Supporting Information

Radical bicyclization of 1,6-enynes with sulfonyl hydrazides by the use of TBAI/TBHP in aqueous phase

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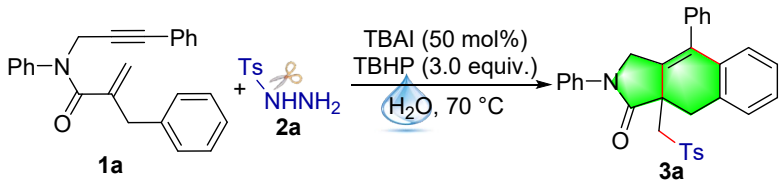
(A) General information

Unless otherwise noted, all starting materials and solvents were commercially available and used without further purification. The progress of the reactions was monitored by TLC with silica gel plates, and the visualization was carried out under UV light (254 nm). ^{1}H NMR, ^{13}C NMR, and ^{19}F NMR spectra were recorded on a Bruker 400 (400, 101, and 376 MHz) or Bruker 500 (500, 126, and 471 MHz) advance spectrometer at room temperature in $CDCl_3$ (solvent signals, δ 7.26 and 77.0 ppm) using TMS as internal standard. HRMS spectra were measured on an electrospray ionization quadrupole time-of-flight (ESI-Q-TOF) mass spectrometer.

(B) Screening of optimal reaction conditions

Table SI. Screening of optimal reaction conditions [a]



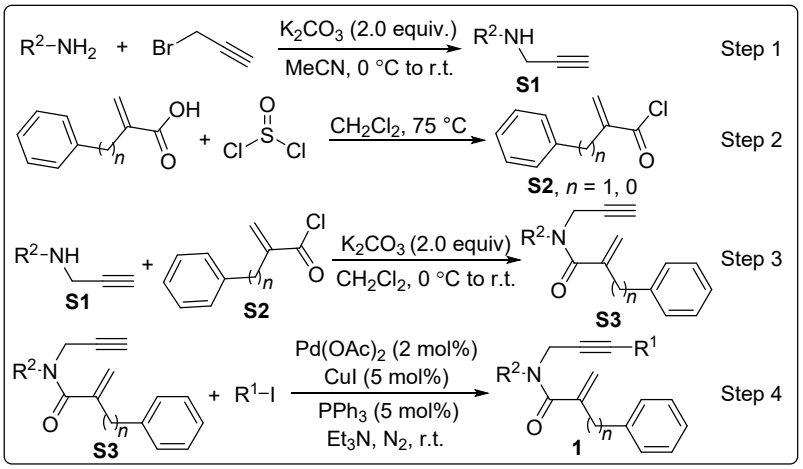
Entry	Variations from standard conditions	Yield (%)[b]
1	None	90
2	TBPB instead of TBHP	74
3	BPO instead of TBHP	65
4	DTBP instead of TBHP	41
5	H_2O_2 instead of TBHP	55
6	PIDA instead of TBHP	Trace
7	TBHP (2.0 equiv.)	66
8	TBHP (4.0 equiv.)	78
9	TBAF instead of TBAI	Trace
10	I_2 instead of TBAI	22
11	NIS instead of TBAI	14
12	KI instead of TBAI	55
13	NH_4I instead of TBAI	42
14	TBAI (30 mol%)	33
15	TBAI (80 mol%)	92
16	At 60 °C	78
17	At 50 °C	42
18	At 90 °C	71

[a] Unless otherwise specified, the reactions were carried out in a Schlenk tube sealed in air in the presence of **1a** (0.2 mmol), **2a** (0.4 mmol), TBAI (50 mol%), and TBHP (3.0 equiv, 70 wt % in water) in H_2O (2.0 mL) at 70 °C for 8 h. TBPB = *tert*-butyl peroxybenzoate, BPO = benzoyl peroxide, DTBP = di-*tert*-butyl peroxide, PIDA = (diacetoxyiodo)benzene, TBAF = tetra-*n*-butylammonium fluoride, NIS = *N*-iodosuccinimide. [b] Isolated yields.

(C) Typical experimental procedures

(1) General procedure for the synthesis of substrate 1.[1-3]

1.1. Synthetic pathways for *N*-linked 1,6-enynes



Step 1: To a round bottom flask were added with R^2-NH_2 (3.6 mmol, 1.2 equiv.), K_2CO_3 (829.2 mg, 6 mmol, 2.0 equiv.), and MeCN (10.0 mL), then cooled to 0 °C in the ice water bath. 3-Bromo-1-propyne (259 μL,3.0 mmol) was slowly added to the solution at 0 °C and then the mixture was stirred at room temperature for 7 h. The solution was concentrated under reduced pressure, and the mixture was purified by flash column chromatography over silica gel to afford **S1** (petroleum ether/ethyl acetate = 5:1~3:1).

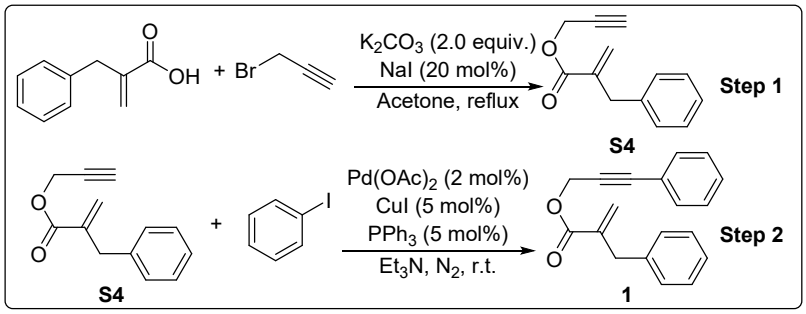
Step 2: To a Schlenk tube were added acid (3.0 mmol) and CH_2Cl_2 (2.0 mL). Then thionyl chloride (326 μL, 4.5 mmol, 1.5 equiv.) was added to the solution and put the tube at 75 ℃ for 12 h. After the reaction was finished, the excess of thionyl chloride and the solvent was removed under reduced pressure. The **S2** was obtained in a satisfying purity without further purification.

Step 3: To a round bottom flask were added with **S1** (3.0 mmol, 1.0 equiv.), K_2CO_3 (829.2 mg, 6.0 mmol, 2.0 equiv.), and CH_2Cl_2 (10.0 mL). Then the solution was

cooled to 0 °C in the ice water bath. **S2** (3.6 mmol, 1.2 equiv.) was slowly added to the solution at 0 °C and then the mixture was stirred at room temperature for 8 h. The solution was concentrated under reduced pressure and purified by flash column chromatography over silica gel to afford **S3** (petroleum ether/ethyl acetate = 4:1~2:1).

Step 4 Under a nitrogen atmosphere, to a triethylamine solution (8.0 mL) of $Pd(OAc)_2$ (10.0 mg, 2 mol%), CuI (28.6 mg, 5 mol%), and PPh_3 (39.3 mg, 5 mol%) was added **S3** (3.0 mmol, 1.0 equiv.) and stirred for 10 mins, then added R^1-I (3.6 mmol, 1.2 equiv.) dropwise over 30 mins. The resulting system was then stirred at room temperature for 3 h. After completion of the reaction, the solution was concentrated under reduced pressure, and the mixture was purified by flash column chromatography over silica gel to afford *N*-linked 1,6-enynes (petroleum ether/ethyl acetate = 6:1~3:1).

1.2. Synthetic pathways for *O*-linked 1,6-enynes



Step 1 To a round bottom flask were added with 2-benzylacrylic acid (486.6 mg, 3.0 mmol), anhydrous K_2CO_3 (829.2 mg, 6.0 mmol, 2.0 equiv.), NaI (89.9 mg, 20 mol%) and anhydrous acetone (25.0 mL), then 3-bromo-1-propyne (517 μL, 9.0 mmol, 3.0 equiv.) was added and refluxing for 4 h. The reaction was monitored by TLC. After completion of the reaction, the solution was concentrated under reduced pressure, and purified by flash column chromatography over silica gel to afford **S4** (petroleum

ether/ethyl acetate = 5:1).

Step 2 Under the nitrogen atmosphere, to a triethylamine solution (8.0 mL) of $Pd(OAc)_2$ (10.0 mg, 2 mol%), CuI (28.6 mg, 5 mol%), and PPh_3 (39.3 mg, 5 mol%) was added **S4** (3.0 mmol, 1.0 equiv.) and stirred for 10 mins, then added iodobenzene (403 μL, 3.6 mmol, 1.2 equiv.) dropwise over 30 mins. The resulting system was then stirred at room temperature for 3 h. After completion of the reaction, the solution was concentrated under reduced pressure, and the mixture was purified by flash column chromatography over silica gel to afford *O*-linked 1,6-enynes (petroleum ether/ethyl acetate = 6:1).

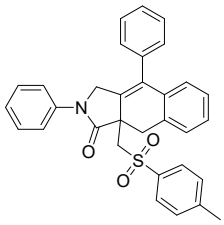
(2) Typical experimental procedure for the radical bicyclization and iodosulfonylation.

To a Schlenk tube were added 1,6-enynes **1** (0.2 mmol), sulfonyl hydrazides **2** (0.4 mmol), TBAI (36.9 mg, 50 mol%), TBHP (82 μL, 0.6 mmol, 3.0 equiv, 70 wt % in water), and H_2O (2.0 mL). Then put the tube at 70 °C and stirred it for certain time until complete consumption of raw materials as monitored by TLC or GC-MS analysis. After the reaction was finished, the mixture was extracted three times with EtOAc. The organic layer was dried over Na_2SO_4, filtration, and evaporation of the solvent. The mixture was purified by column chromatography over silica gel to obtain products **3** (petroleum ether/ethyl acetate = 6:1~3:1).

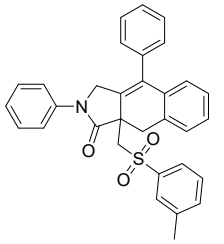
To a Schlenk tube were added 1,6-enynes **1** (0.2 mmol), sulfonyl hydrazides **2** (0.4 mmol), TBAI (88.6 mg, 1.2 equiv), TBHP ((82 μL, 0.6 mmol, 3.0 equiv, 70 wt % in water), and H_2O (2.0 mL). Then put the tube at 70 ℃ and stirred it for certain time

until complete consumption of raw materials as monitored by TLC or GC-MS analysis. After the reaction was finished, the mixture was extracted three times with EtOAc. The organic layer was dried over Na_2SO_4, filtration, and evaporation of the solvent. The mixture was purified by column chromatography over silica gel to obtain products **4** (petroleum ether/ethyl acetate = 5:1~4:1).

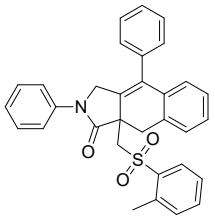
(D) Analytical data



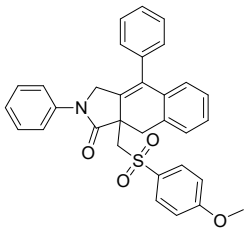
2,4-Diphenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3a), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (3:1, v/v). Yellow oil (91.1 mg, 90% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.39-7.35 (m, 3H), 7.28 (t, J = 3.6 Hz, 2H), 7.25-7.22 (m, 4H), 7.20-7.14 (m, 3H), 7.11-7.06 (m, 4H), 6.83 (d, J = 7.2 Hz, 2H), 5.29 (s, 2H), 5.15 (s, 1H), 4.94 (s, 1H), 3.56 (s, 2H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 144.1, 143.8, 142.9, 142.7, 140.3, 137.5, 137.1, 130.1, 129.7, 129.3, 128.9, 128.8, 128.3, 128.1, 127.9, 127.5, 126.9, 126.4, 122.9, 119.4, 54.1, 40.3, 31.5, 30.1, 21.6; HRMS *m/z* (ESI) calcd for $C_{32}H_{28}NO_3S$ ($[M+H]^+$) 506.1784, found 506.1783.



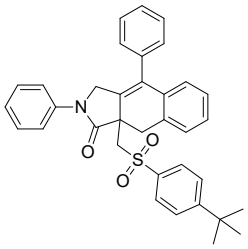
2,4-Diphenyl-9a-((*m*-tolylsulfonyl)methyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3b), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (87.1 mg, 86% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.40-7.37 (m, 3H), 7.29 (t, J = 4.0 Hz, 2H), 7.25-7.23 (m, 3H), 7.19-7.17 (m, 2H), 7.15-7.12 (m, 3H), 7.08 (d, J = 7.2 Hz, 2H), 7.03 (s, 1H), 6.79 (d, J = 7.2 Hz, 2H), 5.34 (s, 2H), 5.15 (s, 1H), 4.96 (s, 1H), 3.57 (s, 2H), 2.27 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 143.9, 143.2, 142.6, 140.4, 140.1, 138.7, 137.6, 133.8, 130.0, 129.7, 128.9, 128.7, 128.6, 128.3, 128.2, 128.1, 127.5, 127.1, 126.4, 124.8, 122.6, 119.4, 54.2, 40.3, 31.5, 29.7, 21.0; HRMS *m/z* (ESI) calcd for $C_{32}H_{28}NO_3S$ ($[M+H]^+$) 506.1784, found 506.1783.



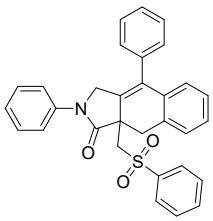
2,4-Diphenyl-9a-((*o*-tolylsulfonyl)methyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3c), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (83.1 mg, 82% yield); ^{1}H NMR (500 MHz, $CDCl_3$) δ: 7.38-7.36 (m, 3H), 7.24-7.20 (m, 5H), 7.12 (d, J = 7.5 Hz, 1H), 7.08-7.04 (m, 3H), 6.95-6.91 (m, 3H), 6.76 (t, J = 8.0 Hz, 1H), 6.63-6.61 (m, 2H), 5.39 (s, 2H), 5.12 (s, 1H), 4.97 (s, 1H), 3.54 (s, 2H), 2.47 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 171.0, 143.9, 143.7, 142.0, 140.7, 139.0, 137.7, 136.6, 132.7 131.8, 129.8 (2), 129.0, 128.9, 128.4, 128.2, 127.5, 127.3, 126.5, 126.0, 121.2, 119.7, 54.3, 40.5, 30.2, 29.7, 20.1; HRMS *m/z* (ESI) calcd for $C_{32}H_{28}NO_3S$ ($[M+H]^+$) 506.1784, found 506.1783.



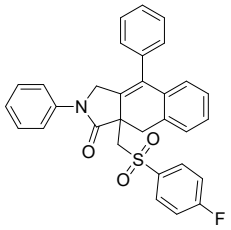
9a-(((4-Methoxyphenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3d), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (93.9 mg, 90% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.29-7.26 (m, 3H), 7.20-7.16 (m, 4H), 7.13-7.07 (m, 5H), 6.96 (d, J = 6.8 Hz, 2H), 6.76-6.74 (m, 2H), 6.67 (d, J = 8.8 Hz, 2H), 5.19 (s, 2H), 5.04 (s, 1H), 4.84 (s, 1H), 3.74 (s, 3H), 3.46 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 163.3, 143.8, 143.0, 142.8, 140.3, 137.5, 131.5, 130.1 (2), 129.7, 128.9, 128.7, 128.3, 128.1, 127.6, 126.8, 126.4, 122.5, 119.4, 114.0, 55.6, 54.1, 40.3, 31.4, 29.6; HRMS *m/z* (ESI) calcd for $C_{32}H_{28}NO_4S$ ($[M+H]^+$) 522.1734, found 522.1732.



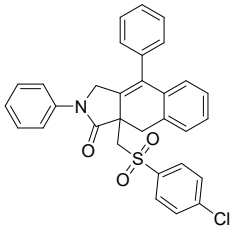
9a-(((4-(*tert*-Butyl)phenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3e), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (96.4 mg, 88% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.41-7.38 (m, 3H), 7.29 (d, J = 8.0 Hz, 5H), 7.24 (t, J = 4.4 Hz, 3H), 7.18-7.13 (m, 3H), 7.09 (d, J = 6.8 Hz, 2H), 6.81 (d, J = 7.2 Hz, 2H), 5.33 (s, 2H), 5.16 (s, 1H), 4.96 (s, 1H), 3.58 (s, 2H), 1.33 (s, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 156.9, 143.8, 142.9, 142.8, 140.4, 137.6, 137.0, 130.0, 129.7, 128.9, 128.7, 128.3, 128.1, 127.6, 127.5, 127.0, 126.4, 125.7, 122.5, 119.4, 54.2, 40.3, 35.1, 31.5, 31.0, 29.7; HRMS *m/z* (ESI) calcd for $C_{35}H_{34}NO_3S$ ($[M+H]^+$) 548.2254, found 548.2253.



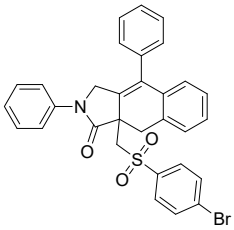
2,4-Diphenyl-9a-((phenylsulfonyl)methyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3f), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (5:1, v/v). Yellow oil (80.7 mg, 82% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.40-7.36 (m, 1H), 7.30-7.27 (m, 3H), 7.21-7.19 (m, 5H), 7.15-7.12 (m, 3H), 7.09-7.02 (m, 3H), 6.97 (d, J = 7.2 Hz, 2H), 6.70 (d, J = 7.2 Hz, 2H), 5.23 (s, 2H), 5.05 (s, 1H), 4.86 (s, 1H), 3.46 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 143.8, 142.8, 142.7, 140.4, 140.2, 137.5, 133.0, 130.0, 129.7, 128.9, 128.8, 128.7, 128.3, 128.1, 127.7, 127.6, 127.0, 126.4, 123.1, 119.4, 54.1, 40.3, 31.4, 29.7; HRMS *m/z* (ESI) calcd for $C_{31}H_{26}NO_3S$ ($[M+H]^+$) 492.1628, found 492.1626.



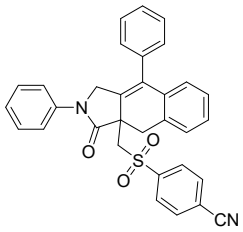
9a-(((4-Fluorophenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3g), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (3:1, v/v). Yellow oil (87.5 mg, 77% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.30-7.27 (m, 3H), 7.20-7.17 (m, 4H), 7.12 (t, J = 4.4 Hz, 3H), 7.08 (d, J = 7.6 Hz, 2H), 6.97 (d, J = 7.2 Hz, 2H), 6.85 (t, J = 8.8 Hz, 2H), 6.71 (d, J = 6.8 Hz, 2H), 5.23 (s, 2H), 5.04 (s, 1H), 4.86 (s, 1H), 3.46 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 164.4 (d, $J_{C\text{-}F}$ = 257.2 Hz), 143.7, 142.8, 142.6, 140.4, 137.5, 136.1 (2), 130.6 (d, $J_{C\text{-}F}$ = 9.7 Hz), 130.0, 129.7, 128.9, 128.4, 128.2, 127.7, 127.0, 126.5, 123.0, 119.5, 115.9 (d, $J_{C\text{-}F}$ = 22.7 Hz), 54.0, 40.3, 31.4, 29.7; ^{19}F NMR (377 MHz, $CDCl_3$) δ: -103.9; HRMS *m/z* (ESI) calcd for $C_{31}H_{25}FNO_3S$ ($[M+H]^+$) 510.1534, found 510.1532.



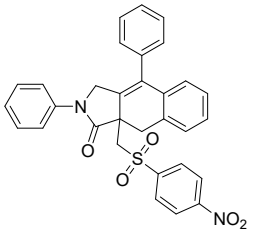
9a-(((4-Chlorophenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3h), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (84.1 mg, 80% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.32-7.29 (m, 3H), 7.18 (d, J = 8.0 Hz, 2H), 7.15-7.11 (m, 5H), 7.09-7.06 (m, 4H), 6.97 (d, J = 7.2 Hz, 2H), 6.70 (d, J = 6.8 Hz, 2H), 5.23 (s, 2H), 5.03 (s, 1H), 4.86 (s, 1H), 3.46 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 143.7, 142.7, 142.6, 140.4, 139.7, 138.6, 137.5, 130.0, 129.7, 129.2, 129.0 (2), 128.9, 128.4, 128.2, 127.7, 127.0, 126.5, 123.1, 119.5, 54.0, 40.4, 31.4, 29.7; HRMS *m/z* (ESI) calcd for $C_{31}H_{25}ClNO_3S$ ($[M+H]^+$) 526.1238, found 526.1237.



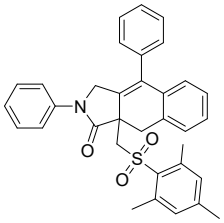
9a-(((4-Bromophenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3i), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (3:1, v/v). Yellow solid (87.8 mg, 77% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.38-7.36 (m, 4H), 7.26-7.22 (m, 2H), 7.21-7.17 (m, 4H), 7.16-7.12 (m, 2H), 7.08-7.04 (m, 4H), 6.78-6.75 (m, 2H), 5.30 (s, 2H), 5.10 (s, 1H), 4.94 (s, 1H), 3.53 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 143.7, 142.7, 142.6, 140.4, 139.1, 137.5, 131.9, 130.0, 129.7, 129.2, 129.0 (2), 128.4, 128.3, 128.2, 127.7, 127.0, 126.5, 123.1, 119.5, 54.0, 40.4, 32.5, 29.3; HRMS *m/z* (ESI) calcd for $C_{31}H_{25}BrNO_3S$ ($[M+H]^+$) 570.0733, found 570.0731.



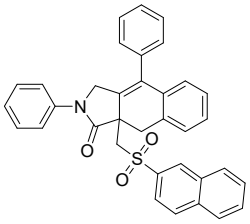
4-(((3-Oxo-2,9-diphenyl-1,2,3,4-tetrahydro-3aH-benzo[*f*]isoindol-3a-yl)methyl)sulfonyl)benzonitrile (3j), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (5:1, v/v). Yellow oil (78.6 mg, 76% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.49 (d, J = 8.4 Hz, 2H), 7.39-7.35 (m, 3H), 7.29-7.26 (m, 3H), 7.24-7.22 (m, 1H), 7.21-7.18 (m, 3H), 7.11 (t, J = 7.6 Hz, 2H), 7.05 (d, J = 6.8 Hz, 2H), 6.74 (d, J = 7.2 Hz, 2H), 5.32 (s, 2H), 5.10 (s, 1H), 4.96 (s, 1H), 3.53 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 144.4, 143.6, 142.4, 142.2, 140.4, 137.4, 132.2, 129.8, 129.6, 129.2, 129.0, 128.4, 128.2 (2), 127.8, 127.2, 126.5, 123.6, 119.6, 117.1, 116.4, 53.9, 40.4, 31.9, 29.6; HRMS *m/z* (ESI) calcd for $C_{32}H_{25}N_2O_3S$ ($[M+H]^+$) 517.1580, found 517.1579.



9a-(((4-Nitrophenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3k), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (76.2 mg, 71% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.96 (d, J = 8.8 Hz, 2H), 7.33-7.28 (m, 3H), 7.24 (s, 1H), 7.21-7.16 (m, 3H), 7.14-7.11 (m, 3H), 7.05-6.98 (m, 4H), 6.68 (d, J = 7.6 Hz, 2H), 5.27 (s, 2H), 5.03 (s, 1H), 4.90 (s, 1H), 3.46 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 149.9, 145.9, 143.6, 142.5, 142.2, 140.5, 137.4, 129.8, 129.7, 129.3, 129.1, 128.9, 128.4, 128.3, 127.8, 127.3, 126.5, 123.7, 123.6, 119.7, 53.9, 40.4, 31.4, 29.7; HRMS *m/z* (ESI) calcd for $C_{31}H_{25}N_2O_5S$ ($[M+H]^+$) 537.1479, found 537.1480.

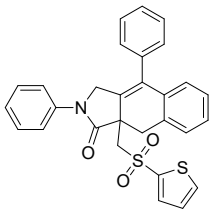


9a-((Mesitylsulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3l), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (85.4 mg, 80% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.29 (t, J = 3.2 Hz, 3H), 7.20-7.17 (m, 2H), 7.16-7.13 (m, 4H), 7.00-6.97 (m, 3H), 6.88 (t, J = 7.6 Hz, 2H), 6.56 (s, 2H), 5.27 (s, 2H), 5.06 (s, 1H), 4.88 (s, 1H), 3.47 (s, 2H), 2.13 (s, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 145.4, 143.8, 142.6, 142.0, 140.7, 138.9, 137.6, 135.3, 131.7, 129.8, 129.7, 128.9, 128.5, 128.3, 128.1, 127.5, 126.5, 126.4, 119.8, 119.7, 54.1, 40.4, 31.4, 29.7, 22.0, 20.8; HRMS *m/z* (ESI) calcd for $C_{34}H_{32}NO_3S$ ($[M+H]^+$) 534.2097, found 534.2095.

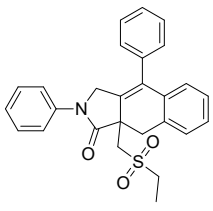


9a-((Naphthalen-2-ylsulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3m), the product was

purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (85.6 mg, 79% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.82 (d, J = 8.0 Hz, 1H), 7.75 (d, J = 8.8 Hz, 1H), 7.71-7.66 (m, 2H), 7.61 (t, J = 7.6 Hz, 1H), 7.53 (t, J = 7.6 Hz, 1H), 7.38-7.36 (m, 2H), 7.33-7.30 (m, 1H), 7.26-7.20 (m, 5H), 7.06-7.02 (m, 3H), 6.96 (t, J = 7.6 Hz, 2H), 6.72 (d, J = 7.2 Hz, 2H), 5.37 (s, 2H), 5.13 (s, 1H), 4.93 (s, 1H), 3.55 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.1, 143.9, 143.1, 142.5, 140.5, 137.6, 136.8, 134.8, 131.8, 130.1, 130.0, 129.7, 129.4, 129.1, 129.0, 128.9, 128.4, 128.2, 127.7, 127.4, 127.3, 127.0, 126.4, 122.9, 122.2, 119.4, 54.3, 40.4, 31.5, 29.7; HRMS *m/z* (ESI) calcd for $C_{35}H_{28}NO_3S$ ($[M+H]^+$) 542.1784, found 542.1782.

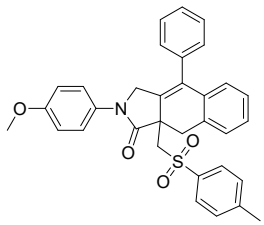


2,4-Diphenyl-9a-((thiophen-2-ylsulfonyl)methyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3n), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (71.4 mg, 73% yield); ^{1}H NMR (500 MHz, $CDCl_3$) δ: 7.59-7.58 (m, 1H), 7.36-7.33 (m, 3H), 7.24-7.20 (m, 6H), 7.18-7.16 (m, 2H), 7.04 (d, J = 7.0 Hz, 2H), 6.92-6.90 (m, 3H), 5.29 (s, 2H), 5.11 (s, 1H), 4.92 (s, 1H), 3.53 (s, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 171.1, 143.8, 143.1, 142.4, 140.9, 140.4, 137.6, 135.1, 134.3, 130.1, 129.9, 129.7, 128.9, 128.4, 128.2, 127.7, 127.4, 126.7, 126.5, 123.8, 51.8, 40.4, 29.7, 29.3; HRMS *m/z* (ESI) calcd for $C_{29}H_{24}NO_3S_2$ ($[M+H]^+$) 498.1192, found 498.1193.

