Supporting Information for

One-pot Enantioselective Construction of 3,4-Dihydro-2*H*-1,4-oxazines Over Ru/Au Relay Catalysis and Its Mechanistic Serendipity

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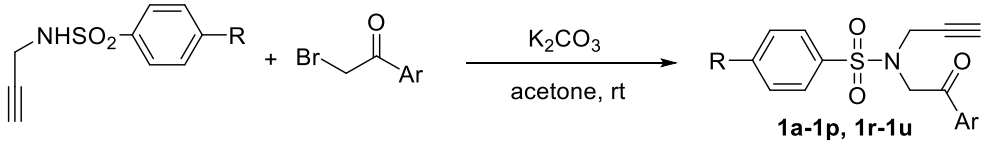
CONTENTS

1. Experimental part

1.1 General. All manipulations were carried out under an inert atmosphere using a nitrogen-filled glovebox or Schlenk techniques. Deuterated solvents were purchased commercially and were degassed and stored over activated 4 Å molecular sieves. η^6–AreneRuTsDPEN complexes, η^5–Cp*MTsDPEN complexes (TsDPEN = *N*-(*p*-toluenesulfonyl)-1,2-diphenylethylenediamine) were prepared according to the published procedures. All other reagents and catalysts were obtained from commercial sources and used without further purification. The ^{1}H NMR spectra were performed on a Bruker Avance DPX-400 spectrometer in $CDCl_3$/DMSO-d_6 solutions. Chemicals shifts are given in parts per million (δ units) downfield from tetramethylsilane using the residual solvent signal ($CHCl_3$, δ 7.26) as an internal standard. ^{1}H NMR information is given in the following format: multiplicity (s, singlet; d, doublet; t, triplet; q, quartet; qui, quintet; sept, septet; m, multiplet), coupling constant(s) (J) in Hertz (Hz), the number of protons. The prefix app is occasionally applied when the true signal multiplicity was unresolved and br indicates the signal in question broadened. ^{13}C{^{1}H} NMR spectra are reported in ppm (δ) relative to residual $CHCl_3$ (δ 77.36) unless otherwise noted. The enantiomeric excesses (ee) were determined using a Daicel Chiralcel® column OZ–H or OD–H or OJ–H or AD-H or AS-H or OD-3 with the above HPLC setup. The ^{1}H NMR and ^{13}C{^{1}H} NMR spectra were recorded at 400 MHz and 100 MHz. Mass spectrometry was performed on an LC/MS spectrometer with the electron spray ionization (ESI) technique. High-resolution mass spectra (HRMS) were performed at the Shanghai institute of organic chemistry.

1.2. General procedure for the synthesis of starting materials.

Procedure A. Synthesis of Alkynones[1]



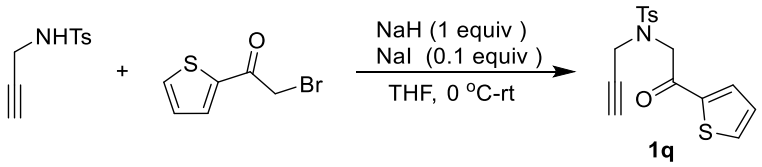
In a typical synthesis, to a solution of *N*-(prop-2-yn-1-yl)sulfonamide (8.0 mmol) in acetone (50 mL) was added α-bromo arylketones (9.6 mmol) and K_2CO_3 (1.3 g, 9.6 mmol). The resulting suspension was stirred at room temperature until the completion of the reaction determined by TLC. Then the reaction solution was carefully concentrated under vacuum. The residue was dissolved in water. The aqueous solution was extracted with ethyl ether (3 ×

[1] Shen, K.; Han, X.; Lu, X. *Org. Lett.* **2013,** *15*, 1732-1735.

10.0 mL). The combined ethyl ether extracts were washed with brine twice and then dehydrated with Na_2SO_4. After evaporation of ethyl ether, the residue was purified by silica gel flash column chromatography to afford the desired alkynones.

Procedure B. Synthesis of Alkynones.

4-methyl-*N*-(2-oxo-2-(thiophen-2-yl)ethyl)-N-(prop-2-yn-1-yl)benzenesulfonamide was synthesized following by below precedure.

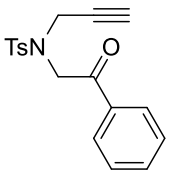


1q

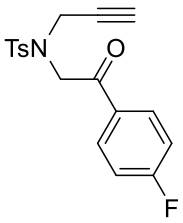
In a typical synthesis, to an ice-cooled solution of NaH (0.32 g, 8 mmol) in THF (50 mL) was slowly added *N*-propargyl-*p*-toluenesulfonamide (1.70 g, 8. 0 mmol). The resulting mixture was vigorously stirred for 0.5 h at 0 °C. Then the NaI (0.12 g, 0.8 mmol) and 2-bromo-1-(thiophen-2-yl)ethan-1-one (1.60 g, 8.0 mmol) was added, and the mixture was stirred overnight at room temperature. After completion of the reaction determined by TLC, the saturated NH_4Cl solution (10 mL) was added at 0 °C. The aqueous solution was extracted with ethyl ether (3 × 10.0 mL). The combined ethyl ether extracts were washed with brine twice and then dehydrated with Na_2SO_4. After evaporation of ethyl ether, the residue was purified by silica gel flash column chromatography over silica gel (PE : EA = 6:1) to give **1q** in 53% yield (1.4 g) as white solid.

2. Data of compounds (1-2), and chiral products (3).

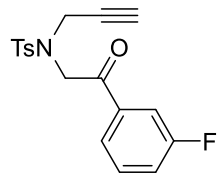
1a: 4-Methyl-*N*-(2-oxo-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield:1.57 g (60%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.88 (m, 2H), 7.86 – 7.66 (m, 2H), 7.65 – 7.56 (m, 1H), 7.55 – 7.41 (m, 2H), 7.40 – 7.26 (m, 2H), 4.81 (s, 2H), 4.29 (d, *J* = 2.2 Hz, 2H), 2.44 (s, 3H), 2.11 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 193.6 (C), 144.1 (C), 136.4 (C), 135.1 (C),134.2 (CH x 2), 129.9 (CH x 2), 129.1 (CH x 2), 128.3 (CH x 2), 76.9 (C), 74.7 (CH), 51.8 (CH_2), 37.6 (CH_2), 21.9 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{18}H_{17}NSO_3]^+$: 327.09, found: 327.09.



1b: *N*-(2-(4-fluorophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.71 g (62%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 – 7.95 (m, 2H), 7.77 – 7.72 (m, 2H), 7.30 (d, *J* = 8.2 Hz, 2H), 7.16 – 7.09 (m, 2H), 4.74 (s, 2H), 4.25 (d, *J* = 2.5 Hz, 2H), 2.41 (s, 3H), 2.11 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 192.2 (C), 166.4 (C, d, *J* = 256.2 Hz), 144.2 (C), 136.25 (C), 131.6 (C, d, *J* = 3.5 Hz), 131.2 (CH x 2, d, *J* = 9.2 Hz), 130.0 (CH x 2), 127.9 (CH x 2), 116.3 (CH x 2, d, *J* = 23.3 Hz), 76.8 (C), 74.9 (CH), 51.83 (CH_2), 37.7 (CH_2), 21.9 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{18}H_{16}FNSO_3]^+$: 345.08, found: 345.08.

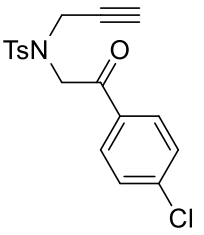


1c: *N-(*2-(3-fluorophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.57 g (57%), white solid ; R_f= 0.3 (PE/EA5/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (dd, *J* = 7.9, 3.5 Hz, 3H), 7.59 (d, *J* = 9.3 Hz, 1H), 7.44 (td, *J* = 8.0, 5.4 Hz, 1H), 7.29 (d, *J* = 8.1 Hz, 3H), 4.74 (s, 2H), 4.24 (d, *J* = 2.5 Hz, 2H), 2.41 (s, 3H), 2.10 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 192.5 (C, d, *J* = 2.3 Hz), 163.1 (C, d, *J* = 248.8 Hz), 144.3 (C), 137.06 (C, d, *J* = 6.3 Hz), 136.2 (C), 130.90 (CH, d, *J* = 7.6 Hz), 130.0 (CH x 2), 127.9 (CH x 2), 124.13 (CH, d, *J* = 3.2 Hz), 121.18 (CH, d, *J* = 21.5 Hz), 115.10 (CH, d, *J* = 22.3 Hz), 76.7 (C), 74.9 (CH), 52.0 (CH_2), 37.7 (CH_2), 21.8 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{18}H_{16}FNSO_3]^+$: 345.08, found: 345.08

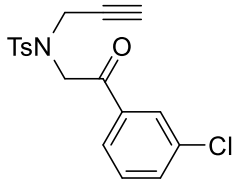


1d: *N*-(2-(2-fluorophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.49 g (54%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (td, J = 7.5, 1.9 Hz, 1H), 7.78 – 7.74 (m, 2H), 7.60 – 7.55 (m, 1H), 7.33 – 7.29 (m, 2H), 7.25 (d, *J* = 1.1 Hz, 1H), 7.17 (ddd, *J* = 11.1, 8.3, 1.0 Hz, 1H), 4.75 (d, *J* = 3.4 Hz, 2H), 4.31 (d, *J* = 2.5 Hz, 2H), 2.43 (s, 3H), 2.11 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 191.9 (C, d, *J* = 5.5 Hz), 162.3 (C, d, *J* = 254.3 Hz), 144.0 (C), 136.6 (C), 135.8 (CH, d, *J* = 9.2 Hz), 131.1 (CH, d, *J* = 2.9 Hz), 129.9 (CH x 2), 127.8 (CH x 2), 125.1 (CH, d, *J* = 3.2 Hz), 123.3 (C, d, *J* = 14.5 Hz), 116.9 (CH, d, *J* = 23.9 Hz), 76.9 (C), 74.6 (CH), 55.5 (CH_2), 37.8 (CH_2), 21.8 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{18}H_{16}FNSO_3]^+$: 327.08, found: 327.08.

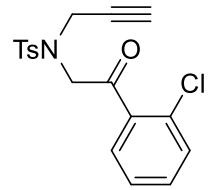
1e: *N*-(2-(4-chlorophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.68 g (58%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 – 7.86 (m, 2H), 7.81 – 7.69 (m, 2H), 7.52 – 7.42 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 4.74 (s, 2H), 4.25 (d, *J* = 2.4 Hz, 2H), 2.43 (s, 3H), 2.11 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 192.7 (C), 144.3 (C), 140.7 (C), 136.2 (C), 133.5 (C), 130.0 (CH x 2), 129.9 (CH x 2), 129.5 (CH x 2), 128.0 (CH x 2), 76.7 (C), 74.9 (CH), 51.9 (CH_2), 37.8 (CH_2), 21.9 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{18}H_{16}ClNSO_3]^+$: 361.05, found: 361.05.



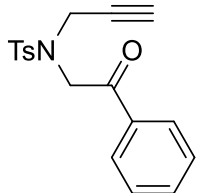
1f: *N*-(2-(3-chlorophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.62 g (56%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (s, 1H), 7.82 (d, *J* = 7.8 Hz, 1H), 7.74 (d, *J* = 8.2 Hz, 2H), 7.55 (d, *J* = 8.0 Hz, 1H), 7.41 (t, *J* = 7.9 Hz, 1H), 7.30 (d, *J* = 8.1 Hz, 2H), 4.75 (s, 2H), 4.25 (d, *J* = 2.5 Hz, 2H), 2.42 (s, 3H), 2.13 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 192.5 (C), 144.3 (C), 136.5 (C), 136.1 (C), 135.4 (C), 134.0 (CH), 130.5 (CH), 123.0 (CH x 2), 128.3 (CH), 127.9 (CH x 2), 126.4 (CH), 76.6 (C), 75.0 (CH), 51.9 (CH_2), 37.7 (CH_2), 21.9 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{18}H_{16}ClNSO_3]^+$: 361.05, found: 361.05.



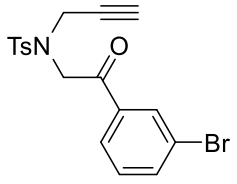
1g: *N*-(2-(2-chlorophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.76 g (61%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 – 7.72 (m, 2H), 7.53 (d, *J* = 7.4 Hz, 1H), 7.43 – 7.40 (m, 2H), 7.37 – 7.33 (m, 1H), 7.30 (d, *J* = 8.1 Hz, 2H), 4.71 (s, 2H), 4.28 (d, *J* = 2.5 Hz, 2H), 2.42 (s, 3H), 2.14 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 196.9 (C), 144.2 (C), 136.9 (C), 136.2 (C), 132.9 (CH), 131.4 (C), 130.9 (CH), 130.0 (CH x 2), 129.9 (CH), 127.9 (CH x 2), 127.4 (CH), 76.7 (C), 74.8 (CH), 54.9 (CH_2), 37.8 (CH_2), 21.9 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{18}H_{16}ClNSO_3]^+$: 361.05, found: 361.05.



1h: *N*-(2-(4-bromophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.78 g (55%), white solid ; R_f = 0.3 (PE/EA 5/1); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 – 7.80 (m, 2H), 7.77 – 7.73 (m, 2H), 7.64 – 7.60 (m, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 4.73 (s, 2H), 4.24 (d, *J* = 2.4 Hz, 2H), 2.43 (s, 3H), 2.11 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 192.8 (C), 144.3 (C), 136.1 (C), 133.8 (C), 132.5 (CH x 2), 130.0 (CH x 2), 129.9 (CH x 2), 129.5 (C), 128.0 (CH x 2), 76.7 (C), 74.9 (CH), 51.9 (CH_2), 37.7 (CH_2), 21.9 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{18}H_{16}BrNSO_3]^+$: 405.00 , found: 405.00 .

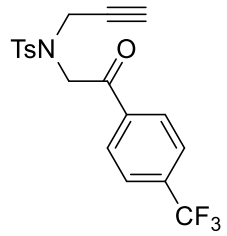


1i: *N*-(2-(3-bromophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.65 g (51%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 – 8.03 (m, 1H), 7.90 – 7.87 (m, 1H), 7.77 – 7.71 (m, 3H), 7.37 (t, *J* = 7.9 Hz,

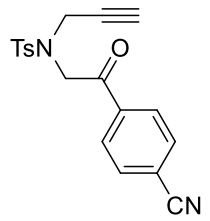


1H), 7.32 (d, *J* = 8.2 Hz, 2H), 4.75 (s, 2H), 4.26 (d, *J* = 2.3 Hz, 2H), 2.44 (s, 3H), 2.13 (t, *J* = 2.4 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, CDCl3) δ 192.3 (C), 144.1 (C), 136.8 (CH), 136.6 (C), 136.1 (C), 131.1 (CH), 130.6 (CH), 129.9 (CH x 2), 127.8 (CH x 2), 126.8 (CH), 123.3 (C), 76.6 (C), 74.9 (CH), 51.8 (CH_2), 37.6 (CH_2), 21.75 (CH_3). LC/MS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{16}BrNSO_3]^+$: 405.00, found: 405.00.

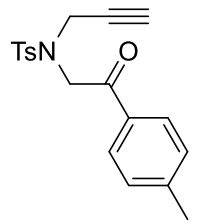
1j: 4-Methyl-*N*-(2-oxo-2-(4-(trifluoromethyl)phenyl)ethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.80 g (57%), white solid ; R_f = 0.3 (PE/EA 3/1) ; ^1H NMR (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.2 Hz, 2H), 7.76 (d, *J* = 6.4 Hz, 4H), 7.33 (d, *J* = 7.8 Hz, 2H), 4.78 (s, 2H), 4.25 (d, *J* = 2.5 Hz, 2H), 2.44 (s, 3H), 2.13 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 193.1 (C), 144.4 (C), 137.9 (C), 136.1 (C), 135.4 (C, q, *J* = 32.8 Hz), 130.1 (CH x 2), 128.8 (CH x 2), 128.0 (CH x 2), 126.2 (CH x 2, q, *J* = 3.8 Hz), 123.8 (C, q, *J* = 273.6 Hz), 76.6 (C), 75.0 (CH), 52.3 (CH_2), 37.8 (CH_2), 21.9 (CH_3). LC/MS (ESI) m/z $[M+H]^+$ calculated for $[C_{19}H_{17}NSF_3O_3]^+$: 396.09, found : 396.09.



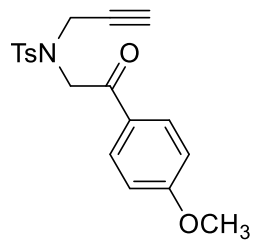
1k: *N*-(2-(4-cyanophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.69 g (60%), white solid ; R_f = 0.3 (PE/EA 3/1) ; ^1H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, *J* = 8.2 Hz, 2H), 7.75 (dd, *J* = 16.0, 8.2 Hz, 4H), 7.32 (d, *J* = 8.2 Hz, 2H), 4.74 (s, 2H), 4.22 (d, *J* = 2.5 Hz, 2H), 2.43 (s, 3H), 2.12 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 192.8 (C), 144.5 (C), 138.0 (C), 135.7 (C), 132.9 (CH x 2), 130.0 (CH x 2), 128.9 (CH x 2), 127.9 (CH x 2), 118.0 (C), 117.2 (C), 76.4 (C), 75.2 (CH), 52.3 (CH_2), 37.8 (CH_2), 21.8 (CH_3). LC/MS(ESI) m/z $[M+H]^+$ calculated for $[C_{19}H_{17}SN_2O_3]^+$: 353.10, found: 353.10.



1l: 4-Methyl-*N*-(2-oxo-2-(p-tolyl)ethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.61 g (59%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 8.2 Hz, 2H), 7.68 (d, *J* = 8.2 Hz, 2H), 7.21 (dd, *J* = 16.3, 8.0 Hz, 4H), 4.70 (s, 2H), 4.20 (d, *J* = 2.5 Hz, 2H), 2.35 (s, 3H), 2.33 (s, 3H), 2.04 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ193.13 (C) , 145.10 (C) , 144.04 (C), 136.49 (C), 132.66 (C), 129.90 (CH x 2), 129.78 (CH x 2) , 128.41 (CH x 2), 127.92 (CH x 2) , 76.94 (C), 74.65 (CH), 51.65 (CH_2), 37.64 (CH_2), 22.00 (CH_3), 21.84 (CH_3). LC/MS (ESI) m/z $[M+H]^+$ calculated for $[C_{19}H_{19}NSO_3]^+$: 342.12, found: 342.12.

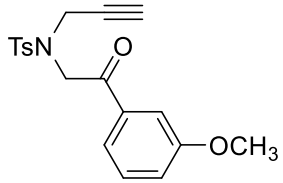


1m: *N*-(2-(4-methoxyphenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.85 g (65%), white solid ; R_f (PE/EA=5/1) 0.3 ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.94 – 7.90 (m, 2H), 7.77 – 7.73 (m, 2H), 7.31 – 7.27 (m, 2H), 6.95 – 6.91 (m, 2H), 4.74 (s, 2H), 4.26 (d, *J* = 2.4 Hz, 2H), 3.85 (s, 3H), 2.41 (s, 3H), 2.10 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ191.9 (C), 164.3 (C), 144.0 (C), 136.4 (C), 130.7 (CH x 2), 129.9

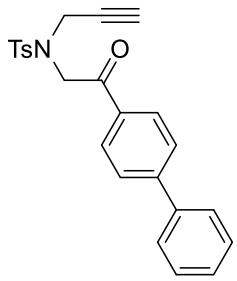


(CH x 2), 128.1 (C), 127.9 (CH x 2), 114.3 (CH x 2), 76.9 (C), 74.6 (CH), 55.8 (CH_2), 51.5 (CH_2), 37.6 (CH_3), 21.8 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{19}H_{19}NSO_4]^+$: 357.10 , found: 357.10.

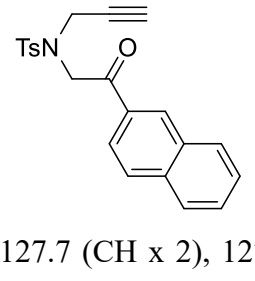
1n: *N*-(2-(3-methoxyphenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.77 g (62%), white solid ; R_f = 0.3 (PE/EA 5/1); ^{1}H NMR (400 MHz, $CDCl_3$)δ 7.77 – 7.74 (m, 2H), 7.52 (dd, *J* = 7.6, 1.5 Hz, 1H), 7.48 – 7.45 (m, 1H), 7.38 (td, *J* = 8.0, 3.0 Hz, 1H), 7.31 (d, *J* = 8.3 Hz, 2H), 7.16 – 7.12 (m, 1H), 4.78 (s, 2H), 4.27 (d, *J* = 2.6 Hz, 2H), 3.85 (d, *J* = 3.2 Hz, 3H),2.43 (d, *J* = 2.9 Hz, 3H), 2.12 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 193.5 (C), 160.2 (C), 144.1 (C), 136.4 (C), 136.4 (C), 130.1 (CH), 129.9 (CH x 2), 127.9 (CH x 2), 120.8 (CH), 120.6 (CH), 112.7 (CH), 76.9 (C), 74.8 (CH), 55.8 (CH_2), 51.9 (CH_2), 37.7 (CH_3), 21.8 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{19}H_{19}NSO_4]^+$: 357.10, found: 357.10.



1o: *N*-(2-([1,1'-biphenyl]-4-yl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 2.10 g (65%), white solid ; R_f = 0.3 (PE/EA 3/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (d, *J* = 8.4 Hz, 2H), 7.79 (d, *J* = 8.3 Hz, 2H), 7.70 (d, *J* = 8.4 Hz, 2H), 7.63 (dd, *J* = 7.0, 1.6 Hz, 2H), 7.51 – 7.46 (m, 2H), 7.44 – 7.39 (m, 1H), 7.33 (d, *J* = 8.1 Hz, 2H), 4.85 (s, 2H), 4.31 (d, *J* = 2.5 Hz, 2H), 2.44 (s, 3H), 2.14 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 193.1 (C), 146.8 (C), 144.1 (C), 139.8 (C), 136.4 (C), 133.7 (C), 129.9 (CH x 2), 129.3 (CH x 2), 128.9 (CH x 2), 128.7 (CH), 127.9 (CH x 2), 127.7 (CH x 2), 127.5 (CH x 2), 76.9 (C), 74.8 (CH), 51.8 (CH_2), 37.7 (CH_2), 21.9 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{24}H_{21}NSO_3]^+$: 403.12, found: 403.12



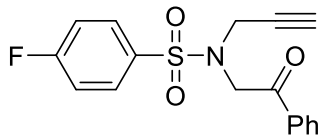
1p: 4-Methyl-*N*-(2-(naphthalen-2-yl)-2-oxoethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.75 g (58%), white solid; R_f = 0.3 (PE/EA 3/1) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (s, 1H), 8.01 – 7.92 (m, 2H), 7.92 – 7.84 (m, 2H), 7.80 (d, *J* = 8.3 Hz, 2H), 7.65 – 7.53 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 4.95 (s, 2H), 4.33 (d, *J* = 2.5 Hz, 2H), 2.43 (s, 3H), 2.14 (t, *J* = 2.5 Hz, 1H).$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 193.1 (C), 146.8 (C), 144.1 (C), 139.8 (C), 136.4 (C), 133.7 (C), 129.9 (CH x 2), 129.3 (CH x 2), 128.9 (CH x 2), 128.7 (CH), 127.9 (CH x 2), 127.7 (CH x 2), 127.5 (CH x 2), 76.9 (C), 74.8 (CH), 51.8 (CH_2), 37.7 (CH_2), 21.8 (CH_3). LC/MS (ESI) m/z $[M]^+$ calculated for $[C_{22}H_{19}NSO_3]^+$: 377.11 , found: 377.11.



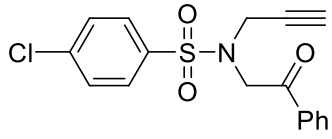
1q: 4-Methyl-*N*-(2-oxo-2-(thiophen-2-yl)ethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure B. Yield: 1.40 g (53%), white solid; R_f = 0.3 (PE/EA 3/1) ; ^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 8.10 (dd, *J* = 22.5, 4.4 Hz, 2H), 7.79 (d, *J* = 8.2 Hz, 2H), 7.42 (d, *J* = 8.0 Hz, 2H), 7.28 (t, *J* = 4.0 Hz, 1H), 4.74 (s, 2H), 4.17 (d, *J* = 2.4 Hz, 2H), 3.14 (t, *J* = 2.4 Hz, 1H), 2.40 (s, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 187.8 (C), 144.5 (C), 141.7 (C), 136.9 (C), 136.6 (CH), 135.0 (CH), 130.7 (CH),

129.9 (CH x 2), 128.3 (CH x 2), 78.0 (C), 77.8 (CH), 53.2 (CH_2), 38.6 (CH_2), 22.0 (CH_3) . LC/MS (ESI) m/z $[M+H]^+$ calculated for $[C_{16}H_{15}NS_2O_3]^+$: 334.06 , found: 334.06

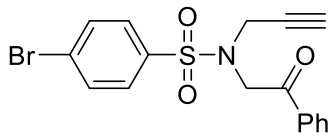
1r: 4-Fluoro-*N*-(2-oxo-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.41 g (54%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 8.10 – 8.01 (m, 4H), 7.67 (t, *J* = 7.4 Hz, 1H), 7.54 (t, *J* = 7.8 Hz, 2H), 7.49 – 7.42 (m, 2H), 4.93 (s, 2H), 4.26 (d, *J* = 2.5 Hz, 2H), 3.13 (t, *J* = 2.4 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, DMSO-*d*$_6$) δ 194.3 (C), 165.6 (C, d, *J* = 252.1 Hz), 136.3 (C, d, *J* = 3.1 Hz), 135.4 (C), 134.7 (CH), 131.3 (CH x 2, d, *J* = 9.6 Hz), 129.7 (CH x 2), 128.9 (CH x 2), 117.2 (CH x 2, d, *J* = 22.7 Hz), 77.7 (C), 77.6 (CH), 53.4 (CH_2), 38.4 (CH_2). LC/MS (ESI) m/z $[M+H]^+$ calculated for $[C_{17}H_{15}SFNO_3]^+$: 332.06 , found: 332.06.



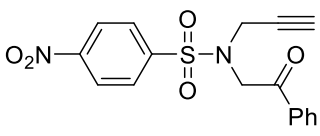
1s: 4-Chloro-*N*-(2-oxo-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.59 g (58%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, DMSO-*d*$_6$) 8.02 (d, *J* = 7.0 Hz, 2H), 7.88 (d, *J* = 8.7 Hz, 2H), 7.83 (d, *J* = 8.7 Hz, 2H), 7.68 (t, *J* = 7.4 Hz, 1H), 7.55 (t, *J* = 7.8 Hz, 2H), 4.90 (s, 2H), 4.22 (d, *J* = 2.5 Hz, 2H), 3.17 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, DMSO-*d*$_6$) δ 194.3 (C), 138.9 (C), 138.8 (C), 135.4 (C), 134.7 (CH), 130.2 (CH x 2), 130.2 (CH x 2), 129.7 (CH x 2), 128.9 (CH x 2), 77.8 (C), 77.7 (CH), 53.5 (CH_2), 38.5 (CH_2). LC/MS (ESI) m/z $[M+H]^+$ calculated for $[C_{17}H_{15}SClNO_3]^+$: 348.05 , found : 348.05.



1t: 4-Bromo-*N*-(2-oxo-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.75 g (56%), white solid ; R_f = 0.3 (PE/EA 5/1) ; ^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 8.03 (d, *J* = 7.0 Hz, 2H), 8.00 – 7.93 (m, 2H), 7.69 (q, *J* = 7.4 Hz, 3H), 7.55 (t, *J* = 7.6 Hz, 2H), 4.90 (s, 2H), 4.22 (d, *J* = 2.0 Hz, 2H), 3.17 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, DMSO-*d*$_6$) δ 194.3 (C), 139.3 (C), 135.3 (C), 134.7 (CH), 133.1 (CH x 2), 130.2 (CH x 2), 129.7 (CH x 2), 128.9 (CH x 2), 127.9 (C), 77.8 (C), 77.7 (CH), 53.5 (CH_2), 38.5 (CH_2). LC/MS (ESI) m/z $[M+H]^+$ calculated for $[C_{17}H_{15}SBrNO_3]^+$: 392.00 , found: 392.00.



1u: 4-Nitro-*N*-(2-oxo-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide was synthesized according to above procedure A. Yield: 1.43 g (50%), yellow solid ; R_f = 0.3 (PE/EA 3/1) ; ^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 8.42 (d, J = 8.8 Hz, 2H), 8.25 – 8.18 (m, 2H), 8.02 (s, 2H), 7.69 (t, *J* = 6.9 Hz, 1H), 7.56 (t, *J* = 7.6 Hz, 2H), 4.97 (s, 2H), 4.25 (d, *J* = 2.5 Hz, 2H), 3.16 (t, *J* = 2.5 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, DMSO-*d*$_6$) δ 194.1 (C), 150.8 (C), 145.6 (C), 135.3 (C), 134.8 (CH), 129.9 (CH x 2), 129.7 (CH x 2), 129.0 (CH x 2), 125.3 (CH x 2), 77.9 (C), 77.7 (CH), 53.8 (CH_2), 38.7 (CH_2). LC/MS (ESI) m/z $[M+H]^+$ calculated for $[C_{17}H_{15}SN_2O_5]^+$: 359.07, found: 359.07.



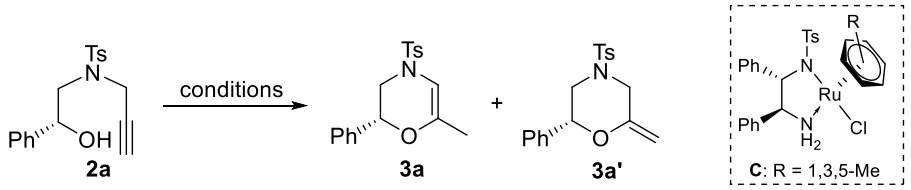
3. Table 1. Screening of reaction conditions.[a]

1a 2a 2a'

Entry	Solvent	Yield of 2a (%)[b]	Yield of 2a' (%)[b]
1	CCl_4	0	trace
2	CH_3CN	0	trace
3	acetone	0	30
4	DCM	0	35
5	$CHCl_3$	0	38
6	THF	0	0
7	1,4-dioxane	0	60
8	DMF	trace	0
9	DMSO	0	15
10	EA	0	0
11	toulene	0	58
12	**DCE**	**89**	**0**

[a]Reaction conditions: **1a** (0.30 mmol), catalyst (0.015 mmol), hydrogen sources (1.20 mmol), 2.0 mL of solvent, reaction time (8 h). [b]Isolated yield.

4. Table 2. Control experiment for the cyclization of 2a

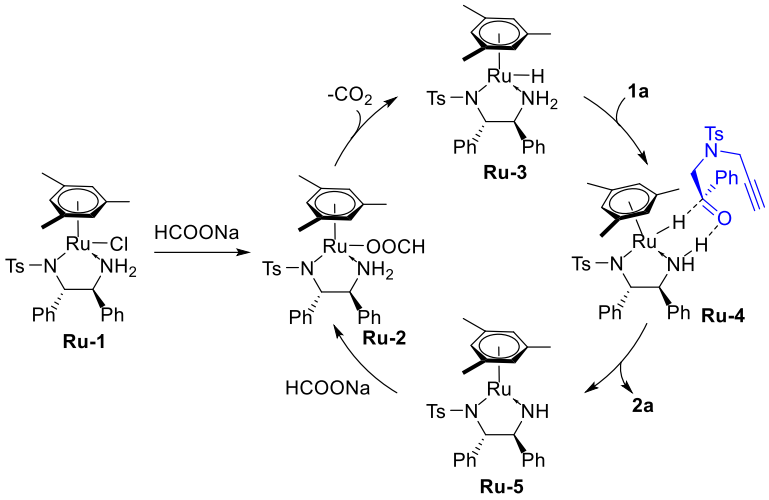


2a 3a 3a' C

Entry	Catalyst	Additives	Yield of **3a** [%][b]	Yield of **3a'** [%][b]
1	$AuCl(PPh_3)/AgNTf_2$	--	65	0
2	$AuCl(PPh_3)/AgNTf_2$	HCOOH (0.3 equiv)	58	0
3	$AuCl(PPh_3)/AgNTf_2$	HCOONa (0.3 equiv)	57	0
4	$AuCl(PPh_3)/AgNTf_2$	HCOOH (0.3 equiv)/ HCOONa (0.3 equiv)	43	0
5	$AuCl(PPh_3)/AgNTf_2$ + **C**	--	52	0
6	$AuCl(PPh_3)/AgNTf_2$ + **C**	HCOOH (0.3 equiv)/ HCOONa (0.3 equiv)	12	9
7	$AuCl(PPh_3)/AgNTf_2$ + **C**	HCOOH (0.3 equiv)	49	0
8	$AuCl(PPh_3)/AgNTf_2$ + **C**	HCOONa (0.3 equiv)	15	17
9	$AuCl(PPh_3)/AgNTf_2$ + **C**	HCOONa (0.05 equiv)	9	33

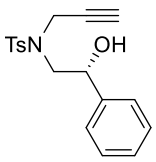
[a] Reaction conditions: alkynol **2a** (0.30 mmol), Catalyst **C** (9.3 mg, 0.015 mmol), $AuCl(PPh_3)$ (14.8 mg, 0.030 mmol), $AgNTf_2$ (11.6 mg, 0.030 mmol), DCE (2.0 mL),70 °C, 10 h. [b] Yield was determined by crude ^{1}HNMR.

5. Proposed mechanism for the ATH process of 1a.



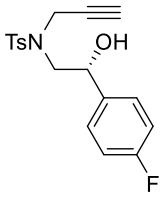
The plausible mechanism for the first ATH process was proposed using **1a** as the model (above Scheme). In the presence of HCOONa, the chloride precatalyst **Ru-1** generally led to the formato complex **Ru-2** which could undergo the decarboxylation process to provide ruthenium hydride **Ru-3**. Then the concerted hydrogen transfer process of **1a** occurs as reported by Noyori (J. Am. Chem. Soc., 2000, 122, 1466-1478; J. Org. Chem., 2001, 66, 7931-7944). Upon reduction of **1a**, the coordination unsaturated **Ru-5** could recombine the HCOONa to restart the catalytic cycle."

