*Supporting Information*

*for*

# Synthesis of Dihydropyrroles from In Situ-Generated Zwitterions via $Rh_2(adc)_4$/TBAI Dual Catalysis

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Z.-F. X. and C.-Y. L. conceived and directed the project. Z.-F. X. and H. X. designed the experiments. H. X., X. K. and M. C. performed the experiments. H. X. analyzed and interpreted the experimental data and prepared the Supplementary Information. Z.-F. X. and C.-Y. L. wrote the paper and S. D. revised the paper. All authors discussed the results and commented on the paper.

**Contents**

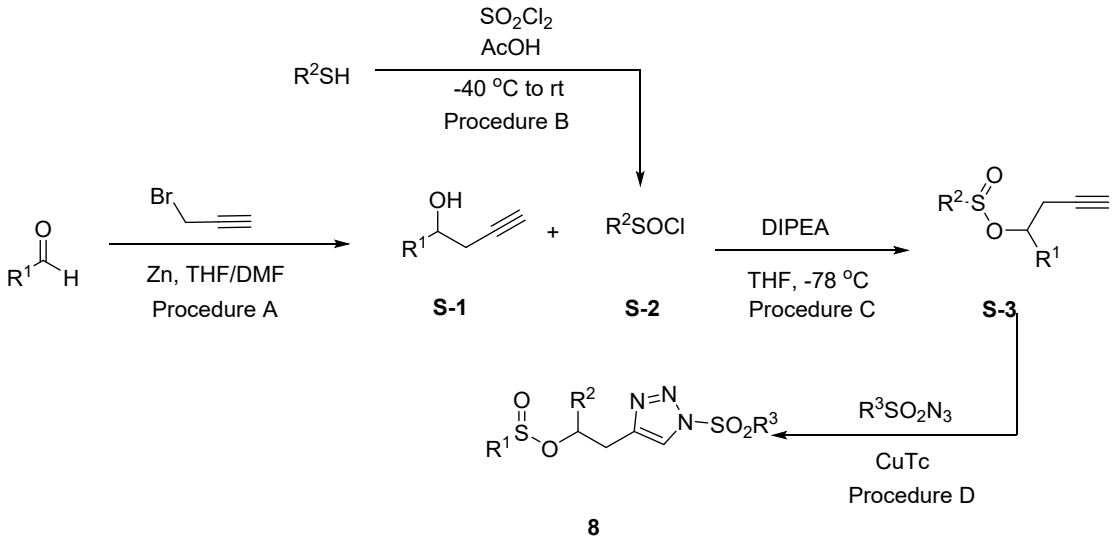


## 1. General information

All reactions were carried out under nitrogen atmosphere with anhydrous solvents in oven-dried glassware, unless otherwise noted. Analytical thin layer chromatography (TLC) was performed using Silica Gel HSGF254 pre-coated plates. Flash column chromatography was performed using 200 - 300 Mesh Silica Gel. IR spectra were recorded using Nicolet Avatar 370 infrared spectrometer and wave number was reported in $cm^{-1}$. Proton nuclear magnetic resonance ($^1$H-NMR) spectra were recorded using Brucker Avance II DMX 400MHz spectrometer. Chemical shift (δ) is reported in

parts per million (ppm) downfield relative to tetramethylsilane (TMS, 0.00 ppm) or $CDCl_3$ (7.26 ppm). Coupling constants (*J*) are reported in Hz. Multiplicities are reported using the following abbreviations: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet; br, broad; Carbon-13 nuclear magnetic resonance ($^{13}$C-NMR) spectra were recorded using a Brucker Avance II DMX 400 spectrometer at 100 MHz. Chemical shift is reported in ppm relative to the carbon resonance of $CDCl_3$ (77.00 ppm). High resolution mass spectra (HRMS) were obtained by Center for Instrumental Analysis of Zhejiang Sci-Tech University and a Waters TOFMS GCT Premier instrument for HRMS. The results are reported as m/e (relative ratio). Accurate masses are reported for the molecular ion ($M^+$) or a suitable fragment ion.

## 2. Procedure for synthesis of triazole 8

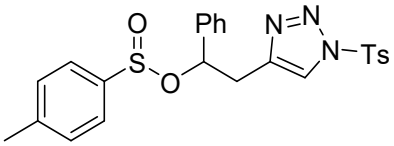



**Procedure A:** Following reported procedure,[1] under $N_2$ atmosphere, aldehyde (10 mmol) was dissolved in THF/DMF (v/v = 1:1). The solution was cooled to 0 °C and propargyl bromide (1.1 mL, 13 mmol, 1.3 equiv) was added, and then activated zinc powder (1.95 g, 30 mmol) was added portionwise over 10 min. The reaction mixture was allowed to warm to room temperature and stirred until TLC analysis showed that aldehyde was completely consumed. After quenched with saturated aqueous solution of $NH_4Cl$ (20 mL), the mixture was then extracted with EtOAc (3 × 50 mL). The combined organic phases were washed with $H_2O$ (3 × 50 mL), dried over anhydrous $Na_2SO_4$, concentrated *in vacu*o, and the residue was purified by flash column chromatography to give the desired **S-1**.

**Procedure B:** Following reported procedure,[2] a solution of *p*-toluenethiol (1.61 g, 13 mmol) and acetic acid (0.8 mL, 13 mmol, 1.0 equiv) was cooled to –40 °C. Sulfuryl chloride (2.3 mL, 28.6 mmol, 2.2 equiv) was added dropwise to the frozen mixture, the reaction mixture was stirred for 30 minutes at –40 °C, and then slowly warmed to room temperature and stirred for a further 3 hours. The solution was concentrated under reduced pressure (without warming because of explosion risks) to give the desired sulfinyl chloride **S-2** in quantitative yield, which was used directly without purification.

**Procedure C:** Following reported procedure,[2] under $N_2$ atmosphere, freshly prepared sulfinyl chloride **S-2** (13 mmol, 1.3 equiv) was diluted in THF and the solution was cooled to –78 °C. A solution of the appropriate propargylic alcohol **S-1** (10 mmol) and diisopropylethylamine (2.3 mL, 13 mmol, 1.3 equiv) in THF was then added dropwise. After stirring at –78 °C for 2 hours, the reaction was quenched with $H_2O$ (20 mL) and extracted with EtOAc (3 × 50 mL). The combined organic phases were washed with $H_2O$ (3 × 50 mL), dried over anhydrous $Na_2SO_4$, concentrated *in vacuo*, and the residue was purified by flash column chromatography to give the desired **S-3**.

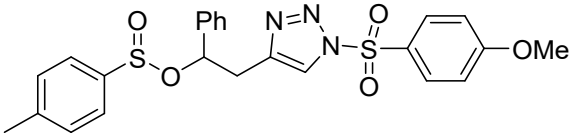
**Procedure D:** Following reported procedure,[3] under $N_2$ atmosphere, dry toluene (4 mL) was added to a flask charged with copper (I) thiophene-2-carboxylate (CuTc, 38 mg, 0.2 mmol, 10 mol%) and alkyne **S-3** (2 mmol, 1.0 equiv). The reaction mixture was cooled in an ice-water bath. Subsequently, sulfonyl azide (2.4 mmol, 1.2 equiv) was added slowly as the limiting reagent to avoid a run-away exotherm, and the reaction mixture was allowed to warm to room temperature and stirred until TLC analysis showed that alkyne was completely consumed. The reaction mixture was filtered through a short plug of silica gel, and the filtrate was concentrated and then purified by flash chromatography to give the desired triazole **8**.



**8a**

**1-phenyl-2-(1-tosyl-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8a)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 119.4-120.3 ℃, 722.4 mg, yield: 75%, 2.6:1 dr; FT-IR (KBr) ν 3149, 3058, 3024, 1595, 1395, 1195, 1177, 1135, 1018, 971, 810, 701, 670, 589, 543. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.78 (m, 3H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.37 – 7.28 (m, 6H), 7.23 – 7.11 (m, 3H), 7.10 – 7.06 (m, 1H), 5.53 – 5.44 (m, 1H), 3.40 – 3.15 (m, 2H), 2.43 (s, 3H), 2.42 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.97 – 7.78 (m, 3H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.37 – 7.28 (m, 6H), 7.23 – 7.11 (m, 3H), 7.10 – 7.06 (m, 1H), 5.53 – 5.44 (m, 1H), 3.40 – 3.15 (m, 2H), 2.42 (s, 3H), 2.34 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 147.1, 147.0, 143.04, 143.00, 142.7, 142.1, 141.5, 139.4, 139.2, 133.1, 133.0, 130.3, 129.6, 129.3, 128.7, 128.43, 128.40, 128.2, 128.1, 126.5, 126.3, 124.8, 124.5, 122.5, 122.3, 78.7, 77.6, 34.4, 34.1, 21.7, 21.4, 21.3. HRMS (ESI) calcd for $C_{24}H_{24}N_3O_4S_2^+$ $[M + H]^+$ 482.1203, found 482.1206.

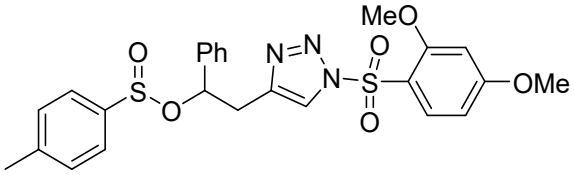


**8b**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-methylbenzenesulfinate (8b)**

Eluent: petroleum ether/ethyl acetate (2:1), yellow solid, m.p.: 97.3-99.0 ℃, 567.2 mg, yield: 57%, 1.4:1 dr; FT-IR (KBr) *v* 3147, 3059, 2956, 1594, 1497, 1393, 1263, 1197, 1172, 1091, 1017, 806, 679, 585, 552. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.96 (m, 2H), 7.89 (s, 1H), 7.48 – 7.44 (m, 1H), 7.36 – 7.28 (m, 5H), 7.22 – 7.18 (m, 1H), 7.17 – 7.13 (m, 1H), 7.11 – 7.06 (m, 1H), 7.03 – 6.98 (m, 2H), 5.51 – 5.44 (m, 1H), 3.87 (s, 3H), 3.40 – 3.28 (m, 1H), 3.27 – 3.17 (m, 1H), 2.43 (s, 3H). minor isomer:

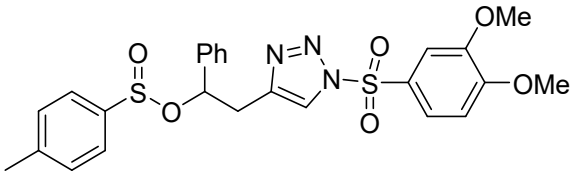
8.03 – 7.96 (m, 2H), 7.76 (s, 1H), 7.48 – 7.44 (m, 1H), 7.36 – 7.28 (m, 5H), 7.22 – 7.18 (m, 1H), 7.17 – 7.13 (m, 1H), 7.11 – 7.06 (m, 1H), 7.03 – 6.98 (m, 2H), 5.51 – 5.44 (m, 1H), 3.87 (s, 3H), 3.40 – 3.28 (m, 1H), 3.27 – 3.17 (m, 1H), 2.35 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 143.1, 143.0, 142.8, 142.2, 141.6, 139.5, 139.3, 131.1, 131.0, 129.7, 129.4, 128.7, 128.3, 128.2, 127.2, 127.1, 126.6, 126.4, 124.9, 124.6, 122.4, 122.2, 115.0, 78.8, 77.7, 55.9, 34.5, 34.2, 21.5, 21.4. HRMS (ESI) calcd for $C_{24}H_{24}N_3O_5S_2^+$ $[M + H]^+$ 498.1152, found 498.1148.




**8c**

**2-(1-((2,4-dimethoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-methylbenzenesulfinate (8c)**

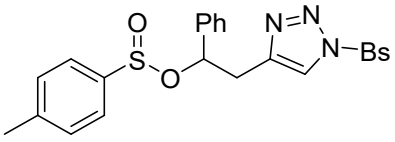
Eluent: petroleum ether/ethyl acetate (1:1), white solid, m.p.: 116.2-117.8 °C, 495.9 mg, yield: 47%, 1.3:1 dr; FT-IR (KBr) *v* 3147, 3019, 2964, 1603, 1573, 1477, 1387, 1214, 1181, 1140, 1080, 1014, 742, 677, 575, 545. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, *J* = 2.9 Hz, 1H), 7.82 (s, 1H), 7.41 – 7.37 (m, 1H), 7.32 – 7.27 (m, 3H), 7.23 – 7.07 (m, 5H), 6.57 – 6.52 (m, 1H), 6.29 (d, *J* = 2.3 Hz, 1H), 5.50 – 5.44 (m, 1H), 3.78 (s, 3H), 3.58 (s, 3H), 3.38 – 3.15 (m, 2H), 2.28 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.04 (d, *J* = 2.9 Hz, 1H), 7.90 (s, 1H), 7.41 – 7.37 (m, 1H), 7.32 – 7.27 (m, 3H), 7.23 – 7.07 (m, 5H), 6.57 – 6.52 (m, 1H), 6.31 (d, *J* = 2.2 Hz, 1H), 5.50 – 5.44 (m, 1H), 3.78 (s, 3H), 3.59 (s, 3H), 3.38 – 3.15 (m, 2H), 2.34 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 167.4, 167.3, 159.6, 159.5, 143.0, 142.8, 142.2, 141.9, 141.7, 139.5, 139.3, 133.8, 133.7, 129.7, 129.4, 128.7, 128.3, 128.2, 126.8, 126.6, 124.8, 124.7, 123.5, 123.4, 115.5, 115.4, 105.4, 99.4, 78.6, 78.1, 56.19, 56.16, 55.9, 34.3, 34.0, 21.5, 21.4. HRMS (ESI) calcd for $C_{25}H_{26}N_3O_6S_2^+$ $[M + H]^+$ 528.1258, found 528.1253.



**8d**

**2-(1-((3,4-dimethoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-methylbenzenesulfinate (8d)**

Eluent: petroleum ether/ethyl acetate (1:1), yellow solid, m.p.: 120.9-122.1 ℃, 474.8 mg, yield: 45%, 1.3:1 dr; FT-IR (KBr) *v* 3158, 3052, 2923, 1586, 1517, 1459, 1415, 1393, 1274, 1241, 1197, 1169, 1144, 1015, 971, 852, 744, 700, 623, 576, 551. major isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.74 (s, 1H), 7.67 – 7.60 (m, 1H), 7.43 – 7.34 (m, 2H), 7.29 – 7.18 (m, 4H), 7.12 – 7.04 (m, 3H), 7.01 – 6.97 (m, 1H), 6.91 – 6.86 (m, 1H), 5.43 – 5.37 (m, 1H), 3.86 (s, 3H), 3.84 (s, 3H), 3.33 – 3.10 (m, 2H), 2.27 (s, 3H). minor isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.87 (s, 1H), 7.67 – 7.60 (m, 1H), 7.43 – 7.34 (m, 2H), 7.29 – 7.18 (m, 4H), 7.12 – 7.04 (m, 3H), 7.01 – 6.97 (m, 1H), 6.91 – 6.86 (m, 1H), 5.43 – 5.37 (m, 1H), 3.87 (s, 3H), 3.87 (s, 3H), 3.33 – 3.10 (m, 2H), 2.34 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 155.00, 154.95, 149.5, 143.1, 143.0, 142.8, 142.2, 141.4, 139.5, 139.3, 129.7, 129.3, 128.7, 128.3, 128.1, 127.1, 127.0, 126.5, 126.4, 124.9, 124.6, 123.4, 123.3, 122.5, 122.2, 110.8, 110.3, 110.2, 79.0, 77.4, 56.3, 34.5, 34.2, 21.5, 21.4. HRMS (ESI) calcd for $C_{25}H_{26}N_3O_6S_2$+ [M + H]+ 528.1258, found 528.1253

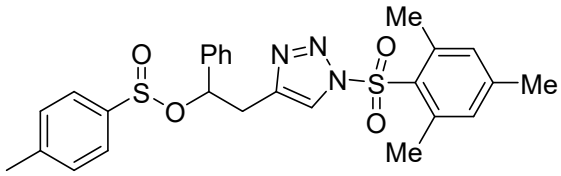


**8e**

**2-(1-((4-bromophenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-methylbenzenesulfinate (8e)**

Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, m.p.: 150.2-151.9 ℃, 590.2 mg, yield: 54%, 1.4:1 dr; FT-IR (KBr) *v* 3144, 3086, 3052, 2917, 1714, 1600, 1568,

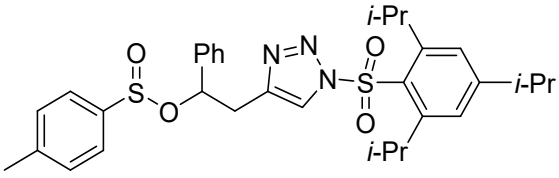
1495, 1474, 1456, 1401, 1352, 1247, 1133, 1073, 1013, 817, 694, 621. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.98 – 7.80 (m, 3H), 7.73 – 7.69 (m, 2H), 7.46 – 7.42 (m, 1H), 7.37 – 7.29 (m, 5H), 7.20 – 7.04 (m, 3H), 5.52 – 5.42 (m, 1H), 3.42 – 3.28 (m, 1H), 3.27 – 3.18 (m, 1H), 2.43 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.98 – 7.80 (m, 3H), 7.73 – 7.69 (m, 2H), 7.46 – 7.42 (m, 1H), 7.37 – 7.29 (m, 5H), 7.20 – 7.04 (m, 3H), 5.52 – 5.42 (m, 1H), 3.42 – 3.28 (m, 1H), 3.27 – 3.18 (m, 1H), 2.35 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 143.5, 143.4, 143.1, 142.9, 142.2, 141.3, 139.4, 139.2, 135.2, 135.1, 133.1, 131.3, 131.2, 129.9, 129.8, 129.7, 129.3, 128.8, 128.3, 128.2, 126.5, 126.4, 124.9, 124.6, 122.8, 122.5, 79.0, 34.4, 34.2, 21.5, 21.4. HRMS (ESI) calcd for $C_{23}H_{21}BrN_3O_4S_2^+$ $[M + H]^+$ 546.0151, found 546.0155.




**8f**

**2-(1-(mesitylsulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-methylbenzenesulfinate (8f)**

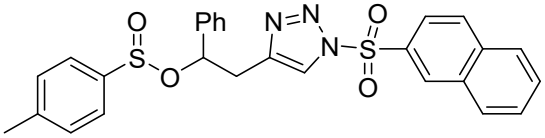
Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, m.p.: 130.1-131.9 ℃, 591.1 mg, yield: 58%, 1.5:1 dr; FT-IR (KBr) *v* 3140, 3026, 2949, 2905, 1604, 1454, 1379, 1195, 1176, 1133, 1013, 975, 812, 702, 660, 595, 533. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.78 (s, 1H), 7.49 – 7.45 (m, 1H), 7.40 –7.28 (m, 4H), 7.22 –7.08 (m, 4H), 7.01 – 6.98 (m, 2H), 5.54 – 5.48 (m, 1H), 3.45 – 3.20 (m, 2H), 2.63 – 2.58 (m, 6H), 2.43 – 2.30 (m, 6H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.91 (s, 1H), 7.49 – 7.45 (m, 1H), 7.40 –7.28 (m, 4H), 7.22 –7.08 (m, 4H), 7.01 – 6.98 (m, 2H), 5.54 – 5.48 (m, 1H), 3.45 – 3.20 (m, 2H), 2.63 – 2.58 (m, 6H), 2.43 – 2.30 (m, 6H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 145.94, 145.87, 143.0, 142.8, 142.24, 142.21, 142.15, 141.8, 141.6, 139.4, 139.2, 132.6, 129.7, 129.4, 128.7, 128.3, 128.2, 126.7, 126.5, 124.9, 124.6, 122.0, 121.9, 78.6, 77.7, 34.4, 34.1, 23.01, 22.97, 21.5, 21.4, 21.2. HRMS (ESI) calcd for $C_{26}H_{28}N_3O_4S_2^+$ $[M + H]^+$ 510.1516, found 510.1521.



**8g**

**1-phenyl-2-(1-((2,4,6-triisopropylphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8g)**

Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, 475.0 mg, m.p.: 121.2-122.5 ℃, yield: 40%, 2.2:1 dr; FT-IR (KBr) *v* 3149, 2963, 2865, 1604, 1563, 1547, 1464, 1433, 1387, 1188, 1136, 1015, 811, 699, 671, 583, 552. major isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.80 (s, 1H), 7.53 – 7.39 (m, 2H), 7.36 – 7.30 (m, 2H), 7.24 – 7.10 (m, 7H), 5.58 – 5.50 (m, 1H), 4.14 – 4.00 (m, 2H), 3.46 – 3.22 (m, 2H), 2.98 – 2.85 (m, 1H), 2.36 (s, 3H), 1.28 – 1.13 (m, 18H). minor isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.88 (s, 1H), 7.53 – 7.39 (m, 2H), 7.36 – 7.30 (m, 2H), 7.24 – 7.10 (m, 7H), 5.58 – 5.50 (m, 1H), 4.14 – 4.00 (m, 2H), 3.46 – 3.22 (m, 2H), 2.98 – 2.85 (m, 1H), 2.42 (s, 3H), 1.28 – 1.13 (m, 18H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 156.1, 156.0, 152.90, 152.86, 143.0, 142.8, 142.6, 142.5, 142.2, 141.7, 139.3, 139.2, 129.7, 129.5, 128.8, 128.7, 128.3, 128.2, 126.8, 126.6, 124.9, 124.7, 124.60, 124.58, 121.2, 121.1, 78.4, 77.8, 34.4, 34.3, 34.1, 29.94, 29.90, 24.51, 24.49, 23.3, 21.5, 21.4. HRMS (ESI) calcd for $C_{32}H_{40}N_3O_4S_2^+$ $[M + H]^+$ 594.2455, found 594.2451.

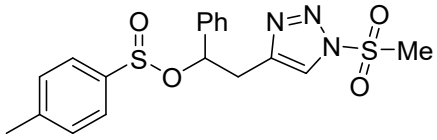


**8h**

**2-(1-(naphthalen-2-ylsulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-methylbenzenesulfinate (8h)**

Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, m.p.: 128.5-129.3 ℃, 610.8 mg, yield: 59%, 1.3:1 dr; FT-IR (KBr) *v* 3144, 3066, 3011, 1391, 1181, 1140, 1081, 1011, 968, 857, 806, 747, 698, 669, 641, 580, 544. major isomer: $^{1}$H NMR (400 MHz,

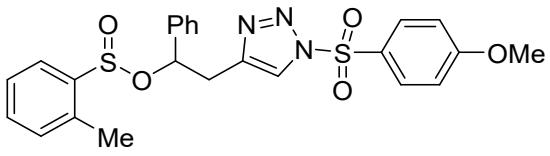
$CDCl_3$) δ 8.71 – 8.67 (m, 1H), 8.01 – 7.85 (m, 5H), 7.73 – 7.61 (m, 2H), 7.44 – 7.40 (m, 1H), 7.32 – 7.24 (m, 4H), 7.16 – 7.03 (m, 4H), 5.51 – 5.44 (m, 1H), 3.40 – 3.28 (m, 1H), 3.27 – 3.16 (m, 1H), 2.31 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.71 – 8.67 (m, 1H), 8.01 – 7.85 (m, 5H), 7.73 – 7.61 (m, 2H), 7.44 – 7.40 (m, 1H), 7.32 – 7.24 (m, 4H), 7.16 – 7.03 (m, 4H), 5.51 – 5.44 (m, 1H), 3.40 – 3.28 (m, 1H), 3.27 – 3.16 (m, 1H), 2.40 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 143.24, 143.20, 143.0, 142.8, 142.1, 141.5, 139.4, 139.2, 135.8, 132.9, 132.8, 131.80, 131.77, 131.0, 130.4, 130.3, 130.14, 130.12, 129.73, 129.70, 129.6, 129.3, 128.7, 128.24, 128.19, 128.1, 128.0, 126.5, 126.4, 124.8, 124.6, 122.7, 122.5, 122.1, 122.0, 78.7, 77.5, 34.4, 34.2, 21.5, 21.4. HRMS (ESI) calcd for $C_{27}H_{24}N_3O_4S_2^+$ $[M + H]^+$ 518.1203, found 518.1197.




**8i**

**2-(1-(methylsulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl4-methylbenzenesulfinate (8i)**

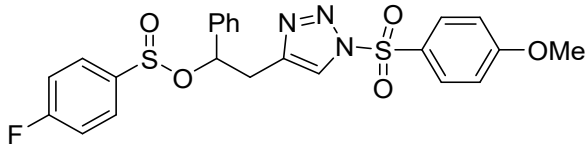
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 81.2-83.3 ℃, 429.8 mg, yield: 53%, 1.4:1 dr; FT-IR (KBr) *v* 3156, 3064, 3036, 2929, 1733, 1705, 1598, 1557, 1498, 1450, 1381, 1327, 1187, 1130, 1082, 957, 880, 701, 640, 561, 515. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.84 (s, 1H), 7.46 – 7.20 (m, 7H), 7.12 (d, $J$ = 7.9 Hz, 1H), 7.09 – 7.05 (m, 1H), 5.41 (dd, $J_1$ = 8.8 Hz, $J_2$ = 4.7 Hz, 1H), 3.47 (s, 3H), 3.42 – 3.24 (m, 2H), 2.34 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.46 – 7.20 (m, 7H), 7.12 (d, $J$ = 7.9 Hz, 1H), 7.09 – 7.05 (m, 1H), 5.55 (dd, $J_1$ = 8.1 Hz, $J_2$ = 5.3 Hz, 1H), 3.48 (s, 3H), 3.42 – 3.24 (m, 2H), 2.42 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 143.4, 143.2, 143.1, 142.9, 142.3, 141.1, 139.5, 139.3, 129.7, 129.3, 128.9, 128.3, 128.2, 126.4, 126.3, 125.0, 124.6, 123.0, 122.6, 80.1, 77.0, 42.69, 42.66, 34.4, 34.2, 21.5, 21.4. HRMS (ESI) calcd for $C_{18}H_{20}N_3O_4S_2^+$ $[M + H]^+$ 406.0890, found 406.0896.



**8j**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 2-methylbenzenesulfinate (8j)**

Eluent: petroleum ether/ethyl acetate (2:1), yellow solid, m.p.: 131.7-132.3 ℃, 487.6 mg, yield: 49%, 1:1 dr; FT-IR (KBr) *v* 3156, 3062, 2926, 2842, 1597, 1574, 1501, 1466, 1390, 1314, 1271, 1198, 1170, 1092, 1018, 973, 847, 738, 685, 589. major isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.88 (m, 2H), 7.83 – 7.72 (m, 2H), 7.40 – 7.31 (m, 1H), 7.30 – 7.17 (m, 4H), 7.11 – 6.99 (m, 2H), 6.96 – 6.90 (m, 2H), 6.85 – 6.78 (m, 1H), 5.38 (dd, $J_1$ = 8.4 Hz, $J_2$ = 5.2 Hz, 1H), 3.79 (s, 3H), 3.35 – 3.22 (m, 1H), 3.14 (d, $J$ = 5.5 Hz, 1H), 2.06 (s, 3H). minor isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.88 (m, 2H), 7.83 – 7.72 (m, 2H), 7.40 – 7.31 (m, 1H), 7.30 – 7.17 (m, 4H), 7.11 – 6.99 (m, 2H), 6.96 – 6.90 (m, 2H), 6.85 – 6.78 (m, 1H), 5.31 (dd, $J_1$ = 8.1 Hz, $J_2$ = 5.7 Hz, 1H), 3.79 (s, 3H), 3.35 – 3.22 (m, 1H), 3.11 (d, $J$ = 5.5 Hz, 1H), 2.02 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.1, 143.0, 142.9, 142.8, 141.8, 139.1, 138.6, 136.6, 136.1, 132.3, 132.1, 131.1, 131.0, 130.8, 128.9, 128.7, 128.2, 128.1, 127.1, 127.0, 126.7, 126.5, 126.4, 126.1, 124.0, 123.3, 122.3, 122.2, 114.9, 78.5, 75.7, 55.9, 34.4, 33.8, 17.5. HRMS (ESI) calcd for $C_{24}H_{24}N_3O_5S_2^+$ $[M + H]^+$ 498.1152, found 498.1147.

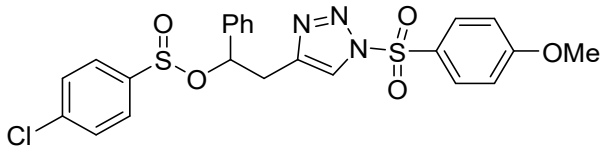


**8k**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-fluorobenzenesulfinate (8k)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 107.4-109.1 ℃, 401.2 mg, yield: 40%, 1.6:1 dr; FT-IR (KBr) *v* 3147, 3088, 3052, 2920, 1599, 1500, 1389, 1273, 1228, 1200, 1172, 1141, 1090, 1016, 832, 810, 699, 586, 552. major isomer: $^{1}$H

NMR (400 MHz, $CDCl_3$) δ 7.95 – 7.88 (m, 2H), 7.72 (s, 1H), 7.50 – 7.32 (m, 2H), 7.30 – 7.06 (m, 5H), 7.02 – 6.87 (m, 4H), 5.48 – 5.38 (m, 1H), 3.79 (s, 3H), 3.34 – 3.24 (m, 1H), 3.20 – 3.10 (m, 1H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.95 – 7.88 (m, 2H), 7.81 (s, 1H), 7.50 – 7.32 (m, 2H), 7.30 – 7.06 (m, 5H), 7.02 – 6.87 (m, 4H), 5.48 – 5.38 (m, 1H), 3.79 (s, 3H), 3.34 – 3.24 (m, 1H), 3.20 – 3.10 (m, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 164.9 (d, $J$ = 253.4 Hz), 164.8 (d, $J$ = 253.0 Hz), 142.9, 142.8, 140.9 (d, $J$ = 2.8 Hz), 140.1 (d, $J$ = 0.6 Hz), 139.1, 139.0, 134.3, 133.2, 131.04, 131.02, 128.9, 128.8, 128.38, 128.35, 127.4 (d, $J$ = 9.2 Hz), 127.1 (d, $J$ = 9.2 Hz), 126.9, 126.8, 126.5, 126.3, 122.3, 122.2, 116.3 (d, $J$ = 22.6 Hz), 115.9 (d, $J$ = 22.6 Hz), 115.0, 114.9, 79.3, 77.6, 55.9, 34.4, 34.1. HRMS (ESI) calcd for $C_{23}H_{21}FN_3O_5S_2^+$ $[M + H]^+$ 502.0901, found 502.0904.

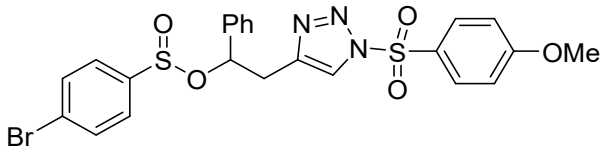



**8l**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-chlorobenzenesulfinate (8l)**

Eluent: petroleum ether/ethyl acetate (2:1), yellow solid, m.p.: 129.1-130.2 ℃, 735.6 mg, yield: 71%, 1:1 dr; FT-IR (KBr) *v* 3147, 3095, 3074, 2951, 2852, 1600, 1573, 1495, 1474, 1385, 1273, 1205, 1092, 967, 836, 802, 745, 674, 575, 554, 507. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.88 (m, 2H), 7.80 (s, 1H), 7.42 – 7.35 (m, 2H), 7.30 – 7.10 (m, 6H), 7.01 – 6.97 (m, 1H), 6.95 – 6.91 (m, 2H), 5.46 – 5.39 (m, 1H), 3.79 (s, 3H), 3.33 – 3.24 (m, 1H), 3.19 – 3.16 (m, 1H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.88 (m, 2H), 7.72 (s, 1H), 7.42 – 7.35 (m, 2H), 7.30 – 7.10 (m, 6H), 7.01 – 6.97 (m, 1H), 6.95 – 6.91 (m, 2H), 5.46 – 5.39 (m, 1H), 3.79 (s, 3H), 3.33 – 3.24 (m, 1H), 3.15 – 3.12 (m, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.22, 165.16, 143.6, 142.9, 142.81, 142.75, 139.0, 138.9, 138.6, 138.4, 131.0, 129.3, 128.92, 128.87, 128.8, 128.4, 127.0, 126.8, 126.5, 126.40, 126.36, 126.1, 122.3, 122.1, 115.0, 114.9,

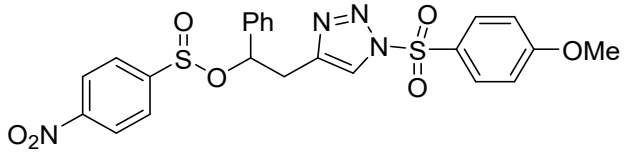
79.6, 77.8, 55.9, 34.3, 34.1. HRMS (ESI) calcd for $C_{23}H_{21}ClN_3O_5S_2^+$ $[M + H]^+$ 518.0606, found 518.0603.




**8m**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-bromobenzenesulfinate (8m)**

Eluent: petroleum ether/ethyl acetate (2:1), yellow solid, m.p.: 113.2-115.1 ℃, 888.7 mg, yield: 79%, 1.4:1 dr; m FT-IR (KBr) *v* 3140, 3044, 2982, 1590, 1397, 1275, 1201, 1167, 1021, 971, 876, 675. ajor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.97 (m, 2H), 7.80 (s, 1H), 7.65 – 7.61 (m, 1H), 7.46 – 7.35 (m, 3H), 7.31 – 7.26 (m, 2H), 7.25 – 7.17 (m, 2H), 7.09 – 7.05 (m, 1H), 7.04 – 6.99 (m, 2H), 5.54 – 5.46 (m, 1H), 3.88 (s, 3H), 3.41 – 3.32 (m, 1H), 3.25 (d, *J* = 4.8 Hz, 1H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.97 (m, 2H), 7.88 (s, 1H), 7.65 – 7.61 (m, 1H), 7.46 – 7.35 (m, 3H), 7.31 – 7.26 (m, 2H), 7.25 – 7.17 (m, 2H), 7.09 – 7.05 (m, 1H), 7.04 – 6.99 (m, 2H), 5.54 – 5.46 (m, 1H), 3.88 (s, 3H), 3.41 – 3.32 (m, 1H), 3.22 (d, *J* = 4.9 Hz, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.23, 165.17, 144.2, 143.4, 142.81, 142.75, 139.0, 138.9, 132.2, 131.8, 131.04, 131.03, 128.9, 128.8, 128.41, 128.37, 127.1, 127.0, 126.9, 126.8, 126.6, 126.4, 126.3, 122.3, 122.1, 115.0, 114.9, 79.6, 77.8, 55.9, 34.3, 34.1. HRMS (ESI) calcd for $C_{23}H_{21}BrN_3O_5S_2^+$ $[M + H]^+$ 562.0101, found 562.0103.

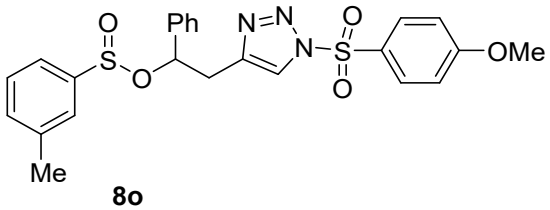



**8n**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 4-nitrobenzenesulfinate (8n)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 142.7-143.8 ℃, 591.9 mg, yield: 56%, 1.8:1 dr; FT-IR (KBr) *v* 3100, 3066, 3026, 1609, 1530, 1355, 1314,

1275, 1157, 932, 855, 768, 743, 713, 610, 524. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.31 (d, *J* = 8.7 Hz, 2H), 8.01 (d, *J* = 2.8 Hz, 2H), 7.85 (s, 1H), 7.70 (d, *J* = 8.7 Hz, 2H), 7.42 – 7.38 (m, 1H), 7.33 – 7.29 (m, 1H), 7.28 – 7.15 (m, 2H), 7.11 – 7.07 (m, 1H), 7.05 – 7.00 (m, 2H), 5.63 – 5.53 (m, 1H), 3.89 (s, 3H), 3.37 (d, *J* = 8.6 Hz, 1H), 3.27 (d, *J* = 5.0 Hz, 1H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.13 (d, *J* = 8.7 Hz, 2H), 7.99 (d, *J* = 2.8 Hz, 2H), 7.80 (s, 1H), 7.62 (d, *J* = 8.7 Hz, 2H), 7.42 – 7.38 (m, 1H), 7.33 – 7.29 (m, 1H), 7.28 – 7.15 (m, 2H), 7.11 – 7.07 (m, 1H), 7.05 – 7.00 (m, 2H), 5.63 – 5.53 (m, 1H), 3.89 (s, 3H), 3.41 (d, *J* = 8.5 Hz, 1H), 3.23 (d, *J* = 5.0 Hz, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.33, 165.27, 151.3, 150.6, 150.0, 149.8, 142.6, 142.5, 138.7, 138.6, 131.10, 131.07, 129.2, 129.0, 128.7, 128.5, 126.9, 126.8, 126.6, 126.4, 126.3, 126.1, 124.2, 123.7, 122.2, 122.1, 115.00, 114.97, 80.6, 78.6, 55.9, 34.2, 34.0. HRMS (ESI) calcd for $C_{23}H_{21}N_4O_7S_2^+$ $[M + H]^+$ 529.0846, found 529.0854.

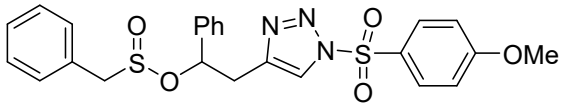



**8o**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl 3-methylbenzenesulfinate (8o)**

Eluent: petroleum ether/ethyl acetate (2:1), yellow solid, m.p.: 108.1-109.3 ℃, 736.4 mg, yield: 74%, 1.4:1 dr; FT-IR (KBr) *v*. 2989, 2949, 2894, 1733, 1376, 1248, 1166, 1054, 836, 664, 587. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.96 (m, 2H), 7.81 (s, 1H), 7.50 – 7.42 (m, 1H), 7.38 – 7.16 (m, 7H), 7.08 – 7.04 (m, 1H), 7.03 – 6.98 (m, 2H), 5.52 – 5.46 (m, 1H), 3.89 – 3.87 (m, 3H), 3.42 – 3.32 (m, 1H), 327 – 3.19 (m, 1H), 2.27 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.96 (m, 2H), 7.89 (s, 1H), 7.50 – 7.42 (m, 1H), 7.38 – 7.16 (m, 7H), 7.08 – 7.04 (m, 1H), 7.03 – 6.98 (m, 2H), 5.52 – 5.46 (m, 1H), 3.89 – 3.87 (m, 3H), 3.42 – 3.32 (m, 1H), 327 – 3.19 (m, 1H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.20, 165.16, 143.4, 142.9, 142.8, 142.6, 139.1, 138.8, 138.5, 137.5, 137.0, 131.1, 131.0, 129.7, 129.3, 128.9, 128.8, 128.31, 128.28, 127.3, 127.0, 126.9, 126.8, 126.6, 126.4, 123.6, 123.4, 122.4, 122.1,

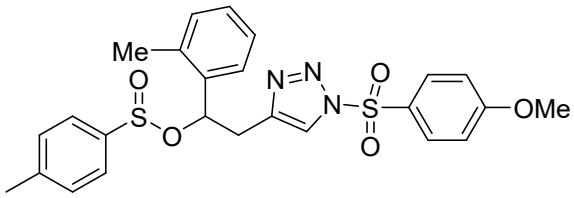
114.9, 79.5, 77.4, 55.9, 34.4, 34.1, 20.2, 19.9. HRMS (ESI) calcd for $C_{24}H_{24}N_3O_5S_2^+$ $[M + H]^+$ 498.1152, found 498.1155.




**8p**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-phenylethyl phenylmethanesulfinate (8p)**

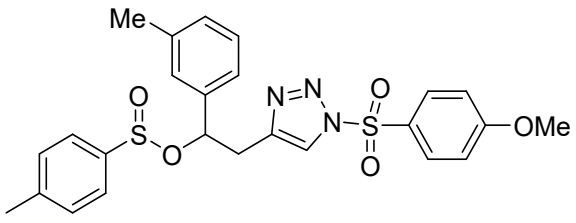
Eluent: petroleum ether/ethyl acetate (2:1), yellow oil, 348.3 mg, yield: 35%, 1.9:1 dr; FT-IR (KBr) *v* 3151, 3063, 3044, 2923, 1494, 1459, 1391, 1204, 1178, 1131, 1015, 970, 807, 791, 697, 628, 531. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, $J$ = 9.1 Hz, 2H), 7.78 (s, 1H), 7.36 – 7.31 (m, 3H), 7.25 – 7.18 (m, 5H), 7.00 (d, $J$ = 9.1 Hz, 2H), 6.96 (d, $J$ = 7.1 Hz, 2H), 5.33 (dd, $J_1$ = 8.0 Hz, $J_2$ = 5.0 Hz, 1H), 3.99 (s, 2H), 3.87 (s, 3H), 3.34 (d, $J$ = 8.0 Hz, 1H), 3.26 (d, $J$ = 5.1 Hz, 1H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, $J$ = 9.1 Hz, 2H), 7.78 (s, 1H), 7.36 – 7.31 (m, 3H), 7.25 – 7.18 (m, 5H), 7.00 (d, $J$ = 9.1 Hz, 2H), 6.96 (d, $J$ = 7.1 Hz, 2H), 5.33 (dd, $J_1$ = 8.0 Hz, $J_2$ = 5.0 Hz, 1H), 3.99 (s, 2H), 3.87 (s, 3H), 3.38 (d, $J$ = 8.0 Hz, 1H), 3.22 (d, $J$ = 5.0 Hz, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 165.1, 142.8, 142.7, 138.9, 138.6, 131.04, 130.95, 130.5, 130.3, 128.8, 128.7, 128.62, 128.58, 128.3, 127.1, 126.5, 126.4, 122.3, 122.0, 114.9, 80.0, 79.5, 64.5, 64.3, 55.9, 34.2, 33.8. HRMS (ESI) calcd for $C_{24}H_{24}N_3O_5S_2^+$ $[M + H]^+$ 498.1152, found 498.1152, found 498.1150.




**8q**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-(o-tolyl)ethyl 4-methylbenzenesulfinate (8q)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 110.4-111.6 ℃, 839.0 mg, yield: 82%, 1.8:1 dr; FT-IR (KBr) *v* 3136, 3052, 3022, 2967, 1598, 1500, 1393, 1272, 1201, 1172, 1015, 805, 675, 595, 556.major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.96 (m, 2H), 7.76 (s, 1H), 7.49 – 7.20 (m, 5H), 7.14 – 7.08 (m, 3H), 7.04 – 6.97 (m, 2H), 5.70 – 5.62 (m, 1H), 3.87 (s, 3H), 3.38 – 3.23 (m, 1H), 3.21 – 3.12 (m, 1H), 2.33 (s, 3H), 1.94 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.96 (m, 2H), 7.87 (s, 1H), 7.49 – 7.20 (m, 5H), 7.14 – 7.08 (m, 3H), 7.04 – 6.97 (m, 2H), 5.70 – 5.62 (m, 1H), 3.87 (s, 3H), 3.38 – 3.23 (m, 1H), 3.21 – 3.12 (m, 1H), 2.43 (s, 3H), 2.26 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 143.1, 143.0, 142.7, 142.2, 141.4, 137.8, 137.5, 134.8, 134.2, 131.02, 131.00, 130.6, 130.0, 129.7, 129.3, 128.4, 127.9, 127.13, 127.05, 126.6, 126.5, 126.3, 126.1, 124.8, 124.5, 122.4, 122.2, 114.9, 75.3, 73.8, 55.9, 33.9, 33.5, 21.5, 21.4, 19.1, 18.7. HRMS (ESI) calcd for $C_{25}H_{26}N_3O_5S_2^+$ $[M + H]^+$ 512.1308, found 512.1312.

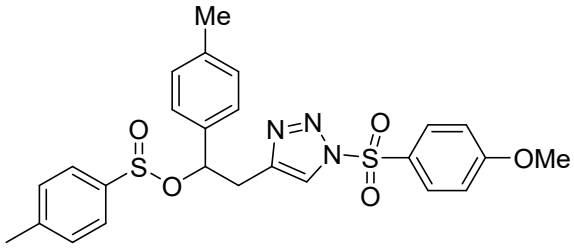



**8r**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-(m-tolyl)ethyl 4-methylbenzenesulfinate (8r)**

Eluent: petroleum ether/ethyl acetate (2:1), yellow solid, m.p.: 122.3-124.1 ℃, 920.9 mg, yield: 90%, 1.4:1 dr; FT-IR (KBr) *v* 3147, 3052, 2938, 2916, 1597, 1577, 1498, 1396, 1274, 1195, 1133, 1088, 971, 839, 810, 738, 678, 579, 551. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.96 (m, 2H), 7.79 (s, 1H), 7.48 – 7.44 (m, 1H), 7.35 – 7.28 (m, 2H), 7.17 – 7.07 (m, 3H), 7.04 – 6.98 (m, 3H), 6.94 – 6.82 (m, 1H), 5.46 – 5.40 (m, 1H), 3.86 (s, 3H), 3.40 – 3.16 (m, 2H), 2.36 (s, 3H), 2.23 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.96 (m, 2H), 7.91 (s, 1H), 7.48 – 7.44 (m, 1H), 7.35 – 7.28 (m, 2H), 7.17 – 7.07 (m, 3H), 7.04 – 6.98 (m, 3H), 6.94 – 6.82 (m, 1H), 5.46 – 5.40 (m, 1H), 3.87 (s, 3H), 3.40 – 3.16 (m, 2H), 2.43 (s, 3H), 2.34 (s, 3H). $^{13}$C

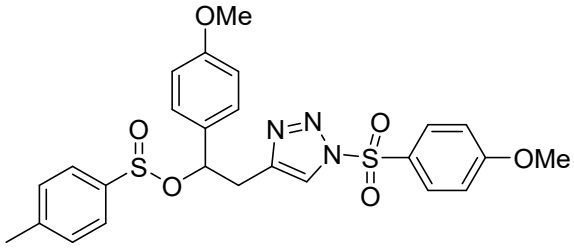
NMR (100 MHz, $CDCl_3$) δ 165.14, 165.10, 143.1, 143.0, 142.7, 142.2, 141.5, 139.3, 139.1, 138.4, 137.9, 131.0, 130.9, 129.6, 129.4, 129.3, 128.9, 128.6, 128.2, 127.3, 127.2, 127.1, 126.9, 124.8, 124.6, 123.5, 123.4, 122.4, 122.2, 114.9, 78.8, 77.7, 55.8, 34.3, 34.1, 21.5, 21.4, 21.2. HRMS (ESI) calcd for $C_{25}H_{26}N_3O_5S_2^+$ $[M + H]^+$ 512.1308, found 512.1299.




**8s**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-(p-tolyl)ethyl 4-methylbenzenesulfinate (8s)**

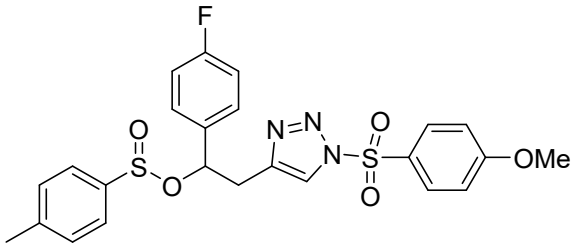
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 149.3-150.5 ℃, 583.2 mg, yield: 57%, 1:1 dr; FT-IR (KBr) *v* 3136, 3052, 3019, 2967, 1594, 1498, 1396, 1275, 1197, 1173, 1009, 839, 800, 672, 588, 554. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.95 (m, 2H), 7.91 (s, 1H), 7.47 – 7.44 (m, 1H), 7.36 – 7.28 (m, 2H), 7.19 – 7.15 (m, 3H), 7.04 – 6.99 (m, 4H), 5.48 – 5.41 (m, 1H), 3.87 (s, 3H), 3.40 – 3.28 (m, 1H), 3.27 – 3.16 (m, 1H), 2.43 (s, 3H), 2.36 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.95 (m, 2H), 7.76 (s, 1H), 7.47 – 7.44 (m, 1H), 7.36 – 7.28 (m, 2H), 7.19 – 7.15 (m, 3H), 7.04 – 6.99 (m, 4H), 5.48 – 5.41 (m, 1H), 3.87 (s, 3H), 3.40 – 3.28 (m, 1H), 3.27 – 3.16 (m, 1H), 2.37 (s, 3H), 2.30 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 165.1, 143.14, 143.11, 143.0, 142.8, 142.2, 141.7, 138.6, 138.1, 136.4, 136.2, 131.04, 131.01, 129.7, 129.43, 129.40, 129.0, 127.1, 127.0, 126.6, 126.4, 124.8, 124.6, 122.4, 122.2, 114.9, 78.8, 78.3, 55.9, 34.4, 34.1, 21.5, 21.4, 21.2, 21.1. HRMS (ESI) calcd for $C_{25}H_{26}N_3O_5S_2^+$ $[M + H]^+$ 512.1308, found 512.1305.




**8t**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-(m-tolyl)ethyl 4-methylbenzenesulfinate (8t)**

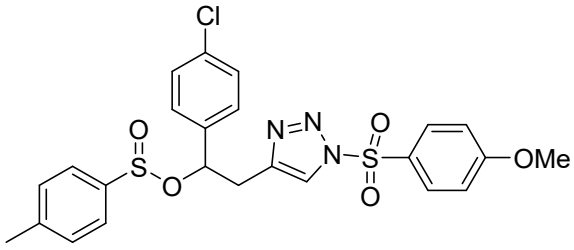
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 150.0-151.1 ℃, 601.5 mg, yield: 57%, 1:1 dr; FT-IR (KBr) *v* 3136, 2956, 2920, 2831, 1594, 1511, 1391, 1271, 1256, 1199, 1139, 1014, 972, 834, 804, 714, 679, 592, 549. major isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.99 (t, *J* = 8.8 Hz, 2H), 7.89 (s, 1H), 7.45 (d, *J* = 7.9 Hz, 1H), 7.38 – 7.15 (m, 5H), 7.05 – 6.98 (m, 2H), 6.88 (d, *J* = 8.2 Hz, 1H), 6.73 (d, *J* = 8.2 Hz, 1H), 5.48 – 5.40 (m, 1H), 3.88 (s, 3H), 3.82 (s, 3H), 3.42 – 3.16 (m, 2H), 2.42 (s, 3H). minor isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.99 (t, *J* = 8.8 Hz, 2H), 7.75 (s, 1H), 7.45 (d, *J* = 7.9 Hz, 1H), 7.38 – 7.15 (m, 5H), 7.05 – 6.98 (m, 2H), 6.88 (d, *J* = 8.2 Hz, 1H), 6.73 (d, *J* = 8.2 Hz, 1H), 5.48 – 5.40 (m, 1H), 3.77 (s, 3H), 3.82 (s, 3H), 3.42 – 3.16 (m, 2H), 2.37 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.21, 165.17, 159.9, 159.5, 143.2, 143.1, 143.0, 142.7, 142.4, 141.8, 131.4, 131.3, 131.1, 131.0, 129.7, 129.4, 128.1, 127.9, 127.2, 127.1, 124.9, 124.6, 122.4, 122.2, 115.0, 114.1, 113.8, 78.7, 77.9, 55.9, 55.3, 55.2, 34.3, 34.1, 21.5, 21.4. HRMS (ESI) calcd for $C_{25}H_{26}N_3O_6S_2^+$ $[M + H]^+$ 528.1258, found 528.1258.




**8u**

**1-(4-fluorophenyl)-2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8u)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 96.4-98.1 ℃, 886.8 mg, yield: 86%, 1.5:1 dr; FT-IR (KBr) *v* 3147, 3055, 3011, 2920, 1600, 1516, 1385, 1275, 1207, 1183, 1129, 1019, 834, 805, 683, 587, 552. major isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.95 (m, 2H), 7.89 (s, 1H), 7.47 – 7.42 (m, 1H), 7.36 – 7.24 (m, 3H), 7.18 – 7.13 (m, 1H), 7.06 – 6.97 (m, 4H), 6.85 (t, *J* = 8.5 Hz, 1H), 5.53 – 5.43 (m, 1H), 3.87 (s, 3H), 3.38 – 3.12 (m, 2H), 2.42 (s, 3H). minor isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.95 (m, 2H), 7.82 (s, 1H), 7.47 – 7.42 (m, 1H), 7.36 – 7.24 (m, 3H), 7.18 – 7.13 (m, 1H), 7.06 – 6.97 (m, 4H), 6.85 (t, *J* = 8.5 Hz, 1H), 5.53 – 5.43 (m, 1H), 3.87 (s, 3H), 3.38 – 3.12 (m, 2H), 2.36 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 165.1, 162.5 (d, *J* = 247.6 Hz), 162.2 (d, *J* = 247.1 Hz), 143.1, 142.9, 142.8, 142.7, 141.8, 141.3, 135.3 (d, *J* = 3.3 Hz), 135.1 (d, *J* = 3.2 Hz), 130.9, 129.7, 129.3, 128.3 (d, *J* = 8.3 Hz), 128.2 (d, *J* = 8.3 Hz), 126.9, 126.8, 124.8, 124.5, 122.3,122.2, 115.6 (d, *J* = 21.6 Hz), 115.1 (d, *J* = 21.6 Hz), 114.9, 77.7, 76.7, 55.8, 34.4, 34.1, 21.5, 21.3. HRMS (ESI) calcd for $C_{24}H_{23}FN_3O_5S_2^+$ $[M + H]^+$ 516.1058, found 516.1058.

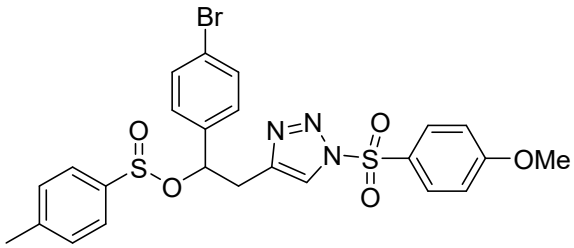



**8v**

**1-(4-chlorophenyl)-2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8v)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 120.3-121.7 ℃, 404.3 mg, yield: 38%, 1.7:1 dr; FT-IR (KBr) *v* 3085, 2916, 2846, 1593, 1387, 1244, 1187, 958, 771, 706, 644, 608, 517. major isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.96 (m, 2H), 7.88 (s, 1H), 7.47 – 7.44 (m, 1H), 7.36 – 7.28 (m, 3H), 7.23 – 7.12 (m, 3H), 7.05 – 6.97 (m, 3H), 5.50 – 5.41 (m, 1H), 3.89 (s, 3H), 3.37 – 3.11 (m, 2H), 2.44 (s, 3H). minor isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.96 (m, 2H), 7.80 (s, 1H), 7.47 – 7.44 (m, 1H), 7.36 – 7.28 (m, 3H), 7.23 – 7.12 (m, 3H), 7.05 – 6.97 (m, 3H), 5.50 – 5.41 (m, 1H), 3.89 (s, 3H), 3.37 – 3.11 (m, 2H), 2.37 (s, 3H). $^{13}$C NMR (100

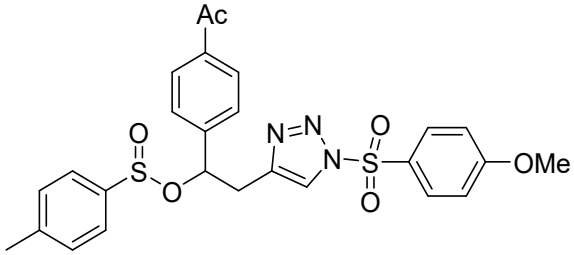
MHz, $CDCl_3$) δ 165.3, 165.2, 143.3, 143.1, 142.7, 142.6, 141.8, 141.3, 138.1, 137.9, 134.4, 134.0, 131.1, 129.8, 129.4, 128.9, 128.5, 127.9, 127.8, 127.0, 126.9, 124.8, 124.6, 122.4, 122.2, 115.0, 77.6, 76.6, 55.9, 34.4, 34.1, 21.6, 21.4. HRMS (ESI) calcd for $C_{24}H_{23}ClN_3O_5S_2^+$ $[M + H]^+$ 532.0762, found 532.0750.




**8w**

**1-(4-bromophenyl)-2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8w)**

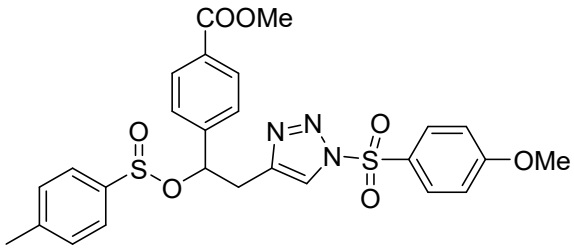
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 138.4-139.1 ℃, 426.6 mg, yield: 37%, 2.2:1 dr; FT-IR (KBr) *v* 3151, 3092, 3044, 2967, 1591, 1502, 1393, 1279, 1192, 1169, 1129, 1014, 839, 801, 677, 585. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.82 (m, 3H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.36 – 7.26 (m, 4H), 7.16 (d, *J* = 8.1 Hz, 2H), 7.04 – 6.99 (m, 2H), 6.93 (d, *J* = 8.3 Hz, 1H), 5.52 – 5.40 (m, 1H), 3.88 (s, 3H), 3.40 – 3.15 (m, 2H), 2.37 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.82 (m, 3H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.36 – 7.26 (m, 4H), 7.16 (d, *J* = 8.1 Hz, 2H), 7.04 – 6.99 (m, 2H), 6.93 (d, *J* = 8.3 Hz, 1H), 5.52 – 5.40 (m, 1H), 3.88 (s, 3H), 3.40 – 3.15 (m, 2H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.23, 165.21, 143.3, 143.1, 141.7, 141.2, 138.6, 138.3, 131.8, 131.3, 131.0, 129.7, 129.4, 128.2, 128.1, 126.9, 126.8, 124.8, 124.5, 122.6, 122.1, 115.0, 77.6, 76.4, 55.9, 34.3, 34.0, 21.5, 21.4. HRMS (ESI) calcd for $C_{24}H_{23}BrN_3O_5S_2^+$ $[M + H]^+$ 576.0257, found 576.0256.




**8x**

**1-(4-acetylphenyl)-2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8x)**

Eluent: petroleum ether/ethyl acetate (2:1), yellow solid, m.p.: 136.7-138.1 ℃, 485.6 mg, yield: 45%, 2.6:1 dr; FT-IR (KBr) *v* 3155, 3088, 3059, 2967, 1719, 1593, 1393, 1282, 1193, 1168, 1114, 1015, 805, 679, 585, 553. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.01 – 7.96 (m, 2H), 7.95 – 7.88 (m, 1H), 7.83 – 7.75 (m, 2H), 7.48 – 7.30 (m, 3H), 7.19 – 7.13 (m, 3H), 7.05 – 7.00 (m, 2H), 5.56 (dd, $J_1$ = 8.0 Hz, $J_2$ = 5.3 Hz, 1H), 3.89 (s, 3H), 3.38 – 3.14 (m, 2H), 2.57 (s, 3H), 2.35 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.01 – 7.96 (m, 2H), 7.95 – 7.88 (m, 1H), 7.83 – 7.75 (m, 2H), 7.48 – 7.30 (m, 3H), 7.19 – 7.13 (m, 3H), 7.05 – 7.00 (m, 2H), 5.50 (dd, $J_1$ = 8.0 Hz, $J_2$ = 5.1 Hz, 1H), 3.89 (s, 3H), 3.38 – 3.14 (m, 2H), 2.61 (s, 3H), 2.45 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 197.5, 165.3, 165.2, 144.7, 144.4, 143.4, 143.1, 142.5, 142.4, 141.6, 141.3, 137.1, 136.6, 131.0, 129.8, 129.5, 128.7, 128.3, 127.0, 126.8, 126.62, 126.56, 124.8, 124.6, 122.4, 122.2, 115.0, 77.5, 76.7, 55.9, 34.4, 34.1, 26.64, 26.59, 21.6, 21.4. HRMS (ESI) calcd for $C_{26}H_{26}N_3O_6S_2^+$ $[M + H]^+$ 540.1258, found 540.1260.

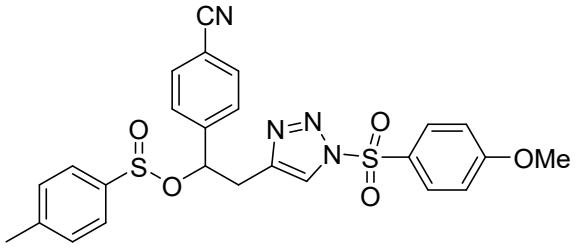



**8y**

**Methyl 4-(2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-((p-tolylsulfinyl)oxy)ethyl)benzoate (8y)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 128.9-129.3 ℃, 833.4 mg, yield: 75%, 3.3:1 dr; FT-IR (KBr) *v* 3144, 3100, 3022, 2953, 1719, 1593, 1393, 1282, 1193, 1168, 1114, 1015, 805, 679, 585, 553. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.81 (m, 5H), 7.48 – 7.29 (m, 5H), 7.16 – 6.99 (m, 3H), 5.58 – 5.49 (m, 1H), 3.93 (s, 3H), 3.88 (s, 3H), 3.38 – 3.14 (m, 2H), 2.44 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.81 (m, 5H), 7.48 – 7.29 (m, 5H), 7.16 – 6.99 (m,

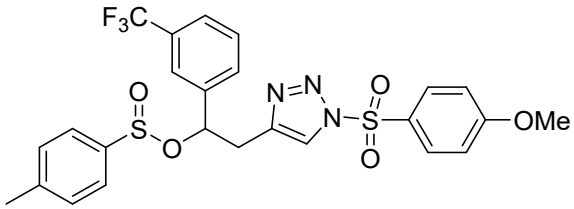
3H), 5.58 – 5.49 (m, 1H), 3.90 (s, 3H), 3.88 (s, 3H), 3.38 – 3.14 (m, 2H), 2.34 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 166.44, 166.40, 165.20, 165.17, 144.5, 144.2, 143.3, 143.1, 142.5, 142.4, 141.6, 141.2, 131.0, 130.2, 129.9, 129.74, 129.66, 129.5, 129.4, 126.9, 126.8, 126.4, 126.3, 124.8, 124.5, 122.4, 122.2, 114.9, 77.6, 76.3, 55.8, 52.15, 52.08, 34.3, 34.0, 21.5, 21.3. HRMS (ESI) calcd for $C_{26}H_{26}N_3O_7S_2^+$ $[M + H]^+$ 556.1207, found 556.1208.




**8z**

**1-(4-cyanophenyl)-2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8z)**

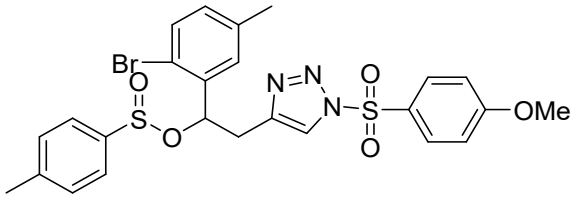
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 145.3-146.9 ℃, 752.5 mg, yield: 72%, 1.3:1 dr; FT-IR (KBr) *v* 3136, 3096, 3052, 2975, 1594, 1501, 1397, 1274, 1203, 1018, 838, 675, 551. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, $J$ = 9.1 Hz, 2H), 7.90 (s, 1H), 7.60 (d, $J$ = 8.3 Hz, 1H), 7.46 – 7.38 (m, 3H), 7.35 – 7.28 (m, 2H), 7.21 – 7.12 (m, 2H), 7.04 (d, $J$ = 2.4 Hz, 2H), 5.59 (dd, $J_1$ = 8.1 Hz, $J_2$ = 5.2 Hz, 1H), 3.88 (s, 3H), 3.35 – 3.09 (m, 2H), 2.35 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, $J$ = 9.1 Hz, 2H), 7.90 (s, 1H), 7.60 (d, $J$ = 8.3 Hz, 1H), 7.46 – 7.38 (m, 3H), 7.35 – 7.28 (m, 2H), 7.21 – 7.12 (m, 2H), 7.02 (d, $J$ = 2.4 Hz, 1H), 5.52 – 5.47 (m, 1H), 3.88 (s, 3H), 3.35 – 3.09 (m, 2H), 2.44 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.20, 165.18, 144.9, 144.5, 143.5, 143.2, 142.1, 142.0, 141.1, 140.9, 132.3, 131.9, 130.9, 129.7, 129.3, 127.0, 126.6, 126.6, 124.7, 124.4, 122.3, 122.2, 118.2, 114.9, 112.1, 111.5, 76.5, 75.7, 55.8, 34.1, 33.8, 21.4. HRMS (ESI) calcd for $C_{25}H_{23}N_4O_5S_2^+$ $[M + H]^+$ 523.1104, found 523.1106.



**8aa**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-(3-(trifluoromethyl)phenyl)ethyl 4-methylbenzenesulfinate (8aa)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 94.7-95.9 ℃, 984.1 mg, yield: 87%, 1.1:1 dr; FT-IR (KBr) *v* 3144, 3096, 3052, 2982, 1600, 1499, 1398, 1331, 1272, 1198, 1166, 1131, 1012, 805, 679, 583, 558. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.98 (m, 2H), 7.92 (d, *J* = 2.1 Hz, 1H), 7.61 – 7.41 (m, 3H), 7.34 – 7.29 (m, 3H), 7.15 – 6.99 (m, 4H), 5.57 – 5.49 (m, 1H), 3.87 (s, 3H), 3.38 – 3.13 (m, 2H), 2.31 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.98 (m, 2H), 7.92 (d, *J* = 2.1 Hz, 1H), 7.61 – 7.41 (m, 3H), 7.34 – 7.29 (m, 3H), 7.15 – 6.99 (m, 4H), 5.57 – 5.49 (m, 1H), 3.87 (s, 3H), 3.38 – 3.13 (m, 2H), 2.44 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 143.4, 143.2, 142.4, 142.3, 141.6, 140.9, 140.8, 140.5, 131.13, 130.97 (q, *J* = 32.4 Hz), 130.3 (q, *J* = 32.3 Hz),129.8, 129.7, 129.32, 129.26, 128.8, 126.9, 126.8, 125.34 (q, *J* = 3.7 Hz), 124.8, 124.5, 123.2 (q, *J* = 3.9 Hz), 122.4, 122.2, 115.0, 75.0, 55.8, 34.4, 34.2, 21.5, 21.3. HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O_5S_2^+$ [M + H]$^+$ 566.1026, found 566.1028.

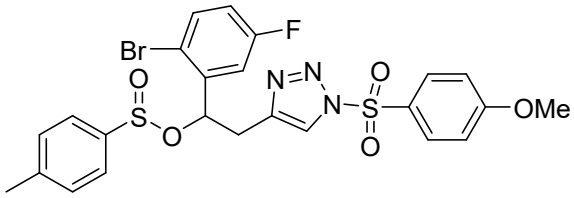


**8ab**

**1-(2-bromo-5-methylphenyl)-2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8ab)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 123.5-126.1 ℃, 543.3 mg, yield: 46%, 1.5:1 dr; FT-IR (KBr) *v* 3151, 3092, 3041, 2960, 1593, 1506, 1280, 1198, 1176, 1127, 1021, 988, 680. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02

(d, $J$ = 9.0 Hz, 2H), 7.91 (s, 1H), 7.48 – 7.31 (m, 3H), 7.20 – 7.16 (m, 1H), 7.15 – 7.04 (m, 2H), 7.04 – 6.84 (m, 3H), 5.82 (dd, $J_1$ = 7.5 Hz, $J_2$ = 5.4 Hz, 1H), 3.86 (s, 3H), 3.25 – 3.22 (m, 2H), 2.32 (s, 3H), 2.21 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 (d, $J$ = 9.0 Hz, 2H), 7.91 (s, 1H), 7.48 – 7.31 (m, 3H), 7.20 – 7.16 (m, 1H), 7.15 – 7.04 (m, 2H), 7.04 – 6.84 (m, 3H), 5.75 (dd, $J_1$ = 7.5 Hz, $J_2$ = 5.3 Hz, 1H), 3.86 (s, 3H), 3.21 – 3.17 (m, 2H), 2.44 (s, 3H), 2.28 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 165.1, 143.3, 142.8, 142.7, 142.5, 141.7, 141.1, 138.7, 138.1, 137.7, 137.4, 132.5, 131.9, 131.0, 130.7, 130.2, 129.7, 129.3, 129.0, 128.7, 127.1, 127.0, 124.7, 124.5, 122.3, 122.2, 118.2, 118.0, 114.9, 76.8, 75.1, 55.8, 33.5, 33.1, 21.3, 20.9. HRMS (ESI) calcd for $C_{25}H_{25}BrN_3O_5S_2^+$ $[M + H]^+$ 590.0414, found 590.0410.

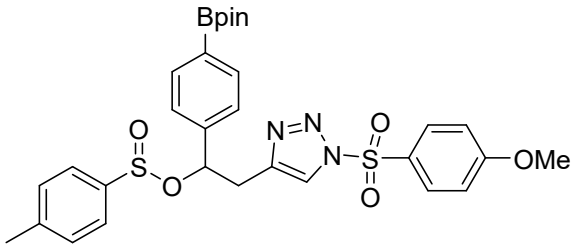



**8ac**

**1-(2-bromo-5-fluorophenyl)-2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8ac)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 116.4-117.8 ℃, 594,5 mg, yield: 50%, 2.3:1 dr; FT-IR (KBr) $\nu$ 3147, 3103, 3077, 2975, 1595, 1584, 1496, 1463, 1398, 1266, 1197, 1173, 1019, 803, 674, 592, 548. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.05 – 7.89 (m, 3H), 7.49 – 7.45 (m, 1H), 7.39 (d, $J$ = 8.2 Hz, 1H), 7.34 – 7.24 (m, 1H), 7.16 – 6.88 (m, 5H), 6.94 – 6.88 (m, 1H), 5.81 (dd, $J_1$ = 8.1 Hz, $J_2$ = 4.3 Hz, 1H), 3.87 (s, 3H), 3.30 – 3.13 (m, 2H), 2.45 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.05 – 7.89 (m, 3H), 7.49 – 7.45 (m, 1H), 7.39 (d, $J$ = 8.2 Hz, 1H), 7.34 – 7.24 (m, 1H), 7.16 – 6.88 (m, 5H), 6.80 – 6.74 (m, 1H), 5.68 (dd, $J_1$ = 7.7 Hz, $J_2$ = 4.6 Hz, 1H), 3.87 (s, 3H), 3.30 – 3.13 (m, 2H), 2.32 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 162.0 (d, $J$ = 248.3 Hz), 161.7 (d, $J$ = 247.7 Hz), 143.6, 143.1, 142.2, 142.0, 141.6, 141.5, 141.2, 141.0, 140.9, 140.8, 134.1 (d, $J$ = 7.8 Hz), 133.5 (d, $J$ = 7.9 Hz), 131.0, 129.4, 127.0, 126.9, 124.6, 124.5, 122.4, 122.3, 117.0 (d, $J$ = 22.7 Hz),

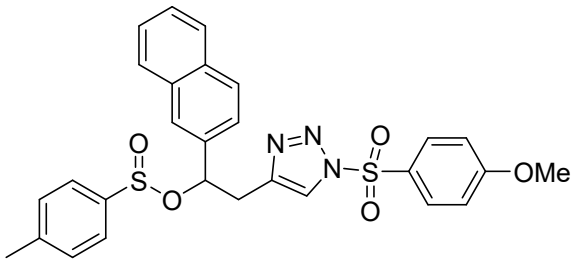
116.5 (d, $J$ = 22.7 Hz), 115.7, 115.5, 115.4, 115.3 (d, $J$ = 3.0 Hz), 115.1 (d, $J$ = 3.2 Hz), 115.0, 75.8, 74.2, 55.9, 33.1, 32.8, 21.4, 21.3. HRMS (ESI) calcd for $C_{24}H_{22}BrFN_3O_5S_2^+$ $[M + H]^+$ 594.0163, found 594.0161.




**8ad**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)ethyl 4-methylbenzenesulfinate (8ad)**

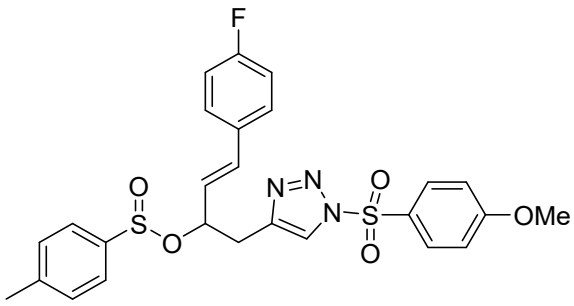
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 83.3-85.6 ℃, 785.6 mg, yield: 63%, 1:1 dr; FT-IR (KBr) $\nu$ 3140, 3059, 2984, 2938, 1733, 1615, 1594, 1574, 1499, 1268, 1150, 1091, 962, 834, 803, 741, 680, 590, 559. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.00 – 7.93 (m, 2H), 7.88 – 7.70 (m, 2H), 7.62 (d, $J$ = 7.6 Hz, 1H), 7.46 (d, $J$ = 7.8 Hz, 1H), 7.38 – 7.24 (m, 3H), 7.13 (d, $J$ = 7.8 Hz, 1H), 7.08 – 7.00 (m, 3H), 5.49 – 5.42 (m, 1H), 3.89 (s, 3H), 3.41 – 3.16 (m, 2H), 2.35 (s, 3H), 1.34 (s, 12H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.00 – 7.93 (m, 2H), 7.88 – 7.70 (m, 2H), 7.62 (d, $J$ = 7.6 Hz, 1H), 7.46 (d, $J$ = 7.8 Hz, 1H), 7.38 – 7.24 (m, 3H), 7.13 (d, $J$ = 7.8 Hz, 1H), 7.08 – 7.00 (m, 3H), 5.49 – 5.42 (m, 1H), 3.89 (s, 3H), 3.41 – 3.16 (m, 2H), 2.43 (s, 3H), 1.36 (s, 12H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.21, 165.18, 143.1, 142.9, 142.8, 142.4, 142.2, 142.1, 141.5, 135.2, 134.8, 131.1, 131.0, 129.7, 129.4, 127.2, 127.1, 125.9, 125.7, 124.9, 124.6, 122.4, 122.2, 115.0, 83.9, 83.8, 78.9, 55.9, 34.4, 34.2, 24.8, 24.8, 21.5, 21.3. HRMS (ESI) calcd for $C_{24}H_{23}N_3O_5S_2^+$ $[M + H]^+$ 624.2004, found 624.2001.



**8ae**

**2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-1-(naphthalen-2-yl)ethyl 4-methylbenzenesulfinate (8ae)**

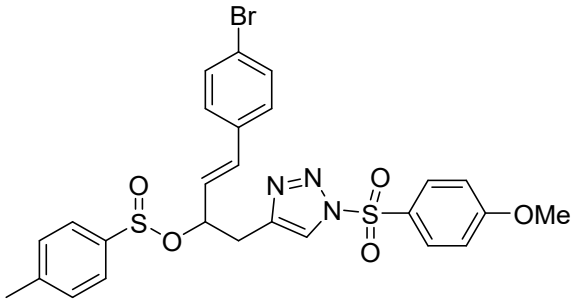
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 119.2-120.1 ℃, 657.1 mg, yield: 60%, 1.6:1 dr; FT-IR (KBr) *v* 3155, 3052, 3015, 2964, 1600, 1501, 1392, 1264, 1203, 1168, 1024, 811, 672, 594, 556. major isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.96 – 7.82 (m, 4H), 7.80 – 7.60 (m, 3H), 7.52 – 7.42 (m, 3H), 7.37 – 7.24 (m, 3H), 7.03 (d, *J* = 7.9 Hz, 1H), 6.96 – 6.89 (m, 2H), 5.68 – 5.63 (m, 1H), 3.82 (s, 3H), 3.52 – 3.37 (m, 1H), 3.35 – 3.25 (m, 1H), 2.20 (s, 3H). minor isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.96 – 7.82 (m, 4H), 7.80 – 7.60 (m, 3H), 7.52 – 7.42 (m, 3H), 7.37 – 7.24 (m, 3H), 7.03 (d, *J* = 7.9 Hz, 1H), 6.96 – 6.89 (m, 2H), 5.68 – 5.63 (m, 1H), 3.83 (s, 3H), 3.52 – 3.37 (m, 1H), 3.35 – 3.25 (m, 1H), 2.41 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.1, 165.0, 143.0, 142.9, 142.8, 142.1, 141.2, 136.6, 136.4, 133.2, 132.8, 132.6, 130.9, 130.8, 129.6, 129.2, 128.8, 128.3, 128.0, 127.9, 127.7, 127.5, 126.9, 126.8, 126.51, 126.46, 126.3, 126.2, 126.1, 126.0, 124.8, 124.5, 123.7, 123.6, 122.4, 122.2, 114.9, 78.9, 77.3, 55.8, 34.2, 34.0, 21.5, 21.2. HRMS (ESI) calcd for $C_{28}H_{26}N_3O_5S_2^+$ $[M + H]^+$ 548.1308, found 548.1305.



**8af** (single isomer)

**(E)-4-(4-fluorophenyl)-1-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)but-3-en-2-yl 4-methylbenzenesulfinate (8af)**

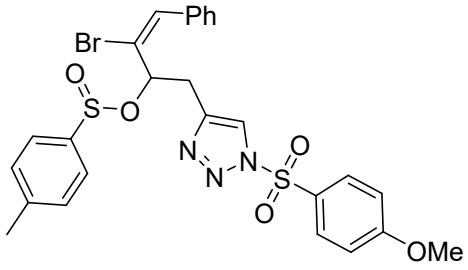
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 84.4-85.9 ℃, 368.3 mg, yield: 34%; FT-IR (KBr) *v* 3133, 3107, 3048, 2949, 1510, 1392, 1219, 1190, 1048, 955, 869, 812, 646, 626, 543. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.95 (m, 3H), 7.48 (d, *J* = 7.8 Hz, 2H), 7.27 – 7.23 (m, 2H), 7.18 – 7.13 (m, 2H), 7.00 – 6.93 (m, 4H), 6.22 (d, *J* = 15.9 Hz, 1H), 5.98 – 5.90 (m, 1H), 5.20 – 5.13 (m, 1H), 3.86 (s, 3H), 3.19 (d, *J* = 6.3 Hz, 2H), 2.34 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 162.5 (d, *J* = 247.8 Hz), 143.0, 142.7, 141.8, 132.4, 131.8 (d, *J* = 3.3 Hz), 131.0, 129.6, 128.2 (d, *J* = 8.1 Hz), 127.0, 126.3, 124.9, 122.2, 115.4 (d, *J* = 21.7 Hz), 114.9, 76.8, 55.8, 32.8, 21.4. HRMS (ESI) calcd for $C_{26}H_{25}FN_3O_5S_2^+$ $[M + H]^+$ 542.1214, found 542.1212.




**8ag** (single isomer)

**(E)-4-(4-bromophenyl)-1-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)but-3-en-2-yl 4-methylbenzenesulfinate (8ag)**

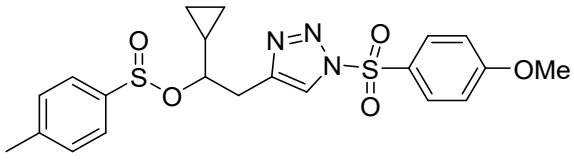
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 139.8-140.5 ℃, 313.3 mg, yield: 26%; FT-IR (KBr) *v* 3147, 3052, 3008, 2927, 1497, 1384, 1189, 1138, 1113, 1018, 960, 872, 814, 771, 693, 558, 515. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.01 – 7.95 (m, 3H), 7.48 (d, *J* = 7.8 Hz, 2H), 7.38 (d, *J* = 8.1 Hz, 2H), 7.24 (d, *J* = 7.9 Hz, 2H), 7.04 (d, *J* = 8.1 Hz, 2H), 6.97 (d, *J* = 8.7 Hz, 2H), 6.18 (d, *J* = 15.9 Hz, 1H), 6.04 – 5.96 (m, 1H), 5.21 – 5.13 (m, 1H), 3.86 (s, 3H), 3.18 (d, *J* = 6.3 Hz, 2H), 2.34 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 143.1, 142.6, 141.7, 134.6, 132.3, 131.6, 131.0, 129.6, 128.1, 127.3, 127.0, 124.9, 122.3, 122.0, 115.0, 76.5, 55.9, 32.7, 21.4. HRMS (ESI) calcd for $C_{26}H_{25}BrN_3O_5S_2^+$ $[M + H]^+$ 602.0414, found 602.0413.