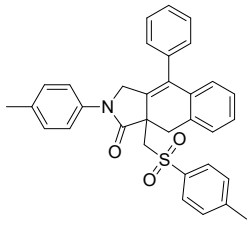


9a-((Ethylsulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3o), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1,

v/v). Yellow oil (46.2 mg, 52% yield); ^{1}H NMR (400 MHz, $CDCl_3$) *δ:* 7.52 (t, *J* = 7.6 Hz, 1H), 7.37-7.34 (m, 3H), 7.31 (t, *J* = 8.4 Hz, 3H), 7.23-7.20 (m, 3H), 7.14 (d, *J* = 7.2 Hz, 2H), 7.06 (d, *J* = 7.2 Hz, 2H), 5.27 (s, 2H), 5.11 (s, 1H), 4.95 (s, 1H), 3.53 (s, 2H), 2.58-2.53 (m, 2H), 1.13 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) *δ*: 171.0, 143.7, 142.8, 141.1, 140.5, 137.5, 129.8, 129.7, 129.4, 128.9, 128.4, 127.9, 127.1, 126.5, 122.7, 121.9, 119.6, 61.9, 55.8, 49.2, 44.2, 40.4, 6.4; HRMS *m/z* (ESI) calcd for $C_{27}H_{26}NO_3S$ ($[M+H]^+$) 444.1628, found 444.1626.

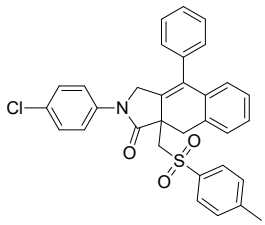


2-(4-Methoxyphenyl)-4-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3p), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (3:1, v/v). Yellow oil (95.5 mg, 89% yield); ^{1}H NMR (400 MHz, $CDCl_3$) *δ*: 7.17 (t, *J* = 8.0 Hz, 5H), 7.11-7.07 (m, 3H), 7.03-6.99 (m, 5H), 6.79-6.74 (m, 4H), 5.14 (s, 2H), 5.04 (s, 1H), 4.85 (s, 1H), 3.78 (s, 3H), 3.44 (s, 2H), 2.29 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) *δ*: 171.4, 159.2, 144.1, 144.0, 143.0, 142.7, 137.7, 137.2, 133.0, 131.2, 129.7, 129.4, 128.8, 128.3, 128.0, 127.5, 126.9, 126.4, 122.9, 119.1, 113.9, 55.5, 54.3, 40.4, 29.8, 29.3, 21.6; HRMS *m/z* (ESI) calcd for $C_{33}H_{30}NO_4S$ ($[M+H]^+$) 536.1890, found 536.1889.

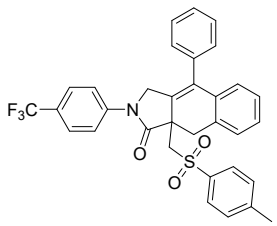


4-Phenyl-2-(*p*-tolyl)-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3q), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (91.5 mg, 88% yield); ^{1}H NMR (400 MHz, $CDCl_3$) *δ*: 7.28 (t, *J* = 3.2 Hz, 2H), 7.24-7.21 (m, 3H), 7.19-7.15 (m, 4H), 7.08 (t, *J* = 8.4 Hz,

6H), 6.85 (d, *J* = 6.8 Hz, 2H), 5.25 (s, 2H), 5.14 (s, 1H), 4.93 (s, 1H), 3.54 (s, 2H), 2.43 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) *δ*: 171.2, 144.1, 143.9, 143.0, 142.8, 138.0, 137.8, 137.7, 137.2, 129.8, 129.7, 129.4, 129.3, 128.7, 128.3, 127.9, 127.5, 127.0, 126.4, 122.8, 119.2, 54.1, 40.3, 31.4, 29.7, 21.6, 21.3; HRMS *m/z* (ESI) calcd for $C_{33}H_{30}NO_3S$ ($[M+H]^+$) 520.1941, found 520.1942.

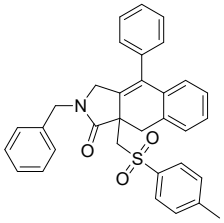


2-(4-Chlorophenyl)-4-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3r), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (89.6 mg, 83% yield); ^{1}H NMR (400 MHz, $CDCl_3$) *δ*: 7.22-7.19 (m, 4H), 7.16-7.12 (m, 3H), 7.10-7.07 (m, 2H), 7.02-6.96 (m, 6H), 6.73 (d, *J* = 7.2 Hz, 2H), 5.14 (s, 2H), 5.02 (s, 1H), 4.95 (s, 1H), 3.48 (s, 2H), 2.30 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) *δ*: 170.8, 144.2, 143.5, 142.8, 142.7, 139.1, 137.5, 137.1, 133.9, 131.3, 129.7, 129.4, 129.0, 128.9, 128.5, 127.9, 127.6, 126.9, 126.6, 123.0, 119.7, 54.5, 40.5, 29.3, 27.2, 21.6; HRMS *m/z* (ESI) calcd for $C_{32}H_{27}ClNO_3S$ ($[M+H]^+$) 540.1395, found 540.1393.

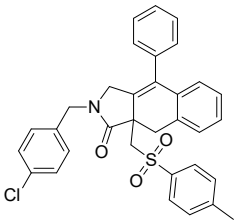


4-Phenyl-9a-(tosylmethyl)-2-(4-(trifluoromethyl)phenyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one(3s), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow solid (82.7 mg, 72% yield); ^{1}H NMR (400 MHz, $CDCl_3$) *δ*: 7.48 (d, *J* = 8.4 Hz, 2H), 7.20-7.14 (m, 5H), 7.10 (t, *J* = 4.0 Hz, 2H), 7.06 (d, *J* = 7.6 Hz, 2H), 7.00 (t, *J* = 6.4 Hz, 4H), 6.66 (d, *J* = 7.6 Hz, 2H), 5.19 (s, 2H), 5.01 (d, *J* = 12.8 Hz, 2H), 3.50 (s, 2H), 2.28 (s, 3H); ^{13}C NMR (101

MHz, $CDCl_3$) δ: 170.7, 144.2, 143.9, 143.3, 142.7, 142.6, 137.4, 137.1, 130.2, 129.6, 129.4, 128.9, 128.5, 127.8, 127.6, 127.0, 126.6, 125.9 (q, $J_{C\text{-}F}$ = 3.6 Hz), 122.9, 120.2, 54.6, 40.5, 29.7, 29.3, 21.5; ^{19}F NMR (376 MHz, $CDCl_3$) δ: -62.4; HRMS *m/z* (ESI) calcd for $C_{33}H_{27}F_3NO_3S$ ($[M+H]^+$) 574.1658, found 574.1659.

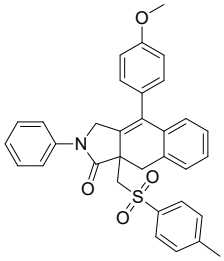


2-Benzyl-4-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3t), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (75.9 mg, 73% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.22-7.17 (m, 7H), 7.09-7.06 (m, 3H), 7.01 (t, J = 7.6 Hz, 3H), 6.92 (d, J = 8.0 Hz, 3H), 6.82 (d, J = 7.6 Hz, 2H), 5.41 (s, 1H), 5.08 (s, 1H), 4.86 (s, 2H), 4.71 (s, 2H), 3.66 (s, 2H), 2.26 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 173.1, 143.7, 143.6, 142.7, 142.6 (2), 137.7, 137.6, 136.9, 129.8, 129.7, 129.1, 128.8 (2), 128.5, 127.9, 127.5, 127.4, 126.5, 117.1, 53.7, 53.0, 40.0, 29.7, 29.3, 21.5; HRMS *m/z* (ESI) calcd for $C_{33}H_{30}NO_3S$ ($[M+H]^+$) 520.1941, found 520.1942.

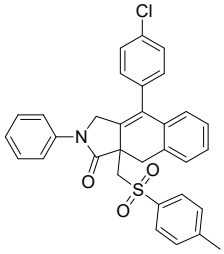


2-(4-Chlorobenzyl)-4-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3u), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (74.2 mg, 67% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.28 (t, J = 2.4 Hz, 3H), 7.25-7.22 (m, 4H), 7.13 (t, J = 6.4 Hz, 3H), 7.10-7.06 (m, 3H), 6.98 (d, J = 8.0 Hz, 2H), 6.86 (d, J = 6.8 Hz, 2H), 5.48 (s, 1H), 5.27 (s, 1H), 4.88 (s, 2H), 4.70 (s, 2H), 3.76 (s, 2H), 2.33 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 172.9, 143.8, 143.4, 142.4, 137.5, 133.1, 130.9, 129.6, 129.3, 129.2, 129.0,

128.9, 128.8, 128.6, 128.0, 127.8, 127.5, 127.4, 126.6, 117.4, 53.6, 52.3, 40.4, 34.6, 29.6, 21.5; HRMS *m/z* (ESI) calcd for $C_{33}H_{29}ClNO_3S$ ($[M+H]^+$) 554.1551, found 554.1550.

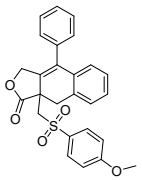


4-(4-Methoxyphenyl)-2-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3v), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (3:1, v/v). Yellow oil (89.0 mg, 83% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.38-7.34 (m, 3H), 7.28 (d, J = 3.6 Hz, 1H), 7.22 (t, J = 6.0 Hz, 5H), 7.10-7.06 (m, 4H), 6.76 (d, J = 8.4 Hz, 2H), 6.65 (d, J = 8.4 Hz, 2H), 5.29 (s, 2H), 5.13 (s, 1H), 4.94 (s, 1H), 3.80 (s, 3H), 3.55 (s, 2H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ:171.0, 159.9, 143.9, 142.6, 140.4, 137.6, 137.4, 135.2, 130.0, 129.7, 129.2, 129.0, 128.8, 128.3, 128.1, 127.7, 126.4, 123.3, 119.3, 112.9, 55.3, 54.3, 40.3, 31.5, 29.7, 21.6; HRMS *m/z* (ESI) calcd for $C_{33}H_{30}NO_4S$ ($[M+H]^+$) 536.1890, found 536.1892.

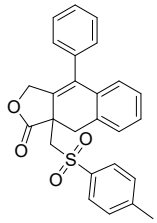


4-(4-Chlorophenyl)-2-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3w), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (3:1, v/v). Yellow oil (86.4 mg, 80% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.30-7.25 (m, 3H), 7.20-7.16 (m, 4H), 7.13-7.10 (m, 2H), 7.06-7.03 (m, 4H), 6.97 (d, J = 7.2 Hz, 2H), 6.66 (d, J = 8.4 Hz, 2H), 5.16 (s, 2H), 5.03 (s, 1H), 4.85 (s, 1H), 3.45 (s, 2H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 171.0, 144.4, 143.8, 143.6, 141.3, 140.4, 137.5, 137.0, 134.9, 130.0, 129.7, 129.4,

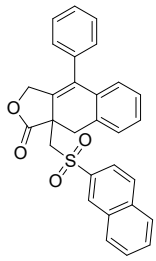
128.9, 128.4, 128.2, 127.9, 127.8, 126.5, 120.7, 119.5, 54.1, 40.3, 29.7, 29.3, 21.6; HRMS *m/z* (ESI) calcd for $C_{32}H_{27}ClNO_3S$ ($[M+H]^+$) 540.1395, found 540.1396



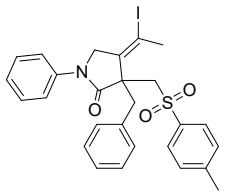
9a-(((4-Methoxyphenyl)sulfonyl)methyl)-4-phenyl-9,9a-dihydronaphtho[2,3-c]furan-1(3*H*)-one (3y), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (6:1, v/v). Yellow oil (72.4 mg, 81% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.34-7.30 (m, 4H), 7.22 (d, J = 8.0 Hz, 4H), 7.10-7.07 (m, 2H), 6.88-6.83 (m, 1H), 6.75 (d, J = 8.8 Hz, 2H), 6.27 (s, 1H), 5.51 (s, 1H), 5.35 (s, 2H), 3.81 (s, 3H), 3.64 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 166.0, 163.4, 143.6, 142.2, 139.3, 138.4, 138.3, 130.1, 129.9, 128.2, 129.1, 128.5, 127.7, 127.2, 126.4, 114.9, 114.0, 69.1, 55.7, 41.3, 39.5, 37.9; HRMS *m/z* (ESI) calcd for $C_{26}H_{23}O_5S$ ($[M+H]^+$) 447.1261, found 447.1260.



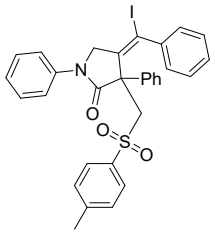
4-Phenyl-9a-(tosylmethyl)-9,9a-dihydronaphtho[2,3-c]furan-1(3*H*)-one (3z), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (6:1, v/v). Yellow oil (65.5 mg, 76% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.35-7.31 (m, 4H), 7.28 (d, J = 6.4 Hz, 2H), 7.25 (d, J = 4.4 Hz, 2H), 7.14-7.09 (m, 5H), 6.29 (s, 1H), 5.54 (s, 1H), 5.38 (s, 2H), 3.67 (s, 2H), 2.39 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 166.0, 144.3, 143.4, 142.2, 139.3, 138.4, 137.2, 129.3, 129.2, 129.0, 128.5, 128.4, 127.8, 127.6, 127.2, 126.4, 123.9, 69.0, 41.3, 39.5, 37.9, 21.5; HRMS *m/z* (ESI) calcd for $C_{26}H_{23}O_4S$ ($[M+H]^+$) 431.1312, found 431.1313.



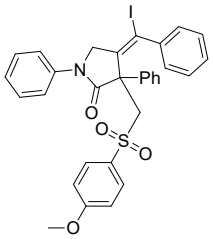
9a-((Naphthalen-2-ylsulfonyl)methyl)-4-phenyl-9,9a-dihydronaphtho[2,3-c]furan-1(3*H*)-one (3aa), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (5:1, v/v). Yellow oil (66.3 mg, 71% yield); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.85 (d, J = 8.0 Hz, 1H), 7.80 (t, J = 4.0 Hz, 2H), 7.70 (d, J = 8.0 Hz, 1H), 7.64 (t, J = 7.6 Hz, 1H), 7.56 (t, J = 7.6 Hz, 1H), 7.51-7.49 (m, 1H), 7.29 (d, J = 7.2 Hz, 2H), 7.19-7.17 (m, 3H), 7.08 (t, J = 7.2 Hz, 2H), 7.01-6.99 (m, 2H), 6.25 (s, 1H), 5.46 (s, 1H), 5.44 (s, 2H), 3.60 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 166.0, 143.4, 141.9, 139.3, 138.3, 136.7, 134.9, 131.7, 130.1, 129.4, 129.3, 129.2, 129.1, 128.5, 128.4, 127.8, 127.5 (2), 127.3, 127.2, 126.4, 124.4, 122.2, 69.0, 41.3, 39.5, 37.9; HRMS *m/z* (ESI) calcd for $C_{29}H_{23}O_4S$ ($[M+H]^+$) 467.1312, found 467.1310.



3-Benzyl-4-(1-iodoethylidene)-1-phenyl-3-(tosylmethyl)pyrrolidin-2-one (4a), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (5:1, v/v). Yellow oil (35.4 mg, 32% yield, Z/E > 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.67 (d, J = 8.0 Hz, 2H), 7.24-7.18 (m, 6H), 7.12-7.10 (m, 3H), 7.08-7.06 (m, 1H), 6.97-6.95 (m, 2H), 4.12 (d, J = 14.8 Hz, 1H), 3.84 (d, J = 14.0 Hz, 1H), 3.72 (d, J = 14.8 Hz, 1H), 3.07 (d, J = 14.4 Hz, 1H), 2.97-2.88 (m, 2H), 2.59 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 172.8, 144.8, 137.8, 137.4, 133.9 (2), 129.7, 128.8, 128.2, 128.0, 127.4, 125.6, 121.5, 120.8, 98.3, 61.1, 60.8, 54.9, 42.7, 30.7, 21.5; HRMS *m/z* (ESI) calcd for $C_{27}H_{27}INO_3S$ ($[M+H]^+$) 572.0751, found 572.0749.

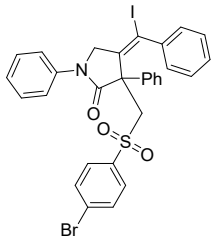


4-(Iodo(phenyl)methylene)-1,3-diphenyl-3-(tosylmethyl)pyrrolidin-2-one (4b), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (109.0 mg, 88% yield, *Z*/*E* > 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.67 (d, *J* = 8.0 Hz, 4H), 7.37 (t, *J* = 8.0 Hz, 2H), 7.29 (d, *J* = 10.0 Hz, 1H), 7.18 (d, *J* = 7.2 Hz, 3H), 7.15-7.10 (m, 3H), 7.03 (d, *J* = 7.2 Hz, 1H), 6.96 (t, *J* = 7.6 Hz, 2H), 6.78-6.73 (m, 3H), 4.83 (d, *J* = 14.8 Hz, 1H), 4.63 (d, *J* = 14.8 Hz, 1H), 4.00 (d, *J* = 13.6 Hz, 1H), 3.41 (d, *J* = 13.6 Hz, 1H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 172.7, 144.8, 142.2, 141.6, 140.3, 138.4, 138.0, 129.8, 129.0, 128.8, 128.3, 128.2, 127.8, 127.7, 127.6, 125.6, 125.4, 121.0, 99.3, 61.2, 58.9, 56.2, 21.6; HRMS *m/z* (ESI) calcd for $C_{31}H_{27}INO_3S$ ($[M+H]^+$) 620.0751, found 620.0750.

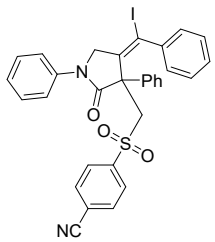


4-(Iodo(phenyl)methylene)-3-(((4-methoxyphenyl)sulfonyl)methyl)-1,3-diphenylpyrrolidin-2-one (4c), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (114.4 mg, 90% yield, *Z*/*E* > 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.72-7.66 (m, 4H), 7.41-7.34 (m, 3H), 7.18 (d, *J* = 5.6 Hz, 1H), 7.15-7.10 (m, 3H), 7.04 (d, *J* = 6.8 Hz, 1H), 6.97 (t, *J* = 7.6 Hz, 2H), 6.82 (d, *J* = 8.4 Hz, 2H), 6.76 (t, *J* = 9.2 Hz, 3H), 4.82 (d, *J* = 14.8 Hz, 1H), 4.63 (d, *J* = 14.8 Hz, 1H), 4.00 (d, *J* = 13.6 Hz, 1H), 3.73 (s, 3H), 3.41 (d, *J* = 13.6 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 172.8, 163.7, 142.2, 141.6, 140.3, 138.4, 132.5, 129.9, 129.0, 128.8, 128.2 (2), 127.7, 127.6, 125.5, 125.4, 120.9, 114.3,

99.3, 61.2, 59.0, 56.3, 55.6; HRMS *m/z* (ESI) calcd for $C_{31}H_{27}INO_4S$ ($[M+H]^+$) 636.0700, found 636.0699.

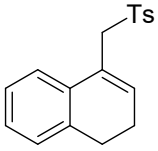


3-(((4-Bromophenyl)sulfonyl)methyl)-4-(iodo(phenyl)methylene)-1,3-diphenylpyrrolidin-2-one (4d), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (113.5 mg, 83% yield, *Z*/*E* > 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.64 (t, *J* = 7.6 Hz, 4H), 7.51-7.48 (m, 2H), 7.40-7.36 (m, 3H), 7.17-7.12 (m, 4H), 7.06-7.04 (m, 1H), 7.00-6.95 (m, 2H), 6.79-6.75 (m, 3H), 4.81 (d, *J* = 14.8 Hz, 1H), 4.63 (d, *J* = 14.8 Hz, 1H), 4.02 (d, *J* = 13.6 Hz, 1H), 3.42 (d, *J* = 13.6 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 172.6, 141.9, 141.4, 140.0, 139.8, 138.3, 138.1, 134.5, 132.5, 129.3, 129.1, 128.9, 128.3, 127.7, 125.7, 125.4, 121.1, 120.8, 99.4, 61.2, 58.9, 56.2; HRMS *m/z* (ESI) calcd for $C_{30}H_{24}BrINO_3S$ ($[M+H]^+$) 683.9699, found 683.9697.



4-(((4-(Iodo(phenyl)methylene)-2-oxo-1,3-diphenylpyrrolidin-3-yl)methyl)sulfonyl)benzonitrile (4e), the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (4:1, v/v). Yellow oil (100.9 mg, 80% yield, *Z*/*E* > 20:1); ^{1}H NMR (400 MHz, $CDCl_3$) δ: 7.96-7.94 (m, 2H), 7.72-7.69 (m, 4H), 7.49-7.45 (m, 2H), 7.31-7.29 (m, 1H), 7.26-7.24 (m, 3H), 7.16-7.14 (m, 1H), 7.08 (t, *J* = 8.0 Hz, 2H), 6.87-6.83 (m, 4H), 4.90 (d, *J* = 14.8 Hz, 1H), 4.70 (d, *J* = 15.2 Hz, 1H), 4.12 (d, *J* = 14.0 Hz, 1H), 3.53 (d, *J* = 13.6 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ: 172.4, 144.6, 141.6, 141.2, 139.7, 138.1, 132.9, 129.2, 129.0, 128.5, 128.3, 128.0, 127.8, 125.9,

125.3, 120.7, 117.4, 117.1, 99.6, 61.2, 58.8, 56.3; HRMS *m/z* (ESI) calcd for $C_{31}H_{24}IN_2O_3S$ ($[M+H]^+$) 631.0547, found 631.0546.



4-(Tosylmethyl)-1,2-dihydronaphthalene (5a),[4] the product was purified by silica gel column chromatography with petroleum ether/ethyl acetate (10:1, v/v). ^{1}H NMR (500 MHz, $CDCl_3$) δ: 7.79-7.78 (m, 2H), 7.30-7.29 (m, 5H), 7.25-7.22 (m, 1H), 5.58-5.54 (m, 1H), 4.11 (t, J = 7.0 Hz, 2H), 2.58-2.54 (m, 2H), 2.42 (s, 3H), 1.98 (s, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ: 144.7, 143.1, 138.5, 133.2, 129.8, 128.2, 127.9, 127.0, 125.6, 121.3, 69.6, 28.5, 21.6, 16.0.

(E) References

[1] J.-H. Zhang, L.-L. Jiang, S.-J. Hu, J.-Z. Li, X.-C. Yu, F.-L. Liu, Y.-T. Guan, K.-W. Lei and W.-T. Wei, *Org. Biomol. Chem.*, 2022, **20**, 7067-7070.

[2] M. Deimling, M. Kirchhof, B. Schwager, Y. Qawasmi, A. Savin, T. Muehlhaeuser, W. Frey, B. Claasen, A. Baro, T. Sottmann and S. Laschat, *Chem. Eur. J.*, 2019, **25**, 9464-9476.

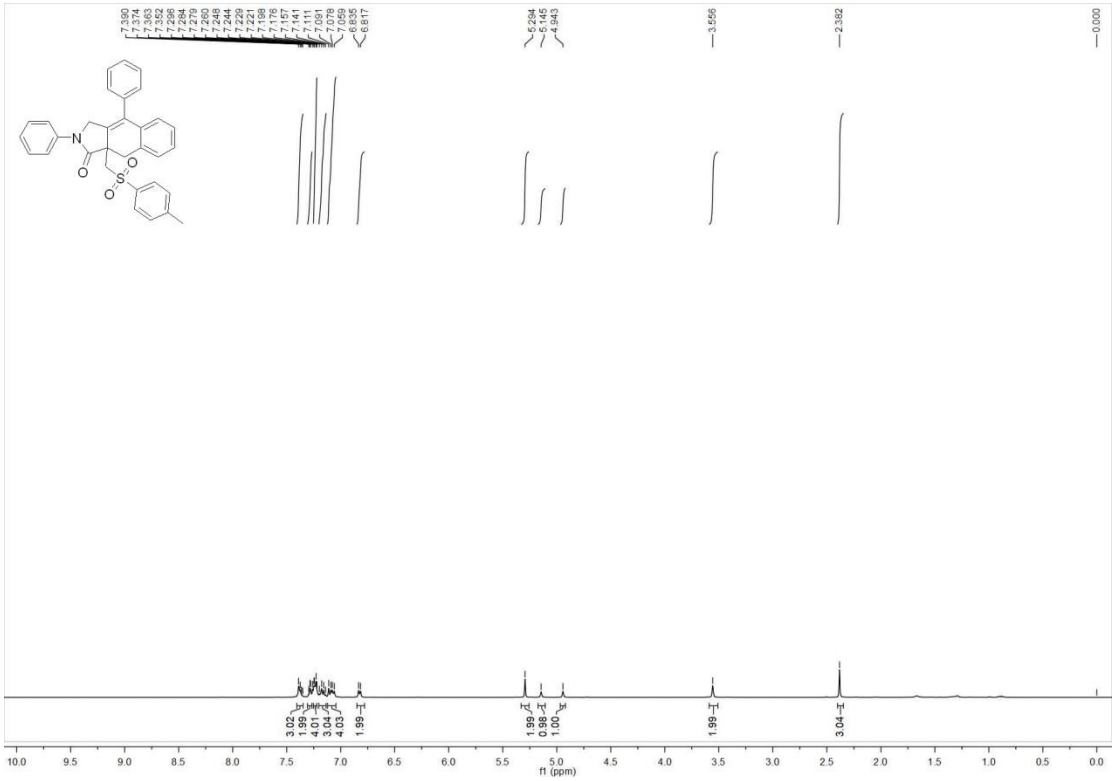
[3] B. Srinivas and G. L. D. Krupadanam, *Russ. J. Gen. Chem.*, 2017, **87**, 331-339.

[4] L. Li, J.-Z. Li, Y.-B. Sun, C.-M. Luo, H. Qiu, K. Tang, H. Liu and W.-T. Wei, *Org. Lett.*, 2022, **24**, 4704-4709.

