Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

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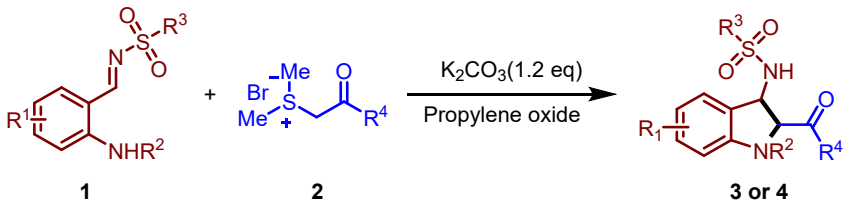
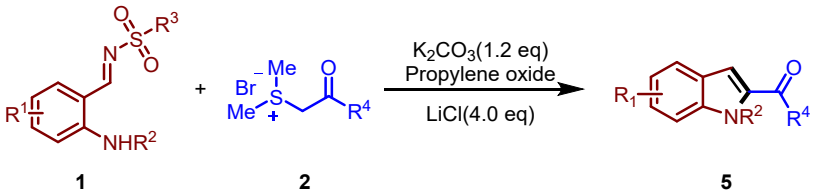
1. General Information

Commercially available materials purchased from Bidepharm or Energy Chemical was used as received. Unless otherwise specified, all reactions were carried out under an atmosphere of nitrogen in 10 mL Schlenk tube. ^{1}H NMR, ^{13}C NMR spectra were measured at 400/600 MHz and 100/150 MHz in $CDCl_3$. Data for ^{1}H NMR spectra are reported as follows: chemical shift (ppm, referenced to TMS; s = singlet, d = doublet, t = triplet, dd = doublet of doublets, dt = doublet of triplets, m = multiplet), coupling constant (Hz), and integration. Data for ^{13}C NMR are reported in terms of chemical shift (ppm) relative to residual solvent peak ($CDCl_3$: 77.16 ppm). High-resolution mass spectrometry (HRMS) analysis was carried out using a TOF MS instrument with ESI. Reactions were monitored by thin layer chromatography (TLC) using silicycle pre-coated silica gel plates. Flash column chromatography was performed on silica gel (particle size 200-300 mesh ASTM, purchased from Anhui Liangchen Silicon Material Co., Ltd.) and eluted with petroleum ether/ethyl acetate/dichloromethane.

2. General procedure for the synthesis of products

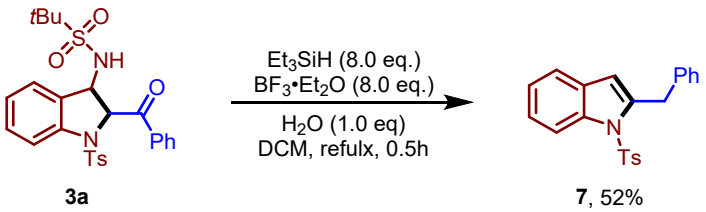


In a flame dried 10 mL round bottom flask, compound **1** (0.2 mmol) was suspended in anhydrous propylene oxide (2.0 mL), then sulfur ylide salt **2** (0.24 mmol) and K_2CO_3 (33.1 mg, 0.24 mmol) were added to the system. After that the mixture was stirred at room temperature until the reaction was complete (12 h, determined by TLC analysis). The mixture was concentrated under vacuum and purified by flash column chromatography on silica gel (PE:EA:DCM = 5:1:1 to 30:1:1) to give the pure products **3/4** in good yields. All the products were confirmed by ^{1}H NMR, ^{13}C NMR spectra.



In a flame dried 10 mL round bottom flask, compound **1** (0.2 mmol) was suspended in anhydrous propylene oxide (2.0 mL), then sulfur ylide salt **2** (0.24 mmol) and K_2CO_3 (33.1 mg, 0.24 mmol) were added to the system. When the reaction was completed (monitored by TLC), LiCl (34.4 mg, 0.8 mmol) was added to the system. After that the mixture was stirred at room temperature until the reaction was complete (12 h, determined by TLC analysis). The mixture was concentrated under vacuum and purified by flash column chromatography on silica gel (PE:EA:DCM = 5:1:1 to 30:1:1) to give the pure products **5** in good yields. All the products were confirmed by ^{1}H NMR, ^{13}C NMR spectra.

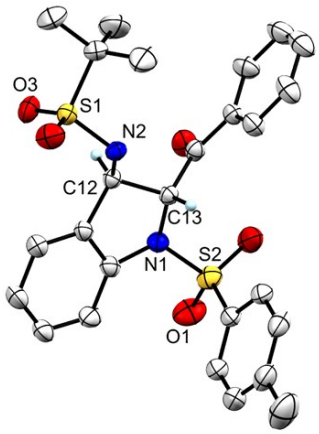
3. General procedure for the transformations of 3a[1]

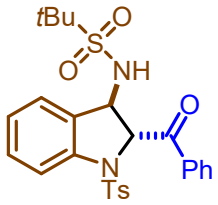


3a **7**, 52%

Under N_2 atmosphere, a flame-dried 10 mL Schlenk tube was charged with **3a** (102.4 mg, 0.2 mmol), and anhydrous DCM (2.0 mL). Then Et_3SiH (186.0 mg, 1.6 mmol), $BF_3{\cdot}Et_2O$ (48% wt, 266.7 mg, 1.6 mmol) and H_2O (3.6 mg, 0.2 mmol) were added. The resulting solution was heated to reflux for 0.5 h. The reaction was cooled to room temperature and H_2O (2.0 mL) was added. The resulting solution was extracted with DCM (3×5 mL). The combined organic layers were dried over Na_2SO_4, filtered and concentrated in vacuo. Then the residue was purified by flash silica gel chromatography (PE:EA = 40:1) to afford the desired compound **7** as a yellow solid (37.5 mg, 52% yield).

4. Crystal structure of 3a





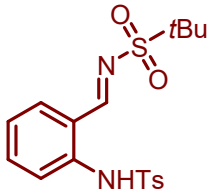
3a

CCDC number	2222675
Identification code	**3a**
Empirical formula	$C_{26}H_{28}N_2O_5S_2$
Formula weight	512.62
Temperature/K	273.15
Crystal system	monoclinic
Space group	$P2_1$
a/Å	11.3455(3)
b/Å	19.4855(4)
c/Å	12.0067(3)
α/°	90
β/°	97.6140(10)
γ/°	90
Volume/Å^3	2630.95(11)
Z	4
ρ_{calc}g/cm^3	1.294
μ/mm^{-1}	0.241
F(000)	1080.0
Crystal size/mm^3	0.12 × 0.11 × 0.1
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	5.092 to 54.998
Index ranges	-14 ≤ h ≤ 13, -22 ≤ k ≤ 25, -15 ≤ l ≤ 15
Reflections collected	27597
Independent reflections	11272 [R_{int} = 0.0478, R_{sigma} = 0.0602]
Data/restraints/parameters	11272/1/643
Goodness-of-fit on F^2	1.035
Final R indexes [I>=2σ (I)]	R_1 = 0.0471, wR_2 = 0.0932

Final R indexes [all data]	$R_1 = 0.0882$, $wR_2 = 0.1130$
Largest diff. peak/hole / e $Å^{-3}$	0.15/-0.23
Flack parameter	-0.01(3)

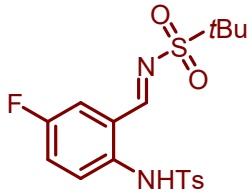
5. Characterization of substrates and products

(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)phenyl)-4-methylbenzenesulfonamide(1a)[2]



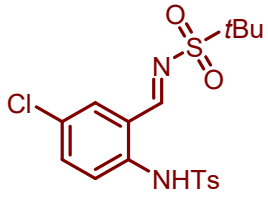
^{1}H NMR (600 MHz, $CDCl_3$) δ 11.08 (s, 1H), 9.00 (s, 1H), 7.79 (d, *J* = 7.2 Hz, 2H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.58 – 7.50 (m, 2H), 7.26 (d, *J* = 7.0 Hz, 2H), 7.15 (t, *J* = 7.5 Hz, 1H), 2.37 (s, 3H), 1.56 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 173.11, 144.57, 141.13, 137.68, 136.33, 136.26, 130.01, 127.43, 123.23, 118.23, 117.87, 58.88, 24.12, 21.67. HRMS (ESI) calcd. for $C_{18}H_{23}N_2O_4S_2$ [M+H$^+$]: 395.1094, found: 395.1095.

(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)-4-fluorophenyl)-4-methylbenzenesulfonamide(1b)



^{1}H NMR (400 MHz, $CDCl_3$) δ 10.78 (s, 1H), 8.93 (s, 1H), 7.78 – 7.69 (m, 3H), 7.32 – 7.23 (m, 4H), 2.38 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.93, 158.09 (d, *J* = 245.6 Hz), 144.72, 137.26, 136.02, 128.73 (d, *J* = 270.3 Hz), 123.52, 123.29, 122.44 (d, *J* = 23.0 Hz), 120.55 (d, *J* = 7.3 Hz), 119.62 (d, *J* = 6.7 Hz), 59.04, 24.08, 21.68. HRMS (ESI) calcd. for $C_{18}H_{22}FN_2O_4S_2$ [M+H$^+$]: 413.1000, found: 413.1002 .

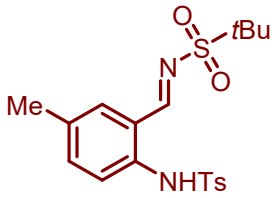
(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)-4-chlorophenyl)-4-methylbenzenesulfonamide(1c)



^{1}H NMR (600 MHz, $CDCl_3$) δ 10.97 (s, 1H), 8.94 (s, 1H), 7.76 (d, *J* = 7.8 Hz, 2H), 7.68 (d, *J* = 8.9 Hz, 1H), 7.54 (s, 1H), 7.47 (d, *J* = 8.9 Hz, 1H), 7.27 (d, *J* = 7.7 Hz, 2H), 2.39 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.99, 144.84, 139.55, 136.32, 136.03, 135.89, 130.13, 128.56, 127.41,

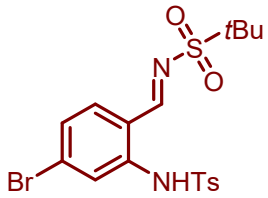
119.55, 119.44, 59.06, 24.10, 21.70. HRMS (ESI) calcd. for $C_{18}H_{22}ClN_2O_4S_2$ [M+H$^+$]: 429.0704, found: 429.0706.

(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)-4-methylphenyl)-4-methylbenzenesulfonamide(1d)



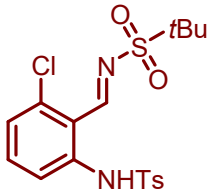
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.18 (s, 1H), 8.02 (s, 1H), 7.89 (d, *J* = 7.2 Hz, 1H), 7.48 (d, *J* = 7.6 Hz, 2H), 7.42 (d, *J* = 6.8 Hz, 1H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.18 (d, *J* = 7.5 Hz, 2H), 2.37 (s, 3H), 2.04 (s, 3H), 1.49 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.61, 144.39, 137.40, 137.36, 137.24, 135.96, 130.09, 129.91, 129.79, 127.58, 127.50, 58.73, 24.20, 21.72, 18.17. HRMS (ESI) calcd. for $C_{19}H_{25}N_2O_4S_2$ [M+H$^+$]: 409.1250, found: 409.1266.

(E)-N-(5-bromo-2-(((tert-butylsulfonyl)imino)methyl)phenyl)-4-methylbenzenesulfonamide(1e)



^{1}H NMR (400 MHz, $CDCl_3$) δ 11.16 (s, 1H), 8.95 (s, 1H), 7.89 (s, 1H), 7.80 (d, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 8.1 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 3H), 2.40 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.21, 144.96, 141.80, 138.37, 135.92, 131.96, 130.18, 127.42, 126.61, 120.85, 116.85, 58.97, 24.09, 21.71. HRMS (ESI) calcd. for $C_{18}H_{22}BrN_2O_4S_2$ [M+H$^+$]: 473.0199, found: 473.0201.

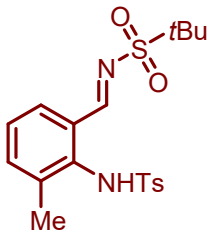
(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)-6-chlorophenyl)-4-methylbenzenesulfonamide(1f)



^{1}H NMR (600 MHz, $CDCl_3$) δ 11.65 (s, 1H), 9.67 (s, 1H), 7.78 (d, *J* = 8.3 Hz, 2H), 7.62 (d, *J* = 8.6 Hz, 1H), 7.42 (t, *J* = 8.3 Hz, 1H), 7.28 (d, *J* = 8.1 Hz, 2H), 7.14 (dd, *J* = 8.0, 0.8 Hz, 1H), 2.39 (s, 3H), 1.58 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 170.42, 144.86, 143.11, 141.14, 136.59, 136.04, 130.13, 127.48,

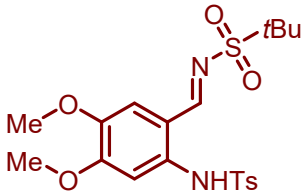
124.58, 116.44, 115.16, 59.04, 24.16, 21.74. HRMS (ESI) calcd. for $C_{18}H_{22}ClN_2O_4S_2$ [M+H$^+$]: 429.0704, found: 429.0705.

(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)-6-methylphenyl)-4-methylbenzenesulfonamide(1g)



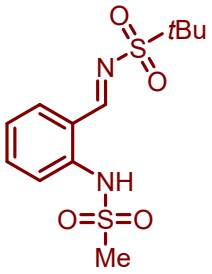
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.89 (s, 1H), 8.95 (s, 1H), 7.76 (d, *J* = 8.2 Hz, 2H), 7.61 (d, *J* = 9.0 Hz, 1H), 7.36 – 7.31 (m, 2H), 7.25 (d, *J* = 8.1 Hz, 2H), 2.37 (s, 3H), 2.31 (s, 3H), 1.55 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.22, 144.39, 138.67, 137.68, 137.17, 136.37, 133.12, 129.94, 127.40, 118.37, 118.27, 58.80, 24.11, 21.65, 20.38. HRMS (ESI) calcd. for $C_{19}H_{25}N_2O_4S_2$ [M+H$^+$]: 409.1250, found: 409.1258.

(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)-4,5-dimethoxyphenyl)-4-methylbenzenesulfonamide(1h)



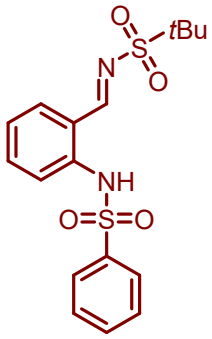
^{1}H NMR (400 MHz, $CDCl_3$) δ 11.08 (s, 1H), 8.85 (s, 1H), 7.74 (d, *J* = 8.3 Hz, 2H), 7.31 (s, 1H), 7.25 (d, *J* = 8.1 Hz, 2H), 6.91 (s, 1H), 3.96 (s, 3H), 3.84 (s, 3H), 2.37 (s, 3H), 1.53 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.50, 155.93, 145.25, 144.54, 137.56, 136.22, 129.98, 127.39, 117.43, 111.09, 101.97, 58.64, 56.61, 56.32, 24.15, 21.66. HRMS (ESI) calcd. for $C_{20}H_{27}N_2O_6S_2$ [M+H$^+$]: 455.1305, found: 455.1312.

(E)-2-methyl-N-(2-(methylsulfonamido)benzylidene)propane-2-sulfonamide(1i)



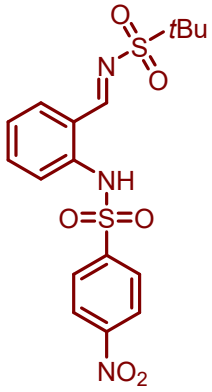
^{1}H NMR (400 MHz, $CDCl_3$) δ 10.89 (s, 1H), 9.09 (s, 1H), 7.90 – 7.54 (m, 3H), 7.26 (d, *J* = 6.7 Hz, 1H), 3.18 (s, 3H), 1.52 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.22, 141.31, 138.07, 136.65, 123.23, 117.91, 116.98, 58.91, 40.83, 24.06. HRMS (ESI) calcd. for $C_{12}H_{19}N_2O_4S_2$ [M+H$^+$]: 319.0781, found: 319.0778.

(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)phenyl)benzenesulfonamide(1j)



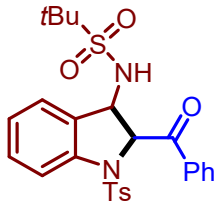
^{1}H NMR (600 MHz, $CDCl_3$) δ 11.14 (s, 1H), 9.01 (s, 1H), 7.94 – 7.90 (m, 2H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.59 – 7.52 (m, 3H), 7.49 (t, *J* = 7.8 Hz, 2H), 7.20 – 7.15 (m, 1H), 1.56 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 173.12, 140.98, 139.28, 137.71, 136.30, 133.57, 129.42, 127.37, 123.39, 118.29, 117.94, 58.90, 24.13. HRMS (ESI) calcd. for $C_{17}H_{21}N_2O_4S_2$ [M+H$^+$]: 381.0937, found: 381.0936.

(E)-N-(2-(((tert-butylsulfonyl)imino)methyl)phenyl)-4-nitrobenzenesulfonamide(1k)



^{1}H NMR (400 MHz, $CDCl_3$) δ 11.29 (s, 1H), 9.00 (s, 1H), 8.36 – 8.28 (m, 2H), 8.11 – 8.05 (m, 2H), 7.73 (d, *J* = 8.2 Hz, 1H), 7.63 – 7.57 (m, 2H), 7.25 (td, *J* = 7.6, 0.8 Hz, 1H), 1.57 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 173.10, 150.56, 144.78, 140.02, 137.91, 136.46, 128.72, 124.67, 124.40, 118.84, 118.47, 58.97, 24.09. HRMS (ESI) calcd. for $C_{17}H_{20}N_3O_6S_2$ [M+H$^+$]: 426.0788, found: 426.0791.

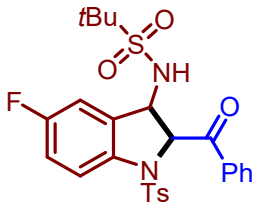
N-(2-benzoyl-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(3a)



Isolated in 81% yield (83.0 mg) as white solid, mp 180.2 – 181.4 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, *J* = 7.6 Hz, 2H), 7.68 – 7.59 (m, 4H), 7.50 (t, *J* = 7.6 Hz, 2H), 7.38 (t, *J* = 6.7 Hz, 2H), 7.27 (d, *J* = 7.1 Hz, 2H), 7.15 (t, *J* = 7.4 Hz, 1H), 5.54 (d, *J* = 2.5 Hz, 1H), 4.92 (dd, *J* = 10.1, 1.9 Hz, 1H), 3.36 (d, *J* = 10.2 Hz, 1H), 2.36 (s, 3H), 1.26 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.66, 145.20, 141.87, 134.61, 134.12, 134.00, 130.69, 130.46, 130.21, 129.44, 128.85, 127.59, 126.06, 125.64, 116.93, 71.26, 60.28, 58.60, 24.09, 21.62. HRMS (ESI) calcd. for $C_{26}H_{29}N_2O_5S_2$ [M+H$^+$]: 513.1512,found: 513.1509.

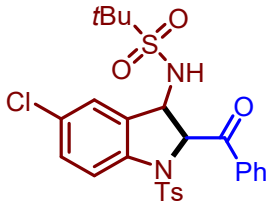
N-(2-benzoyl-5-fluoro-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(3b)



Isolated in 80% yield (84.8 mg) as white solid, mp 178.9 – 180.6 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 – 8.11 (m, 2H), 7.65 (d, *J* = 8.2 Hz, 3H), 7.42 – 7.34 (m, 2H), 7.29 – 7.26 (m, 2H), 7.21 – 7.14 (m, 3H), 5.51 (d, *J* = 2.6 Hz, 1H), 4.92 (dd, *J* = 10.2, 2.2 Hz, 1H), 3.30 (d, *J* = 10.3 Hz, 1H), 2.38 (s, 3H), 1.29 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 193.29 , 166.44 (d, *J* = 256.5 Hz), 145.29 , 141.91 , 133.92 , 132.38 (d, *J* = 9.6 Hz), 131.08 (d, *J* = 2.9 Hz), 130.82, 130.29 (d, *J* = 2.6 Hz), 127.64, 125.95, 125.75, 117.15, 116.21, 115.99, 71.32, 60.40, 58.63, 24.16, 21.67. HRMS (ESI) calcd. for $C_{26}H_{28}FN_2O_5S_2$ [M+H$^+$]: 531.1418, found: 531.1409.

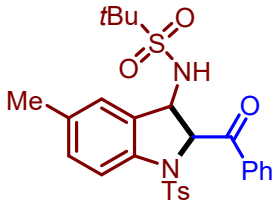
N-(2-benzoyl-5-chloro-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(3c)



Isolated in 68% yield (74.3 mg) as white solid, mp 206.8 – 208.2 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 – 8.04 (m, 2H), 7.68 – 7.57 (m, 4H), 7.51 (t, *J* = 7.7 Hz, 2H), 7.38 – 7.29 (m, 4H), 5.54 (d, *J* = 2.6 Hz, 1H), 4.86 (dd, *J* = 10.5, 2.3 Hz, 1H), 3.25 (d, *J* = 10.5 Hz, 1H), 2.40 (s, 3H), 1.27 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.33, 145.58, 140.66, 134.46, 134.35, 133.75, 132.48, 131.04, 130.95, 130.44, 129.51, 128.97, 127.65, 126.26, 118.35, 71.26, 60.46, 58.24, 24.15, 21.72. HRMS (ESI) calcd. for $C_{26}H_{27}ClN_2NaO_5S_2$ [M+Na$^+$]: 569.0942, found: 569.0941.

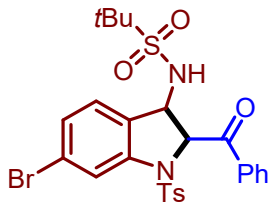
N-(2-benzoyl-5-methyl-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(3d)



Isolated in 91% yield (95.8 mg) as white solid, mp 158.9 – 159.7 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 – 7.93 (m, 2H), 7.64 – 7.54 (m, 3H), 7.44 (t, *J* = 7.8 Hz, 2H), 7.29 – 7.24 (m, 4H), 7.23 – 7.17 (m, 1H), 5.57 (s, 1H), 4.87 (d, *J* = 10.7 Hz, 1H), 3.38 (d, *J* = 10.7 Hz, 1H), 2.49 (s, 3H), 2.40 (s, 3H), 1.34 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.02, 145.48, 140.51, 134.86, 134.58, 133.76, 133.65, 133.06, 132.78, 130.18, 129.22, 128.67, 128.33, 127.60, 123.25, 71.14, 60.04, 57.35, 24.17, 21.61, 19.79. HRMS (ESI) calcd. for $C_{27}H_{31}N_2O_5S_2$ [M+H$^+$]: 527.1669, found: 527.1669.

N-(2-benzoyl-6-bromo-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(3e)

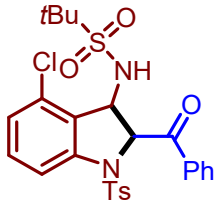


Isolated in 85% yield (100.3 mg) as white solid, mp 203.1 – 204.6 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 – 8.02 (m, 2H), 7.81 (d, *J* = 1.4 Hz, 1H), 7.72 – 7.60 (m, 3H), 7.51 (t, *J* = 7.7 Hz, 2H), 7.32 (d, *J* = 8.1 Hz, 2H), 7.30 – 7.27 (m, 1H), 7.24 (d, *J* = 8.1 Hz, 1H), 5.54 (d, *J* =

2.7 Hz, 1H), 4.84 (dd, *J* = 10.3, 2.5 Hz, 1H), 3.40 (d, *J* = 10.3 Hz, 1H), 2.40 (s, 3H), 1.26 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.19, 145.59, 143.25, 134.37, 133.96, 130.43, 129.51, 129.46, 128.99, 128.72, 127.61, 127.32, 124.63, 119.93, 71.55, 60.42, 58.19, 24.14, 21.74. HRMS (ESI) calcd. for $C_{26}H_{28}BrN_2O_5S_2$ [M+H$^+$]: 591.0618, found: 591.0615.

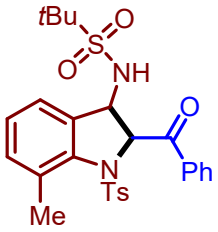
N-(2-benzoyl-7-chloro-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(3f)



Isolated in 87% yield (95.0 mg) as white solid, mp 216.2 – 217.7 ℃.

1H NMR (600 MHz, CDCl3) δ 8.18 (d, *J* = 7.4 Hz, 2H), 7.72 (d, *J* = 7.7 Hz, 2H), 7.67 – 7.59 (m, 2H), 7.53 (t, *J* = 7.3 Hz, 2H), 7.34 (t, *J* = 7.9 Hz, 1H), 7.29 (d, *J* = 7.6 Hz, 2H), 7.10 (d, *J* = 7.9 Hz, 1H), 6.05 (s, 1H), 4.89 (d, *J* = 6.4 Hz, 1H), 3.16 (d, *J* = 6.0 Hz, 1H), 2.38 (s, 3H), 1.36 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 194.55, 145.14, 144.33, 134.54, 134.46, 134.31, 132.19, 131.71, 130.40, 129.82, 128.83, 127.83, 127.61, 125.72, 115.62, 70.53, 61.18, 57.80, 24.13, 21.70. HRMS (ESI) calcd. for $C_{26}H_{28}ClN_2O_5S_2$ [M+H$^+$]: 547.1123, found: 547.1120.

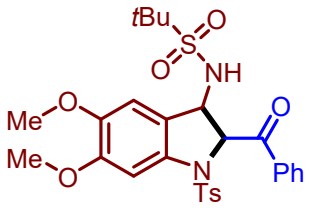
N-(2-benzoyl-7-methyl-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(3g)



Isolated in 86% yield (90.5 mg) as white solid, mp 161.2 – 162.6 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 7.4 Hz, 2H), 7.67 – 7.58 (m, 3H), 7.55 (d, *J* = 8.3 Hz, 1H), 7.49 (t, *J* = 7.7 Hz, 2H), 7.28 (d, *J* = 8.2 Hz, 2H), 7.22 – 7.14 (m, 2H), 5.46 (d, *J* = 2.4 Hz, 1H), 4.87 (dd, *J* = 10.4, 2.2 Hz, 1H), 3.04 (d, *J* = 10.4 Hz, 1H), 2.38 (s, 3H), 2.33 (s, 3H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.62, 145.09, 139.46, 135.90, 134.59, 133.98, 133.77, 131.48, 130.89, 130.16, 129.40, 128.76, 127.60, 126.32, 117.30, 71.44, 60.21, 58.46, 24.09, 21.57, 21.10. HRMS (ESI) calcd. for $C_{27}H_{31}N_2O_5S_2$ [M+H$^+$]: 527.1669, found: 527.1667.

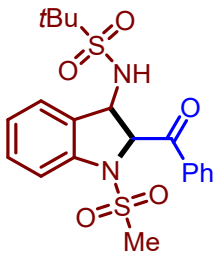
N-(2-benzoyl-5,6-dimethoxy-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(3h)



Isolated in 75% yield (85.8 mg) as white solid, mp 206.3 – 207.5 ℃.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.05 (d, *J* = 7.4 Hz, 2H), 7.61 (d, *J* = 7.8 Hz, 3H), 7.50 (t, *J* = 7.4 Hz, 2H), 7.34 – 7.28 (m, 3H), 6.86 (s, 1H), 5.35 (s, 1H), 4.80 (d, *J* = 10.4 Hz, 1H), 3.97 (s, 3H), 3.85 (s, 3H), 2.61 (d, *J* = 10.5 Hz, 1H), 2.41 (s, 3H), 1.25 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 194.34, 151.37, 148.20, 145.50, 135.34, 134.57, 134.09, 133.25, 130.34, 129.41, 128.86, 127.71, 122.76, 107.83, 102.02, 71.81, 60.14, 58.67, 56.45, 56.35, 24.14, 21.69. HRMS (ESI) calcd. for $C_{28}H_{33}N_2O_7S_2$ [M+H$^+$]: 573.1724, found: 573.1718.

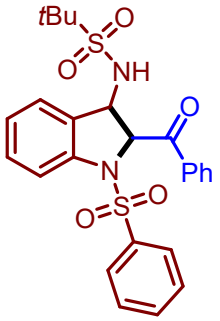
N-(2-benzoyl-1-(methylsulfonyl)indolin-3-yl)-2-methylpropane-2-sulfonamide(3i)



Isolated in 65% yield (56.7 mg) as white solid, mp 185.3 – 186.4 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (d, *J* = 7.4 Hz, 2H), 7.65 (t, *J* = 7.0 Hz, 1H), 7.52 (t, *J* = 7.3 Hz, 2H), 7.45 (d, *J* = 7.4 Hz, 1H), 7.40 – 7.31 (m, 2H), 7.09 (t, *J* = 7.1 Hz, 1H), 5.91 (s, 1H), 5.03 (d, *J* = 8.6 Hz, 1H), 4.90 (d, *J* = 9.4 Hz, 1H), 3.17 (s, 3H), 1.34 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 195.08, 142.02, 134.64, 134.19, 130.94, 129.59, 129.08, 128.49, 126.63, 123.96, 112.66, 72.64, 60.42, 58.43, 39.72, 24.27. HRMS (ESI) calcd. for $C_{20}H_{25}N_2O_5S_2$ [M+H$^+$]: 437.1199, found: 437.1203.

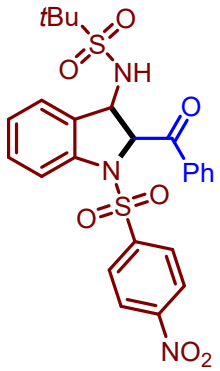
N-(2-benzoyl-1-(phenylsulfonyl)indolin-3-yl)-2-methylpropane-2-sulfonamide(3j)



Isolated in 66% yield (65.8 mg) as white solid, mp 173.7 – 174.3 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, *J* = 7.4 Hz, 2H), 7.81 (d, *J* = 7.4 Hz, 2H), 7.68 – 7.57 (m, 3H), 7.50 (q, *J* = 7.4 Hz, 4H), 7.43 – 7.34 (m, 2H), 7.16 (t, *J* = 7.5 Hz, 1H), 5.60 (d, *J* = 2.6 Hz, 1H), 4.93 (dd, *J* = 10.2, 2.3 Hz, 1H), 3.34 (d, *J* = 10.2 Hz, 1H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.65, 141.89, 137.23, 134.63, 134.22, 133.98, 130.85, 130.34, 129.65, 129.52, 128.92, 127.61, 126.08, 125.69, 116.88, 71.38, 60.44, 58.66, 24.22. HRMS (ESI) calcd. for $C_{25}H_{27}N_2O_5S_2$ [M+H$^+$]: 499.1356, found: 499.1352.

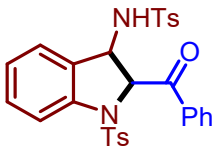
N-(2-benzoyl-1-((4-nitrophenyl)sulfonyl)indolin-3-yl)-2-methylpropane-2-sulfonamide(3k)



Isolated in 82% yield (89.1 mg) as yellow solid, mp 207.4 – 208.6 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (d, *J* = 8.8 Hz, 2H), 8.15 – 8.00 (m, 4H), 7.65 (t, *J* = 7.4 Hz, 1H), 7.53 (t, *J* = 7.8 Hz, 3H), 7.38 (t, *J* = 6.9 Hz, 2H), 7.14 (t, *J* = 7.5 Hz, 1H), 5.84 (d, *J* = 2.9 Hz, 1H), 4.97 (dd, *J* = 9.5, 2.7 Hz, 1H), 4.21 (d, *J* = 9.4 Hz, 1H), 1.29 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.36, 150.66, 143.56, 141.34, 134.59, 134.37, 131.03, 129.53, 129.30, 129.08, 128.91, 126.35, 125.38, 124.65, 114.89, 71.69, 60.61, 58.89, 24.21. HRMS (ESI) calcd. for $C_{25}H_{26}N_3O_7S_2$ [M+H$^+$]: 544.1207, found: 544.1193.

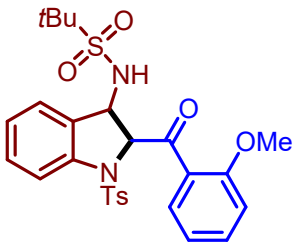
N-((2S,3R)-2-benzoyl-1-tosylindolin-3-yl)-4-methylbenzenesulfonamide(3l)



Isolated in 57% yield (62.3 mg) as white solid, mp 157.1 – 158.3 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, J = 7.6 Hz, 2H), 7.62 (d, J = 7.5 Hz, 4H), 7.57 – 7.42 (m, 4H), 7.37 – 7.27 (m, 3H), 7.20 (d, J = 8.0 Hz, 2H), 7.01 (t, J = 7.5 Hz, 1H), 6.76 (d, J = 7.5 Hz, 1H), 5.52 (d, J = 1.2 Hz, 1H), 4.64 (d, J = 8.7 Hz, 1H), 3.74 (d, J = 8.8 Hz, 1H), 2.42 (s, 3H), 2.40 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 193.96, 145.47, 144.34, 142.11, 136.71, 134.28, 134.17, 134.12, 130.81, 130.19, 130.10, 129.87, 129.47, 128.83, 127.64, 127.25, 125.62, 117.36, 70.39, 57.07, 21.76, 21.70. HRMS (ESI) calcd. for $C_{29}H_{27}N_2O_5S_2$ [M+H$^+$]: 547.1356, found: 547.1355.

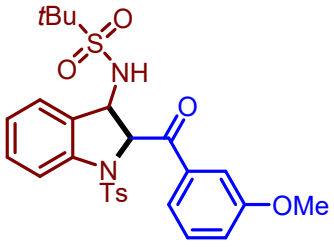
N-(2-(2-methoxybenzoyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4a)



Isolated in 83% yield (90.0 mg) as white solid, mp 198.1 – 198.9 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, J = 8.1 Hz, 1H), 7.59 – 7.52 (m, 3H), 7.52 – 7.46 (m, 1H), 7.43 (d, J = 7.6 Hz, 1H), 7.39 – 7.34 (m, 1H), 7.25 (d, J = 8.3 Hz, 2H), 7.16 (td, J = 7.5, 0.7 Hz, 1H), 7.05 – 6.99 (m, 1H), 6.94 (d, J = 8.3 Hz, 1H), 5.55 (d, J = 2.7 Hz, 1H), 4.99 (dd, J = 10.4, 2.6 Hz, 1H), 3.72 (s, 3H), 2.97 (d, J = 10.5 Hz, 1H), 2.38 (s, 3H), 1.27 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 197.57, 158.29, 145.21, 141.92, 134.09, 133.80, 131.67, 131.19, 130.40, 130.11, 127.53, 126.31, 126.05, 125.84, 121.08, 117.34, 111.30, 74.49, 60.11, 57.74, 55.44, 24.10, 21.65. HRMS (ESI) calcd. for $C_{27}H_{31}N_2O_6S_2$ [M+H$^+$]: 543.1618, found: 543.1617.

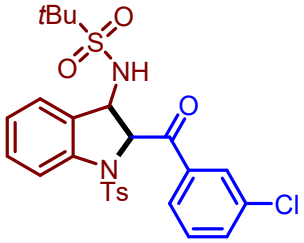
N-(2-(3-methoxybenzoyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4b)



Isolated in 89% yield (96.5 mg) as white solid, mp 183.4 – 184.7 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 – 7.63 (m, 4H), 7.59 – 7.54 (m, 1H), 7.46 – 7.33 (m, 3H), 7.27 (d, *J* = 8.2 Hz, 2H), 7.19 – 7.13 (m, 2H), 5.49 (d, *J* = 2.7 Hz, 1H), 4.92 (dd, *J* = 10.3, 2.5 Hz, 1H), 3.84 (s, 3H), 3.27 (d, *J* = 10.3 Hz, 1H), 2.38 (s, 3H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 194.32, 159.86, 145.16, 141.81, 135.77, 133.95, 130.67, 130.54, 130.16, 129.78, 127.55, 126.03, 125.68, 122.05, 121.03, 117.05, 113.19, 71.49, 60.25, 58.51, 55.48, 24.08, 21.59. HRMS (ESI) calcd. for $C_{27}H_{31}N_2O_6S_2$ [M+H$^+$]: 543.1618, found: 543.1615.

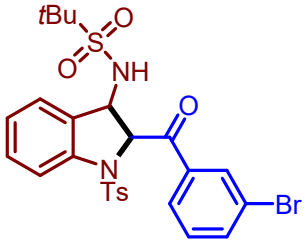
N-(2-(3-chlorobenzoyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4c)



Isolated in 70% yield (76.5 mg) as white solid, mp 181.6 – 182.5 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.06 – 7.95 (m, 2H), 7.69 – 7.61 (m, 3H), 7.61 – 7.55 (m, 1H), 7.50 – 7.43 (m, 1H), 7.43 – 7.33 (m, 2H), 7.28 (d, *J* = 8.2 Hz, 2H), 7.17 (t, *J* = 7.5 Hz, 1H), 5.47 (d, *J* = 2.7 Hz, 1H), 4.93 (dd, *J* = 10.2, 2.4 Hz, 1H), 3.33 (d, *J* = 10.3 Hz, 1H), 2.38 (s, 3H), 1.30 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 193.64, 145.28, 141.67, 136.18, 135.11, 133.93, 133.78, 130.77, 130.21, 130.13, 130.11, 129.24, 127.62, 127.58, 125.94, 125.73, 117.03, 71.42, 60.34, 58.44, 24.09, 21.60. HRMS (ESI) calcd. for $C_{26}H_{28}ClN_2O_5S_2$ [M+H$^+$]: 547.1123, found: 547.1106.

N-(2-(3-bromobenzoyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4d)

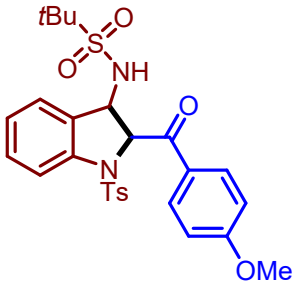


Isolated in 83% yield (97.9 mg) as white solid, mp 174.4 – 175.5 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (t, *J* = 1.8 Hz, 1H), 8.05 (d, *J* = 7.9 Hz, 1H), 7.77 – 7.72 (m, 1H), 7.65 (d, *J* = 8.3 Hz, 3H), 7.43 – 7.34 (m, 3H), 7.28 (d, *J* = 8.1 Hz, 2H), 7.17 (td, *J* = 7.6, 0.7 Hz, 1H), 5.46 (d, *J* = 2.7 Hz, 1H), 4.93 (dd, *J* = 10.3, 2.5 Hz, 1H), 3.26 (d, *J* = 10.3 Hz, 1H), 2.39 (s, 3H), 1.30 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 193.63, 145.37, 141.78, 136.92, 136.47, 133.91, 132.26, 130.88,

130.42, 130.31, 130.27, 128.15, 127.68, 126.03, 125.84, 123.21, 117.19, 71.52, 60.44, 58.52, 24.20, 21.70. HRMS (ESI) calcd. for $C_{26}H_{28}BrN_2O_5S_2$ [M+H$^+$]: 591.0618, found: 591.0602.

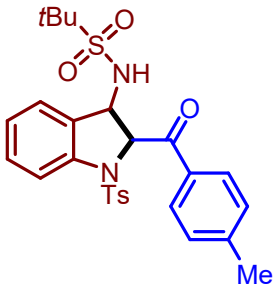
N-(2-(4-methoxybenzoyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4e)



Isolated in 89% yield (96.5 mg) as white solid, mp 242.5 – 243.7 ℃.

1H NMR (400 MHz, DMSO) δ 8.06 (t, *J* = 8.9 Hz, 3H), 7.79 (d, *J* = 8.3 Hz, 2H), 7.46 (d, *J* = 8.1 Hz, 3 H), 7.42 (d, *J* = 7.6 Hz, 1H), 7.36 (t, *J* = 7.7 Hz, 1H), 7.17 – 7.11 (m, 2H), 5.51 (d, *J* = 7.5 Hz, 1H), 4.87 (t, *J* = 8.2 Hz, 1H), 3.90 (s, 3H), 2.39 (s, 3H), 1.08 (s, 9H). ^{13}C NMR (101 MHz, DMSO) δ 194.83, 164.43, 145.36, 141.09, 133.45, 131.73, 130.57, 130.37, 130.06, 128.53, 128.27, 126.14, 124.44, 114.81, 113.62, 68.99, 60.09, 59.25, 56.20, 23.90, 21.55. HRMS (ESI) calcd. for $C_{27}H_{31}N_2O_6S_2$ [M+H$^+$]: 543.1618, found: 543.1627.

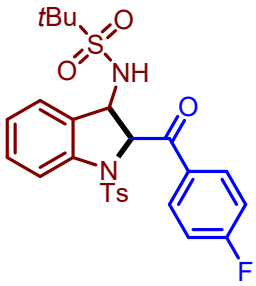
2-methyl-N-(2-(4-methylbenzoyl)-1-tosylindolin-3-yl)propane-2-sulfonamide(4f)



Isolated in 79% yield (83.1 mg) as white solid, mp 224.1 – 225.4 ℃.

^{1}H NMR (400 MHz, DMSO) δ 8.06 (d, *J* = 9.1 Hz, 1H), 7.99 (d, *J* = 8.0 Hz, 2H), 7.78 (d, *J* = 8.1 Hz, 2H), 7.47 – 7.35 (m, 6H), 7.14 (t, *J* = 7.4 Hz, 1H), 5.52 (d, *J* = 7.4 Hz, 1H), 4.89 (t, *J* = 8.1 Hz, 1H), 2.43 (s, 3H), 2.38 (s, 3H), 1.08 (s, 9H). ^{13}C NMR (101 MHz, DMSO) δ 196.02, 145.38, 145.30, 141.06, 133.38, 133.16, 130.58, 130.41, 130.07, 129.95, 129.38, 128.28, 126.15, 124.47, 113.62, 69.25, 60.01, 59.26, 23.92, 21.75, 21.54. HRMS (ESI) calcd. for $C_{27}H_{31}N_2O_5S_2$ [M+H$^+$]: 527.1669, found: 527.1705.

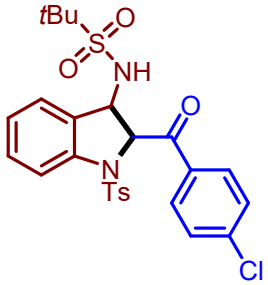
N-(2-(4-fluorobenzoyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4g)



Isolated in 77% yield (81.6 mg) as white solid, mp 181.3 – 182.7 ℃.