2a: (*R*)-*N*-(2-hydroxy-2-phenylethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A



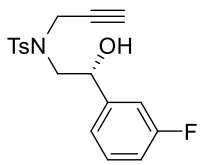
colorless oil (95 mg, 96% yield, 97% ee). R_f = 0.3 (PE/EA 3/1). $[\alpha]_D^{25}$ = + 8 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 6.9 Hz, 2H), 7.28 (t, *J* = 7.3 Hz, 2H), 7.25 – 7.21 (m, 1H), 7.20 (d, *J* = 8.4 Hz, 2H), 4.91 (dd, *J* = 8.7, 3.5 Hz, 1H), 4.18 – 3.99 (m, 2H), 3.37 – 3.22 (m, 2H), 2.33 (s, 3H), 2.00 (t, *J* = 2.4 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 144.2 (C), 141.4 (C), 135.5 (C), 129.9 (CH x 2), 128.8 (CH x 2), 128.3 (CH), 128.0 (CH x 2), 126.2 (CH x 2), 77.1 (C), 74.4 (CH), 72.7 (CH), 54.6 (CH_2), 38.6 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{20}NSO_3]^+$: 330.1158, found: 330.1158. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 44 min, t_{minor} = 52 min).

2b: (*R*)-*N*-(2-(3-fluorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide.A colorless oil (97 mg, 93% yield, 94% ee). R_f = 0.3 (PE/EA 3/1). $[\alpha]_D^{25}$ = + 10 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, *J* = 8.2 Hz, 2H), 7.36 (dd, *J* = 8.0, 5.8 Hz, 2H), 7.27 (d, *J* = 8.7 Hz, 2H), 7.03 (t, *J* = 8.7 Hz, 2H), 4.97 (dd, *J* = 8.6, 3.3 Hz, 1H), 4.23 – 4.06 (m, 2H), 3.39 – 3.25 (m, 2H), 2.40 (s, 3H), 2.07 (t, *J* = 2.3 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 162.7 (C, d, *J* = 246.1 Hz), 144.3 (C), 137.2 (C, d, *J* = 2.9 Hz), 135.6 (C), 129.9 (CH x 2), 128.1 (CH x 2), 127.97 (CH x 2, d, *J* = 8.1 Hz), 115.7 (CH x 2, d, *J* = 21.5 Hz), 77.1 (C), 74.5 (CH), 72.1 (CH), 54.7 (CH_2), 38.7 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{18}H_{18}NSFNaO_3]^+$: 370.0884, found: 370.0884.



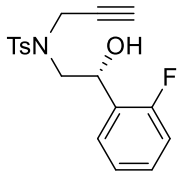
HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 31 min, t_{minor} = 42 min).

2c: (*R*)-*N*-(2-(3-fluorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide.A colorless oil (96 mg, 92% yield, 96% ee). R_f = 0.3 (PE/EA 3/1).



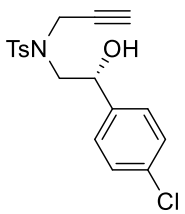
$[\alpha]_D^{25}$ = + 6 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.3 Hz, 2H), 7.34 – 7.26 (m, 3H), 7.15 (t, *J* = 9.2 Hz, 2H), 6.98 (td, *J* = 7.9, 2.0 Hz, 1H), 4.99 (dd, *J* = 8.2, 3.8 Hz, 1H), 4.24 – 4.09 (m, 2H), 3.39 – 3.28 (m, 2H), 2.41 (s, 3H), 2.09 (t, *J* = 2.4 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 163.2 (C, d, *J* = 246.4 Hz), 144.3 (C), 144.2 (C, d, *J* = 6.9 Hz), 135.6 (C), 130.38 (CH, d, *J* = 8.1 Hz), 129.9 (CH x 2), 128.0 (CH x 2), 121.8 (CH, d, *J* = 2.8 Hz), 115.1 (CH, d, *J* = 21.0 Hz), 113.2 (CH, d, *J* = 22.0 Hz), 77.0 (C), 74.5 (CH), 72.12 (CH, d, *J* = 1.7 Hz), 54.6 (CH_2), 38.8 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{18}H_{18}NSFNaO_3]^+$: 370.0884, found: 370.0884. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 36 min, t_{minor} = 41 min).

2d: (*R*)-*N*-(2-(2-fluorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide.A colorless oil (92 mg, 88% yield, 85% ee). R_f = 0.3 (PE/EA 3/1).

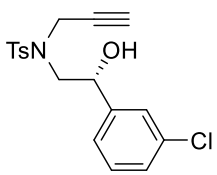


$[\alpha]_D^{25}$ = + 23 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.75 – 7.72 (m, 2H), 7.60 (td, *J* = 7.5, 1.7 Hz, 1H), 7.29 – 7.24 (m, 3H), 7.17 (td, *J* = 7.5, 1.1 Hz, 1H), 7.00 (ddd, *J* = 10.4, 8.2, 1.1 Hz, 1H), 5.29 – 5.25 (m, 1H), 4.22 – 4.13 (m, 2H), 3.42 – 3.39 (m, 2H), 2.40 (s, 3H), 2.07 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.0 (C, d, *J* = 245.8 Hz), 144.3 (C), 135.7 (C), 129.9 (CH x 2), 129.7 (C, d, *J* = 8.2 Hz), 128.4 (CH, d, *J* = 13.3 Hz), 128.2 (CH x 2), 128.0 (CH, d, *J* = 4.3 Hz), 124.8 (CH, d, *J* = 3.4 Hz), 115.6 (CH, d, *J* = 21.4 Hz), 77.0 (C), 74.4 (CH), 66.9 (C, d, *J* = 2.0 Hz), 53.3 (CH_2), 38.8 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{18}H_{18}NSFNaO_3]^+$: 370.0884, found: 370.0884. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 22 min, t_{minor} = 27 min).

2e: (*R*)-*N*-(2-(4-chlorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (105 mg, 96% yield, 95% ee). R_f = 0.3 (PE/EA 5/1).



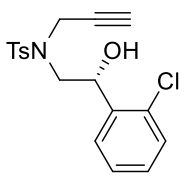
$[\alpha]_D^{25}$ = + 18 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.2 Hz, 2H), 7.22 (s, 4H), 7.19 (d, *J* = 8.6 Hz, 2H), 4.88 (dd, *J* = 8.4, 3.6 Hz, 1H), 4.14 – 3.99 (m, 2H), 3.29 – 3.17 (m, 2H), 2.32 (s, 3H), 2.00 (t, *J* = 2.3 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 144.3 (C), 140.0 (C), 135.7 (C), 134.1 (C), 130.0 (CH x 2), 129.0 (CH x 2), 128.1 (CH x 2), 127.7 (CH x 2), 77.1 (C), 74.5 (CH), 72.1 (CH), 54.7 (CH_2), 38.8 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{18}H_{18}NSClNaO_3]^+$: 386.0558, found: 386.0558. HPLC (Daicel Chiralcel® column OD-3, elute: Hexane/*i*-PrOH = 97/3, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 41 min, t_{minor} = 49 min).



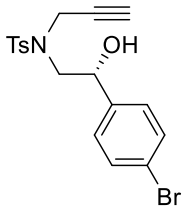
2f: (*R*)-*N*-(2-(3-chlorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (98 mg, 90% yield, 95% ee). R_f = 0.3 (PE/EA 5/1). $[\alpha]_D^{25}$ = + 4 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz,

$CDCl_3$) δ 7.71 (d, *J* = 8.3 Hz, 2H), 7.40 (s, 1H), 7.33 – 7.22 (m, 5H), 4.97 (dd, *J* = 8.5, 3.6 Hz, 1H), 4.26 – 4.09 (m, 2H), 3.38 – 3.27 (m, 2H), 2.41 (s, 3H), 2.09 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 144.3 (C), 143. 6 (C), 135.6 (C), 134.8 (C), 130.1 (CH), 129.9 (CH x 2), 128.4 (CH), 128.0 (CH x 2), 126.4 (CH), 124.5 (CH), 77.0 (C), 74.6 (CH), 72.1 (CH), 54.6 (CH_2), 38.8 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{18}H_{18}NSClNaO_3]^+$: 386.0558, found: 386.0558. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 0.8 mL/min, 25 ºC, t_{major} = 43 min, t_{minor}= 50 min).

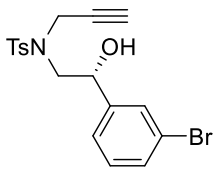
2g: (*R*)-*N*-(2-(2-chlorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (101 mg, 93% yield, 81% ee). R_f = 0.3 (PE/EA 5/1). $[\alpha]_D^{25}$ = + 22 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, *J* = 8.3 Hz, 2H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.30 (dd, *J* = 14.2, 7.9 Hz, 4H), 7.25 – 7.21 (m, 1H), 5.34 (dd, *J* = 8.6, 2.4 Hz, 1H), 4.25 – 4.17 (m, 2H), 3.49 – 3.29 (m, 2H), 2.41 (s, 3H), 2.05 (t, *J* = 2.4 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 144.3 (C), 138.6 (C), 135.8 (C), 132.0 (C), 129.9 (CH x 2), 129.7 (CH), 129.4 (CH), 128.2 (CH x 2), 128.1 (CH), 127.6 (CH), 77.2 (C), 74.4 (CH), 69.1 (CH), 52.9 (CH_2), 38.7 (CH_2), 21.9 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{18}H_{18}NSClNaO_3]^+$: 386.0558, found: 386.0558. HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 25 min, t_{minor} = 33 min).



2h: (*R*)-*N*-(2-(4-bromophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (116 mg, 95% yield, 95% ee). R_f = 0.3 (PE/EA 5/1). $[\alpha]_D^{25}$ = + 12 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.69 (d, *J* = 8.3 Hz, 2H), 7.47 – 7.43 (m, 2H), 7.27 (d, *J* = 2.7 Hz, 2H), 7.26 – 7.24 (m, 2H), 4.94 (dd, *J* = 8.4, 3.6 Hz, 1H), 4.21 – 4.05 (m, 2H), 3.36 – 3.23 (m, 2H), 2.39 (s, 3H), 2.06 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 144.3 (C), 140.5 (C), 135.6 (C), 132.0 (CH x 2), 130.0 (CH x 2), 128.1 (CH x 2), 128.0 (CH x 2), 122.1 (C), 77.1 (C), 74.5 (CH), 72.2 (CH), 54.6 (CH_2), 38.8 (CH_2) ,21.8 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{18}H_{18}NSBrNaO_3]^+$: 430.0083, found: 430.0083. HPLC (Daicel Chiralcel® column OD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 26 min, t_{minor} = 30 min).



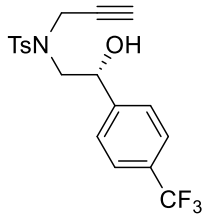
2i: (*R*)-*N*-(2-(3-bromophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide.



A colorless oil (114 mg, 93% yield, 94% ee). R_f = 0.3 (PE/EA 5/1). $[\alpha]_D^{25}$ = + 5 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.74 – 7.70 (m, 2H), 7.55 (t, *J* = 1.7 Hz, 1H), 7.44 – 7.39 (m, 1H), 7.30 (dd, *J* = 12.2, 7.9 Hz, 3H), 7.21 (t, *J* = 7.8 Hz, 1H), 4.96 (dd, *J* = 8.5, 3.6 Hz, 1H), 4.26 – 4.10 (m, 2H), 3.38 – 3.26 (m, 2H), 2.41 (s, 3H), 2.10 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 144.3 (C), 143.8 (C), 135.6 (C), 131.4 (CH), 130.4 (CH), 130.0 (CH x 2), 129.3 (CH), 128.1 (CH x 2), 124.9 (CH), 123.0 (C), 77.0 (C), 74.6 (CH), 72.1 (CH), 54.7 (CH_2), 38.8 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for

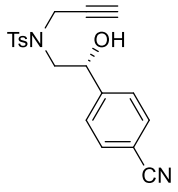
$[C_{18}H_{18}NSBrNaO_3]^+$: 430.0083, found: 430.0083. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 0.8 mL/min, 25 ºC, t_{major} = 45 min, t_{minor} = 53 min).

2j: (*R*)-*N*-(2-hydroxy-2-(4-(trifluoromethyl)phenyl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (107 mg, 90% yield, 94% ee). R_f = 0.3 (PE/EA 1/1).

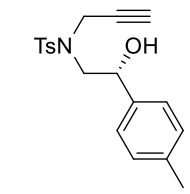


$[\alpha]_D^{25}$ = + 12 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, *J* = 8.3 Hz, 2H), 7.59 (d, *J* = 8.2 Hz, 2H), 7.51 (d, *J* = 8.2 Hz, 2H), 7.27 (d, *J* = 8.7 Hz, 2H), 5.06 (dd, *J* = 8.3, 3.6 Hz, 1H), 4.24 – 4.10 (m, 2H), 3.39 – 3.29 (m, 2H), 2.40 (s, 3H), 2.09 (t, *J* = 2.4 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 145.4 (C), 144.4 (C), 135.4 (C), 130.4 (C, d, *J* = 31.9 Hz), 130.0 (CH x 2), 128.0 (CH x 2), 126.6 (CH x 2), 125.8 (CH x 2, q, *J* = 3.9 Hz), 124.4 (C, d, *J* = 272.1 Hz), 76.9 (C), 74.6 (CH), 72.2 (CH), 54.6 (CH_2), 38.9 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z [M+Na]$^+$ calculated for $[C_{19}H_{18}NSNaF_3O_3]^+$: 420.0851, found: 420.0851. HPLC (Daicel Chiralcel® column OD-3, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 21 min, t_{minor} = 26 min).

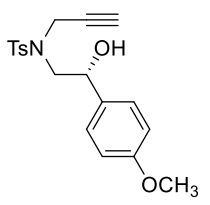
2k: (*R*)-*N*-(2-(4-cyanophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (98 mg, 92% yield, 92% ee). R_f = 0.3 (PE/EA 1/1). $[\alpha]_D^{25}$ = + 11 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, *J* = 6.6 Hz, 2H), 7.64 (d, *J* = 6.3 Hz, 2H), 7.53 (d, *J* = 7.4 Hz, 2H), 7.29 (d, *J* = 7.9 Hz, 2H), 5.06 (dd, *J* = 7.5, 4.4 Hz, 1H), 4.21 – 4.10 (m, 2H), 3.37 – 3.29 (m, 2H), 2.41 (s, 3H), 2.10 (t, *J* = 2.1 Hz, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 146.8 (C), 144.5 (C), 135.4 (C), 132.7 (CH x 2), 130.0 (CH x 2), 128.01 (CH x 2), 127.1 (CH x 2), 118.9 (C), 112.0 (C), 76.9 (C), 74.7 (CH), 72.2 (CH), 54.5 (CH_2), 39.0 (CH_2), 21.8 (CH_3). HRMS (ESI) m/z [M+Na]$^+$ calculated for $[C_{19}H_{18}SNaN_2O_3]^+$: 377.0930, found: 377.0930. HPLC (Daicel Chiralcel® column OD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 25 min, t_{minor} = 30 min).



2l: (*R*)-*N*-(2-hydroxy-2-(p-tolyl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (99 mg, 96% yield, 96% ee). R_f = 0.3 (PE/EA 5/1). $[\alpha]_D^{25}$ = + 25 (c = 1.0, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, *J* = 8.1 Hz, 2H), 7.20 (t, *J* = 8.0 Hz, 4H), 7.09 (d, *J* = 7.6 Hz, 2H), 4.87 (dd, *J* = 8.6, 3.1 Hz, 1H), 4.18 – 3.99 (m, 2H), 3.35 – 3.19 (m, 2H), 2.33 (s, 3H), 2.27 (s, 3H), 2.00 – 1.95 (t, 1H). ^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) δ 144.2 (C), 138.5 (C), 138.1 (C), 135.9 (C), 129.9 (CH x 2), 129.6 (CH x 2), 128.1 (CH x 2), 126.2 (CH x 2), 77.3 (C), 74.3 (CH), 72.6 (CH), 54.7 (CH_2), 38.7 (CH_2), 21.8 (CH_3), 21.5 (CH_3). HRMS (ESI) m/z [M+Na]$^+$ calculated for $[C_{19}H_{21}NSNaO_3]^+$: 366.1134, found: 366.1134. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/i-PrOH = 98/2, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 123 min, t_{minor} = 140 min).



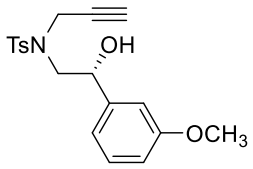
2m: (*R*)-*N*-(2-hydroxy-2-(4-methoxyphenyl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (105 mg, 97% yield, 98% ee). R_f = 0.3 (PE/EA 4/1).



$[\alpha]_D^{25}$ = + 27 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, *J* = 8.2 Hz, 2H), 7.24 (d, *J* = 8.5 Hz, 2H), 7.20 (d, *J* = 7.9 Hz, 2H), 6.81 (d, *J* = 8.6 Hz, 2H), 4.86 (dd, *J* = 8.7, 3.5 Hz, 1H), 4.18 – 3.98 (m, 2H), 3.72 (s,

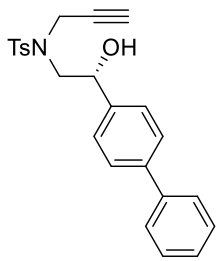
3H), 3.35 – 3.18 (m, 2H), 2.33 (s, 3H), 1.99 (t, *J* = 2.2 Hz, 1H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 159.7 (C), 144.2 (C), 135.8 (C), 133.5 (C), 129.9 (CH x 2), 128.1 (CH x 2), 127.5 (CH x 2), 114.3 (CH x 2), 77.2 (C) , 74.3 (CH), 72.3 (CH) , 55.6 (CH_2), 54.6 (CH_2), 38.6 (CH_3), 21.9 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{19}H_{21}NSNaO_4]^+$: 382.1083, found: 382.1083. HPLC (Daicel Chiralcel® column AS-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, tmajor = 43 min, tminor = 46 min).

2n: (*R*)-*N*-(2-hydroxy-2-(3-methoxyphenyl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (98 mg, 91% yield, 95% ee). R_f = 0.3 (PE/EA 5/1).



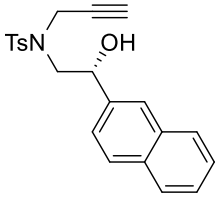
$[\alpha]_D^{25}$ = + 3 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 – 7.70 (m, 2H), 7.26 (t, *J* = 7.9 Hz, 3H), 6.96 (d, *J* = 8.5 Hz, 2H), 6.86 – 6.80 (m, 1H), 4.96 (dd, *J* = 8.6, 3.5 Hz, 1H), 4.25 – 4.08 (m, 2H), 3.81 (s, 3H), 3.43 – 3.29 (m, 2H), 2.41 (s, 3H), 2.07 (t, *J* = 2.4 Hz, 1H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 160.2 (C), 144.2 (C), 143.2 (C), 135.9 (C), 129.9 (CH), 129.9 (CH x 2), 128.1 (CH x 2), 118.5 (CH), 113.9 (CH), 111.72 (CH), 77.2 (C), 74.4 (CH), 72.7 (CH), 55.6 (CH_3), 54.6 (CH_2), 38.7 (CH_2), 21.8 (CH3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{19}H_{21}NSNaO_4]^+$: 382.1083, found: 382.1083. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 0.8 mL/min, 25 ºC, t_{major} = 28 min, t_{minor} = 35 min).

2o: (*R*)-*N*-(2-([1,1'-biphenyl]-4-yl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (113 mg, 93% yield, 95% ee). R_f = 0.3 (PE/EA 4/1).



$[\alpha]_D^{25}$ = + 15 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, *J* = 8.4 Hz, 2H), 7.62 – 7.57 (m, 4H), 7.50 – 7.42 (m, 4H), 7.38 – 7.33 (m, 1H), 7.28 (d, *J* = 8.0 Hz, 2H), 5.06 (dd, *J* = 8.7, 3.5 Hz, 1H), 4.30 – 4.12 (m, 2H), 3.49 – 3.35 (m, 2H), 2.41 (s, 3H), 2.09 (t, *J* = 2.4 Hz, 1H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 144.2 (C), 141.3 (C), 141.0 (C), 140.5 (C), 135.8 (C), 129.9 (CH x 2), 129.1 (CH x 2), 128.1 (CH x 2), 127.7 (CH), 127.6 (CH x 2), 127.4 (CH x 2), 126.7 (CH x 2), 77.2 (C), 74.4 (CH), 72.6 (CH), 54.7 (CH_2), 38.8 (CH_2), 21.9 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{24}H_{23}NSNaO_3]^+$: 428.1291, found: 428.1291. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 31 min, t_{minor} = 37 min).

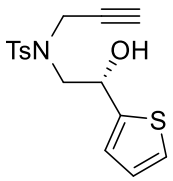
2p: (*R*)-*N*-(2-hydroxy-2-(naphthalen-2-yl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (108 mg, 95% yield, 96% ee). R_f= 0.3 (PE/EA 4/1).



$[\alpha]_D^{25}$ = + 6 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (s, 1H), 7.86 – 7.81 (m, 3H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.52 – 7.46 (m, 3H), 7.25 (d, *J* = 8.1 Hz, 2H), 5.16 (dd, *J* = 8.4, 3.6 Hz, 1H), 4.27 – 4.10 (m, 2H), 3.53 – 3.39 (m, 2H), 2.39 (s, 3H), 2.09 (t, *J* = 2.4 Hz, 1H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 144.19 (C), 138.86 (C), 135.81 (C), 133.60 (C), 133.47 (C), 129.89 (CH x 2), 128.70 (CH), 128.34 (CH), 128.09 (CH x 2), 128.01 (CH), 126.60 (CH), 126.39 (CH), 125.27 (CH), 124.11 (CH), 77.24 (C), 74.41 (CH), 72.93 (CH), 54.65 (CH_2), 38.79 (CH_2), 21.82 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{22}H_{21}NSNaO_3]^+$: 402.1134, found: 402.1134. HPLC (Daicel Chiralcel® column AD-H,

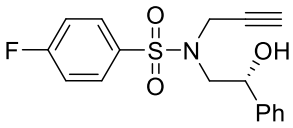
elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 34 min, t_{minor} = 40 min).

2q: (*S*)-*N*-(2-hydroxy-2-(thiophen-2-yl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide.A colorless oil (92 mg, 91% yield, 98% ee). R_f = 0.3 (PE/EA 3/1).

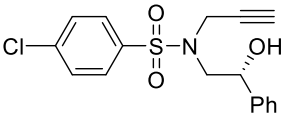


$[\alpha]_D^{25}$ = - 18 (c = 1.0, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.2 Hz, 2H), 7.35 – 7.16 (m, 3H), 7.15 – 6.75 (m, 2H), 5.24 (d, *J* = 4.9 Hz, 1H), 4.24 – 4.05 (m, 2H), 3.52 – 3.34 (m, 2H), 3.13 (s, 1H), 2.40 (s, 3H), 2.06 (t, *J* = 2.4 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 145.1 (C), 144.3 (C), 135.6 (C), 130.0 (CH x 2), 128.1 (CH x 2), 127.2 (CH), 125.4 (CH), 124.5 (CH), 77.1 (C), 74.5 (CH), 69.5 (CH), 54.6 (CH_2), 39.0 (CH_2), 21.9 (CH_3). HRMS (ESI): m/z $[M+Na]^+$ calculated for $[C_{16}H_{17}NaNS_2O_3]^+$: 358.0542, found: 358.0542. HPLC (Daicel Chiralcel® column OB-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 33 min, t_{minor} = 45 min).

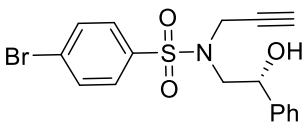
2r: (*R*)-4-Fluoro-*N*-(2-hydroxy-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide.A colorless oil (94 mg, 94% yield, 94% ee). R_f = 0.3 (PE/EA 3/1). $[\alpha]_D^{25}$ = - 24 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 – 7.78 (m, 2H), 7.42 – 7.33 (m, 4H), 7.33 – 7.28 (m, 1H), 7.20 – 7.11 (m, 2H), 4.99 (dd, *J* = 8.6, 3.6 Hz, 1H), 4.28 – 4.07 (m, 2H), 3.46 – 3.28 (m, 2H), 2.05 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 165.7 (C, d, *J* = 255.2 Hz), 141.3 (C), 134.9 (C, d, *J* = 3.2 Hz), 130.8 (CH x 2, d, *J* = 9.3 Hz), 129.0 (CH x 2), 128.5 (CH), 126.3 (CH x 2), 116.5 (CH x 2, d, *J* = 22.5 Hz), 76.9 (C), 74.5 (CH), 72.9 (CH), 54.5 (CH_2), 38.6 (CH_2). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{17}H_{16}NSFNaO_3]^+$: 356.0727, found: 356.0727. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 20 min, t_{minor} = 22min).



2s: (*R*)-4-Chloro-*N*-(2-hydroxy-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (97 mg, 92% yield, 93% ee). R_f = 0.3 (PE/EA 3/1). $[\alpha]_D^{25}$ = - 20 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (d, *J* = 8.5 Hz, 2H), 7.45 (d, *J* = 8.3 Hz, 2H), 7.38 (q, *J* = 8.0, 7.5 Hz, 4H), 7.31 (t, *J* = 6.7 Hz, 1H), 4.99 (dd, *J* = 8.6, 3.6 Hz, 1H), 4.29 – 4.07 (m, 2H), 3.44 – 3.30 (m, 2H), 2.07 (s, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 141.3 (C), 139.9 (C), 137.3 (C), 129. (CH x 2), 129.5 (CH x 2), 129.0 (CH x 2), 128.50 (CH), 126.2 (CH x 2), 76.8 (C), 74.7 (CH), 72.9 (CH), 54.4 (CH_2), 38.6 (CH_2). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{17}H_{16}NSClNaO_3]^+$: 372.0432, found: 372.0432. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 19 min, t_{minor} = 22 min).

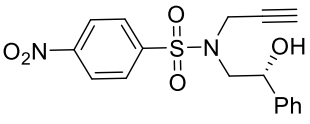


2t: (*R*)-4-Bromo-*N*-(2-hydroxy-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A colorless oil (106 mg, 90% yield, 94% ee). R_f = 0.3 (PE/EA 3:1). $[\alpha]_D^{25}$ = - 10 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, *J* = 8.6 Hz, 2H), 7.62 (d, *J* = 8.7 Hz, 2H), 7.41 – 7.35 (m, 4H), 7.33 – 7.29 (m, 1H), 4.99 (dd, *J* = 8.5, 3.7 Hz, 1H), 4.28 – 4.08 (m, 2H), 3.44 – 3.31 (m, 2H), 2.08 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 141.3 (C), 137.9 (C), 132.5 (CH x 2), 129.6 (CH x 2), 129.0 (CH x 2), 128.5 (CH), 128.3



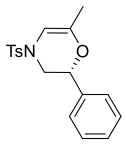
(C), 126.2 (CH x 2), 76.8 (C), 74.7 (CH), 72.9 (CH), 54.4 (CH_2), 38.6 (CH_2). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{17}H_{16}NSBrNaO_3]^+$: 415.9926, found: 415.9926. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 21 °C, t_{major} = 24 min, t_{minor} = 40 min).

2u: (*R*)-*N*-(2-hydroxy-2-phenylethyl)-4-nitro-*N*-(prop-2-yn-1-yl)benzenesulfonamide. A



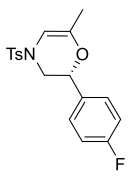
yellow oil (94 mg, 87% yield, 97% ee). R_f = 0.3 (PE/EA 2/1). $[\alpha]_D^{25}$ = - 53 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 8.37 – 8.24 (m, 2H), 8.08 – 7.94 (m, 2H), 7.42 – 7.35 (m, 4H), 7.35 – 7.28 (m, 1H), 5.02 (dd, *J* = 8.5, 3.8 Hz, 1H), 4.36 – 4.13 (m, 2H), 3.49 – 3.35 (m, 2H), 2.06 (t, *J* = 2.5 Hz, 1H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 150.6 (C), 144.9 (C), 141.2 (C), 129.4 (CH x 2), 129.1 (CH x 2), 128.7 (CH), 126.2 (CH x 2), 124.5 (CH x 2), 76.6 (C), 74.9 (CH), 73.4 (CH), 54.4 (CH_2), 38.8 (CH_2). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{17}H_{16}SNaN_2O_5]^+$: 383.0672 found: 383.0672. HPLC (Daicel Chiralcel® column AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 39 min, t_{minor} = 43 min).

3a: (*R*)-6-Methyl-2-phenyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (64.2 mg,



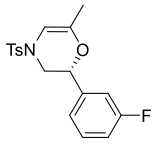
65% yield, 96% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 102 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.79 – 7.74 (m, 2H), 7.54 (d, *J* = 7.7 Hz, 2H), 7.43 – 7.36 (m, 3H), 7.24 – 7.20 (m, 2H), 6.01 (t, *J* = 1.2 Hz, 1H), 4.03 (dd, *J* = 9.2, 2.3 Hz, 1H), 3.85 (ddd, *J* = 13.6, 2.4, 1.4 Hz, 1H), 3.06 (dd, *J* = 13.5, 9.2 Hz, 1H), 2.46 (s, 3H), 1.82 (d, *J* = 1.0 Hz, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.1 (C), 141.3 (C), 137.9 (C), 133.8 (C), 131.0 (CH x 2), 129.4 (CH x 2), 129.3 (CH), 128.2 (CH x 2), 127.0 (CH x 2), 100.6 (CH), 74.0 (CH), 48.9 (CH_2), 21.9 (CH_3), 18.3 (CH_3). HRMS (ESI-MS) m/z $[M+H]^+$ calculated for $[C_{18}H_{20}NSO_3]^+$: 330.1158, found: 330.1158. HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 15 min, t_{minor} = 23 min).

3b: (*R*)-2-(4-fluorophenyl)-6-Methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil



(62.5 mg, 60% yield, 94% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 75 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.76 (d, *J* = 8.2 Hz, 2H), 7.52 (d, *J* = 8.0 Hz, 2H), 7.33 – 7.18 (m, 4H), 6.01 (s, 1H), 4.11 (dd, *J* = 9.1, 2.3 Hz, 1H), 3.85 (dt, *J* = 13.3, 1.8 Hz, 1H), 3.07 (dd, *J* = 13.5, 9.1 Hz, 1H), 2.46 (s, 3H), 1.81 (s, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d6*) δ 163.2 (d, *J* = 244.5 Hz), 145.3 (C), 141.4 (C), 134.5 (d, *J* = 2.9 Hz), 134.1 (C), 131.2 (CH x 2), 129.5 (CH x 2, d, *J* = 8.4 Hz), 128.5 (CH x 2), 116.5 (CH x 2, d, *J* = 21.4 Hz), 100.9 (CH), 73.7 (CH), 49.0 (CH_2), 22.2 (CH_3), 18.5 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{19}FNSO_3]^+$: 348.1064, found: 348.1063. HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 oC, t_{major} = 16 min, t_{minor} = 26 min).

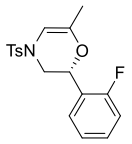
3c: (*R*)-2-(3-fluorophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil



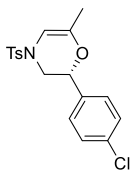
(66.7 mg, 64% yield, 95% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 23 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.76 (d, J = 6.9 Hz, 2H), 7.52 (d, *J* = 7.3 Hz, 2H), 7.47 – 7.42 (m, 1H), 7.21 (t, *J* = 8.6 Hz, 1H), 7.10 (d, *J* = 8.3 Hz, 2H), 6.01 (s, 1H), 4.16 (d, *J* = 8.7 Hz, 1H), 3.87 (d, *J* = 13.4 Hz, 1H), 3.09 (dd,

J = 13.2, 9.1 Hz, 1H), 2.46 (d, *J* = 2.5 Hz, 3H), 1.82 (s, 3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 163.1 (C, d, *J* = 244.0 Hz), 145.1 (C), 140.9 (C), 140.8 (C, d, *J* = 7.5 Hz), 133.9 (C), 131.5 (CH, d, *J* = 8.4 Hz), 131.0 (CH x 2), 128.3 (CH x 2), 123.2 (CH), 116.2 (CH, d, *J* = 21.1 Hz), 114.0 (CH, d, *J* = 22.5 Hz), 100.8 (CH), 73.5 (d, *J* = 2.0 Hz), 48.6 (CH_2), 22.0 (CH_3), 18.3 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{19}FNSO_3]^+$: 348.1064, found: 348.1064. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 12 min, t_{minor} = 17 min).

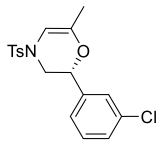
3d: (*R*)-2-(2-fluorophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (63.6 mg, 61% yield, 85% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 20 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.76 – 7.70 (m, 2H), 7.51 (d, *J* = 7.6 Hz, 2H), 7.47 – 7.41 (m, 1H), 7.35 (td, *J* = 7.5, 1.8 Hz, 1H), 7.29 – 7.22 (m, 2H), 6.04 (s, 1H), 4.23 (dd, *J* = 9.2, 2.3 Hz, 1H), 3.92 (ddd, *J* = 13.8, 2.3, 1.4 Hz, 1H), 3.15 (dd, *J* = 13.8, 9.2 Hz, 1H), 2.45 (s, 3H), 1.84 (d, *J* = 1.1 Hz, 3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 159.9 (C, d, *J* = 246.0 Hz), 145.0 (C), 141.4 (C), 133.9 (C), 131.4 (CH, d, *J* = 8.3 Hz), 131.0 (CH x 2), 128.8 (CH, d, *J* = 3.4 Hz), 128.2 (CH x 2), 125.7 (CH, d, *J* = 3.3 Hz), 124.8 (C, d, *J* = 12.8 Hz), 116.3 (CH, d, *J* = 21.0 Hz), 100.6 (CH), 68.3 (CH, d, *J* = 3.5 Hz), 47.6 (CH_2), 21.9 (CH_3), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{19}FNSO_3]^+$: 348.1064, found: 348.1063. HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 28 min, t_{minor} = 37 min).



