+H)^+$ 367.06518, found 367.06592.



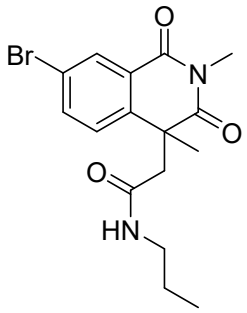
2-(2,4-dimethyl-1,3-dioxo-6-(trifluoromethyl)-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3ad)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 47.1mg (66%) of **3ad** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (d, *J* = 8.4 Hz, 1H), 7.54 (dd, *J* = 8.4, 1.8 Hz, 1H), 7.46 (d, *J* = 1.9 Hz, 1H), 5.39 (s, 1H), 3.52 (d, *J* = 15.7 Hz, 1H), 3.40 (s, 3H), 2.99 (tt, *J* = 6.9, 5.6 Hz, 2H), 2.82 (d, *J* = 15.7 Hz, 1H), 1.53 (s, 3H), 1.33 (dp, *J* = 14.2, 6.6 Hz, 2H), 0.76 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.0, 168.7, 163.5, 144.3, 135.2, 130.2, 128.1, 124.1 (d, $J_{C\text{-}F}$ = 4.0 Hz), 121.3 (d, $J_{C\text{-}F}$ = 3.0 Hz), 46.5, 45.5, 41.2, 30.2, 27.6, 22.7, 11.1; ^{19}F NMR (376 MHz, CDCl3) δ -63.04 (s); HRMS (ESI) m/z calcd for $C_{17}H_{20}F_3N_2O_3^+$ $(M+H)^+$ 357.14205, found 357.14267.



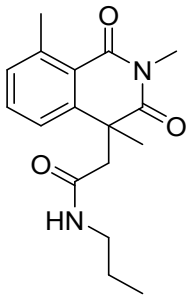
N-propyl-2-(2,4,7-trimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3ae)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 37.5mg (62%) of **3ae** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 – 7.98 (m, 1H), 7.39 (dd, *J* = 8.1, 2.0 Hz, 1H), 7.23 (d, *J* = 8.0 Hz, 1H), 5.44 (s, 1H), 3.45 (d, *J* = 15.3 Hz, 1H), 3.40 (s, 3H), 2.95 (td, *J* = 7.2, 5.9 Hz, 2H), 2.83 (d, *J* = 15.3 Hz, 1H), 2.39 (s, 3H), 1.51 (s, 3H), 1.31 – 1.23 (m, 3H), 0.72 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.9, 169.0, 164.7, 140.3, 137.2, 134.7, 129.5, 124.7, 124.3, 46.7, 45.3, 41.1, 30.6, 27.5, 22.8, 21.1, 11.2; HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17078.



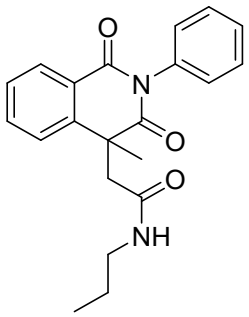
2-(7-bromo-2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3af)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 40.4 mg (55%) of **3af** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 2.2 Hz, 1H), 7.69 (dd, *J* = 8.4, 2.2 Hz, 1H), 7.21 (d, *J* = 8.4 Hz, 1H), 5.37 (s, 1H), 3.49 (d, *J* = 15.6 Hz, 1H), 3.40 (s, 3H), 3.00 – 2.94 (m, 2H), 2.83 (d, *J* = 15.6 Hz, 1H), 1.52 (s, 3H), 1.31 (p, *J* = 7.4 Hz, 2H), 0.75 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.2, 168.7, 163.3, 142.2, 136.6, 132.1, 126.9, 126.2, 121.4, 46.5, 45.3, 41.2, 30.4, 27.7, 22.9, 11.2; HRMS (ESI) m/z calcd for $C_{16}H_{20}BrN_2O_3^+$ $(M+H)^+$ 367.06518, found 367.06531.



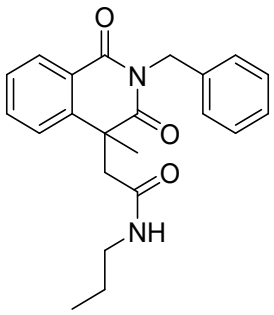
N-propyl-2-(2,4,8-trimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3ag)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 31.4mg (52%) of **3ag** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42 (t, *J* = 7.7 Hz, 1H), 7.24 – 7.18 (m, 2H), 5.39 (s, 1H), 3.51 (d, *J* = 15.4 Hz, 1H), 3.39 (s, 3H), 2.97 (qd, *J* = 6.9, 3.1 Hz, 2H), 2.85 (d, *J* = 15.4 Hz, 1H), 2.77 (s, 3H), 1.53 (s, 3H), 1.29 (q, *J* = 7.3 Hz, 2H), 0.73 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.6, 168.9, 164.5, 143.4, 136.1, 134.0, 129.7, 129.3, 128.7, 128.5, 127.5, 125.3, 124.5, 47.3, 45.9, 41.2, 30.4, 22.8, 11.2; HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17023.



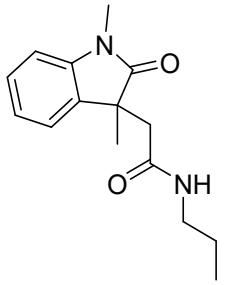
2-(2-methyl-1,3-dioxo-4-phenyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3ah)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 47.9mg (68%) of **3ah** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.02 (s, 1H), 7.67 (dd, *J* = 8.1, 1.2 Hz, 1H), 7.45 (dtd, *J* = 15.2, 7.3, 3.7 Hz, 6H), 7.35 (ddt, *J* = 8.3, 6.0, 1.7 Hz, 1H), 7.31 – 7.25 (m, 2H), 7.22 (td, *J* = 7.6, 1.9 Hz, 1H), 7.15 – 7.03 (m, 4H), 3.37 (d, *J* = 13.7 Hz, 1H), 2.74 (d, *J* = 13.7 Hz, 1H), 1.93 (s, 3H), 1.91 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.6, 168.9, 164.5, 143.4, 136.1, 134.0, 129.7, 129.3, 128.7, 128.5, 127.5, 125.3, 124.5, 47.3, 45.9, 41.2, 30.4, 22.8, 11.2; HRMS (ESI) m/z calcd for $C_{21}H_{23}N_2O_3^+$ $(M+H)^+$ 351.17032, found 351.17081.



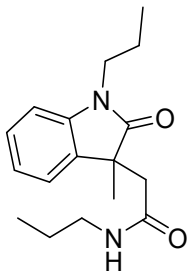
2-(4-benzyl-2-methyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3ai)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 48.1mg (66%) of **3ai** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.58 (td, *J* = 7.6, 1.5 Hz, 1H), 7.44 – 7.39 (m, 3H), 7.37 – 7.33 (m, 1H), 7.31 – 7.27 (m, 2H), 7.23 – 7.18 (m, 1H), 5.38 (s, 1H), 5.24 (s, 2H), 3.48 (d, *J* = 15.4 Hz, 1H), 2.91 (ddd, *J* = 15.2, 13.5, 7.1 Hz, 3H), 1.51 (s, 3H), 1.25 (q, *J* = 7.4 Hz, 2H), 0.72 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.5, 168.8, 164.2, 143.3, 137.5, 133.8, 129.6, 128.5, 128.3, 127.4, 127.3, 125.0, 124.4, 46.2, 45.8, 43.9, 41.2, 30.8, 22.8, 11.2; HRMS (ESI) m/z calcd for $C_{22}H_{25}N_2O_3^+$ $(M+H)^+$ 365.18597, found 365.18616.



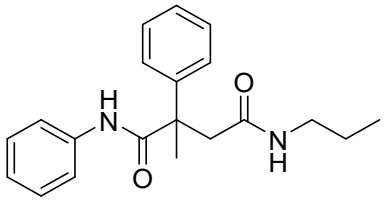
2-(1,3-dimethyl-2-oxoindolin-3-yl)-N-propylacetamide(3aj)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 35.4mg (68%) of **3aj** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.29 – 7.27 (m, 1H), 7.25 (d, *J* = 2.1 Hz, 1H), 7.07 (td, *J* = 7.5, 1.0 Hz, 1H), 6.86 – 6.82 (m, 1H), 3.24 (s, 3H), 3.08 (td, *J* = 7.2, 5.8 Hz, 2H), 2.78 (d, *J* = 14.6 Hz, 1H), 2.64 (d, *J* = 14.6 Hz, 1H), 1.42 (s, 3H), 1.41 – 1.35 (m, 2H), 0.83 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.8, 169.0, 142.9, 133.5, 128.3, 123.0, 122.9, 108.4, 46.4, 44.2, 41.3, 26.5, 23.7, 22.9, 11.5; HRMS (ESI) m/z calcd for $C_{15}H_{21}N_2O_2^+$ $(M+H)^+$ 261.15975, found 261.15994.



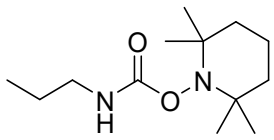
2-(3-methyl-2-oxo-1-propylindolin-3-yl)-N-propylacetamide(3ak)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 38.4mg (67%) of **3ak** (pale yellow solid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 (dd, *J* = 7.3, 1.5 Hz, 1H), 7.24 (dd, *J* = 7.8, 1.3 Hz, 1H), 7.06 (td, *J* = 7.5, 1.0 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 1H), 6.43 (s, 1H), 3.78 – 3.61 (m, 2H), 3.17 – 3.00 (m, 2H), 2.75 (d, *J* = 14.6 Hz, 1H), 2.63 (d, *J* = 14.7 Hz, 1H), 1.73 (p, *J* = 7.4 Hz, 2H), 1.43 (s, 3H), 1.42 – 1.35 (m, 2H), 0.96 (t, *J* = 7.4 Hz, 3H), 0.84 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 180.8, 169.1, 142.2, 133.7, 128.2, 123.1, 122.8, 108.7, 46.3, 44.1, 41.8, 41.3, 23.9, 22.8, 20.9, 11.5; HRMS (ESI) m/z calcd for $C_{17}H_{25}N_2O_2^+$ $(M+H)^+$ 289.19105, found 289.19077.



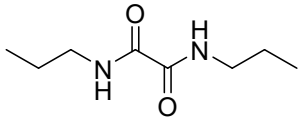
2-methyl-N1,2-diphenyl-N4-propylsuccinamide(3al)

Purification was performed by column chromatography (PE/EA = 3/1 to 1/1) to afford 37.6 mg (58%) of **3al** (yellow oily liquid). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.72 (s, 1H), 7.50 – 7.46 (m, 2H), 7.34 – 7.27 (m, 6H), 7.24 (s, 1H), 7.10 – 7.05 (m, 1H), 6.13 (s, 1H), 3.06 (dtd, *J* = 9.7, 7.2, 5.9 Hz, 2H), 3.00 (d, *J* = 14.2 Hz, 1H), 2.72 (d, *J* = 14.3 Hz, 1H), 1.76 (s, 3H), 1.34 (h, *J* = 7.3 Hz, 2H), 0.79 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 174.7, 171.0, 143.4, 138.2, 129.1, 127.6, 126.2, 124.5, 120.2, 51.1, 47.3, 41.4, 25.2, 22.7, 11.5; HRMS (ESI) m/z calcd for $C_{20}H_{25}N_2O_2^+$ $(M+H)^+$ 325.19105, found 325.19183.



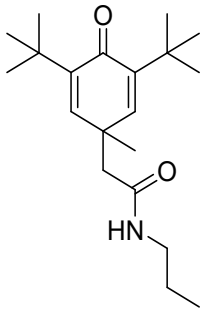
2,2,6,6-tetramethylpiperidin-1-yl propylcarbamate(4c)

HRMS (ESI) m/z calcd for $C_{13}H_{27}N_2O_2^+$ $(M+H)^+$ 243.20670, found 243.20641.



N1,N2-dipropyloxalamide(4d)

HRMS (ESI) m/z calcd for $C_8H_{16}N_2O_2Na^+$ $(M+Na)^+$ 195.11040, found 195.11055.



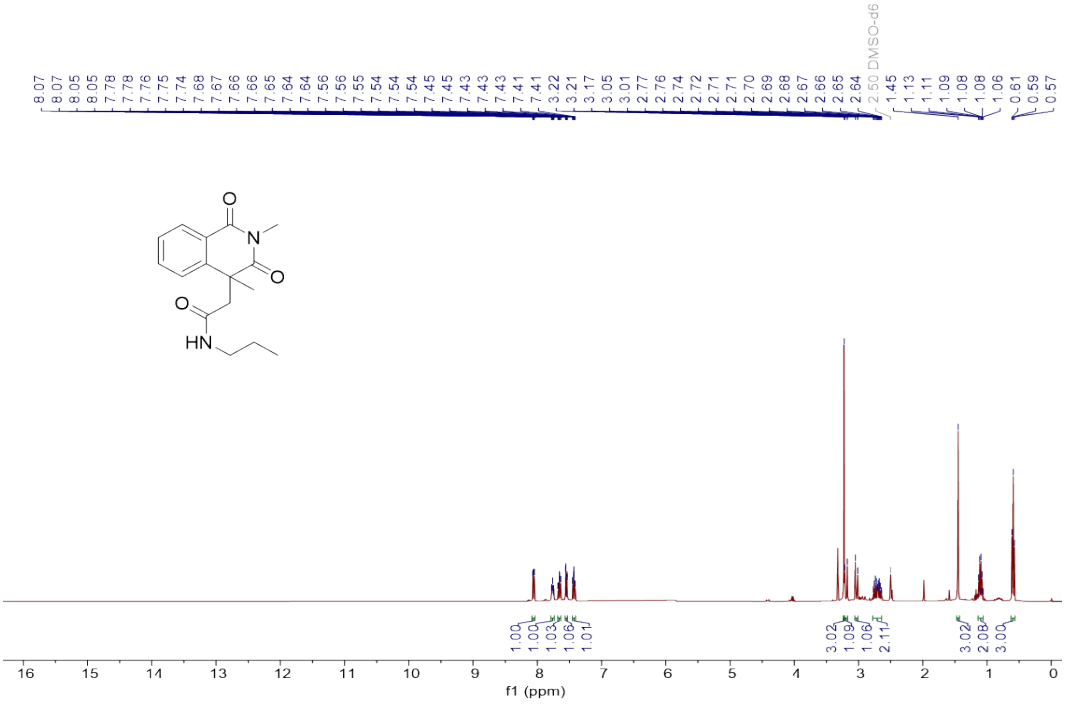
2-(3,5-di-tert-butyl-1-methyl-4-oxocyclohexa-2,5-dien-1-yl)-N-propylacetamide(4e)

HRMS (ESI) m/z calcd for $C_{20}H_{34}NO_2^+$ $(M+H)^+$ 320.25841, found 320.25842.

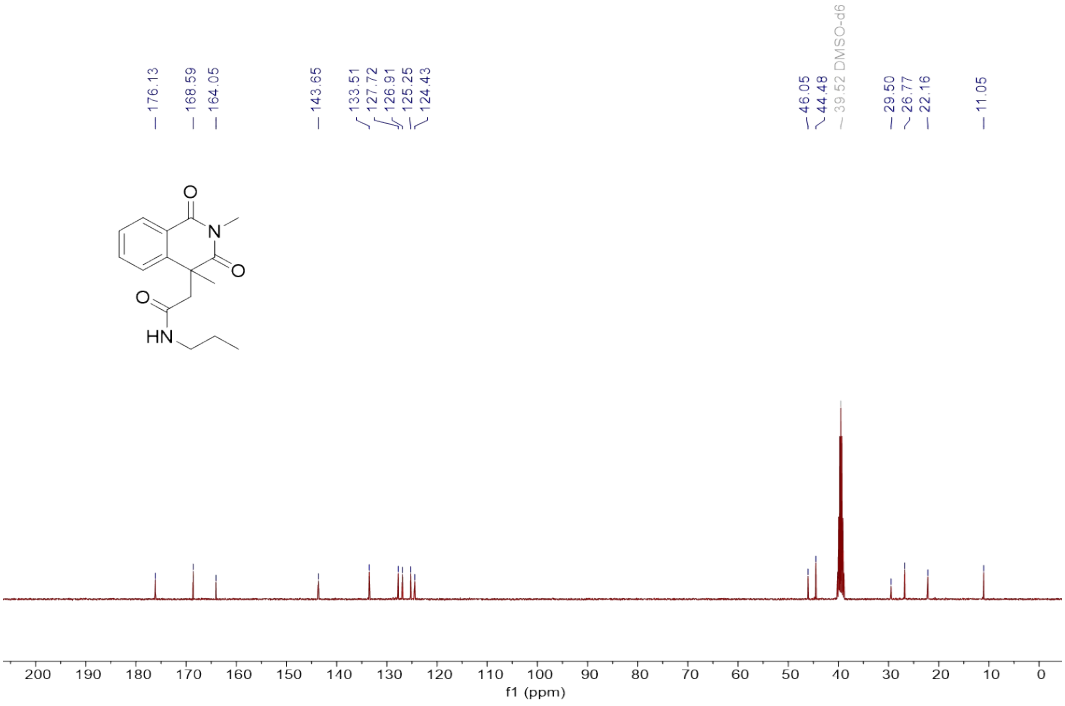
4. Spectra of Products

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3a).

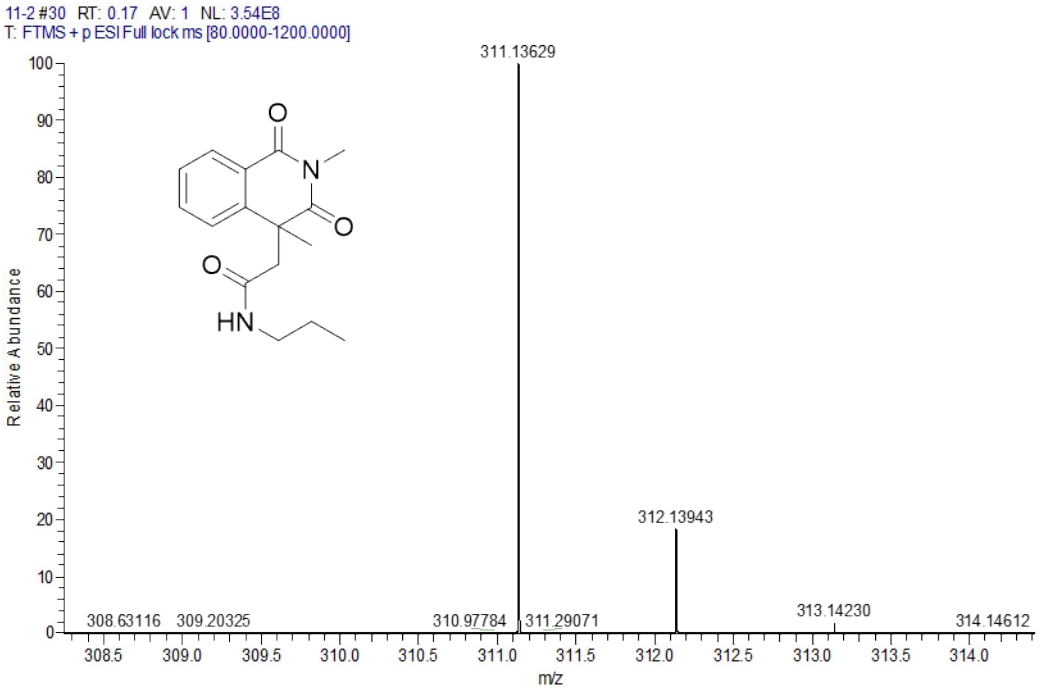
^{1}H NMR of product 3a in DMSO-D_6 (400 MHz)



^{13}C NMR of product 3a in DMSO-D_6 (101 MHz)



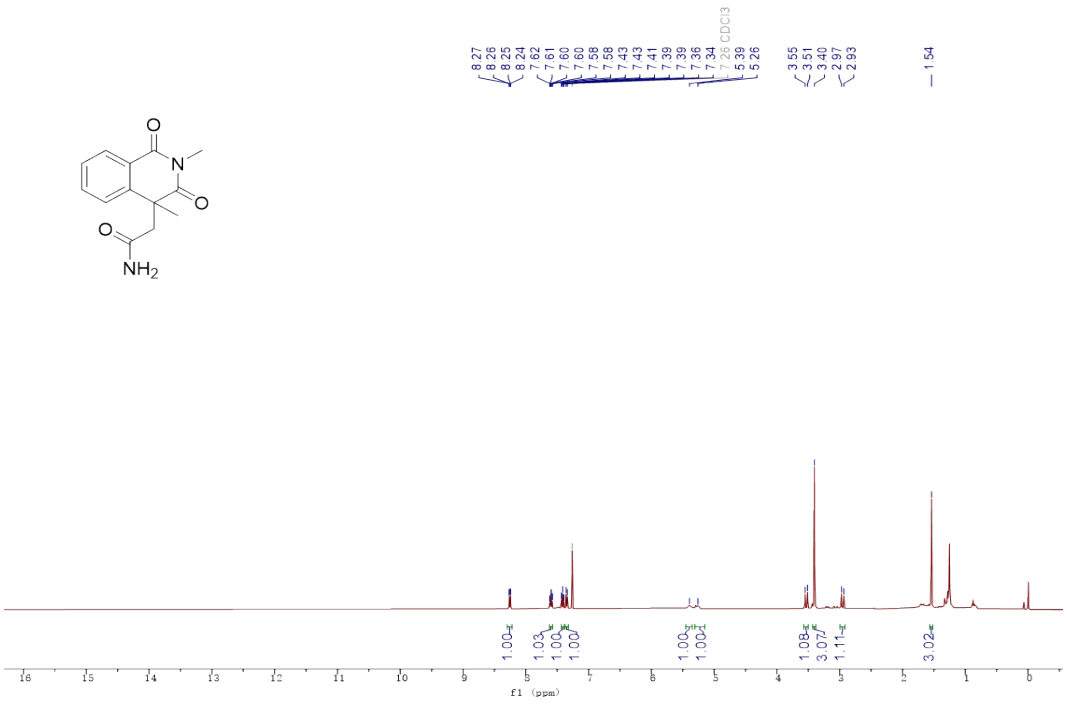
HRMS (ESI) of product 3a



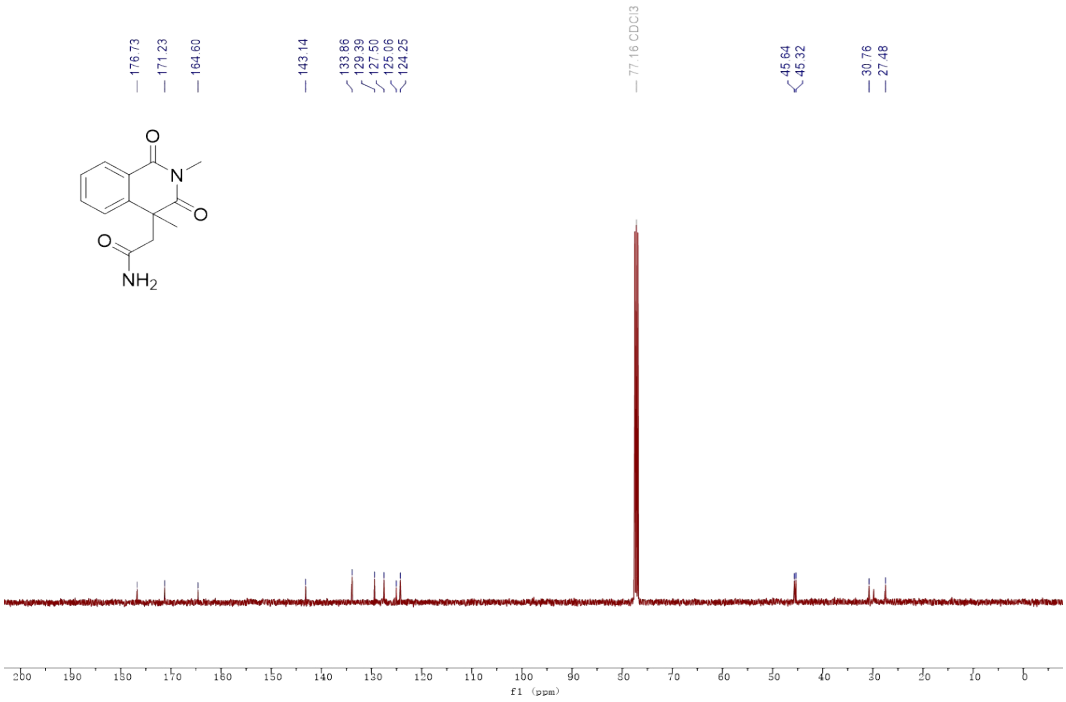
HRMS (ESI) m/z calcd for $C_{16}H_{20}N_2O_3Na^+$(M+Na)$^+$311.1366137,found 311.13629.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3b)

^{1}H NMR of product 3b in $CDCl_3$ (400 MHz)

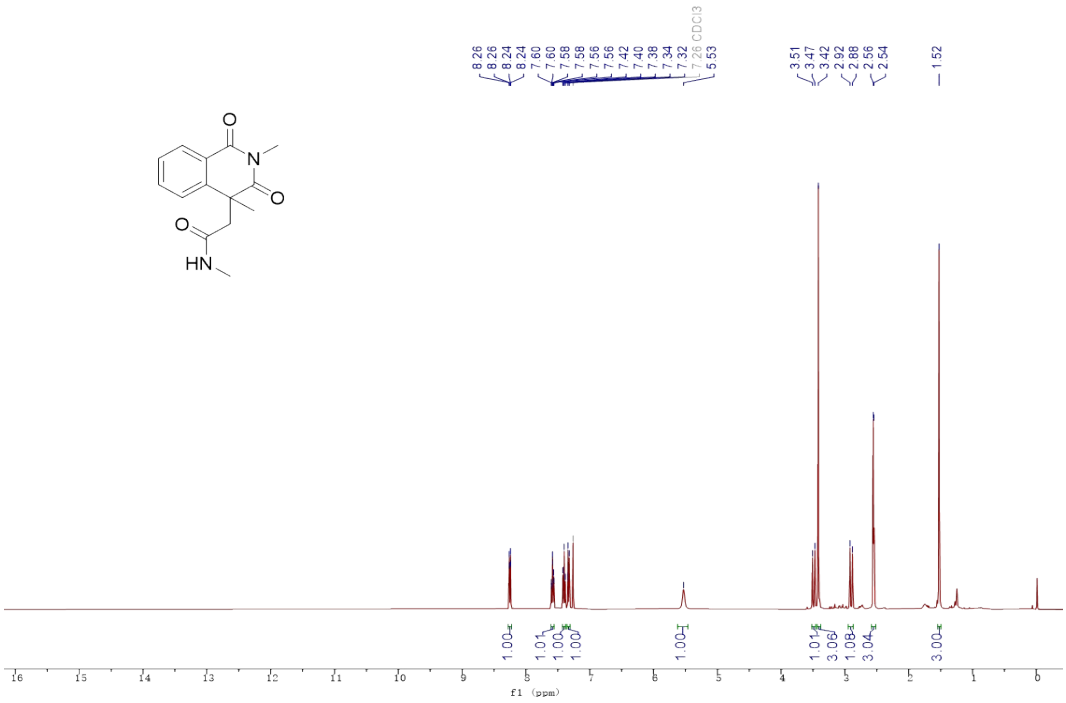


^{13}C NMR of product 3b in $CDCl_3$ (101 MHz)

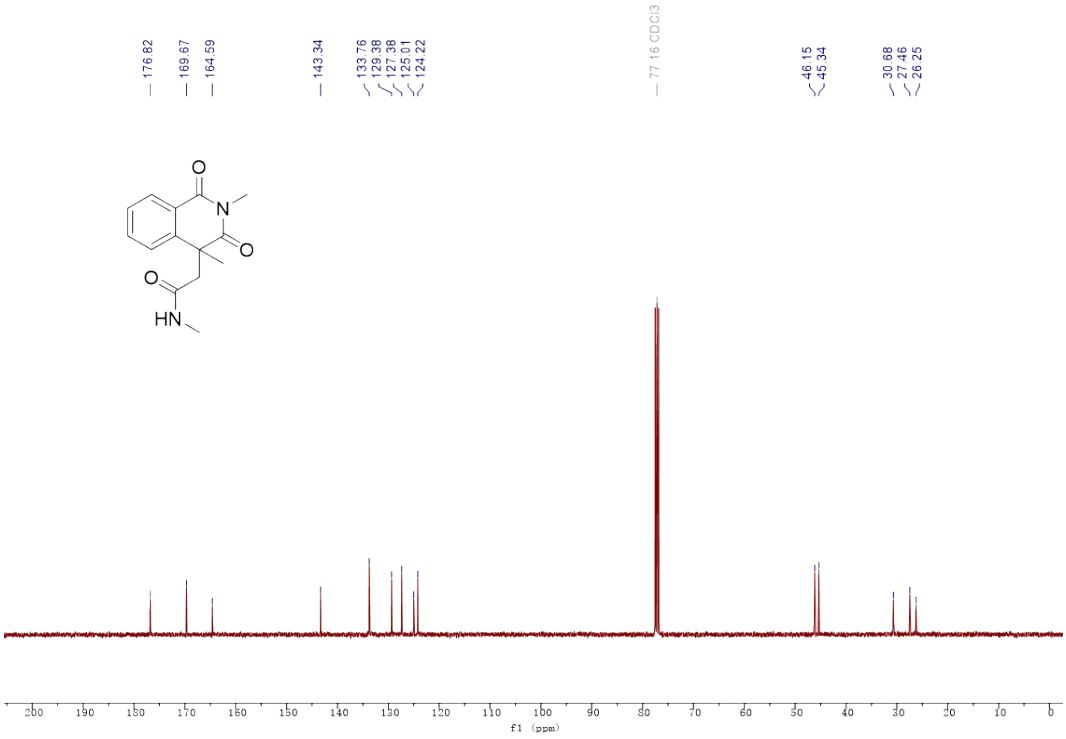


2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-methylacetamide(3c)

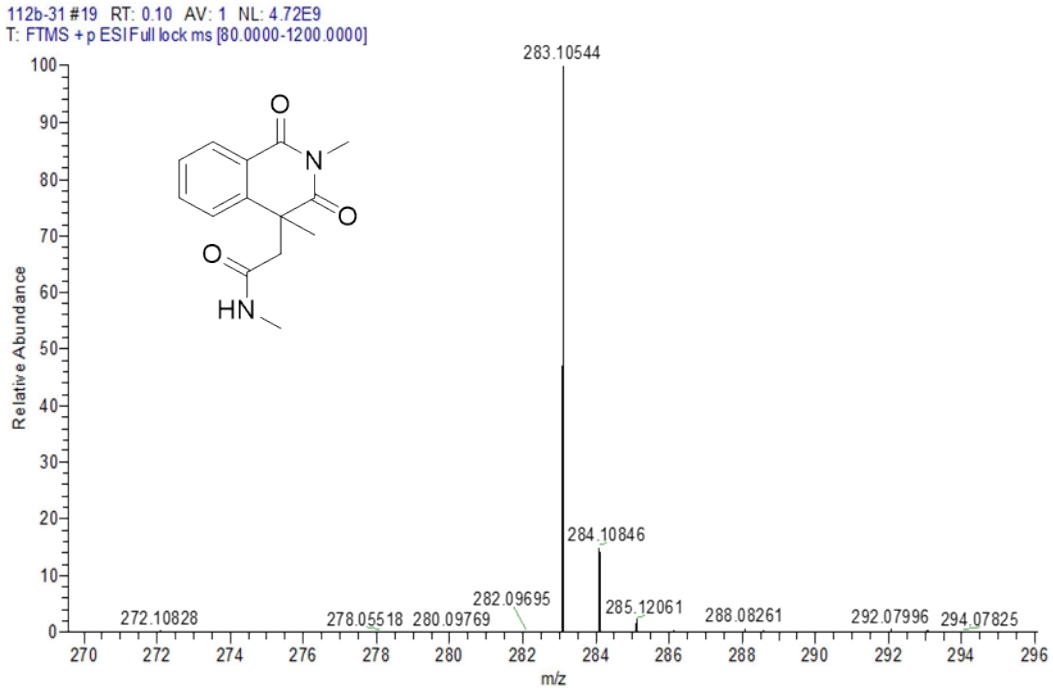
^{1}H NMR of product 3c in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3c in $CDCl_3$ (101 MHz)



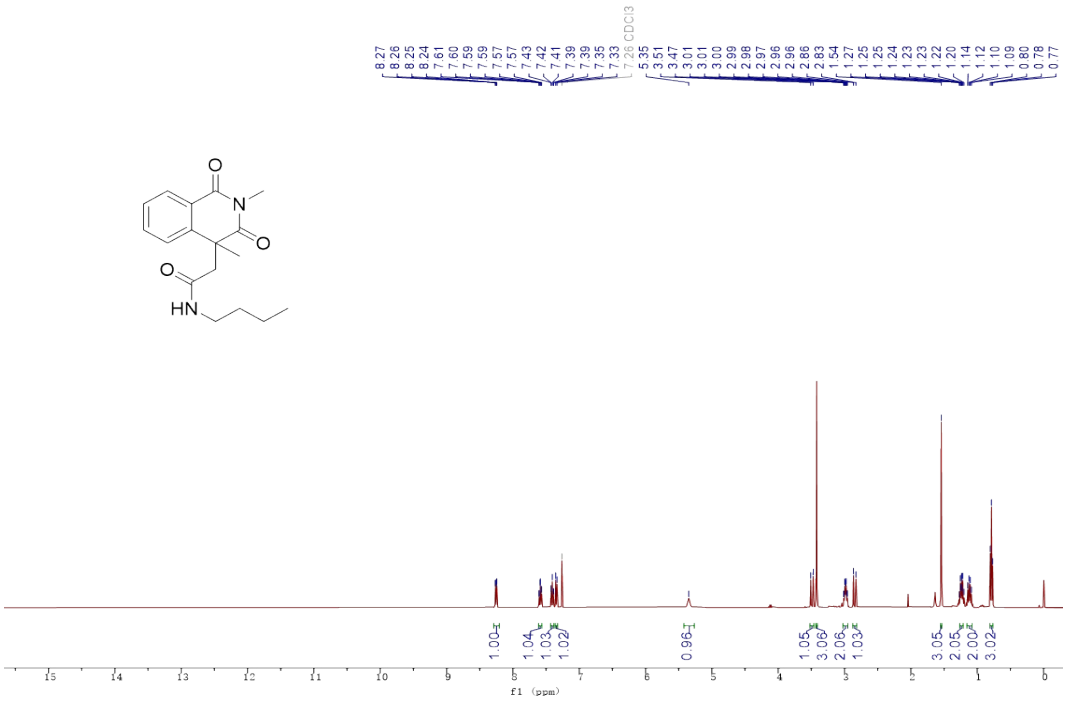
HRMS (ESI) of product 3c



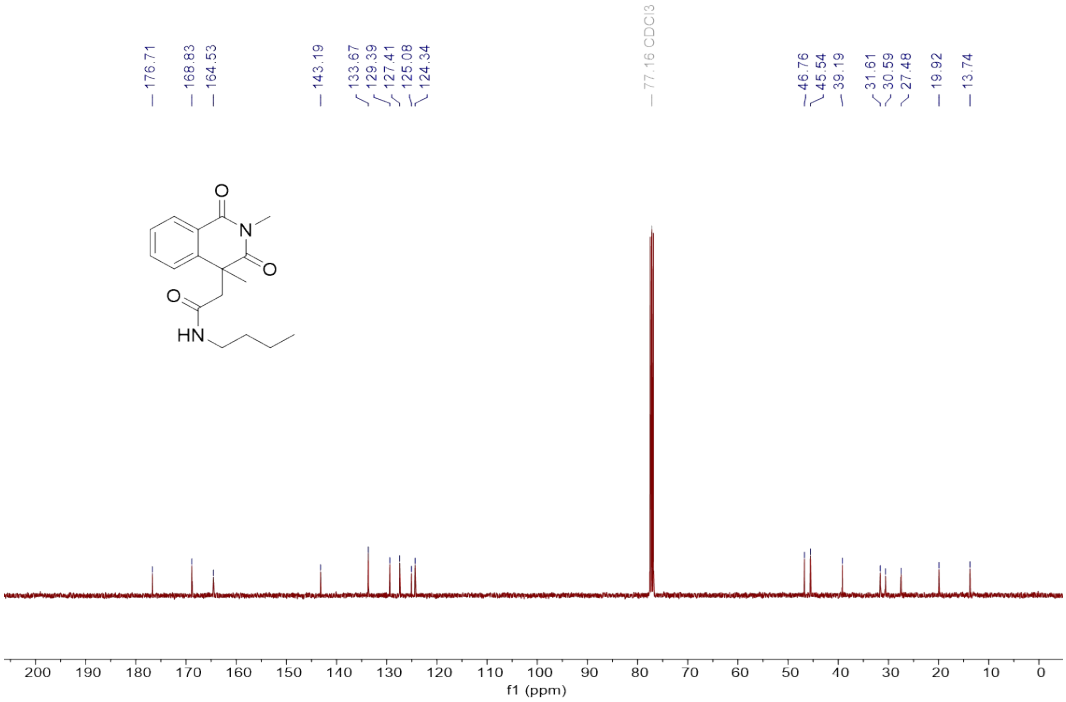
HRMS (ESI) m/z calcd for $C_{14}H_{16}N_2O_3Na^+$ $(M+Na)^+$ 283.10531, found 283.10544.