^{1}H NMR (400 MHz, DMSO) δ 8.22 – 8.14 (m, 2H), 8.08 (d, *J* = 9.1 Hz, 1H), 7.81 (d, *J* = 8.3 Hz, 2H), 7.52 – 7.44 (m, 4H), 7.43 – 7.35 (m, 2H), 7.15 (t, *J* = 7.3 Hz, 1H), 5.53 (d, *J* = 7.4 Hz, 1H), 4.92 (t, *J* = 8.2 Hz, 1H), 2.39 (s, 3H), 1.11 (s, 9H). ^{13}C NMR (101 MHz, DMSO) δ 195.28 , 167.31 , 164.79 , 145.47 , 140.96 , 133.28 , 132.77 – 132.07 (m), 130.62 , 130.46 , 129.69 , 128.32 , 126.10 , 124.54 , 116.69 (d, *J* = 22.0 Hz), 113.63 , 69.65 , 60.00 , 59.31 , 23.93 , 21.55. HRMS (ESI) calcd. for $C_{26}H_{28}FN_2O_5S_2$ [M+H$^+$]: 531.1418, found: 531.1415.

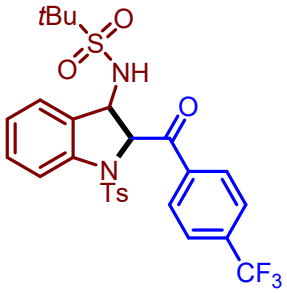
N-(2-(4-chlorobenzoyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4h)



Isolated in 80% yield (87.4 mg) as white solid, mp 224.9 – 226.1 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (t, *J* = 7.5 Hz, 3H), 7.80 (d, *J* = 8.2 Hz, 2H), 7.72 (d, *J* = 8.5 Hz, 2H), 7.50 – 7.45 (m, 2H), 7.44 – 7.35 (m, 2H), 7.15 (t, *J* = 7.4 Hz, 1H), 5.49 (d, *J* = 7.4 Hz, 1H), 4.93 (t, *J* = 8.2 Hz, 1H), 2.39 (s, 3H), 1.13 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 200.49, 150.25, 145.67, 144.40, 139.13, 137.93, 135.84, 135.38, 135.24, 134.44, 134.31, 133.07, 130.81, 129.33, 118.40, 74.58, 64.77, 64.10, 28.72, 26.30. HRMS (ESI) calcd. for $C_{26}H_{27}ClN_2NaO_5S_2$ [M+Na$^+$]: 569.0942, found: 569.0939.

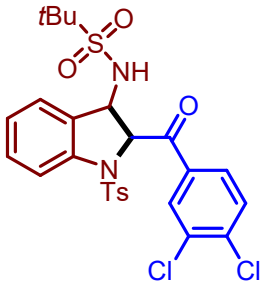
2-methyl-N-(1-tosyl-2-(4-(trifluoromethyl)benzoyl)indolin-3-yl)propane-2-sulfonamide(4i)



Isolated in 57% yield (66.1 mg) as white solid, mp 195.8 – 197.3 ℃.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.20 (d, *J* = 7.9 Hz, 2H), 7.77 (d, *J* = 8.0 Hz, 2H), 7.65 (t, *J* = 9.0 Hz, 3H), 7.41 (t, *J* = 7.7 Hz, 1H), 7.36 (d, *J* = 7.4 Hz, 1H), 7.28 (d, *J* = 7.9 Hz, 2H), 7.18 (t, *J* = 7.4 Hz, 1H), 5.51 (d, *J* = 2.0 Hz, 1H), 4.96 (d, *J* = 10.1 Hz, 1H), 3.29 (d, *J* = 10.2 Hz, 1H), 2.38 (s, 3H), 1.31 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 194.44, 145.43, 141.78, 137.66, 135.17, 134.95, 133.76, 130.94, 130.33, 130.14, 129.83, 127.69, 126.01 – 125.80 (m), 124.57, 122.76, 117.31, 71.74, 60.49, 58.59, 24.19, 21.68. HRMS (ESI) calcd. for $C_{27}H_{28}F_3N_2O_5S_2$ [M+H$^+$]: 581.1386, found: 581.1381.

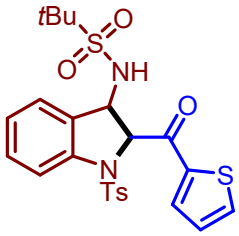
N-(2-(3,4-dichlorobenzoyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4j)



Isolated in 75% yield (87.0 mg) as white solid, mp 175.4 – 176.3 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, *J* = 2.0 Hz, 1H), 7.99 (dd, *J* = 8.4, 2.1 Hz, 1H), 7.69 – 7.60 (m, 4H), 7.45 – 7.35 (m, 2H), 7.31 (d, *J* = 8.2 Hz, 2H), 7.20 (t, *J* = 7.5 Hz, 1H), 5.46 (d, *J* = 2.7 Hz, 1H), 4.95 (dd, *J* = 10.3, 2.5 Hz, 1H), 3.29 (d, *J* = 10.3 Hz, 1H), 2.41 (s, 3H), 1.34 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 193.03, 145.43, 141.76, 138.78, 134.38, 133.80, 133.63, 131.33, 130.95, 130.33, 130.06, 128.62, 127.69, 125.92, 125.88, 117.26, 71.51, 60.51, 58.58, 24.22, 21.70. HRMS (ESI) calcd. for $C_{26}H_{26}Cl_2N_2NaO_5S_2$ [M+Na$^+$]: 603.0552, found: 603.0551.

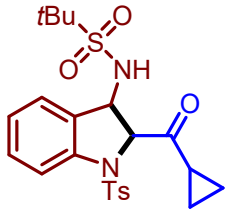
2-methyl-N-(2-(thiophene-2-carbonyl)-1-tosylindolin-3-yl)propane-2-sulfonamide(4k)



Isolated in 83% yield (86.0 mg) as yellow solid, mp 185.3 – 186.7 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (dd, *J* = 3.9, 0.6 Hz, 1H), 7.76 – 7.70 (m, 2H), 7.66 – 7.61 (m, 2H), 7.41 (t, *J* = 8.1 Hz, 2H), 7.27 (d, *J* = 8.4 Hz, 2H), 7.21 – 7.14 (m, 2H), 5.16 (d, *J* = 2.7 Hz, 1H), 4.98 (dd, *J* = 10.4, 2.7 Hz, 1H), 3.13 – 3.00 (m, 1H), 2.39 (s, 3H), 1.32 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 187.59, 145.43, 141.54, 140.52, 136.17, 135.20, 133.40, 130.77, 130.70, 130.19, 128.56, 127.59, 126.12, 126.01, 117.26, 73.11, 60.28, 59.13, 24.12, 21.59. HRMS (ESI) calcd. for $C_{24}H_{27}N_2O_5S_3$ [M+H$^+$]: 519.1077, found: 519.1073.

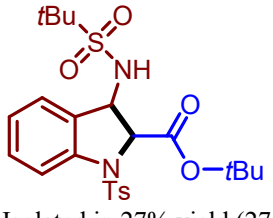
N-((2S,3R)-2-(cyclopropanecarbonyl)-1-tosylindolin-3-yl)-2-methylpropane-2-sulfonamide(4l)



Isolated in 58% yield (55.2 mg) as white solid, mp 178.4 – 179.9 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (d, *J* = 8.4 Hz, 1H), 7.55 (d, *J* = 8.2 Hz, 2H), 7.42 (t, *J* = 7.0 Hz, 2H), 7.26 (d, *J* = 8.4 Hz, 2H), 7.21 (t, *J* = 7.4 Hz, 1H), 5.04 (d, *J* = 10.0 Hz, 1H), 4.59 (d, *J* = 1.7 Hz, 1H), 2.79 – 2.69 (m, 1H), 2.41 (s, 1H), 2.38 (s, 3H), 1.32 (s, 9H), 1.17 – 1.04 (m, 2H), 1.03 – 0.95 (m, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 205.28, 145.72, 140.90, 133.07, 131.57, 130.67, 130.30, 127.47, 126.65, 126.50, 118.32, 76.10, 60.16, 56.89, 24.23, 21.64, 17.57, 13.28, 13.10. HRMS (ESI) calcd. for $C_{23}H_{29}N_2O_5S_2$ [M+H$^+$]: 477.1512, found:477.1510.

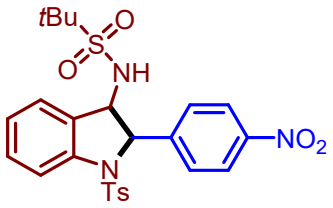
tert-butyl 3-((1,1-dimethylethyl)sulfonamido)-1-tosylindoline-2-carboxylate(4m)



Isolated in 27% yield (27.4 mg) as white solid, mp 190.2 – 191.3 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 – 7.58 (m, 3H), 7.43 – 7.34 (m, 2H), 7.26 (d, *J* = 7.2 Hz, 2H), 7.20 – 7.11 (m, 1H), 4.87 (dd, *J* = 10.3, 2.1 Hz, 1H), 4.46 (d, *J* = 2.4 Hz, 1H), 2.94 (d, *J* = 10.3 Hz, 1H), 2.38 (s, 3H), 1.46 (s, 9H), 1.37 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 167.52, 145.14, 141.22, 134.18, 130.64, 130.55, 130.06, 127.25, 126.17, 125.71, 116.83, 83.43, 70.52, 60.06, 58.80, 27.87, 24.21, 21.54. HRMS (ESI) calcd. for $C_{24}H_{33}N_2O_6S_2$ [M+H$^+$]: 509.1775, found: 509.1766.

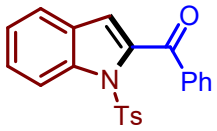
2-methyl-N-((2R,3R)-2-phenyl-1-tosylindolin-3-yl)propane-2-sulfonamide(4n)



Isolated in 77% yield (81.5 mg) as white solid, mp 228.2 – 229.6 ℃.

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 8.8 Hz, 2H), 7.90 (d, *J* = 8.2 Hz, 1H), 7.65 (t, *J* = 8.6 Hz, 4H), 7.53 - 7.45 (m, 1H), 7.29 (d, *J* = 8.1 Hz, 2H), 7.28-7.26 (m, 1H), 7.20 (t, *J* = 7.4 Hz, 1H), 5.39 (s, 1H), 4.56 (d, *J* = 10.0 Hz, 1H), 2.83 (d, *J* = 10.0 Hz, 1H), 2.38 (s, 3H), 1.34 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 147.73, 146.36, 145.24, 142.01, 134.14, 131.41, 130.42, 128.96, 127.40, 127.28, 126.44, 126.23, 124.11, 117.62, 72.98, 63.36, 60.44, 24.17, 21.65. HRMS (ESI) calcd. for $C_{25}H_{27}N_3NaO_6S_2$ [M+Na$^+$]: 552.1233, found: 552.1232.

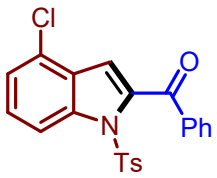
phenyl(1-tosyl-1H-indol-2-yl)methanone(5a)



Isolated in 78% yield (58.5 mg) as white solid, mp 171.4 – 172.8 ℃.

^{1}H NMR (600 MHz, CDCl3) δ 8.14 (d, *J* = 8.5 Hz, 1H), 7.98 (d, *J* = 7.4 Hz, 2H), 7.94 (d, *J* = 8.3 Hz, 2H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.57 (d, *J* = 7.8 Hz, 1H), 7.50 (t, *J* = 7.7 Hz, 2H), 7.46 (t, *J* = 7.5 Hz, 1H), 7.32 – 7.26 (m, 3H), 6.93 (s, 1H), 2.37 (s, 3H). ^{13}C NMR (151 MHz, CDCl3) δ 187.78, 145.22, 138.05, 137.79, 137.63, 135.32, 133.66, 130.17, 129.76, 128.77, 128.64, 127.72, 127.06, 124.32, 122.65, 116.74, 115.27, 21.80. HRMS (ESI) calcd. for $C_{22}H_{18}NO_3S$ [M+H$^+$]: 376.1002, found: 376.0999.

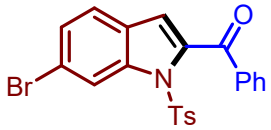
(4-chloro-1-tosyl-1H-indol-2-yl)(phenyl)methanone(5b)



Isolated in 83% yield (67.9 mg) as white solid, mp 131.4 – 132.8 ℃.

^{1}H NMR (600 MHz, CDCl3) δ 8.04 (d, *J* = 8.4 Hz, 1H), 7.98 (dd, *J* = 14.9, 7.8 Hz, 4H), 7.64 (t, *J* = 7.4 Hz, 1H), 7.51 (t, *J* = 7.7 Hz, 2H), 7.37 (t, *J* = 8.1 Hz, 1H), 7.29 (t, *J* = 6.9 Hz, 3H), 7.01 (s, 1H), 2.38 (s, 3H). ^{13}C NMR (151 MHz, CDCl3) δ 187.47, 145.60, 138.19, 138.07, 137.23, 135.08, 133.93, 130.22, 129.89, 128.73, 127.80, 127.74, 127.65, 127.54, 123.98, 113.74, 113.61, 21.81. HRMS (ESI) calcd. for $C_{22}H_{17}ClNO_3S$ [M+H$^+$]: 410.0612, found: 410.0609.

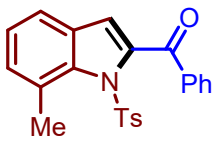
(6-bromo-1-tosyl-1H-indol-2-yl)(phenyl)methanone(5c)



Isolated in 63% yield (57.1 mg) as white solid, mp 147.5 – 148.3 ℃.

^{1}H NMR (600 MHz, CDCl3) δ 8.33 (s, 1H), 7.96 (d, *J* = 8.0 Hz, 4H), 7.63 (t, *J* = 7.3 Hz, 1H), 7.50 (t, *J* = 7.7 Hz, 2H), 7.45 – 7.40 (m, 2H), 7.32 (d, *J* = 8.1 Hz, 2H), 6.87 (s, 1H), 2.40 (s, 3H). ^{13}C NMR (151 MHz, CDCl3) δ 187.38, 145.59, 138.33, 138.30, 137.35, 135.17, 133.85, 130.17, 129.92, 128.70, 127.78, 127.47, 123.66, 120.86, 118.26, 115.97, 21.84. HRMS (ESI) calcd. for $C_{22}H_{17}BrNO_3S$ [M+H$^+$]: 454.0107, found: 454.0102.

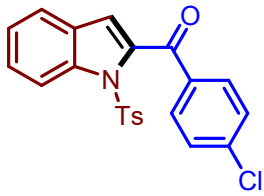
(7-methyl-1-tosyl-1H-indol-2-yl)(phenyl)methanone(5d)



Isolated in 66% yield (51.4 mg) as white solid, mp 134.2 – 135.4 ℃.

^{1}H NMR (400 MHz, CDCl3) δ 8.01 (d, *J* = 8.6 Hz, 1H), 7.96 (d, *J* = 7.7 Hz, 2H), 7.90 (d, *J* = 8.1 Hz, 2H), 7.60 (t, *J* = 7.3 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 2H), 7.33 (s, 1H), 7.25 (t, *J* = 8.8 Hz, 3H), 6.86 (s, 1H), 2.41 (s, 3H), 2.35 (s, 3H). ^{13}C NMR (101 MHz, CDCl3) δ 187.78, 145.09, 138.16, 137.71, 136.07, 135.23, 134.09, 133.55, 130.10, 129.69, 129.05, 128.61, 128.59, 127.61, 122.36, 116.87, 114.95, 21.74, 21.33. HRMS (ESI) calcd. for $C_{23}H_{20}NO_3S$ [M+H$^+$]: 390.1158, found: 390.1156.

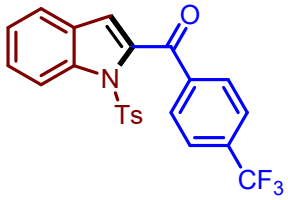
(4-chlorophenyl)(1-tosyl-1H-indol-2-yl)methanone(5e)



Isolated in 76% yield (62.2 mg) as white solid, mp 216.5 – 217.7 ℃.

^{1}H NMR (600 MHz, DMSO) δ 8.04 (d, *J* = 7.9 Hz, 1H), 7.91 (d, *J* = 7.5 Hz, 2H), 7.82 (d, *J* = 7.3 Hz, 2H), 7.73 – 7.61 (m, 3H), 7.56 – 7.48 (m, 1H), 7.44 – 7.27 (m, 4H), 2.31 (s, 3H). ^{13}C NMR (151 MHz, DMSO) δ 186.29, 146.16, 139.32, 137.28, 137.25, 136.09, 134.13, 131.78, 130.47, 129.52, 129.06, 127.77, 127.50, 125.11, 123.53, 117.80, 115.25, 21.52. HRMS (ESI) calcd. for $C_{22}H_{16}ClNNaO_3S$ [M+Na$^+$]: 432.0432, found: 432.0429.

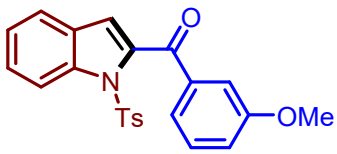
(1-tosyl-1H-indol-2-yl)(4-(trifluoromethyl)phenyl)methanone(5f)



Isolated in 52% yield (46.1 mg) as white solid, mp 171.9 – 172.3 ℃.

^{1}H NMR (600 MHz, CDCl3) δ 8.14 (d, *J* = 8.5 Hz, 1H), 8.07 (d, *J* = 8.1 Hz, 2H), 7.88 (d, *J* = 8.4 Hz, 2H), 7.75 (d, *J* = 8.2 Hz, 2H), 7.58 (d, *J* = 7.8 Hz, 1H), 7.52 – 7.46 (m, 1H), 7.32 (t, *J* = 7.5 Hz, 1H), 7.26 (d, *J* = 8.3 Hz, 2H), 6.99 (s, 1H), 2.37 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 186.67, 145.45, 140.56, 137.75 (d, *J* = 65.4 Hz), 135.00, 134.86, 134.79, 134.57, 134.35, 130.26, 129.81, 128.75, 127.58 (d, *J* = 10.3 Hz), 126.08 – 125.49 (m), 124.63, 122.85 (d, *J* = 5.1 Hz), 117.70, 115.40, 21.78. HRMS (ESI) calcd. for $C_{23}H_{17}F_3NO_3S$ [M+H$^+$]: 444.0876, found: 444.0874.

(3-methoxyphenyl)(1-tosyl-1H-indol-2-yl)methanone(5g)

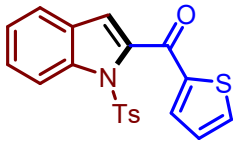


Isolated in 69% yield (55.9 mg) as white solid, mp 138.2 – 139.4 ℃.

^{1}H NMR (600 MHz, CDCl3) δ 8.13 (d, *J* = 8.5 Hz, 1H), 7.95 (d, *J* = 8.3 Hz, 2H), 7.60 – 7.50 (m, 3H),

7.46 (t, *J* = 7.8 Hz, 1H), 7.39 (t, *J* = 7.9 Hz, 1H), 7.32 – 7.25 (m, 3H), 7.17 (dd, *J* = 8.2, 2.5 Hz, 1H), 6.94 (s, 1H), 3.86 (s, 3H), 2.37 (s, 3H). ^{13}C NMR (151 MHz, CDCl3) δ 187.46, 159.82, 145.22, 138.84, 137.94, 137.79, 135.34, 129.74, 129.61, 128.67, 127.69, 127.07, 124.30, 123.37, 122.67, 120.49, 116.80, 115.22, 113.71, 55.61, 21.77. HRMS (ESI) calcd. for $C_{23}H_{20}NO_4S$ [M+H$^+$]: 406.1108, found: 406.1107.

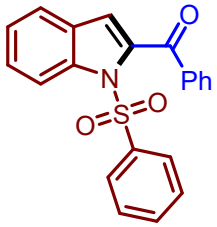
thiophen-2-yl(1-tosyl-1H-indol-2-yl)methanone(5h)



Isolated in 72% yield (54.9 mg) as yellow solid, mp 162.4 – 164.1 ℃.

^{1}H NMR (600 MHz, CDCl3) δ 8.13 (d, *J* = 8.5 Hz, 1H), 8.00 (d, *J* = 8.4 Hz, 2H), 7.81 – 7.73 (m, 2H), 7.57 (d, *J* = 7.8 Hz, 1H), 7.49 – 7.42 (m, 1H), 7.34 – 7.23 (m, 3H), 7.19 – 7.14 (m, 1H), 7.05 (s, 1H), 2.36 (s, 3H). ^{13}C NMR (151 MHz, CDCl3) δ 179.25, 145.25, 144.23, 137.82, 137.32, 135.51, 135.28, 129.72, 128.47, 128.39, 127.80, 127.11, 124.27, 122.68, 116.53, 115.22, 21.75. HRMS (ESI) calcd. for $C_{20}H_{17}NO_3S_2$ [M+H$^+$]: 382.0566, found: 382.0566.

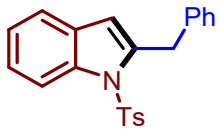
phenyl(1-(phenylsulfonyl)-1H-indol-2-yl)methanone(5i)



Isolated in 56% yield (40.4 mg) as white solid, mp 138.8 – 140.8 ℃.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.15 (d, *J* = 8.5 Hz, 1H), 8.08 (d, *J* = 7.7 Hz, 2H), 7.99 (d, *J* = 7.5 Hz, 2H), 7.63 (t, *J* = 7.3 Hz, 1H), 7.60 – 7.55 (m, 2H), 7.54 – 7.45 (m, 5H), 7.31 (t, *J* = 7.5 Hz, 1H), 6.95 (s, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 187.62, 138.29, 138.01, 137.83, 137.53, 134.08, 133.70, 130.16, 129.13, 128.71, 128.65, 127.63, 127.18, 124.41, 122.72, 117.03, 115.23. HRMS (ESI) calcd. for $C_{21}H_{16}NO_3S$ [M+H$^+$]: 362.0845, found:362.0846.

2-benzyl-1-tosylindolin-3-amine (7)



Isolated in 52% yield (37.5 mg) as yellow solid, mp 163.1 – 164.3 ℃.

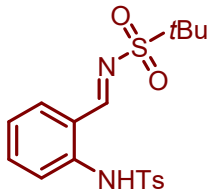
^{1}H NMR (600 MHz, $CDCl_3$) δ 7.98 (d, *J* = 8.3 Hz, 1H), 7.73 (d, *J* = 8.2 Hz, 2H), 7.37 (d, *J* = 7.4 Hz, 1H), 7.31 – 7.26 (m, 4H), 7.24 – 7.16 (m, 6H), 4.00 (s, 2H), 2.34 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 144.87, 139.12, 135.66, 135.39, 130.97, 129.91, 128.76, 128.66, 126.90, 126.54, 124.83, 124.11, 123.24, 122.59, 119.89, 113.92, 31.51, 21.70. HRMS (ESI) calcd. for $C_{22}H_{20}NO_2S$ [M+H$^+$]: 362.1209, found:362.1207.

6. Reference

[1] Y.-Y. Liu, X.-Y. Yu, J.-R. Chen, M.-M. Q, X.-T. Q, D.-Q. Shi and W.-J. X. *Agnew. Chem. Int. Ed.* 2017, **56***,* 9527.

[2] H.-R. Wang, E.-H. Huang, C. Luo, W.-F. Luo, Y. Xu, P.-C. Qian, J.-M. Zhou, L.-W. Ye, *Chem. Commun.* 2020, **56**, 4832.

7. ^{1}H NMR, ^{13}C NMR and HPLC Spectra



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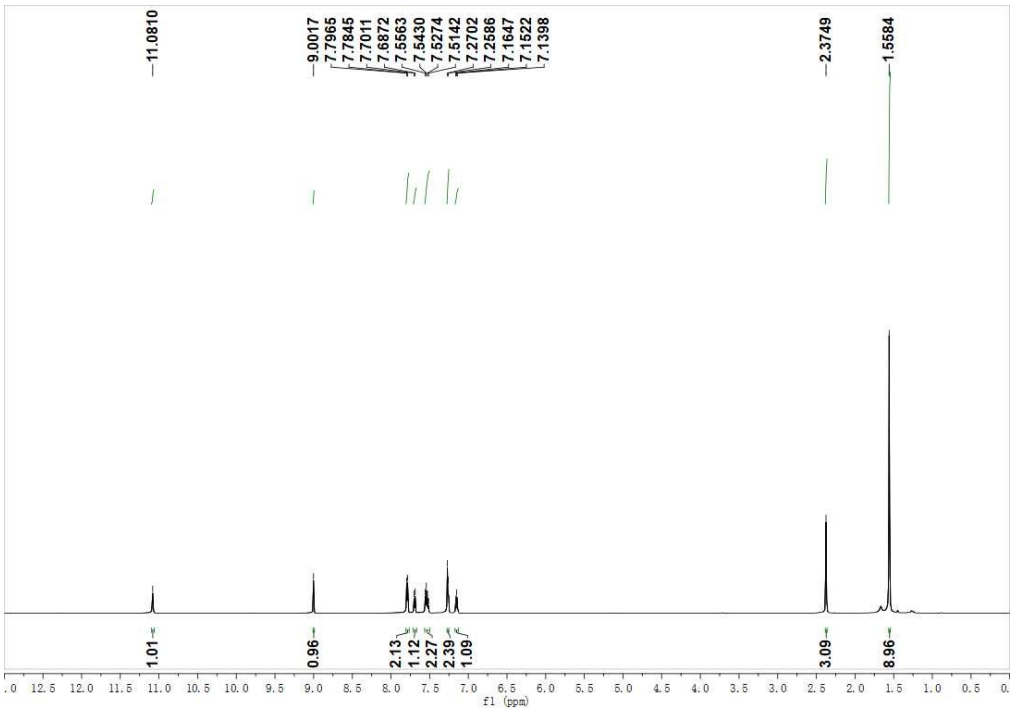
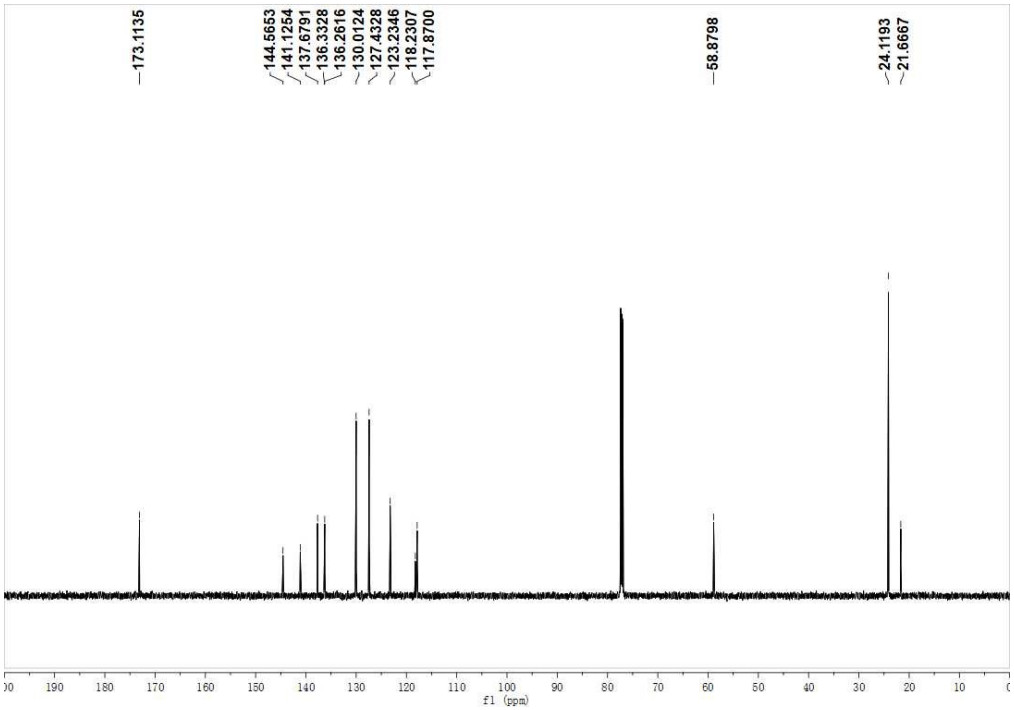
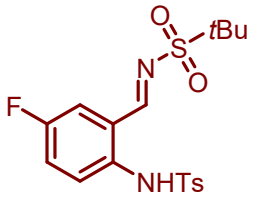
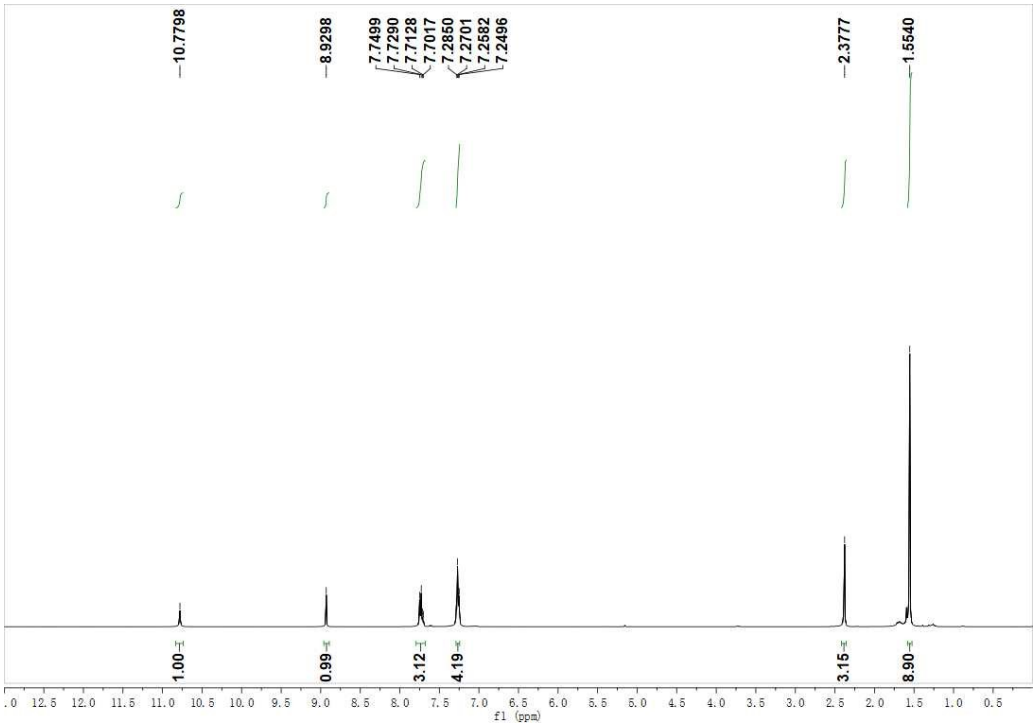





O
tBu
S
N
O
F
NHTs

1b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7.7128
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7.2850
7.2701
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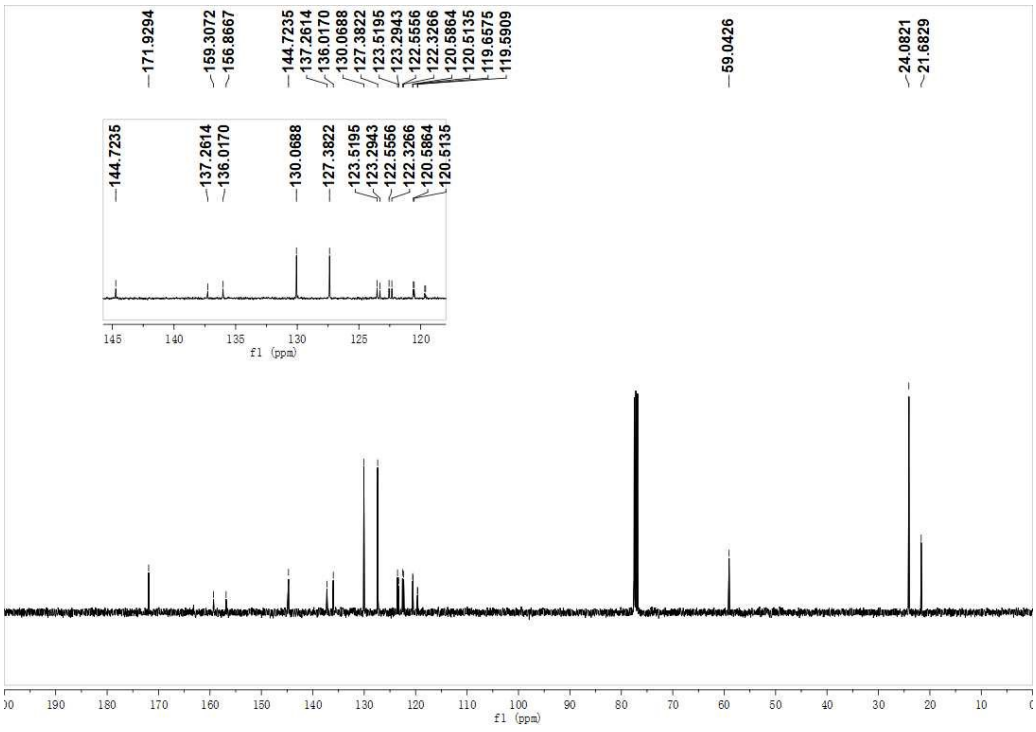
2.3777
1.5540
1.00
0.99
3.12
4.19
3.15
8.90
f1 (ppm)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156.8667
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137.2614
136.0170
130.0688
127.3822
123.5195
123.2943
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122.3266
120.5864
120.5135
119.6575
119.5909
59.0426
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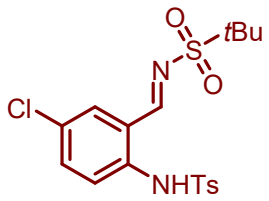
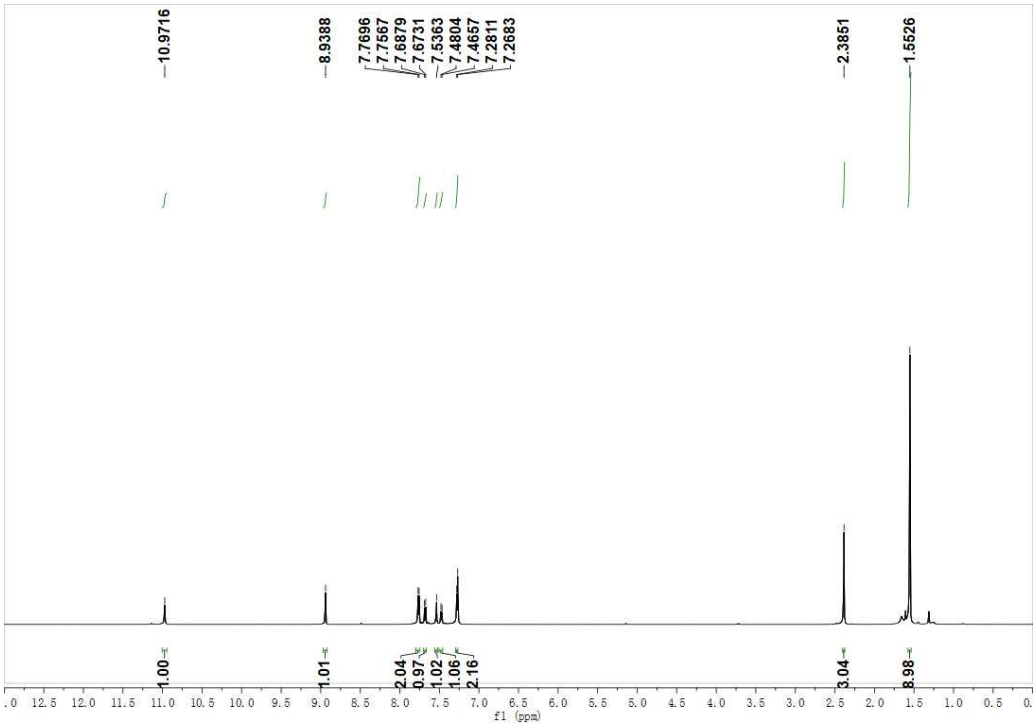
21.6829
f1 (ppm)


O
tBu
S
N
O
Cl
NHTs

1c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7.7696
7.7567
7.6879
7.6731
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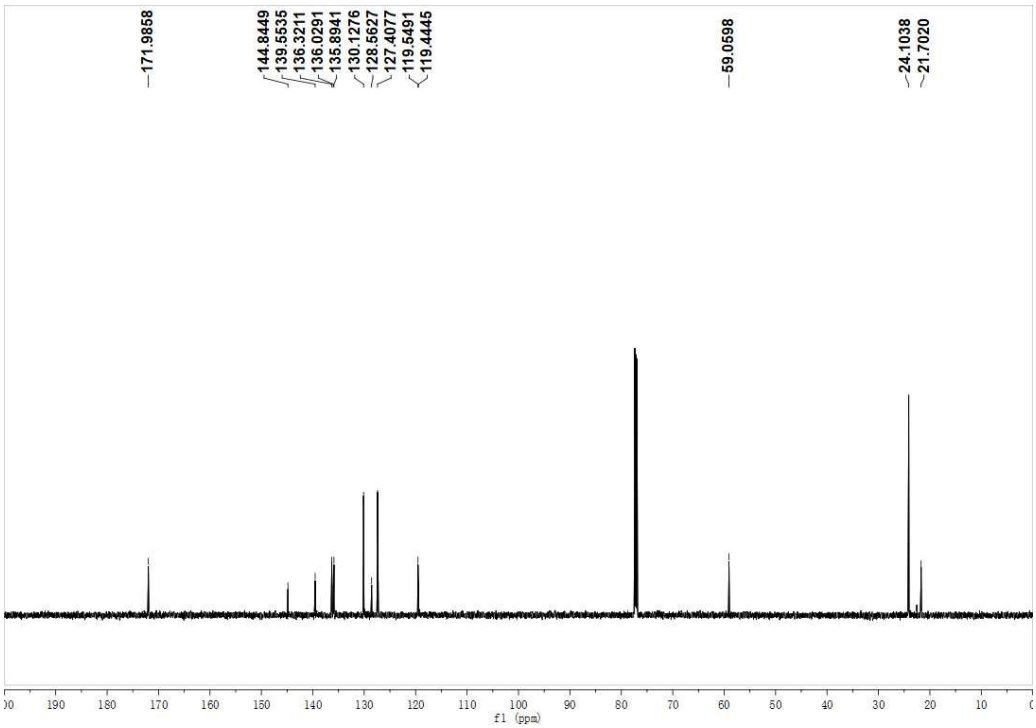
7.4657
7.2811
7.2683
2.3851
1.5526
1.00
1.01
2.04
0.97
1.02
1.06
2.16
3.04
8.98
f1 (ppm)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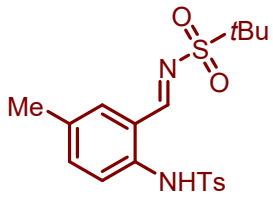
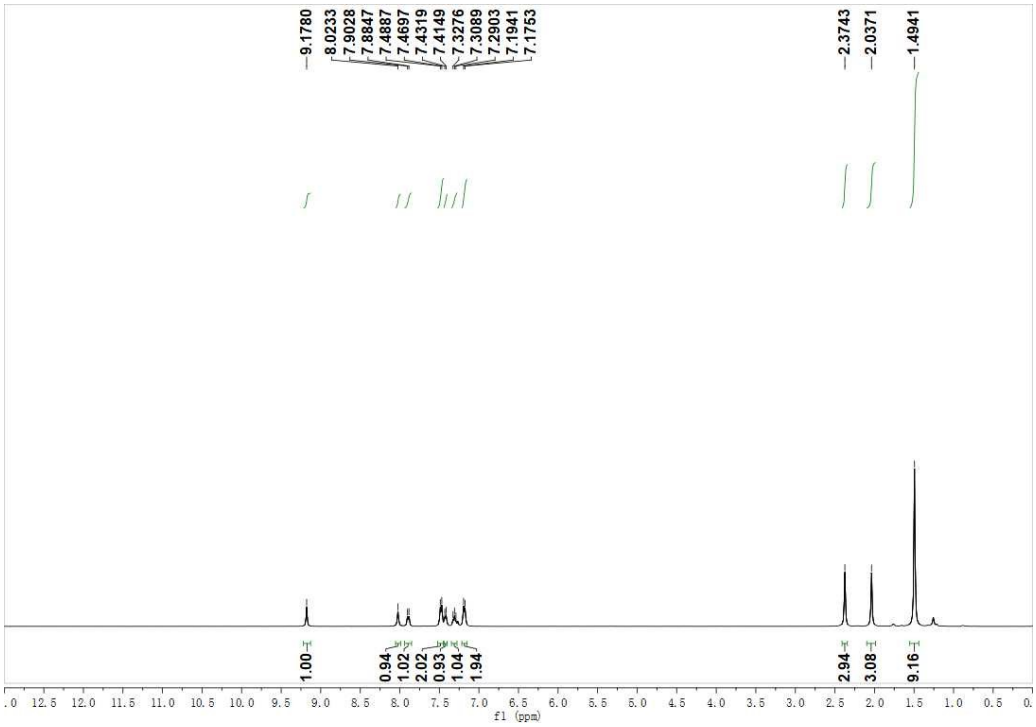
59.0598
24.1038
21.7020
f1 (ppm)