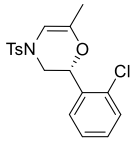
3e: (*R*)-2-(4-chlorophenyl)-6-Methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (67.7 mg, 62% yield, 98% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 58 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.77 – 7.73 (m, 2H), 7.51 (d, *J* = 7.8 Hz, 2H), 7.47 – 7.43 (m, 2H), 7.29 – 7.24 (m, 2H), 6.01 (s, 1H), 4.16 (dd, *J* = 8.9, 2.3 Hz, 1H), 3.85 (ddd, *J* = 13.4, 2.5, 1.3 Hz, 1H), 3.08 (dd, *J* = 13.4, 8.9 Hz, 1H), 2.45 (s, 3H), 1.82 (d, *J* = 1.1 Hz, 3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.0 (C), 140.9 (C), 137.0 (C), 133.9 (C), 131.0 (CHx2), 129.4 (CH x 2), 128.9 (CH x 2), 128.2 (CH x 2), 100.7 (CH), 73.5 (CH), 48.6 (CH_2), 22.0 (CH_3), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{19}ClNSO_3]^+$: 364.0769, found: 364.0769. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 11 min, t_{minor} = 22 min).



3f: (*R*)-2-(3-chlorophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (61.1 mg, 56% yield, 97% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 130 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.76 (d, *J* = 8.3 Hz, 2H), 7.51 (d, *J* = 8.1 Hz, 2H), 7.43 (dd, *J* = 4.9, 1.5 Hz, 2H), 7.32 (s, 1H), 7.24 – 7.18 (m, 1H), 6.02 (s, 1H), 4.20 (dd, *J* = 8.9, 2.4 Hz, 1H), 3.88 (d, *J* = 12.5 Hz, 1H), 3.10 (dd, *J* = 13.4, 8.8 Hz, 1H), 2.45 (s, 3H), 1.83 (s, 3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.0 (C), 140.7 (C), 140.4 (C), 134.1 (C), 133.9 (C), 131.3 (CH), 131.0 (CH x 2), 129.3 (CH), 128.2 (CH x 2), 126.9 (CH), 125.8 (CH), 100.8 (CH), 73.5 (CH), 48.5 (CH_2), 22.0 (CH_3), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{19}ClN_SO_3]^+$: 364.0769, found: 364.0768. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 10 min, t_{minor} = 13 min).

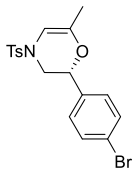


3g: (*R*)-2-(2-chlorophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil



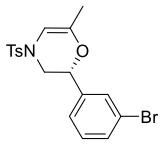
(57.8 mg, 53% yield, 79% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 42 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.80 – 7.70 (m, 2H), 7.53 – 7.44 (m, 3H), 7.40 (d, *J* = 2.8 Hz, 3H), 6.10 (s, 1H), 4.39 (dd, *J* = 9.2, 2.4 Hz, 1H), 3.94 (qd, *J* = 13.8, 2.5, 1.4 Hz, 1H), 3.05 (dd, *J* = 13.9, 9.2 Hz, 1H), 2.44 (s, 3H), 1.86 (d, *J* = 1.0 Hz, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 144.9 (C), 141.2 (C), 135.1 (C), 134.3 (C), 131.7 (C), 131.0 (CH), 130.9 (CH x 2), 130.2 (CH), 129.0 (CH), 128.6 (CH), 128.3 (CH x 2), 100.6 (CH), 70.9 (CH), 47.2 (CH_2), 21.9 (CH_3), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{19}ClN_SO_3]^+$: 364.0769, found: 364.0768. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, tmajor = 9 min, tminor = 13 min).

3h: (*R*)-2-(4-bromophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil



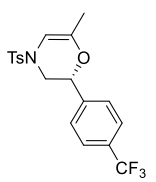
(74.7 mg, 61% yield, 93% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 172 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.74 (d, J = 8.2 Hz, 2H), 7.60 (s, 2H), 7.51 (d, *J* = 8.0 Hz, 2H), 7.20 (d, *J* = 8.4 Hz, 2H), 6.01 (s, 1H), 4.15 (dd, *J* = 8.9, 2.3 Hz, 1H), 3.84 (d, *J* = 13.1 Hz, 1H), 3.07 (dd, *J* = 13.4, 8.8 Hz, 1H), 2.46 (s, 3H), 1.82 (s, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.1 (C), 141.0 (C), 137.4 (C), 133.9 (C), 132.4 (CH x 2), 131.0 (CH x 2), 129.2 (CH x 2), 128.2 (CH x 2), 122.6 (C), 100.8 (CH), 73.6 (CH), 48.6 (CH_2), 22.0 (CH_3), 18.3 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{19}ClNSO_3]^+$: 408.0264, found: 408.0263. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 13 min, t_{minor} = 25 min).

3i: (*R*)-2-(3-bromophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil



(73.5 mg, 60% yield, 98% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 167 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.76 (d, *J* = 8.2 Hz, 2H), 7.57 (d, *J* = 8.0 Hz, 1H), 7.51 (d, *J* = 8.0 Hz, 2H), 7.46 (s, 1H), 7.36 (t, *J* = 7.8 Hz, 1H), 7.25 (d, *J* = 7.8 Hz, 1H), 6.02 (s, 1H), 4.20 (dd, *J* = 8.9, 2.3 Hz, 1H), 3.88 (d, *J* = 12.5 Hz, 1H), 3.10 (dd, *J* = 13.4, 8.8 Hz, 1H), 2.45 (s, 3H), 1.82 (s, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.0 (C), 140.7 (C), 140.6 (C), 133.9 (C), 132.2 (CH), 131.6 (CH), 130.9 (CH x 2), 129.7 (CH), 128.2 (CH x 2), 126.2 (CH), 122.7 (C), 100.8 (CH), 73.5 (CH), 48.5 (CH_2), 21.9 (CH_3), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{18}H_{19}ClNSO_3]^+$: 408.0264, found: 408.0263. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 21 min, t_{minor} = 26 min).

3j: (*R*)-6-Methyl-4-tosyl-2-(4-(trifluoromethyl)phenyl)-3,4-dihydro-2H-1,4-oxazine. A



colorless oil (79.9 mg, 67% yield, 91% ee). R_f = 0.3 (PE/TBME 4/1). $[\alpha]_D^{25}$ = + 89 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.75 (d, *J* = 8.3 Hz, 4H), 7.49 (t, *J* = 8.2 Hz, 4H), 6.04 (s, 1H), 4.30 (dd, *J* = 8.6, 2.3 Hz, 1H), 3.89 (ddd, *J* = 13.4, 2.5, 1.3 Hz, 1H), 3.12 (dd, *J* = 13.4, 8.7 Hz, 1H), 2.44 (s, 3H), 1.84 (d, *J* = 1.1 Hz, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.0 (C), 142.6 (C), 140.6 (C), 133.9 (C), 131.0 (CH x 2), 129.8 (C, d, *J* = 31.7 Hz), 128.2 (CH x 2), 127.9 (CH x 2), 126.3 (CH x 2, q, *J* = 3.9 Hz), 124.9 (C, q, *J* = 271.8 Hz), 100.9 (CH), 73.6 (CH), 48.5

(CH_2), 21.9 (CH_3), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{19}H_{19}NSO_3F_3]^+$: 398.1032, found: 398.1031. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 12 min, t_{minor} = 17 min).

3k: (*R*)-4-(6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazin-2-yl)benzonitrile. A colorless oil (74.4 mg, 70% yield, 96% ee). R_f = 0.3 (PE/TBME 4/1). $[\alpha]_D^{25}$ = + 49 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.88 – 7.81 (m, 2H), 7.73 (d, *J* = 8.3 Hz, 2H), 7.49 (d, *J* = 8.1 Hz, 2H), 7.47 – 7.42 (m, 2H), 6.03 (s, 1H), 4.35 (dd, *J* = 8.3, 2.4 Hz, 1H), 3.86 (dd, *J* = 13.5, 1.4 Hz, 1H), 3.15 (dd, *J* = 13.4, 8.3 Hz, 1H), 2.44 (s, 3H), 1.83 (d, *J* = 1.1 Hz, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 144.8 (C), 143.1 (C), 140.2 (C), 133.8 (C), 133.1 (CH x 2), 130.7 (CH x 2), 127.9 (CH x 2), 127.7 (CH x 2), 119.2 (C), 111.8 (C), 100.8 (CH), 73.4 (CH), 48.1 (CH_2), 21.7 (CH_3), 18.0 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{19}H_{19}SN_2O_3]^+$: 355.1111, found: 355.1110. HPLC (Daicel Chiralcel® column OD-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 19 min, t_{minor} = 25 min).

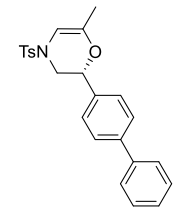
3l: (*R*)-6-Methyl-2-(p-tolyl)-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (71.1 mg, 69% yield, 97% ee). R_f = 0.3 (PE/TBME 8/1). $[\alpha]_D^{25}$ = + 21 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.77 – 7.73 (m, 2H), 7.53 (dd, *J* = 8.5, 0.8 Hz, 2H), 7.20 (d, *J* = 7.6 Hz, 2H), 7.10 (d, *J* = 8.1 Hz, 2H), 5.99 (t, *J* = 1.2 Hz, 1H), 3.98 (dd, *J* = 9.2, 2.3 Hz, 1H), 3.80 (ddd, *J* = 13.5, 2.4, 1.4 Hz, 1H), 3.03 (dd, *J* = 13.6, 9.2 Hz, 1H), 2.46 (s, 3H), 2.32 (s, 3H), 1.81 (d, *J* = 1.1 Hz, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.0 (C), 141.3 (C), 138.7 (C), 135.0 (C), 133.8 (C), 130.9 (CH x 2), 129.9 (CH x 2), 128.2 (CH x 2), 126.9 (CH x 2), 100.5 (CH), 73.9 (CH), 48.9 (CH_2), 21.9 (CH_3), 21.6 (CH_3), 18.3 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{19}H_{22}NSO_3]^+$: 344.1315, found: 344.1315. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C , t_{major} = 17 min, t_{minor} = 25 min).

3m: (*R*)-2-(4-methoxyphenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (73.3 mg, 68% yield, 96% ee). R_f = 0.3 (PE/TBME 4/1). $[\alpha]_D^{25}$ = + 90 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.74 (d, *J* = 8.3 Hz, 2H), 7.53 (d, *J* = 8.0 Hz, 2H), 7.13 (d, *J* = 8.6 Hz, 2H), 6.94 (d, *J* = 8.6 Hz, 2H), 5.98 (s, 1H), 3.96 (dd, *J* = 9.3, 2.3 Hz, 1H), 3.80 (d, *J* = 2.1 Hz, 1H), 3.77 (s, 3H), 3.04 (dd, *J* = 13.5, 9.3 Hz, 1H), 2.46 (s, 3H), 1.80 (s, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ160.3 (C),145.1 (C),141.5 (C),133.8 (C),131.0 (CH x 2), 130.0 (C), 128.5 (CH x 2), 128.3 (CH x 2), 114.9 (CH x 2), 100.5 (CH), 73.8 (CH), 56.0 (CH_2), 49.0 (CH_3), 22.0 (CH_3), 18.4 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{19}H_{22}NSO_4]^+$: 360.1264, found: 360.1262. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 14 min, t_{minor} = 30 min).

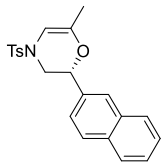
3n: (*R*)-2-(3-methoxyphenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (63.6 mg, 59% yield, 95% ee). R_f = 0.3 (PE/TBME 6/1). $[\alpha]_D^{25}$ = + 98 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, DMSO-*d*$_6$) δ 7.79 – 7.72 (m, 2H), 7.57 – 7.51 (m, 2H), 7.33 – 7.29 (m, 1H), 6.93 (ddd, *J* = 8.3, 2.7, 1.0 Hz, 1H), 6.80 – 6.71 (m, 2H), 5.99 (s, 1H), 3.97 (dd, *J* = 9.1, 2.2 Hz, 1H), 3.82 (ddd, *J* = 13.5, 2.4, 1.4 Hz, 1H), 3.78 (s, 3H), 3.06 (dd, *J* = 13.6, 9.1 Hz, 1H), 2.46 (s, 3H), 1.82 (d, *J*

= 1.1 Hz, 3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 160.2 (C), 145.1 (C), 141.4 (C), 139.5 (C), 133.8 (C), 131.0 (CH x 2), 130.6 (CH), 128.3 (CH x 2), 119.1 (CH), 114.8 (CH), 112.6 (CH), 100.7 (CH), 74.0 (CH), 56.0 (CH_2), 49.0 (CH_3), 22.0 (CH_3), 18.3 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{19}H_{22}NSO_4]^+$: 360.1264, found: 360.1264. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 12 min, t_{minor} = 16 min).

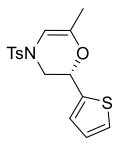
3o: (*R*)-2-([1,1'-biphenyl]-4-yl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (76.6 mg, 63% yield, 94% ee). R_f = 0.3 (PE/TBME 4/1). $[\alpha]_D^{25}$ = + 151 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 7.78 (d, *J* = 8.3 Hz, 2H), 7.68 (d, *J* = 8.1 Hz, 4H), 7.56 – 7.49 (m, 4H), 7.41 (t, *J* = 7.3 Hz, 1H), 7.32 (d, *J* = 8.3 Hz, 2H), 6.03 (t, *J* = 1.2 Hz, 1H), 4.14 (dd, *J* = 9.1, 2.3 Hz, 1H), 3.89 (d, *J* = 13.6 Hz, 1H), 3.12 (dd, *J* = 13.5, 9.1 Hz, 1H), 2.45 (s, 3H), 1.84 (s, 3H), 1.47 – 0.94 (m, 1H). $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.0 (C), 141.2 (C), 140.5 (C), 137.1 (C), 133.9 (C), 131.0 (CH x 2), 129.8 (CH x 2), 128.5 (CH), 128.2 (CH x 2), 127.7 (CH x 2), 127.6 (CH x 2), 127.6 (CH x 2), 100.6 (CH), 73.9 (CH), 48.8 (CH_2), 21.9 (CH_3), 18.3 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{24}H_{24}NSO_3]^+$: 406.1471, found: 406.1470. HPLC (Daicel Chiralcel® column OD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 13 min, t_{minor} = 18 min).

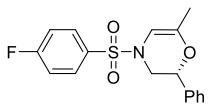


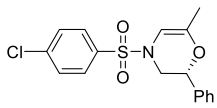
3p: (*R*)-6-Methyl-2-(naphthalen-2-yl)-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (79.7 mg, 70% yield, 96% ee). R_f = 0.3 (PE/TBME 5/1). $[\alpha]_D^{25}$ = + 34 (c = 0.1, $CHCl_3$) ; ^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 7.95 (dd, *J* = 6.5, 3.1 Hz, 3H), 7.78 (d, *J* = 8.2 Hz, 3H), 7.59 – 7.55 (m, 2H), 7.52 (d, *J* = 8.1 Hz, 2H), 7.37 (dd, *J* = 8.6, 1.8 Hz, 1H), 6.05 (s, 1H), 4.29 (dd, *J* = 9.0, 2.3 Hz, 1H), 3.96 (d, *J* = 13.4 Hz, 1H), 3.16 (dd, *J* = 13.6, 9.0 Hz, 1H), 2.45 (s, 3H), 1.87 (s, 3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, DMSO-*d*$_6$) δ 145.0 (C), 141.2 (C), 135.5 (C), 134.0 (C), 133.7 (C), 133.5 (C), 130.9 (CH x 2), 129.1 (CH x 2), 128.9 (CH x 2), 128.4 (CH x 2), 128.2 (CH x 2), 127.3 (CH), 125.9 (CH), 124.7 (CH), 100.7 (CH), 74.2 (CH), 48.9 (CH_2), 21.9 (CH_3), 18.3 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{22}H_{22}NSO_3]^+$: 380.1315, found: 380.1315. HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 29 min, t_{minor} = 52 min).

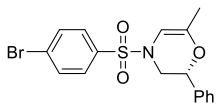


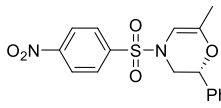
3q: (*S*)-6-methyl-2-(thiophen-2-yl)-4-tosyl-3,4-dihydro-2H-1,4-oxazine. A colorless oil (73.5 mg, 73% yield, 96% ee). R_f = 0.3 (PE/TBME 5/1). $[\alpha]_D^{25}$ = + 83 (c = 0.1, $CHCl_3$); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.3 Hz, 2H), 7.36 (d, *J* = 7.9 Hz, 2H), 7.29 (d, J = 5.0 Hz, 1H), 6.97 (t, *J* = 4.3 Hz, 1H), 6.88 (d, *J* = 3.3 Hz, 1H), 5.93 (s, 1H), 4.32 (dd, *J* = 9.4, 2.2 Hz, 1H), 3.97 (d, *J* = 13.6 Hz, 1H), 3.12 (q, *J* = 13.5, 9.3 Hz, 1H), 2.45 (s, 3H), 1.81 (s, 3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 144.5 (C), 141.0 (C), 140.0 (C), 134.0 (C), 130.3 (CH x 2), 127.8 (CH x 2), 127.2 (CH), 126.3 (CH), 125.3 (CH), 100.4 (C), 70.5 (CH), 49.0 (CH_2), 22.0 (CH_3), 18.1 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{16}H_{18}NS_2O_3]^+$: 336.0723, found: 336.0723. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 14 min, t_{minor} = 17 min).



3r: (*R*)-4-((4-fluorophenyl)sulfonyl)-6-methyl-2-phenyl-3,4-dihydro-2H-1,4-oxazine. A 
colorless oil (63.0 mg, 63% yield, 94% ee). R_f = 0.3 (PE/TBME 5/1). $[\alpha]_D^{25}$ = + 27 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.90 – 7.68 (m, 2H), 7.30 (q, *J* = 5.3, 4.8 Hz, 3H), 7.23 (t, *J* = 8.0 Hz, 2H), 7.14 – 7.03 (m, 2H), 5.90 (s, 1H), 4.04 (dd, *J* = 9.6, 2.2 Hz, 1H), 3.86 (d, *J* = 13.6 Hz, 1H), 2.96 – 2.89 (m, 1H), 1.81 (s, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 165.7 (C, d, *J* = 255.9 Hz), 141.7 (C), 137.3 (C), 133.2 (C, d, *J* = 3.1 Hz), 130.5 (CH x 2, d, *J* = 9.2 Hz), 129.1 (CH x 2), 129.0 (CH), 126.3 (CH x 2), 116.9 (CH x 2, d, *J* = 22.6 Hz), 99.9 (CH), 74.3 (CH), 49.2 (CH_2), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{17}H_{17}NSFO_3]^+$: 334.0908, found: 334.0908. HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 20 min, t_{minor} = 29 min). HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 20 min, t_{minor} = 29 min).

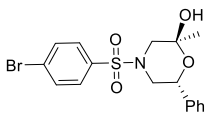
3s: (*R*)-4-((4-chlorophenyl)sulfonyl)-6-methyl-2-phenyl-3,4-dihydro-2H-1,4-oxazine. A 
colorless oil (73.5 mg, 70% yield, 94% ee). R_f = 0.3 (PE/TBME 5/1). $[\alpha]_D^{25}$ = -26 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 7.77 – 7.73 (m, 2H), 7.57 – 7.53 (m, 2H), 7.38 – 7.32 (m, 3H), 7.14 (dd, *J* = 7.6, 1.9 Hz, 2H), 5.94 (s, 1H), 4.12 (dd, *J* = 9.5, 2.3 Hz, 1H), 3.93 – 3.88 (m, 1H), 3.01 – 2.94 (m, 1H), 1.85 (s, 3H). $^{13}C\{1H\}$ NMR (100 MHz, $CDCl_3$) δ 141.8 (C), 140.0 (C), 137.3 (C), 135.6 (C) , 129.9 (CH x 2), 129.2 (CH x 2), 129.1 (CH x 2), 129.0 (CH), 126.3 (CH x 2), 99.8 (C), 74.3 (CH), 49.2 (CH_2), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{17}H_{17}NSClO_3]^+$: 350.0612, found: 350.0612. HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 18 min, t_{minor} = 26 min). HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 18 min, t_{minor} = 26 min).

3t: (*R*)-4-((4-bromophenyl)sulfonyl)-6-methyl-2-phenyl-3,4-dihydro-2H-1,4-oxazine. A 
colorless oil (88.7 mg, 75% yield, 95% ee). R_f = 0.3 (PE/TBME 5/1). $[\alpha]_D^{25}$ = + 24 (c = 0.1, $CHCl_3$); ^1H NMR (400 MHz, $CDCl_3$) δ 7.74 – 7.70 (m, 2H), 7.69 – 7.65 (m, 2H), 7.38 – 7.31 (m, 3H), 7.15 (dd, *J* = 7.5, 1.9 Hz, 2H), 5.93 (s, 1H), 4.13 (dd, *J* = 9.5, 2.3 Hz, 1H), 3.93 – 3.88 (m, 1H), 2.97 (q, *J* = 13.6, 9.5 Hz, 1H), 1.85 (s, 3H). $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 141.7 (C), 137.3 (C), 136.1 (C), 132.9 (CH x 2) , 129.3 (CH x 2), 129.1 (CH x 2), 129.0 (CH), 128.5 (C), 126.3 (CH x 2), 99.8 (C), 74.3 (CH), 49.1 (CH_2), 18.2 (CH_3). HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{17}H_{17}NSBrO_3]^+$: 394.0107, found: 394.0107. HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 20 min, t_{minor} = 32 min). HPLC (Daicel Chiralcel® column OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 21 min, t_{minor} = 32 min).

3u: (*R*)-6-methyl-4-((4-nitrophenyl)sulfonyl)-2-phenyl-3,4-dihydro-2H-1,4-oxazine. A 
colorless oil (66.0 mg, 61% yield, 96% ee). R_f = 0.3 (PE/TBME 3/1). $[\alpha]_D^{25}$ = + 8 (c = 0.1, $CHCl_3$) ; ^1H NMR (400 MHz, $CDCl_3$) δ 8.40 (m, 2H), 7.97 (m, 2H), 7.40 – 7.29 (m, 3H), 7.17 – 7.10 (m, 2H), 5.96 (s, 1H), 4.22 (dd, *J* = 9.4, 2.3 Hz, 1H), 3.98 – 3.92 (m, 1H), 3.03 (dd, *J* = 13.4, 9.3 Hz, 1H), 1.86 (s,

3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 150.6 (C), 142.9 (C), 142.2 (C), 137.0 (C), 129.2 (CH), 129.1 (CH x 2), 128.9 (CH x 2), 126.2 (CH x 2), 124.8 (CH x 2), 99.3 (C), 74.6 (CH), 49.1 (CH_2), 18.3 (CH_3).HRMS (ESI) m/z $[M+H]^+$ calculated for $[C_{17}H_{17}SN_2O_5]^+$: 361.0853, found: 361.0853. HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 34 min, t_{minor} = 43 min).HPLC (Daicel Chiralcel® column OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 34 min, t_{minor} = 43 min).

4: (2*S*,6*R*)-4-((4-bromophenyl)sulfonyl)-2-methyl-6-phenylmorpholin-2-ol. A white solid



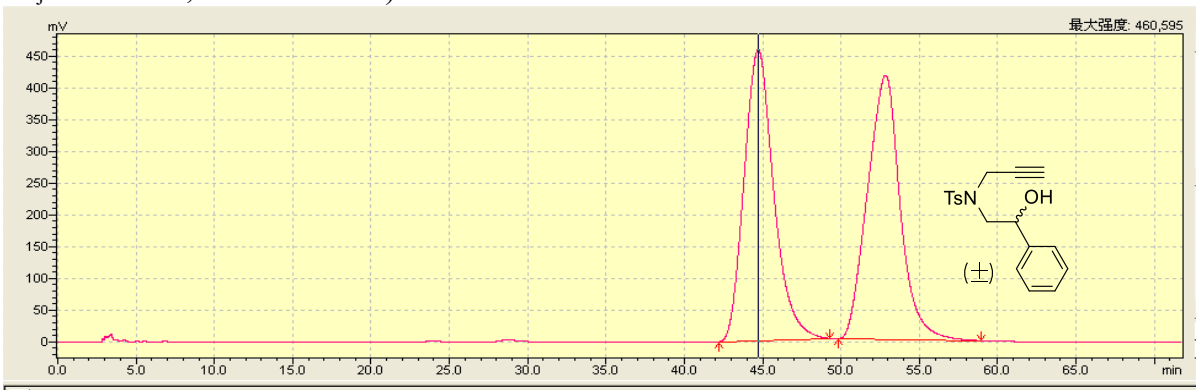
(1.1 g, 91% yield, 98% *ee*, 96/4 *dr*). R_f = 0.3 (PE/TBME 3/1). $[\alpha]_D^{25}$ = -76.7 (c = 1.0, $CHCl_3$); ^1H NMR (400 MHz, $CDCl_3$) δ 7.67 (d, *J* = 8.6 Hz, 2H), 7.60 (d, *J* = 8.6 Hz, 2H), 7.36 – 7.29 (m, 5H), 5.13 (dd, *J* = 10.8, 2.8 Hz, 1H), 3.80 (dt, *J* = 11.6, 2.2 Hz, 1H), 3.72 (dd, *J* = 11.4, 1.5 Hz, 1H), 2.40 (d, *J* = 11.4 Hz, 1H), 2.22 (t, *J* = 11.2 Hz, 1H), 1.49 (s, 3H). $^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) δ 138.0 (C), 134.6 (C), 132.6 (CH), 129.22 (CH), 128.6 (CH), 128.4 (CH), 126.3 (CH), 93.7 (C), 70.5 (CH), 53.1 (CH_2), 51.3 (CH_2), 26.4 (CH_3). HRMS (ESI) m/z $[M+Na]^+$ calculated for $[C_{17}H_{18}BrNO_4SNa]^+$: 434.0032, found: 434.0032. HPLC (Daicel Chiralcel® column IC-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 25 min, t_{minor} = 29 min).

6. Single crystal X-ray structure of 4 (CCDC: 2016445)

Identification code	2016445
Empirical formula	$C_{17}H_{18}BrNO_4S$
Formula weight	412.29
Temperature/K	293.44
Crystal system	Monoclinic
Space group	$P2_1$
a/Å	12.101(3)
b/Å	5.7244(15)
c/Å	14.736(4)
α/°	90
β/°	107.005(7)
γ/°	90
Volume/Å^3	976.1(4)
Z	2
Density (calculated) (g/cm^3)	1.403
Absorption coefficient (mm^{-1})	2.231
F(000)	420
Crystal size/mm^3	0.17 x 0.08 x 0.05
Radiation	Mo K\ (λ = 0.71073)
2 range for data collection/°	5.168 to 55.13
Index ranges	-15≤h≤15, -7≤k≤7, -18≤l≤19
Reflections collected	11811
Independent reflections	4493 [R_{int} = 0.0589, R_{sigma} = 0.1101]
Completeness	99%
Refinement method	Full-matrix least-squares on F2
Data/restraints/parameters	4493 / 1/ 218
Goodness-of-fit on F^2	0.811
Final R indexes [I>=2σ(I)]	R_1 = 0503, wR_2 = 0.1236
Final R indexes [all data]	R_1 = 0.1305, wR_2 = 0.1696
Largest diff. peak/hole / e Å^{-3}	0.21/-0.35
Flack parameter	0.038(10)

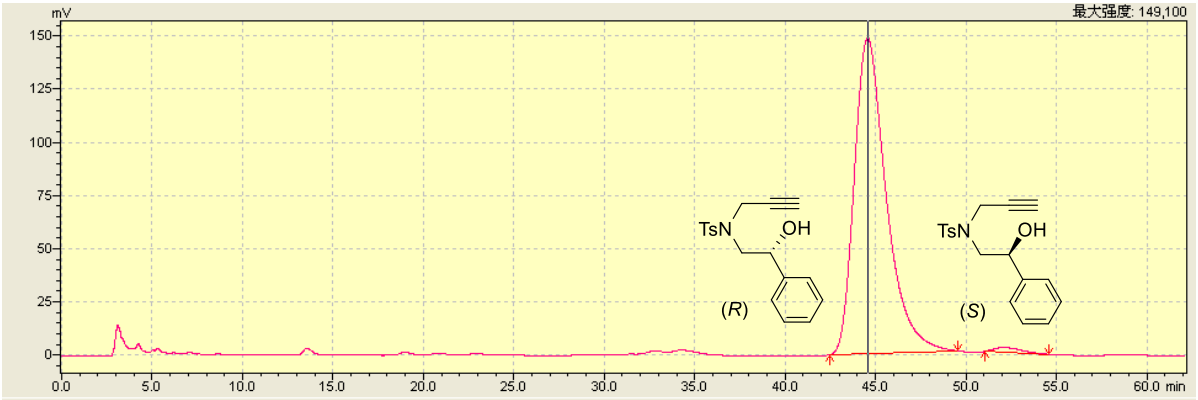
5. HPLC Analysis of chiral alkynols (2), chiral products (3), and 4.

(*R*)-2a: (*R*)-*N*-(2-hydroxy-2-phenylethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 44 min, t_{minor} = 52 min).



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT44.708	44.708	1	60313387	458562	49.8893
2	RT52.838	52.838	2	60581063	416595	50.1107



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT44.563	44.563	1	18217431	148445	98.7181
2	RT52.119	52.119	2	236562	2238	1.2819

Translation of Chinese into English as follows.

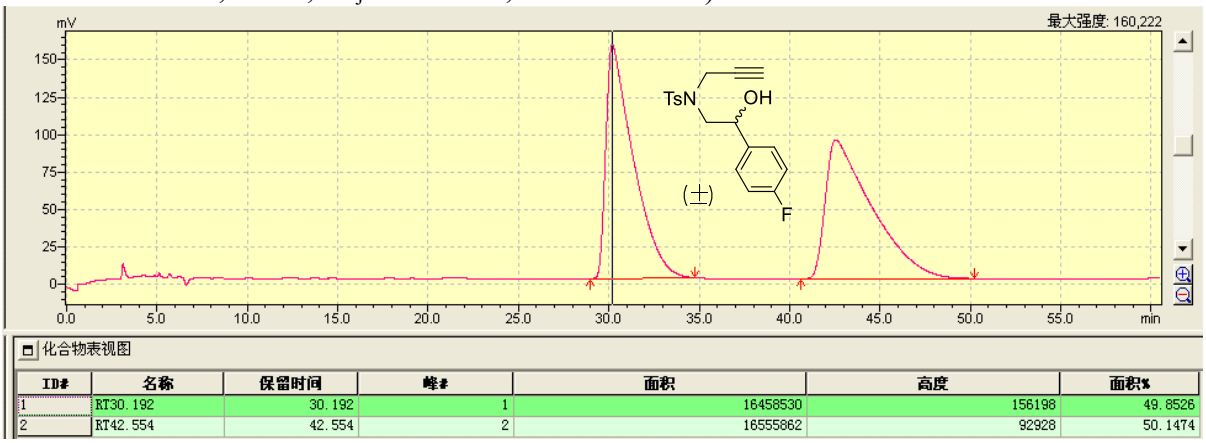
Table view of compound

Name	RetTime [min]	Peak	Area	Height	Area%

化合物表视图

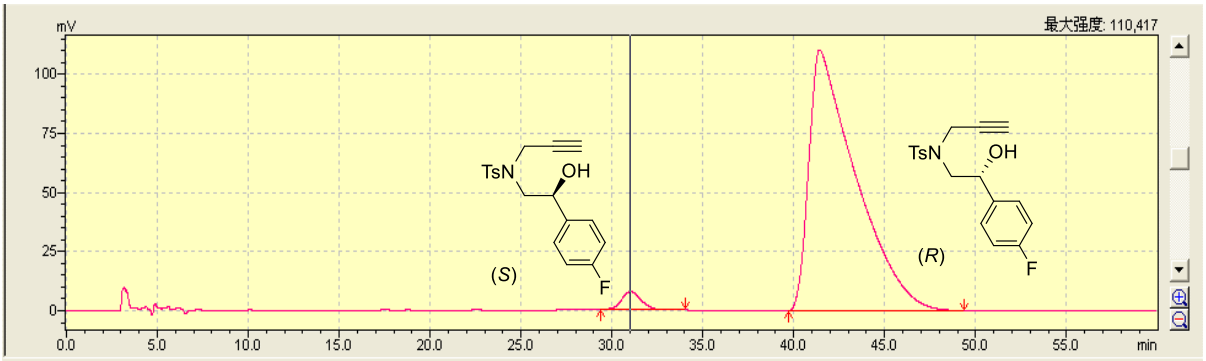
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2b: (*R*)-*N*-(2-(4-fluorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (OJ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 31 min, t_{minor} = 42 min).



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT30.192	30.192	1	16458530	156198	49.8526
2	RT42.554	42.554	2	16555862	92928	50.1474



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT31.026	31.026	1	541819	7562	2.6652
2	RT41.423	41.423	2	19787629	110312	97.3348

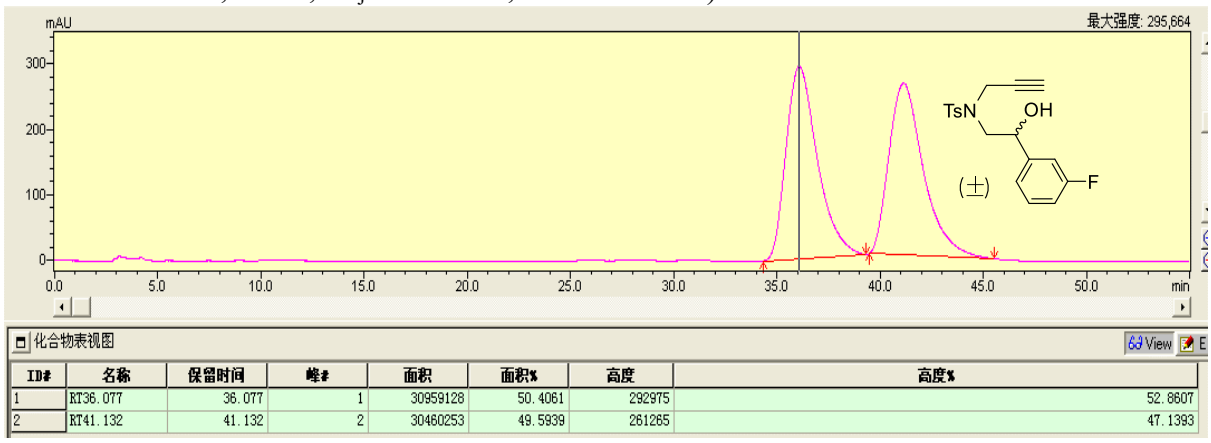
Translation of Chinese into English as follows.