**8ah**

**(*E*)-3-bromo-1-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)-4-phenylbut-3-en-2-yl 4-methylbenzenesulfinate (8ah)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 104.3-106.0 ℃, 771.2 mg, yield: 64%, 1.9:1 dr; FT-IR (KBr) *v* 3144, 3048, 3015, 2971, 1595, 1577, 1498, 1393, 1269, 1197, 1165, 1016, 807, 675, 588, 554. major isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.92 (m, 3H), 7.57 – 7.52 (m, 3H), 7.38 – 7.19 (m, 6H), 7.10 – 6.40 (m, 3H), 5.21 – 5.11 (m, 1H), 3.83 (s, 3H), 3.37 – 3.25 (m, 2H), 2.27 (s, 3H). minor isomer: $^{1}$H NMR (400 MHz, $CDCl_3$) δ 8.02 – 7.92 (m, 3H), 7.65 – 7.61 (m, 3H), 7.38 – 7.19 (m, 6H), 7.10 – 6.40 (m, 3H), 5.21 – 5.11 (m, 1H), 3.84 (s, 3H), 3.37 – 3.25 (m, 2H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.1, 143.3, 143.2, 142.1, 141.8, 141.1, 134.0, 133.9, 133.2, 131.7, 130.9, 129.7, 129.6, 129.2, 128.9, 128.8, 128.4, 128.2, 127.9, 127.0, 126.9, 125.1, 124.8, 123.33, 123.31, 122.33, 122.28, 114.9, 80.7, 77.5, 55.8, 31.6, 31.1, 21.4, 21.3. HRMS (ESI) calcd for $C_{26}H_{25}BrN_3O_5S_2^+$ $[M + H]^+$ 602.0414, found 602.0416.



**8ai**

**1-cyclopropyl-2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)ethyl 4-methylbenzenesulfinate (8ai)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 86.5-87.9 ℃, 323.1 mg, yield: 35%, 1.3:1 dr; FT-IR (KBr) *v* 3133, 3085, 3000, 2927, 1604, 1575, 1502, 1393, 1269, 1199, 1170, 1034, 970, 840, 811, 738, 676, 585, 552. major isomer: $^{1}$H NMR

(400 MHz, $CDCl_3$) δ 8.07 – 7.92 (m, 3H), 7.57 (d, *J* = 8.2 Hz, 1H), 7.40 (d, *J* = 8.2 Hz, 1H), 7.33 (d, *J* = 8.0 Hz, 1H), 7.30 – 7.26 (m, 1H), 7.03 – 6.98 (m, 2H), 3.87 (s, 3H), 3.86 – 3.79 (m, 1H), 3.28 – 3.06 (m, 2H), 2.43 (s, 3H), 1.14 – 1.02 (m, 1H), 0.74 – 0.53 (m, 3H), 0.31 – 0.23 (m, 1H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.07 – 7.92 (m, 3H), 7.57 (d, *J* = 8.2 Hz, 1H), 7.40 (d, *J* = 8.2 Hz, 1H), 7.33 (d, *J* = 8.0 Hz, 1H), 7.30 – 7.26 (m, 1H), 7.03 – 6.98 (m, 2H), 3.86 (s, 3H), 3.86 – 3.79 (m, 1H), 3.28 – 3.06 (m, 2H), 2.42 (s, 3H), 1.14 – 1.02 (m, 1H), 0.74 – 0.53 (m, 3H), 0.31 – 0.23 (m, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.2, 165.1, 143.3, 143.1, 142.8, 142.7, 142.6, 142.5, 131.01, 130.98, 129.7, 129.6, 127.1, 126.9, 124.7, 124.6, 122.4, 122.2, 114.94, 114.88, 84.1, 82.9, 55.83, 55.82, 32.5, 32.2, 21.5, 21.4, 15.8, 4.8, 4.7, 4.0, 3.5. HRMS (ESI) calcd for $C_{21}H_{24}N_3O_5S_2^+$ $[M + H]^+$ 462.1152, found 462.1149.

# 3. Procedure for synthesis of dihydropyrrole 14

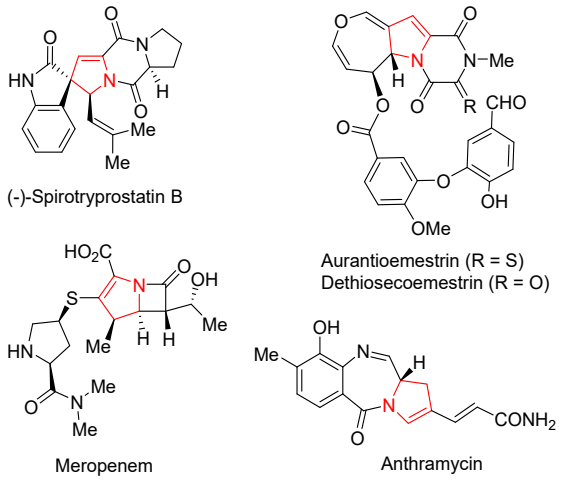
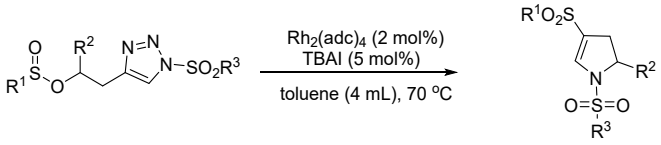
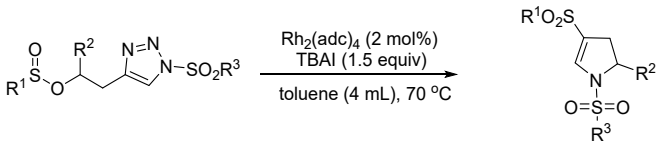



**Fig. S1** Pharmaceuticals and bioactive molecules bearing dihydropyrrole motif.




**8** **14**

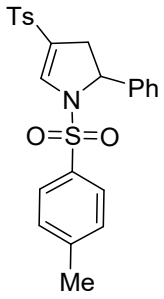
**General Procedure:** Under $N_2$ atmosphere, dry toluene (4.0 mL) was added to a reaction flask charged with triazole **8** (0.2 mmol), $Rh_2(adc)_4$ (0.004 mmol, 2 mol%), and TBAI (0.01 mmol, 5 mol%) at room temperature. The reaction mixture was stirred at 70 °C for 4.0 hours (**14n** for 3.5 h). After completion, the reaction mixture was cooled to room temperature. The solvent was removed *in vacuo* and the residue was purified by flash chromatography with PE/EtOAc (3:1) as eluent to give the corresponding product **14.**




**8** **14**

**Procedure for 14ae, 14af and 14ag:** Under $N_2$ atmosphere, dry toluene (4.0 mL) was added to a reaction flask charged with triazole **8** (0.2 mmol), $Rh_2(adc)_4$ (0.004 mmol, 2 mol%), and TBAI (0.3 mmol, 1.5 equiv) at room temperature. The reaction mixture was stirred at 70 °C for 1.5 hours (**14ag** for 2.5 h). After completion, the reaction

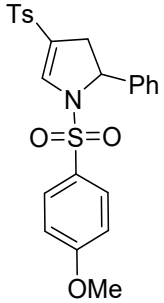
mixture was cooled to room temperature. The solvent was removed *in vacuo* and the residue was purified by flash chromatography with PE/EtOAc (3:1) as eluent to give the corresponding product **14ae**, **14af** and **14ag**.




**14a**

**1-phenyl-1,4-ditosyl-2,3-dihydro-1H-pyrrole (14a)**

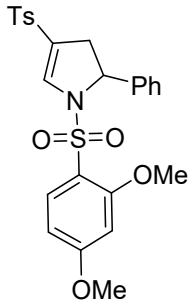
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 125.8-126.3 ℃, 84.2 mg, yield: 93%; FT-IR (KBr) *v* 3097, 3066, 3035, 1610, 1495, 1456, 1372, 1320, 1286, 1171, 1160, 1077, 983, 852, 815, 740, 703, 657, 583, 549, 520. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, $J$ = 7.9 Hz, 2H), 7.50 (s, 1H), 7.37 (d, $J$ = 8.0 Hz, 2H), 7.31 (d, $J$ = 8.0 Hz, 2H), 7.21 – 7.13 (m, 5H), 7.11 – 7.05 (m, 2H), 5.02 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.3 Hz, 1H), 3.22 – 3.12 (m, 1H), 2.68 (dd, $J_1$ = 15.4 Hz, $J_2$ = 7.2 Hz, 1H), 2.43 (s, 3H), 2.38 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 144.5, 144.4, 140.2, 139.4, 136.8, 134.3, 129.9, 129.7, 128.6, 128.3, 127.4, 127.1, 126.7, 120.5, 66.0, 38.8, 21.6, 21.5. HRMS (ESI) calcd for $C_{24}H_{24}NO_4S_2^+$ $[M + H]^+$ 454.1141, found 454.1143.




**14b**

**1-((4-methoxyphenyl)sulfonyl)-2-phenyl-4-tosyl-2,3-dihydro-1H-pyrrole (14b)**

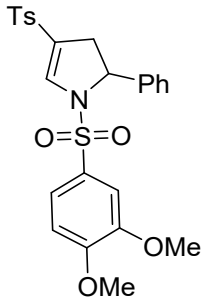
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 133.2-134.5 ℃, 92.5 mg, yield: 98%; FT-IR (KBr) *v* 3088, 3015, 2978, 1612, 1599, 1495, 1367, 1315, 1265, 1157, 1083, 983, 768, 705, 663, 586, 555, 539. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, $J$ = 8.3 Hz, 2H), 7.50 (s, 1H), 7.43 – 7.39 (m, 2H), 7.32 (d, $J$ = 8.1 Hz, 2H), 7.22 – 7.15 (m, 3H), 7.10 – 7.06 (m, 2H), 6.83 – 6.79 (m, 2H), 5.03 (dd, $J_1$ = 11.4 Hz, $J_2$ =7.4 Hz, 1H), 3.84 (s, 3H), 3.22 – 3.13 (m, 1H), 2.73 – 2.65 (m, 1H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.4, 144.4, 140.3, 139.5, 136.9, 129.9, 129.4, 128.9, 128.7, 128.4, 127.4, 126.7, 120.3, 114.3, 66.0, 55.7, 38.8, 21.6. HRMS (ESI) calcd for $C_{24}H_{24}NO_5S_2^+$ $[M + H]^+$ 470.1090, found 470.1093.




**14c**

**1-((2,4-dimethoxyphenyl)sulfonyl)-2-phenyl-4-tosyl-2,3-dihydro-1H-pyrrole (14c)**

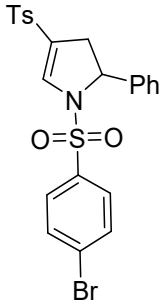
Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 153.2-154.9 ℃, 78.3 mg, yield: 78%; FT-IR (KBr) *v* 3117, 3067, 2986, 2958, 1612, 1480, 1358, 1310, 1282, 1170, 1084, 1020, 989, 855, 760, 671, 598, 581, 545, 525. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.69 (d, $J$ = 8.2 Hz, 2H), 7.58 (s, 1H), 7.28 – 7.23 (m, 3H), 7.03 – 6.92 (m, 5H), 6.31 – 6.27 (m, 1H), 6.18 (dd, $J_1$ = 8.8 Hz, $J_2$ = 2.1 Hz, 1H), 4.96 (dd, $J_1$ = 11.4 Hz, $J_2$ = 6.7 Hz, 1H), 3.86 (s, 3H), 3.73 (s, 3H), 3.22 – 3.14 (m, 1H), 2.67 (dd, $J_1$ = 15.1 Hz, $J_2$ = 6.3 Hz, 1H), 2.36 (s, 3H).$^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.3, 158.2, 144.1, 142.8, 139.2, 137.3, 132.6, 129.8, 128.3, 128.2, 127.3, 126.5, 117.8, 117.1, 104.4, 98.8, 65.7, 56.0, 55.7, 38.6, 21.5. HRMS (ESI) calcd for $C_{25}H_{26}NO_6S_2^+$ $[M + H]^+$ 500.1196, found 500.1192.




**14d**

**1-((3,4-dimethoxyphenyl)sulfonyl)-2-phenyl-4-tosyl-2,3-dihydro-1H-pyrrole (14d)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 149.7-150.5 ℃, 82.2 mg, yield: 82%; FT-IR (KBr) *v* 3081, 3064, 2975, 2936, 1615, 1590, 1507, 1457, 1366, 1271, 1177, 1016, 983, 855, 813, 741, 708, 674, 616, 597, 541, 505. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, $J$ = 8.3 Hz, 2H), 7.46 (s, 1H), 7.25 (d, $J$ = 8.1 Hz, 2H), 7.14 – 7.08 (m, 4H), 7.02 – 6.98 (m, 2H), 6.76 – 6.71 (m, 2H), 5.02 – 4.95 (m, 1H), 3.84 (s, 3H), 3.69 (s, 3H), 3.16 – 3.07 (m, 1H), 2.65 – 2.58 (m, 1H), 2.36 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 153.1, 148.8, 144.4, 140.3, 139.5, 136.8, 129.9, 128.9, 128.6, 128.4, 127.4, 126.6, 121.4, 120.2, 110.5, 109.2, 66.0, 56.2, 56.0, 38.9, 21.5. HRMS (ESI) calcd for $C_{25}H_{26}NO_6S_2^+$ $[M + H]^+$ 500.1196, found 500.1193.

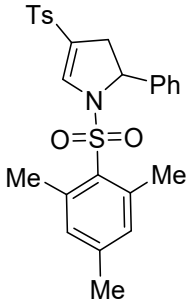



**14e**

**1-((4-bromophenyl)sulfonyl)-2-phenyl-4-tosyl-2,3-dihydro-1H-pyrrole (14e)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 176.9-178.3 ℃, 65.2 mg, yield: 63%; FT-IR (KBr) *v* 3118, 3044, 3008, 2975, 1595, 1499, 1395, 1269, 1198, 1170, 1138, 1012, 970, 805, 676, 585, 558. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, $J$ = 8.0 Hz, 2H), 7.49 (s, 1H), 7.44 (d, $J$ = 8.3 Hz, 2H), 7.34 (d, $J$ = 8.0 Hz, 2H), 7.28 – 7.21 (m, 3H), 7.16 (t, $J$ = 7.5 Hz, 2H), 7.04 (d, $J$ = 7.4 Hz, 2H), 5.12 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.0 Hz, 1H), 3.26 – 3.16 (m, 1H), 2.75 (dd, $J_1$ = 15.6 Hz, $J_2$ = 7.0 Hz, 1H), 2.45 (s, 3H).

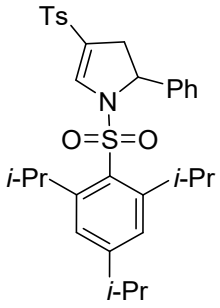
$^{13}$C NMR (100 MHz, $CDCl_3$) δ 144.6, 139.5, 138.8, 136.9, 136.7, 132.3, 130.0, 128.8, 128.7, 128.5, 128.4, 127.5, 126.9, 121.1, 66.2, 38.7, 21.6. HRMS (ESI) calcd for $C_{23}H_{21}BrNO_4S_2^+$ [M + H]$^+$ 518.0090, found 518.0093.




**14f**

**1-(mesitylsulfonyl)-2-phenyl-4-tosyl-2,3-dihydro-1H-pyrrole (14f)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 157.4-158.9 ℃, 84.2 mg, yield: 87%; FT-IR (KBr) *v* 3122, 3032, 2984, 2948, 1608, 1464, 1356, 1321, 1295, 1169, 1150, 1082, 987, 863, 700, 673, 587, 541. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, $J$ = 7.9 Hz, 2H), 7.68 (s, 1H), 7.34 (d, $J$ = 7.9 Hz, 2H), 7.07 – 6.95 (m, 3H), 6.93 – 6.89 (m, 2H), 6.66 (s, 2H), 5.18 – 5.10 (m, 1H), 3.27 – 3.17 (m, 1H), 2.78 (dd, $J_1$ = 15.1, Hz, $J_2$ = 8.9 Hz, 1H), 2.45 (s, 3H), 2.36 (s, 6H), 2.17 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 144.3, 143.4, 140.9, 139.7, 138.3, 137.1, 131.8, 131.6, 129.9, 128.2, 128.0, 127.4, 126.3, 118.2, 66.3, 39.4, 22.6, 21.6, 20.7. HRMS (ESI) calcd for $C_{26}H_{28}NO_4S_2^+$ [M + H]$^+$ 482.1454, found 482.1453.

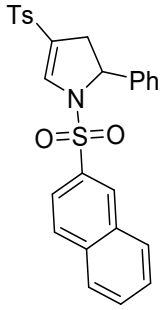



**14g**

**2-phenyl-4-tosyl-1-((2,4,6-triisopropylphenyl)sulfonyl)-2,3-dihydro-1H-pyrrole (14g)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 148.8-149.2 ℃, 103.5 mg, yield: 91%; FT-IR (KBr) *v* 3033, 2964, 2863, 1613, 1464, 1427, 1368, 1318, 1169,

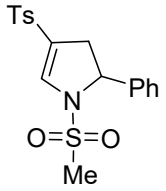
1153, 1081, 988, 855, 759, 740, 698, 663, 583, 541. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, $J$ = 8.0 Hz, 2H), 7.57 (s, 1H), 7.33 (d, $J$ = 7.9 Hz, 2H), 7.12 – 7.05 (m, 3H), 7.02 – 6.96 (m, 4H), 5.23 (dd, $J_1$ = 11.2 Hz, $J_2$ = 8.2 Hz, 1H), 3.83 – 3.71 (m, 2H), 3.24 (dd, $J_1$ = 14.6 Hz, $J_2$ = 11.6 Hz, 1H), 2.89 – 2.77 (m, 1H), 2.71 (dd, $J_1$ = 15.2 Hz, $J_2$ = 7.9 Hz, 1H), 2.44 (s, 3H), 1.21 (d, $J$ = 6.9 Hz, 6H), 1.17 (d, $J$ = 6.7 Hz, 6H), 1.09 (d, $J$ = 6.7 Hz, 6H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 154.2, 151.2, 144.3, 140.5, 139.2, 137.1, 130.3, 129.9, 128.4, 128.2, 127.5, 126.5, 123.8, 119.3, 66.0, 39.4, 34.2, 29.6, 24.8, 24.6, 23.5, 23.4, 21.6. HRMS (ESI) calcd for $C_{32}H_{40}NO_4S_2^+$ $[M + H]^+$ 566.2393, found 566.2397.




**14h**

**1-(naphthalen-2-ylsulfonyl)-2-phenyl-4-tosyl-2,3-dihydro-1H-pyrrole (14h)**

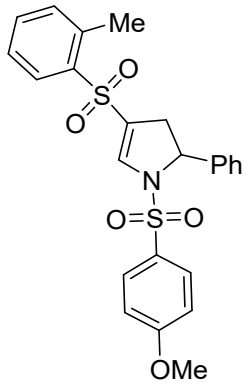
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 168.5-169.9 ℃, 71.4 mg, yield: 73%; FT-IR (KBr) $v$ 3100, 3052, 3022, 1619, 1463, 1352, 1320, 1175, 1153, 1354, 1077, 984, 852, 810, 757, 696, 667, 582, 543. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.92 (s, 1H), 7.87 – 7.80 (m, 2H), 7.78 – 7.71 (m, 3H), 7.67 – 7.55 (m, 3H), 7.48 (dd, $J_1$ = 8.7 Hz, $J_2$ = 1.9 Hz, 1H), 7.29 (d, $J$ = 8.0 Hz, 2H), 7.07 – 7.00 (m, 5H), 5.14 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.2 Hz, 1H), 3.21 – 3.12 (m, 1H), 2.76 – 2.68 (m, 1H), 2.41 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 144.4, 140.0, 138.9, 136.8, 134.8, 134.4, 131.7, 129.9, 129.5, 129.33, 129.27, 129.1, 128.5, 127.8, 127.6, 127.4, 126.7, 121.5, 120.6, 66.1, 38.7, 21.5. HRMS (ESI) calcd for $C_{27}H_{24}NO_4S_2^+$ $[M + H]^+$ 490.1141, found 490.1140.




**14i**

**1-(methylsulfonyl)-2-phenyl-4-tosyl-2,3-dihydro-1H-pyrrole (14i)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 91.2-92.8 ℃, 60.2 mg, yield: 80%; FT-IR (KBr) *v* 3065, 3030, 2928, 1617, 1498, 1463, 1353, 1320, 1154, 1086, 989, 965, 857, 814, 701, 674, 602, 559, 545, 507. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, $J$ = 8.0 Hz, 2H), 7.40 – 7.33 (m, 8H), 5.30 (dd, $J_1$ = 11.5 Hz, $J_2$ = 6.8 Hz, 1H), 3.38 – 3.29 (m, 1H), 2.95 (dd, $J_1$ = 15.5 Hz, $J_2$ = 6.6 Hz, 1H), 2.46 (s, 6H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 144.5, 139.4, 139.2, 136.8, 130.0, 129.3, 127.5, 127.1, 119.7, 65.8, 42.0, 38.2, 21.6. HRMS (ESI) calcd for $C_{18}H_{20}NO_4S_2^+$ $[M + H]^+$ 378.0828, found 378.0825.

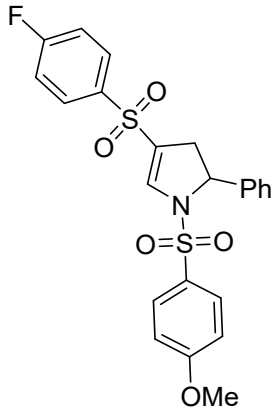



**14j**

**1-((4-methoxyphenyl)sulfonyl)-2-phenyl-4-(o-tolylsulfonyl)-2,3-dihydro-1H-pyrrole (14j)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 160.6-162.3 ℃, 68.8 mg, yield: 73%; FT-IR (KBr) *v* 3096, 3066, 2953, 2920, 1622, 1605, 1361, 1313, 1265, 1156, 987, 852, 765, 706, 624, 591, 557. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, $J$ = 7.9 Hz, 1H), 7.48 (s, 1H), 7.44 – 7.34 (m, 3H), 7.28 – 7.22 (m, 2H), 7.16 – 7.09 (m, 3H), 7.05 – 6.99 (m, 2H), 6.76 (d, $J$ = 8.9 Hz, 2H), 4.95 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.5 Hz, 1H), 3.77 (s, 3H), 3.02 (dd, $J_1$ = 14.8 Hz, $J_2$ = 12.1 Hz, 1H), 2.60 – 2.52 (m, 4H). $^{13}$C NMR

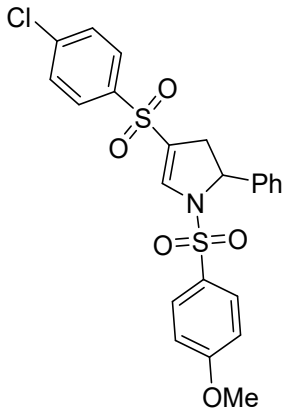
(100 MHz, $CDCl_3$) δ 163.5, 140.9, 139.4, 137.9, 136.9, 133.6, 132.7, 129.7, 129.4, 128.7, 128.4, 126.6, 119.4, 114.3, 66.0, 55.7, 38.8, 20.0. HRMS (ESI) calcd for $C_{24}H_{24}NO_5S_2^+$ $[M + H]^+$ 470.1090, found 470.1087.




**14k**

**4-((4-fluorophenyl)sulfonyl)-1-((4-methoxyphenyl)sulfonyl)-2-phenyl-2,3-dihydro-1H-pyrrole (14k)**

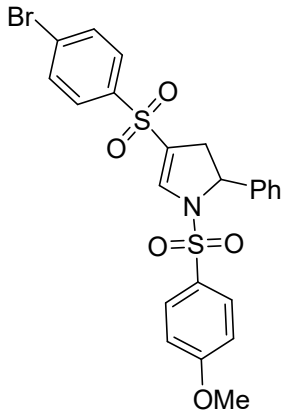
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 128.7-129.9 ℃, 87.0 mg, yield: 92%; FT-IR (KBr) *v* 3108, 3066, 2944, 2843, 1591, 1499, 1462, 1323, 1266, 1160, 1085, 1011, 979, 855, 808, 766, 736, 699, 671, 595, 550. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.84 – 7.76 (m, 2H), 7.47 (s, 1H), 7.32 (d, $J$ = 8.5 Hz, 2H), 7.15 – 7.07 (m, 5H), 7.02 – 6.97 (m, 2H), 6.72 (d, $J$ = 8.6 Hz, 2H), 4.99 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.4 Hz, 1H), 3.75 (s, 3H), 3.16 – 3.06 (m, 1H), 2.61 (dd, $J_1$ = 15.3 Hz, $J_2$ = 7.2 Hz, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 165.4 (d, $J$ = 256.3 Hz), 163.4, 141.0, 139.2, 135.9 (d, $J$ = 2.3 Hz), 130.2 (d, $J$ = 9.6 Hz), 129.3, 128.8, 128.7, 128.4, 126.6, 119.4, 116.6 (d, $J$ = 22.5 Hz), 114.3, 66.0, 55.6, 38.8. HRMS (ESI) calcd for $C_{23}H_{21}FNO_5S_2^+$ $[M + H]^+$ 474.0840, found 474.0839.



**14l**

**4-((4-chlorophenyl)sulfonyl)-1-((4-methoxyphenyl)sulfonyl)-2-phenyl-2,3-dihydro-1H-pyrrole (14l)**

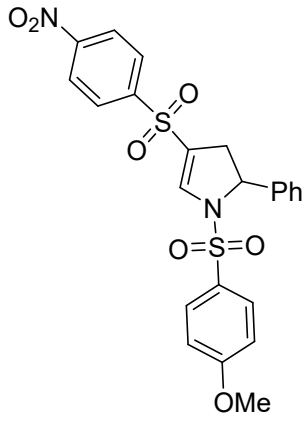
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 173.8-175.1 ℃, 80.7 mg, yield: 82%; FT-IR (KBr) *v* 3103, 3055, 3015, 2934, 1596, 1496, 1362, 1315, 1267, 1170, 1091, 1018, 978, 857, 831, 757, 705, 671, 592, 555. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, $J$ = 8.6 Hz, 2H), 7.55 (s, 1H), 7.50 (d, $J$ = 8.6 Hz, 2H), 7.39 (d, $J$ = 8.9 Hz, 2H), 7.23 – 7.15 (m, 3H), 7.09 – 7.05 (m, 2H), 6.80 (d, $J$ = 8.9 Hz, 2H), 5.07 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.4 Hz, 1H), 3.84 (s, 3H), 3.23 – 3.14 (m, 1H), 2.74 – 2.66 (m, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.5, 141.4, 140.0, 139.2, 138.4, 129.6, 129.4, 128.8, 128.8, 128.5, 126.7, 119.1, 114.3, 66.1, 55.7, 38.8. HRMS (ESI) calcd for $C_{23}H_{21}ClNO_5S_2^+$ $[M + H]^+$ 490.0544, found 490.0541.



**14m**

**4-((4-bromophenyl)sulfonyl)-1-((4-methoxyphenyl)sulfonyl)-2-phenyl-2,3-dihydro-1H-pyrrole (14m)**

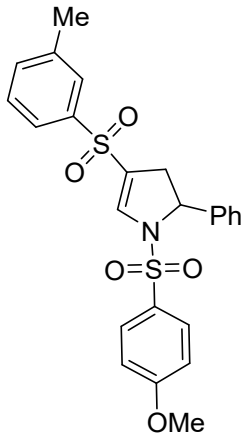
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 168.3-169.9 ℃, 94.7 mg, yield: 89%; FT-IR (KBr) *v* 3120, 3089, 3029, 2993, 1610, 1573, 1345, 1284, 1253, 1146, 990, 751, 679, 622, 590, 489. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.74 – 7.66 (m, 4H), 7.56 (s, 1H), 7.39 (d, $J$ = 9.0 Hz, 2H), 7.23 – 7.16 (m, 3H), 7.10 – 7.05 (m, 2H), 6.80 (d, $J$ = 9.0 Hz, 2H), 5.08 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.5 Hz, 1H), 3.84 (s, 3H), 3.24 – 3.14 (m, 1H), 2.74 – 2.66 (m, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.5, 141.4, 139.1, 138.9, 132.6, 129.4, 128.9, 128.8, 128.7, 128.6, 128.5, 126.7, 119.0, 114.3, 66.1, 55.7, 38.8. HRMS (ESI) calcd for $C_{23}H_{21}BrNO_5S_2^+$ $[M + H]^+$ 534.0039, found 534.0037.




**14n**

**1-((4-methoxyphenyl)sulfonyl)-4-((4-nitrophenyl)sulfonyl)-2-phenyl-2,3-dihydro-1H-pyrrole (14n)**

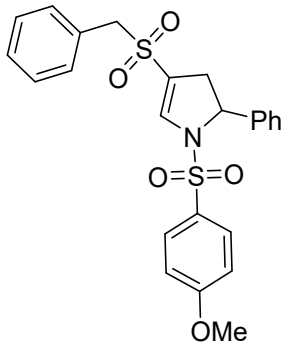
Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, m.p.: 190.9-191.5 ℃, 86.1 mg, yield: 86%; FT-IR (KBr) *v* 3136, 2982, 2942, 1610, 1502, 1402, 1269, 1100, 1023, 805, 679, 585, 558. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.38 (d, $J$ = 8.7 Hz, 2H), 8.05 (d, $J$ = 8.7 Hz, 2H), 7.66 (s, 1H), 7.37 (d, $J$ = 8.9 Hz, 2H), 7.23 – 7.16 (m, 3H), 7.06 (d, $J$ = 7.1 Hz, 2H), 6.79 (d, $J$ = 8.9 Hz, 2H), 5.18 – 5.11 (m, 1H), 3.84 (s, 3H), 3.28 – 3.18 (m, 1H), 2.79 – 2.69 (m, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.6, 150.5, 145.8, 143.1, 138.9, 129.4, 128.9, 128.8, 128.71, 128.68, 126.7, 124.6, 117.4, 114.4, 66.3, 55.7, 38.8. HRMS (ESI) calcd for $C_{23}H_{21}N_2O_7S_2^+$ $[M + H]^+$ 501.0785, found 501.0781.




**14o**

**1-((4-methoxyphenyl)sulfonyl)-2-phenyl-4-(m-tolylsulfonyl)-2,3-dihydro-1H-pyrrole (14o)**

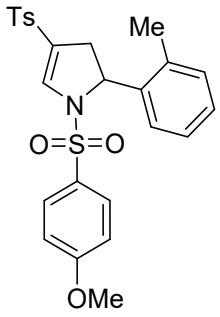
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 139.0-140.7 ℃, 79.7 mg, yield: 85%; FT-IR (KBr) *v* 3088, 3055, 2953, 1601, 1508, 1366, 1318, 1267, 1149, 1090, 1053, 1090, 1053, 986, 884, 764, 699, 643, 587, 552. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.72 (s, 1H), 7.64 – 7.46 (m, 3H), 7.40 (d, $J$ = 8.5 Hz, 2H), 7.28 – 7.05 (m, 6H), 6.81 (d, $J$ = 8.5 Hz, 2H), 5.12 – 5.03 (m, 1H), 3.85 (s, 3H), 3.25 – 3.10 (m, 1H), 2.71 (dd, $J_1$ = 15.5 Hz, $J_2$ = 7.4 Hz, 1H), 2.45 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.5, 141.1, 140.1, 139.2, 138.1, 137.8, 130.0, 129.5, 129.4, 128.8, 128.7, 128.5, 126.7, 126.0, 119.3, 114.3, 66.1, 55.7, 38.8, 20.2. HRMS (ESI) calcd for $C_{24}H_{24}NO_5S_2^+$ $[M + H]^+$ 470.1090, found 470.1091.




**14p**

**4-(benzylsulfonyl)-1-((4-methoxyphenyl)sulfonyl)-2-phenyl-2,3-dihydro-1H-pyrrole (14p)**

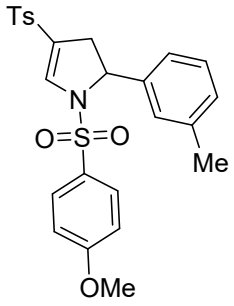
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 131.2-132.6 ℃, 80.8 mg, yield: 86%; FT-IR (KBr) *v* 3059, 3022, 2923, 1601, 1498, 1369, 1314, 1265, 1154, 1105, 979, 699, 671, 596, 552. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.23 (m, 11H), 7.10 – 7.06 (m, 2H), 6.83 (d, $J$ = 8.9 Hz, 2H), 4.98 (dd, $J_1$ = 11.5 Hz, $J_2$ = 7.3 Hz, 1H), 4.30 – 4.19 (m, 2H), 3.85 (s, 3H), 3.00 (dd, $J_1$ = 14.5 Hz, $J_2$ = 11.9 Hz, 1H), 2.47 (dd, $J_1$ = 15.7 Hz, $J_2$ = 7.0 Hz, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.5, 143.2, 139.5, 130.5, 129.4, 129.0, 128.8, 128.7, 128.4, 128.1, 126.6, 116.7, 114.3, 65.8, 61.7, 55.7, 39.9. HRMS (ESI) calcd for $C_{24}H_{24}NO_5S_2^+$ $[M + H]^+$ 470.1090, found 470.1088.




**14q**

**1-((4-methoxyphenyl)sulfonyl)-2-(o-tolyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14q)**

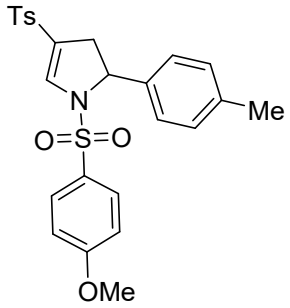
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 133.2-135.6 ℃, 91.9 mg, yield: 95%; FT-IR (KBr) *v* 3111, 3055, 2942, 1616, 1601, 1498, 1368, 1319, 1158, 1097, 1077, 1022, 757, 740, 671, 593, 561. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, $J$ = 8.0 Hz, 2H), 7.54 (s, 1H), 7.43 (d, $J$ = 8.9 Hz, 2H), 7.31 (d, $J$ = 7.9 Hz, 2H), 7.10 – 6.98 (m, 4H), 6.82 (d, $J$ = 8.9 Hz, 2H), 5.27 (dd, $J_1$ = 11.6 Hz, $J_2$ = 7.9 Hz, 1H), 3.84 (s, 3H), 3.24 – 3.14 (m, 1H), 2.55 (dd, $J_1$ = 15.2 Hz, $J_2$ = 7.5 Hz, 1H), 2.42 (s, 3H), 2.16 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.5, 144.4, 140.5, 137.5, 136.7, 134.6, 130.6, 129.9, 129.3, 128.5, 128.0, 127.4, 126.9, 120.2, 114.3, 63.1, 55.6, 37.9, 21.5, 18.9. HRMS (ESI) calcd for $C_{25}H_{26}NO_5S_2^+$ $[M + H]^+$ 484.1247, found 484.1248.




**14r**

**1-((4-methoxyphenyl)sulfonyl)-2-(m-tolyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14r)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 144.5-146.8 ℃, 91.7 mg, yield: 95%; FT-IR (KBr) *v* 3100, 3063, 3015, 2934, 1598, 1579, 1359, 1317, 1263, 1157, 1097, 1079, 744, 665, 596, 563. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.3 Hz, 2H), 7.51 (s, 1H), 7.39 (d, *J* = 8.9 Hz, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.10 – 7.05 (m, 1H), 7.00 (d, *J* = 7.6 Hz, 1H), 6.89 (d, *J* = 7.4 Hz, 1H), 6.81 – 6.76 (m, 3H), 5.02 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.2 Hz, 1H), 3.84 (s, 3H), 3.22 – 3.10 (m, 1H), 2.72 – 2.63 (m, 1H), 2.43 (s, 3H), 2.15 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.3, 144.3, 140.3, 139.2, 138.5, 136.9, 129.9, 129.3, 129.13, 129.07, 128.5, 127.4, 127.0, 124.0, 120.0, 114.1, 66.0, 55.6, 38.8, 21.6, 21.1. HRMS (ESI) calcd for $C_{25}H_{26}NO_5S_2^+$ $[M + H]^+$ 484.1247, found 484.1247.

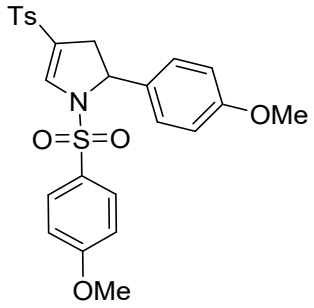



**14s**

**1-((4-methoxyphenyl)sulfonyl)-2-(p-tolyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14s)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 196.9-198.1 ℃, 87.6 mg, yield: 91%; FT-IR (KBr) *v* 3085, 3019, 2960, 1620, 1594, 1497, 1317, 1260, 1163, 1081, 975, 811, 734, 667, 610, 574, 554. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 7.9 Hz, 2H), 7.50 (s, 1H), 7.41 (d, *J* = 8.5 Hz, 2H), 7.32 (d, *J* = 7.9 Hz, 2H), 6.97 (s, 4H),

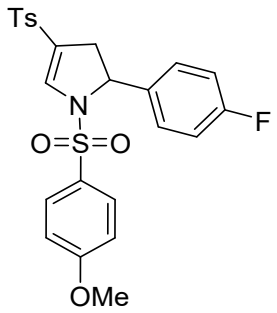
6.81 (d, $J$ = 8.5 Hz, 2H), 4.98 (dd, $J_1$ = 11.3 Hz, $J_2$ = 7.4 Hz, 1H), 3.84 (s, 3H), 3.21 – 3.11 (m, 1H), 2.67 (dd, $J_1$ = 15.3 Hz, $J_2$ = 7.3 Hz, 1H), 2.43 (s, 3H), 2.28 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.4, 144.3, 140.3, 138.1, 136.8, 136.5, 129.9, 129.3, 129.2, 128.8, 127.4, 126.6, 120.1, 114.2, 65.8, 55.6, 38.7, 21.5, 21.0. HRMS (ESI) calcd for $C_{25}H_{26}NO_5S_2^+$ $[M + H]^+$ 484.1247, found 484.1249.




**14t**

**2-(4-methoxyphenyl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14t)**

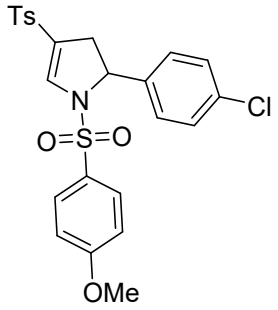
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 169.0-170.8 ℃, 91.7 mg, yield: 92%; FT-IR (KBr) *v* 3100, 3063, 2938, 2831, 1588, 1521, 1494, 1369, 1319, 1264, 1153, 1077, 855, 738, 668, 601, 549. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, $J$ = 8.3 Hz, 2H), 7.50 (s, 1H), 7.39 (d, $J$ = 8.9 Hz, 2H), 7.32 (d, $J$ = 8.0 Hz, 2H), 6.99 (d, $J$ = 8.7 Hz, 2H), 6.80 (d, $J$ = 9.0 Hz, 2H), 6.67 (d, $J$ = 8.7 Hz, 2H), 5.01 (dd, $J_1$ = 11.3 Hz, $J_2$ = 7.4 Hz, 1H), 3.83 (s, 3H), 3.74 (s, 3H), 3.19 – 3.10 (m, 1H), 2.72 – 2.64 (m, 1H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.3, 159.5, 144.3, 140.1, 136.8, 131.3, 129.9, 129.2, 129.0, 128.0, 127.3, 119.9, 114.1, 113.9, 65.6, 55.6, 55.2, 38.7, 21.5. HRMS (ESI) calcd for $C_{25}H_{26}NO_6S_2^+$ $[M + H]^+$ 500.1196, found 500.1193.




**14u**

**2-(4-fluorophenyl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14u)**

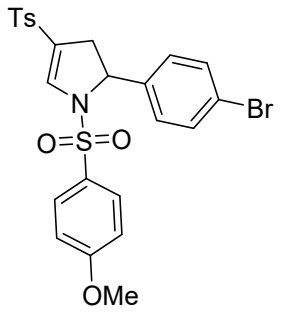
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 184.2-185.9 ℃, 88.7 mg, yield: 91%; FT-IR (KBr) *v* 3118, 3074, 3041, 2975, 1601, 1508, 1342, 1313, 1223, 1154, 1035, 890, 835, 716, 667, 580, 522. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.2 Hz, 2H), 7.49 (s, 1H), 7.42 (d, *J* = 8.9 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.09 – 7.04 (m, 2H), 6.89 – 6.82 (m, 4H), 5.03 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.3 Hz, 1H), 3.85 (s, 3H), 3.23 – 3.13 (m, 1H), 2.74 – 2.62 (m, 1H), 2.44 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.6, 162.5 (d, *J* = 247.9 Hz), 144.5, 140.2, 136.7, 135.3 (d, *J* = 3.3 Hz), 130.0, 129.3, 128.8, 128.5 (d, *J* = 8.4 Hz), 127.4, 120.2, 115.6 (d, *J* = 21.8 Hz), 114.3, 65.3, 55.7, 38.8, 21.6. HRMS (ESI) calcd for $C_{24}H_{23}FNO_5S_2^+$ $[M + H]^+$ 488.0996, found 488.0993.




**14v**

**2-(4-chlorophenyl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14v)**

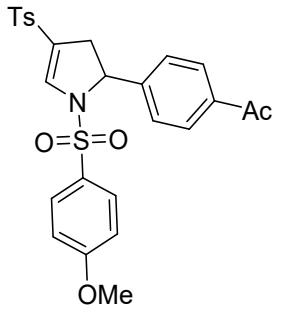
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 194.1-195.9 ℃, 65.6 mg, yield: 65%; FT-IR (KBr) *v* 3132, 3081, 2986, 1604, 1333, 1270, 1134, 771, 760, 594, 433. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.3 Hz, 2H), 7.50 (s, 1H), 7.41 (d, *J* = 8.9 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.13 (d, *J* = 8.5 Hz, 2H), 7.01 (d, *J* = 8.5 Hz, 2H), 6.83 (d, *J* = 8.9 Hz, 2H), 5.01 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.3 Hz, 1H), 3.87 (s, 3H), 3.23 – 3.13 (m, 1H), 2.69 – 2.61 (m, 1H), 2.45 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.6, 144.5, 140.2, 137.9, 136.7, 134.3, 130.0, 129.3, 128.83, 128.77, 128.1, 127.5, 120.2, 114.3, 65.2, 55.7, 38.7, 21.6. HRMS (ESI) calcd for $C_{24}H_{23}ClNO_5S_2^+$ $[M + H]^+$ 504.0701, found 504.0708.




**14w**

**2-(4-bromophenyl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14w)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 183.8-184.9 ℃, 93.1 mg, yield: 85%; FT-IR (KBr) *v* 3136, 3059, 2971, 2927, 1610, 1593, 1497, 1364, 1317, 1299, 1264, 1153, 1080, 1010, 819, 681, 663, 593. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.3 Hz, 2H), 7.50 (s, 1H), 7.40 (d, *J* = 8.9 Hz, 2H), 7.33 (d, *J* = 7.9 Hz, 2H), 7.30 – 7.26 (m, 2H), 6.95 (d, *J* = 8.4 Hz, 2H), 6.83 (d, *J* = 8.9 Hz, 2H), 5.00 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.3 Hz, 1H), 3.87 (s, 3H), 3.24 – 3.14 (m, 1H), 2.69 – 2.61 (m, 1H), 2.45 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.6, 144.5, 140.1, 138.4, 136.7, 131.7, 129.9, 129.3, 128.7, 128.4, 127.4, 122.3, 120.2, 114.3, 65.2, 55.7, 38.6, 21.6. HRMS (ESI) calcd for $C_{24}H_{23}BrNO_5S_2^+$ $[M + H]^+$ 548.0196, found 548.0191.

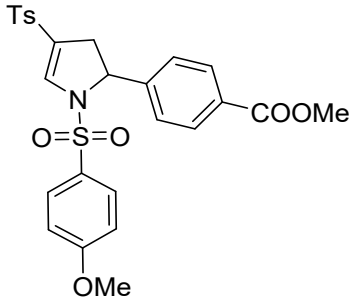



**14x**

**1-(4-(1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrol-2-yl)phenyl)ethan-1-one (14x)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 203.4-205.1 ℃, 96.6 mg, yield: 94%; FT-IR (KBr) *v* 3063, 3004, 2964, 1685, 1346, 1274, 1149, 1099, 741, 591, 563, . $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.4 Hz, 2H), 7.72 (d, *J* = 8.3 Hz, 2H),

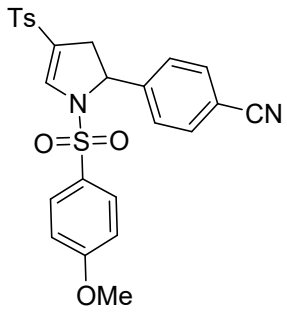
7.51 – 7.46 (m, 3H), 7.32 (d, $J$ = 8.1 Hz, 2H), 7.20 (d, $J$ = 8.4 Hz, 2H), 6.84 (d, $J$ = 8.9 Hz, 2H), 5.03 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.4 Hz, 1H), 3.84 (s, 3H), 3.25 – 3.16 (m, 1H), 2.67 – 2.59 (m, 1H), 2.56 (s, 3H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 197.3, 163.7, 144.6, 144.5, 140.3, 136.9, 136.7, 129.9, 129.4, 128.7, 128.3, 127.4, 126.7, 120.8, 114.5, 65.3, 55.7, 38.7, 26.5, 21.5. HRMS (ESI) calcd for $C_{26}H_{26}NO_6S_2^+$ [M + H]$^+$ 512.1196, found 512.1199.




**14y**

**Methyl 4-(1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrol-2-yl) benzoate (14y)**

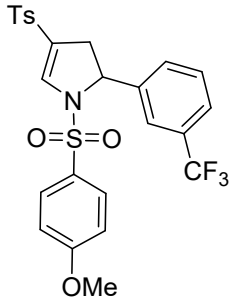
Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, m.p.: 177.3-179.1 ℃, 97.2 mg, yield: 92%; FT-IR (KBr) *v* 3100, 3055, 2942, 1724, 1616, 1506, 1434, 1324, 1280, 1161, 1078, 976, 772, 706, 676, 596, 540. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, $J$ = 8.2 Hz, 2H), 7.73 (d, $J$ = 8.2 Hz, 2H), 7.51 (s, 1H), 7.46 (d, $J$ = 8.9 Hz, 2H), 7.32 (d, $J$ = 8.0 Hz, 2H), 7.17 (d, $J$ = 8.3 Hz, 2H), 6.83 (d, $J$ = 8.9 Hz, 2H), 5.05 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.4 Hz, 1H), 3.90 (s, 3H), 3.84 (s, 3H), 3.25 – 3.16 (m, 1H), 2.69 – 2.57 (m, 1H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 166.3, 163.6, 144.5, 144.4, 140.2, 136.6, 130.04, 129.95, 129.4, 128.2, 127.4, 126.5, 120.6, 114.4, 65.3, 55.6, 52.1, 38.7, 21.5. HRMS (ESI) calcd for $C_{26}H_{26}NO_7S_2^+$ [M + H]$^+$ 528.1145, found 528.1149.




**14z**

**4-(1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrol-2-yl)benzonitrile (14z)**

Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, m.p.: 182.6-184.3 ℃, 96.2 mg, yield: 97%; FT-IR (KBr) *v* 3111, 3044, 2971, 2920, 1612, 1598, 1503, 1363, 1318, 1268, 1156, 983, 836, 676, 598, 545. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.3 Hz, 2H), 7.52 – 7.47 (m, 5H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.24 (d, *J* = 8.0 Hz, 2H), 6.88 (d, *J* = 8.9 Hz, 2H), 5.02 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.4 Hz, 1H), 3.87 (s, 3H), 3.25 – 3.15 (m, 1H), 2.64 – 2.55 (m, 1H), 2.44 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.8, 144.70, 144.65, 140.1, 136.4, 132.5, 130.0, 129.4, 127.8, 127.4, 127.2, 120.9, 118.1, 114.5, 112.1, 65.0, 55.7, 38.6, 21.5. HRMS (ESI) calcd for $C_{25}H_{23}N_2O_5S_2^+$ $[M + H]^+$ 495.1043, found 495.1046.

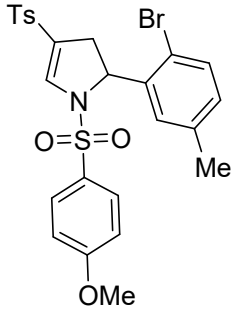



**14aa**

**1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2-(3-(trifluoromethyl)phenyl)-2,3-dihydro-1H-pyrrole (14aa)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 107.2-108.9 ℃, 94.0 mg, yield: 87%; FT-IR (KBr) *v* 3103, 3067, 2956, 2836, 1599, 1506, 1458, 1260, 1026, 990, 909, 840, 738, 678, 586. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 8.1 Hz, 2H), 7.54

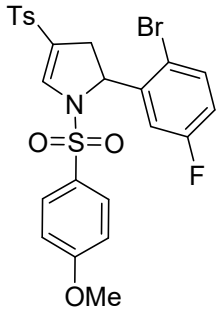
(s, 1H), 7.48 – 7.40 (m, 3H), 7.36 – 7.31 (m, 4H), 7.20 (s, 1H), 6.81 (d, $J$ = 8.9 Hz, 2H), 5.12 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.1 Hz, 1H), 3.83 (s, 3H), 3.29 – 3.20 (m, 1H), 2.63 (dd, $J_1$ = 16.0 Hz, $J_2$ = 6.7 Hz, 1H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.6, 144.6, 140.4, 140.2, 136.6, 131.0 (q, $J$ = 32.4 Hz), 130.2, 130.0, 129.31, 129.25, 128.5, 127.4, 125.2 (q, $J$ = 3.3 Hz), 123.50 (q, $J$ = 272.7 Hz), 123.1 (q, $J$ = 1.3 Hz), 120.3, 114.4, 65.2, 55.6, 38.8, 21.5. HRMS (ESI) calcd for $C_{25}H_{23}F_3NO_5S_2^+$ $[M + H]^+$ 538.0964, found 538.0960.




**14ab**

**2-(2-bromo-5-methylphenyl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14ab)**

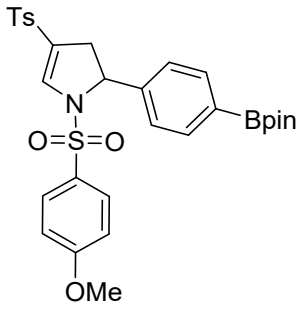
Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, m.p.: 192.0-194.6 ℃, 95.8 mg, yield: 85%; FT-IR (KBr) $v$ 3100, 3052, 2938, 2920, 1619, 1570, 1470, 1315, 1264, 1155, 1085, 987, 817, 671, 597, 543, 478. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, $J$ = 7.9 Hz, 2H), 7.60 (d, $J$ = 8.5 Hz, 2H), 7.53 (s, 1H), 7.34 – 7.28 (m, 3H), 6.97 (s, 1H), 6.94 – 6.87 (m, 3H), 5.39 – 5.30 (m, 1H), 3.86 (s, 3H), 3.27 – 3.18 (m, 1H), 2.50 – 2.39 (m, 4H), 2.16 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.7, 144.4, 140.5, 137.9, 136.6, 132.4, 130.4, 129.9, 129.7, 127.6, 127.4, 121.0, 118.1, 114.4, 64.5, 55.7, 37.9, 21.5, 20.8. HRMS (ESI) calcd for $C_{25}H_{25}BrNO_5S_2^+$ $[M + H]^+$ 562.0352, found 562.0355.




**14ac**

**2-(2-bromo-5-fluorophenyl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14ac)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 174.9-175.7 ℃, 103.6 mg, yield: 91%; FT-IR (KBr) *v* 3092, 3063, 3015, 1617, 1477, 1369, 1267, 1175, 1156, 1035, 736, 677, 661, 594, 610, 540. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.72 – 7.63 (m, 4H), 7.50 (s, 1H), 7.46 – 7.41 (m, 1H), 7.31 (d, $J$ = 7.9 Hz, 2H), 7.04 – 6.95 (m, 3H), 6.85 (td, $J_1$ = 8.5 Hz, $J_2$ = 2.9 Hz, 1H), 5.25 (dd, $J_1$ = 11.5 Hz, $J_2$ = 7.6 Hz, 1H), 3.88 (s, 3H), 3.32 – 3.21 (m, 1H), 2.46 – 2.36 (m, 4H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 164.0, 162.0 (d, $J$ = 248.2 Hz), 144.6, 140.3, 136.4, 134.1 (d, $J$ = 8.2 Hz), 129.9, 129.8, 127.4, 126.9, 121.7, 117.0, 116.9 (d, $J$ = 22.6 Hz), 114.7, 64.5, 55.7, 37.6, 21.6. HRMS (ESI) calcd for $C_{24}H_{22}BrFNO_5S_2^+$ $[M + H]^+$ 566.0101, found 566.0105.

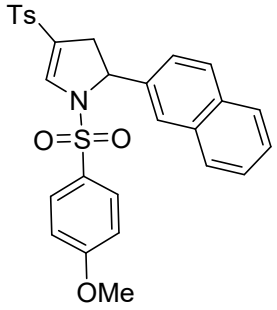



**14ad**

**1-((4-methoxyphenyl)sulfonyl)-2-(4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14ad)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 124.3-125.1 ℃, 83.0 mg, yield: 70%; FT-IR (KBr) *v* 3092, 3030, 2938, 1595, 1577, 1501, 1365, 1319, 1266, 1158, 1079, 1018, 982, 854, 742, 706, 673, 627, 591, 548. $^1$H NMR (400 MHz, $CDCl_3$)

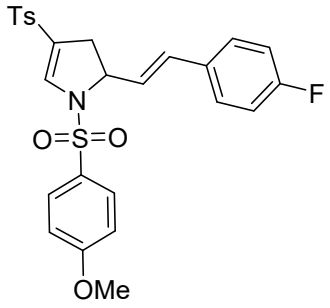
δ 7.73 (d, $J$ = 7.9 Hz, 2H), 7.61 (d, $J$ = 7.6 Hz, 2H), 7.51 (s, 1H), 7.42 (d, $J$ = 8.5 Hz, 2H), 7.32 (d, $J$ = 7.9 Hz, 2H), 7.06 (d, $J$ = 7.6 Hz, 2H), 6.80 (d, $J$ = 8.5 Hz, 2H), 5.01 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.4 Hz, 1H), 3.85 (s, 3H), 3.25 – 3.15 (m, 1H), 2.63 (dd, $J_1$ = 15.5 Hz, $J_2$ = 7.4 Hz, 1H), 2.44 (s, 3H), 1.33 (s, 12H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.5, 144.4, 142.4, 140.4, 136.9, 135.2, 129.9, 129.5, 128.8, 127.5, 125.9, 120.3, 114.4, 83.9, 66.0, 60.4, 55.6, 24.8. HRMS (ESI) calcd for $C_{30}H_{35}BNO_7S_2^+$ $[M + H]^+$ 596.1943, found 596.1947.




**14ae**

**1-((4-methoxyphenyl)sulfonyl)-2-(naphthalen-2-yl)-4-tosyl-2,3-dihydro-1H-pyrrole (14ae)**

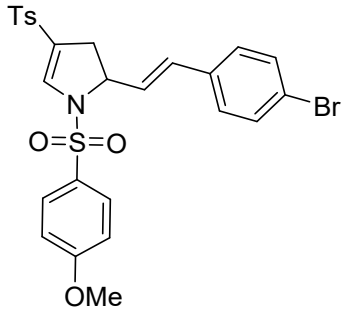
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 196.7-197.9 ℃, 81.9 mg, yield: 79%; FT-IR (KBr) $v$ 3100, 3052, 2942, 1614, 1599, 1504, 1366, 1319, 1264, 1159, 1082, 994, 852, 819, 737, 672, 600, 572, 542. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.78 – 7.72 (m, 3H), 7.68 – 7.64 (m, 1H), 7.61 – 7.56 (m, 2H), 7.50 – 7.44 (m, 3H), 7.35 – 7.29 (m, 4H), 7.11 (dd, $J_1$ = 8.5 Hz, $J_2$ = 1.6 Hz, 1H), 6.53 (d, $J$ = 8.9 Hz, 2H), 5.25 (dd, $J_1$ = 11.4 Hz, $J_2$ = 7.1 Hz, 1H), 3.65 (s, 3H), 3.30 – 3.22 (m, 1H), 2.84 – 2.76 (m, 1H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.2, 144.4, 140.3, 136.8, 136.2, 133.0, 132.8, 129.9, 129.2, 128.9, 128.8, 127.9, 127.40, 127.39, 126.4, 126.2, 123.7, 120.0, 113.9, 66.1, 55.4, 38.6, 21.5. HRMS (ESI) calcd for $C_{28}H_{26}NO_5S_2^+$ $[M + H]^+$ 520.1247, found 520.1242.




**14af**

**(E)-2-(4-fluorostyryl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14af)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 100.4-102.8 ℃, 88.8 mg, yield: 86%; FT-IR (KBr) *v* 3136, 2967, 2923, 1597, 1502, 1395, 1266, 1196, 1163, 1002, 804, 668, 583. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.2 Hz, 2H), 7.66 (d, *J* = 8.9 Hz, 2H), 7.42 (s, 1H), 7.34 (d, *J* = 7.9 Hz, 2H), 7.20 – 7.14 (m, 2H), 6.89 – 6.84 (m, 2H), 6.86 (d, *J* = 8.9 Hz, 2H), 6.40 (d, *J* = 15.8 Hz, 1H), 5.83 – 5.74 (m, 1H), 4.75 – 4.65 (m, 1H), 3.82 (s, 3H), 3.02 – 2.93 (m, 1H), 2.62 – 2.52 (m, 1H), 2.45 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.6, 162.7 (d, *J* = 248.5 Hz), 144.4, 140.0, 136.9, 132.2, 131.6 (d, *J* = 2.5 Hz), 123.0, 129.9, 129.2, 128.3 (d, *J* = 8.2 Hz), 127.5, 125.6, 120.5, 115.5 (d, *J* = 21.6 Hz), 114.5, 65.2, 55.7, 35.9, 21.6. HRMS (ESI) calcd for $C_{26}H_{25}FNO_5S_2^+$ $[M + H]^+$ 514.1153, found 514.1157.

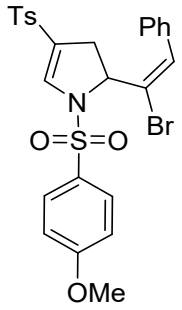



**14ag**

**(E)-2-(4-bromostyryl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14ag)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 104.8-105.6 ℃, 103.1 mg, yield: 90%; FT-IR (KBr) *v* 3077, 2961, 2935, 2855, 1726, 1637, 1598, 1509, 1404,

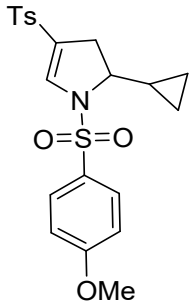
1310, 1256, 1009, 966, 795, 735, 664, 587. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, $J$ = 8.0 Hz, 2H), 7.65 (d, $J$ = 8.9 Hz, 2H), 7.40 (d, $J$ = 8.5 Hz, 3H), 7.33 (d, $J$ = 7.9 Hz, 2H), 7.05 (d, $J$ = 8.2 Hz, 2H), 6.86 (d, $J$ = 8.9 Hz, 2H), 6.37 (d, $J$ = 15.8 Hz, 1H), 5.90 – 5.82 (m, 1H), 4.75 – 4.65 (m, 1H), 3.80 (s, 3H), 2.97 (dd, $J_1$ = 14.7 Hz, $J_2$ = 11.3 Hz, 1H), 2.56 (dd, $J_1$ = 15.3 Hz, $J_2$ = 6.9 Hz, 1H), 2.44 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.6, 144.4, 140.0, 136.8, 134.4, 132.0, 131.6, 129.9, 129.8, 129.1, 128.1, 127.4, 126.6, 122.2, 120.5, 114.5, 65.0, 55.6, 35.8, 21.6. HRMS (ESI) calcd for $C_{26}H_{25}BrNO_5S_2^+$ $[M + H]^+$ 574.0352, found 574.0355.




**14ah**

**(E)-2-(1-bromo-2-phenylvinyl)-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14ah)**

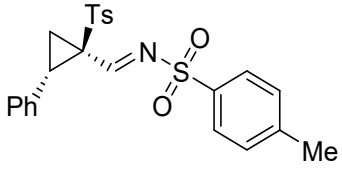
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 139.5-141.6 ℃, 94.2 mg, yield: 82%; FT-IR (KBr) $v$ 3106, 3062, 2937, 2852, 1618, 1501, 1443, 1375, 1176, 1086, 986, 860, 814, 740, 699, 609, 555. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.78 – 7.68 (m, 4H), 7.48 – 7.44 (m, 3H), 7.35 – 7.29 (m, 5H), 6.95 (s, 1H), 6.87 (d, $J$ = 9.0 Hz, 2H), 4.98 (dd, $J_1$ = 11.3 Hz, $J_2$ = 6.1 Hz, 1H), 3.80 (s, 3H), 3.14 – 3.04 (m, 1H), 2.82 – 2.74 (m, 1H), 2.42 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.7, 144.3, 140.1, 136.8, 133.8, 131.6, 129.9, 129.8, 129.1, 128.8, 128.1, 127.5, 123.3, 120.1, 114.4, 69.4, 55.6, 35.6, 21.5. HRMS (ESI) calcd for $C_{26}H_{25}BrNO_5S_2^+$ $[M + H]^+$ 574.0352, found 574.0348.




**14ai**

**3-cyclopropyl-1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrole (14ai)**

Eluent: petroleum ether/ethyl acetate (3:1),**:** white solid, m.p.: 105.3-107.2 ℃, 74.2 mg, yield: 86%; FT-IR (KBr) *v* 3084, 3003, 2943, 2846, 1594, 1505, 1356, 1265, 1156, 1093, 1021, 1156, 1021, 832, 740, 666, 594, 551. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 7.75 – 7.70 (m, 4H), 7.36 – 7.31 (m, 3H), 6.98 (d, *J* = 8.9 Hz, 2H), 3.88 (s, 3H), 3.57 – 3.49 (m, 1H), 2.80 – 2.72 (m, 1H), 2.52 – 2.42 (m, 4H), 1.01 – 0.90 (m, 1H), 0.52 – 0.42 (m, 3H), 0.12 – 0.04 (m, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.5, 144.3, 140.2, 136.8, 129.9, 129.4, 129.3, 127.4, 121.5, 114.4, 67.4, 55.7, 34.7, 21.6, 16.2, 5.8, 1.9. HRMS (ESI) calcd for $C_{21}H_{24}NO_5S_2^+$ $[M + H]^+$ 434.1090, found 434.1093.




**13a**

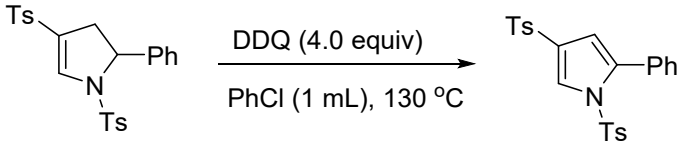
**3-methyl-*N*-((*E*)-(*trans*-2-phenyl-1-tosylcyclopropyl)methylene)benzenesulfonamide (13a)**

Eluent: petroleum ether/ethyl acetate (3:1), yellow oil, 67.1 mg, yield: 74%; FT-IR (KBr) *v* 3161, 3059, 2919, 1597, 1500, 1386, 1322, 1200, 1170, 1080, 1054, 949, 814, 770, 703, 680, 595, 528, 516. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 8.55 (s, 1H), 7.76 (d, *J* = 8.3 Hz, 2H), 7.38 – 7.29 (m, 3H), 7.18 – 7.14 (m, 3H), 6.98 – 6.96 (m, 5H), 3.97 (t, *J* = 9.1 Hz, 1H), 2.45 (s, 3H), 2.44 – 2.41 (m, 4H), 2.26 – 2.21 (m, 1H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 169.3, 145.6, 144.5, 135.7, 134.0, 130.7, 130.3, 129.6, 129.3, 128.2,

128.1, 127.98, 127.95, 52.3, 38.5, 21.7, 21.6, 18.8. HRMS (ESI) calcd for $C_{24}H_{24}NO_4S_2^+$ $[M + H]^+$ 454.1141, found 454.1146.

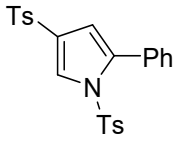
# 4. Procedure for derivations of dihydropyrrole 14.

### 4.1 Synthesis of 15




**14a** **15** (85%yield)

**Procedure:** Following reported procedure,[4] under $N_2$ atmosphere, **14a** (45.3 mg, 0.1 mmol) was dissolved in PhCl (1 mL) and DDQ (90.8 mg, 0.4 mmol, 4.0 equiv) was added. The reaction mixture was stirred at 130 ℃ for 40 hours. After completion, the reaction mixture was cooled to room temperature and filtered through a short plug of silica gel. The solvent was removed *in vacuo* and the residue was purified by flash chromatography with PE/EtOAc (3:1) as eluent to give the corresponding product **15**.

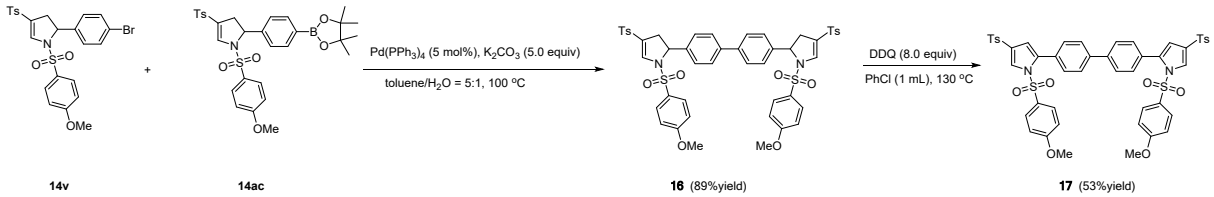



**15**

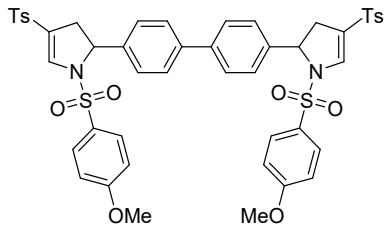
**3-phenyl-1,4-ditosyl-1H-pyrrole (15)**

Eluent: petroleum ether/ethyl acetate (3:1), yellow solid, m.p.: 153.9-154.8 ℃, 77.0 mg, yield: 85%; FT-IR (KBr) *v* 3063, 3016, 2964, 1686, 1594, 1490, 1354, 1281, 1164, 1091, 752, 572. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, *J* = 2.0 Hz, 1H), 7.85 (d, *J* = 8.3 Hz, 2H), 7.41 – 7.35 (m, 1H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.29 – 7.24 (m, 2H), 7.20 – 7.15 (m, 2H), 7.13 – 7.09 (m, 4H), 6.33 (d, *J* = 2.0 Hz, 1H), 2.42 (s, 3H), 2.37 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 146.0, 144.2, 138.8, 136.9, 134.0, 131.1, 129.9, 129.7, 129.3, 129.1, 127.9, 127.7, 127.5, 127.3, 125.7, 112.3, 21.7, 21.6. HRMS (ESI) calcd for $C_{24}H_{22}NO_4S_2^+$ $[M + H]^+$ 452.0985, found 452.0991.

### 6.2 Synthesis of 17




**Procedure:** Following reported procedure,[5] under $N_2$ atmosphere, a mixture of **14v** (76.8 mg, 0.14 mmol), **14ac** (166.7 mg, 0.28 mmol, 2.0 equiv), $K_2CO_3$ (96.6 mg, 0.7 mmol, 5.0 equiv), $Pd(PPh_3)_4$ (8.1 mg, 0.007 mmol, 5 mol%) and toluene/$H_2O$ (v/v = 5:1)was stirred and heated to 100 ℃ for 6 hours. After completion, the reaction mixture was cooled to room temperature and filtered through a short plug of silica gel. The solvent was removed *in vacuo* and the residue was purified by flash chromatography with PE/EtOAc (2:1) as eluent to give the corresponding product **16**. Then, under $N_2$ atmosphere, **16** (117.1 mg, 0.125 mmol) was dissolved in PhCl (1 mL) and DDQ (227.0 mg, 1.0 mmol, 8.0 equiv) was added. The reaction mixture was stirred at 130 ℃ for 56 hours. After completion, the reaction mixture was cooled to room temperature and filtered through a short plug of silica gel. The solvent was removed *in vacuo* and the residue was purified by flash chromatography with PE/EtOAc (3:1) as eluent to give the corresponding product **17**.

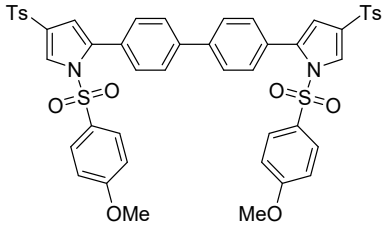



**16**

**4,4'-bis(1-((4-methoxyphenyl)sulfonyl)-4-tosyl-2,3-dihydro-1H-pyrrol-2-yl)-1,1'-biphenyl (16)**

Eluent: petroleum ether/ethyl acetate (2:1), white solid, m.p.: 132.7-134.5 ℃, 166.8 mg, yield: 89%; FT-IR (KBr) *v* 2958, 2908, 2855, 1666, 1612, 1595, 1504, 1461, 1268, 1145, 1071, 989, 738, 670, 593, 545, 519. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, $J$ = 8.2 Hz, 4H), 7.53 (s, 2H), 7.44 (dd, $J_1$ = 9.0 Hz, $J_2$ = 2.9 Hz, 4H), 7.35 – 7.29 (m, 8H), 7.18 – 7.14 (m, 4H), 6.82 – 6.76 (m, 4H), 5.12 – 5.03 (m, 2H), 3.75 (d, $J$ = 2.9 Hz, 6H),

3.25 – 3.16 (m, 2H), 2.77 – 2.69 (m, 2H), 2.44 (s, 6H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 163.48, 163.46, 144.4, 140.4, 140.33, 140.26, 138.80, 138.76, 136.8, 129.9, 129.3, 128.8, 128.7, 127.4, 127.23, 127.20, 127.1, 120.4, 120.3, 114.27, 114.26, 65.6, 55.6, 38.70, 38.69, 21.6, 21.5. HRMS (ESI) calcd for $C_{48}H_{44}N_2NaO_{10}S_4^+$ $[M + Na]^+$ 959.1771, found 959.1775.

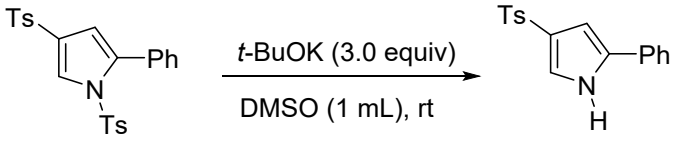



**17**

**4,4'-bis(1-((4-methoxyphenyl)sulfonyl)-4-tosyl-1H-pyrrol-2-yl)-1,1'-biphenyl (17)**

Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 240.3-243.9 ℃, 89.0 mg, yield: 53%; FT-IR (KBr) *v* 2935, 2915, 2850, 1596, 1500, 1472, 1378, 1271, 1322, 1195, 1175, 1086, 1050, 829, 710, 684, 666, 598, 532. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, $J$ = 2.0 Hz, 2H), 7.86 (d, $J$ = 8.3 Hz, 4H), 7.56 (d, $J$ = 8.3 Hz, 4H), 7.36 – 7.25 (m, 12H), 6.79 (d, $J$ = 9.0 Hz, 4H), 6.39 (d, $J$ = 2.0 Hz, 2H), 3.84 (s, 6H), 2.43 (s, 6H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 164.4, 144.3, 140.7, 138.8, 136.4, 131.6, 130.2, 129.9, 129.1, 128.3, 128.1, 127.4, 126.1, 125.9, 114.4, 112.6, 55.8, 21.6. HRMS (ESI) calcd for $C_{48}H_{40}N_2NaO_{10}S_4^+$ $[M + Na]^+$ 955.1458, found 955.1457.

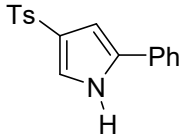
**4.3 Synthesis of 18**




**15** **18** (98%yield)

**Procedure:** Following reported procedure,[6] under $N_2$ atmosphere, **15** (45.1 mg, 0.1 mmol) was dissolved in DMSO (1 mL) and *t*-BuOK (33.6 mg, 0.3 mmol, 3.0 equiv) was added. The reaction mixture was stirred at room temperature for 1 h. The reaction was quenched with $H_2O$ (10 mL) and extracted with EtOAc (3 × 25 mL). The combined

organic phases were washed with $H_2O$ (3 × 10 mL), dried over anhydrous $Na_2SO_4$, concentrated *in vacuo*, and the residue was purified by flash column chromatography to give the corresponding product **18**.

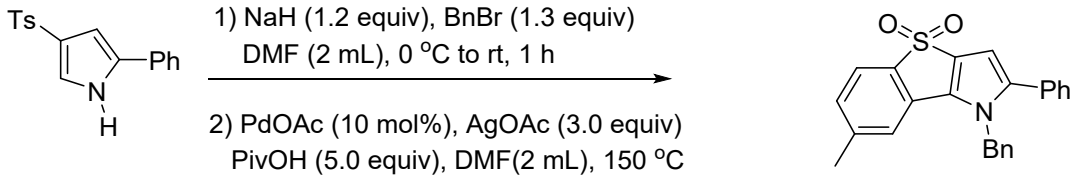



**18**

**2-phenyl-4-tosyl-1H-pyrrole (18)**

Eluent: petroleum ether/ethyl acetate (1:1), white solid, m.p.: 179.8-180.2 ℃, 29.1 mg, yield: 98%; FT-IR (KBr) *v* 3070, 2916, 2839, 1595, 1503, 1324, 1272, 1145, 1090, 1026, 837, 661, 598. $^1$H NMR (400 MHz, $CDCl_3$) δ 9.13 (s, 1H), 7.84 (d, $J$ = 8.1 Hz, 2H), 7.45 – 7.40 (m, 3H), 7.36 (t, $J$ = 7.5 Hz, 2H), 7.30 – 7.25 (m, 3H), 6.70 (s, 1H), 2.39 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 143.4, 140.3, 134.5, 130.9, 129.7, 129.0, 127.7, 126.9, 126.7, 124.4, 122.6, 104.9, 21.5. HRMS (ESI) calcd for $C_{17}H_{15}NNaO_2S^+$ $[M + Na]^+$ 320.0716, found 320.0723.

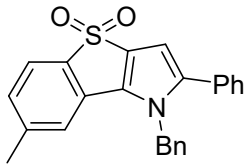
**4.4 Synthesis of 19**




**18** **19** (51%yield)

**Procedure:** Following reported procedure,[7] under $N_2$ atmosphere, to a stirred solution of **18** (59.5 mg, 0.2 mmol) in dry DMF (2 mL) was added NaH (9.6 mg, 0.24 mmol, 1.2 equiv) slowly at 0 ℃. The reaction mixture was then warmed to room temperature and stirred for 30 min. Then BnBr (31 μL, 0.26 mmol, 1.3 equiv) was added to the mixture dropwise at 0 ℃. The reaction mixture was warmed to room temperature again and stirred for 1 h. The reaction was quenched with $H_2O$ (10 mL) and extracted with EtOAc (3 × 25 mL). The combined organic phases were washed with $H_2O$ (3 × 10 mL), dried over anhydrous $Na_2SO_4$, concentrated *in vacuo*, and to give the crude product.

Then the crude product was diluted with 2 mL of DMF for the next step. $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), AgOAc (100 mg, 0.6 mmol, 3.0 equiv) and PivOH (102 mg, 1 mmol, 5.0 equiv) were added in this order. The mixture was heated at 150 ºC for 54 h under $N_2$ atmosphere. To the resulting mixture was added sat. aq. $NaHCO_3$ and extracted with EtOAc (3 × 25 mL). The combined organic phases were washed with $H_2O$ (3 × 10 mL), dried over anhydrous $Na_2SO_4$, concentrated *in vacuo*, and the residue was purified by flash column chromatography to give the corresponding product **19**.

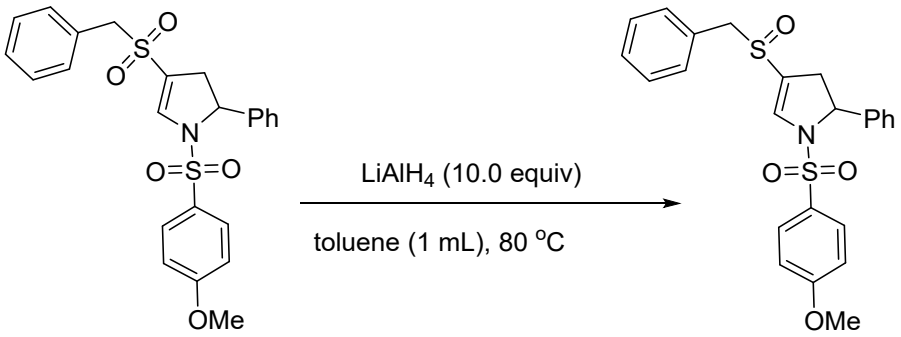



**19**

**1-benzyl-7-methyl-2-phenyl-1H-benzo[4,5]thieno[3,2-b]pyrrole 4,4-dioxide (19)**

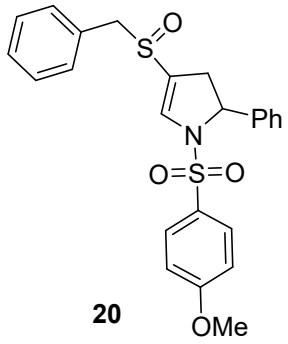
Eluent: petroleum ether/ethyl acetate (3:1), white solid, m.p.: 203.6-204.8 ℃, 38.5 mg, yield: 51%; FT-IR (KBr) *v* 3125, 3096, 2931, 1558, 1519, 1484, 1383, 1230, 1101, 1049, 911, 765, 726, 701, 625, 585. $^1$H NMR (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 7.8 Hz, 1H), 7.92 (d, *J* = 8.2 Hz, 2H), 7.57 (d, *J* = 7.6 Hz, 2H), 7.51 – 7.41 (m, 4H), 7.36 – 7.30 (m, 2H), 7.25 (d, *J* = 7.3 Hz, 2H), 6.88 (s, 1H), 5.10 (s, 2H), 2.36 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 143.2, 141.2, 140.4, 140.2, 131.7, 131.1, 130.8, 129.6, 129.0, 128.8, 127.7, 127.4, 126.5, 125.5, 122.8, 122.7, 116.3, 111.7, 51.6, 21.5. HRMS (ESI) calcd for $C_{24}H_{19}NNaO_2S^+$ $[M + Na]^+$ 408.1029, found 408.1036.