O
tBu
S
N
O
Me
NHTs

1d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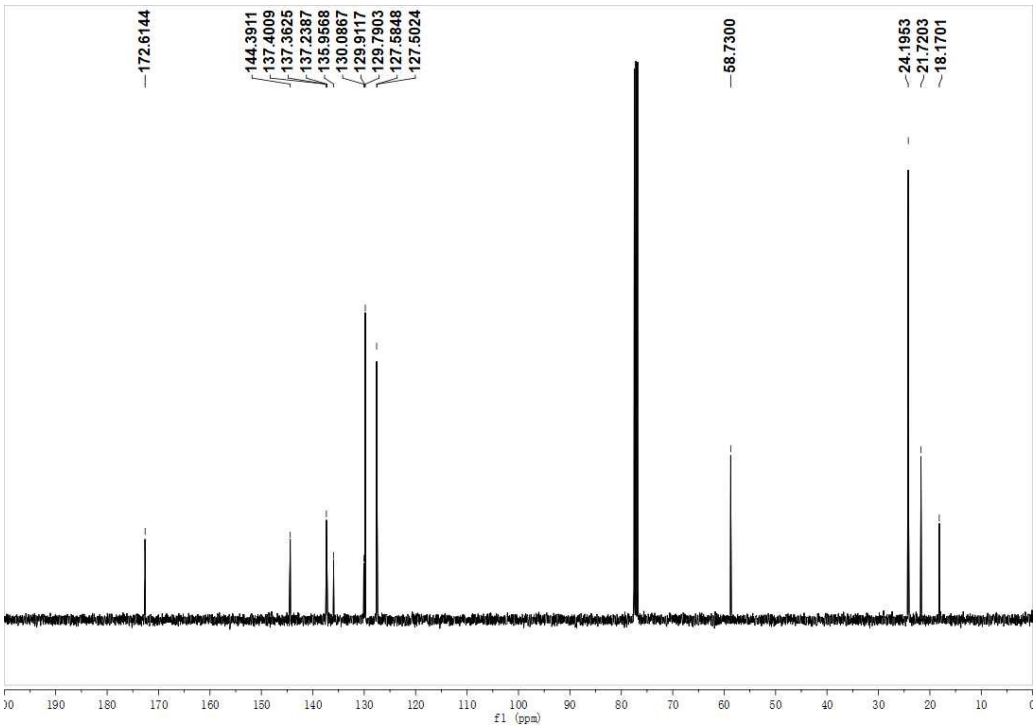
7.8847
7.4887
7.4697
7.4319
7.4149
7.3276
7.3089
7.2903
7.1941
7.1753
2.3743
2.0371
1.4941
1.00
0.94
1.02
2.02
0.93
1.04
1.94
2.94
3.08
9.16
f1 (ppm)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137.2387
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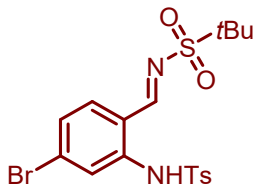
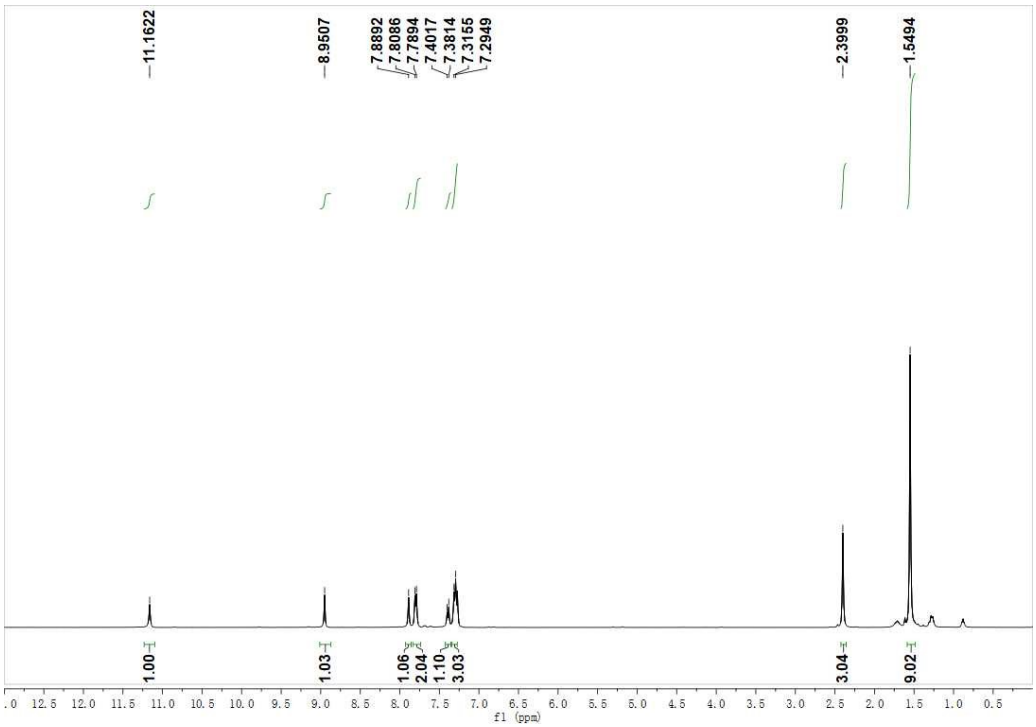
24.1953
21.7203
18.1701
f1 (ppm)


O
tBu
S
N
O
Br
NHTs

1e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7.8086
7.7894
7.4017
7.3814
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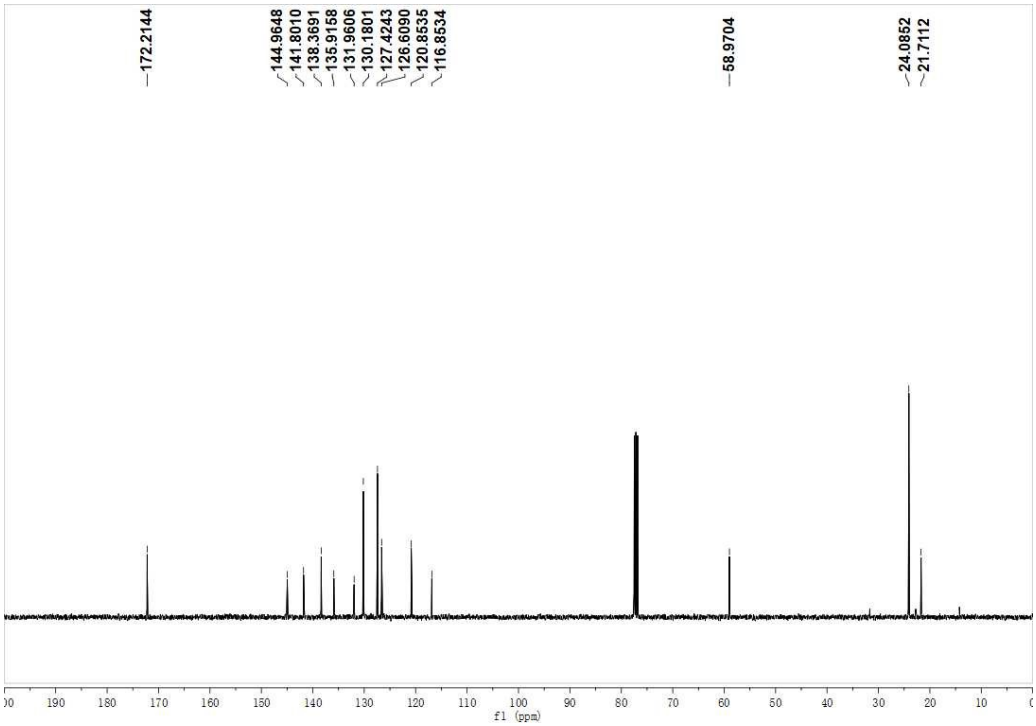
1.06
2.04
1.10
3.03
3.04
9.02
f1 (ppm)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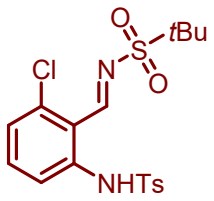
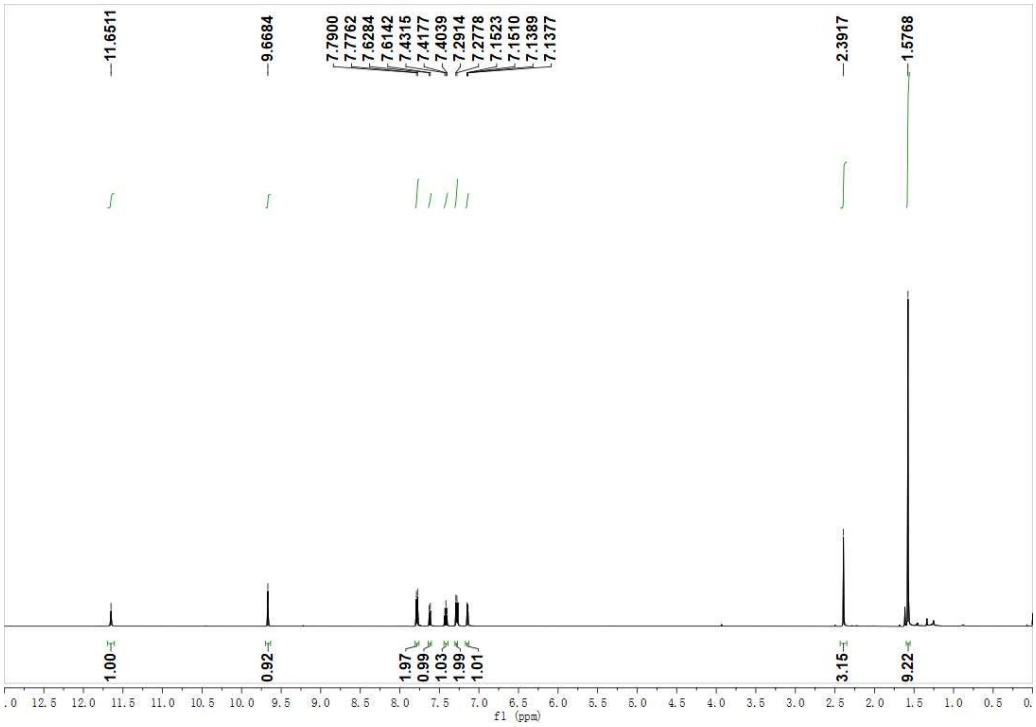
f1 (ppm)


O
tBu
S
Cl
N
O
NHTs

1f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7.7900
7.7762
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7.6142
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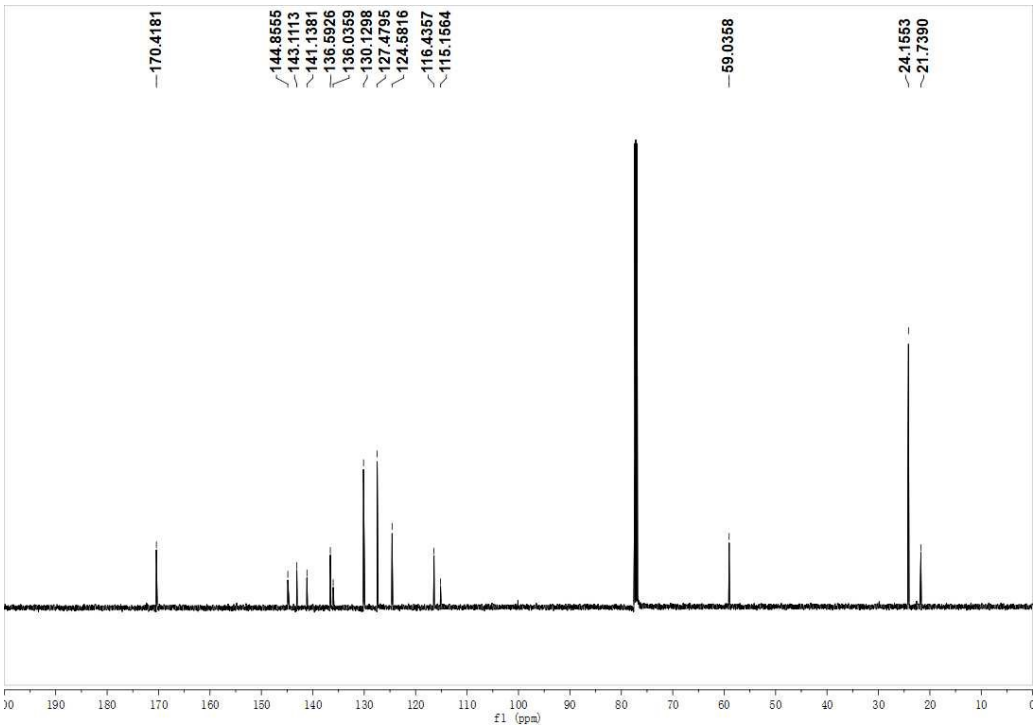
7.4039
7.2914
7.2778
7.1523
7.1510
7.1389
7.1377
2.3917
1.5768
1.00
0.92
1.97
0.99
1.03
1.99
1.01
3.15
9.22
f1 (ppm)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143.1113
141.1381
136.5926
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59.0358
24.1553
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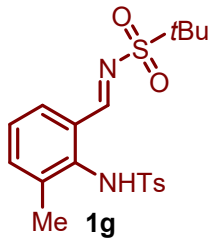
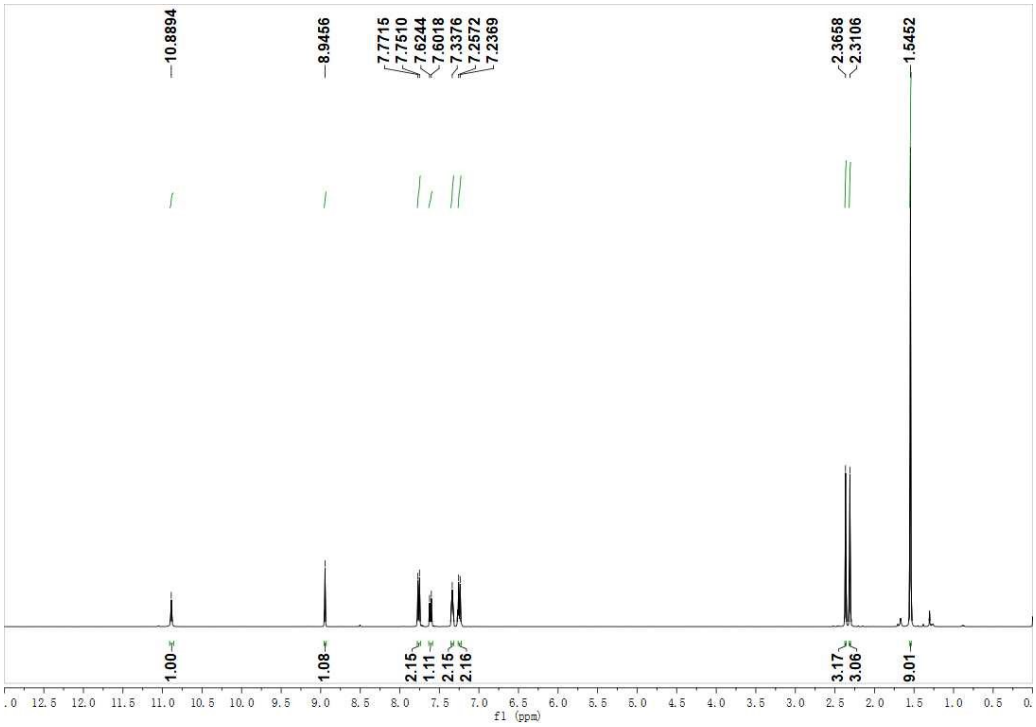
f1 (ppm)


O
tBu
S
N
O
NHTs
Me

1g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7.3376
7.2572
7.2369
2.3658
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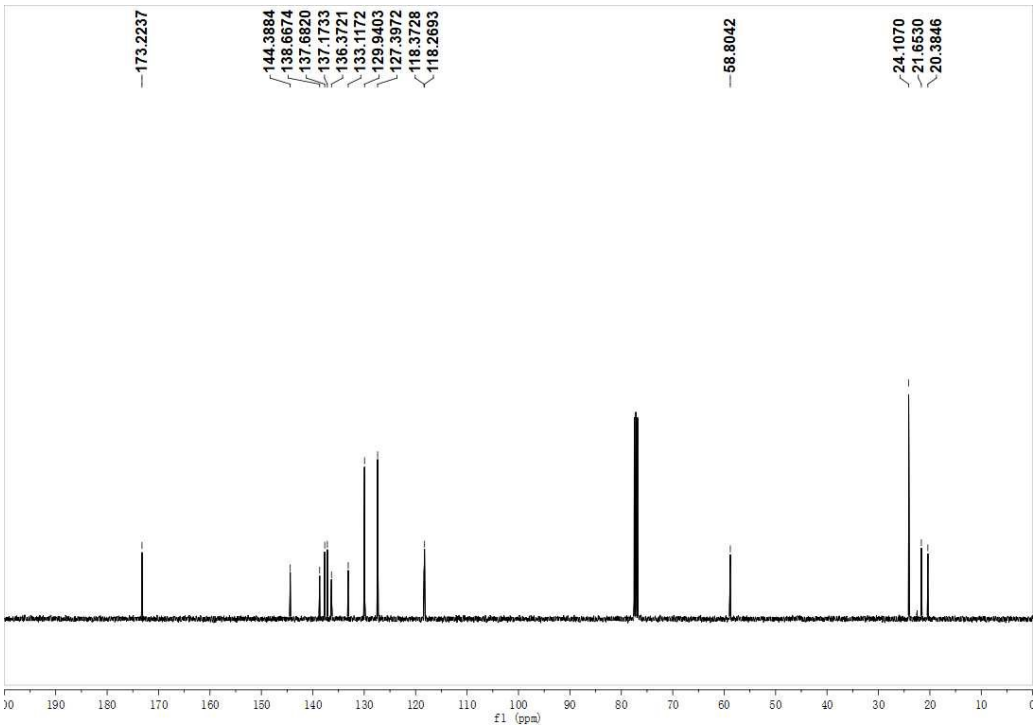
2.16
3.17
3.06
9.01
f1 (ppm)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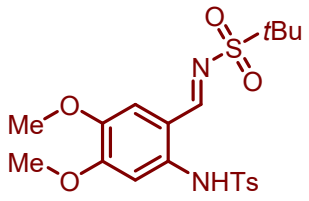
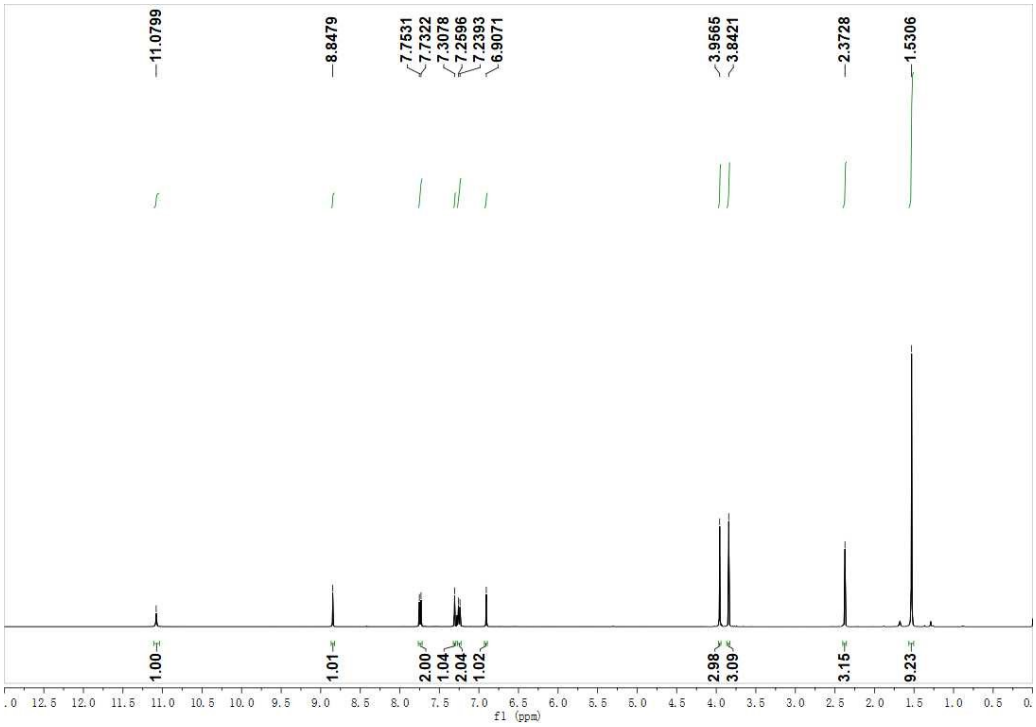
f1 (ppm)


O
S
tBu
N
O
Me
O
Me
O
NHTs

1h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3.9565
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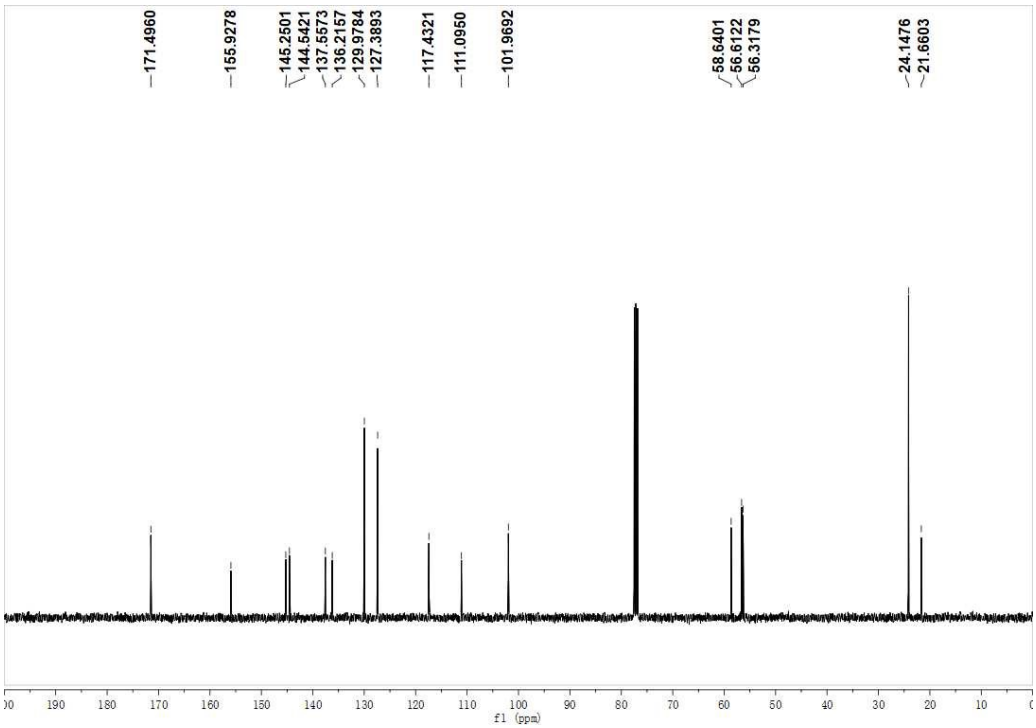
2.98
3.09
3.15
9.23
f1 (ppm)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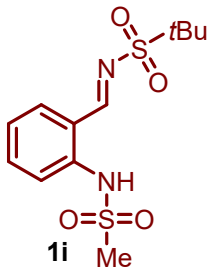
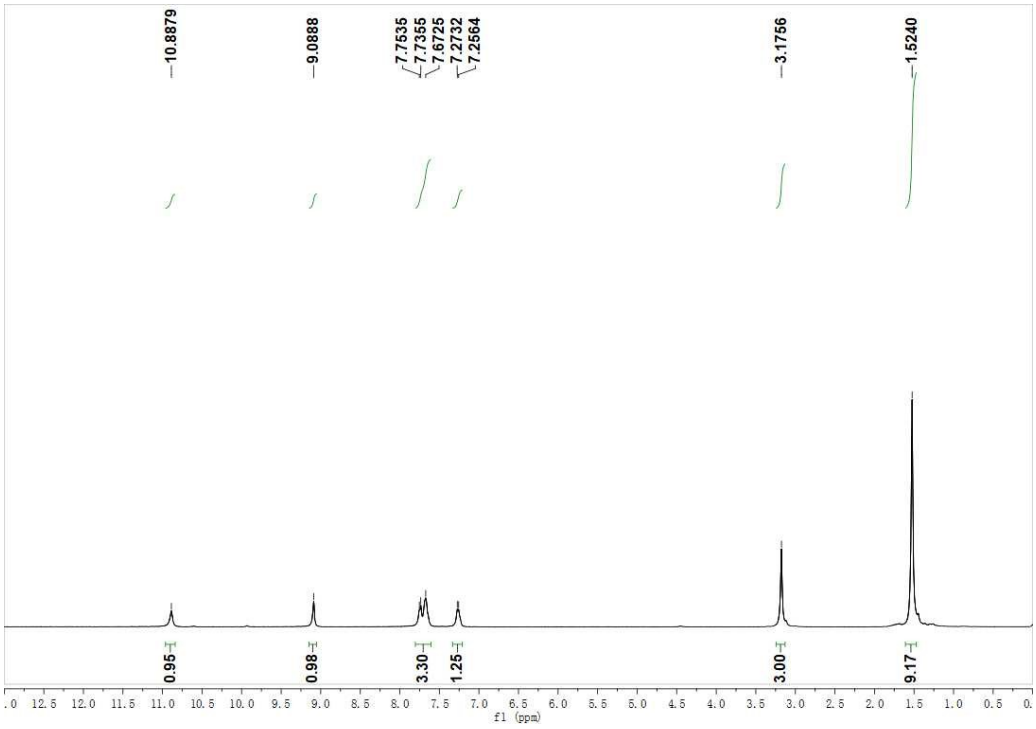
f1 (ppm)


O
tBu
S
N
O
NH
O=S=O
Me

1i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7.6725
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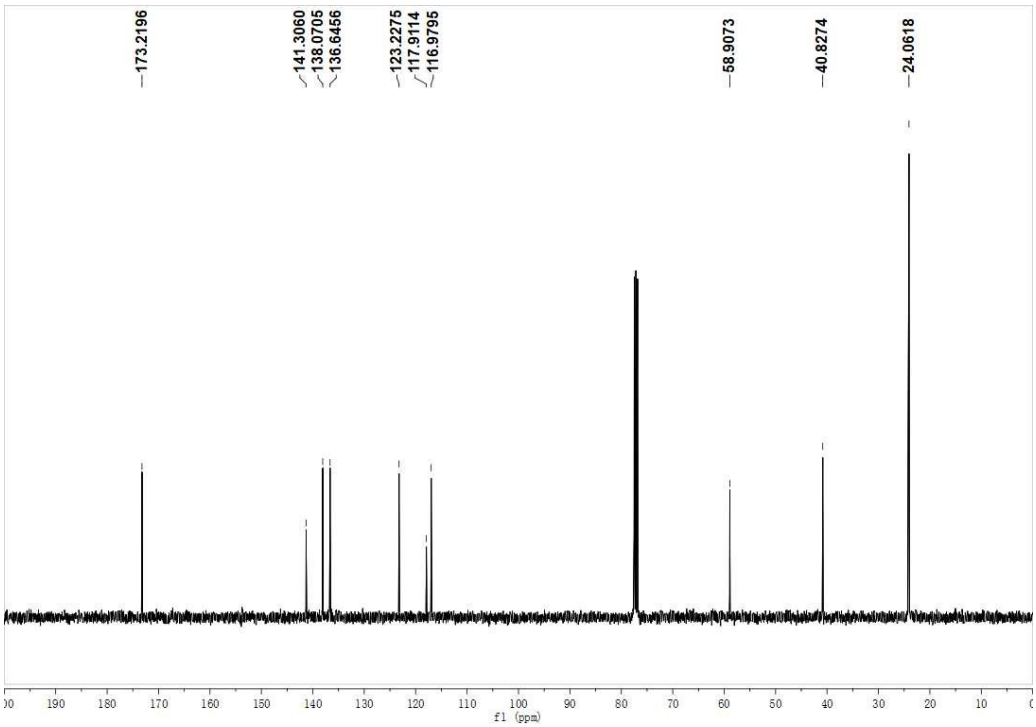
0.98
3.30
1.25
3.00
9.17
f1 (ppm)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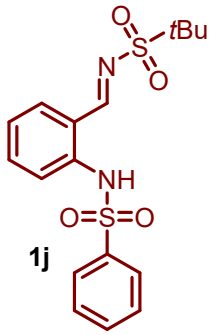
f1 (ppm)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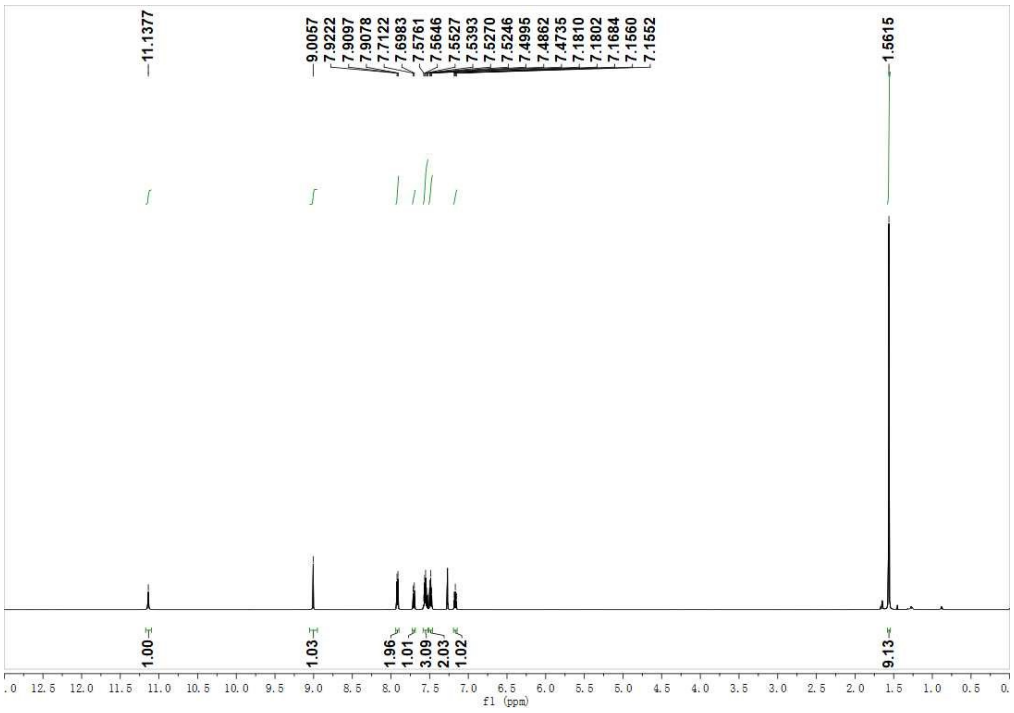
tBu
S
N
O
NH
O=S=O

1j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7.6983
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7.1802
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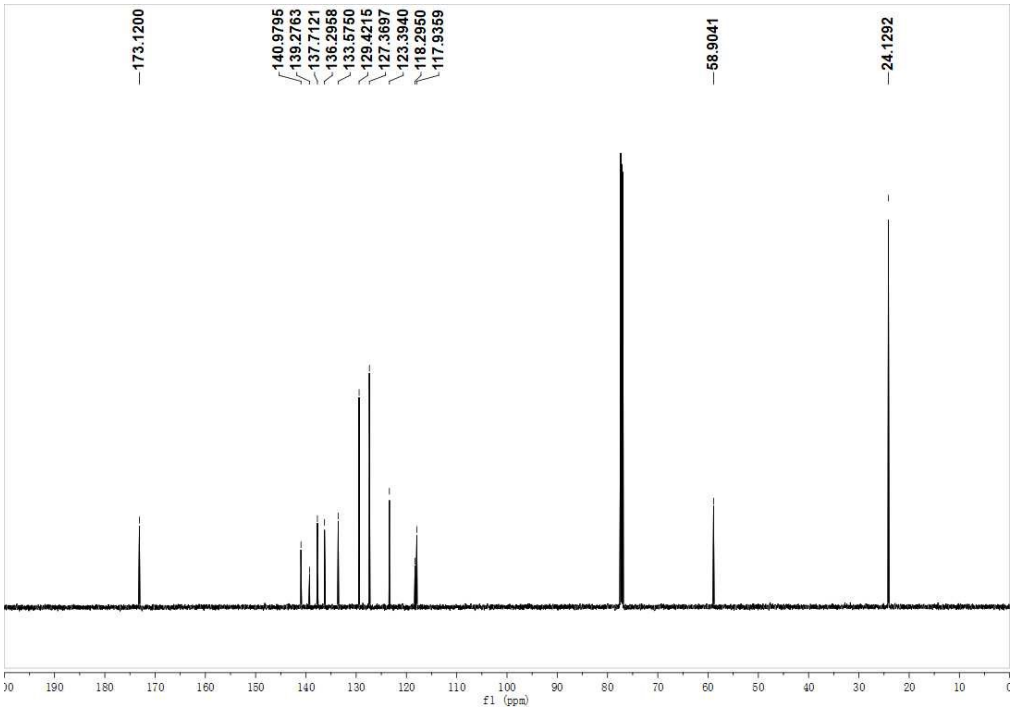
3.09
2.03
1.02
9.13
f1 (ppm)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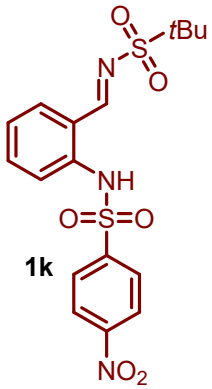
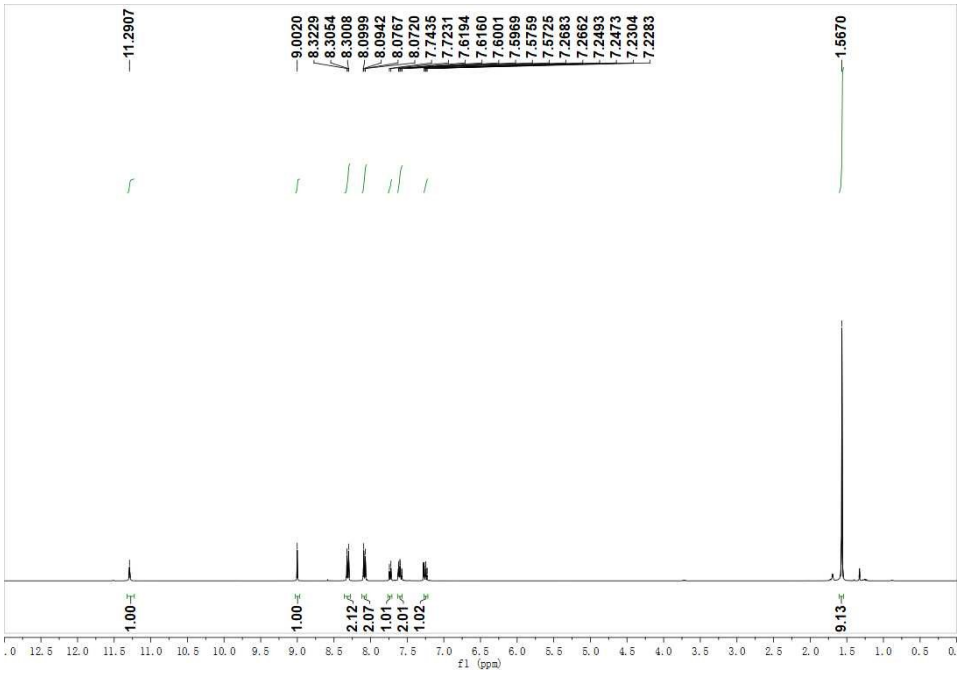
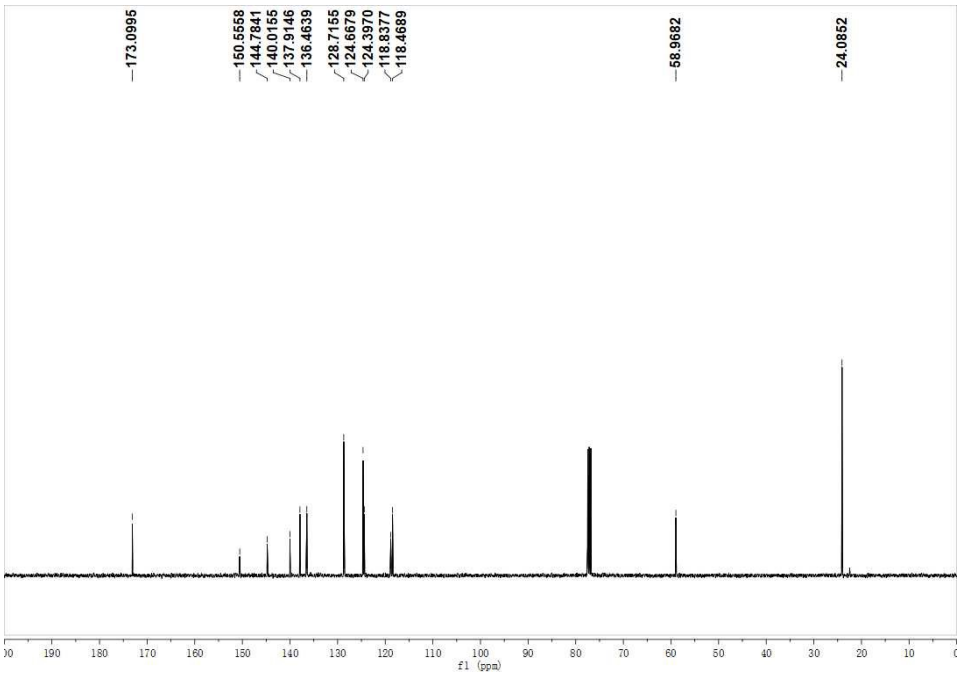
58.9041
24.1292
f1 (ppm)