N-butyl-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3d)

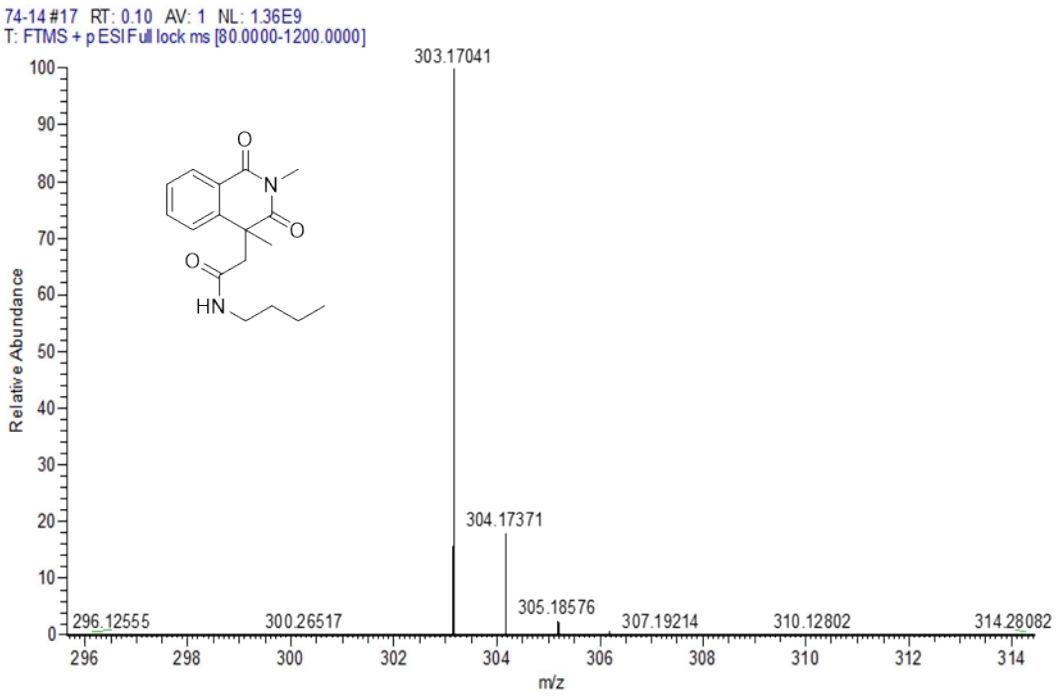
^{1}H NMR of product 3d in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3d in $CDCl_3$ (101 MHz)



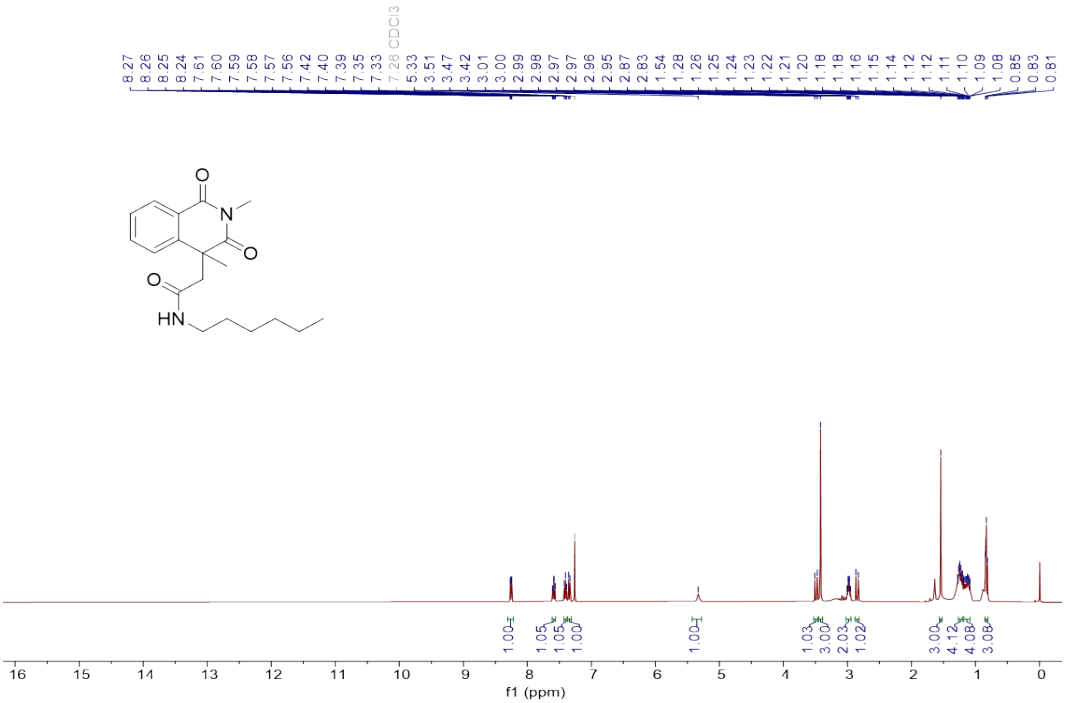
HRMS (ESI) of product 3d



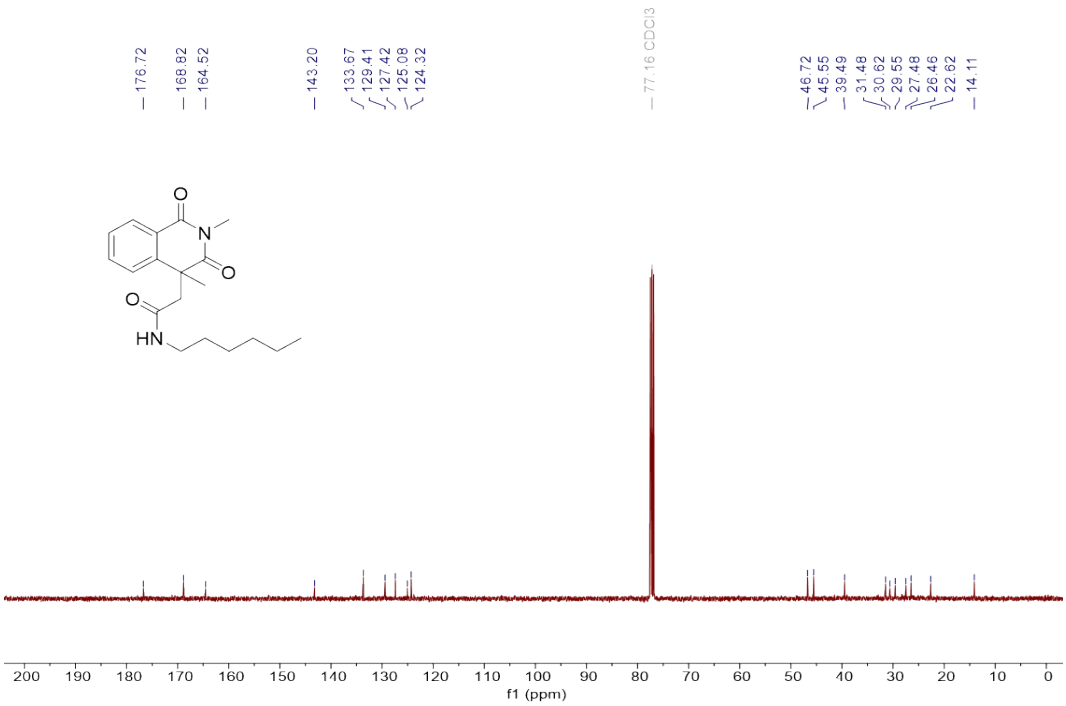
HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17041.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-hexylacetamide (3e).

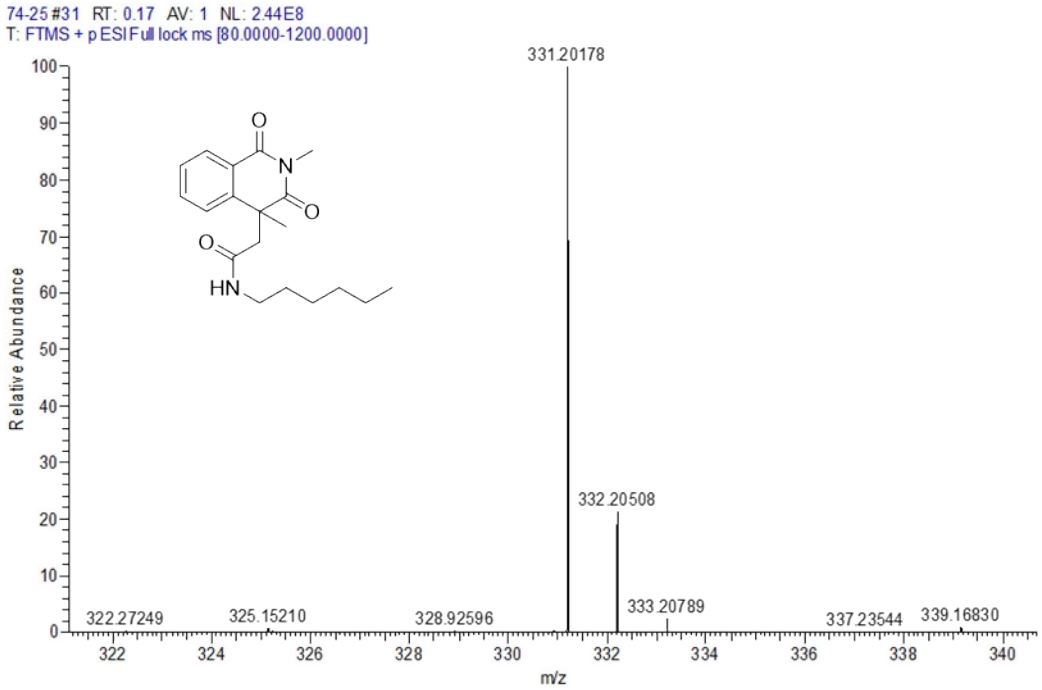
^{1}H NMR of product 3e in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3e in $CDCl_3$ (101 MHz)



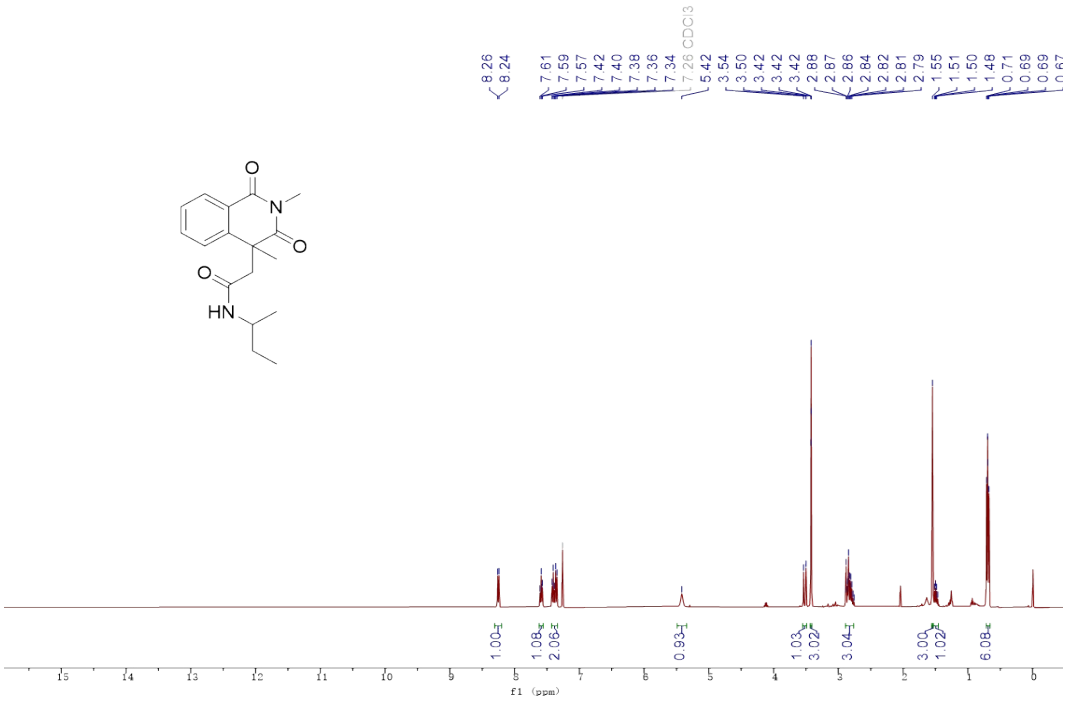
HRMS (ESI) of product 3e



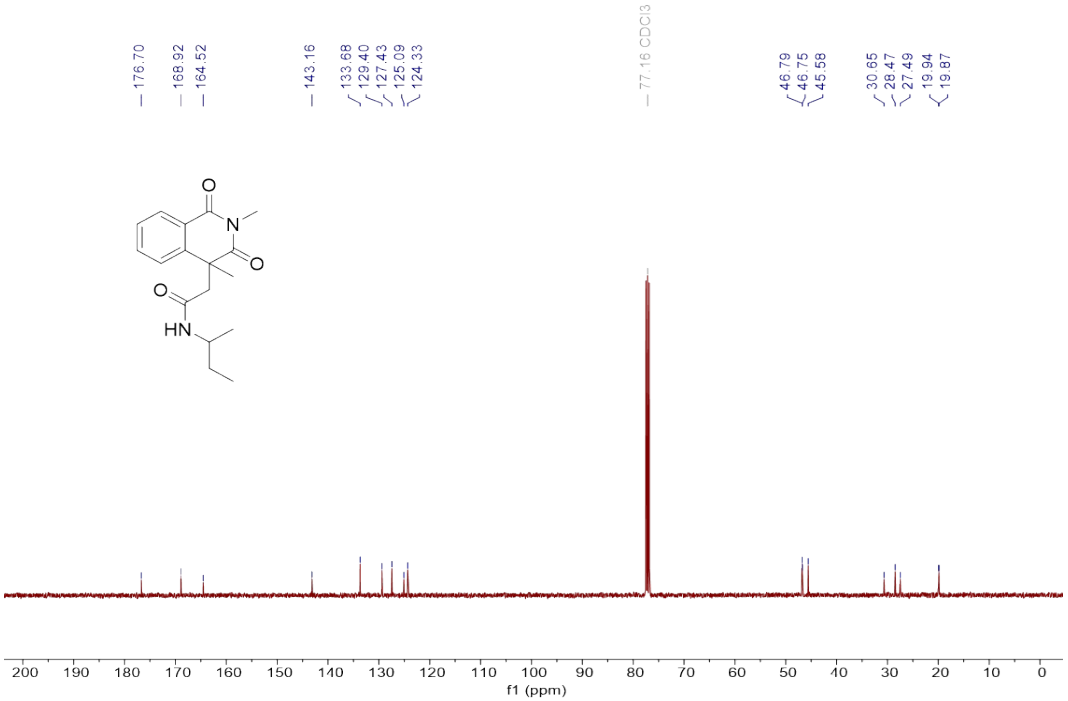
HRMS (ESI) m/z calcd for $C_{19}H_{27}N_2O_3^+$ $(M+H)^+$ 331.20162, found 331.20178.

N-(sec-butyl)-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3f).

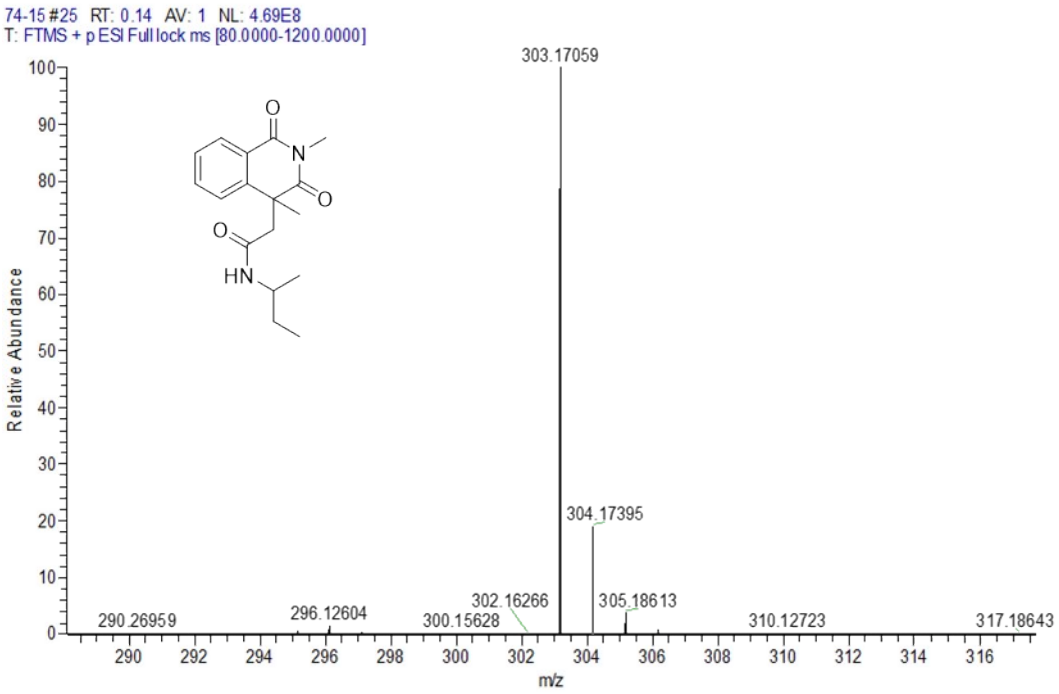
^{1}H NMR of product 3f in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3f in $CDCl_3$ (101 MHz)



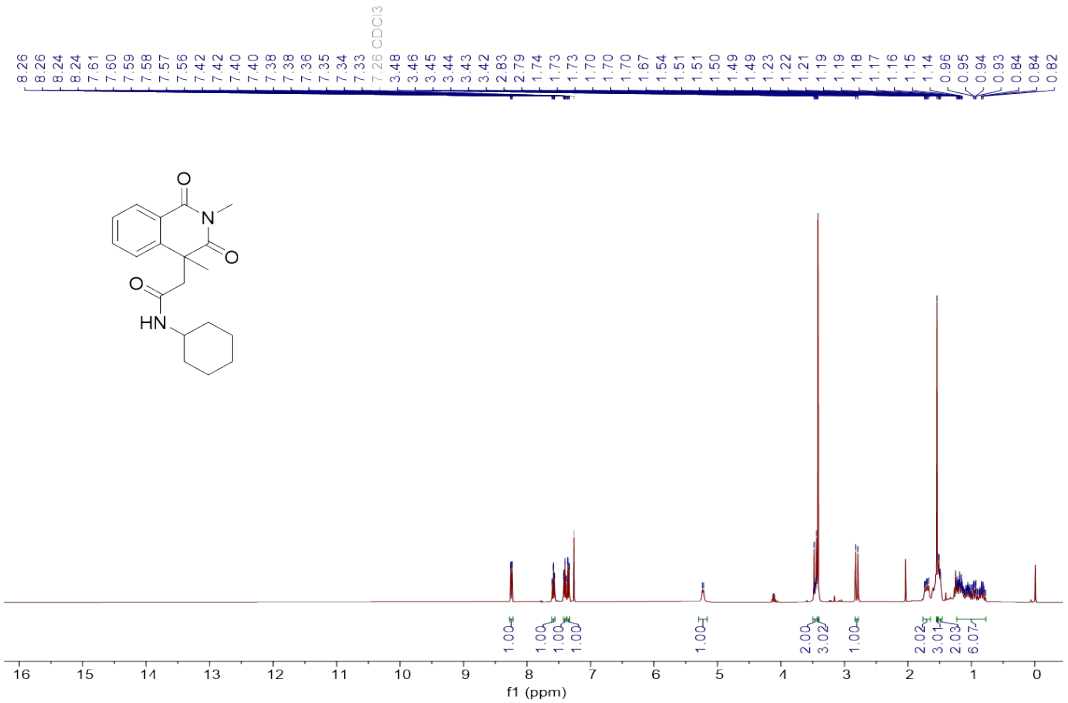
HRMS (ESI) of product 3f



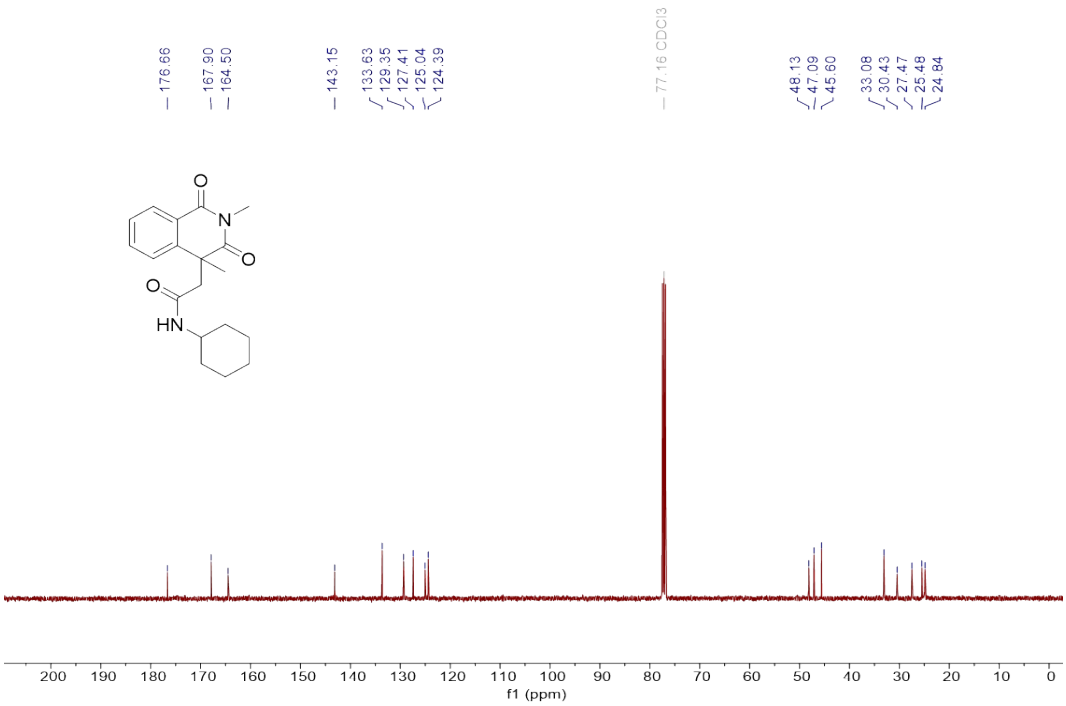
HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17059.

N-cyclohexyl-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3g) .

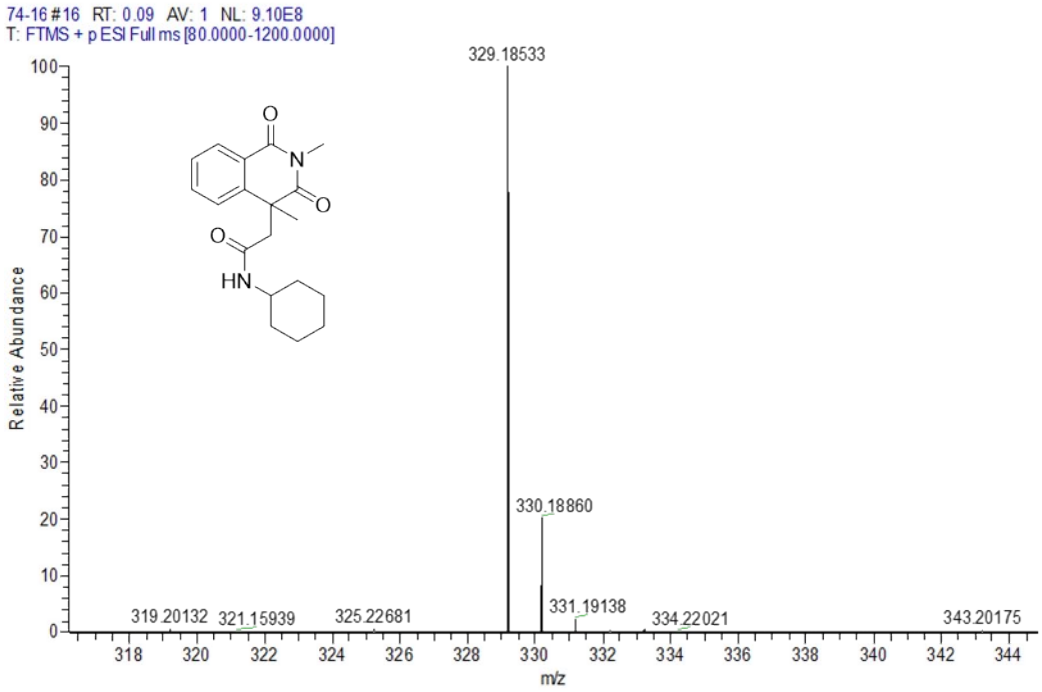
^{1}H NMR of product 3g in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3g in $CDCl_3$ (101 MHz)



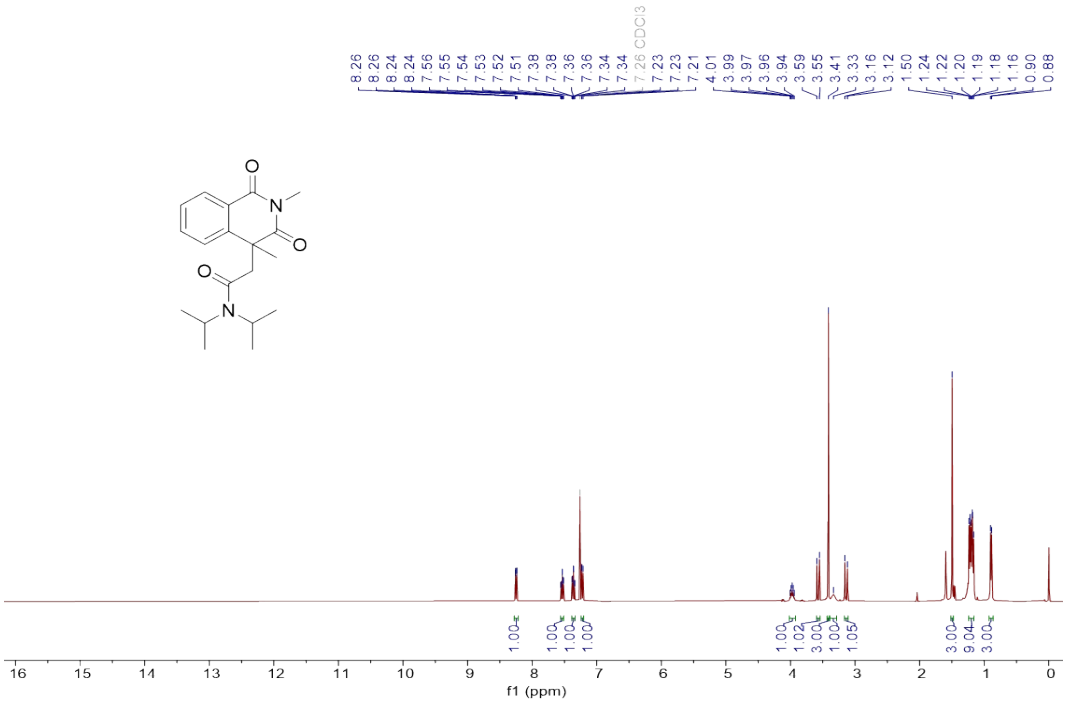
HRMS (ESI) of product 3g



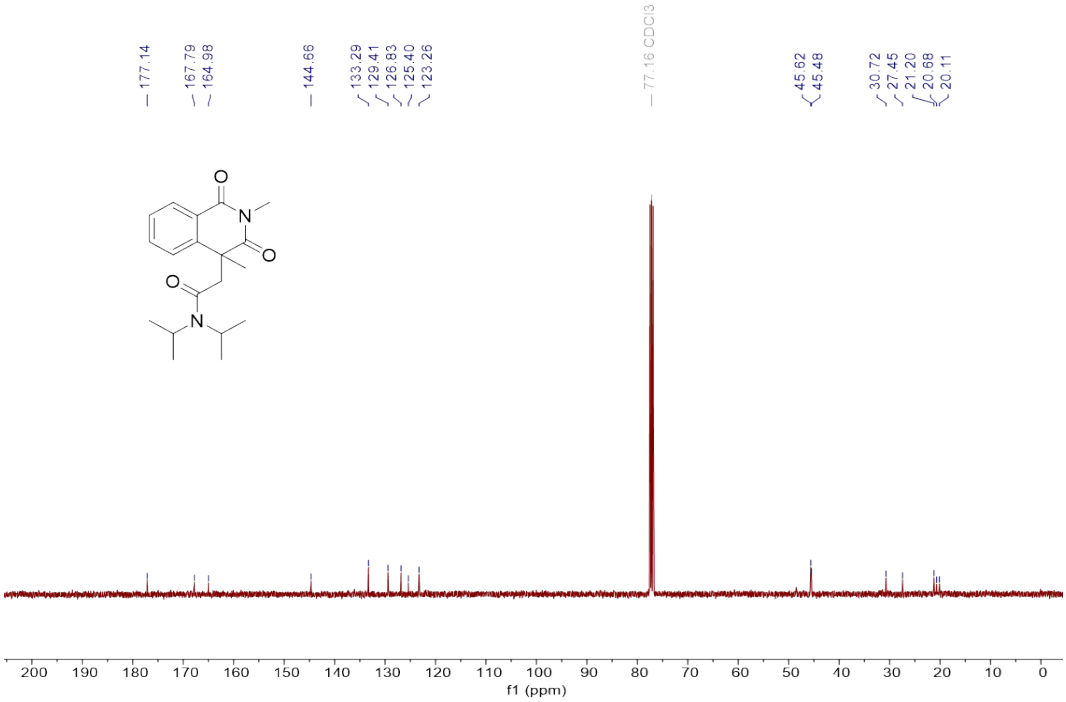
HRMS (ESI) m/z calcd for $C_{19}H_{25}N_2O_3^+$ $(M+H)^+$ 329.18597, found 329.18533.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N-diisopropylacetamide (3h).

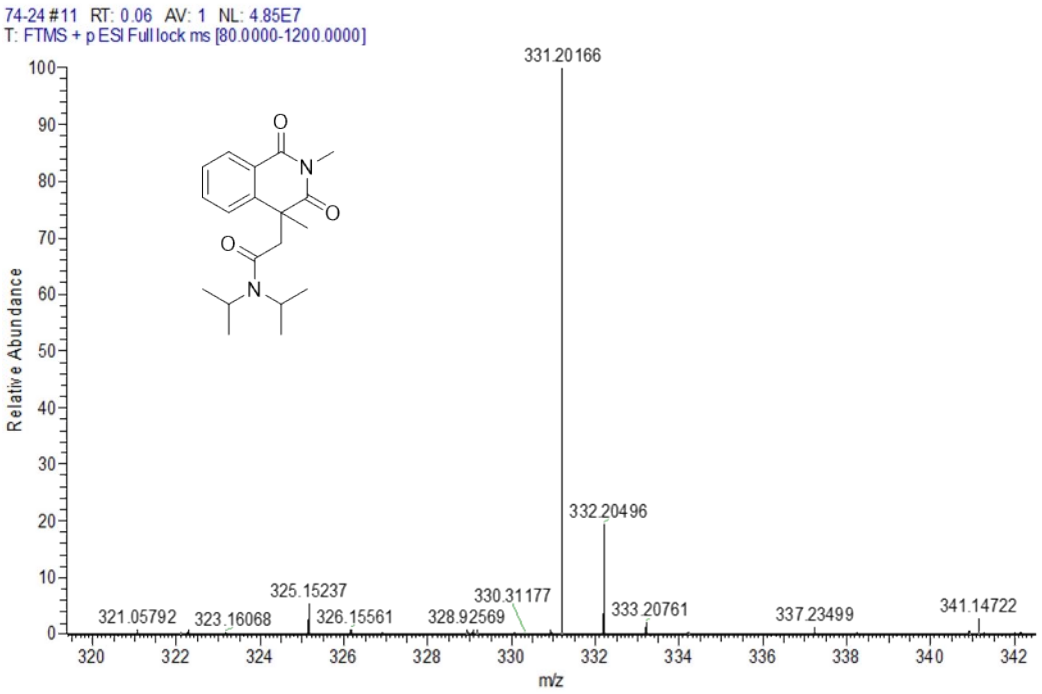
^{1}H NMR of product 3h in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3h in $CDCl_3$ (101 MHz)



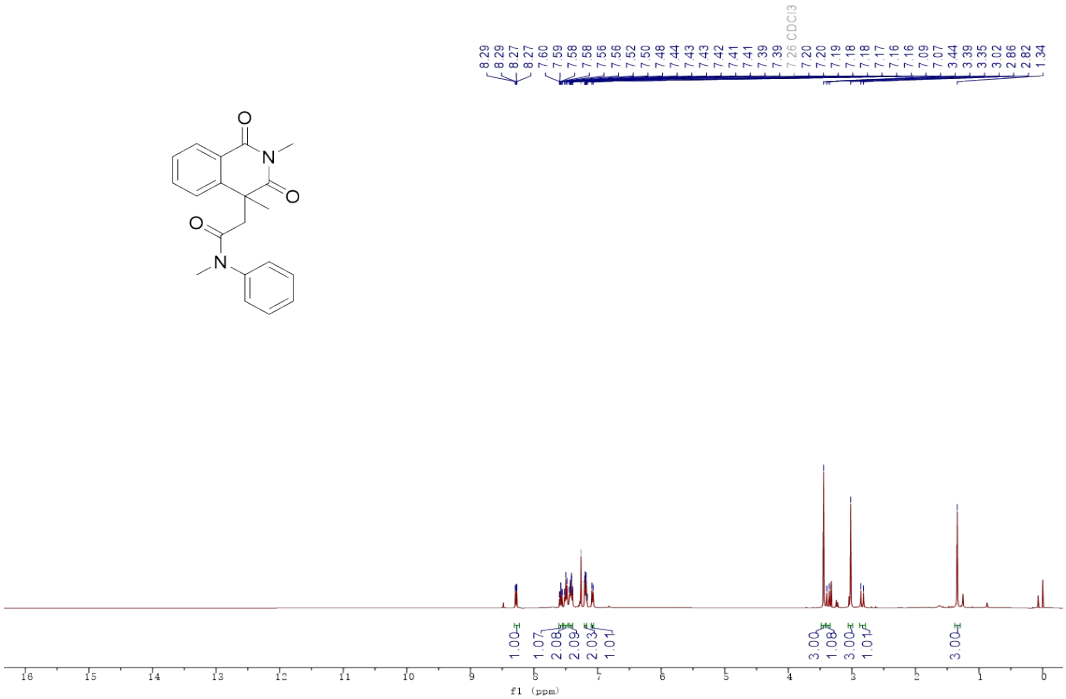
HRMS (ESI) of product 3h



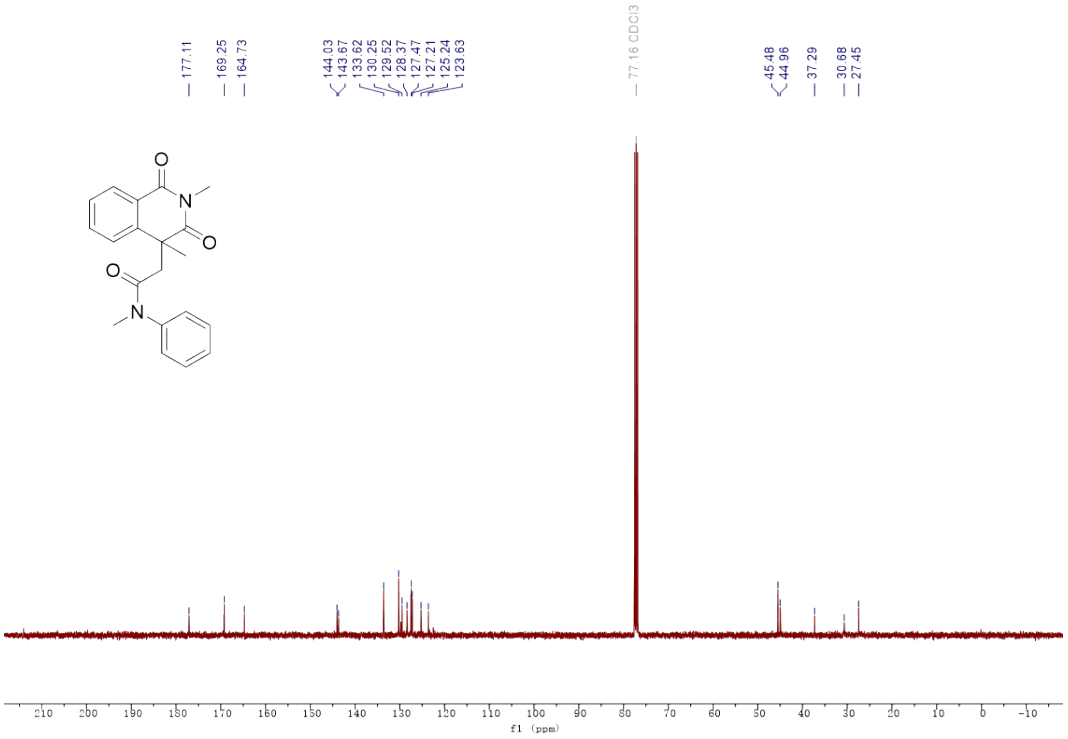
HRMS (ESI) m/z calcd for $C_{19}H_{27}N_2O_3^+$ $(M+H)^+$ 331.20162, found 331.20166.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-methyl-N-phenylacetamide (3i)