**4.5 Synthesis of 20**




**14o** **20** (60%yield)

**Procedure:** Following reported procedure,[8] under $N_2$ atmosphere, **14o** (46.9 mg, 0.1 mmol) was dissolved in toluene (1 mL) and $LiAlH_4$ (1.0 M, 1.0 mL, 10.0 equiv) was added at room temperature. The reaction mixture was stirred at 80 ℃ for 3 h. The reaction mixture was cooled to 0 °C, diluted by adding 2 mL of ethyl acetate and carefully quenched by saturated $NH_4Cl$ solution. It was then filtered using a short pad of celite and filtrate was then extracted by ethyl acetate (3 × 25 mL). The combined organic phases were washed with $H_2O$ (3 × 10 mL), dried over anhydrous $Na_2SO_4$, concentrated *in vacuo*, and the residue was purified by flash column chromatography to give the corresponding product **20**.

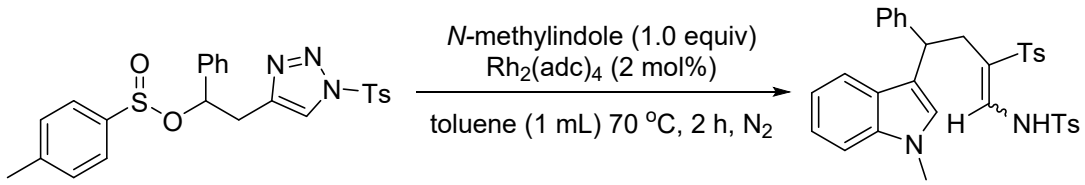



**20**

**4-(benzylsulfinyl)-1-((4-methoxyphenyl)sulfonyl)-2-phenyl-2,3-dihydro-1H-pyrrole (20)**

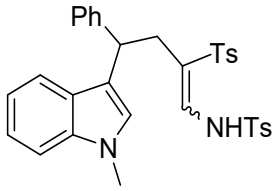
Eluent: petroleum ether/ethyl acetate (50:1), yellow oil, 27.1 mg, yield: 60%; FT-IR (KBr) *v* 3008, 2982, 1480, 1446, 1276, 1247, 1060, 913, 770, 736, 702, 621. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.57 (d, *J* = 8.7 Hz, 2H), 7.34 – 7.29 (m, 2H), 7.24 – 7.12 (m, 8H), 6.74 (d, *J* = 8.6 Hz, 2H), 6.24 (s, 1H), 4.87 (d, *J* = 4.6 Hz, 1H), 4.54 – 4.38 (m, 1H), 3.82 – 3.80 (m, 1H), 3.79 (s, 3H), 2.54 – 2.45 (m, 2H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 162.6, 141.2, 137.3, 134.0, 131.9, 129.5, 129.3, 128.8, 128.4, 128.1, 127.4, 126.5, 113.8, 55.9, 55.5, 49.6, 17.3. HRMS (ESI) calcd for $C_{24}H_{23}NNaO_4S_2^+$ $[M + Na]^+$ 476.0961, found 476.0907.

## 5. Mechanism study




**8a** **21** (70% yield)

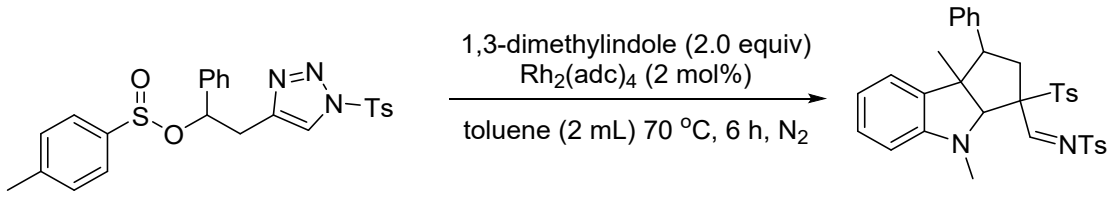
**Procedure:** Under $N_2$ atmosphere, dry toluene (1.0 mL) was added to a reaction flask charged with triazole **8a** (48.1 mg, 0.1 mmol), *N*-methylindole (13.1 mg, 0.1 mmol, 0.1 equiv) and $Rh_2(adc)_4$ (1.8 mg, 0.002 mmol, 2 mol%) at room temperature. The reaction mixture was stirred at 70 ℃ for 2 hours. After completion, the reaction mixture was cooled to room temperature. The solvent was removed *in vacuo* and the residue was purified by flash chromatography with PE/EtOAc (3:1) as eluent to give the corresponding product **21.**




**21**

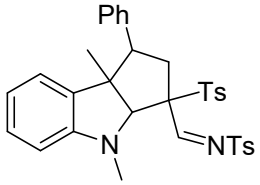
**(Z)-4-methyl-N-(4-(1-methyl-1H-indol-3-yl)-4-phenyl-2-tosylbut-1-en-1-yl)benzenesulfonamide (21)**

Eluent: petroleum ether/ethyl acetate (3:1), yellow oil, 40.9 mg, yield: 70%; FT-IR (KBr) *v* 3057, 2957, 2877, 1648, 1597, 1456, 1353, 1287, 1227, 1096, 1018, 887, 818, 749, 649, 594, 528. $^1$H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, $J$ = 8.3 Hz, 2H), 7.45 (d, $J$ = 11.7 Hz, 1H), 7.33 – 7.28 (m, 5H), 7.26 – 7.20 (m, 6H), 7.18 – 7.12 (m, 2H), 7.08 – 6.99 (m, 2H), 6.87 (s, 1H), 5.67 (d, $J$ = 11.7 Hz, 1H), 4.34 (t, $J$ = 7.4 Hz, 1H), 3.69 (s, 3H), 2.97 (dd, $J_1$ = 14.8 Hz, $J_2$ = 7.0 Hz, 1H), 2.73 (dd, $J_1$ = 14.8 Hz, $J_2$ = 7.8 Hz, 1H), 2.46 (s, 3H), 2.43 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 144.5, 144.0, 143.9, 137.2, 137.1, 135.7, 135.0, 129.8, 129.7, 128.7, 127.9, 127.5, 126.94, 126.87, 126.4, 126.2, 122.1, 119.4, 119.3, 119.1, 116.6, 109.7, 41.5, 33.3, 32.6, 21.6, 21.5. HRMS (ESI) calcd for $C_{33}H_{33}N_2O_4S_2^+$ $[M + H]^+$ 585.1876, found 585.1876.




**8a** **22** (49% yield)

**Procedure:** Under $N_2$ atmosphere, dry toluene (2.0 mL) was added to a reaction flask charged with triazole **8a** (96.2 mg, 0.2 mmol), 1,3-dimethylindole (58.0 mg, 0.4 mmol, 2.0 equiv) and $Rh_2(adc)_4$ (3.7 mg, 0.004 mmol, 2 mol%) at room temperature. The reaction mixture was stirred at 70 ℃ for 6 hours. After completion, the reaction mixture was cooled to room temperature. The solvent was removed *in vacuo* and the residue was purified by flash chromatography with PE/EtOAc (5:1) as eluent to give the corresponding product **22**.



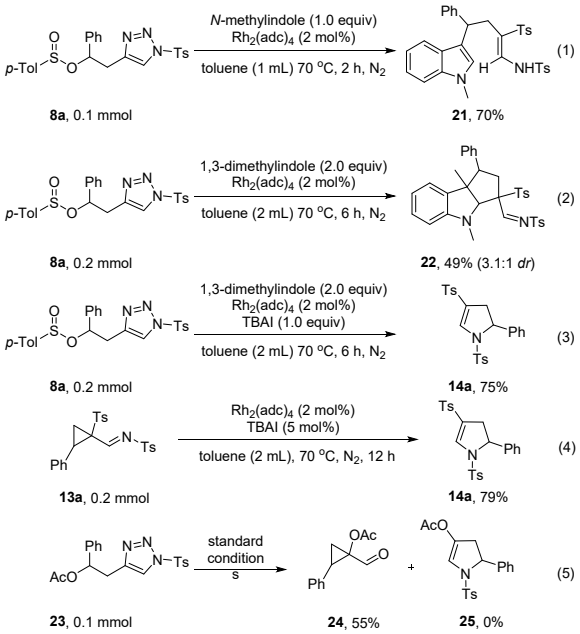

**22**

**(E)-N-((4,8b-dimethyl-1-phenyl-3-tosyl-1,2,3,3a,4,8b-hexahydrocyclopenta[b]indol-3-yl)methylene)-4-methylbenzenesulfonamide (22)**

Eluent: petroleum ether/ethyl acetate (5:1), yellow solid, 58.7 mg, yield: 49%, 3.1:1 dr; FT-IR (KBr) *v* 3077, 3015, 2975, 1596, 1514, 1489, 1336, 1264, 1224, 1142, 1097, 837, 802, 744, 682, 562. major isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.84 (s, 1H), 7.67 (d, $J$ = 8.0 Hz, 2H), 7.56 – 7.51 (m, 2H), 7.34 – 7.22 (m, 6H), 7.20 – 7.12 (m, 4H), 7.05 – 6.65 (m, 1H), 6.60 – 6.30 (m, 1H), 6.25 – 5.45 (m, 1H), 4.75 (s, 1H), 4.03 (dd, $J_1$ = 14.6 Hz, $J_2$ = 5.7 Hz, 1H), 2.96 (s, 3H), 2.95 – 2.65 (m, 1H), 2.47 (s, 3H), 2.35 (s, 3H), 1.91 (dd, $J_1$ = 15.0 Hz, $J_2$ = 5.6 Hz, 1H), 1.54 (s, 3H). minor isomer: $^1$H NMR (400 MHz, $CDCl_3$) δ 8.80 (s, 1H), 7.63 (d, $J$ = 8.2 Hz, 2H), 7.56 – 7.51 (m, 2H), 7.34 – 7.22 (m, 6H), 7.20 – 7.12 (m, 4H), 7.05 – 6.65 (m, 1H), 6.60 – 6.30 (m, 1H), 6.25 – 5.45 (m, 1H), 4.64 (s, 1H), 4.03 (dd, $J_1$ = 14.6 Hz, $J_2$ = 5.7 Hz, 1H), 3.04 (s, 3H), 2.95 – 2.65 (m, 1H), 2.40 (s, 3H), 2.37 (s, 3H), 1.91 (dd, $J_1$ = 15.0 Hz, $J_2$ = 5.6 Hz, 1H), 1.17 (s, 3H). $^{13}$C NMR (100 MHz, $CDCl_3$) δ 172.2, 171.9, 151.3, 150.7, 145.8, 144.9, 144.7, 137.5,

136.9, 135.5, 133.9, 133.7, 132.7, 131.9, 131.1, 129.90, 129.87, 129.8, 129.6, 129.5, 129.1, 129.0, 128.8, 128.3, 128.2, 128.1, 128.0, 127.92, 127.86, 127.3, 127.2, 125.8, 122.7, 119.0, 118.4, 109.5, 108.7, 84.2, 82.0, 80.6, 78.2, 58.8, 56.6, 53.0, 51.7, 38.9, 38.0, 34.7, 33.4, 28.7, 21.7, 21.6. HRMS (ESI) calcd for $C_{34}H_{35}N_2O_4S_2^+$ $[M + H]^+$ 599.2033, found 599.2033.

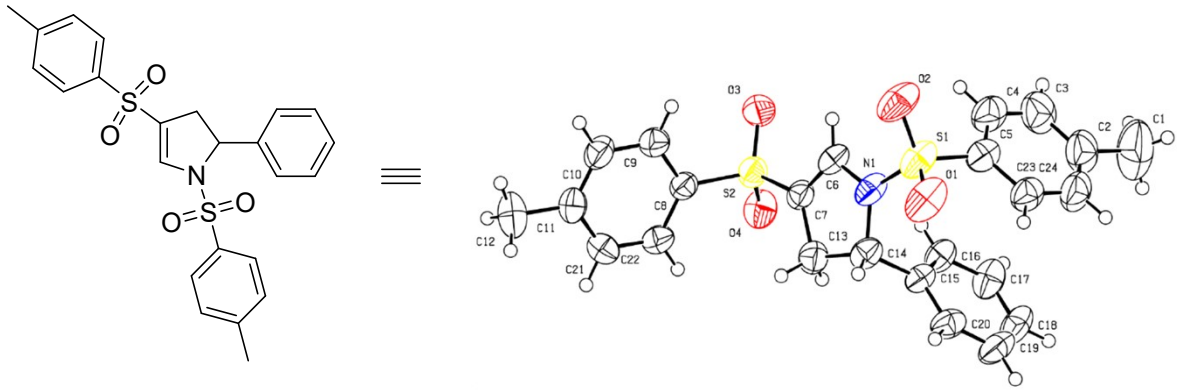
To gain insight into the mechanism, several control experiments were conducted to trap the key zwitterion **11** or **12**. In case of replacing TBAI with *N*-methylindole, neither cyclopropane nor dihydropyrrole was generated, whereas Friedel-Crafts alkylation of *N*-methylindole occurred giving 2**1** in 70% yield (eq 1). If 1,3-dimethylindole was employed rather than *N*-methylindole, the cyclized product **22** was obtained in 49% yield (eq 2). The two control experiments inferred the existence of zwitterion **11** unambiguously when no TBAI was present. Moreover, when both TBAI and 1,3-dimethylindole were added to the reaction, dihydropyrrole **14a** was generated in 75% yield and indole did not participate in the reaction (eq 3). This might be due to the weaker nucleophilic ability of indole than iodide, and indicated that iodide was involved in the generation of dihydropyrrole from intermediate **10**. Although cyclopropane could be converted to dihydropyrrole in the presence of TBAI, a much longer reaction time was needed, which illustrated that Cloke-Wilson-type rearrangement of the cyclopropane was not the main pathway for dihydropyrrole generation (eq 4). Moreover, when sulfonate was replaced with acyloxy (**23**), the corresponding cyclopropane **24** was generated without dihydropyrrole **25** detected (eq 5), indicating the importance of the sulfinate group in the reaction.


N-methylindole (1.0 equiv)
Rh2(adc)4 (2 mol%)
toluene (1 mL) 70 °C, 2 h, N2
(1)
8a, 0.1 mmol
21, 70%
1,3-dimethylindole (2.0 equiv)
Rh2(adc)4 (2 mol%)
toluene (2 mL) 70 °C, 6 h, N2
(2)
8a, 0.2 mmol
22, 49% (3.1:1 dr)
1,3-dimethylindole (2.0 equiv)
Rh2(adc)4 (2 mol%)
TBAI (1.0 equiv)
toluene (2 mL) 70 °C, 6 h, N2
(3)
8a, 0.2 mmol
14a, 75%
Rh2(adc)4 (2 mol%)
TBAI (5 mol%)
toluene (2 mL), 70 °C, N2, 12 h
(4)
13a, 0.2 mmol
14a, 79%
standard condition
s
(5)
23, 0.1 mmol
24, 55%
25, 0%

## 6. X-ray diffraction analysis of 14a

Crystallographic structure analysis of **14a**: A suitable single crystal was mounted on a Bruker APEX-II CCD at T(**14a**) = 296 K using Mo Kα radiation(λ(**14a**) = 0.71073 Å). Program(s) used to solve structure: SHELXS–97. Program(s) used to refine structure: SHELXL–2014. Software used to prepare material for publication: SHELXTL–2014. All non-hydrogen atoms were refined anisotropically. Hydrogen atoms were placed in ideal positions and refined as riding atoms. Details of the X-ray experiments and crystal data are summarized in ***Table S.***

***Figure S***. X-Ray Crystal Structure of **14a**




**14a**

***Table S.*** Crystal data and structure refinement for **14a**

| | | |
|---|---|---|
| Empirical formula | $C_{24}H_{23}NO_4S_2$ | |
| Formula weight | 453.55 | |
| Temperature | 296 K | |
| Wavelength | 0.71073 Å | |
| Crystal system, Space group | monoclinic, P $2_1$ | |
| Unit cell dimensions | a=11.278(6) Å | α=90° |
| | b=12.642(7) Å | β=104.281° |

| | |
|---|---|
| | c=16.165(9) Å γ=90° |
| Volume | 2234(2) $Å^3$ |
| Z | 4 |
| Density(calculated) | 1.349 $g/m^3$ |
| Mu | 0.269 $mm^{-1}$ |
| F(000) | 952 |
| Crystal size | 0.11*0.08*0.07 $mm^3$ |
| Theta range for data collection | 2.46 to 22.87° |
| Index ranges | -11<=h<=14, -15<=k<=16, -21<=l<=20 |
| Independent reflections | 5109 [R(int)= 0.0344] |
| Max. and min. transmission | 0.981 and 0.975 |
| Refinement method | \f and \w scans |
| Largest diff. peak and hole | 0.261 and -0.260e $Å^3$ |

# 7. References

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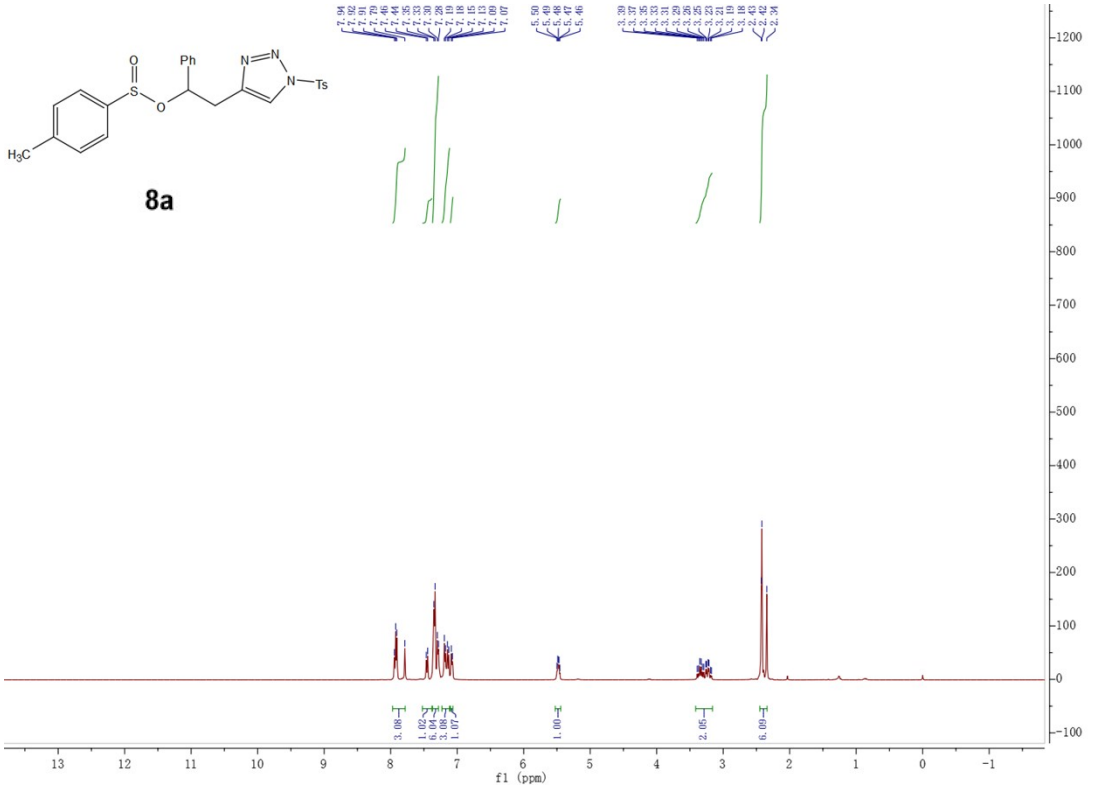
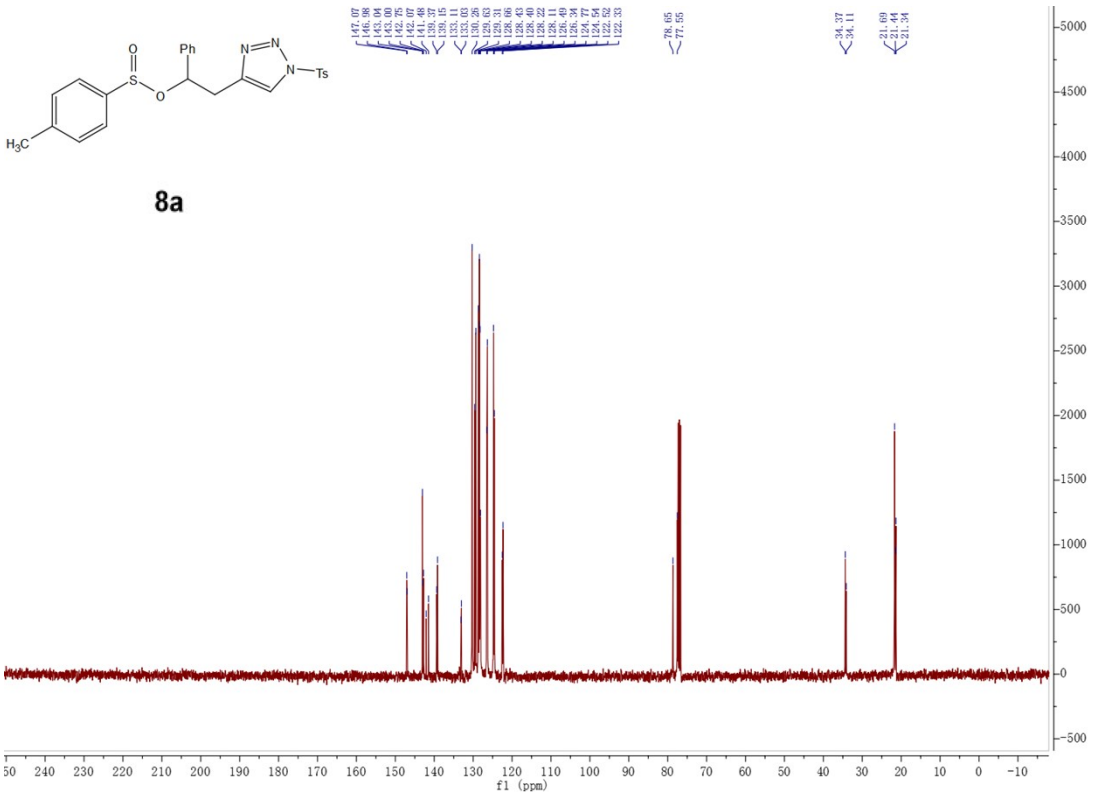
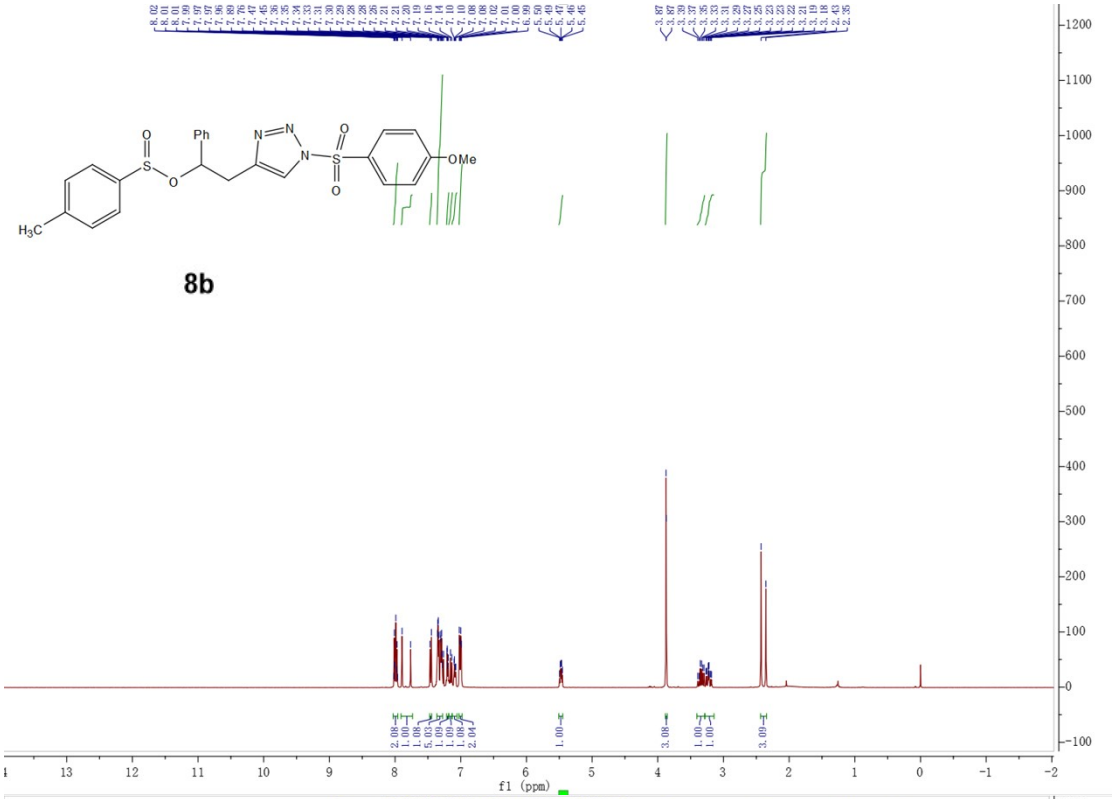
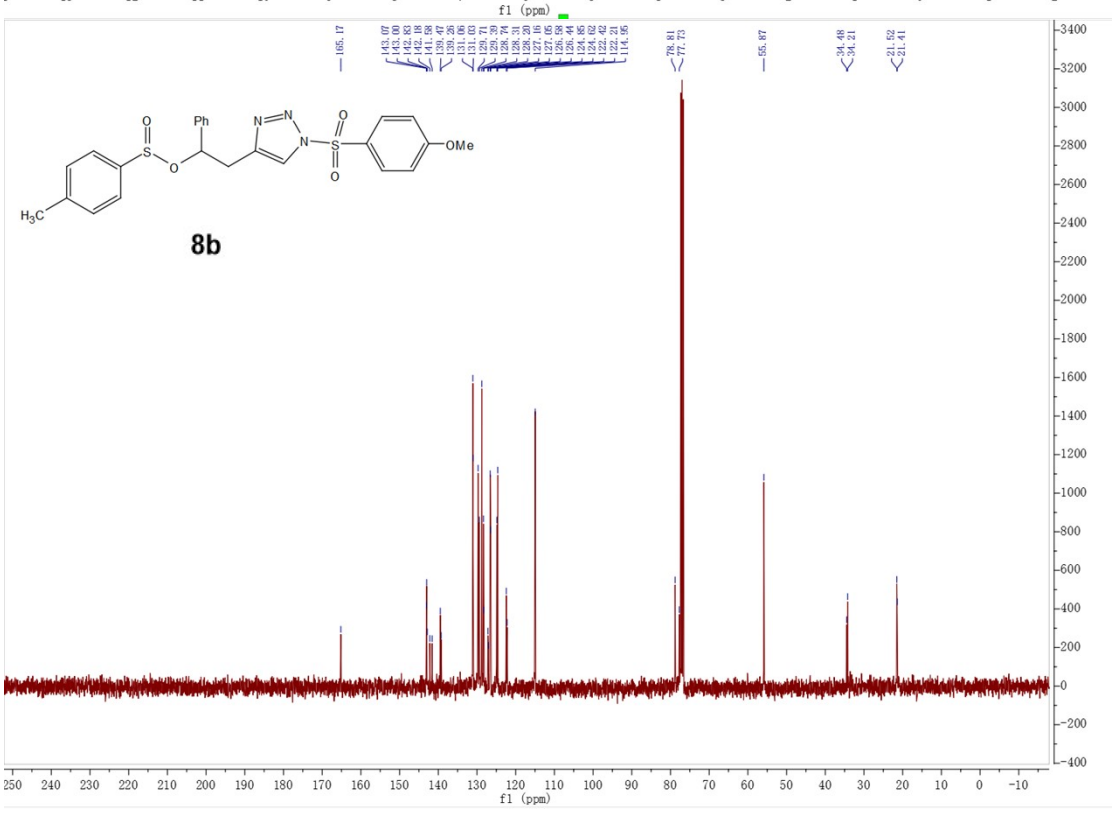
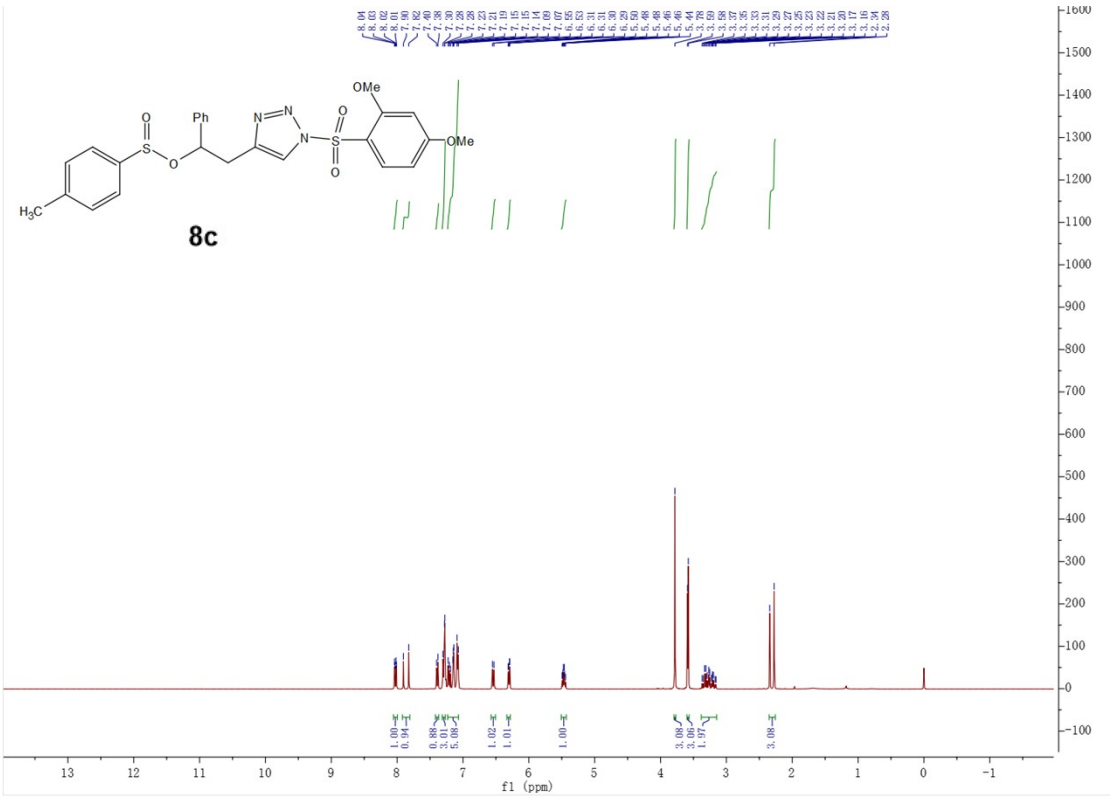
# 8. NMR spectra

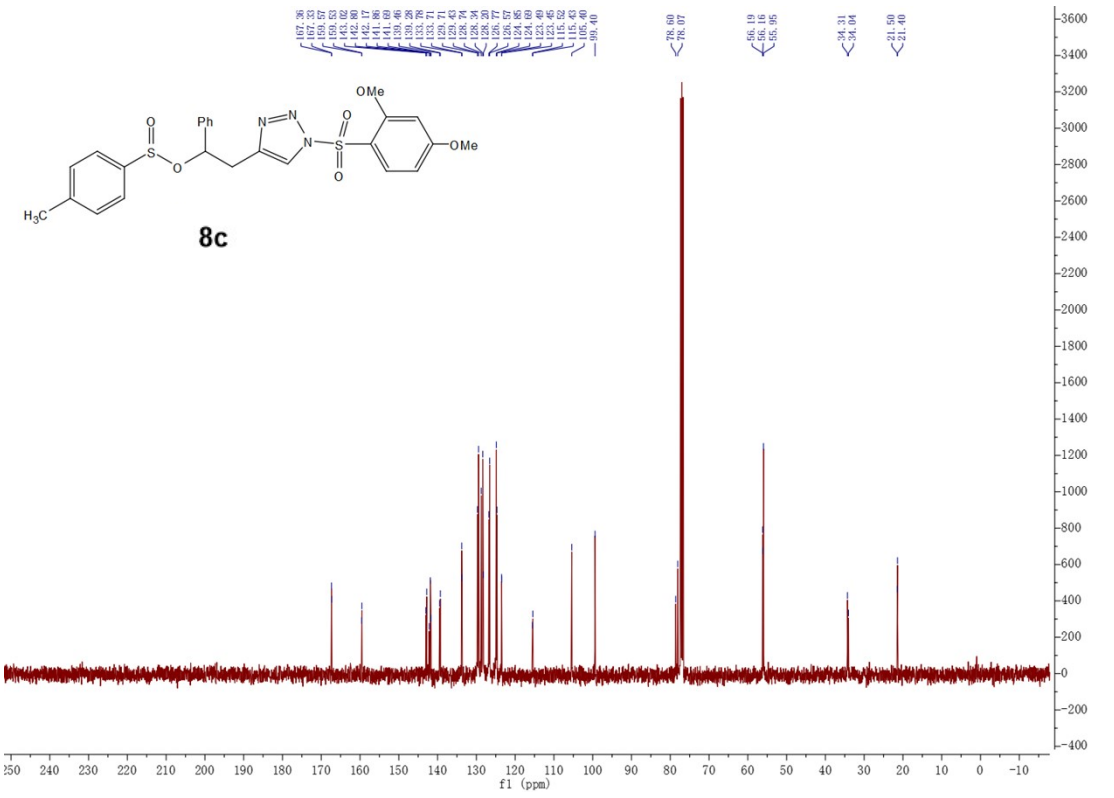


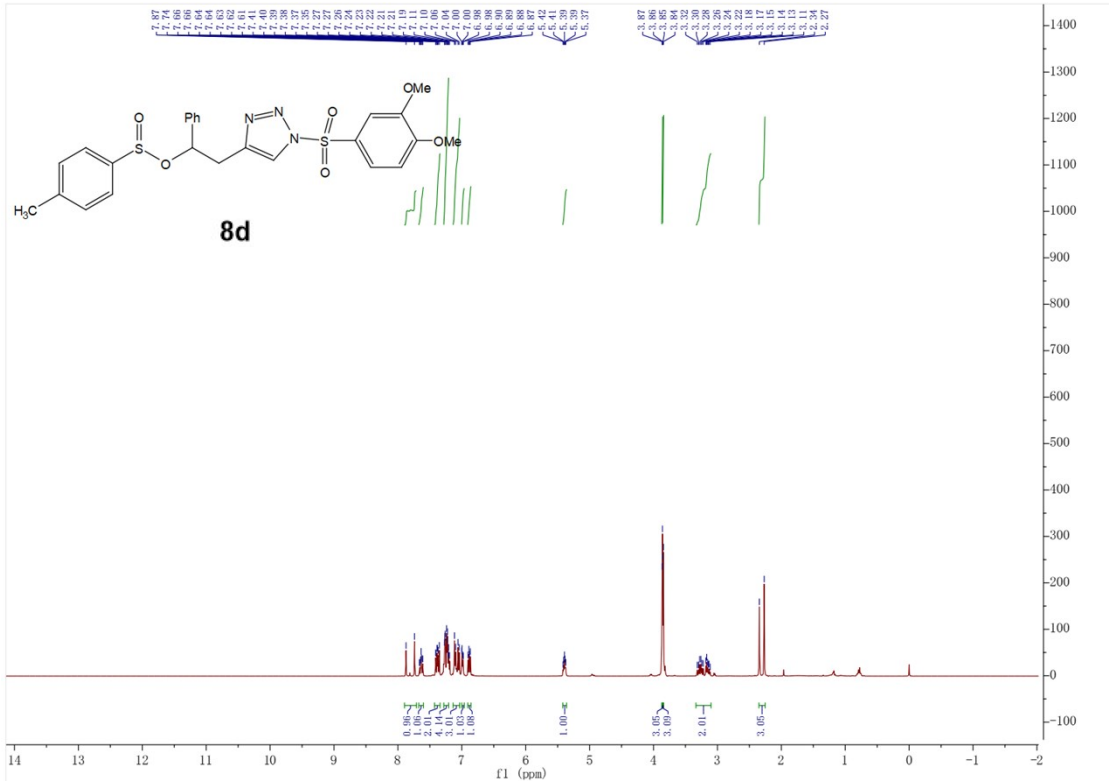



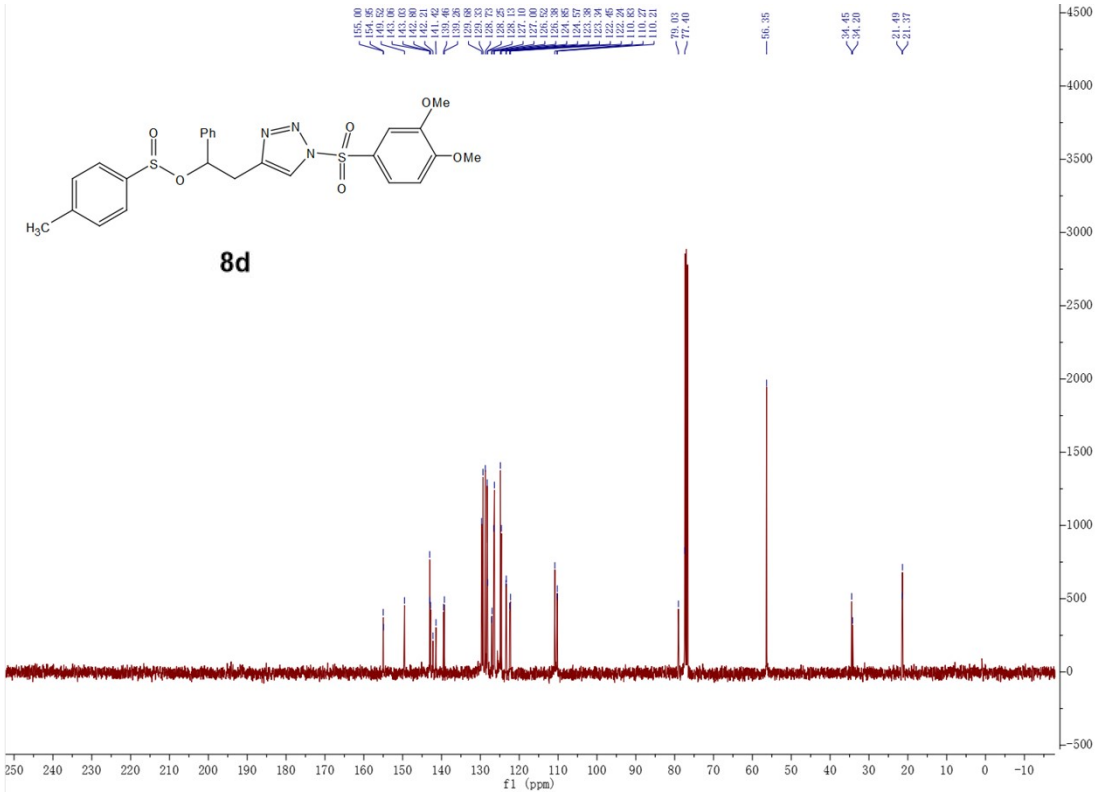

8b
f1 (ppm)


8b
f1 (ppm)


OMe
Ph
OMe
$H_3C$
8c
f1 (ppm)


OMe
Ph
OMe
$H_3C$
8c
f1 (ppm)


OMe
OMe
Ph
N
N
O
S
O
O
S
O
H3C
8d
f1 (ppm)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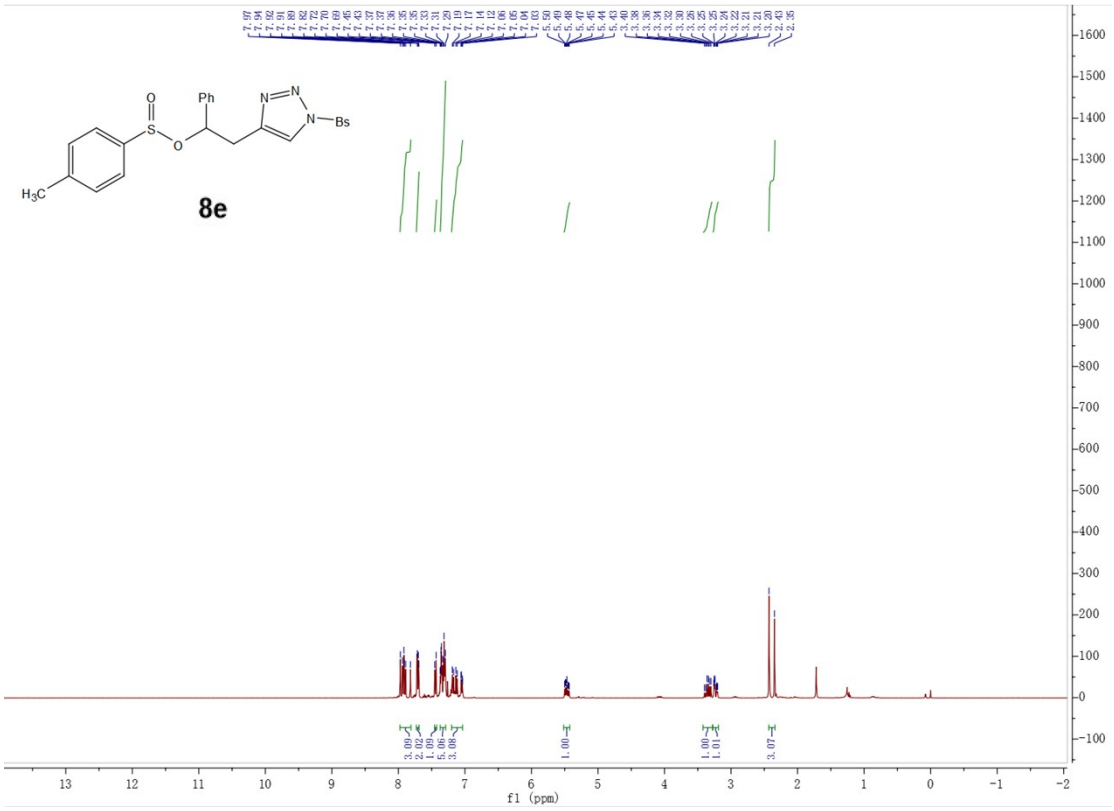
OMe
Ph
N
N
O
S
O
O
S
O
H3C
8d
f1 (ppm)


Ph
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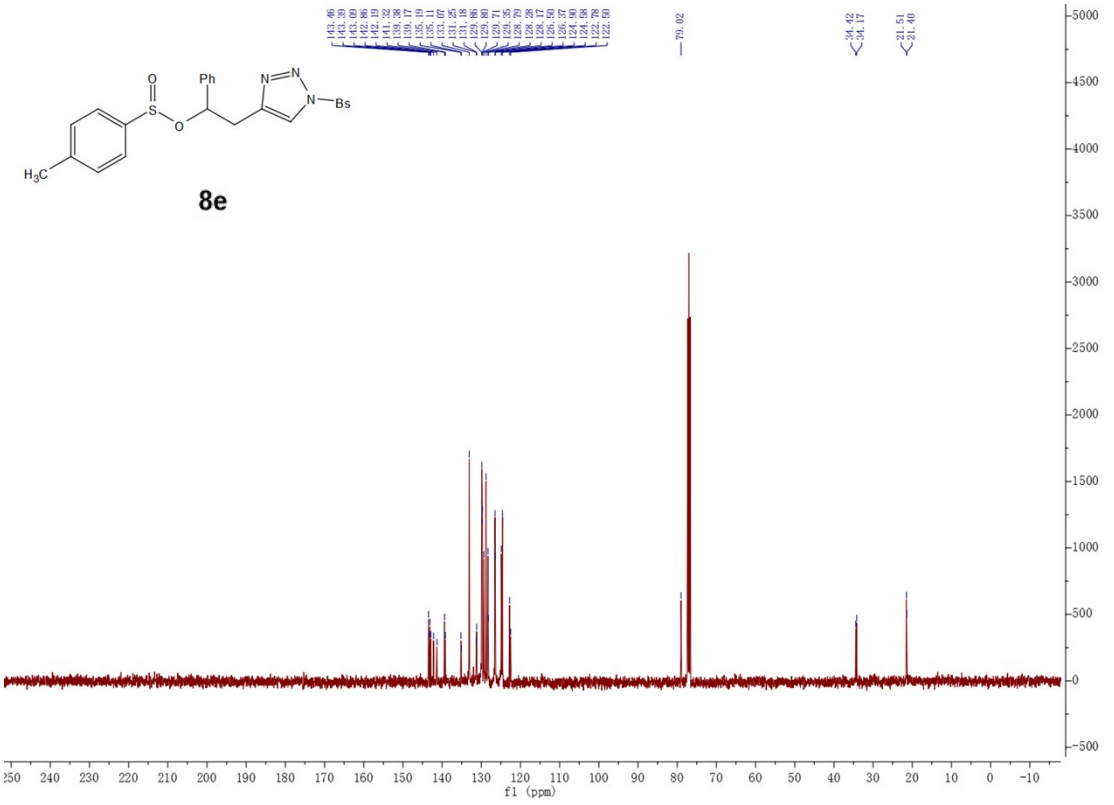
N
Bs
O
S
O
H3C
8e
f1 (ppm)


Ph
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N
Bs
O
S
O
H3C
8e
79.02
34.42
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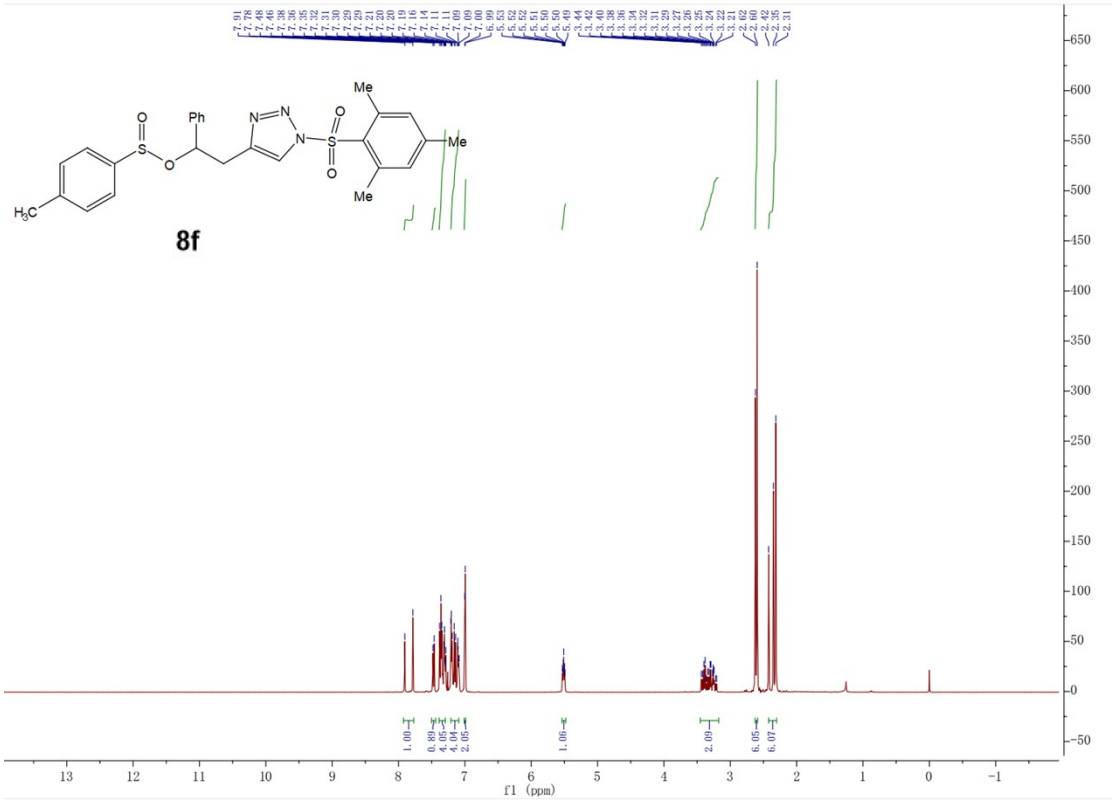
21.40
f1 (ppm)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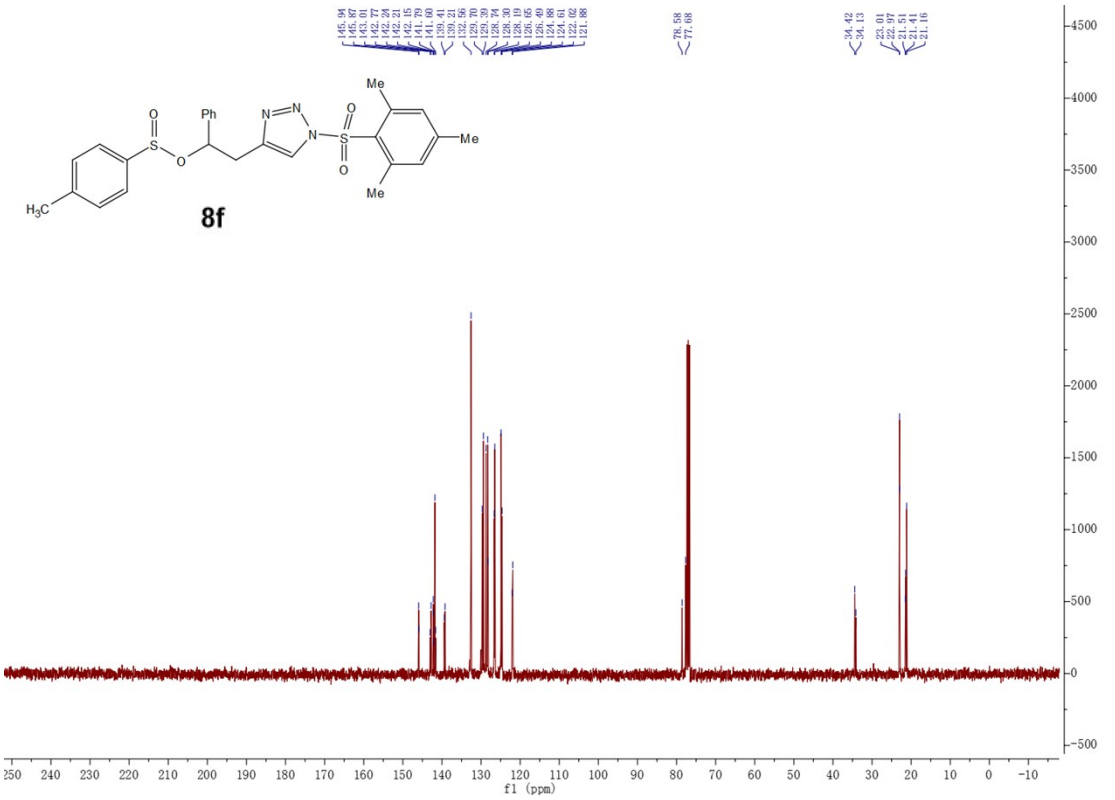
f1 (ppm)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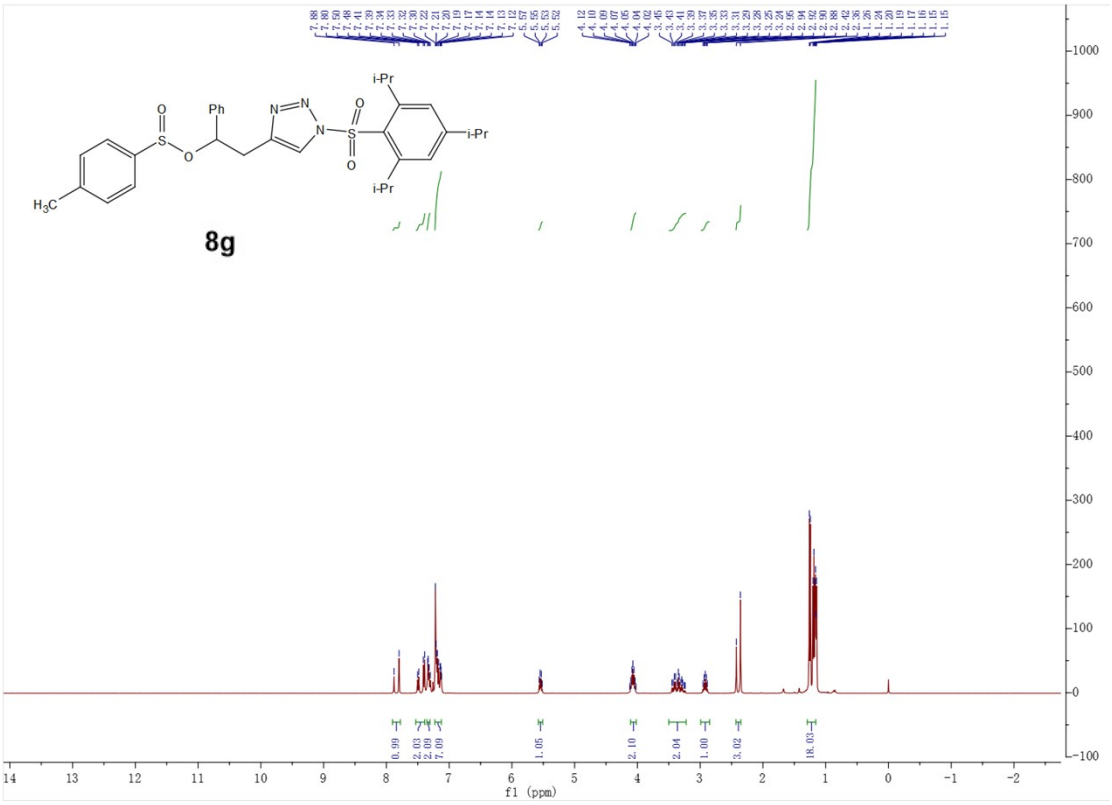
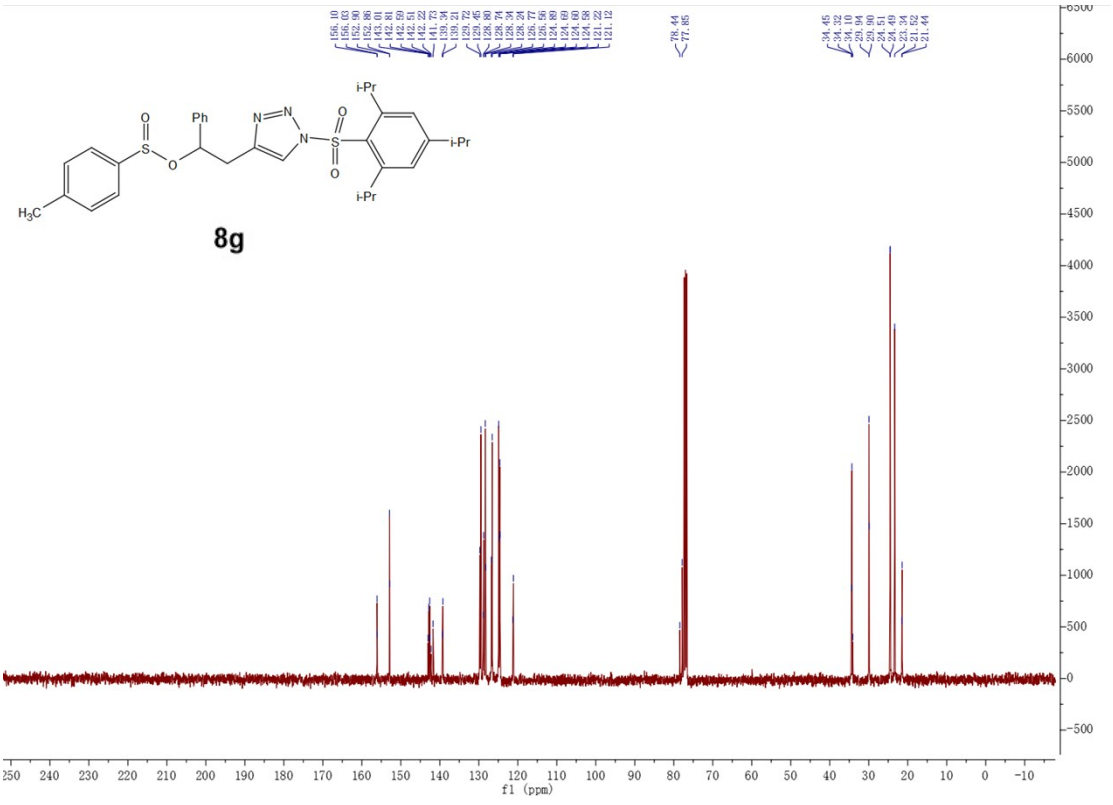
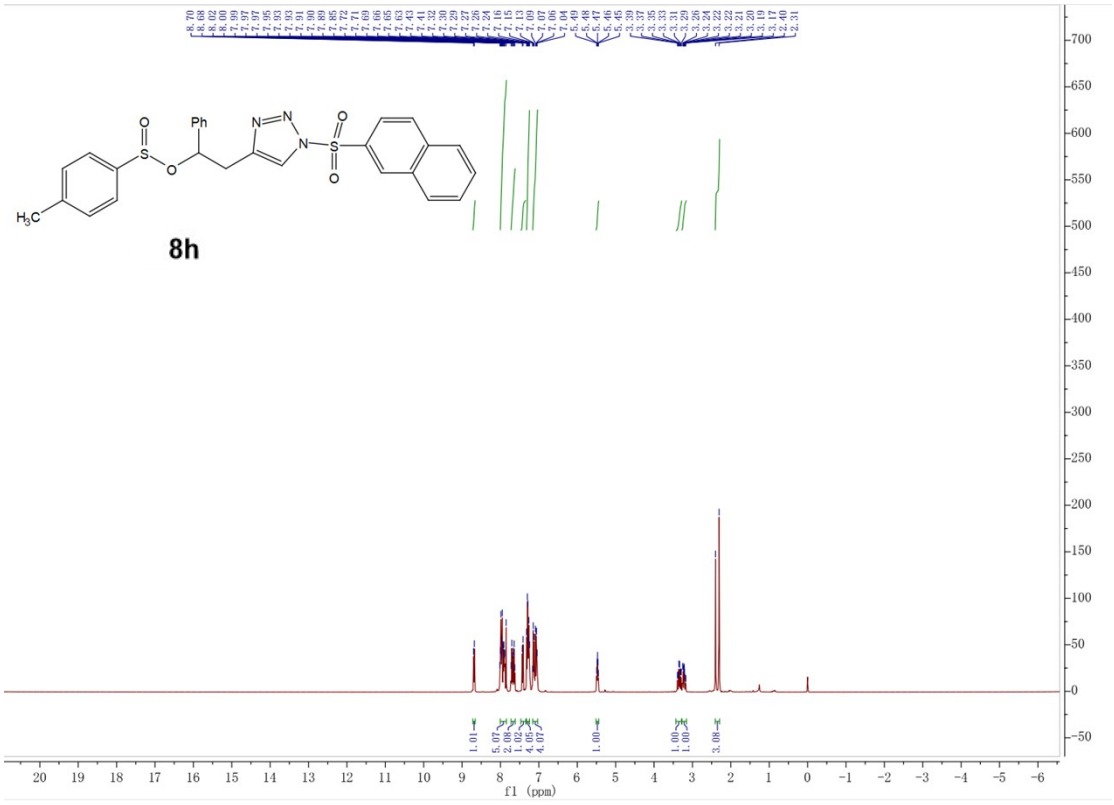
f1 (ppm)


i-Pr
Ph
i-Pr
i-Pr
$H_3C$
8g
f1 (ppm)


i-Pr
Ph
i-Pr
i-Pr
$H_3C$
8g
f1 (ppm)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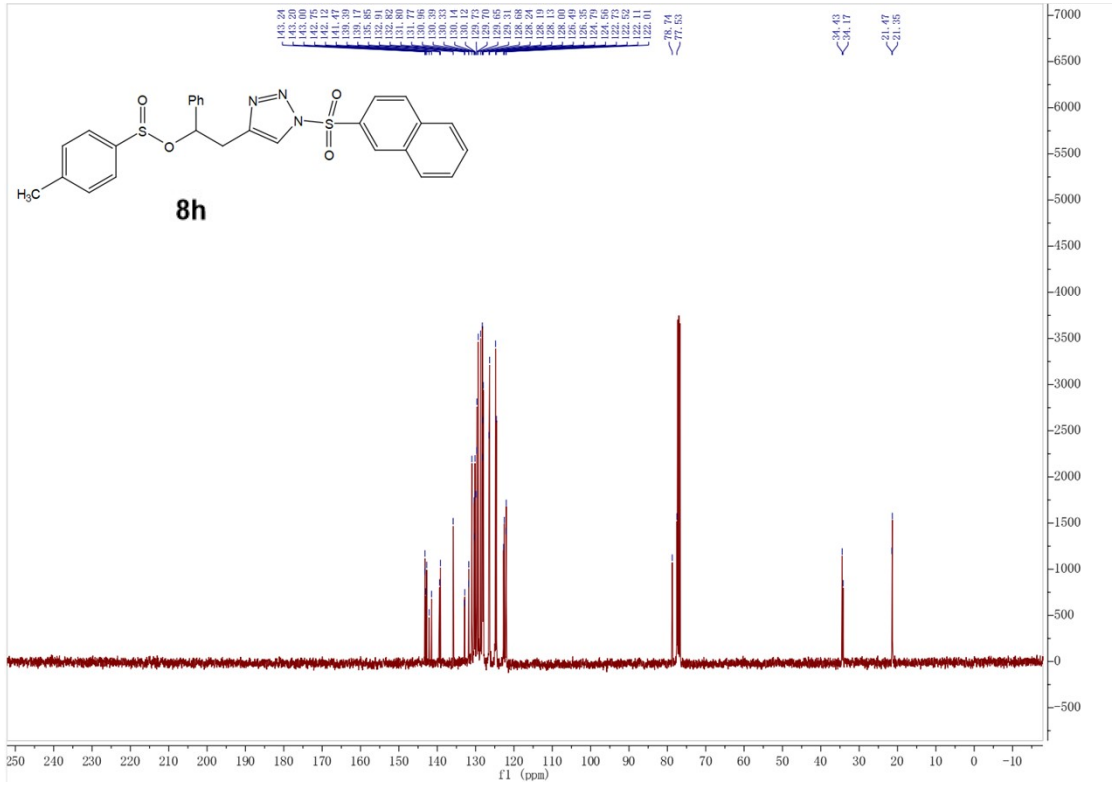
f1 (ppm)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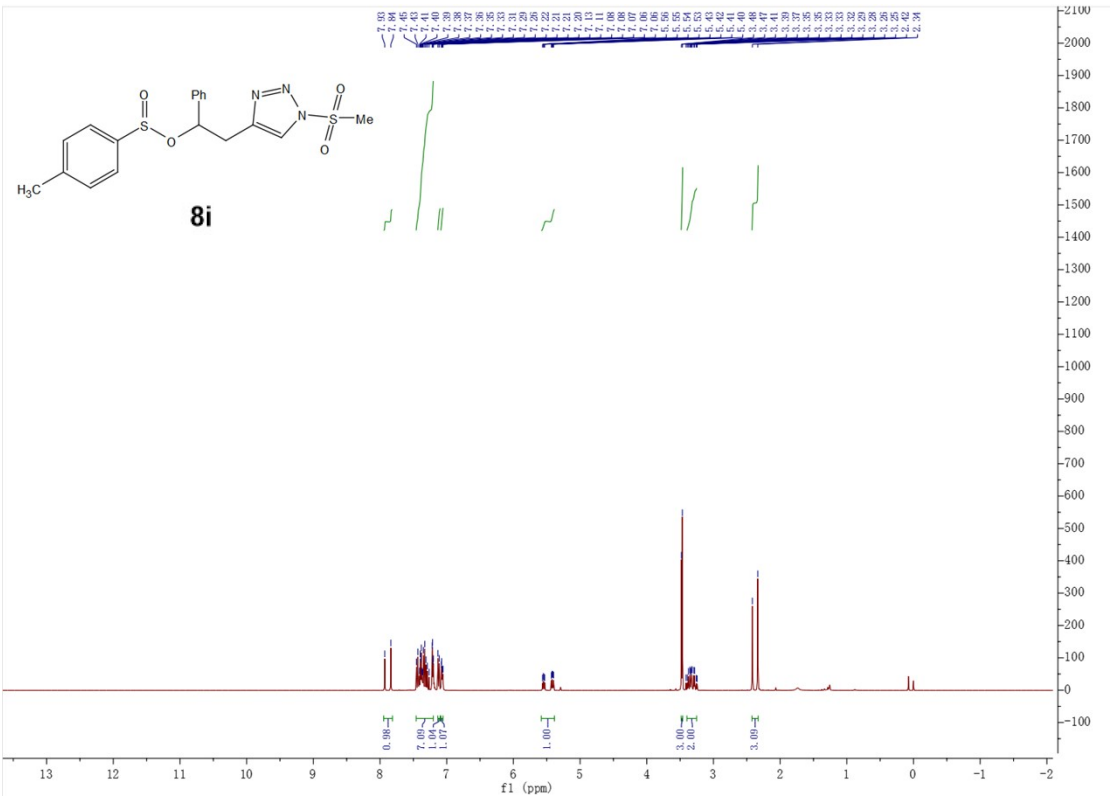
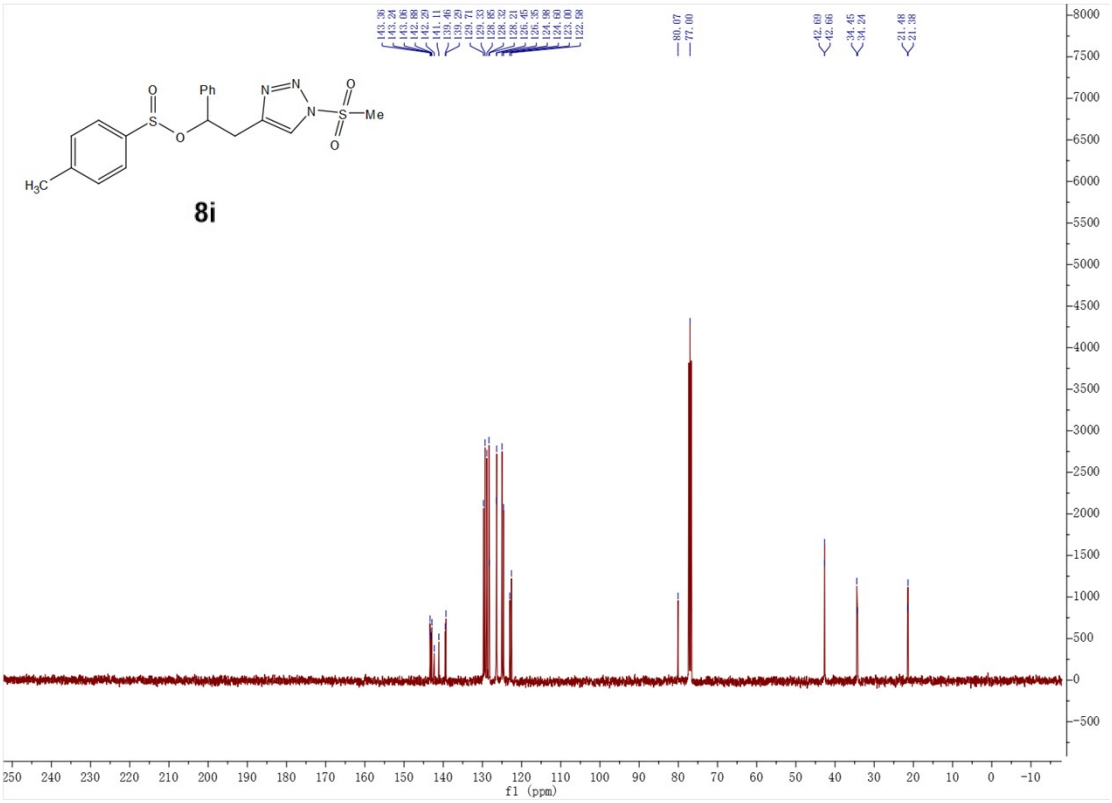
f1 (ppm)


Ph
Me
H3C
8i
f1 (ppm)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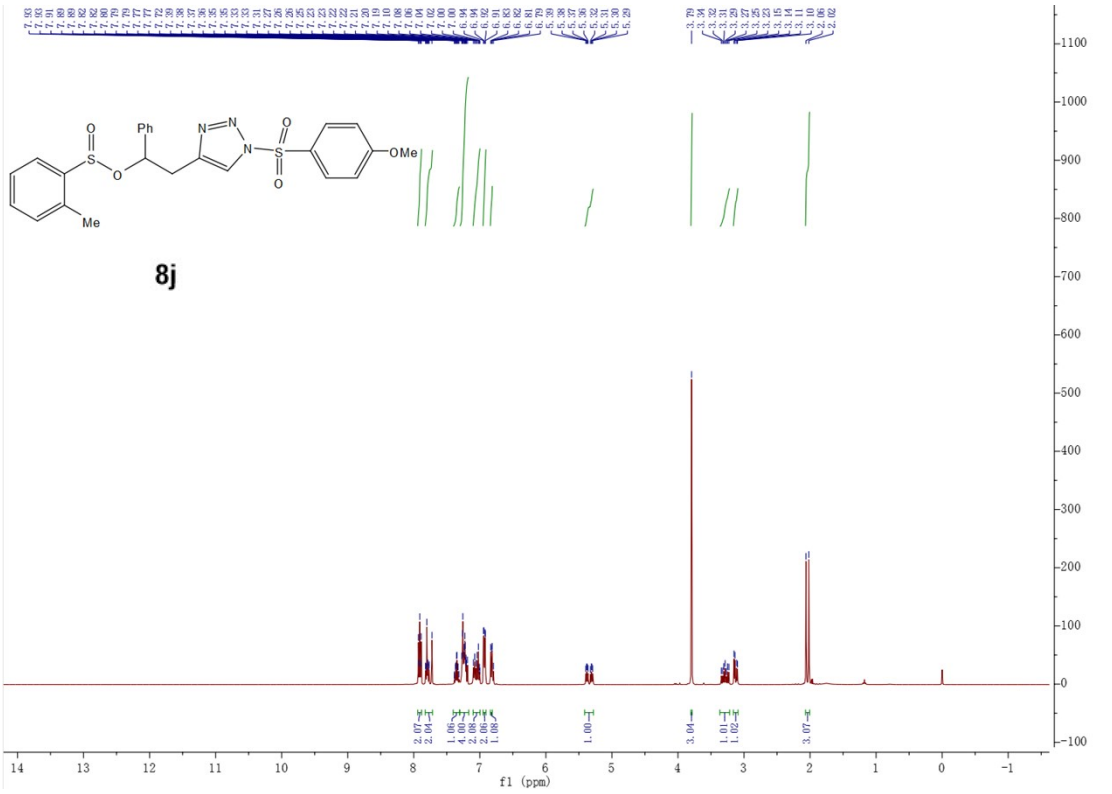
H3C
8i
f1 (ppm)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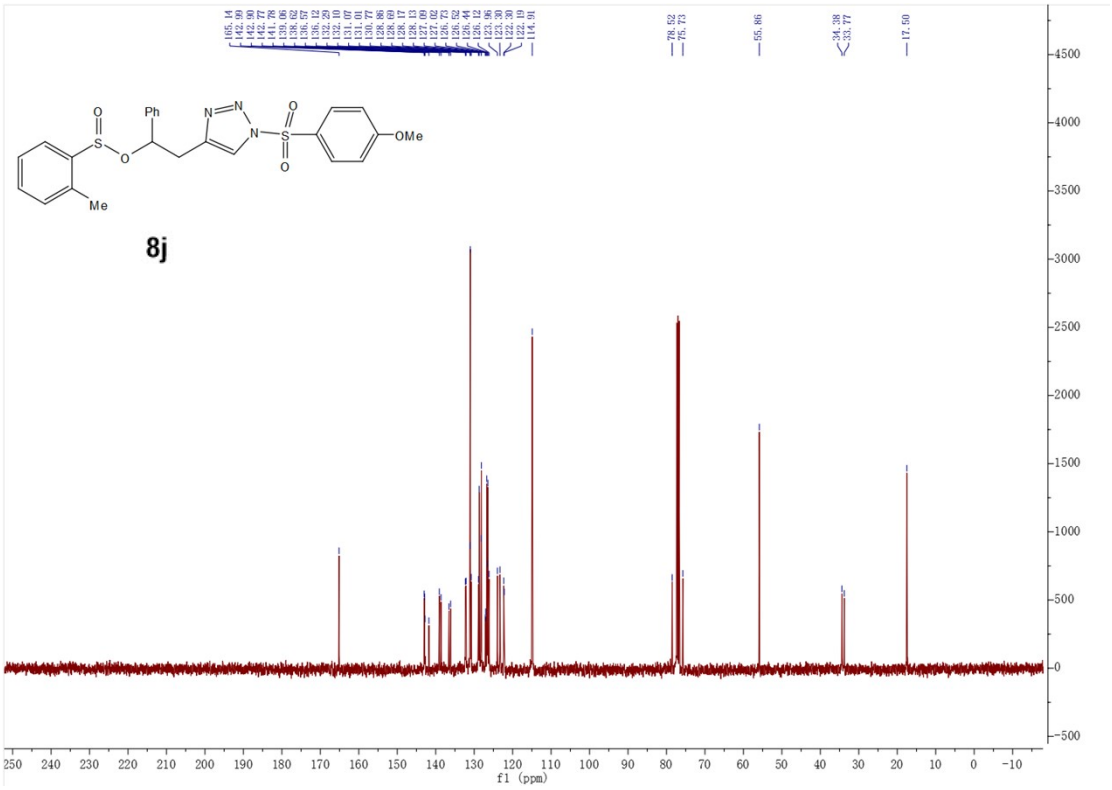
OMe
Me
8j
f1 (ppm)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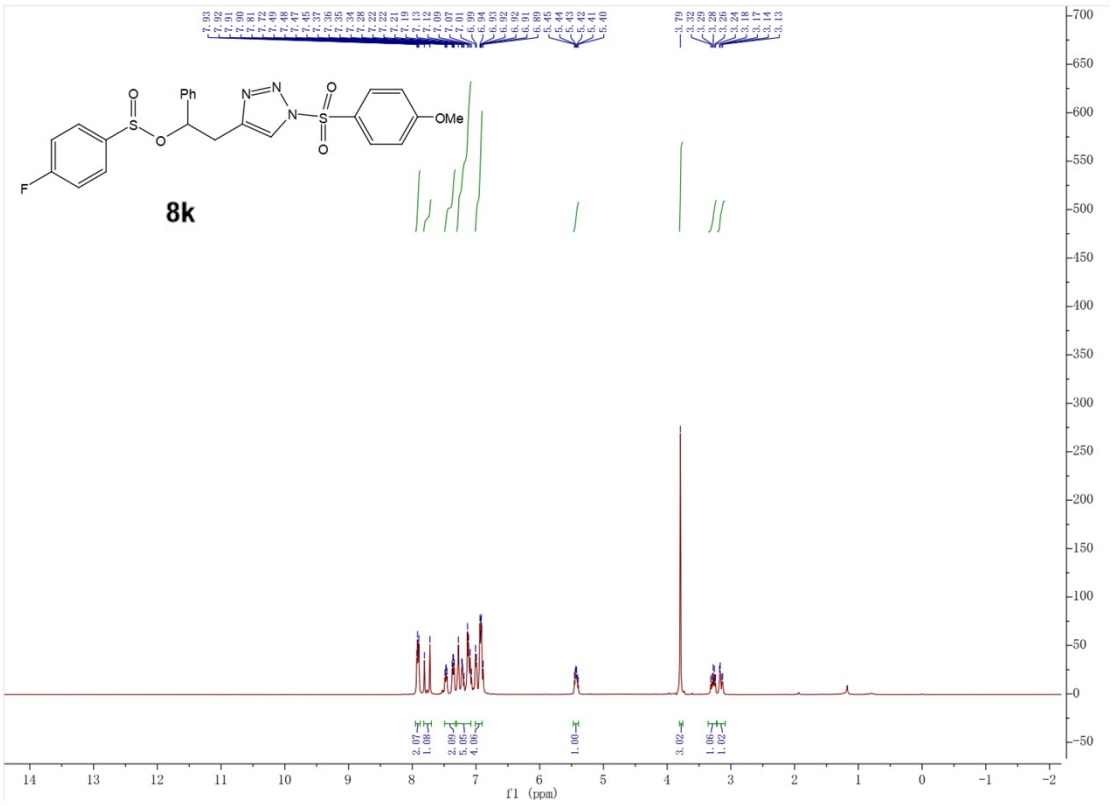
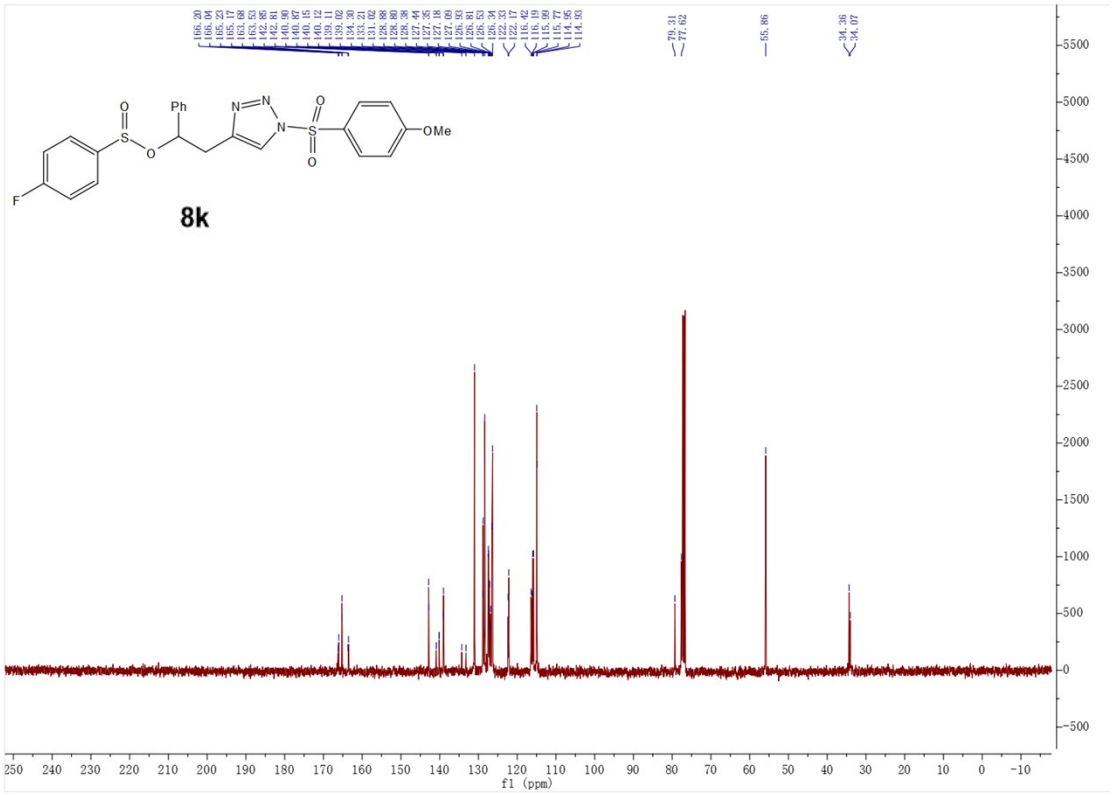
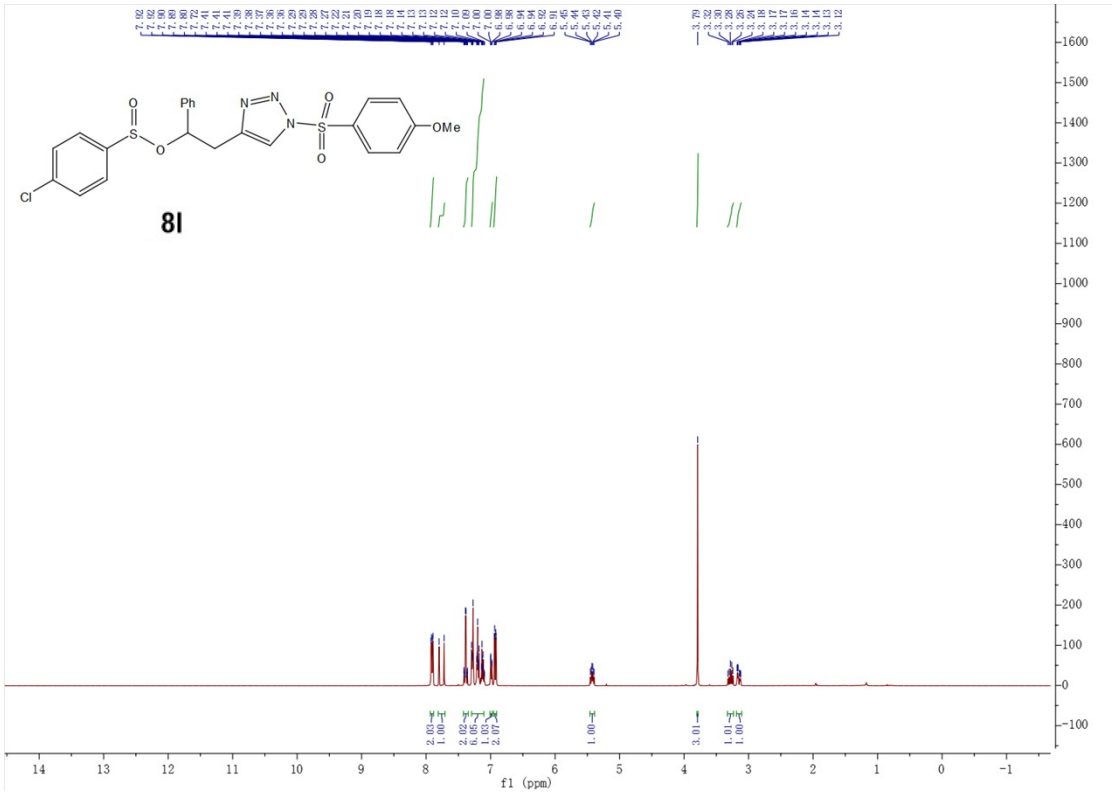
OMe
Me
8j
f1 (ppm)