O
tBu
S
N
O
NH
O=S=O
NO2

1k


11.2907
9.0020
8.3229
8.3054
8.3008
8.0999
8.0942
8.0767
8.0720
7.7435
7.7231
7.6194
7.6160
7.6001
7.5969
7.5759
7.5725
7.2683
7.2662
7.2493
7.2473
7.2304
7.2283
1.5670
1.00
1.00
2.12
2.07
1.01
2.01
1.02
9.13
f1 (ppm)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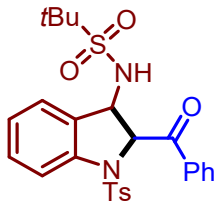
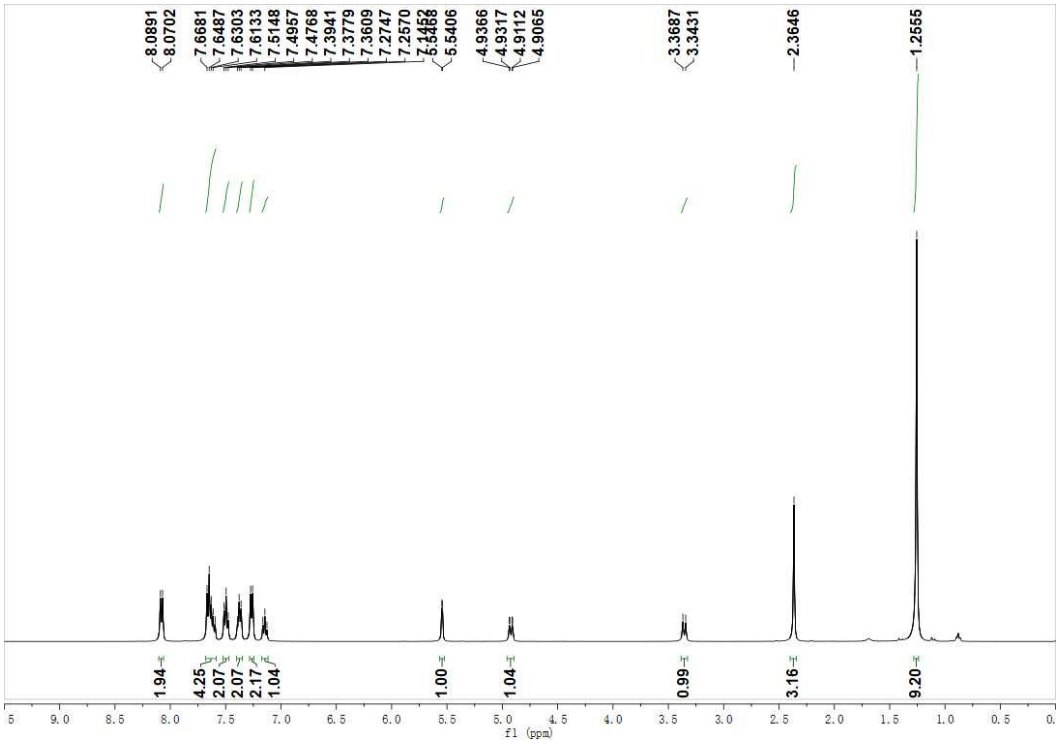
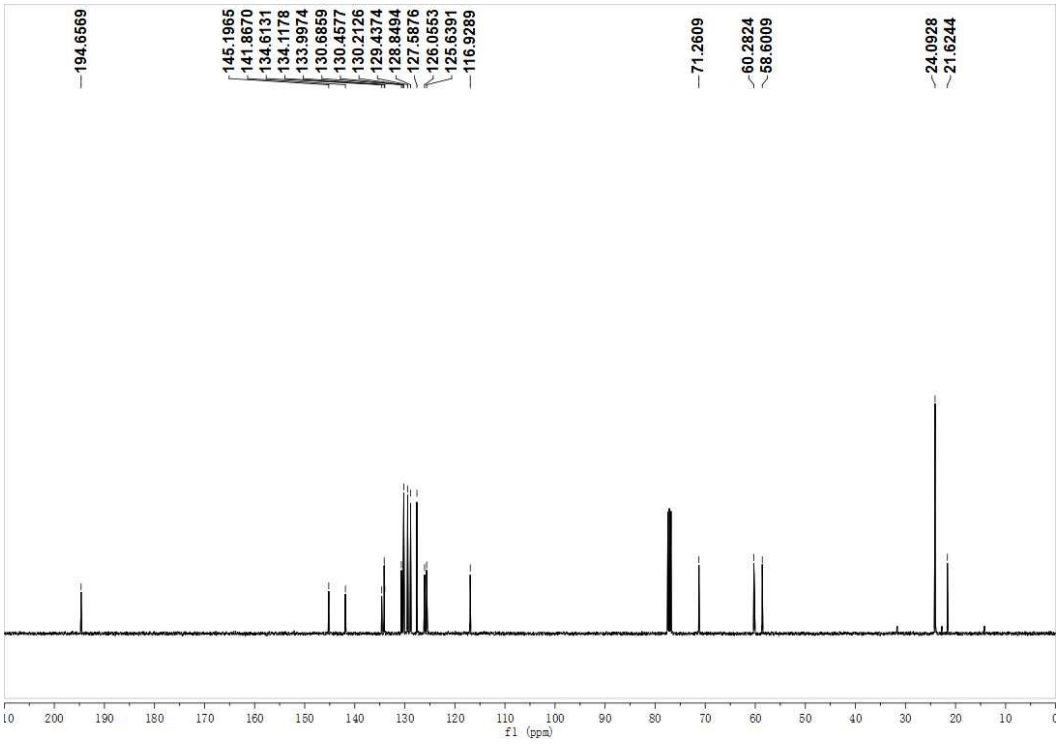
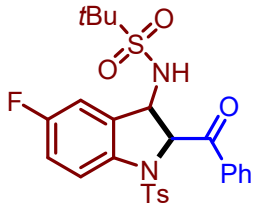
150.5558
144.7841
140.0155
137.9146
136.4639
128.7155
124.6679
124.3970
118.8377
118.4689
58.9682
24.0852
f1 (ppm)


tBu
O
S
O
NH
O
Ph
N
Ts

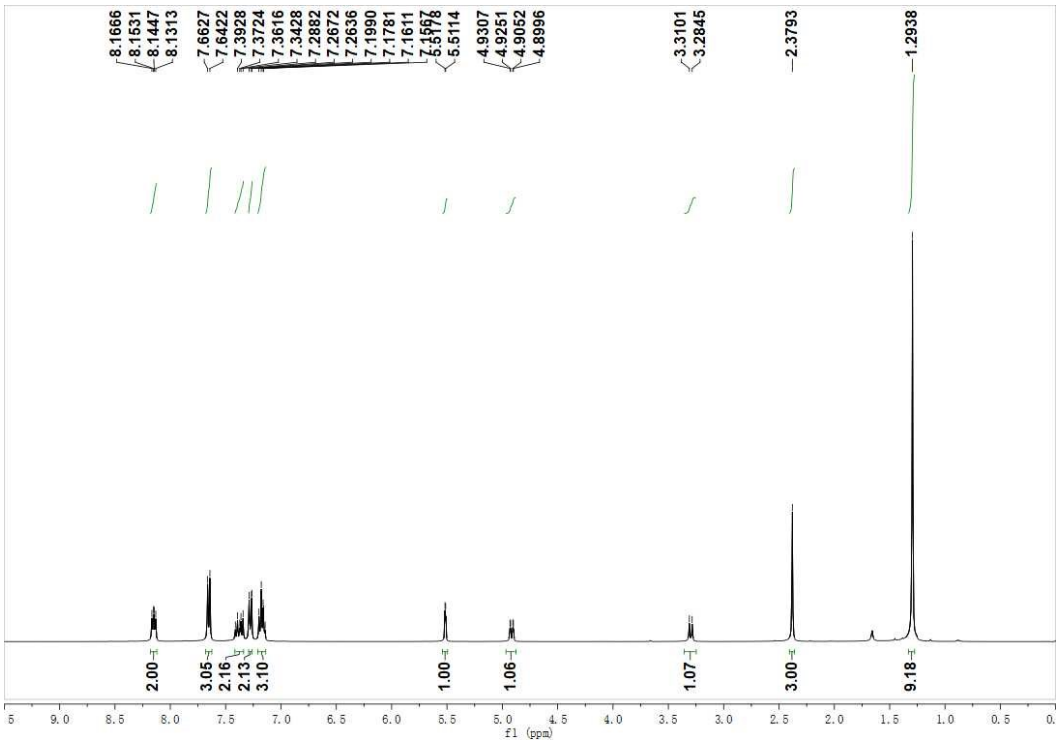
3a

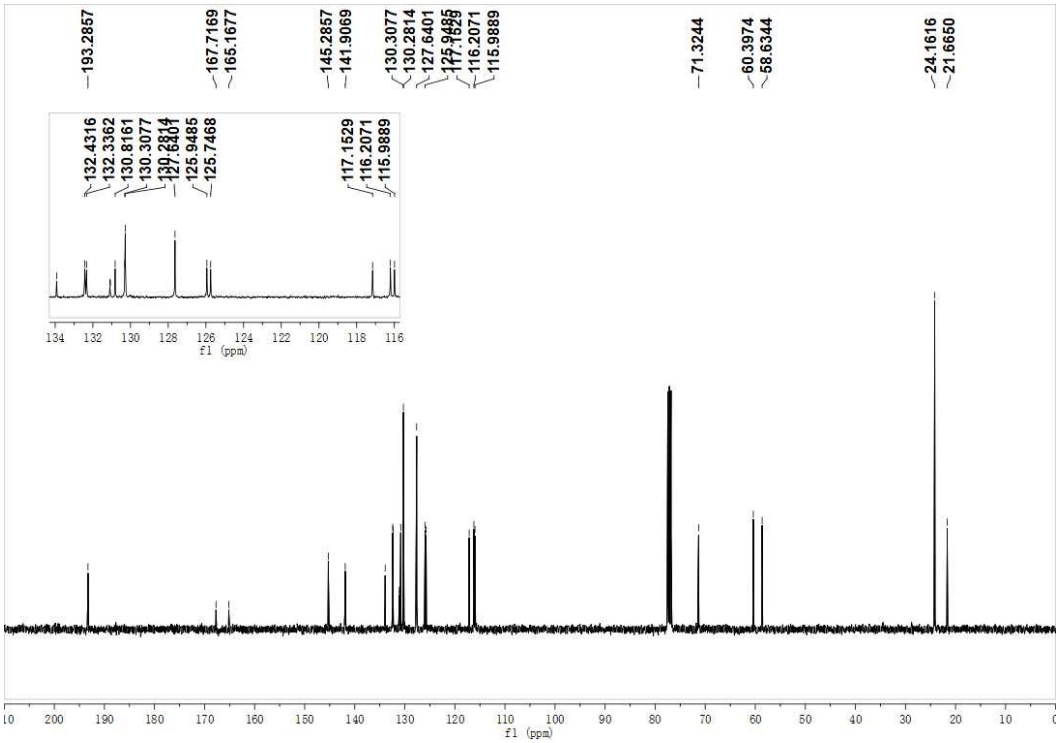

8.0891
8.0702
7.6681
7.6487
7.6303
7.6133
7.5148
7.4957
7.4768
7.3941
7.3779
7.3609
7.2747
7.2570
5.5406
4.9366
4.9317
4.9112
4.9065
3.3687
3.3431
2.3646
1.2555
1.94
4.25
2.07
2.07
2.17
1.04
1.00
1.04
0.99
3.16
9.20
f1 (ppm)

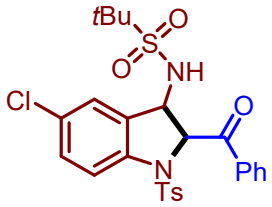

194.6569
145.1965
141.8670
134.6131
134.1178
133.9974
130.6859
130.4577
130.2126
129.4374
128.8494
127.5876
126.0553
125.6391
116.9289
71.2609
60.2824
58.6009
24.0928
21.6244
f1 (ppm)


tBu
O
S
O
NH
F
O
Ph
N
Ts

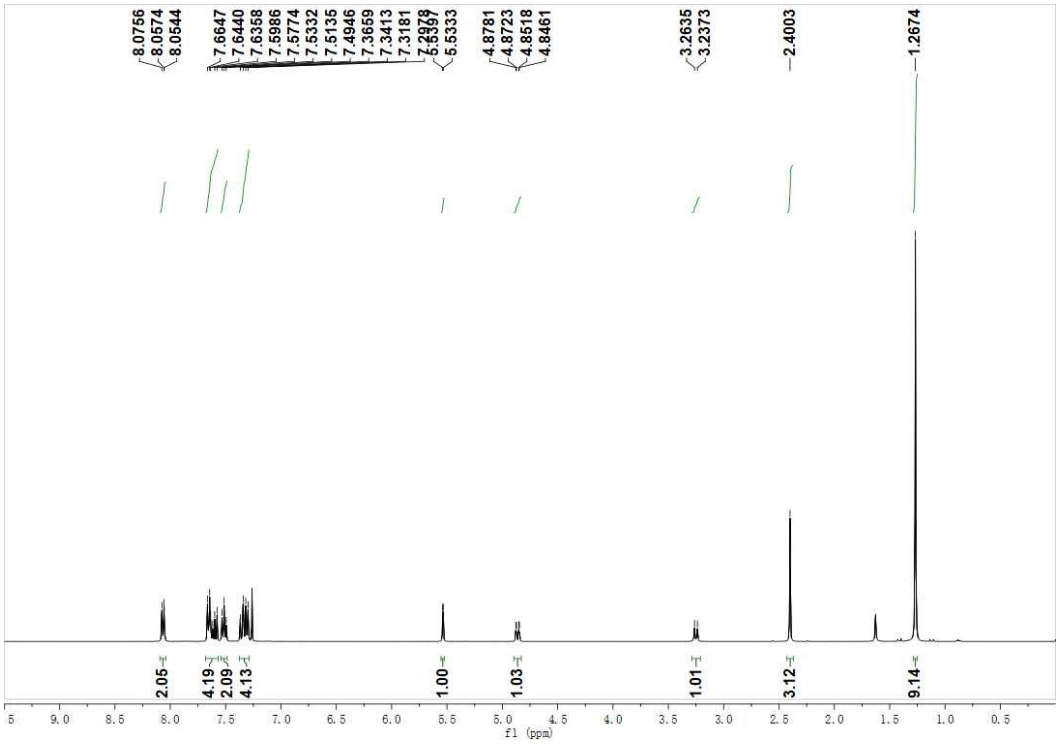
3b

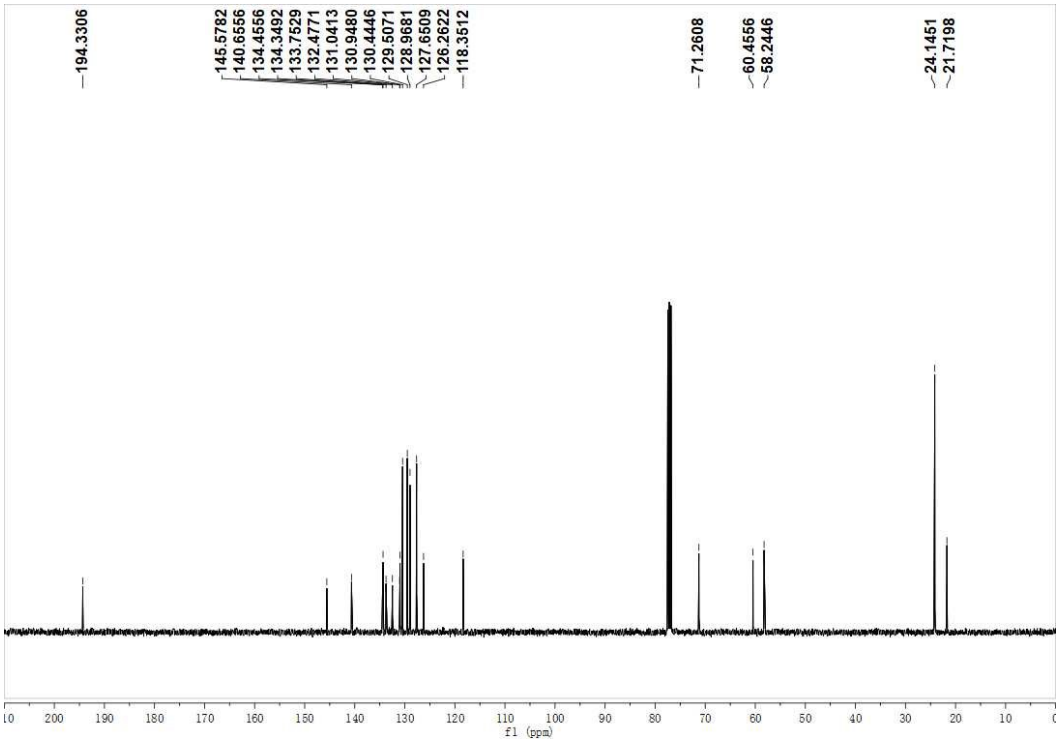

8.1666
8.1531
8.1447
8.1313
7.6627
7.6422
7.3928
7.3724
7.3616
7.3428
7.2882
7.2672
7.2636
7.1990
7.1781
7.1611
5.5178
5.5114
4.9307
4.9251
4.9052
4.8996
3.3101
3.2845
2.3793
1.2938
2.00
3.05
2.16
2.13
3.10
1.00
1.06
1.07
3.00
9.18
f1 (ppm)


193.2857
167.7169
165.1677
145.2857
141.9069
130.3077
130.2814
127.6401
125.9485
125.7468
117.1529
116.2071
115.9889
71.3244
60.3974
58.6344
24.1616
21.6650
132.4316
132.3362
130.8161
130.3077
130.2814
127.6401
125.9485
125.7468
117.1529
116.2071
115.9889
f1 (ppm)
f1 (ppm)


tBu
O
S
O
NH
Cl
O
Ph
N
Ts

3c


8.0756
8.0574
8.0544
7.6647
7.6440
7.6358
7.5986
7.5774
7.5332
7.5135
7.4946
7.3659
7.3413
7.3181
5.5333
4.8781
4.8723
4.8518
4.8461
3.2635
3.2373
2.4003
1.2674
2.05
4.19
2.09
4.13
1.00
1.03
1.01
3.12
9.14
f1 (ppm)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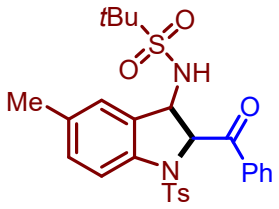
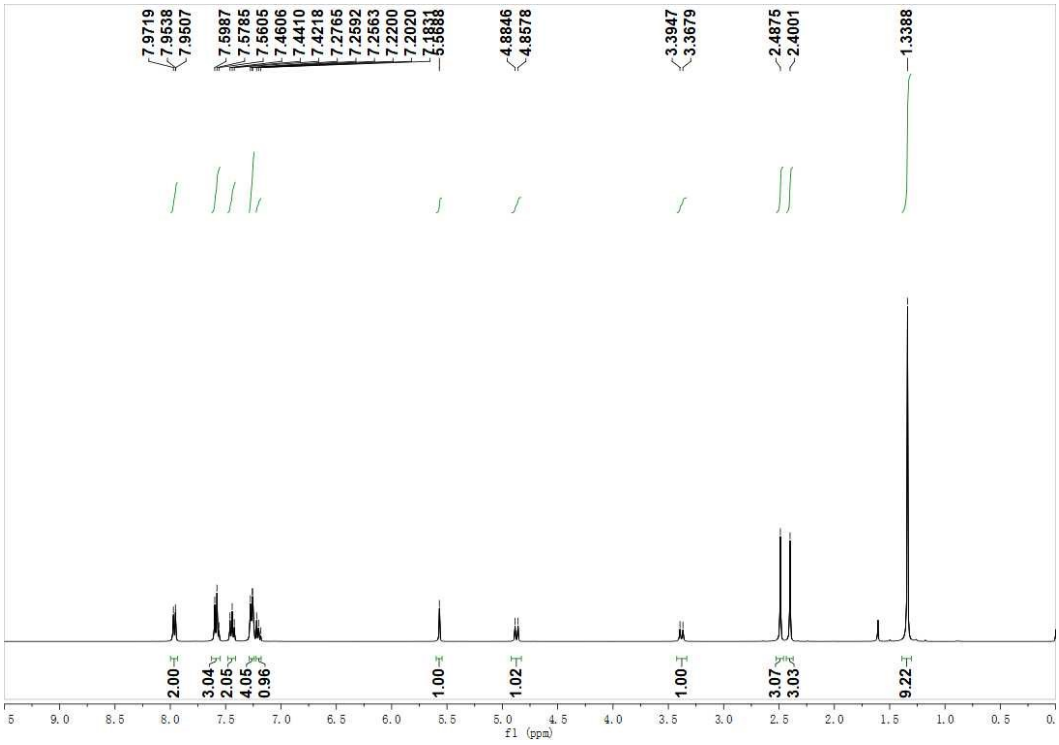
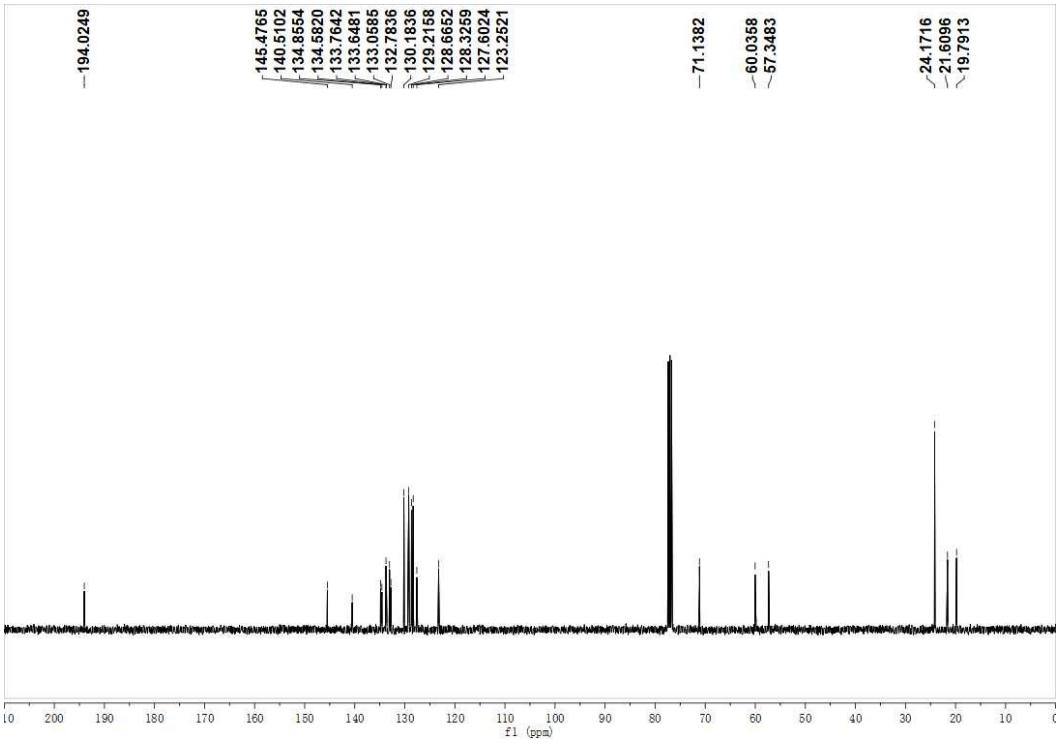
145.5782
140.6556
134.4556
134.3492
133.7529
132.4771
131.0413
130.9480
130.4446
129.5071
128.9681
127.6509
126.2622
118.3612
71.2608
60.4556
58.2446
24.1451
21.7198
f1 (ppm)


tBu
O
S
O
NH
Me
O
N
Ts
Ph

3d


7.9719
7.9538
7.9507
7.5987
7.5785
7.5605
7.4606
7.4410
7.4218
7.2765
7.2592
7.2563
7.2200
7.2020
7.1831
5.5888
4.8846
4.8578
3.3947
3.3679
2.4875
2.4001
1.3388
2.00
3.04
2.05
4.05
0.96
1.00
1.02
1.00
3.07
3.03
9.22
f1 (ppm)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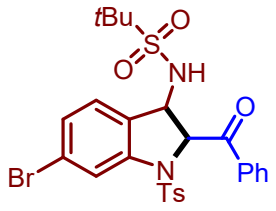
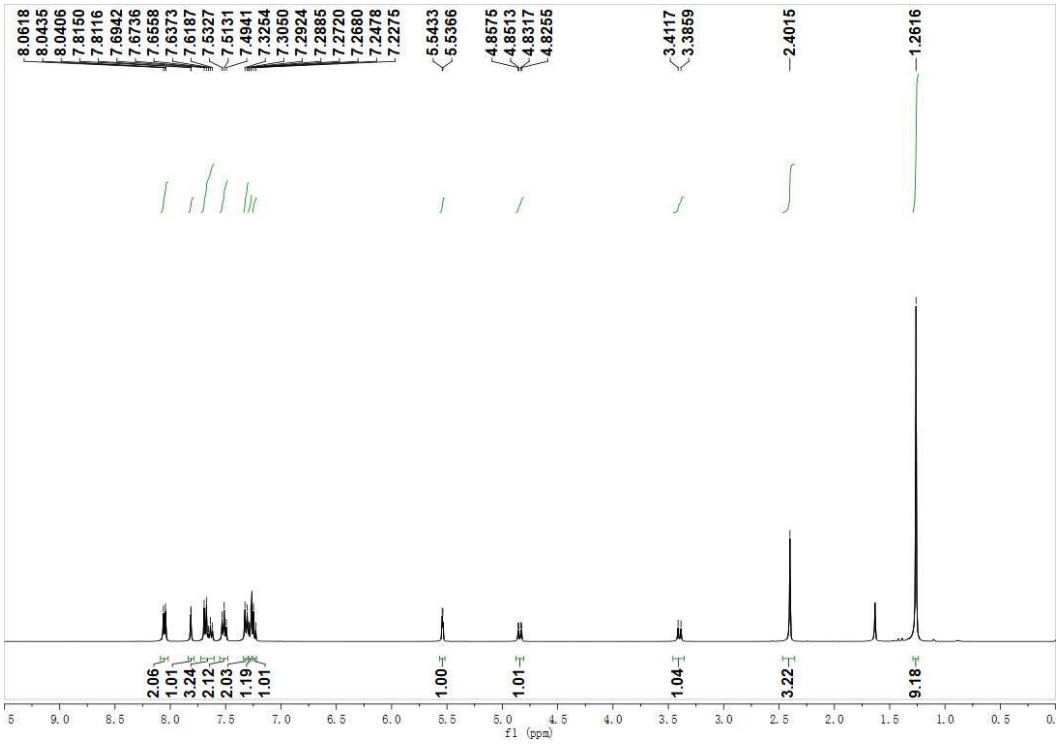
140.5102
134.8554
134.5820
133.7642
133.6481
133.0585
132.7836
130.1836
129.2158
128.6652
128.3259
127.6024
123.2521
71.1382
60.0358
57.3483
24.1716
21.6096
19.7913
f1 (ppm)


tBu
O
S
O
NH
O
Ph
Br
N
Ts

3e


8.0618
8.0435
8.0406
7.8150
7.8116
7.6942
7.6736
7.6558
7.6373
7.6187
7.5327
7.5131
7.4941
7.3254
7.3050
7.2924
7.2885
7.2720
7.2680
7.2478
7.2275
5.5433
5.5366
4.8575
4.8513
4.8317
4.8255
3.4117
3.3859
2.4015
1.2616
2.06
1.01
3.24
2.12
2.03
1.19
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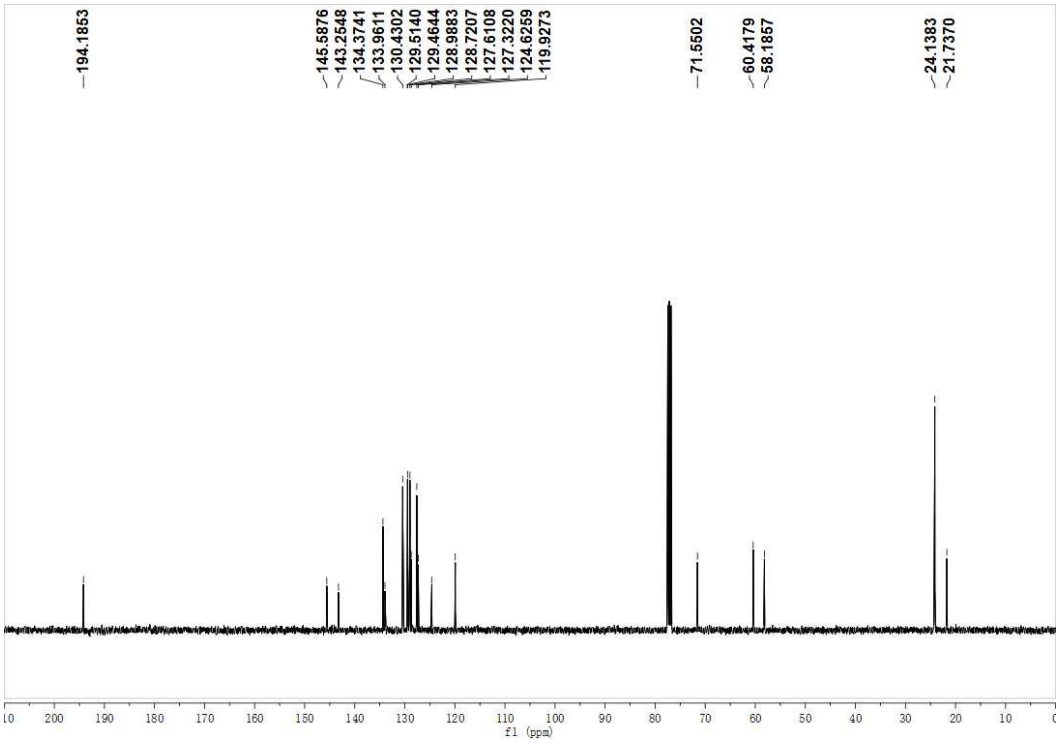
1.00
1.01
1.04
3.22
9.18
f1 (ppm)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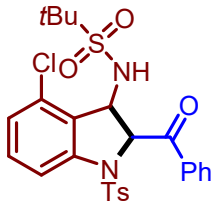
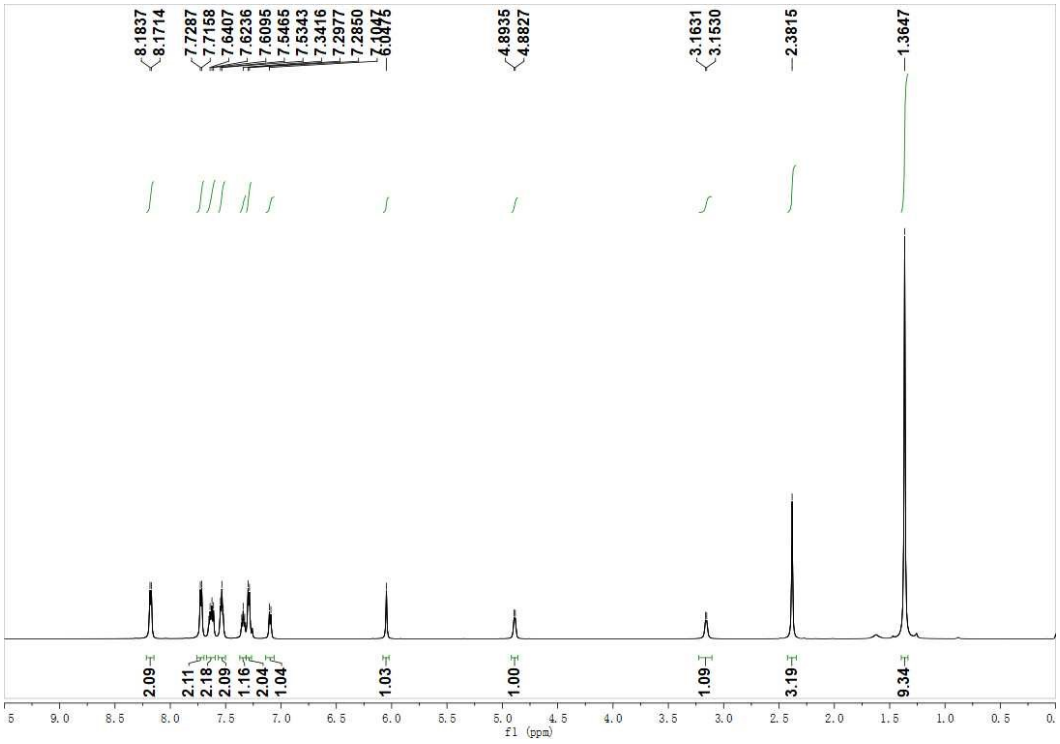
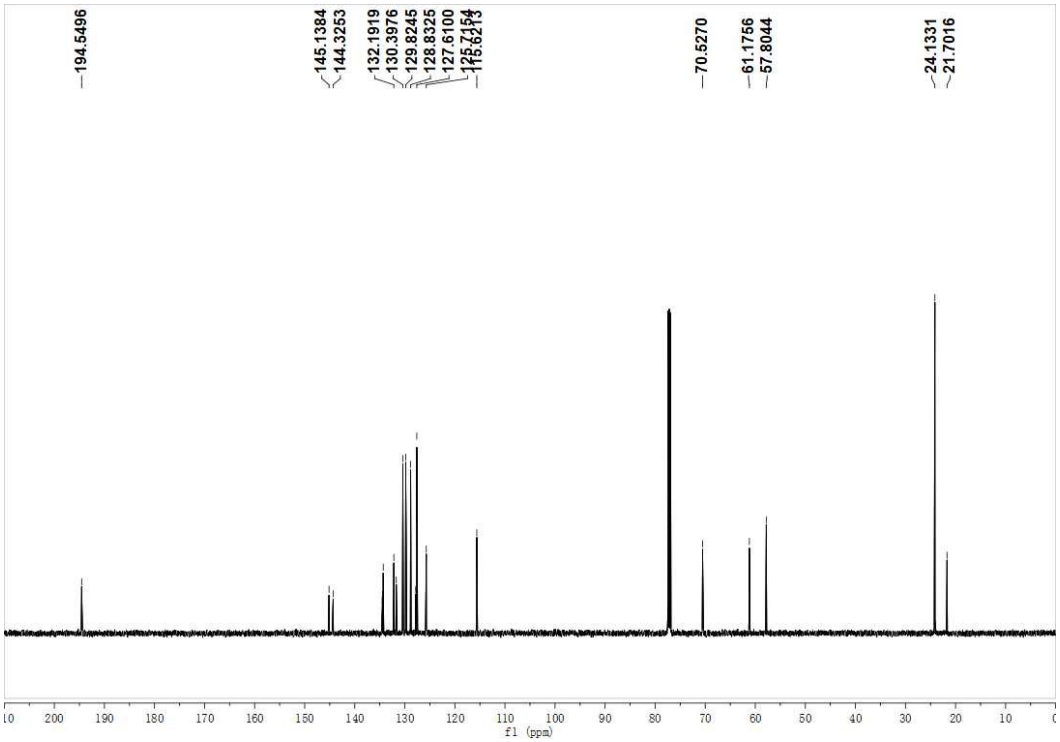
143.2648
134.3741
133.9611
130.4302
129.5140
129.4644
128.9883
128.7207
127.6108
127.3220
124.6259
119.9273
71.5502
60.4179
58.1857
24.1383
21.7370
f1 (ppm)


tBu
O
S
O
Cl
NH
O
Ph
N
Ts

3f


8.1837
8.1714
7.7287
7.7158
7.6407
7.6236
7.6095
7.5465
7.5343
7.3416
7.2977
7.2850
7.1047
6.0475
4.8935
4.8827
3.1631
3.1530
2.3815
1.3647
2.09
2.11
2.18
2.09
1.16
2.04
1.04
1.03
1.00
1.09
3.19
9.34
f1 (ppm)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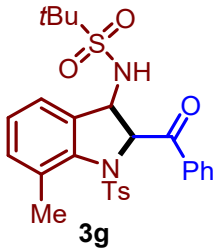
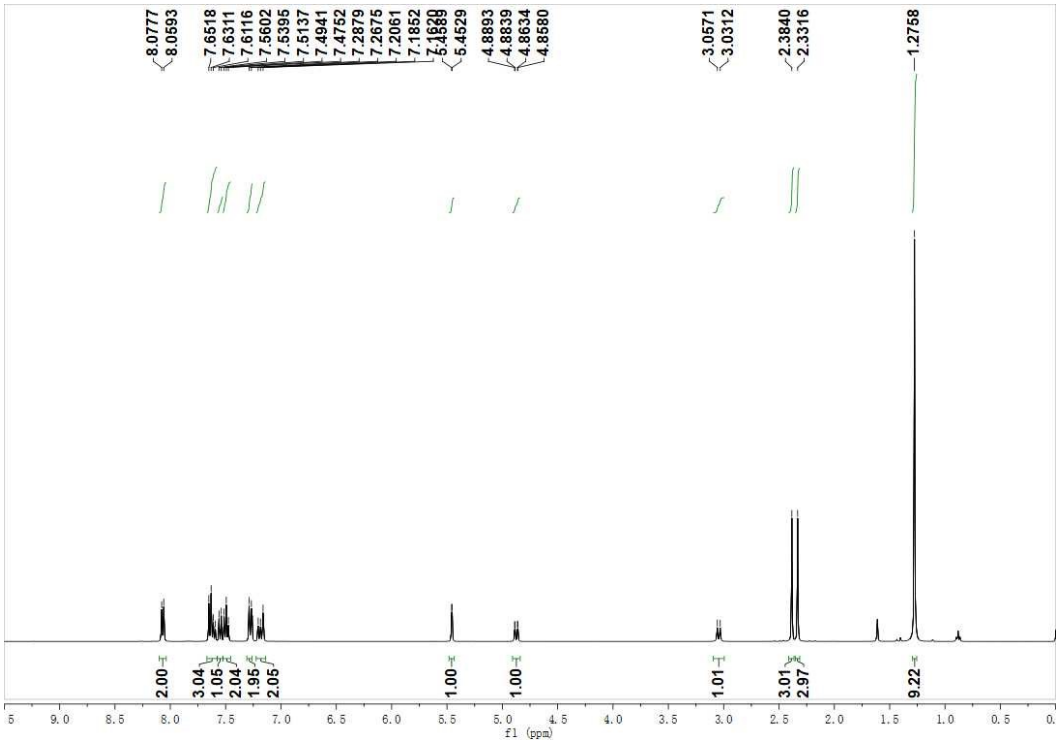
144.3253
132.1919
130.3976
129.8245
128.8325
127.6100
70.5270
61.1756
57.8044
24.1331
21.7016
f1 (ppm)


tBu
O
O
S
NH
O
Ph
N
Ts
Me

3g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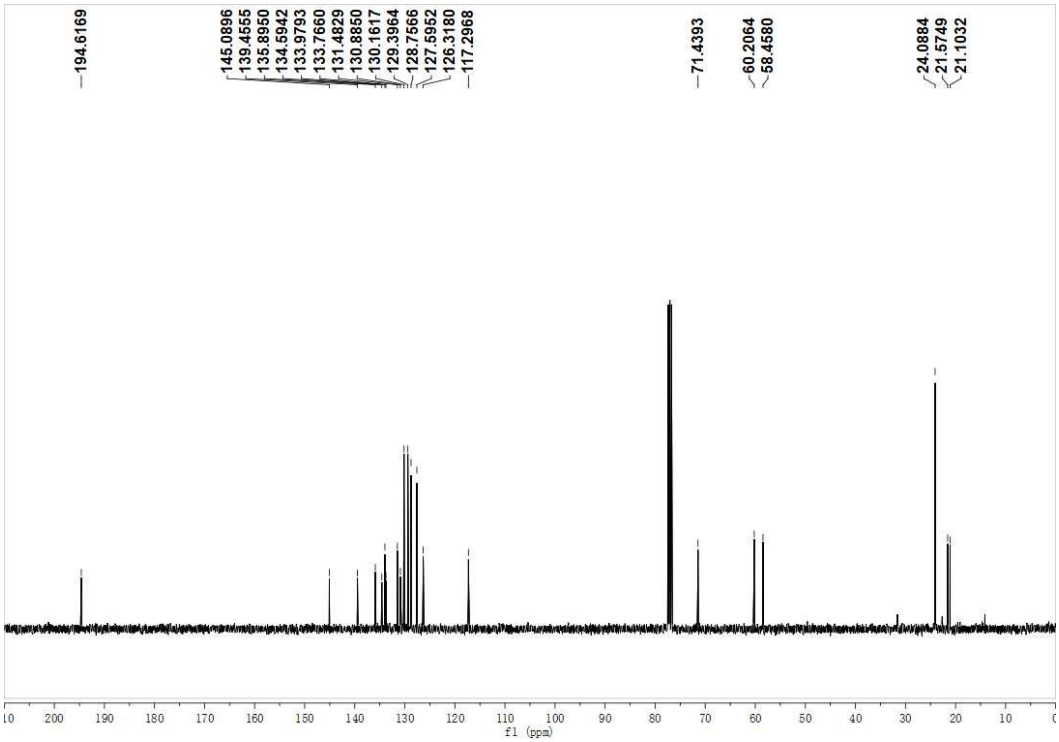
8.0593
7.6518
7.6311
7.6116
7.5602
7.5395
7.5137
7.4941
7.4752
7.2879
7.2675
7.2061
7.1852
5.4529
4.8893
4.8839
4.8634
4.8580
3.0571
3.0312
2.3840
2.3316
1.2758
2.00
3.04
1.05
2.04
1.95
2.05
1.00
1.00
1.01
3.01
2.97
9.22
f1 (ppm)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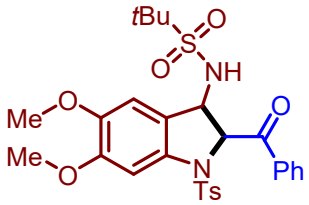
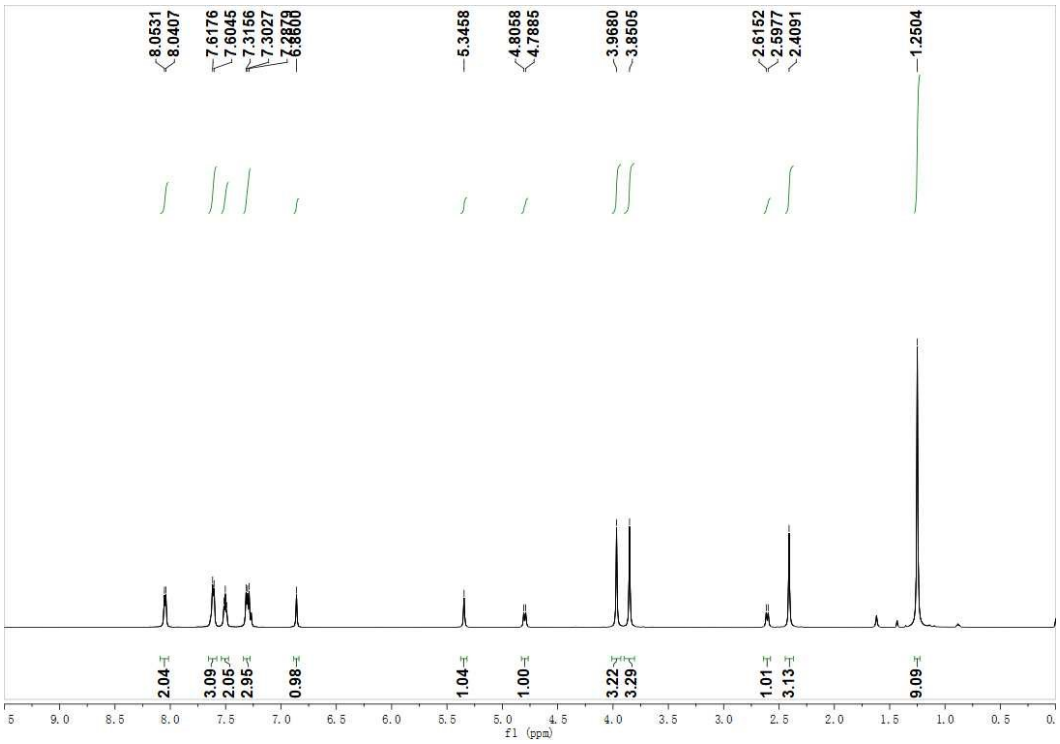
139.4555
135.8950
134.5942
133.9793
133.7660
131.4829
130.8850
130.1617
129.3964
128.7566
127.5952
126.3180
117.2968
71.4393
60.2064
58.4580
24.0884
21.5749
21.1032
f1 (ppm)


tBu
O
S
O
NH
Me
O
Me
O
N
Ts
O
Ph

3h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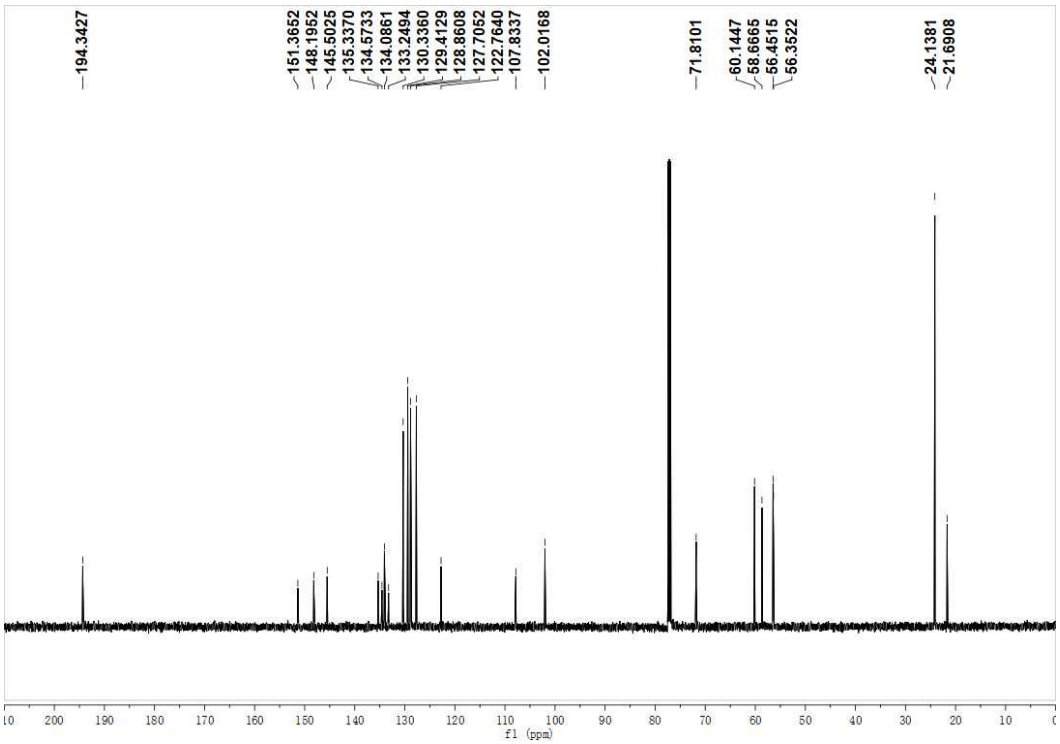
8.0407
7.6176
7.6045
7.3156
7.3027
5.3458
4.8058
4.7885
3.9680
3.8505
2.6152
2.5977
2.4091
1.2504
2.04
3.09
2.05
2.95
0.98
1.04
1.00
3.22
3.29
1.01
3.13
9.09
f1 (ppm)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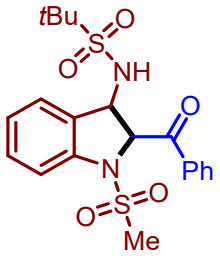
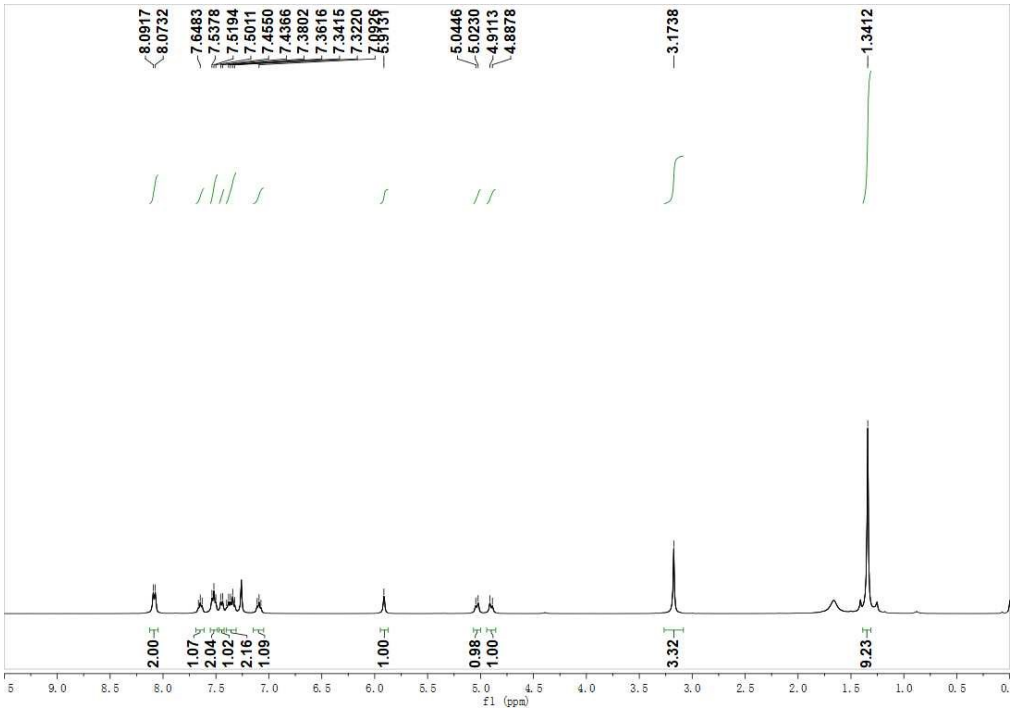
148.1952
145.5025
135.3370
134.5733
134.0861
133.2494
130.3360
129.4129
128.8608
127.7052
122.7640
107.8337
102.0168
71.8101
60.1447
58.6665
56.4515
56.3522
24.1381
21.6908
f1 (ppm)