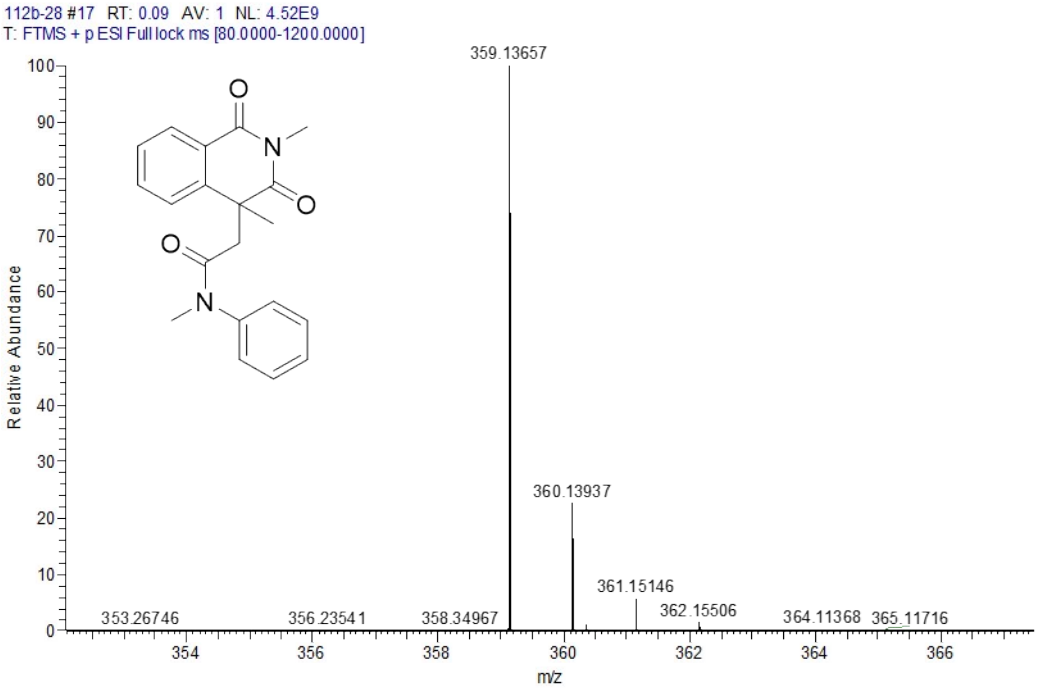
^{1}H NMR of product 3i in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3i in $CDCl_3$ (101 MHz)



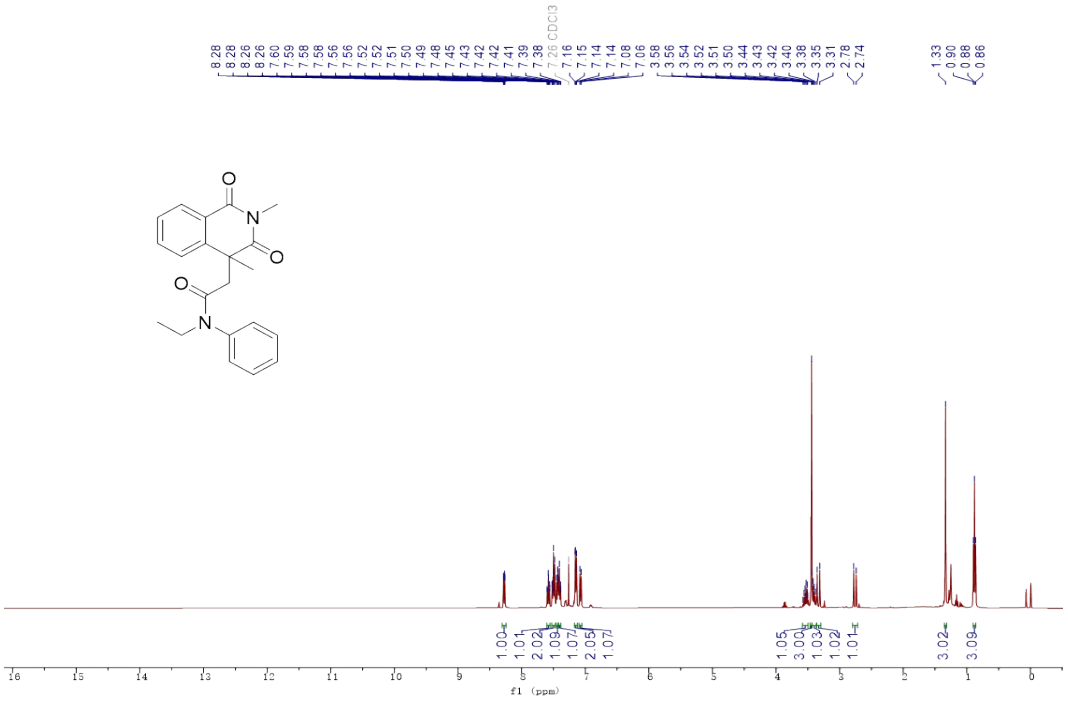
HRMS (ESI) of product 3i



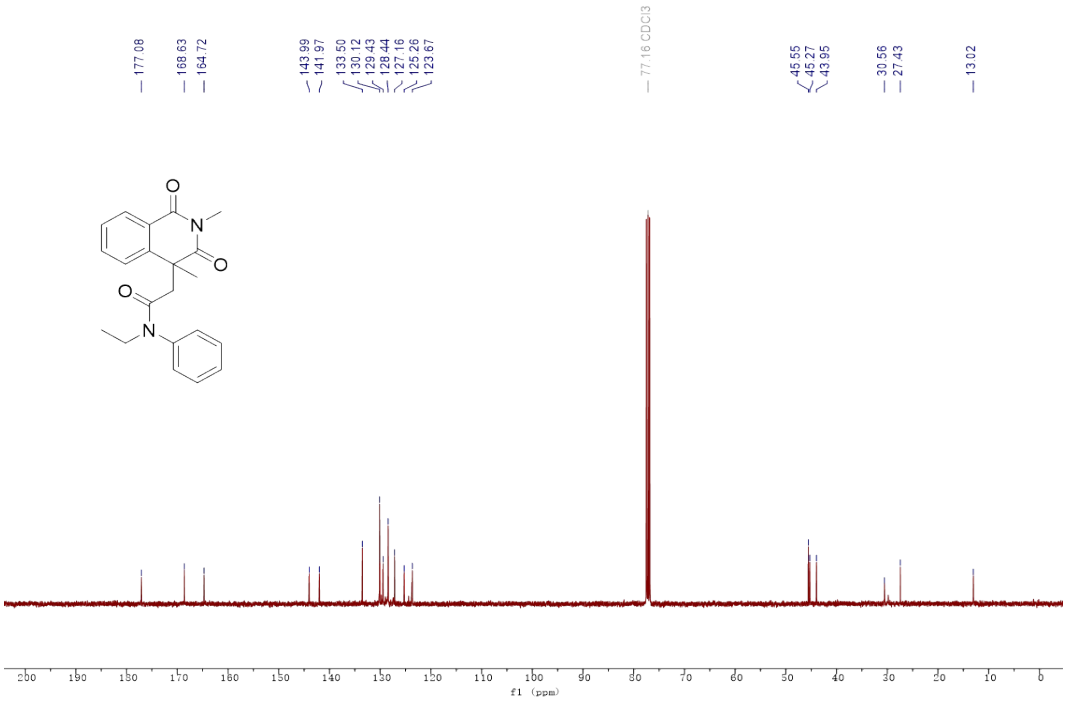
HRMS (ESI) m/z calcd for $C_{20}H_{20}N_2O_3Na^+$ $(M+Na)^+$ 359.13661, found 359.13657.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-ethyl-N-phenylacetamide (3j)

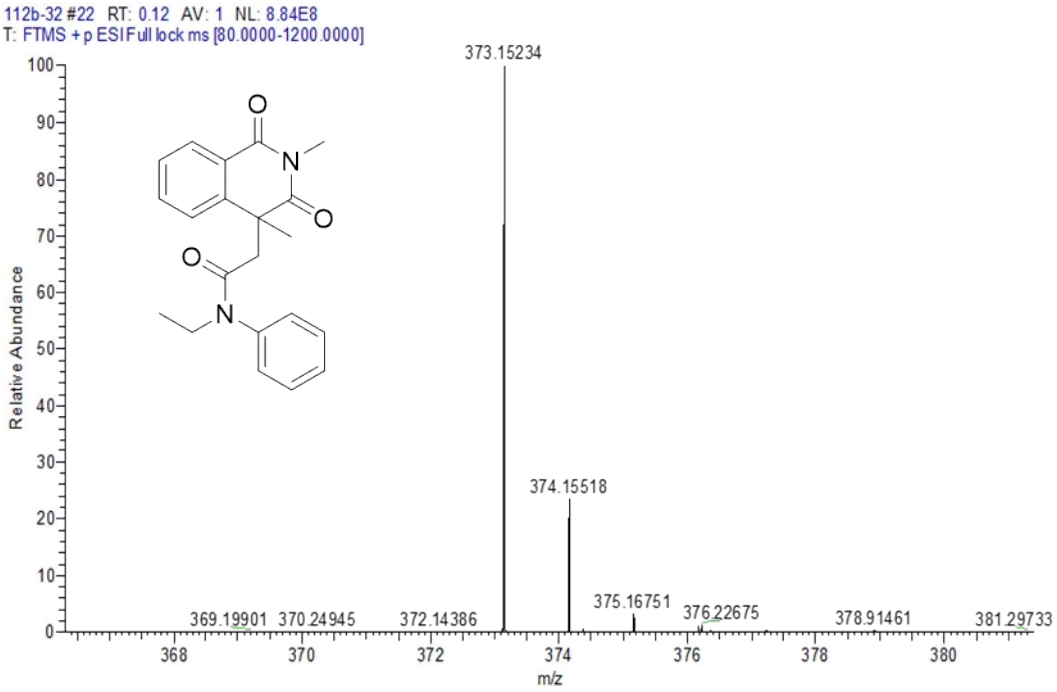
^{1}H NMR of product 3j in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3j in $CDCl_3$ (101 MHz)



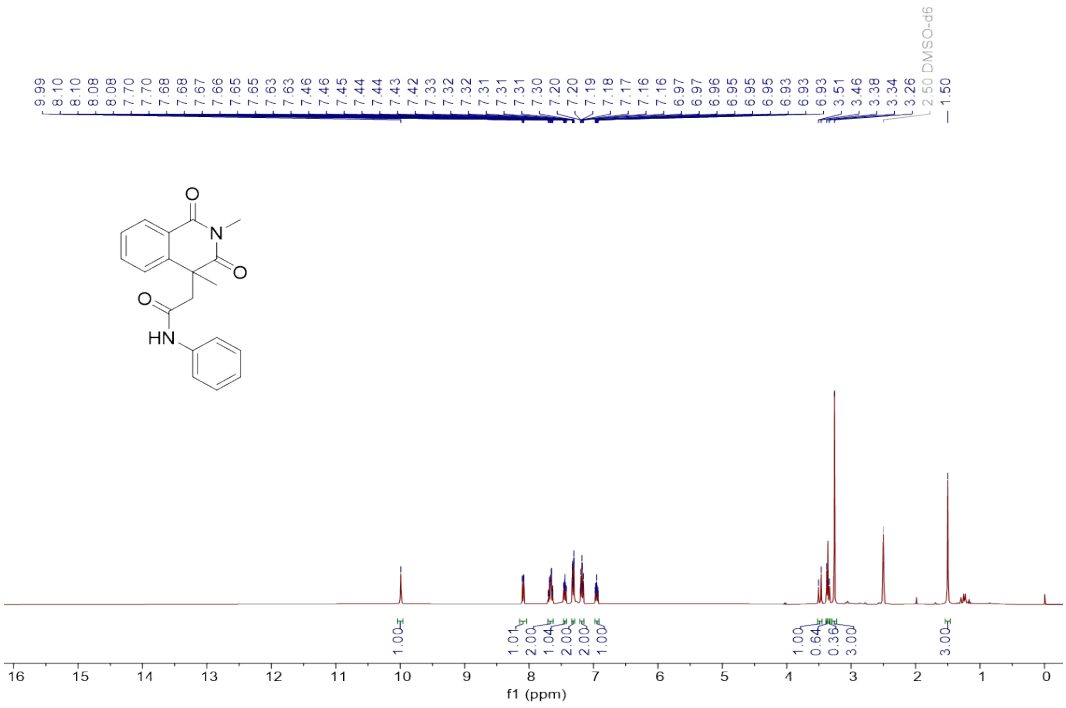
HRMS (ESI) of product 3j



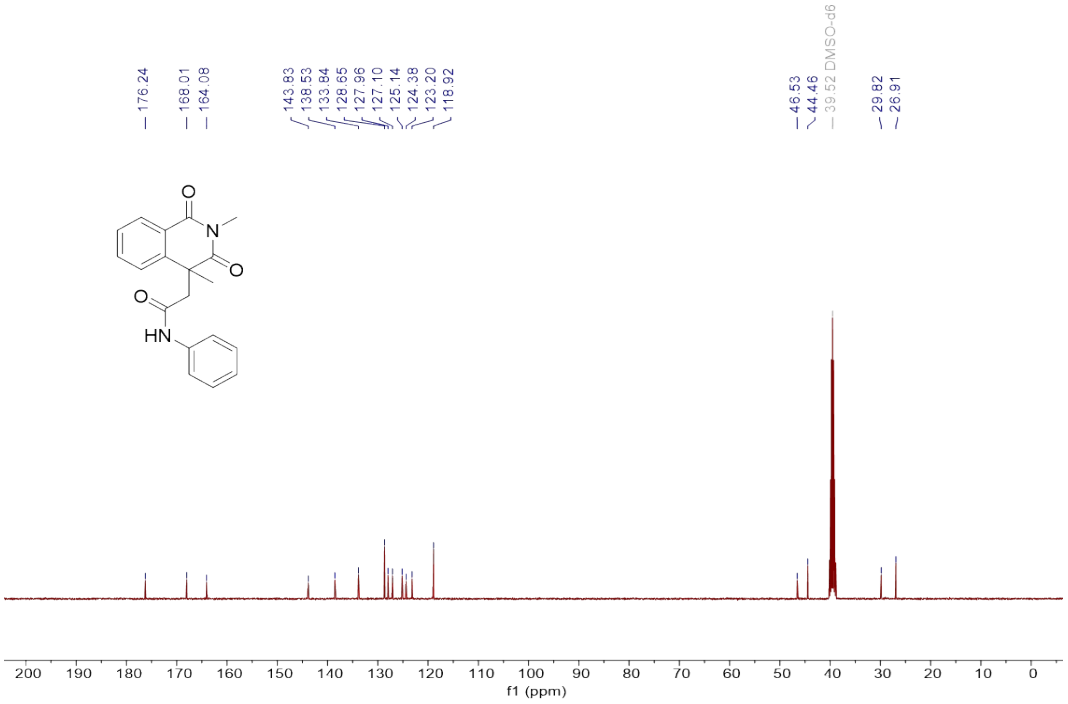
HRMS (ESI) m/z calcd for $C_{21}H_{22}N_2O_3Na^+$ $(M+Na)^+$ 373.15226, found 373.15234.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-phenylacetamide (3k)

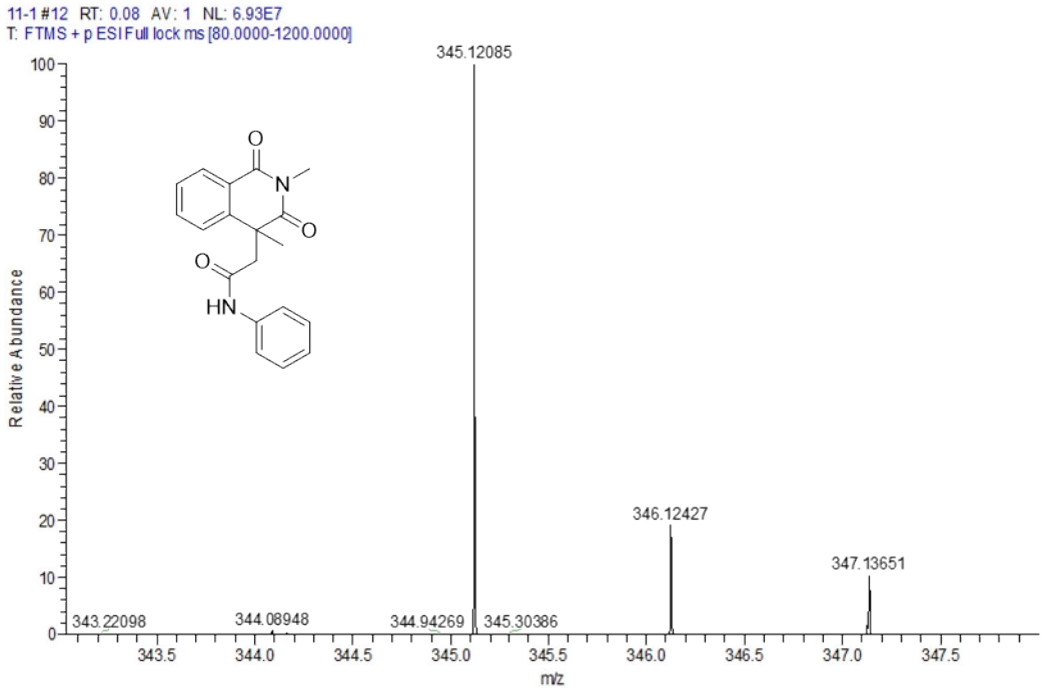
^{1}H NMR of product 3k in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3k in DMSO-D$_6$ (101 MHz)



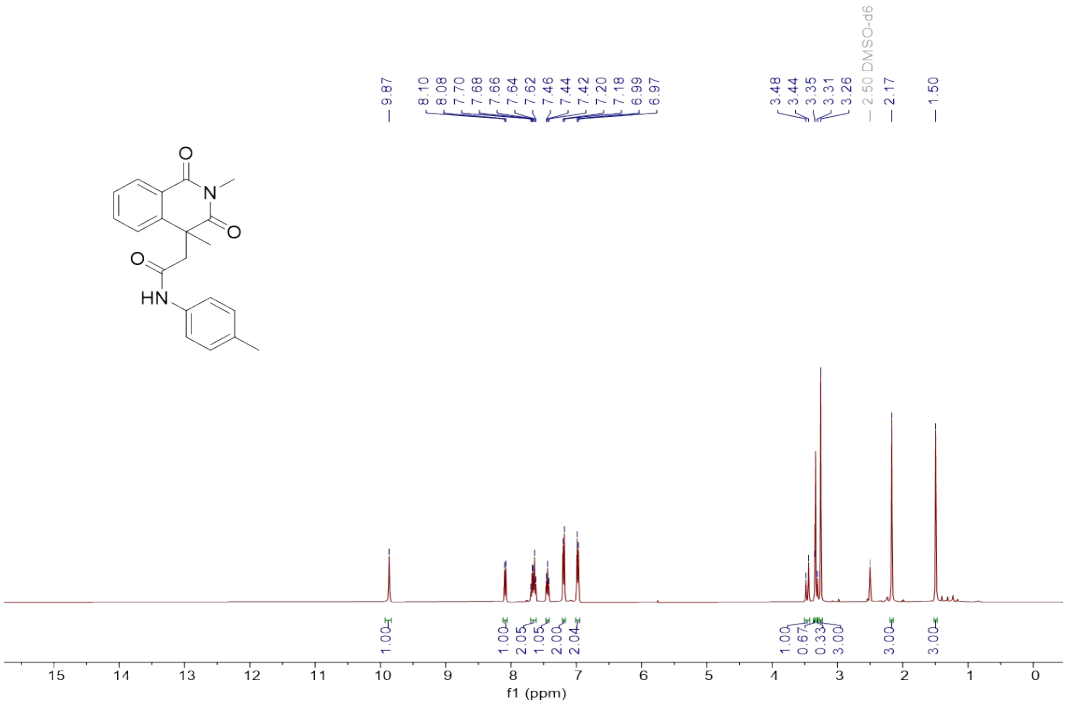
HRMS (ESI) of product 3k



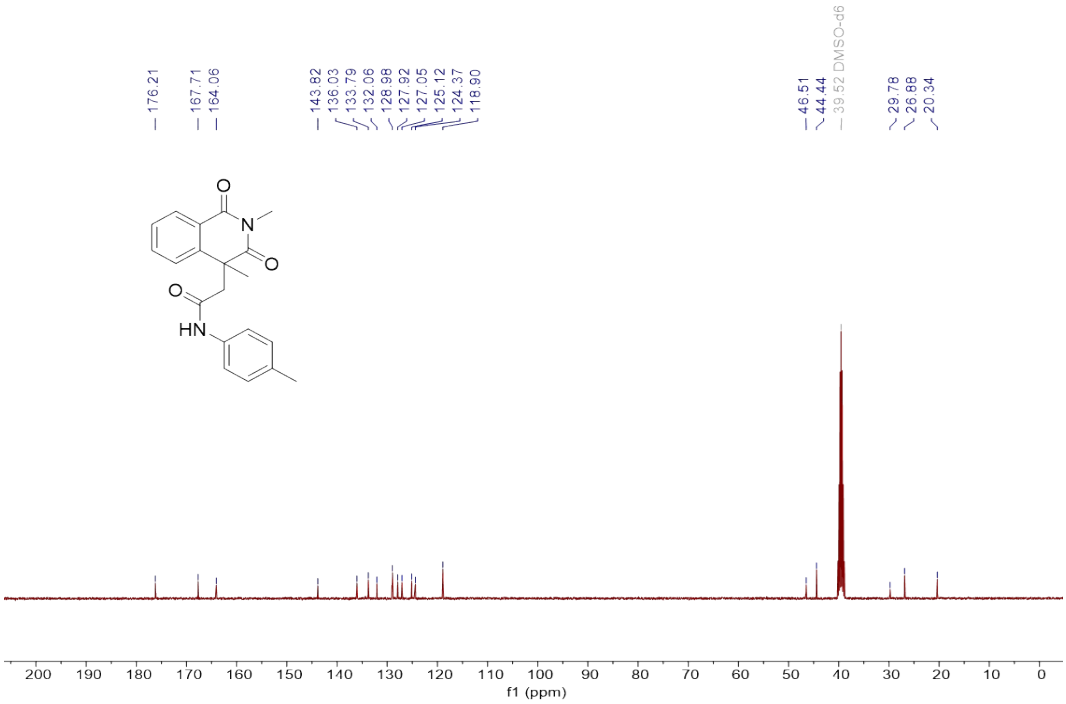
HRMS (ESI) m/z calcd for $C_{19}H_{18}N_2O_3$ Na^+(M+Na)$^+$345.1209636,found 345.12085.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(p-tolyl)acetamide (3l)

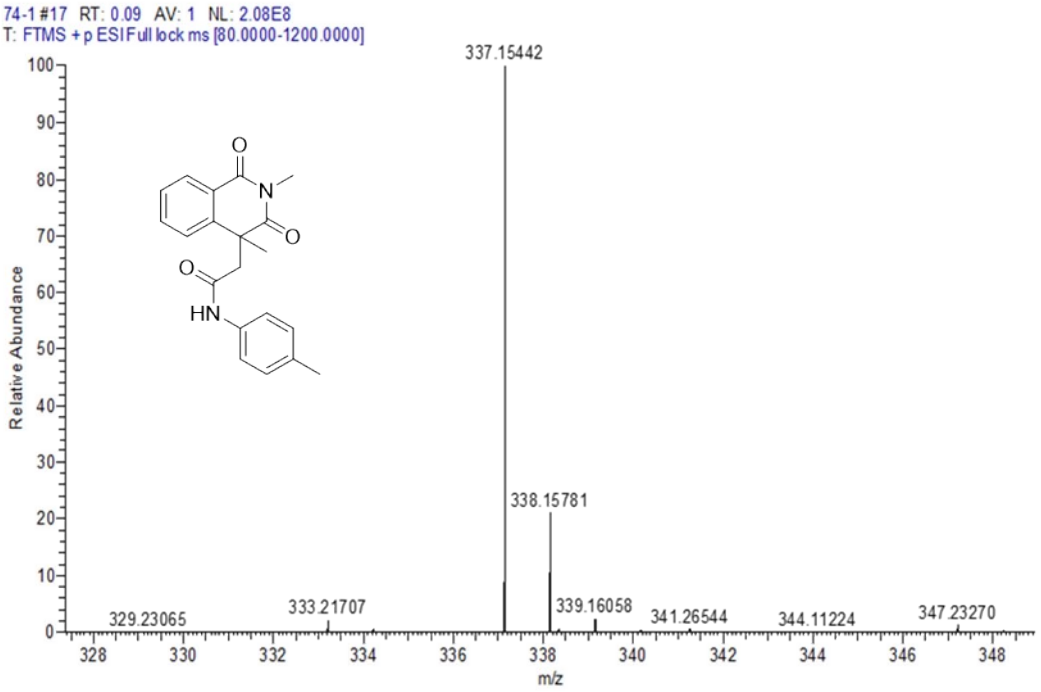
^{1}H NMR of product 3l in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3l in DMSO-D$_6$ (101 MHz)



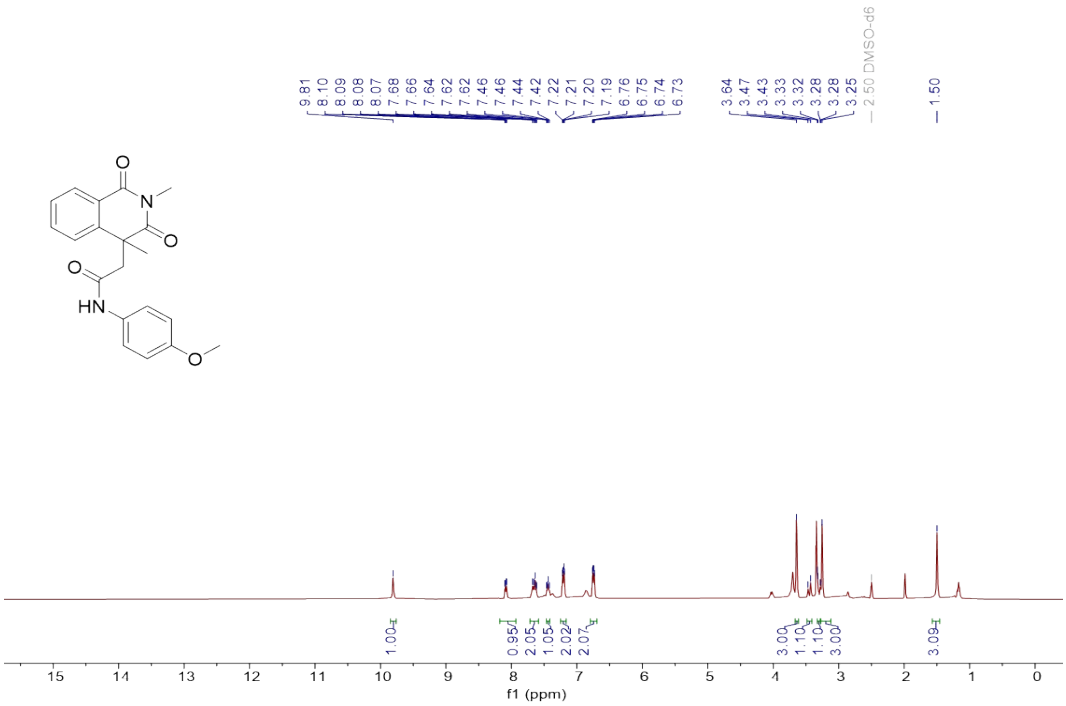
HRMS (ESI) of product 3l



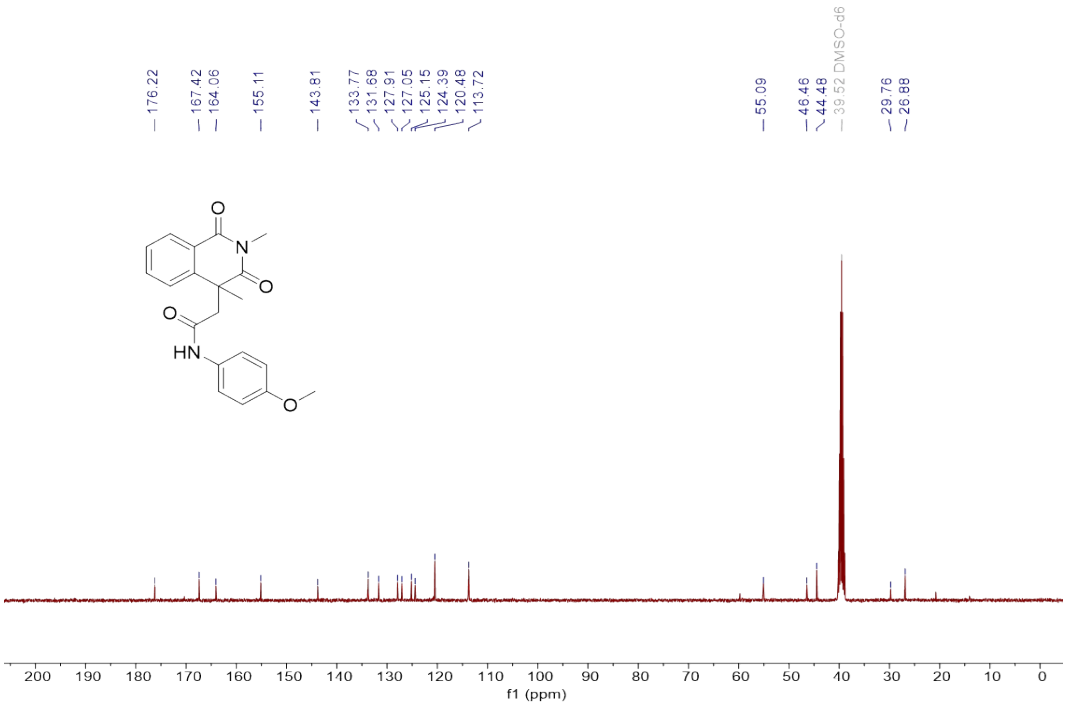
HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_3^+$ $(M+H)^+$ 337.15467, found 337.15442.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(4-methoxyphenyl)acetamide (3m)

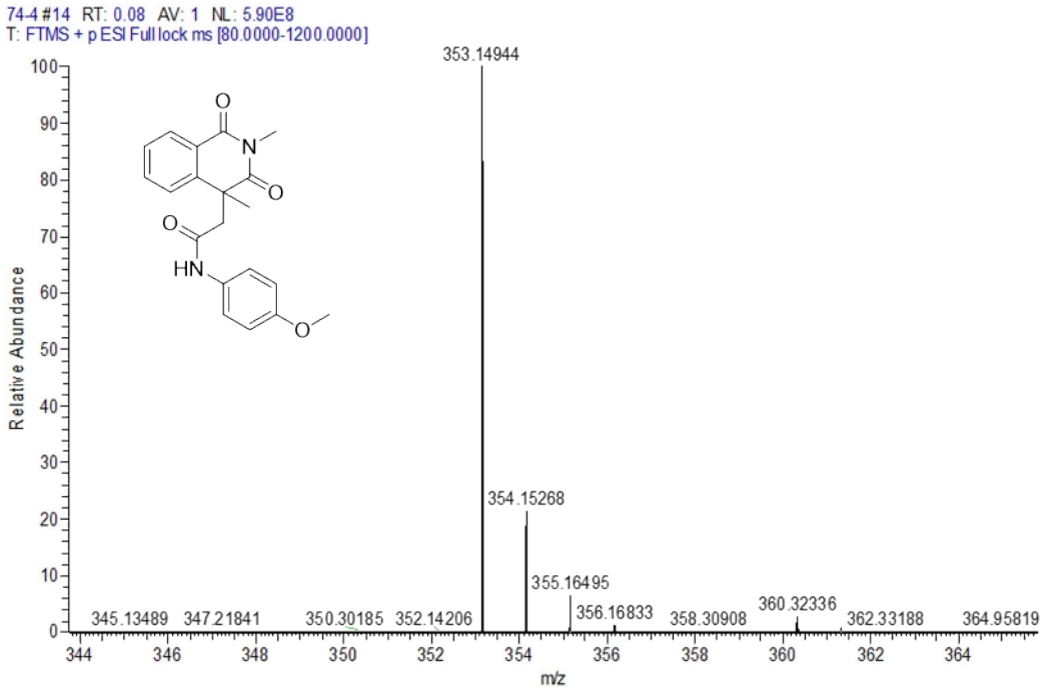
^{1}H NMR of product 3m in DMSO-D_6 (400 MHz)



^{13}C NMR of product 3m in DMSO-D_6 (101 MHz)



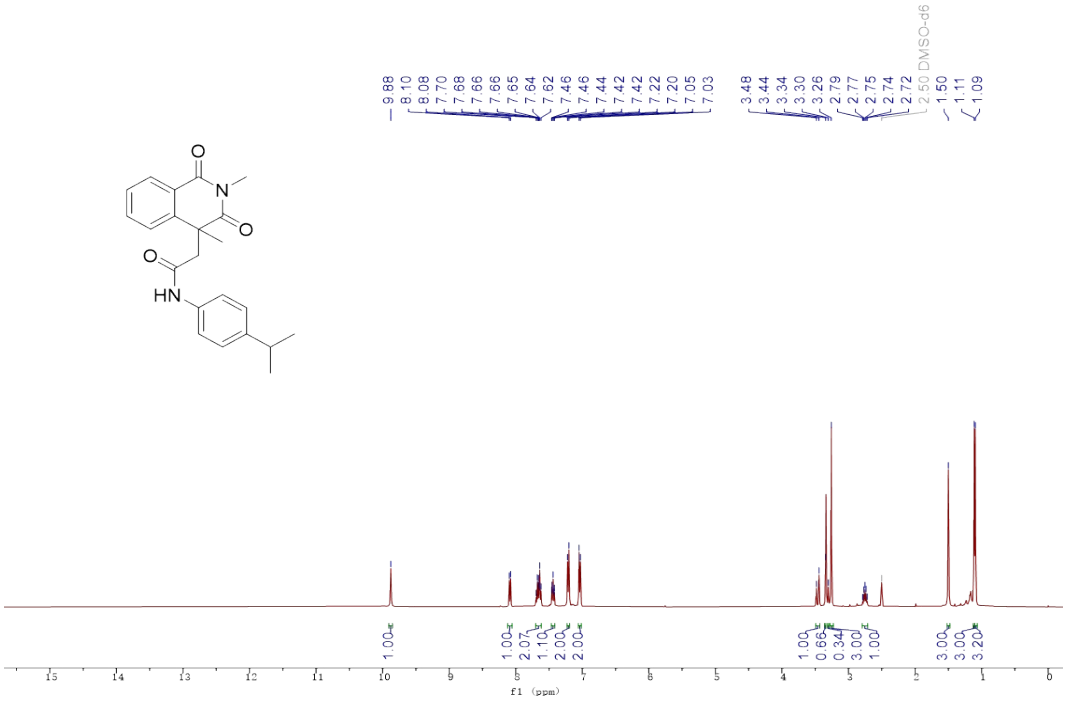
HRMS (ESI) of product 3m



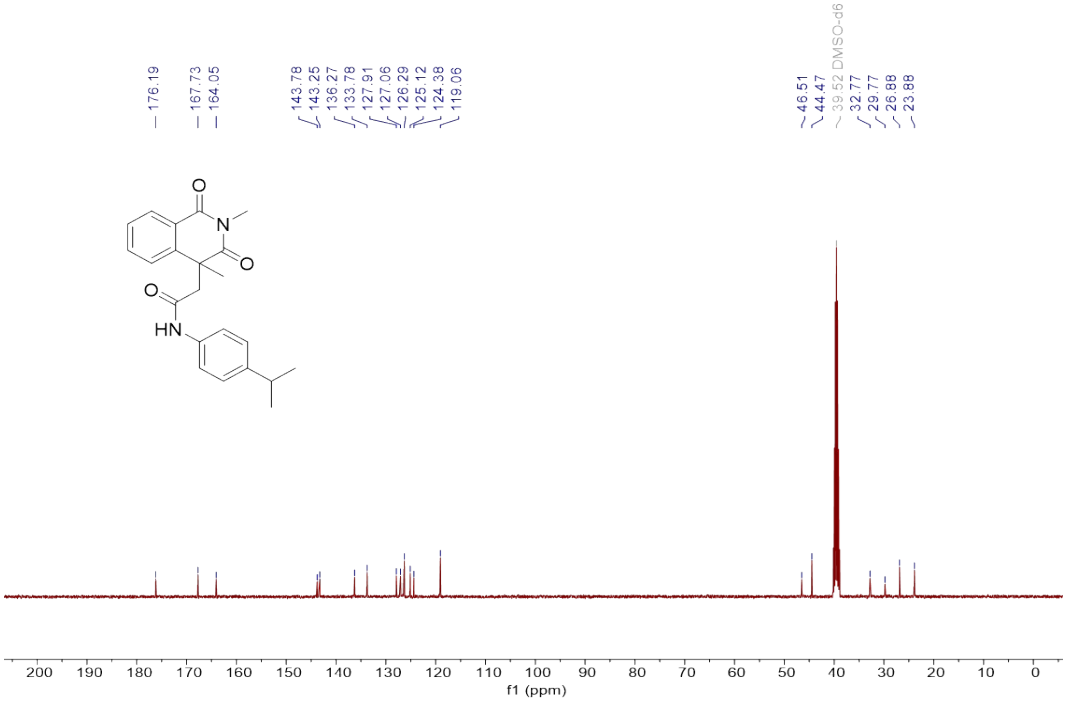
HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_4^+$ $(M+H)^+$ 353.14958, found 353.14944.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(4-isopropylphenyl)acetamide (3n)