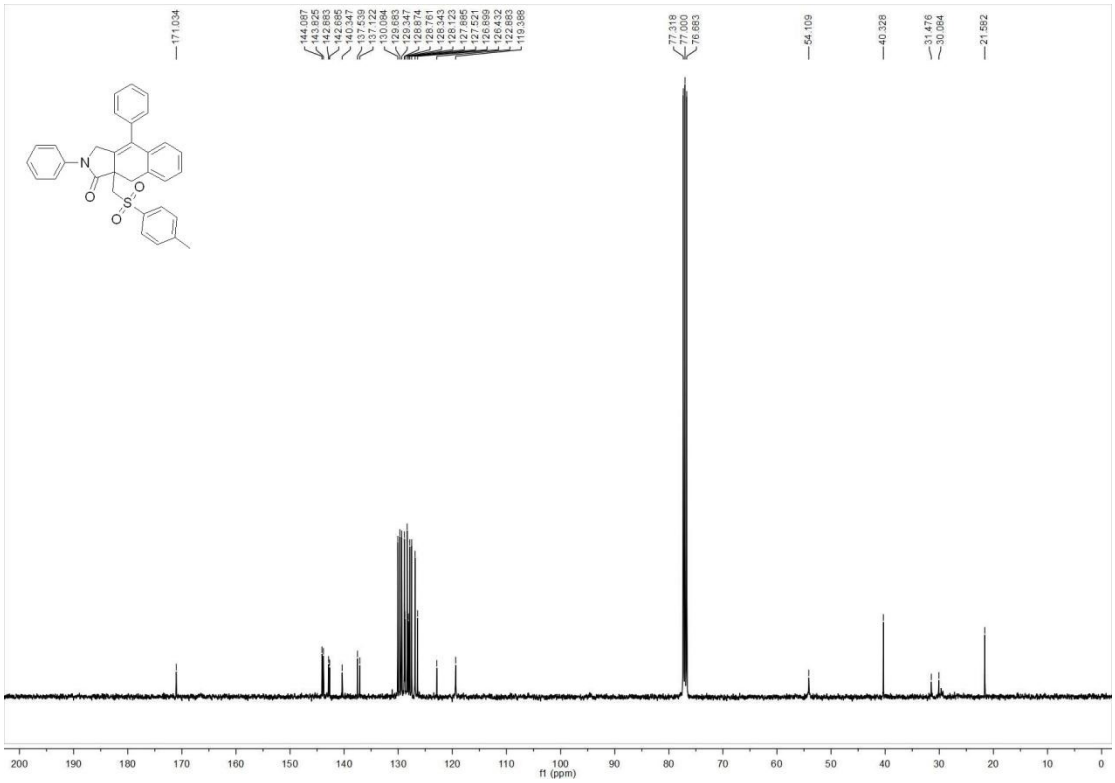
(F) Spectra

2,4-Diphenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3a)

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

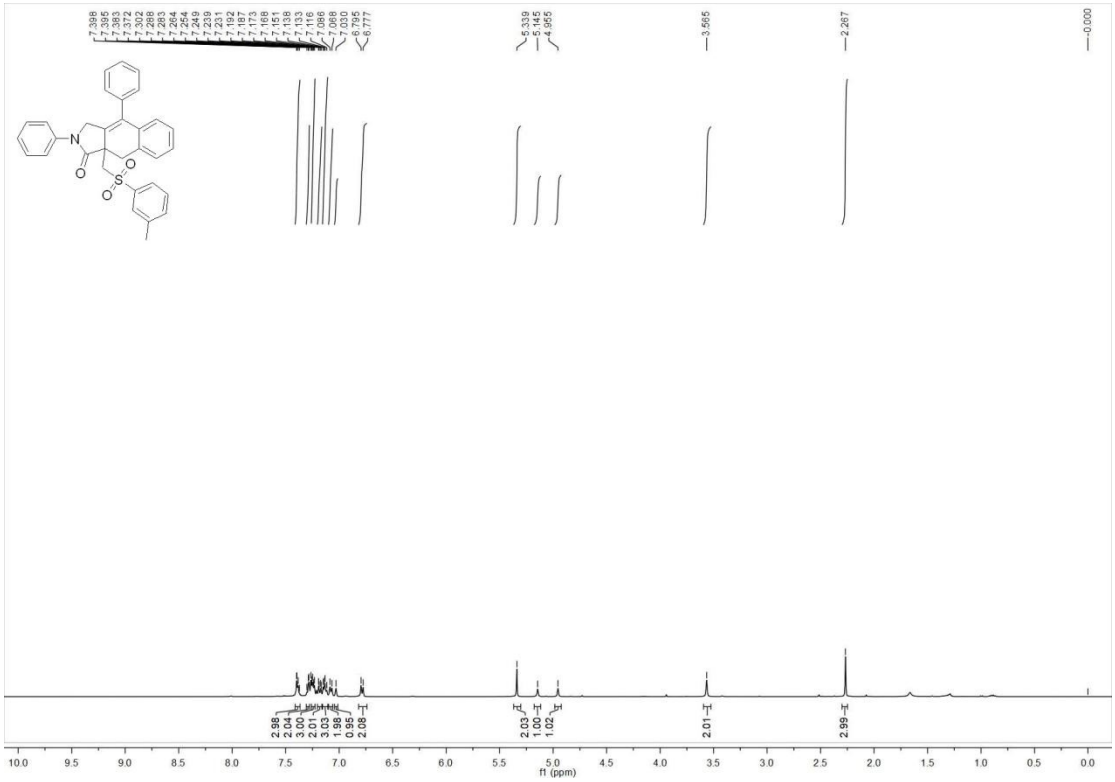


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3a**

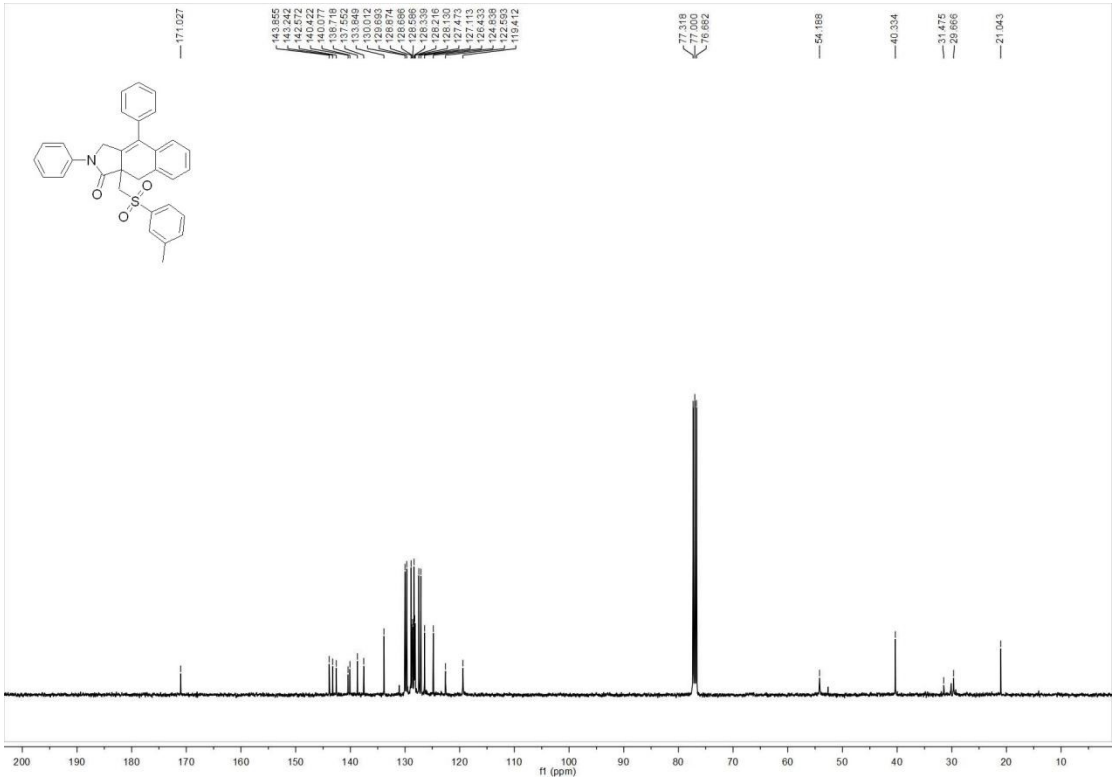


2,4-Diphenyl-9a-((*m*-tolylsulfonyl)methyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3b)

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

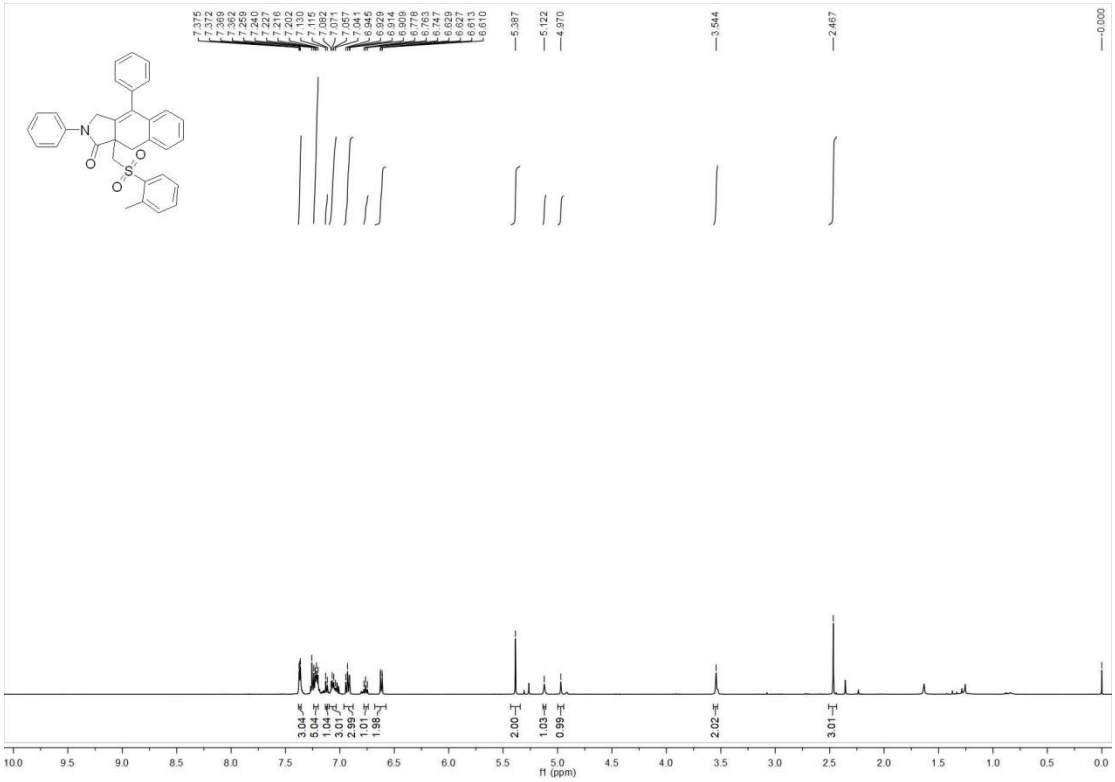


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3b**

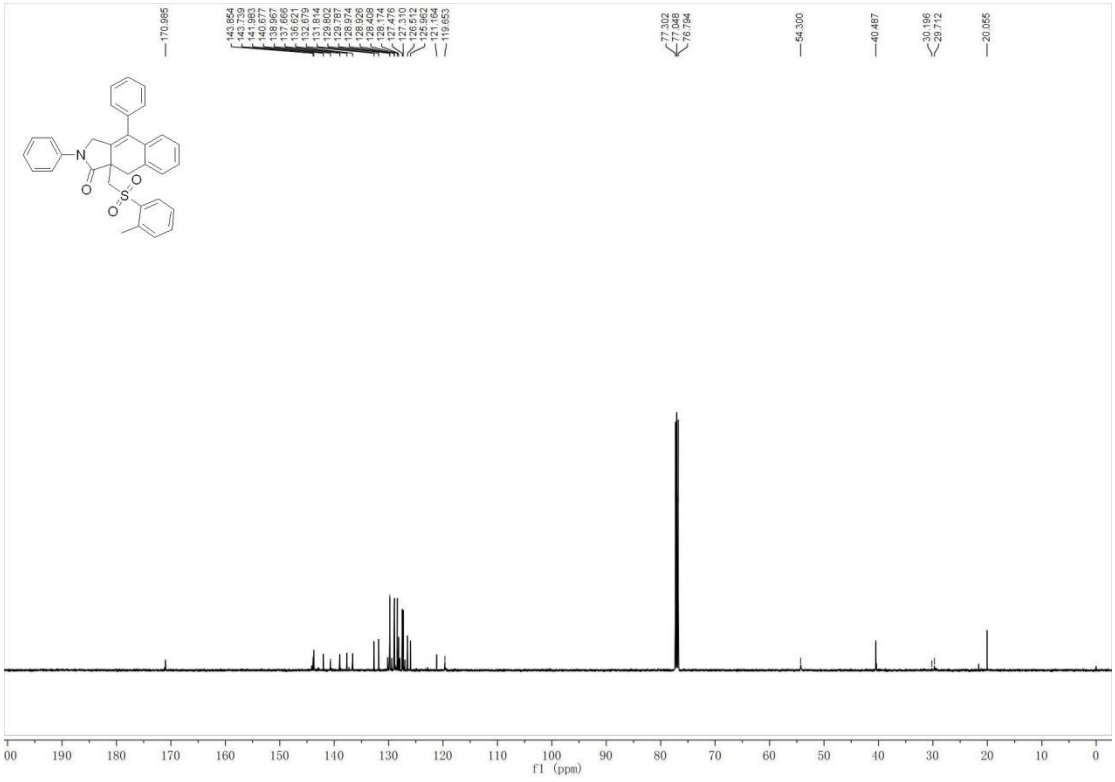


2,4-Diphenyl-9a-((*o*-tolylsulfonyl)methyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3c)

^{1}H NMR-spectrum (500 MHz, $CDCl_3$) of **3c**

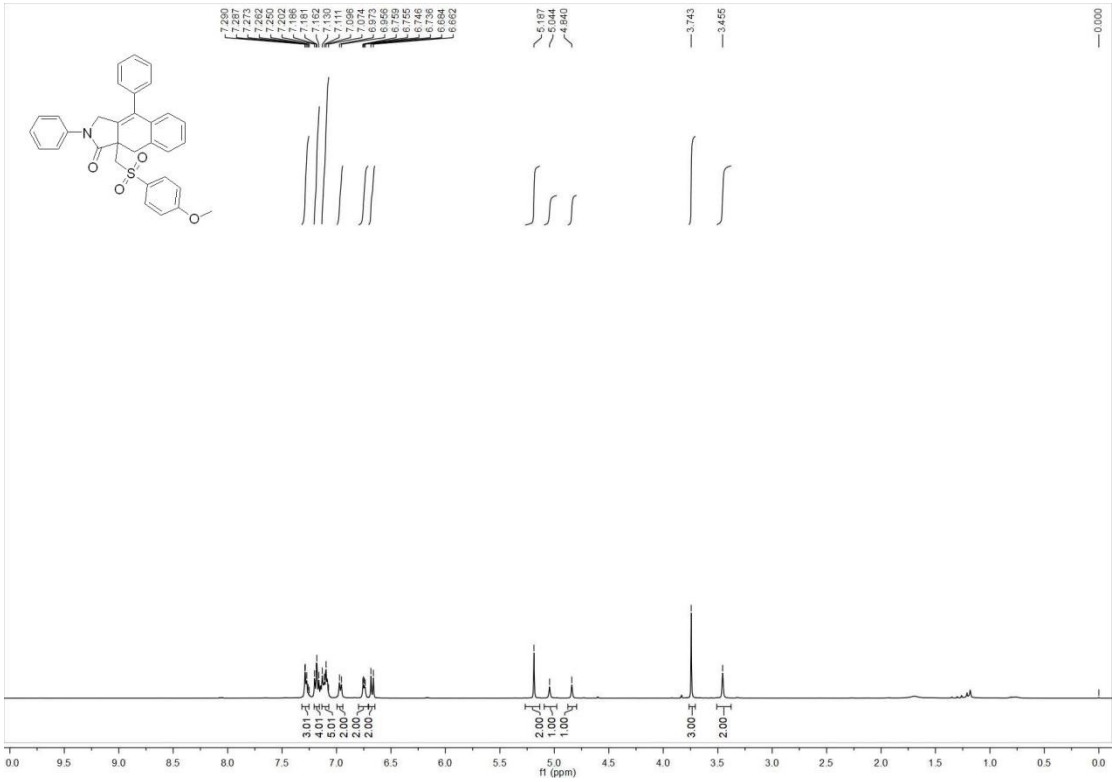


^{13}C NMR-spectrum (126 MHz, $CDCl_3$) of **3c**

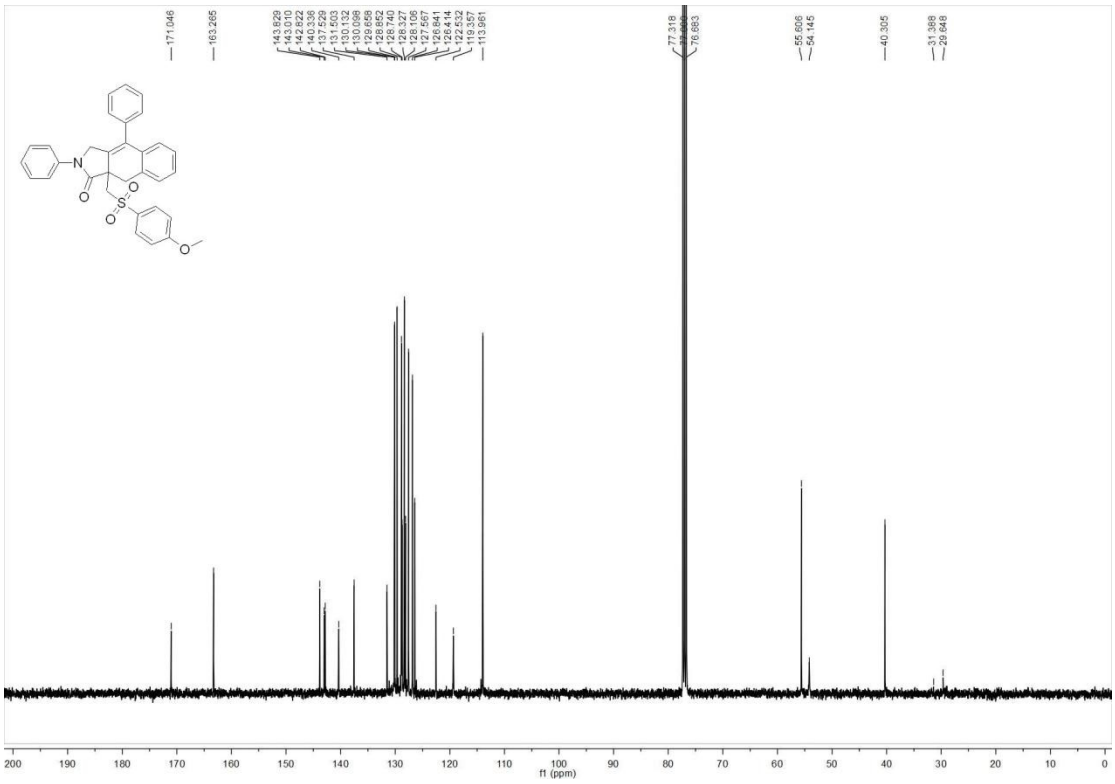


9a-(((4-Methoxyphenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3d)

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

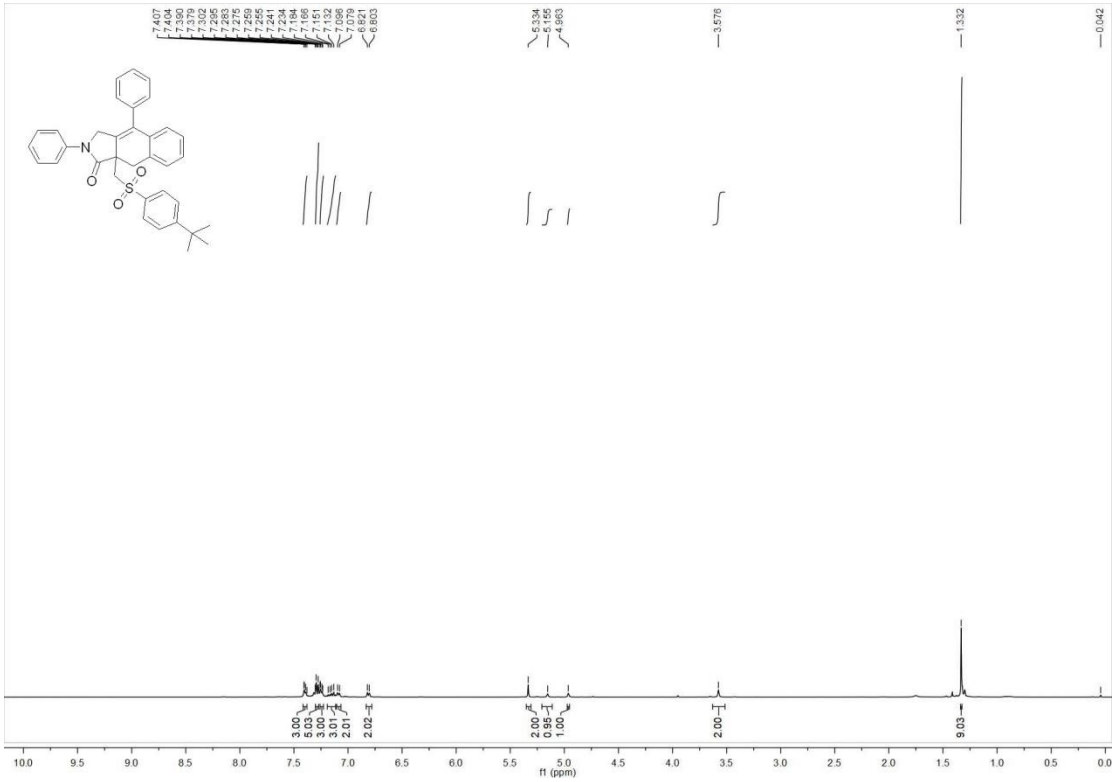


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3d**

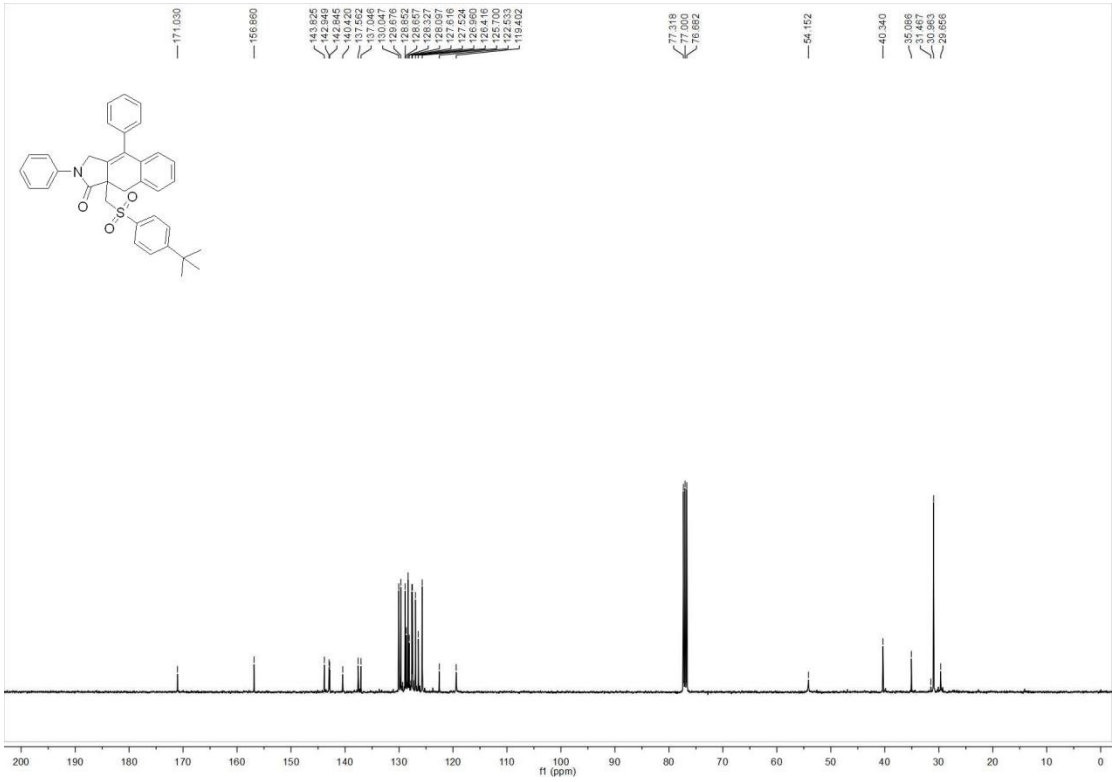


9a-(((4-(*tert*-Butyl)phenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3e)

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

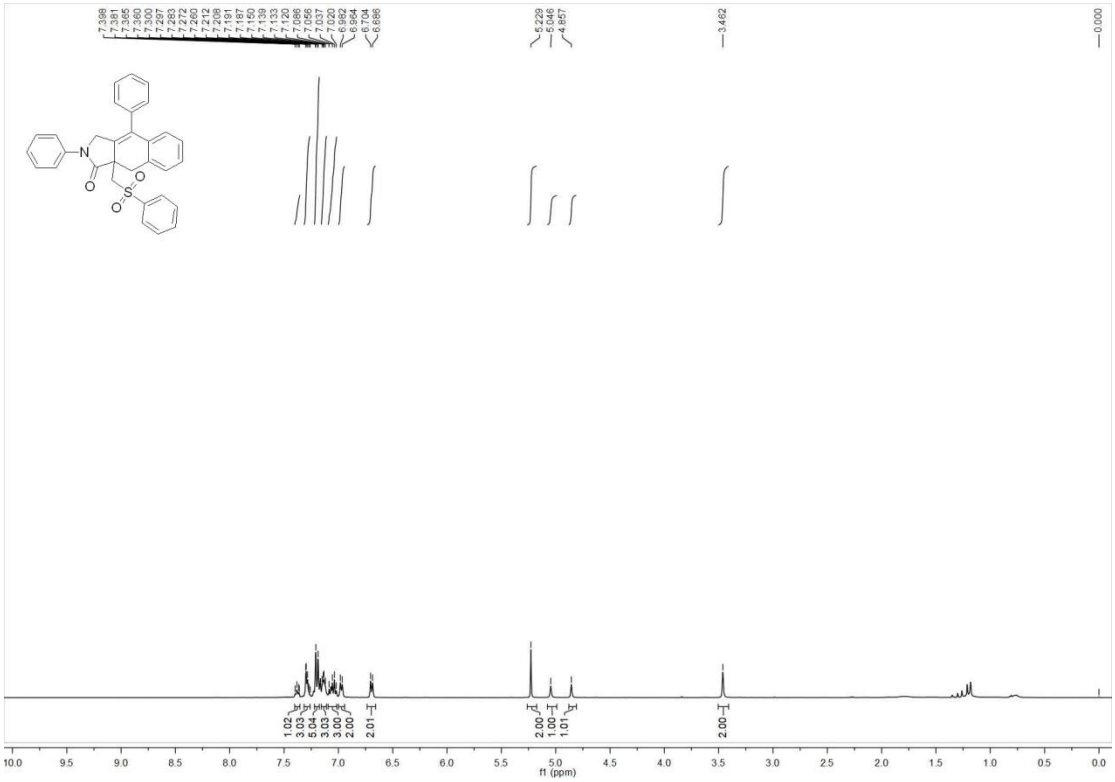


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3e**

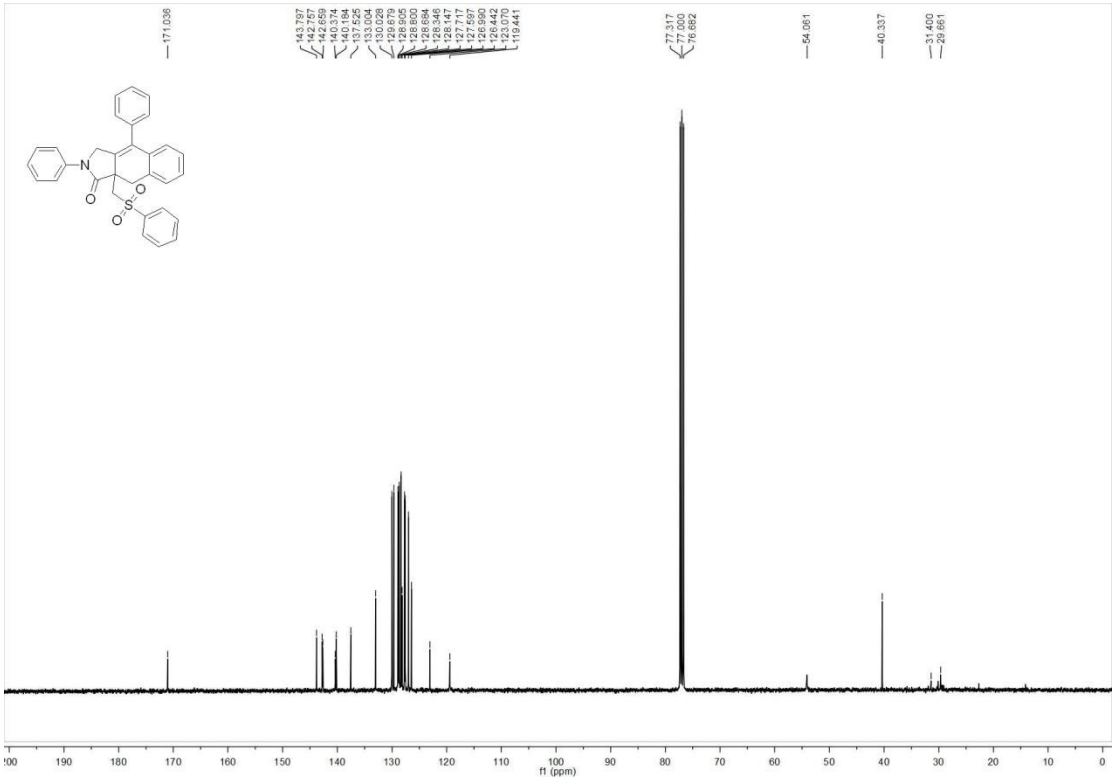


2,4-Diphenyl-9a-((phenylsulfonyl)methyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3f)