tBu
O
S
O
NH
O
Ph
N
S
O
O
Me

3i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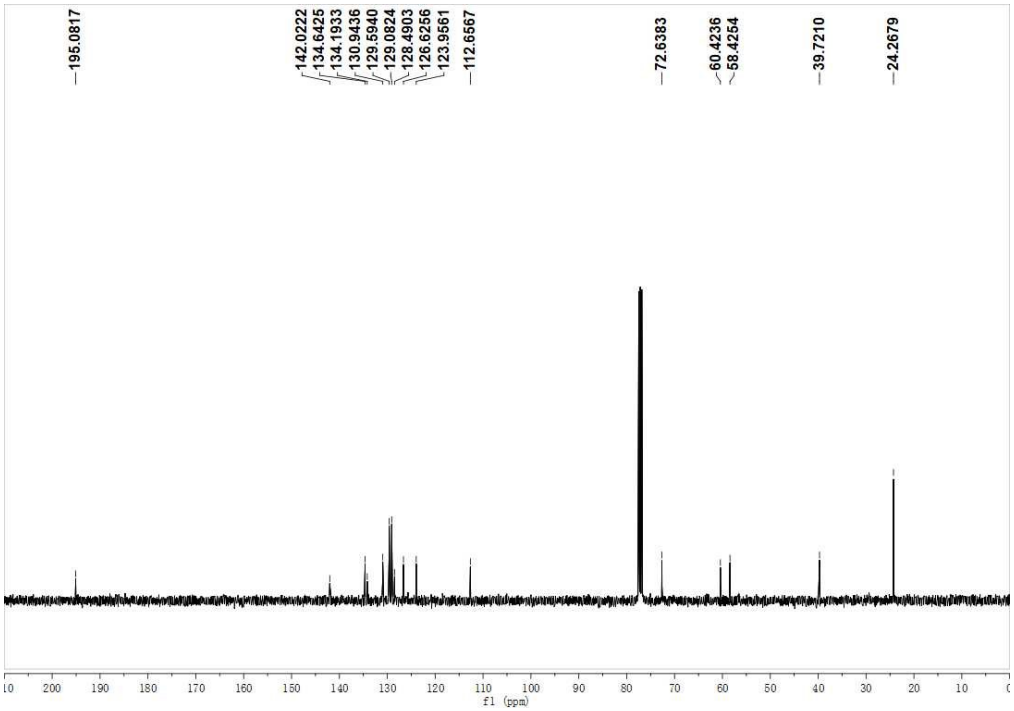
8.0732
7.6483
7.5378
7.5194
7.5011
7.4550
7.4366
7.3802
7.3616
7.3415
7.3220
7.0926
5.9131
5.0446
5.0230
4.9113
4.8878
3.1738
1.3412
2.00
1.07
2.04
1.02
2.16
1.09
1.00
0.98
1.00
3.32
9.23
f1 (ppm)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134.1933
130.9436
129.5940
129.0824
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126.6256
123.9561
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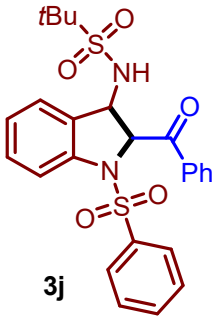
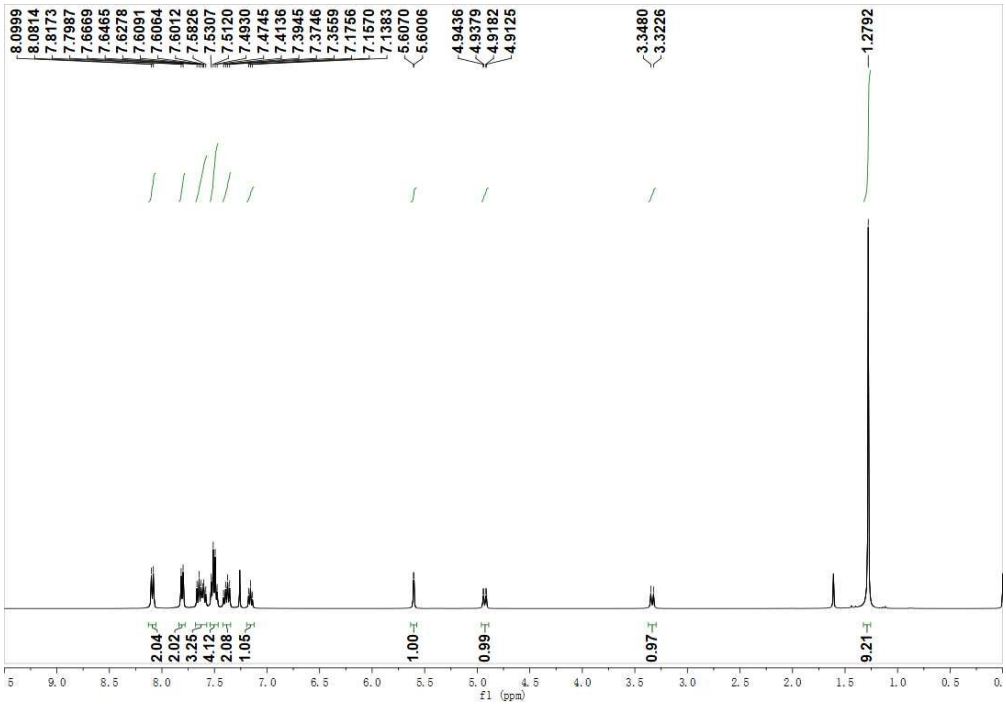
58.4254
39.7210
24.2679
f1 (ppm)


tBu
O
S
O
NH
O
Ph
N
O
S
O

3j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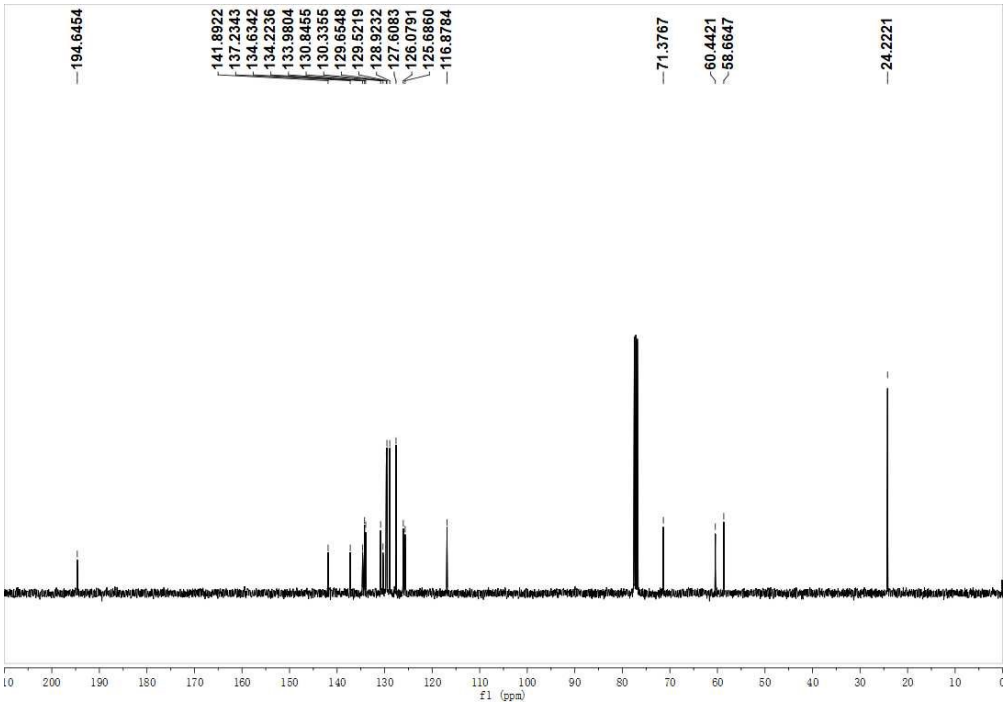
7.7987
7.6669
7.6465
7.6278
7.6091
7.6064
7.6012
7.5826
7.5307
7.5120
7.4930
7.4745
7.4136
7.3945
7.3746
7.3559
7.1756
7.1570
7.1383
5.6070
5.6006
4.9436
4.9379
4.9182
4.9125
3.3480
3.3226
1.2792
2.04
2.02
3.25
4.12
2.08
1.05
1.00
0.99
0.97
9.21
f1 (ppm)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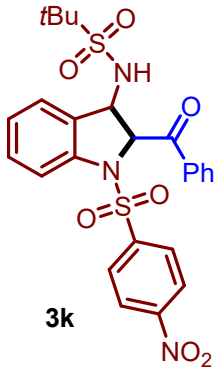
137.2343
134.6342
134.2236
133.9804
130.8455
130.3355
129.6548
129.5219
128.9232
127.6083
126.0791
125.6860
116.8784
71.3767
60.4421
58.6647
24.2221
f1 (ppm)


tBu
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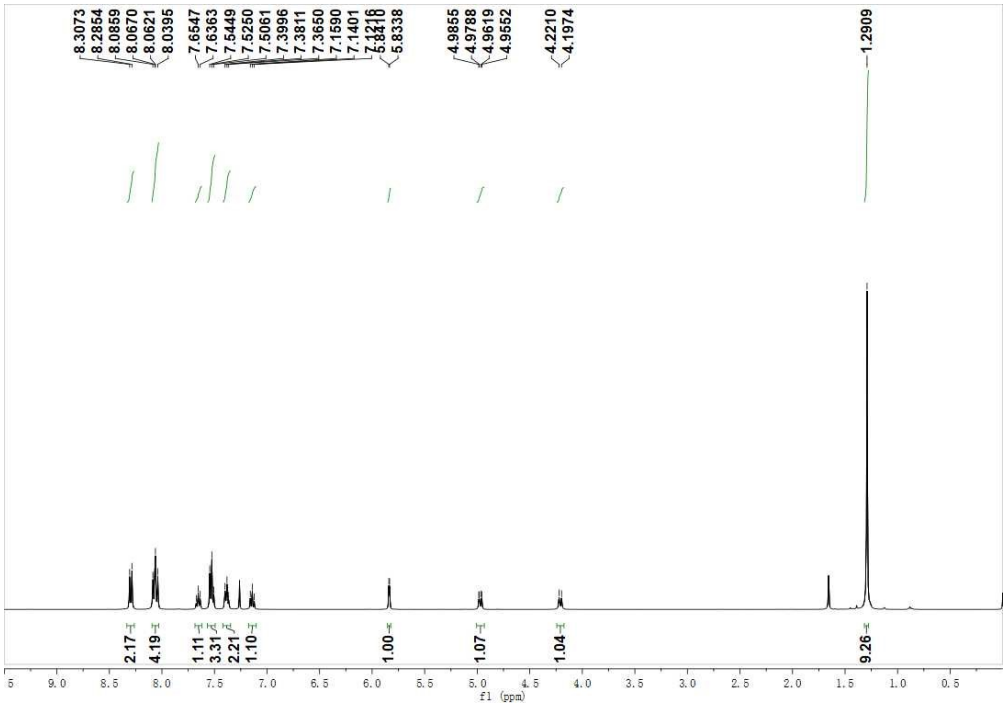
O
S
NH
O
N
Ph
O
S
O
O
NO2

3k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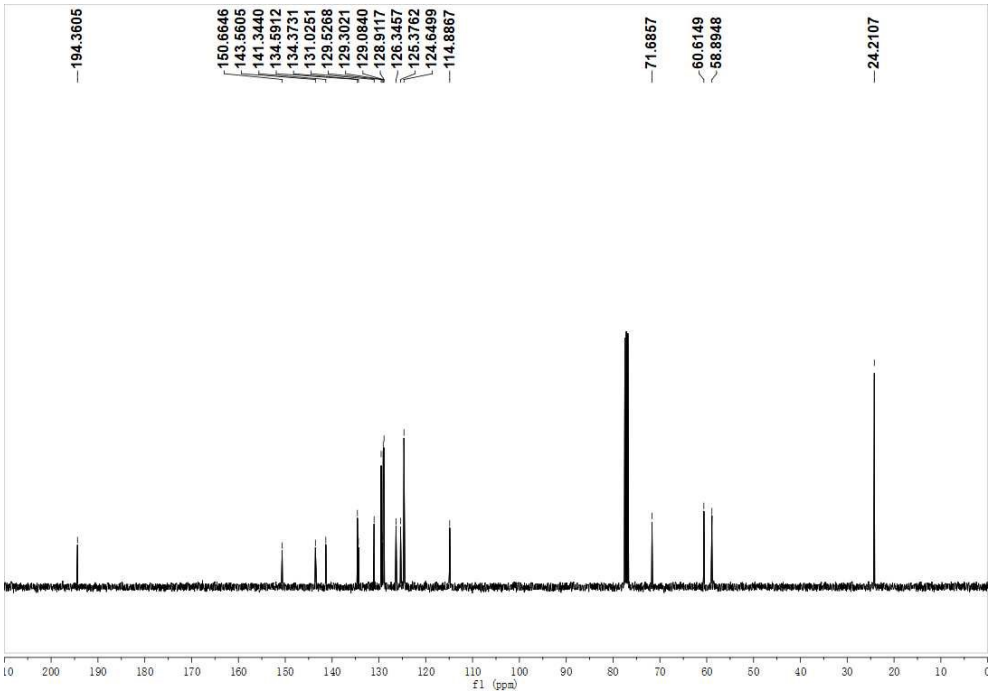
8.0859
8.0670
8.0621
8.0395
7.6547
7.6363
7.5449
7.5250
7.5061
7.3996
7.3811
7.3650
7.1590
7.1401
5.8338
4.9855
4.9788
4.9619
4.9552
4.2210
4.1974
1.2909
2.17
4.19
1.11
3.31
2.21
1.10
1.00
1.07
1.04
9.26
f1 (ppm)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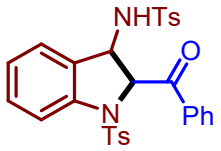
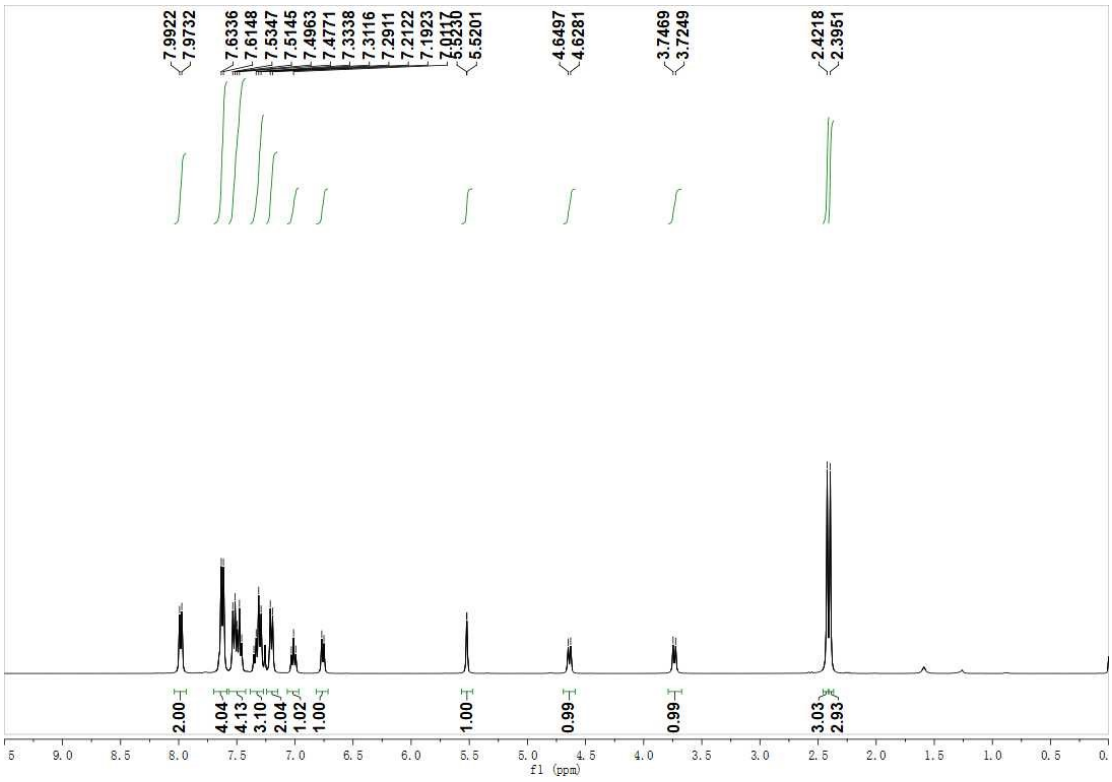
143.5605
141.3440
134.5912
134.3731
131.0251
129.5268
129.3021
129.0840
128.9117
126.3457
125.3762
124.6499
114.8867
71.6857
60.6149
58.8948
24.2107
f1 (ppm)


NHTs
O
Ph
N
Ts

3l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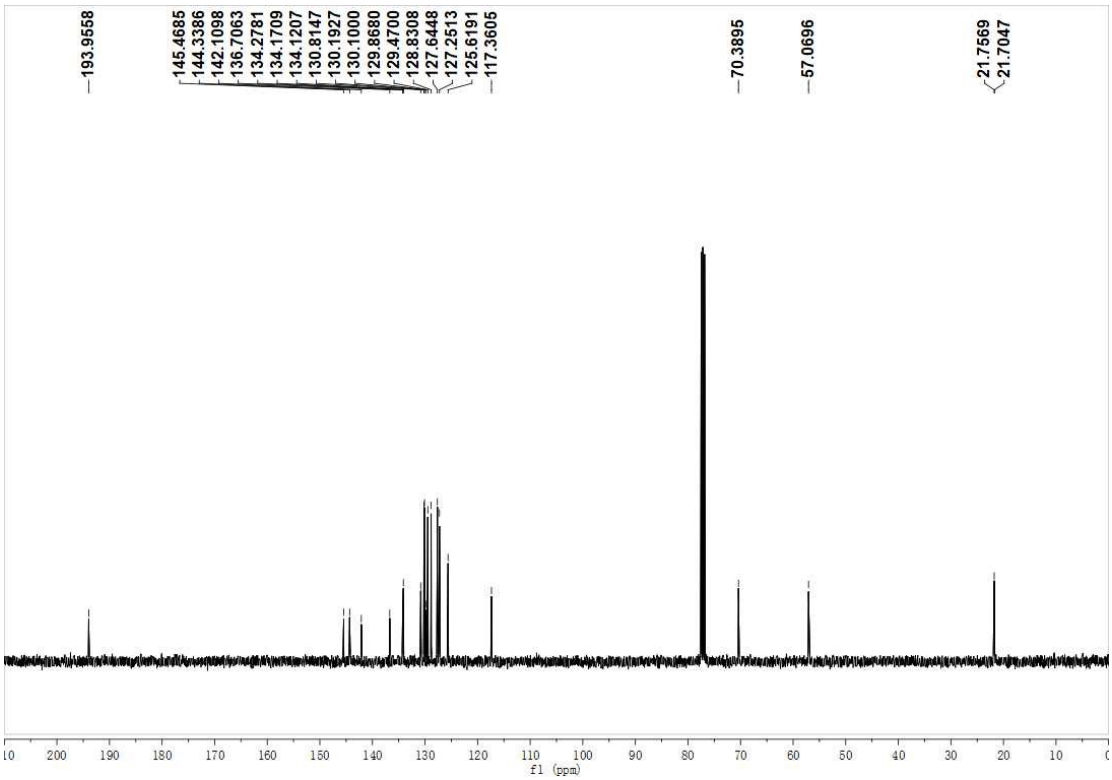
7.6336
7.6148
7.5347
7.5145
7.4963
7.4771
7.3338
7.3116
7.2911
7.2122
7.1923
7.0117
5.5230
5.5201
4.6497
4.6281
3.7469
3.7249
2.4218
2.3951
2.00
4.04
4.13
3.10
2.04
1.02
1.00
1.00
0.99
0.99
3.03
2.93
f1 (ppm)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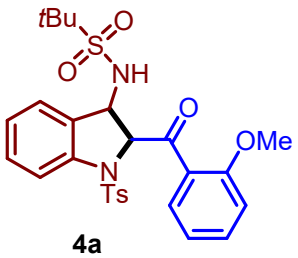
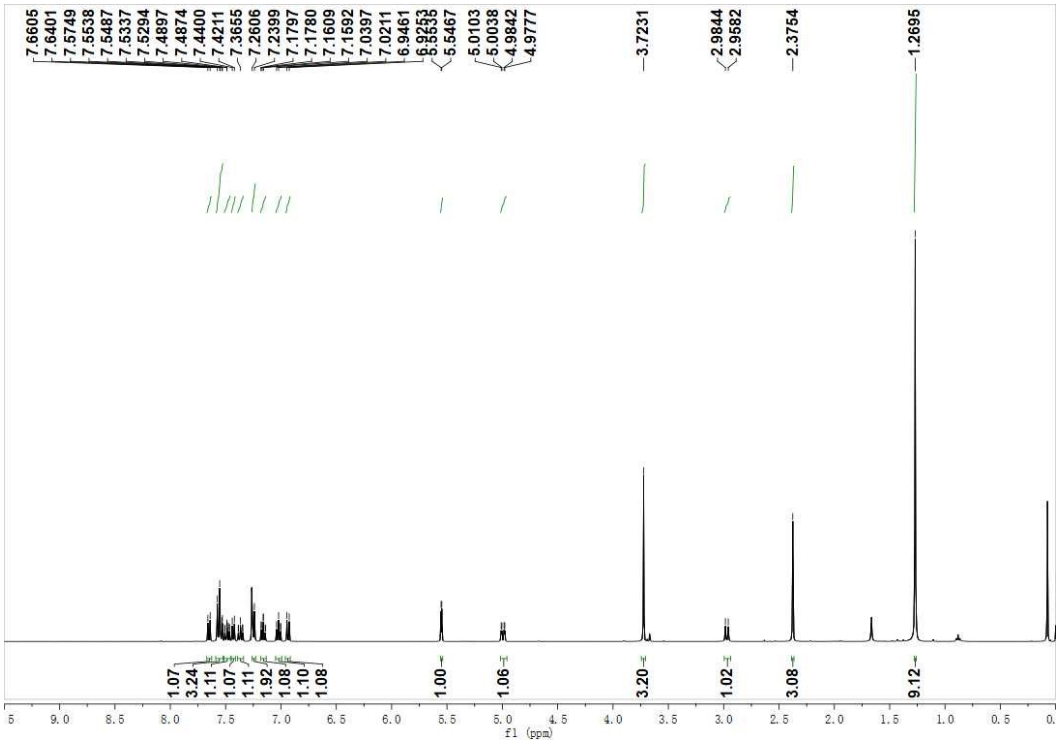
144.3386
142.1098
136.7063
134.2781
134.1709
134.1207
130.8147
130.1927
130.1000
129.8680
129.4700
128.8308
127.6448
127.2513
125.6191
117.3605
70.3895
57.0696
21.7569
21.7047
f1 (ppm)


tBu
O
O
S
NH
O
N
Ts
O
OMe

4a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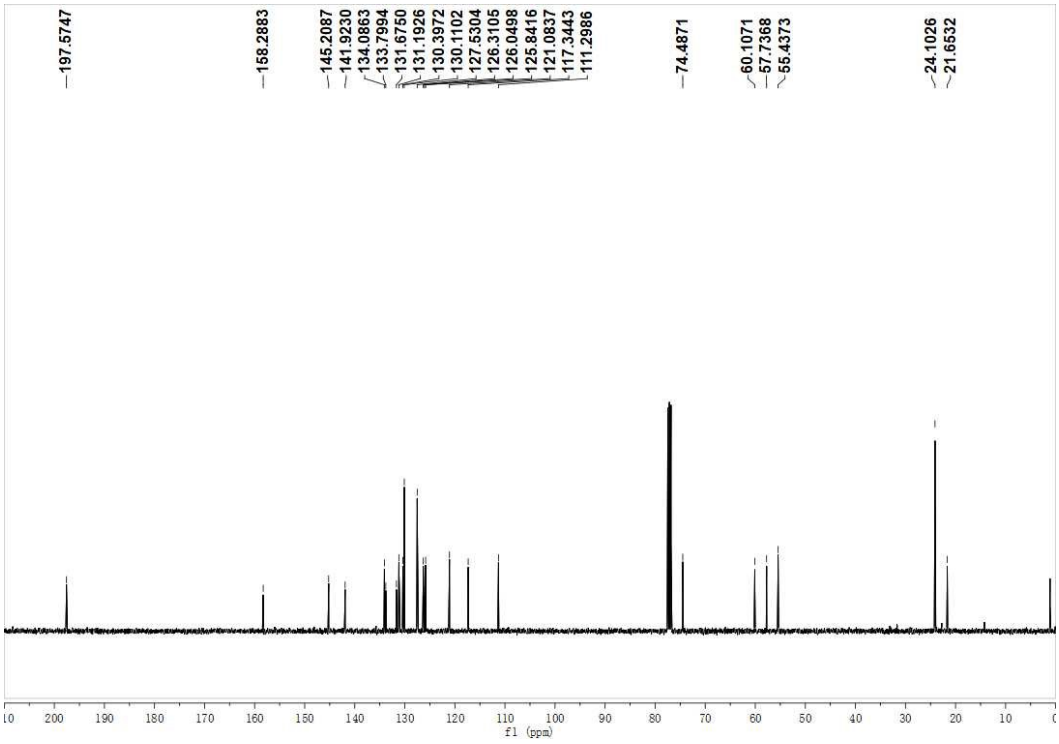
7.5749
7.5538
7.5487
7.5337
7.5294
7.4897
7.4874
7.4400
7.4211
7.3655
7.2606
7.2399
7.1797
7.1780
7.1609
7.1592
7.0397
7.0211
6.9461
5.5467
5.0103
5.0038
4.9842
4.9777
3.7231
2.9844
2.9582
2.3754
1.2695
1.07
3.24
1.11
1.07
1.11
1.92
1.08
1.10
1.08
1.00
1.06
3.20
1.02
3.08
9.12
f1 (ppm)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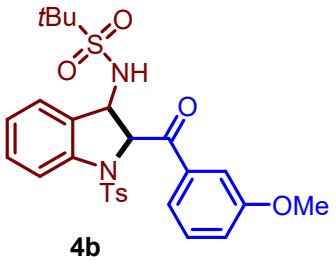
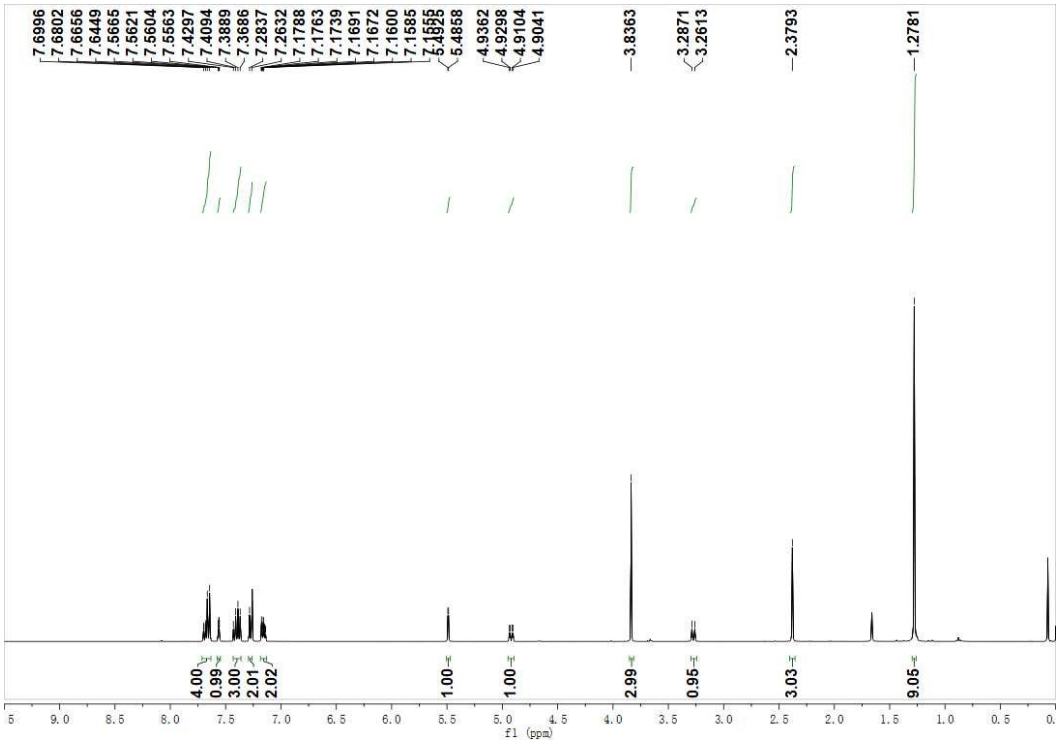
145.2087
141.9230
134.0863
133.7994
131.6750
131.1926
130.3972
130.1102
127.5304
126.3105
126.0498
125.8416
121.0837
117.3443
111.2986
74.4871
60.1071
57.7368
55.4373
24.1026
21.6532
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
OMe

4b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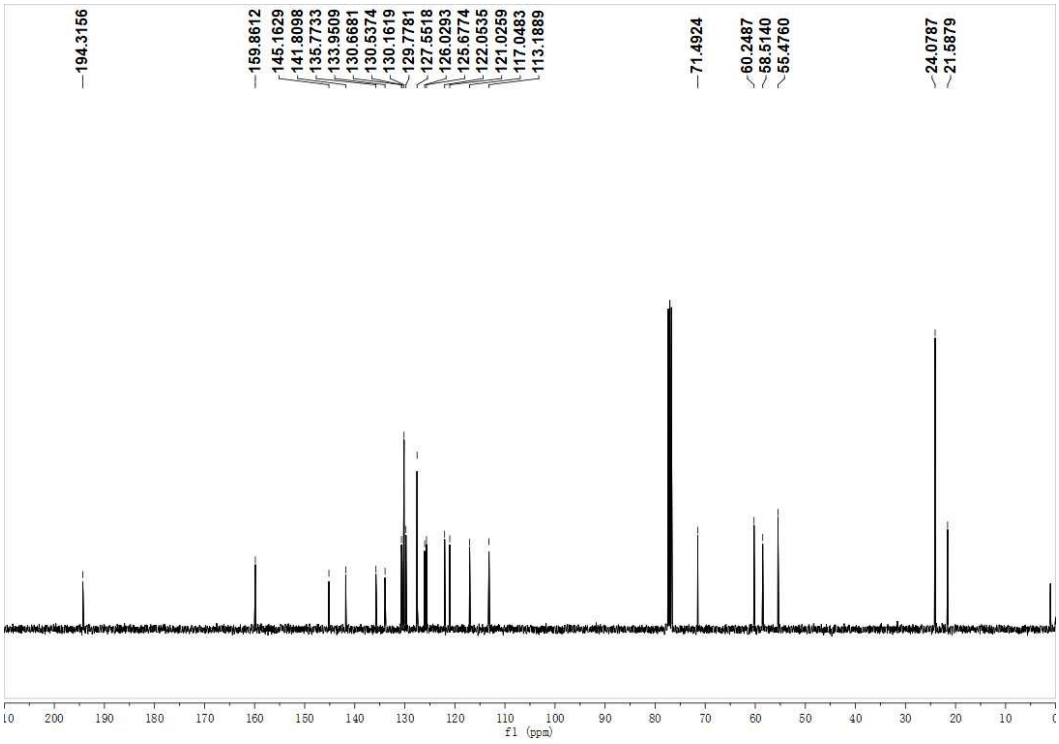
7.6802
7.6656
7.6449
7.5665
7.5621
7.5604
7.5563
7.4297
7.4094
7.3889
7.3686
7.2837
7.2632
7.1788
7.1763
7.1739
7.1691
7.1672
7.1600
7.1585
5.4925
5.4858
4.9362
4.9298
4.9104
4.9041
3.8363
3.2871
3.2613
2.3793
1.2781
4.00
0.99
3.00
2.01
2.02
1.00
1.00
2.99
0.95
3.03
9.05
f1 (ppm)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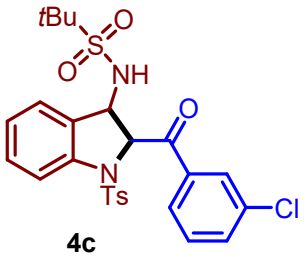
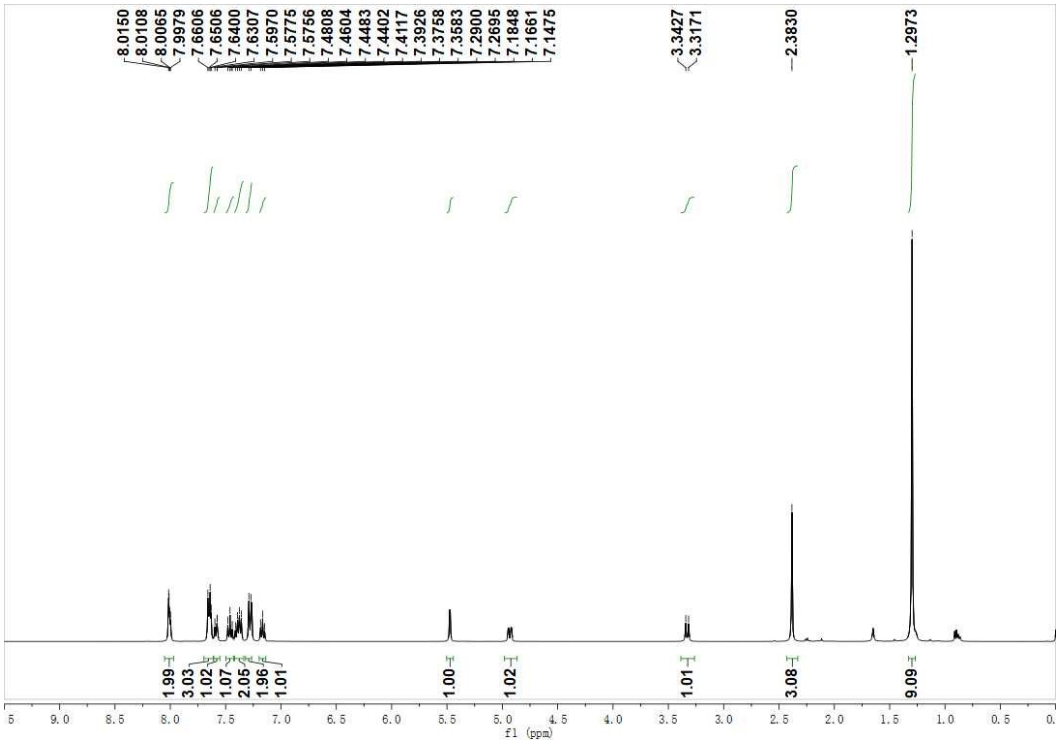
145.1629
141.8098
135.7733
133.9509
130.6681
130.5374
130.1619
129.7781
127.5518
126.0293
125.6774
122.0535
121.0259
117.0483
113.1889
71.4924
60.2487
58.5140
55.4760
24.0787
21.5879
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
Cl