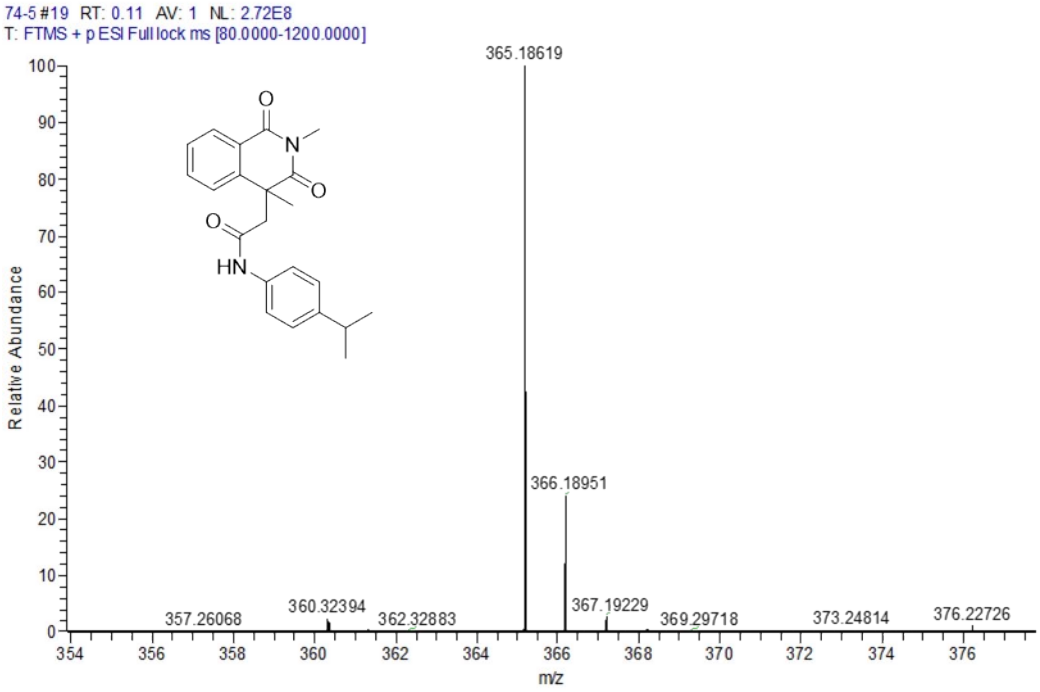
^{1}H NMR of product 3n in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3n in DMSO-D$_6$ (101 MHz)



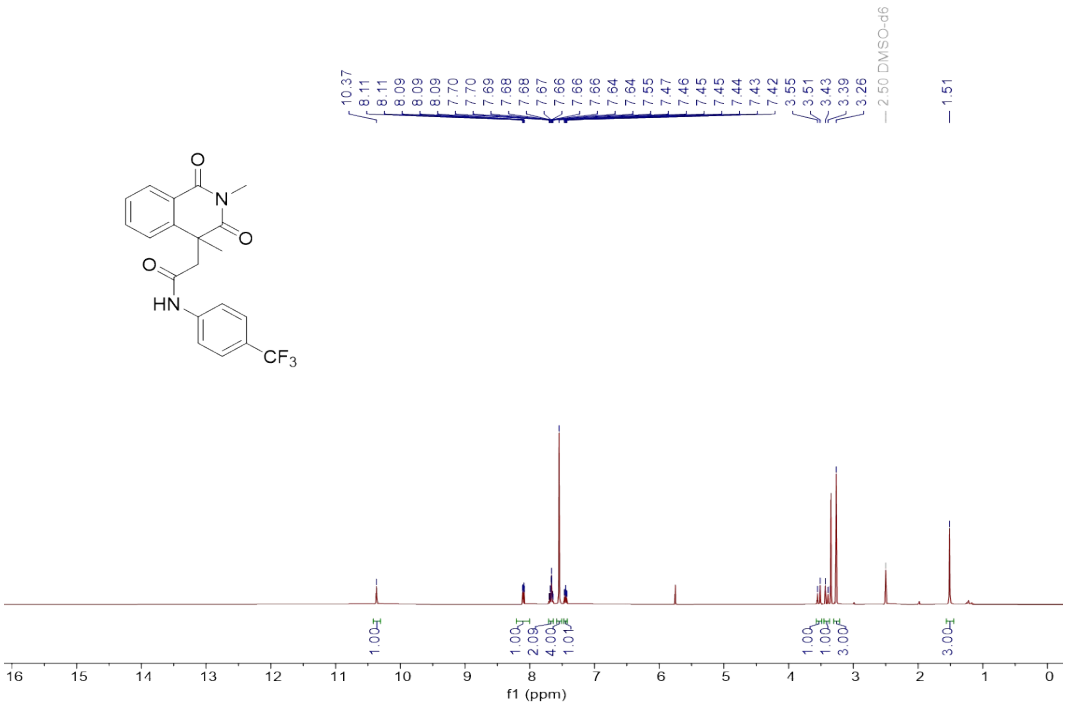
HRMS (ESI) of product 3n



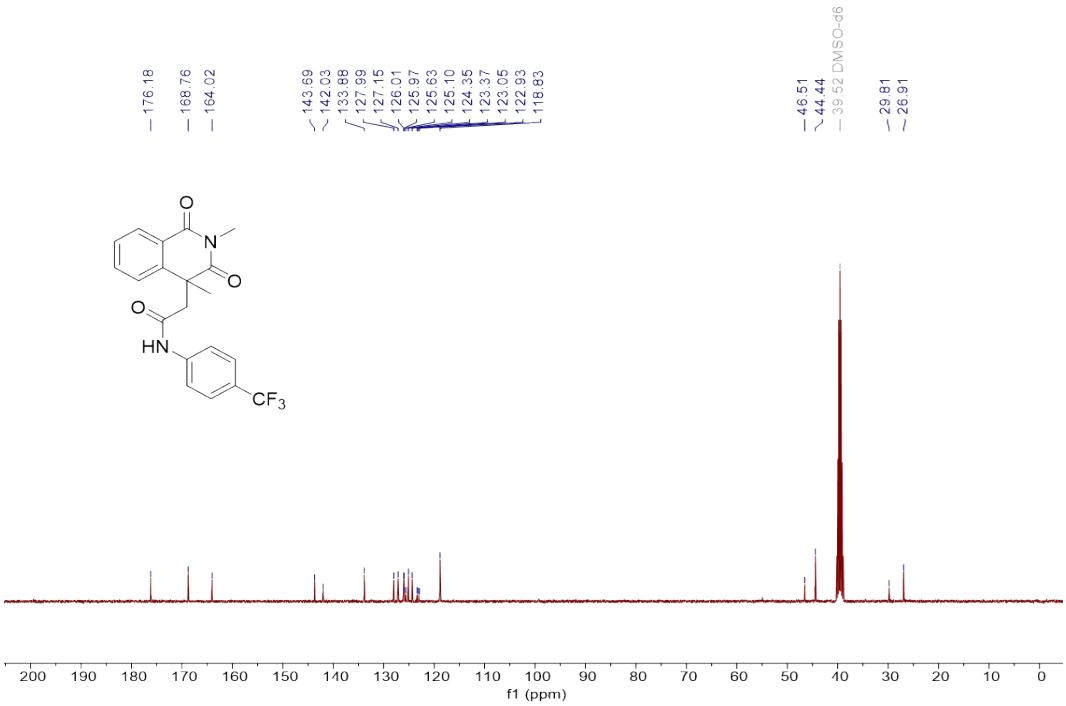
HRMS (ESI) m/z calcd for $C_{22}H_{25}N_2O_3^+$ $(M+H)^+$ 365.18597, found 365.18619.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(4-(trifluoromethyl)phenyl)acetamide (3o)

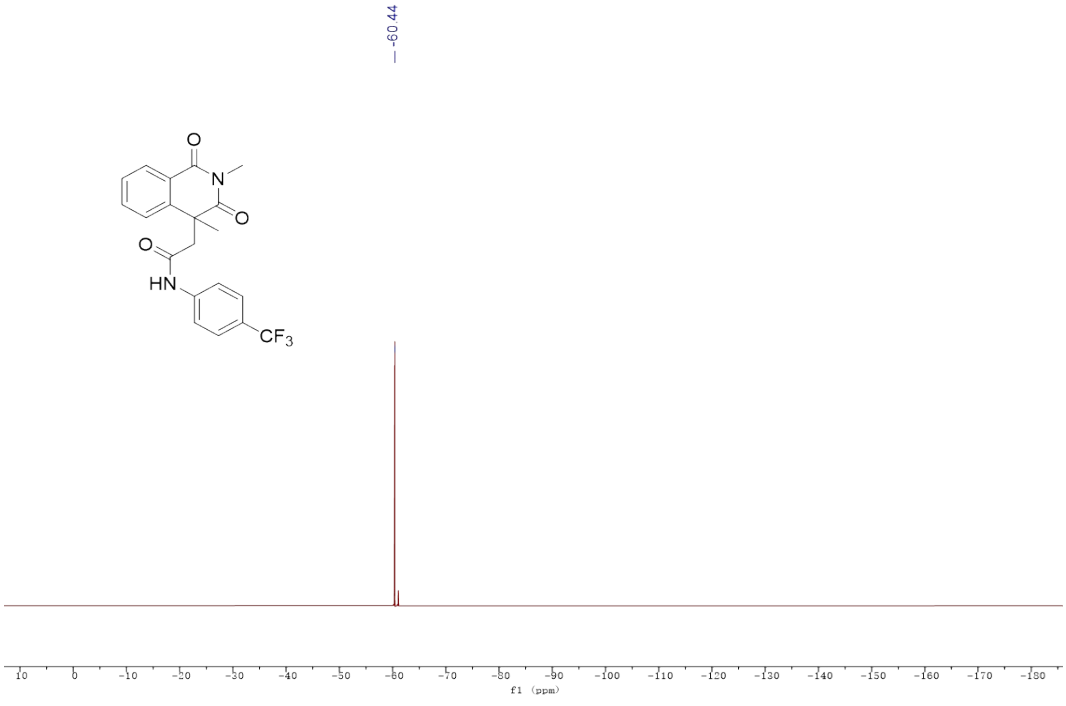
^{1}H NMR of product 3o in DMSO-D_6 (400 MHz)



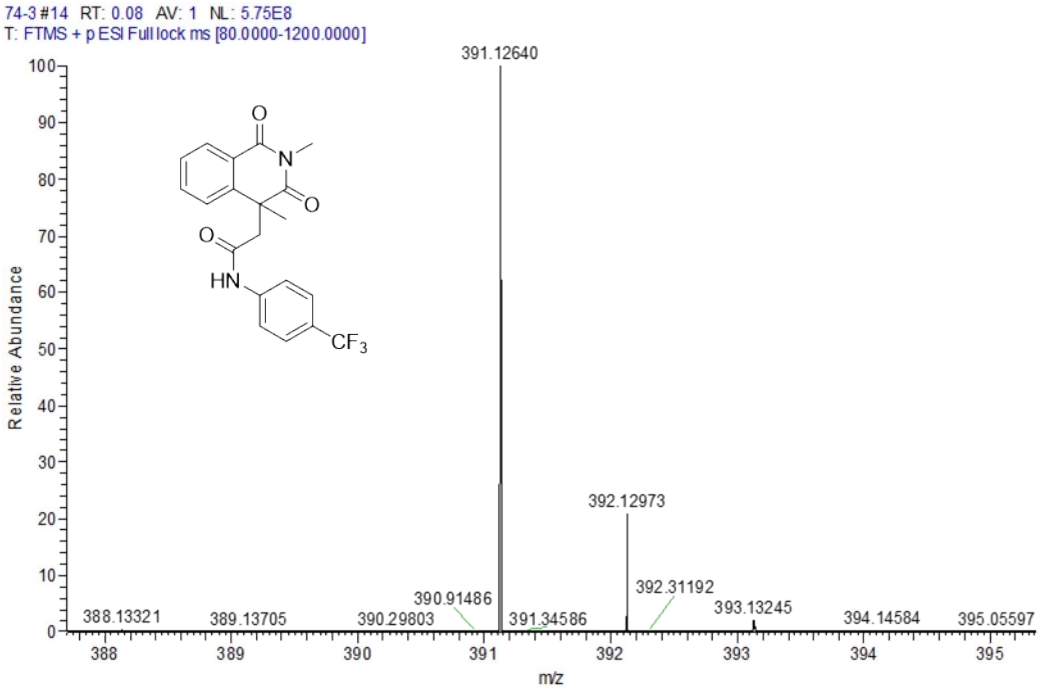
^{13}C NMR of product 3o in DMSO-D_6 (101 MHz)



^{19}F NMR of product 3o in DMSO-D$_6$ (101 MHz)



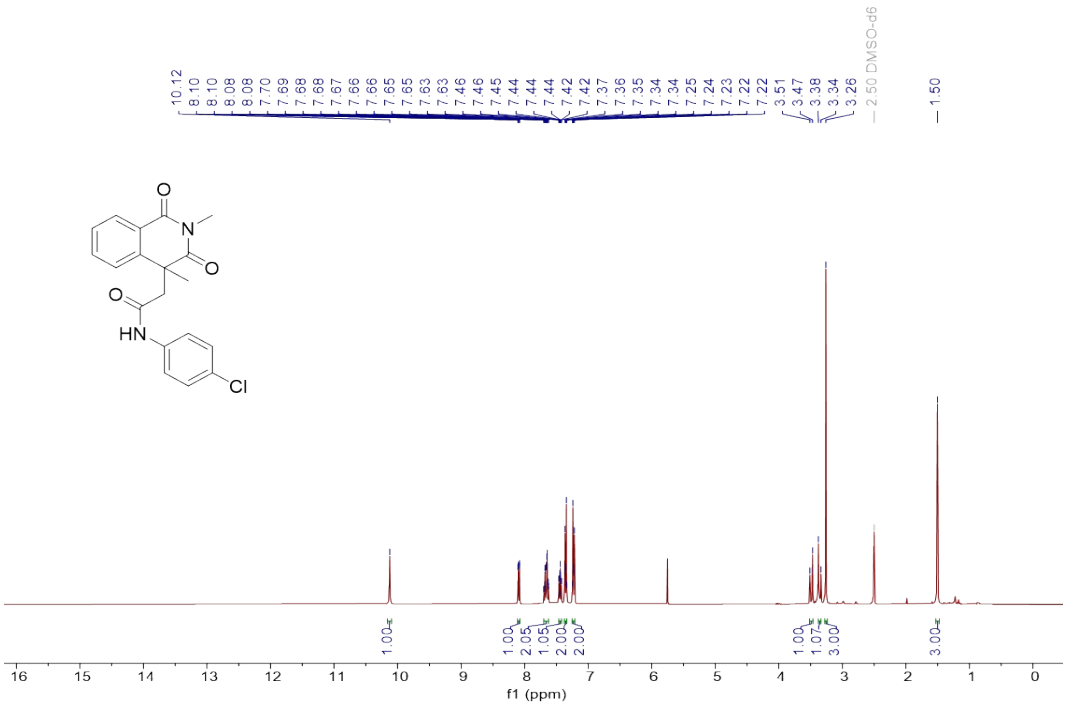
HRMS (ESI) of product 3o



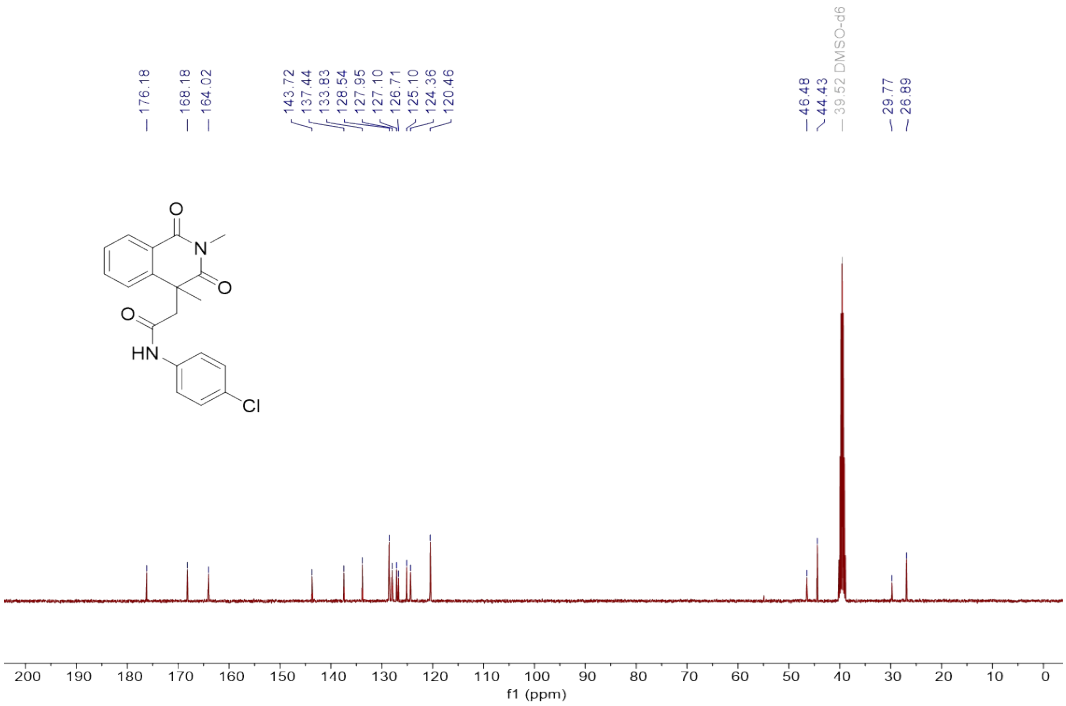
HRMS (ESI) m/z calcd for $C_{20}H_{18}F_3N_2O_3^+$ $(M+H)^+$ 391.12640, found 391.12640.

N-(4-chlorophenyl)-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3p)

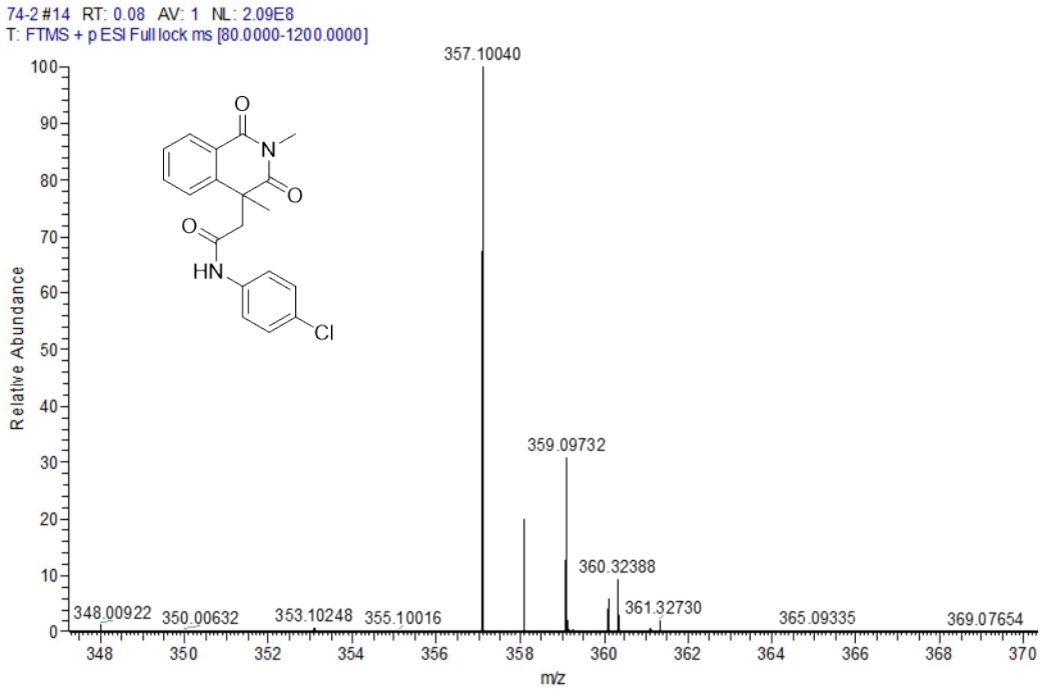
^{1}H NMR of product 3p in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3p in DMSO-D$_6$ (101 MHz)



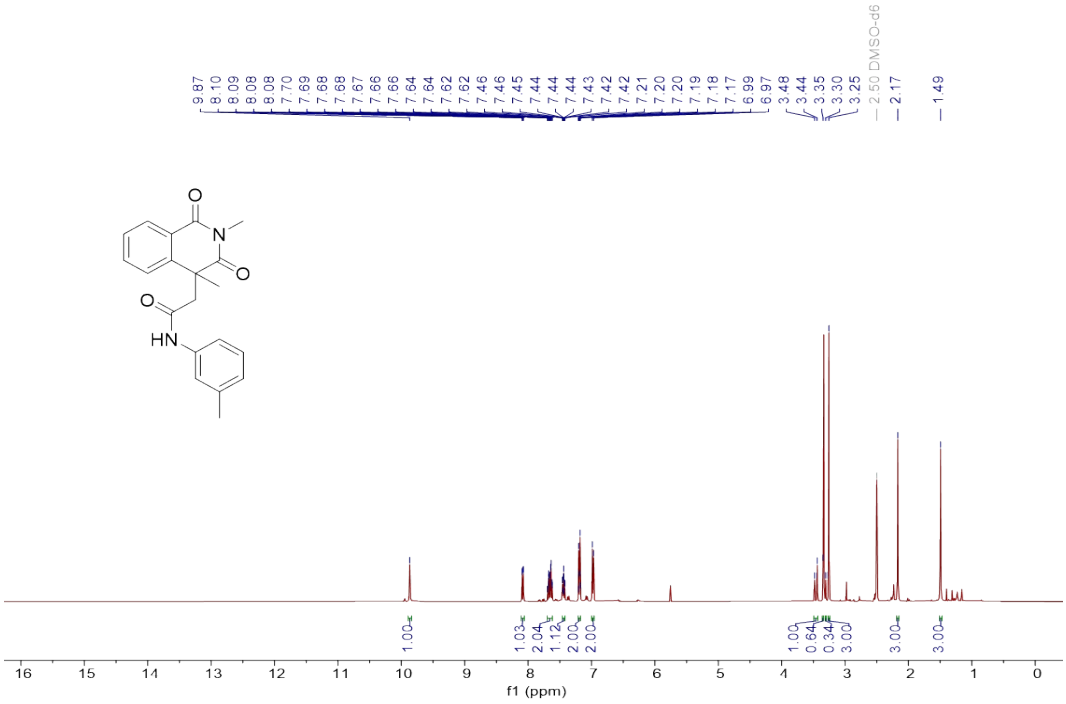
HRMS (ESI) of product 3p



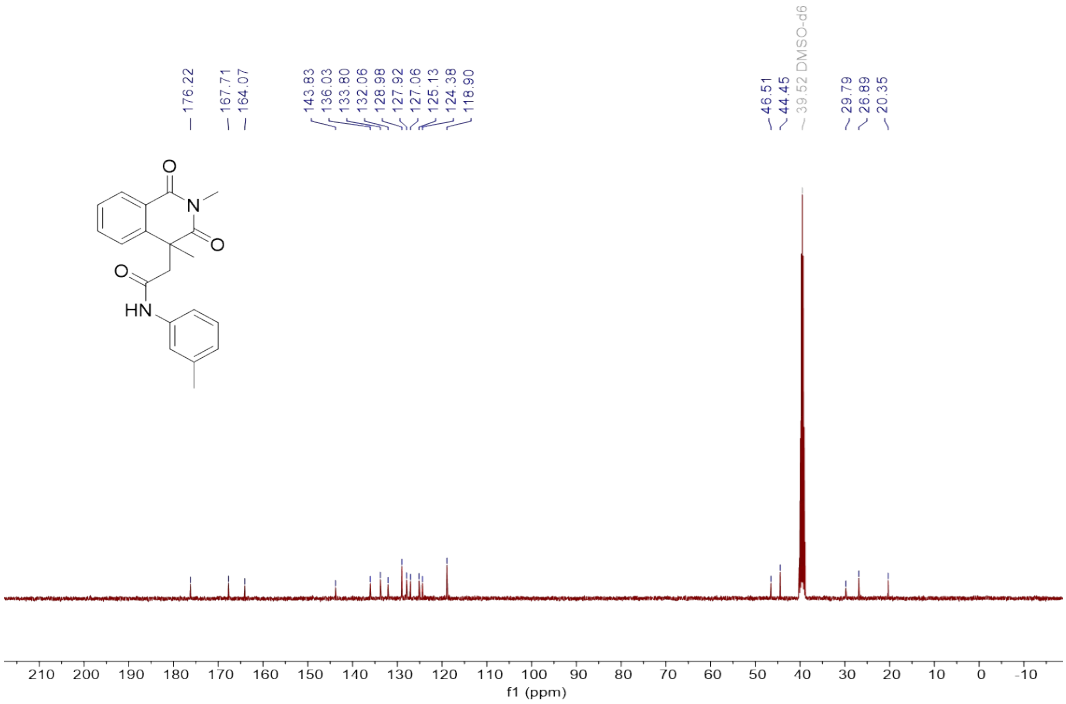
HRMS (ESI) m/z calcd for $C_{19}H_{18}ClN_2O_3^+$ $(M+H)^+$ 357.10005, found 357.10040.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(m-tolyl)acetamide (3q)

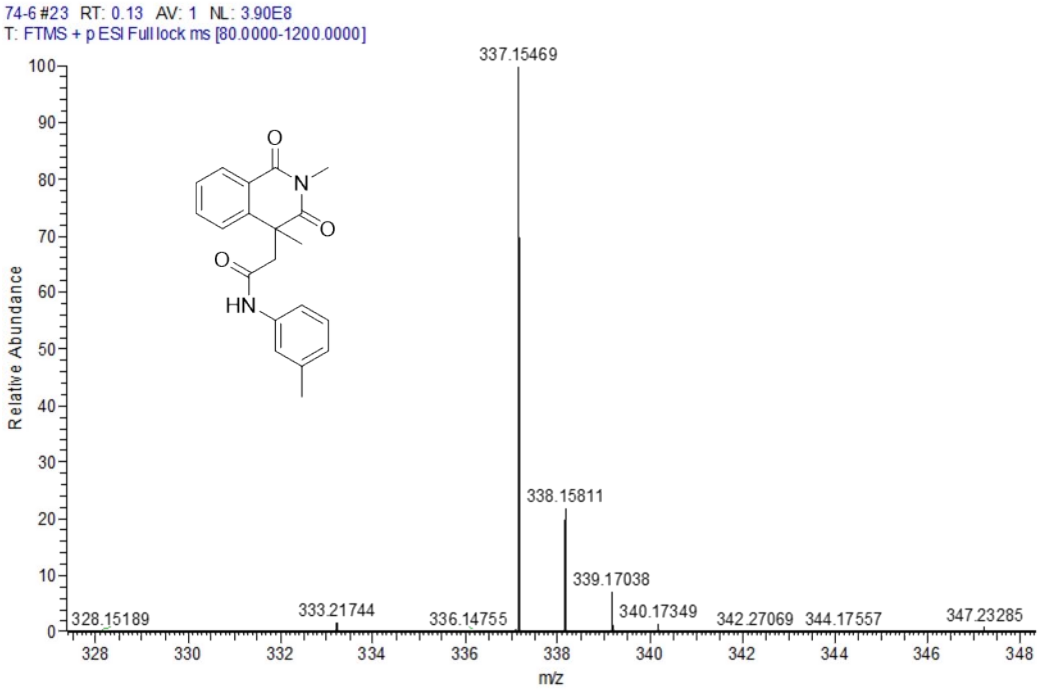
^{1}H NMR of product 3q in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3q in DMSO-D$_6$ (101 MHz)



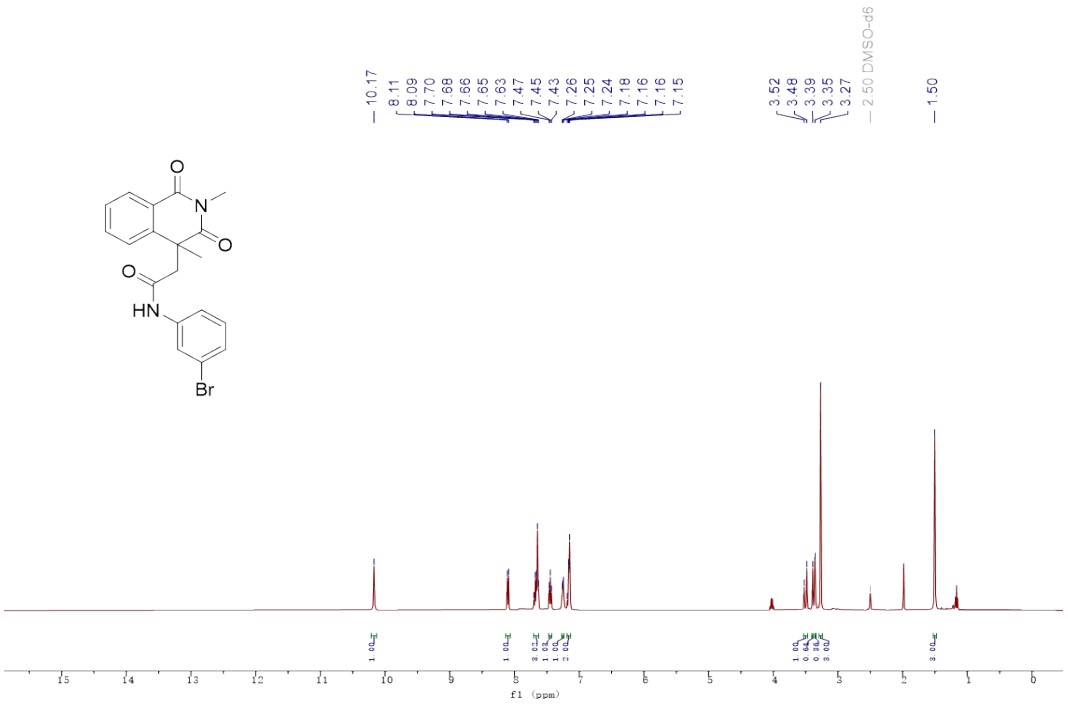
HRMS (ESI) of product 3q



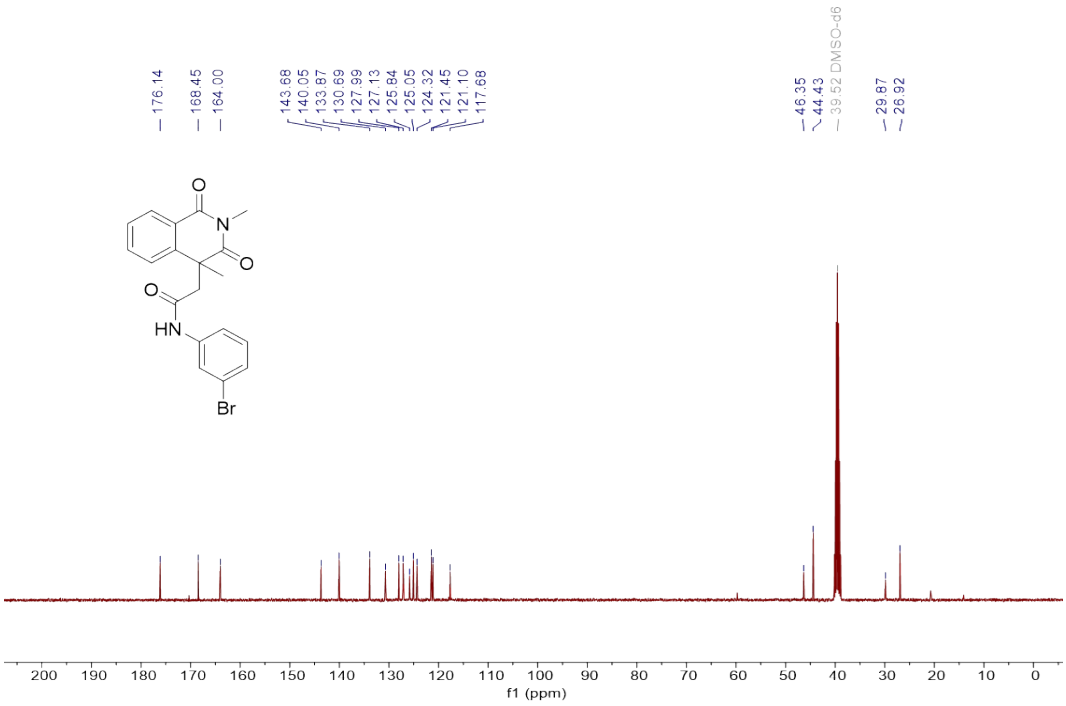
HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_3^+$ $(M+H)^+$ 337.15467, found 337.15469.