Table view of compound

化合物表视图

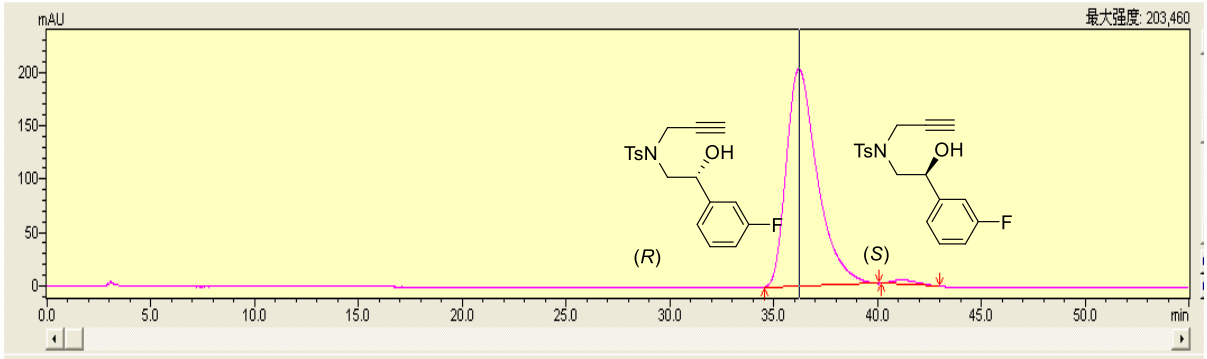
ID#	Name	RetTime [min]	Peak	Area	Height	Area%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2c: (*R*)-*N*-(2-(3-fluorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 36 min, t_{minor} = 41 min).



化合物表视图

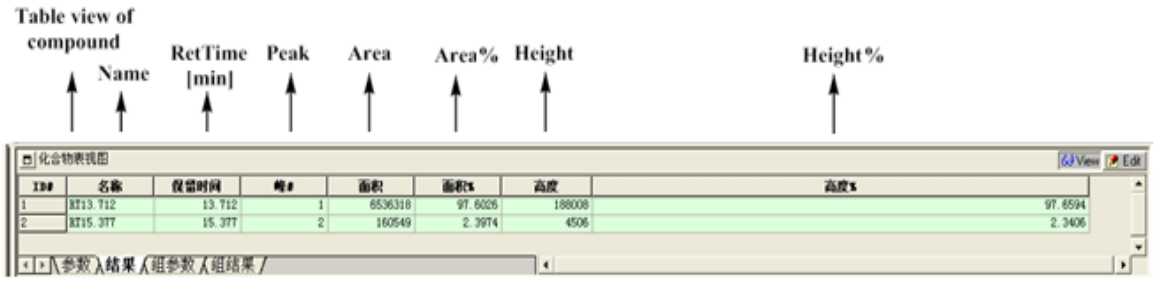
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT36.077	36.077	1	30959128	50.4061	292975	52.8607
2	RT41.132	41.132	2	30460253	49.5939	261265	47.1393



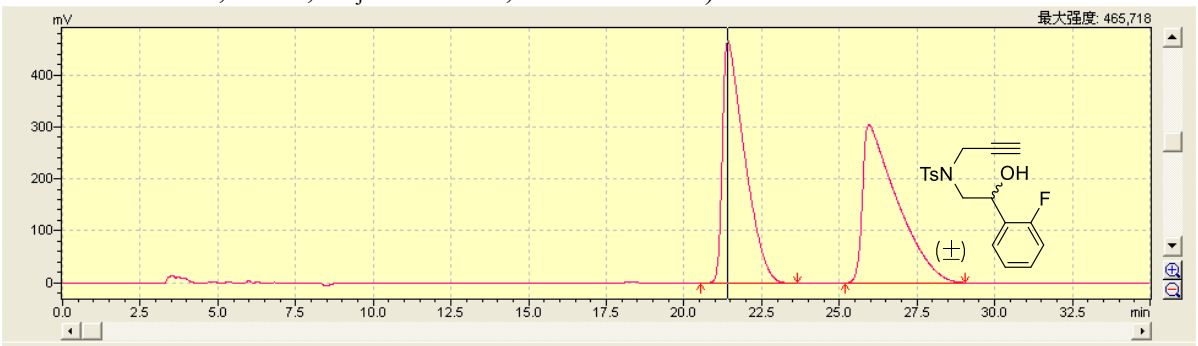
化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT36.219	36.219	1	21168552	98.2649	203498	97.9046
2	RT41.112	41.112	2	373781	1.7351	4355	2.0954

<u>Translation of Chinese into English as follows.</u>

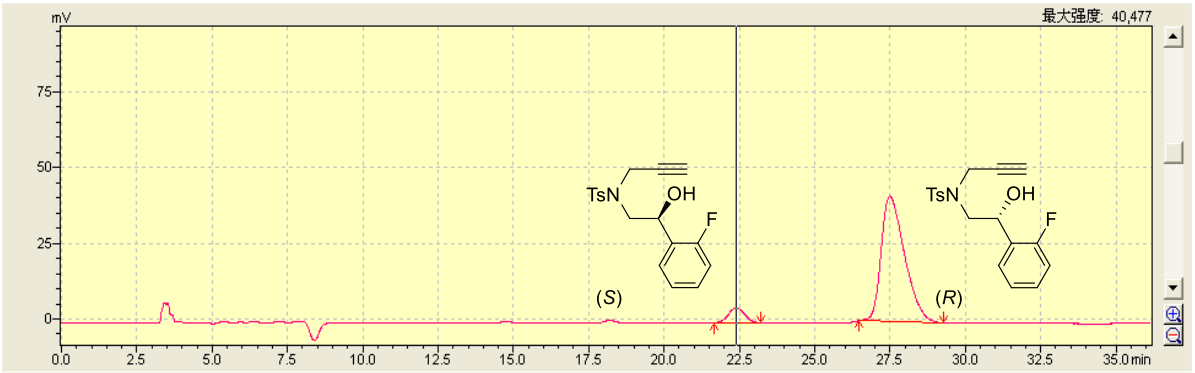


(*R*)-2d: (*R*)-*N*-(2-(2-fluorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 22 min, t_{minor} = 27 min).



化合物表视图

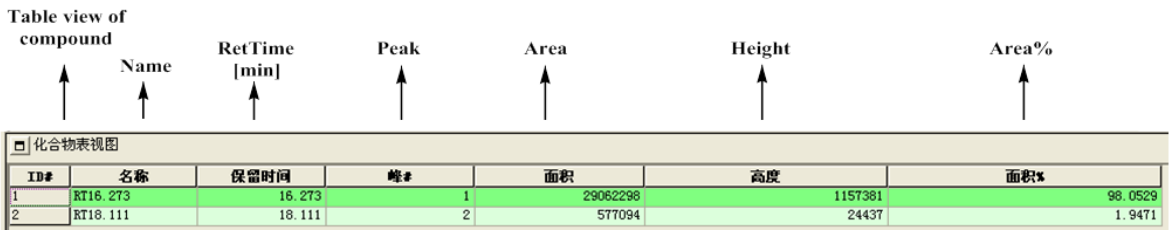
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT21.409	21.409	1	23162121	466537	49.5446
2	RT25.950	25.950	2	23587958	304324	50.4554



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT22.392	22.392	1	184749	4887	7.6376
2	RT27.492	27.492	2	2234195	41328	92.3624

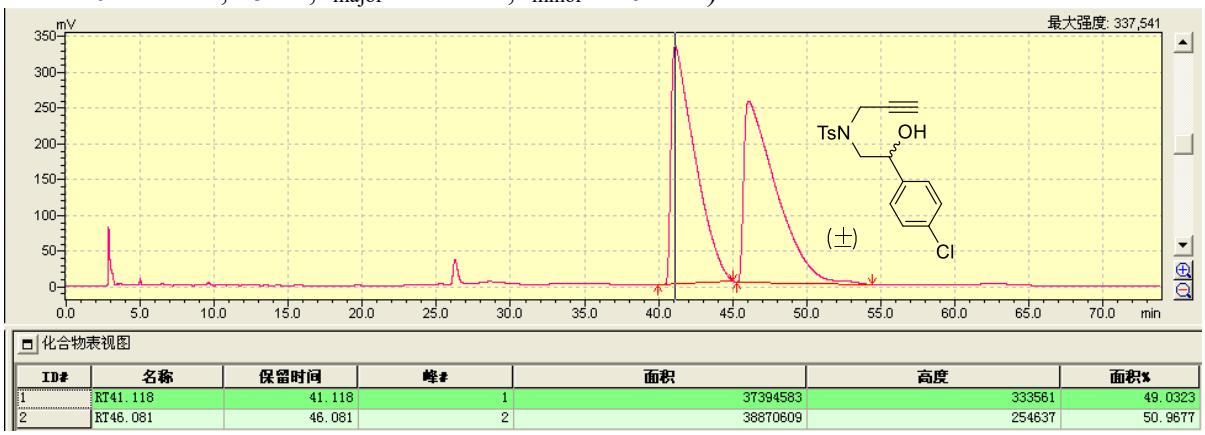
Translation of Chinese into English as follows.



化合物表视图

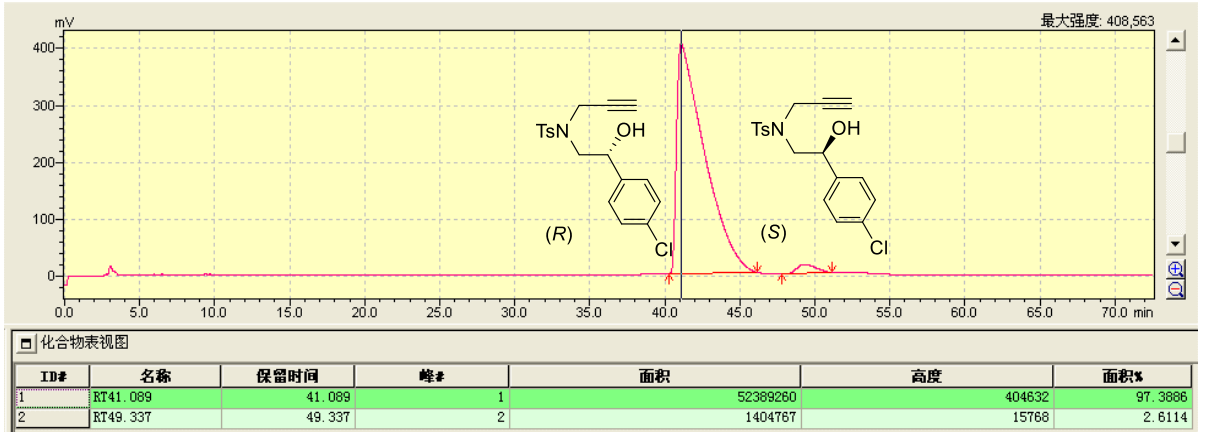
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2e: (*R*)-*N*-(2-(4-chlorophenyl)-2-oxoethyl)-4-Methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide.HPLC (OD-3, elute: Hexane/*i*-PrOH = 97/3, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 41 min, t_{minor} = 49 min).



化合物表视图

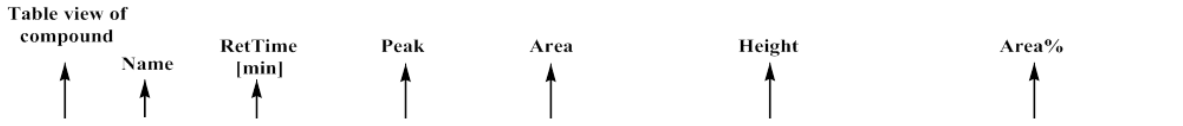
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT41.118	41.118	1	37394583	333561	49.0323
2	RT46.081	46.081	2	38870609	254637	50.9677



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT41.089	41.089	1	52389260	404632	97.3886
2	RT49.337	49.337	2	1404767	15768	2.6114

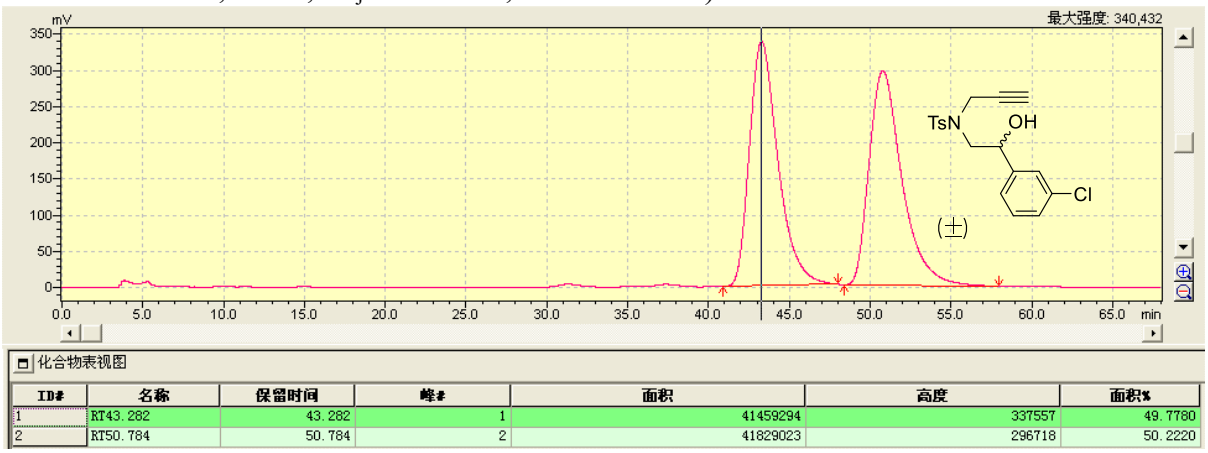
Translation of Chinese into English as follows.



化合物表视图

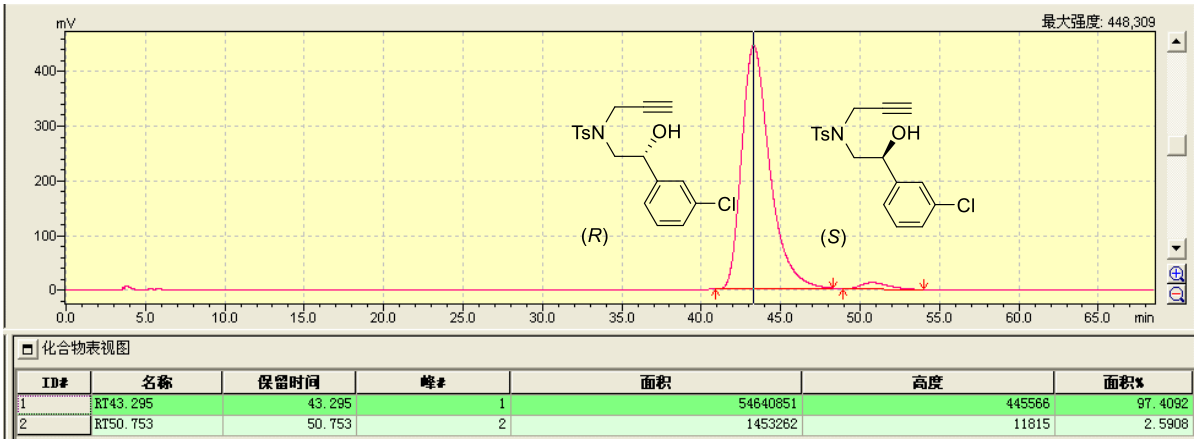
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2f: (*R*)-*N*-(2-(3-chlorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 0.8 mL/min, 25 ºC, t_{major} = 43 min, t_{minor} = 50 min).



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT43.282	43.282	1	41459294	337557	49.7780
2	RT50.784	50.784	2	41829023	296718	50.2220



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT43.295	43.295	1	54640851	445566	97.4092
2	RT50.753	50.753	2	1453262	11815	2.5908

Translation of Chinese into English as follows.

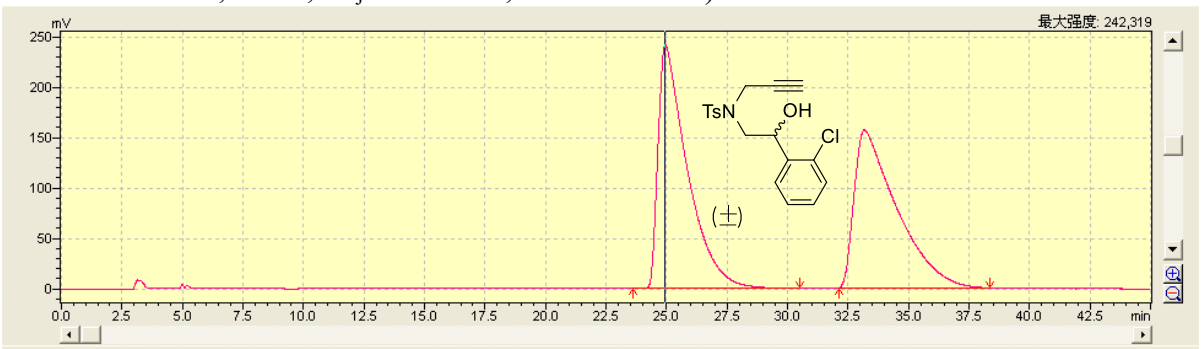
Table view of compound

Name	RetTime [min]	Peak	Area	Height	Area%

化合物表视图

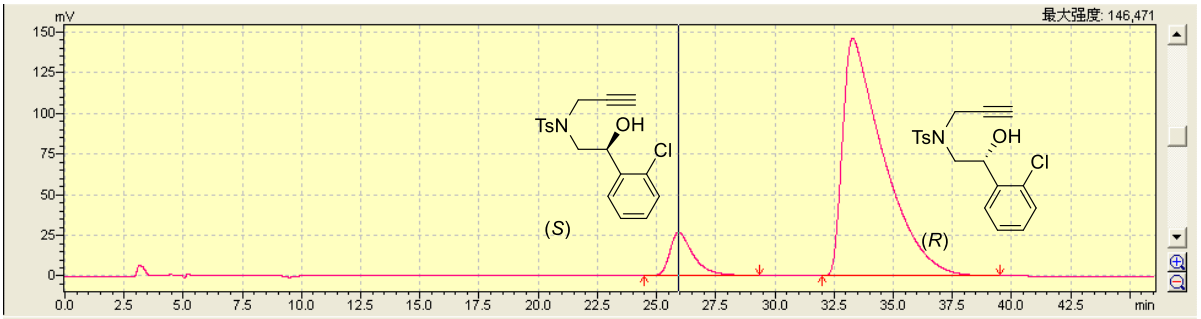
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2g: (*R*)-*N*-(2-(2-chlorophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 25 min, t_{minor} = 33 min).



化合物表视图

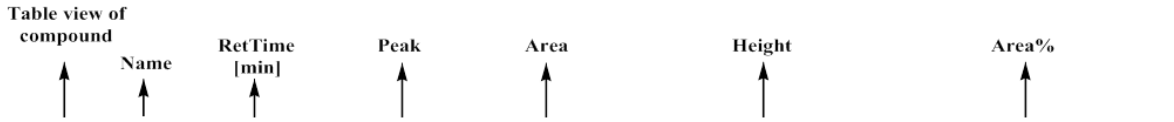
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT24.949	24.949	1	20237868	241977	51.4154
2	RT33.174	33.174	2	19123428	156753	48.5846



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT25.931	25.931	1	1832018	26762	9.4327
2	RT33.284	33.284	2	17589994	146398	90.5673

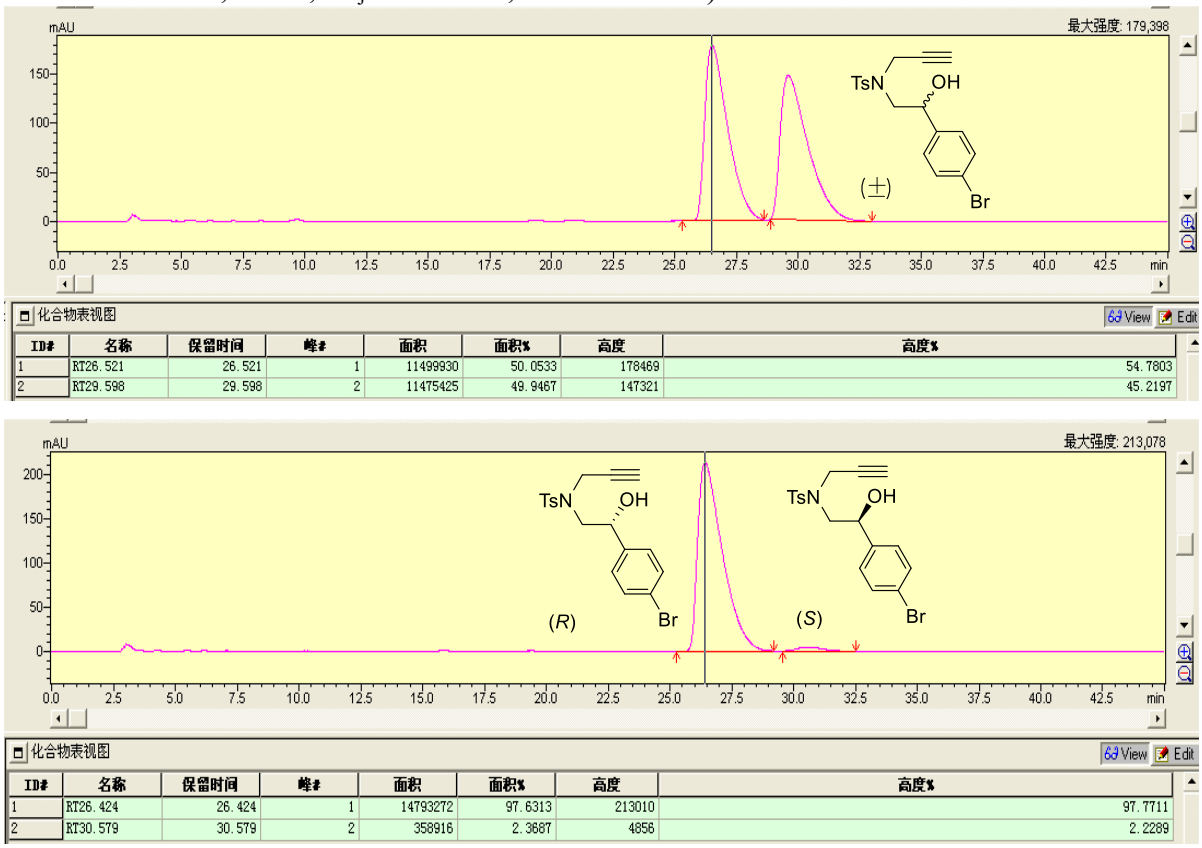
Translation of Chinese into English as follows.



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

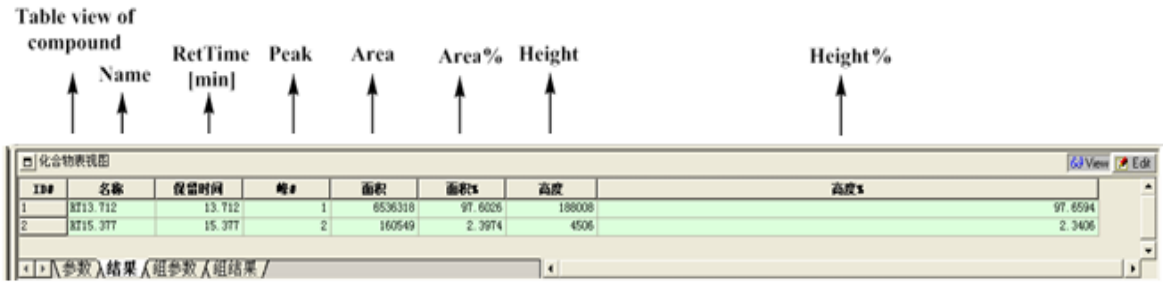
(*R*)-2h: (*R*)-*N*-(2-(4-bromophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (OD-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 26 min, t_{minor} = 30 min).



ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT26.521	26.521	1	11499930	50.0533	178469	54.7803
2	RT29.598	29.598	2	11475425	49.9467	147321	45.2197

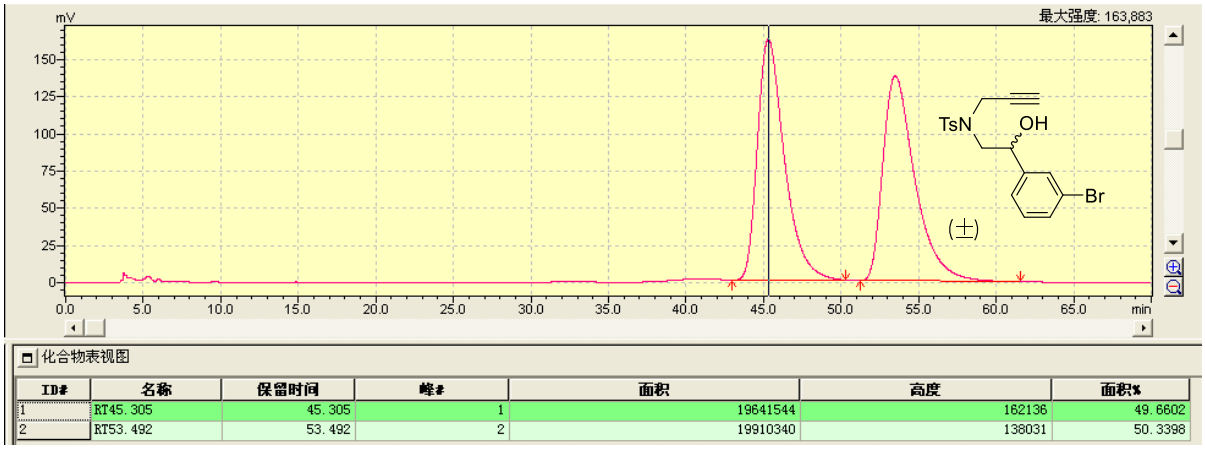
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT26.424	26.424	1	14793272	97.6313	213010	97.7711
2	RT30.579	30.579	2	358916	2.3687	4856	2.2289

<u>Translation of Chinese into English as follows.</u>



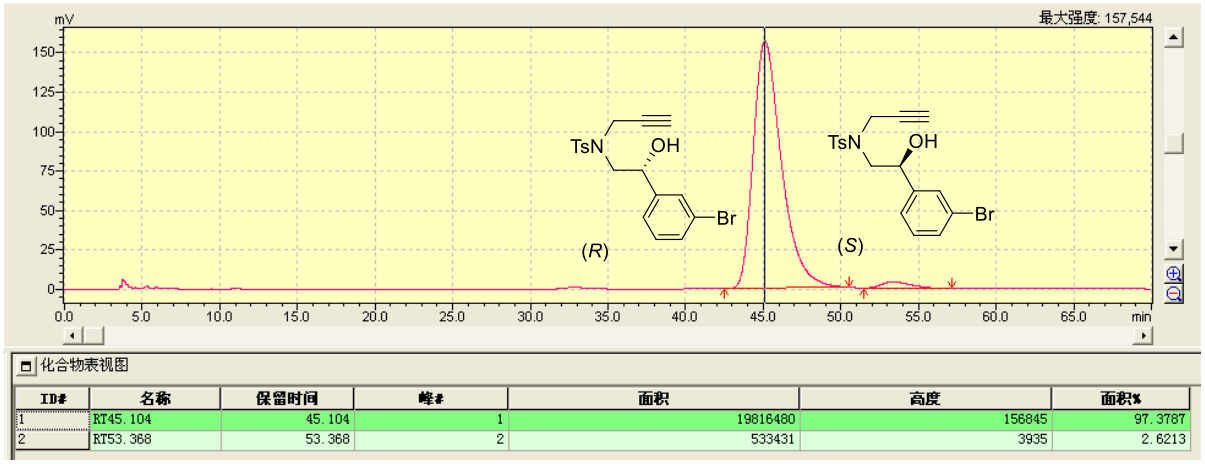
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT13.712	13.712	1	6536318	97.6026	188008	97.6594
2	RT15.377	15.377	2	160549	2.3974	4506	2.3406

(*R*)-2i: (*R*)-*N*-(2-(3-bromophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 95/05, detector: 254 nm, flow rate: 0.8 mL/min, 25 °C, t_{major} = 45 min, t_{minor} = 53 min).



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT45.305	45.305	1	19641544	162136	49.6602
2	RT53.492	53.492	2	19910340	138031	50.3398



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT45.104	45.104	1	19816480	156845	97.3787
2	RT53.368	53.368	2	533431	3935	2.6213

Translation of Chinese into English as follows.

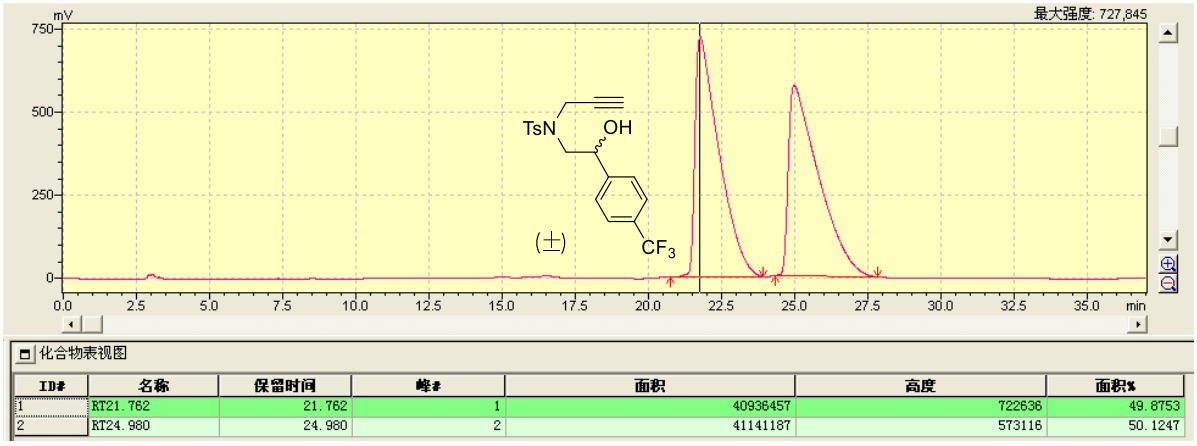
Table view of compound

Name	RetTime [min]	Peak	Area	Height	Area%

化合物表视图

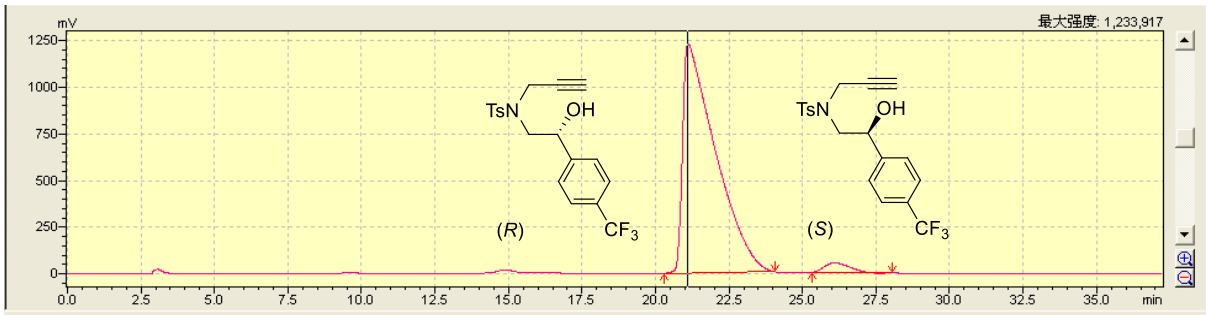
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2j: (*R*)-*N*-(2-hydroxy-2-(4-(trifluoromethyl)phenyl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (OD-3, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 21 min, t_{minor} = 26 min).



化合物表视图

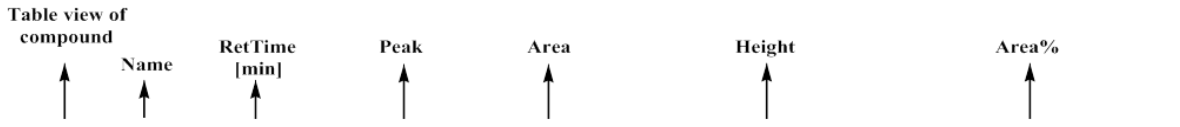
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT21.762	21.762	1	40936457	722636	49.8753
2	RT24.980	24.980	2	41141187	573116	50.1247



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT21.106	21.106	1	95349354	1229138	96.9719
2	RT26.092	26.092	2	2977452	50816	3.0281

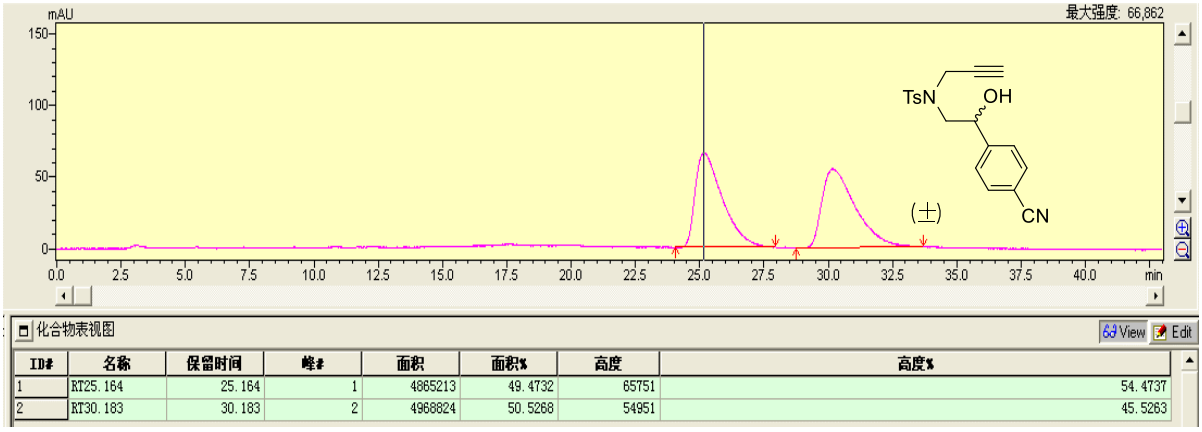
Translation of Chinese into English as follows.