4c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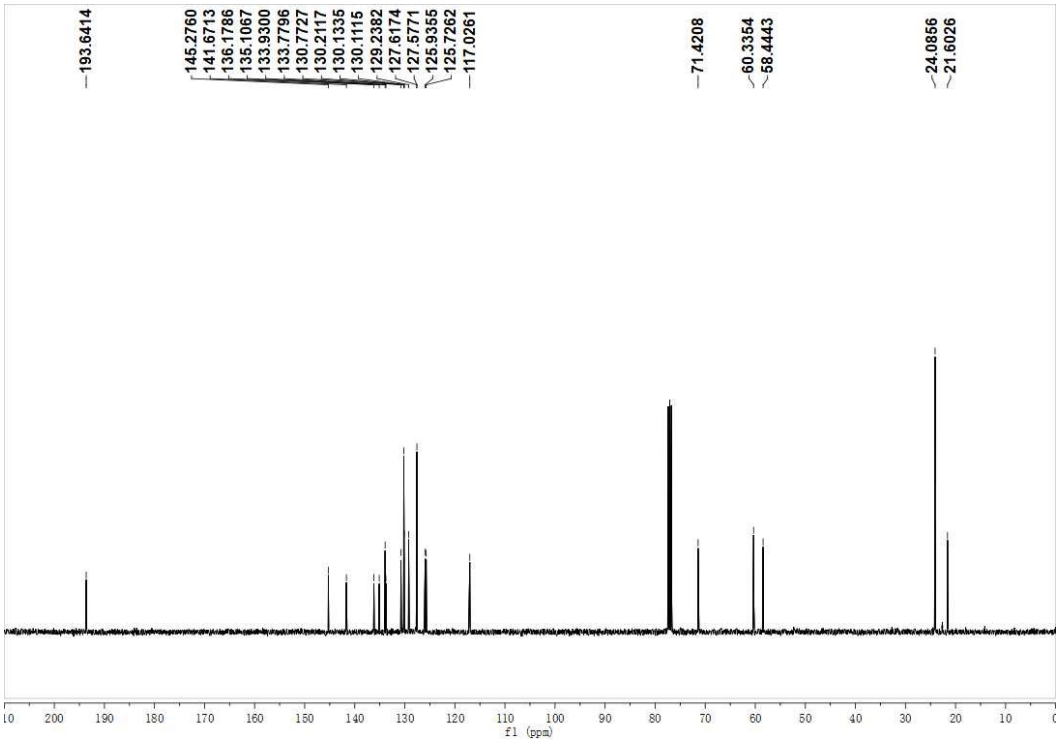
8.0108
8.0065
7.9979
7.6606
7.6506
7.6400
7.6307
7.5970
7.5775
7.5756
7.4808
7.4604
7.4483
7.4402
7.4117
7.3926
7.3758
7.3583
7.2900
7.2695
7.1848
7.1661
7.1475
3.3427
3.3171
2.3830
1.2973
1.99
3.03
1.02
1.07
2.05
1.96
1.01
1.00
1.02
1.01
3.08
9.09
f1 (ppm)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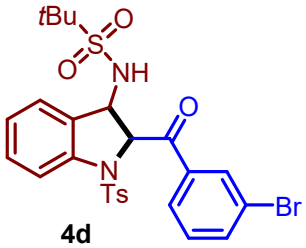
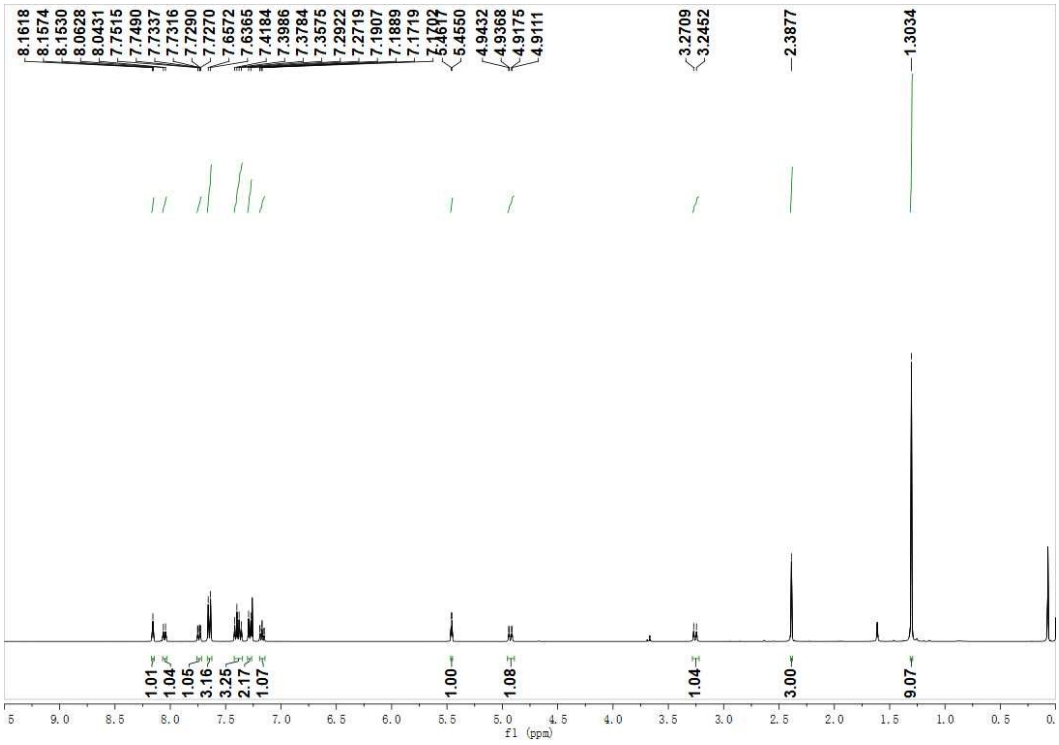
136.1786
135.1067
133.9300
133.7796
130.7727
130.2117
130.1335
130.1115
129.2382
127.6174
127.5771
125.9355
125.7262
117.0261
71.4208
60.3354
58.4443
24.0856
21.6026
f1 (ppm)


tBu
O
O
S
NH
O
N
Ts
Br

4d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8.1530
8.0628
8.0431
7.7515
7.7490
7.7337
7.7316
7.7290
7.7270
7.6572
7.6365
7.4184
7.3986
7.3784
7.3575
7.2922
7.2719
7.1907
7.1889
7.1719
7.1702
5.4617
5.4550
4.9432
4.9368
4.9175
4.9111
3.2709
3.2452
2.3877
1.3034
1.01
1.04
1.05
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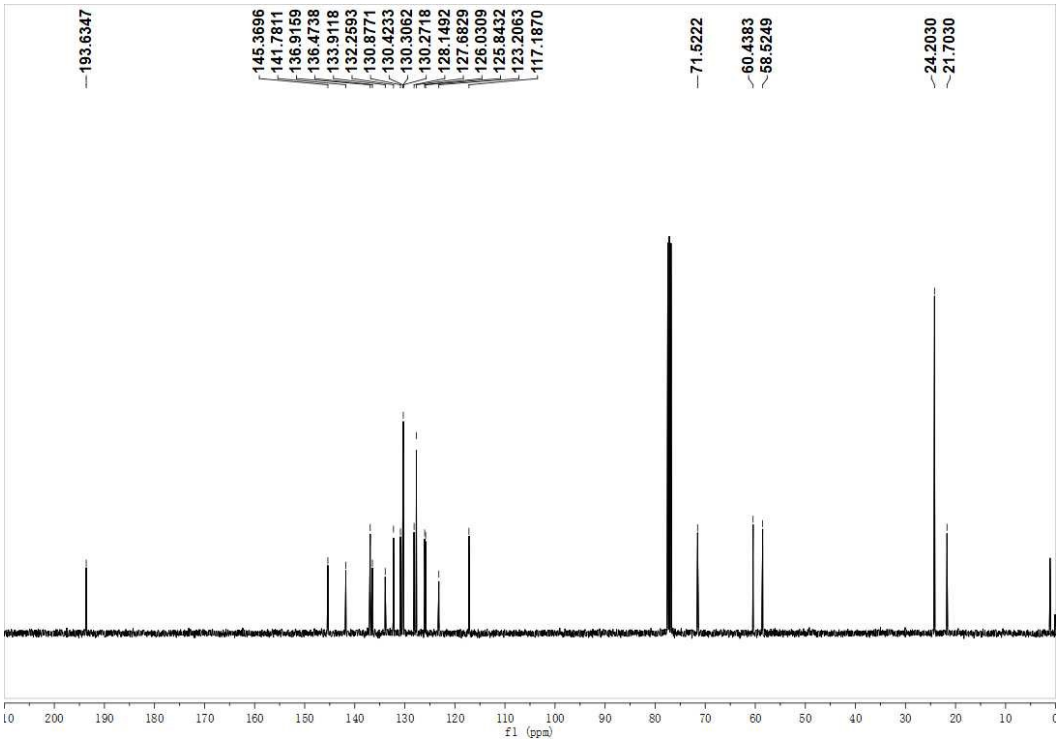
3.25
2.17
1.07
1.00
1.08
1.04
3.00
9.07
f1 (ppm)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133.9118
132.2593
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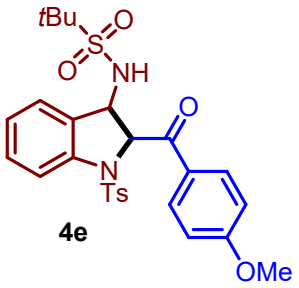
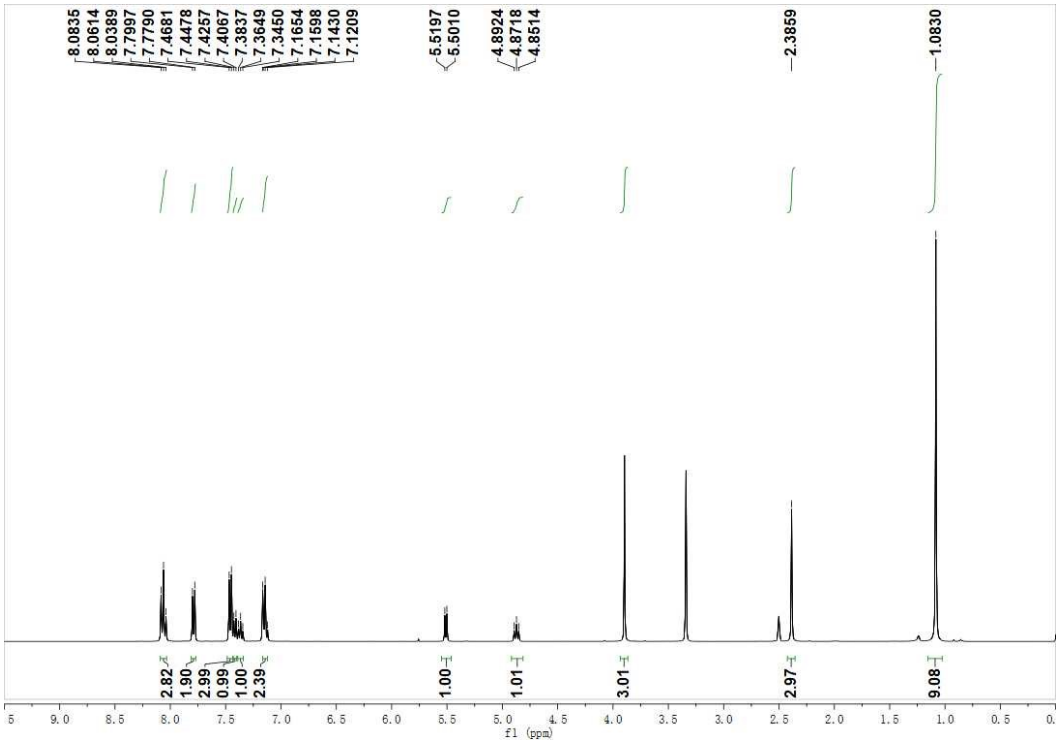
130.4233
130.3062
130.2718
128.1492
127.6829
126.0309
125.8432
123.2063
117.1870
71.5222
60.4383
58.5249
24.2030
21.7030
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
OMe

4e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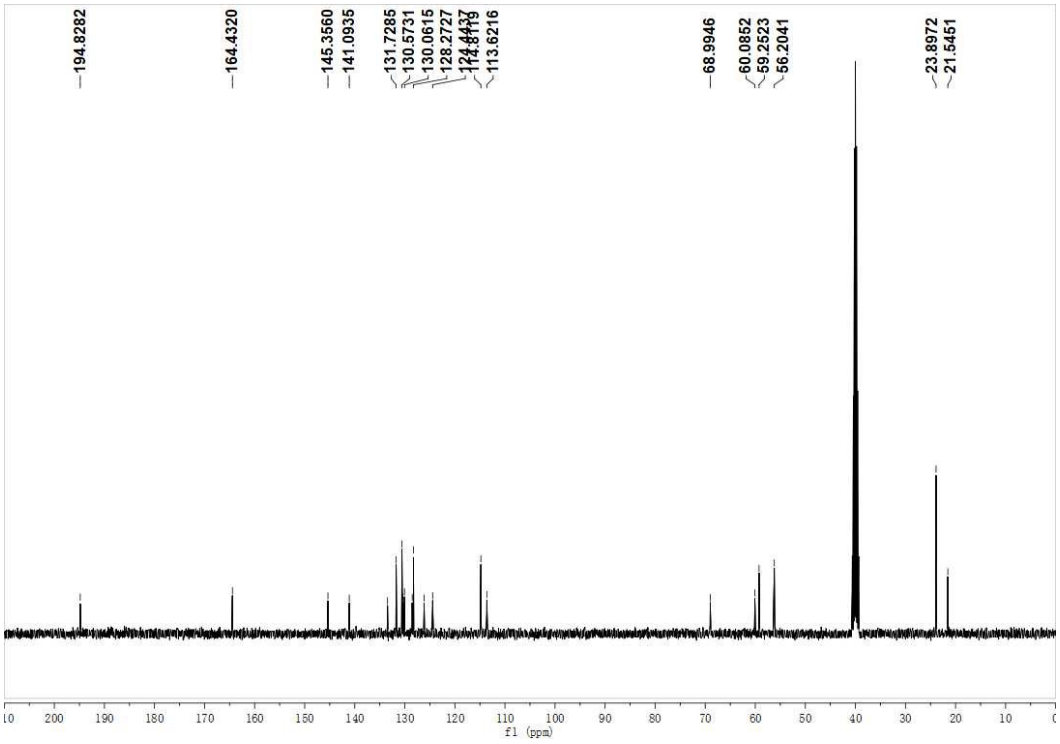
7.4067
7.3837
7.3649
7.3450
7.1654
7.1598
7.1430
7.1209
5.5197
5.5010
4.8924
4.8718
4.8514
2.3859
1.0830
2.82
1.90
2.99
0.99
1.00
2.39
1.00
1.01
3.01
2.97
9.08
f1 (ppm)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131.7285
130.5731
130.0615
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113.6216
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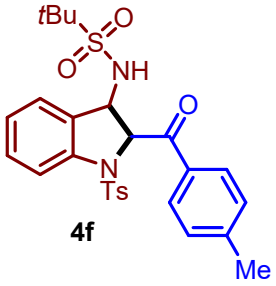
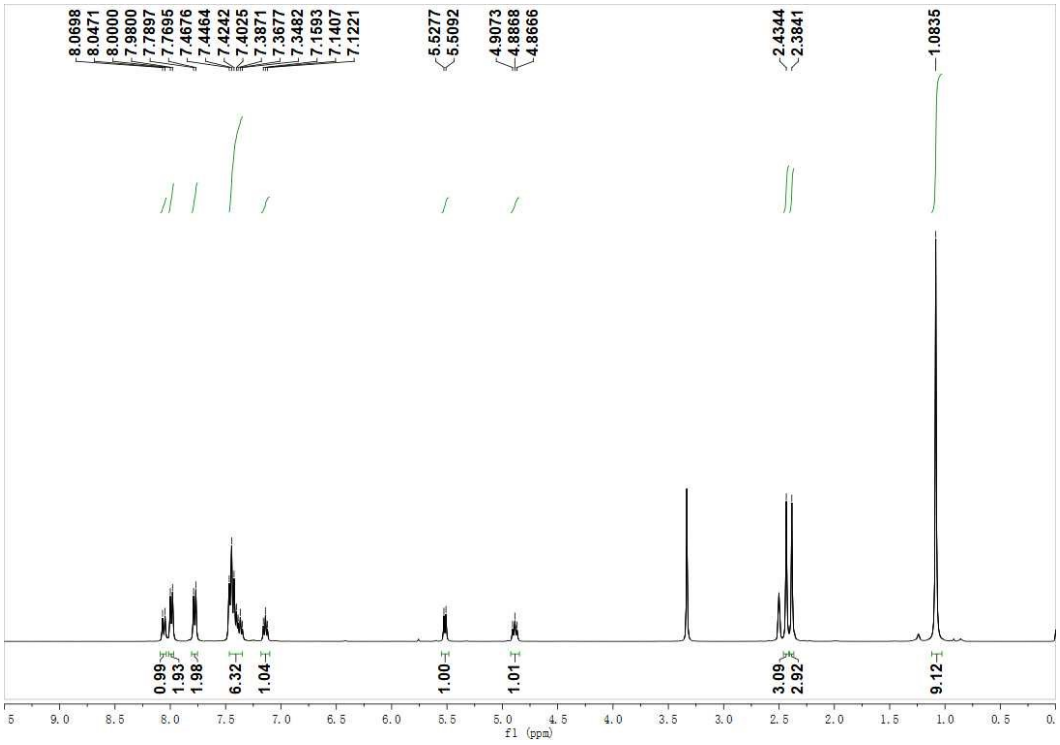
60.0852
59.2523
56.2041
23.8972
21.5451
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
Me

4f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7.4242
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7.3871
7.3677
7.3482
7.1593
7.1407
7.1221
5.5277
5.5092
4.9073
4.8868
4.8666
2.4344
2.3841
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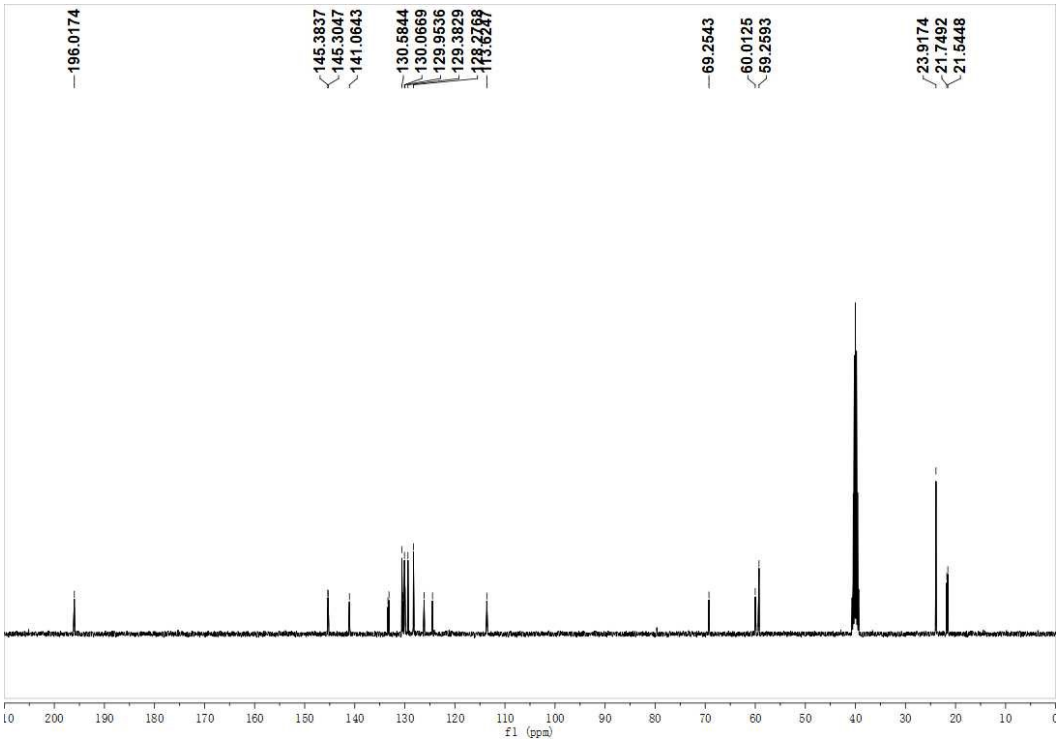
1.98
6.32
1.04
1.00
1.01
3.09
2.92
9.12
f1 (ppm)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128.2768
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60.0125
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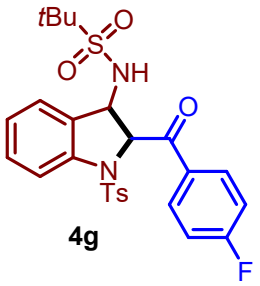
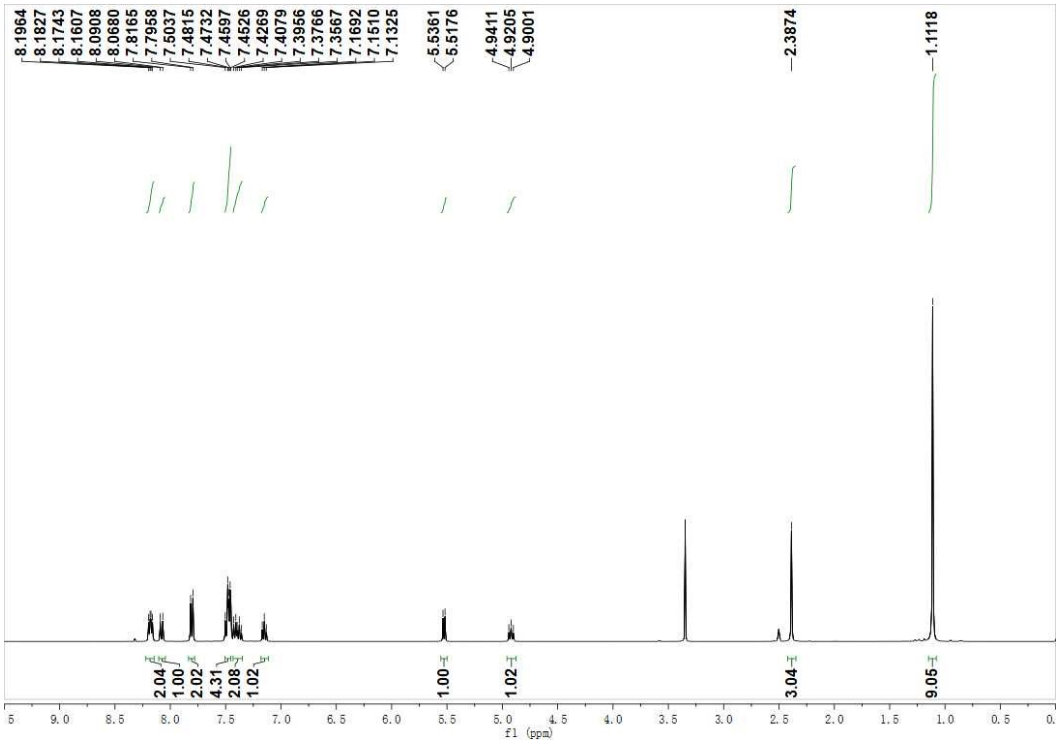
23.9174
21.7492
21.5448
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
F

4g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7.8165
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7.5037
7.4815
7.4732
7.4697
7.4526
7.4269
7.4079
7.3956
7.3766
7.3667
7.1692
7.1510
7.1325
5.5361
5.5176
4.9411
4.9205
4.9001
2.3874
1.1118
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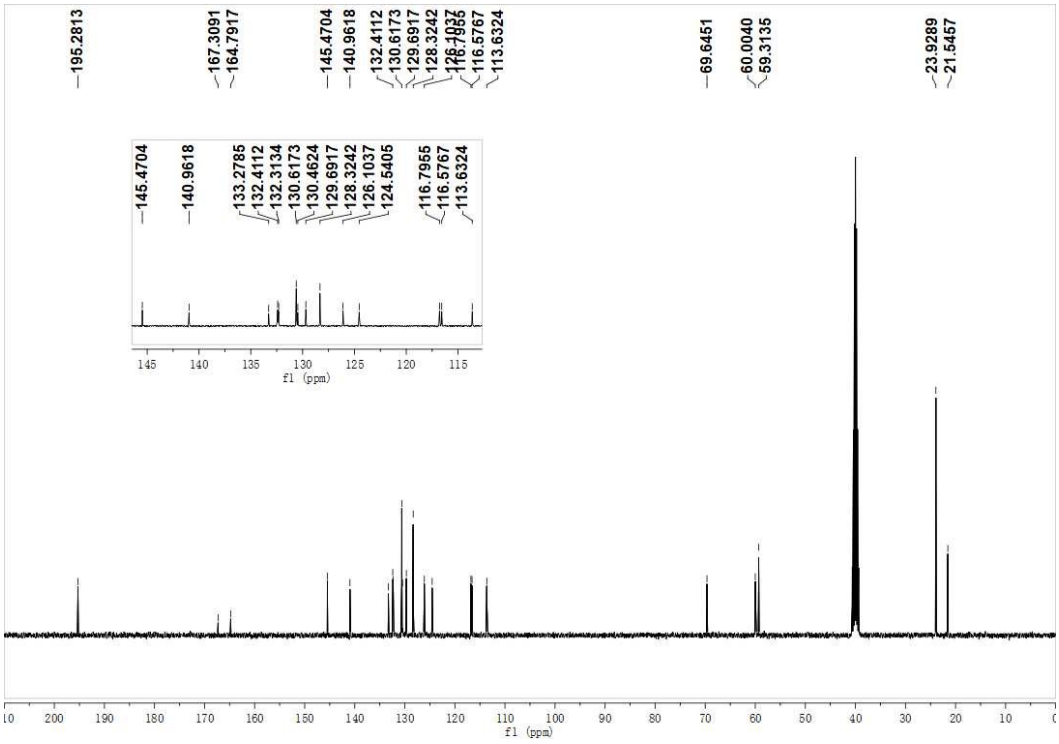
2.02
4.31
2.08
1.02
1.00
1.02
3.04
9.05
f1 (ppm)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164.7917
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140.9618
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130.6173
129.6917
128.3242
126.1037
124.5405
116.7955
116.5767
113.6324
69.6451
60.0040
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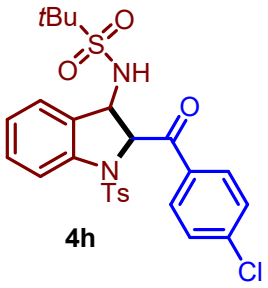
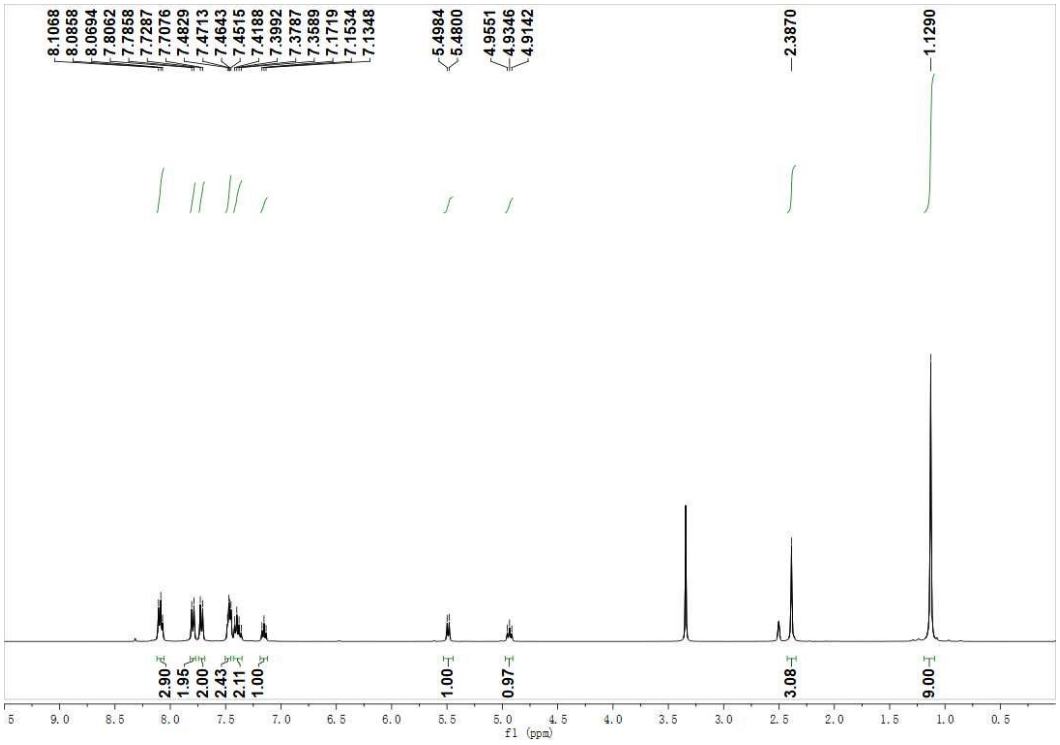
23.9289
21.5457
145.4704
140.9618
133.2785
132.4112
132.3134
130.6173
130.4624
129.6917
128.3242
126.1037
124.5405
116.7955
116.5767
113.6324
f1 (ppm)
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
Cl

4h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7.4188
7.3992
7.3787
7.3589
7.1719
7.1534
7.1348
5.4984
5.4800
4.9551
4.9346
4.9142
2.3870
1.1290
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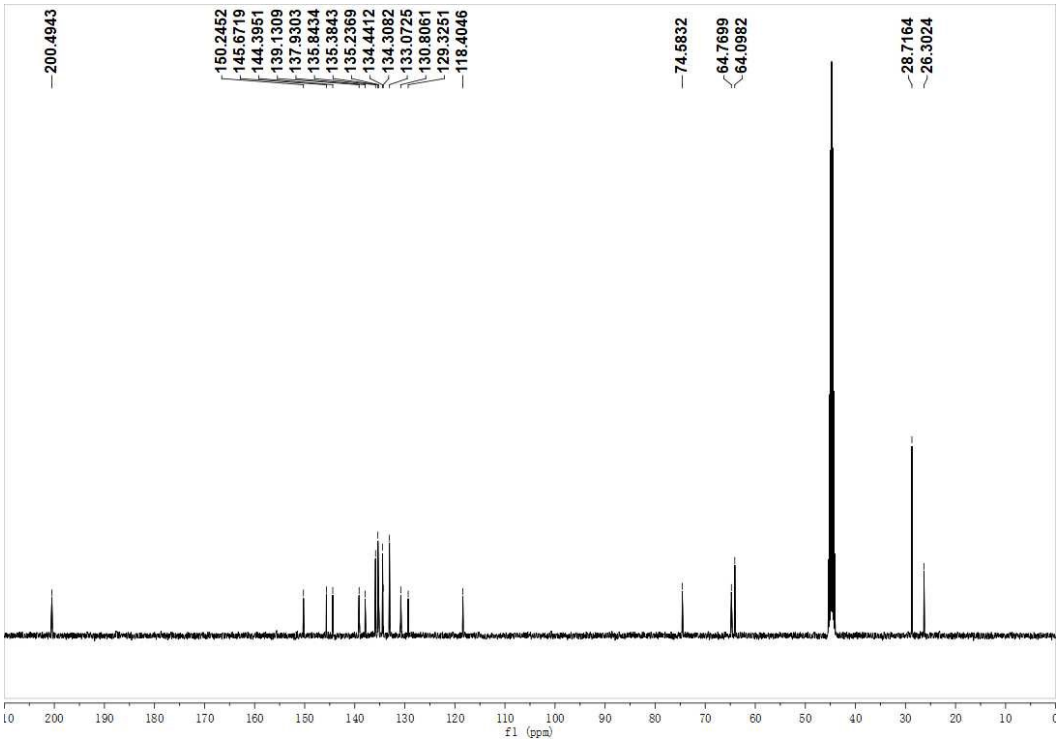
2.00
2.43
2.11
1.00
1.00
0.97
3.08
9.00
f1 (ppm)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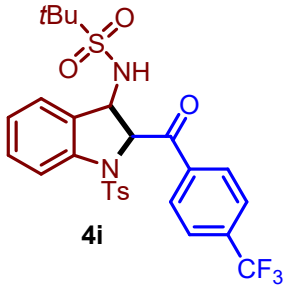
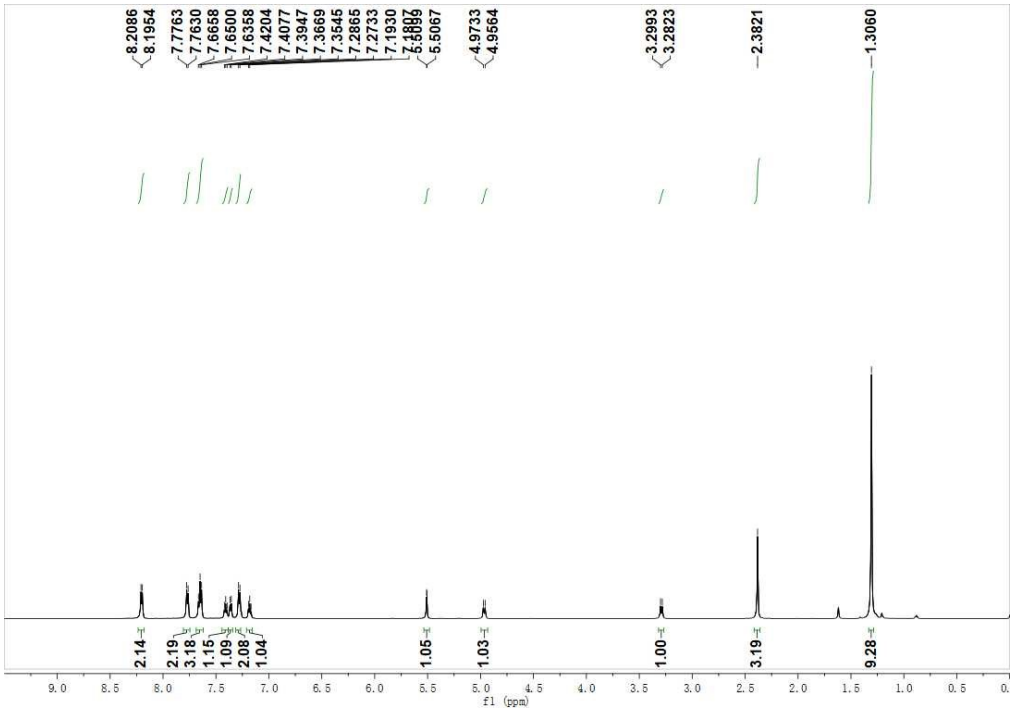
134.3082
133.0725
130.8061
129.3251
118.4046
74.5832
64.7699
64.0982
28.7164
26.3024
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
CF3

4i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7.7763
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7.6658
7.6500
7.6358
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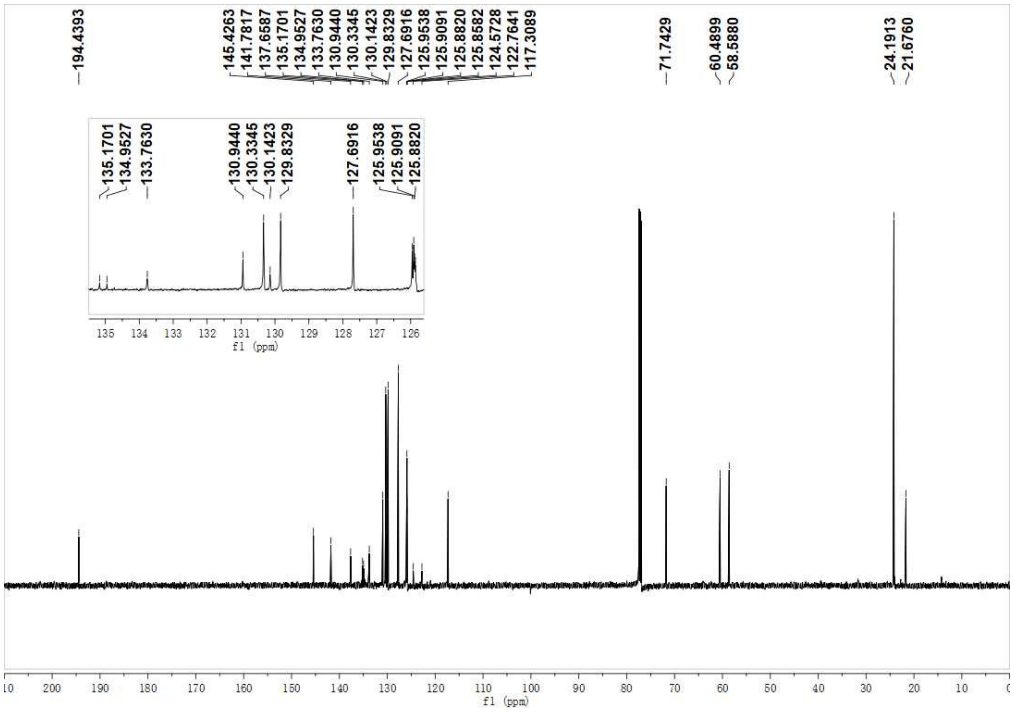
7.4077
7.3947
7.3669
7.3545
7.2865
7.2733
7.1930
5.5067
4.9733
4.9564
3.2993
3.2823
2.3821
1.3060
2.14
2.19
3.18
1.15
1.09
2.08
1.04
1.05
1.03
1.00
3.19
9.28
f1 (ppm)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133.7630
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130.3345
130.1423
129.8329
127.6916
125.9538
125.9091
125.8820
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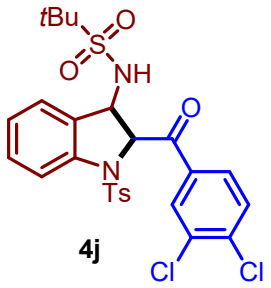
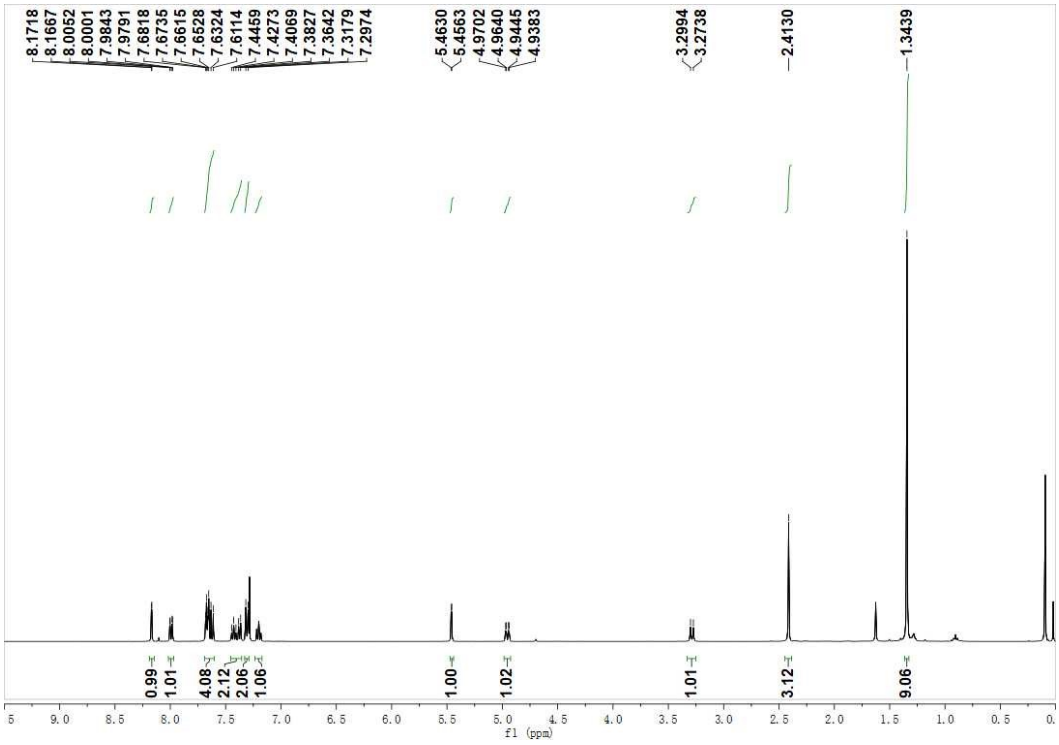
122.7641
117.3089
71.7429
60.4899
58.5880
24.1913
21.6760
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
Cl
Cl

4j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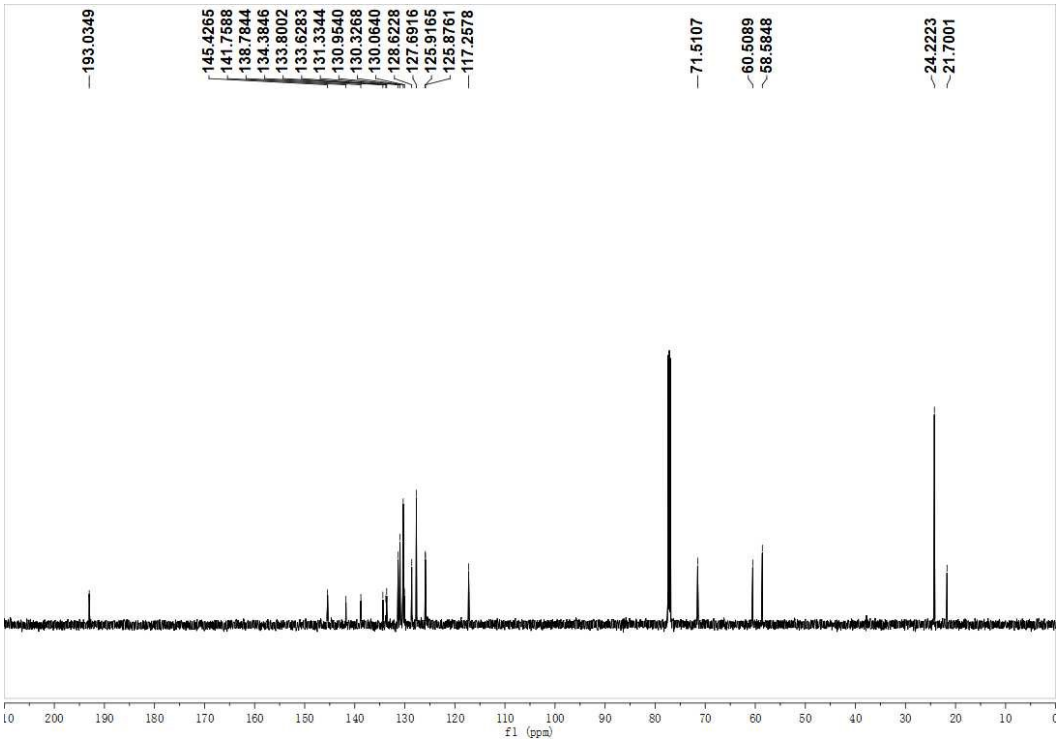
8.1667
8.0052
8.0001
7.9843
7.9791
7.6818
7.6735
7.6615
7.6528
7.6324
7.6114
7.4459
7.4273
7.4069
7.3827
7.3642
7.3179
7.2974
5.4630
5.4563
4.9702
4.9640
4.9445
4.9383
3.2994
3.2738
2.4130
1.3439
0.99
1.01
4.08
2.12
2.06
1.06
1.00
1.02
1.01
3.12
9.06
f1 (ppm)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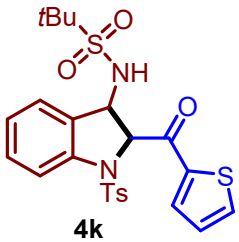
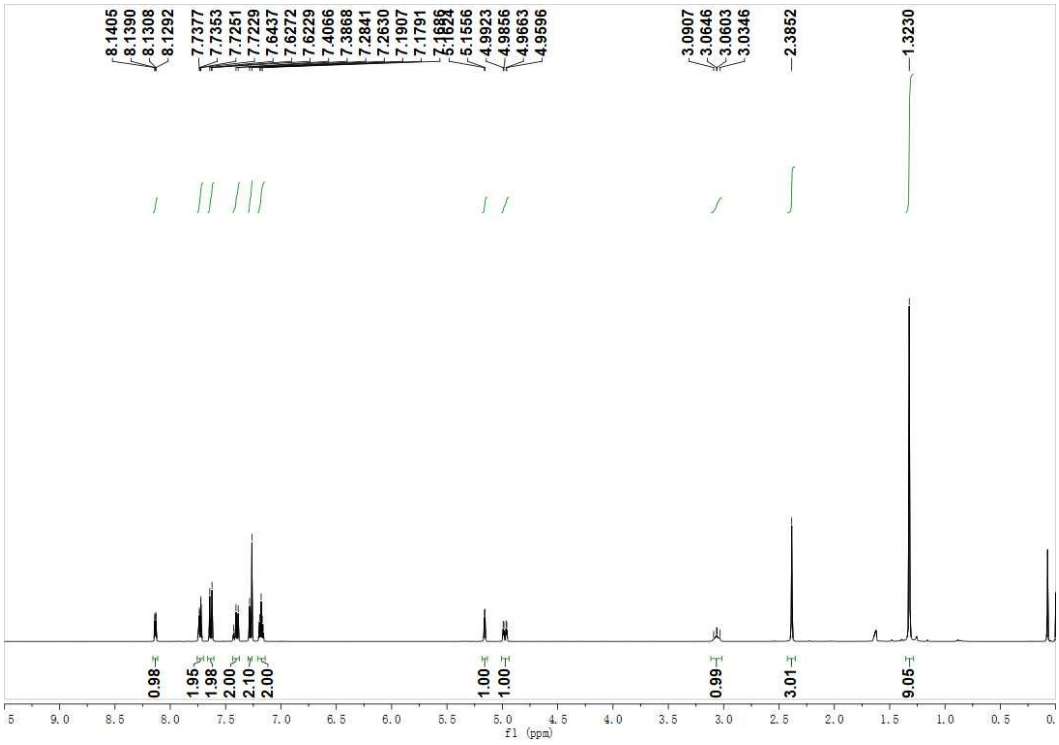
141.7588
138.7844
134.3846
133.8002
133.6283
131.3344
130.9540
130.3268
130.0640
128.6228
127.6916
125.9165
125.8761
117.2578
71.5107
60.5089
58.5848
24.2223
21.7001
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts
S