N-(3-bromophenyl)-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3r)

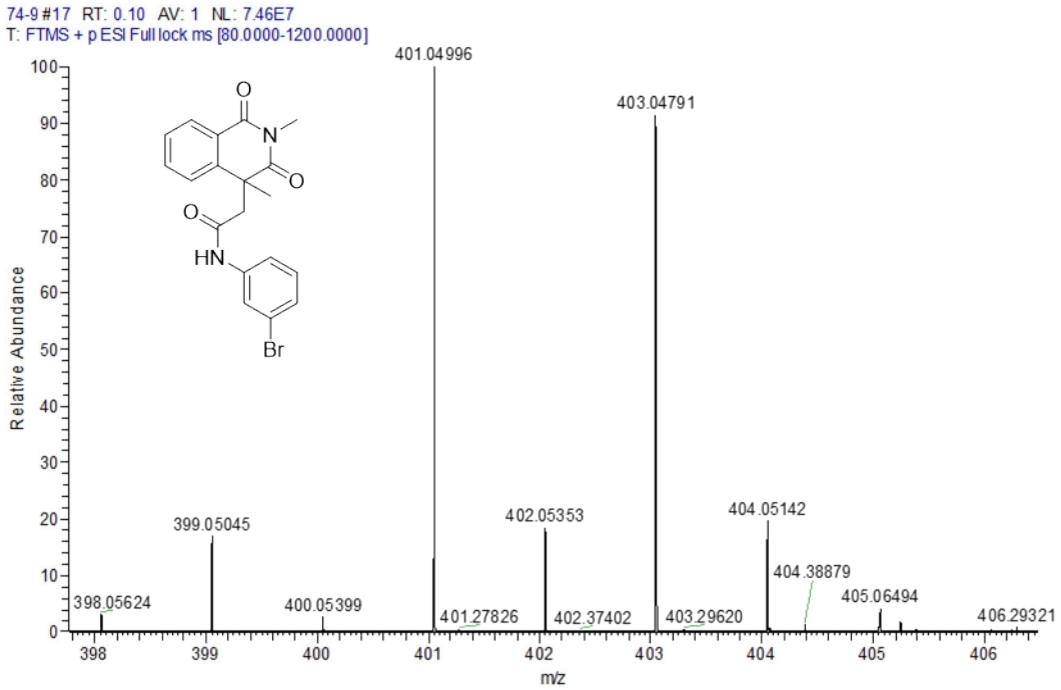
^{1}H NMR of product 3r in DMSO-D_6 (400 MHz)



^{13}C NMR of product 3r in DMSO-D_6 (101 MHz)



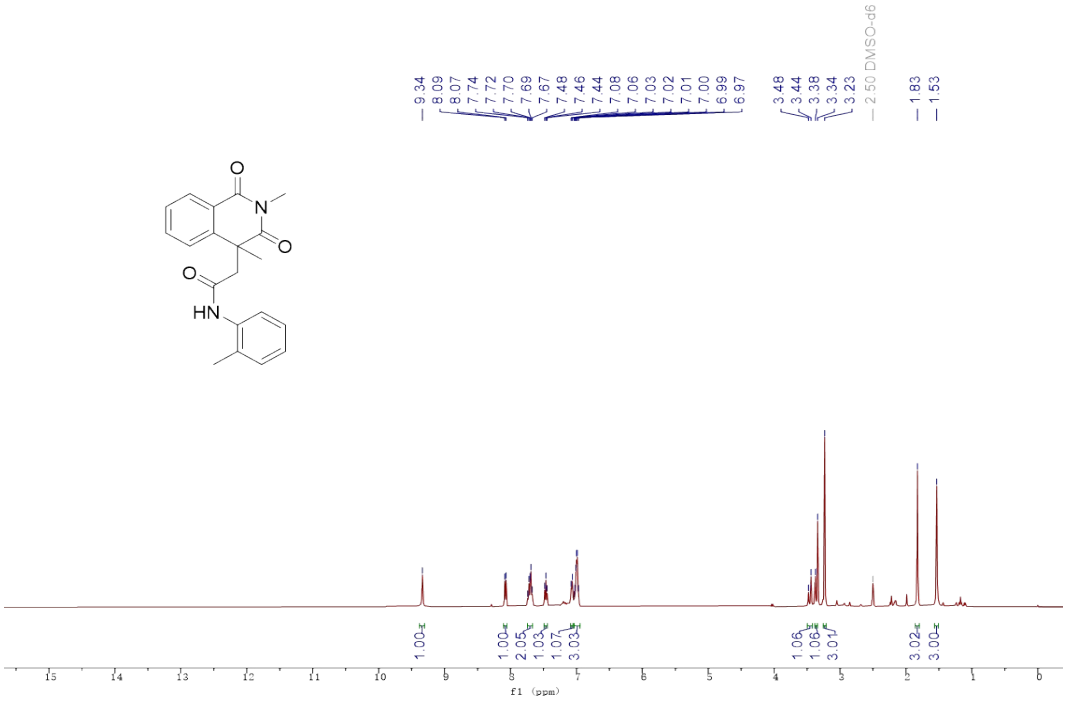
HRMS (ESI) of product 3r



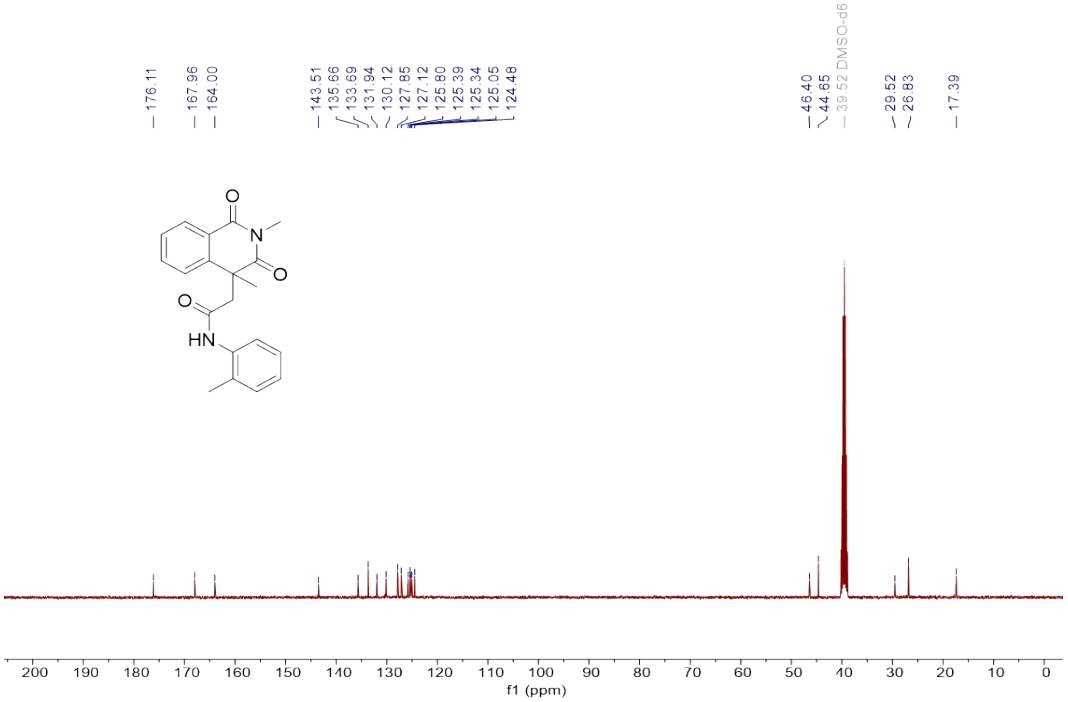
HRMS (ESI) m/z calcd for C19H18BrN2O3+ (M+H)+ 401.04953, found 401.04996.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(o-tolyl)acetamide (3s)

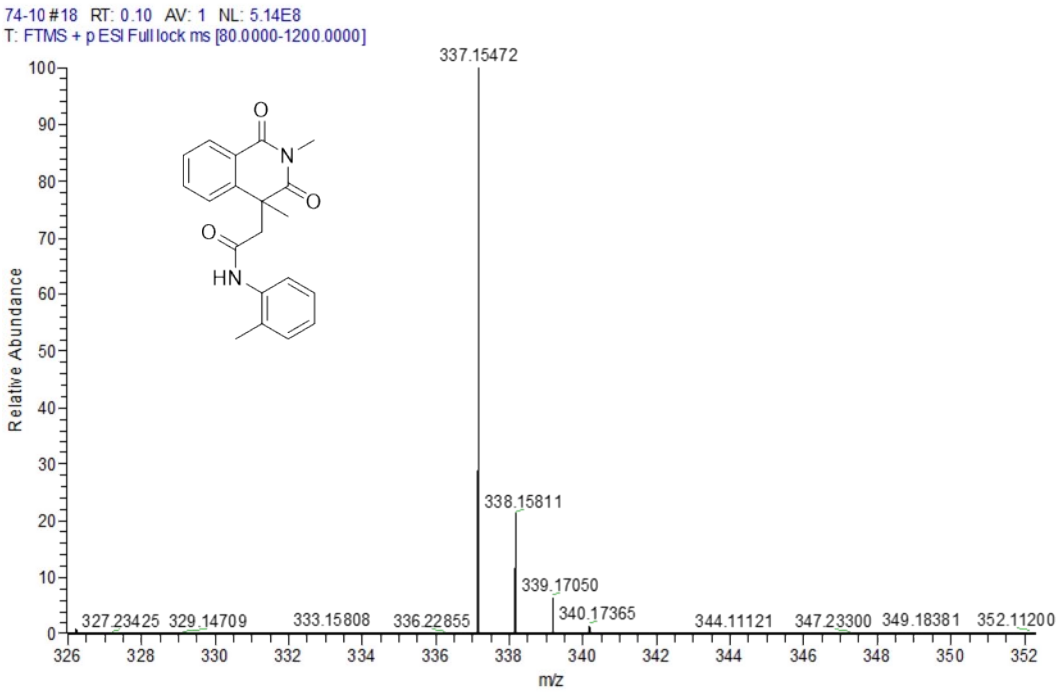
^{1}H NMR of product 3s in DMSO-D_6 (400 MHz)



^{13}C NMR of product 3s in DMSO-D_6 (101 MHz)



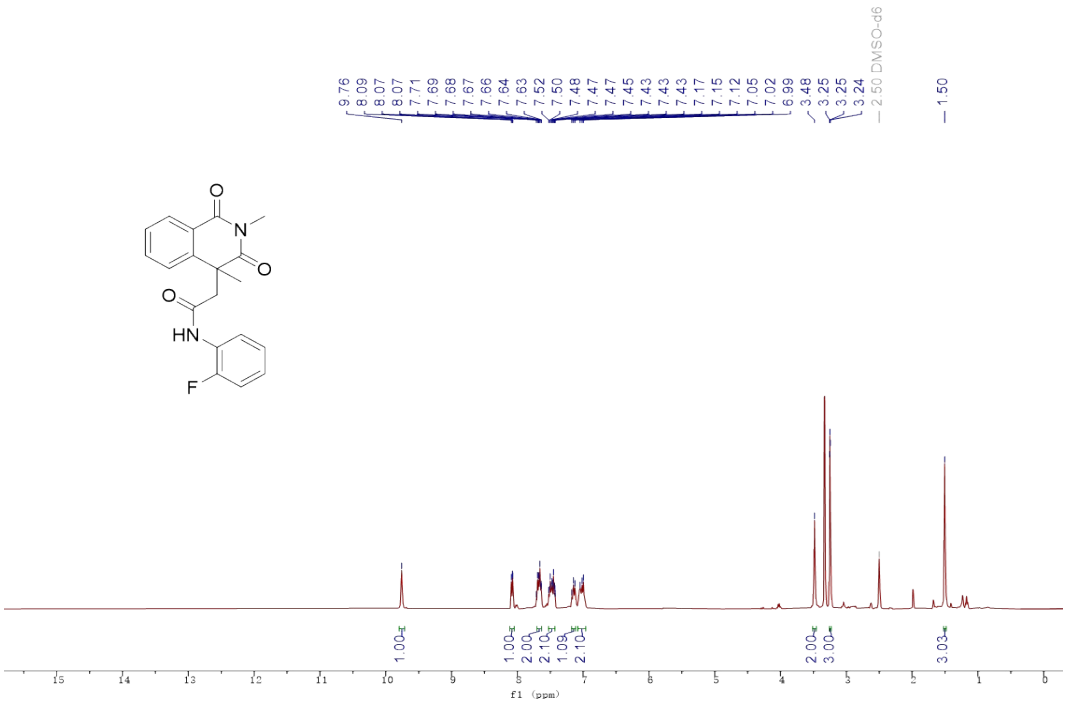
HRMS (ESI) of product 3s



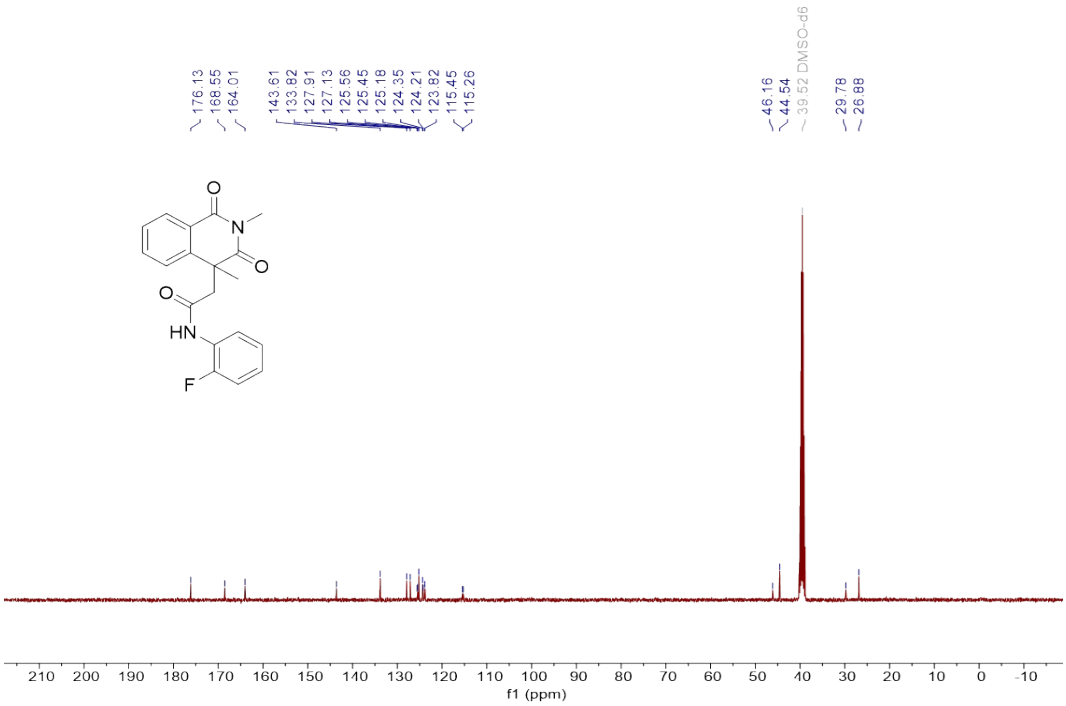
HRMS (ESI) m/z calcd for $C_{20}H_{21}N_2O_3^+$ $(M+H)^+$ 337.15467, found 337.15472.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(2-fluorophenyl)acetamide (3t)

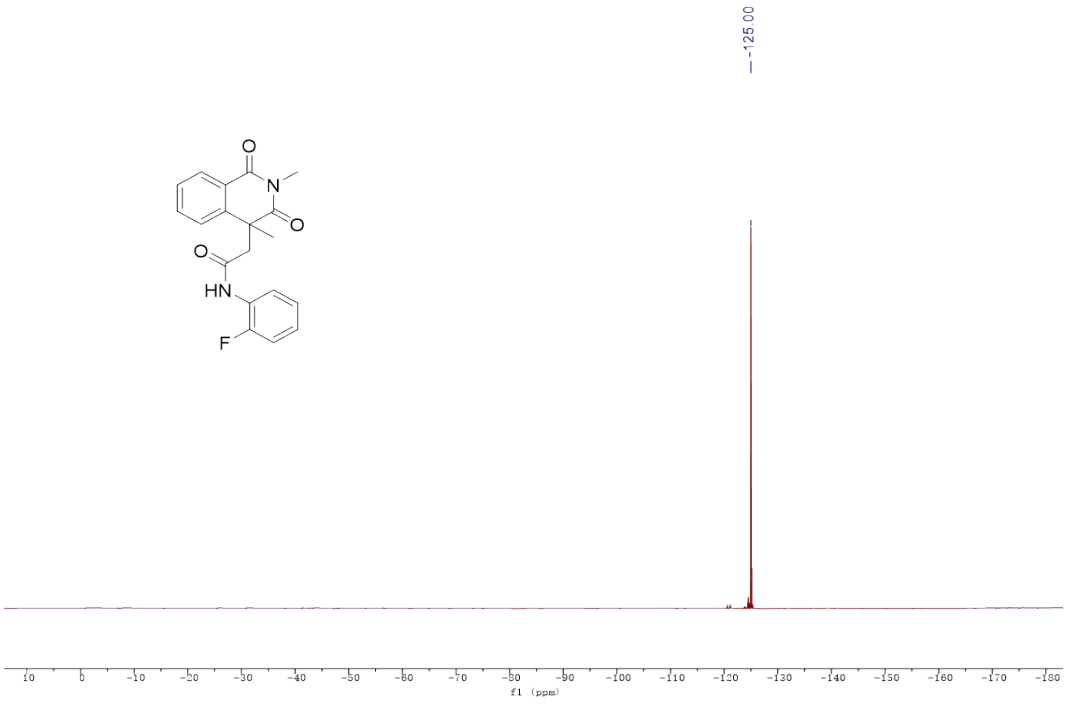
^{1}H NMR of product 3t in DMSO-D$_6$ (400 MHz)



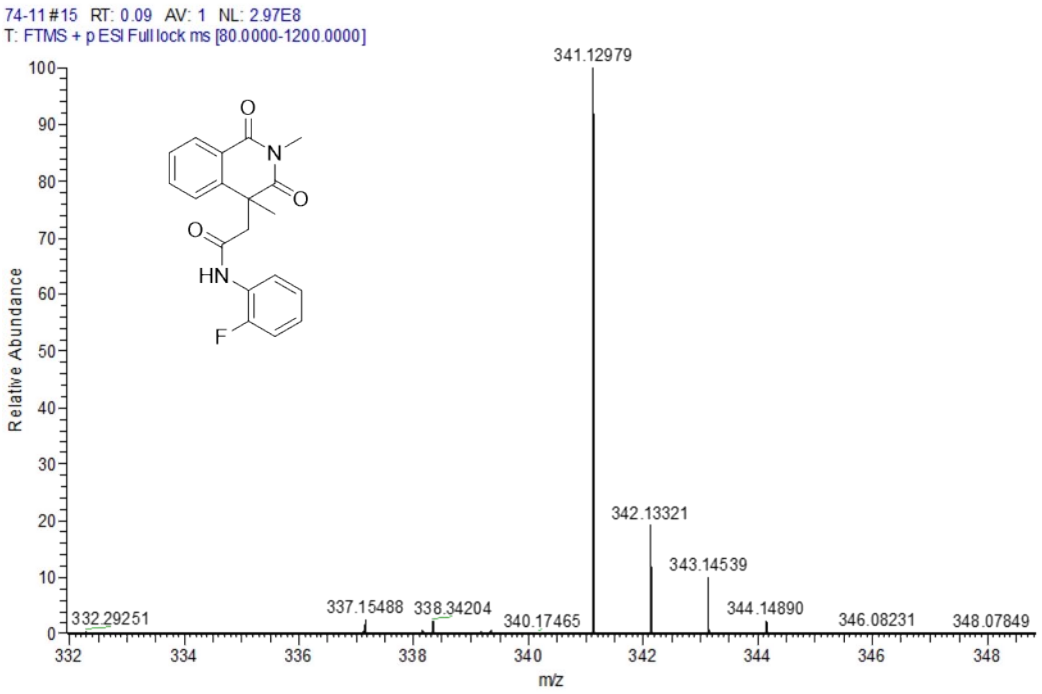
^{13}C NMR of product 3t in DMSO-D$_6$ (101 MHz)



^{19}F NMR of product 3t in DMSO-D$_6$ (101 MHz)



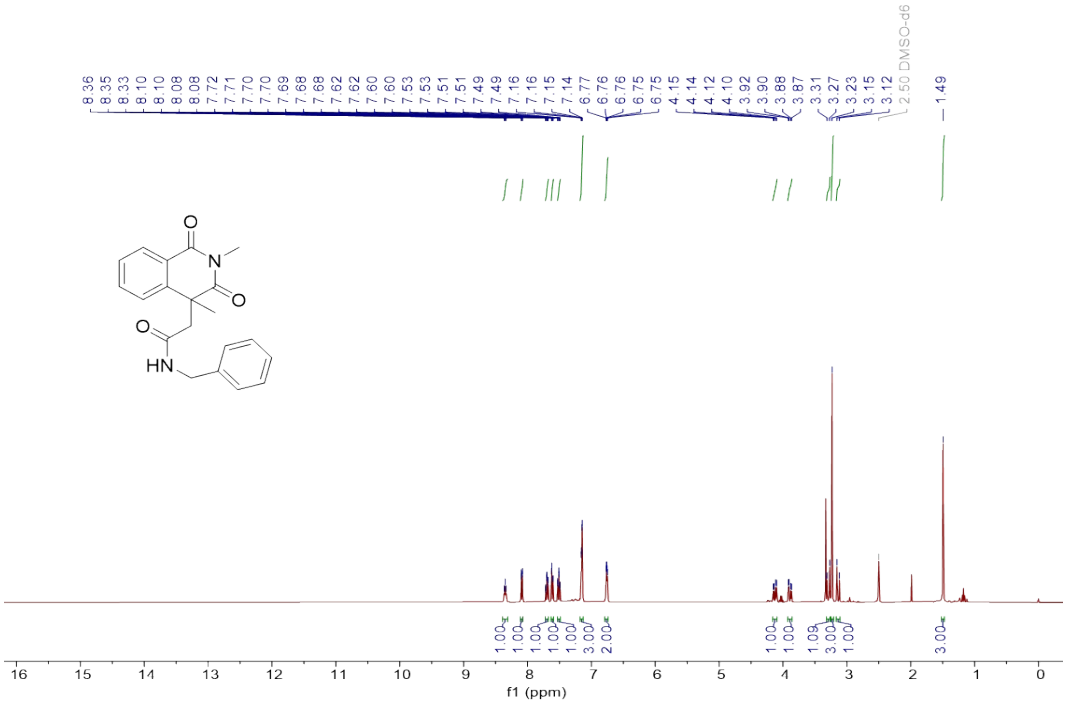
HRMS (ESI) of product 3t



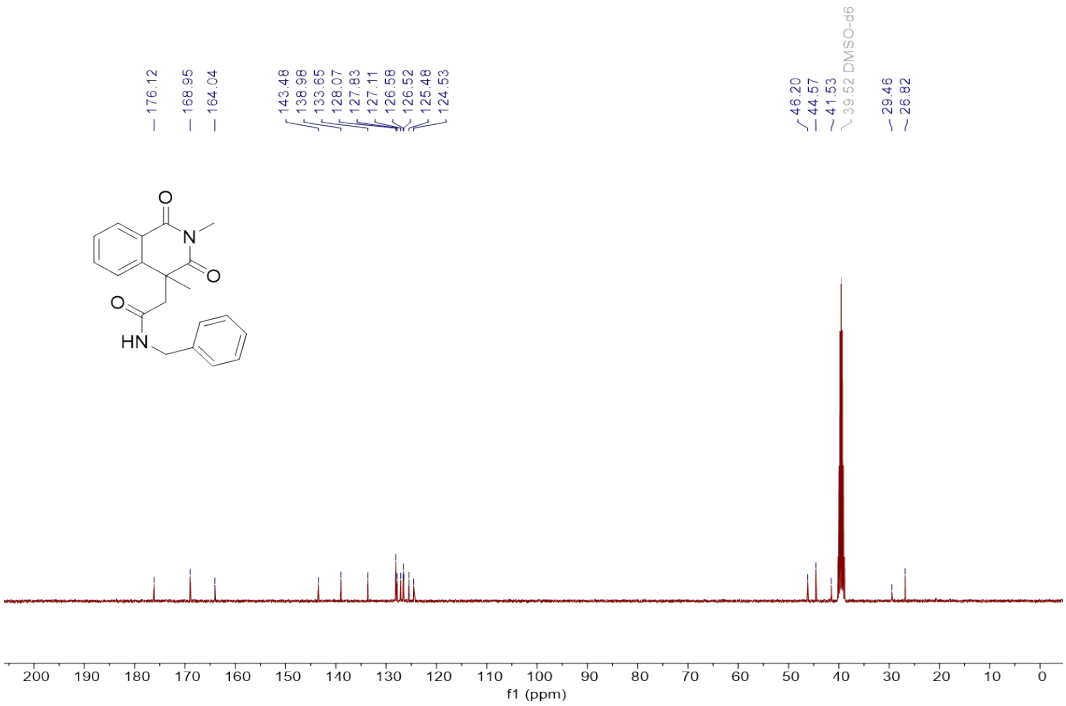
HRMS (ESI) m/z calcd for $C_{19}H_{18}FN_2O_3^+$ $(M+H)^+$ 341.12960, found 341.12979.

N-benzyl-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3u)

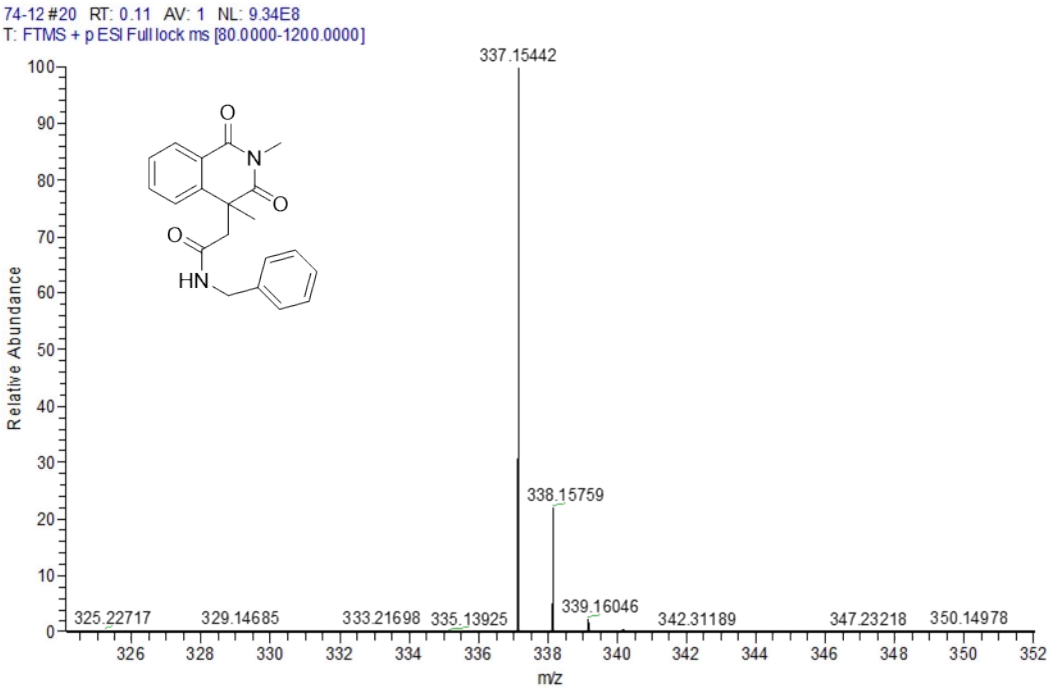
^{1}H NMR of product 3u in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3u in DMSO-D$_6$ (101 MHz)



HRMS (ESI) of product 3u

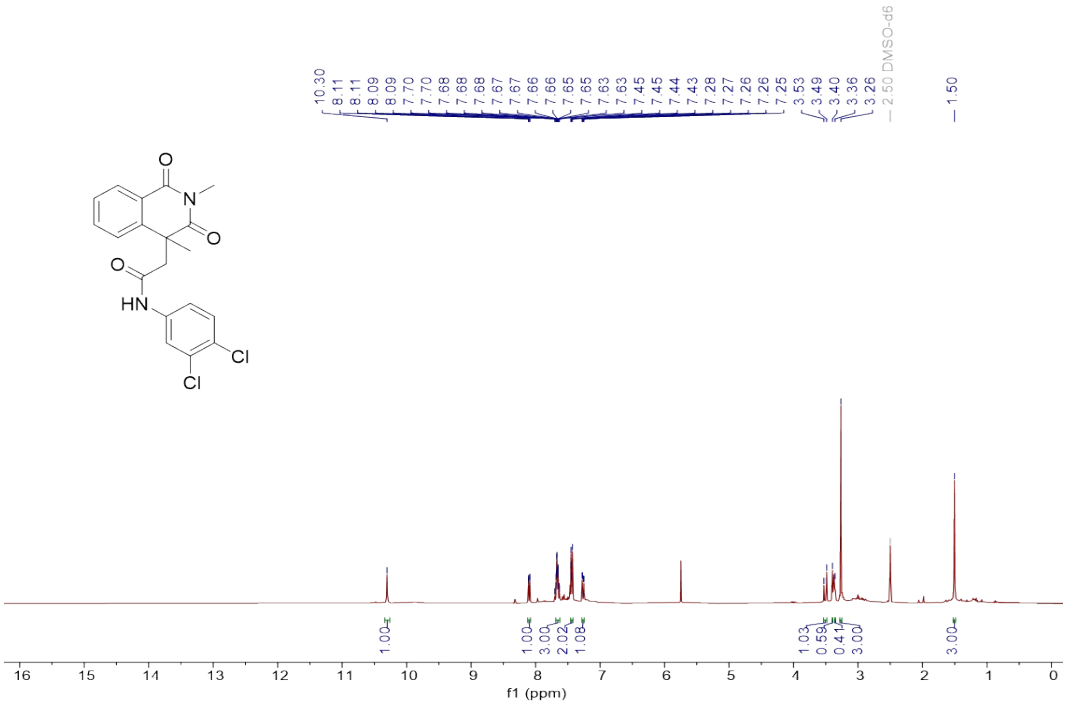


HRMS (ESI) m/z calcd for C20H21N2O3+ (M+H)+ 337.15467, found 337.15442.

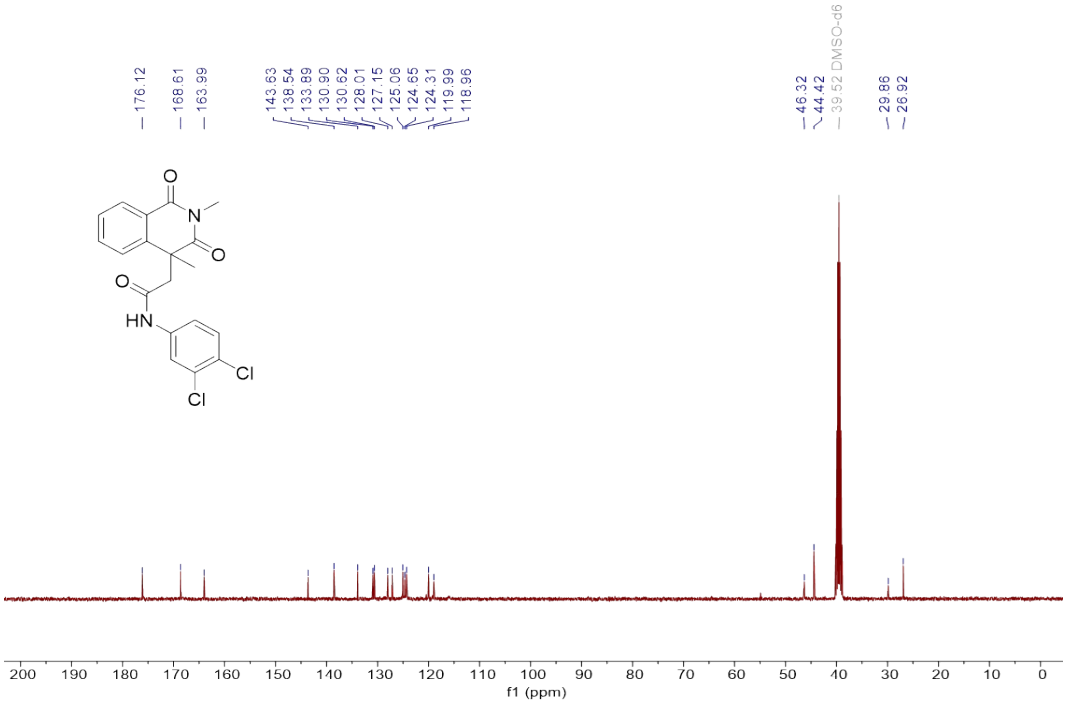
N-(3,4-dichlorophenyl)-2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-

yl)acetamide (3v)

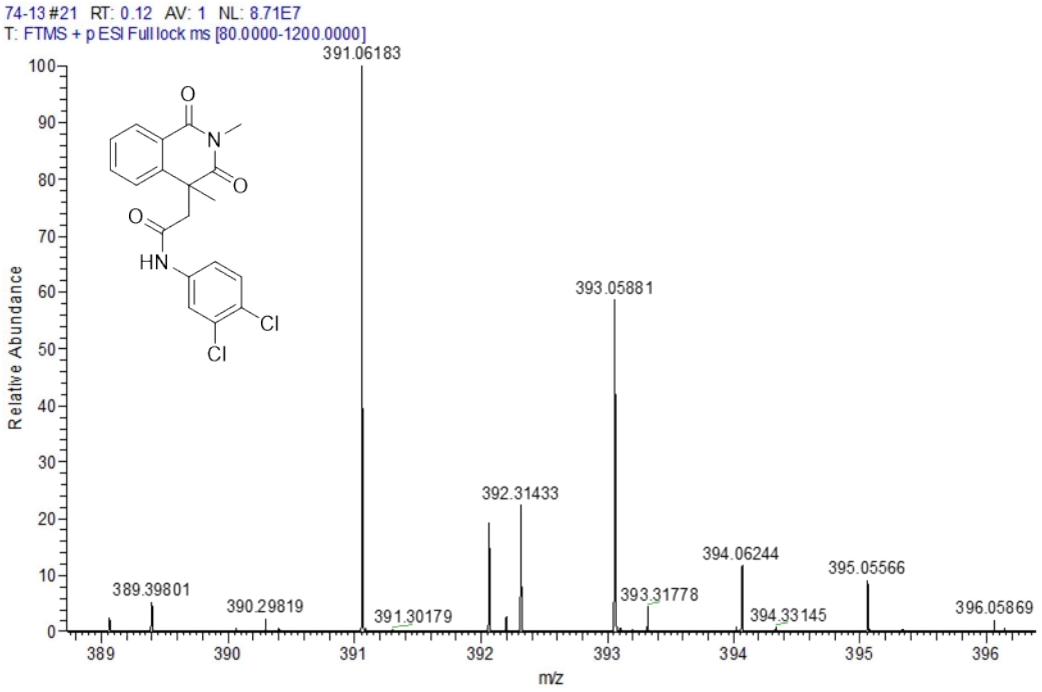
^{1}H NMR of product 3v in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3v in DMSO-D$_6$ (101 MHz)



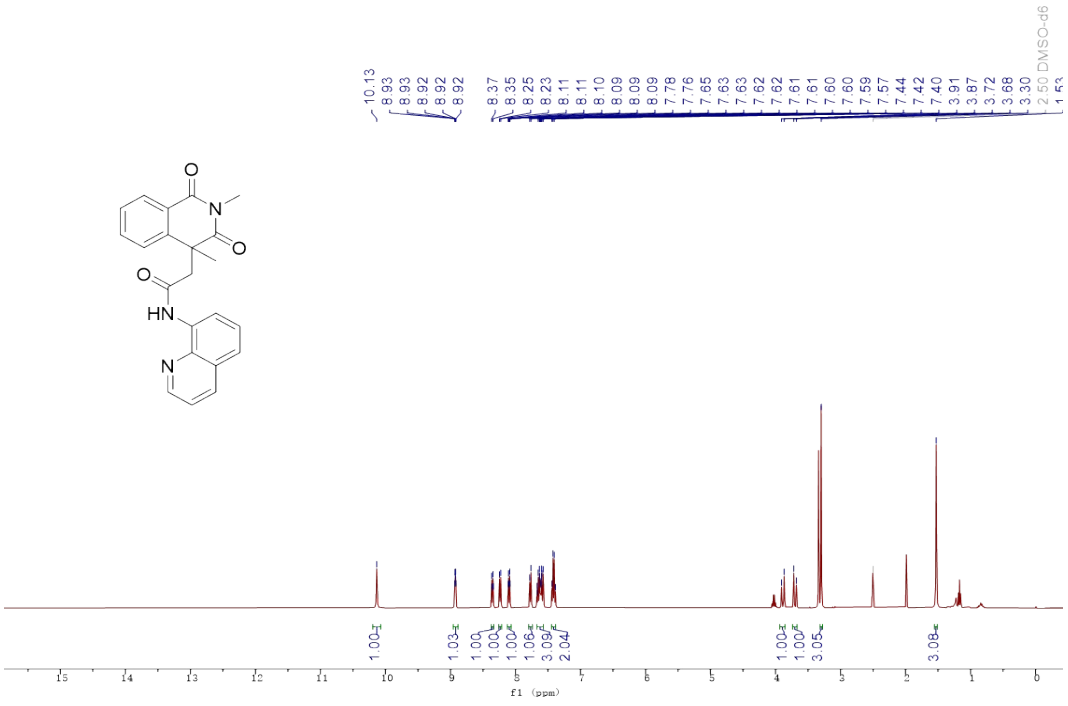
HRMS (ESI) of product 3v



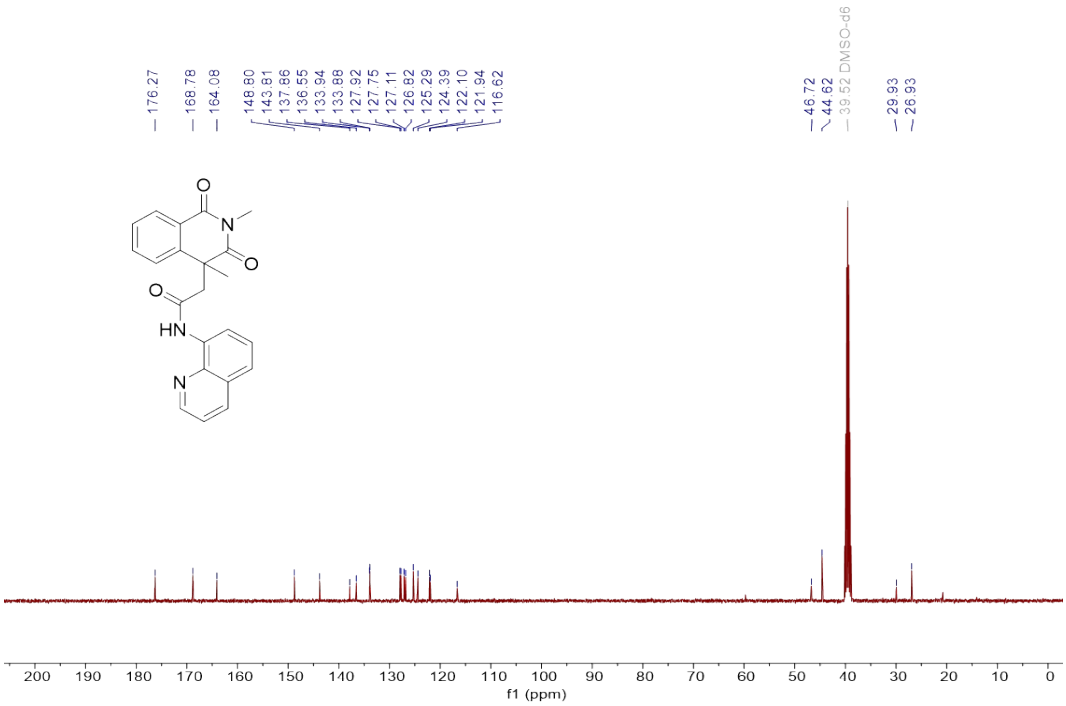
HRMS (ESI) m/z calcd for $C_{19}H_{17}Cl_2N_2O_3^+$ $(M+H)^+$ 391.06107, found 391.06183.