8k
Ph
OMe
F
f1 (ppm)


8k
Ph
OMe
F
f1 (ppm)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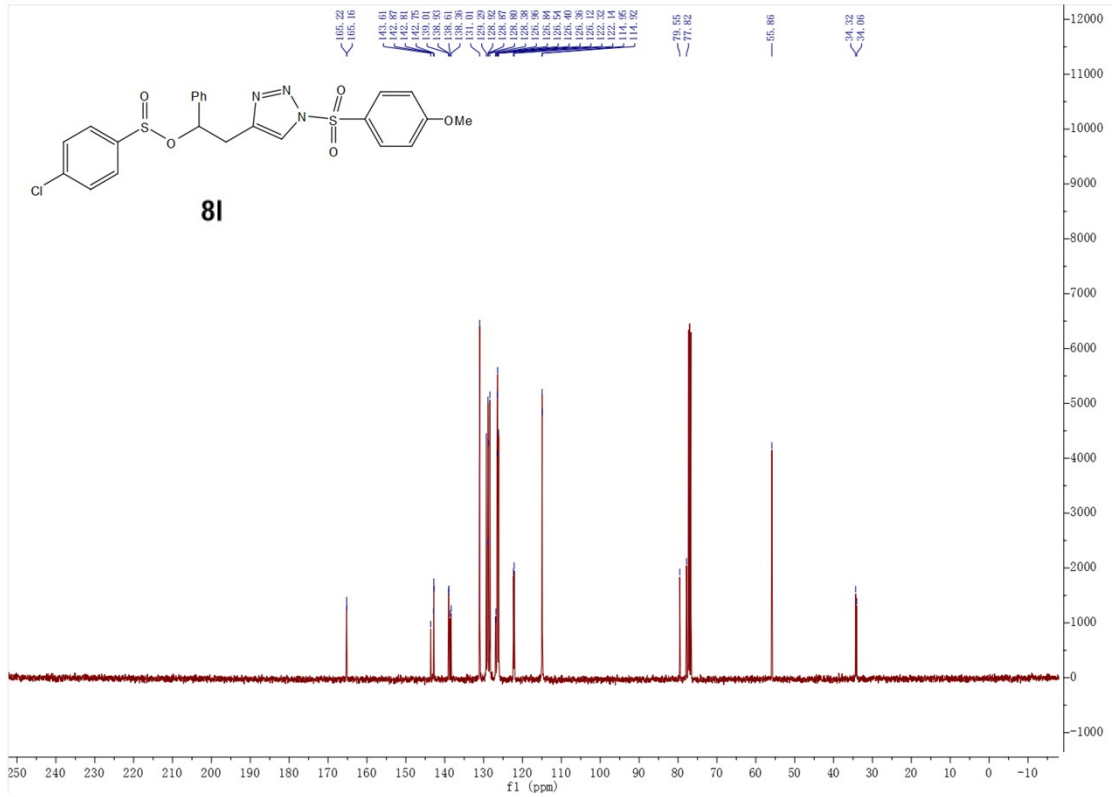
OMe
Cl
8l
f1 (ppm)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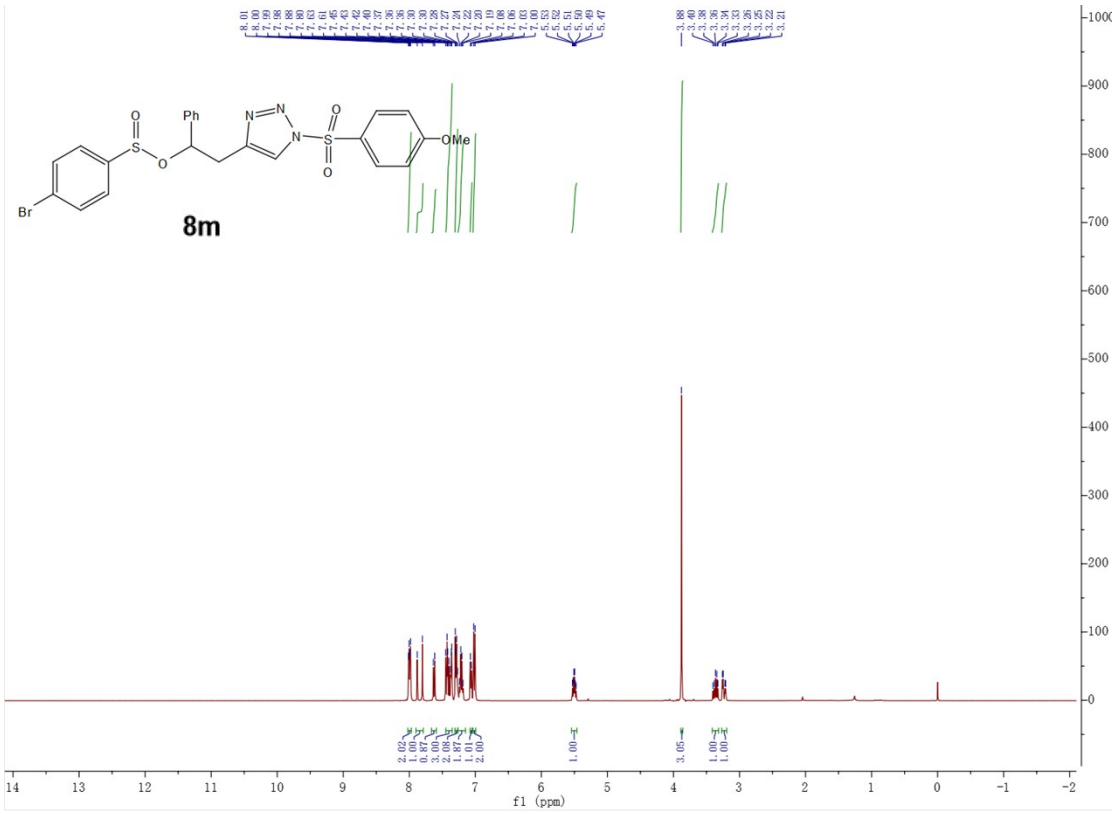
Cl
8l
f1 (ppm)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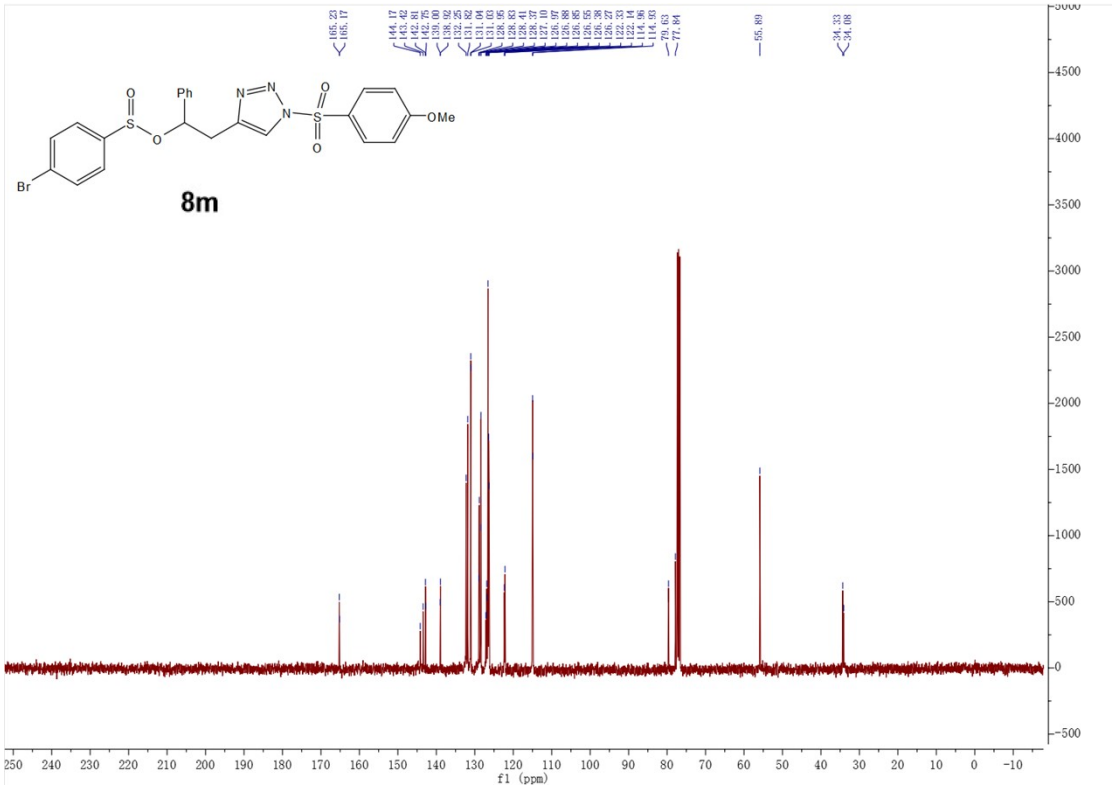
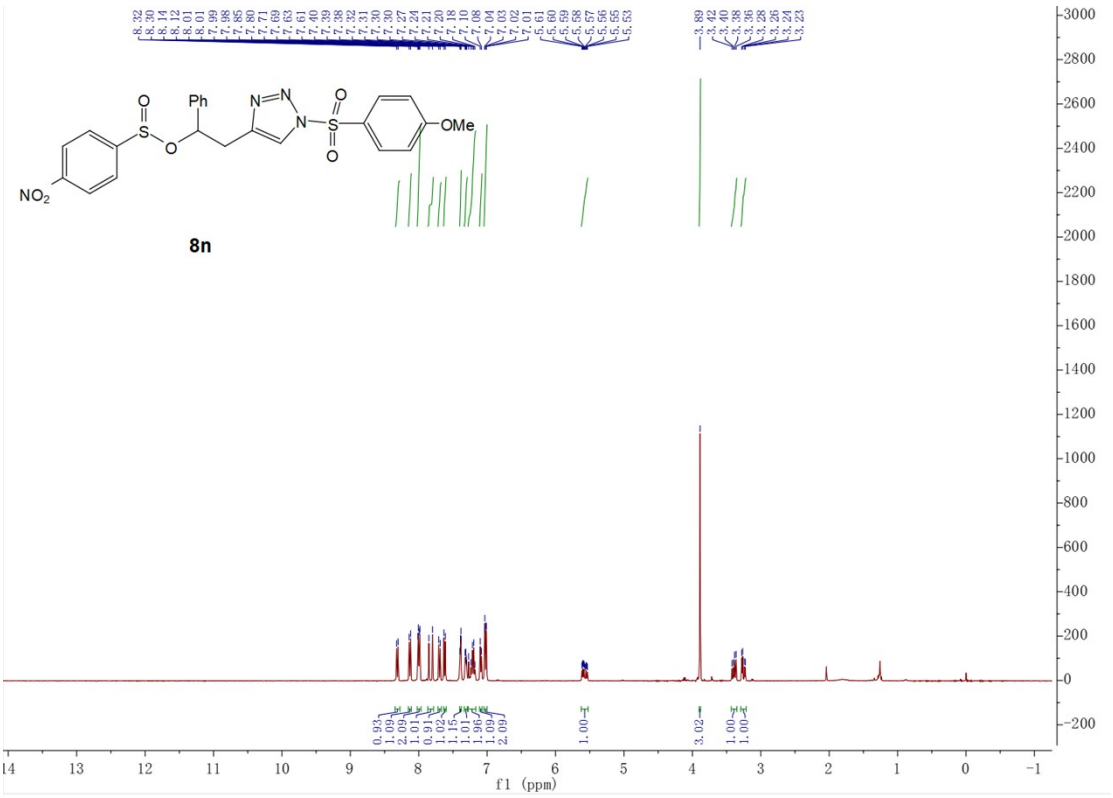
Br
8m
f1 (ppm)


Ph
OMe
Br
8m
f1 (ppm)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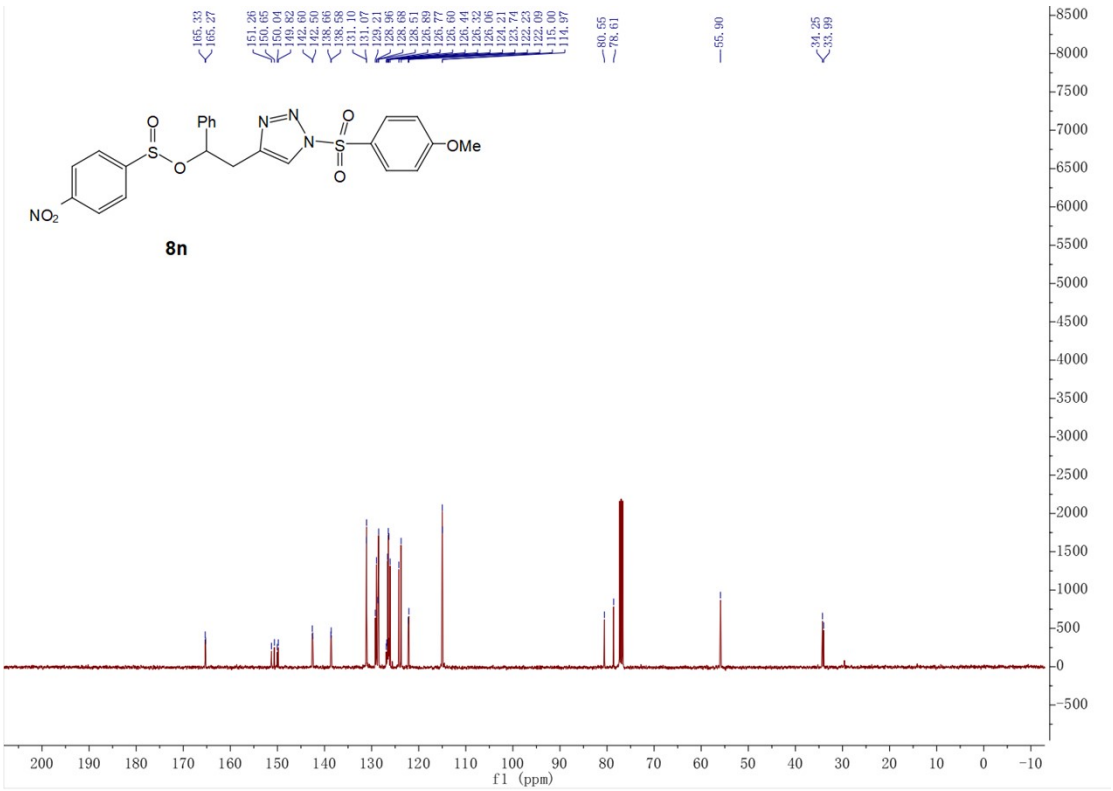
8.12
8.01
8.01
7.98
7.95
7.80
7.71
7.69
7.63
7.61
7.40
7.39
7.38
7.32
7.31
7.30
7.30
7.27
7.24
7.21
7.20
7.18
7.10
7.08
7.04
7.03
7.02
7.01
5.61
5.60
5.59
5.58
5.57
5.55
5.55
5.53
3.89
3.42
3.40
3.38
3.36
3.28
3.26
3.24
3.23
Ph
OMe
NO2
8n
0.93
1.09
2.09
1.01
0.91
1.02
1.15
1.01
1.96
1.09
2.09
1.00
3.02
1.00
1.00
f1 (ppm)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165.27
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150.65
150.04
149.82
142.60
142.50
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138.58
131.10
131.07
129.21
128.96
128.68
128.51
126.89
126.77
126.60
126.44
126.32
126.06
124.21
123.74
122.23
122.09
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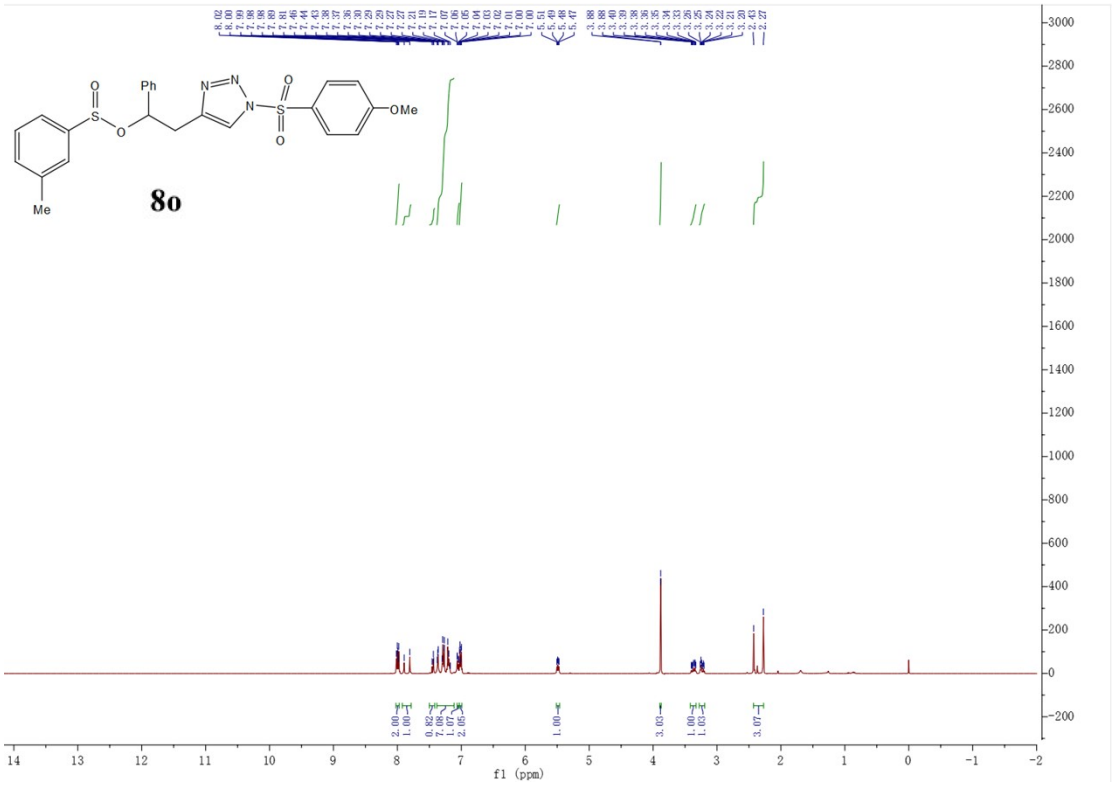
80.55
78.61
55.90
34.25
33.99
Ph
OMe
NO2
8n
f1 (ppm)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7.36
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7.28
7.27
7.27
7.21
7.19
7.17
7.07
7.06
7.05
7.04
7.03
7.02
7.01
7.00
7.00
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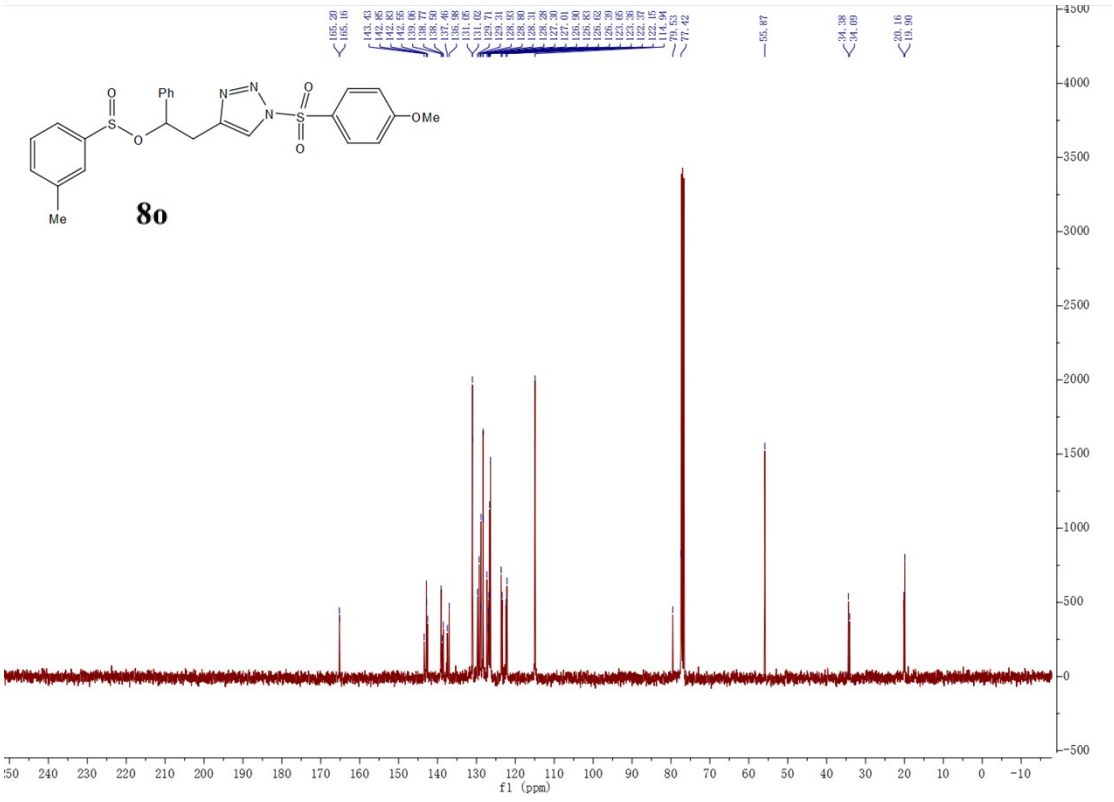
3.36
3.35
3.34
3.33
3.25
3.25
3.24
3.22
3.21
3.20
2.43
2.27
Ph
N
N
O
S
O
O
OMe
Me
8o
2.00
1.00
0.82
7.08
1.07
2.05
1.00
3.03
1.00
1.03
3.07
f1 (ppm)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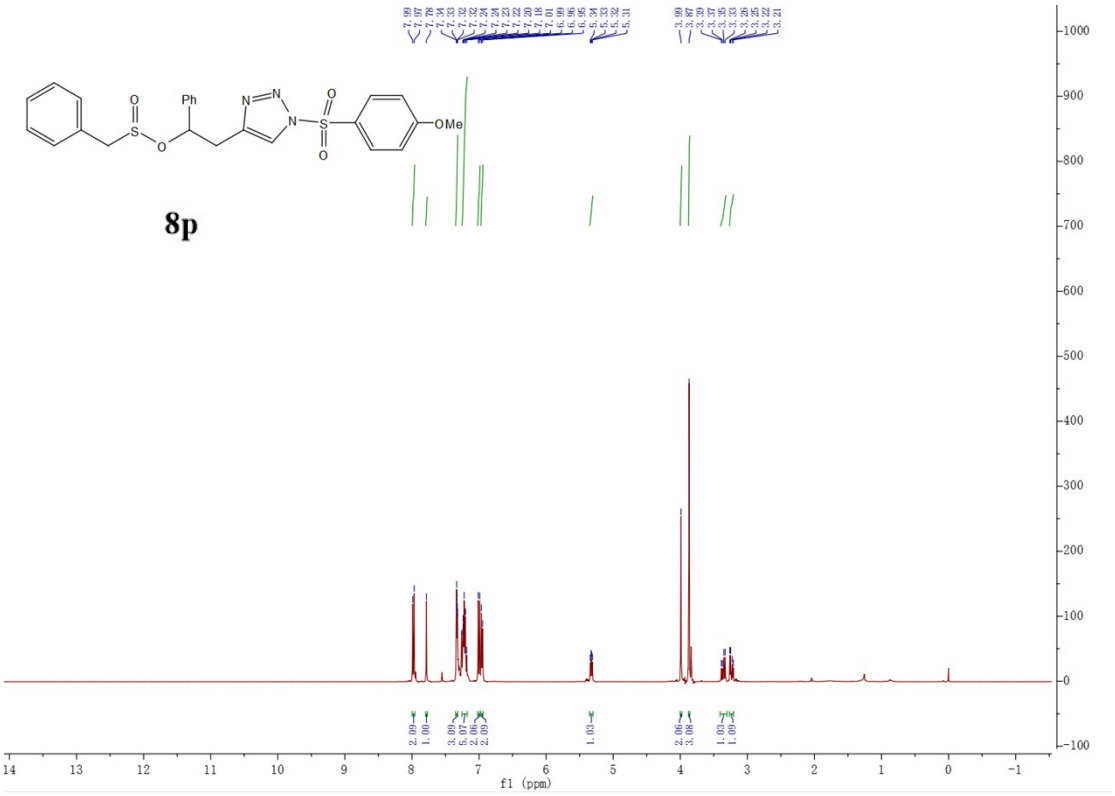
142.85
142.83
142.55
139.06
138.77
138.59
137.46
136.98
131.05
131.02
129.71
129.31
128.93
128.80
128.31
128.28
127.30
127.01
126.93
126.82
126.39
123.95
123.38
122.37
122.15
114.84
79.55
77.42
55.87
34.38
34.09
20.16
19.90
Ph
N
N
O
S
O
O
OMe
Me
8o
f1 (ppm)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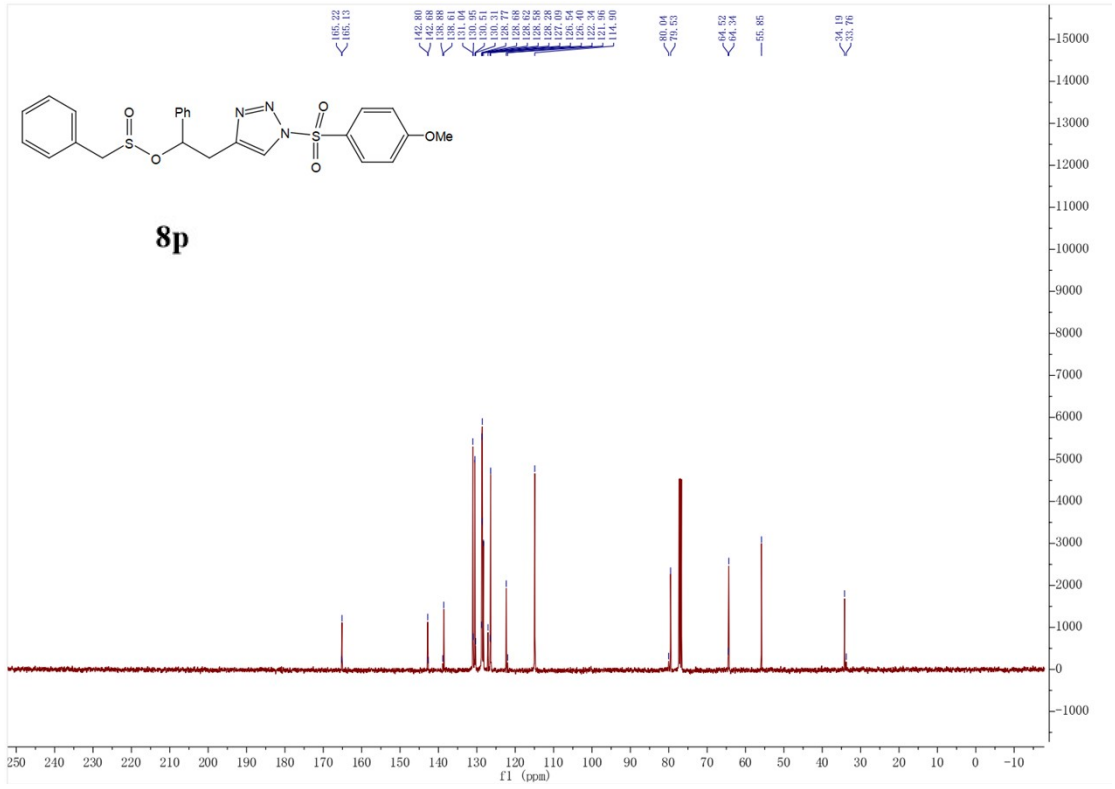
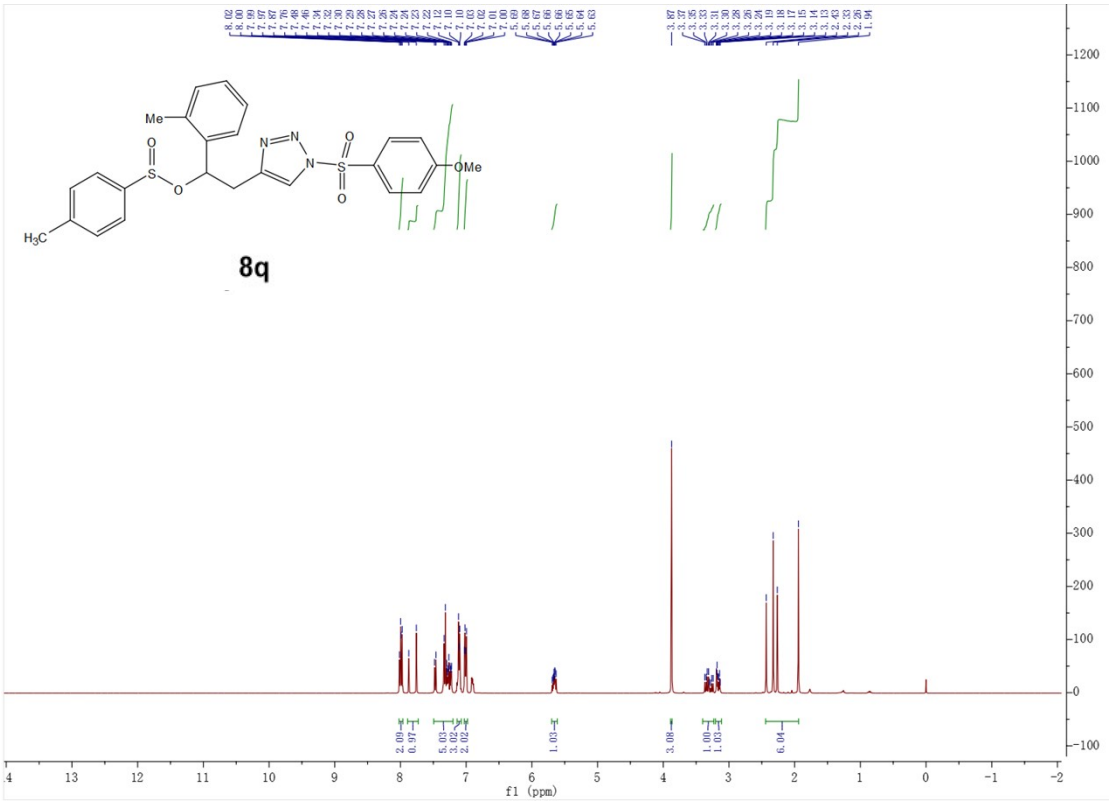
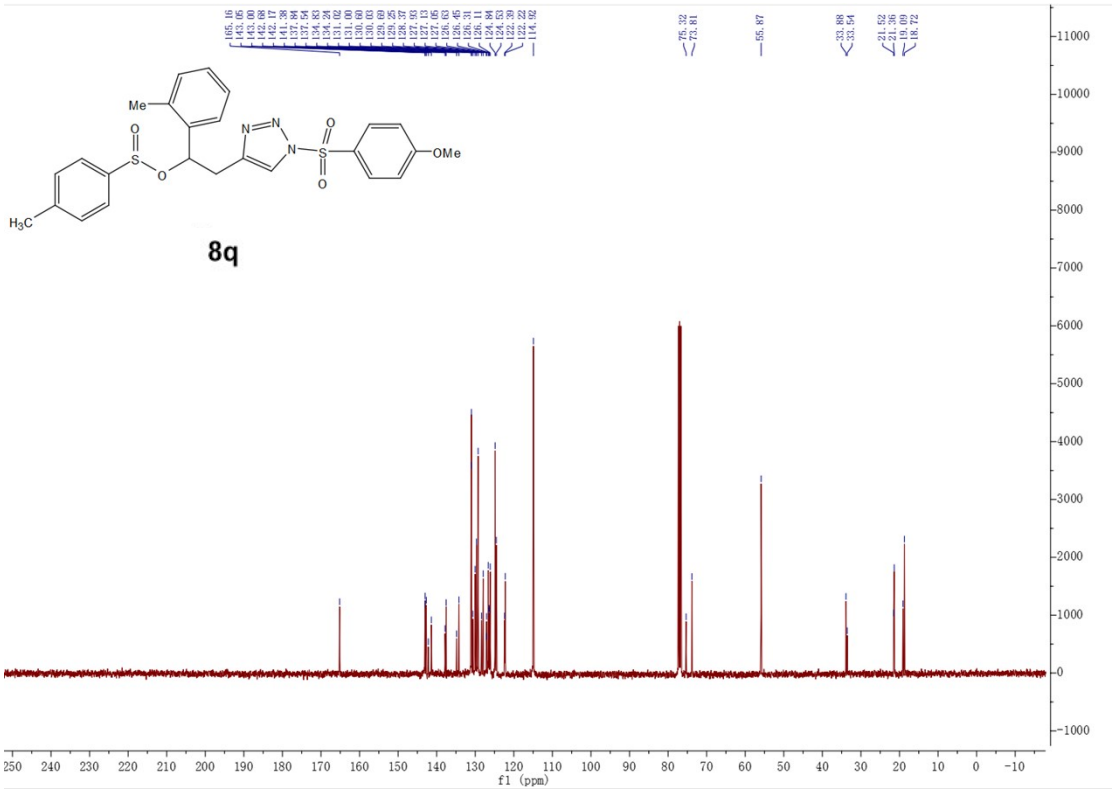
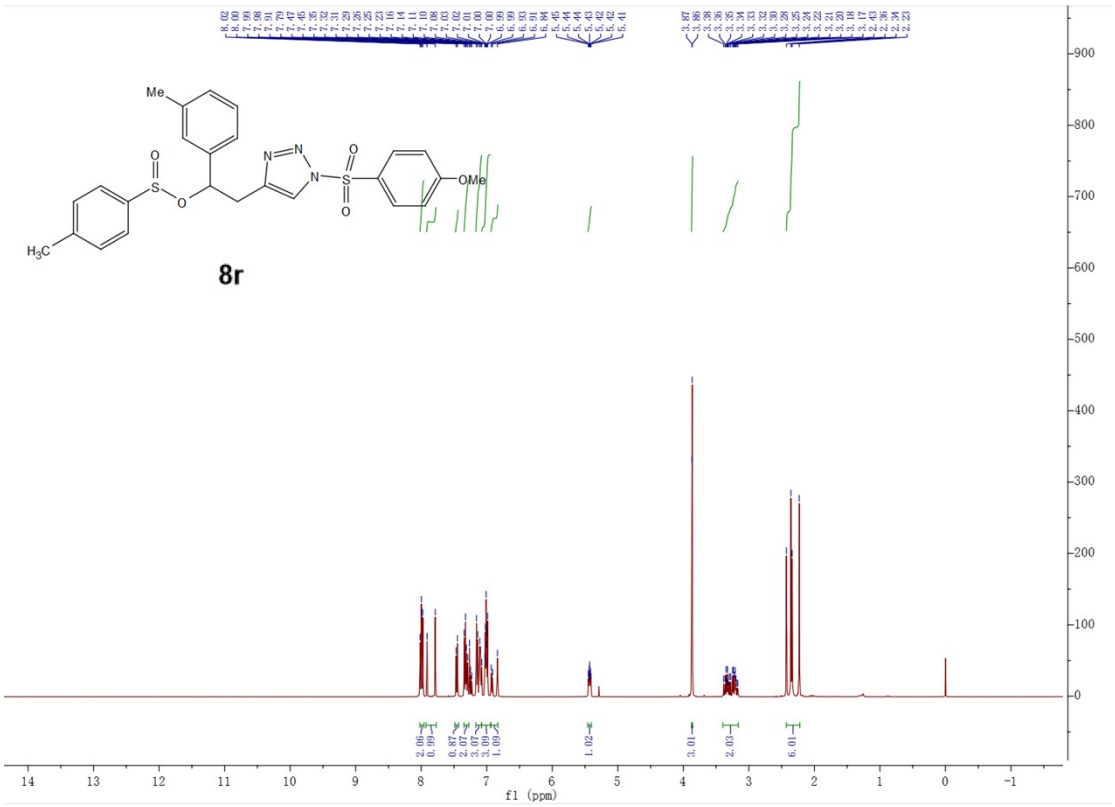
Ph
OMe
f1 (ppm)


8p
Ph
OMe
f1 (ppm)


Me
OMe
H3C
8q
f1 (ppm)


Me
OMe
H3C
8q
f1 (ppm)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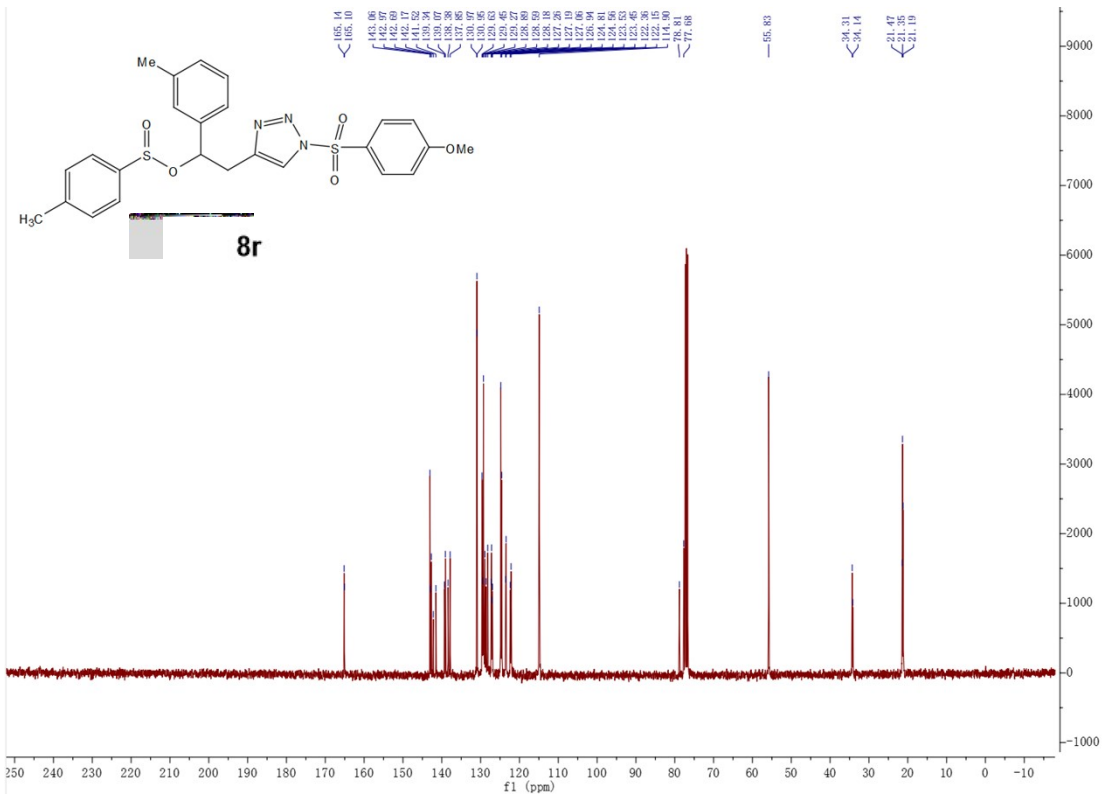
OMe
H3C
8r
f1 (ppm)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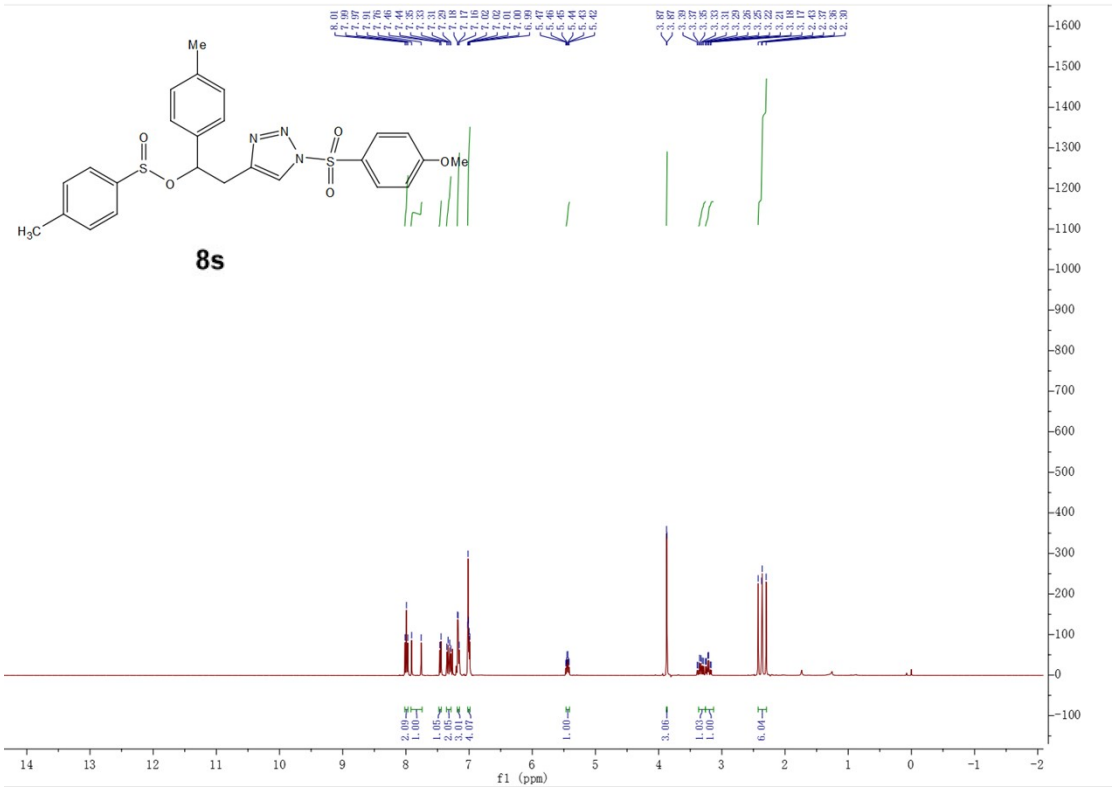
OMe
H3C
8r
f1 (ppm)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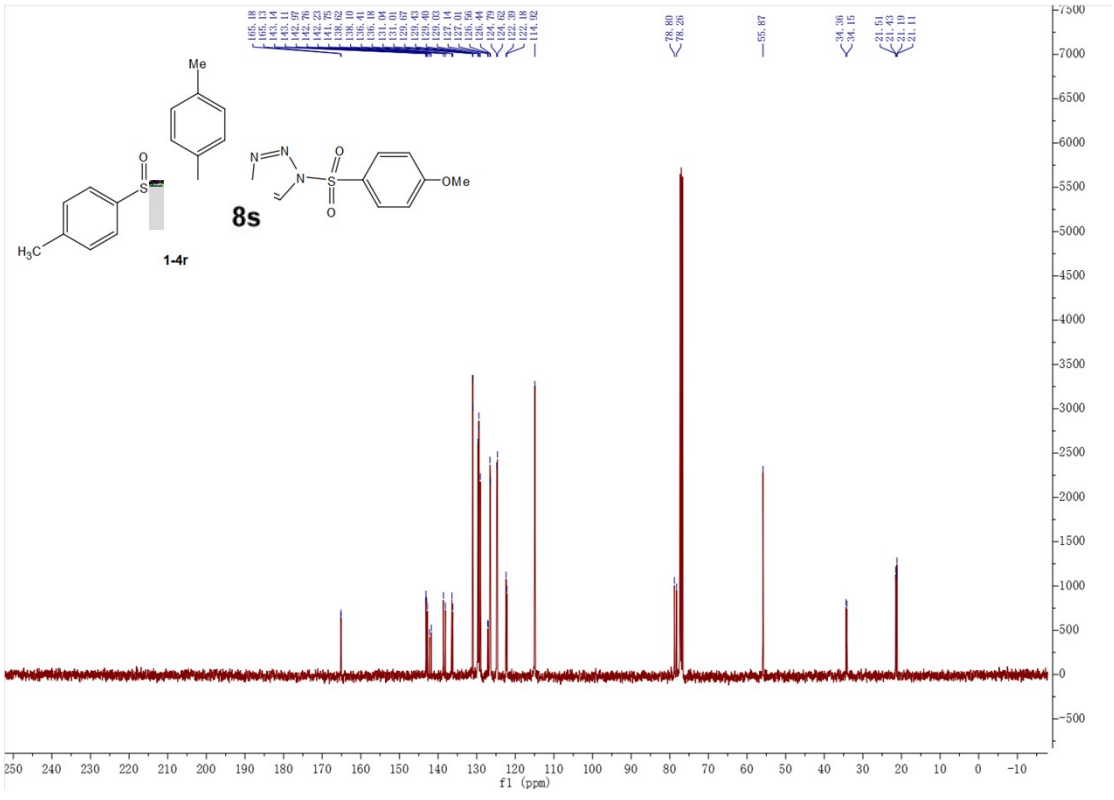
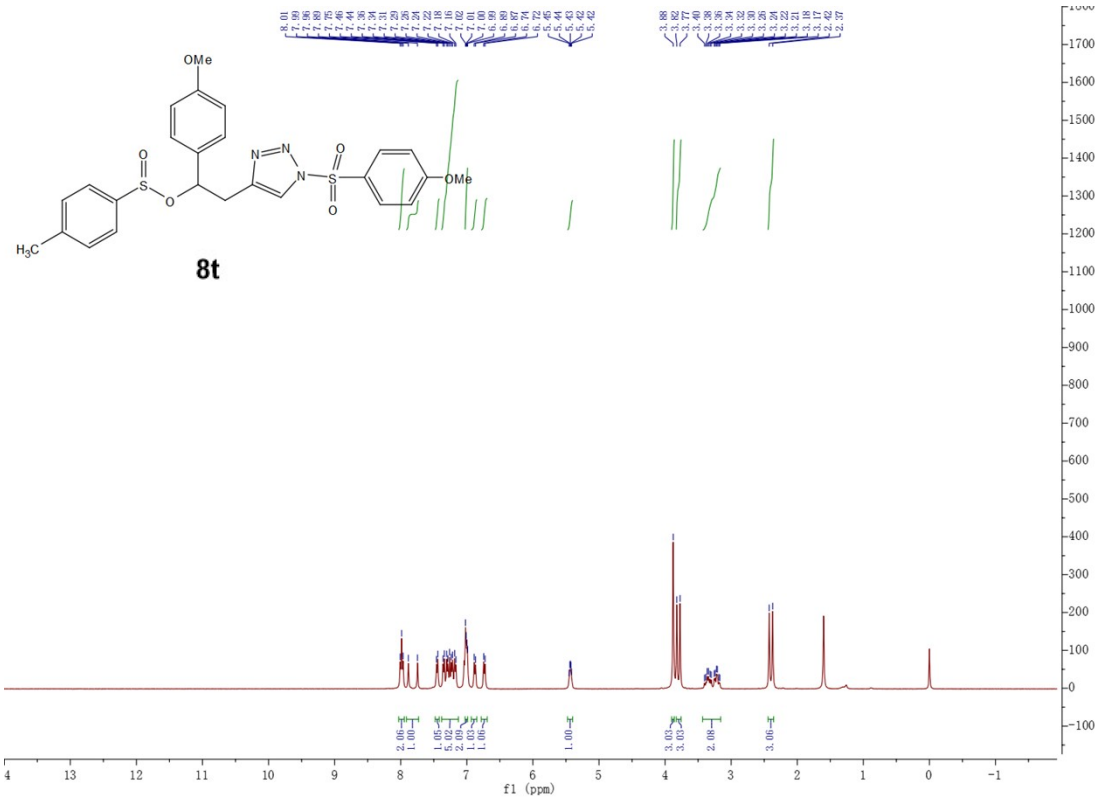
f1 (ppm)


8s
1-4r
f1 (ppm)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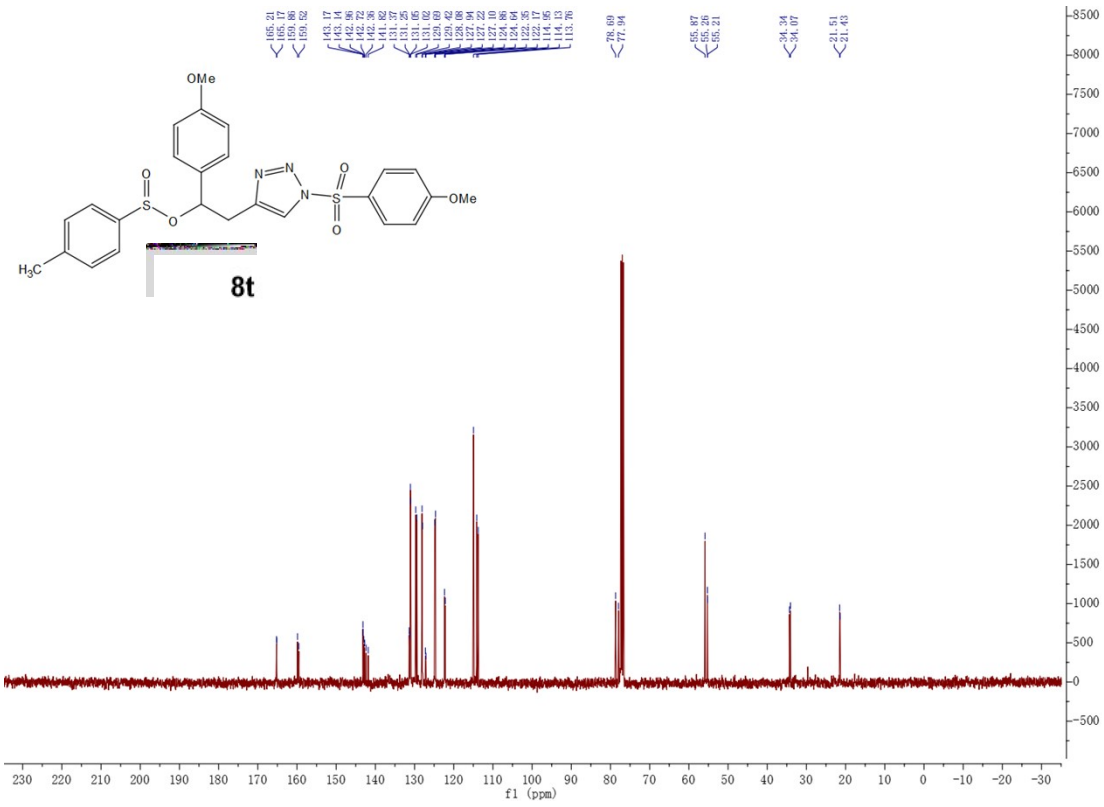
OMe
H3C
8t
f1 (ppm)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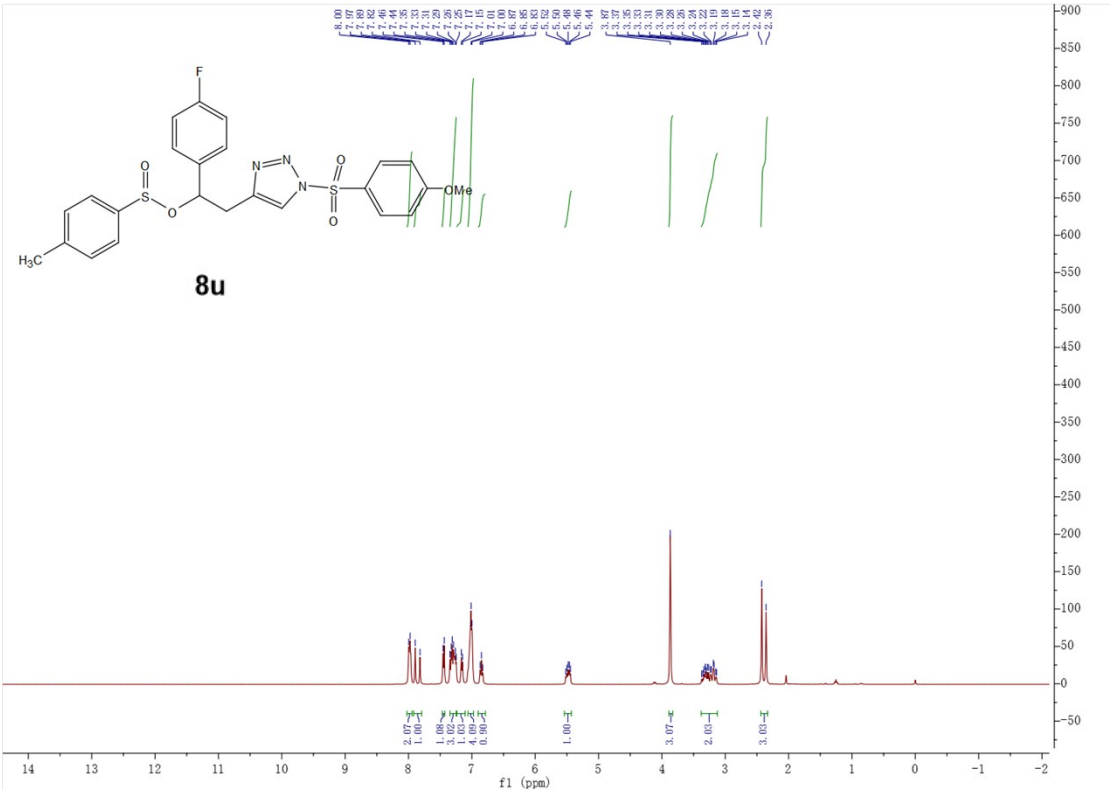
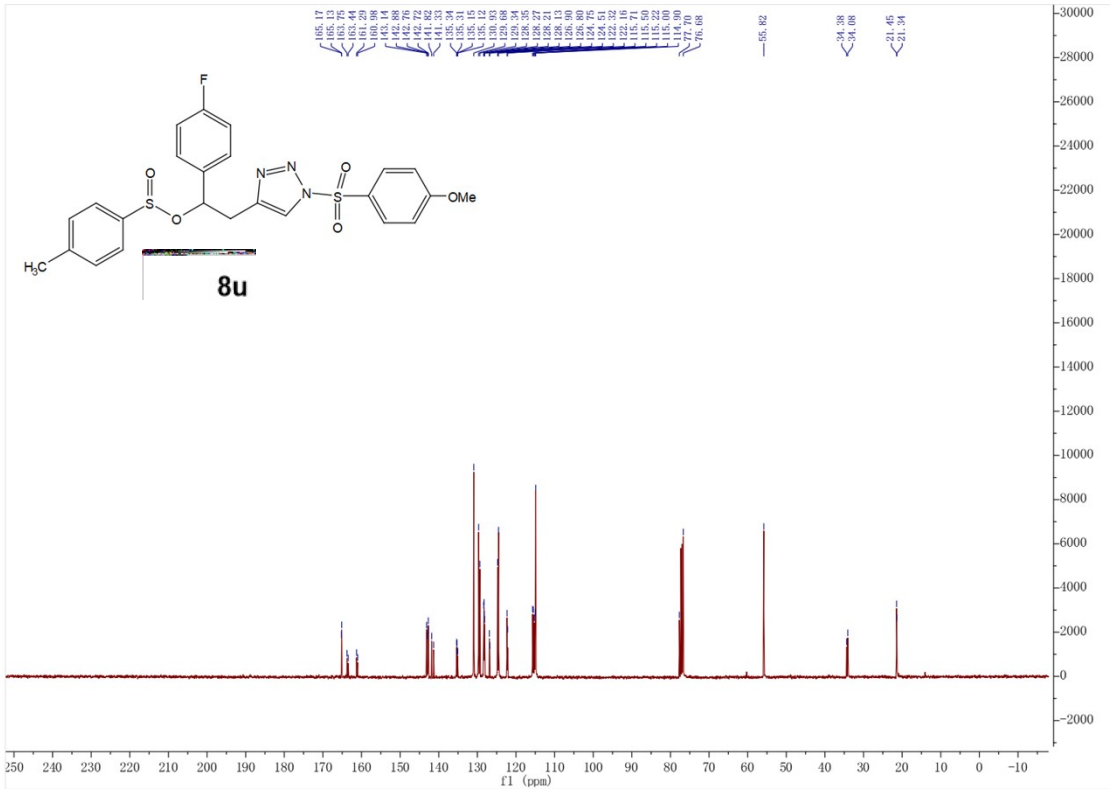
OMe
H3C
8t
f1 (ppm)


F
O
S
O
N
N
N
S
O
O
OMe
H3C
8u
f1 (ppm)


F
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S
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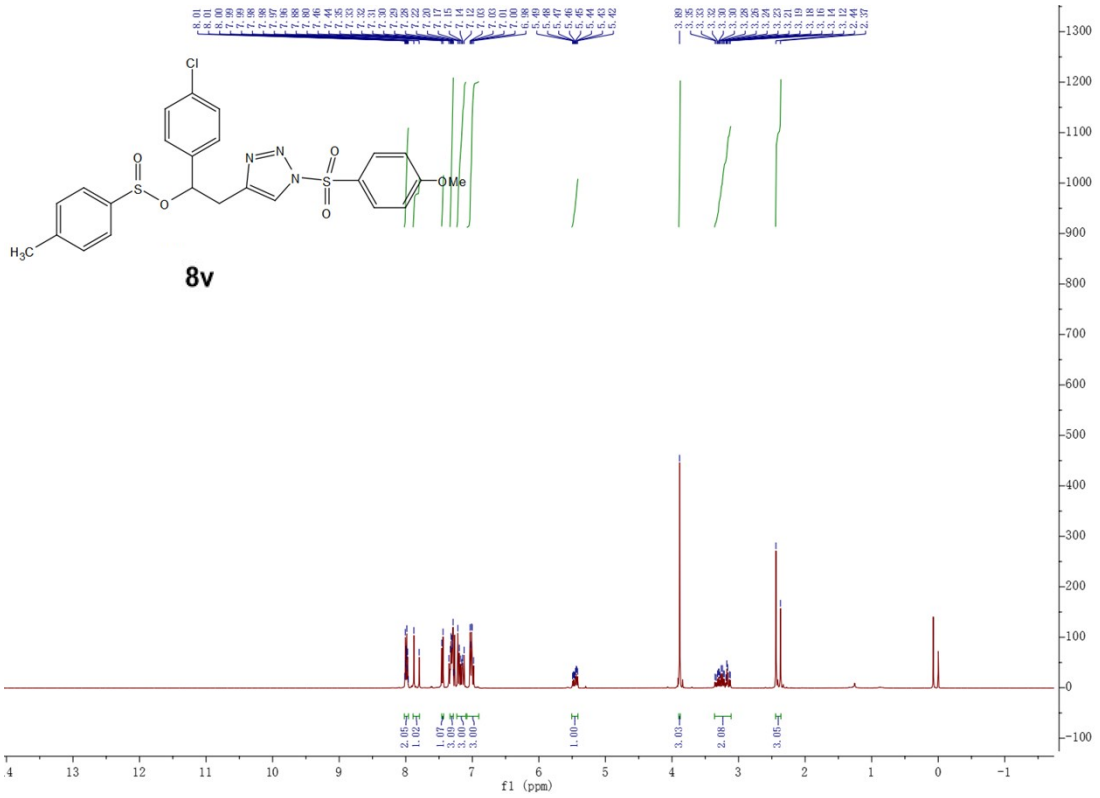
H3C
8u
f1 (ppm)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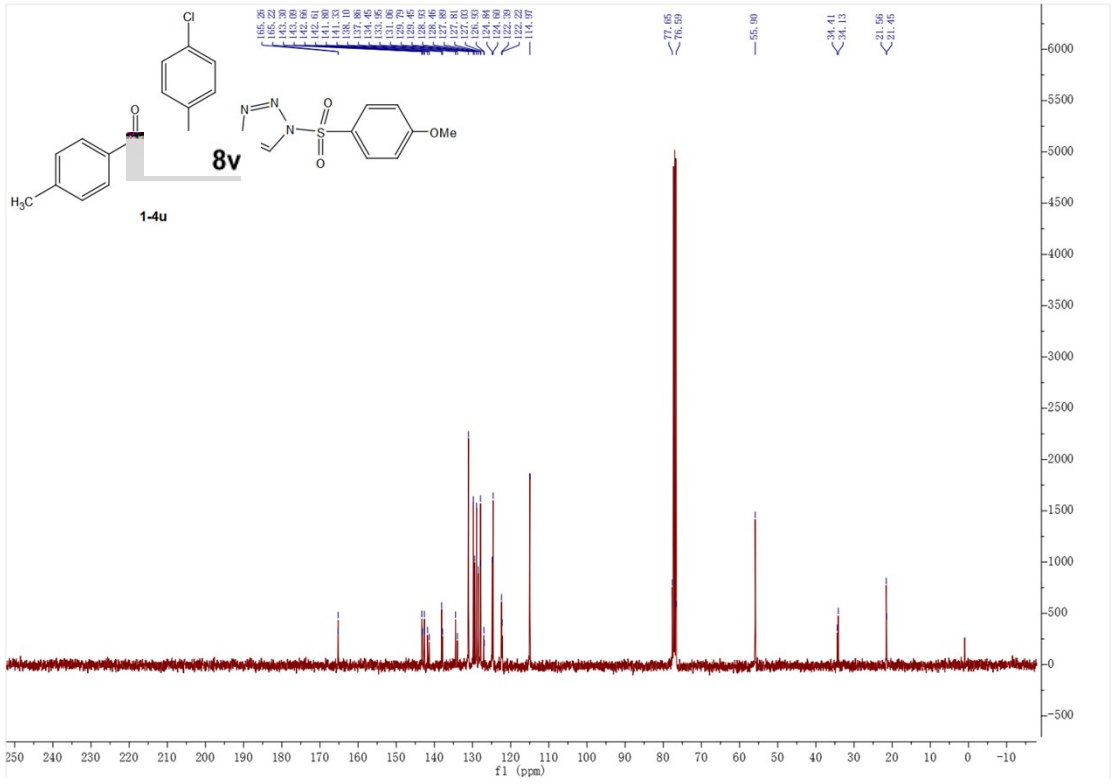
f1 (ppm)


8v
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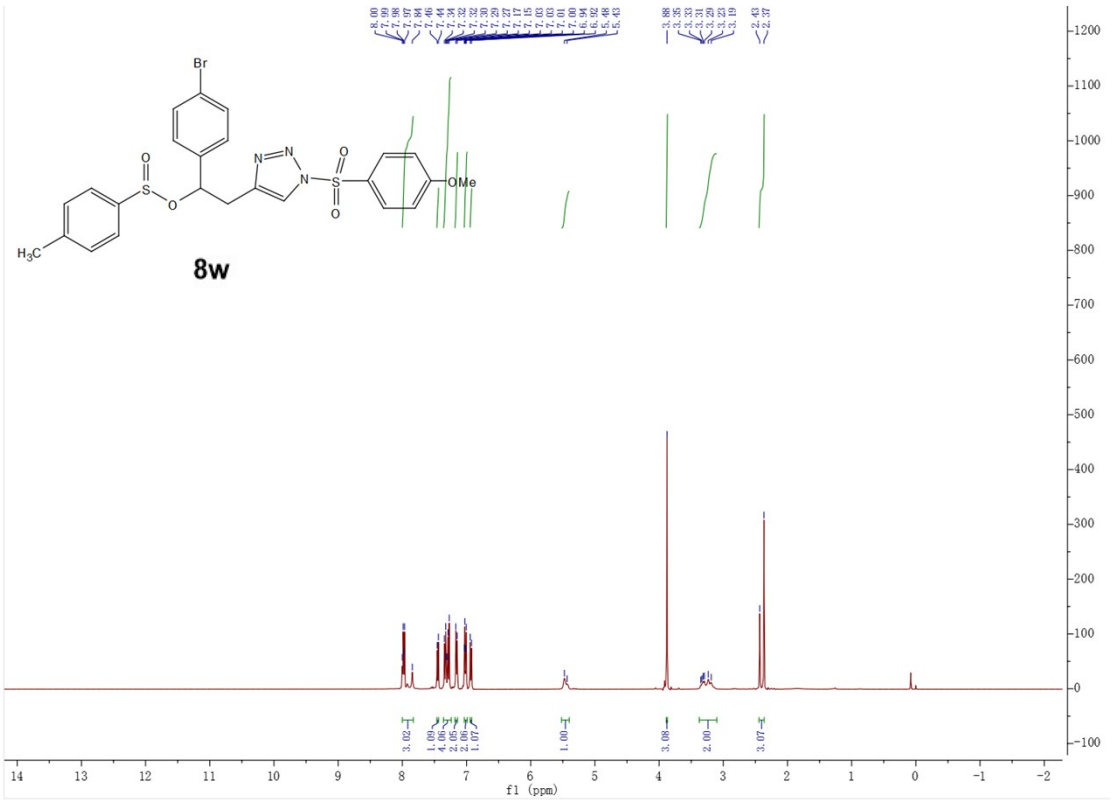
Br
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O
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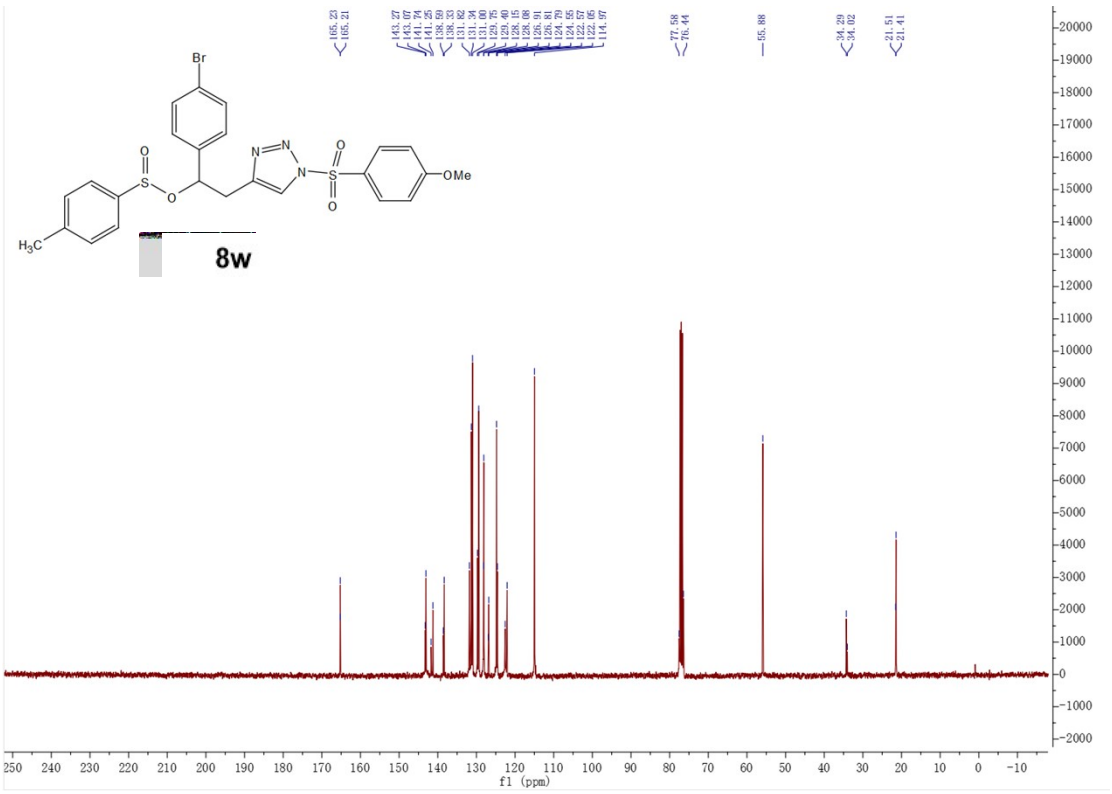
N
N
S
O
O
OMe
H3C
8w
f1 (ppm)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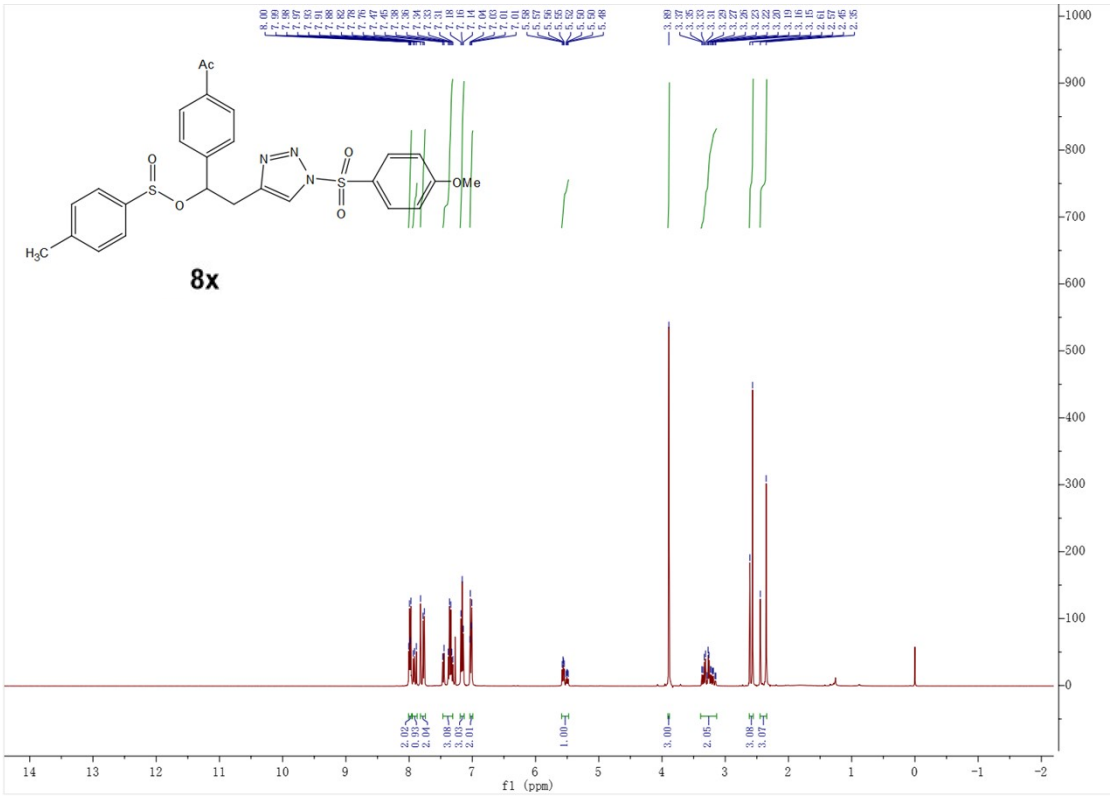
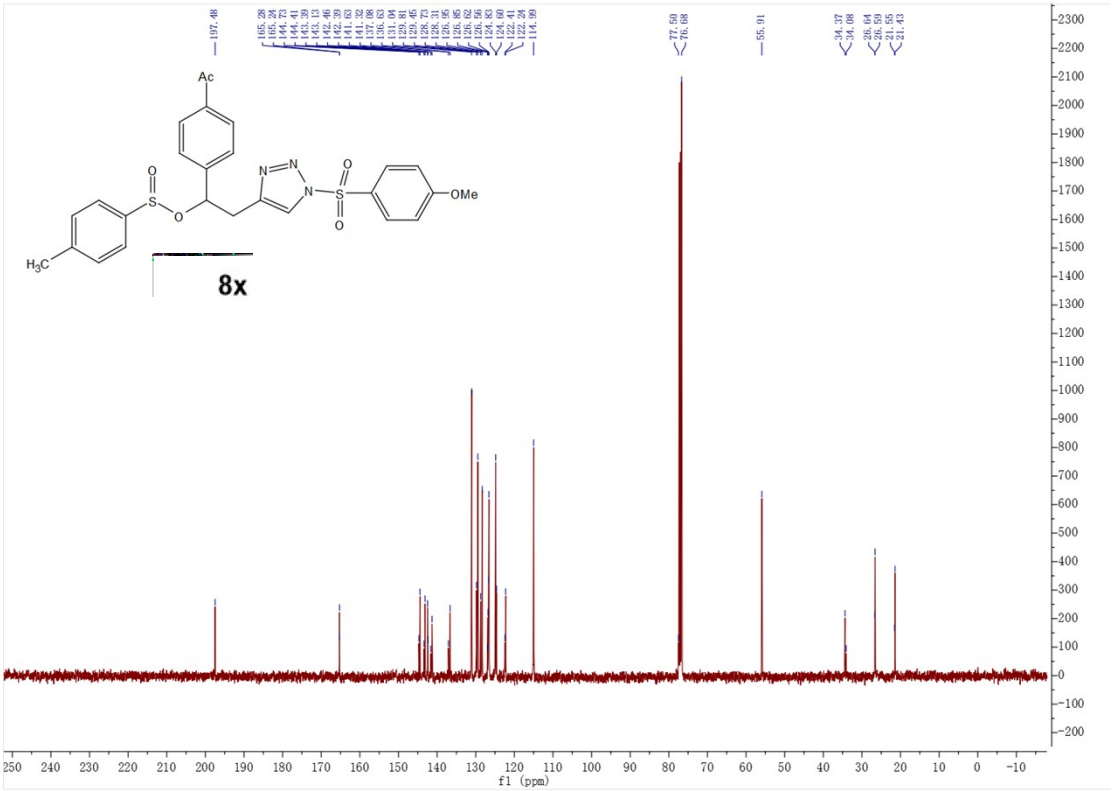
O
OMe
H3C
8w
f1 (ppm)


Ac
OMe
H3C
8x
f1 (ppm)


Ac
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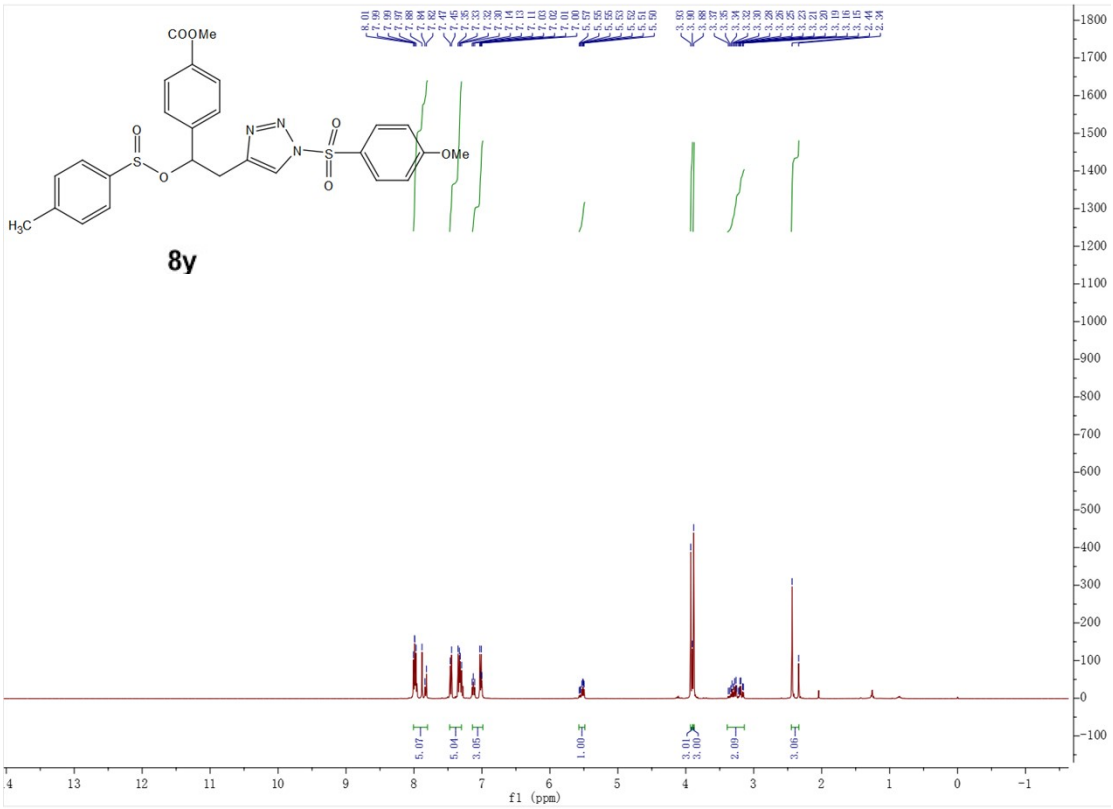
H3C
8x
f1 (ppm)