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

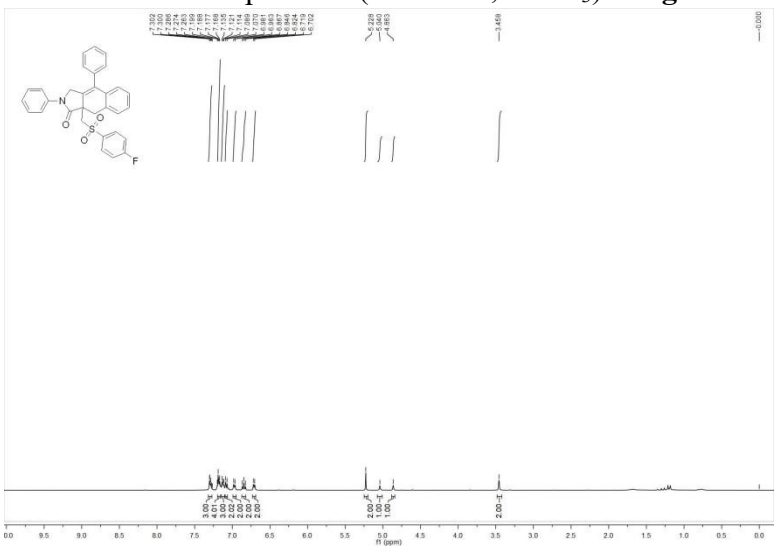


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3f**

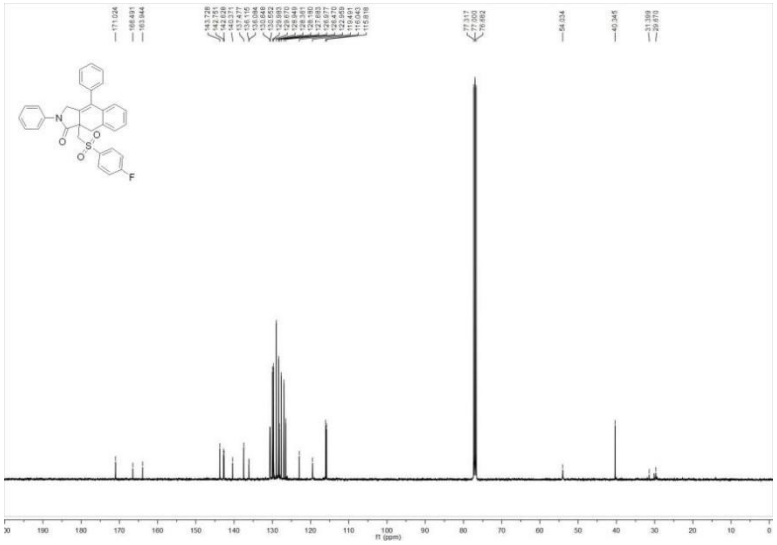


9a-(((4-Fluorophenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3g)

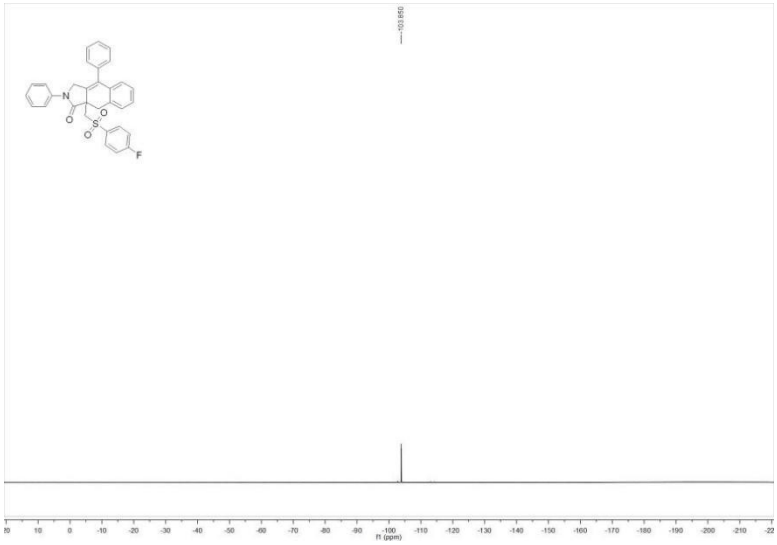
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3g**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3g**

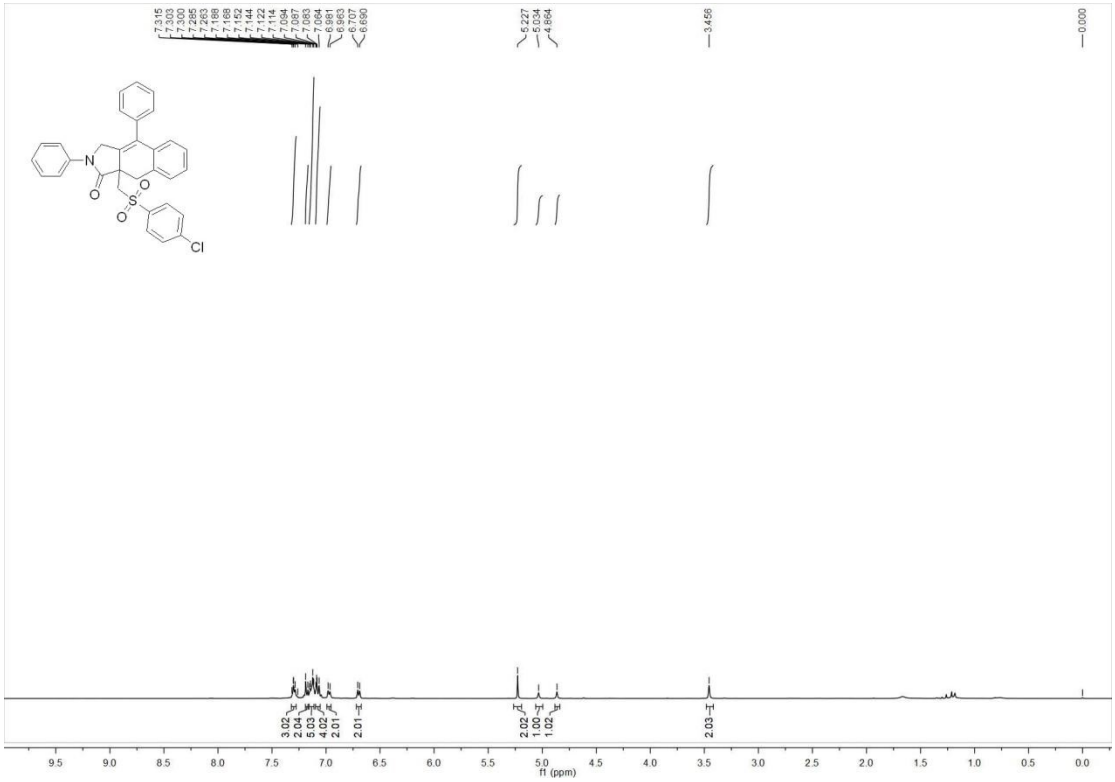


^{19}F NMR-spectrum (377 MHz, $CDCl_3$) of **3g**

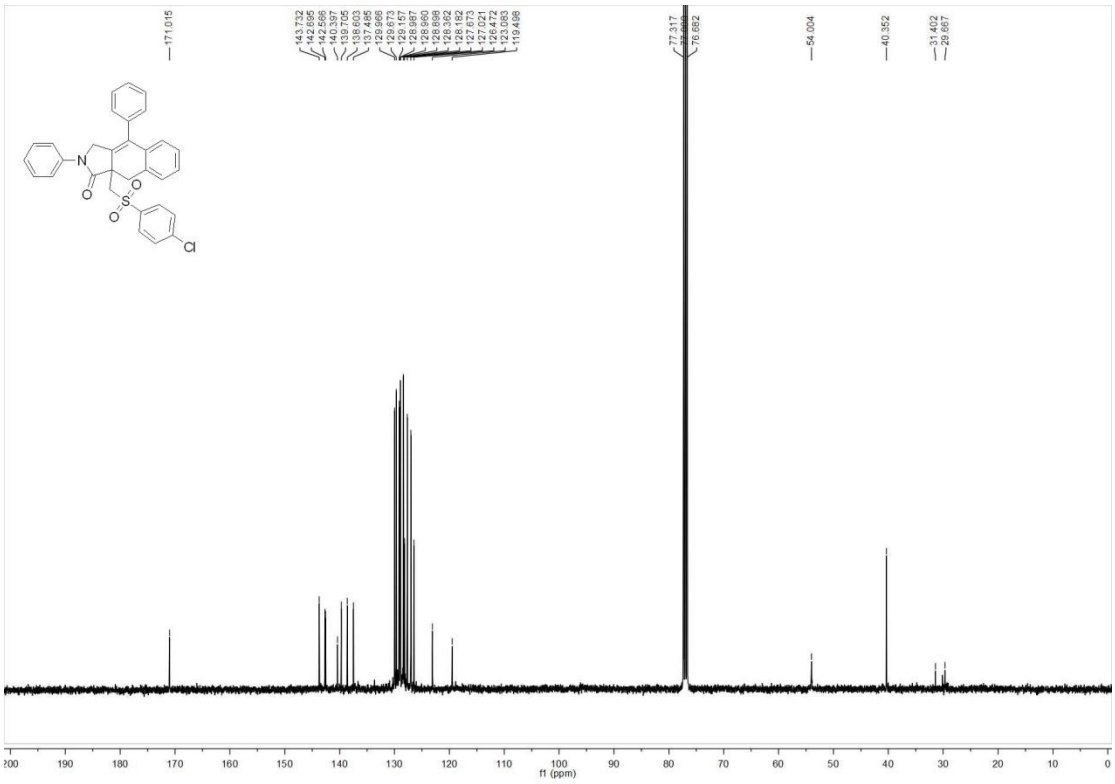


9a-(((4-Chlorophenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3h)

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

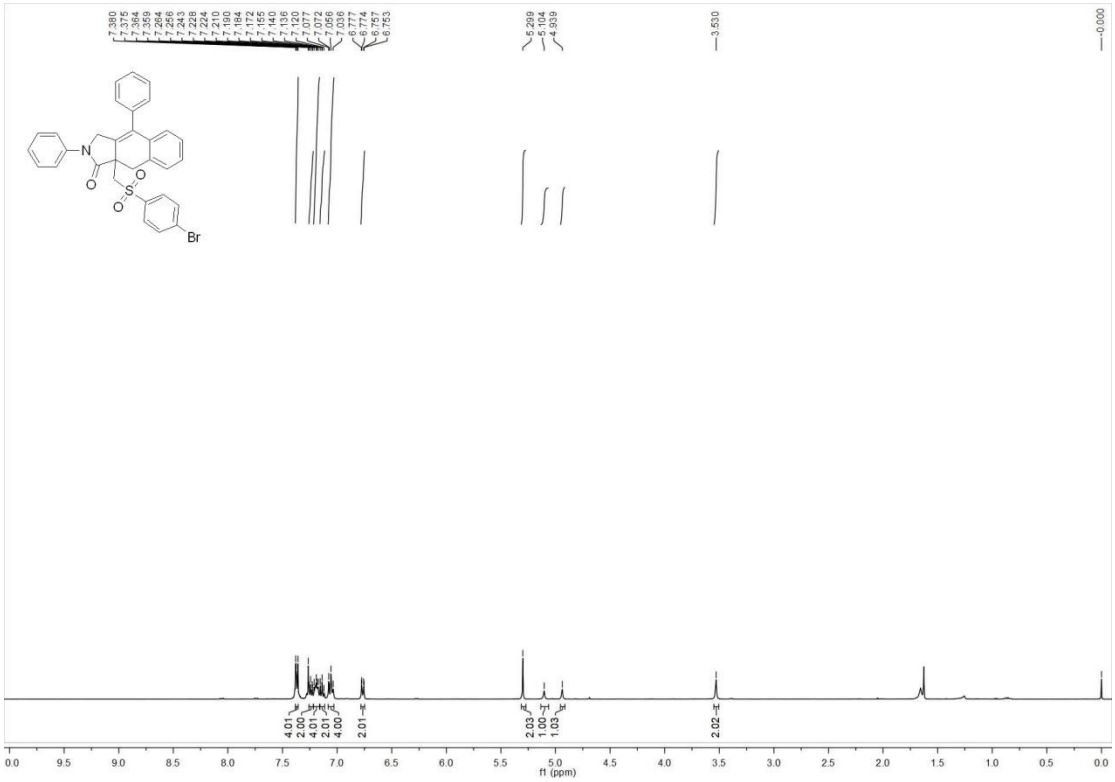


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3h**

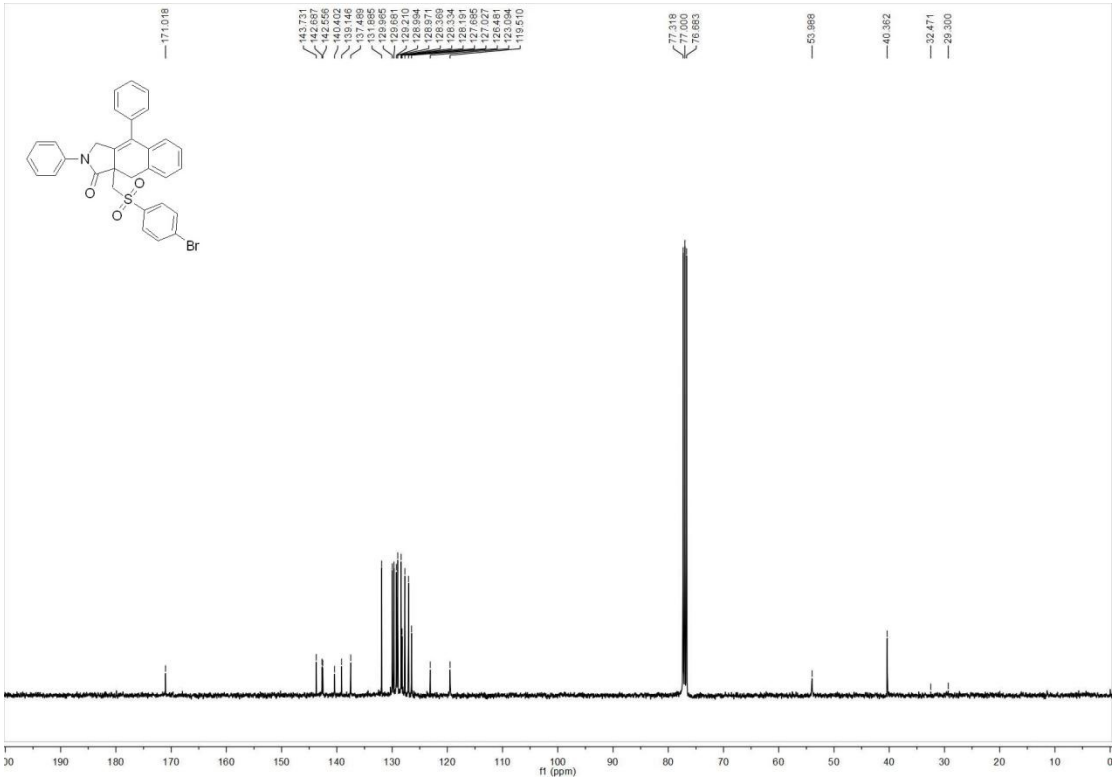


9a-(((4-Bromophenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3i)

^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3i**

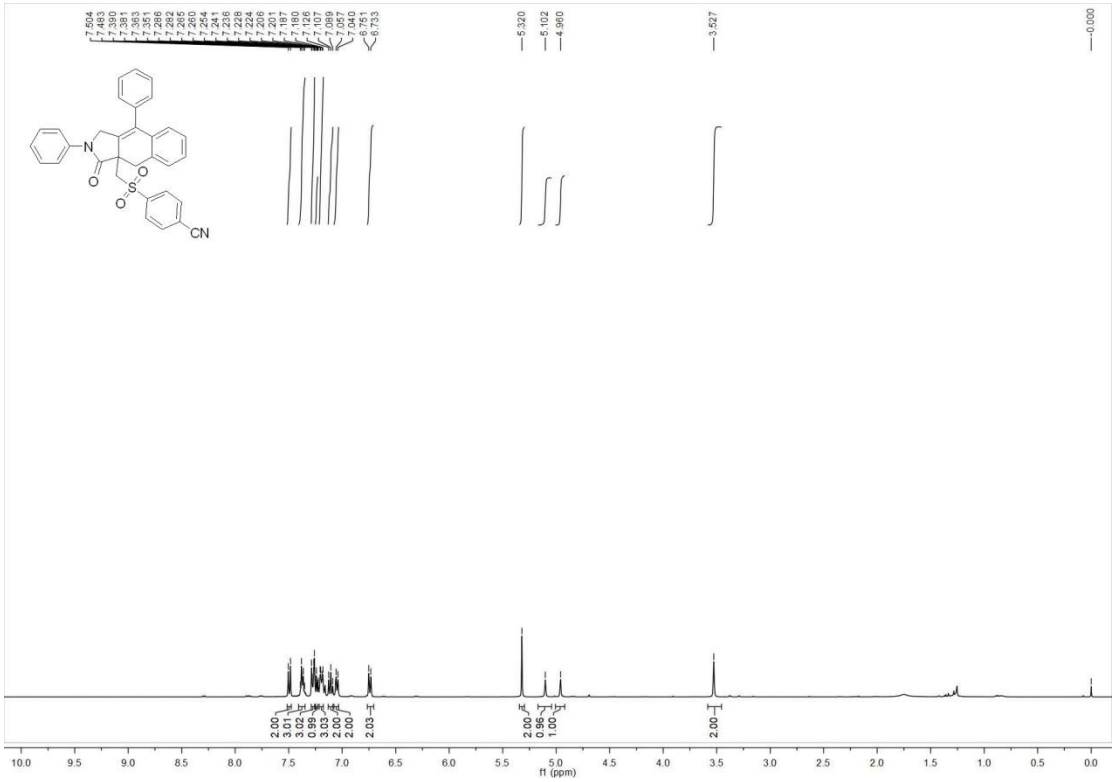


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3i**

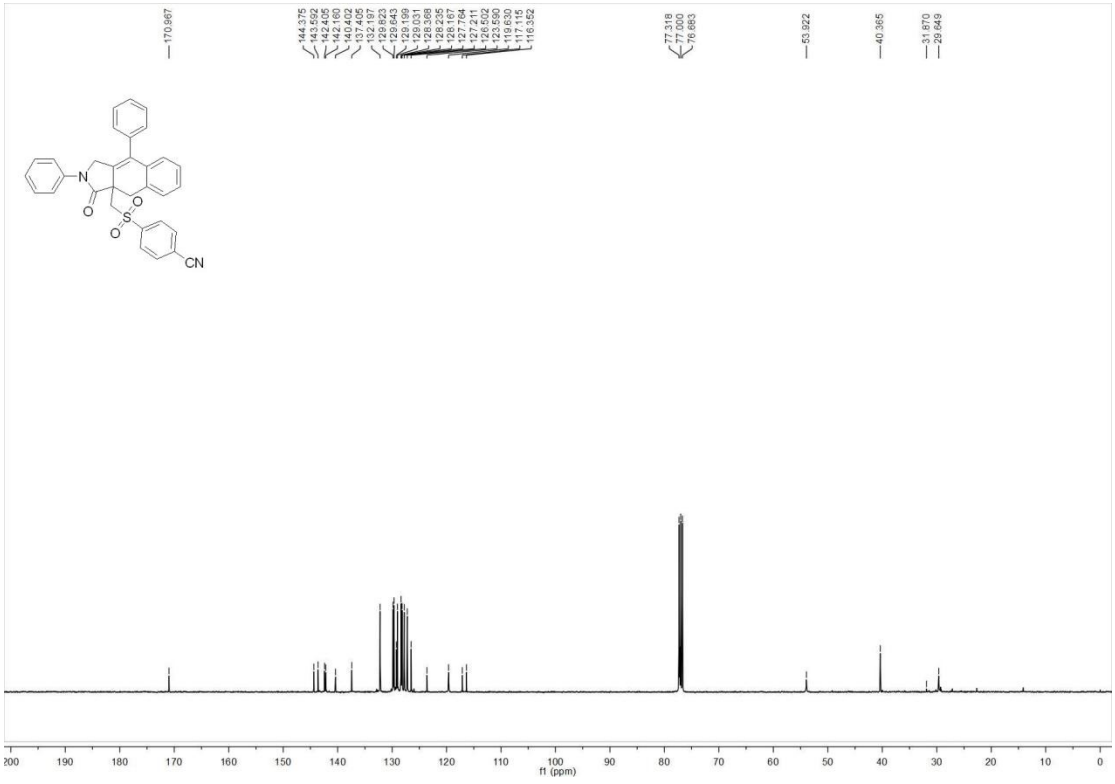


4-(((3-Oxo-2,9-diphenyl-1,2,3,4-tetrahydro-3aH-benzo[*f*]isoindol-3a-yl)methyl)sulfonyl)benzonitrile (3j)

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

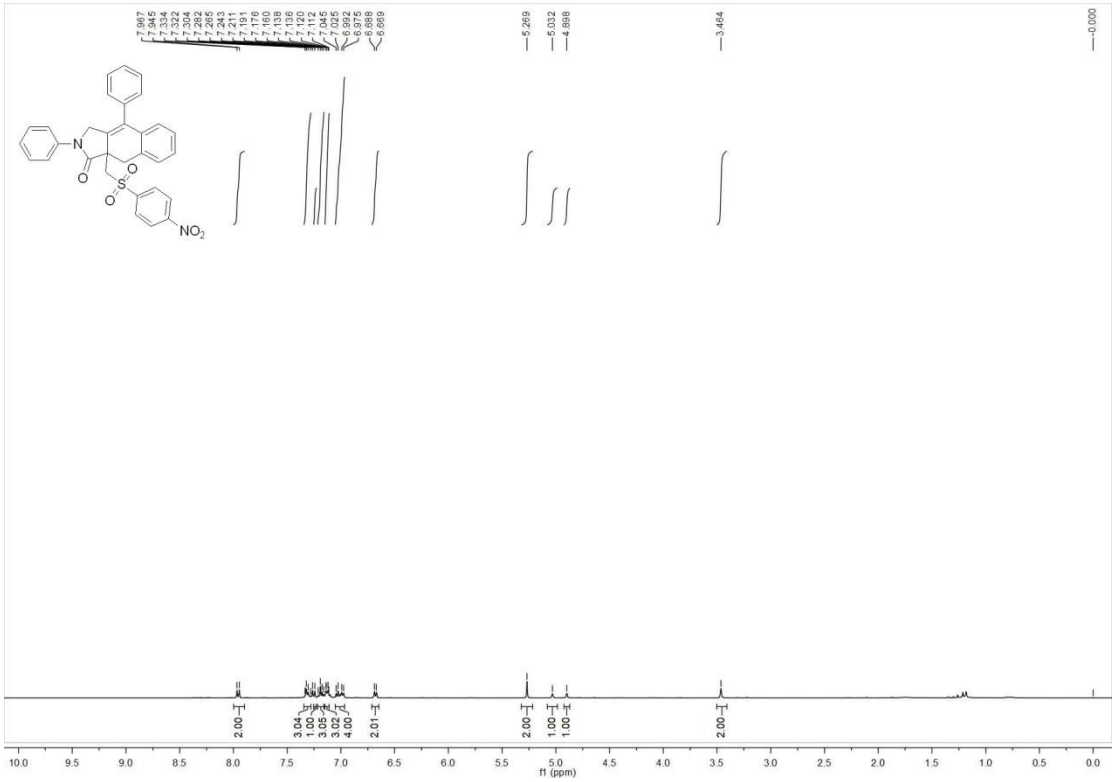


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3j**

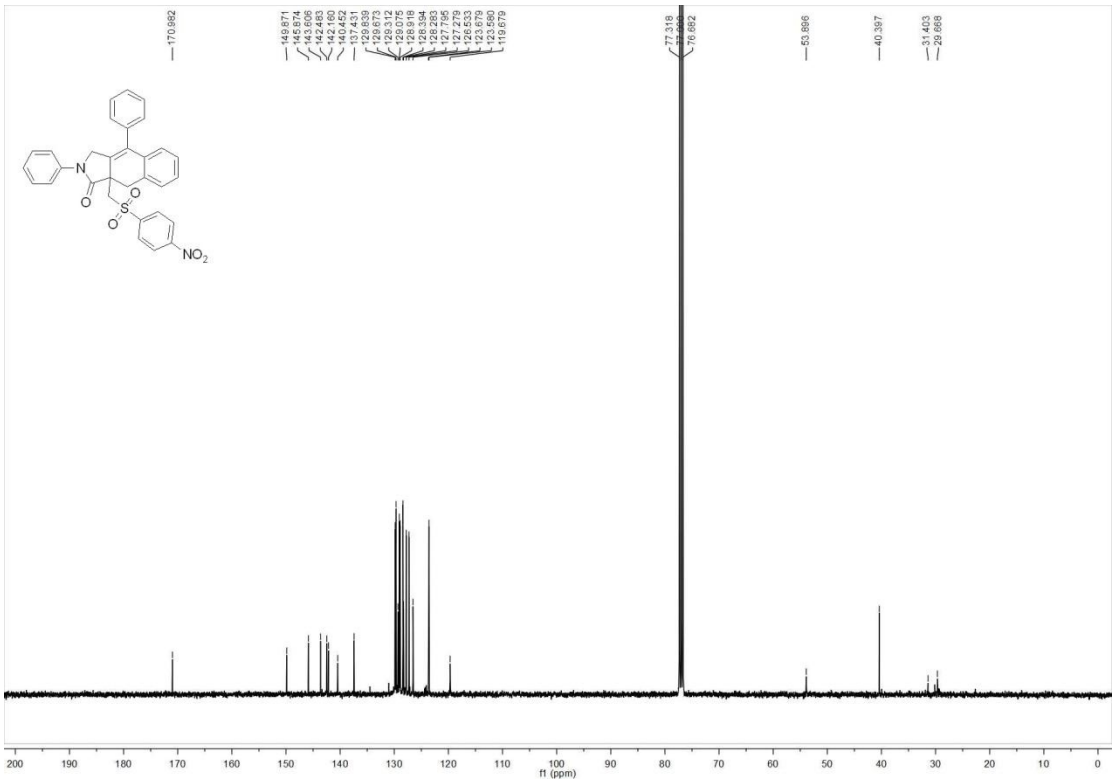


9a-(((4-Nitrophenyl)sulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3k)