2-(2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-(quinolin-8-yl)acetamide (3w)

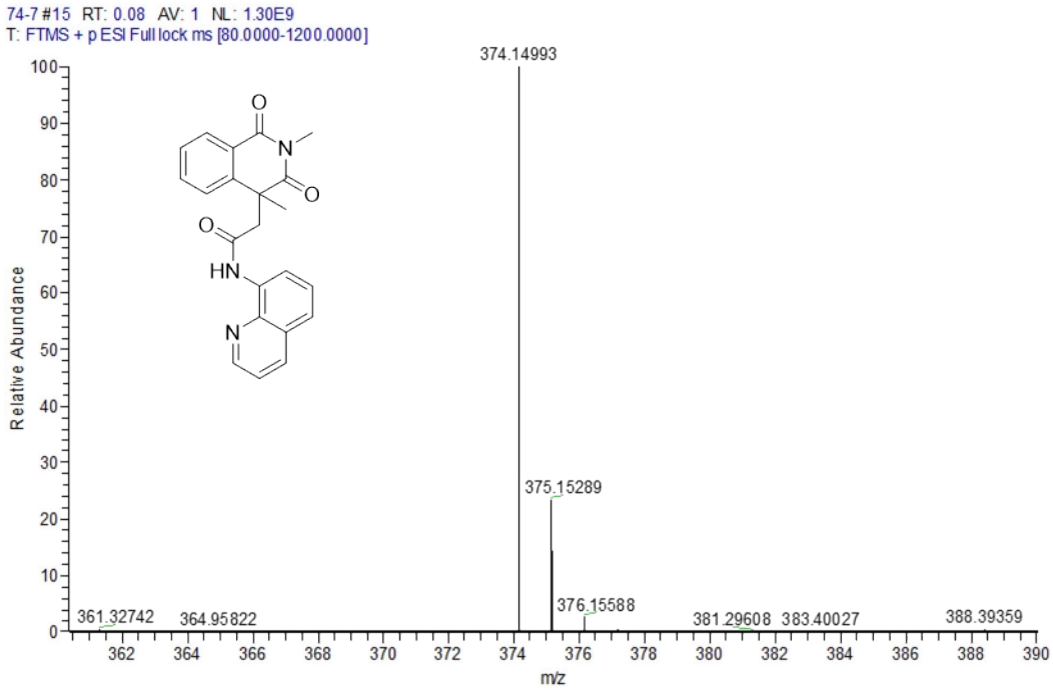
^{1}H NMR of product 3w in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3w in DMSO-D$_6$ (101 MHz)



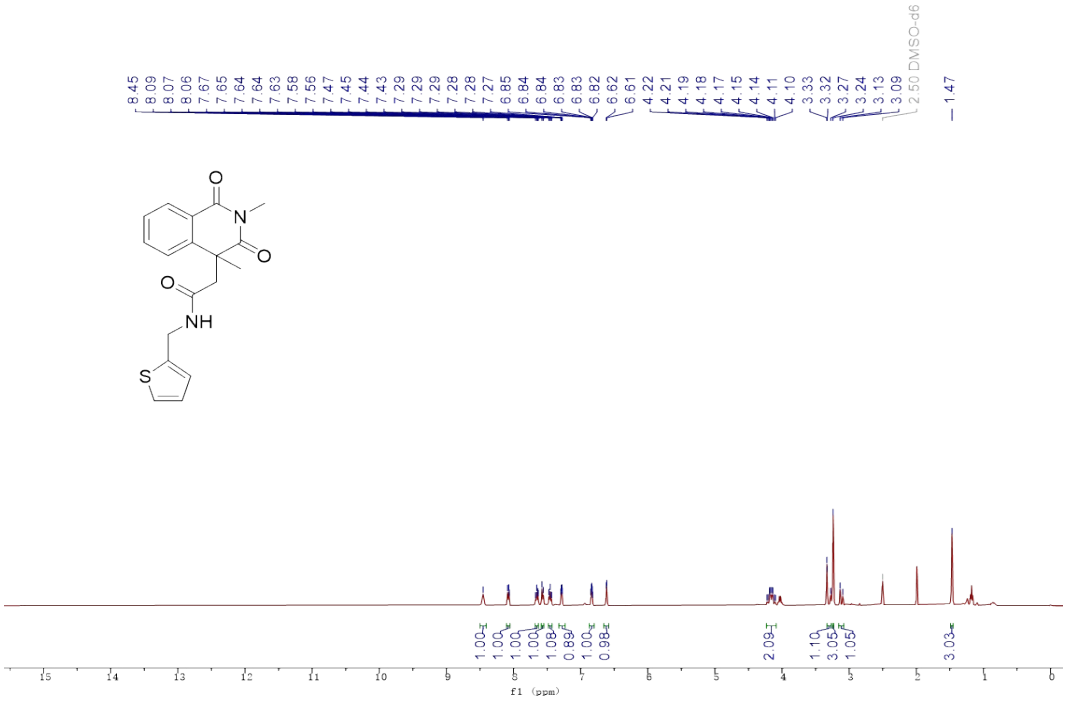
HRMS (ESI) of product 3w



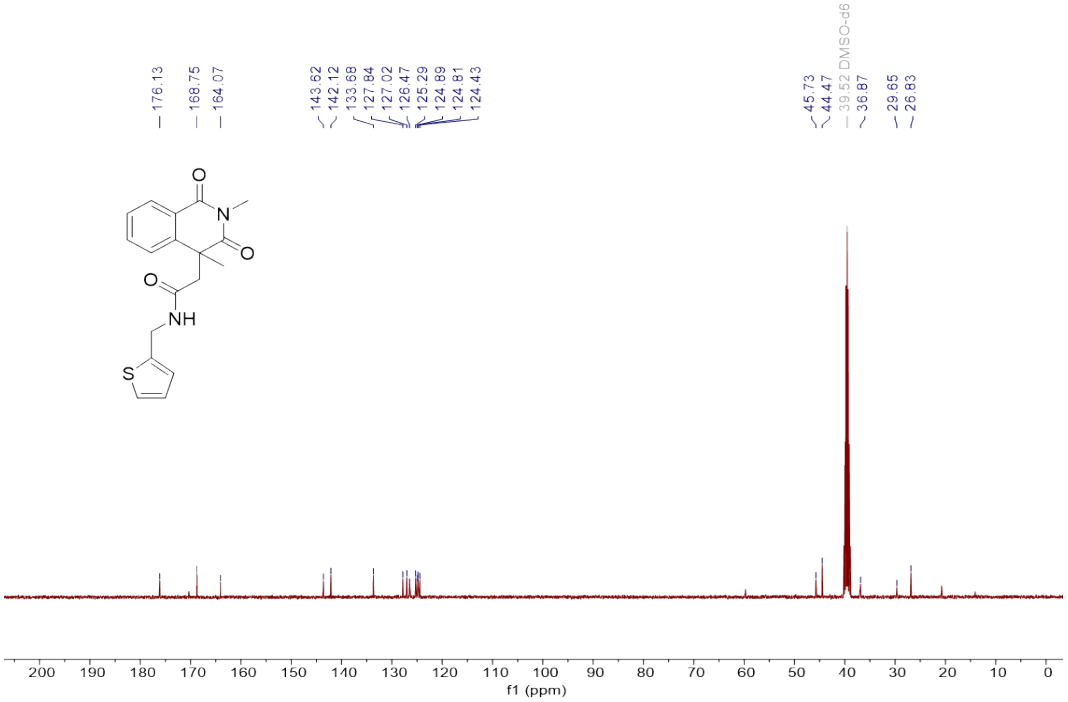
HRMS (ESI) m/z calcd for $C_{22}H_{20}N_3O_3^+$ $(M+H)^+$ 374.14992, found 374.14993.

N^4-(2-chlorobenzyl)-2-methyl-N^1,2-diphenylsuccinamide (3x)

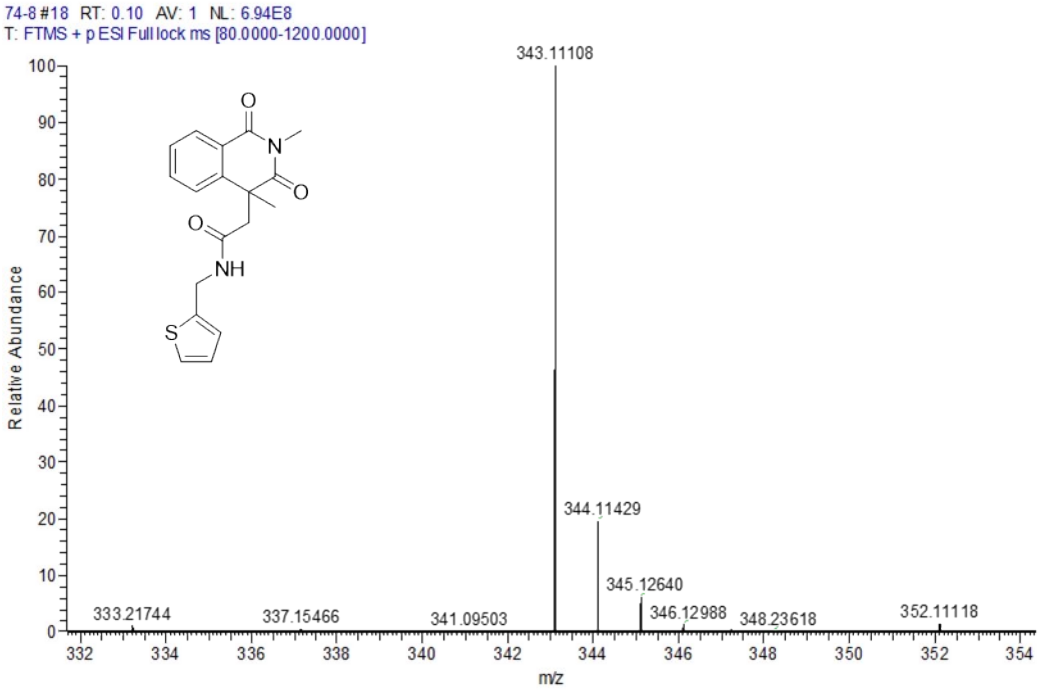
^{1}H NMR of product 3x in DMSO-D$_6$ (400 MHz)



^{13}C NMR of product 3x in DMSO-D$_6$ (101 MHz)



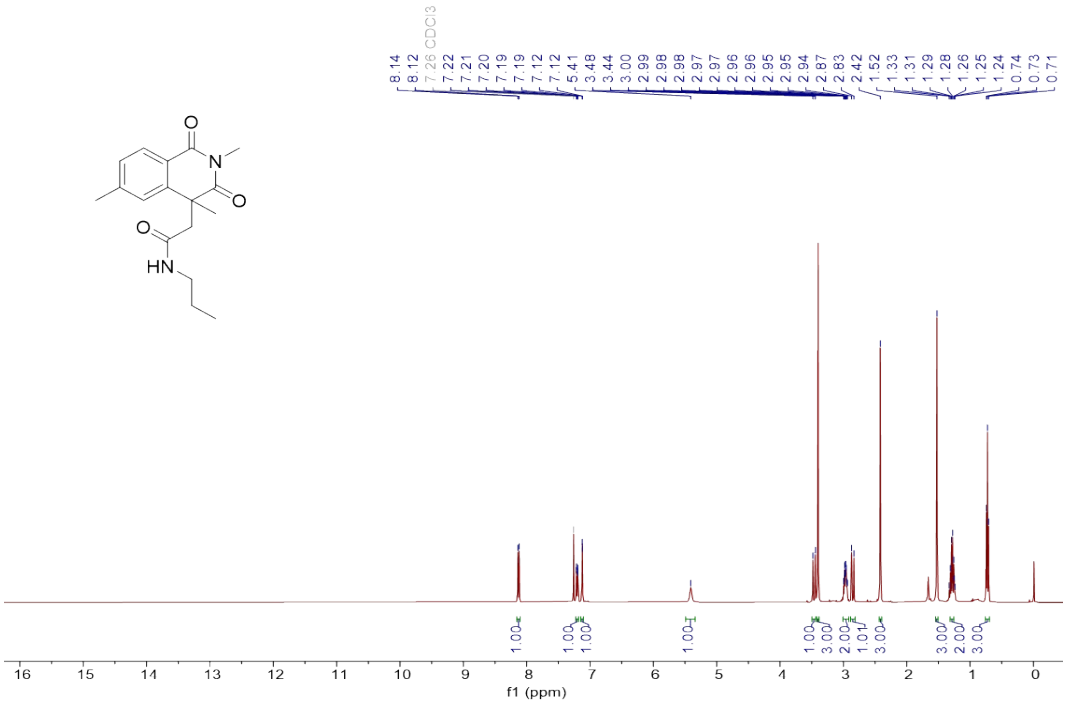
HRMS (ESI) of product 3x



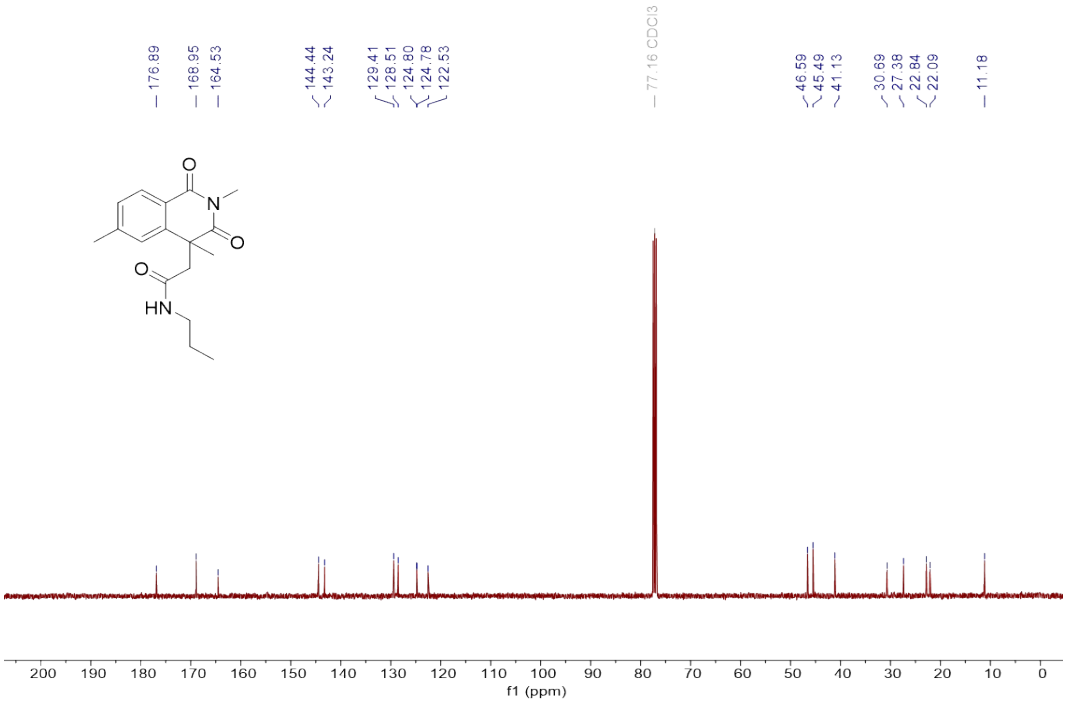
HRMS (ESI) m/z calcd for $C_{18}H_{19}N_2O_3S^+$ $(M+H)^+$ 343.11109, found 343.11108.

N-propyl-2-(2,4,6-trimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3aa)

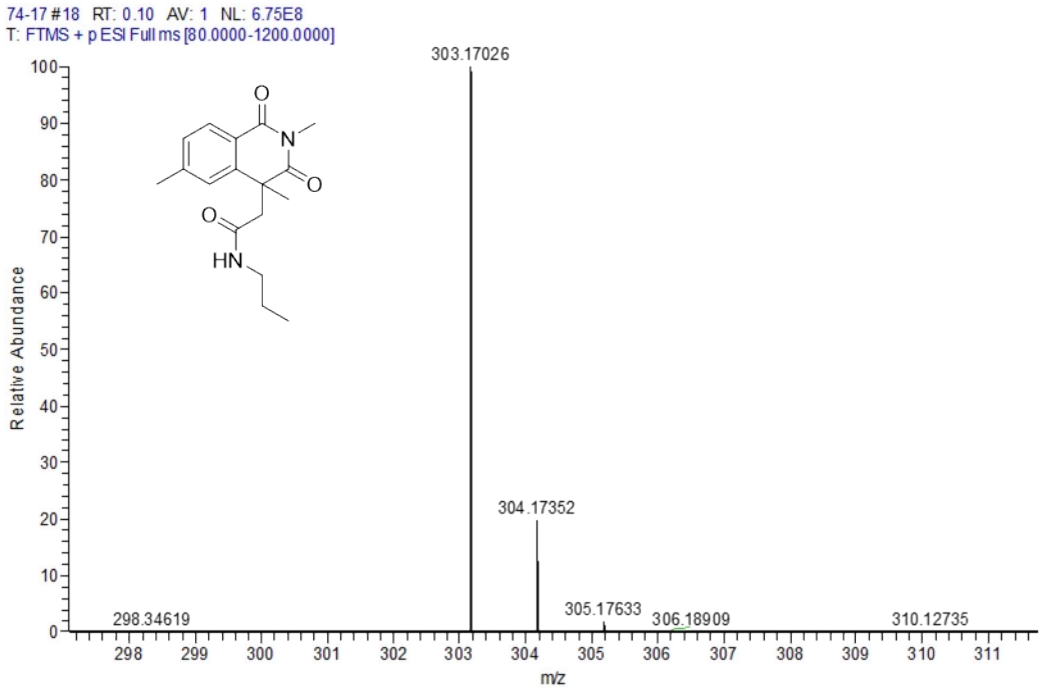
^{1}H NMR of product 3aa in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3aa in $CDCl_3$ (101 MHz)



HRMS (ESI) of product 3aa

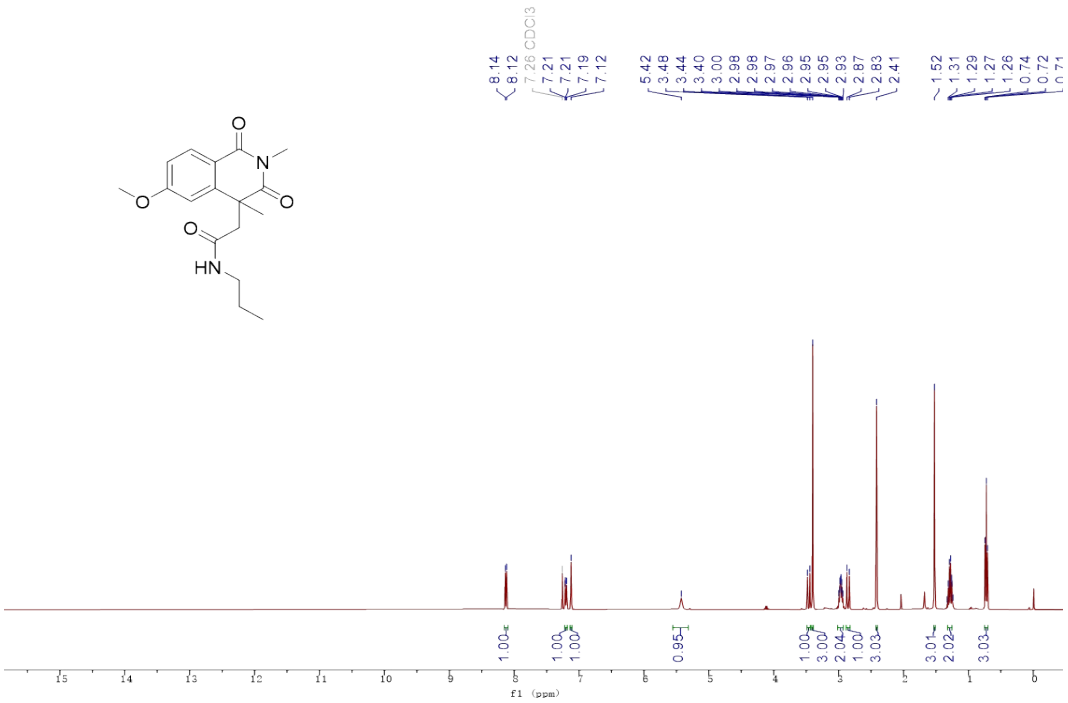


HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17026.

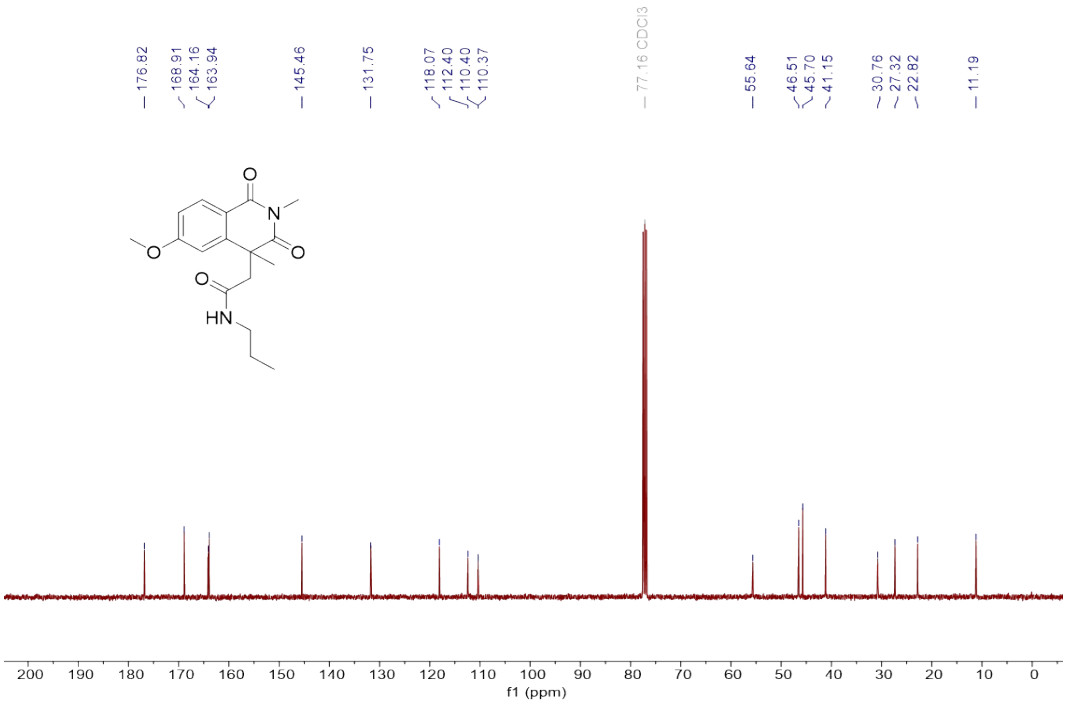
2-(6-methoxy-2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide

(3ab)

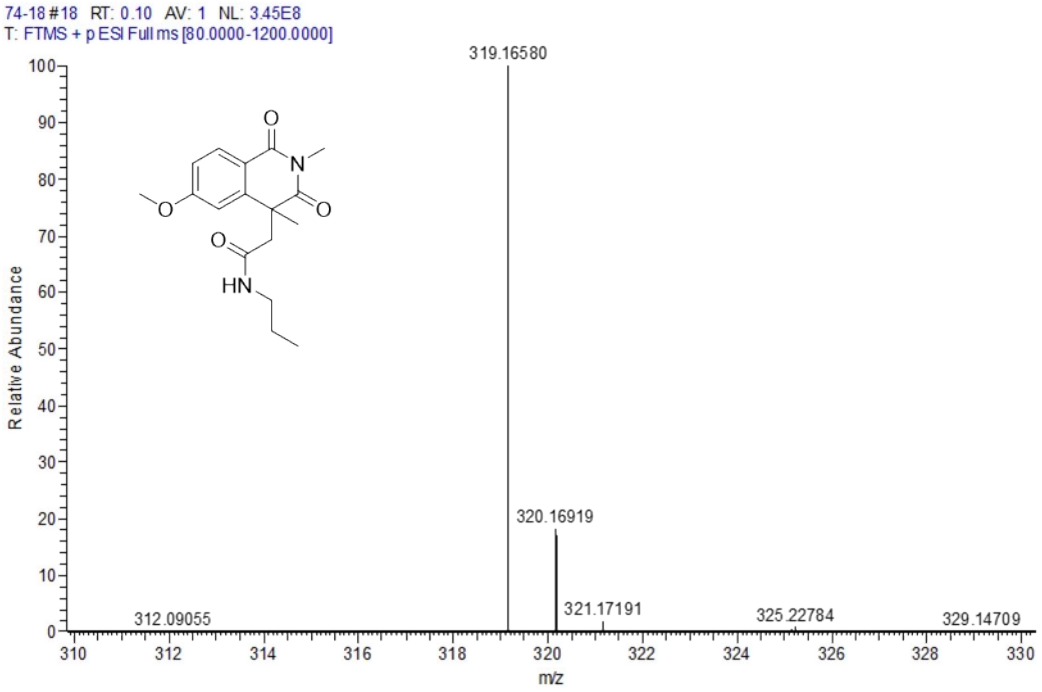
^{1}H NMR of product 3ab in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3ab in $CDCl_3$ (101 MHz)



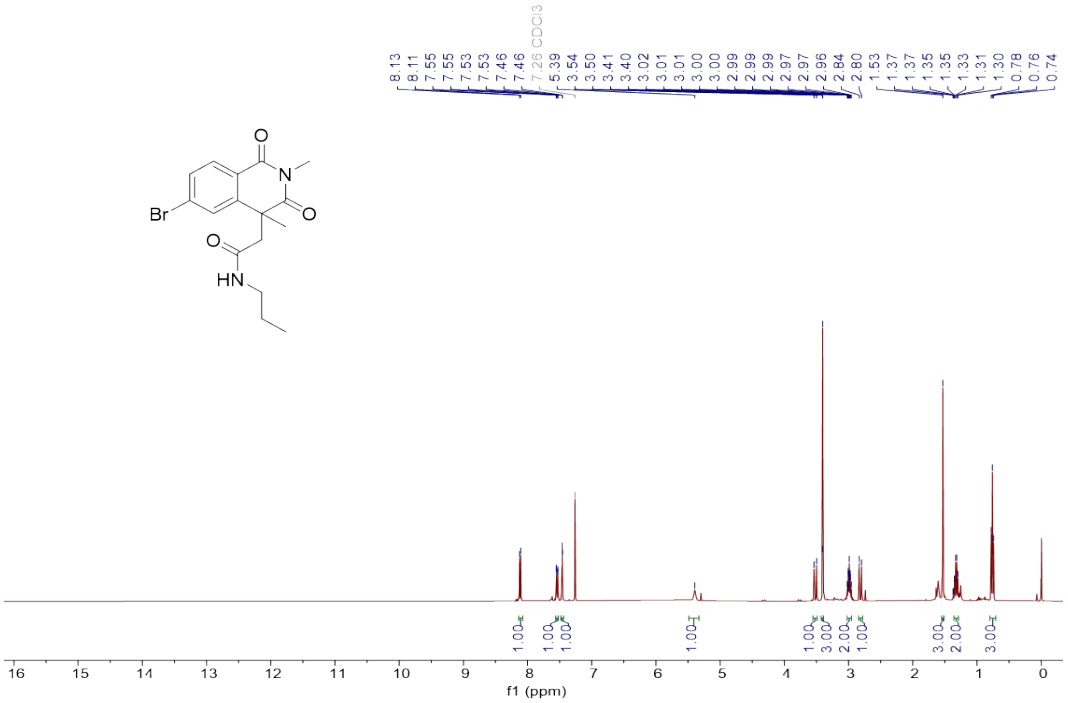
HRMS (ESI) of product 3ab



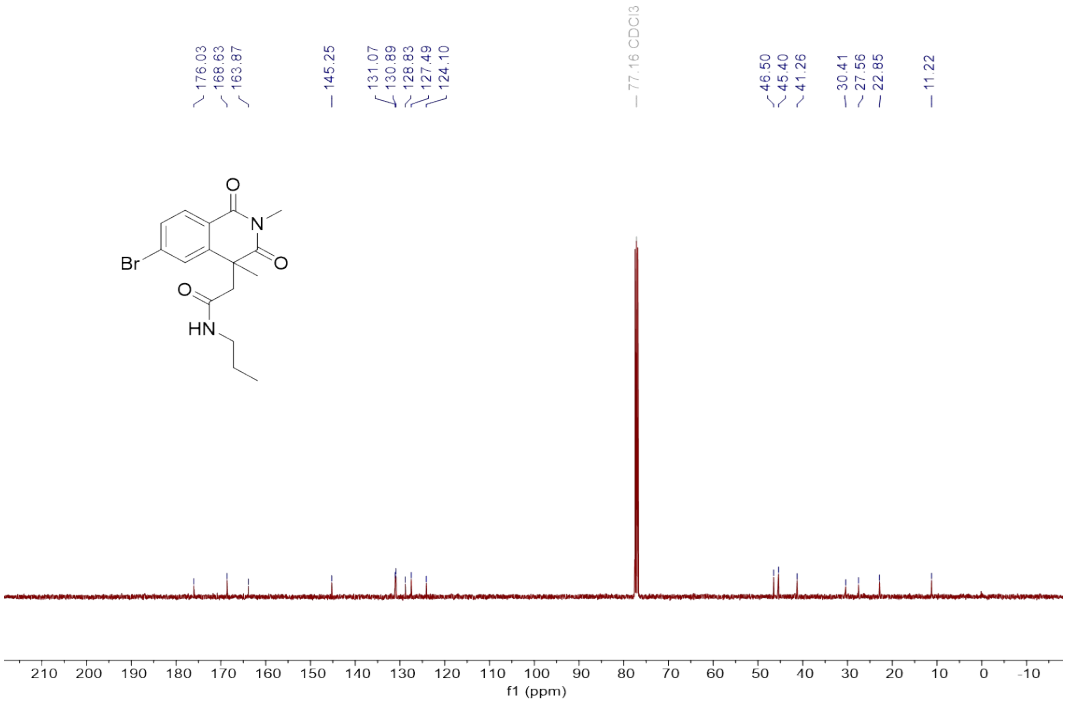
HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_4^+$ $(M+H)^+$ 319.16523, found 319.16580.

2-(6-bromo-2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3ac)

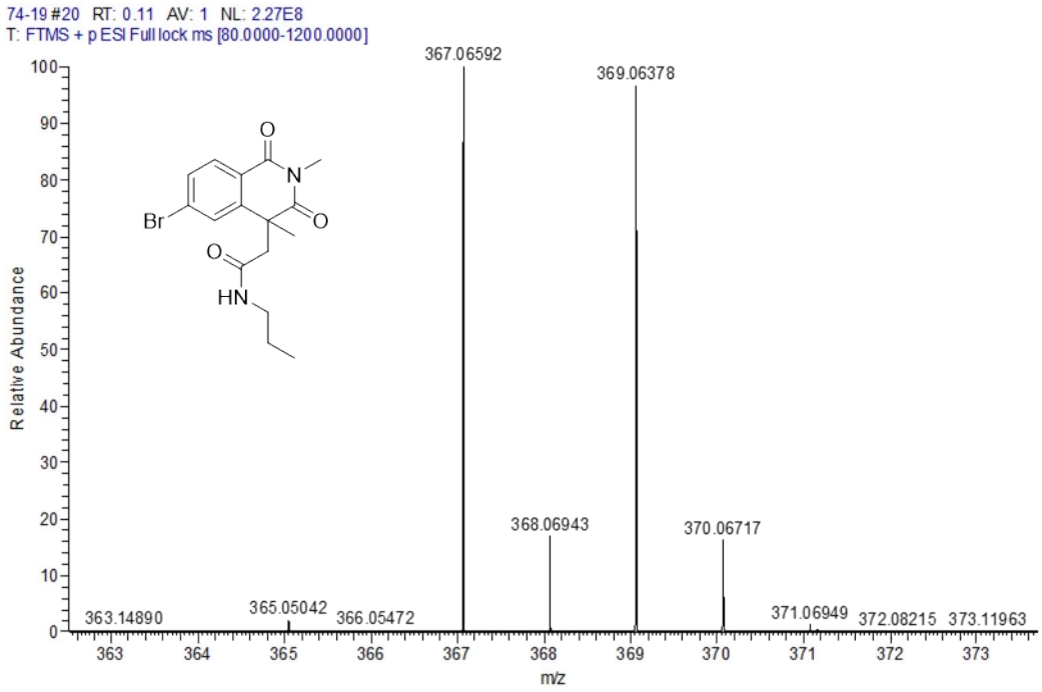
^{1}H NMR of product 3ac in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3ac in $CDCl_3$ (101 MHz)



HRMS (ESI) of product 3ac

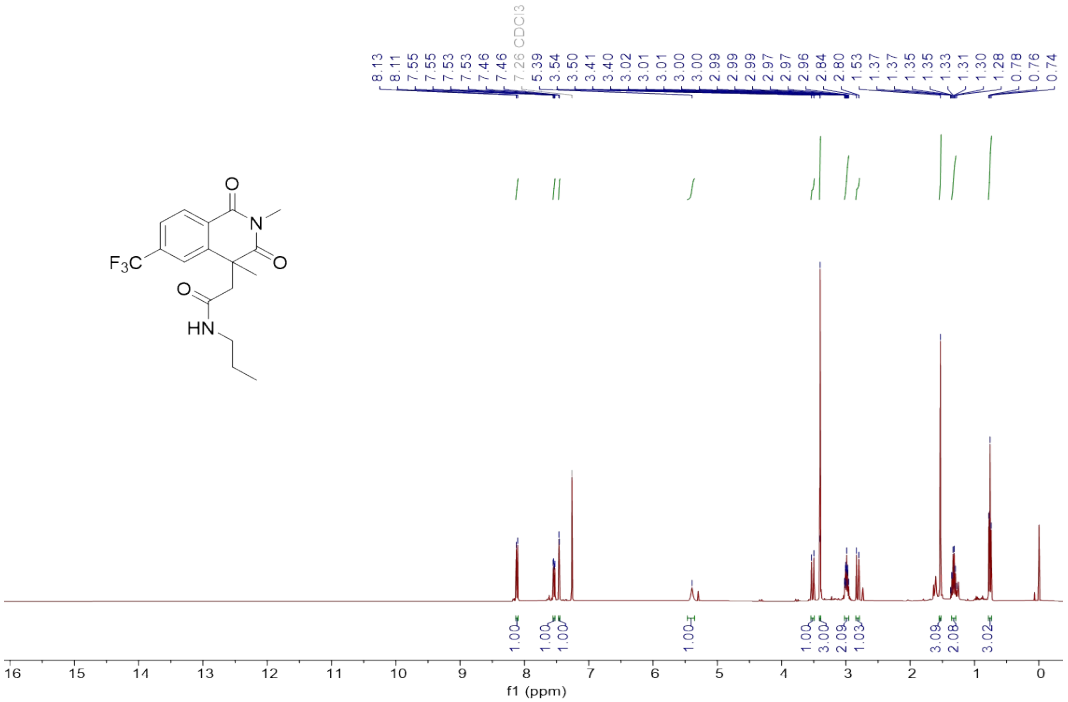


HRMS (ESI) m/z calcd for $C_{16}H_{20}BrN_2O_3^+$ $(M+H)^+$ 367.06518, found 367.06531.