化合物表视图

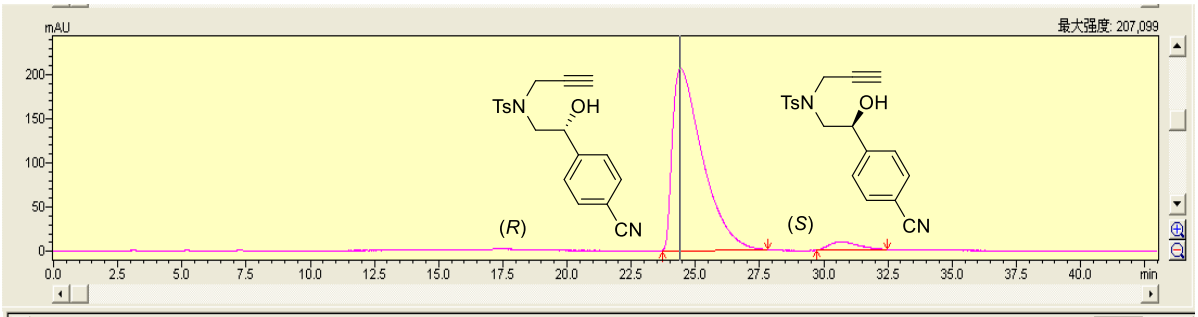
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2k: (*R*)-*N*-(2-(4-cyanophenyl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (OD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 25 min, t_{minor} = 30 min).



化合物表视图

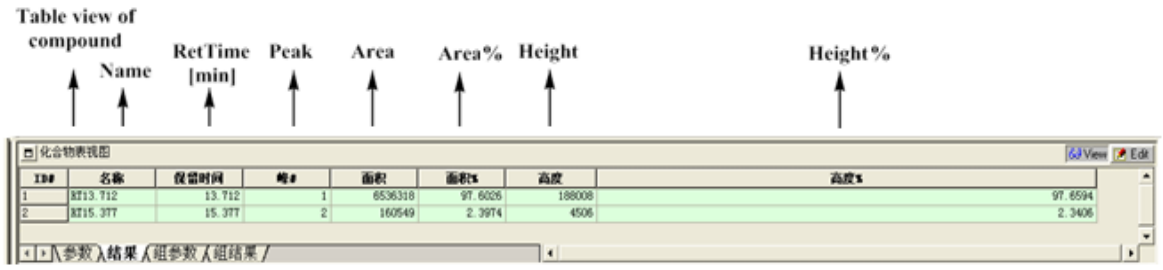
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT25.164	25.164	1	4865213	49.4732	65751	54.4737
2	RT30.183	30.183	2	4968824	50.5268	54951	45.5263



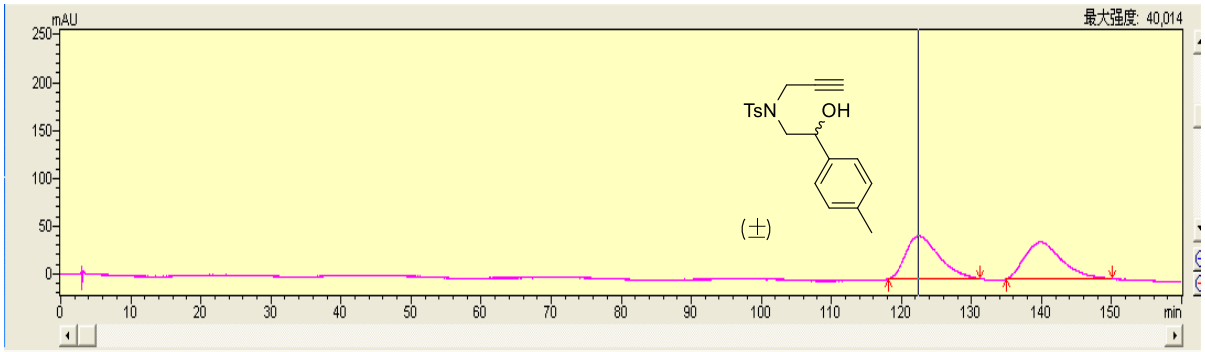
化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT24.414	24.414	1	16789244	96.0518	206071	95.7414
2	RT30.652	30.652	2	690123	3.9482	9166	4.2586

Translation of Chinese into English as follows.

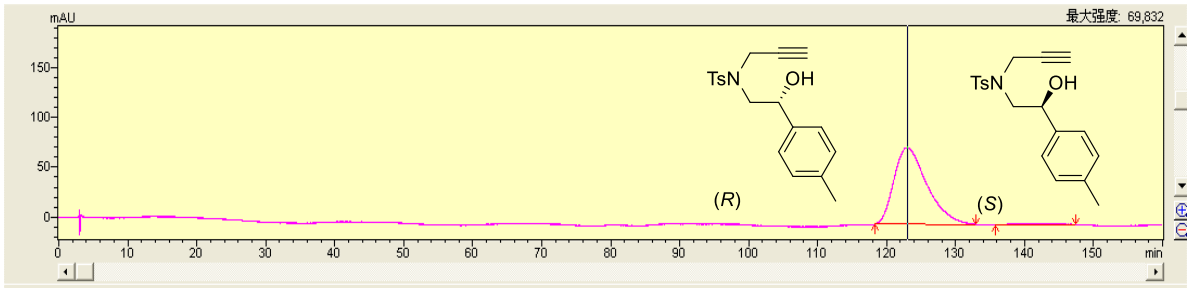


(*R*)-2l: (*R*)-*N*-(2-hydroxy-2-(p-tolyl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 98/2, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 123 min, t_{minor} = 140 min).



化合物表视图

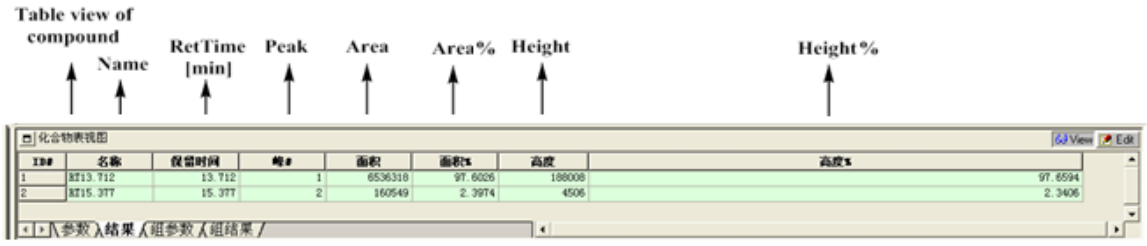
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT122.457	122.457	1	14879819	51.1380	45283	53.9366
2	RT139.927	139.927	2	14217541	48.8620	38673	46.0634



化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT122.956	122.956	1	25483116	97.8525	76989	97.6766
2	RT140.464	140.464	2	559263	2.1475	1831	2.3234

Translation of Chinese into English as follows.

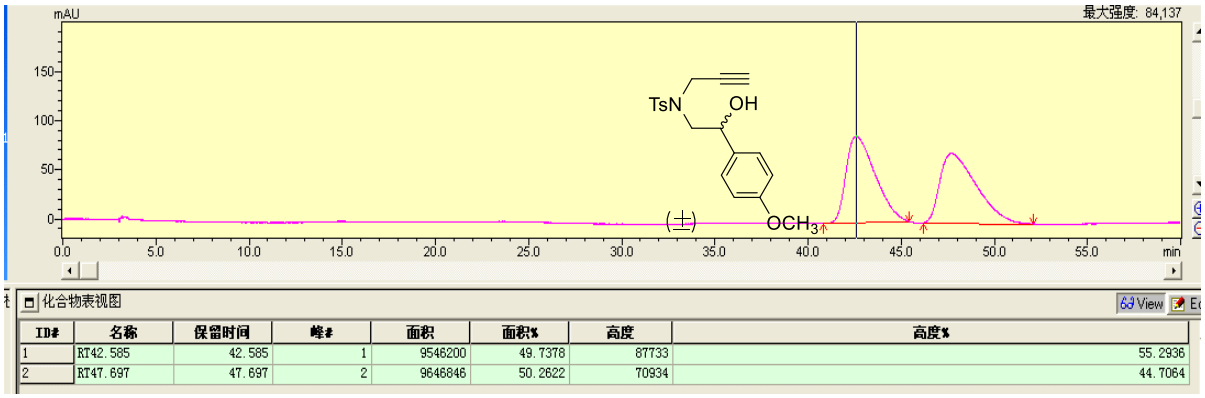


化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT13.712	13.712	1	6536318	97.6026	188008	97.6594
2	RT15.377	15.377	2	160549	2.3974	4506	2.3406

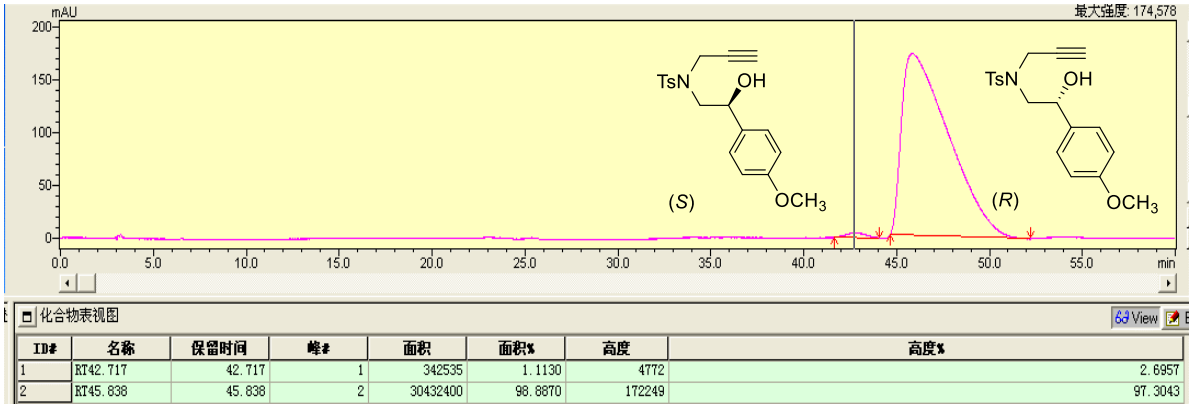
参数 结果 组参数 组结果

(*R*)-2m: (*R*)-*N*-(2-hydroxy-2-(4-methoxyphenyl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AS-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 42 min, t_{minor} = 46 min).



化合物表视图

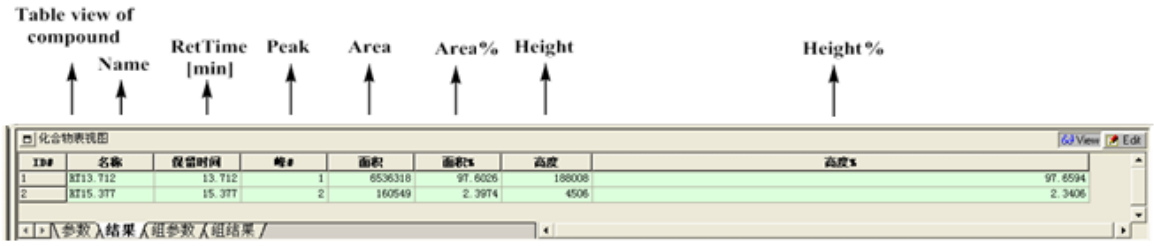
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT42.585	42.585	1	9546200	49.7378	87733	55.2936
2	RT47.697	47.697	2	9646846	50.2622	70934	44.7064



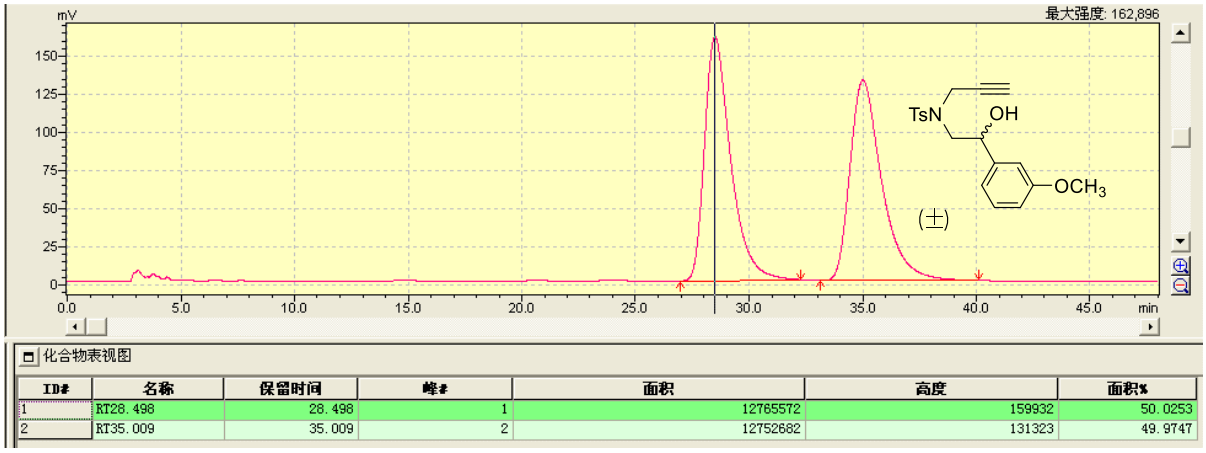
化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT42.717	42.717	1	342535	1.1130	4772	2.6957
2	RT45.838	45.838	2	30432400	98.8870	172249	97.3043

Translation of Chinese into English as follows.

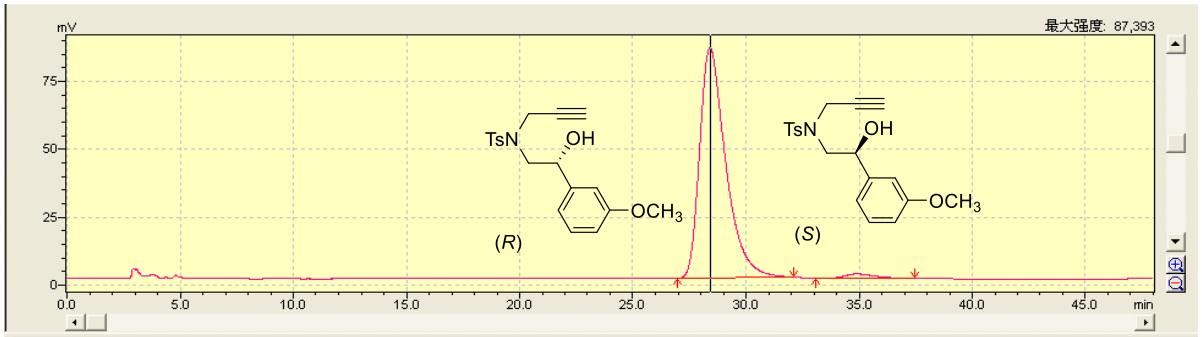


(*R*)-2n: (*R*)-*N*-(2-hydroxy-2-(3-methoxyphenyl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC(AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 0.8 mL/min, 25 ºC, t_{major} = 28 min, t_{minor} = 35 min).



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT28.498	28.498	1	12765572	159932	50.0253
2	RT35.009	35.009	2	12752682	131323	49.9747



化合物表视图

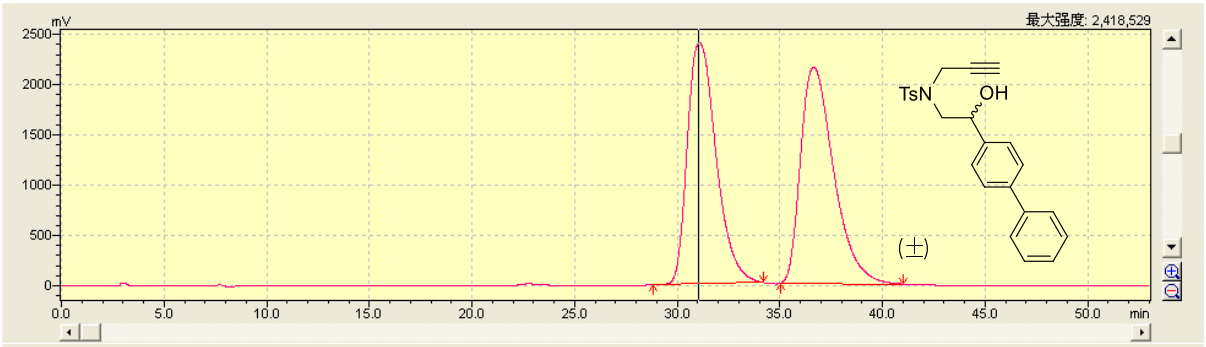
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT28.409	28.409	1	6787630	84793	97.9379
2	RT34.916	34.916	2	142917	1590	2.0621

Translation of Chinese into English as follows.

化合物表视图

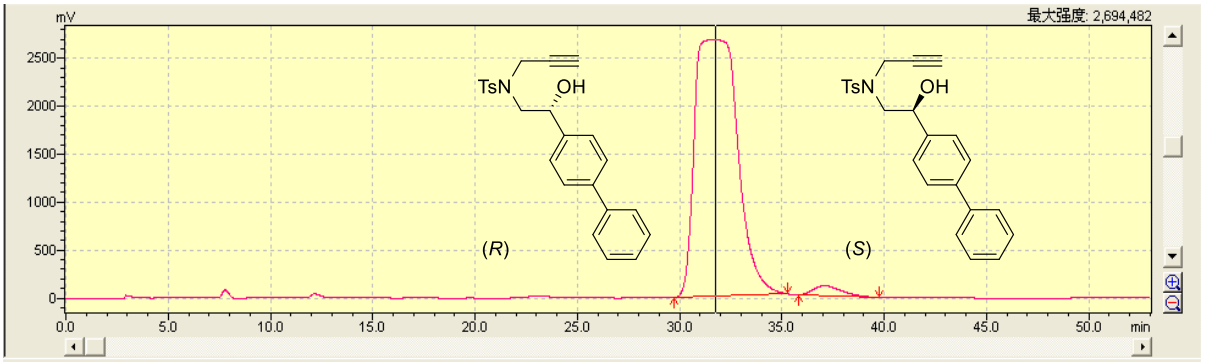
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2o: (*R*)-*N*-(2-([1,1'-biphenyl]-4-yl)-2-hydroxyethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide.HPLC (AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 31 min, t_{minor} = 37 min).



化合物表视图

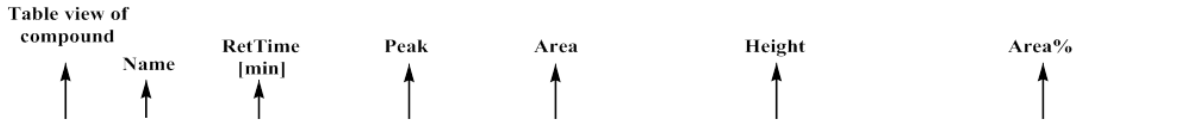
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT31.057	31.057	1	234550366	2397260	49.2093
2	RT36.637	36.637	2	242088061	2152222	50.7907



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT31.776	31.776	1	390222387	2675268	97.5101
2	RT37.064	37.064	2	9964294	104787	2.4899

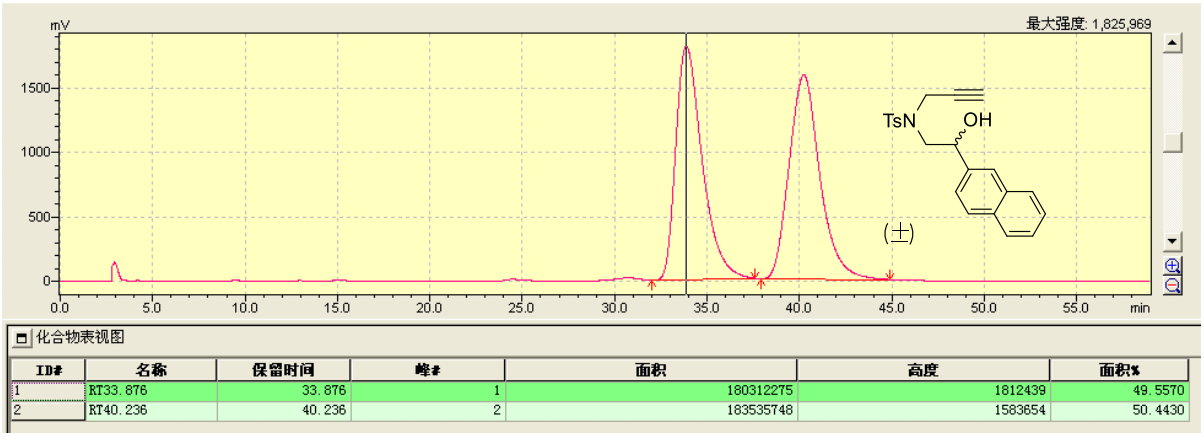
Translation of Chinese into English as follows.



化合物表视图

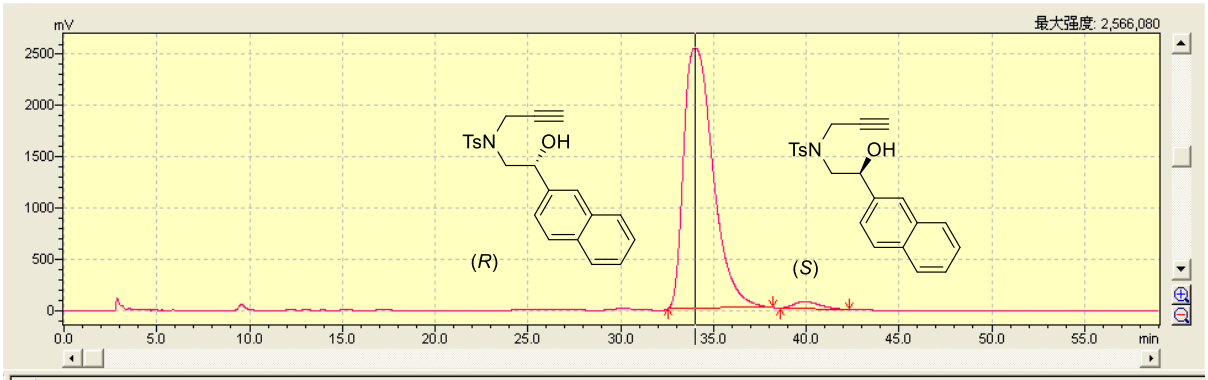
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2p: (*R*)-*N*-(2-hydroxy-2-(naphthalen-2-yl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 34 min, t_{minor} = 40 min).



化合物表视图

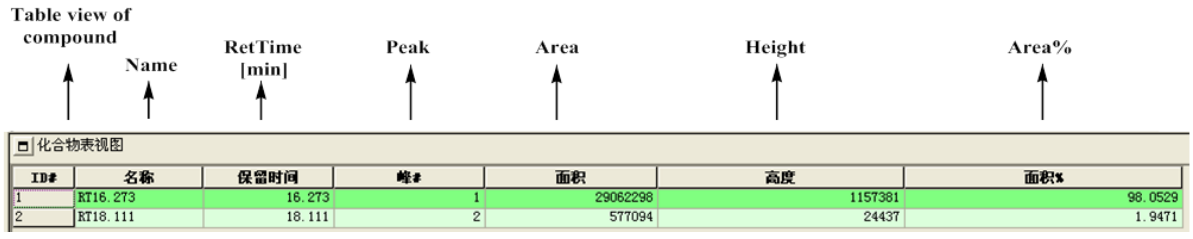
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT33.876	33.876	1	180312275	1812439	49.5570
2	RT40.236	40.236	2	183535748	1583654	50.4430



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT34.021	34.021	1	280726274	2544522	97.7206
2	RT39.907	39.907	2	6548249	69358	2.2794

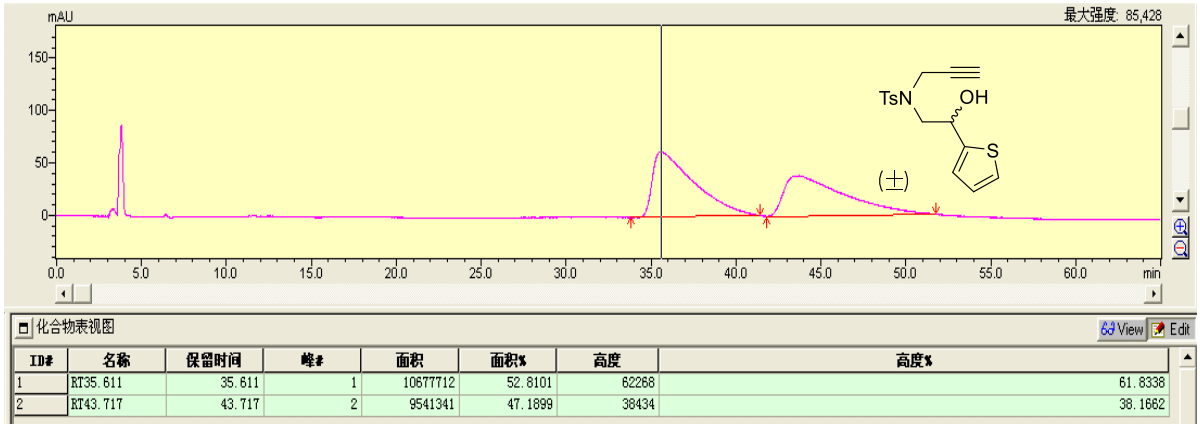
Translation of Chinese into English as follows.



化合物表视图

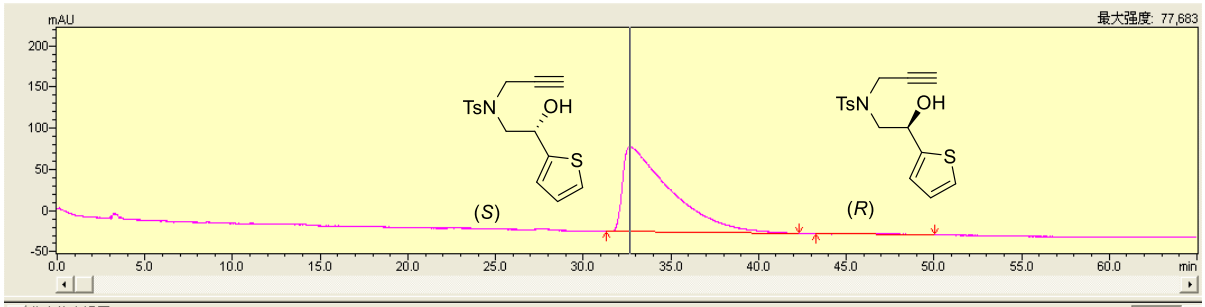
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-2q: (*R*)-*N*-(2-hydroxy-2-(thiophen-2-yl)ethyl)-4-methyl-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (OB-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 33min, t_{minor} = 45 min).



化合物表视图

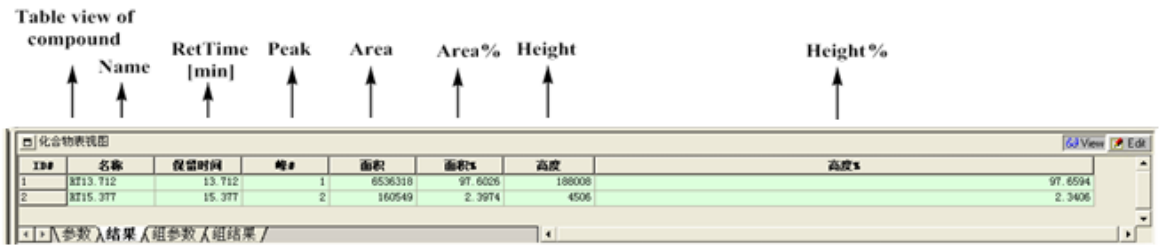
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT35.611	35.611	1	10677712	52.8101	62268	61.8338
2	RT43.717	43.717	2	9541341	47.1899	38434	38.1662



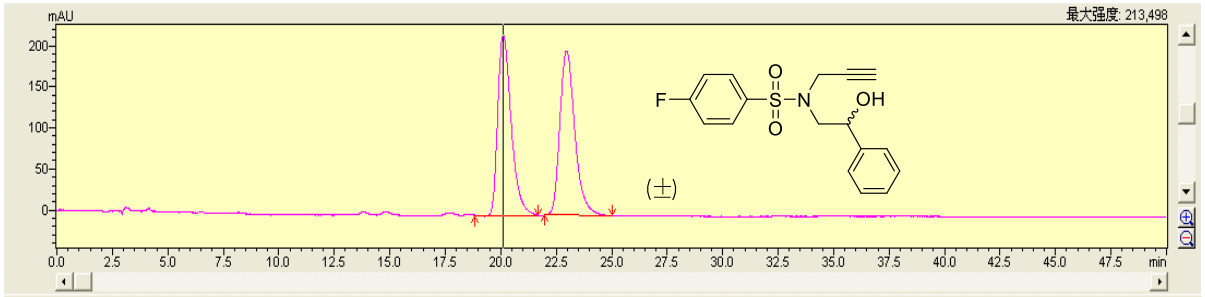
化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT32.656	32.656	1	19436431	99.0532	103090	98.8212
2	RT45.841	45.841	2	185777	0.9468	1230	1.1788

Translation of Chinese into English as follows.

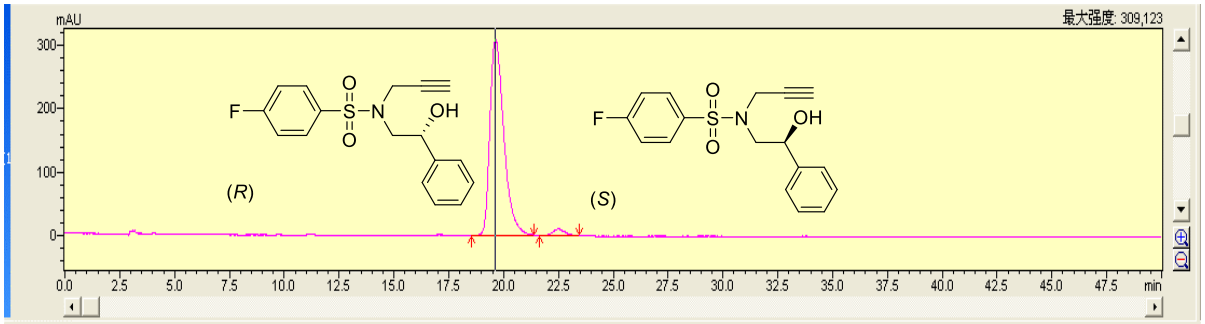


(*R*)-2r: (*R*)-4-Fluoro-*N*-(2-hydroxy-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 20 min, t_{minor} = 22 min).



化合物表视图

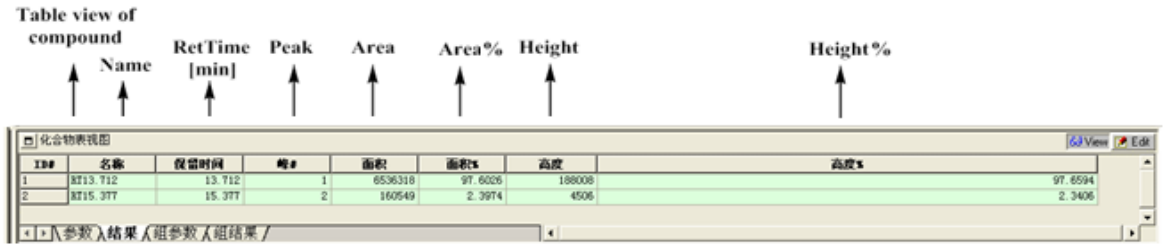
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT20.108	20.108	1	9200923	49.2723	219846	52.3214
2	RT22.966	22.966	2	9472698	50.7277	200337	47.6786



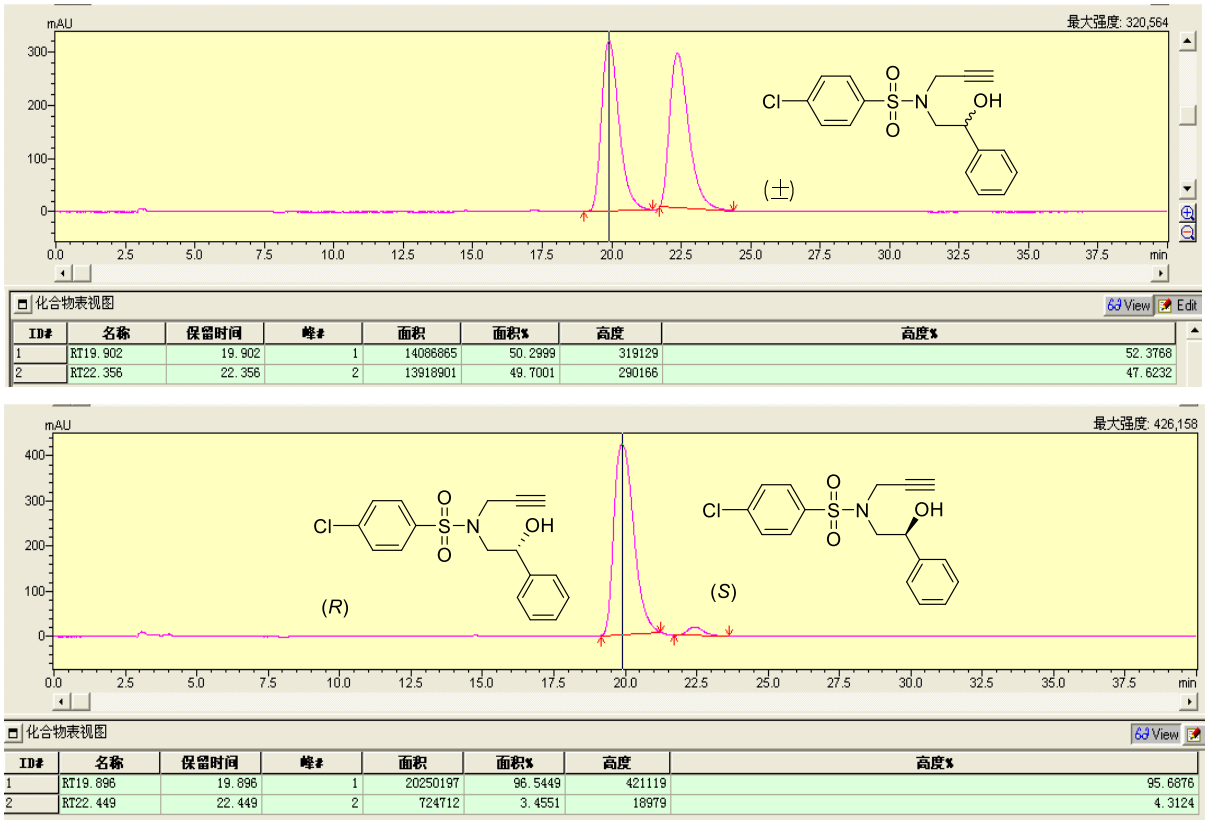
化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT19.631	19.631	1	13657229	97.2736	308798	96.7932
2	RT22.510	22.510	2	382788	2.7264	10231	3.2068

Translation of Chinese into English as follows.