4k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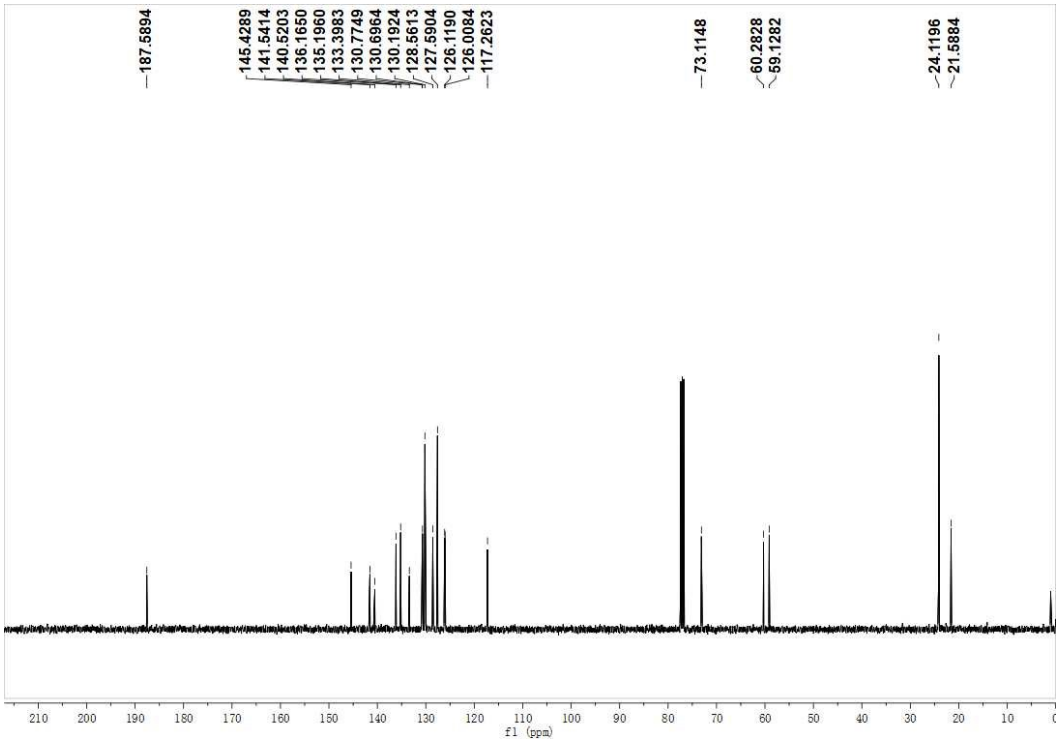
8.1390
8.1308
8.1292
7.7377
7.7353
7.7251
7.7229
7.6437
7.6272
7.6229
7.4066
7.3868
7.2841
7.2630
7.1907
7.1791
5.1556
4.9923
4.9856
4.9663
4.9596
3.0907
3.0646
3.0603
3.0346
2.3852
1.3230
0.98
1.95
1.98
2.00
2.10
2.00
1.00
1.00
0.99
3.01
9.05
f1 (ppm)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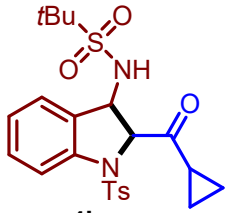
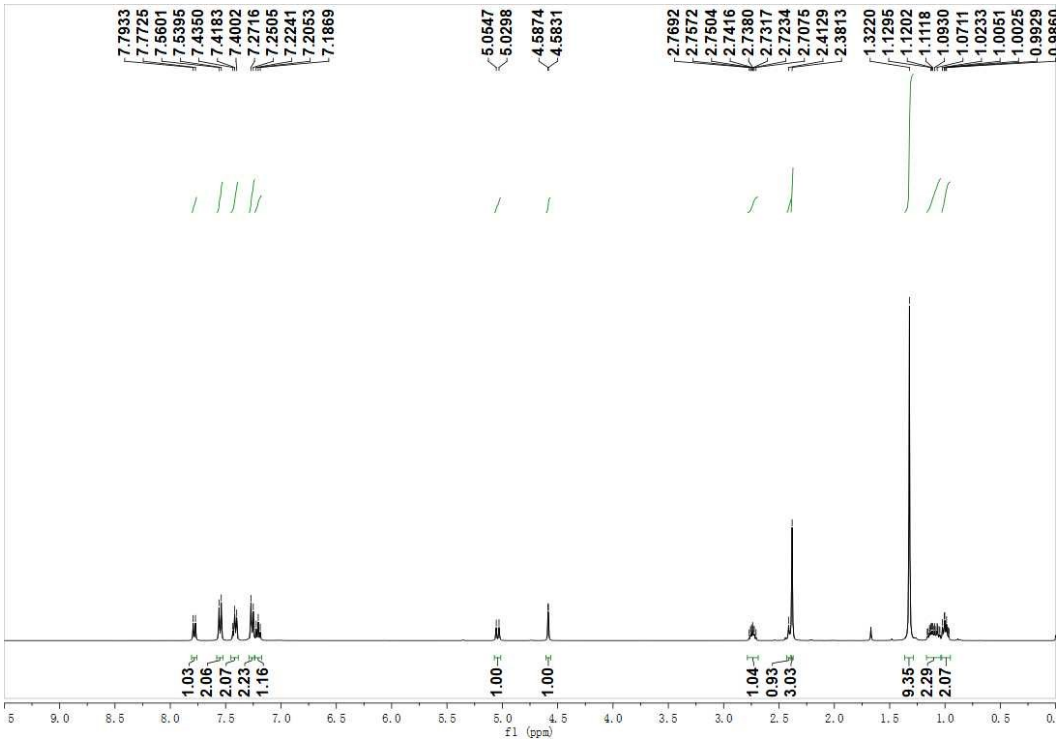
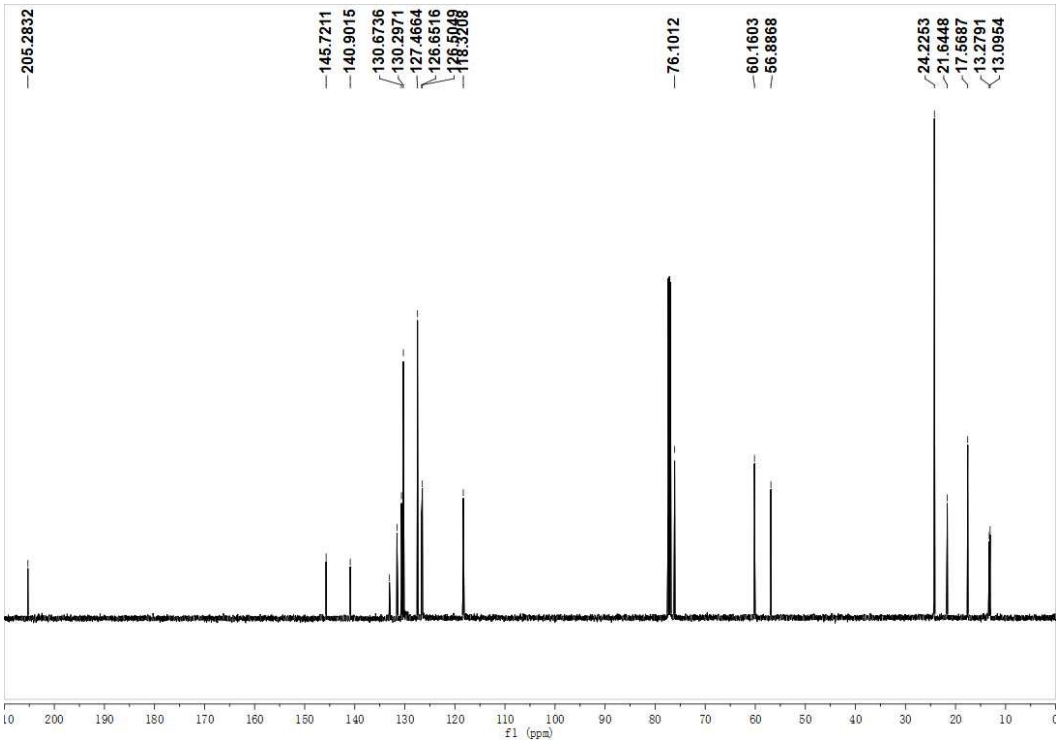
141.5414
140.5203
136.1650
135.1960
133.3983
130.7749
130.6964
130.1924
128.5613
127.5904
126.1190
126.0084
117.2623
73.1148
60.2828
59.1282
24.1196
21.5884
f1 (ppm)


tBu
O
S
O
NH
O
N
Ts

4l


7.7933
7.7725
7.5601
7.5395
7.4350
7.4183
7.4002
7.2716
7.2505
7.2241
7.2053
7.1869
5.0547
5.0298
4.5874
4.5831
2.7692
2.7672
2.7504
2.7416
2.7380
2.7317
2.7234
2.7075
2.4129
2.3813
1.3220
1.1295
1.1202
1.1118
1.0930
1.0711
1.0233
1.0051
1.0025
0.9929
1.03
2.06
2.07
2.23
1.16
1.00
1.00
1.04
0.93
3.03
9.35
2.29
2.07
f1 (ppm)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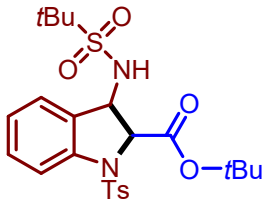
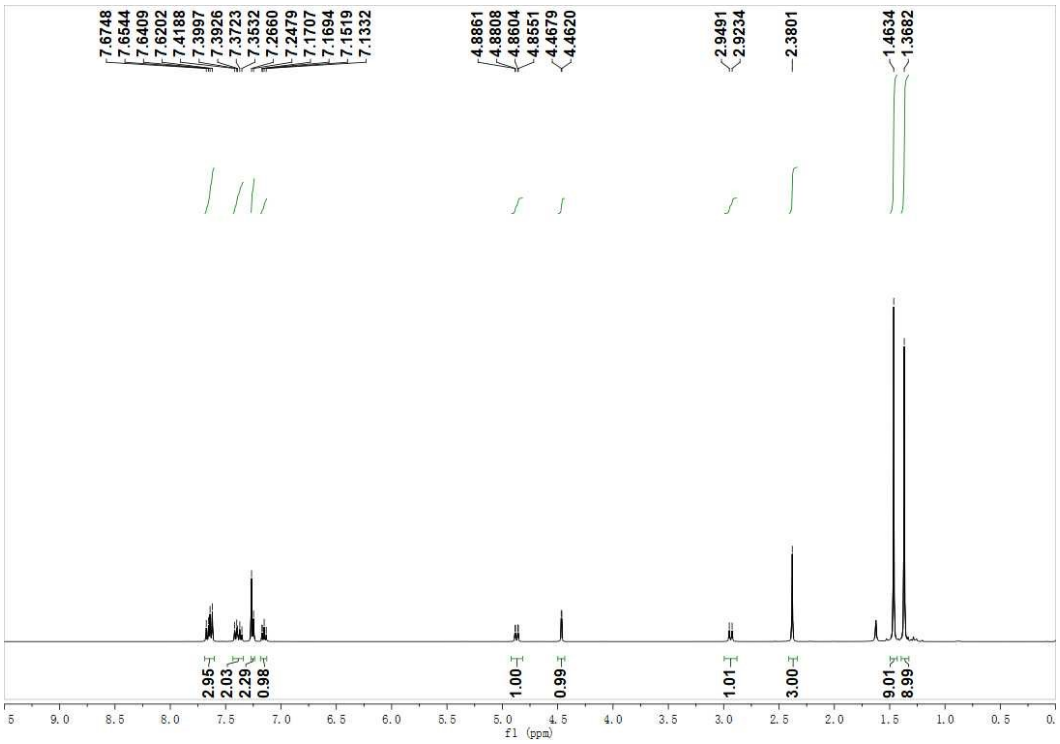
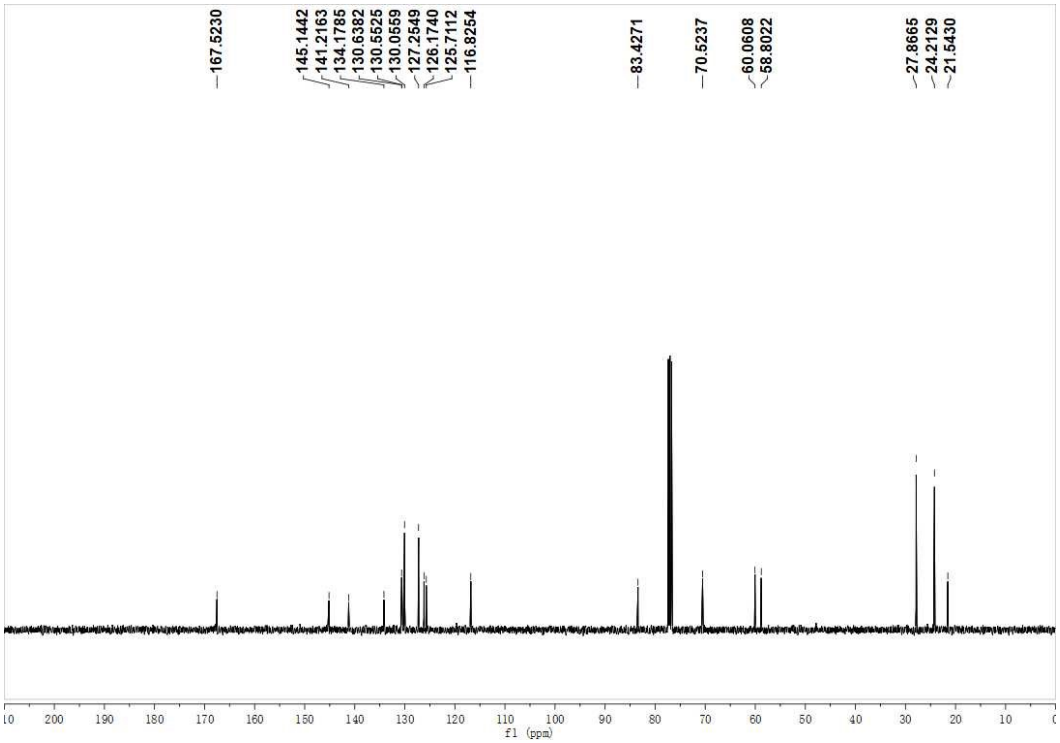
145.7211
140.9015
130.6736
130.2971
127.4664
126.6516
118.3208
76.1012
60.1603
56.8868
24.2253
21.6448
17.5687
13.2791
13.0954
f1 (ppm)


tBu
O
S
O
NH
O
O
O
tBu
N
Ts

4m


7.6748
7.6544
7.6409
7.6202
7.4188
7.3997
7.3926
7.3723
7.3532
7.2660
7.2479
7.1707
7.1694
7.1519
7.1332
4.8861
4.8808
4.8604
4.8551
4.4679
4.4620
2.9491
2.9234
2.3801
1.4634
1.3682
2.95
2.03
2.29
0.98
1.00
0.99
1.01
3.00
9.01
8.99
f1 (ppm)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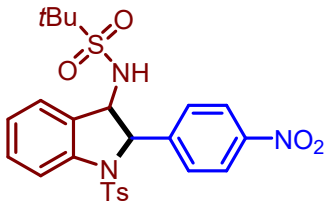
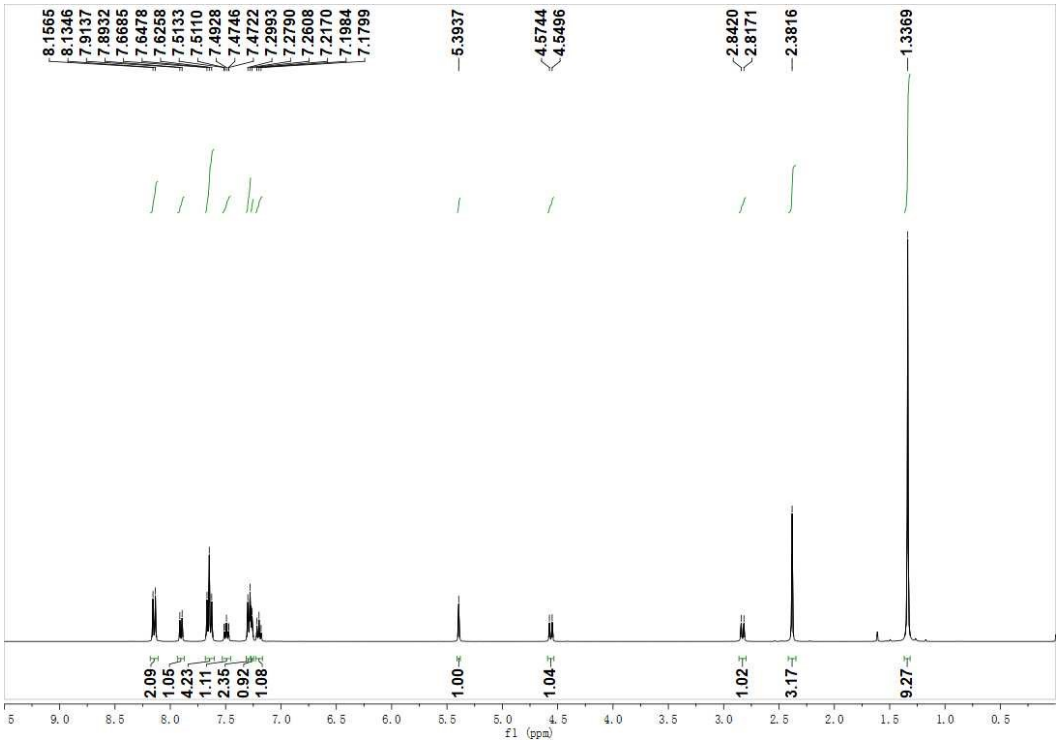
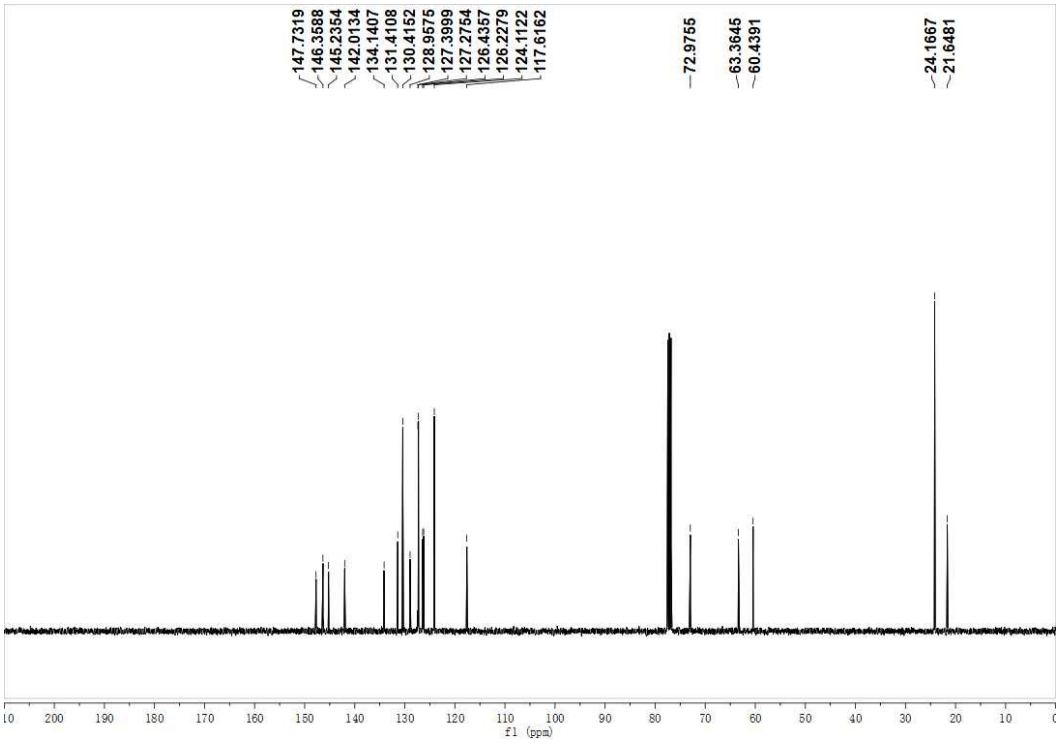
145.1442
141.2163
134.1785
130.6382
130.5525
130.0559
127.2549
126.1740
125.7112
116.8254
83.4271
70.5237
60.0608
58.8022
27.8665
24.2129
21.5430
f1 (ppm)


tBu
O
S
O
NH
NO2
N
Ts

4n


8.1565
8.1346
7.9137
7.8932
7.6685
7.6478
7.6258
7.5133
7.5110
7.4928
7.4746
7.4722
7.2993
7.2790
7.2608
7.2170
7.1984
7.1799
5.3937
4.5744
4.5496
2.8420
2.8171
2.3816
1.3369
2.09
1.05
4.23
1.11
2.35
0.92
1.08
1.00
1.04
1.02
3.17
9.27
f1 (ppm)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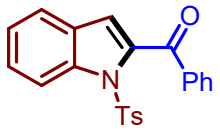
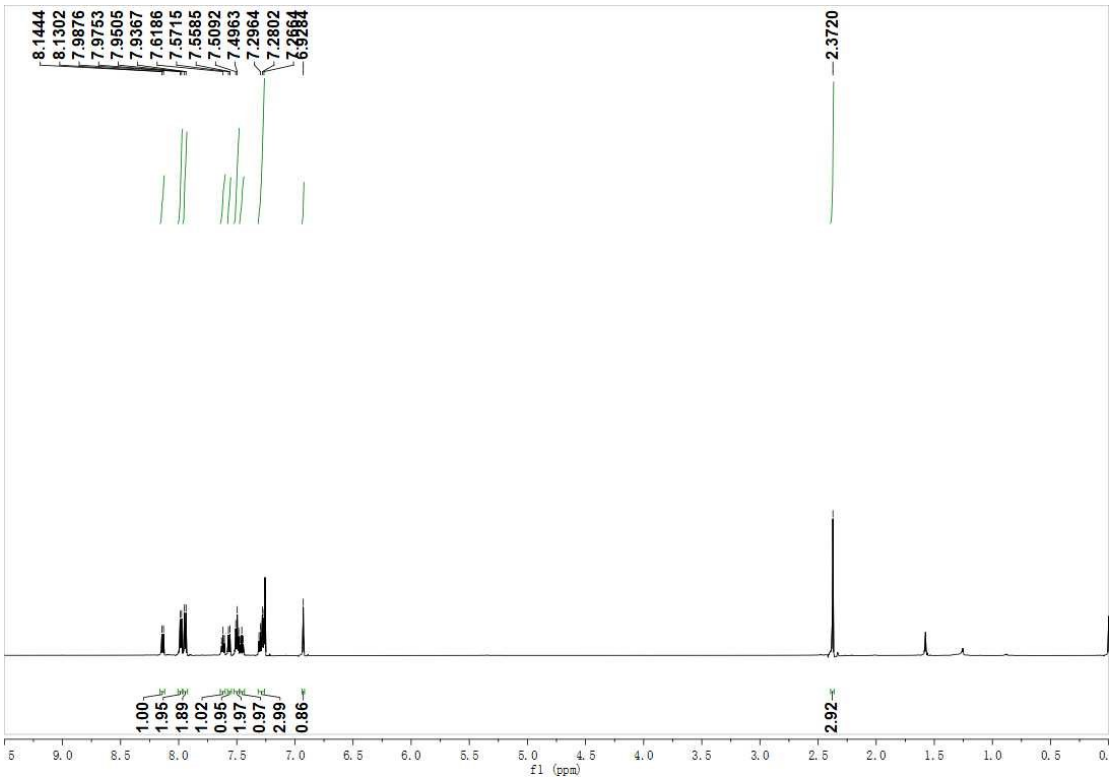
145.2354
142.0134
134.1407
131.4108
130.4152
128.9575
127.3999
127.2754
126.4357
126.2279
124.1122
117.6162
72.9755
63.3645
60.4391
24.1667
21.6481
f1 (ppm)


O
Ph
N
Ts

5a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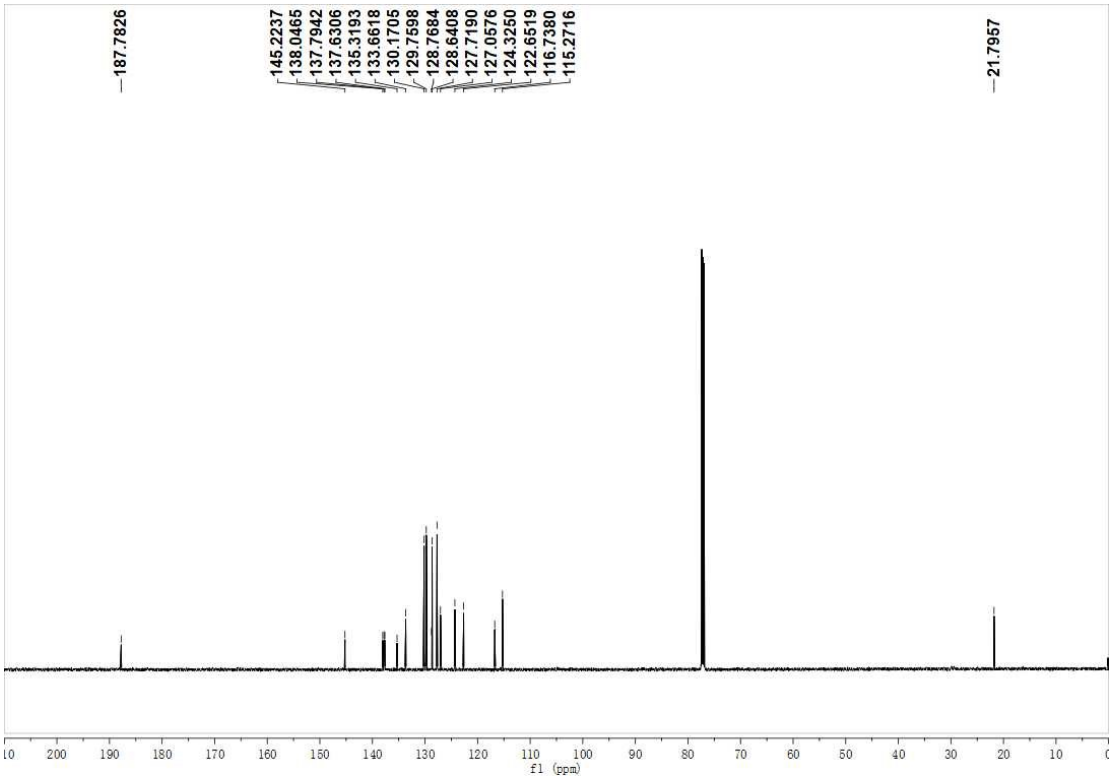
8.1302
7.9876
7.9753
7.9505
7.9367
7.6186
7.5715
7.5585
7.5092
7.4963
7.2964
7.2802
7.2664
6.9284
2.3720
1.00
1.95
1.89
1.02
0.95
1.97
0.97
2.99
0.86
2.92
f1 (ppm)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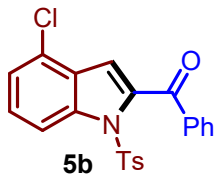
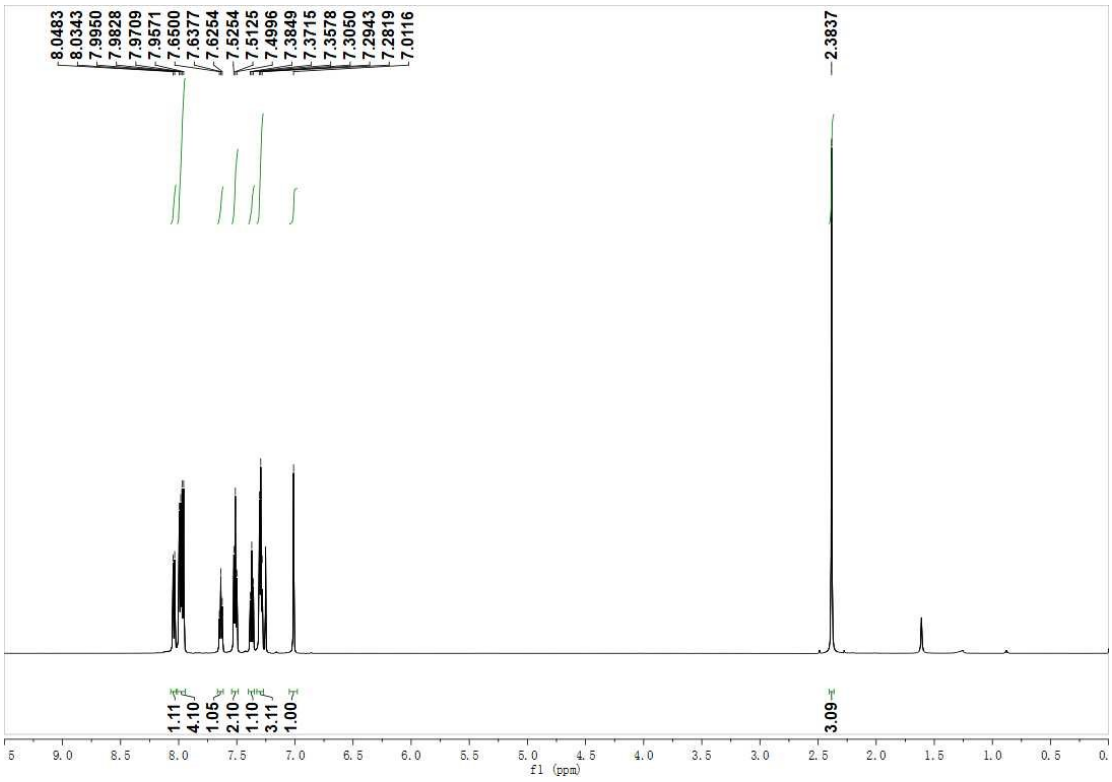
138.0465
137.7942
137.6306
135.3193
133.6618
130.1705
129.7598
128.7684
128.6408
127.7190
127.0576
124.3250
122.6519
116.7380
115.2716
21.7957
f1 (ppm)


Cl
O
Ph
N
Ts

5b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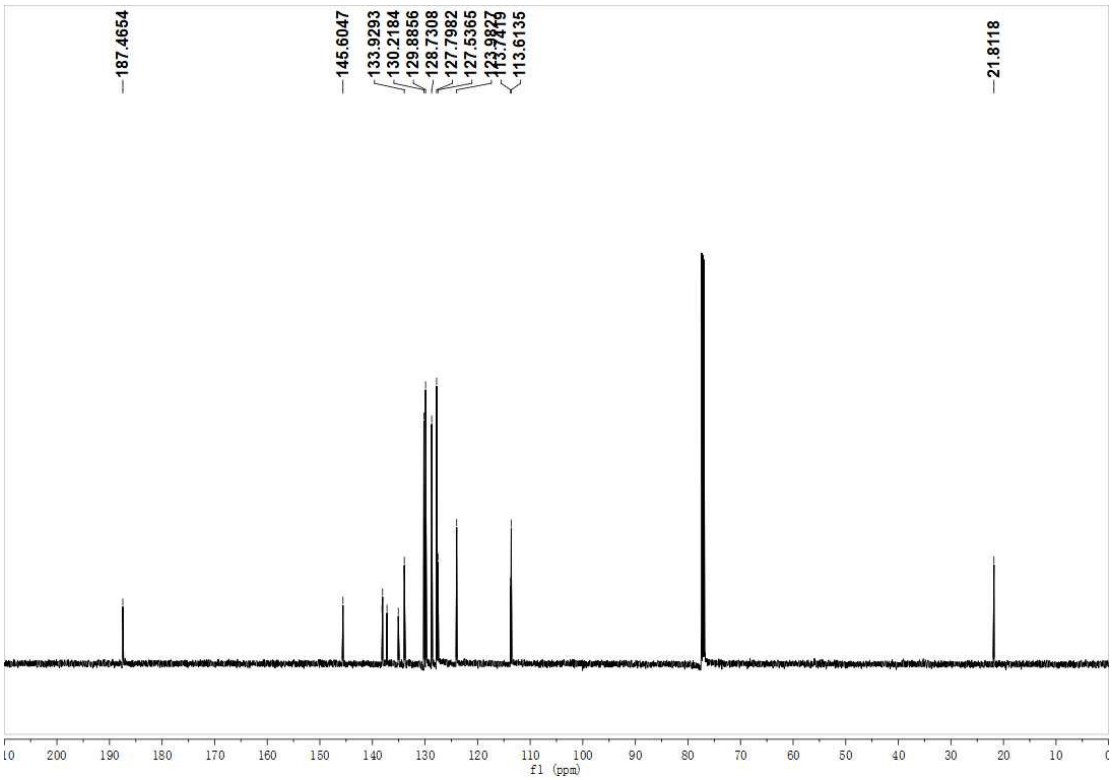
7.9828
7.9709
7.9571
7.6500
7.6377
7.6254
7.5254
7.5125
7.4996
7.3849
7.3715
7.3578
7.3050
7.2943
7.2819
7.0116
2.3837
1.11
4.10
1.05
2.10
1.10
3.11
1.00
3.09
f1 (ppm)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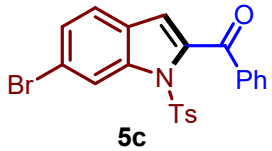
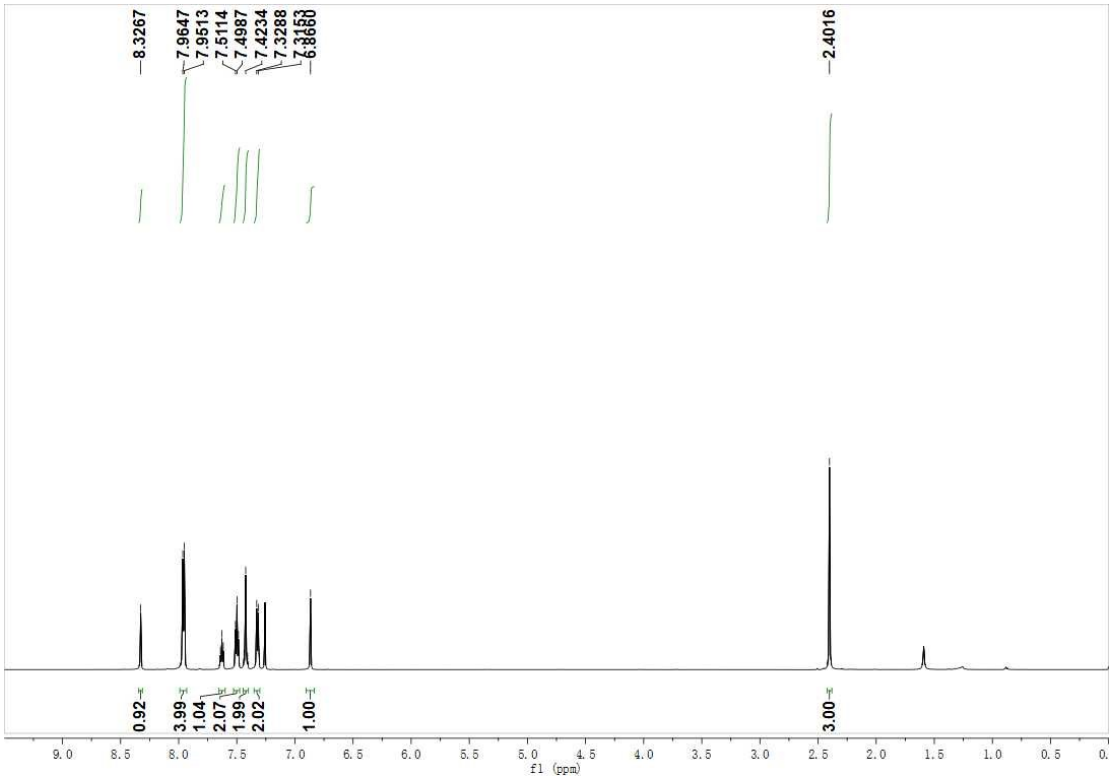
128.7308
127.7982
127.5365
113.6135
21.8118
f1 (ppm)


Br
N
Ts
O
Ph

5c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7.4987
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0.92
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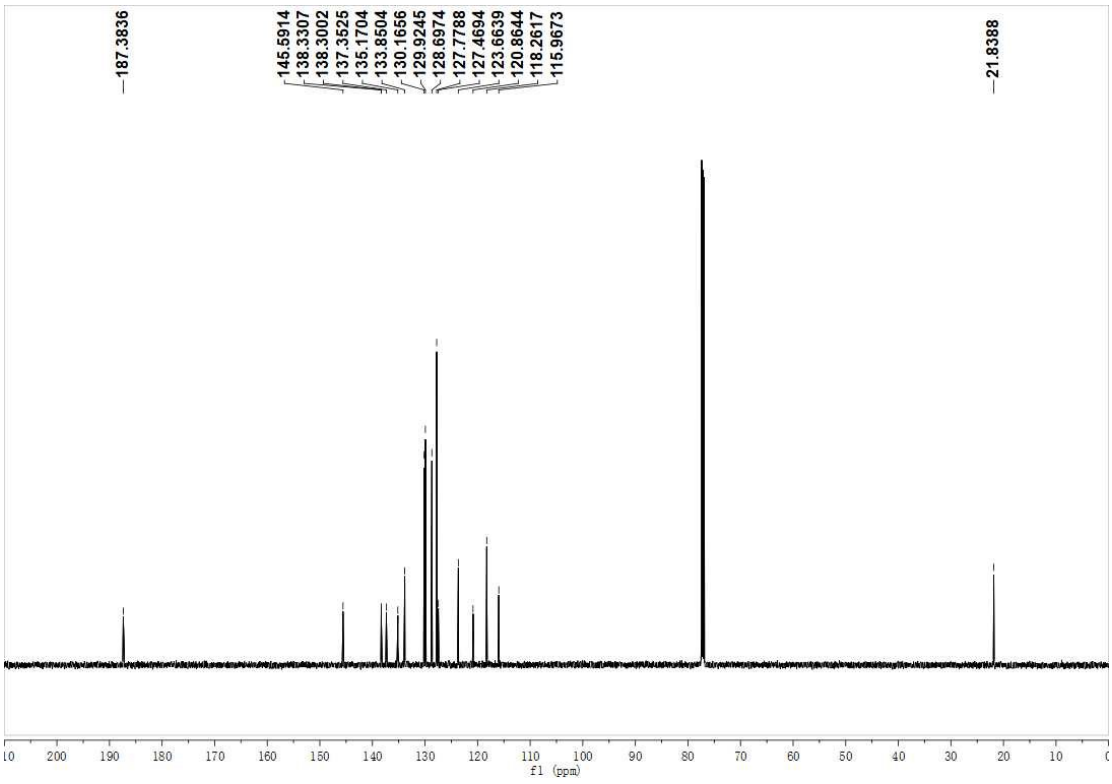
1.04
2.07
1.99
2.02
1.00
3.00
f1 (ppm)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138.3002
137.3525
135.1704
133.8504
130.1656
129.9245
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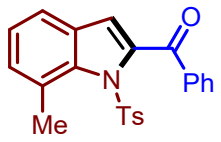
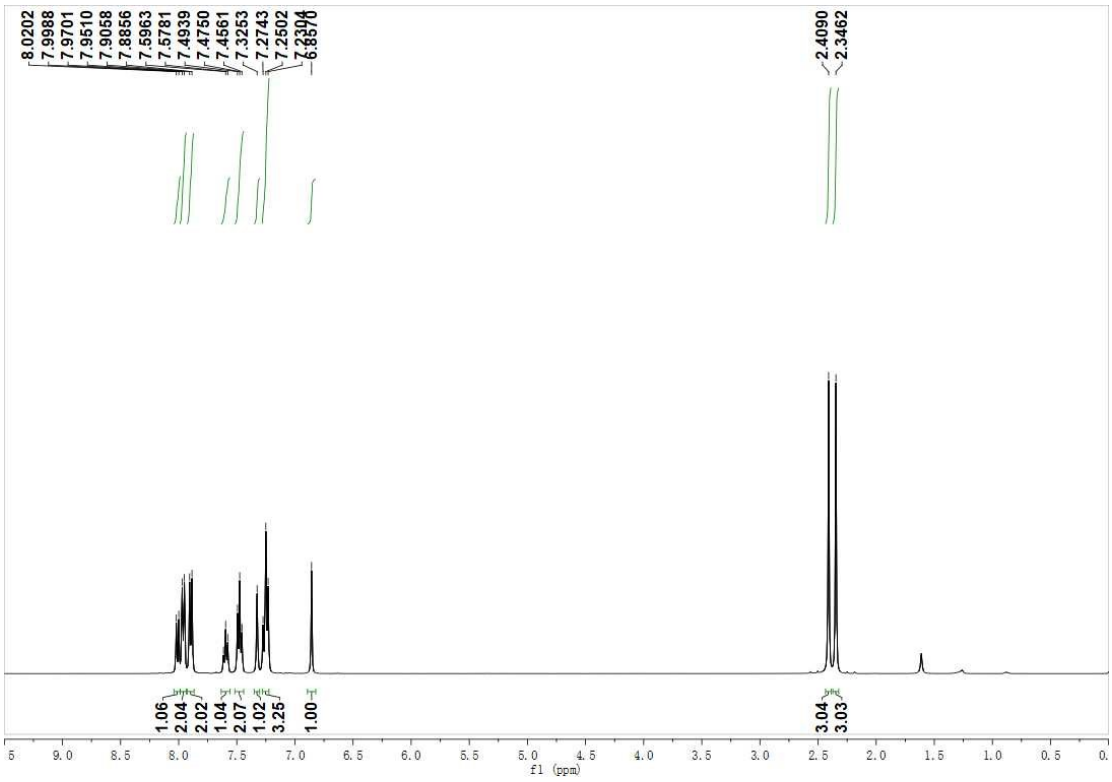
127.7788
127.4694
123.6639
120.8644
118.2617
115.9673
21.8388
f1 (ppm)