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

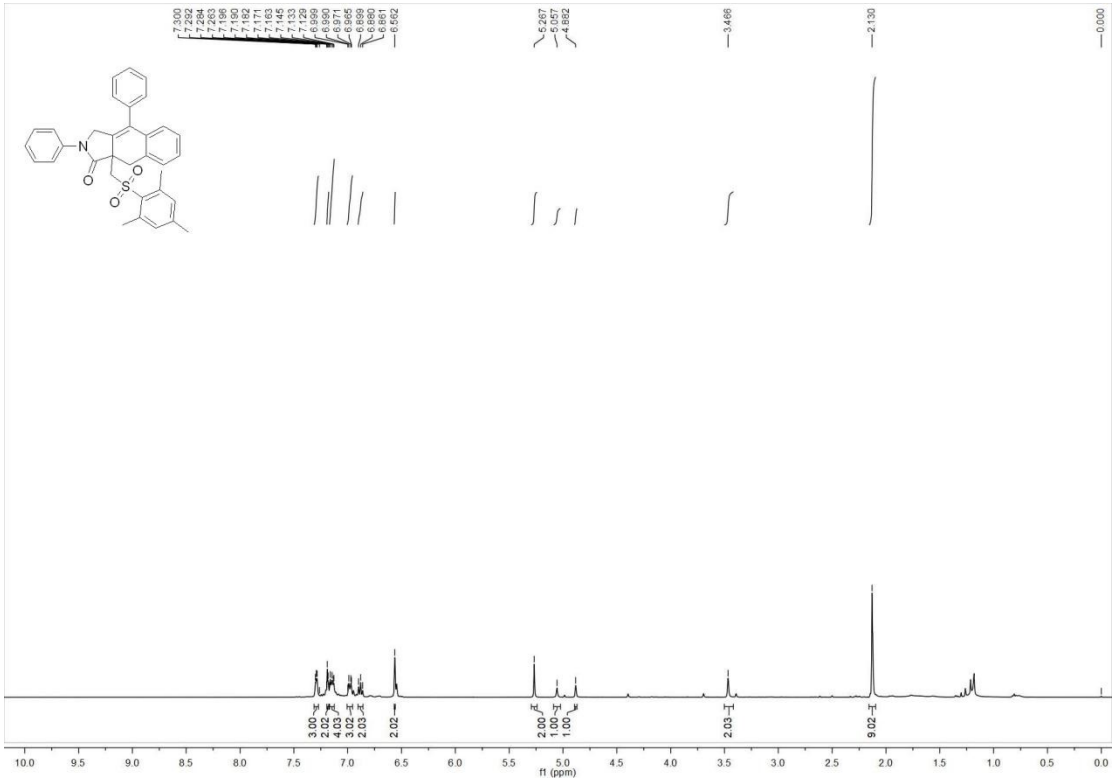


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3k**

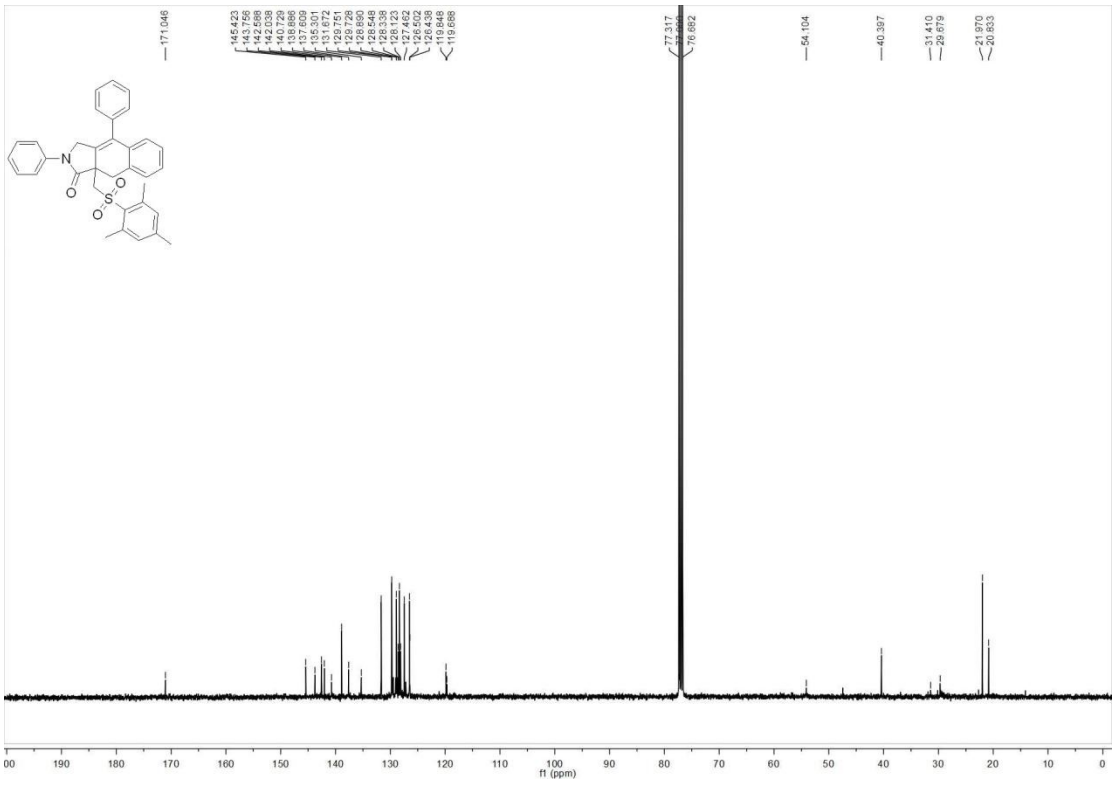


9a-((Mesitylsulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3l)

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

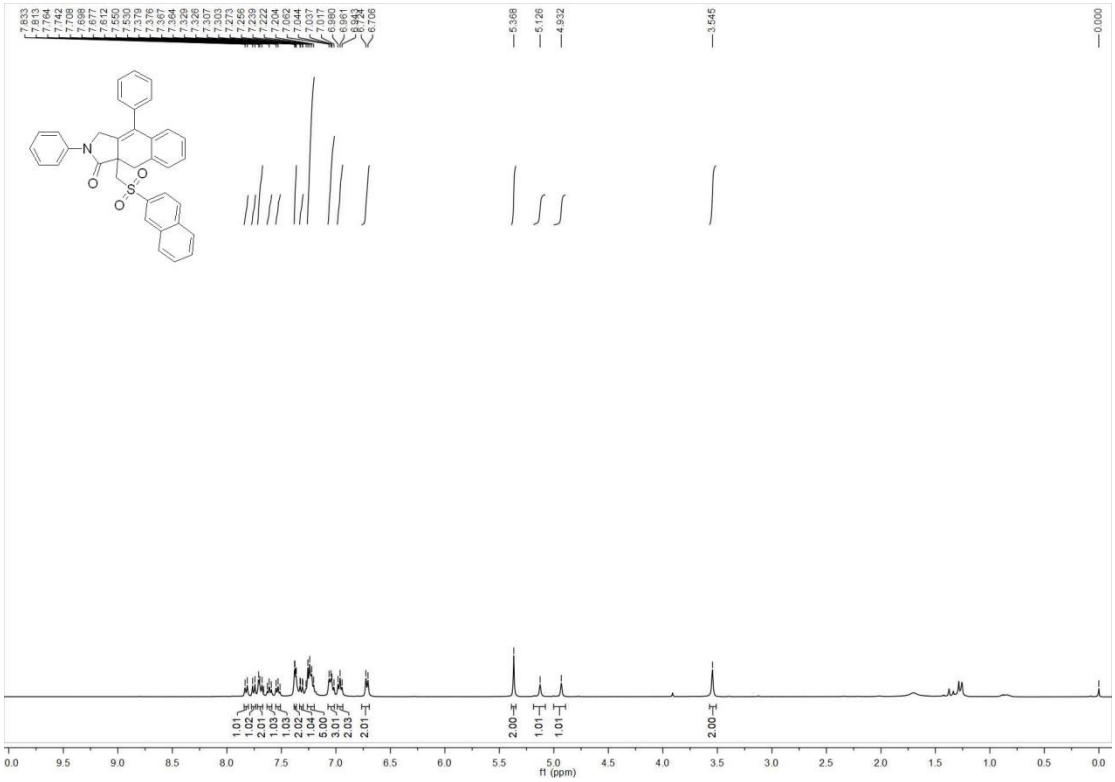


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3l**

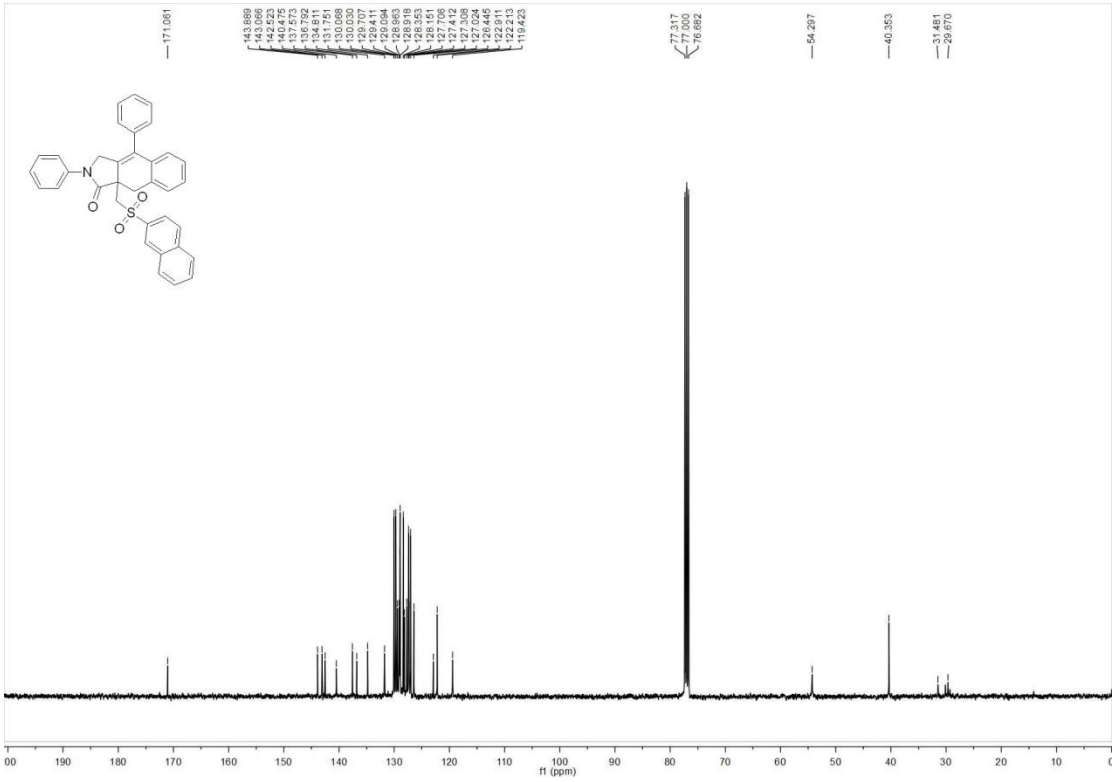


9a-((Naphthalen-2-ylsulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3m)

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

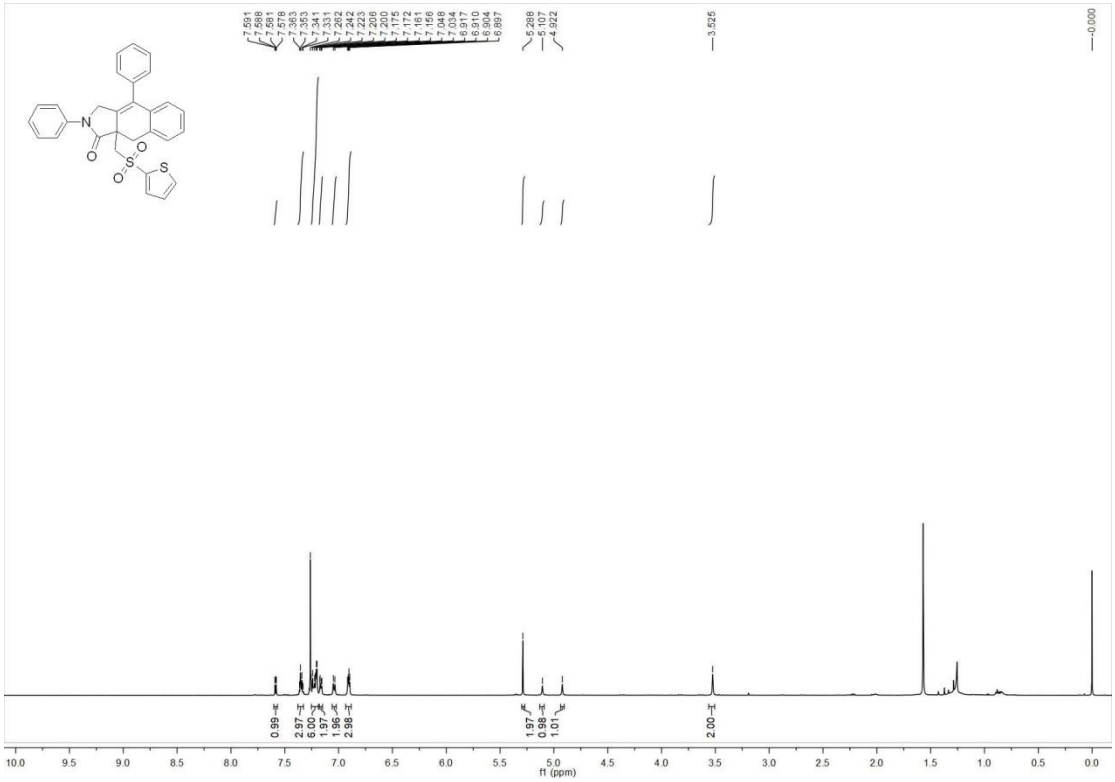


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3m**

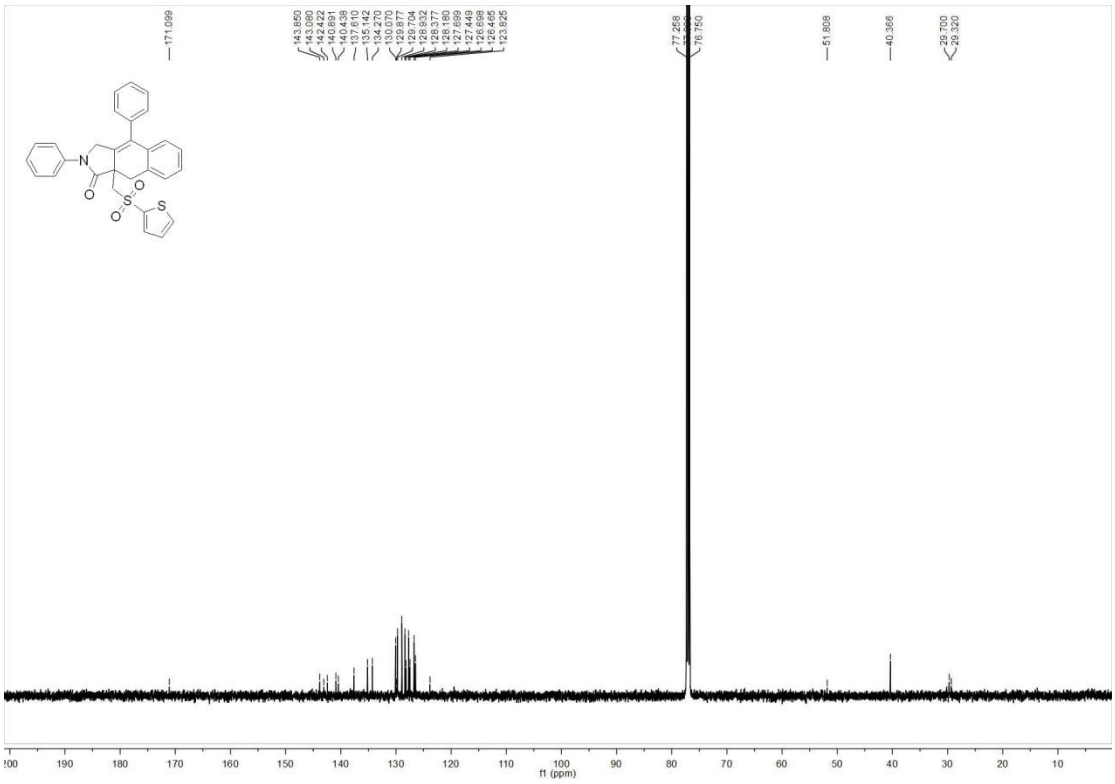


2,4-Diphenyl-9a-((thiophen-2-ylsulfonyl)methyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3n)

^{1}H NMR-spectrum (500 MHz, $CDCl_3$) of **3n**

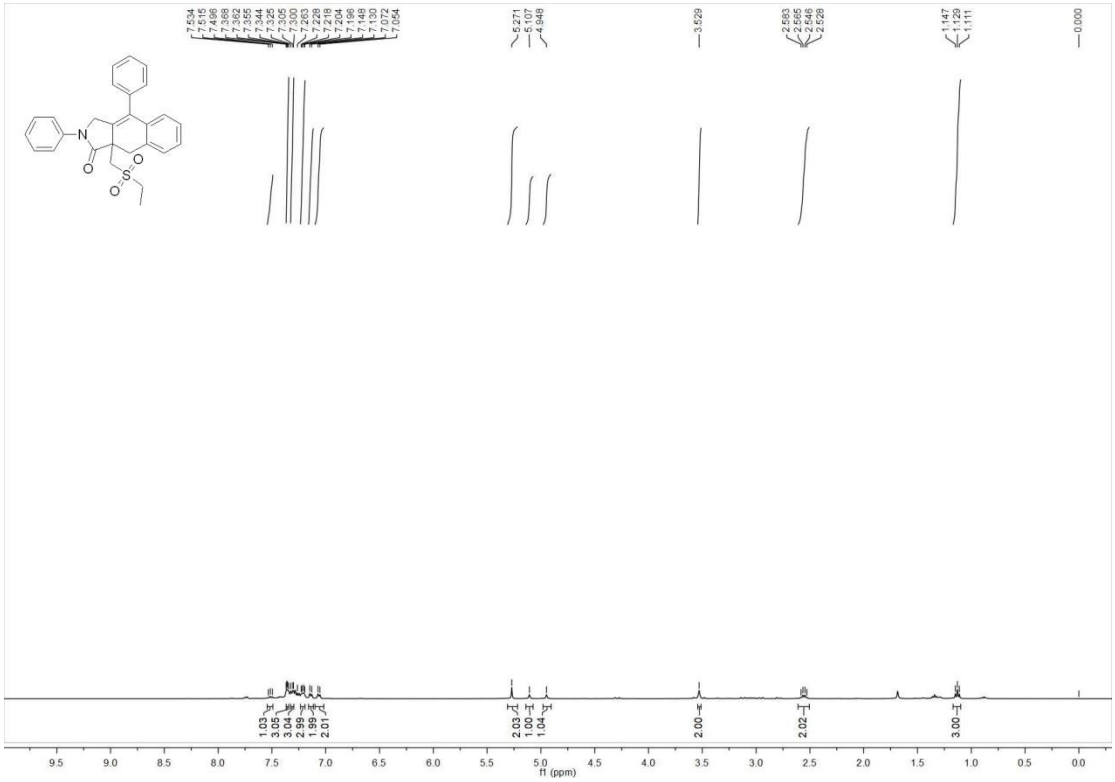


^{13}C NMR-spectrum (126 MHz, $CDCl_3$) of **3n**

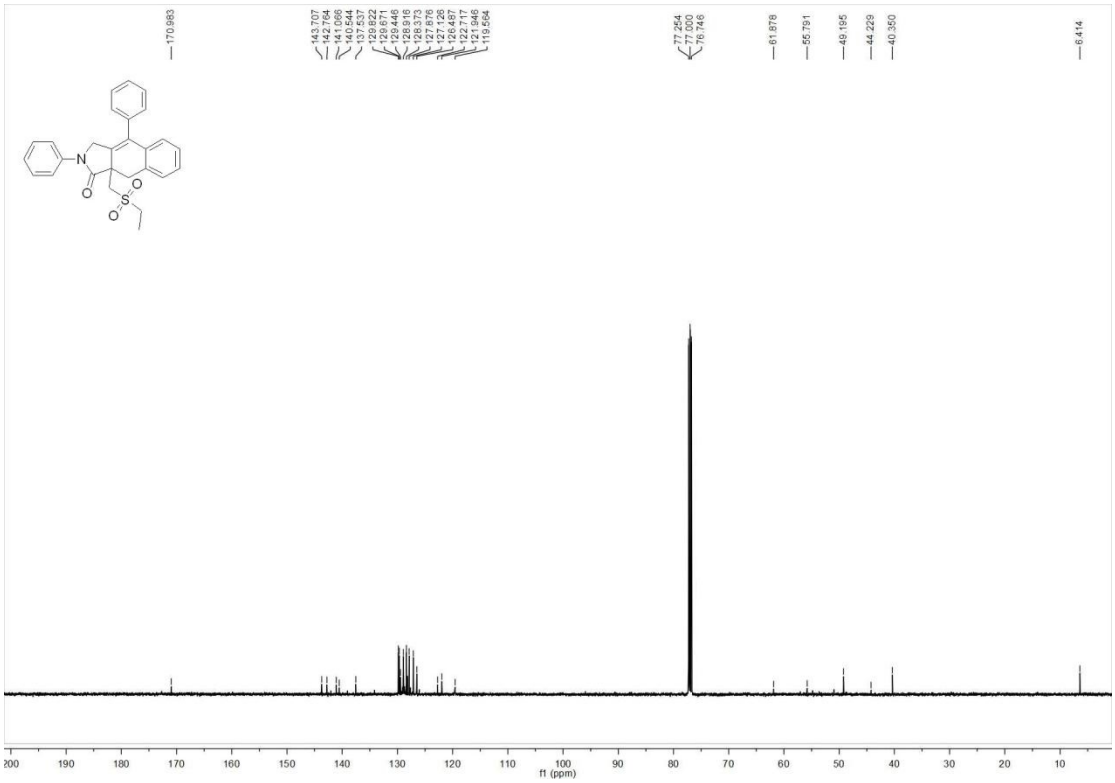


9a-((Ethylsulfonyl)methyl)-2,4-diphenyl-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3o)

^{1}H NMR-spectrum (500 MHz, $CDCl_3$) of **3o**

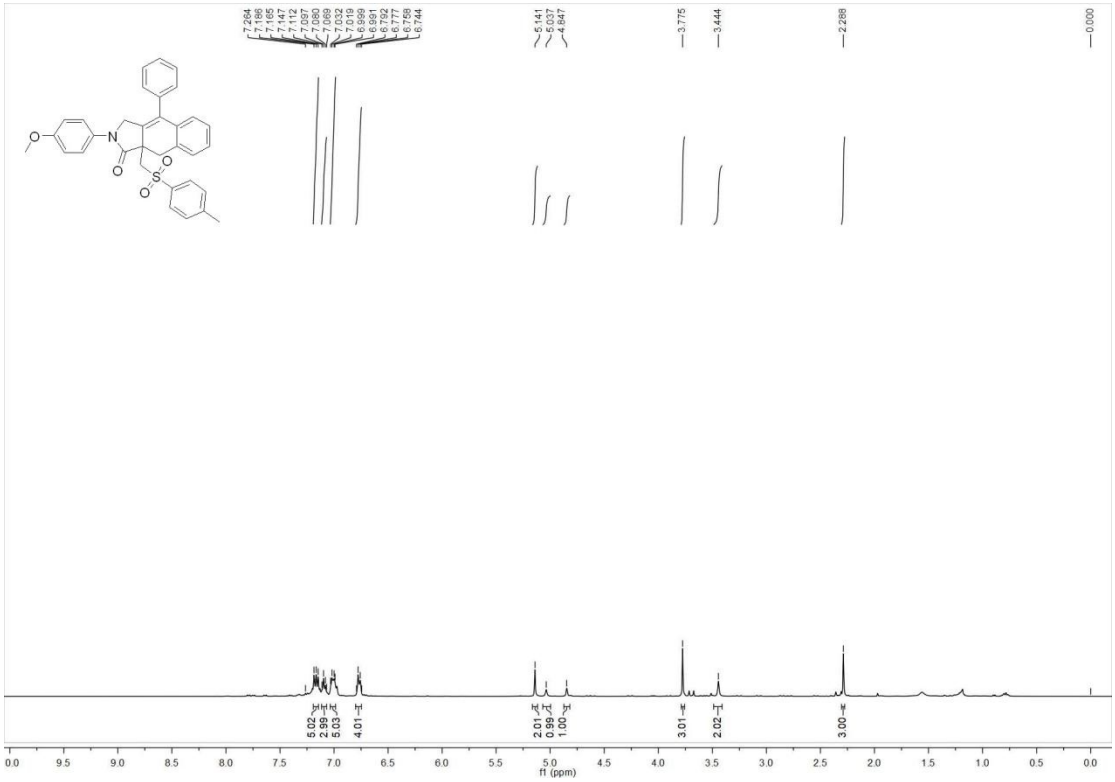


^{13}C NMR-spectrum (126 MHz, $CDCl_3$) of **3o**

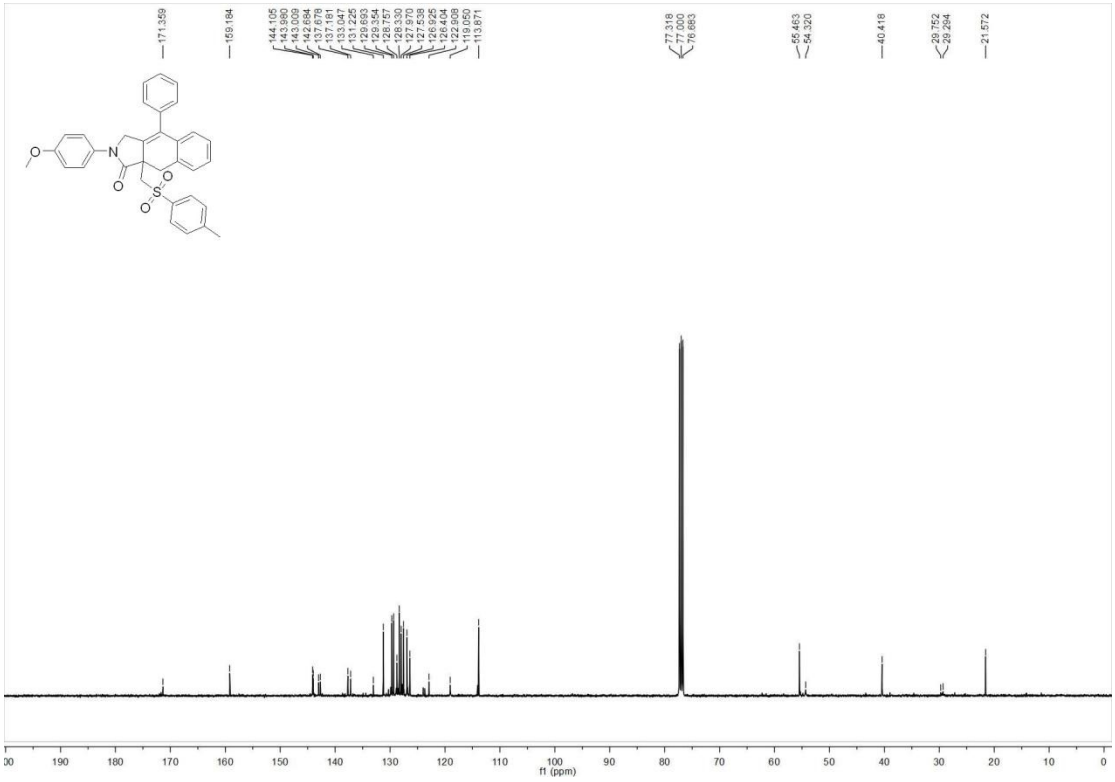


2-(4-Methoxyphenyl)-4-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3p)