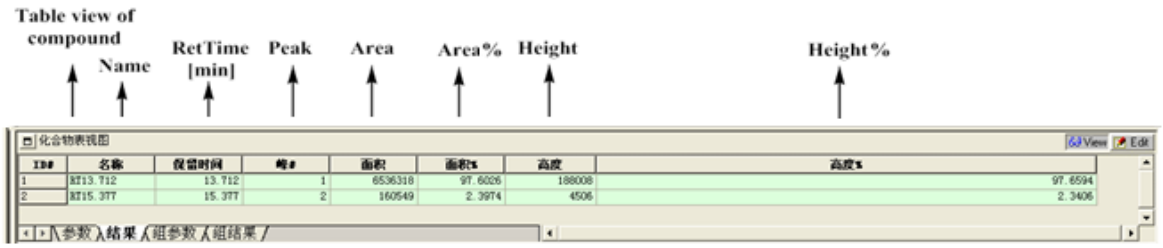
(*R*)-2s: (*R*)-4-Chloro-*N*-(2-hydroxy-2-phenylethyl)-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 19 min, t_{minor} = 22 min).



ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT19.902	19.902	1	14086865	50.2999	319129	52.3768
2	RT22.356	22.356	2	13918901	49.7001	290166	47.6232

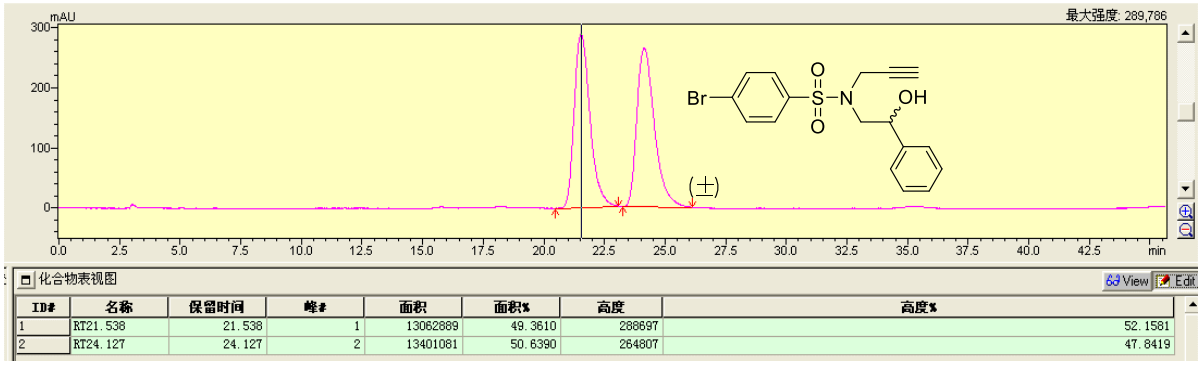
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT19.896	19.896	1	20250197	96.5449	421119	95.6876
2	RT22.449	22.449	2	724712	3.4551	18979	4.3124

Translation of Chinese into English as follows.

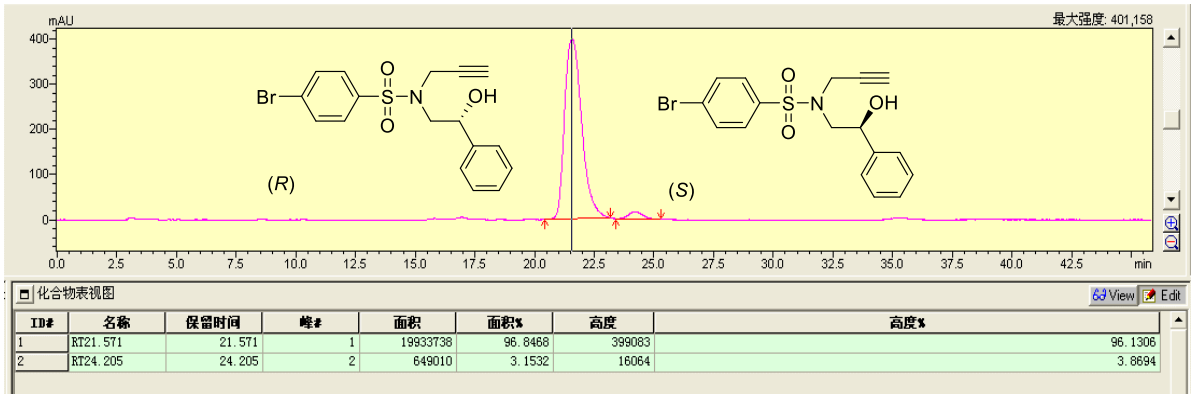


ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT13.712	13.712	1	6536318	97.6026	188008	97.6594
2	RT15.377	15.377	2	160549	2.3974	4506	2.3406

(*R*)-2t: (*R*)-4-Bromo-*N*-(2-hydroxy-2-phenylethyl)-N-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 21 min, t_{minor} = 24 min).

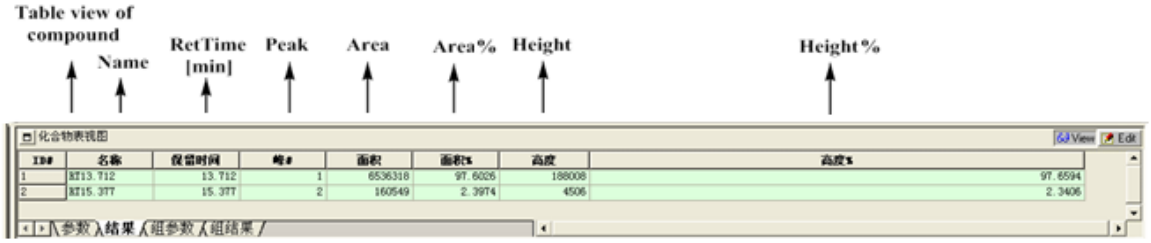


ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT21.538	21.538	1	13062889	49.3610	288697	52.1581
2	RT24.127	24.127	2	13401081	50.6390	264807	47.8419



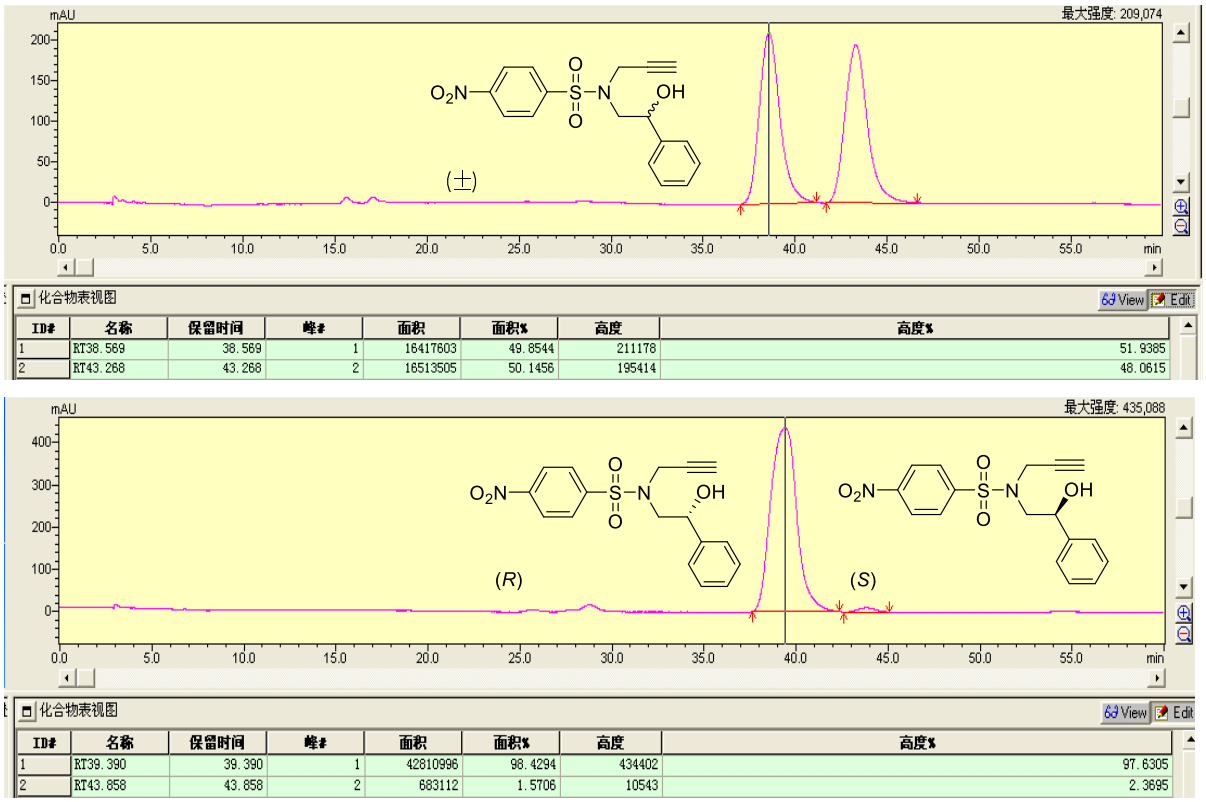
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT21.571	21.571	1	19933738	96.8468	399083	96.1306
2	RT24.205	24.205	2	649010	3.1532	16064	3.8694

Translation of Chinese into English as follows.



ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT13.712	13.712	1	6536318	97.6026	188008	97.6594
2	RT15.377	15.377	2	160549	2.3974	4506	2.3406

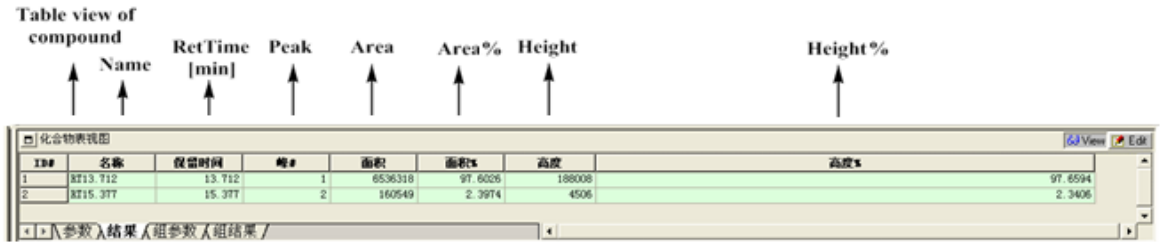
(*R*)-2u: (*R*)-*N*-(2-hydroxy-2-phenylethyl)-4-Nitro-*N*-(prop-2-yn-1-yl)benzenesulfonamide. HPLC (AD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 39 min, t_{minor} = 43 min).



ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT38.569	38.569	1	16417603	49.8544	211178	51.9385
2	RT43.268	43.268	2	16513505	50.1456	195414	48.0615

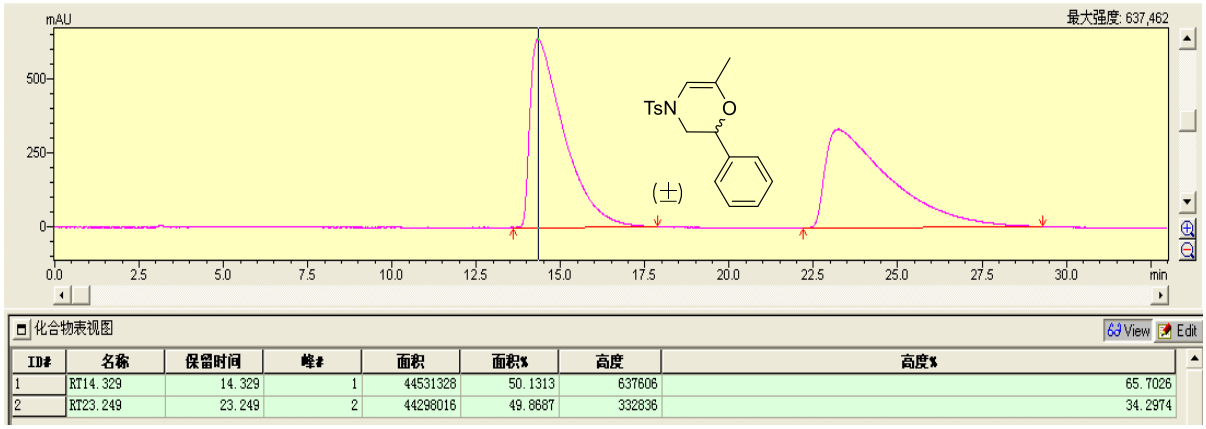
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT39.390	39.390	1	42810998	98.4294	434402	97.6305
2	RT43.858	43.858	2	683112	1.5706	10543	2.3695

Translation of Chinese into English as follows.



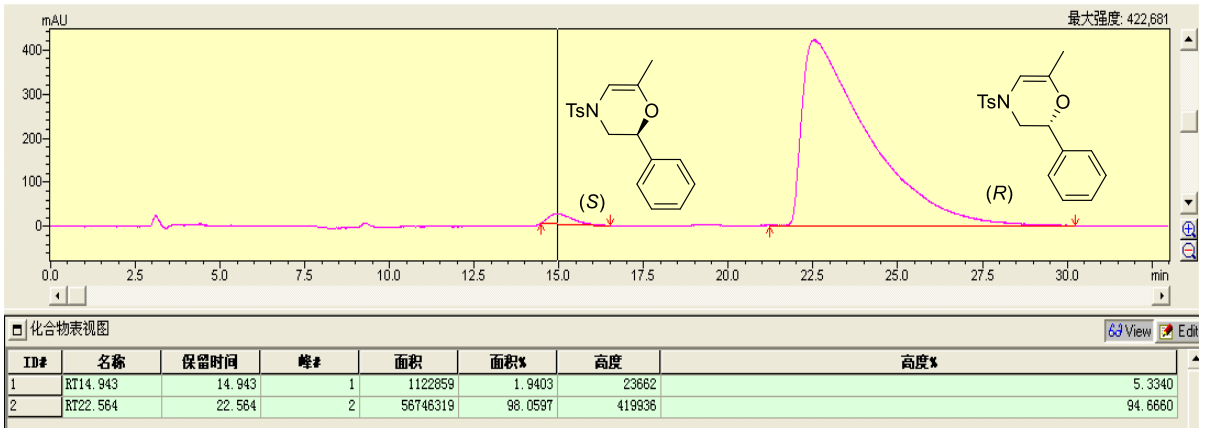
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT13.712	13.712	1	6536318	97.6026	188008	97.6594
2	RT15.377	15.377	2	160549	2.3974	4506	2.3406

(*R*)-3a: (*R*)-6-Methyl-2-phenyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OJ-H,elute:Hexane/*i*-PrOH=90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 15 min, t_{minor} = 23 min).



化合物表视图

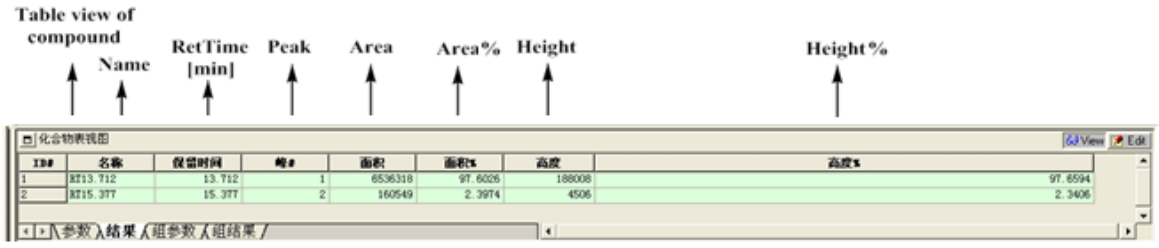
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT14.329	14.329	1	44531328	50.1313	637606	65.7026
2	RT23.249	23.249	2	44298016	49.8687	332836	34.2974



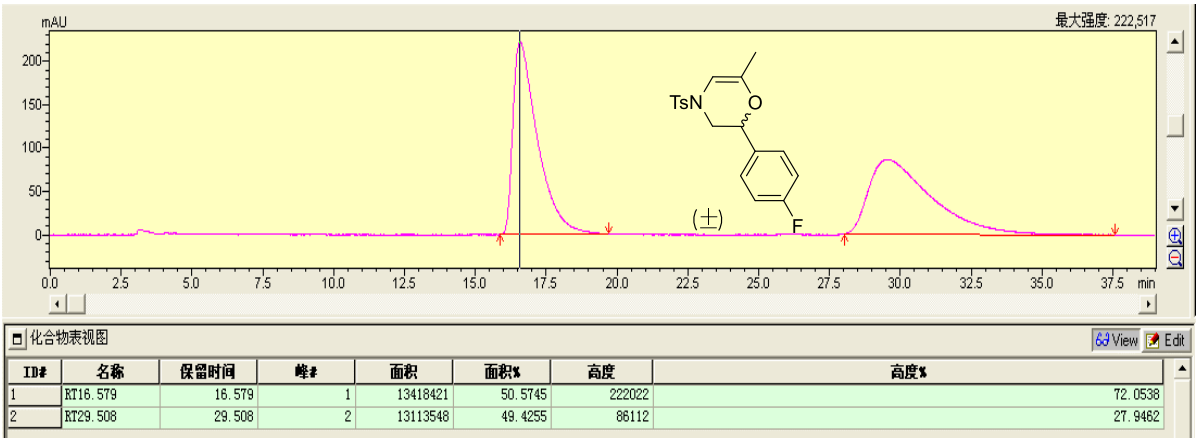
化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT14.943	14.943	1	1122859	1.9403	23662	5.3340
2	RT22.564	22.564	2	56746319	98.0597	419936	94.6660

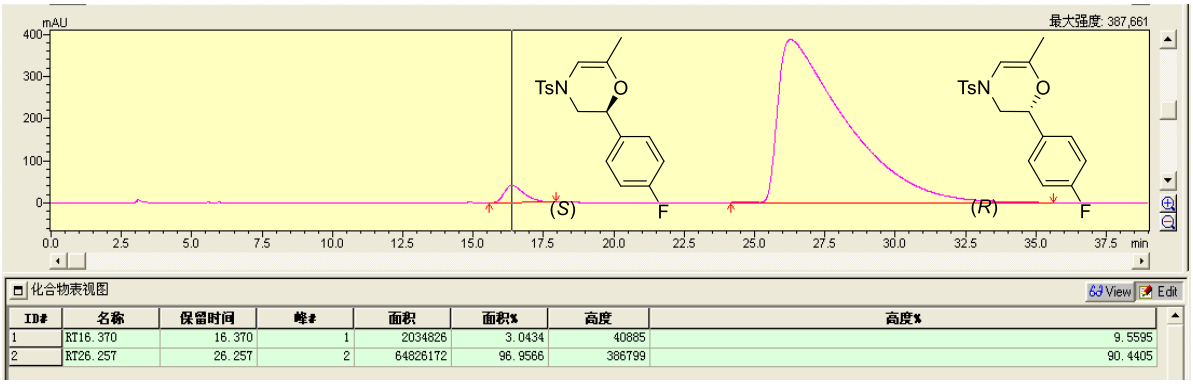
Translation of Chinese into English as follows.



(*R*)-3b: (*R*)-2-(4-fluorophenyl)-6-Methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 16 min, t_{minor} = 26 min).

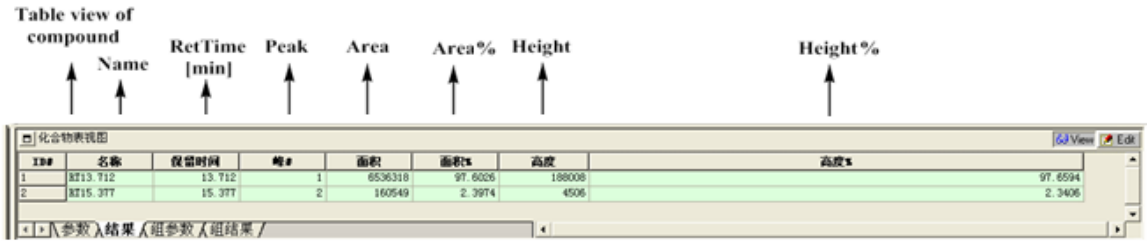


ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT16.579	16.579	1	13418421	50.5745	222022	72.0538
2	RT29.508	29.508	2	13113548	49.4255	86112	27.9462



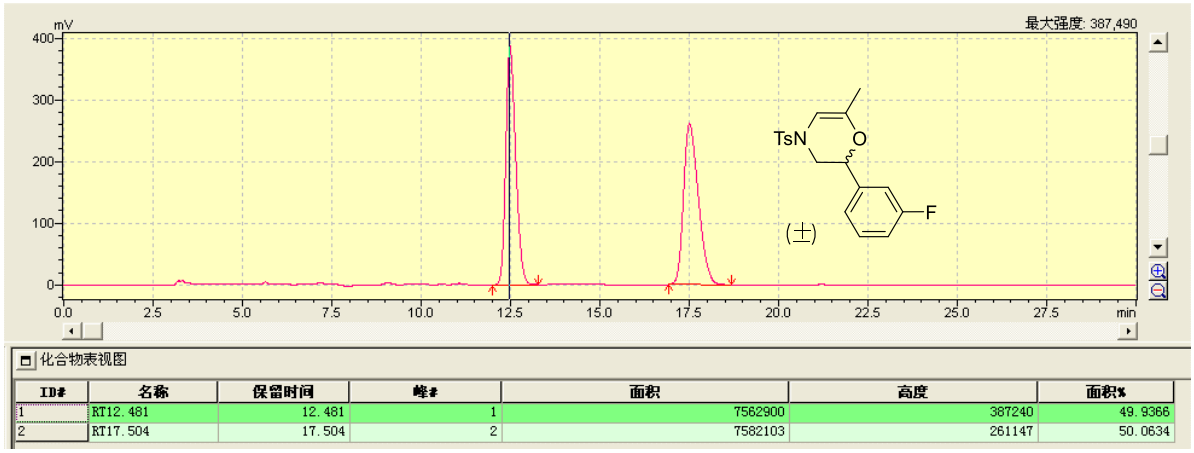
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT16.370	16.370	1	2034826	3.0434	40885	9.5595
2	RT26.257	26.257	2	64826172	96.9566	386799	90.4405

Translation of Chinese into English as follows.



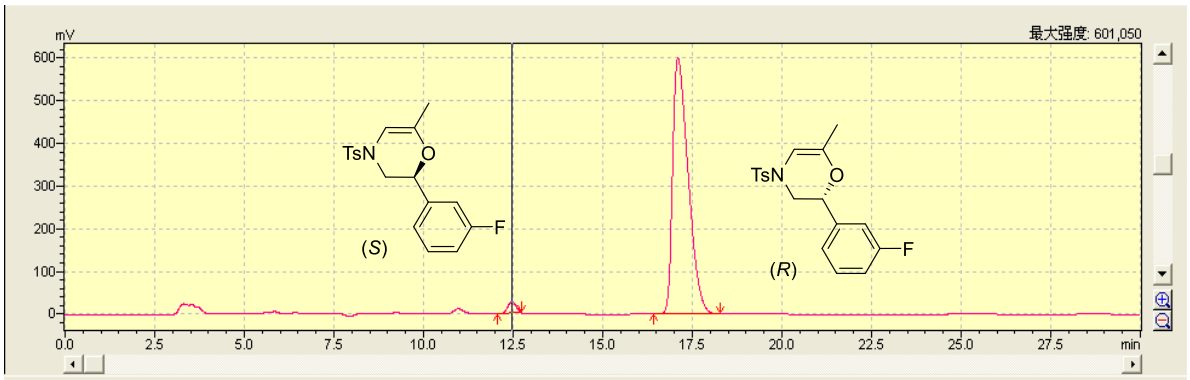
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT13.712	13.712	1	6536318	97.6026	188008	97.6594
2	RT15.377	15.377	2	160549	2.3974	4506	2.3406

(*R*)-3c: (*R*)-2-(3-fluorophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 12 min, t_{minor} = 17 min).



化合物表视图

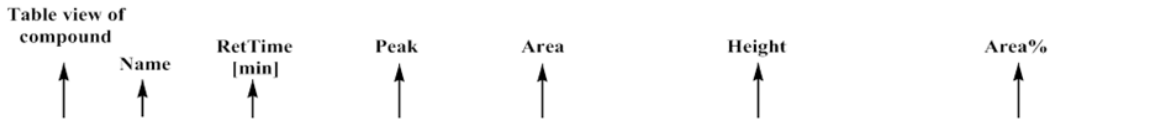
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.481	12.481	1	7562900	387240	49.9366
2	RT17.504	17.504	2	7582103	261147	50.0634



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.464	12.464	1	470376	27738	2.5473
2	RT17.097	17.097	2	17995505	600396	97.4527

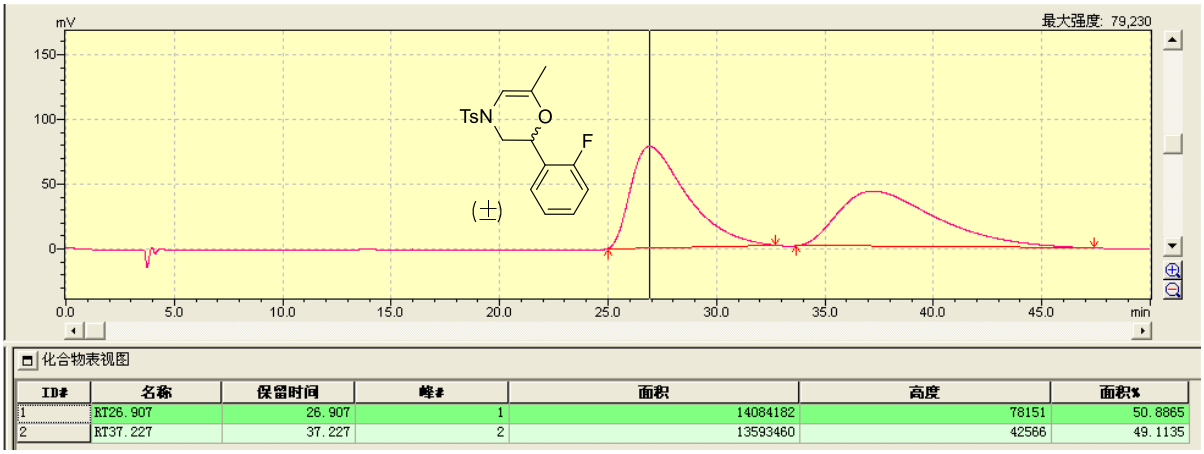
Translation of Chinese into English as follows.



化合物表视图

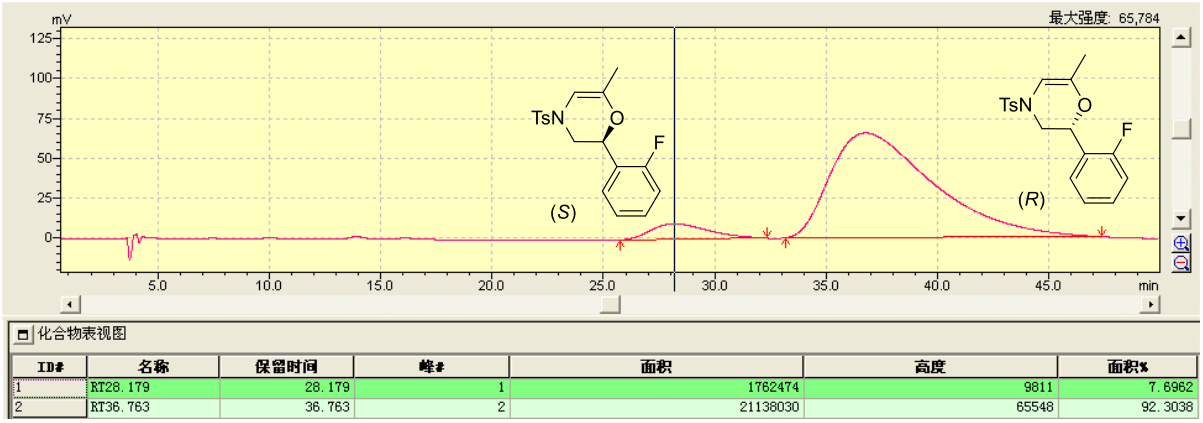
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3d: (*R*)-2-(2-fluorophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OJ-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 28 min, t_{minor} = 37 min).



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT26.907	26.907	1	14084182	78151	50.8865
2	RT37.227	37.227	2	13593460	42566	49.1135



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT28.179	28.179	1	1762474	9811	7.6962
2	RT36.763	36.763	2	21138030	65548	92.3038

Translation of Chinese into English as follows.

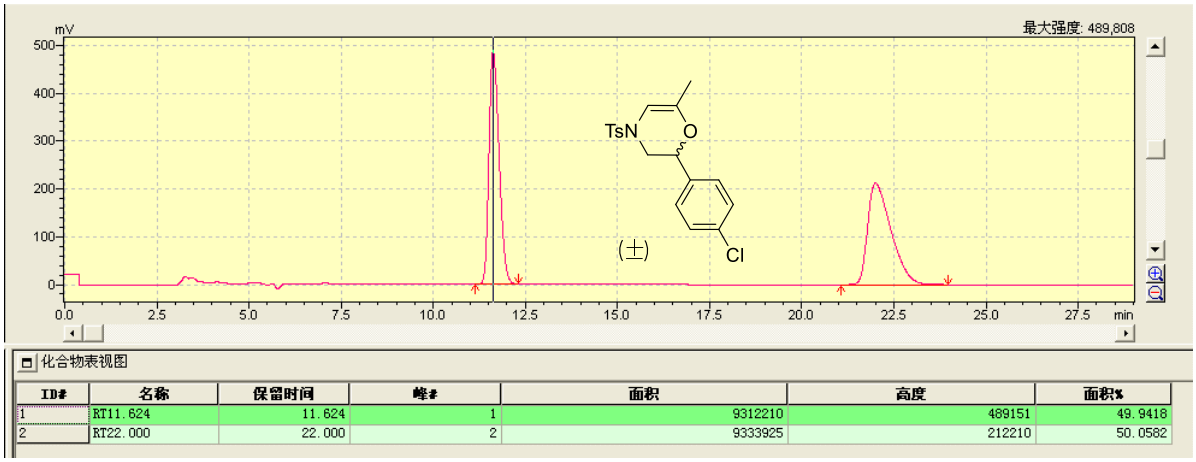
Table view of compound

Name	RetTime [min]	Peak	Area	Height	Area%

化合物表视图

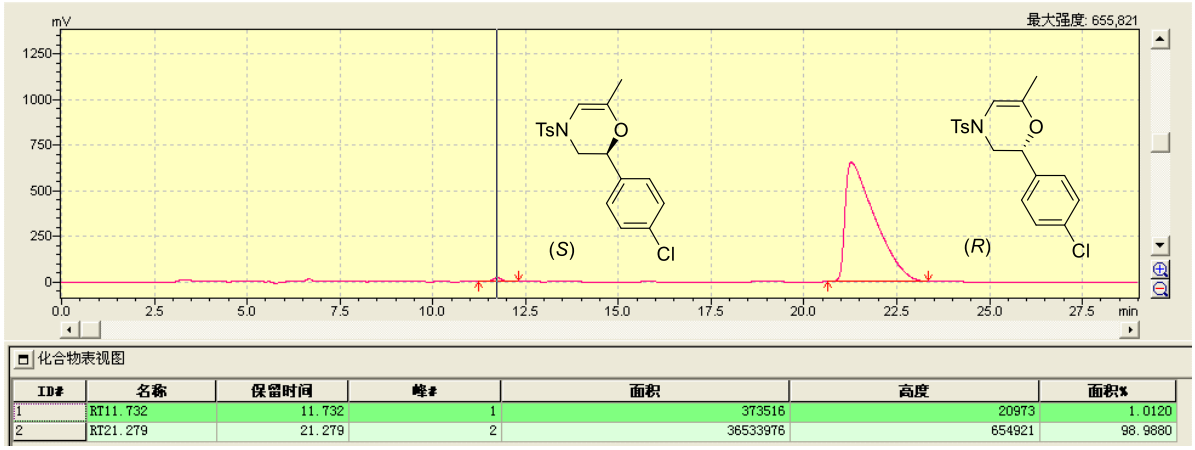
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3e: (*R*)-2-(4-chlorophenyl)-6-Methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 11 min, t_{minor} = 22 min).



化合物表视图

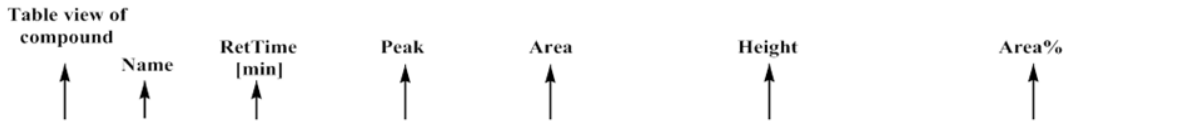
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT11.624	11.624	1	9312210	489151	49.9418
2	RT22.000	22.000	2	9333925	212210	50.0582



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT11.732	11.732	1	373516	20973	1.0120
2	RT21.279	21.279	2	36533976	654921	98.9880

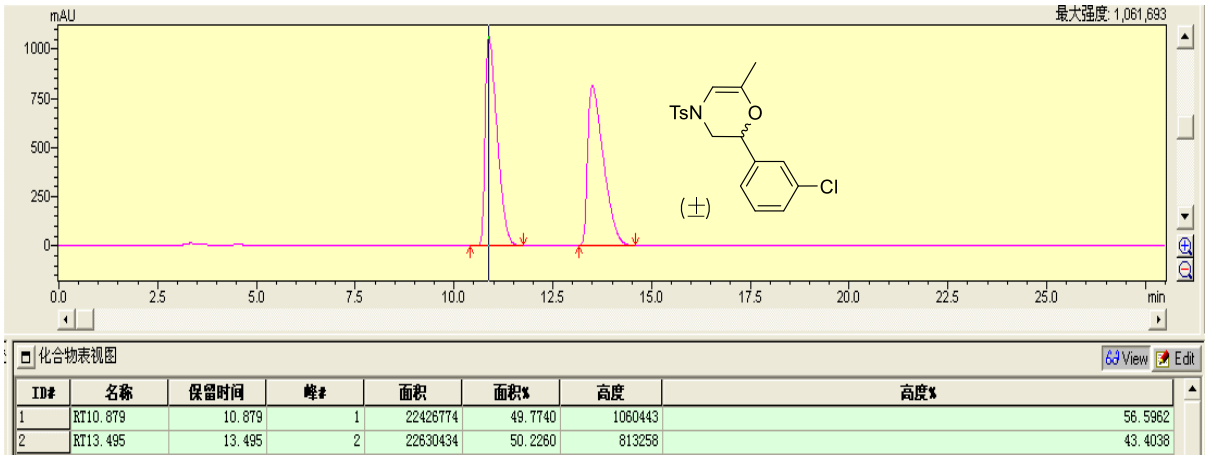
Translation of Chinese into English as follows.