COOMe
OMe
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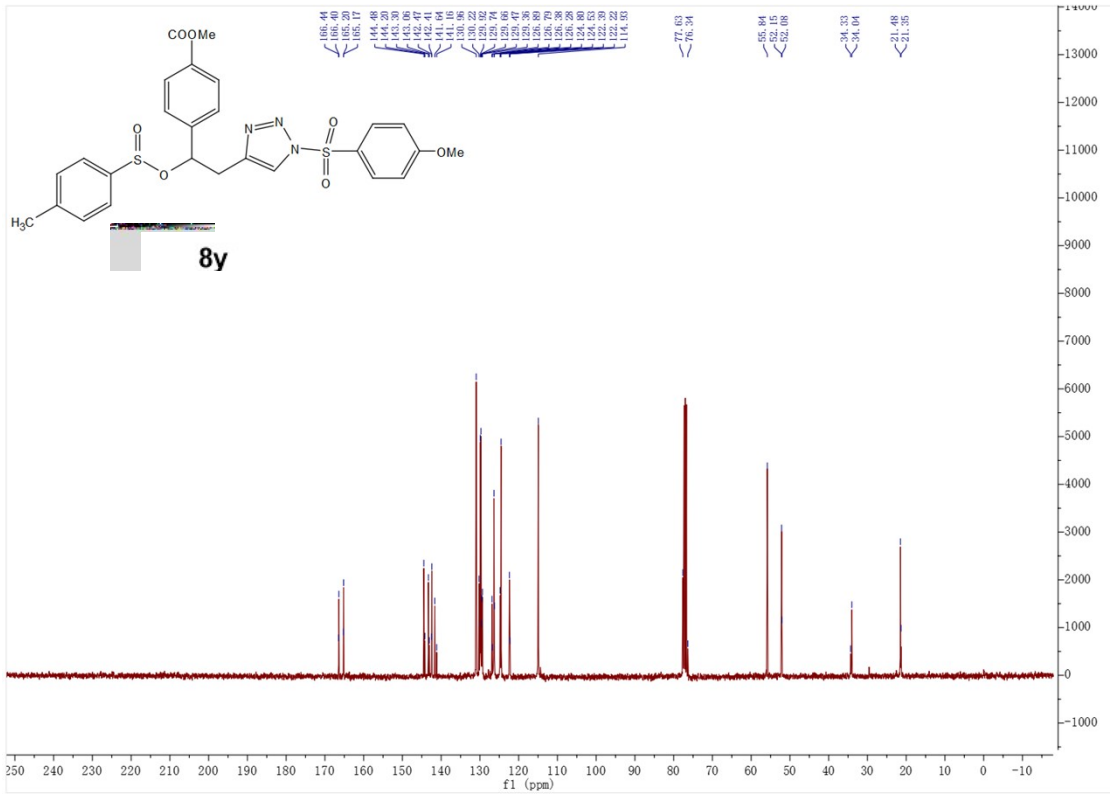
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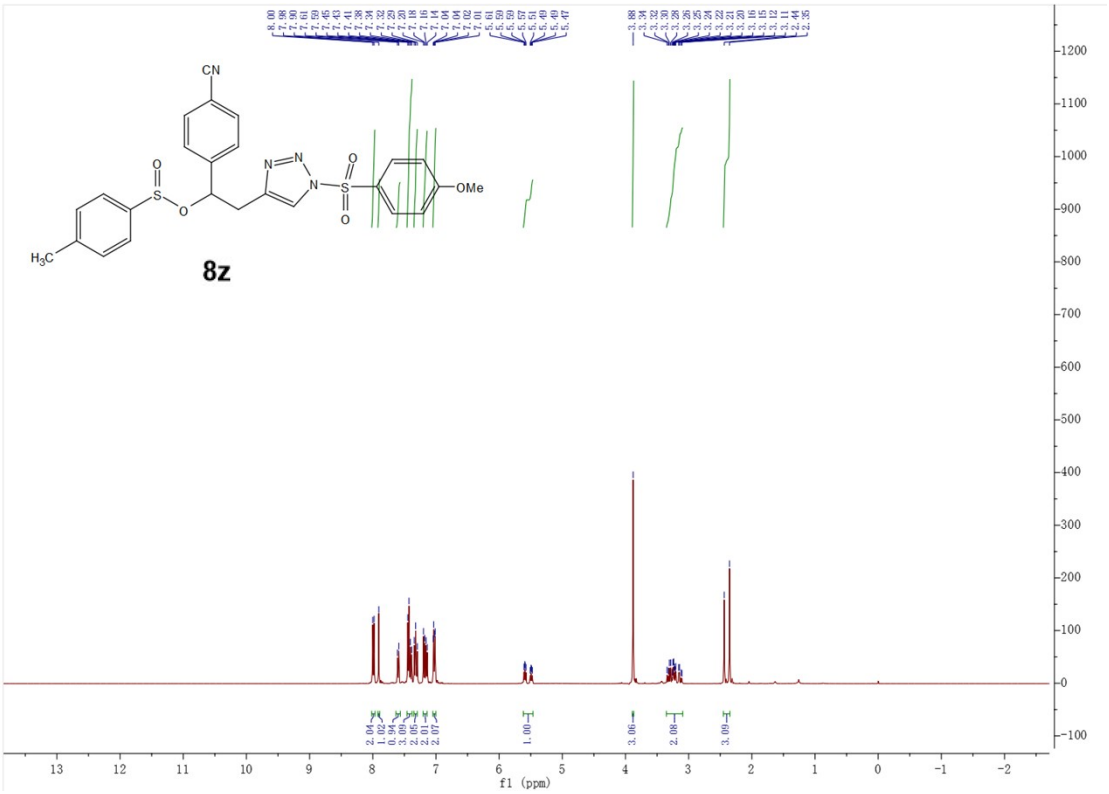
OMe
H3C
8y
f1 (ppm)


CN
OMe
H3C
8z
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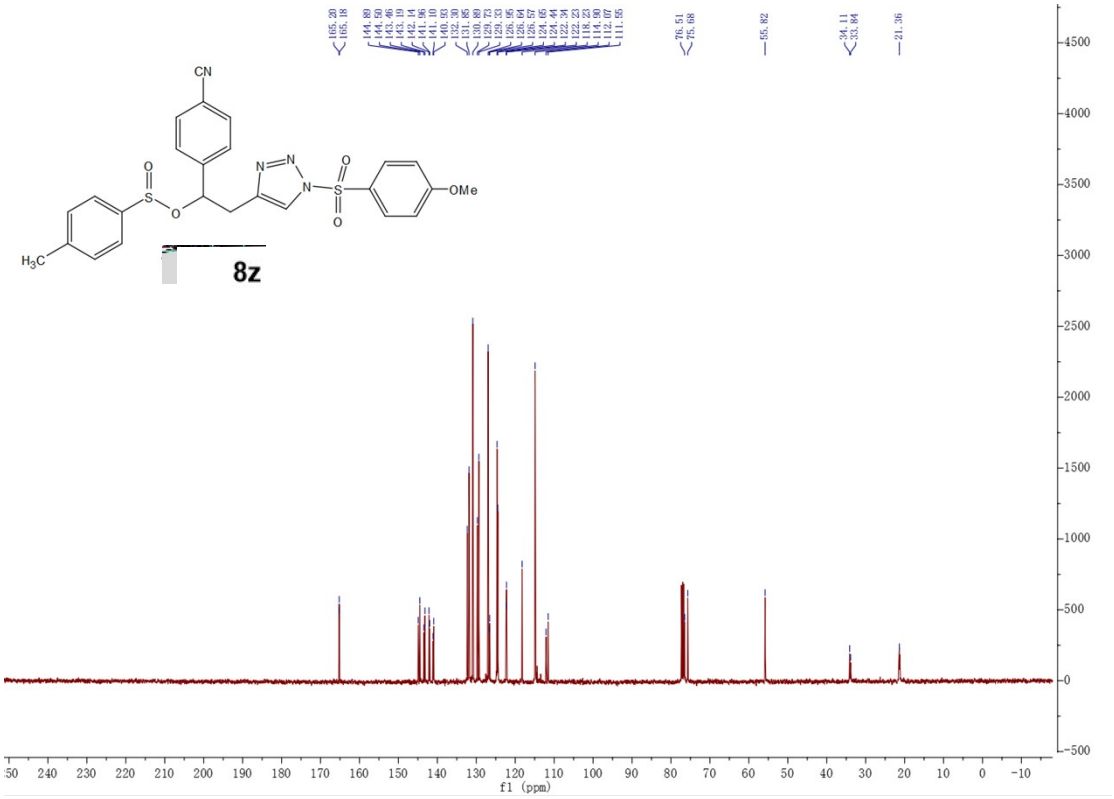
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OMe
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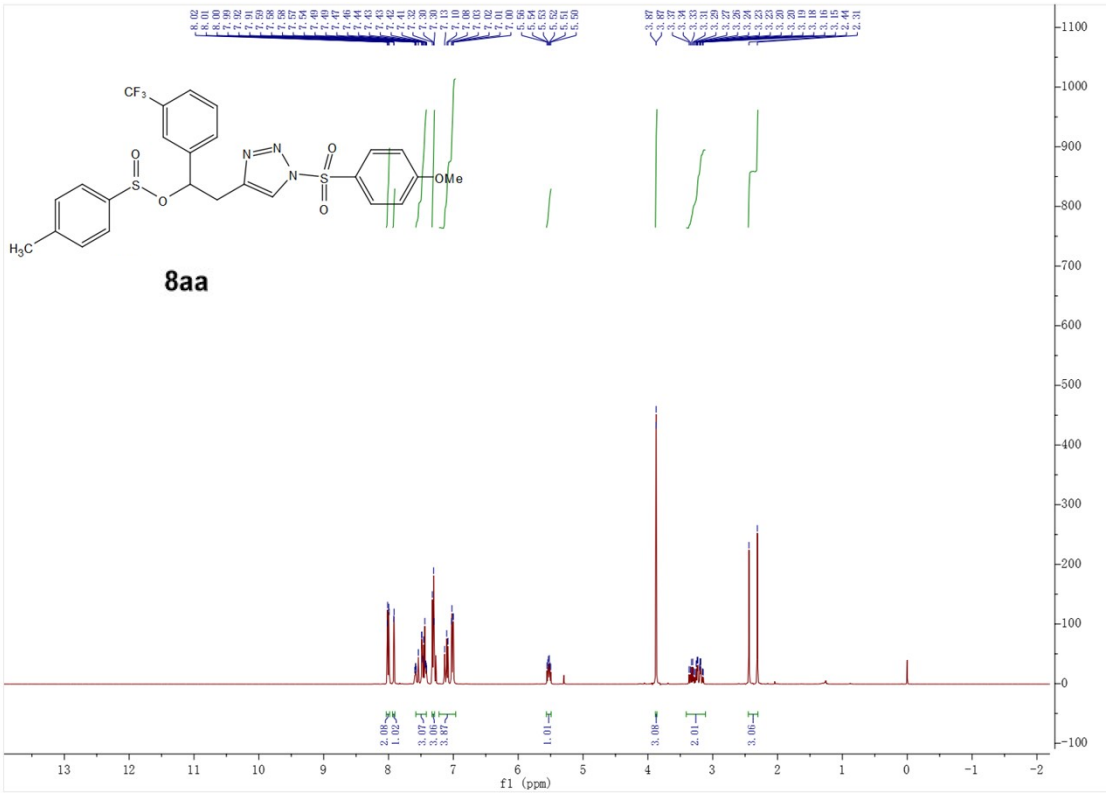
8z
f1 (ppm)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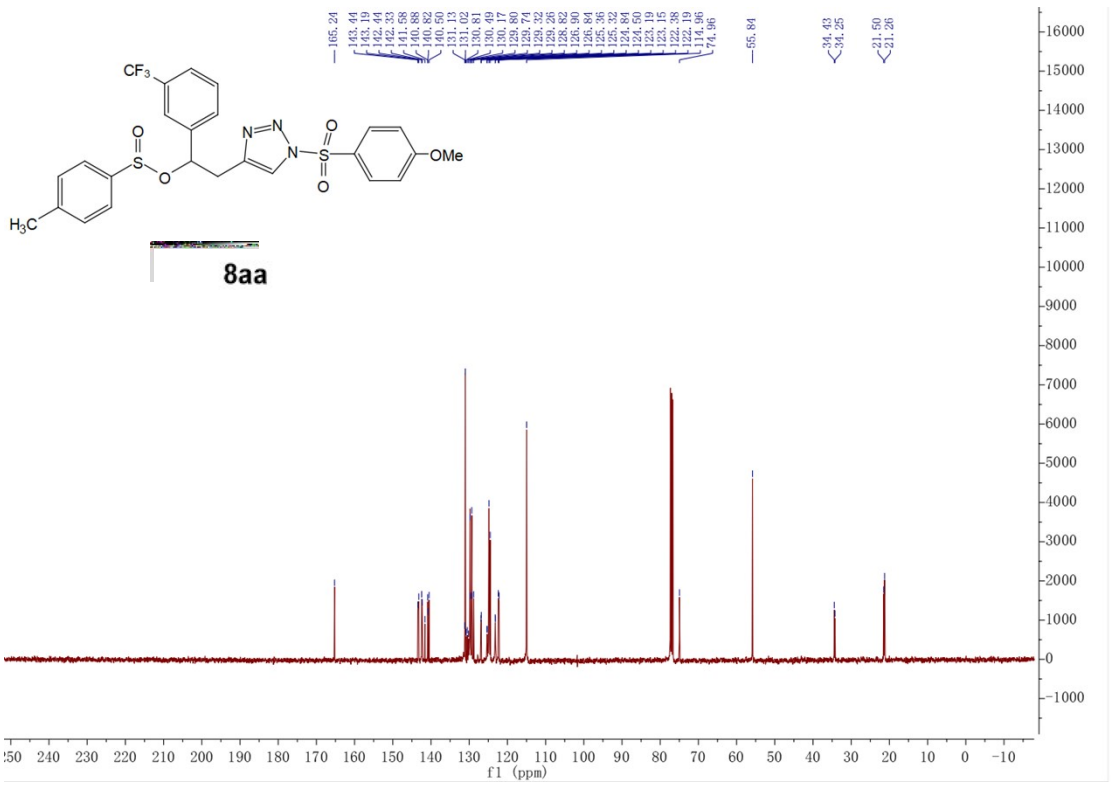
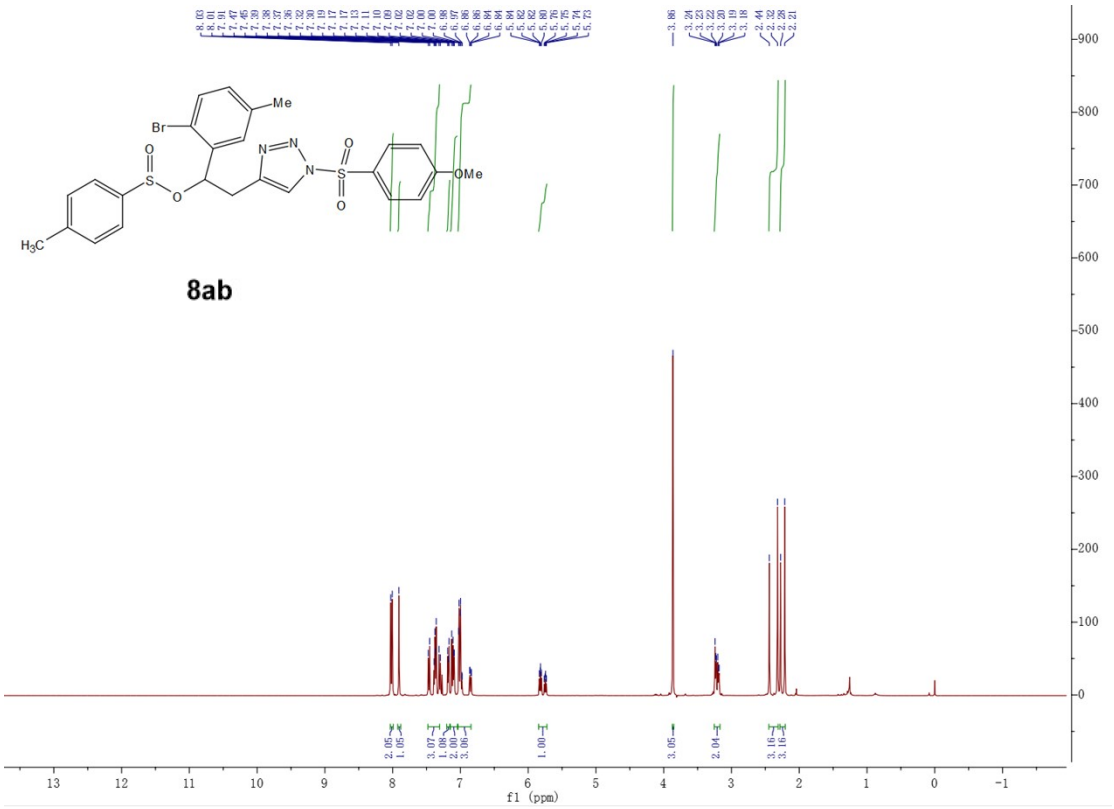
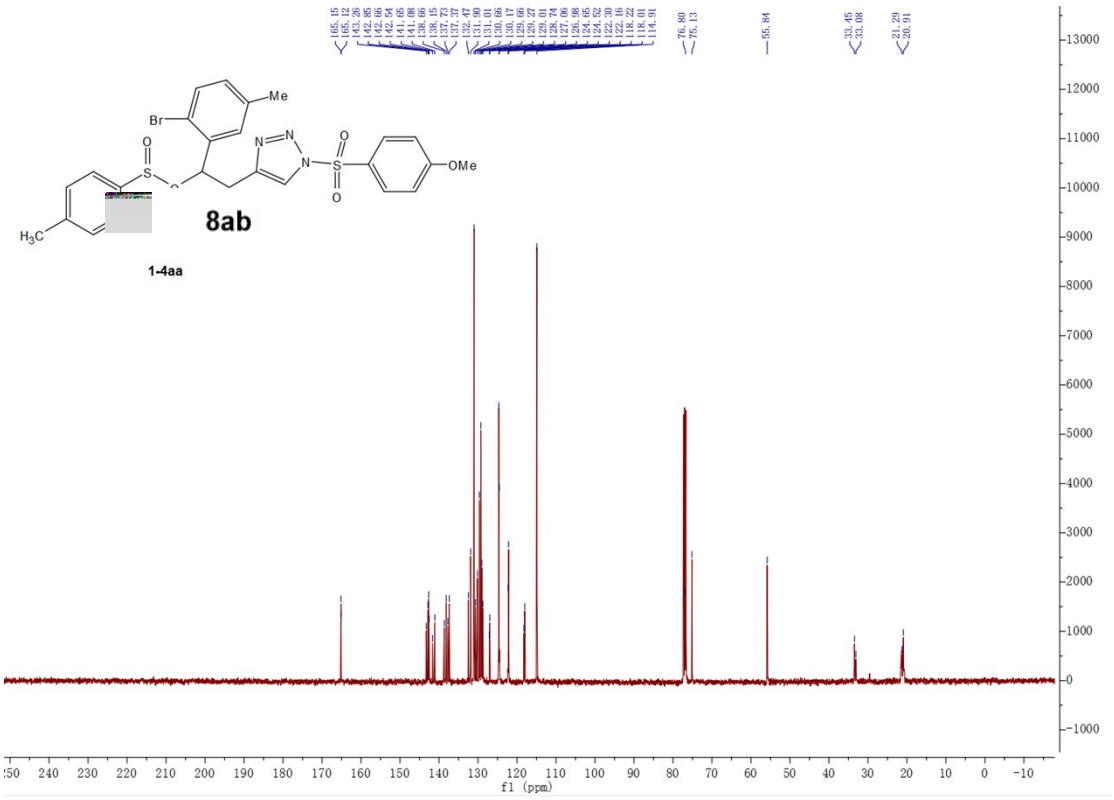
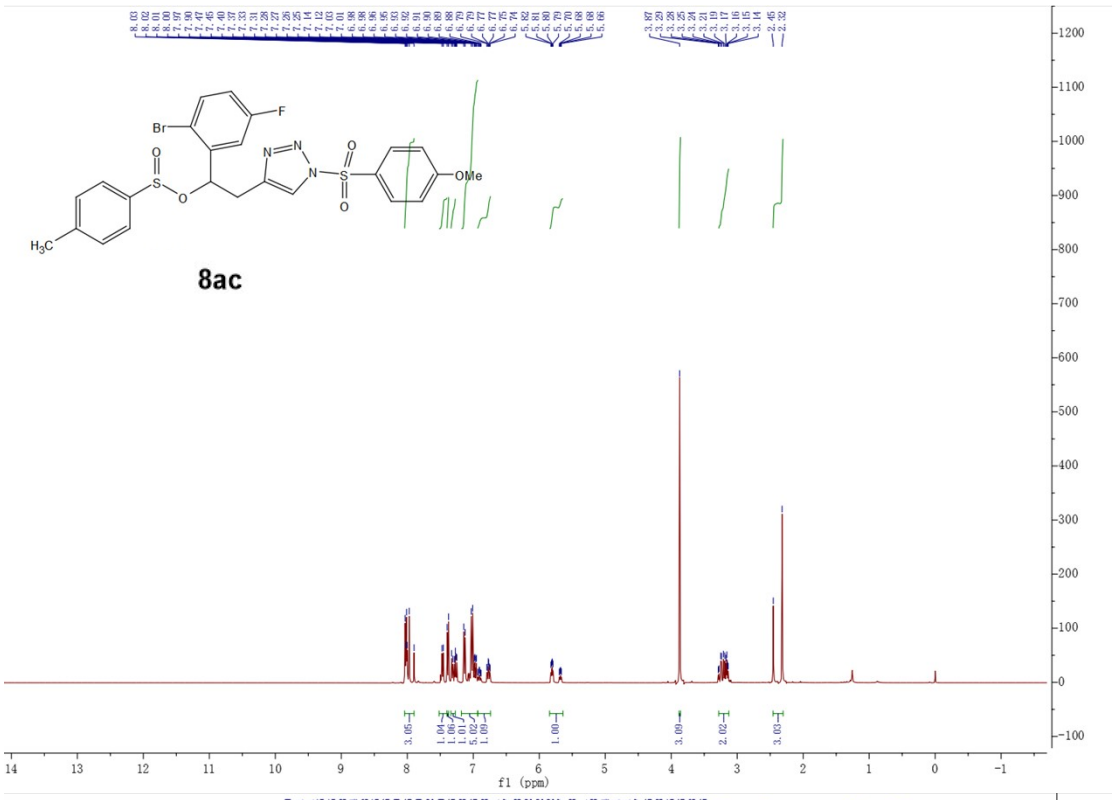
f1 (ppm)


8aa
f1 (ppm)


Me
Br
OMe
8ab


Me
Br
OMe
8ab
1-4aa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F
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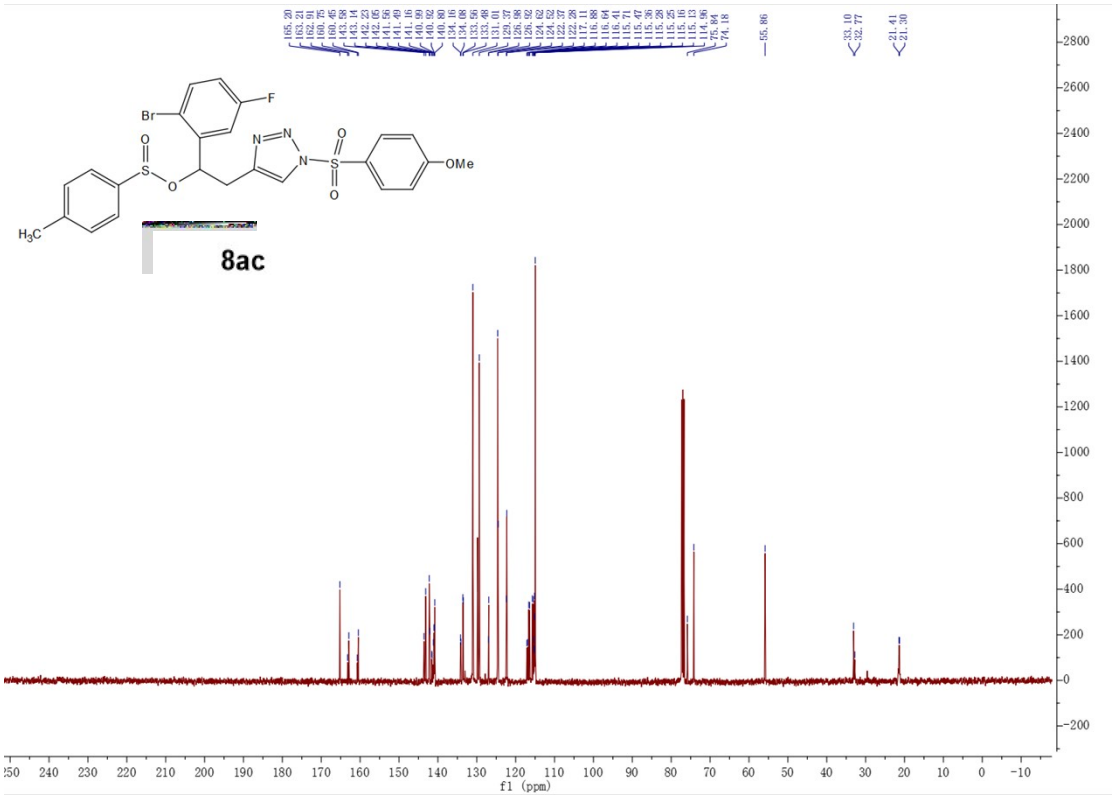
S
O
N
N
N
O
S
O
OMe
H3C
8ac
f1 (ppm)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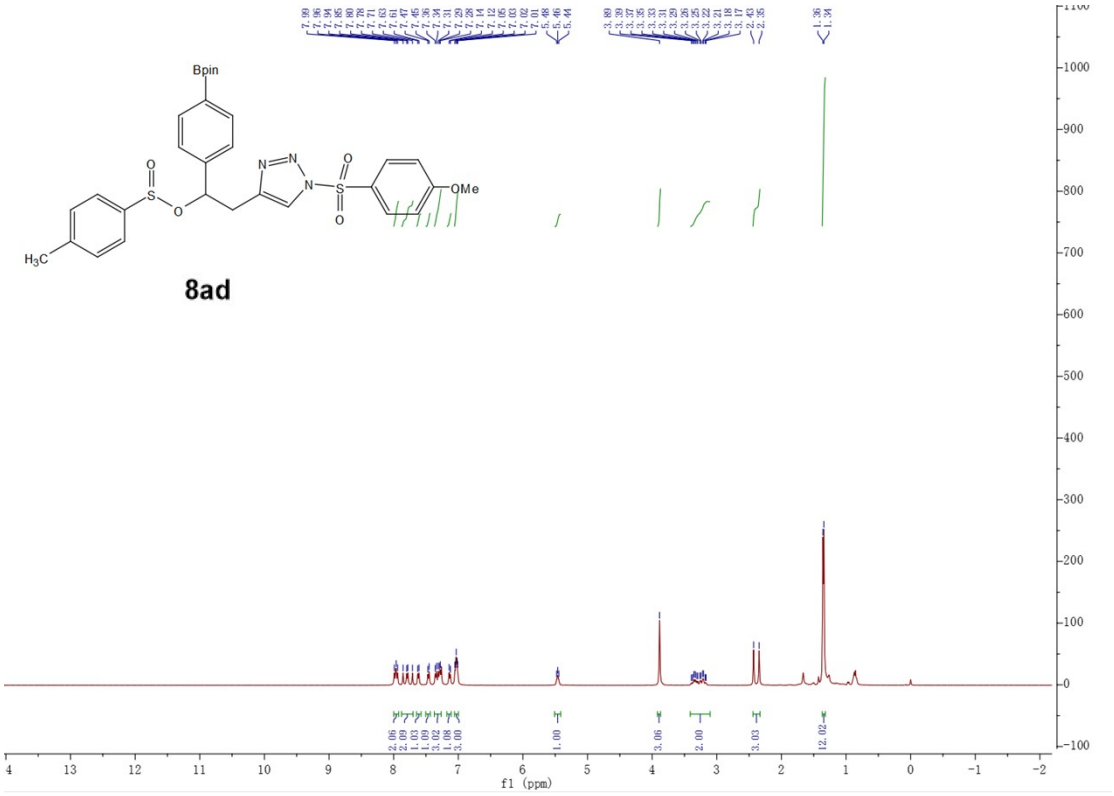
S
O
OMe
H3C
8ac
f1 (ppm)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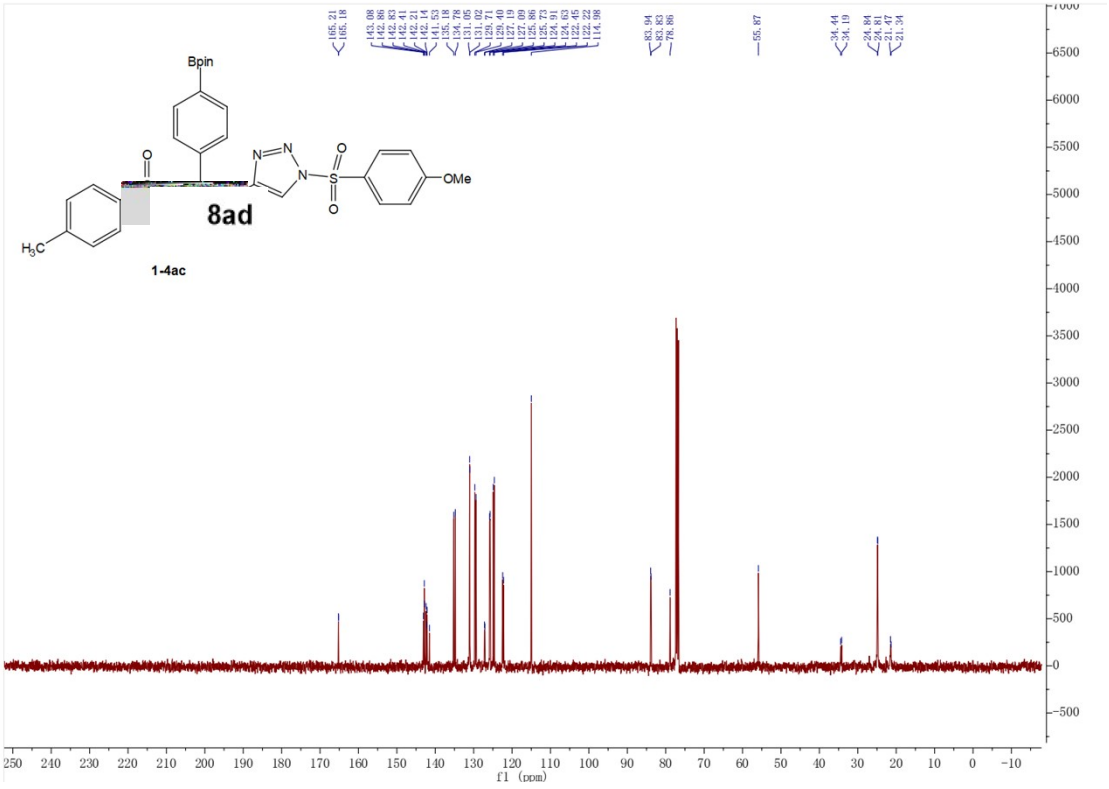
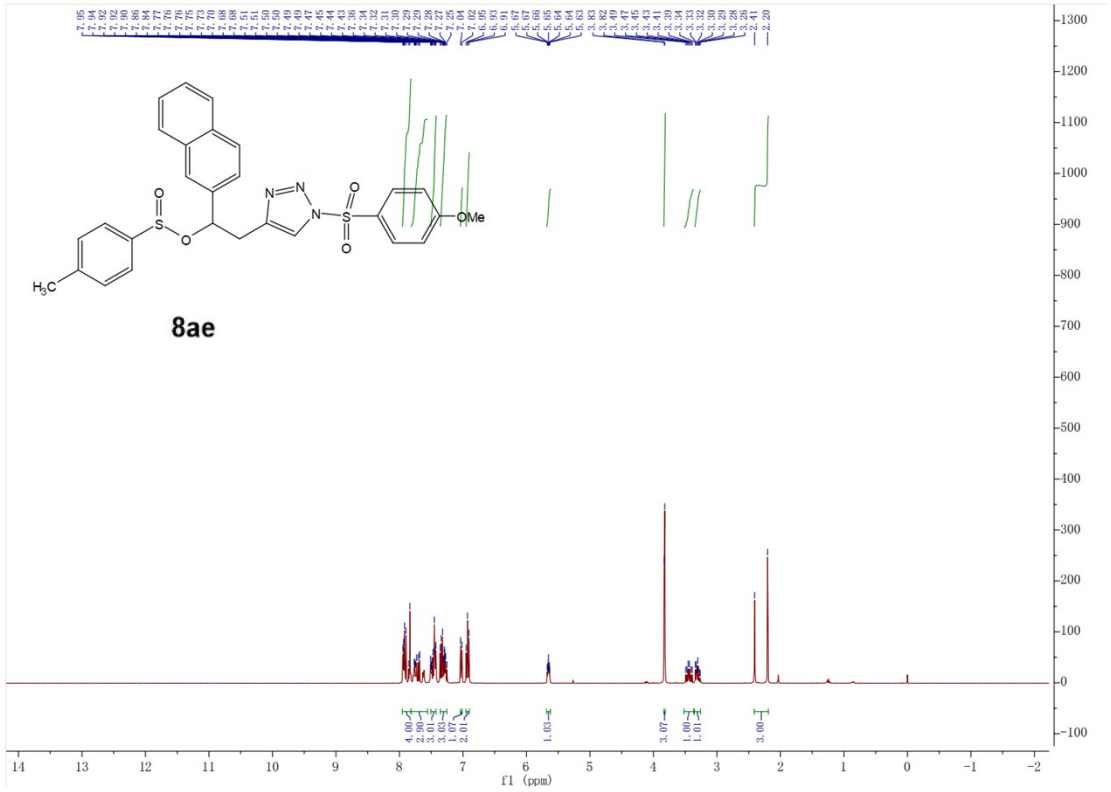
f1 (ppm)


Bpin
OMe
H3C
8ad
1-4ac
f1 (ppm)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H3C
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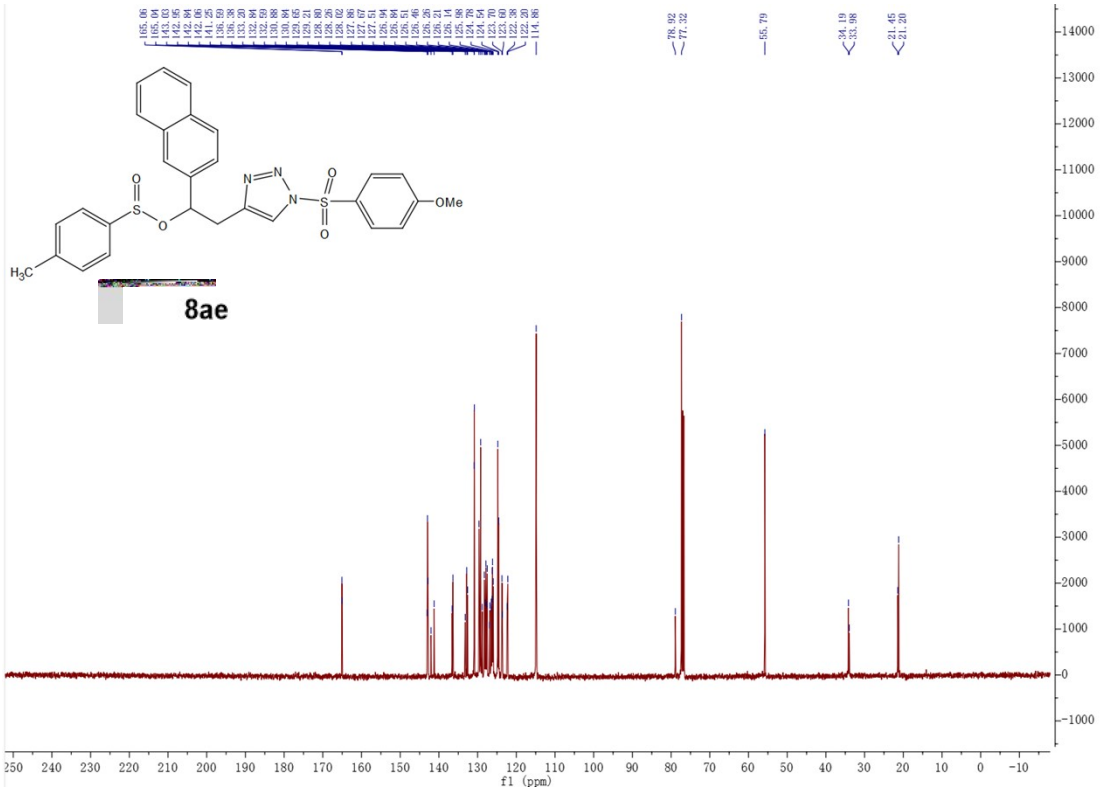
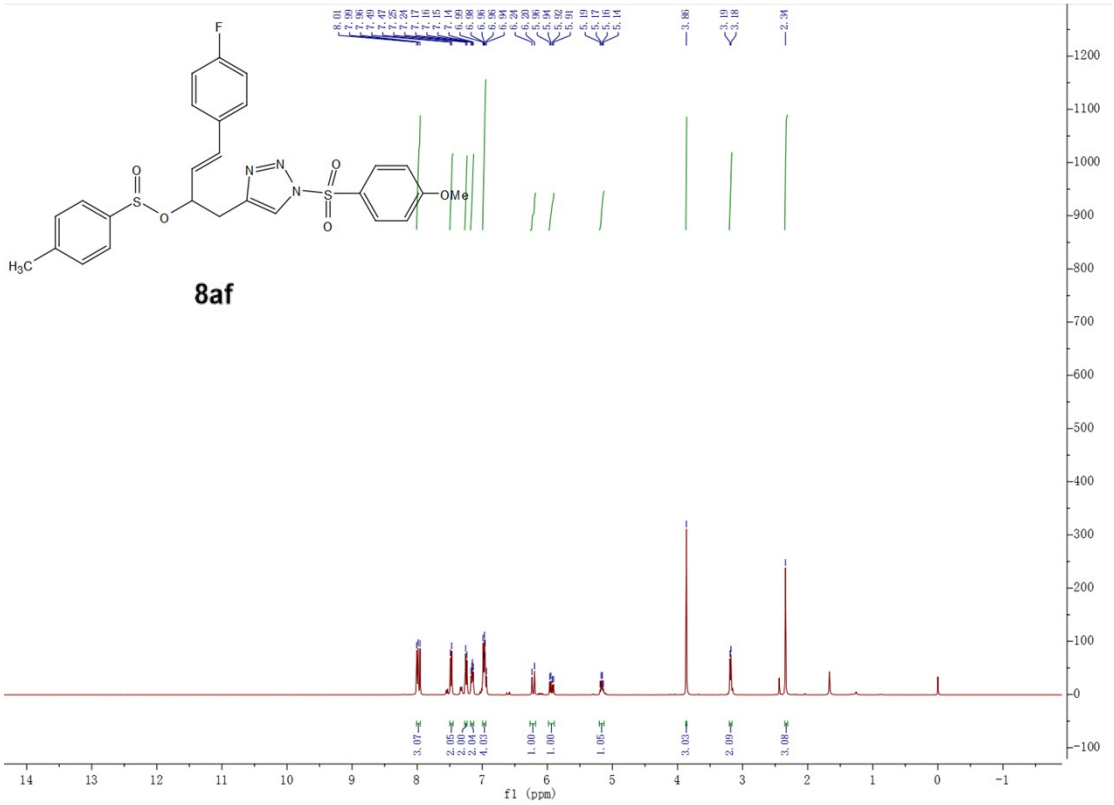
f1 (ppm)


OMe
H3C
8ae
f1 (ppm)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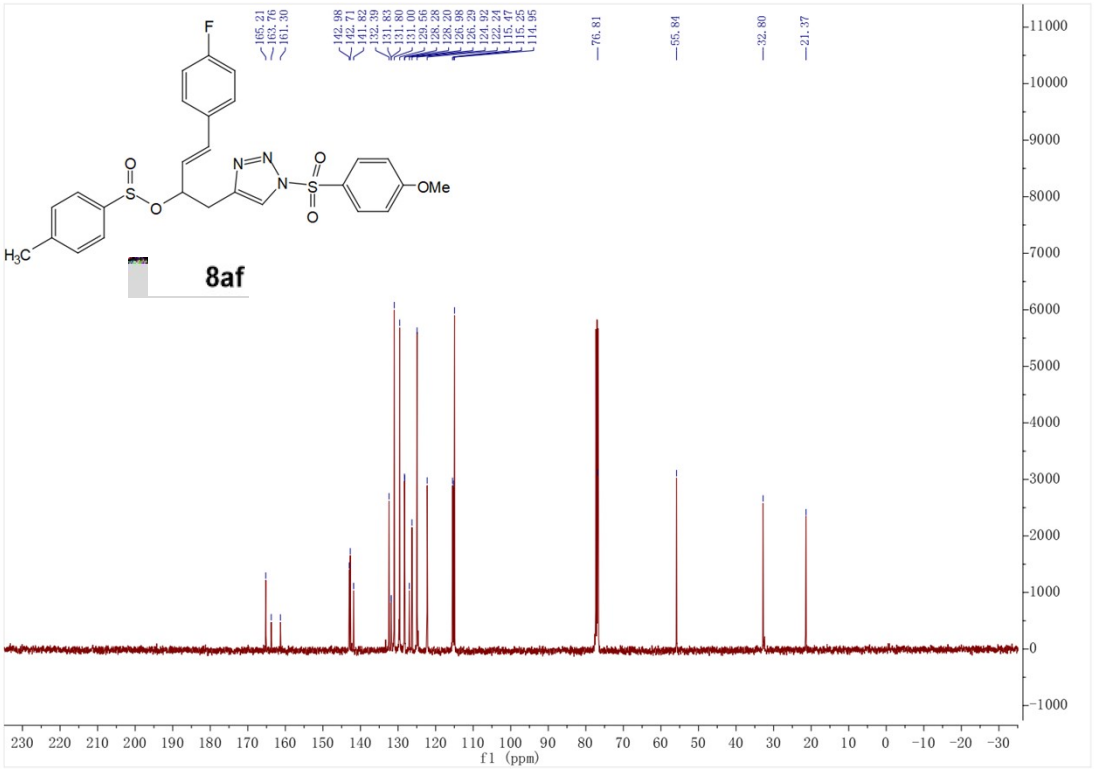
f1 (ppm)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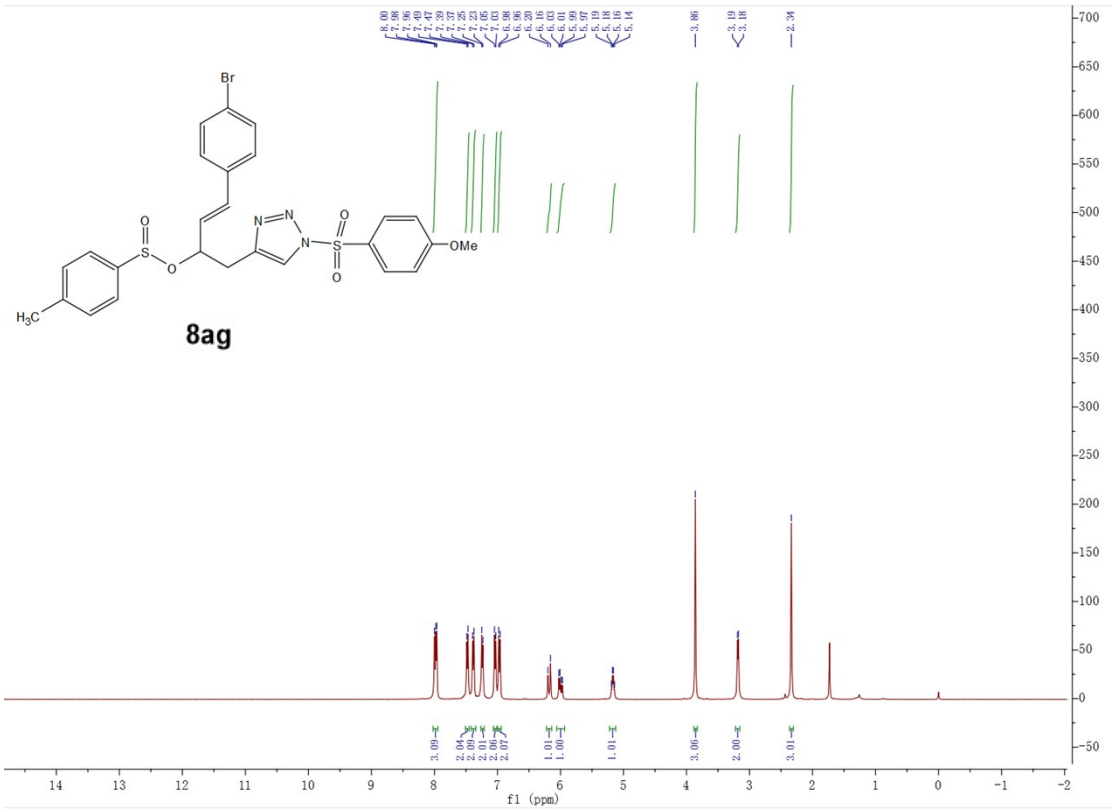
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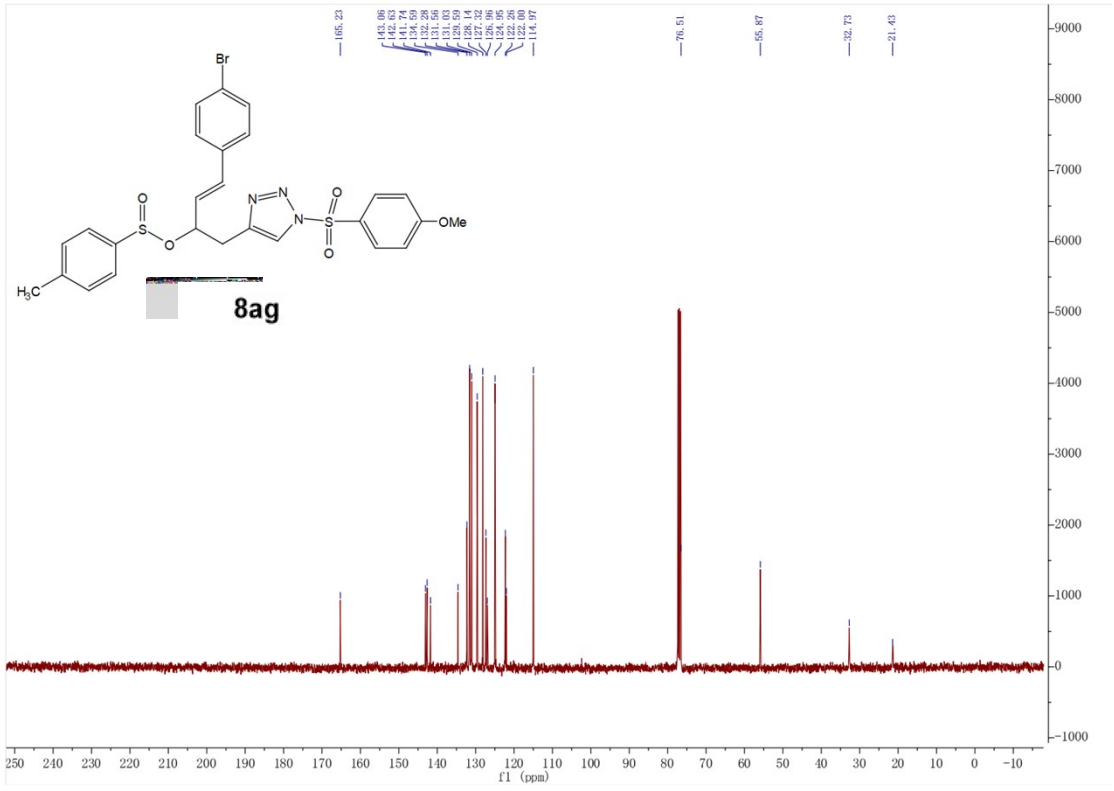
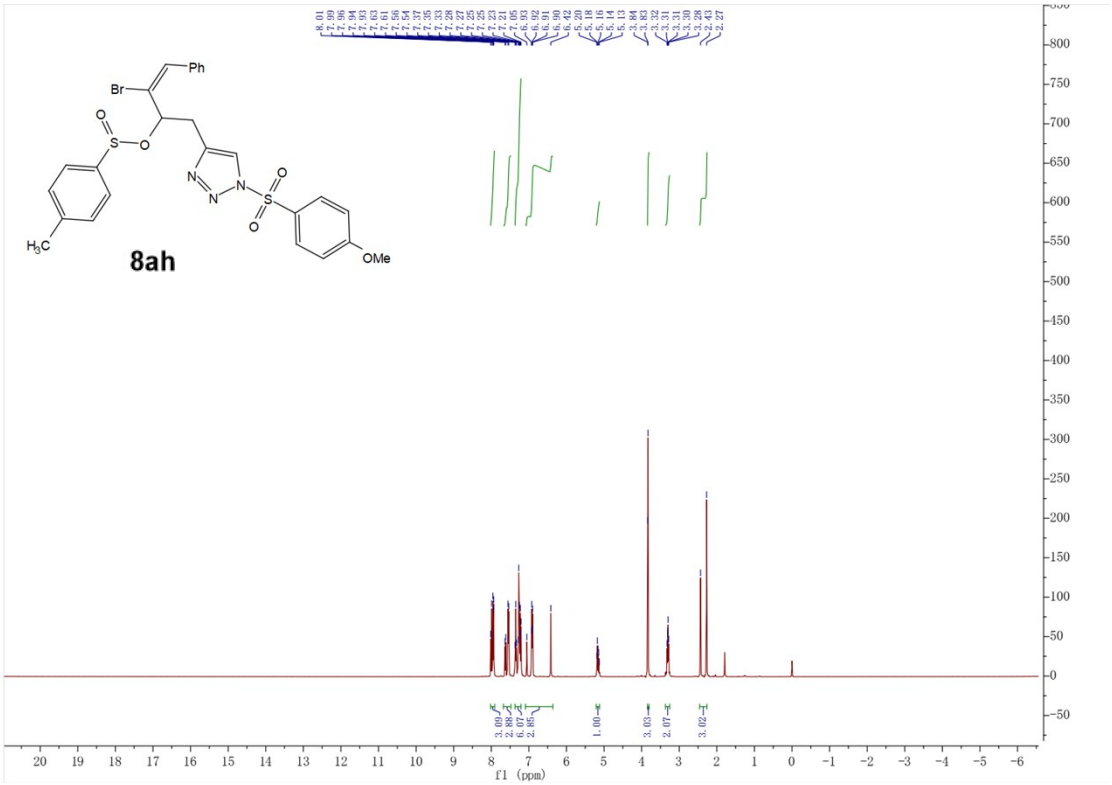
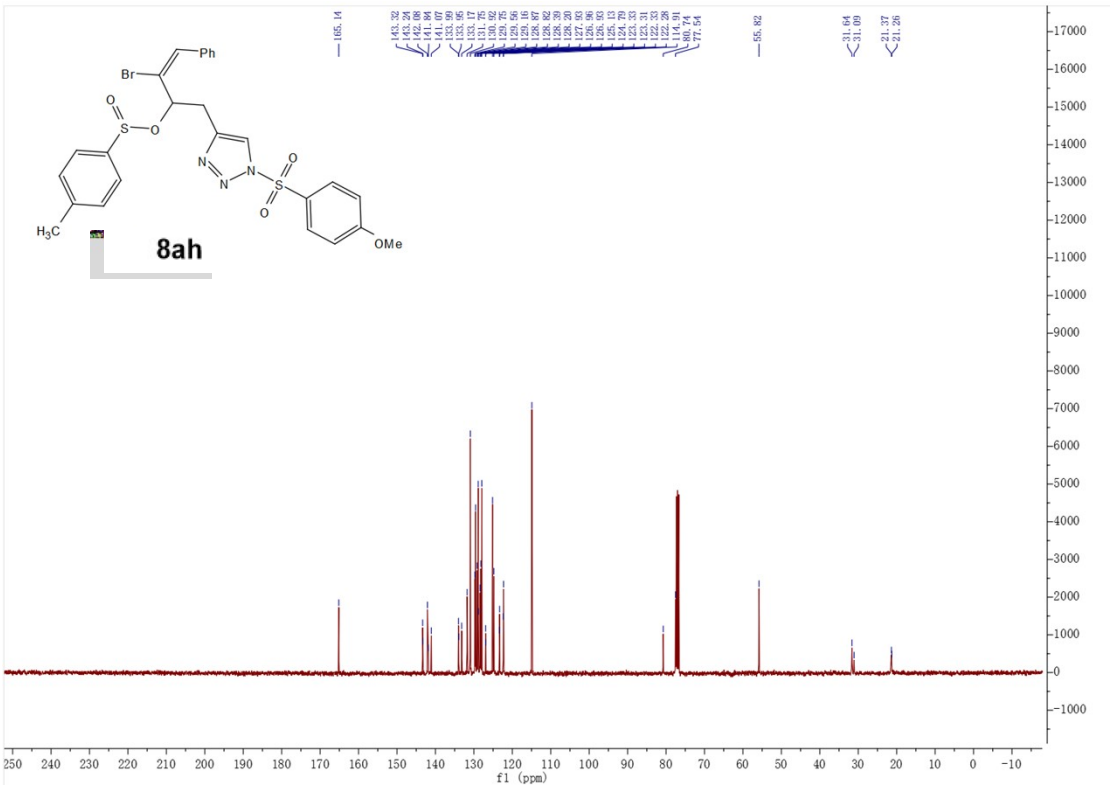
f1 (ppm)


8ag
f1 (ppm)


Ph
Br
O
S
O
N
N
N
O
S
O
H3C
OMe
8ah
f1 (ppm)


Ph
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S
O
N
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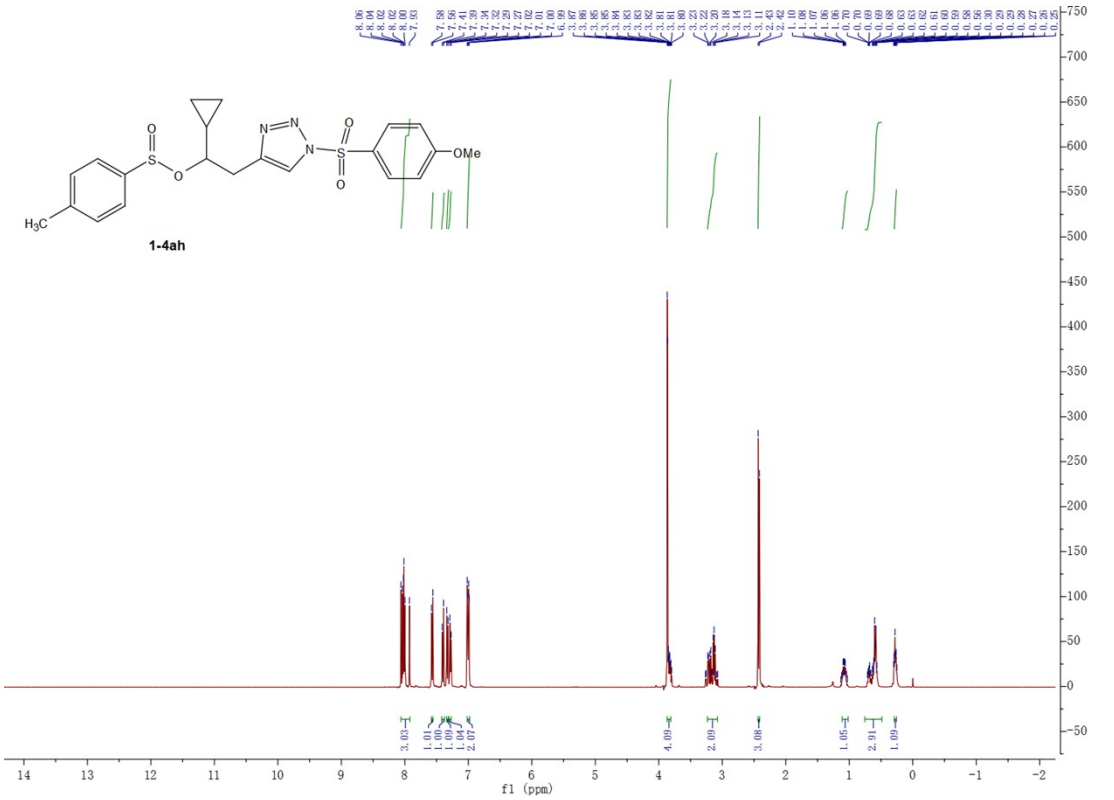
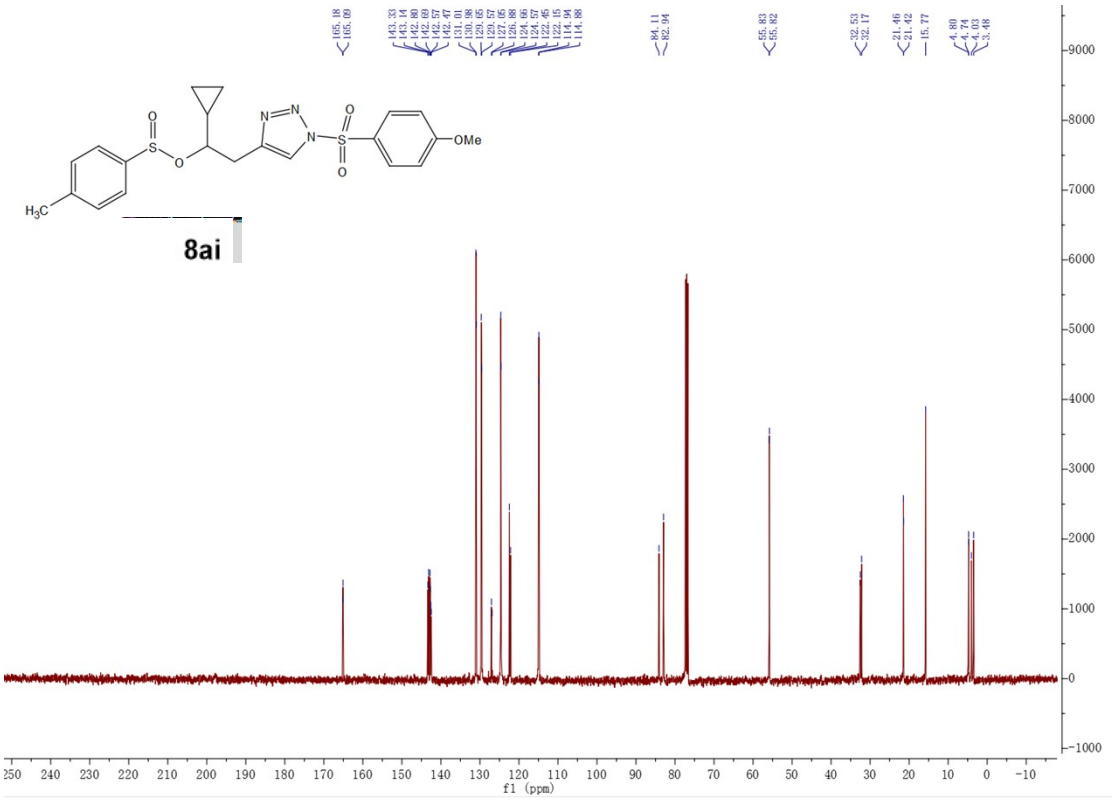
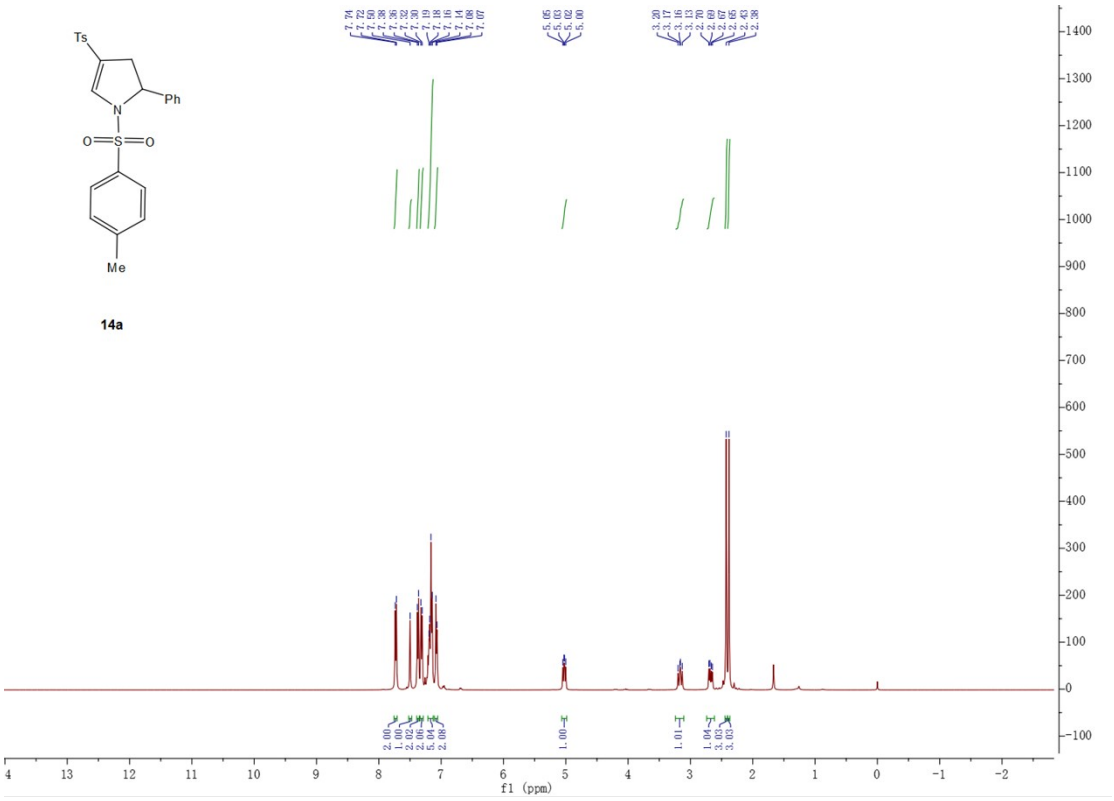
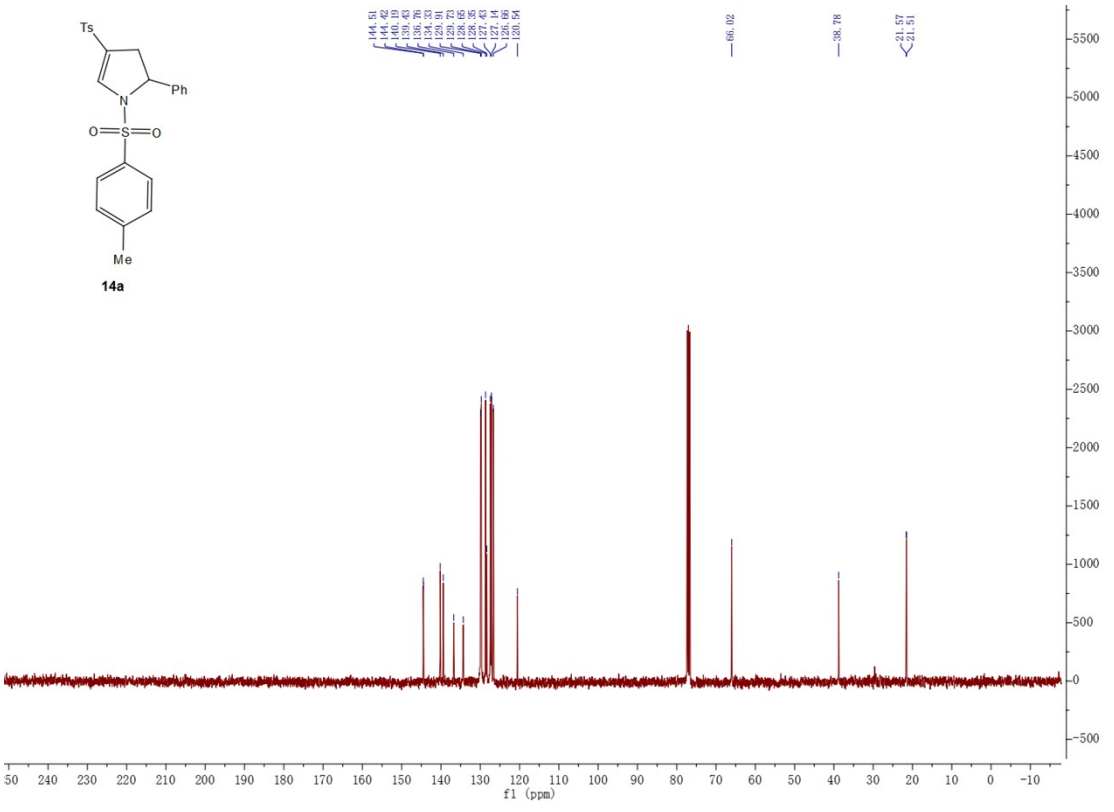
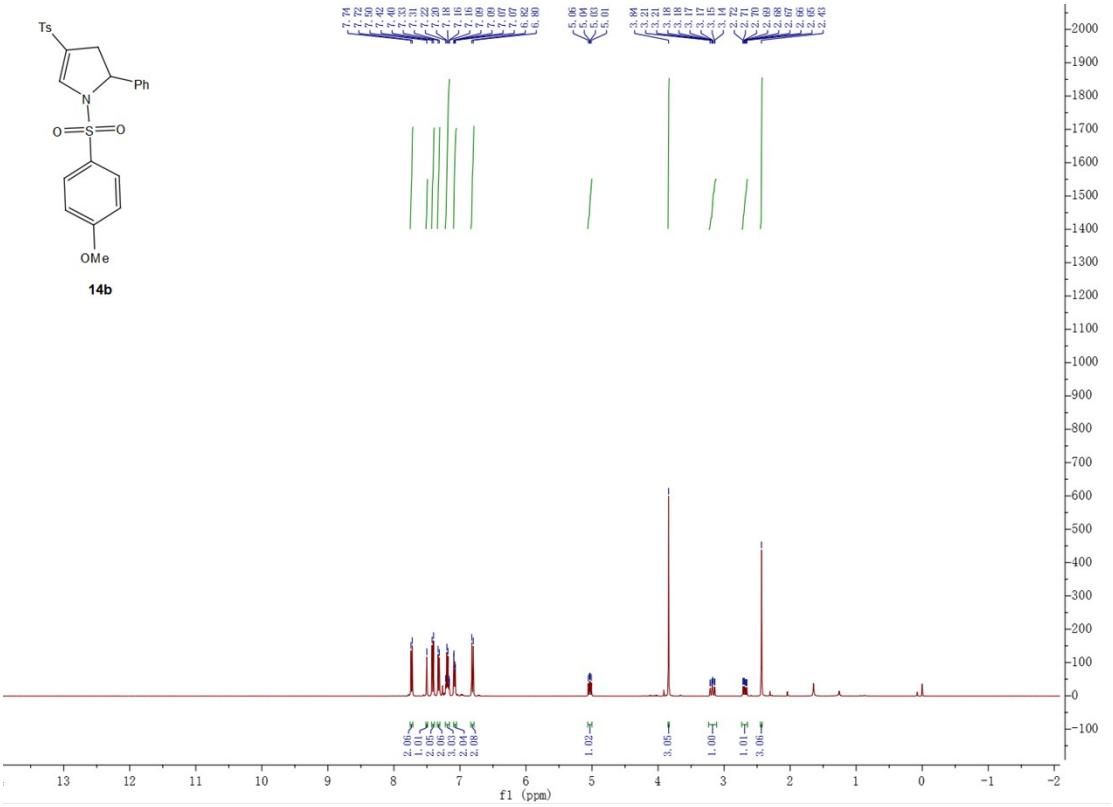
N
O
S
O
H3C
OMe
8ah
f1 (ppm)

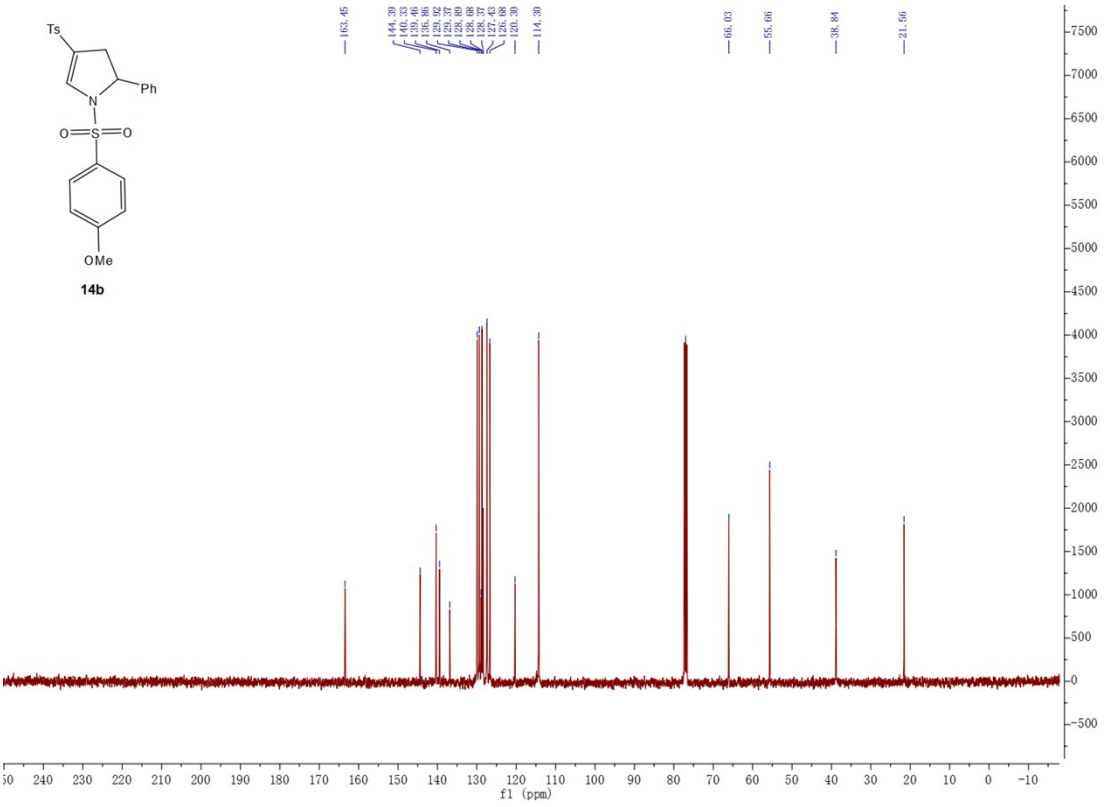

1-4ah
OMe
H3C
f1 (ppm)

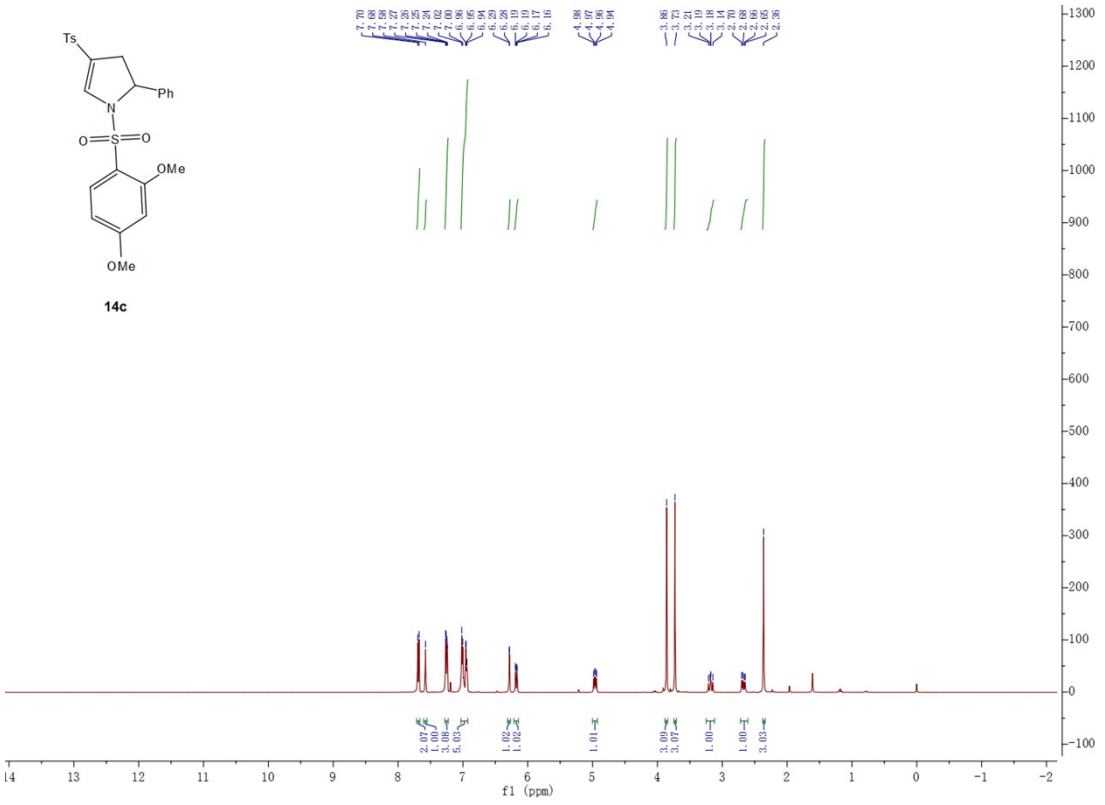

8ai
OMe
H3C
f1 (ppm)

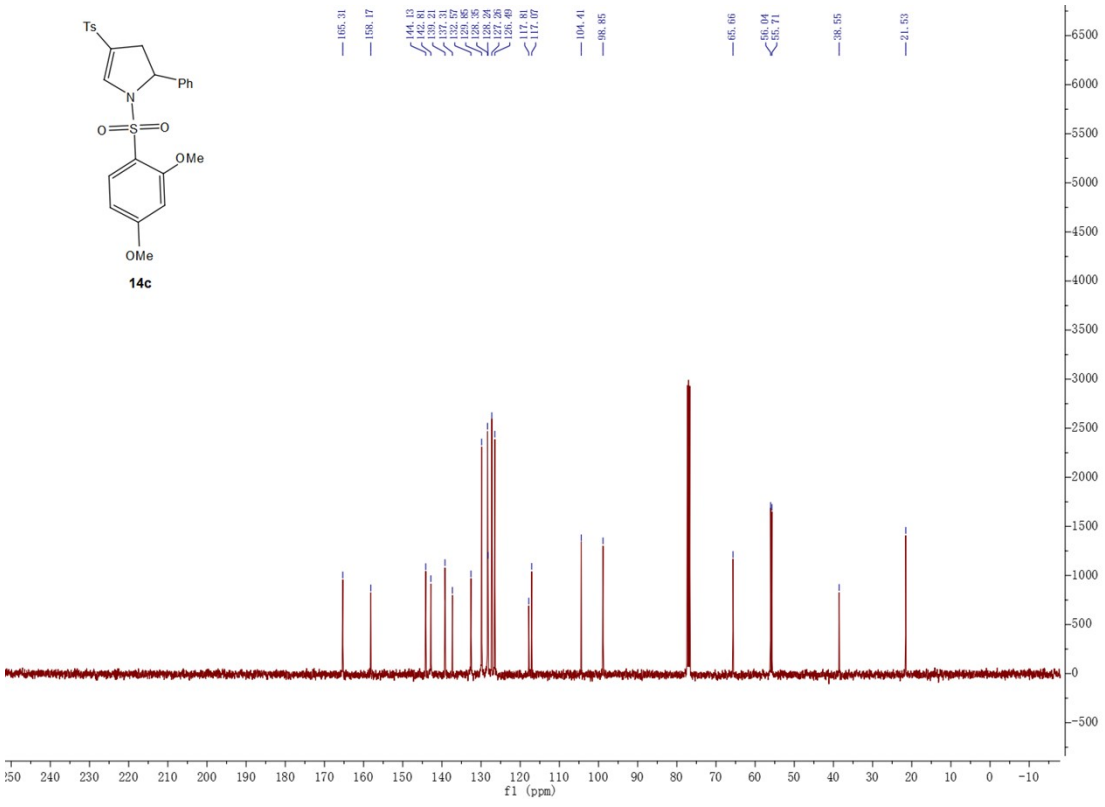

Ts
Ph
N
O=S=O
Me
14a
f1 (ppm)

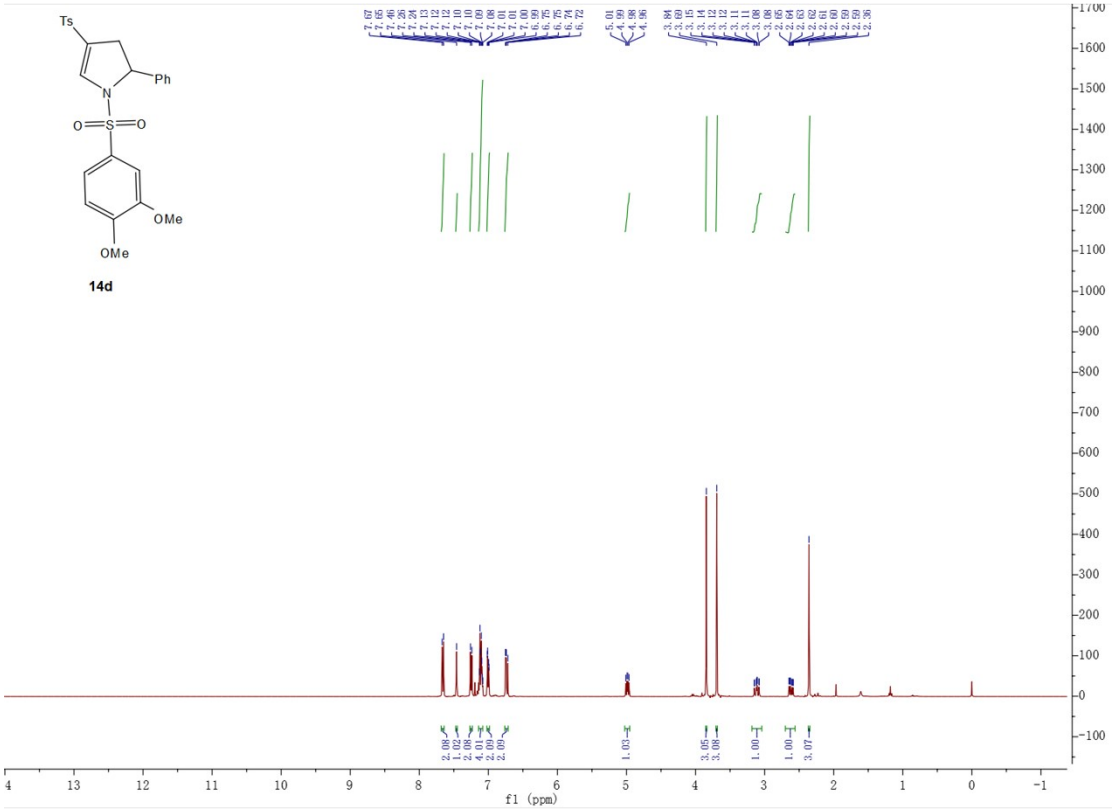

Ts
Ph
N
O=S=O
Me
14a
f1 (ppm)

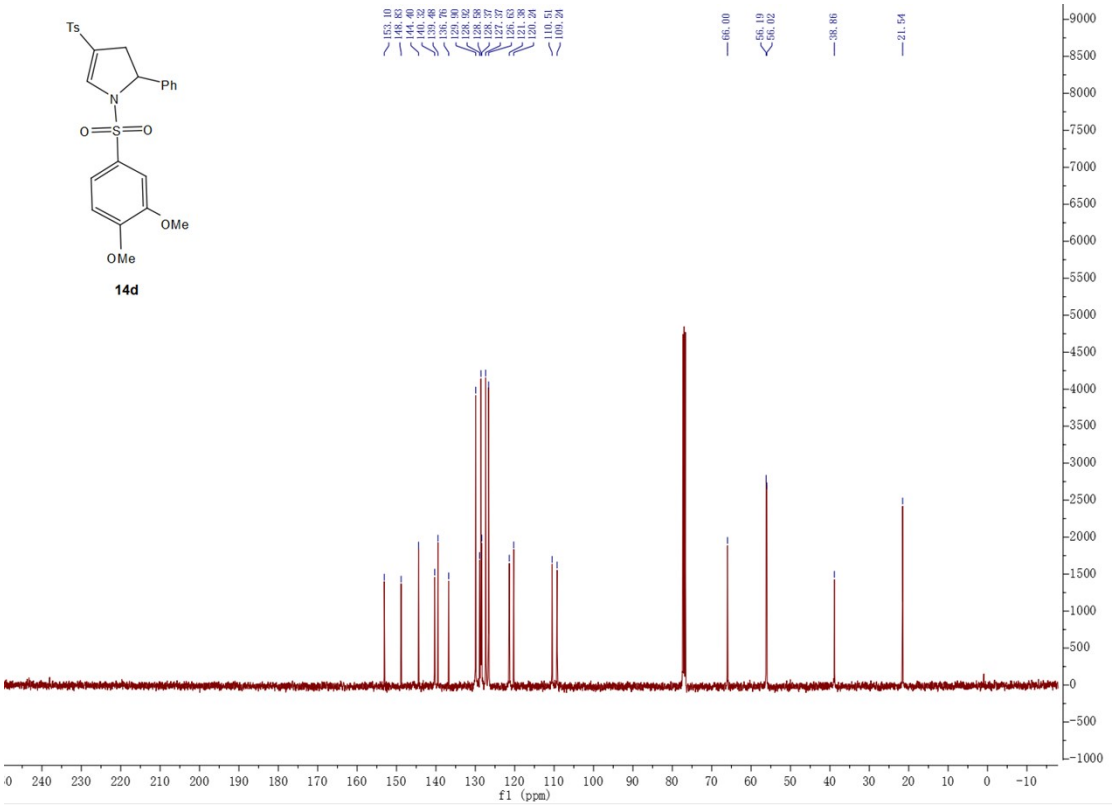

Ts
Ph
N
O=S=O
OMe
14b
f1 (ppm)