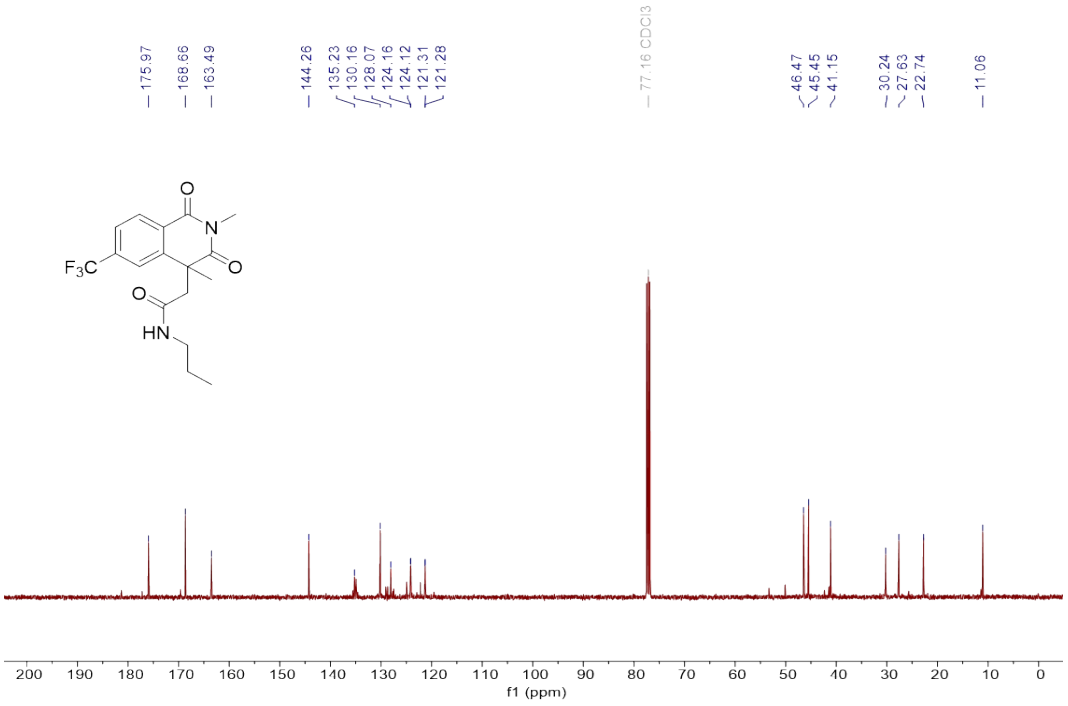
2-(2,4-dimethyl-1,3-dioxo-6-(trifluoromethyl)-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-

propylacetamide (3ad)

^{1}H NMR of product 3ad in $CDCl_3$ (400 MHz)

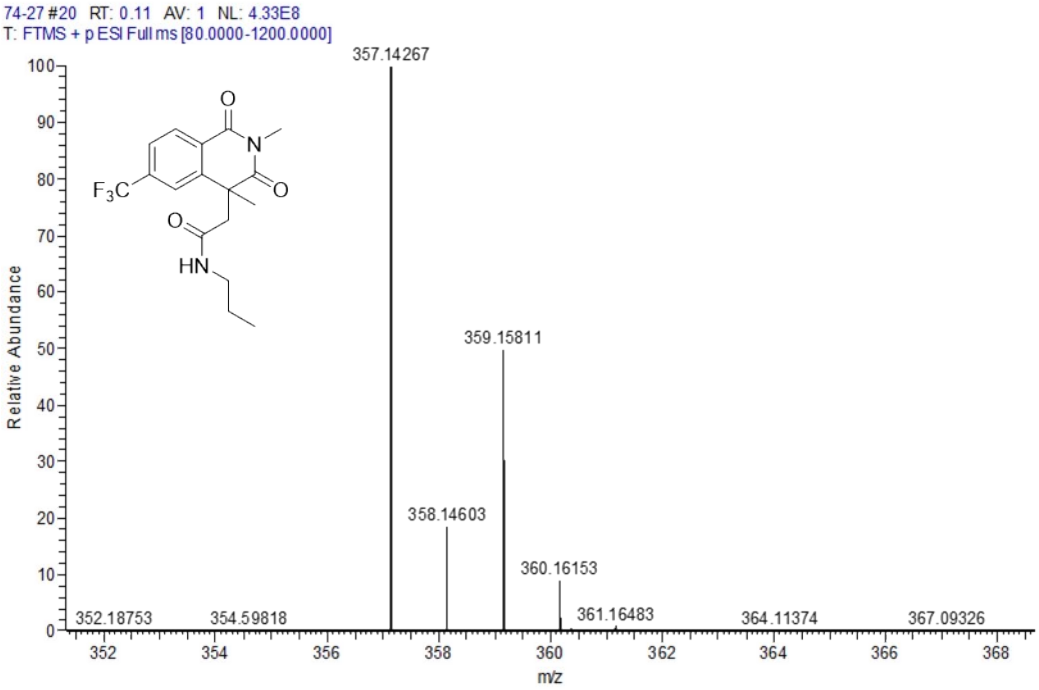


^{13}C NMR of product 3ad in $CDCl_3$ (101 MHz)



^{19}F NMR of product 3ad in $CDCl_3$ (101 MHz)

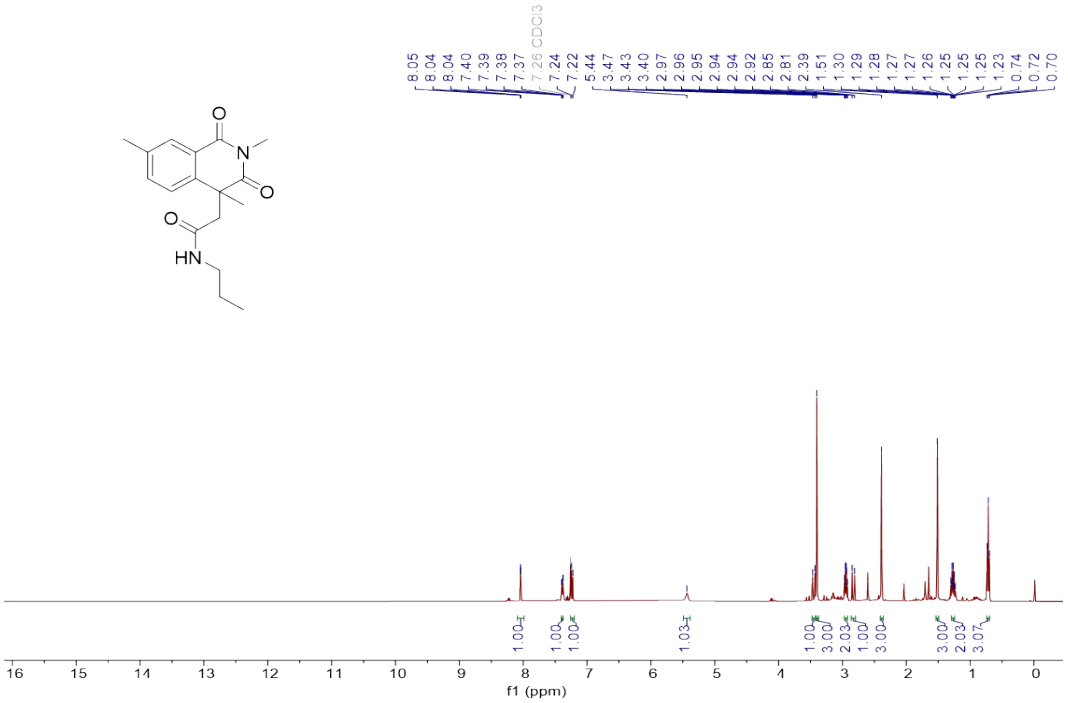
HRMS (ESI) of product 3ad



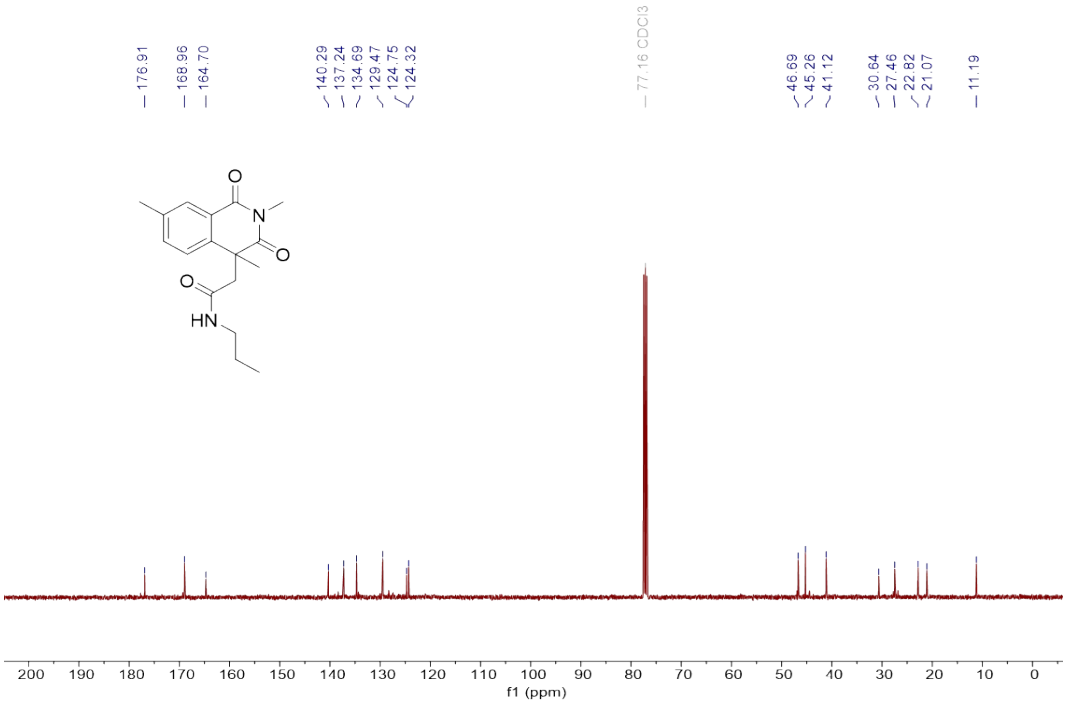
HRMS (ESI) m/z calcd for $C_{17}H_{20}F_3N_2O_3^+$ $(M+H)^+$ 357.14205, found 357.14267.

N-propyl-2-(2,4,7-trimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3ae)

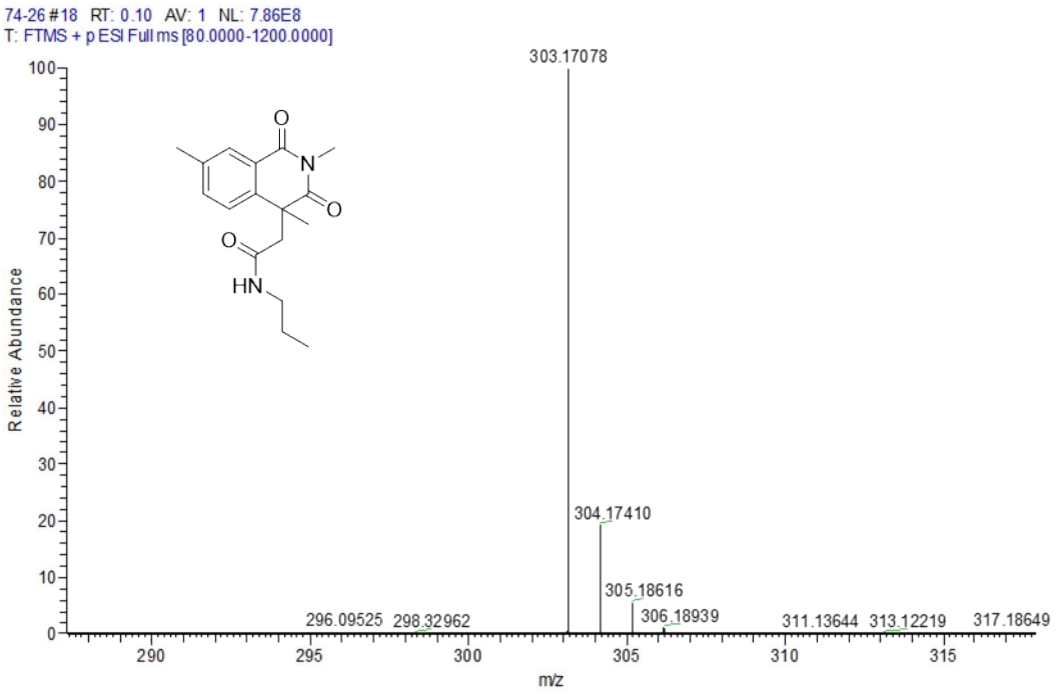
^{1}H NMR of product 3ae in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3ae in $CDCl_3$ (101 MHz)



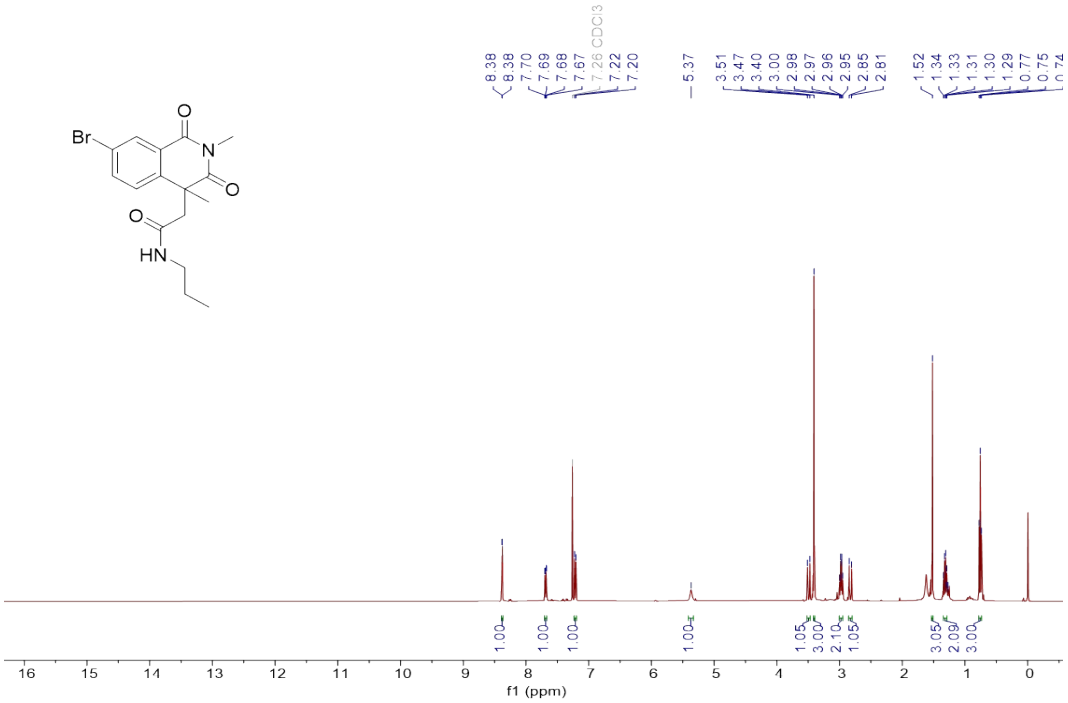
HRMS (ESI) of product 3ae



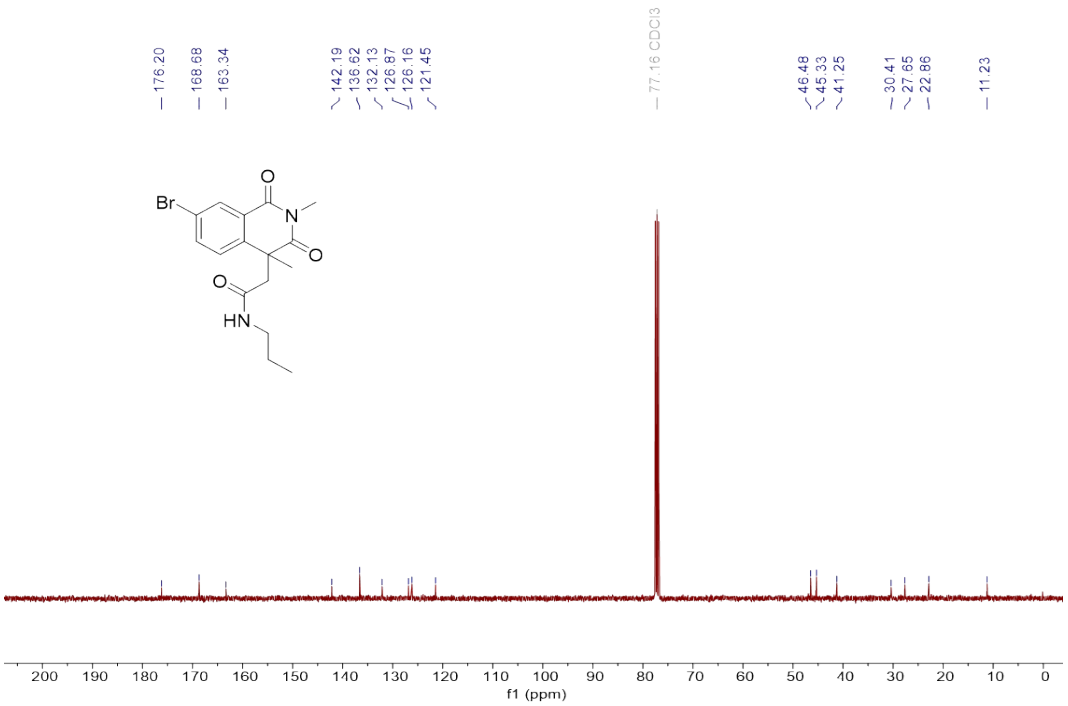
HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17078.

2-(7-bromo-2,4-dimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3af)

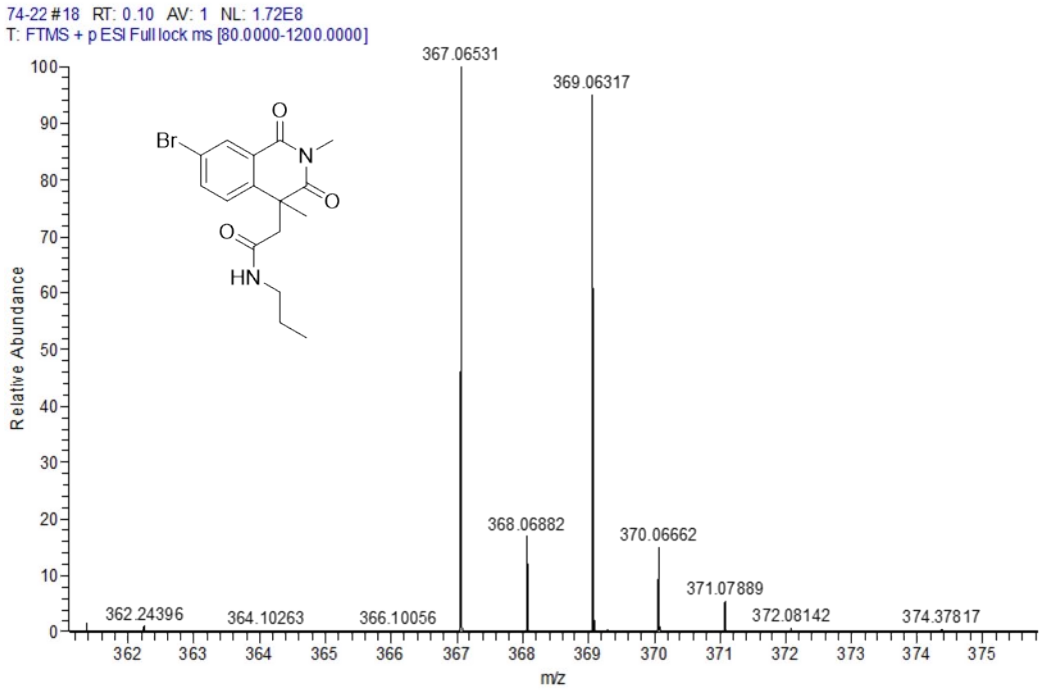
^{1}H NMR of product 3af in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3af in $CDCl_3$ (101 MHz)



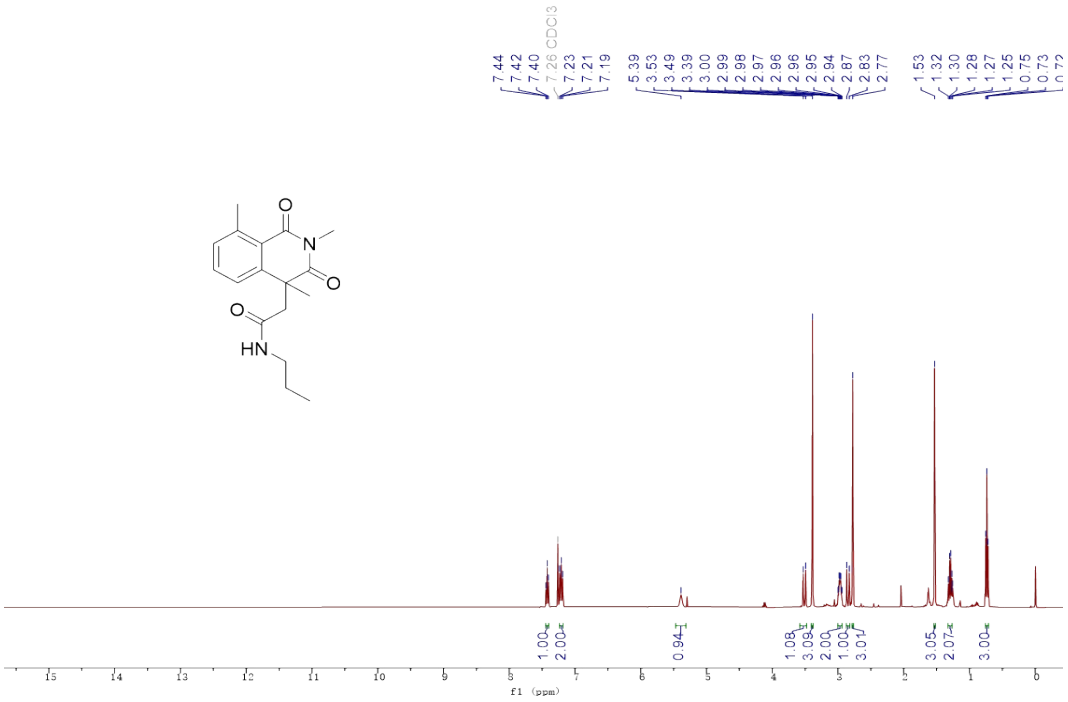
HRMS (ESI) of product 3af



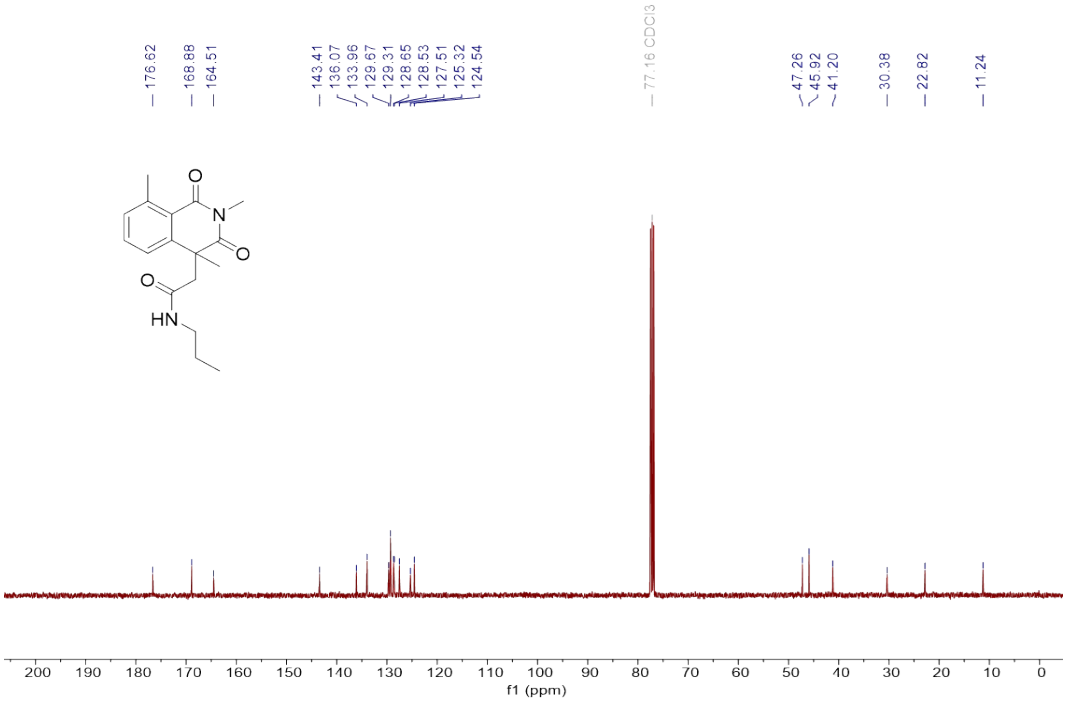
HRMS (ESI) m/z calcd for $C_{16}H_{20}BrN_2O_3^+$ $(M+H)^+$ 367.06518, found 367.06531.

N-propyl-2-(2,4,8-trimethyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide (3ag)

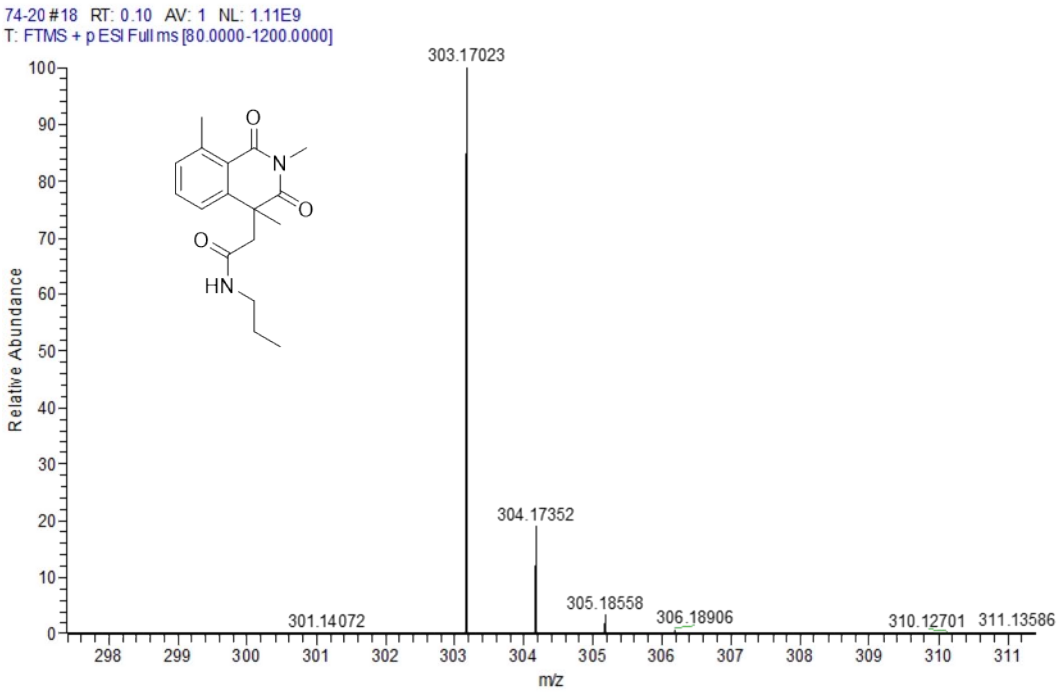
^{1}H NMR of product 3ag in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3ag in $CDCl_3$ (101 MHz)



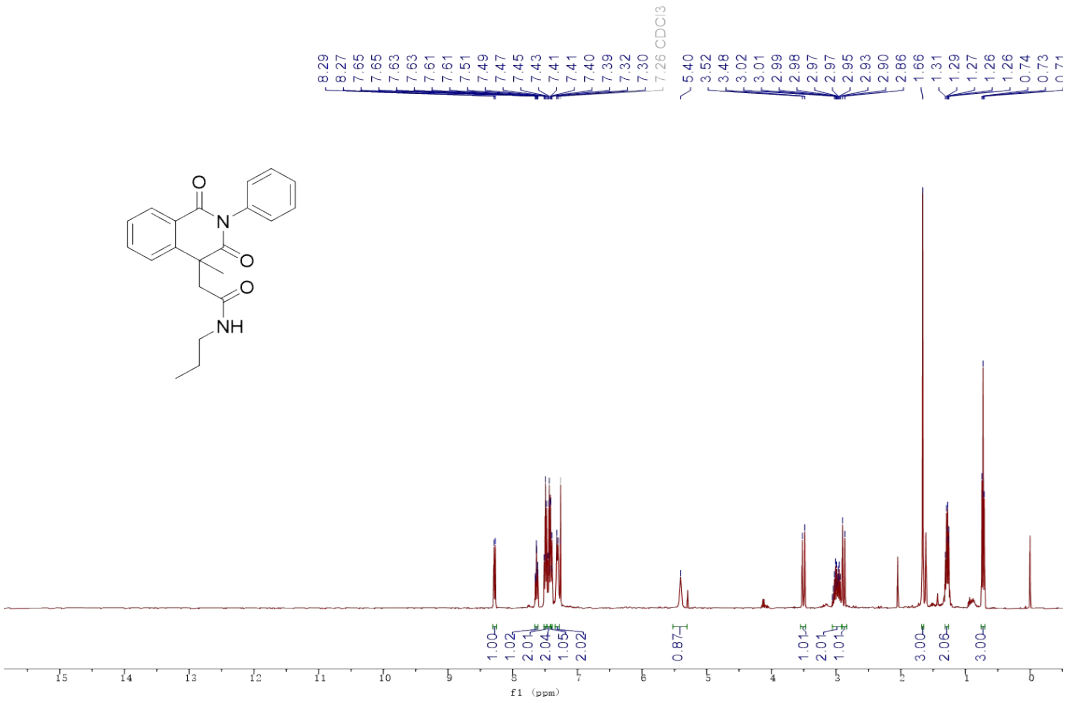
HRMS (ESI) of product 3ag



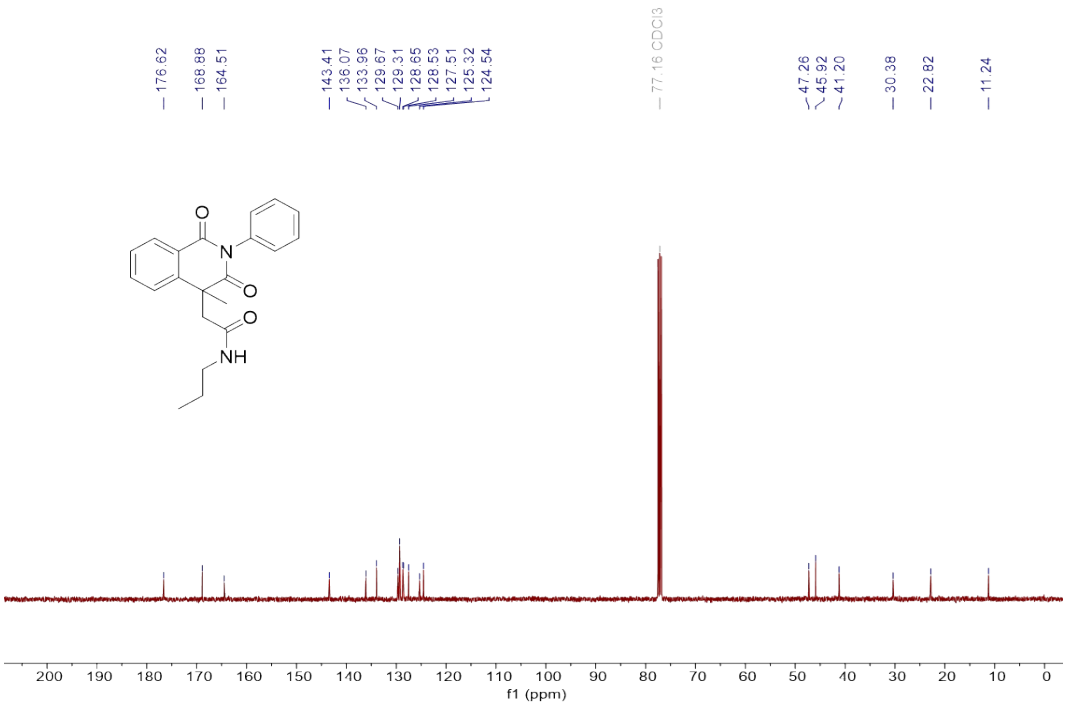
HRMS (ESI) m/z calcd for $C_{17}H_{23}N_2O_3^+$ $(M+H)^+$ 303.17032, found 303.17023.

2-(2-methyl-1,3-dioxo-4-phenyl-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3ah)

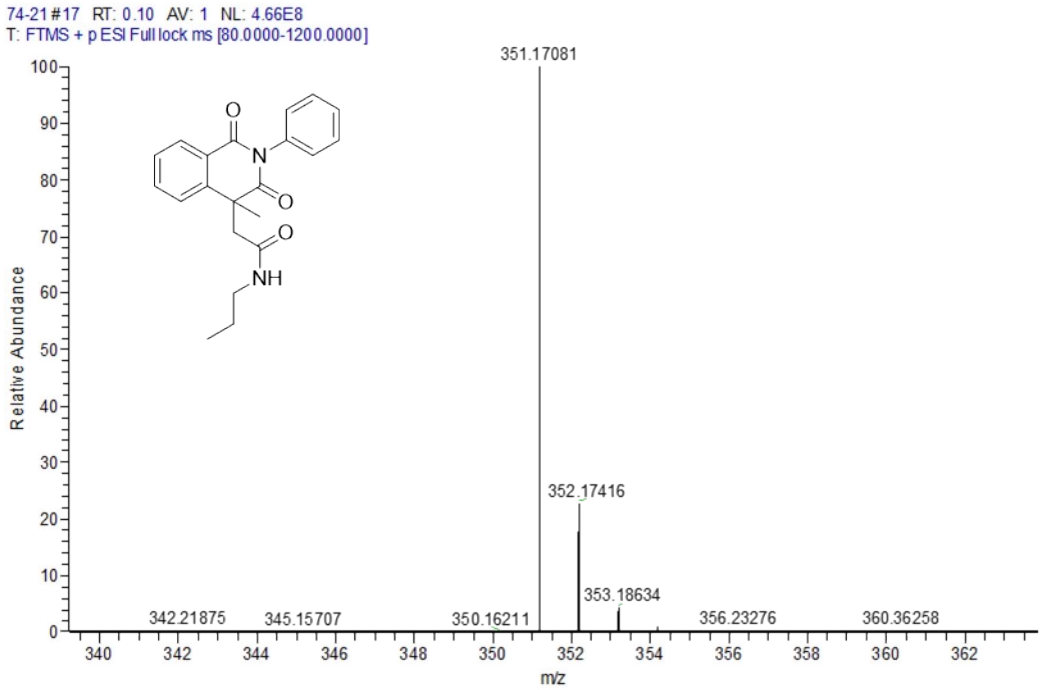
^{1}H NMR of product 3ah in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3ah in $CDCl_3$ (101 MHz)



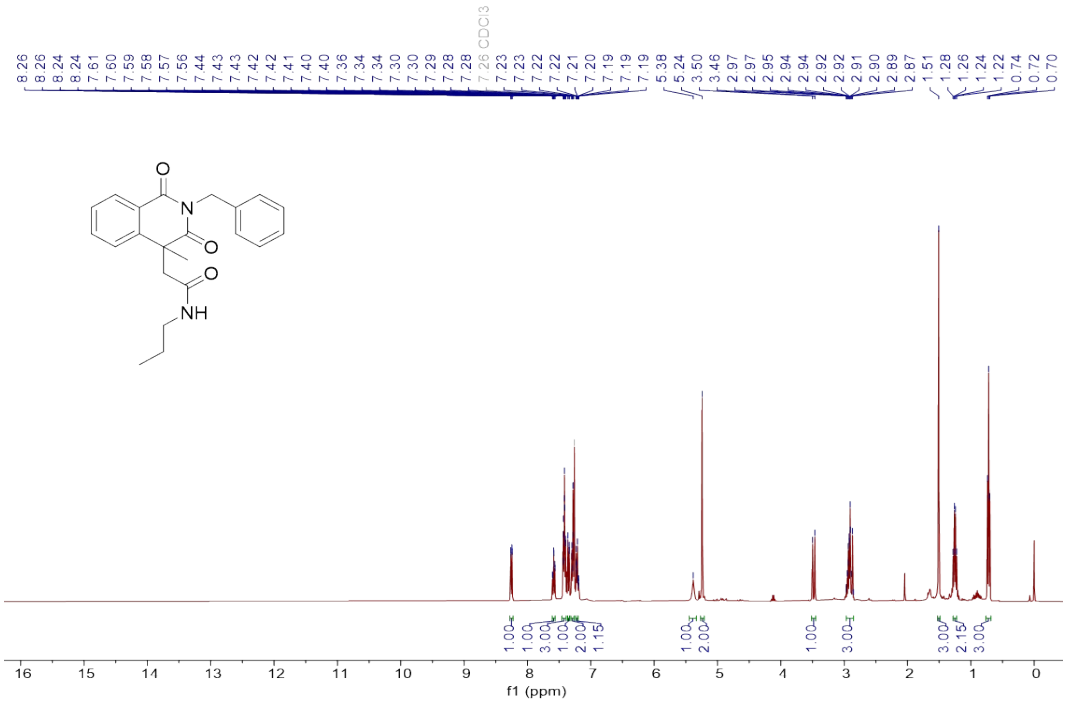
HRMS (ESI) of product 3ah



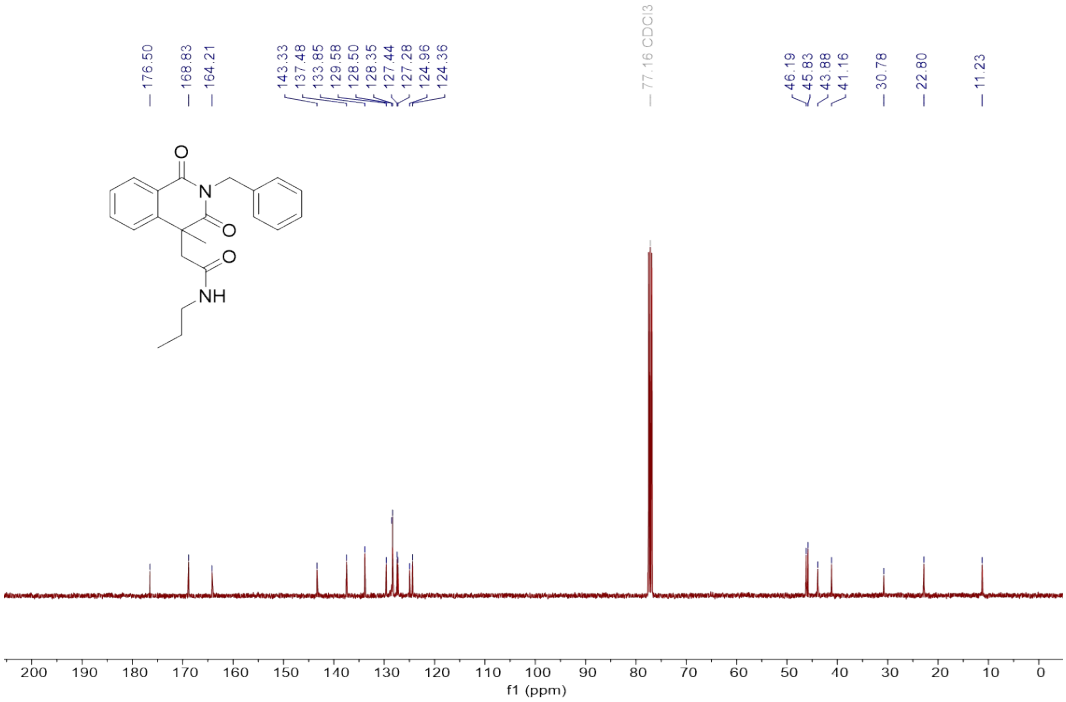
HRMS (ESI) m/z calcd for $C_{21}H_{23}N_2O_3^+$ $(M+H)^+$ 351.17032, found 351.17081.