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

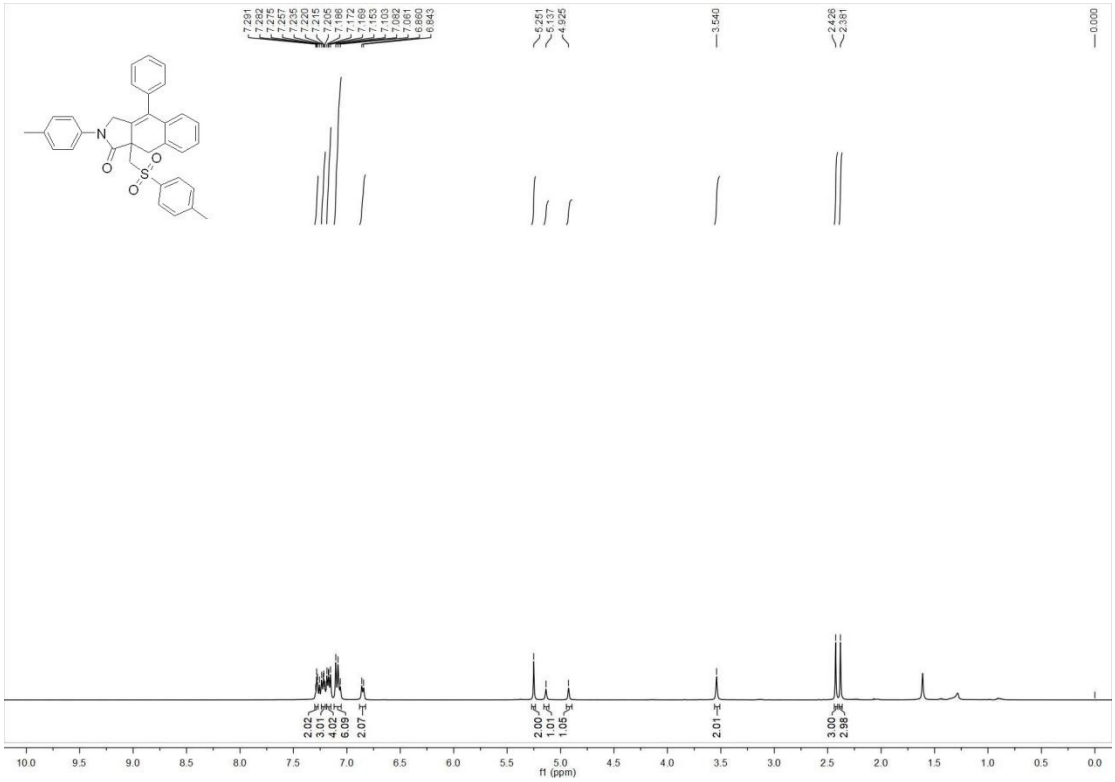


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3p**

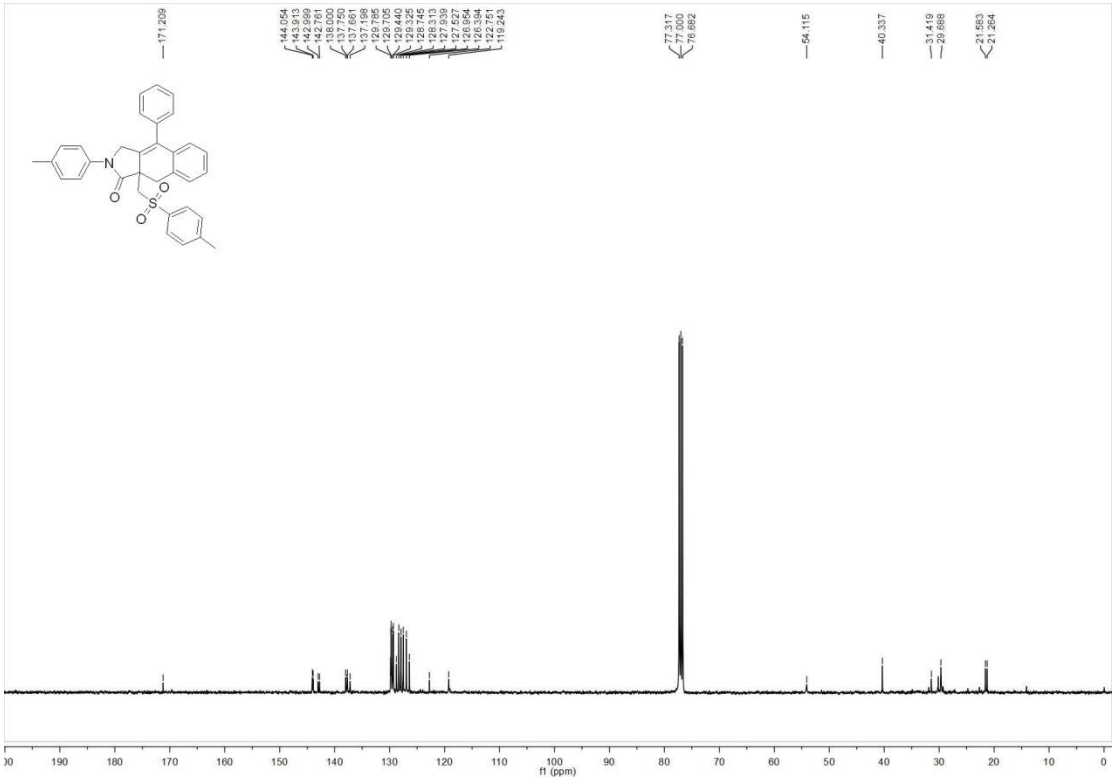


4-Phenyl-2-(*p*-tolyl)-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3q)

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

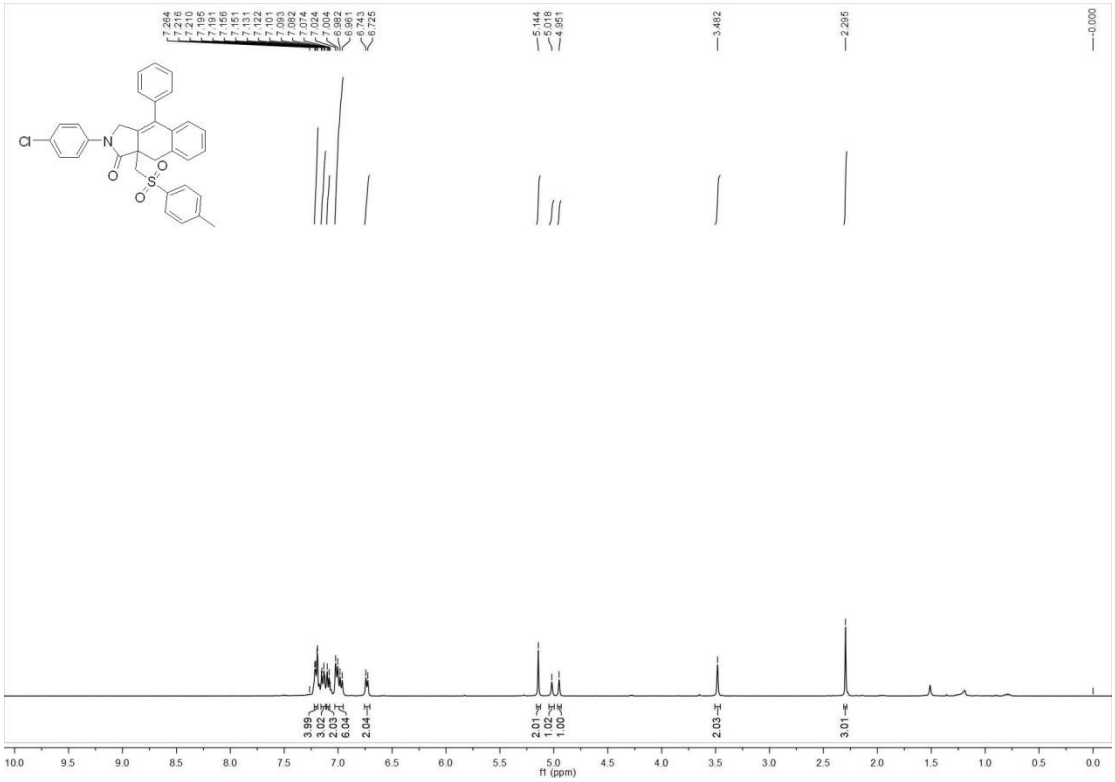


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3q**

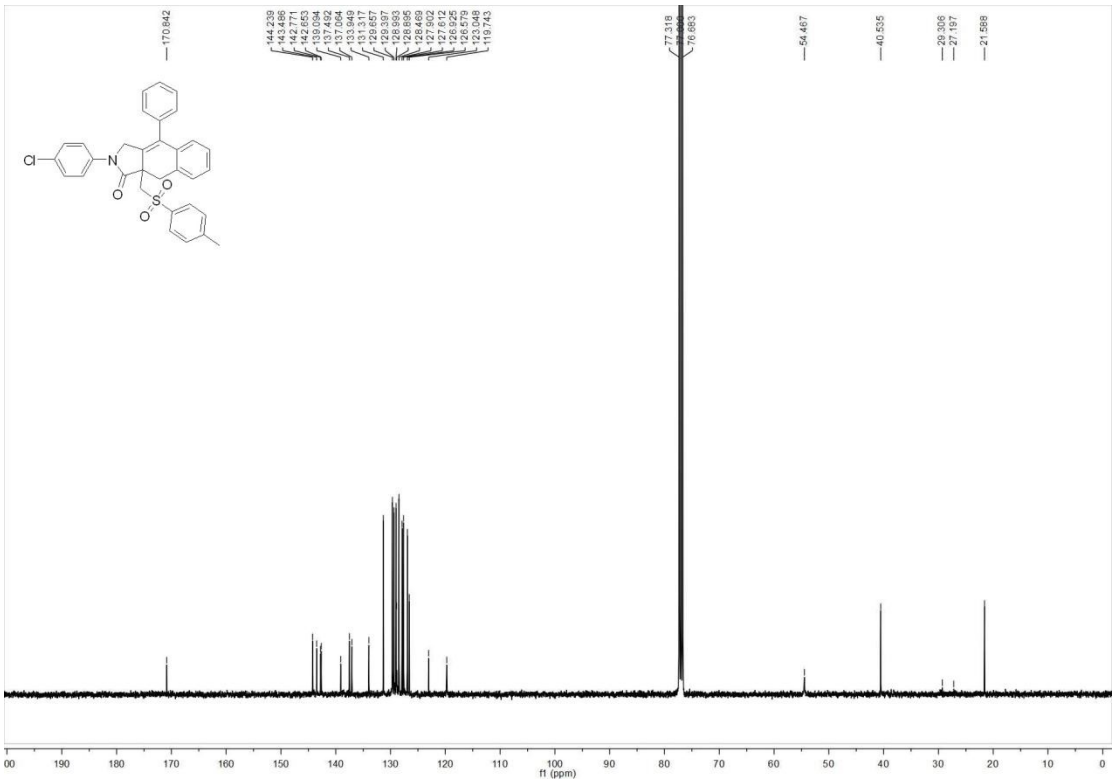


2-(4-Chlorophenyl)-4-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3r)

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

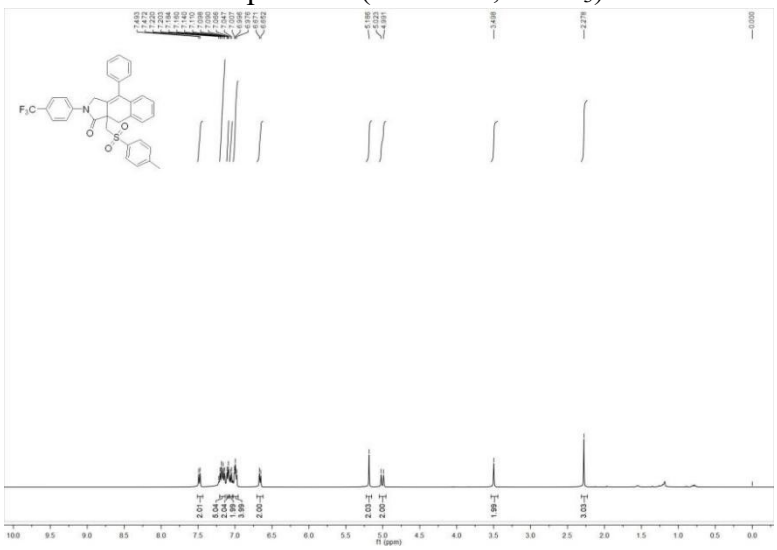


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3r**

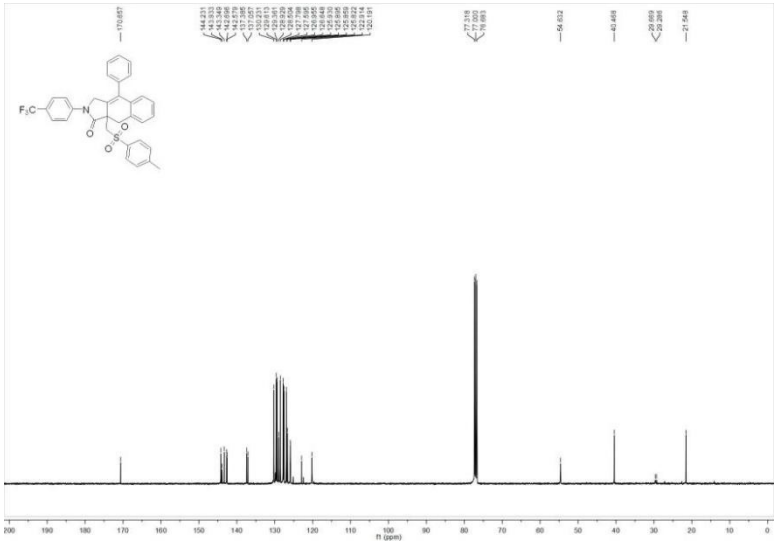


4-Phenyl-9a-(tosylmethyl)-2-(4-(trifluoromethyl)phenyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one(3s)

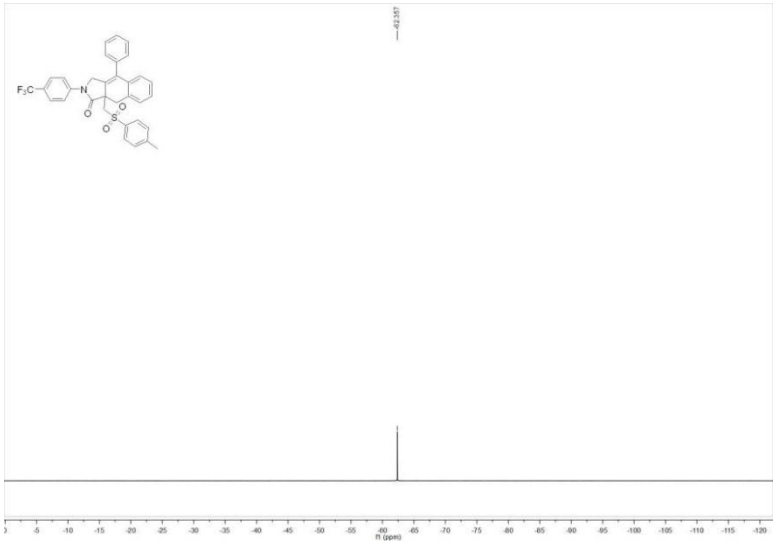
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3s**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3s**

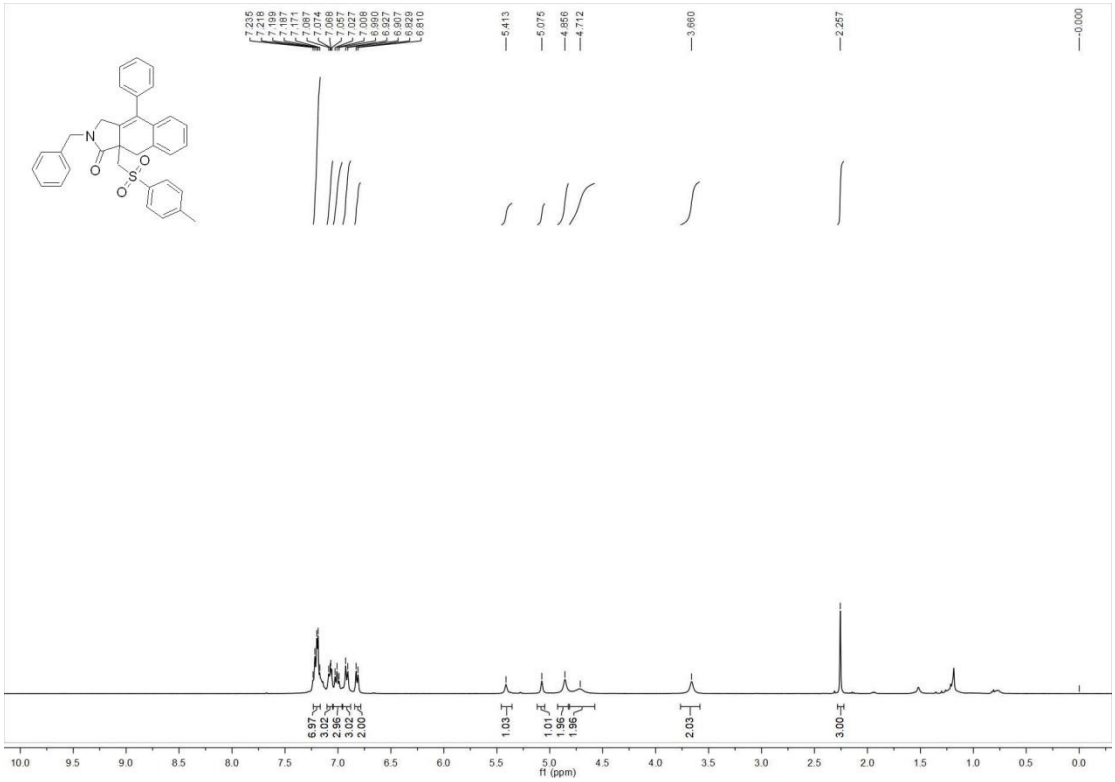


^{19}F NMR-spectrum (376 MHz, $CDCl_3$) of **3s**

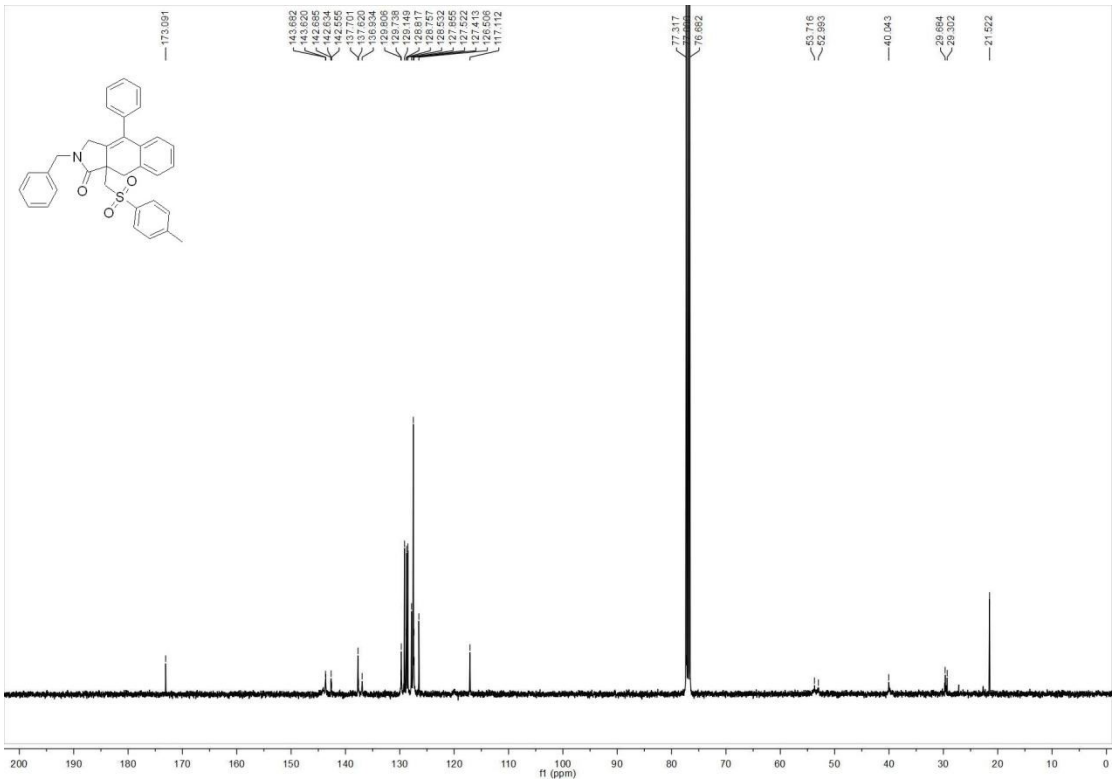


2-Benzyl-4-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3t)

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

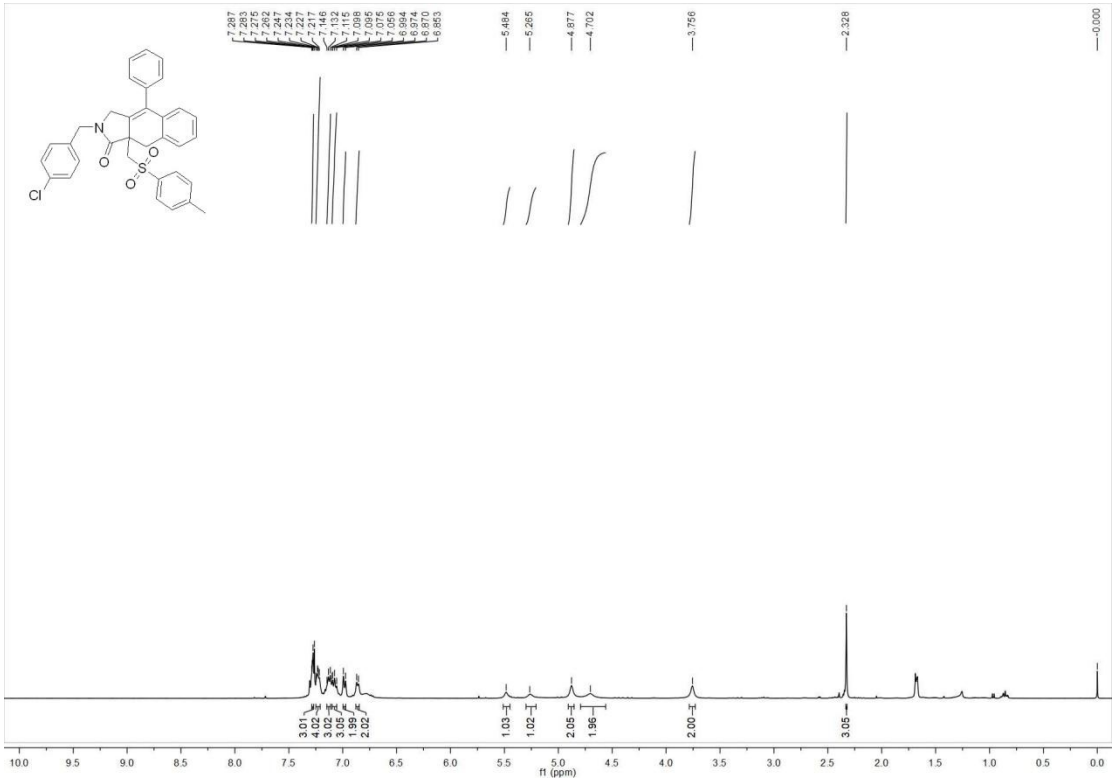


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3t**

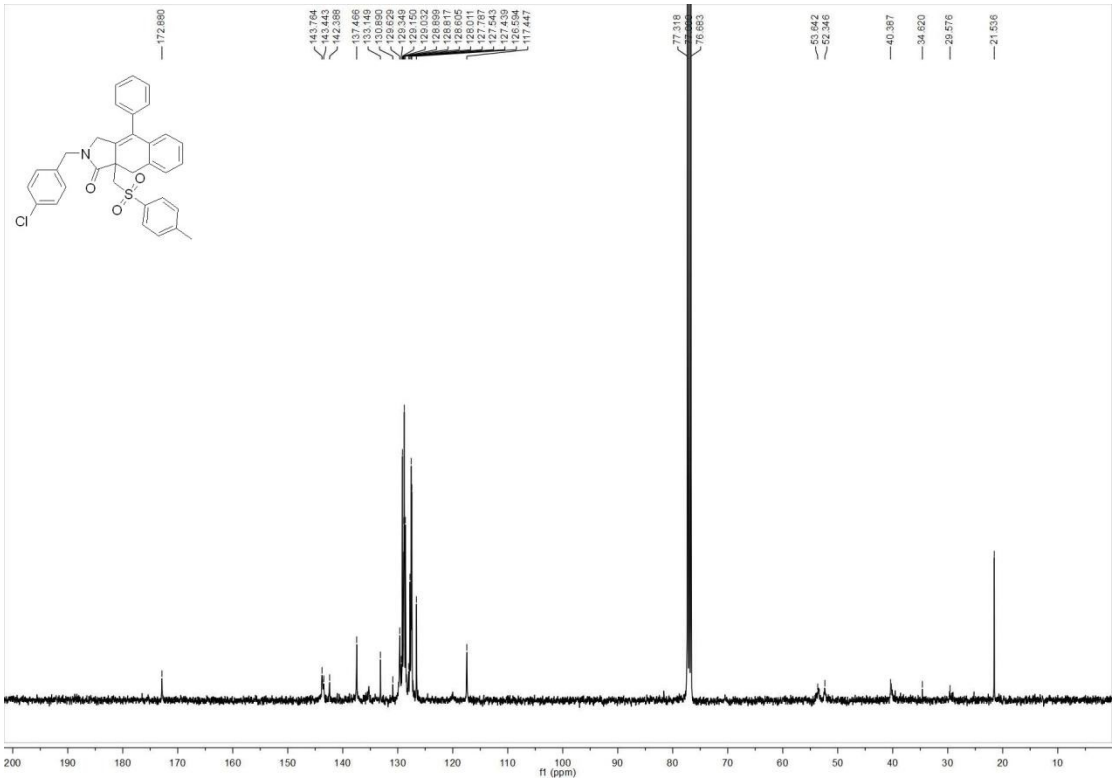


2-(4-Chlorobenzyl)-4-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3u)

^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3u**

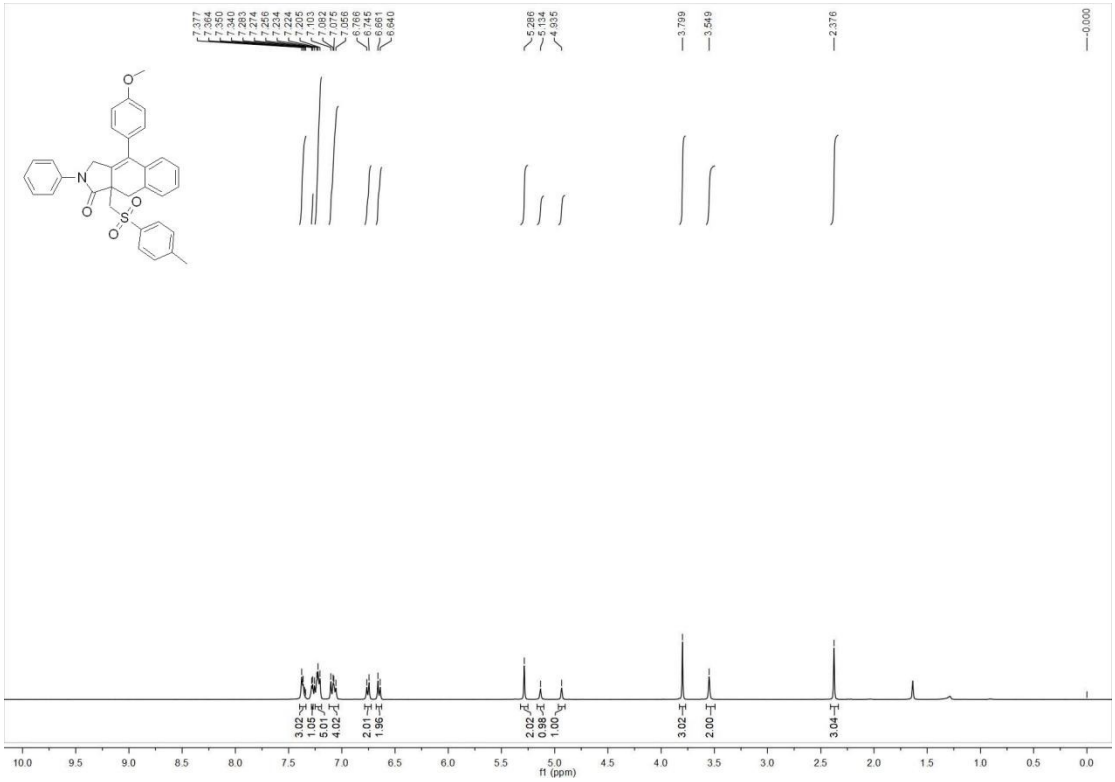


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3u**

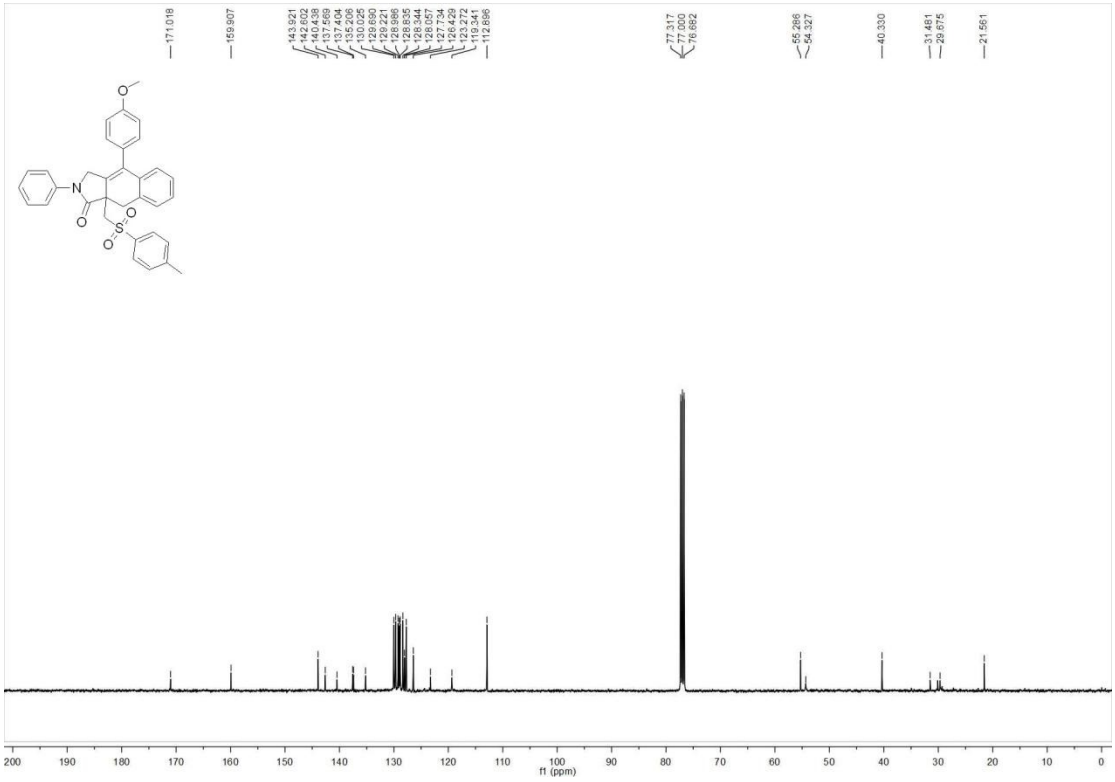


4-(4-Methoxyphenyl)-2-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3v)