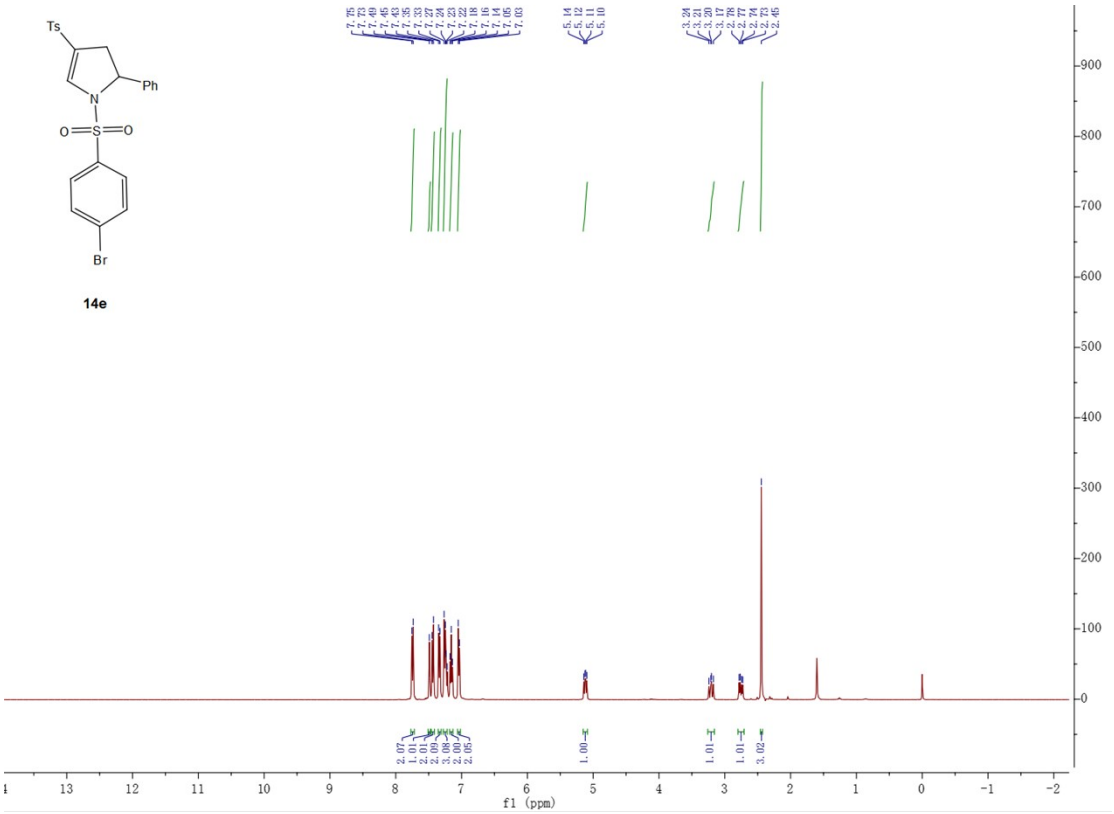

Ts
Ph
N
O=S=O
OMe
14b
f1 (ppm)

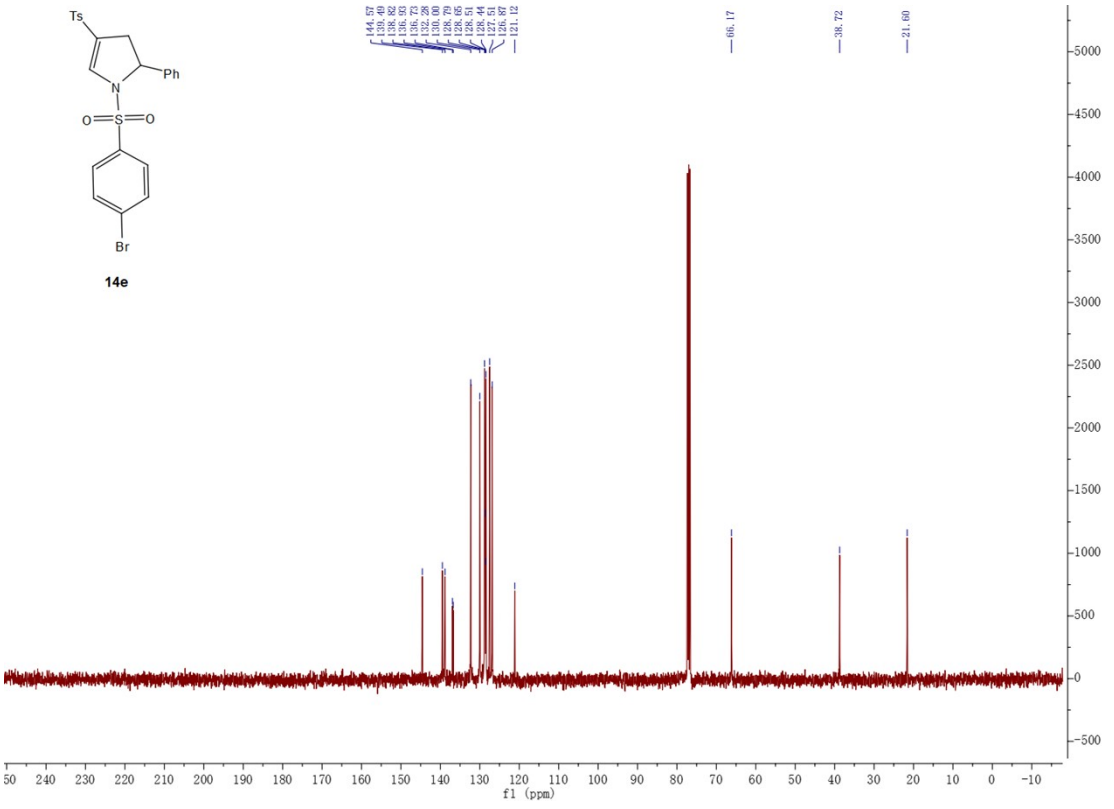

Ts
Ph
N
O
S
O
OMe
OMe
14c
f1 (ppm)

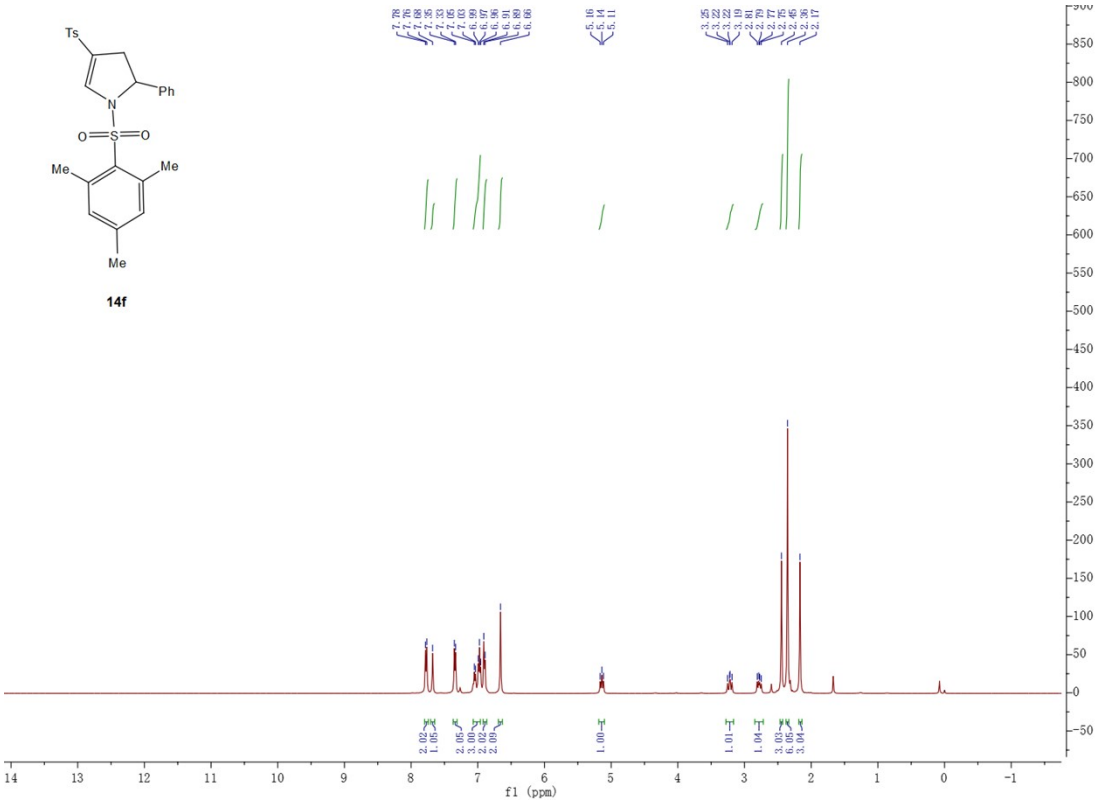

Ts
Ph
N
O
S
O
OMe
OMe
14c
f1 (ppm)

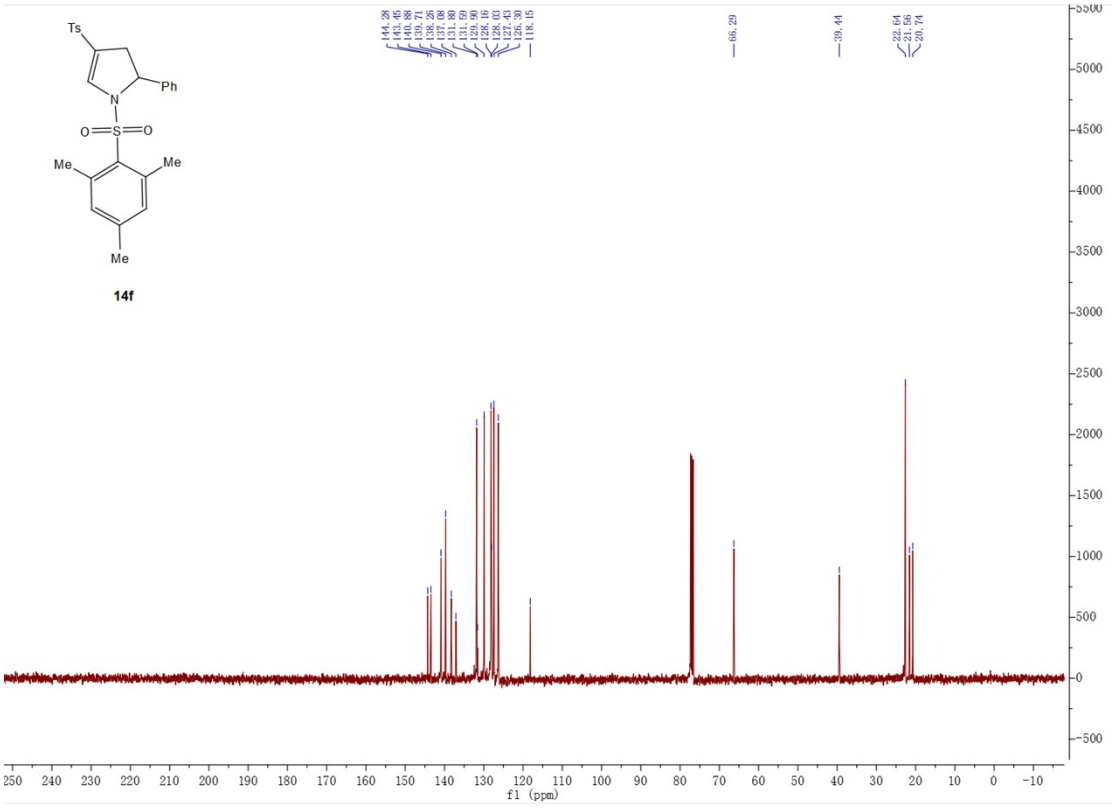

Ts
Ph
N
O=S=O
OMe
OMe
14d
f1 (ppm)

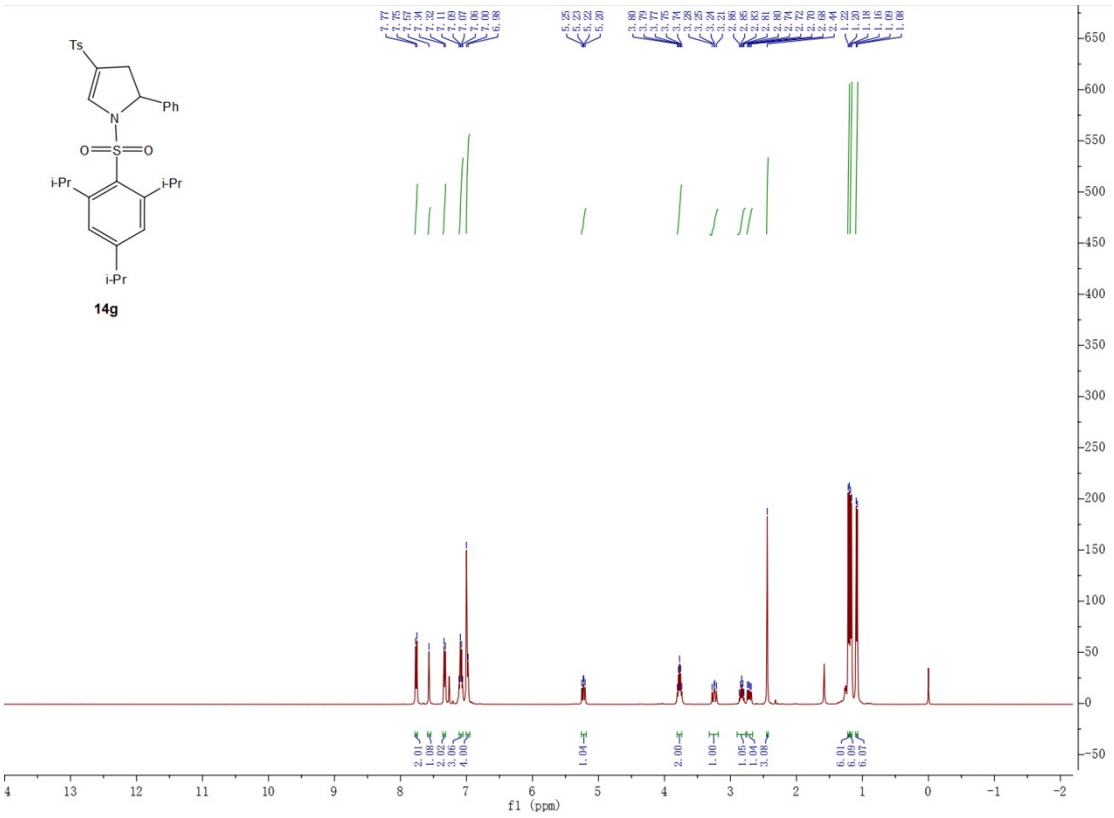

Ts
Ph
N
O=S=O
OMe
OMe
14d
f1 (ppm)

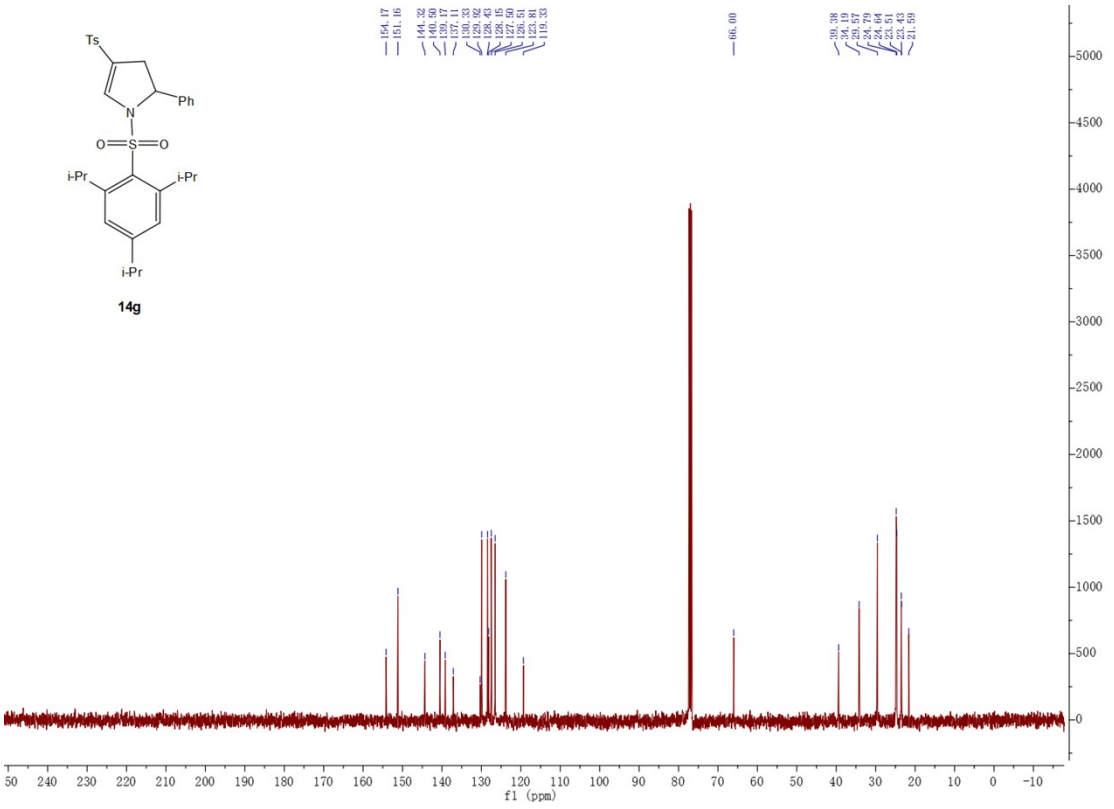

Ts
Ph
N
O=S=O
Br
14e
f1 (ppm)

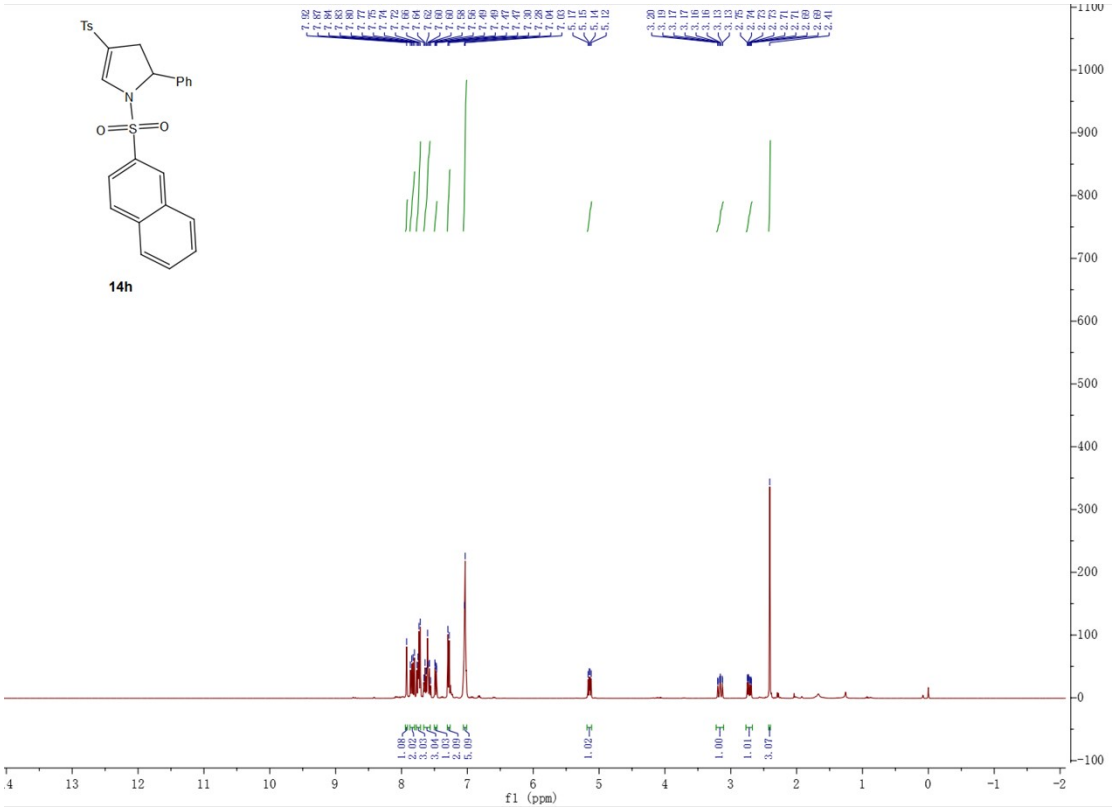

Ts
Ph
N
O=S=O
Br
14e
f1 (ppm)

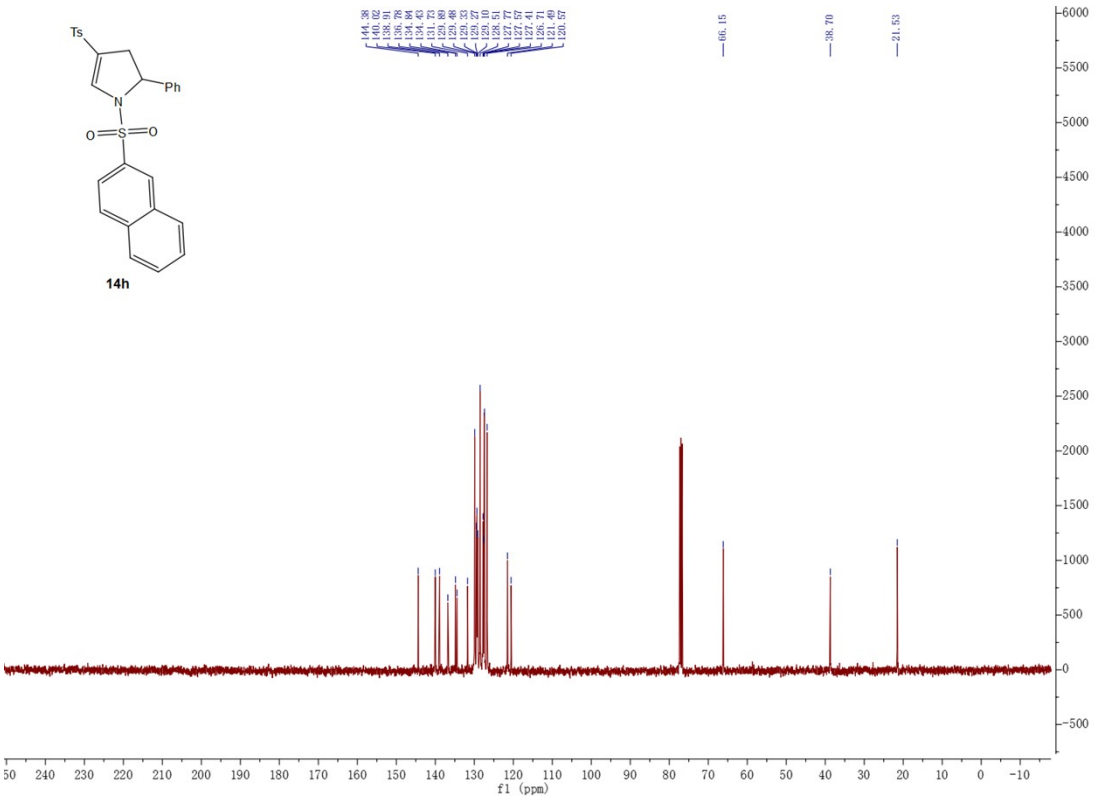

Ts
Ph
N
O=S=O
Me
Me
Me
14f
f1 (ppm)

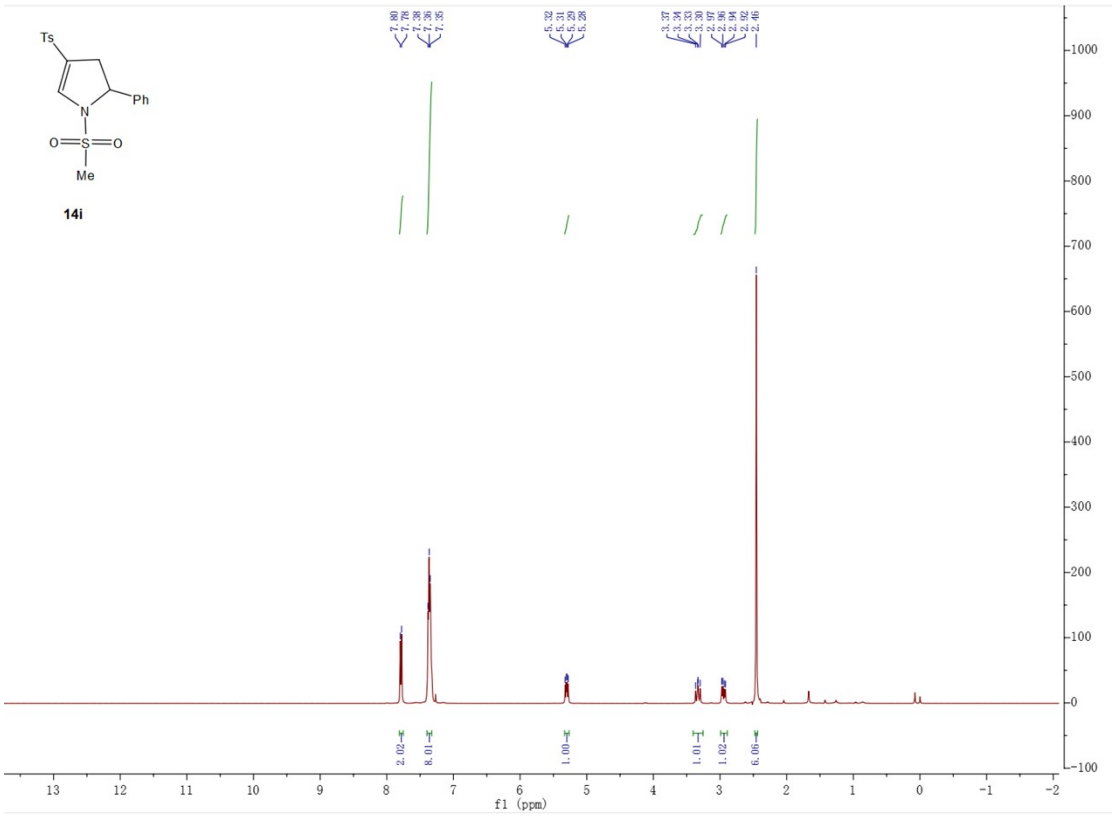

Ts
Ph
N
O=S=O
Me
Me
Me
14f
f1 (ppm)

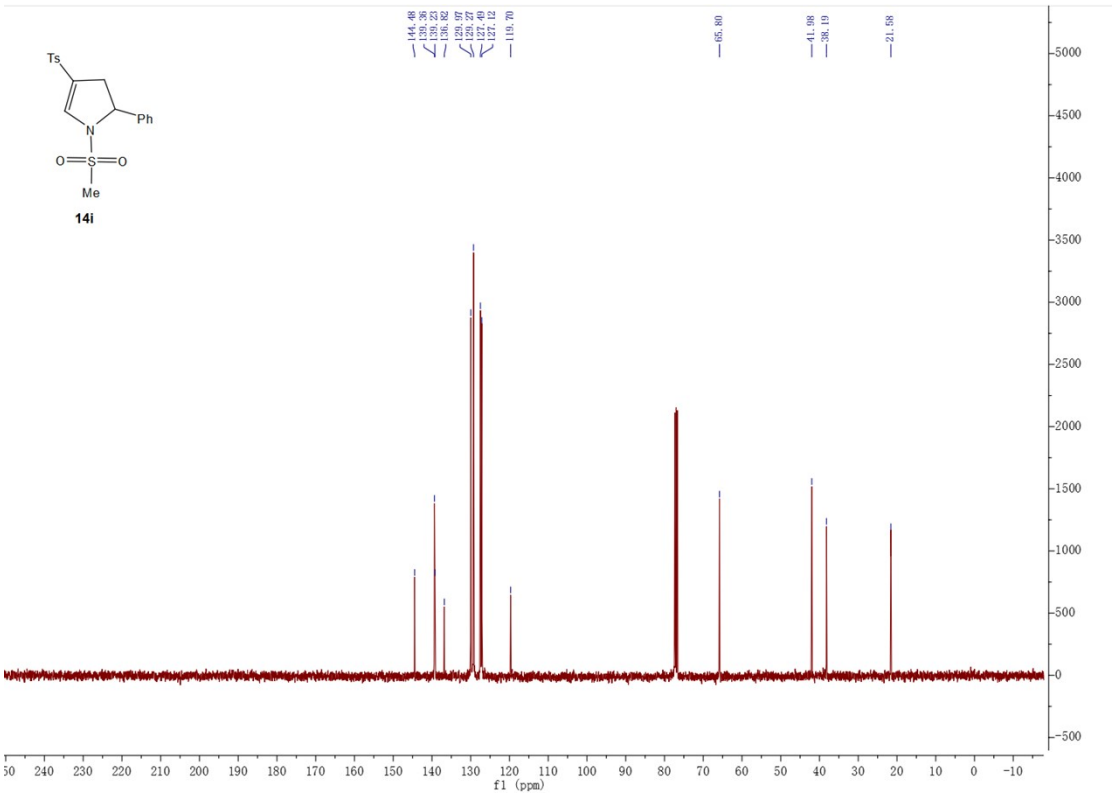

Ts
Ph
N
O=S=O
i-Pr
i-Pr
i-Pr
14g
f1 (ppm)


Ts
Ph
N
O=S=O
i-Pr
i-Pr
i-Pr
14g
f1 (ppm)


Ts
Ph
N
O
S
O
14h
f1 (ppm)


Ts
Ph
N
O
S
O
14h
66.15
38.70
21.53
f1 (ppm)


Ts
Ph
N
O=S=O
Me
14i
7.80
7.79
7.38
7.36
7.35
5.32
5.31
5.29
5.28
3.37
3.34
3.33
3.30
2.97
2.96
2.94
2.92
2.46
2.02
8.01
1.00
1.01
1.02
6.06
f1 (ppm)


Ts
Ph
N
O=S=O
Me
14i
144.48
139.35
138.52
136.82
129.97
129.27
127.49
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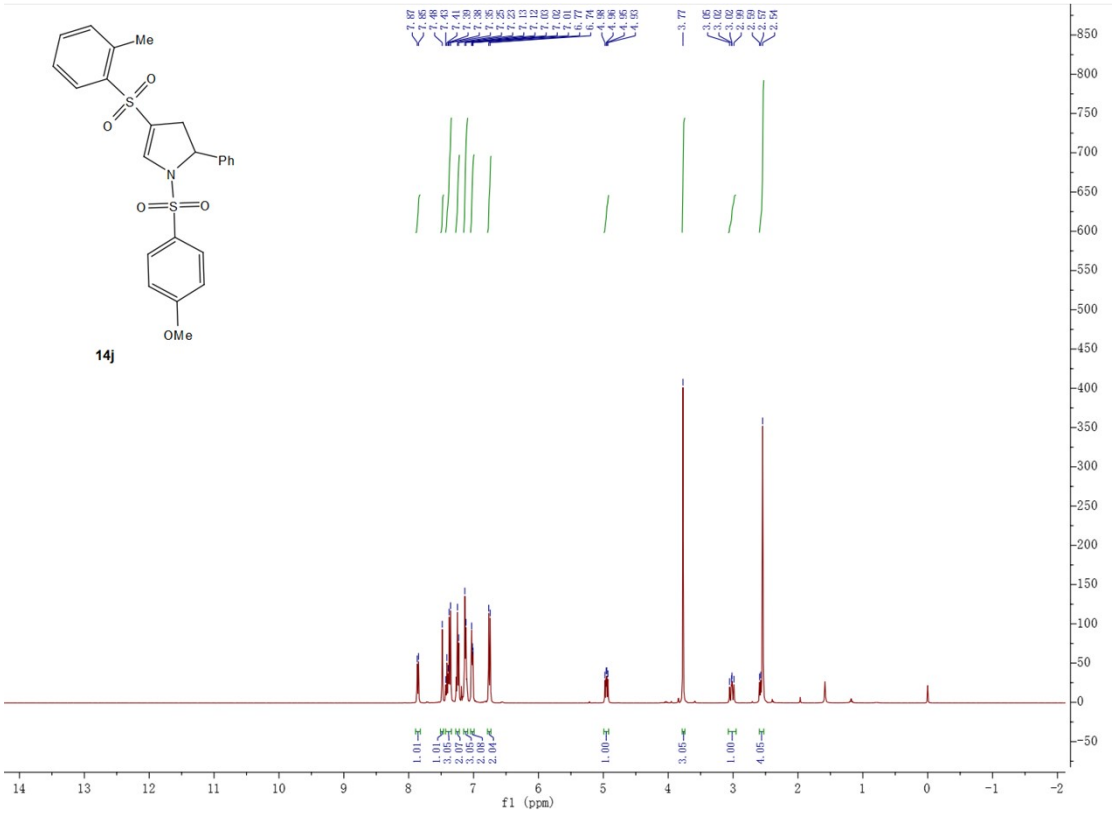
65.80
41.98
38.19
21.58
f1 (ppm)


Me
O
O
S
Ph
N
O
S
O
OMe
14j
7.87
7.85
7.48
7.43
7.41
7.39
7.38
7.35
7.25
7.23
7.13
7.12
7.03
7.02
7.01
6.77
6.74
4.98
4.96
4.95
4.93
3.77
3.05
3.02
3.02
2.99
2.59
2.57
2.54
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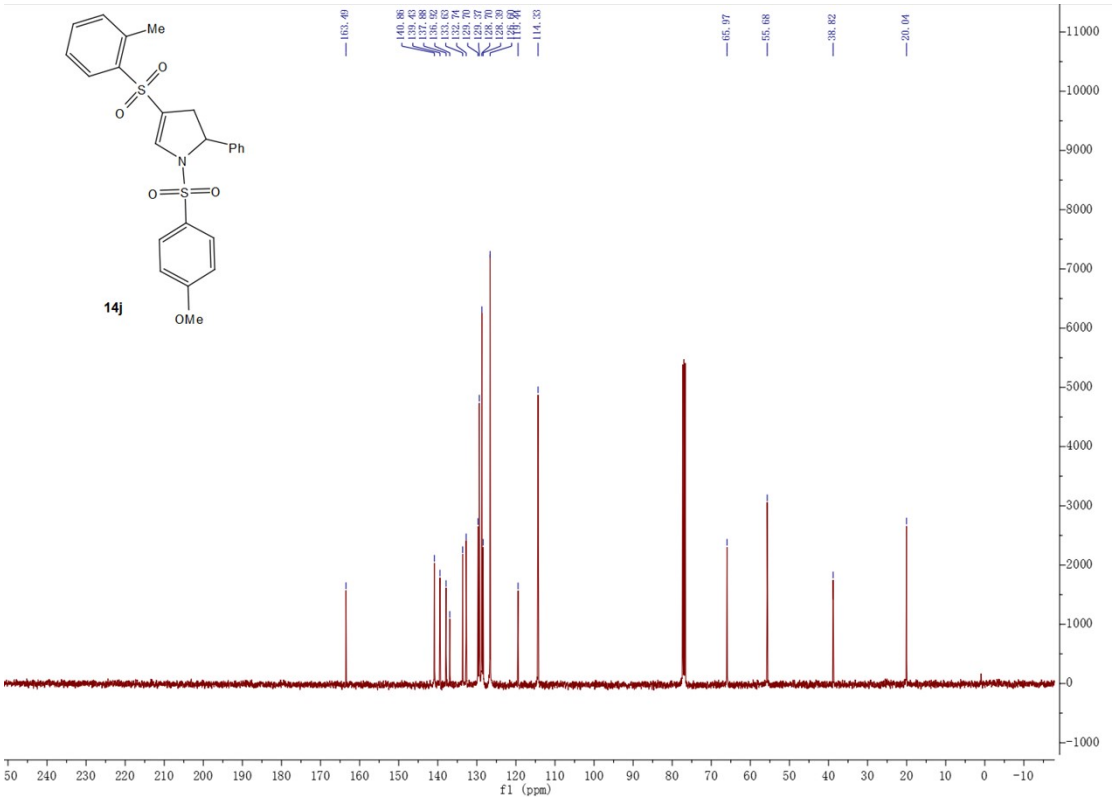
1.00
3.05
1.00
4.05
f1 (ppm)


Me
O
O
S
Ph
N
O
S
O
OMe
14j
163.49
140.86
139.43
137.88
135.92
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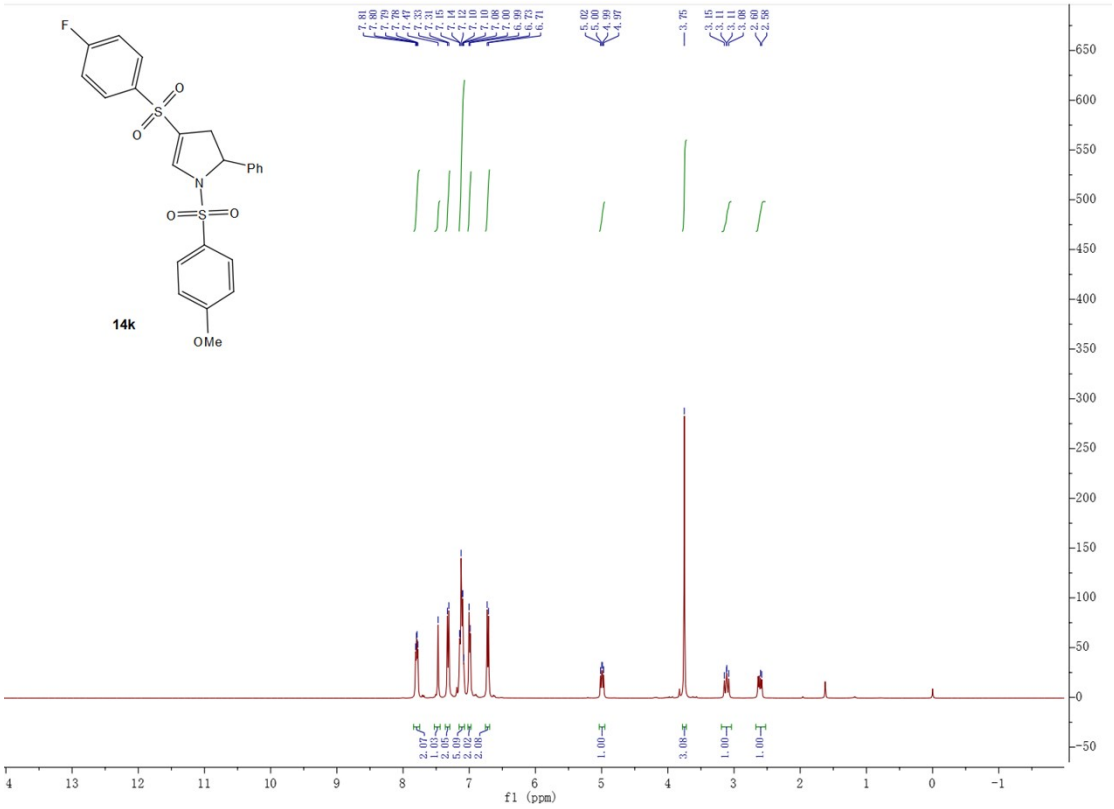
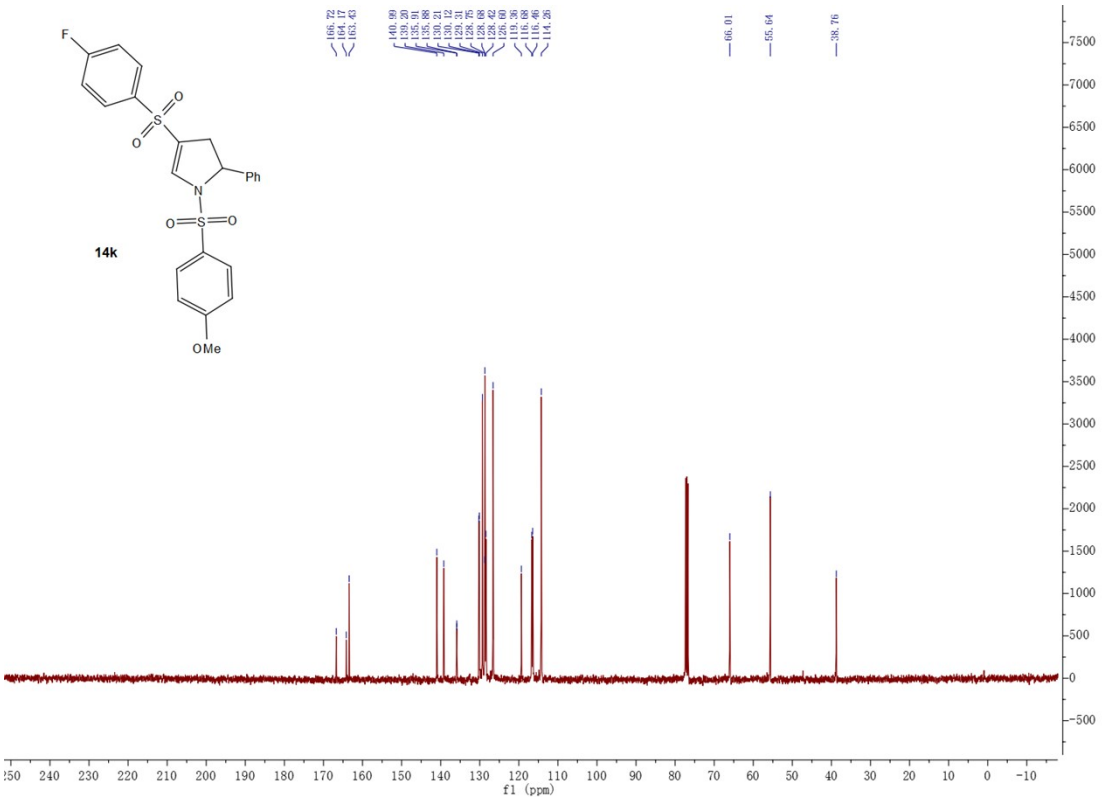
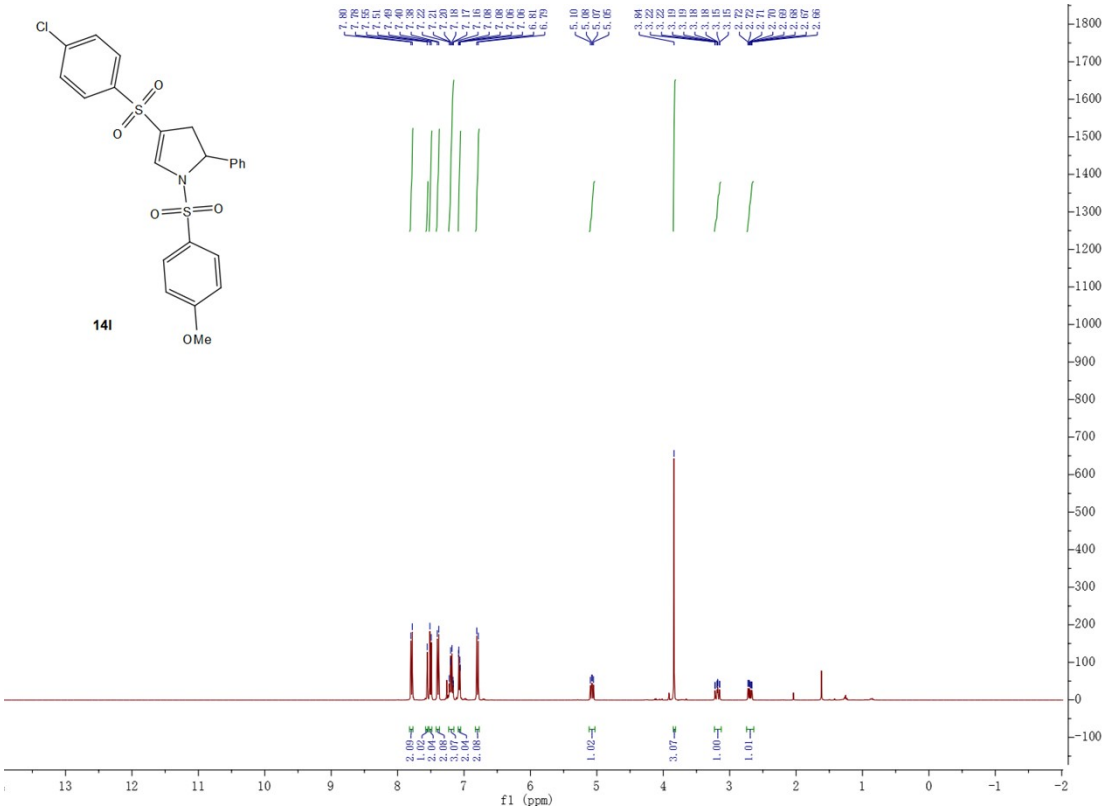
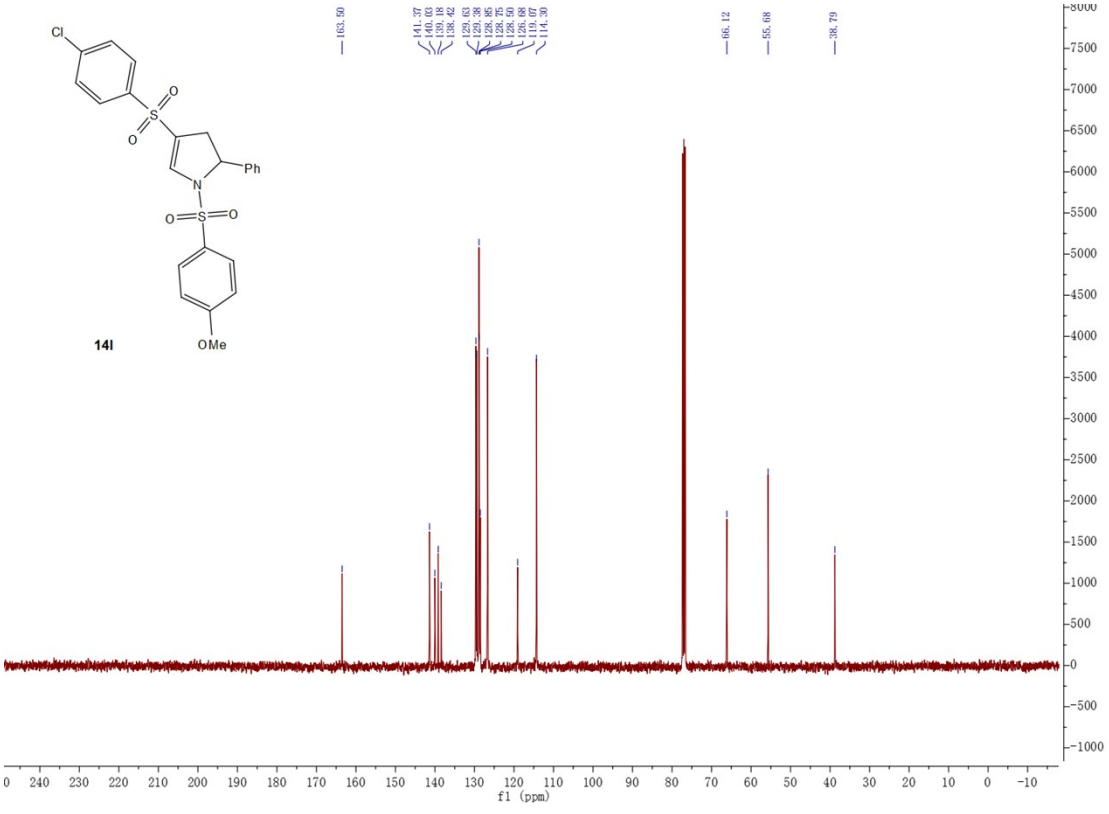
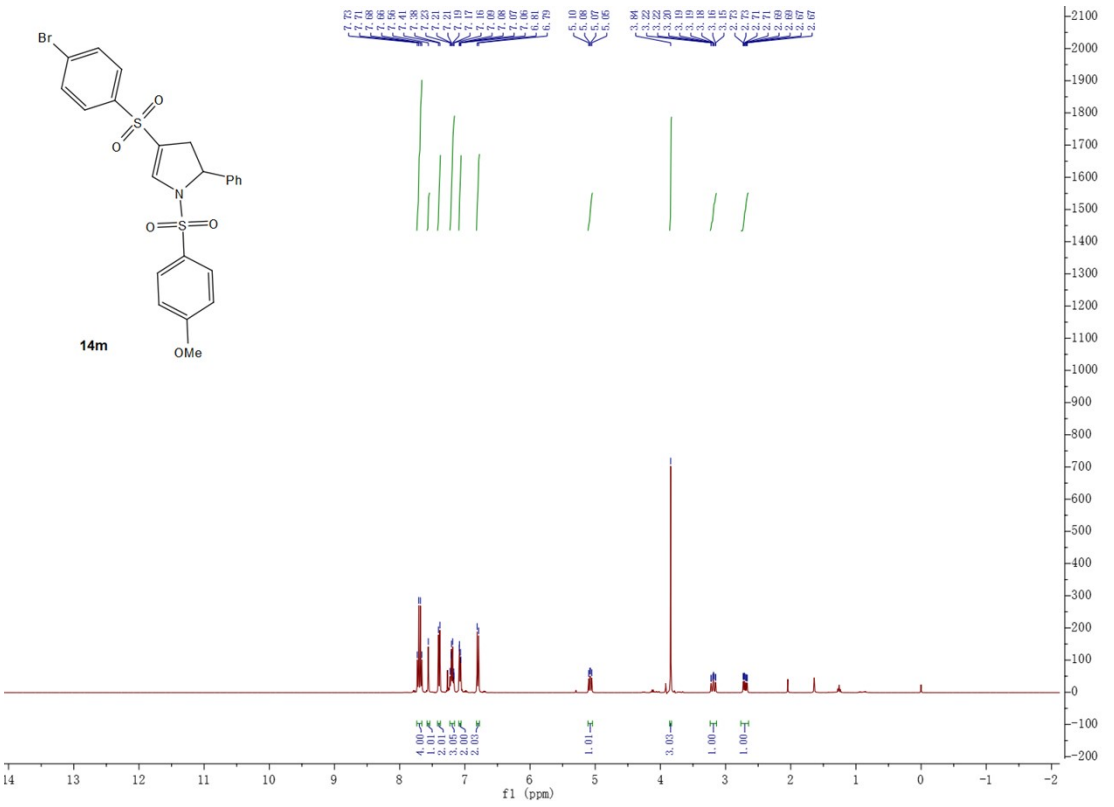
65.97
55.68
38.82
20.04
f1 (ppm)

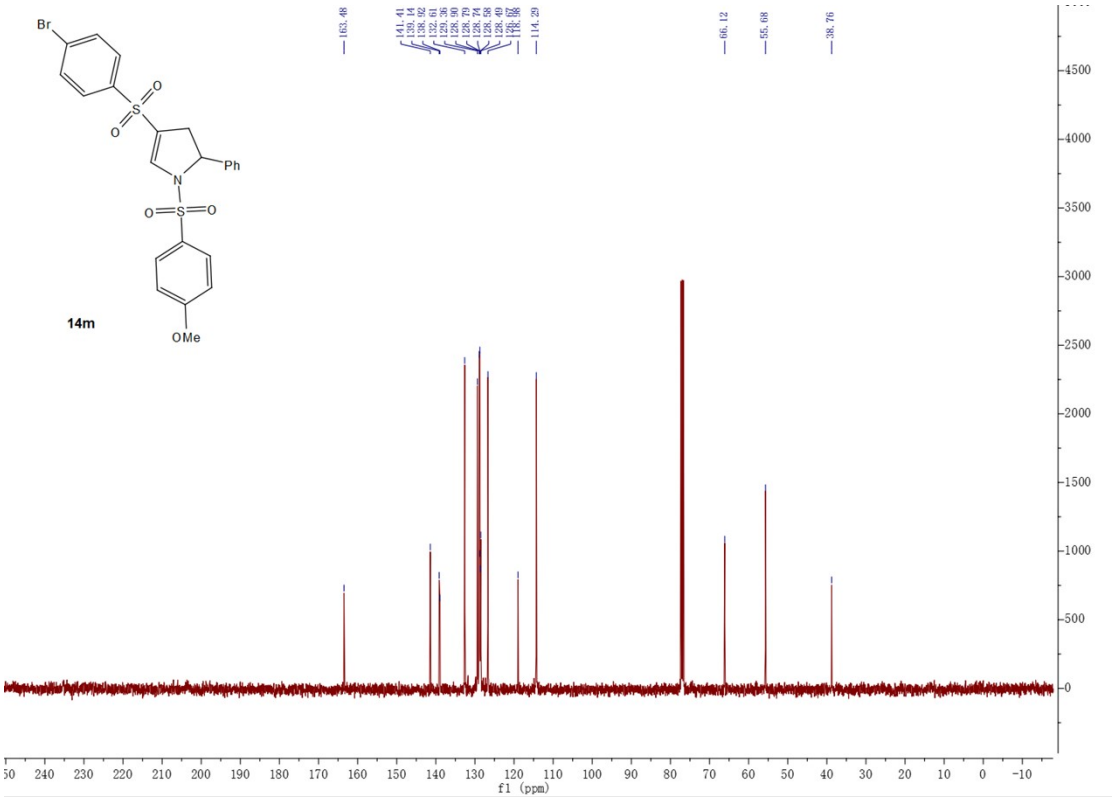

14k
OMe
f1 (ppm)

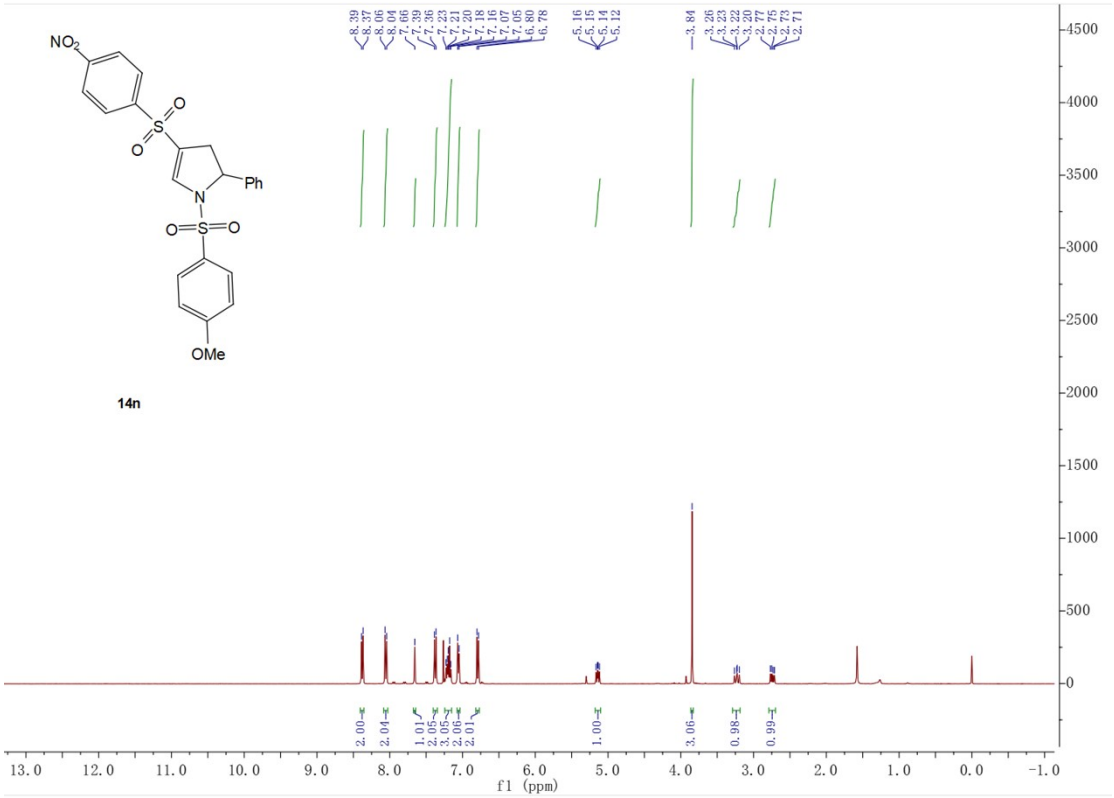

14k
OMe
f1 (ppm)

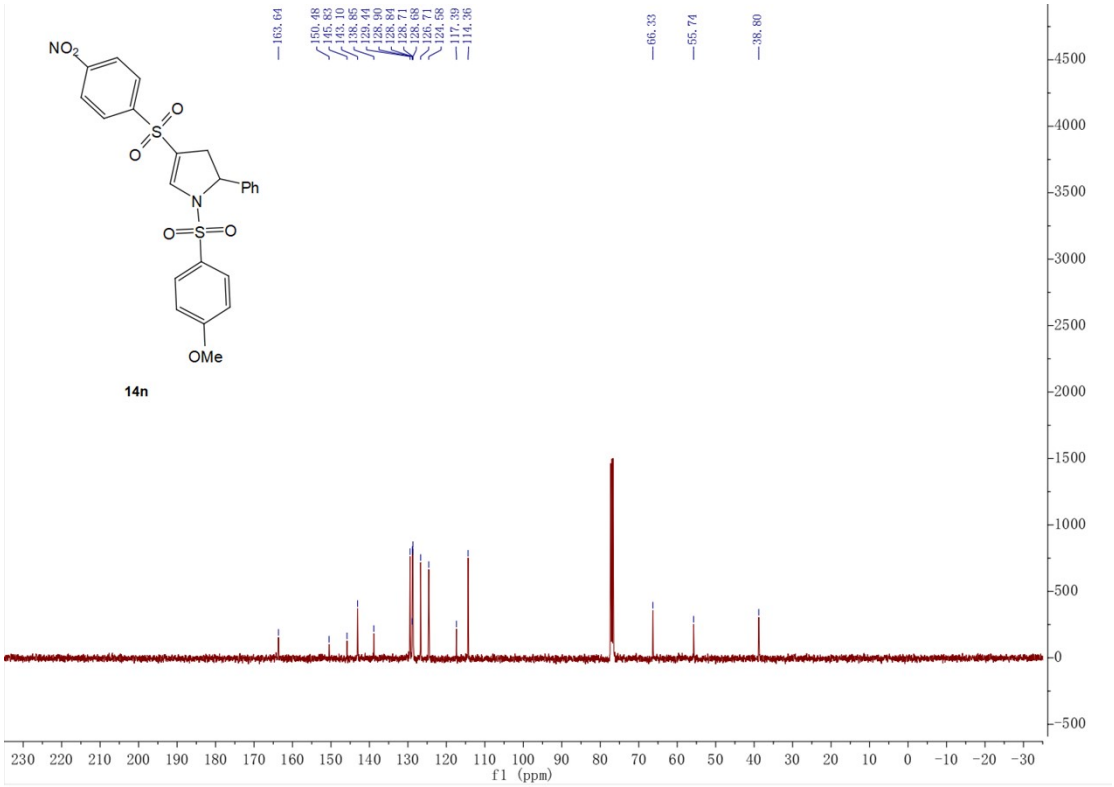

Cl
O
O
S
Ph
N
O
S
O
OMe
14l
7.80
7.78
7.55
7.51
7.49
7.40
7.38
7.22
7.21
7.20
7.18
7.17
7.16
7.08
7.06
7.06
6.81
6.79
5.10
5.08
5.07
5.05
3.84
3.22
3.22
3.19
3.19
3.18
3.15
3.15
2.72
2.71
2.70
2.69
2.68
2.67
2.66
2.09
1.02
2.04
2.08
3.07
2.04
2.08
1.02
3.07
1.00
1.01
f1 (ppm)

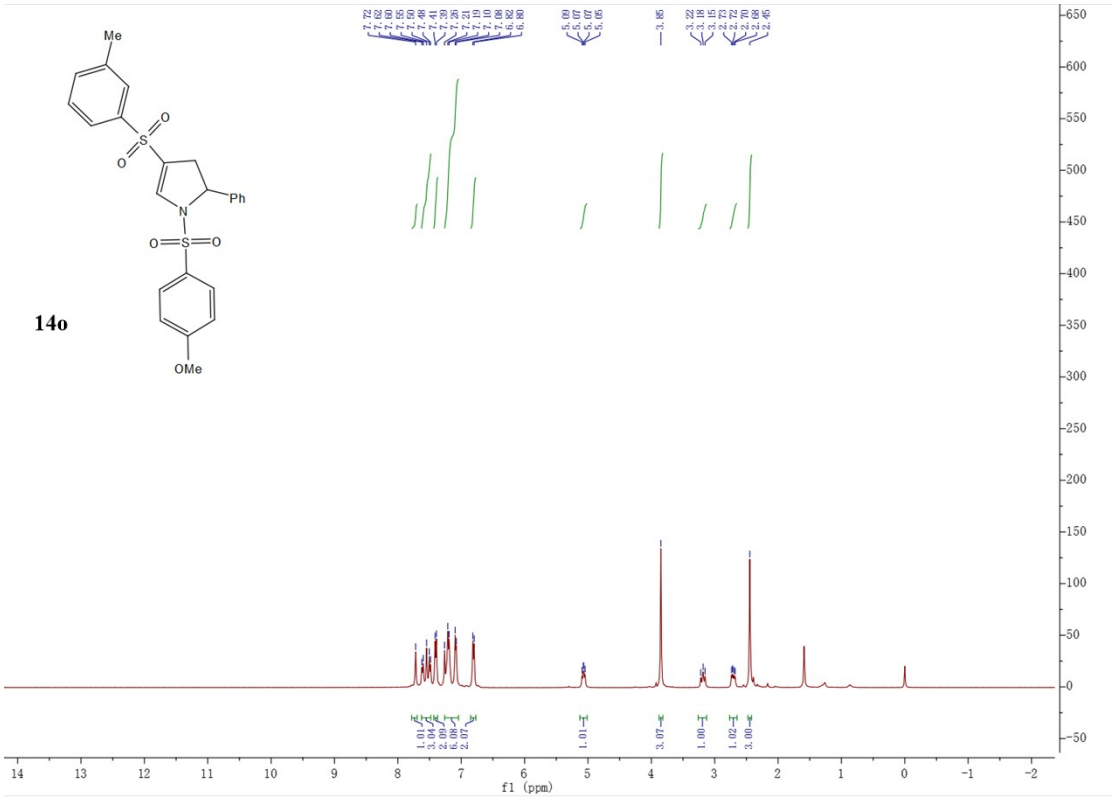

Cl
O
O
S
Ph
N
O
S
O
OMe
14l
163.50
141.37
140.03
139.18
138.42
129.63
129.38
128.85
128.75
128.50
126.68
111.07
114.30
66.12
55.68
38.79
f1 (ppm)

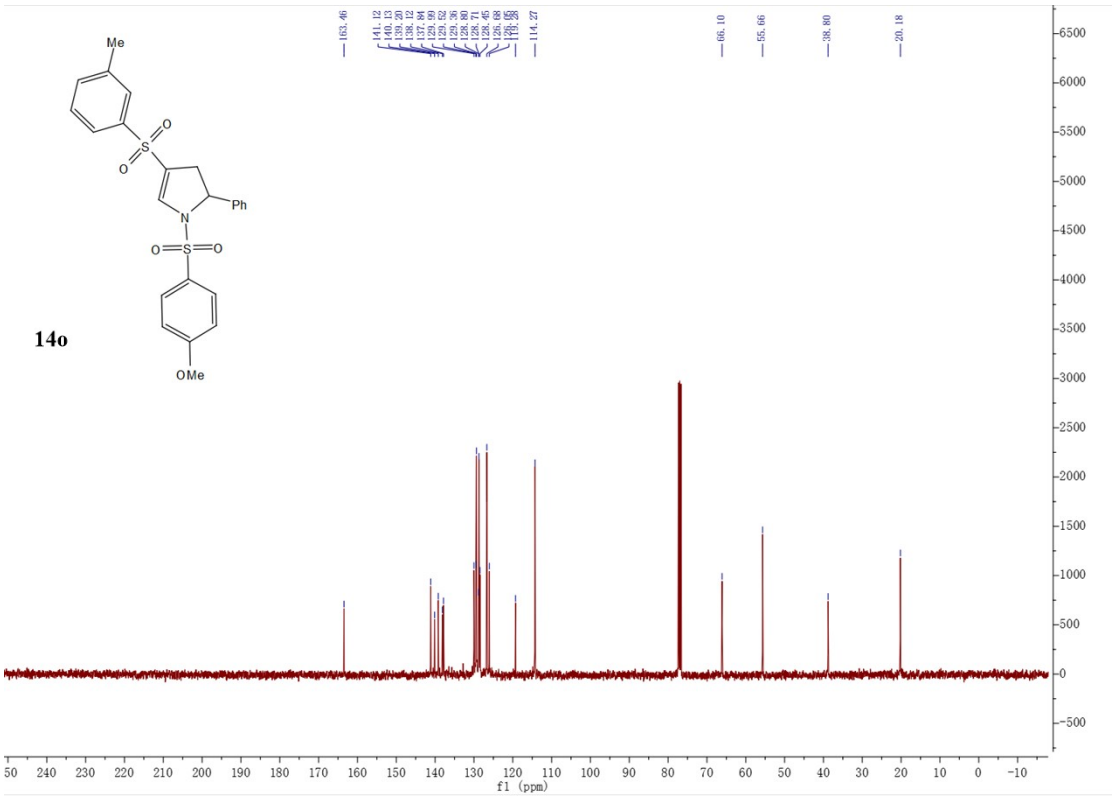

Br
O
S
O
Ph
N
O
S
O
OMe
14m
f1 (ppm)

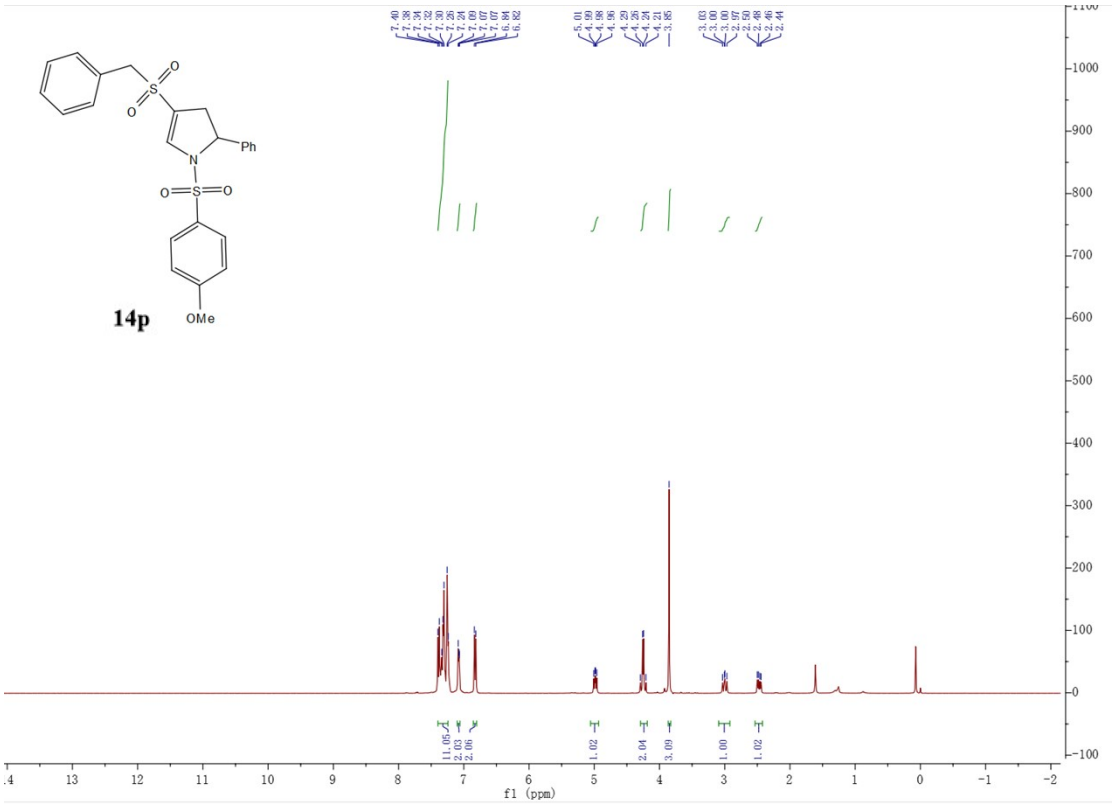

Br
O
S
O
Ph
N
O
S
O
OMe
14m
f1 (ppm)

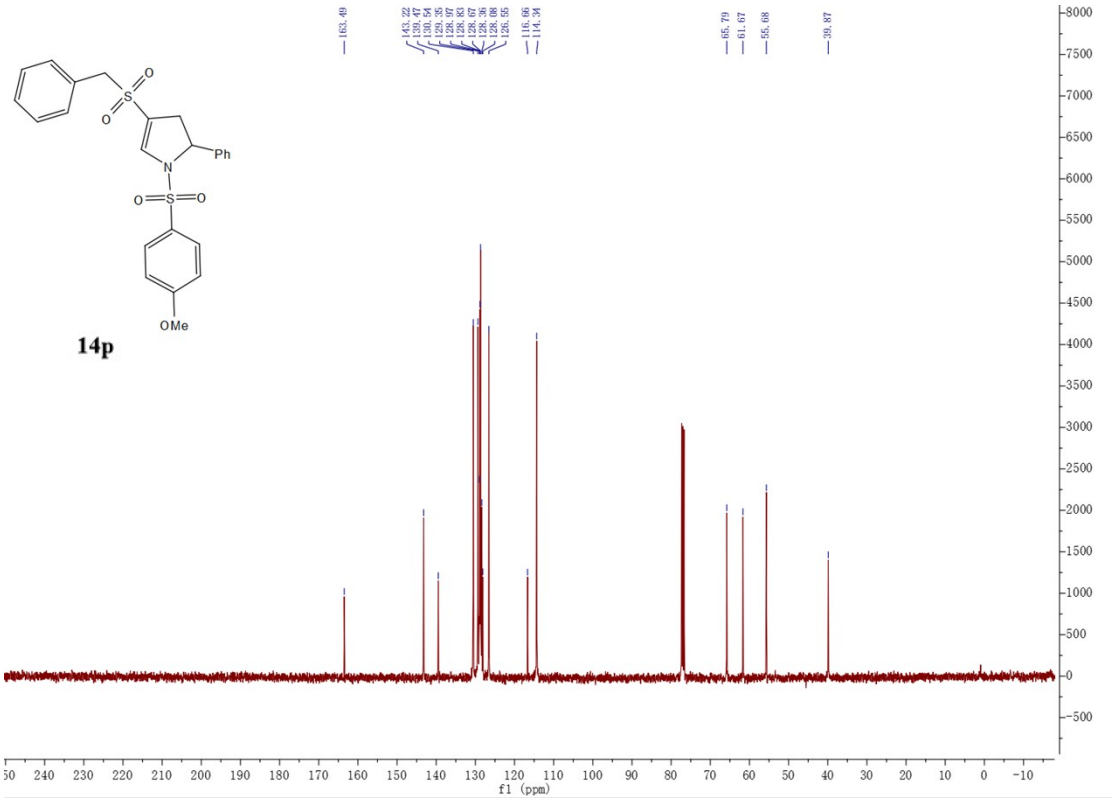

NO2
Ph
N
OMe
14n
8.39
8.37
8.16
8.04
7.66
7.39
7.36
7.23
7.21
7.20
7.18
7.16
7.07
7.05
6.80
6.78
5.16
5.15
5.14
5.12
3.84
3.26
3.23
3.22
3.20
2.77
2.75
2.73
2.71
2.00
2.04
1.01
2.05
3.05
2.06
2.01
1.00
3.06
0.98
0.99
f1 (ppm)

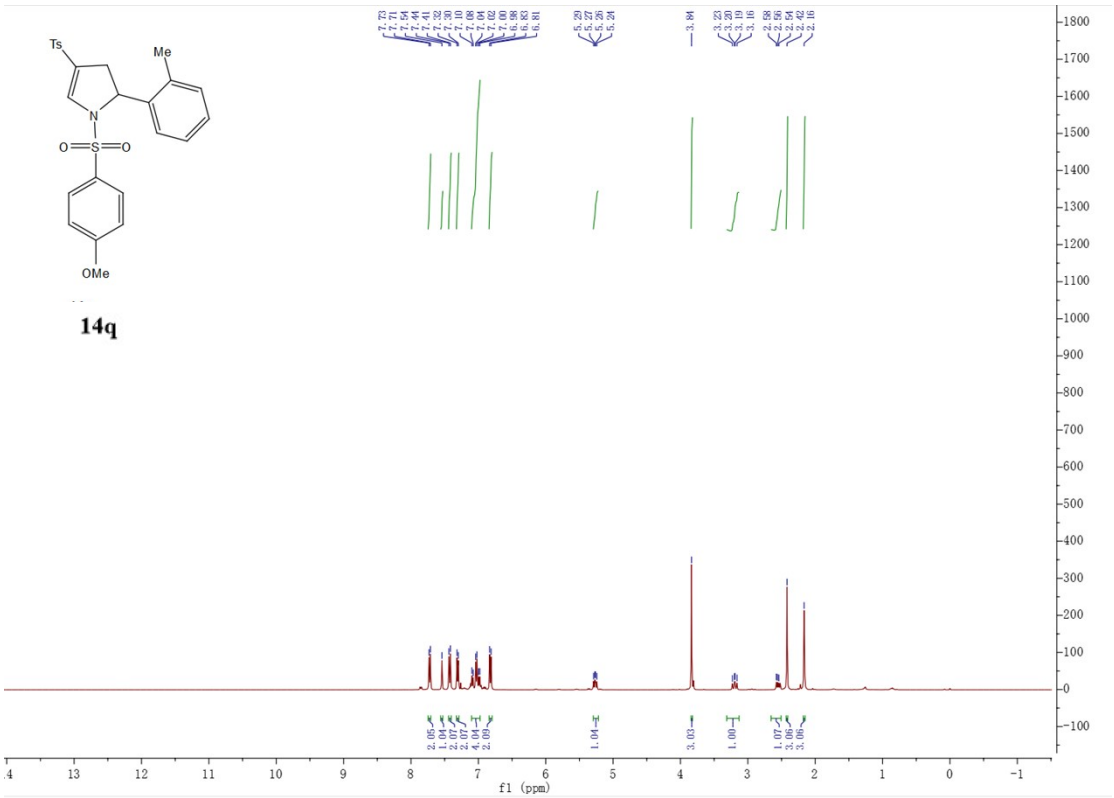

NO2
Ph
N
OMe
14n
163.64
150.48
145.83
143.10
138.85
129.44
128.96
128.84
128.71
128.68
126.71
124.58
117.39
114.36
66.33
55.74
38.80
f1 (ppm)


14o
Me
Ph
OMe
f1 (ppm)

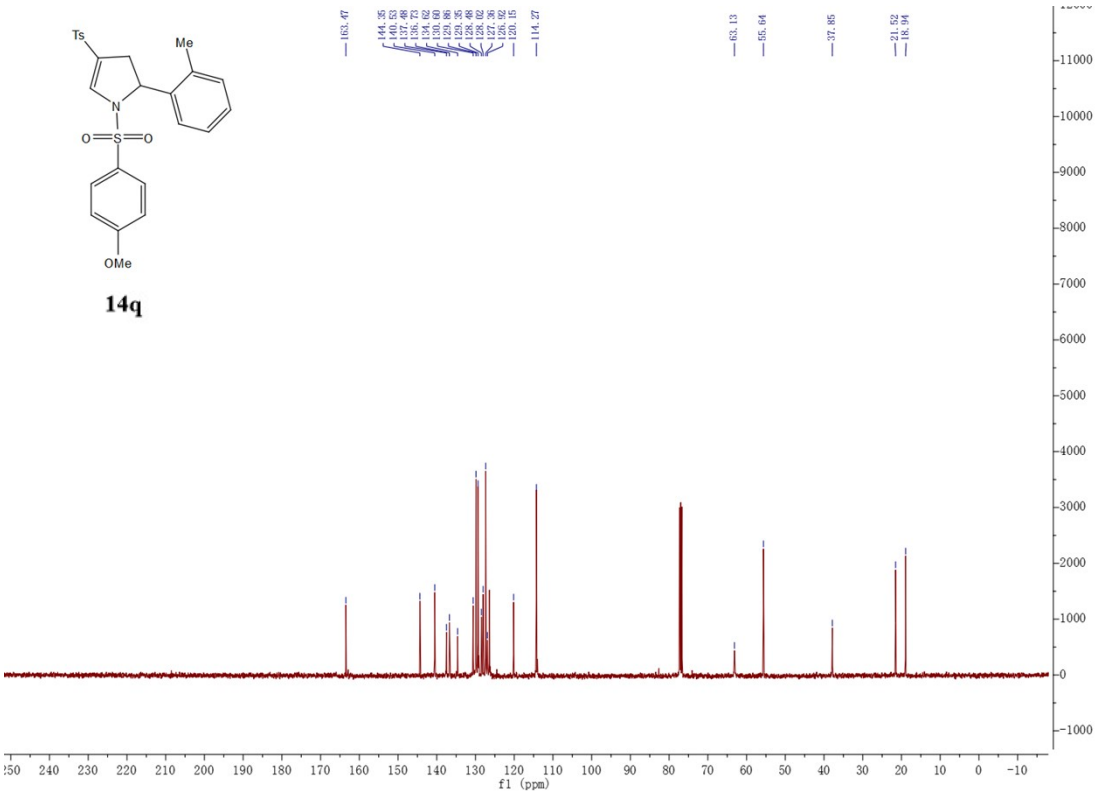

14o
Me
Ph
OMe
f1 (ppm)


14p
OMe
Ph
f1 (ppm)