化合物表视图

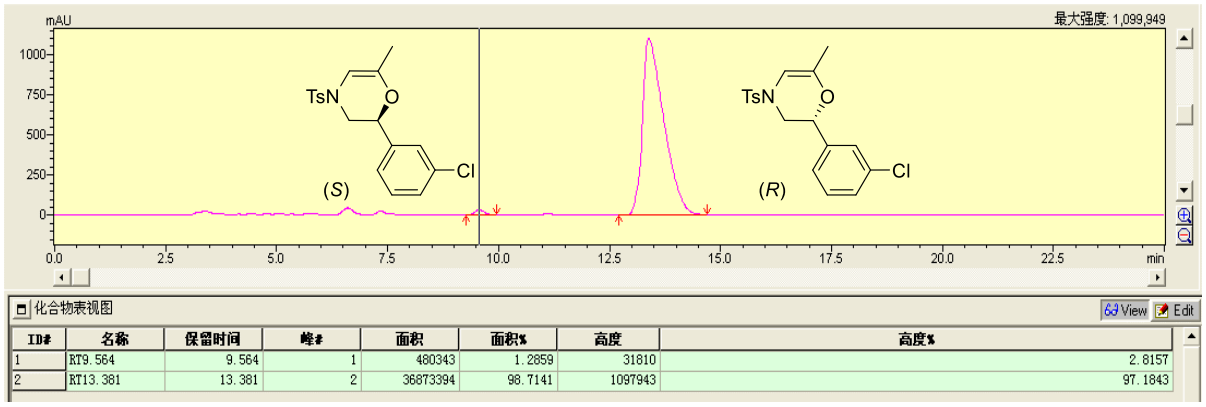
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3f: (*R*)-2-(3-chlorophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 10 min, t_{minor} = 13 min).



化合物表视图

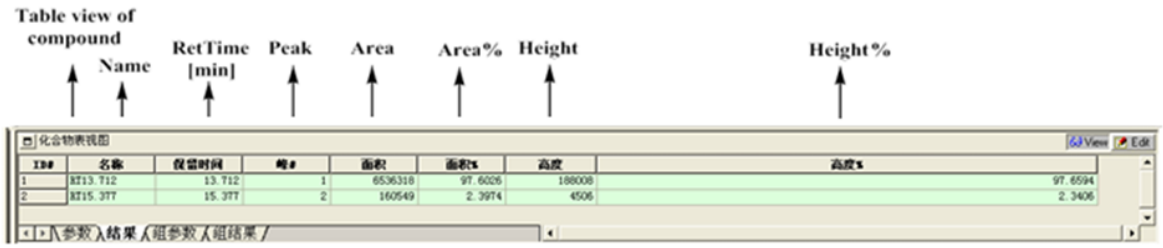
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT10.879	10.879	1	22426774	49.7740	1060443	56.5962
2	RT13.495	13.495	2	22630434	50.2260	813258	43.4038



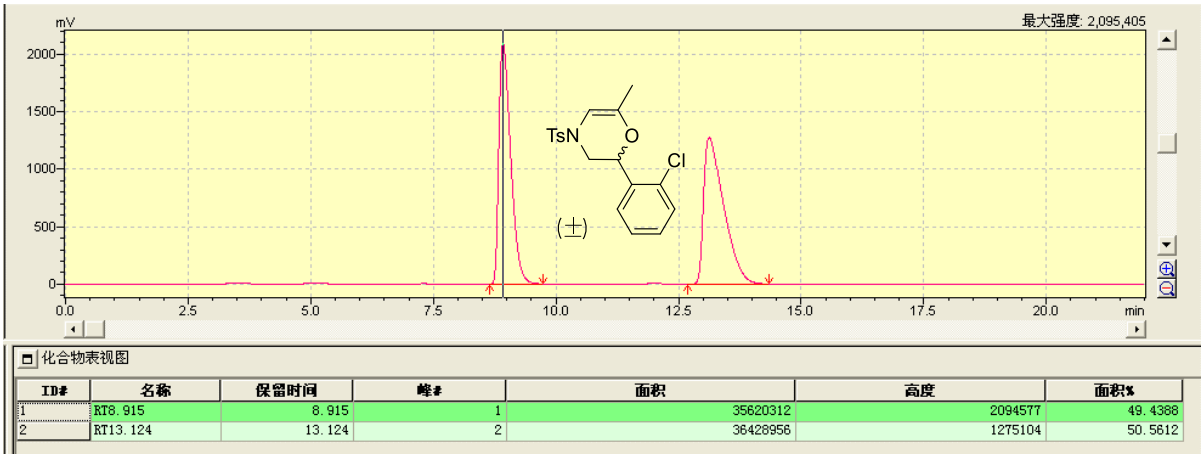
化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT9.564	9.564	1	480343	1.2859	31810	2.8157
2	RT13.381	13.381	2	36873394	98.7141	1097943	97.1843

Translation of Chinese into English as follows.

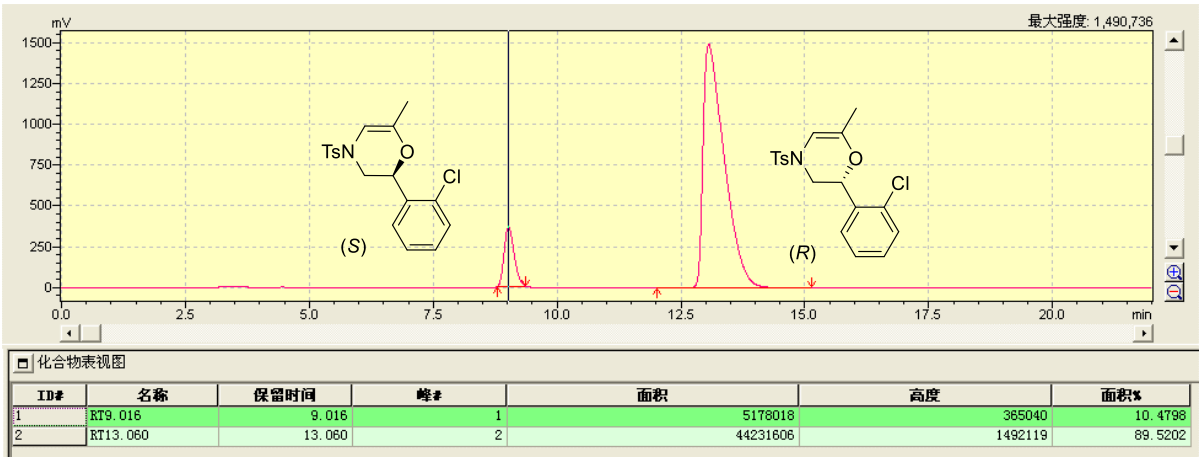


(*R*)-3g: (*R*)-2-(2-chlorophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 9 min, t_{minor} = 13 min).



化合物表视图

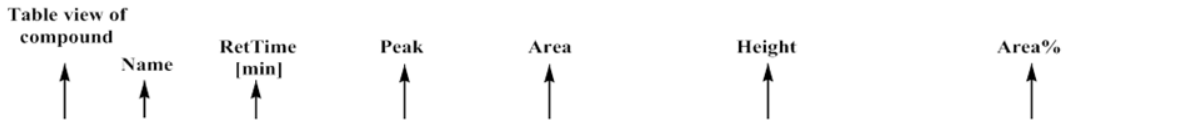
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT8.915	8.915	1	35620312	2094577	49.4388
2	RT13.124	13.124	2	36428956	1275104	50.5612



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT9.016	9.016	1	5178018	365040	10.4798
2	RT13.060	13.060	2	44231606	1492119	89.5202

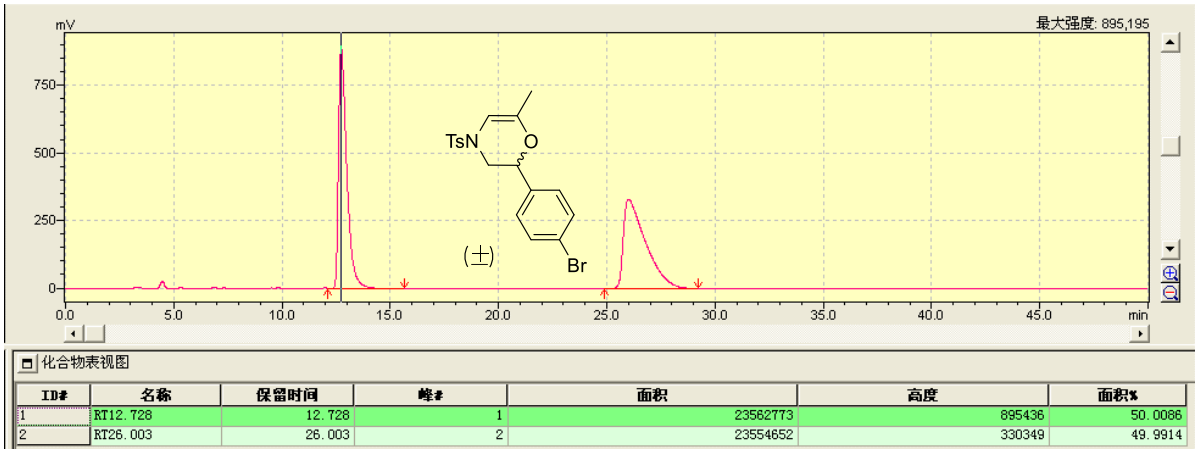
Translation of Chinese into English as follows.



化合物表视图

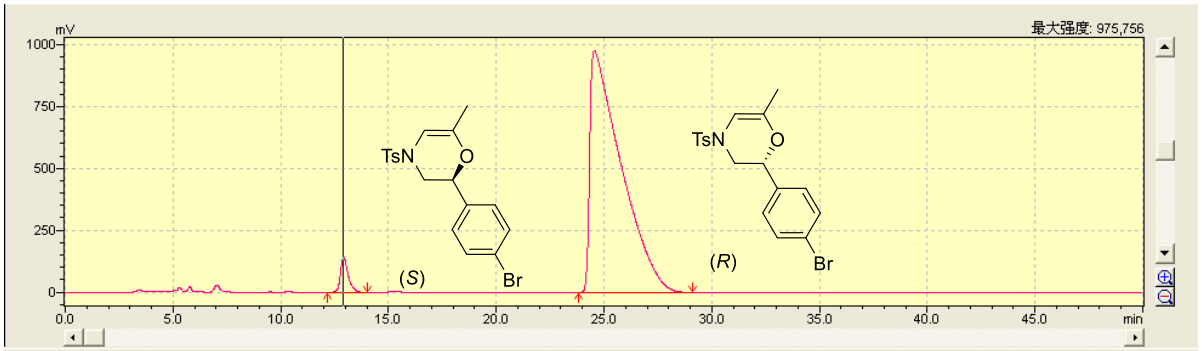
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3h: (*R*)-2-(4-bromophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 12 min, t_{minor} = 25 min).



化合物表视图

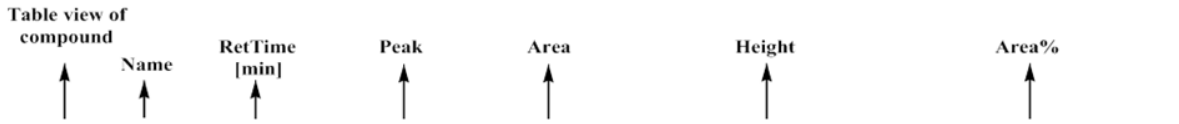
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.728	12.728	1	23582773	895436	50.0086
2	RT26.003	26.003	2	23554652	330349	49.9914



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.914	12.914	1	3533748	142496	3.4654
2	RT24.546	24.546	2	98437996	977439	96.5346

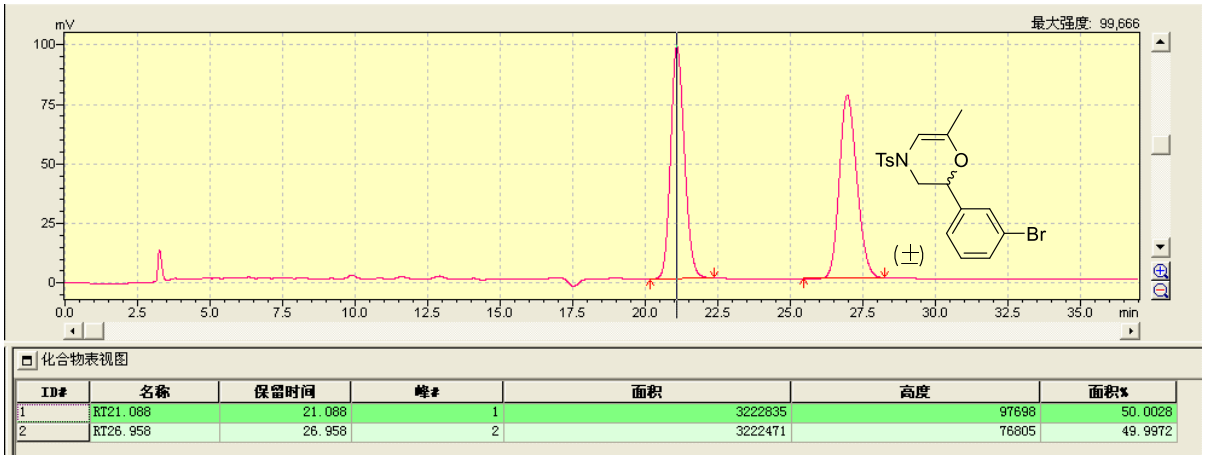
Translation of Chinese into English as follows.



化合物表视图

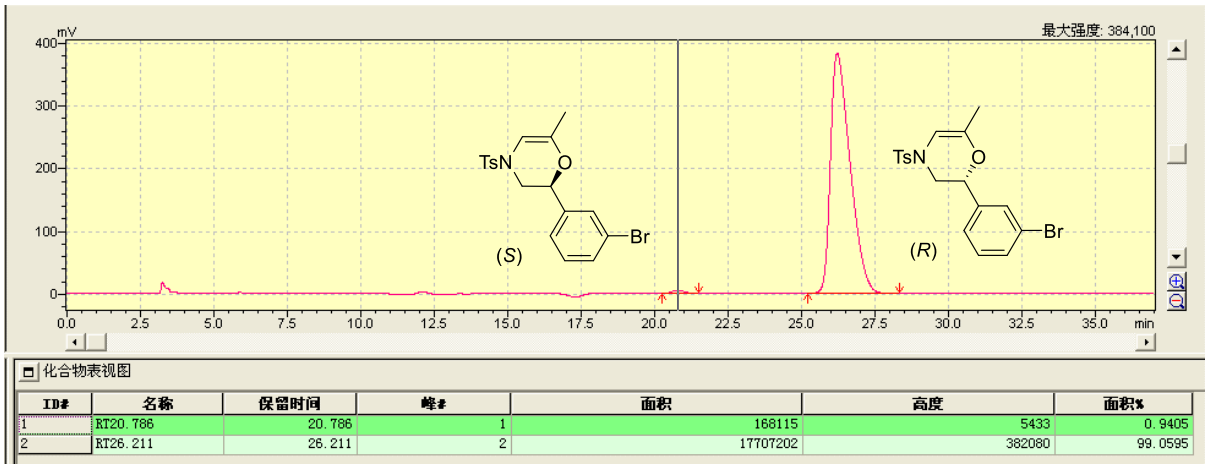
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3i: (*R*)-2-(3-bromophenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 21 min, t_{minor} = 26 min).



化合物表视图

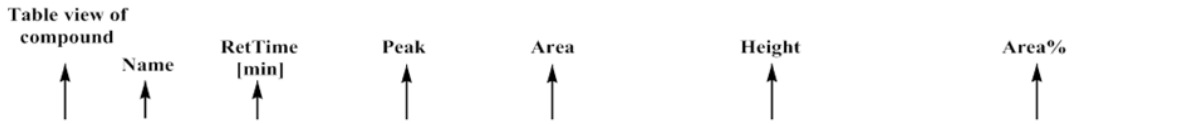
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT21.088	21.088	1	3222835	97698	50.0028
2	RT26.958	26.958	2	3222471	76805	49.9972



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT20.786	20.786	1	168115	5433	0.9405
2	RT26.211	26.211	2	17707202	382080	99.0595

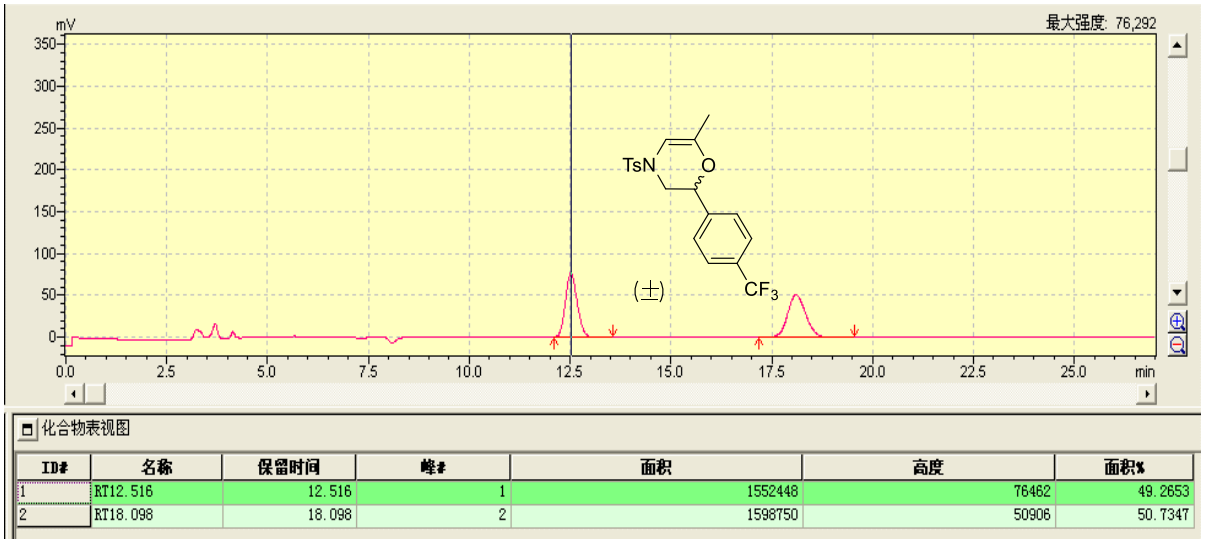
Translation of Chinese into English as follows.



化合物表视图

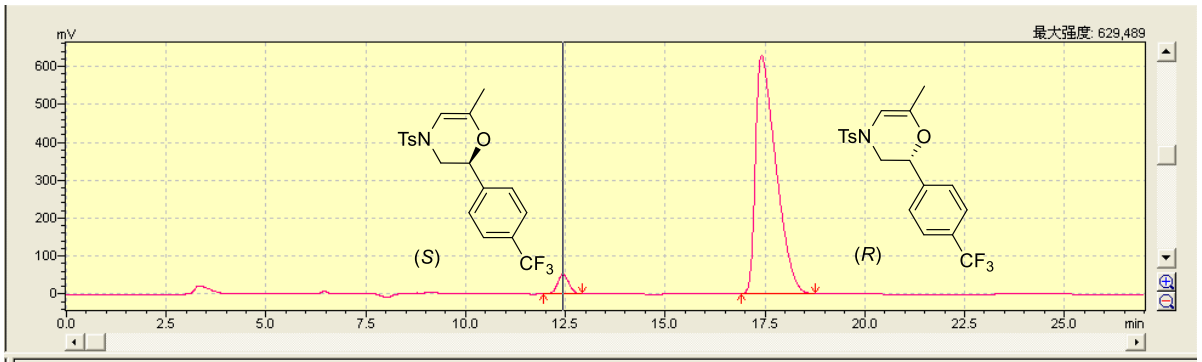
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3j: (*R*)-6-Methyl-4-tosyl-2-(4-(trifluoromethyl)phenyl)-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 12 min, t_{minor} = 17 min).



化合物表视图

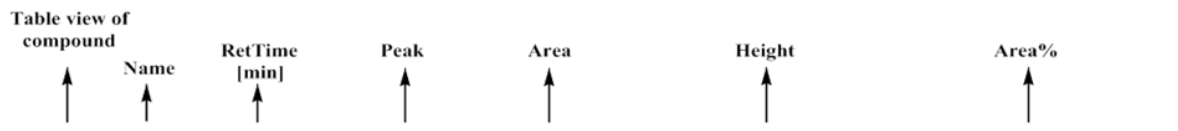
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.516	12.516	1	1552448	76462	49.2653
2	RT18.098	18.098	2	1598750	50906	50.7347



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.434	12.434	1	1028058	51854	4.3847
2	RT17.413	17.413	2	22418182	628985	95.6153

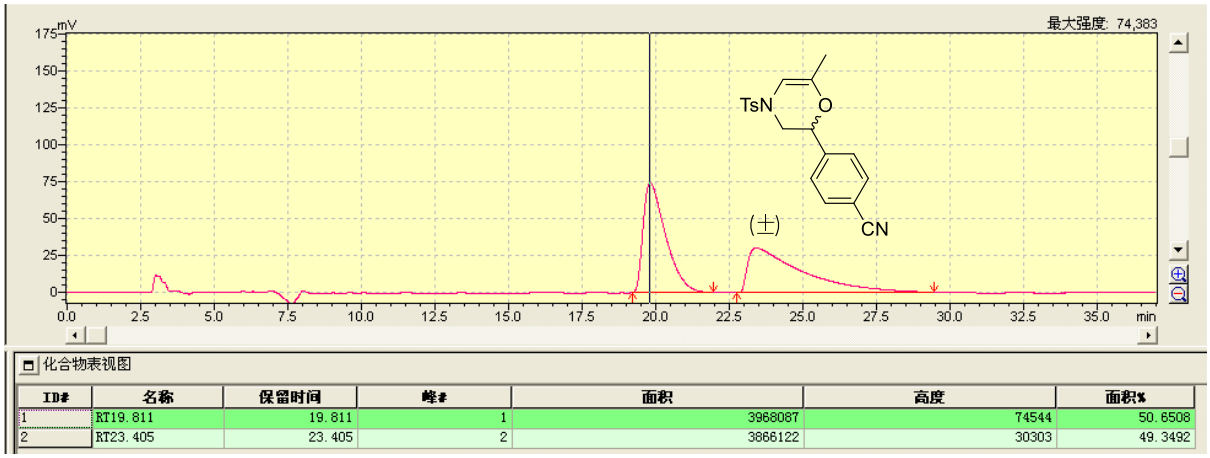
Translation of Chinese into English as follows.



化合物表视图

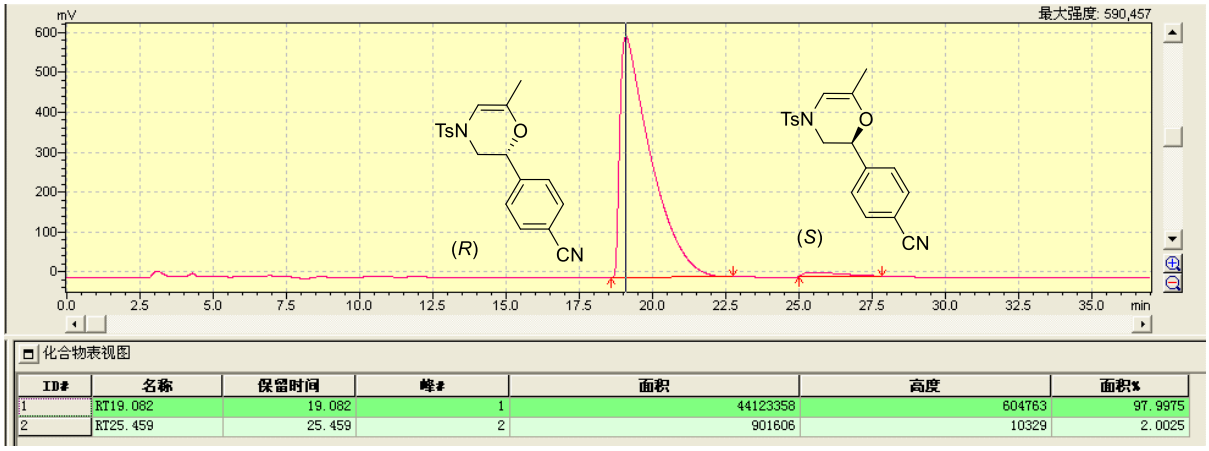
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3k: (*R*)-4-(6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazin-2-yl)benzonitrile.HPLC (OD-H , elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 19 min, t_{minor} = 25 min).



化合物表视图

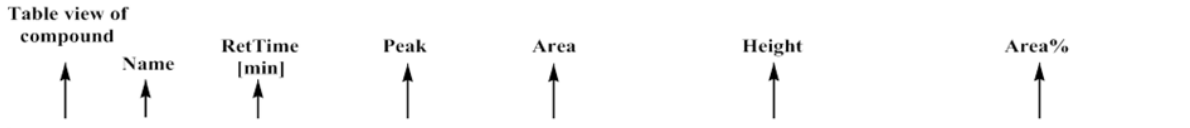
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT19.811	19.811	1	3968087	74544	50.6508
2	RT23.405	23.405	2	3866122	30303	49.3492



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT19.082	19.082	1	44123358	604763	97.9975
2	RT25.459	25.459	2	901606	10329	2.0025

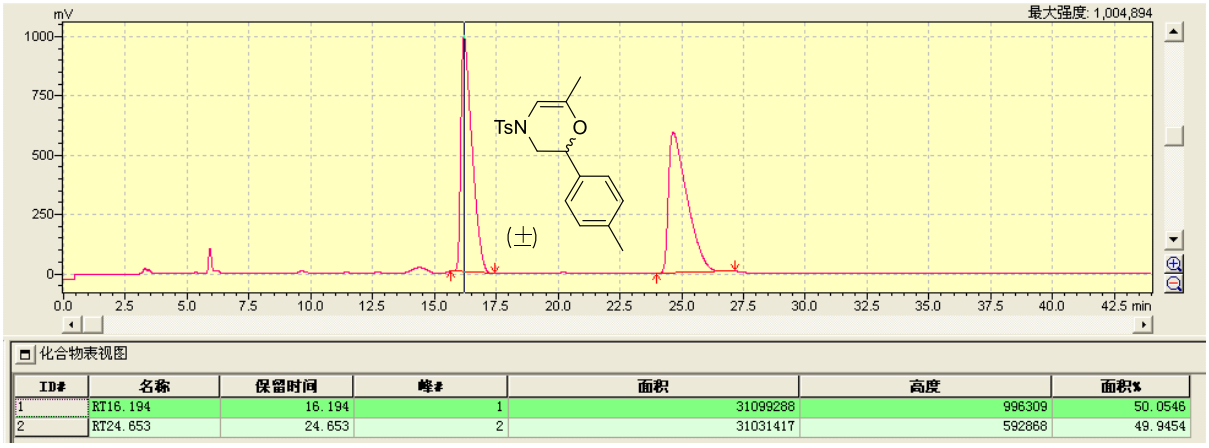
Translation of Chinese into English as follows.



化合物表视图

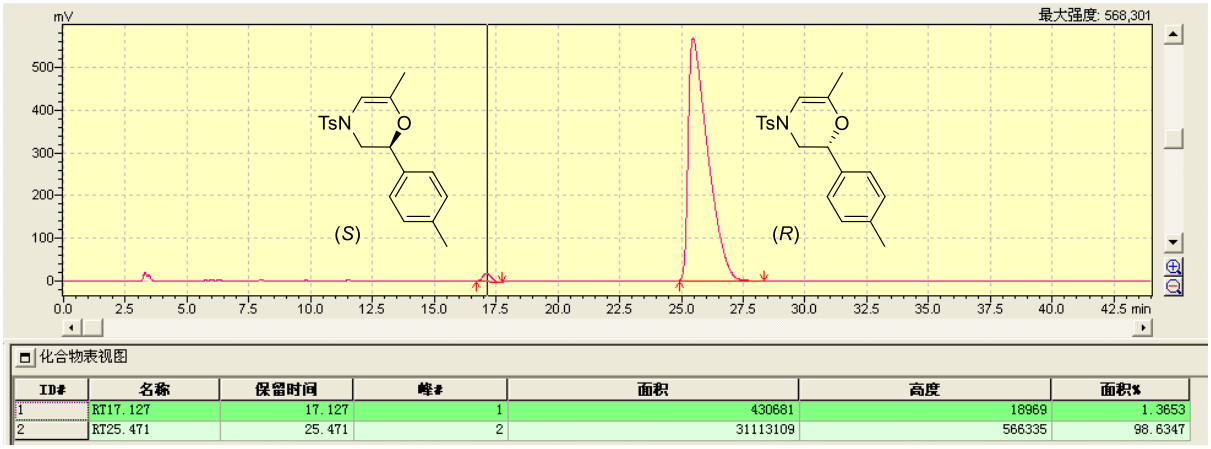
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3l: (*R*)-6-Methyl-2-(p-tolyl)-4-tosyl-3,4-dihydro-2H-1,4-oxazine.HPLC (OZ-H,elute:Hexane/*i*-PrOH = 95/5, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC , t_{major} = 17 min, t_{minor} = 25 min).



化合物表视图

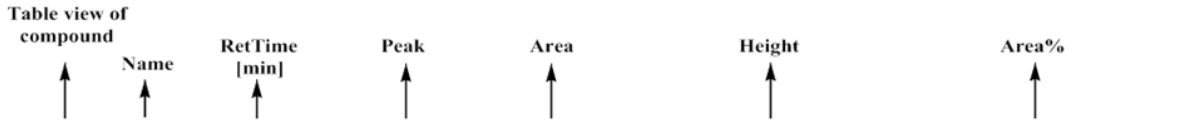
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.194	16.194	1	31099288	996309	50.0546
2	RT24.653	24.653	2	31031417	592868	49.9454



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT17.127	17.127	1	430681	18969	1.3653
2	RT25.471	25.471	2	31113109	566335	98.6347

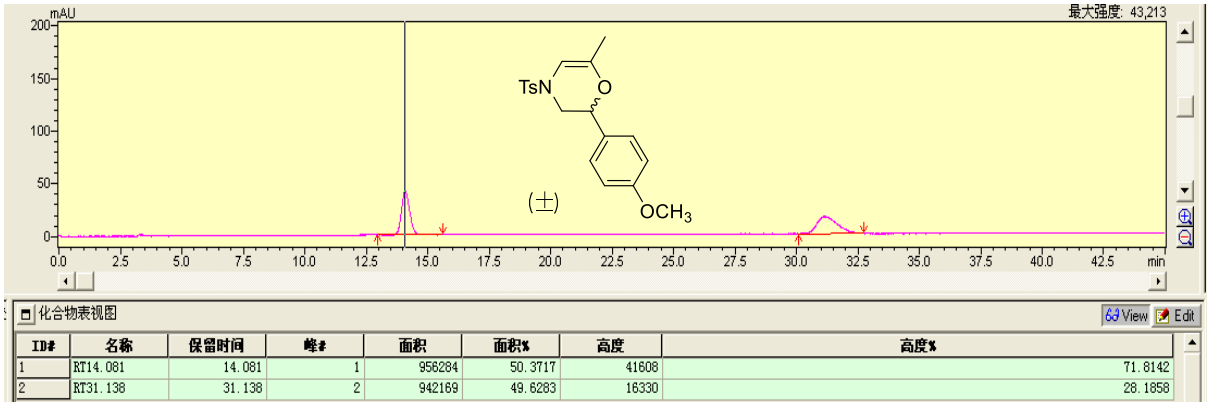
Translation of Chinese into English as follows.



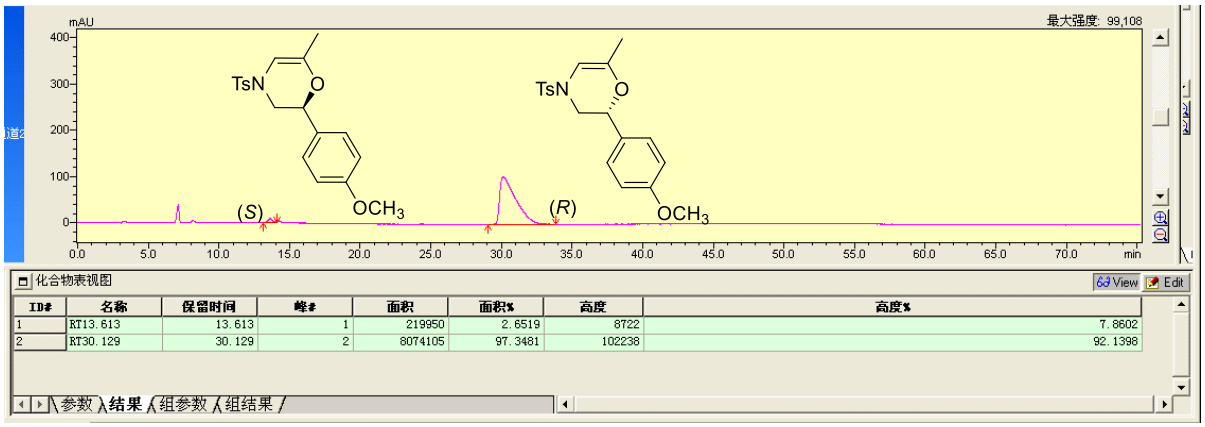
化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3m: (*R*)-2-(4-methoxyphenyl)-6-methyl-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 14 min, t_{minor} = 30 min).