O
Ph
N
Ts
Me

5d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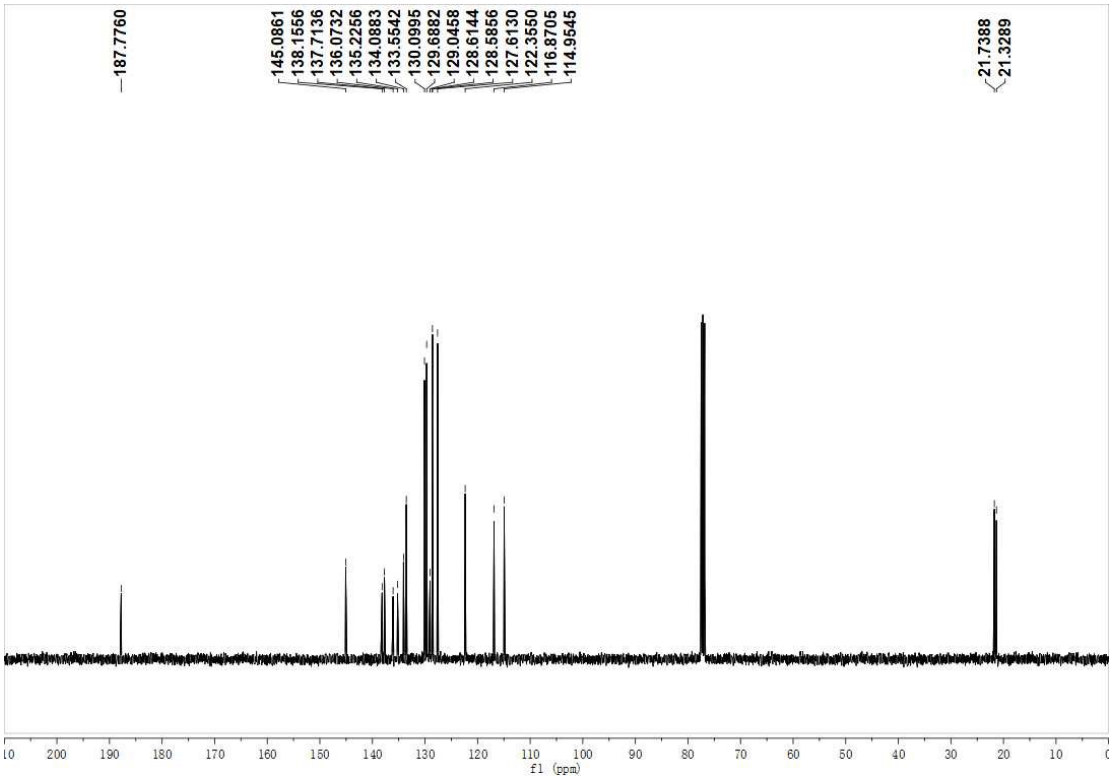
7.8856
7.5963
7.5781
7.4939
7.4750
7.4561
7.3253
7.2743
7.2502
6.8870
2.4090
2.3462
1.06
2.04
2.02
1.04
2.07
1.02
3.25
1.00
3.04
3.03
f1 (ppm)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136.0732
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134.0883
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130.0995
129.6882
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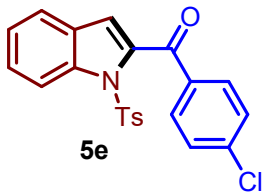
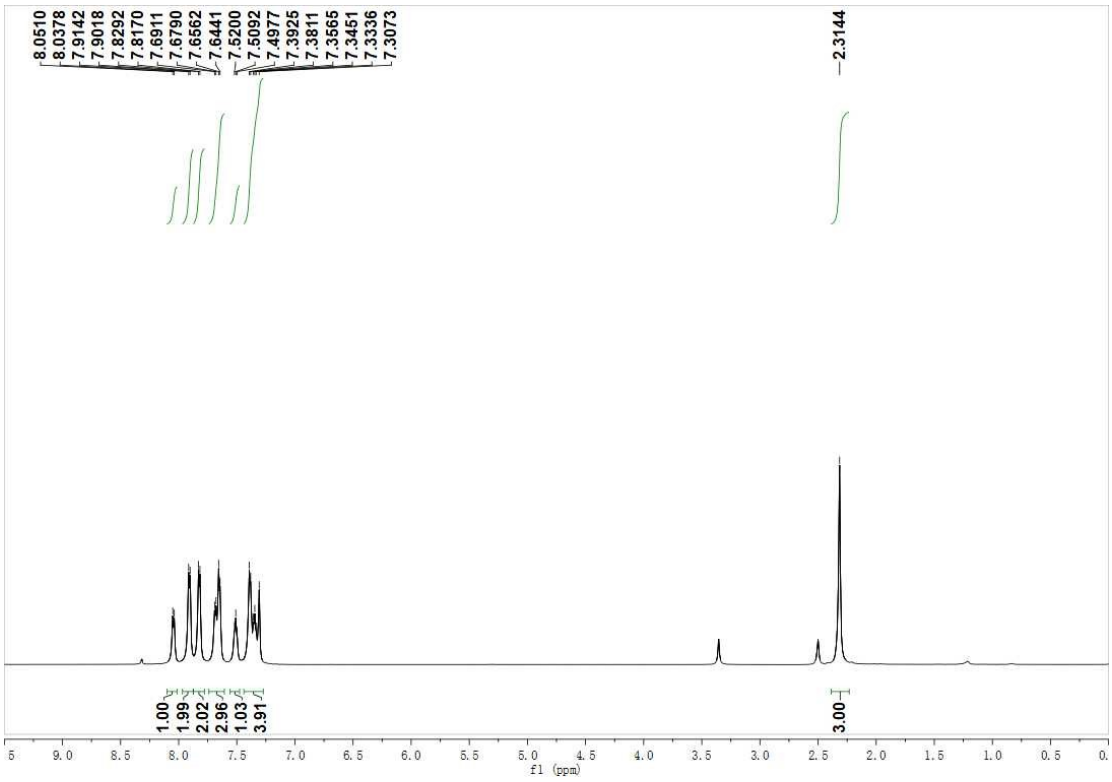
114.9545
21.7388
21.3289
f1 (ppm)


O
N
Ts
Cl

5e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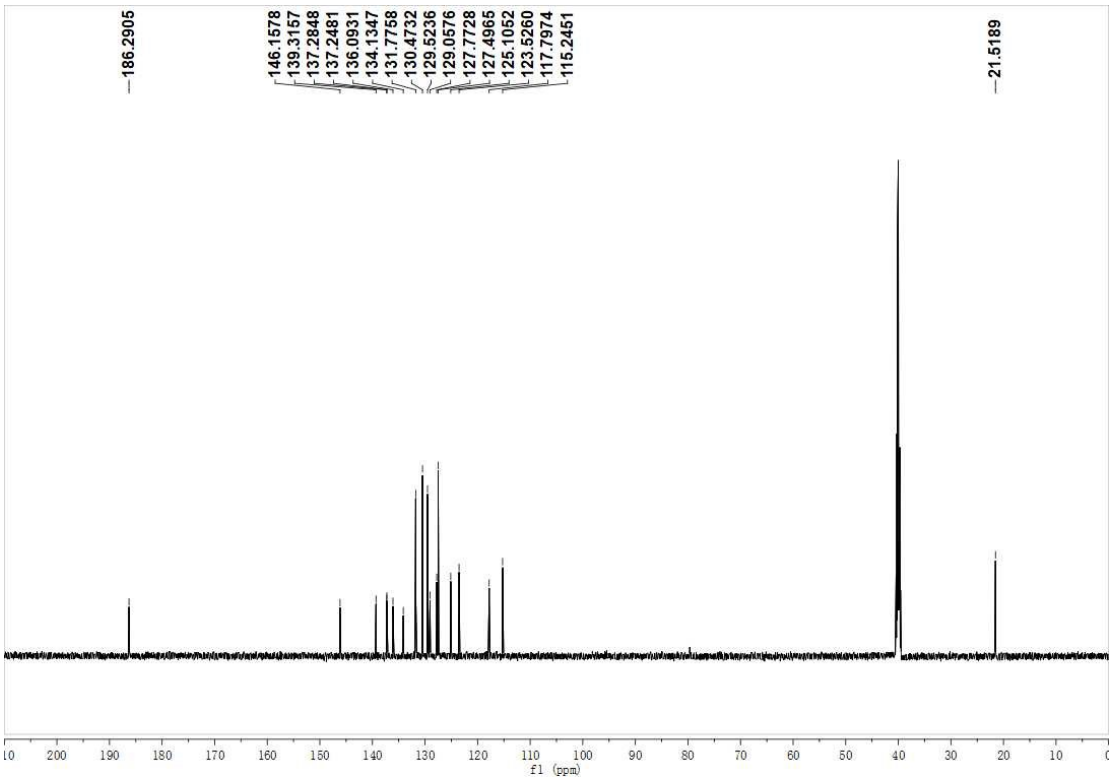
7.9142
7.9018
7.8292
7.8170
7.6911
7.6790
7.6562
7.6441
7.5200
7.5092
7.4977
7.3925
7.3811
7.3565
7.3451
7.3336
7.3073
2.3144
1.00
1.99
2.02
2.96
1.03
3.91
3.00
f1 (ppm)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137.2481
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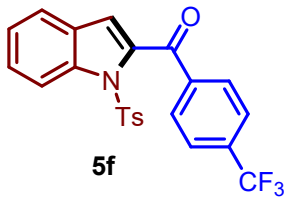
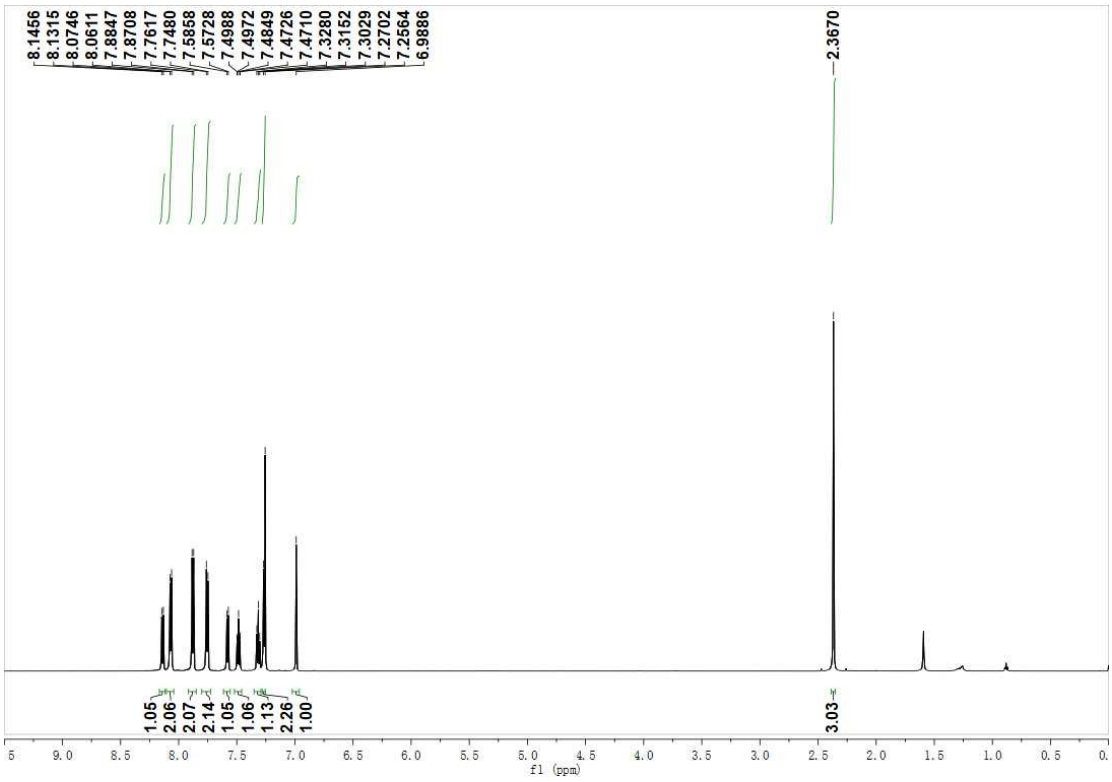
127.7728
127.4965
125.1052
123.5260
117.7974
115.2451
21.5189
f1 (ppm)


O
N
Ts
CF3

5f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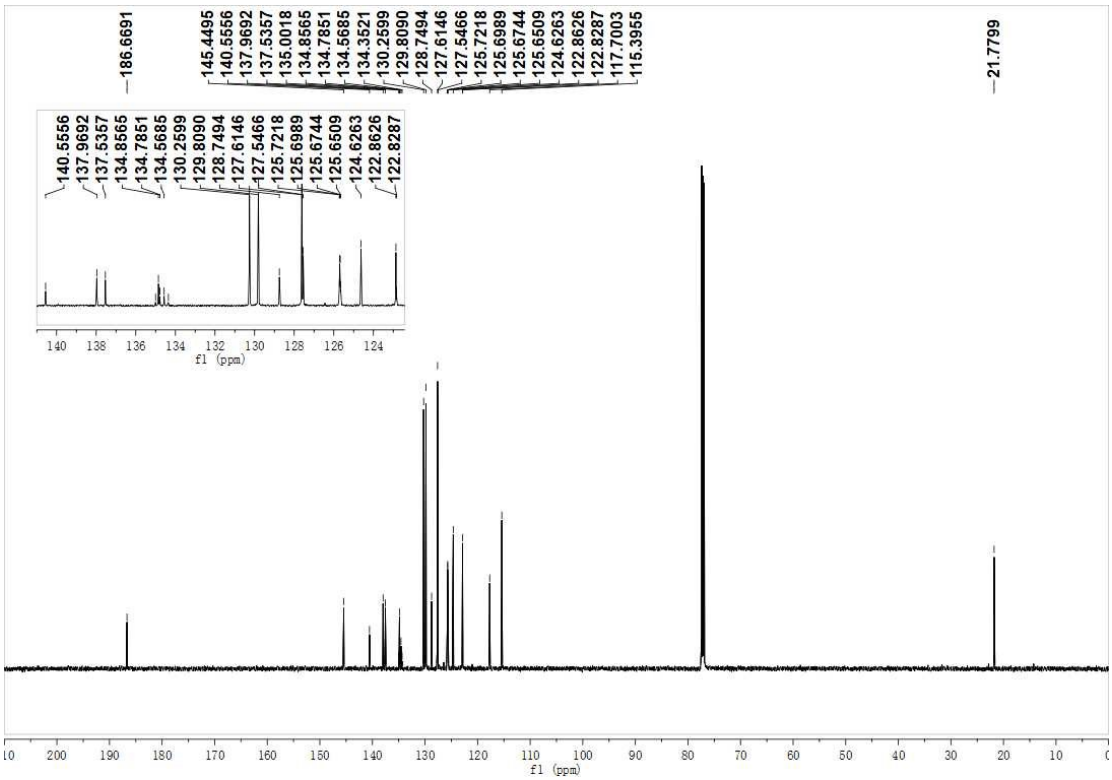
8.1315
8.0746
8.0611
7.8847
7.8708
7.7617
7.7480
7.5858
7.5728
7.4988
7.4972
7.4849
7.4726
7.4710
7.3280
7.3152
7.3029
7.2702
7.2564
6.9886
2.3670
1.05
2.06
2.07
2.14
1.05
1.06
1.13
2.26
1.00
3.03
f1 (ppm)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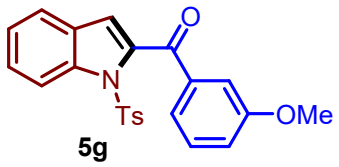
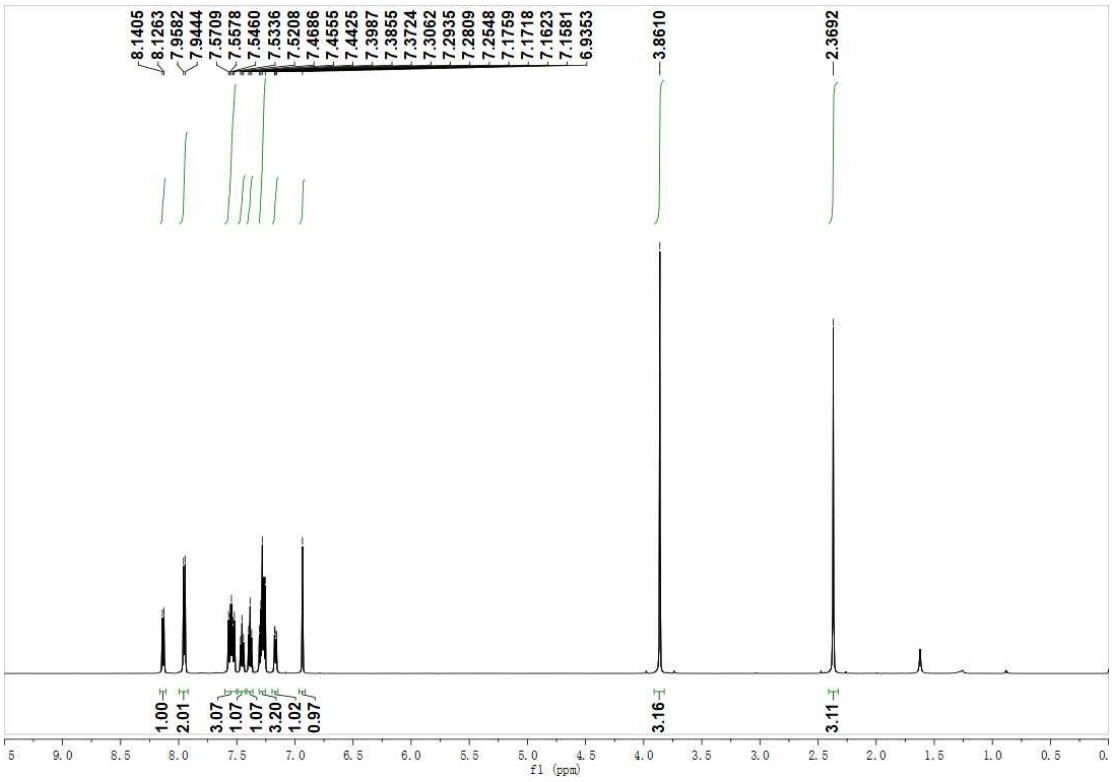
140.5556
137.9692
137.5357
135.0018
134.8565
134.7851
134.5685
134.3521
130.2599
129.8090
128.7494
127.6146
127.5466
125.7218
125.6989
125.6744
125.6509
124.6263
122.8626
122.8287
117.7003
115.3955
21.7799
f1 (ppm)


O
N
Ts
OMe

5g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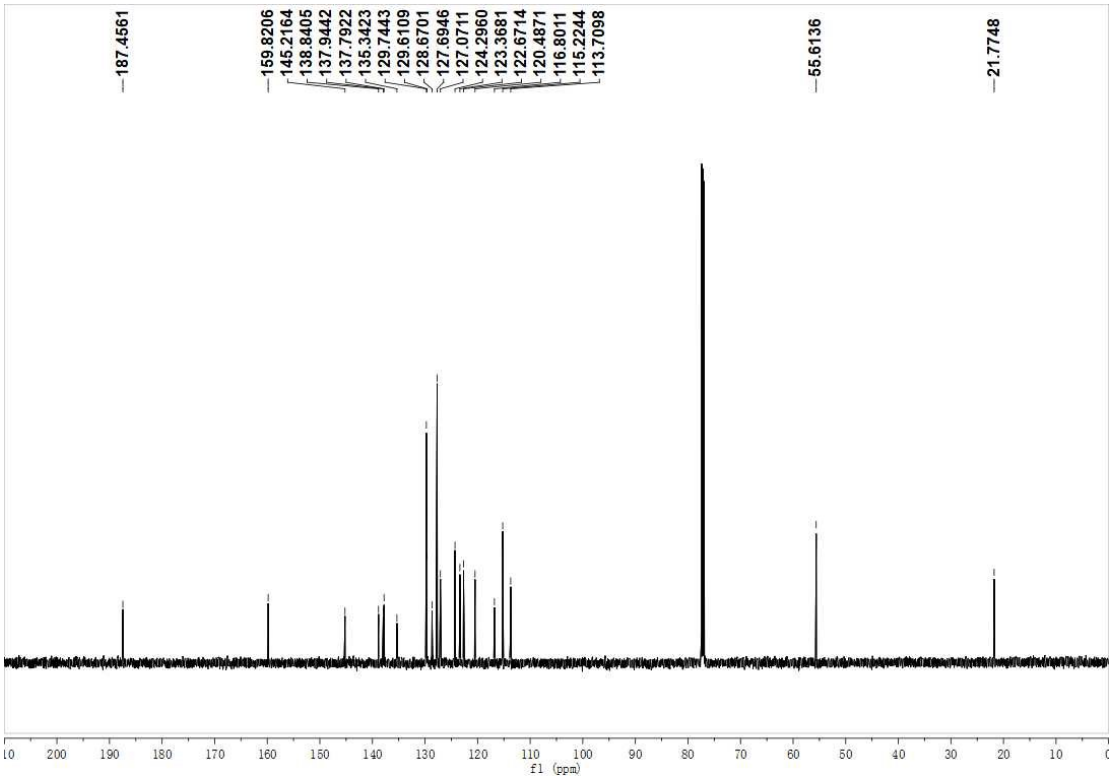
8.1263
7.9582
7.9444
7.5709
7.5578
7.5460
7.5336
7.5208
7.4686
7.4555
7.4425
7.3987
7.3855
7.3724
7.3062
7.2935
7.2809
7.2548
7.1759
7.1718
7.1623
7.1581
6.9353
3.8610
2.3692
1.00
2.01
3.07
1.07
1.07
3.20
1.02
0.97
3.16
3.11
f1 (ppm)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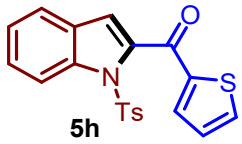
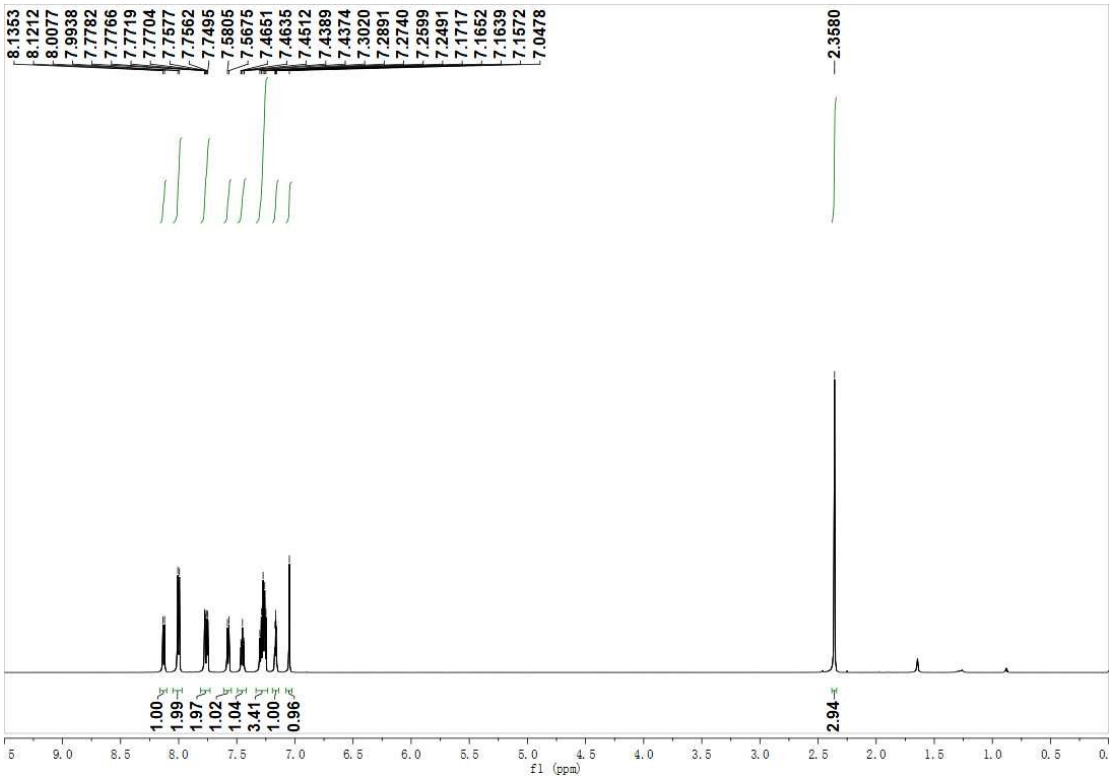
138.8405
137.9442
137.7922
135.3423
129.7443
129.6109
128.6701
127.6946
127.0711
124.2960
123.3681
122.6714
120.4871
116.8011
115.2244
113.7098
55.6136
21.7748
f1 (ppm)


O
N
Ts
S

5h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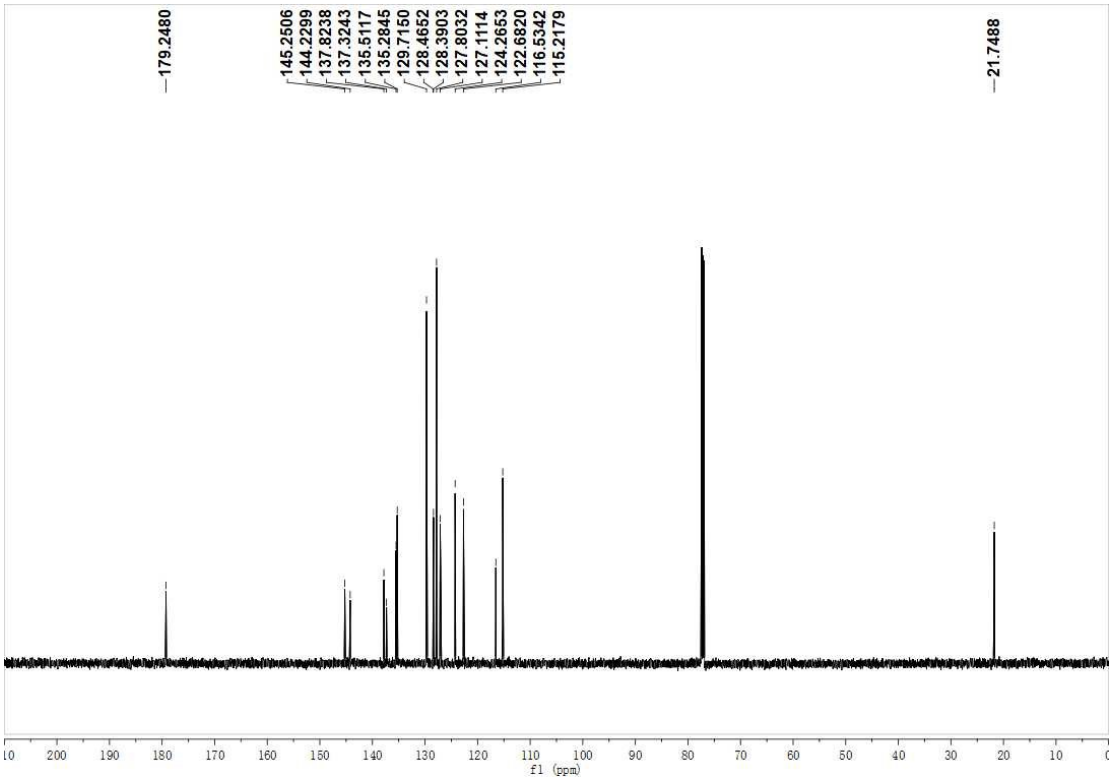
8.1212
8.0077
7.9938
7.7782
7.7766
7.7719
7.7704
7.7577
7.7562
7.7495
7.5805
7.5675
7.4651
7.4635
7.4512
7.4389
7.4374
7.3020
7.2891
7.2740
7.2599
7.2491
7.1717
7.1652
7.1639
7.1572
7.0478
2.3580
1.00
1.99
1.97
1.02
1.04
3.41
1.00
0.96
2.94
f1 (ppm)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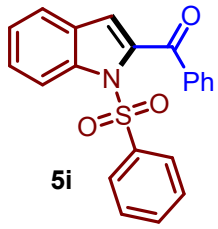
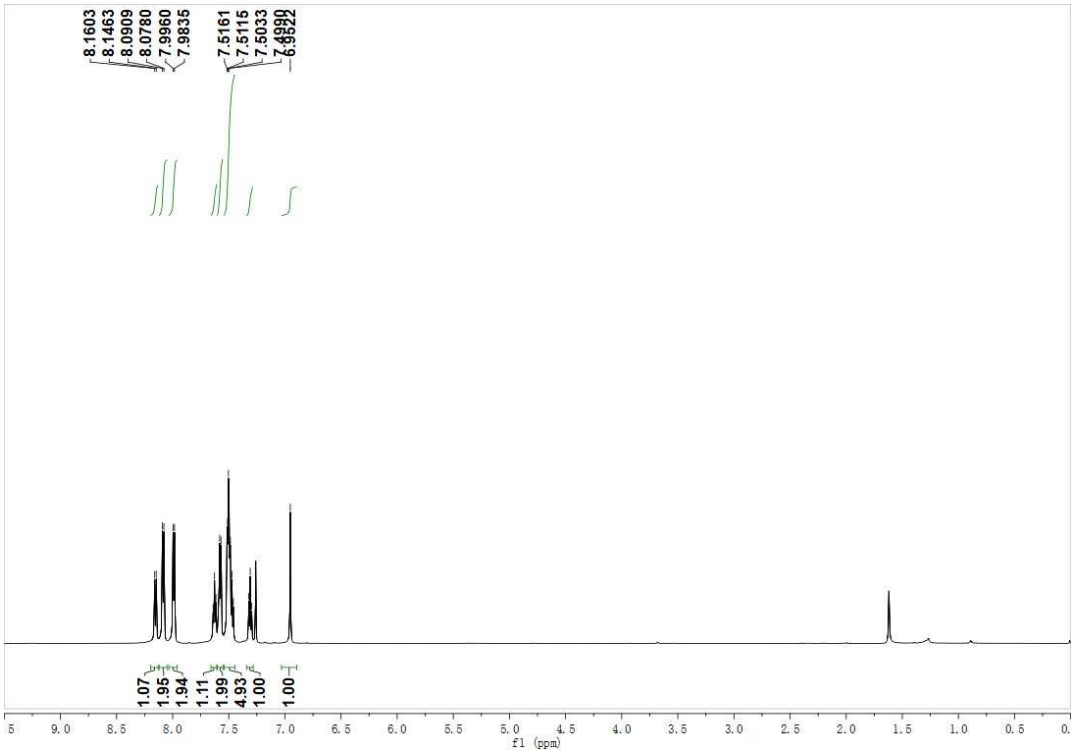
144.2299
137.8238
137.3243
135.5117
135.2845
129.7150
128.4652
128.3903
127.8032
127.1114
124.2653
122.6820
116.5342
115.2179
21.7488
f1 (ppm)


O
Ph
N
O=S=O

5i


8.1603
8.1463
8.0909
8.0780
7.9960
7.9835
7.5161
7.5115
7.5033
7.4990
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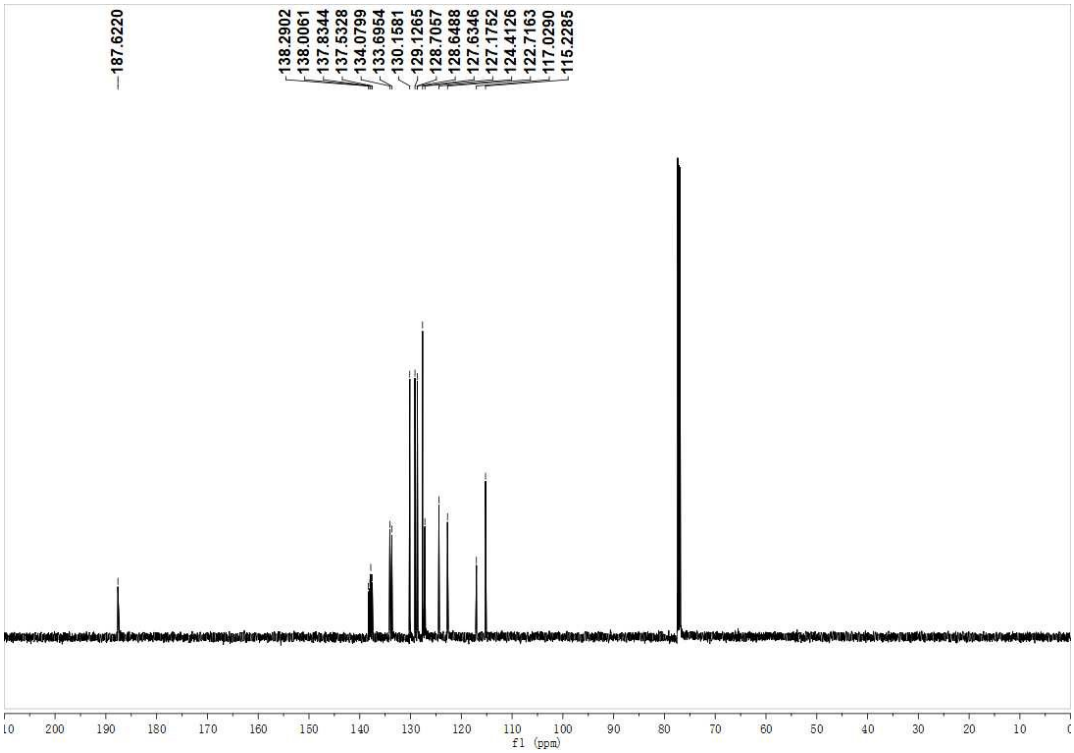
1.95
1.94
1.11
1.99
4.93
1.00
1.00
f1 (ppm)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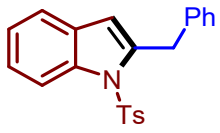
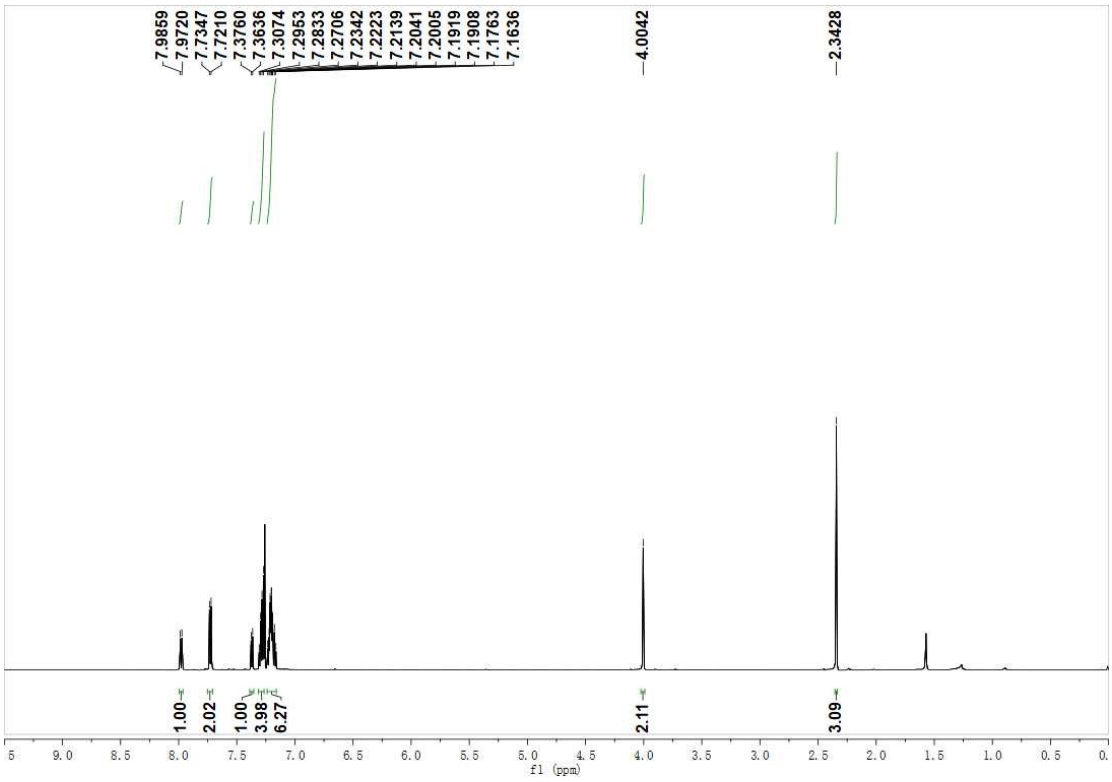
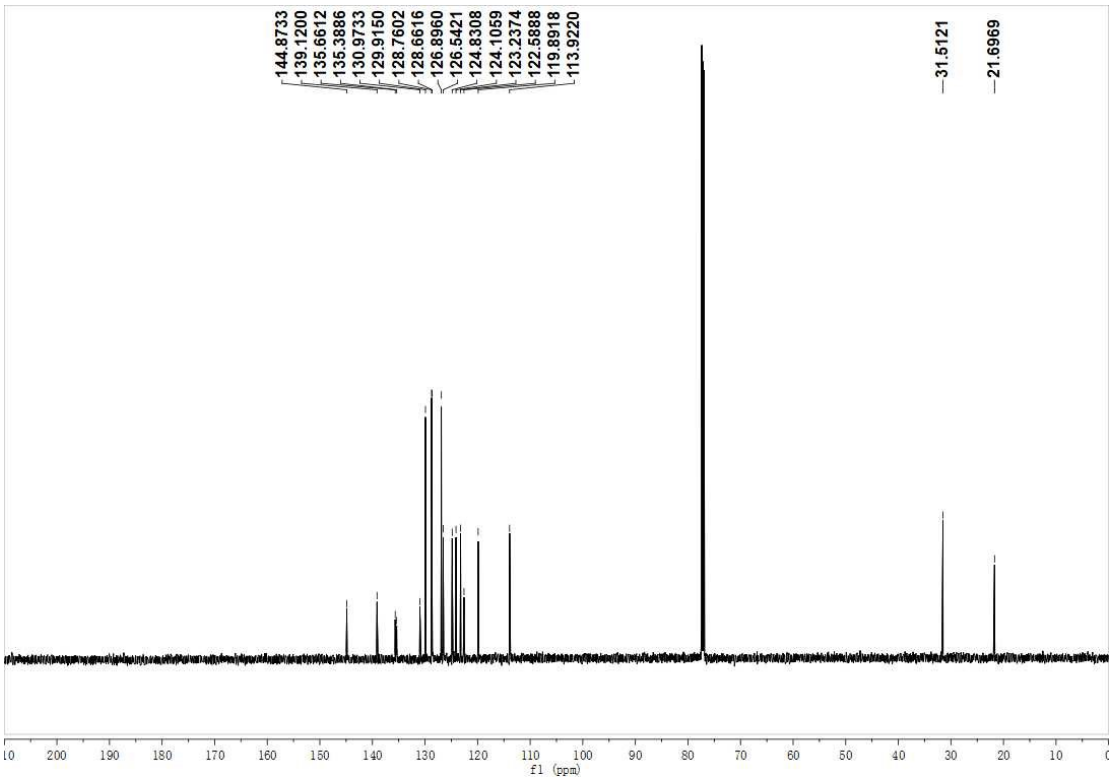
138.2902
138.0061
137.8344
137.5328
134.0799
133.6954
130.1581
129.1265
128.7057
128.6488
127.6346
127.1752
124.4126
122.7163
117.0290
115.2285
f1 (ppm)

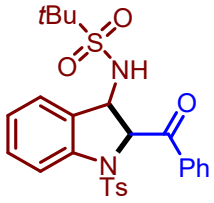

Ph
N
Ts

7

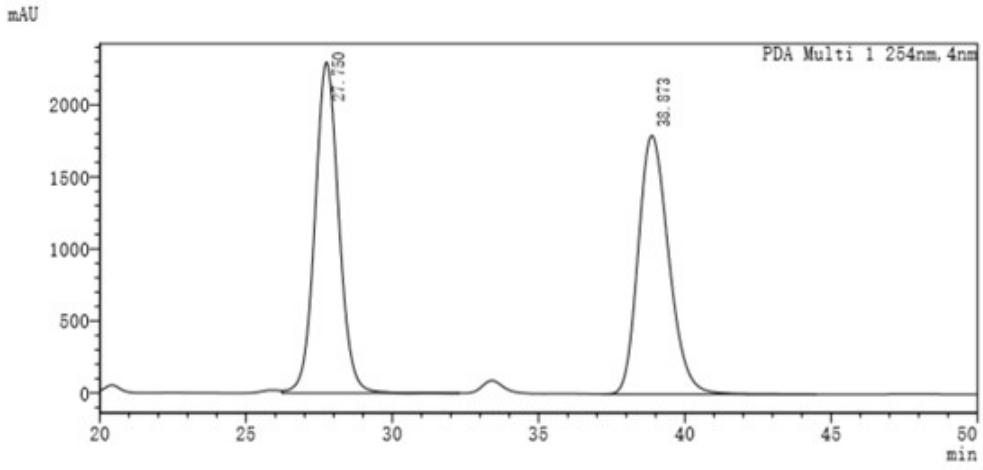

7.9859
7.9720
7.7347
7.7210
7.3760
7.3636
7.3074
7.2953
7.2833
7.2706
7.2342
7.2223
7.2139
7.2041
7.2005
7.1919
7.1908
7.1763
7.1636
4.0042
2.3428
1.00
2.02
1.00
3.98
6.27
2.11
3.09
f1 (ppm)


144.8733
139.1200
135.6612
135.3886
130.9733
129.9150
128.7602
128.6616
126.8960
126.5421
124.8308
124.1059
123.2374
122.5888
119.8918
113.9220
31.5121
21.6969
f1 (ppm)

HPLC Spectra of **3a**



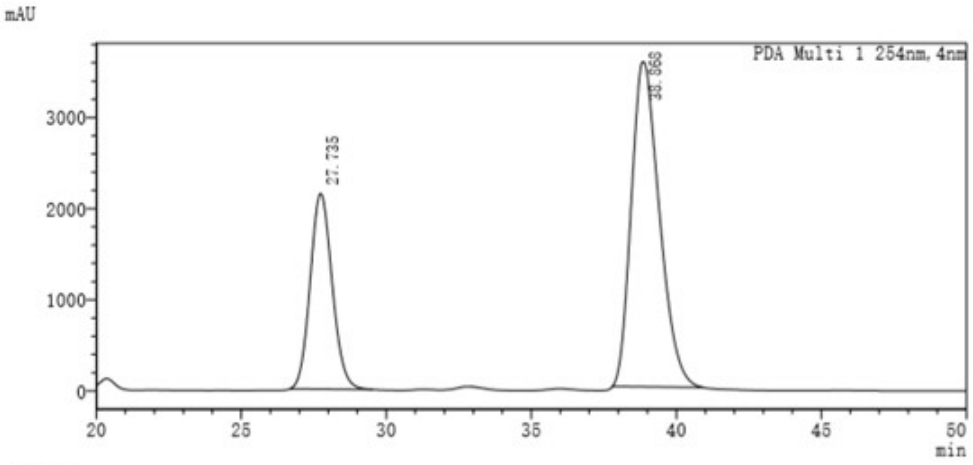
HPLC analysis: 36 % e.e. (Chiralcel IC, 20:80 iPrOH/Hexane, 1.0 mL/min), Rt (minor) = 27.7 min, Rt (major) = 38.8 min



〈峰表〉

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	高度%
1	27.750	130949311	2297612	50.089	56.142
2	38.873	130486039	1794893	49.911	43.858
总计		261435350	4092505	100.000	100.000



〈峰表〉

PDA Ch1 254nm

峰号	保留时间	面积	高度	面积%	高度%
1	27.735	113176887	2144229	32.024	37.554
2	38.868	240237213	3565530	67.976	62.446
总计		353414100	5709759	100.000	100.000