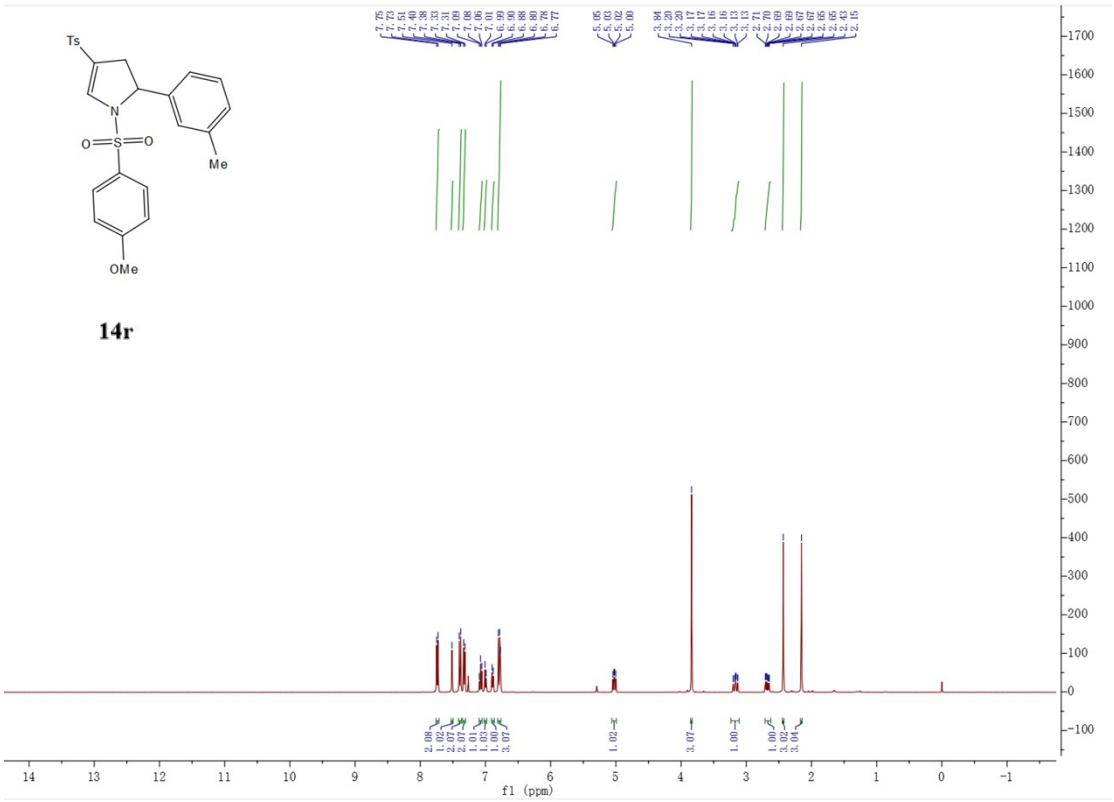

14p
OMe
Ph
f1 (ppm)

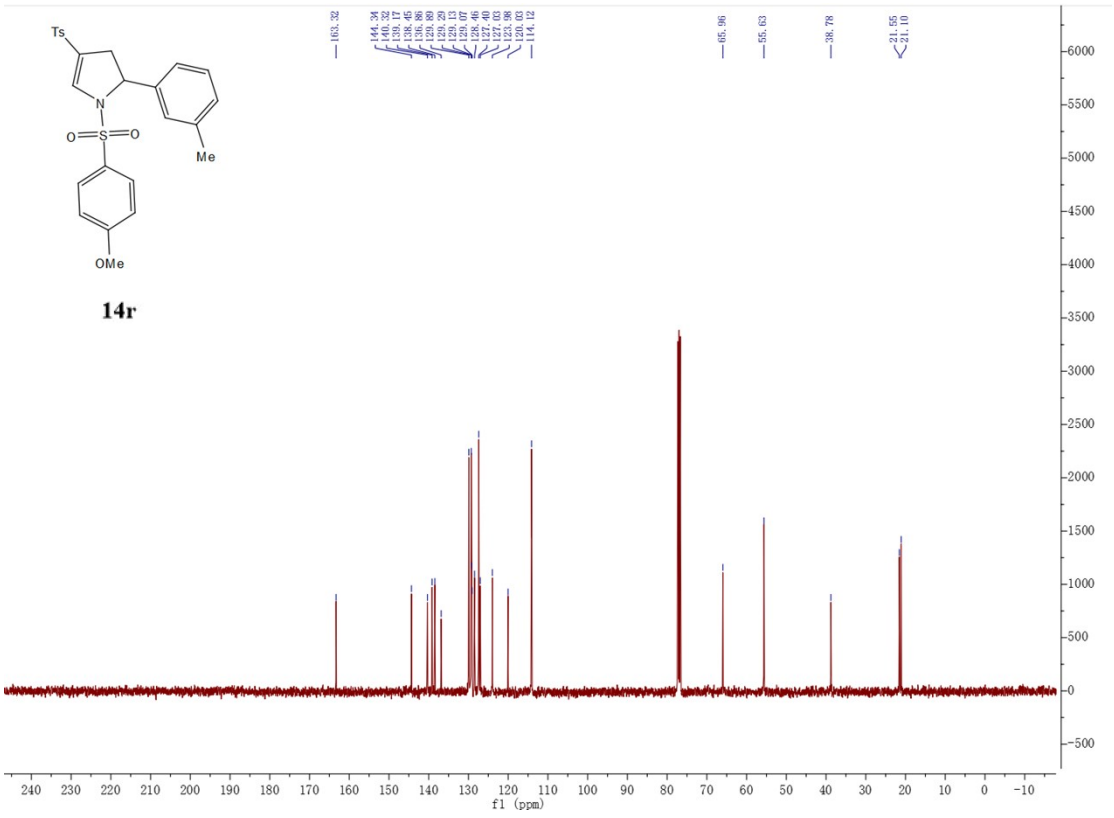

Ts
Me
N
O=S=O
OMe
7.73
7.71
7.54
7.44
7.41
7.32
7.28
7.10
7.08
7.04
7.02
7.00
6.88
6.83
6.81
5.29
5.27
5.26
5.24
3.84
3.23
3.20
3.19
3.16
2.68
2.56
2.54
2.42
2.16
2.05
1.04
2.07
2.07
4.04
2.09
1.04
3.03
1.00
1.07
3.06
3.00
f1 (ppm)

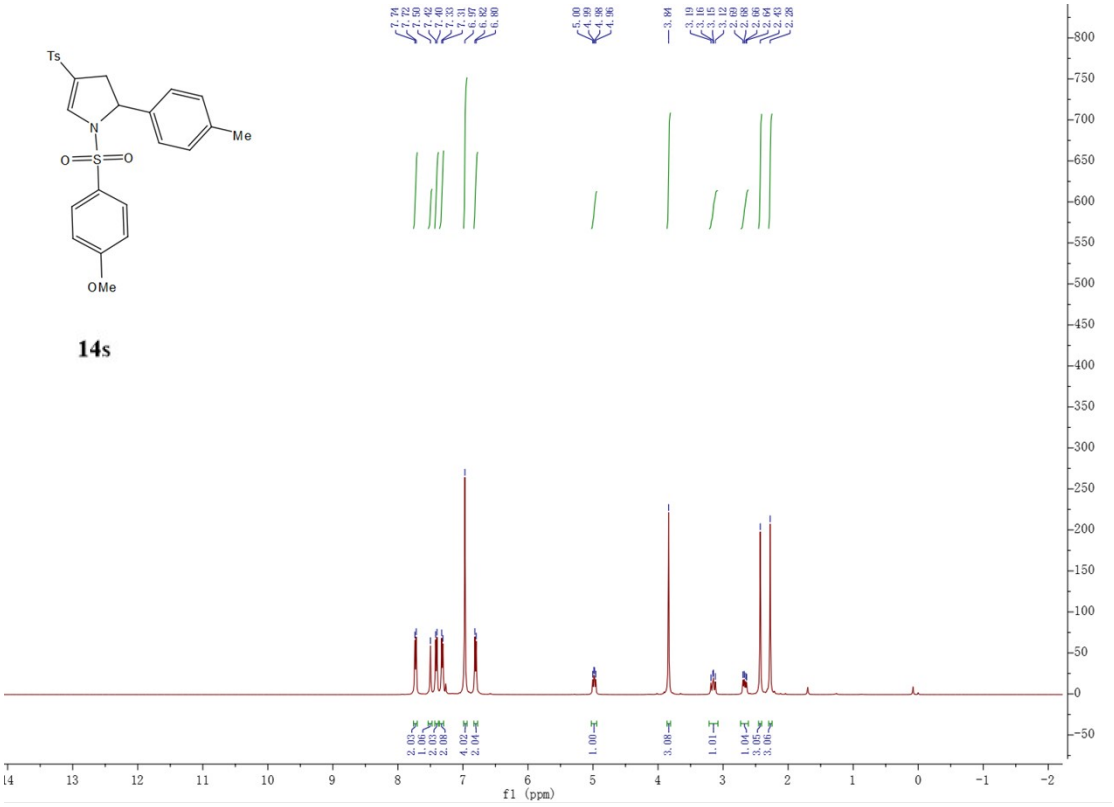
**14q**

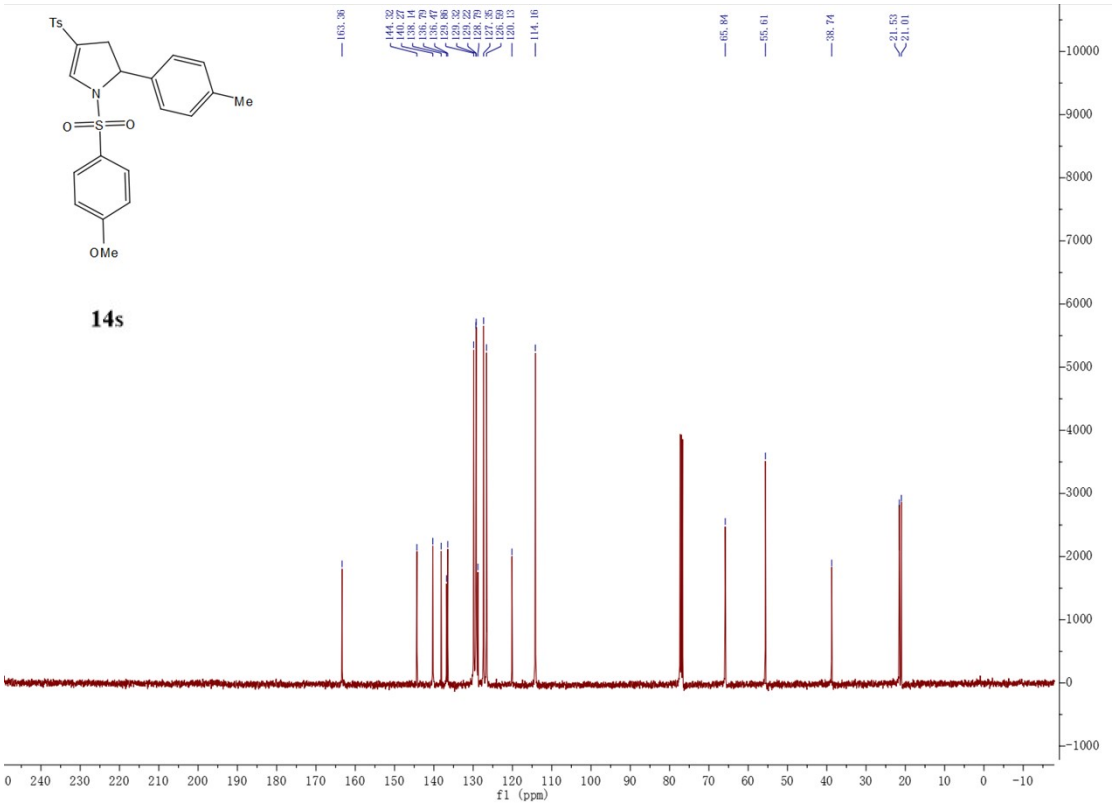

Ts
Me
N
O=S=O
OMe
163.47
144.35
140.53
137.48
136.73
134.62
131.60
129.86
129.35
128.48
128.02
127.26
126.92
120.15
114.27
63.13
55.64
37.85
21.52
18.94
f1 (ppm)

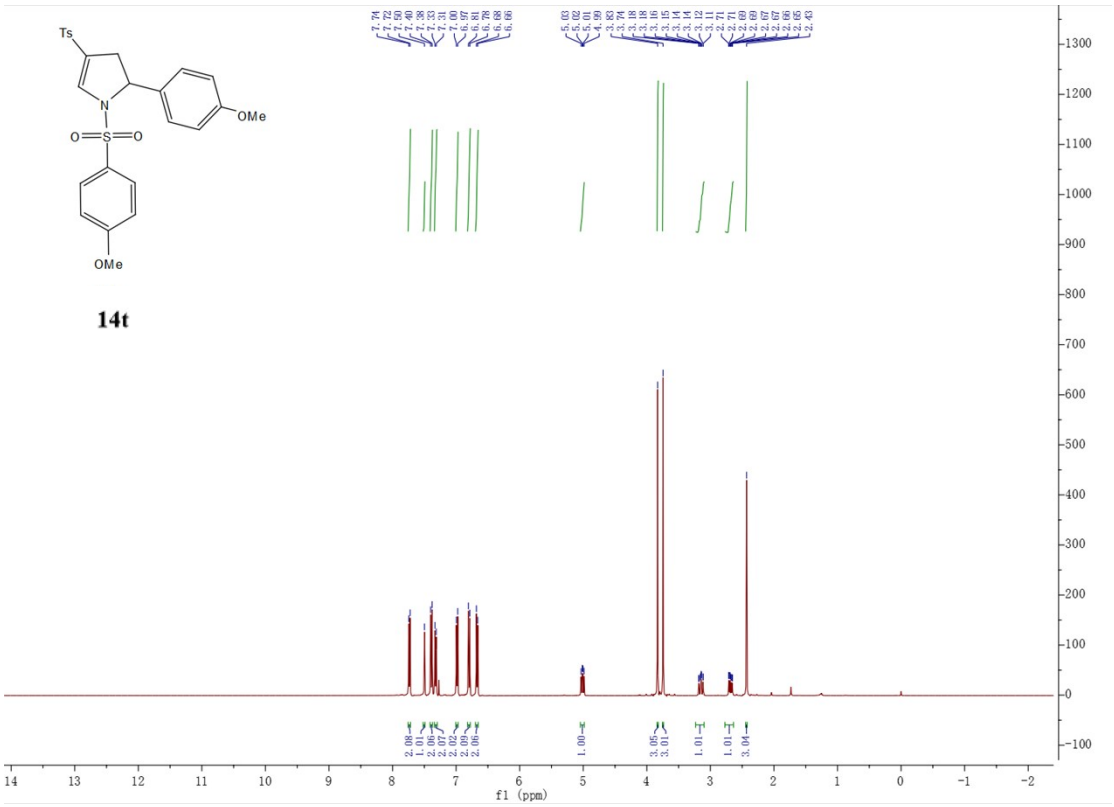
**14q**

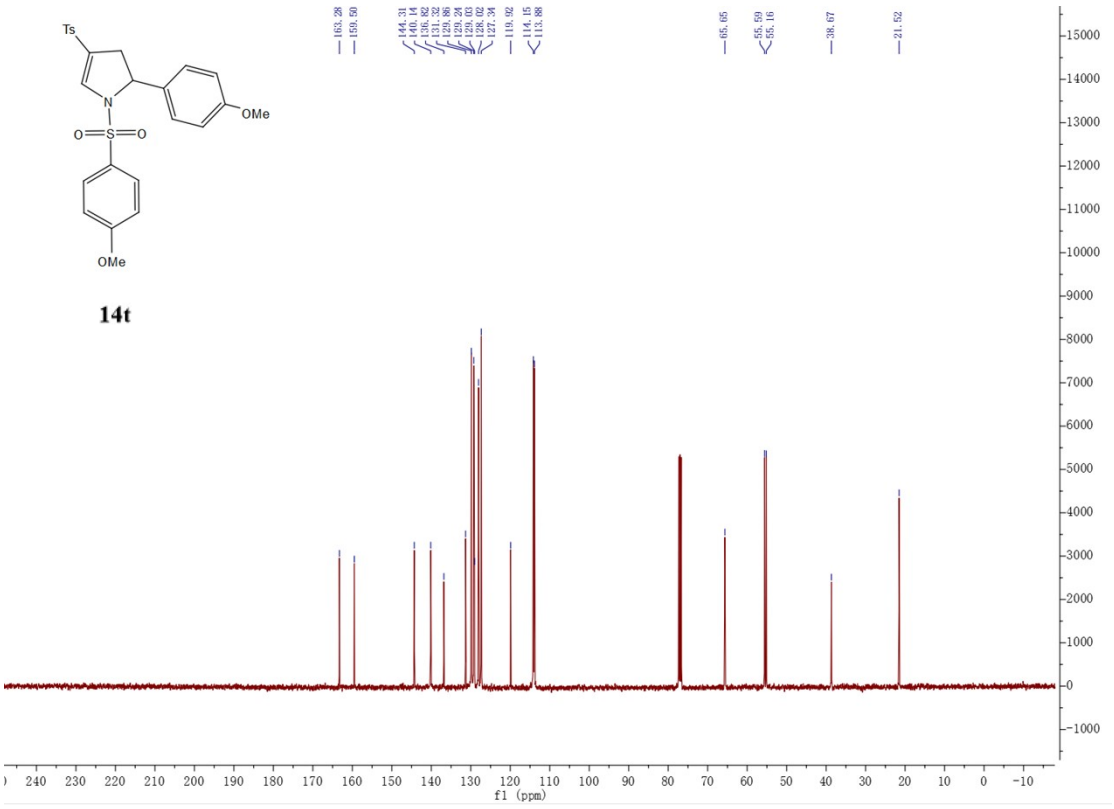

Ts
N
O=S=O
Me
OMe
14r
f1 (ppm)

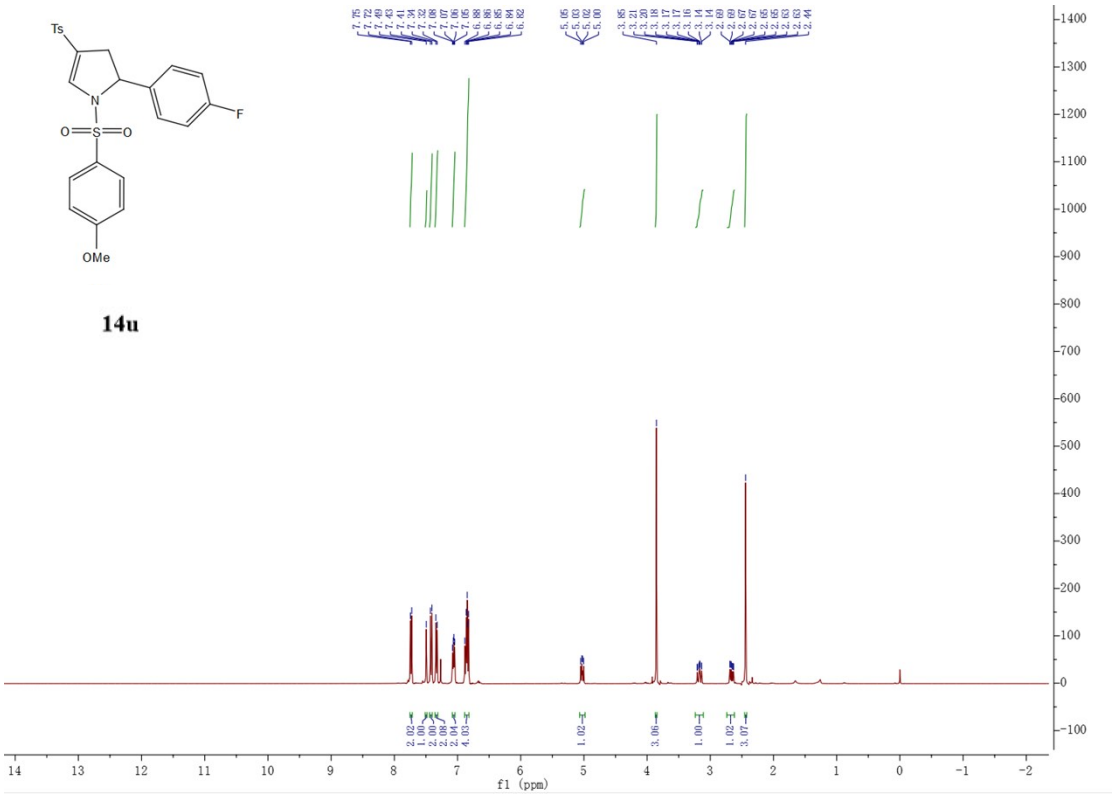

Ts
N
O=S=O
Me
OMe
14r
f1 (ppm)

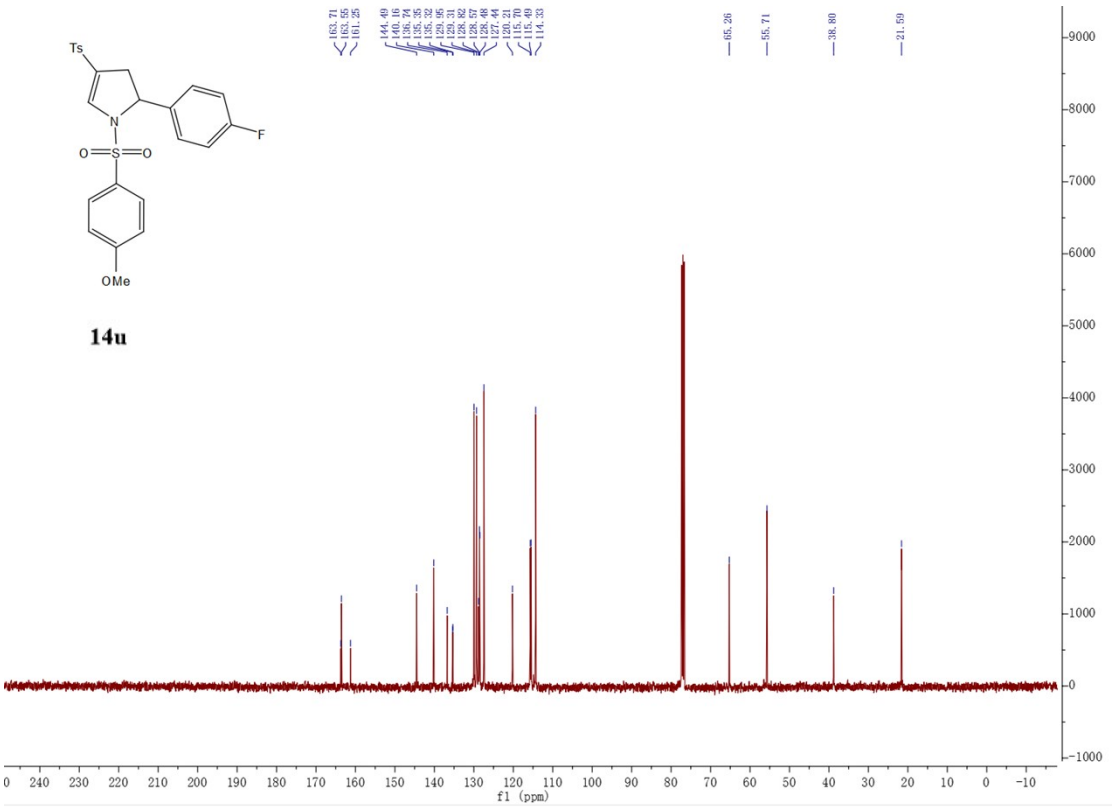

Ts
N
O=S=O
Me
OMe
14s
f1 (ppm)

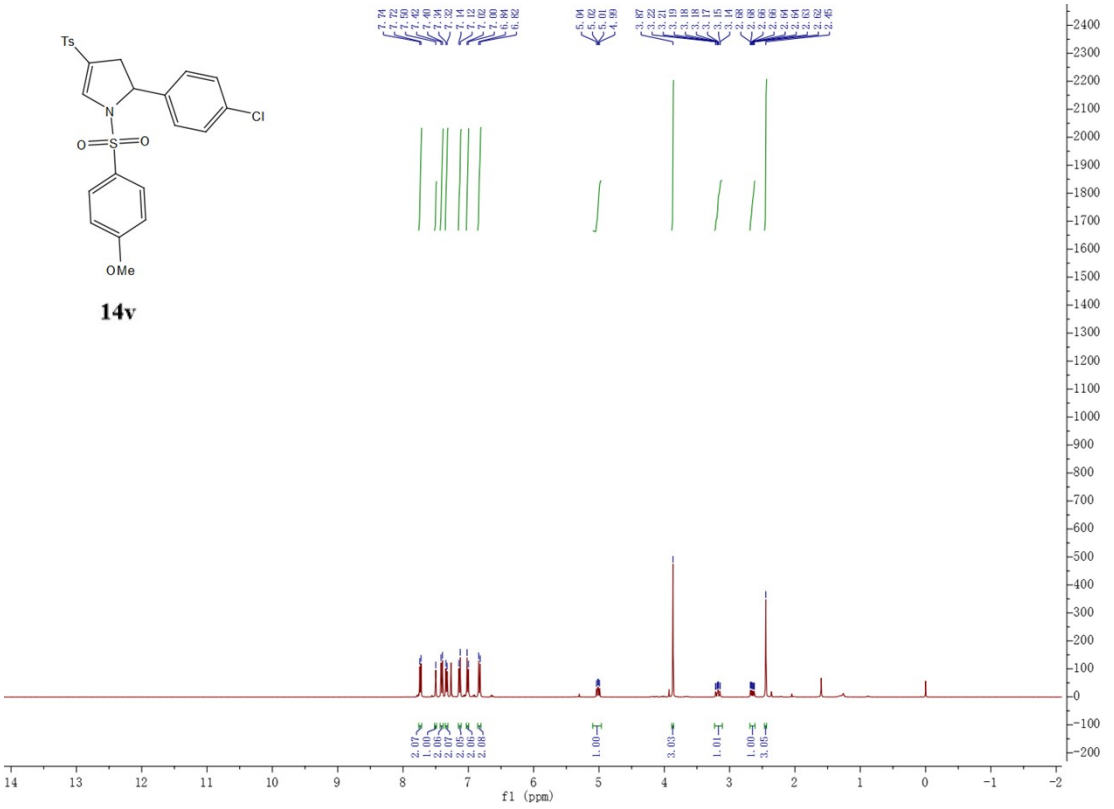

Ts
N
O=S=O
Me
OMe
14s
f1 (ppm)

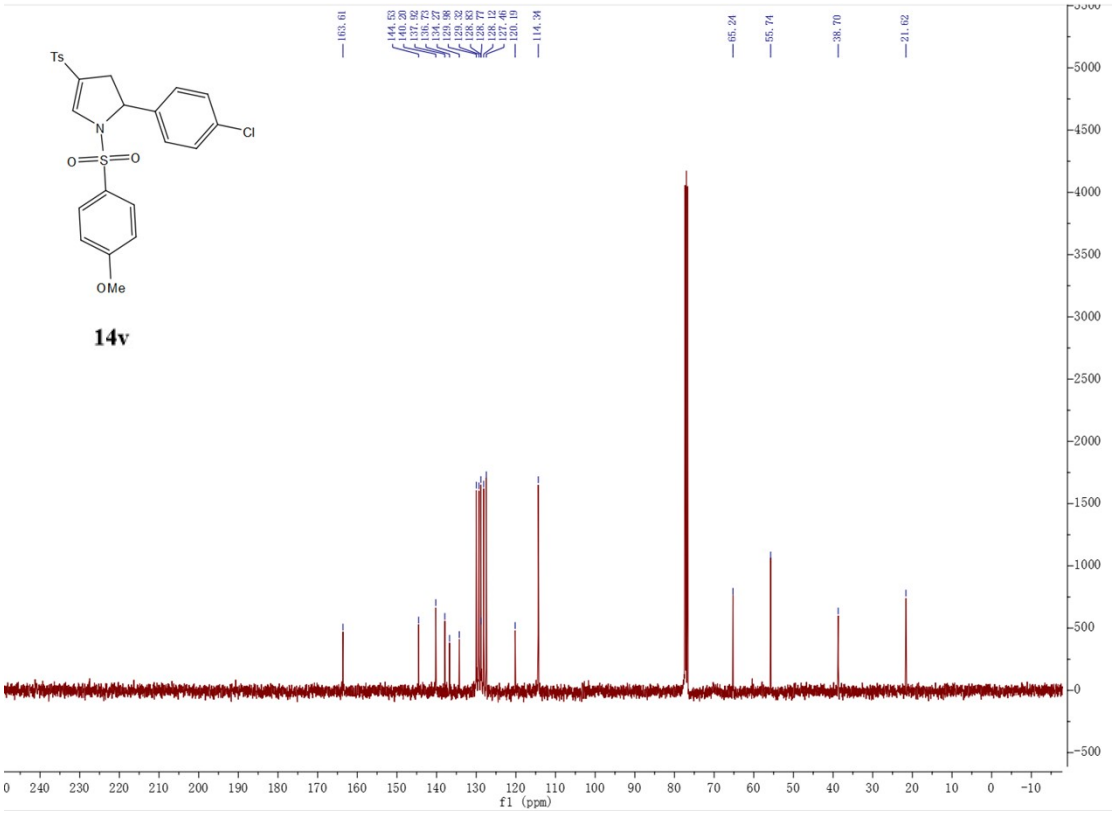

Ts
OMe
OMe
14t
f1 (ppm)

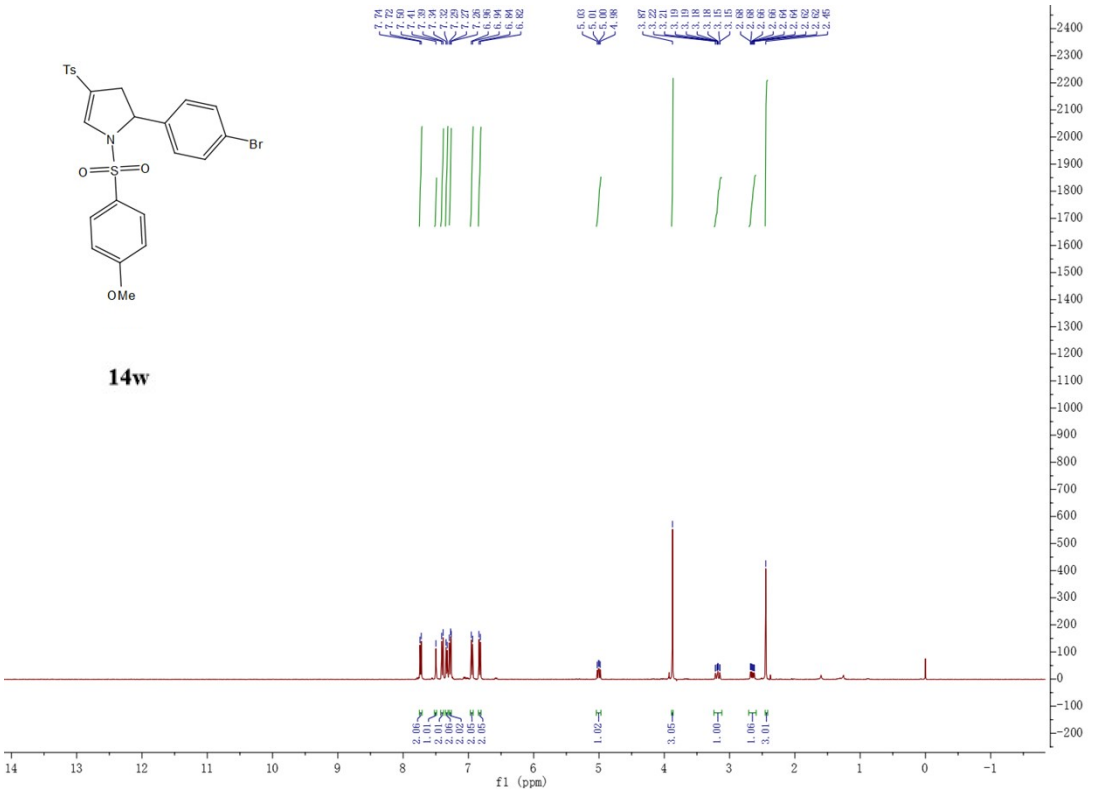

Ts
OMe
OMe
14t
f1 (ppm)

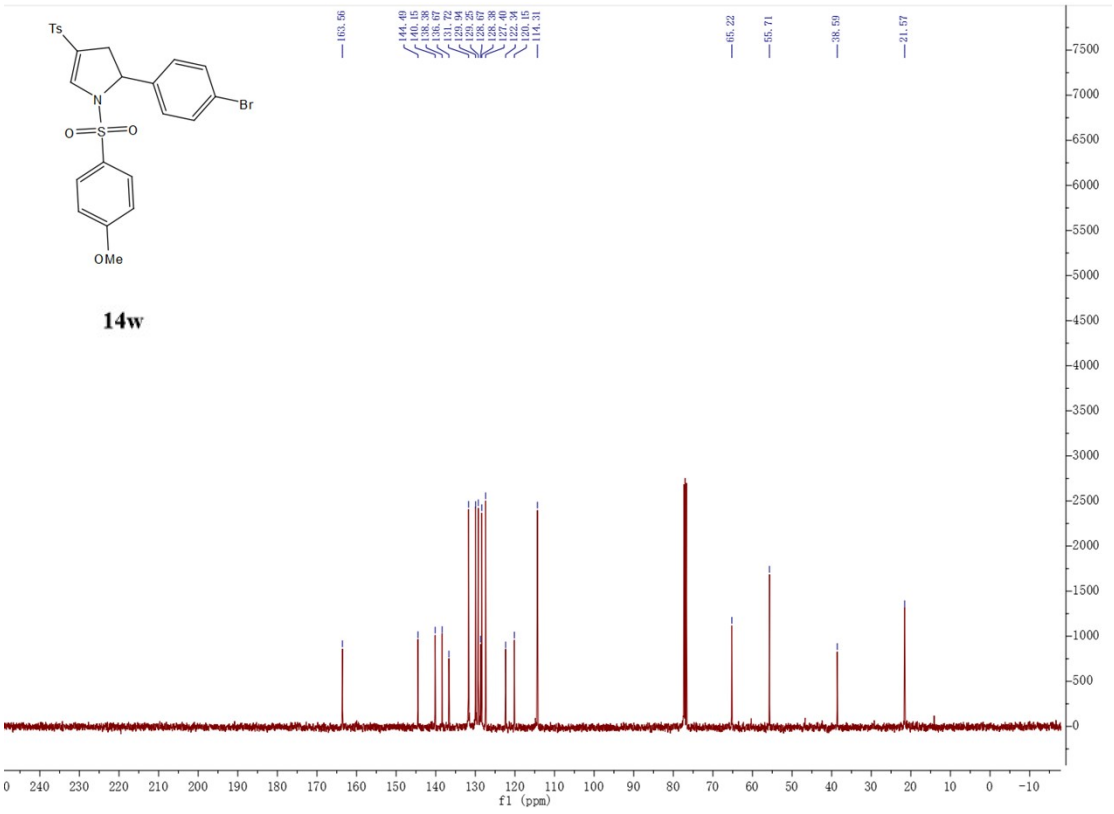

Ts
N
O=S=O
F
OMe
14u
f1 (ppm)

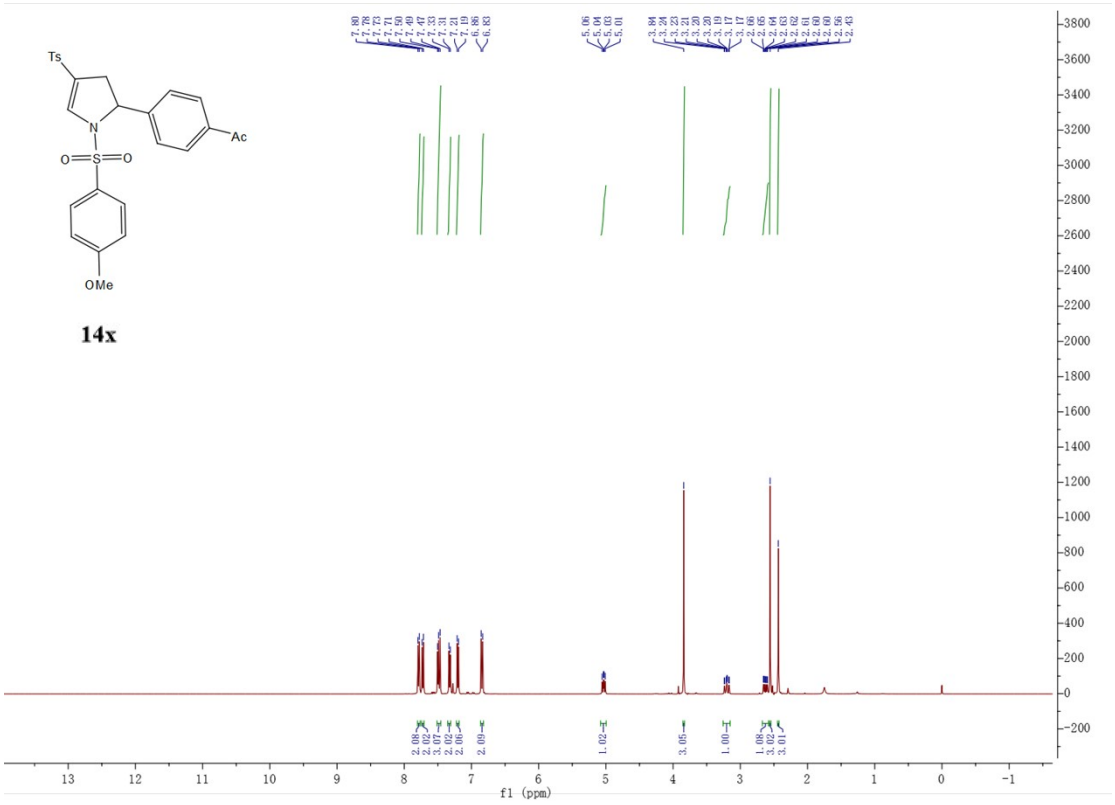

Ts
N
O=S=O
F
OMe
14u
f1 (ppm)

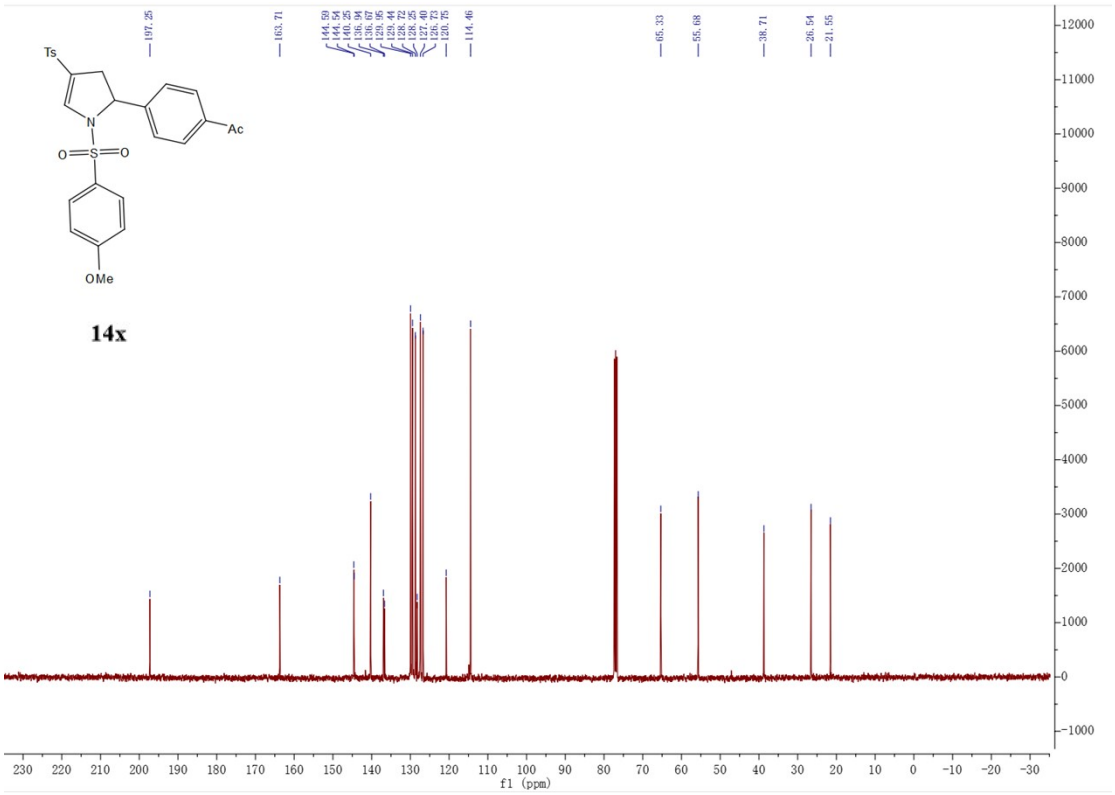

Ts
N
O=S=O
Cl
OMe
14v
f1 (ppm)

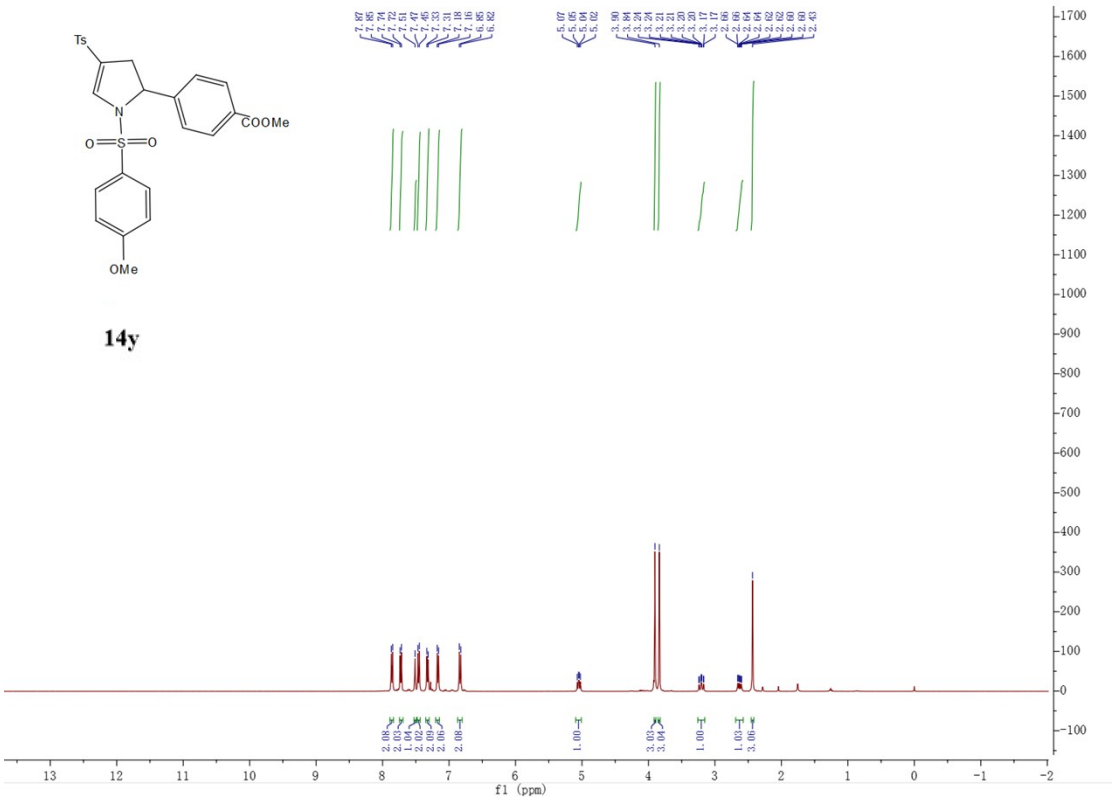

Ts
N
O=S=O
Cl
OMe
14v
f1 (ppm)


Ts
N
O=S=O
Br
OMe
14w
f1 (ppm)

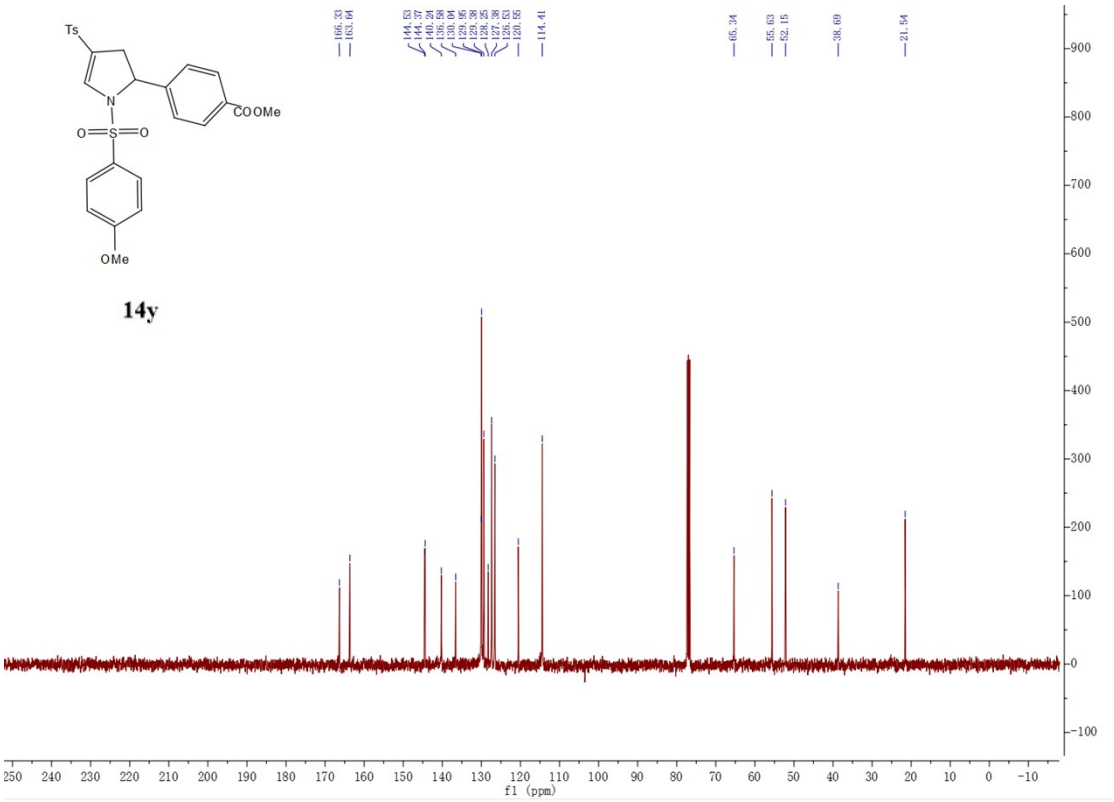

Ts
N
O=S=O
Br
OMe
14w
f1 (ppm)


Ts
N
O=S=O
Ac
OMe
14x
f1 (ppm)

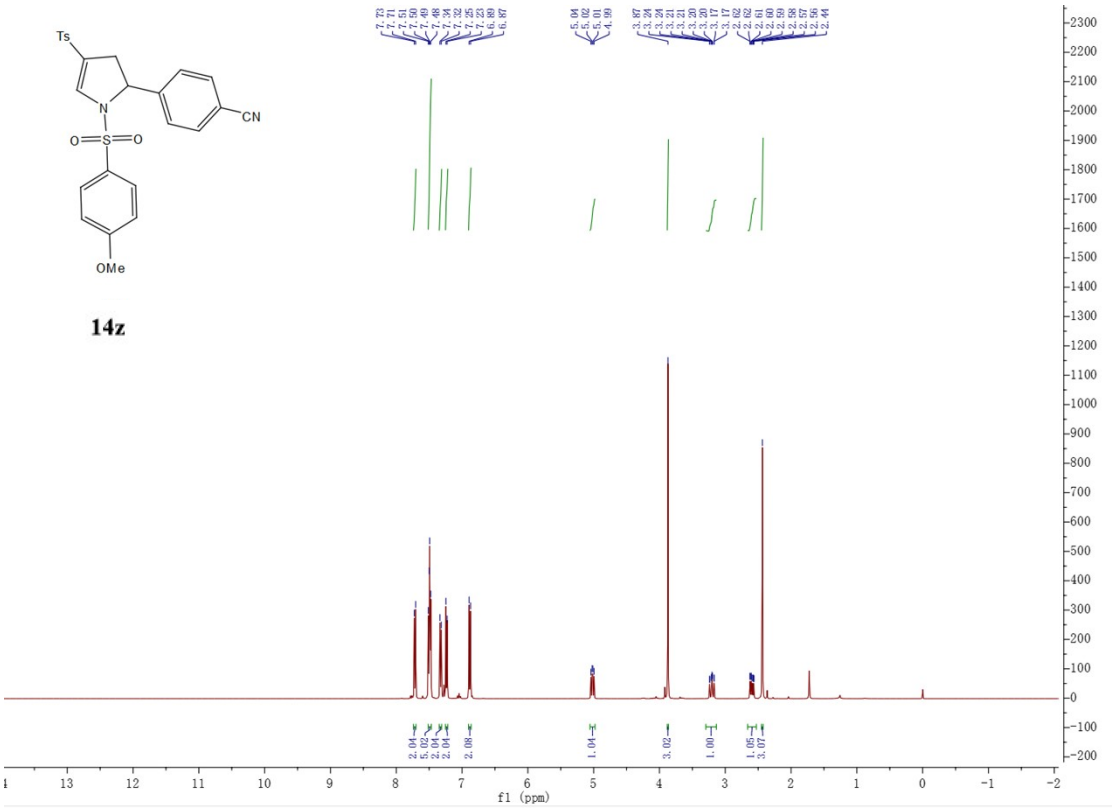

Ts
N
O=S=O
Ac
OMe
14x
f1 (ppm)

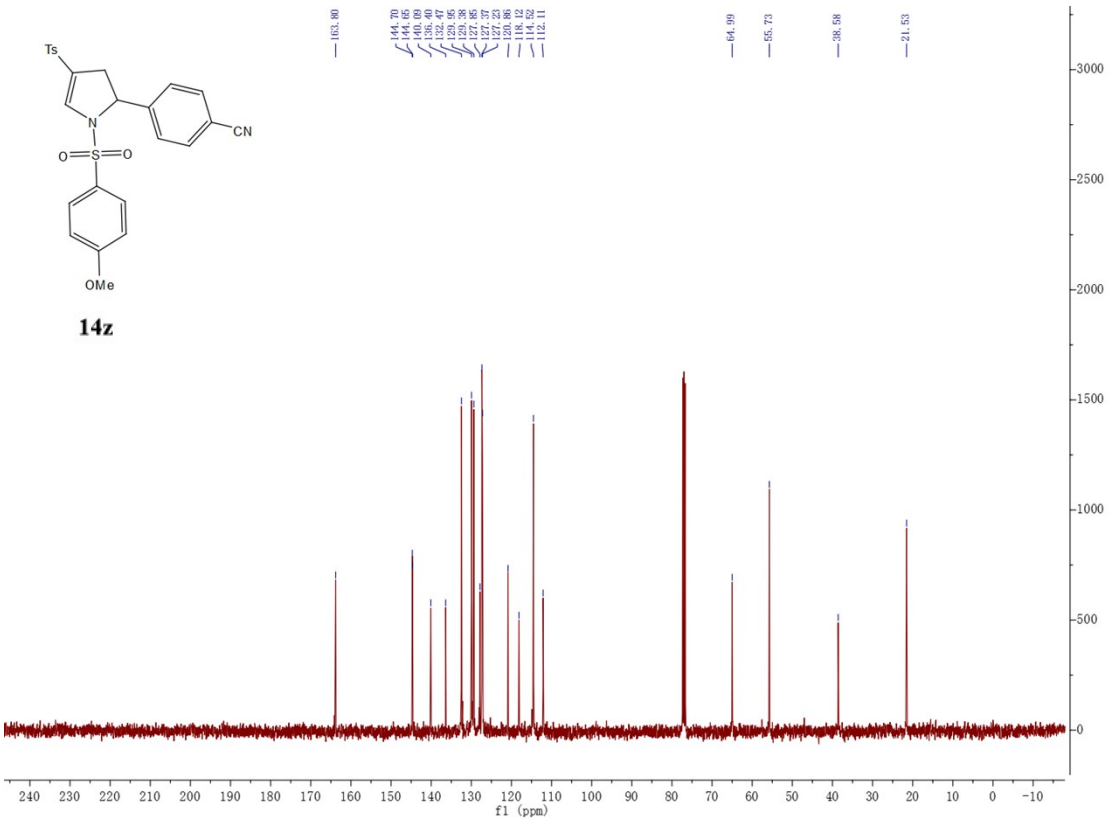

Ts
N
O=S=O
COOMe
OMe
7.87
7.85
7.74
7.72
7.51
7.47
7.45
7.33
7.31
7.18
7.16
6.85
6.82
5.07
5.05
5.04
5.02
3.90
3.84
3.24
3.21
3.20
3.17
2.66
2.64
2.62
2.60
2.45
2.08
2.03
2.02
2.09
2.06
2.08
1.00
3.03
3.04
1.00
1.03
3.06
f1 (ppm)

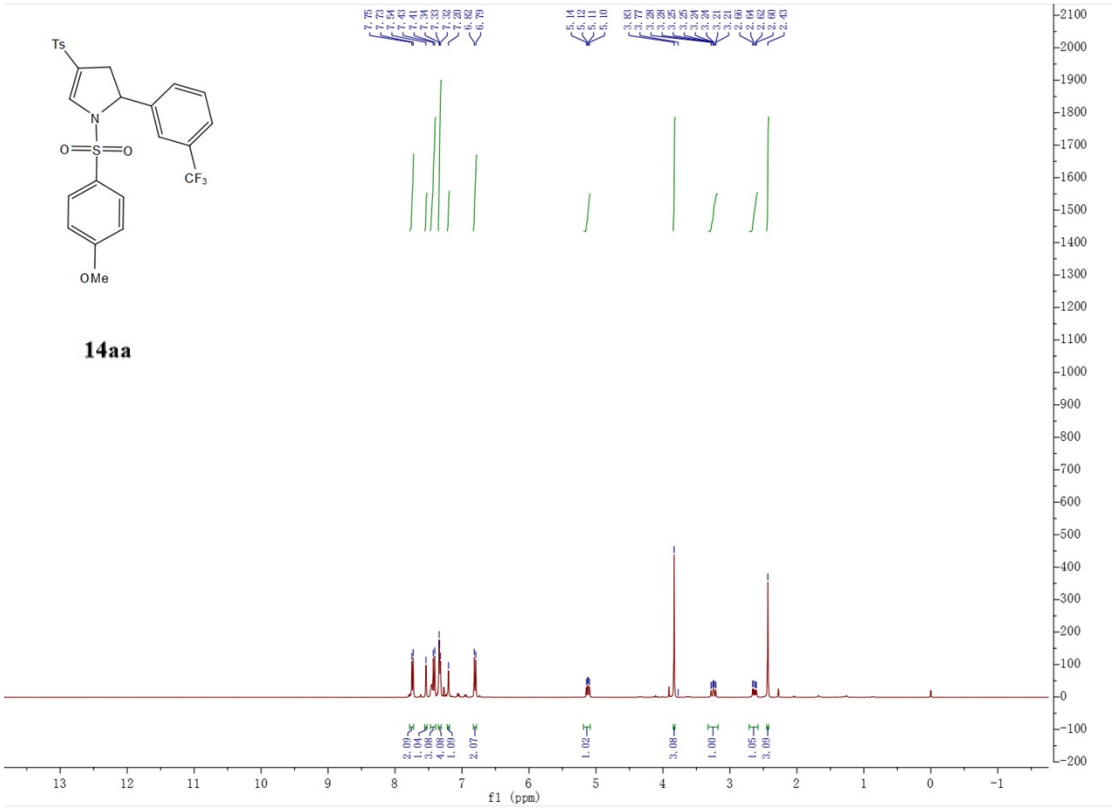
**14y**


Ts
N
O=S=O
COOMe
OMe
166.33
165.64
144.53
144.37
140.24
136.58
130.04
129.95
129.38
128.25
127.38
126.53
126.05
114.41
65.34
55.63
52.15
38.69
21.54
f1 (ppm)

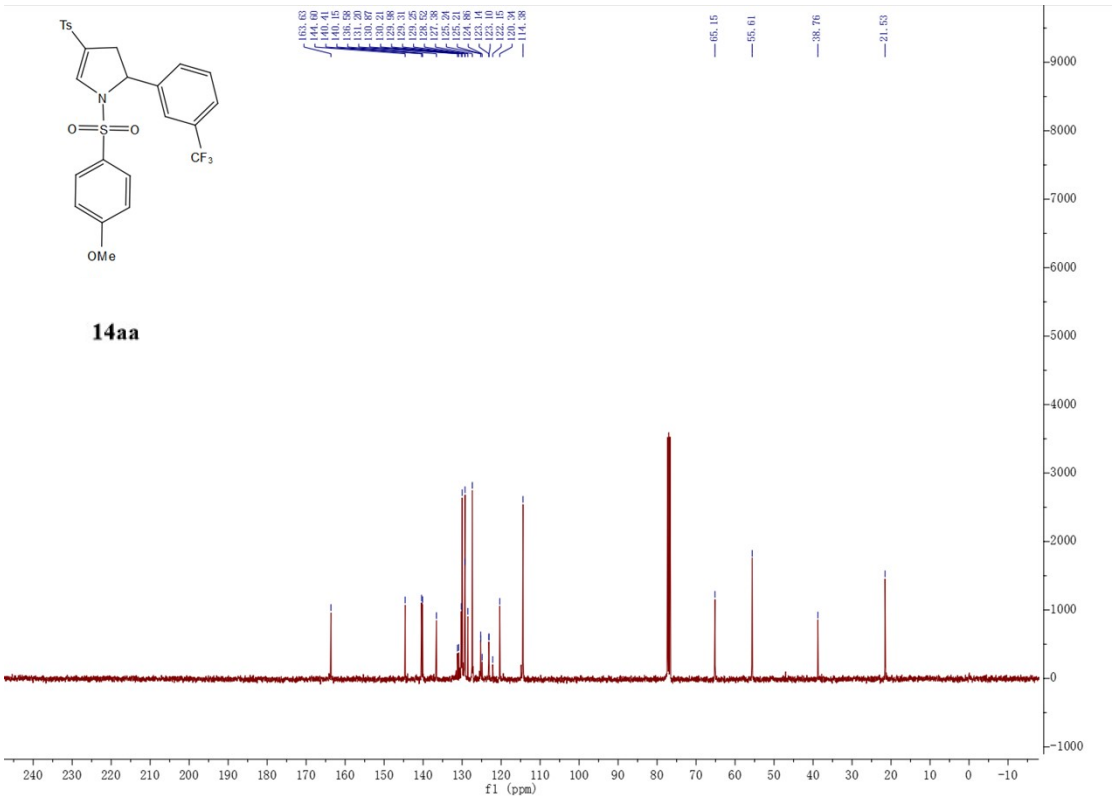
**14y**


Ts
N
O=S=O
CN
OMe
14z
f1 (ppm)

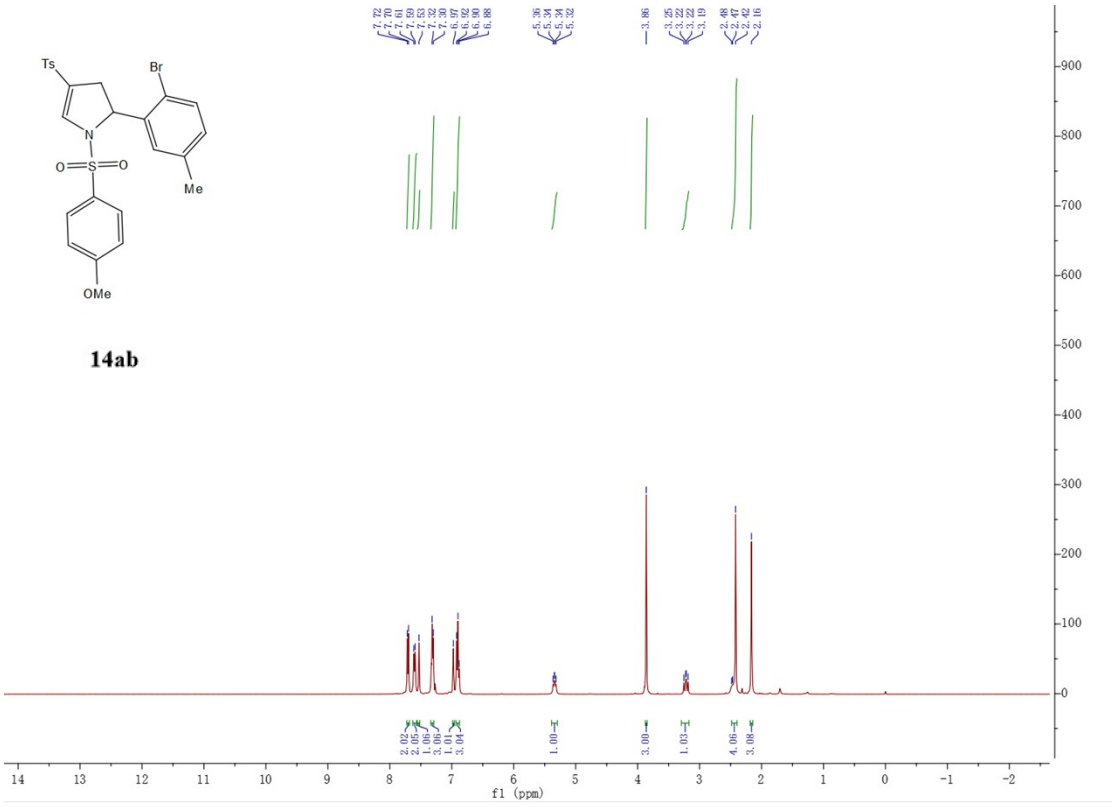

Ts
N
O=S=O
CN
OMe
14z
f1 (ppm)

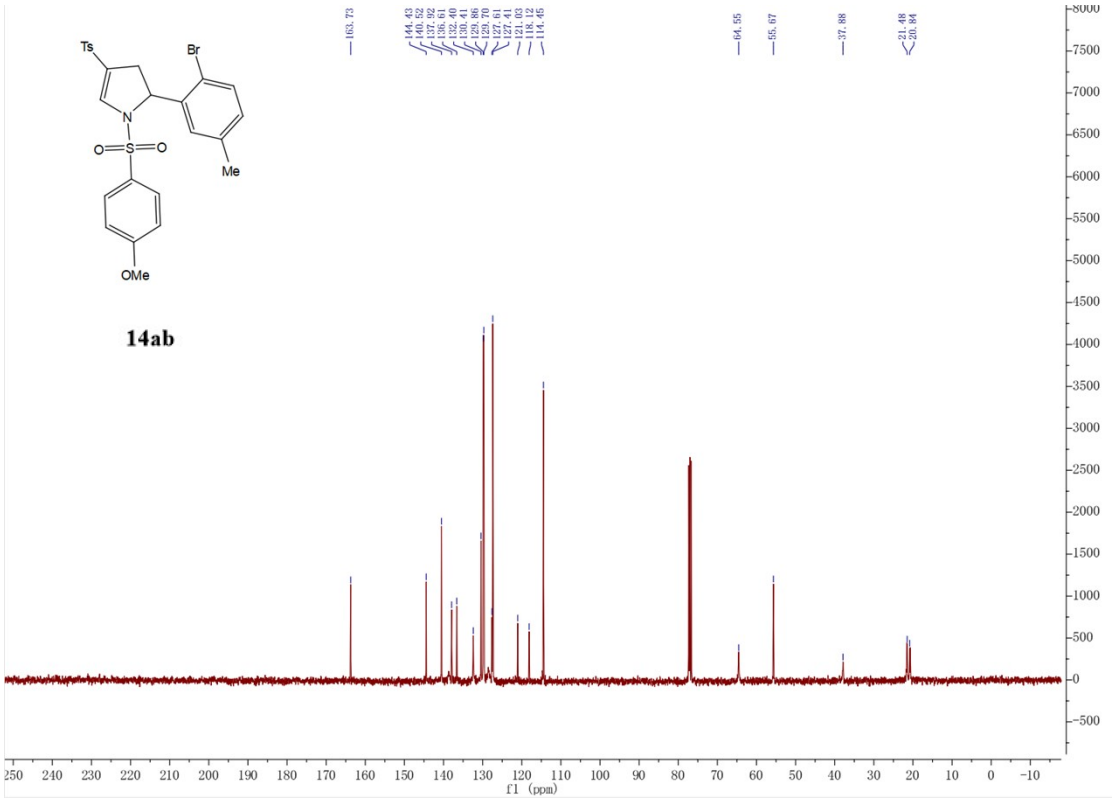

Ts
N
O=S=O
CF3
OMe
7.75
7.73
7.54
7.43
7.41
7.34
7.33
7.32
7.20
6.82
6.79
5.14
5.12
5.11
5.10
3.83
3.77
3.28
3.26
3.25
3.24
3.21
3.21
2.66
2.64
2.62
2.60
2.43
2.09
1.04
3.08
4.08
1.09
2.07
1.02
3.08
1.00
1.05
3.09
f1 (ppm)

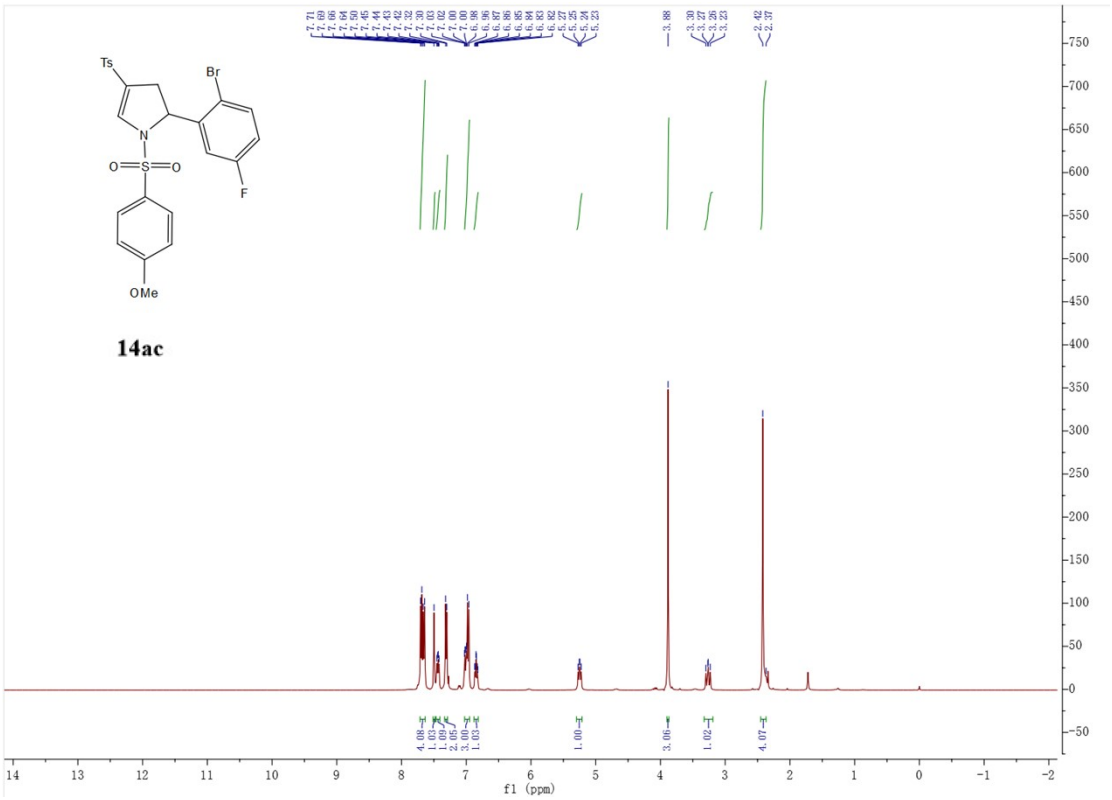
**14aa**

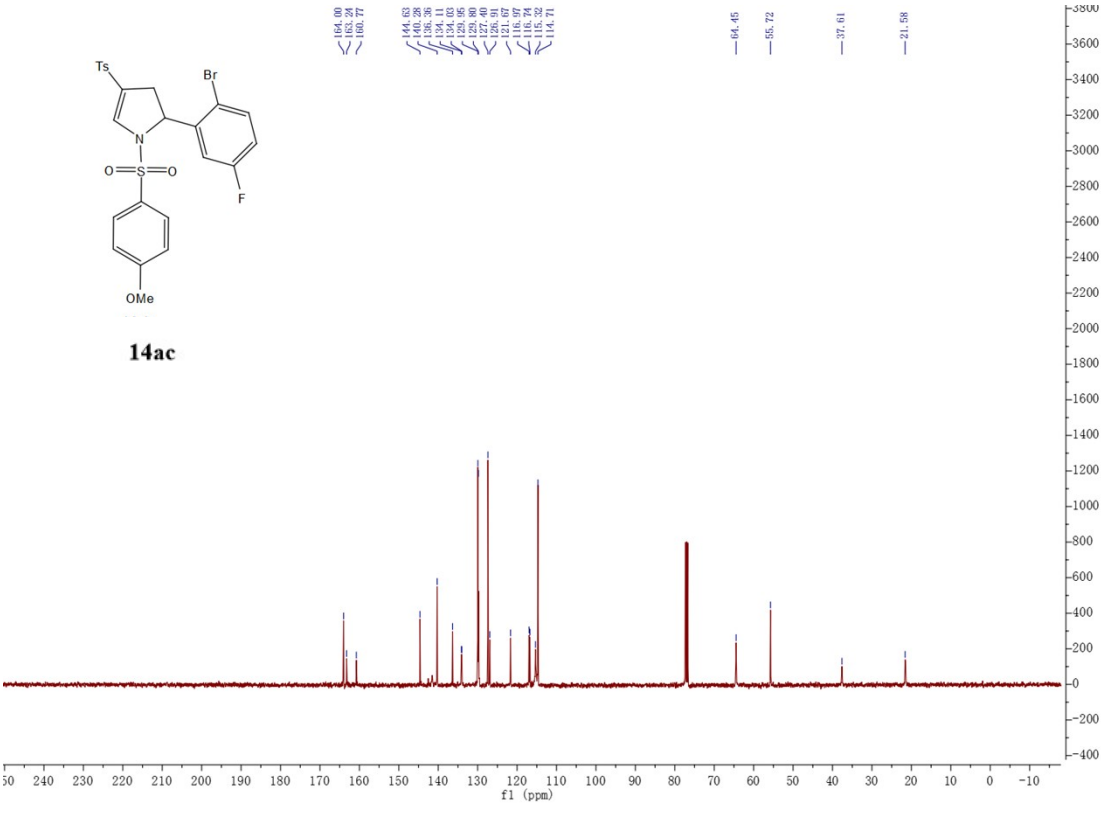

Ts
N
O=S=O
CF3
OMe
163.63
144.60
140.44
140.15
136.58
131.20
130.87
130.21
129.98
129.31
129.25
128.92
127.38
125.24
125.21
124.86
123.14
123.10
122.15
120.34
114.38
65.15
55.61
38.76
21.53
f1 (ppm)

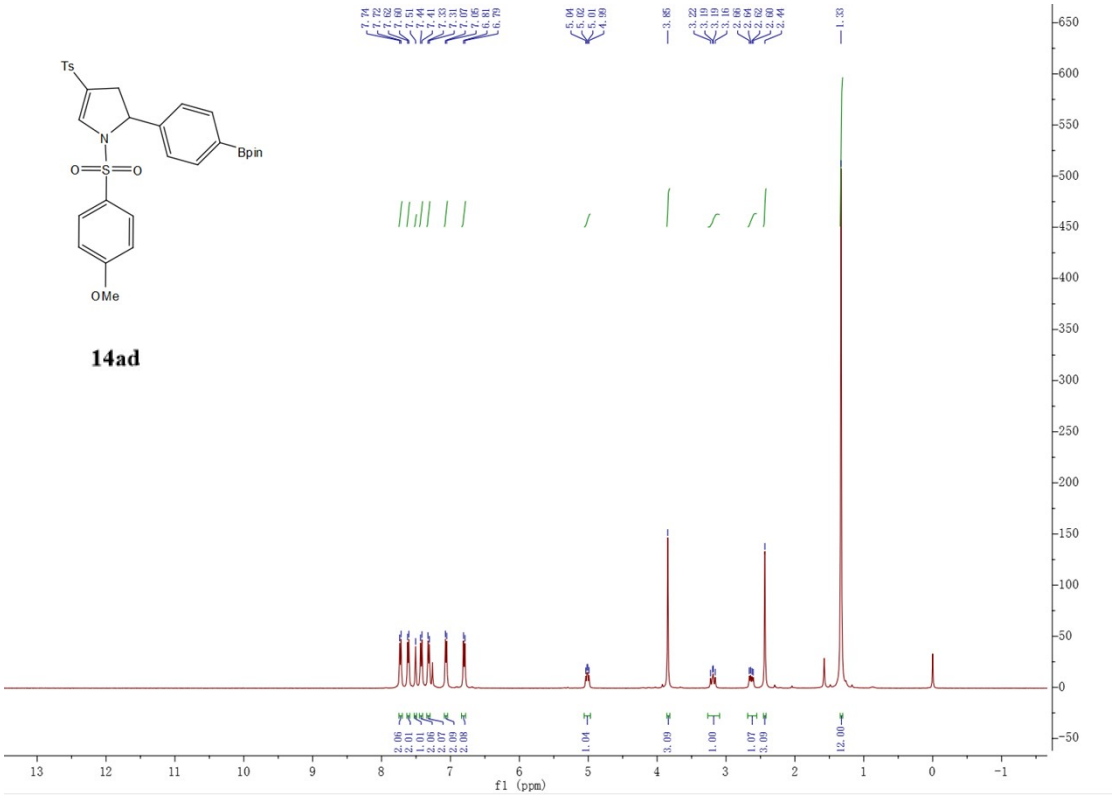
**14aa**

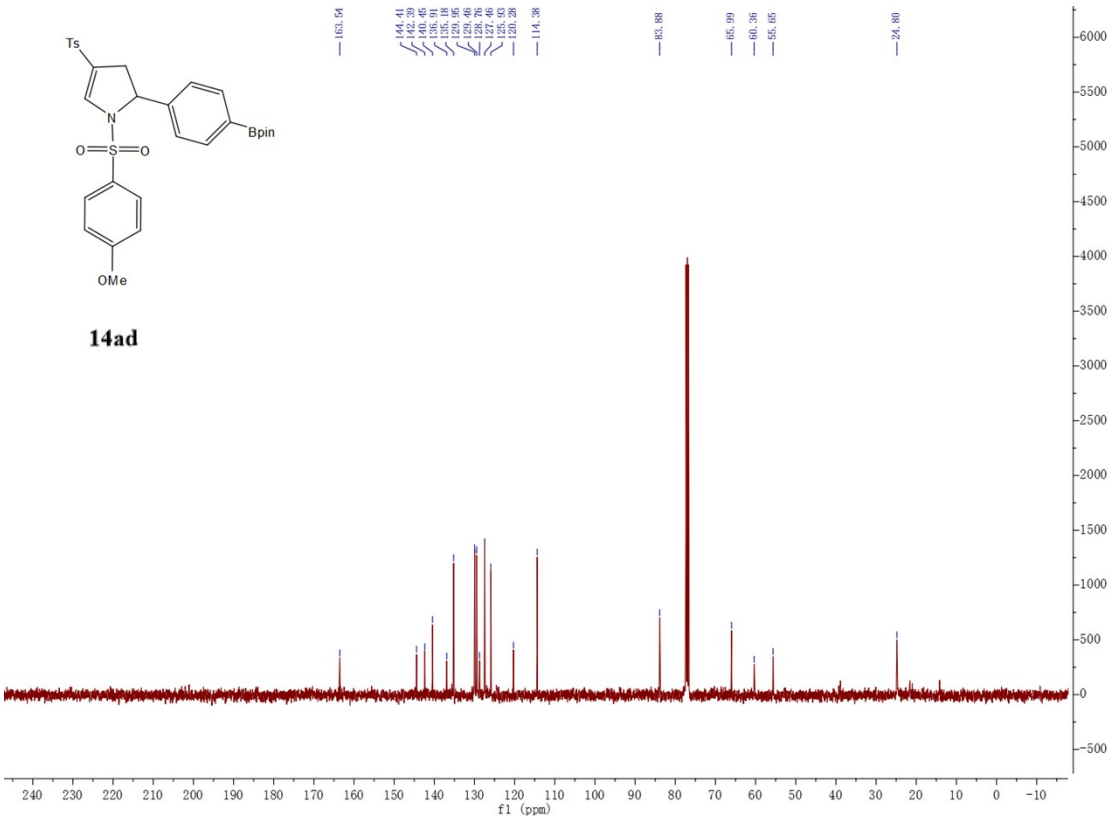

Ts
Br
N
O=S=O
Me
OMe
14ab
f1 (ppm)

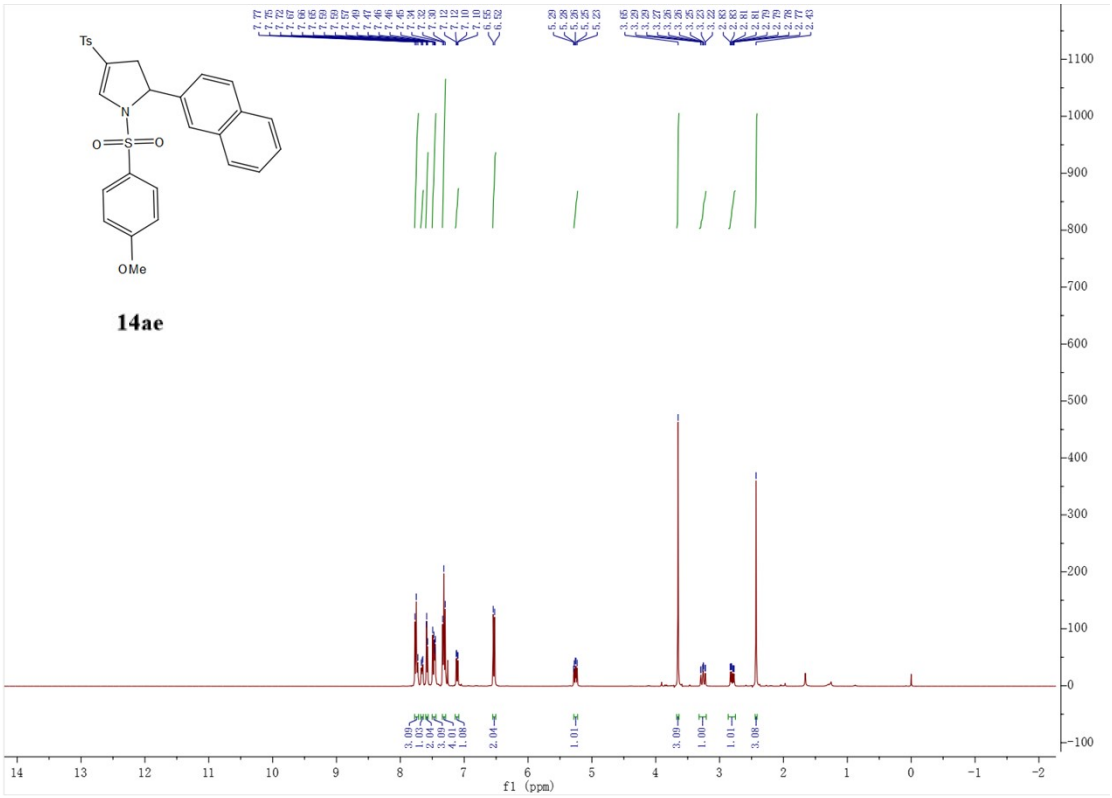

Ts
Br
N
O=S=O
Me
OMe
14ab
f1 (ppm)