^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3v**

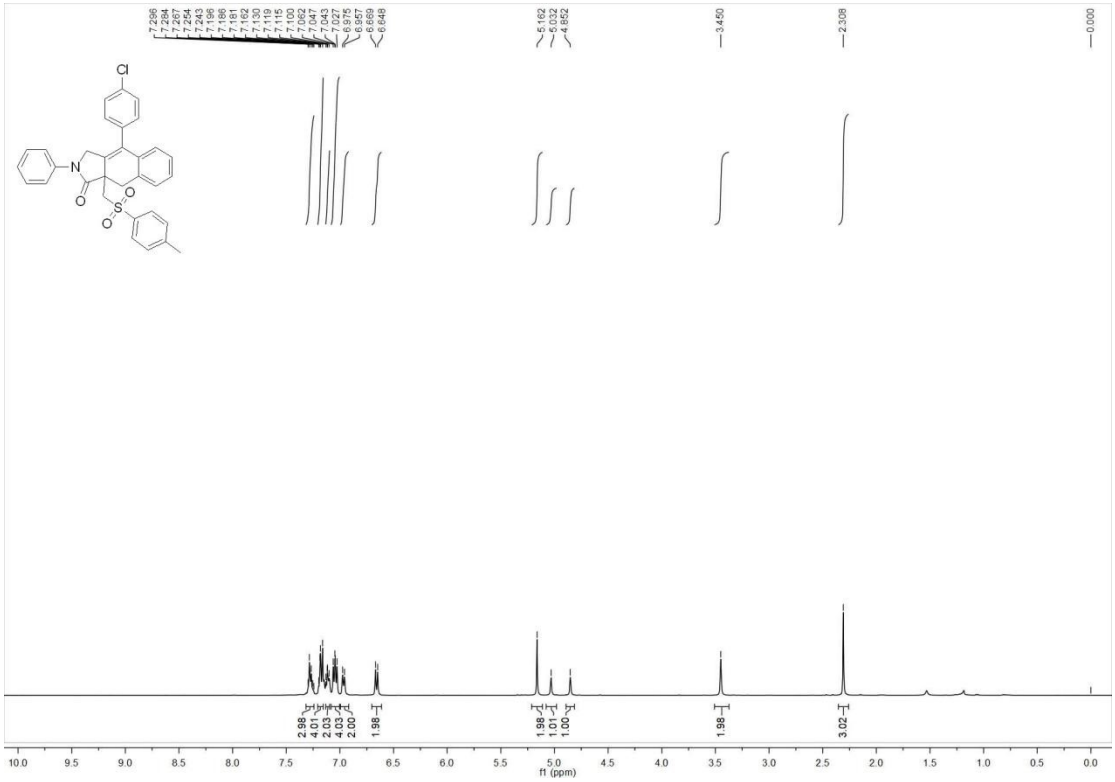


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3v**

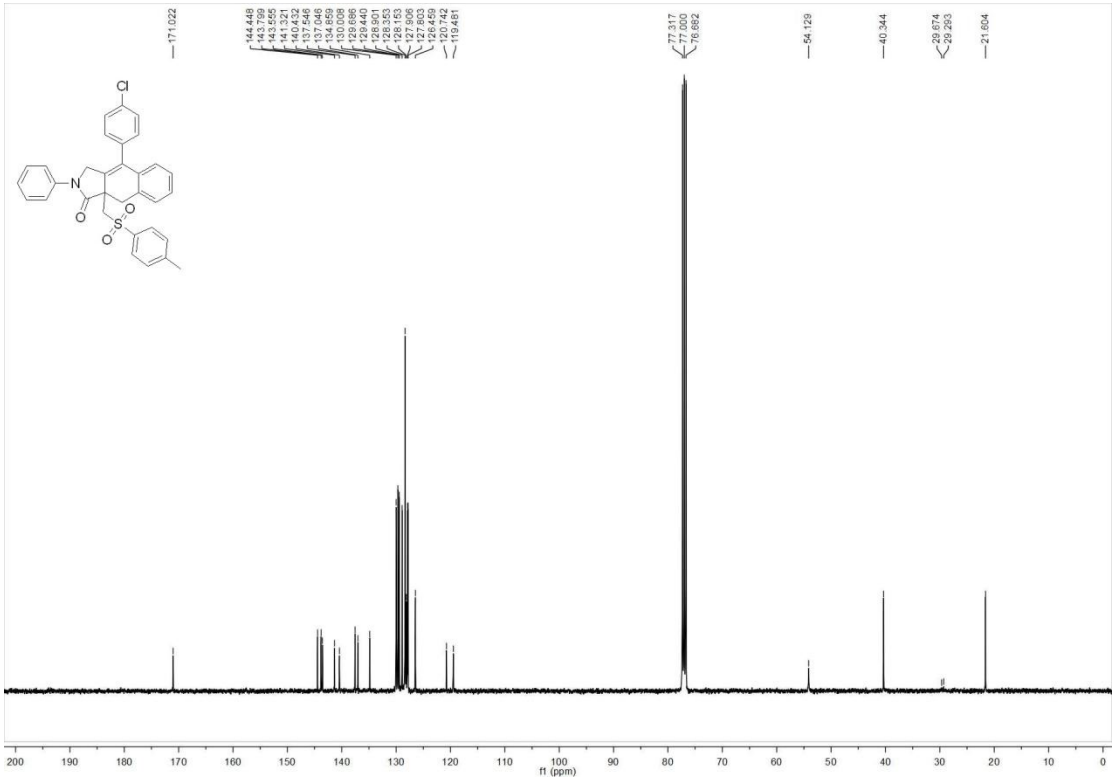


4-(4-Chlorophenyl)-2-phenyl-9a-(tosylmethyl)-2,3,9,9a-tetrahydro-1*H*-benzo[*f*]isoindol-1-one (3w)

^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3w**

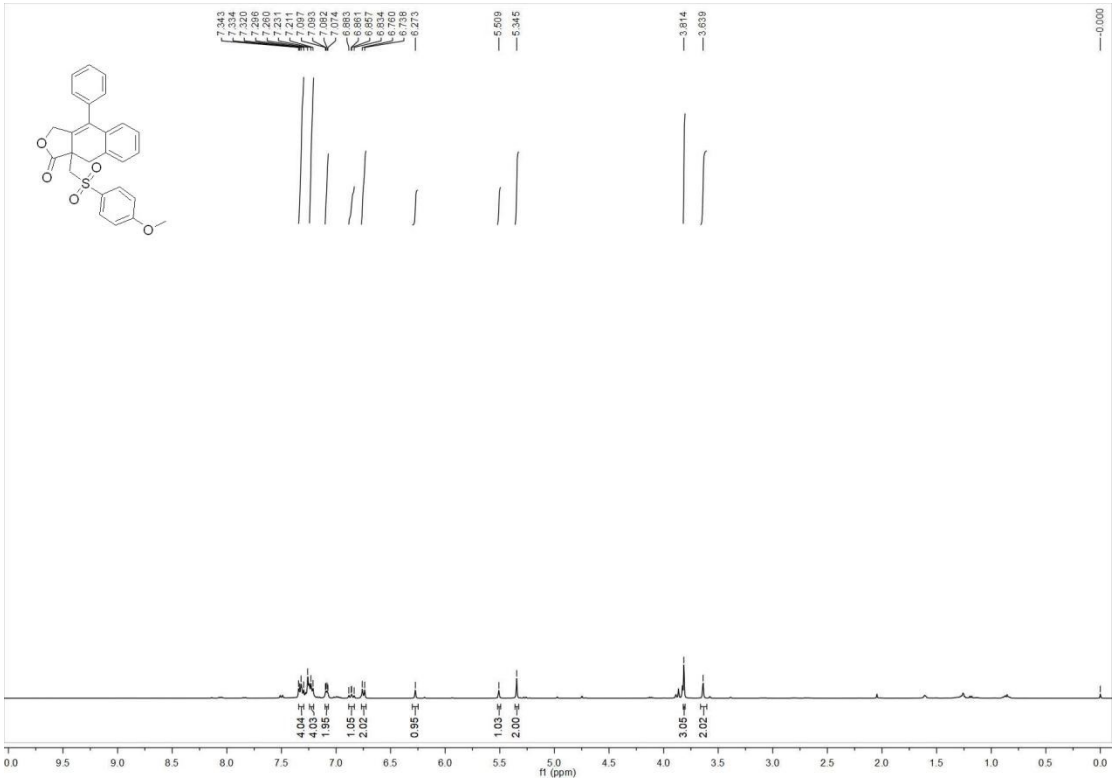


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3w**

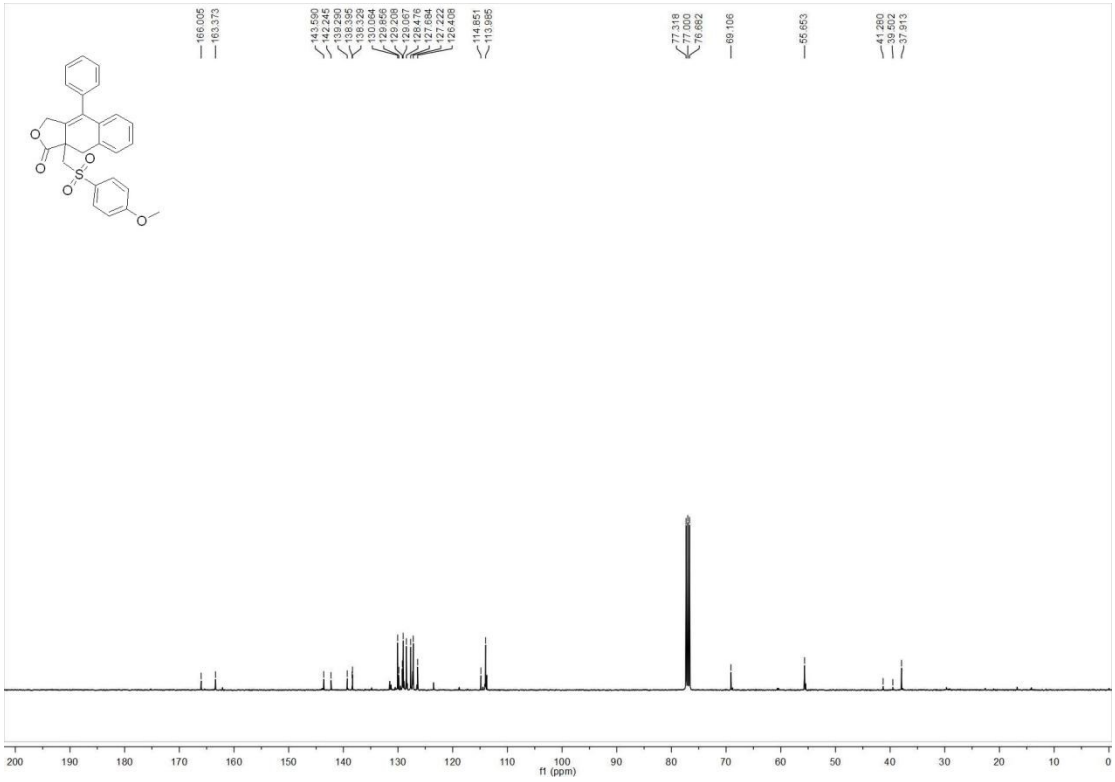


9a-(((4-Methoxyphenyl)sulfonyl)methyl)-4-phenyl-9,9a-dihydronaphtho[2,3-c]furan-1(3*H*)-one (3y)

^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3y**

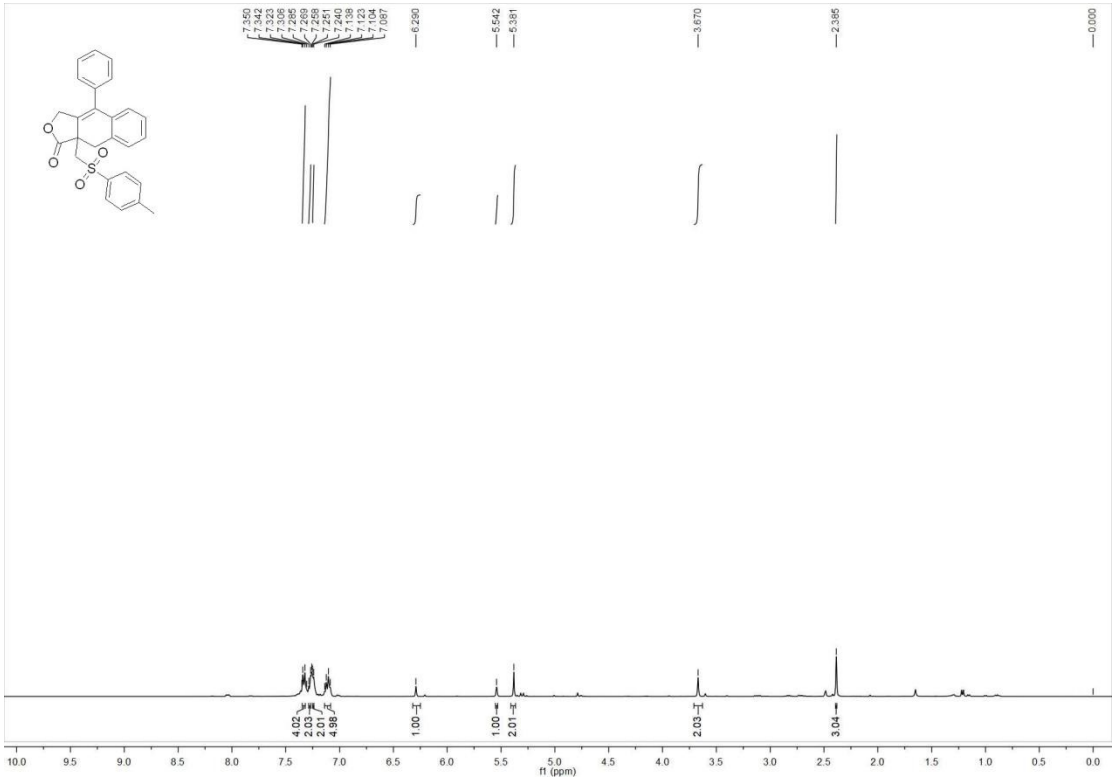


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3y**

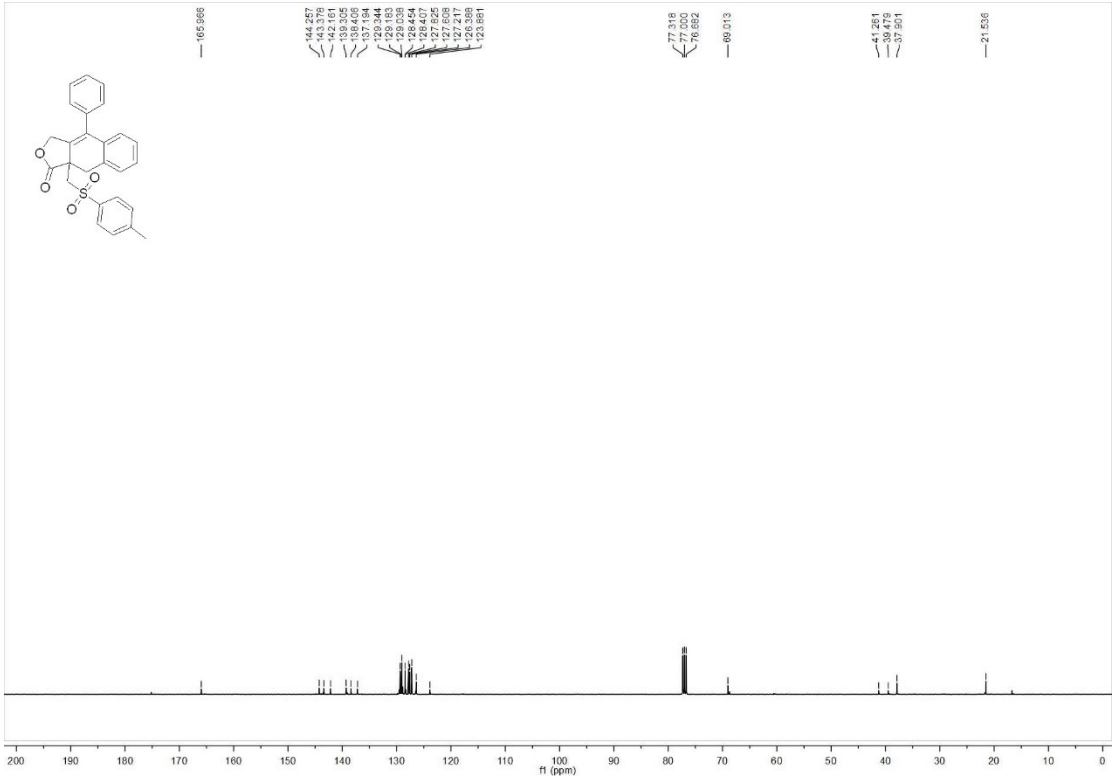


4-Phenyl-9a-(tosylmethyl)-9,9a-dihydronaphtho[2,3-c]furan-1(3*H*)-one (3z)

^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3z**

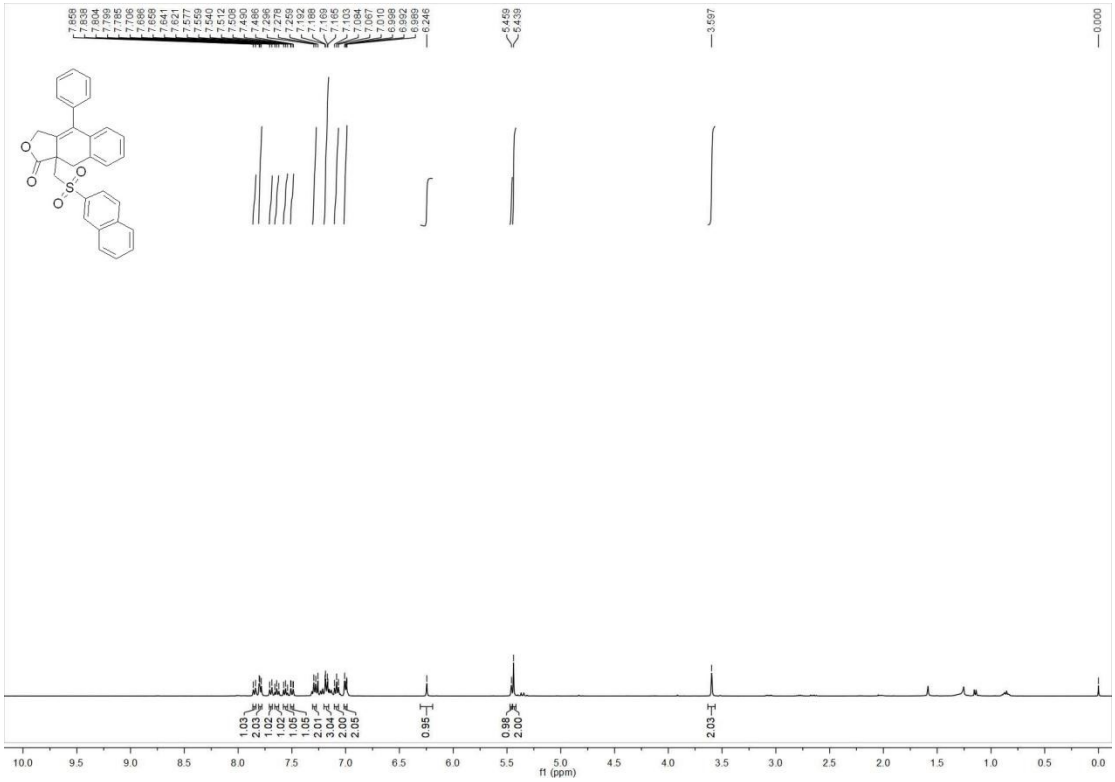


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3z**

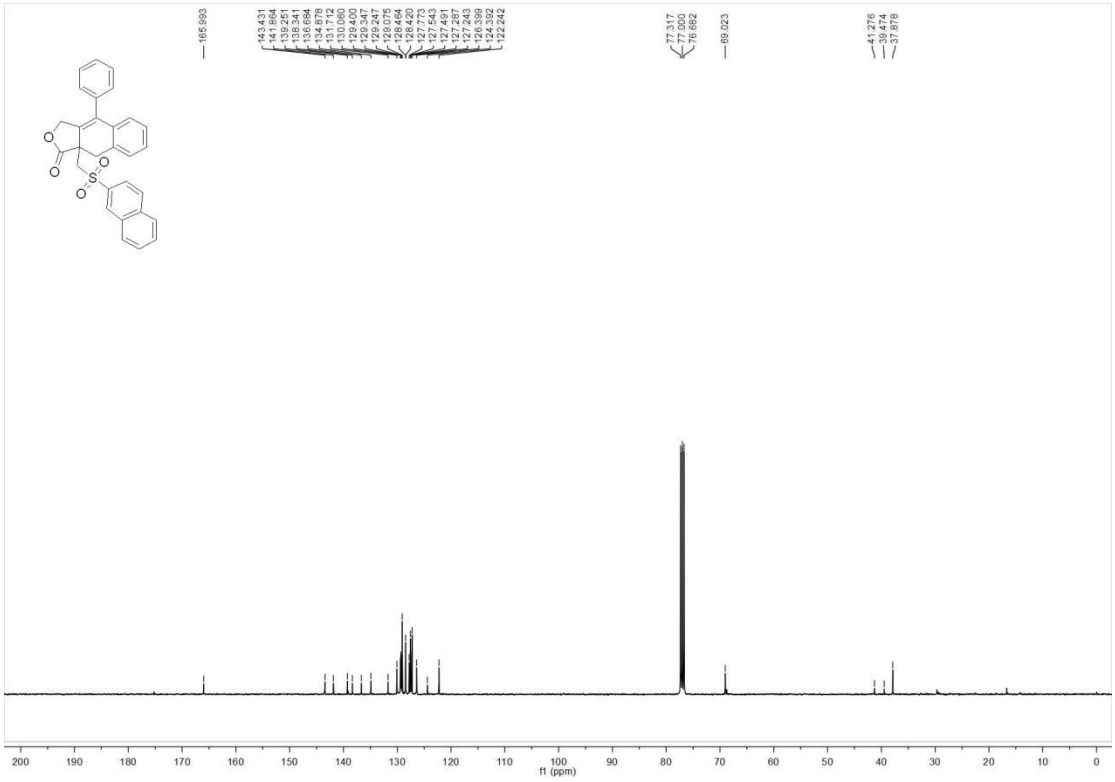


9a-((Naphthalen-2-ylsulfonyl)methyl)-4-phenyl-9,9a-dihydronaphtho[2,3-c]furan-1(3*H*)-one (3aa)

^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3aa**

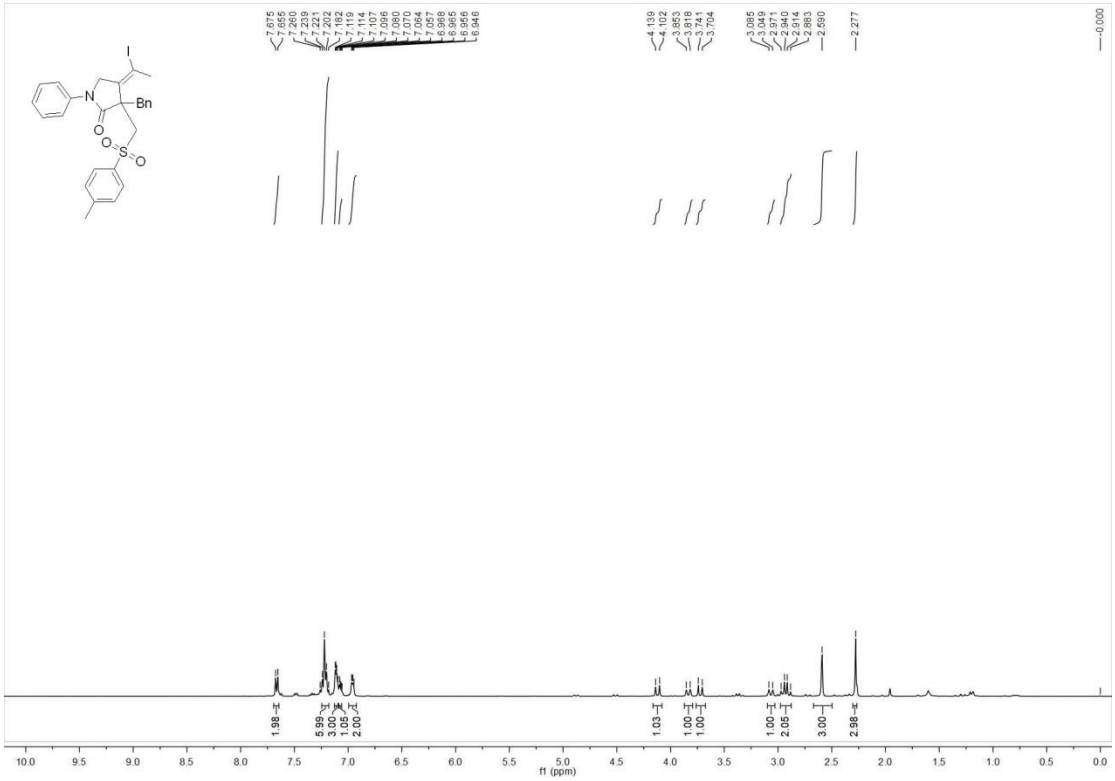


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3aa**

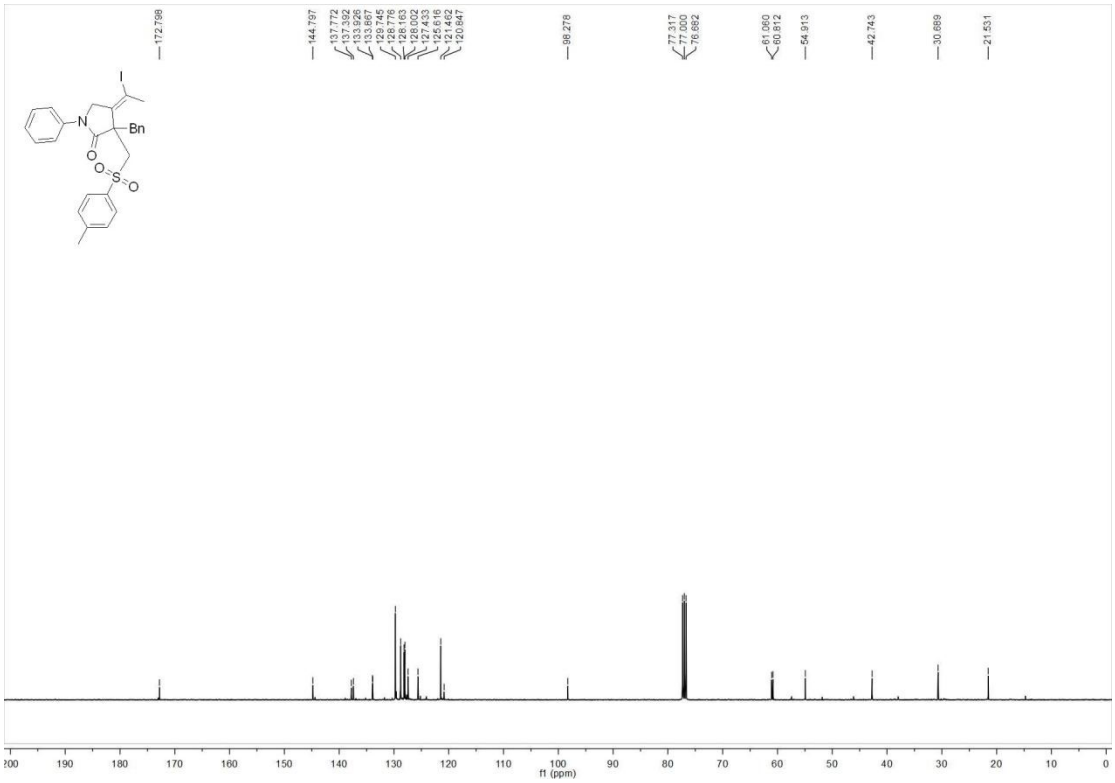


3-Benzyl-4-(1-iodoethylidene)-1-phenyl-3-(tosylmethyl)pyrrolidin-2-one (4a)