2-(4-benzyl-2-methyl-1,3-dioxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N-propylacetamide (3ai)

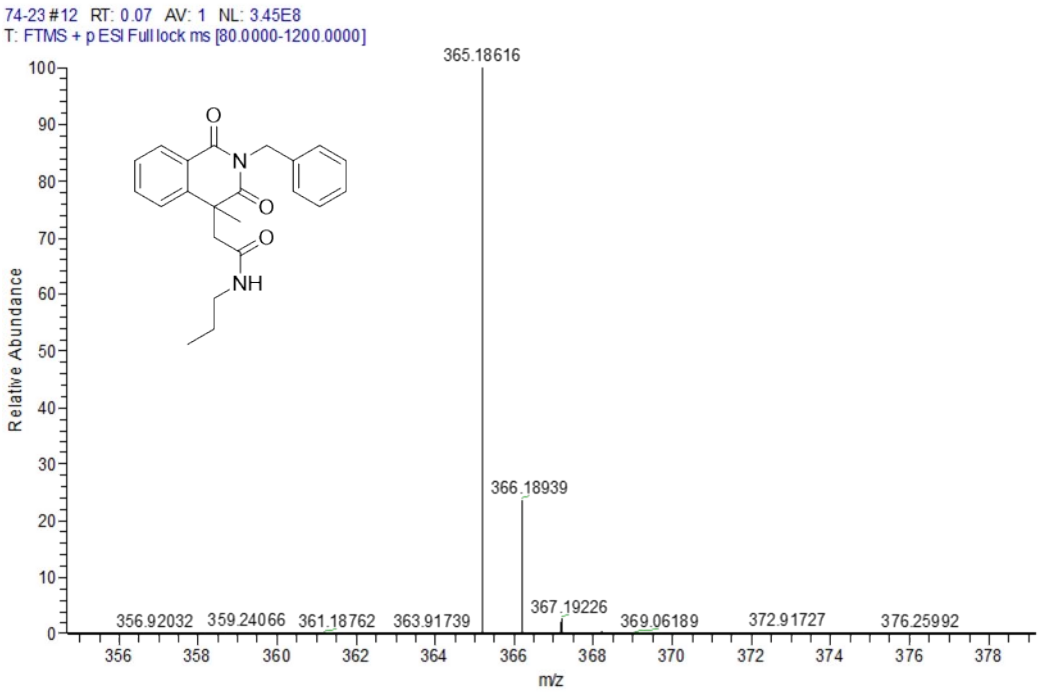
^{1}H NMR of product 3ai in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3ai in $CDCl_3$ (101 MHz)



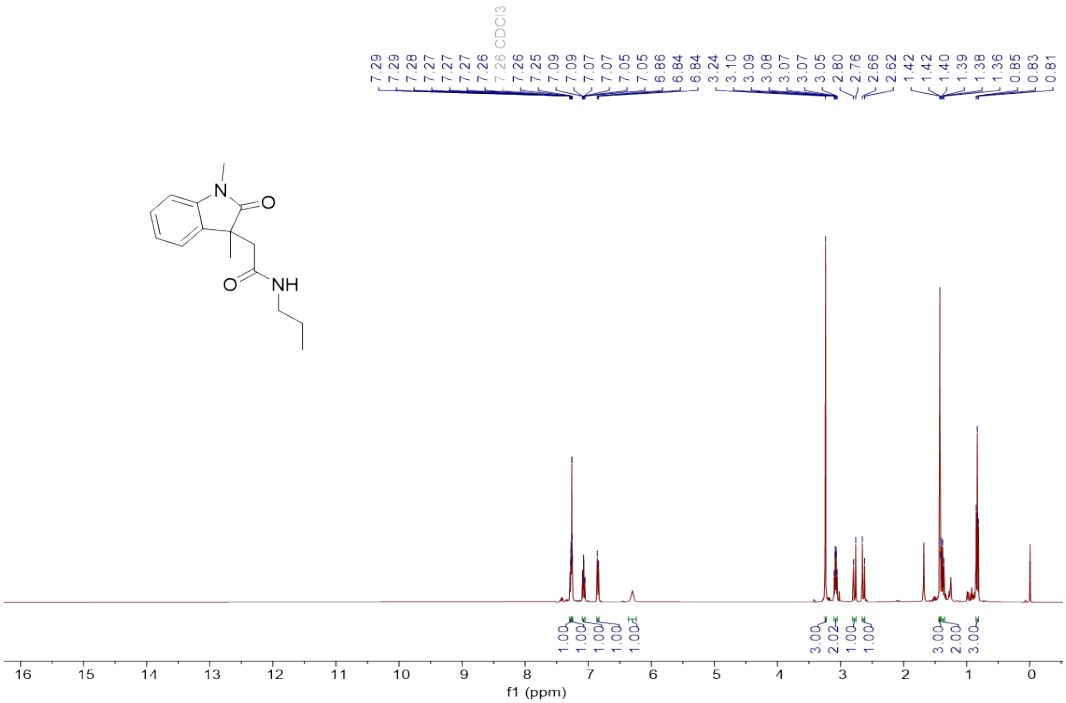
HRMS (ESI) of product 3ai



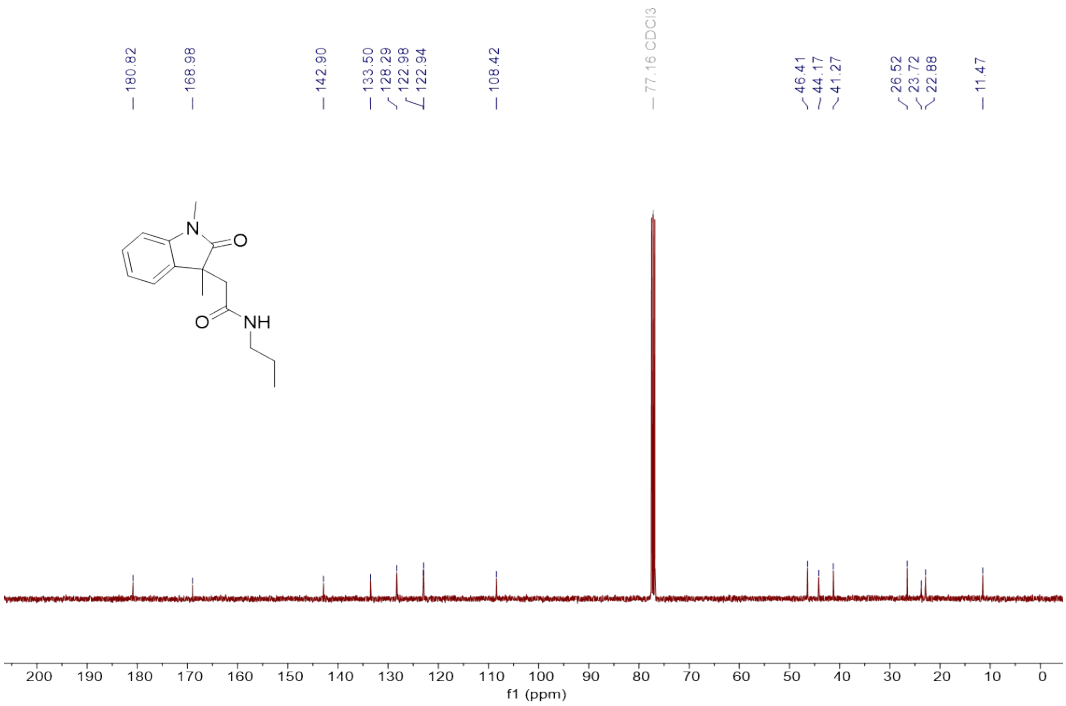
HRMS (ESI) m/z calcd for $C_{22}H_{25}N_2O_3^+$ $(M+H)^+$ 365.18597, found 365.18616.

2-methyl-N^4,2-diphenyl-N^1-(m-tolyl)succinimide (3ag)

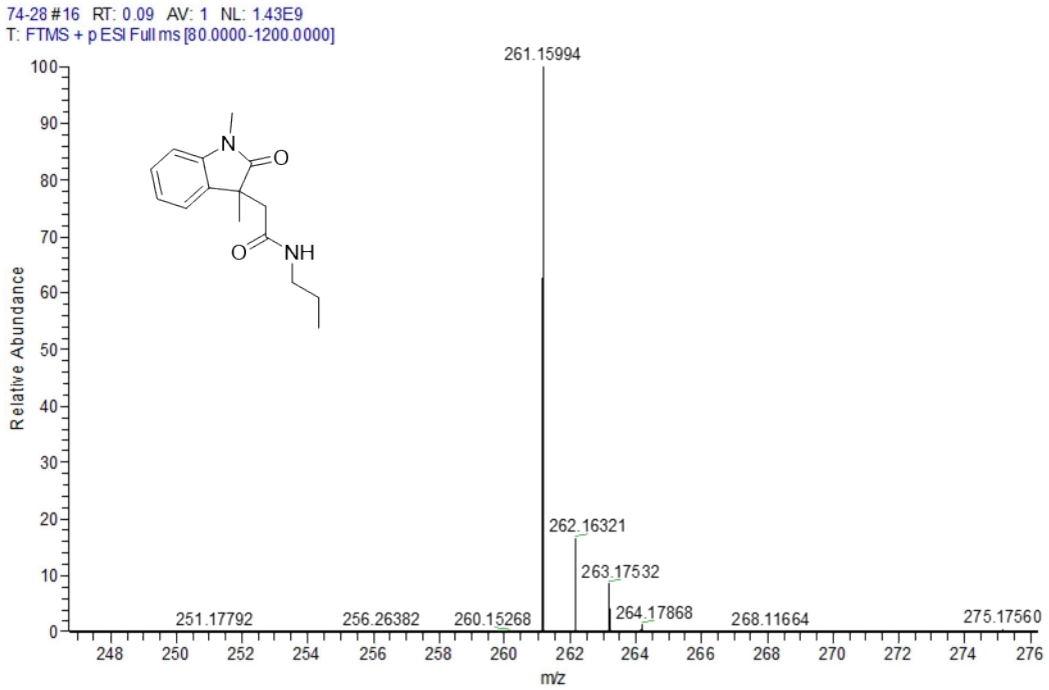
^{1}H NMR of product 3ag in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3ag in $CDCl_3$ (101 MHz)



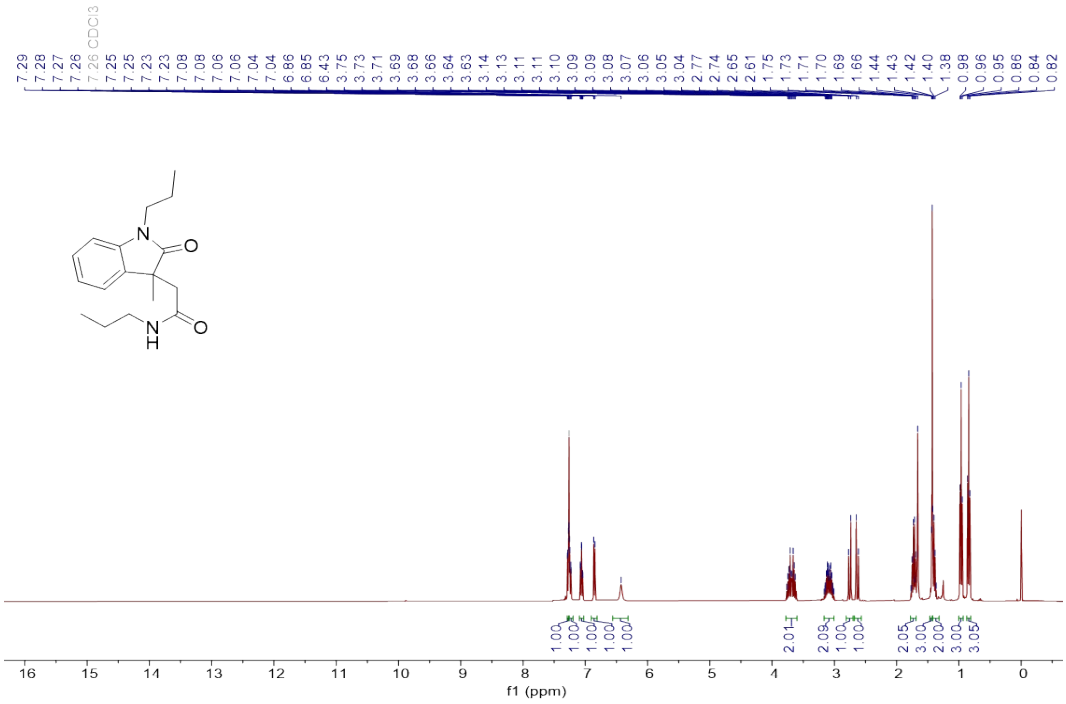
HRMS (ESI) of product 3ag



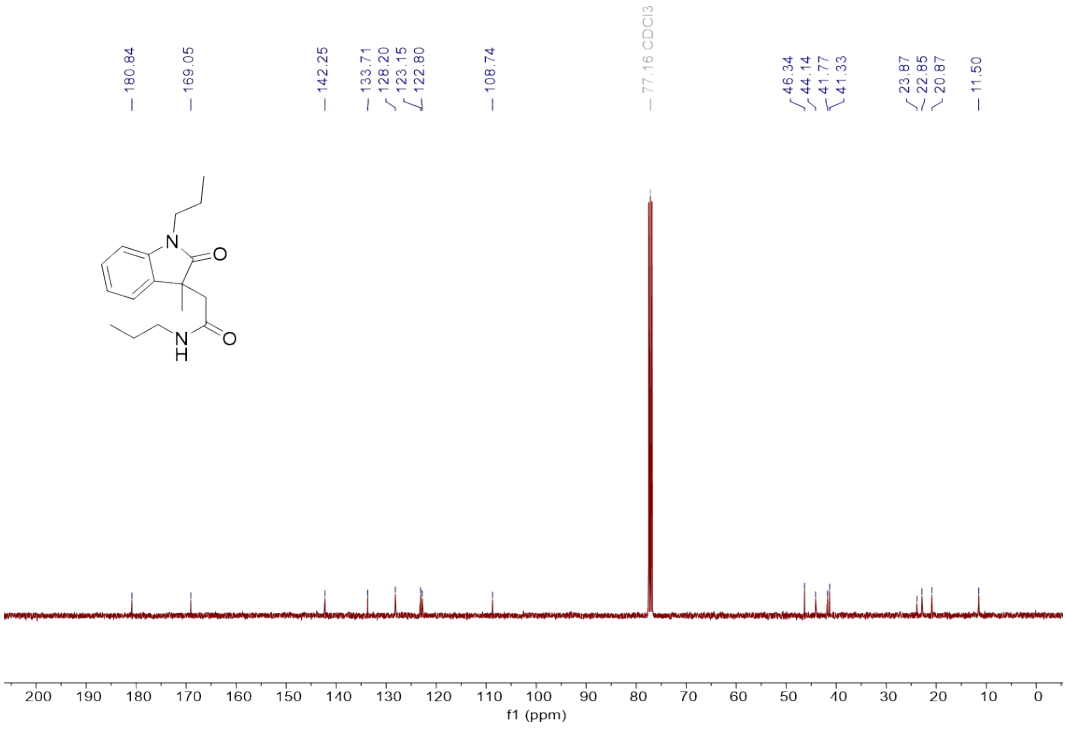
HRMS (ESI) m/z calcd for $C_{15}H_{21}N_2O_2^+$ $(M+H)^+$ 261.15975, found 261.15994.

2-(3-methyl-2-oxo-1-propylindolin-3-yl)-N-propylacetamide (3ak)

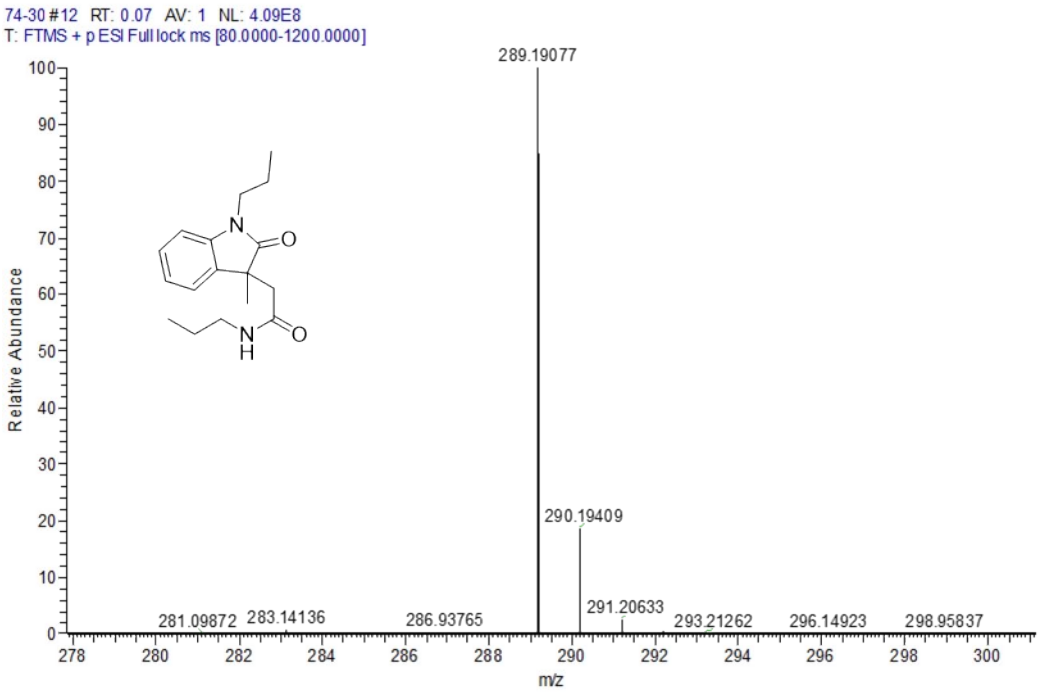
^{1}H NMR of product 3ak in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3ak in $CDCl_3$ (101 MHz)



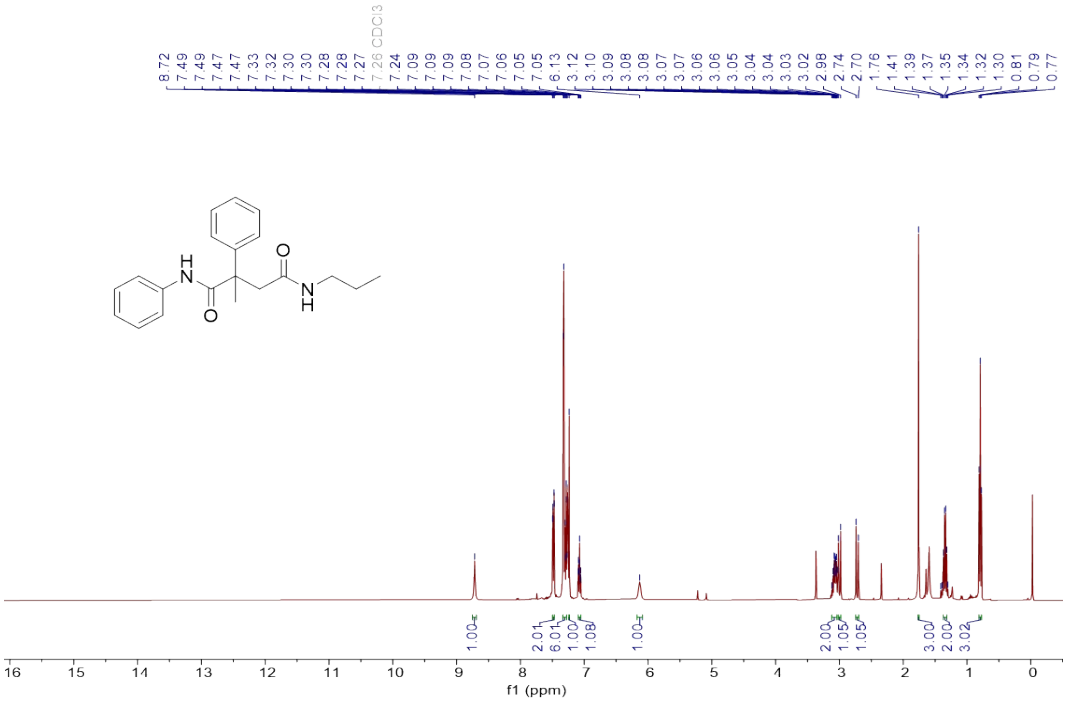
HRMS (ESI) of product 3ak



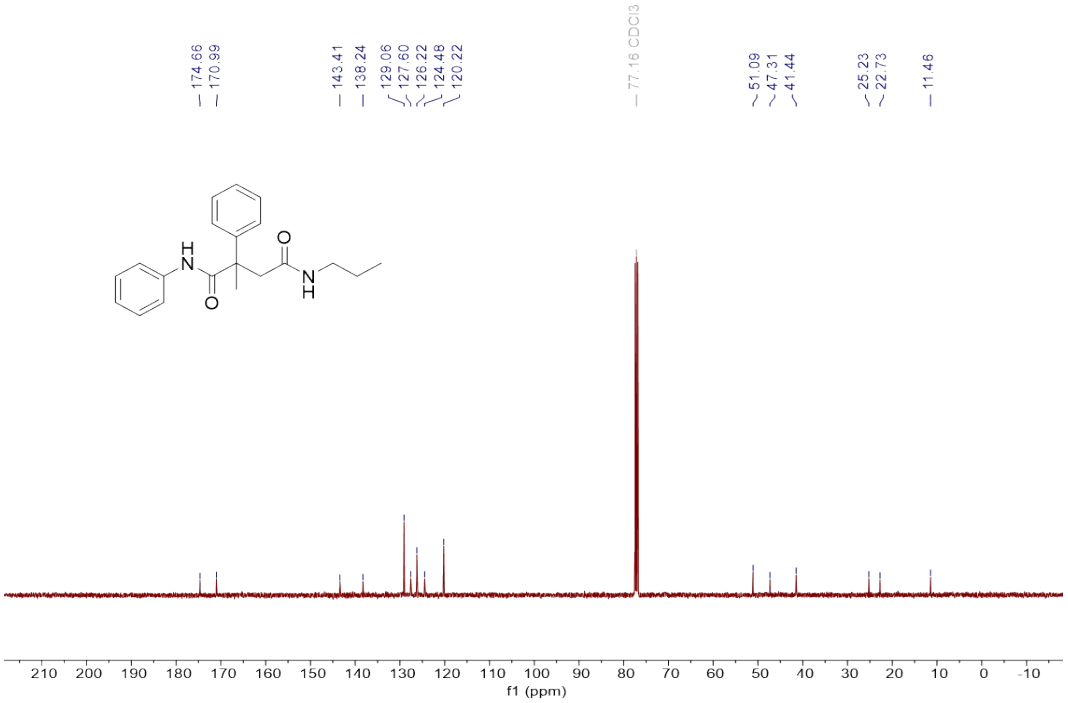
HRMS (ESI) m/z calcd for $C_{17}H_{25}N_2O_2^+$ $(M+H)^+$ 289.19105, found 289.19077.

2-methyl-N1,2-diphenyl-N4-propylsuccinamide (3al)

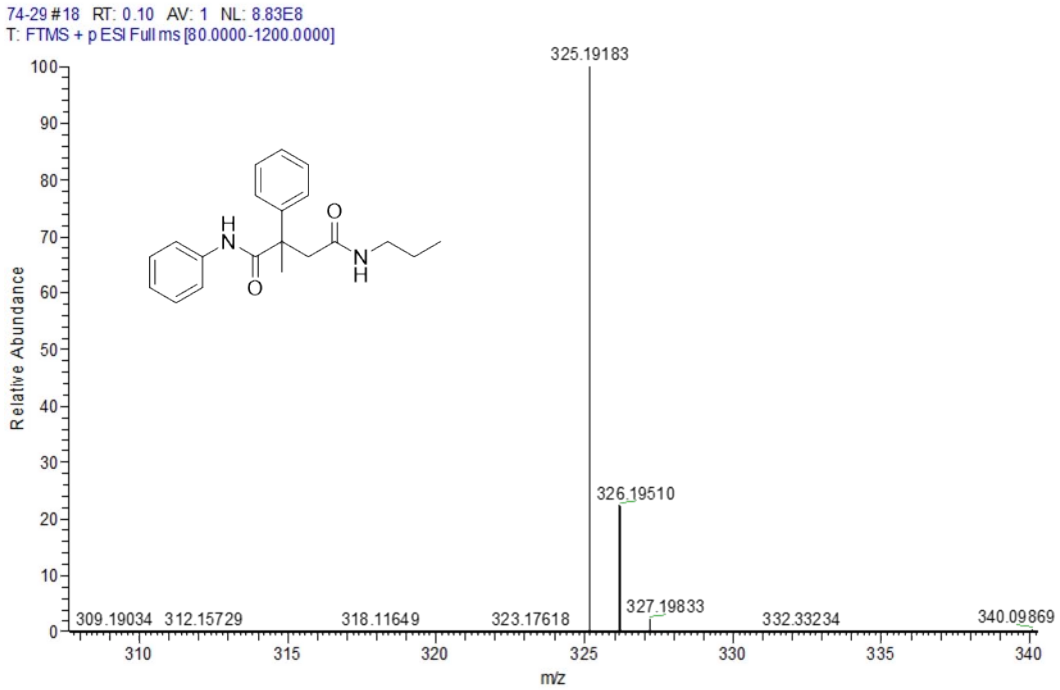
^{1}H NMR of product 3al in $CDCl_3$ (400 MHz)



^{13}C NMR of product 3al in $CDCl_3$ (101 MHz)

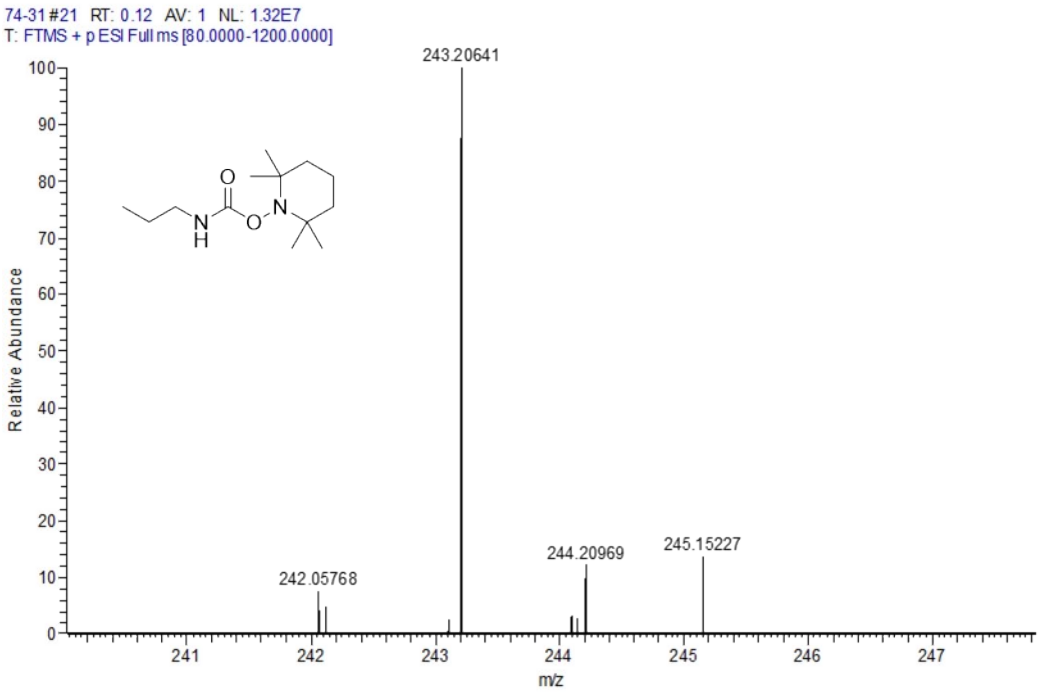


HRMS (ESI) of product 3al



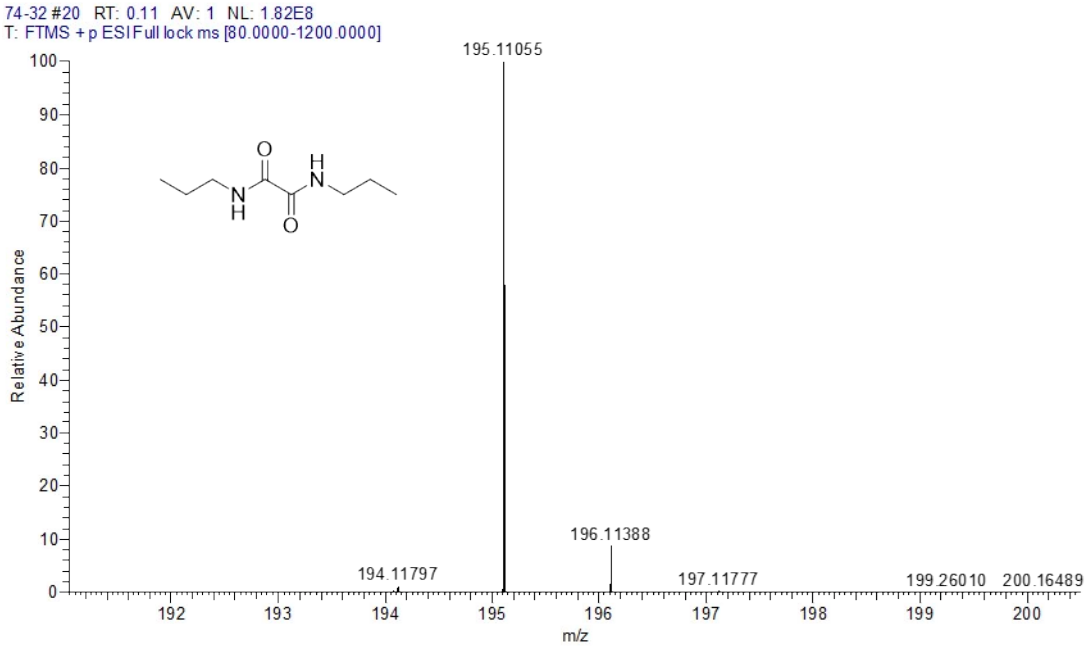
HRMS (ESI) m/z calcd for $C_{20}H_{25}N_2O_2^+$ $(M+H)^+$ 325.19105, found 325.19183.

HRMS (ESI) of product 4c



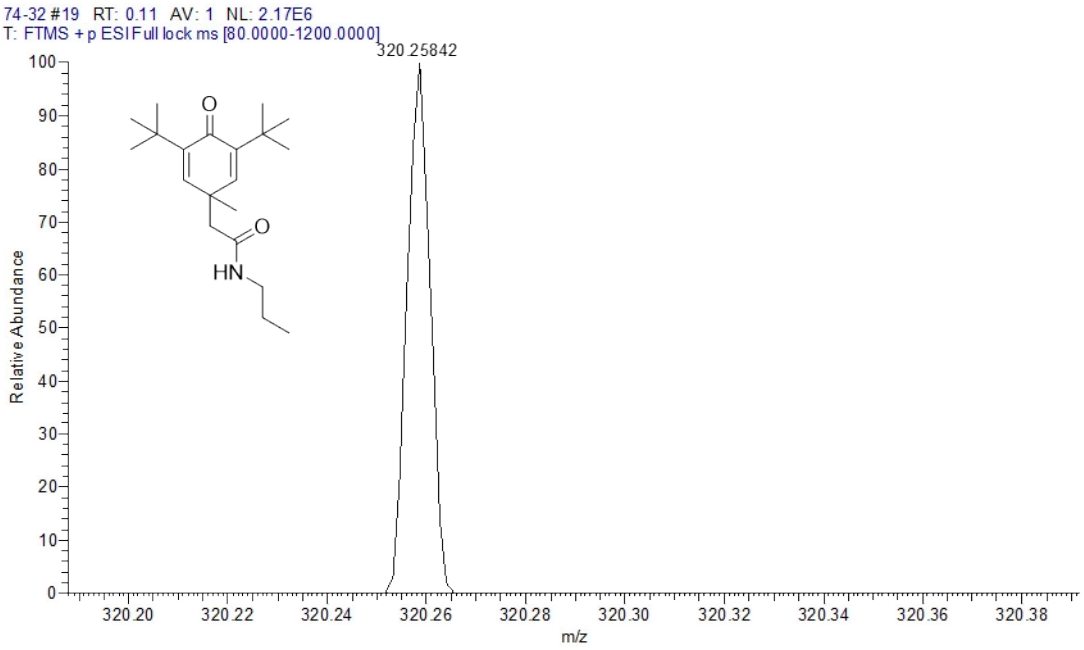
HRMS (ESI) m/z calcd for $C_{13}H_{27}N_2O_2^+$ $(M+H)^+$ 243.20670, found 243.20641.

HRMS (ESI) of product 4d



HRMS (ESI) m/z calcd for $C_8H_{16}N_2O_2Na^+$ $(M+Na)^+$ 195.11040, found 195.11055.

HRMS (ESI) of product 4e



HRMS (ESI) m/z calcd for $C_{20}H_{34}NO_2^+$ $(M+H)^+$ 320.25841, found 320.25842.

5. References

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