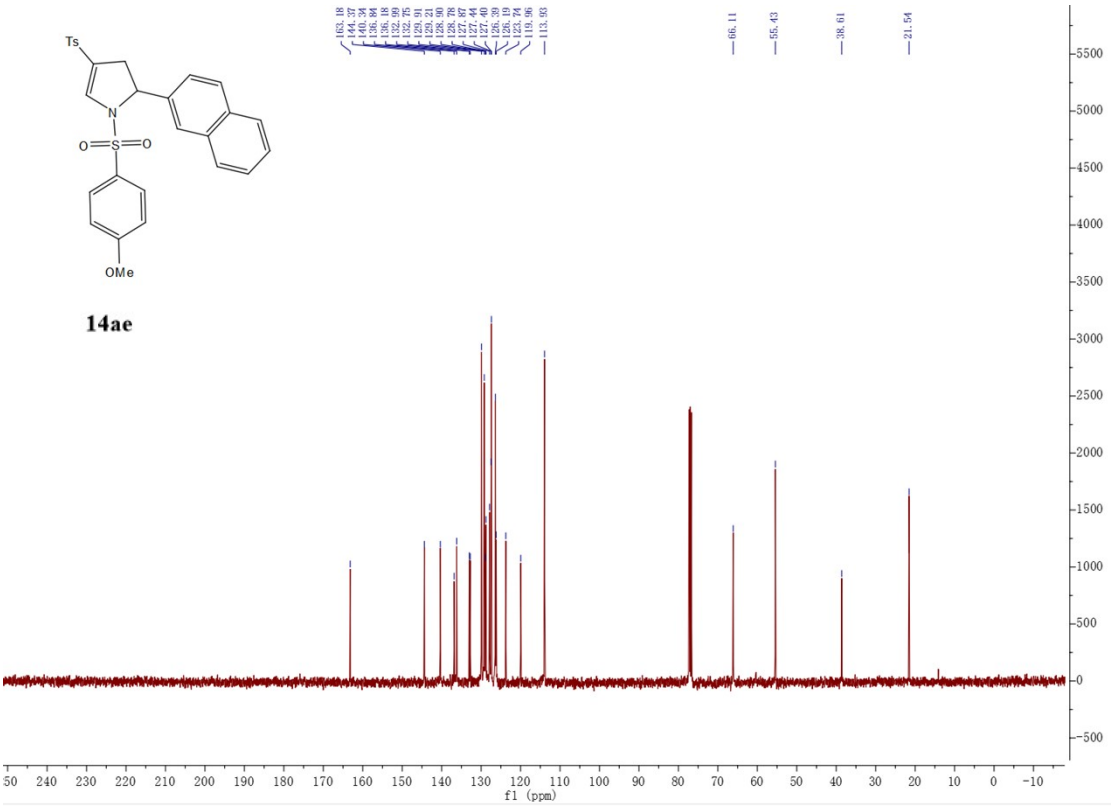

Ts
Br
N
O=S=O
F
OMe
14ac
f1 (ppm)

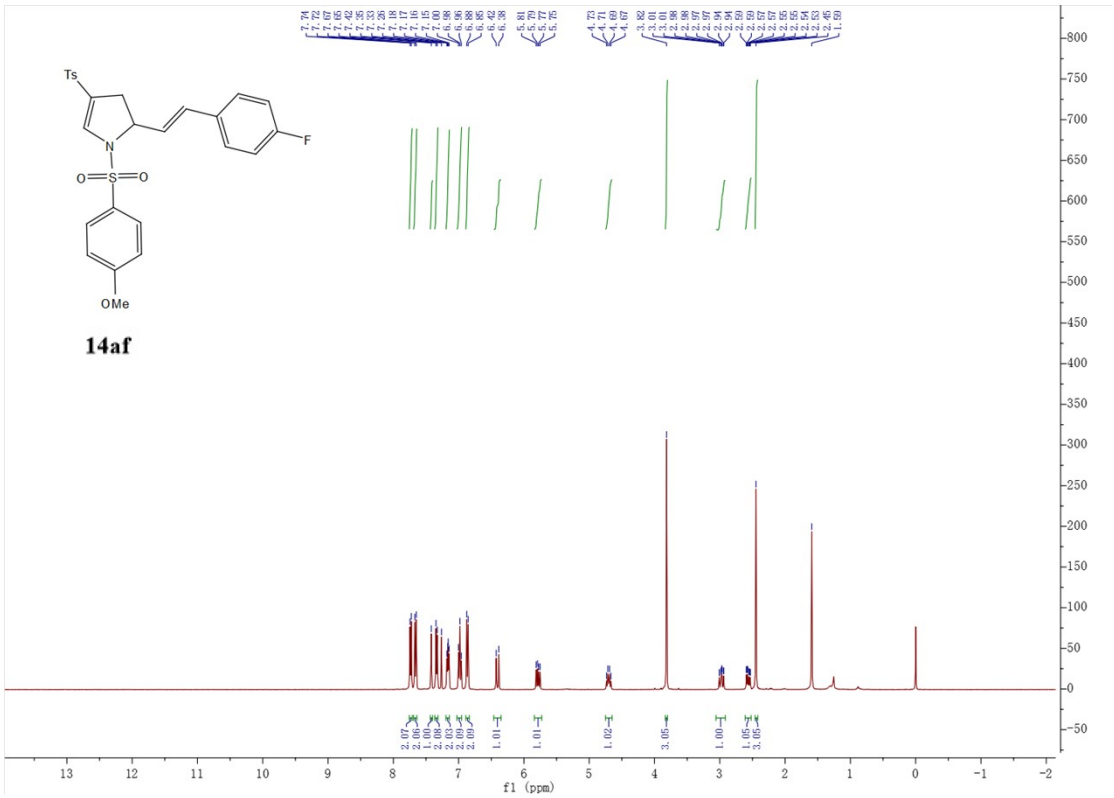

Ts
Br
N
O=S=O
F
OMe
14ac
f1 (ppm)

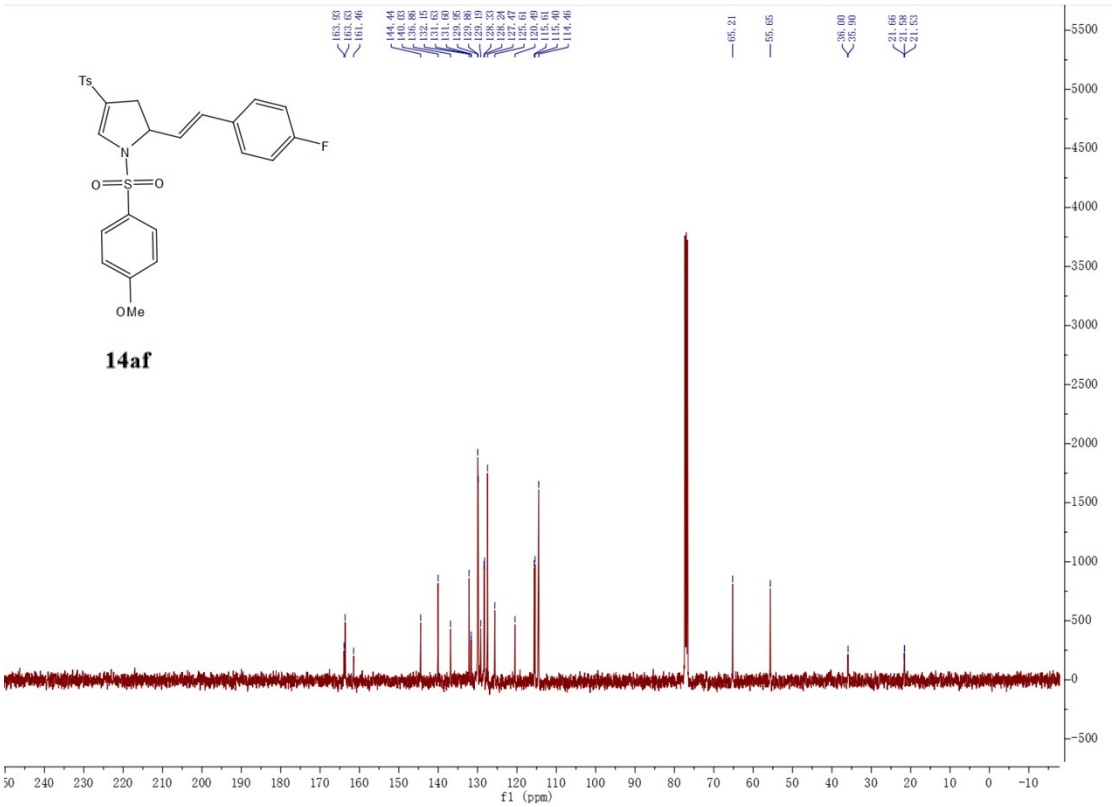

Ts
N
O=S=O
Bpin
OMe
14ad
7.74
7.72
7.62
7.60
7.51
7.44
7.41
7.33
7.31
7.07
7.05
6.81
6.79
5.04
5.02
5.01
4.99
3.85
3.22
3.19
3.19
3.16
2.06
2.04
2.02
2.00
2.44
1.33
2.06
2.01
2.06
2.07
2.09
2.08
1.04
3.09
1.00
1.07
3.09
12.00
f1 (ppm)

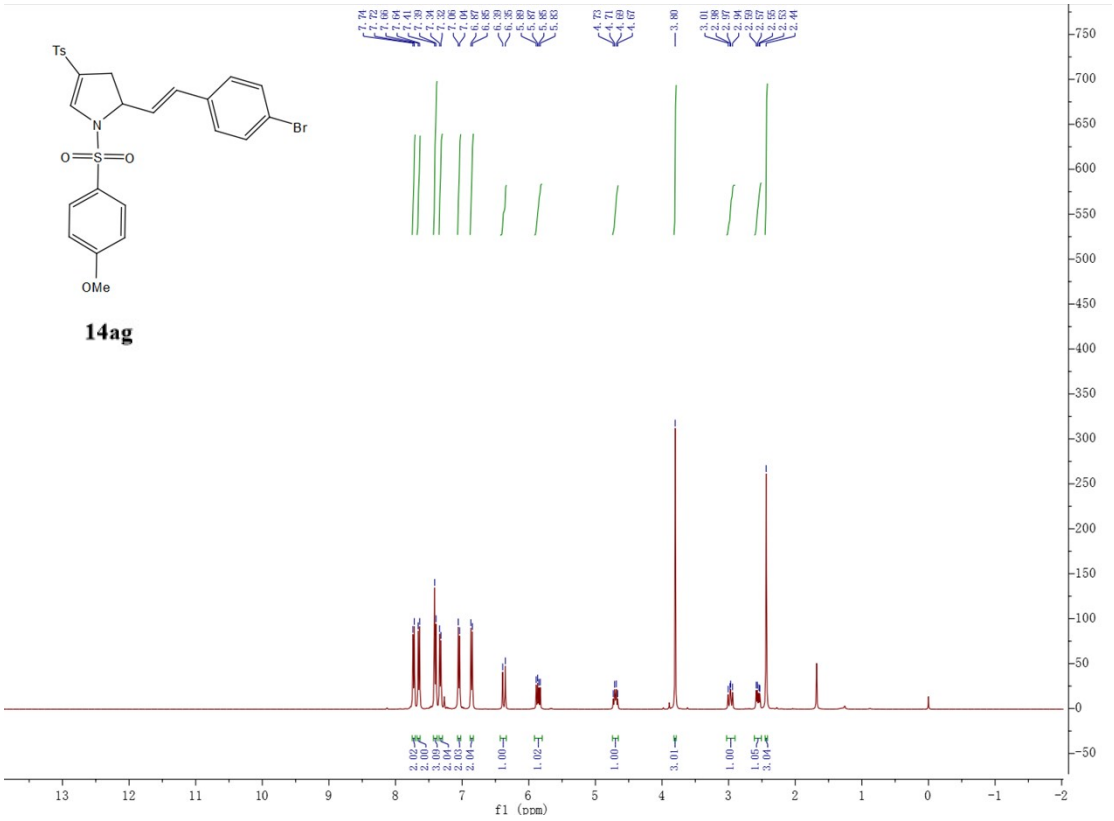

Ts
N
O=S=O
Bpin
OMe
14ad
163.54
144.41
142.39
140.45
136.31
135.18
129.95
129.46
128.76
127.46
125.93
120.26
114.38
83.88
65.89
60.36
55.65
24.80
f1 (ppm)

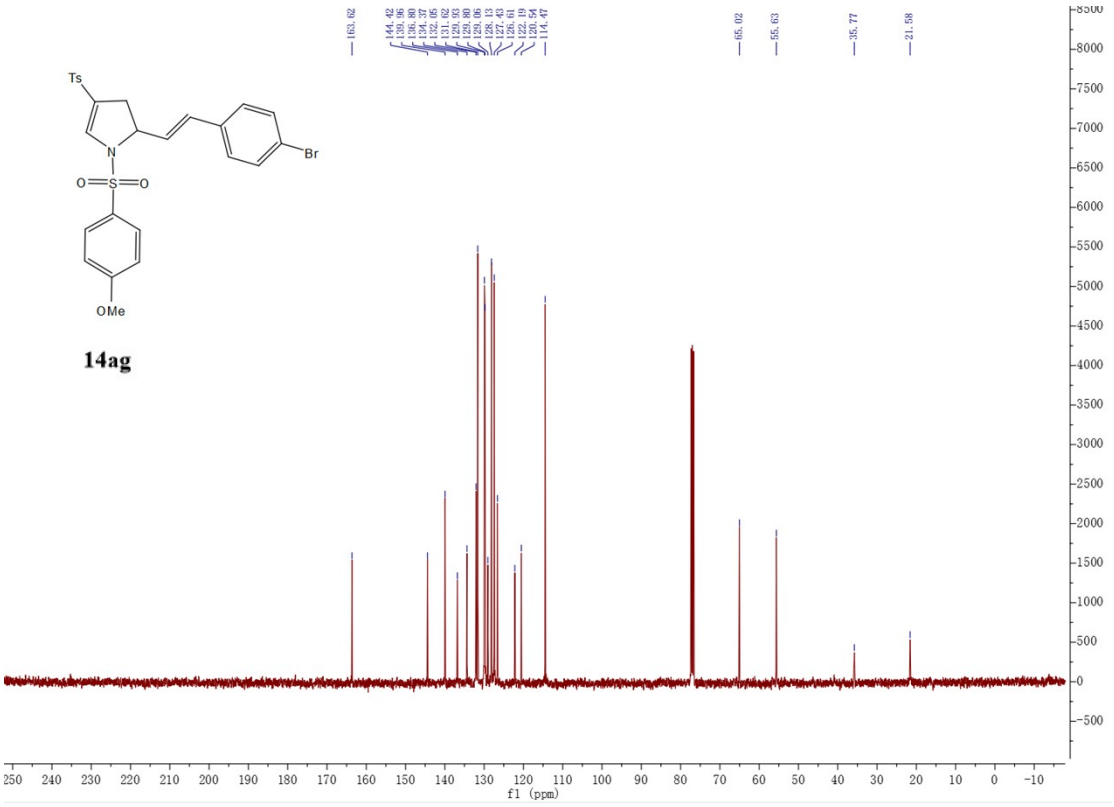

Ts
N
O=S=O
OMe
14ae
f1 (ppm)

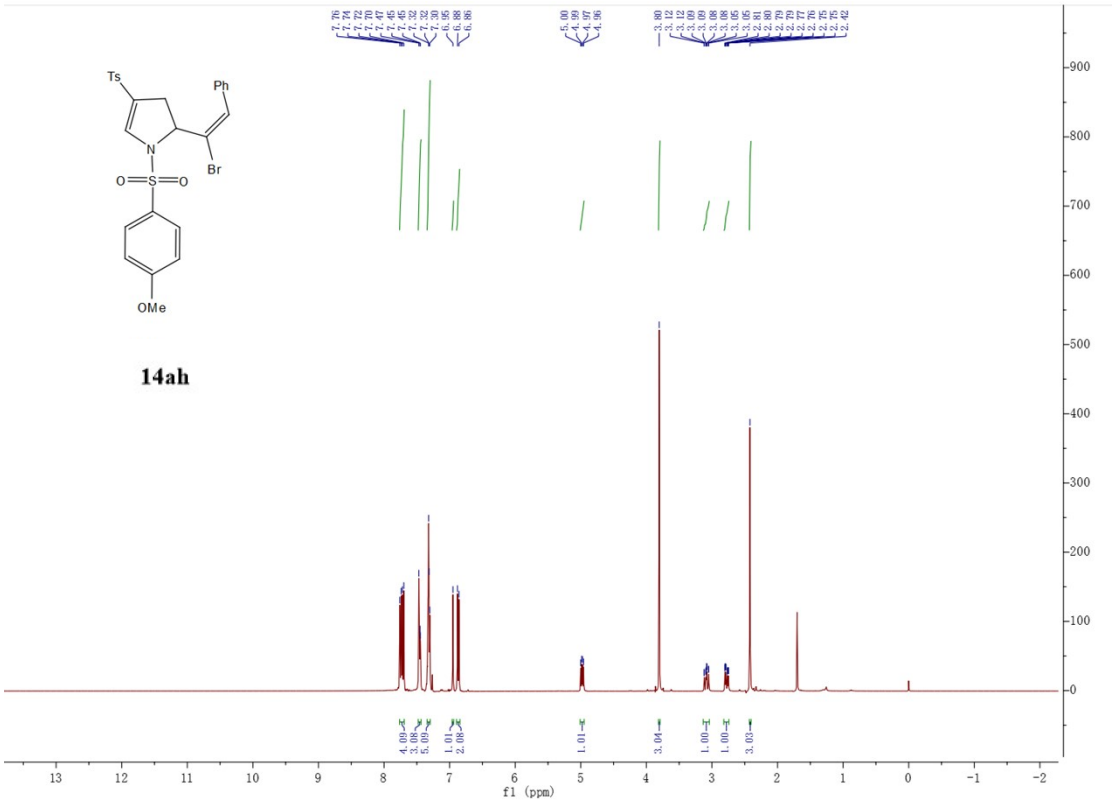

Ts
N
O=S=O
OMe
14ae
f1 (ppm)

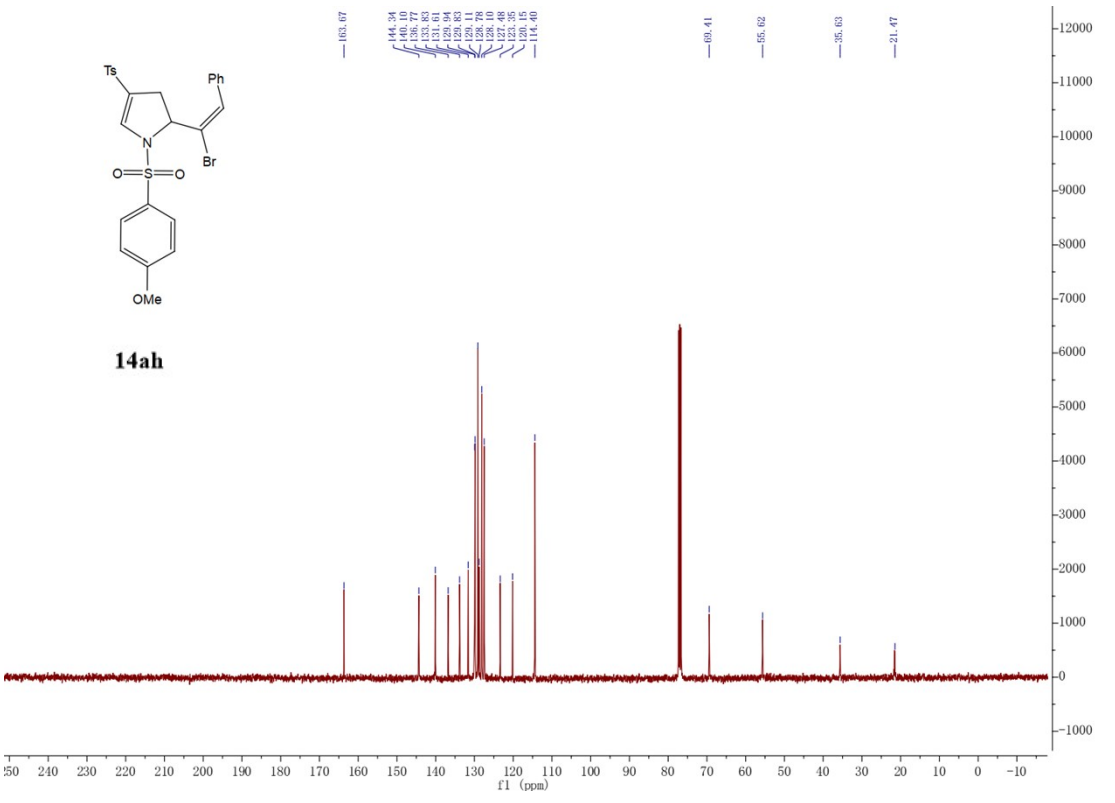

Ts
N
O=S=O
F
OMe
14af
f1 (ppm)

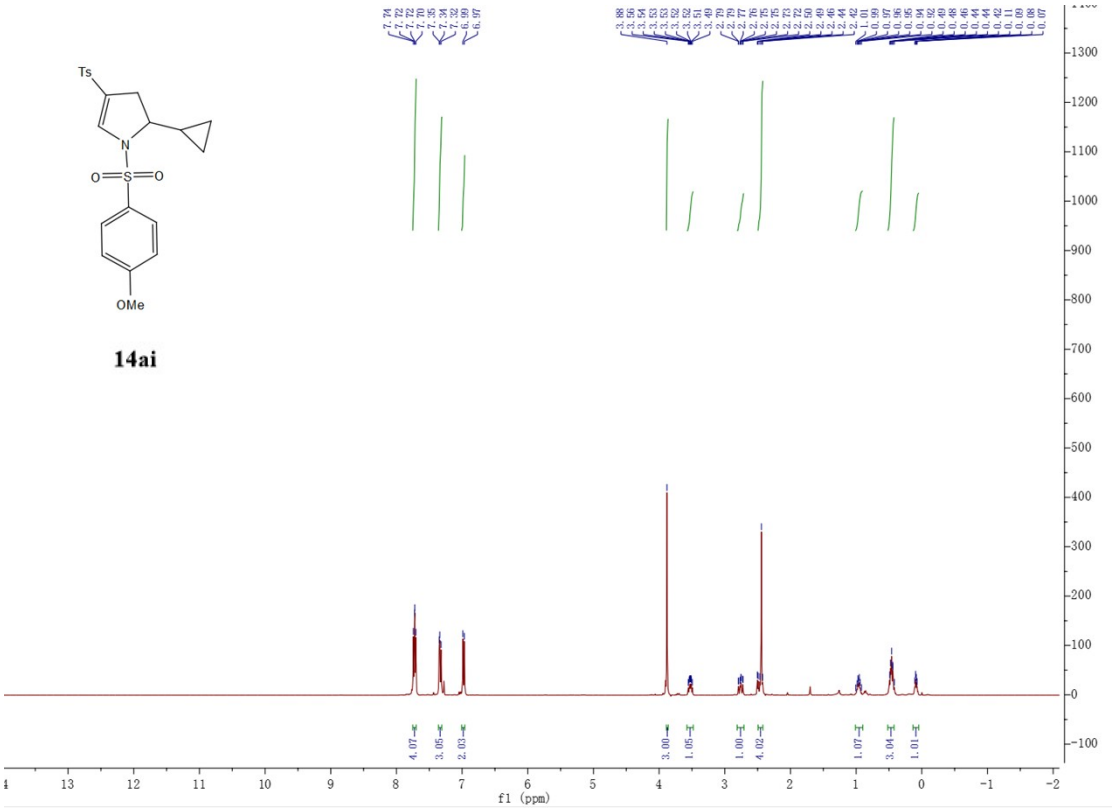

Ts
N
O=S=O
F
OMe
14af
f1 (ppm)

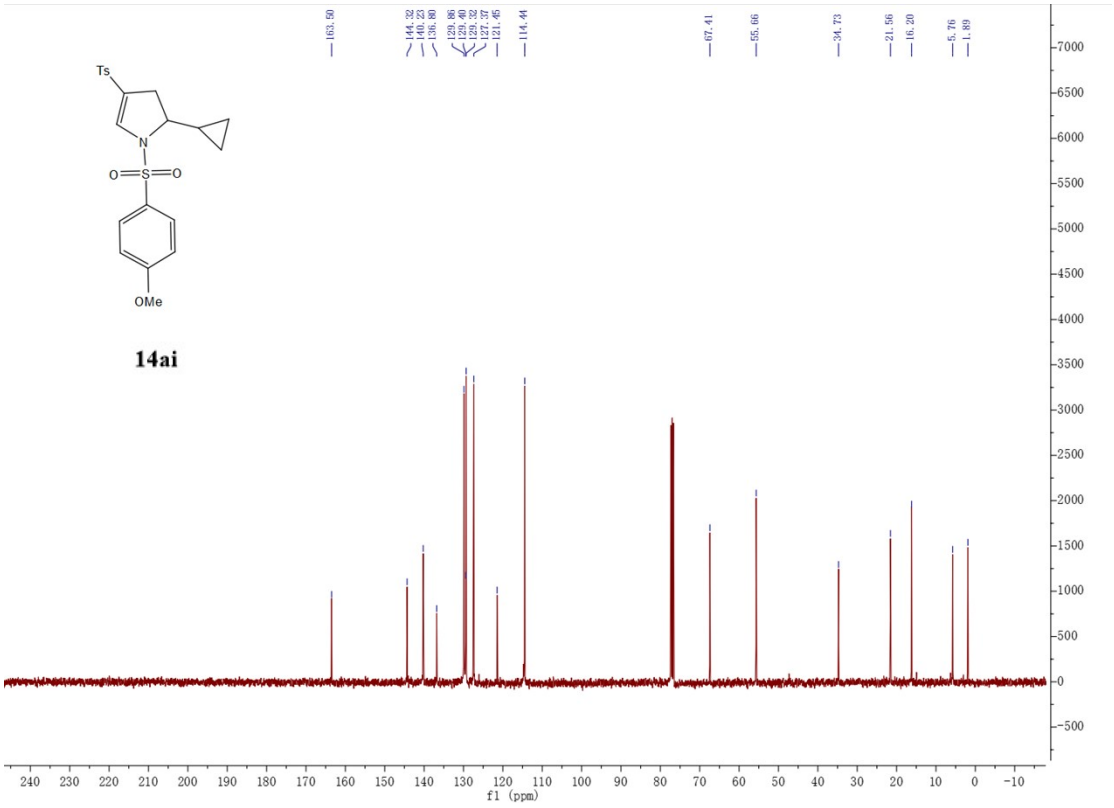

Ts
N
O=S=O
Br
OMe
14ag
f1 (ppm)

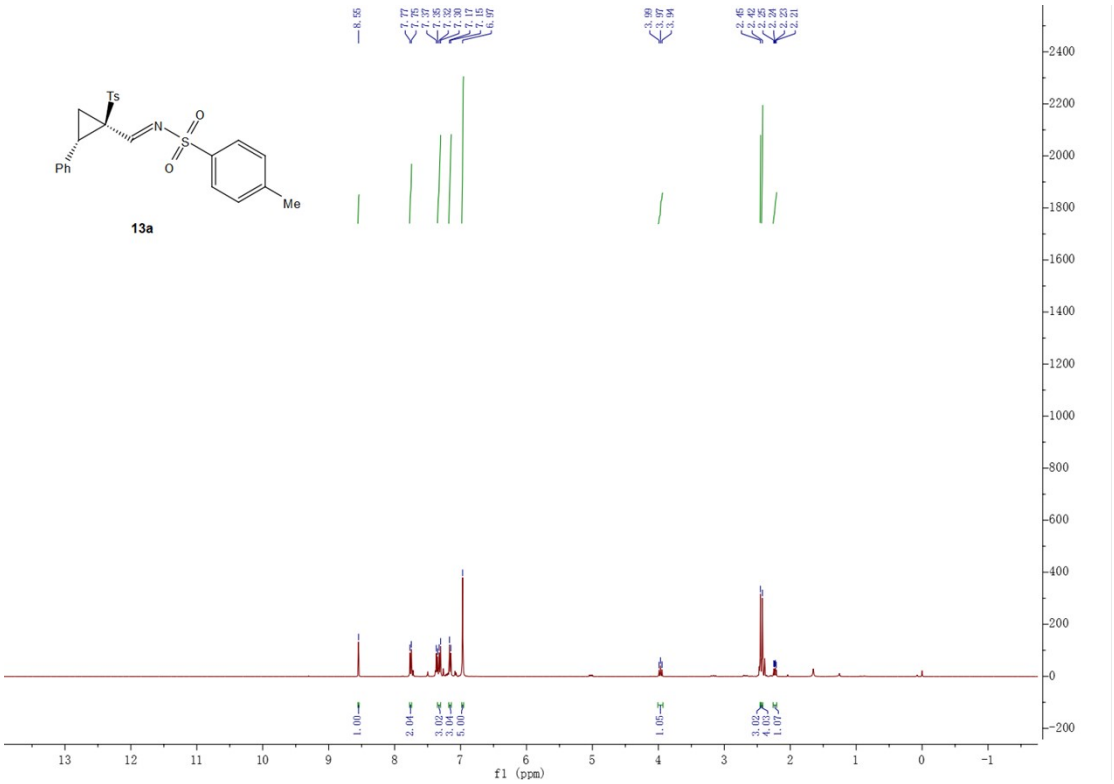

Ts
N
O=S=O
Br
OMe
14ag
f1 (ppm)

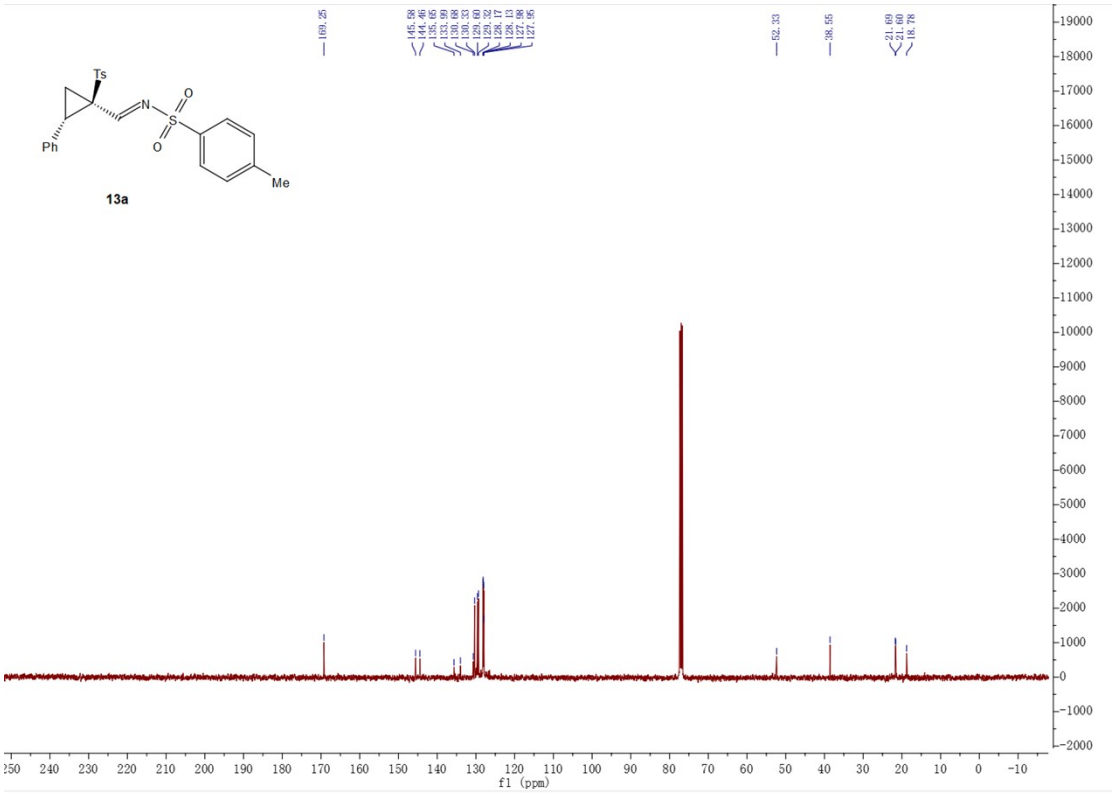

Ts
Ph
N
Br
O=S=O
OMe
14ah
f1 (ppm)

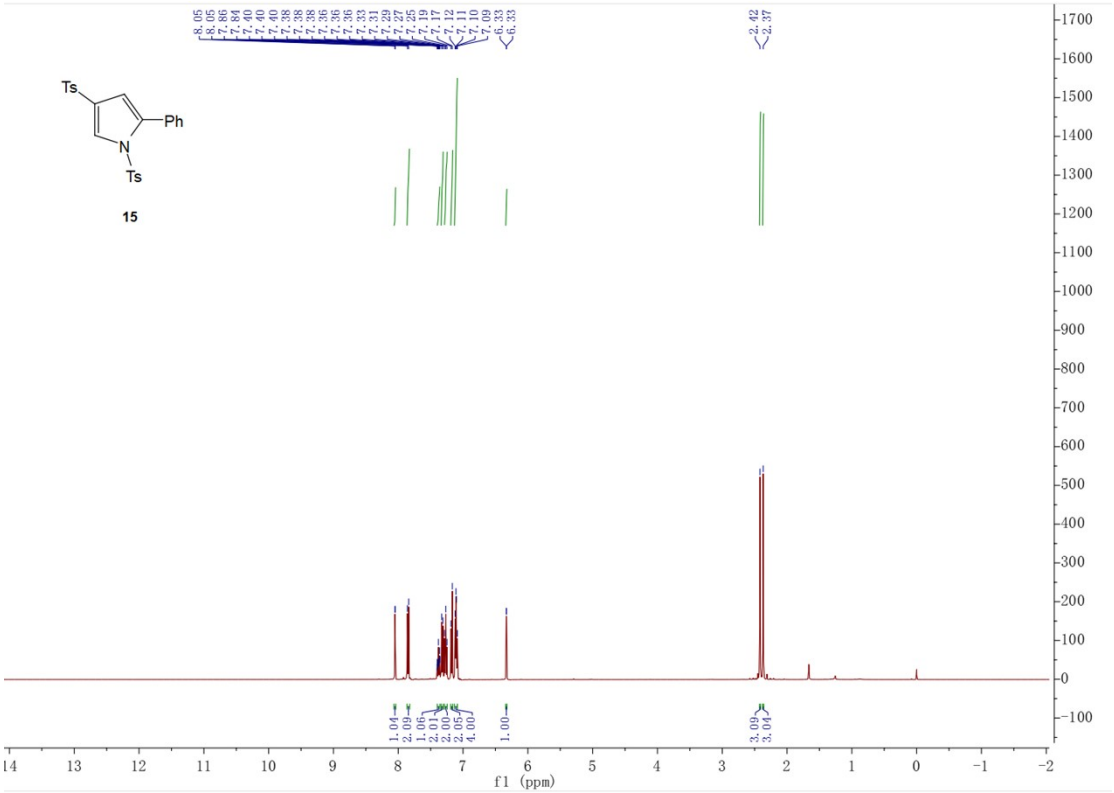

Ts
Ph
N
Br
O=S=O
OMe
14ah
f1 (ppm)

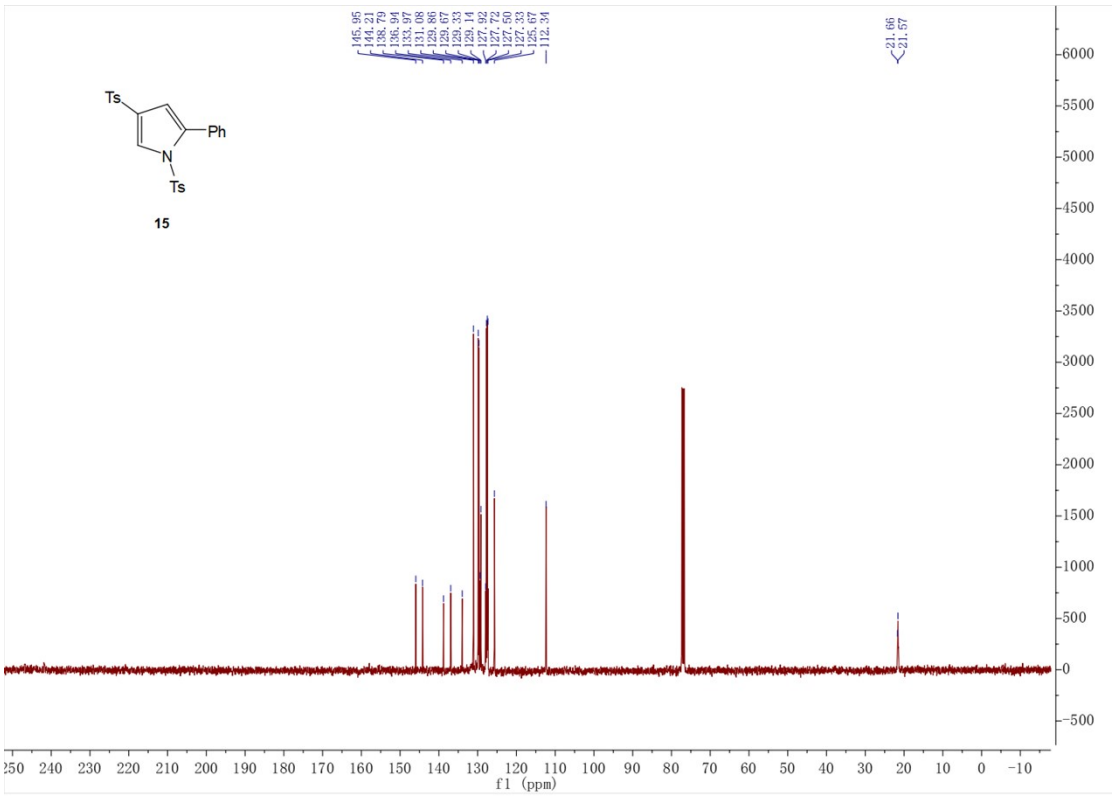

Ts
N
O=S=O
OMe
14ai
f1 (ppm)

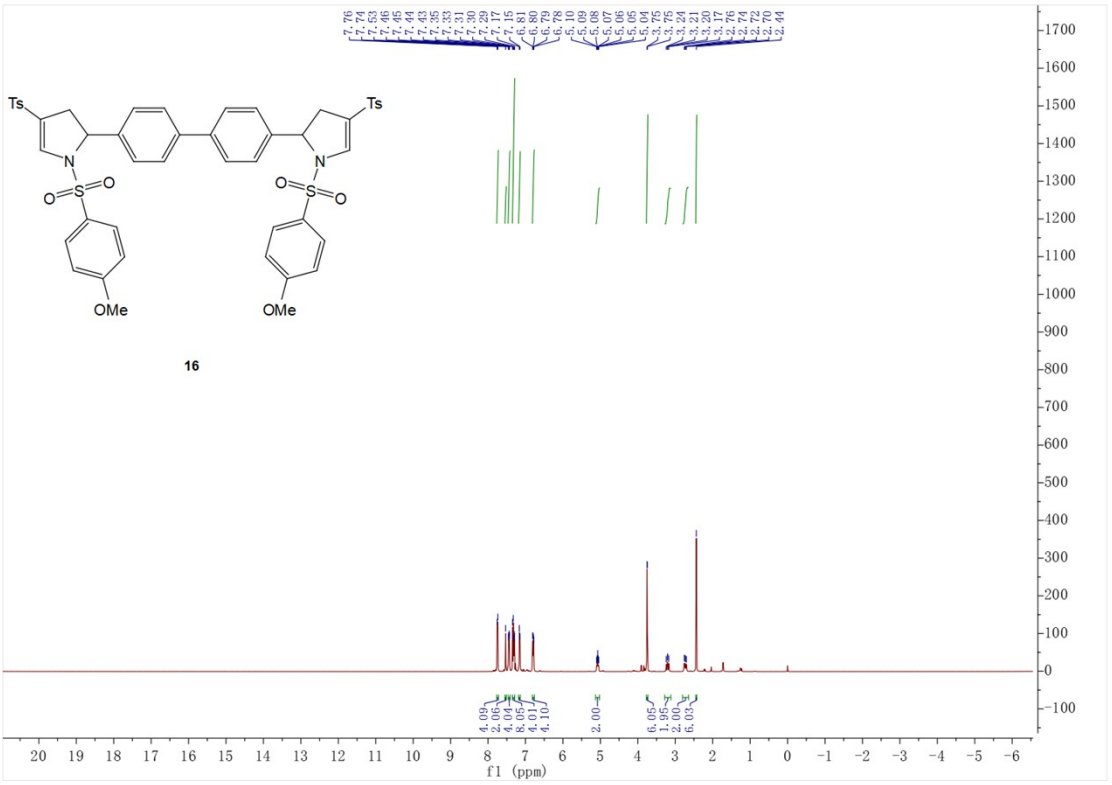

Ts
N
O=S=O
OMe
14ai
f1 (ppm)

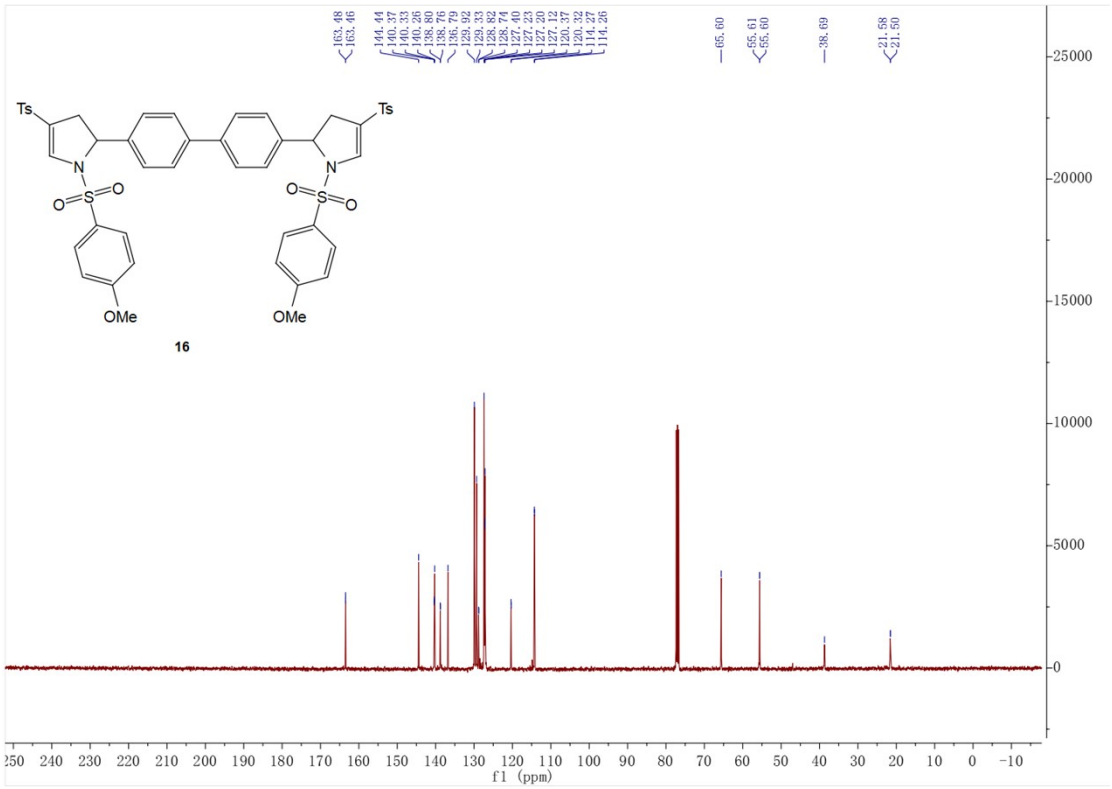

Ts
Ph
N
O
S
O
Me
13a
8.55
7.77
7.75
7.37
7.35
7.34
7.30
7.17
7.15
6.97
3.99
3.97
3.94
2.45
2.42
2.25
2.24
2.23
2.21
1.00
2.04
3.02
2.04
5.00
1.05
3.02
4.03
1.07
f1 (ppm)

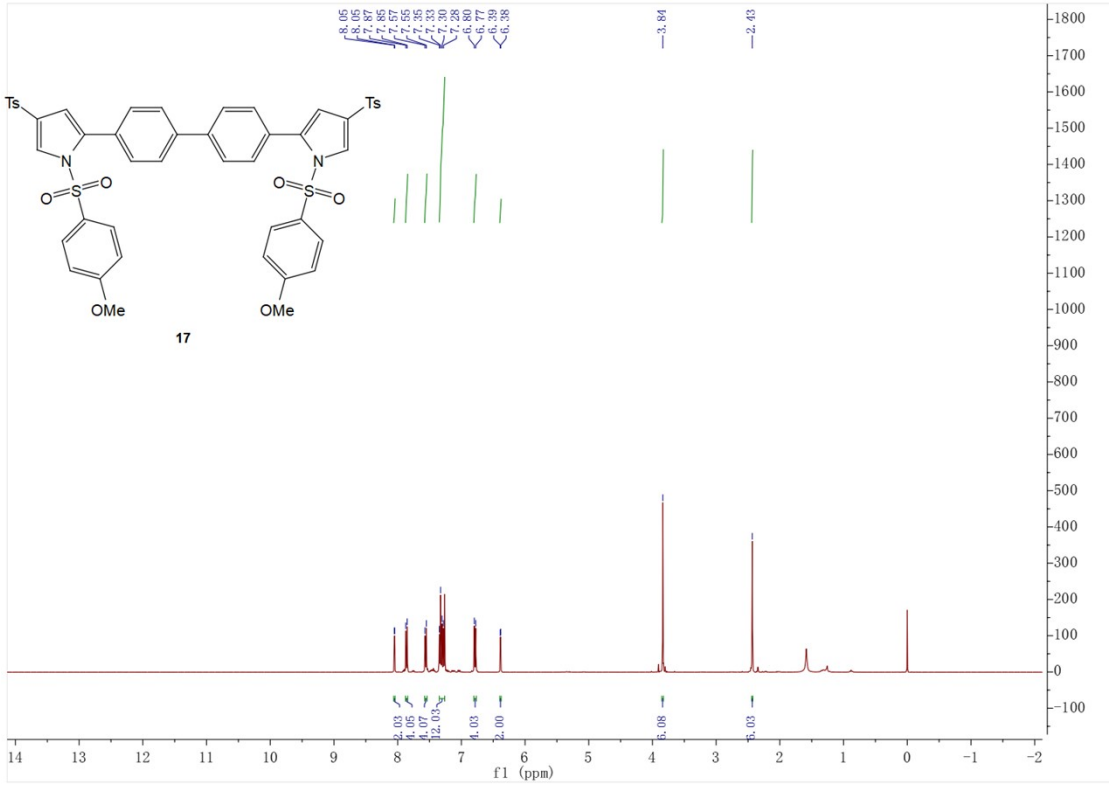

Ts
Ph
N
O
S
O
Me
13a
169.25
145.58
144.46
135.46
133.99
130.68
130.33
129.80
129.32
128.17
128.13
127.96
127.95
62.33
38.55
21.69
21.60
18.76
f1 (ppm)

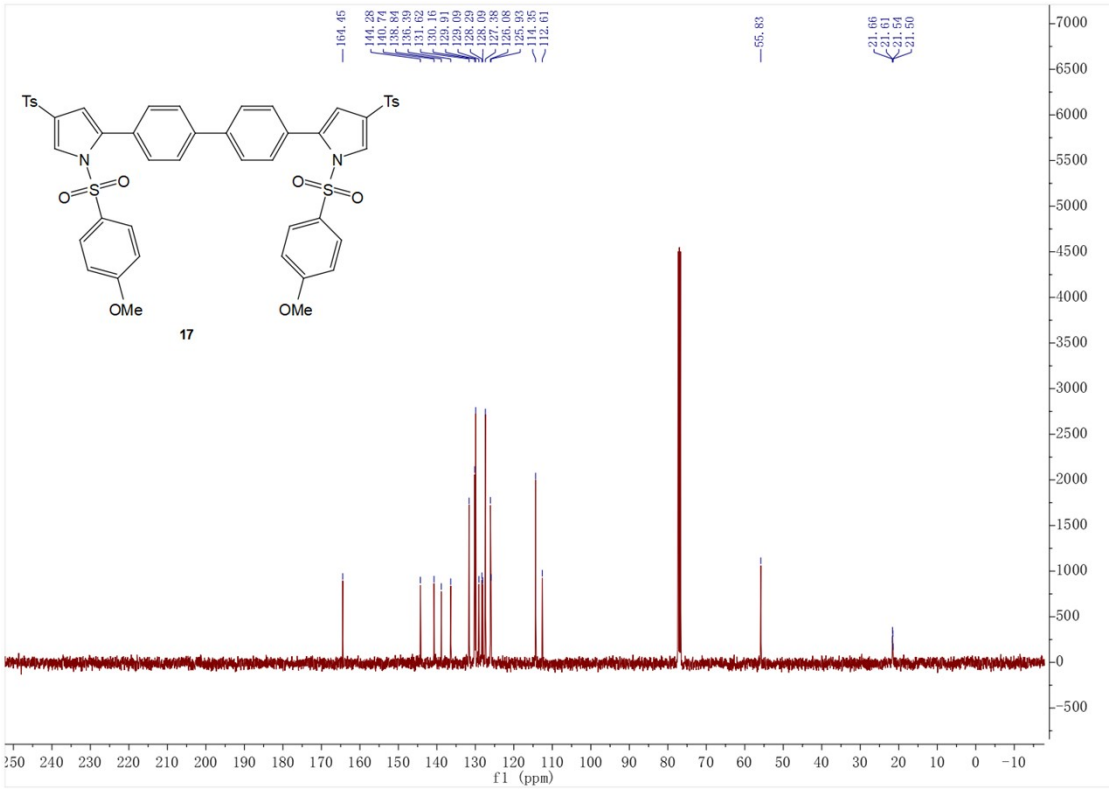

Ts
Ph
N
Ts
15
f1 (ppm)

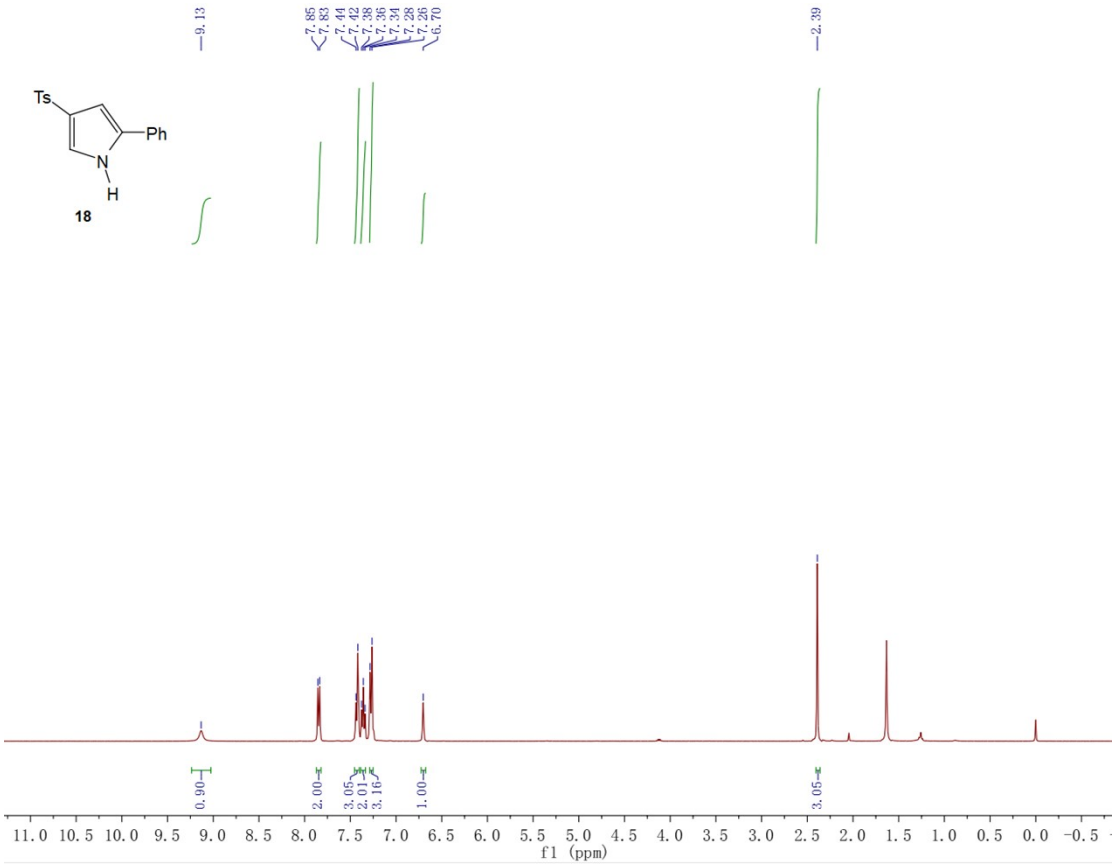

Ts
Ph
N
Ts
15
f1 (ppm)

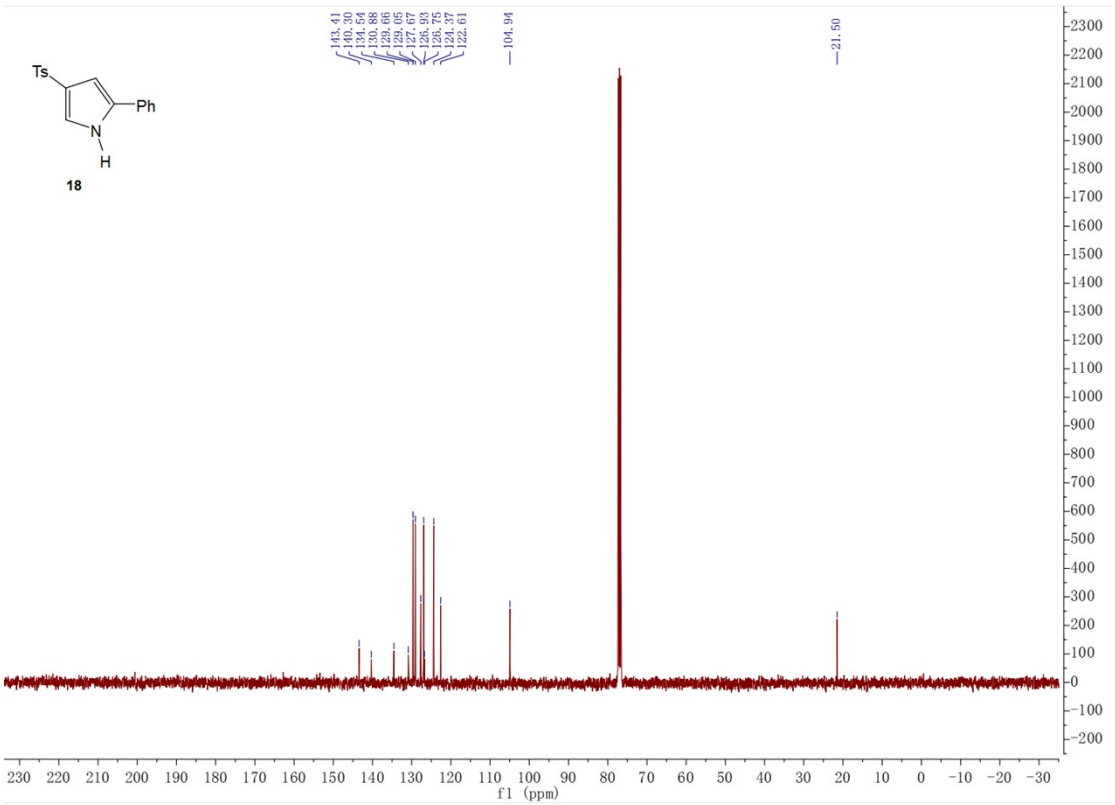

Ts
Ts
N
N
O=S=O
O=S=O
OMe
OMe
16
f1 (ppm)

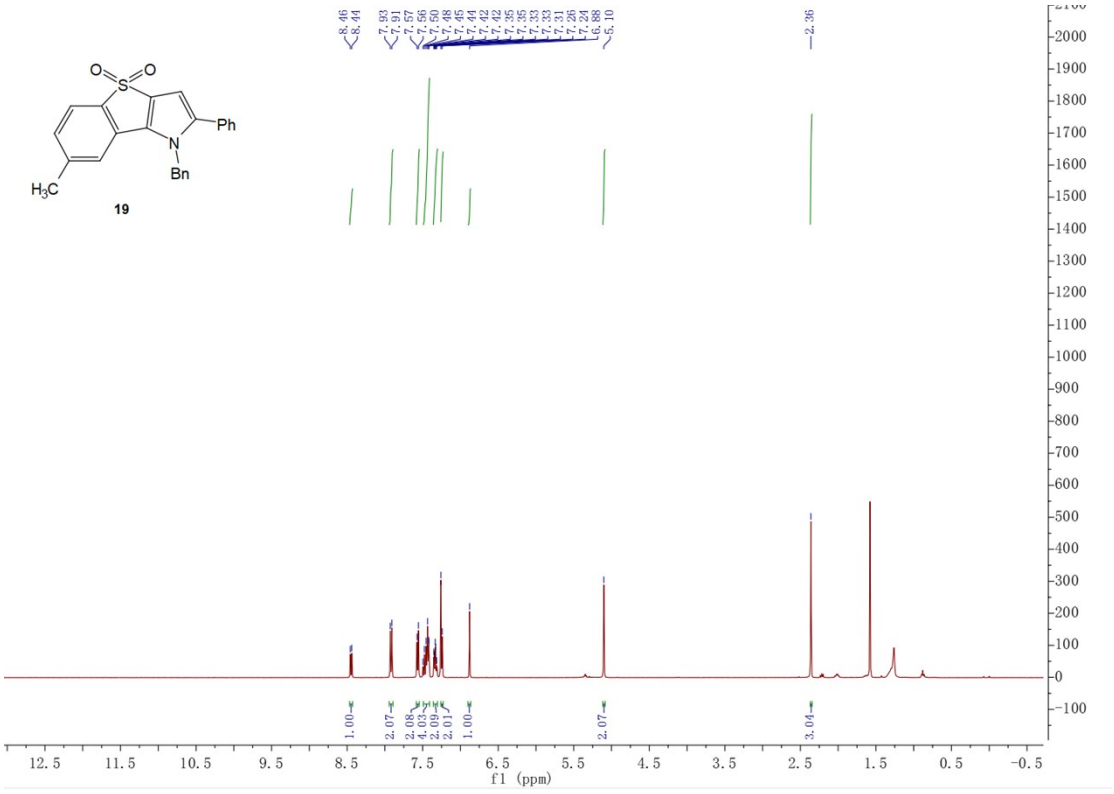

Ts
Ts
N
N
O=S=O
O=S=O
OMe
OMe
16
f1 (ppm)

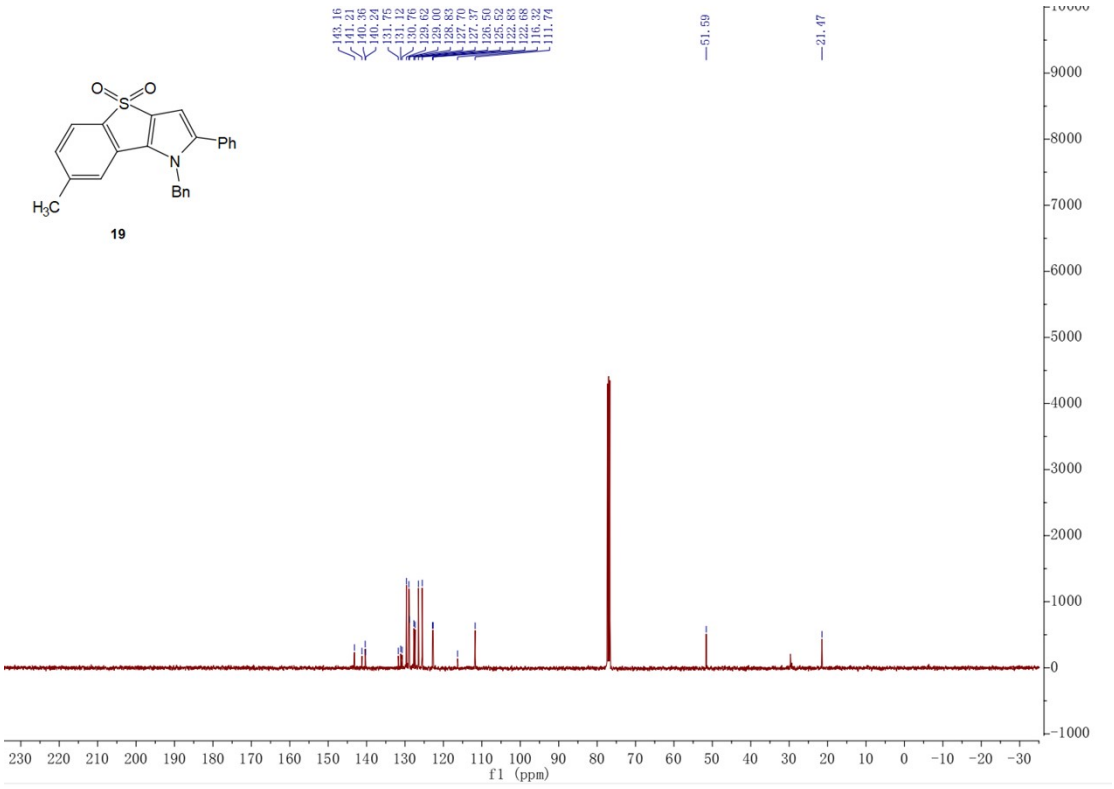

8.05
8.05
7.87
7.85
7.57
7.55
7.35
7.33
7.30
7.28
6.80
6.77
6.39
6.38
3.84
2.43
Ts
Ts
N
N
O=S=O
O=S=O
OMe
OMe
17
2.03
1.05
1.07
2.03
4.03
2.00
6.08
6.03
f1 (ppm)

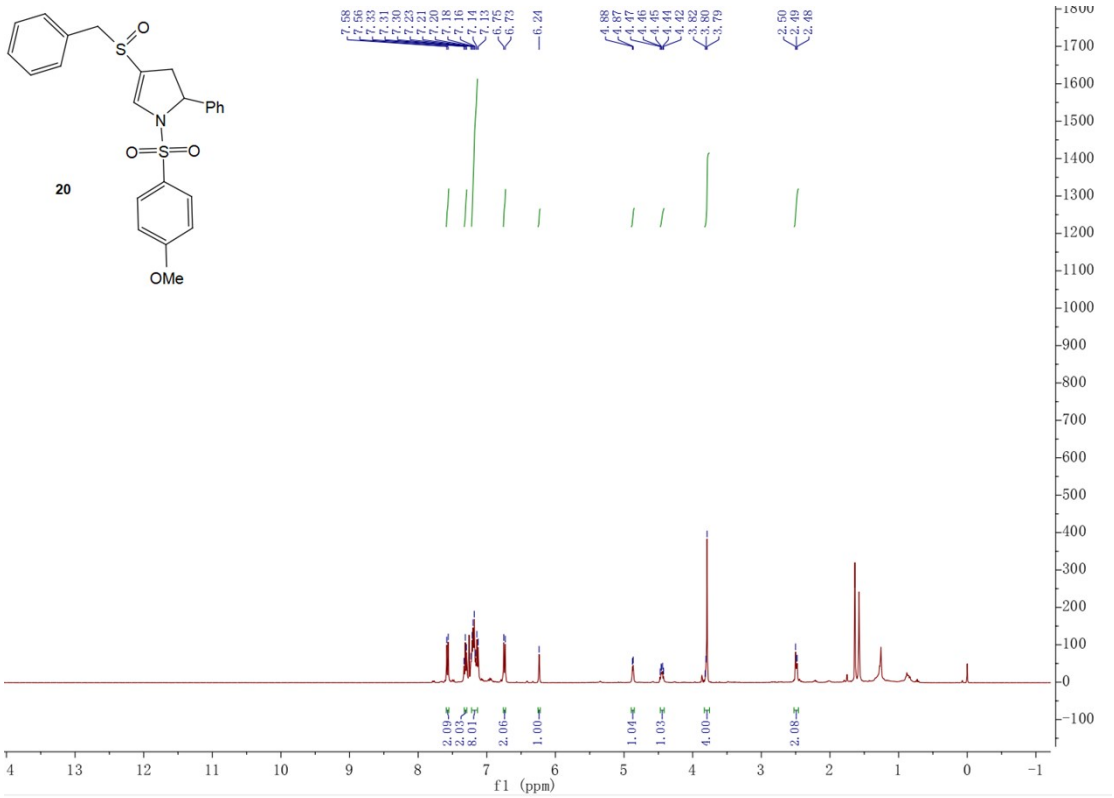

164.45
144.28
140.74
138.84
136.39
131.62
130.16
129.91
129.09
128.29
128.09
127.38
126.08
125.93
114.35
112.61
55.83
21.66
21.61
21.54
21.50
Ts
Ts
N
N
O=S=O
O=S=O
OMe
OMe
17
f1 (ppm)

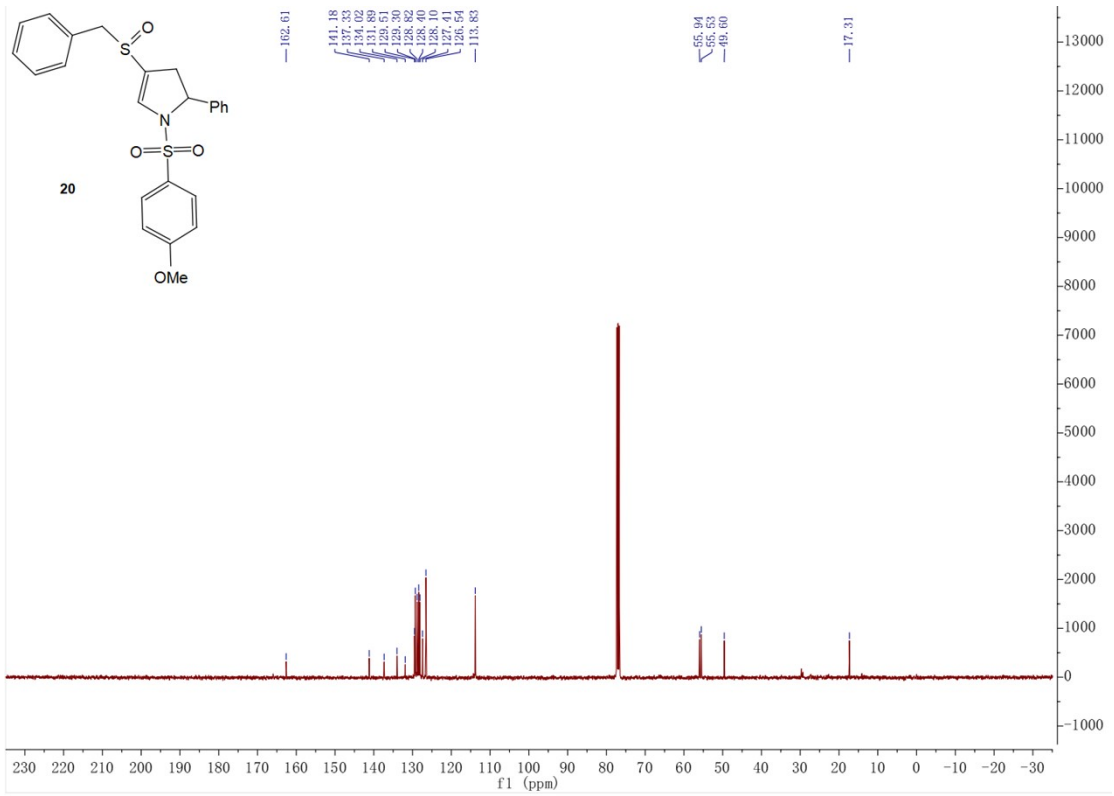

9.13
7.85
7.83
7.44
7.42
7.38
7.36
7.34
7.28
7.26
6.70
2.39
Ts
Ph
N
H
18
0.90
2.00
3.05
2.01
3.16
1.00
3.05
f1 (ppm)

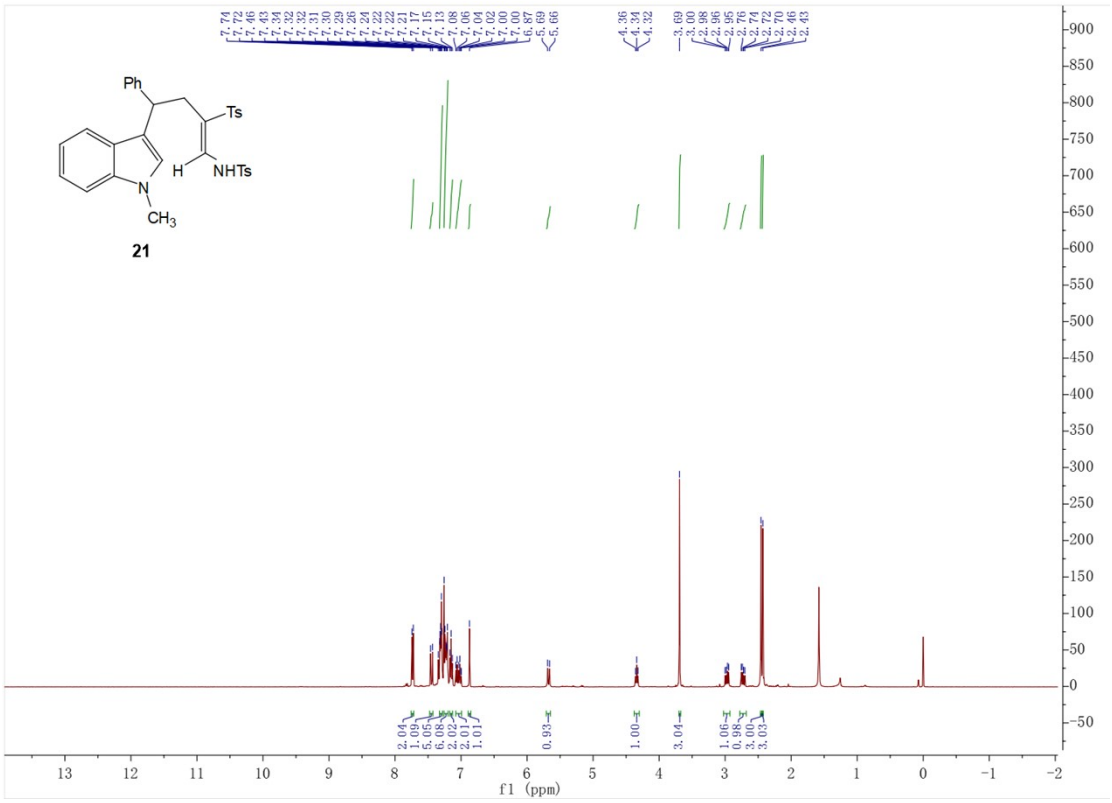

143.41
140.30
134.54
130.88
129.66
129.05
127.67
126.93
126.75
124.37
122.61
104.94
21.50
Ts
Ph
N
H
18
f1 (ppm)

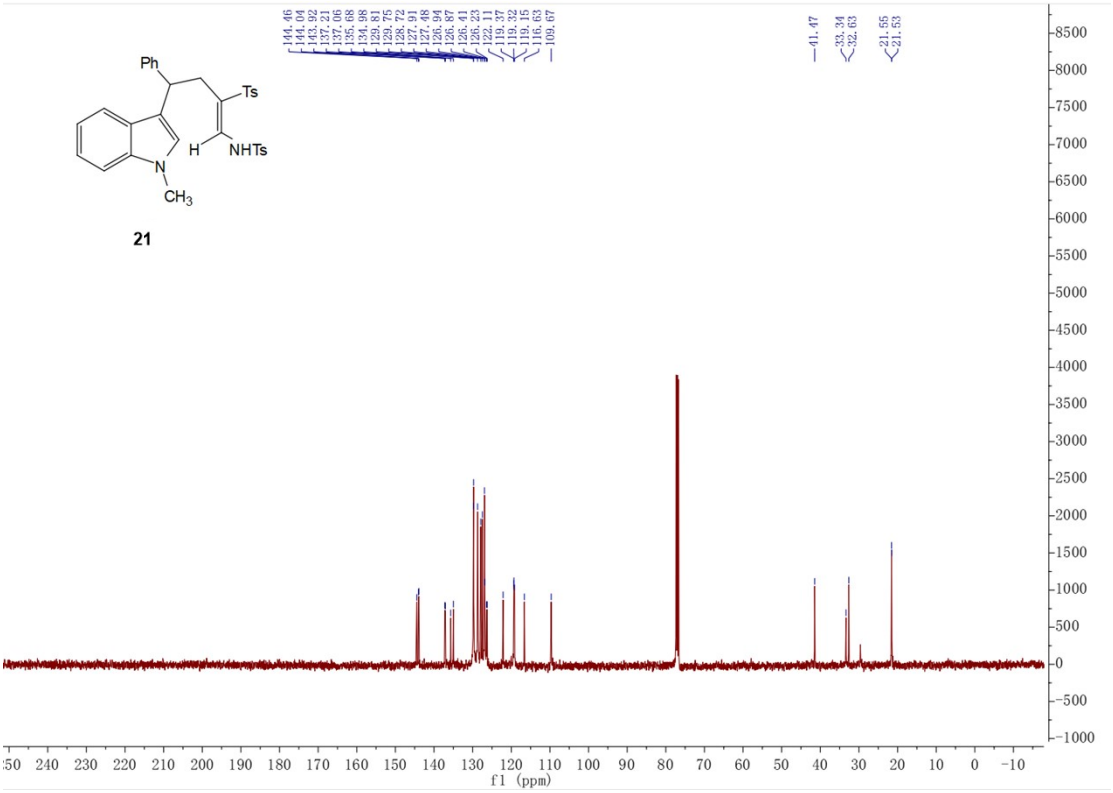

8.46
8.44
7.93
7.91
7.67
7.66
7.50
7.48
7.45
7.44
7.42
7.42
7.35
7.35
7.33
7.33
7.31
7.26
7.24
6.88
5.10
2.36
1.00
2.07
2.08
1.03
2.01
1.00
2.07
3.04
f1 (ppm)

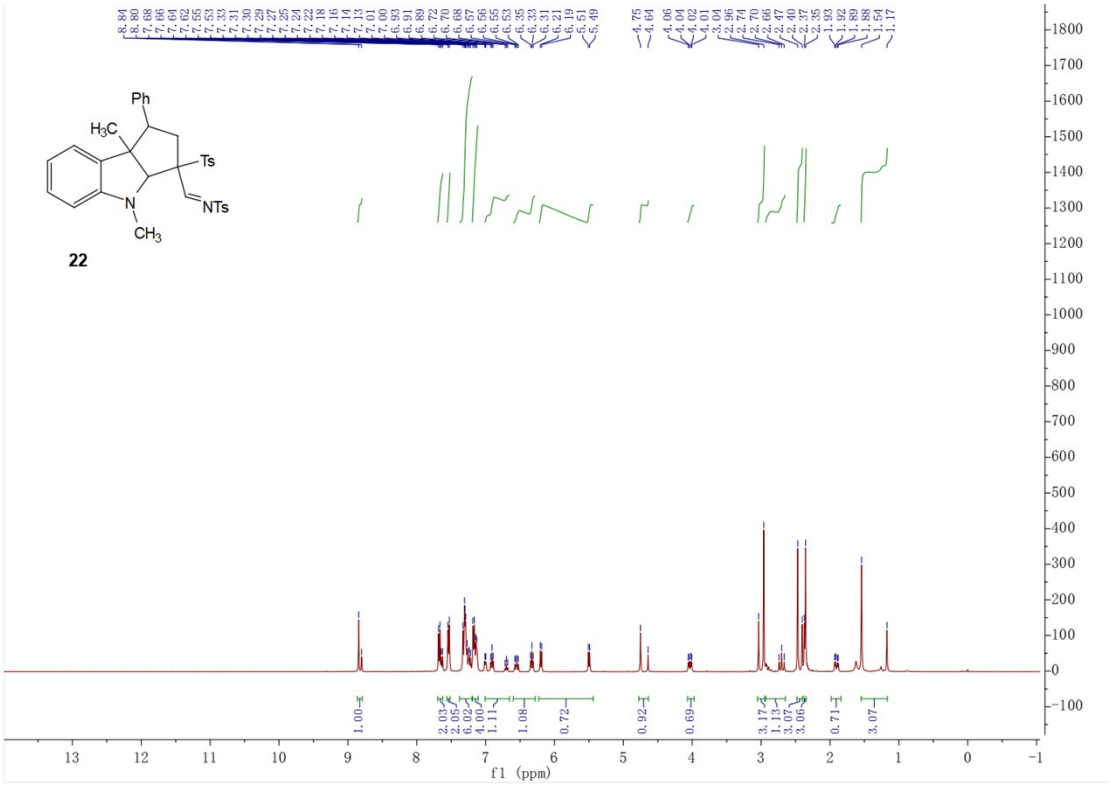

143.16
141.21
140.36
140.24
131.75
131.12
130.76
129.62
129.00
128.85
127.70
127.37
126.50
125.52
122.83
122.68
116.32
111.74
51.59
21.47
f1 (ppm)

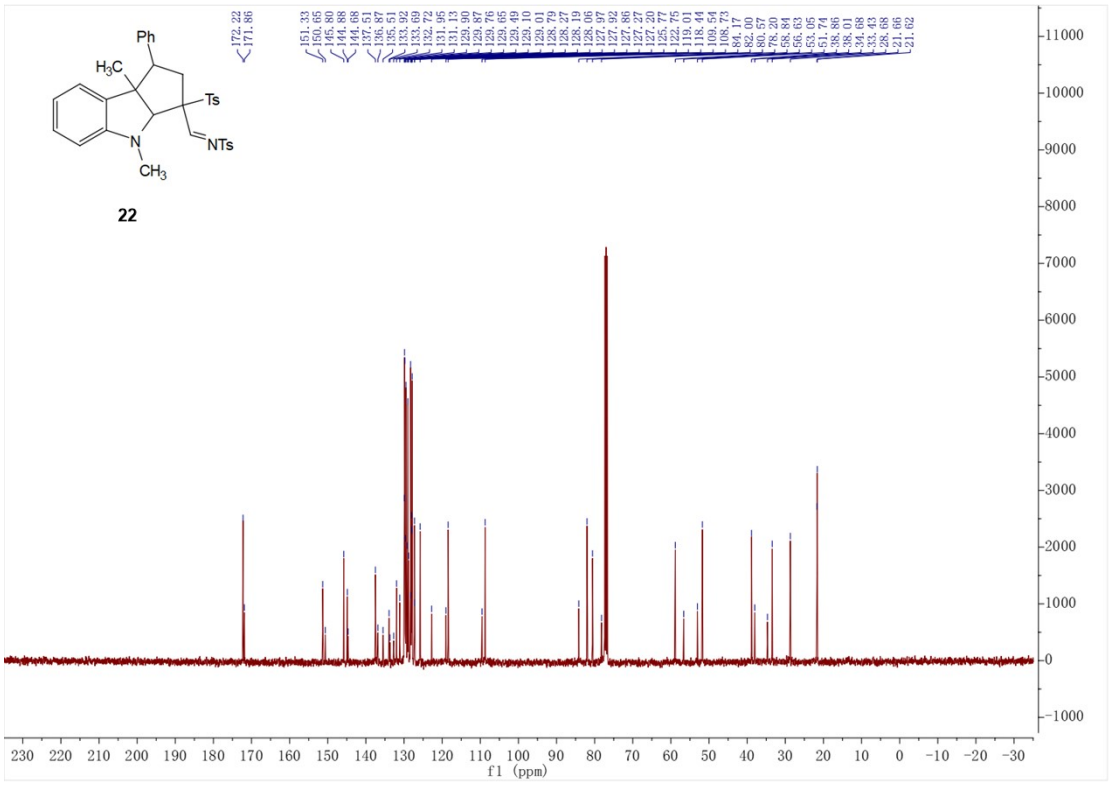

20
Ph
OMe
f1 (ppm)


20
Ph
OMe
f1 (ppm)


Ph
Ts
H
NHTs
N
CH3
21
f1 (ppm)


Ph
Ts
H
NHTs
N
CH3
21
f1 (ppm)


Ph
H3C
Ts
NTs
N
CH3
22
f1 (ppm)


Ph
H3C
Ts
NTs
N
CH3
22
f1 (ppm)