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

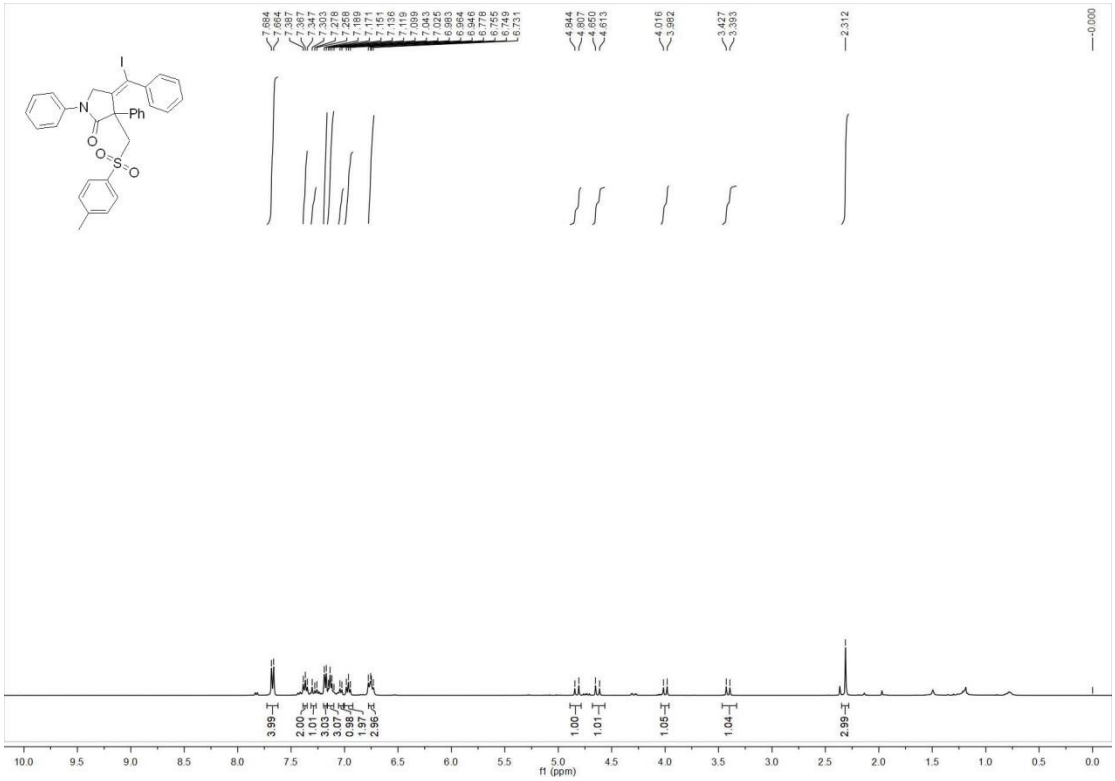


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4a**

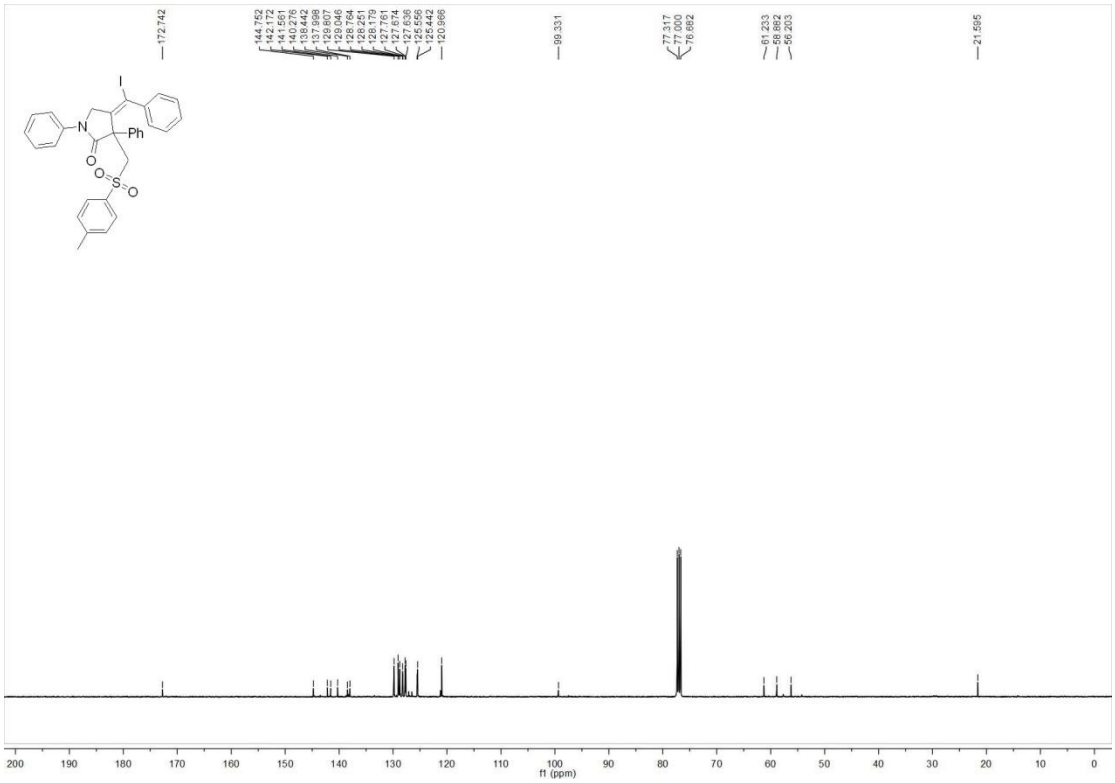


4-(Iodo(phenyl)methylene)-1,3-diphenyl-3-(tosylmethyl)pyrrolidin-2-one (4b)

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

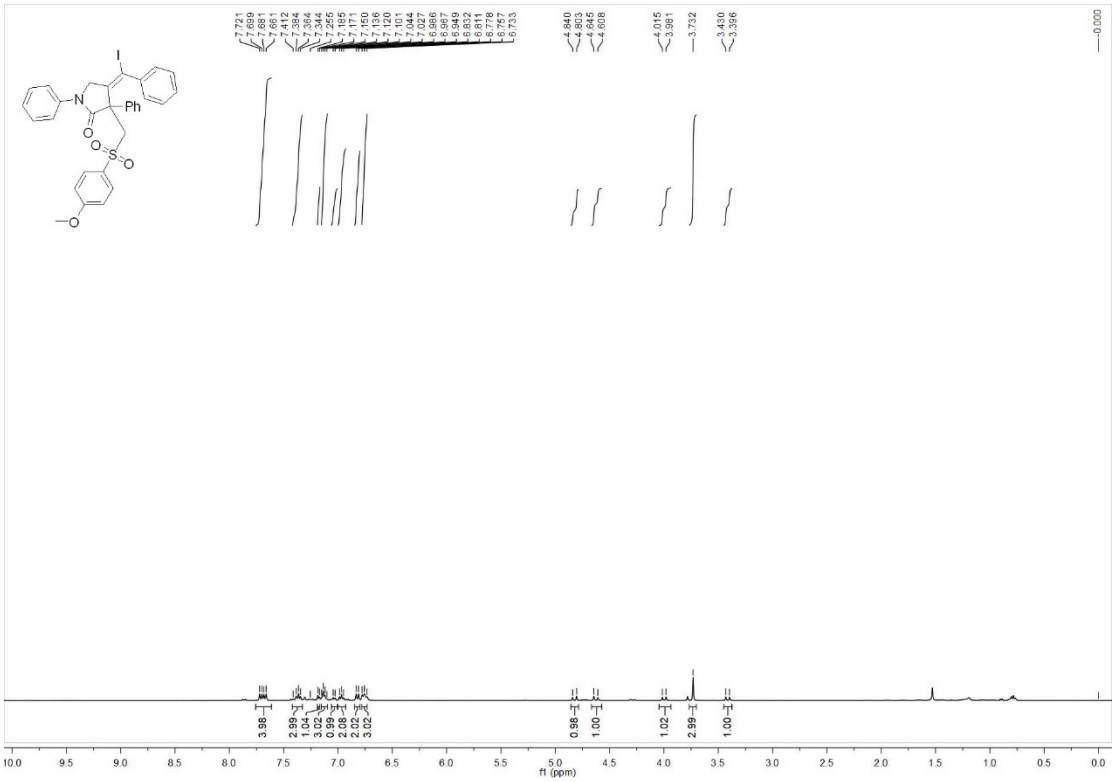


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4b**

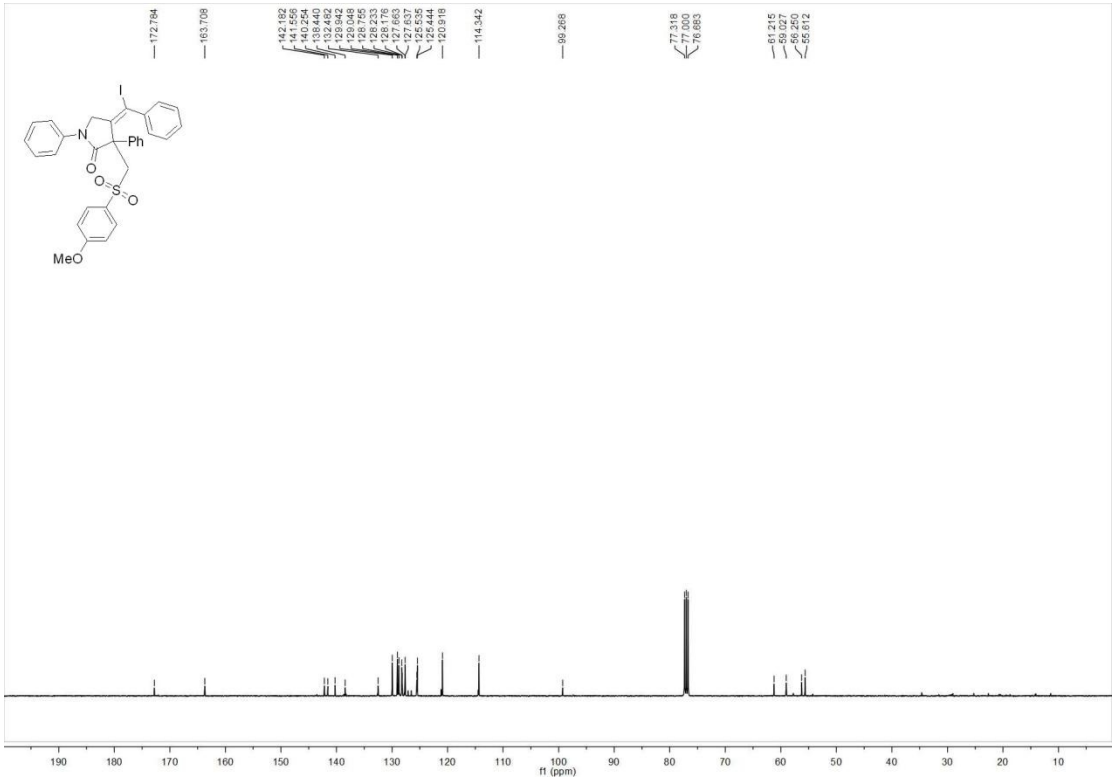


4-(Iodo(phenyl)methylene)-3-(((4-methoxyphenyl)sulfonyl)methyl)-1,3-diphenylpyrrolidin-2-one (4c)

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

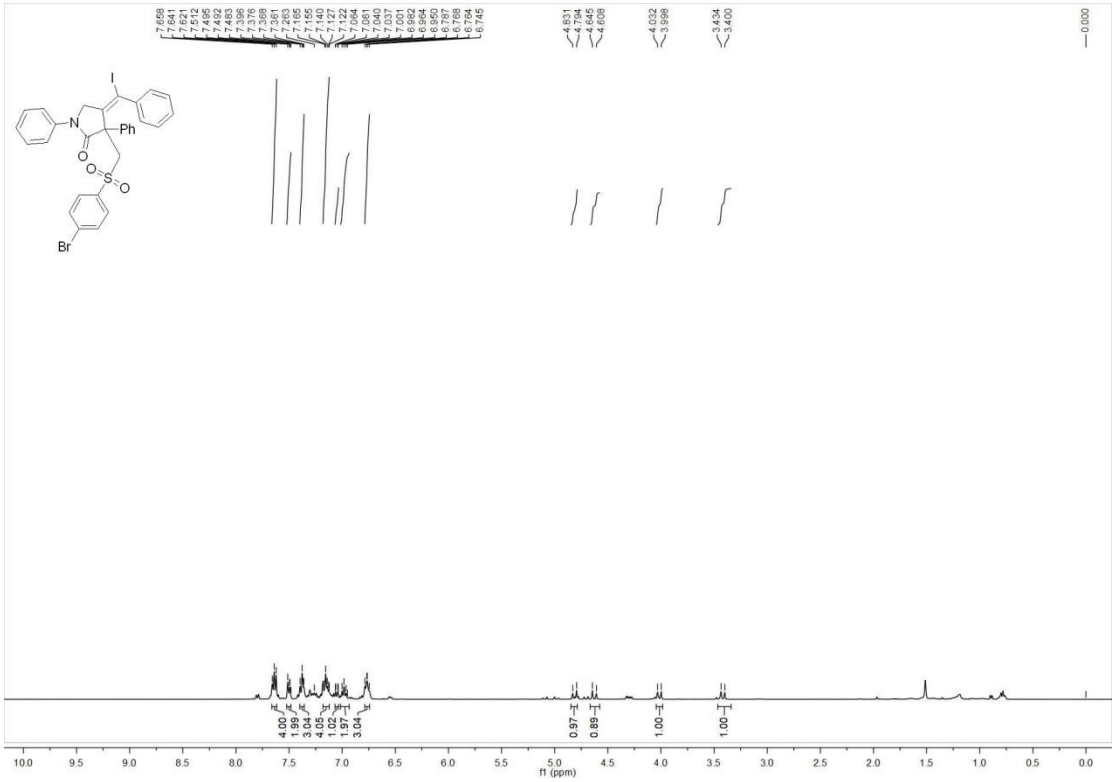


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4c**

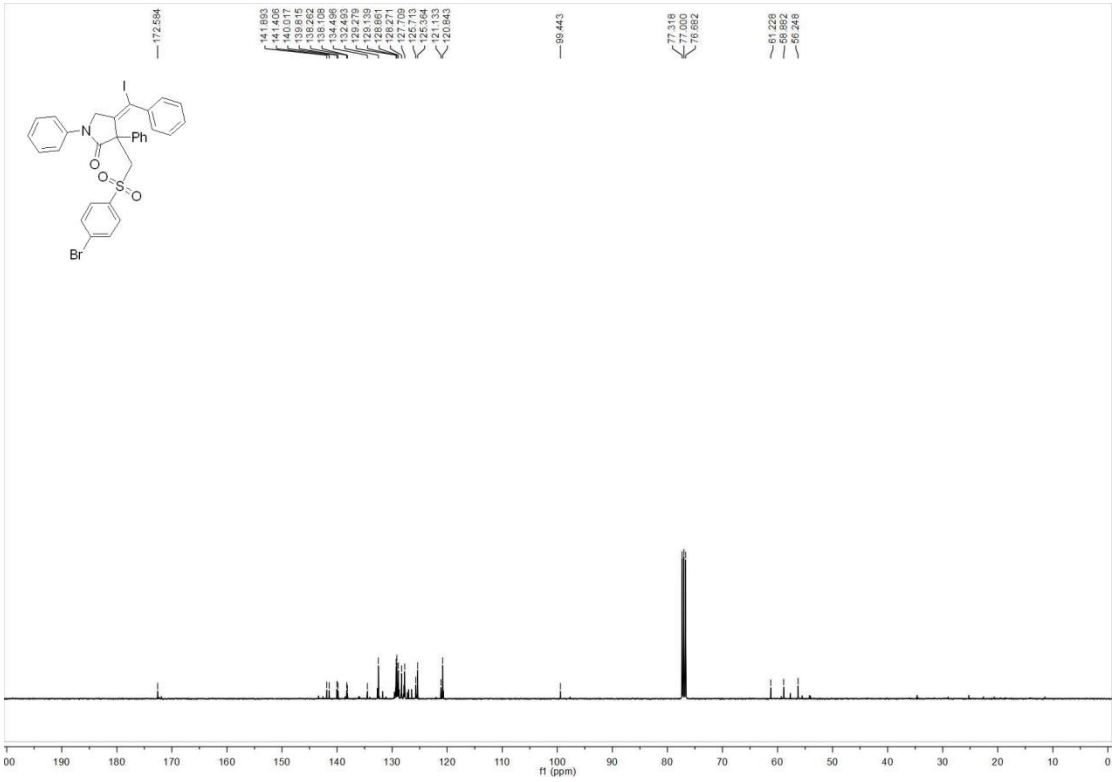


3-(((4-Bromophenyl)sulfonyl)methyl)-4-(iodo(phenyl)methylene)-1,3-diphenylpyrrolidin-2-one (4d)

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

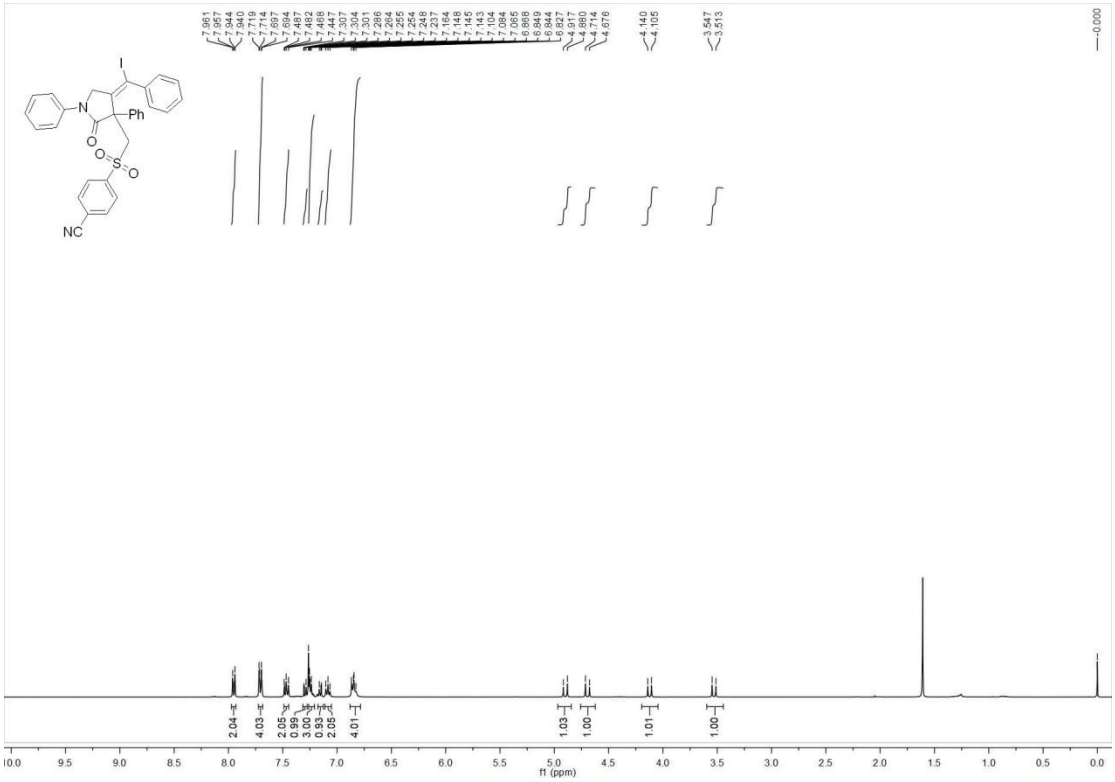


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4d**

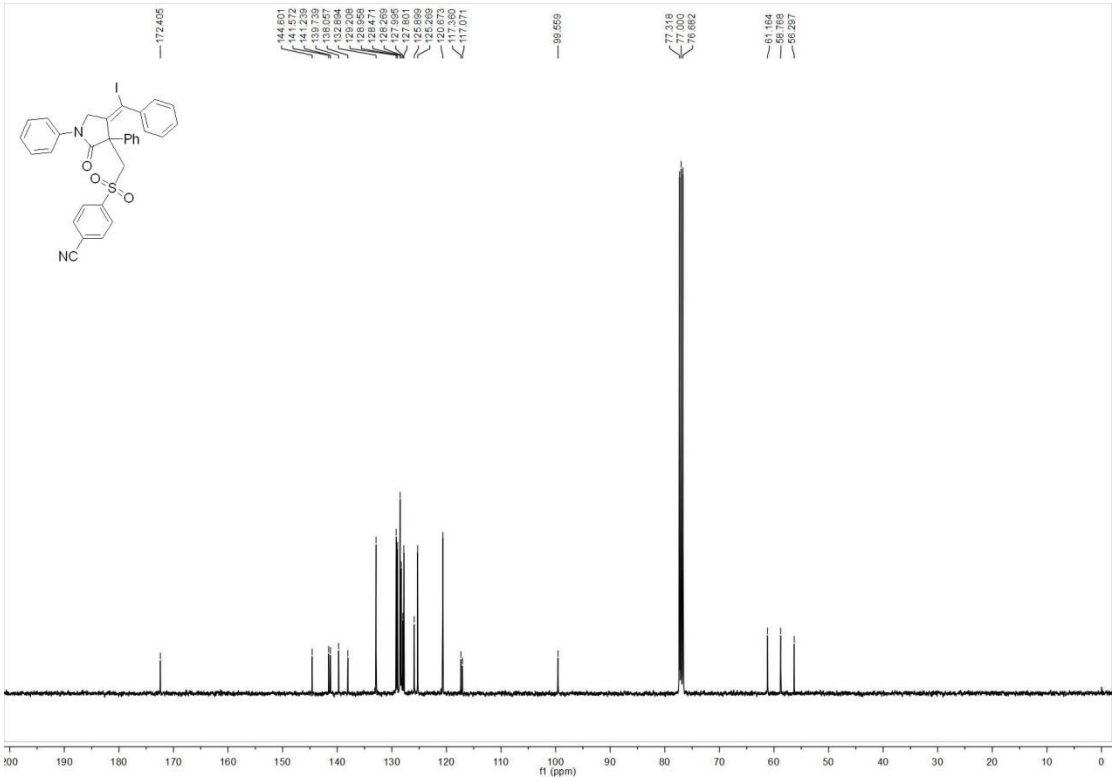


4-(((4-(Iodo(phenyl)methylene)-2-oxo-1,3-diphenylpyrrolidin-3-yl)methyl)sulfonyl)benzonitrile (4e)

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

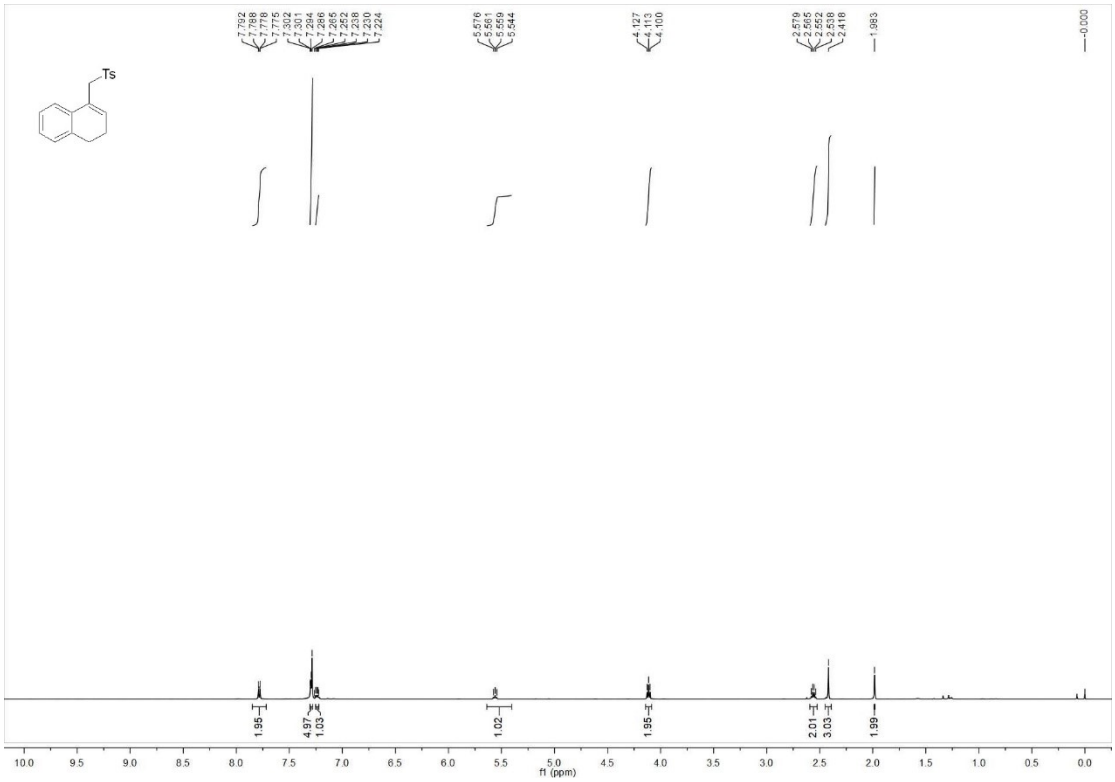


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4e**



4-(Tosylmethyl)-1,2-dihydronaphthalene (5a)

^{1}H NMR-spectrum (500 MHz, $CDCl_3$) of **5a**



^{13}C NMR-spectrum (126 MHz, $CDCl_3$) of **5a**