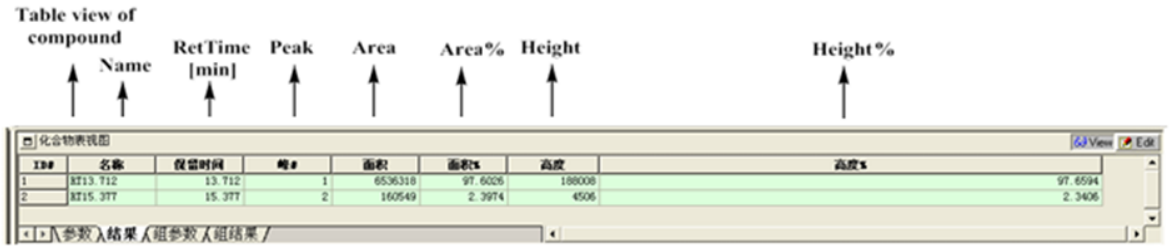


ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT14.081	14.081	1	956284	50.3717	41608	71.8142
2	RT31.138	31.138	2	942169	49.6283	16330	28.1858



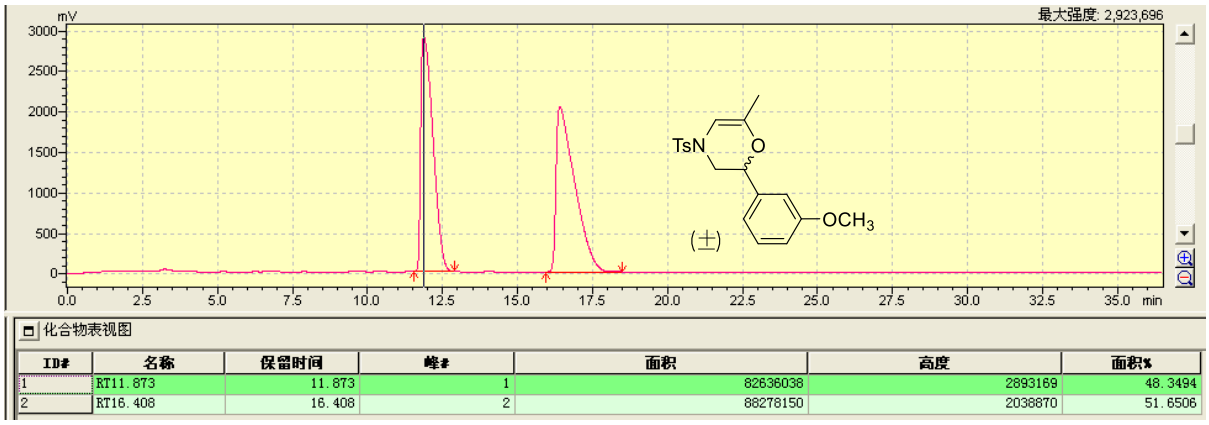
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT13.613	13.613	1	219950	2.6519	8722	7.8602
2	RT30.129	30.129	2	8074105	97.3481	102238	92.1398

Translation of Chinese into English as follows.



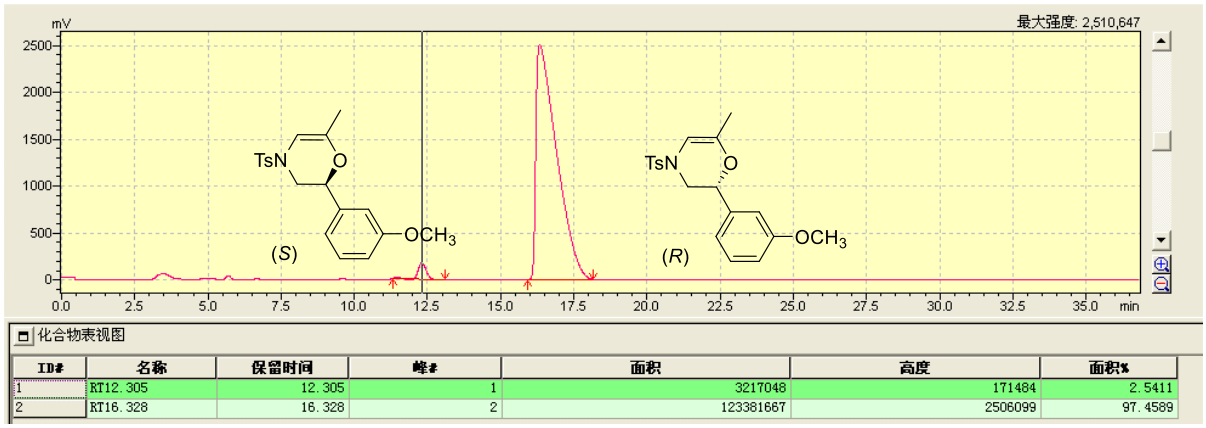
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT13.712	13.712	1	6536318	97.6026	188008	97.6594
2	RT15.377	15.377	2	160549	2.3974	4506	2.3406

(*R*)-3n: (*R*)-2-(3-methoxyphenyl)-6-methyl-4-tosyl-3,4-dihydro-2*H*-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 12 min, t_{minor} = 16 min).



化合物表视图

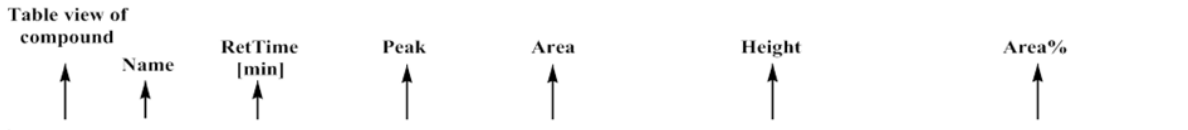
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT11.873	11.873	1	82636038	2893169	48.3494
2	RT16.408	16.408	2	88278150	2038870	51.6506



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.305	12.305	1	3217048	171484	2.5411
2	RT16.328	16.328	2	123381667	2506099	97.4589

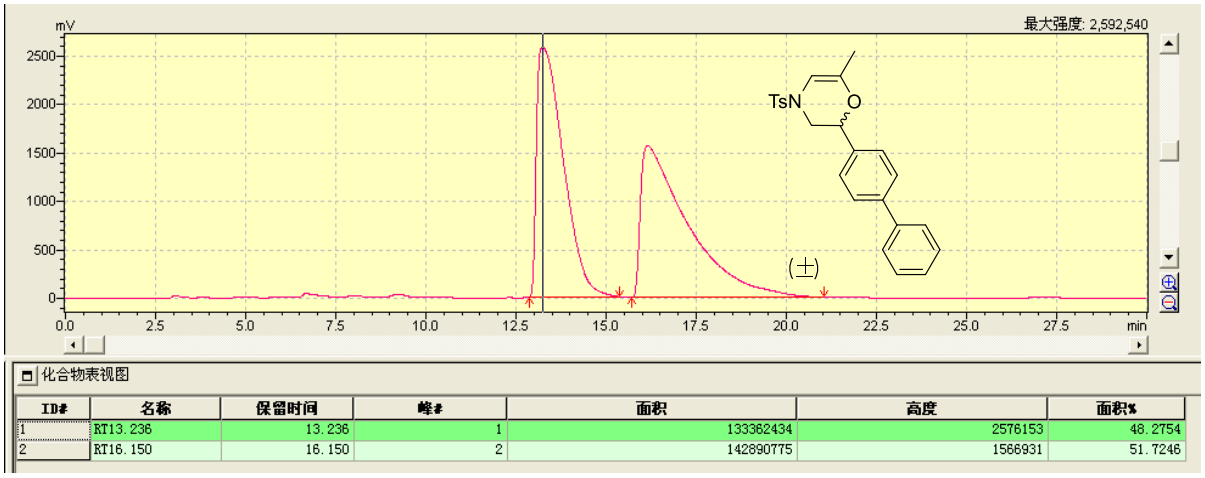
Translation of Chinese into English as follows.



化合物表视图

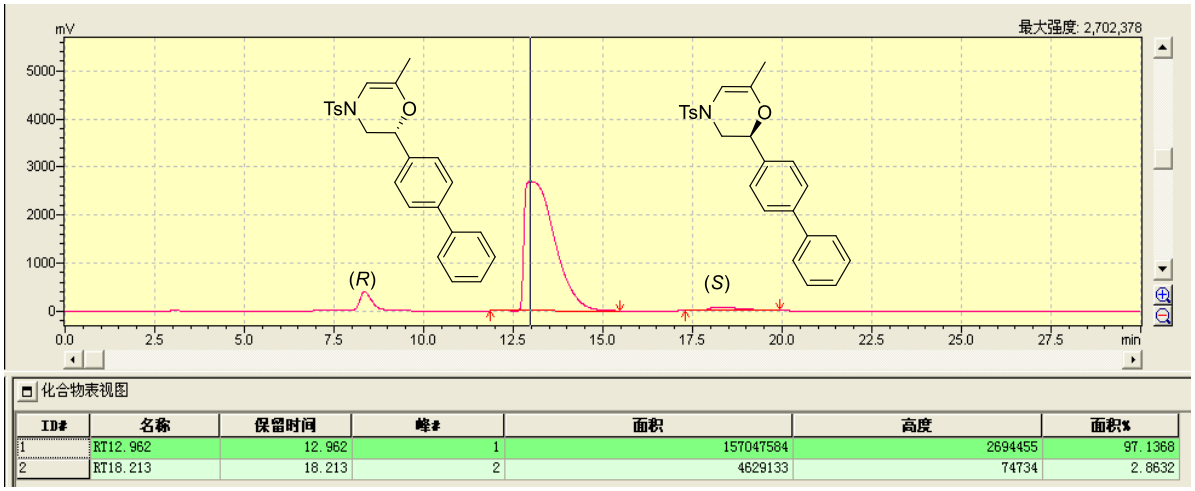
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3o: (*R*)-2-([1,1'-biphenyl]-4-yl)-6-methyl-4-tosyl-3,4-dihydro-2*H*-1,4-oxazine.HPLC (OD-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 13 min, t_{minor} = 18 min).



化合物表视图

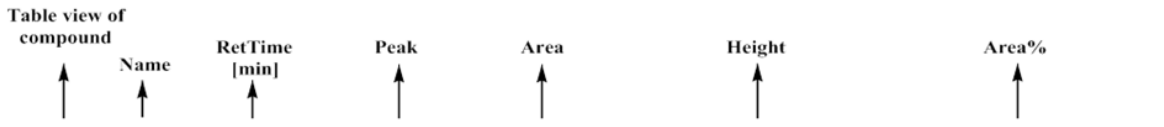
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT13.236	13.236	1	133362434	2576153	48.2754
2	RT16.150	16.150	2	142890775	1566931	51.7246



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.962	12.962	1	157047584	2694455	97.1368
2	RT18.213	18.213	2	4629133	74734	2.8632

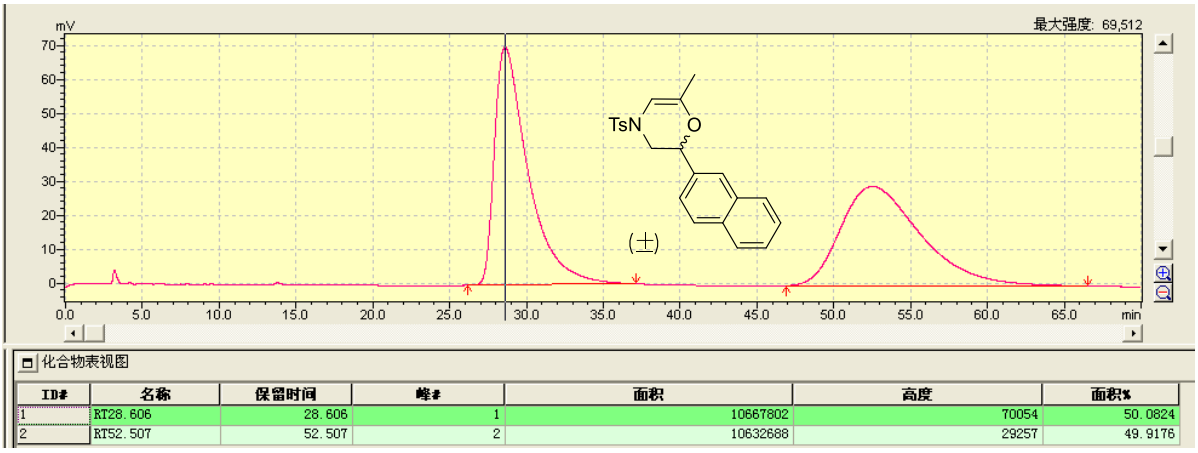
Translation of Chinese into English as follows.



化合物表视图

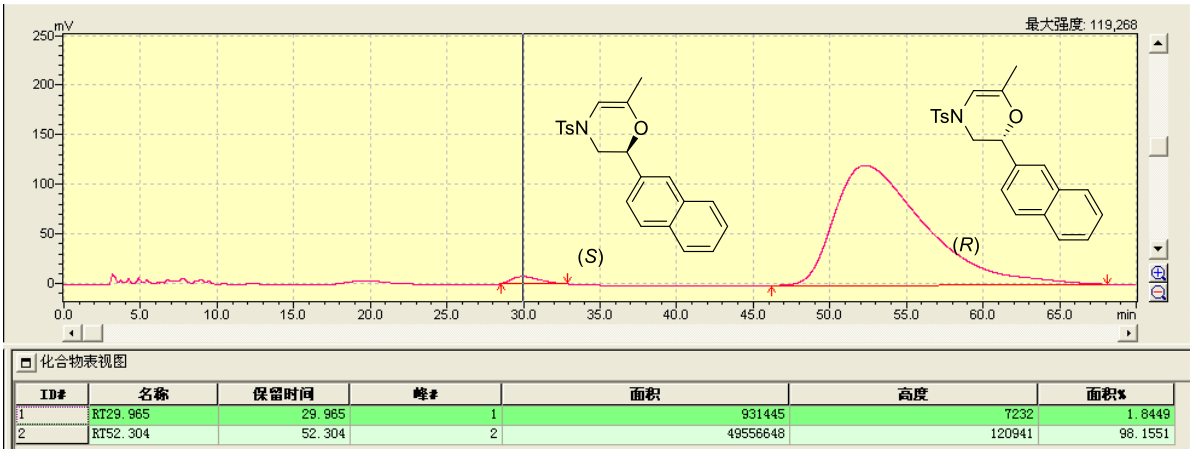
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3p: (*R*)-6-Methyl-2-(naphthalen-2-yl)-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OJ-H, elute: Hexane/*i*-PrOH = 85/15, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 29 min, t_{minor} = 52 min).



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT28.606	28.606	1	10667802	70054	50.0824
2	RT52.507	52.507	2	10632688	29257	49.9176



化合物表视图

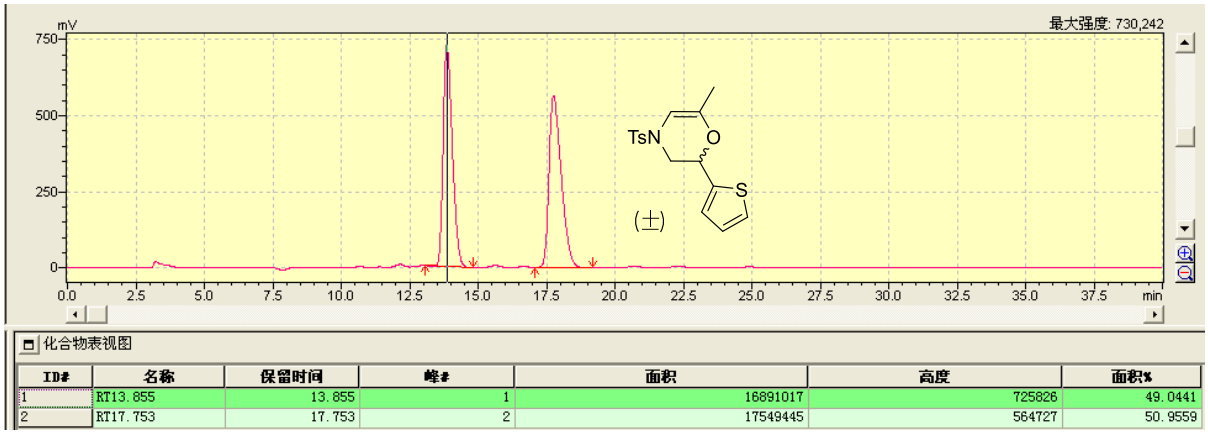
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT29.965	29.965	1	931445	7232	1.8449
2	RT52.304	52.304	2	49556648	120941	98.1551

Translation of Chinese into English as follows.

化合物表视图

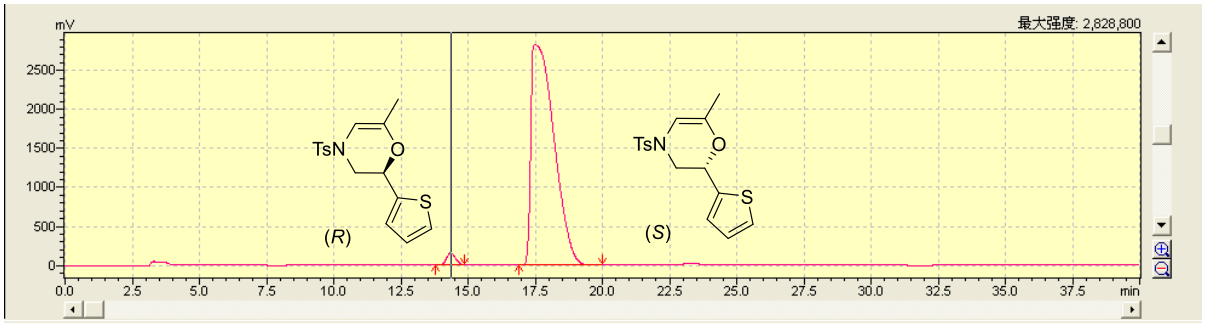
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3q: (*R*)-6-methyl-2-(thiophen-2-yl)-4-tosyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 14 min, t_{minor} = 17 min).



化合物表视图

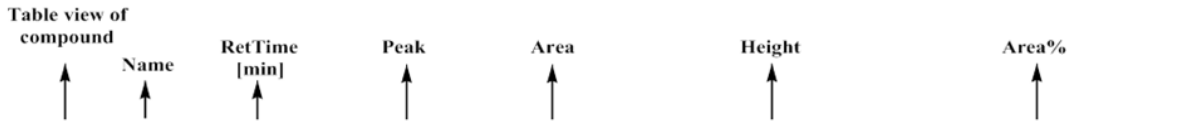
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT13. 855	13. 855	1	16891017	725826	49. 0441
2	RT17. 753	17. 753	2	17549445	564727	50. 9559



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT14. 355	14. 355	1	3578676	152599	2. 0829
2	RT17. 483	17. 483	2	168233511	2821727	97. 9171

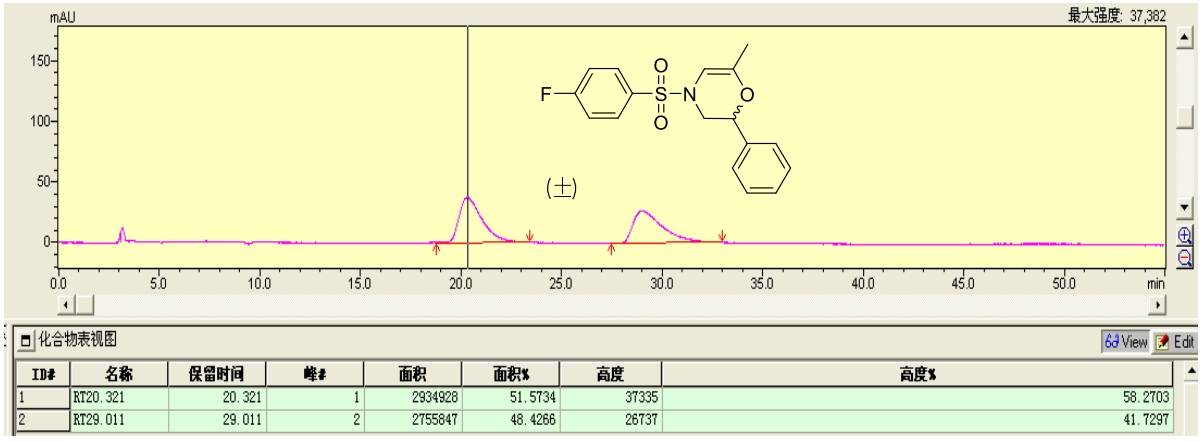
Translation of Chinese into English as follows.



化合物表视图

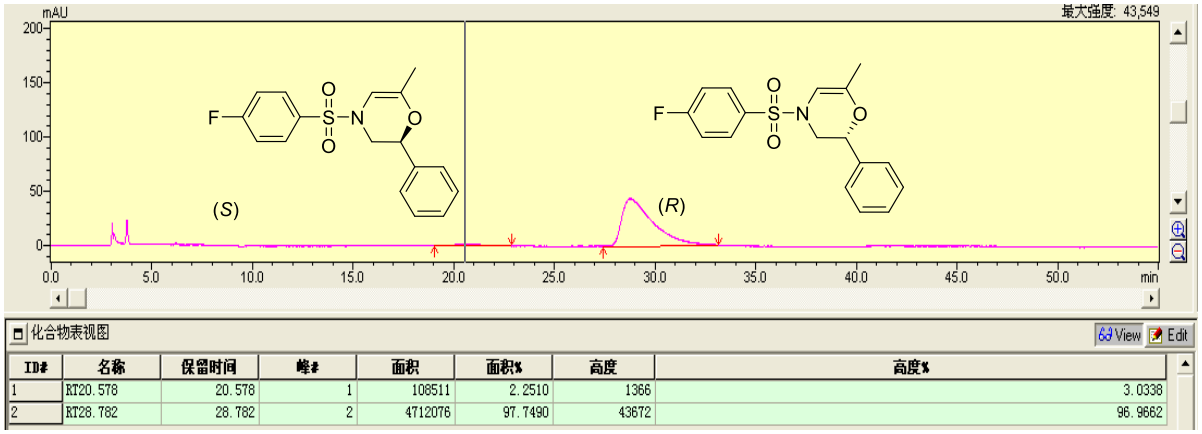
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16. 273	16. 273	1	29062298	1157381	98. 0529
2	RT18. 111	18. 111	2	577094	24437	1. 9471

(*R*)-3r: (*R*)-4-((4-fluorophenyl)sulfonyl)-6-methyl-2-phenyl-3,4-dihydro-2*H*-1,4-oxazine. HPLC (OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 20 min, t_{minor} = 29 min).



化合物表视图

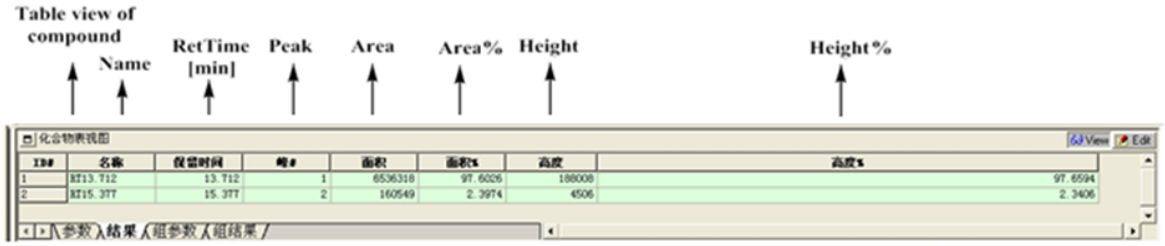
ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT20.321	20.321	1	2934928	51.5734	37335	58.2703
2	RT29.011	29.011	2	2755847	48.4266	26737	41.7297



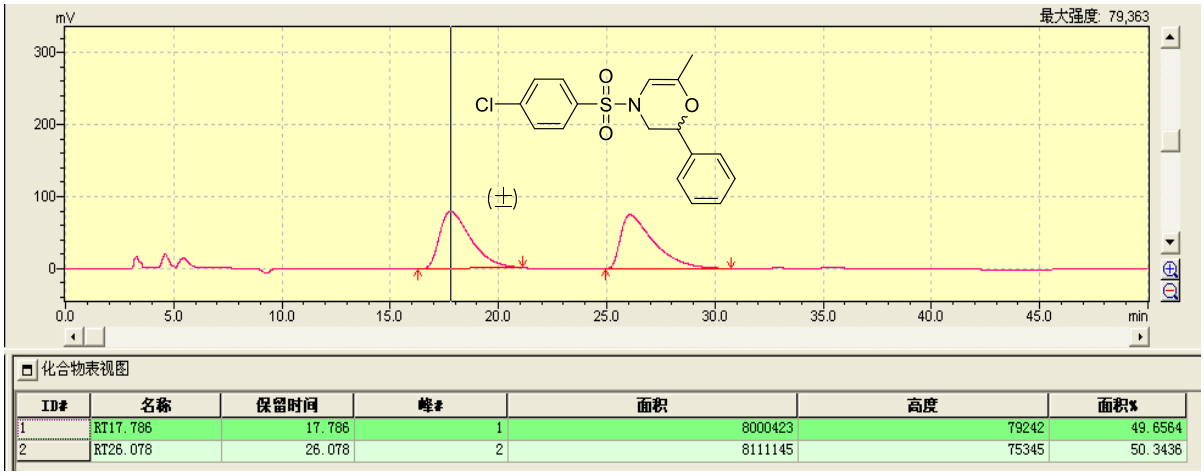
化合物表视图

ID#	名称	保留时间	峰#	面积	面积%	高度	高度%
1	RT20.578	20.578	1	108511	2.2510	1366	3.0338
2	RT28.782	28.782	2	4712076	97.7490	43672	96.9662

Translation of Chinese into English as follows.

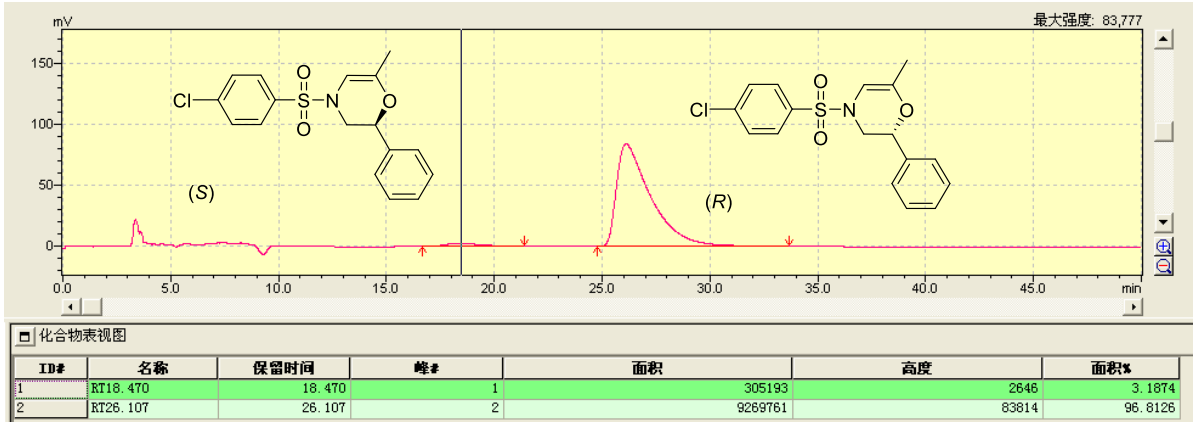


(*R*)-3s: (*R*)-4-((4-chlorophenyl)sulfonyl)-6-methyl-2-phenyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 18 min, t_{minor} = 26 min).



化合物表视图

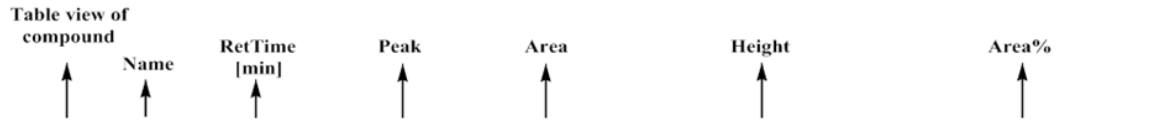
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT17.786	17.786	1	8000423	79242	49.6564
2	RT26.078	26.078	2	8111145	75345	50.3436



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT18.470	18.470	1	305193	2646	3.1874
2	RT26.107	26.107	2	9269761	83814	96.8126

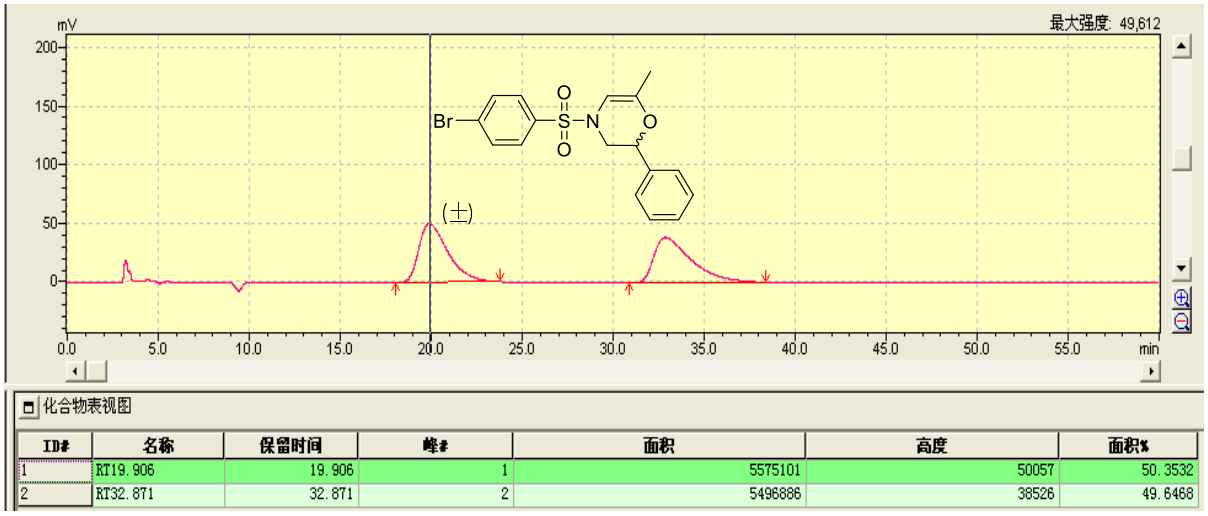
Translation of Chinese into English as follows.



化合物表视图

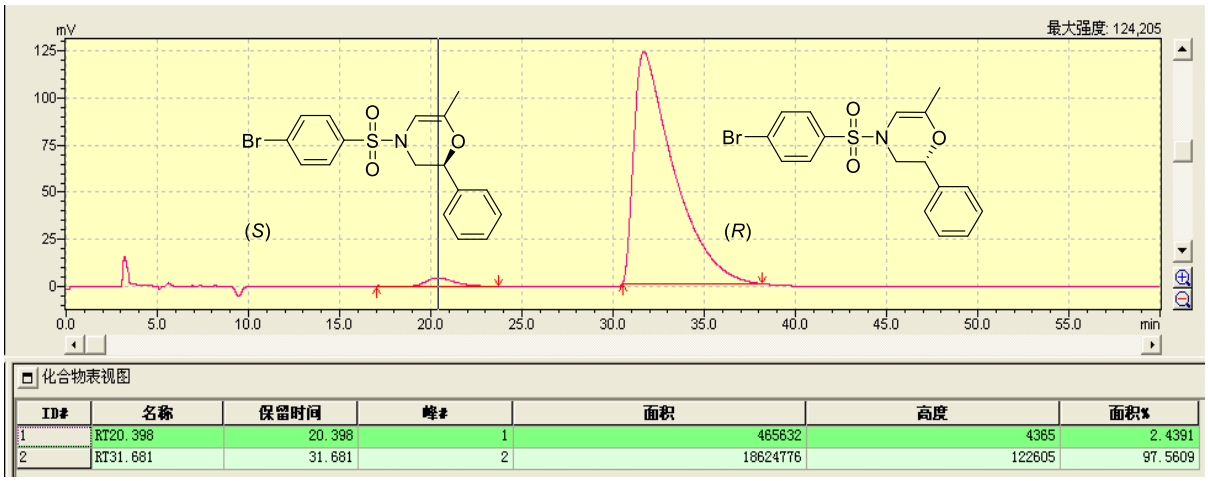
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3t: (*R*)-4-((4-bromophenyl)sulfonyl)-6-methyl-2-phenyl-3,4-dihydro-2H-1,4-oxazine. HPLC (OJ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 21 min, t_{minor} = 32 min).



化合物表视图

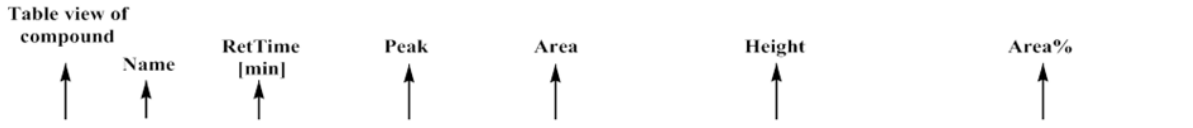
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT19.906	19.906	1	5575101	50057	50.3532
2	RT32.871	32.871	2	5496886	38526	49.6468



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT20.398	20.398	1	465632	4365	2.4391
2	RT31.681	31.681	2	18624776	122605	97.5609

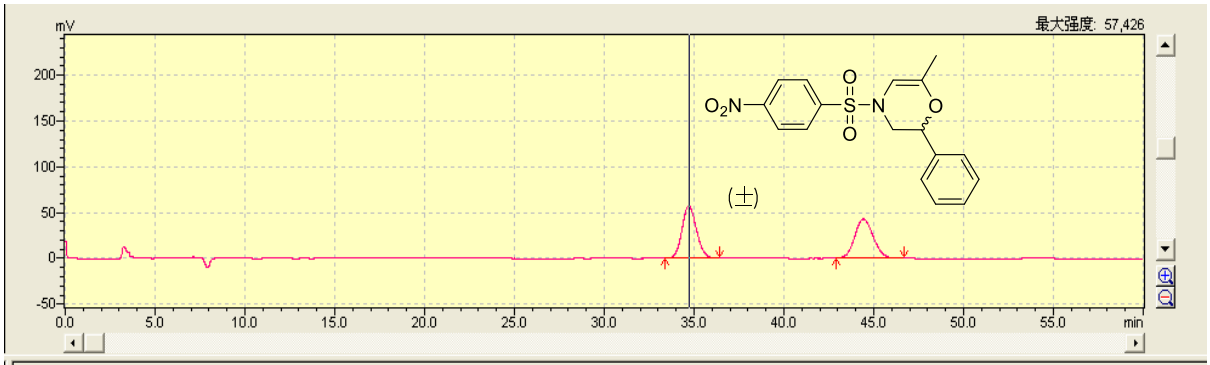
Translation of Chinese into English as follows.



化合物表视图

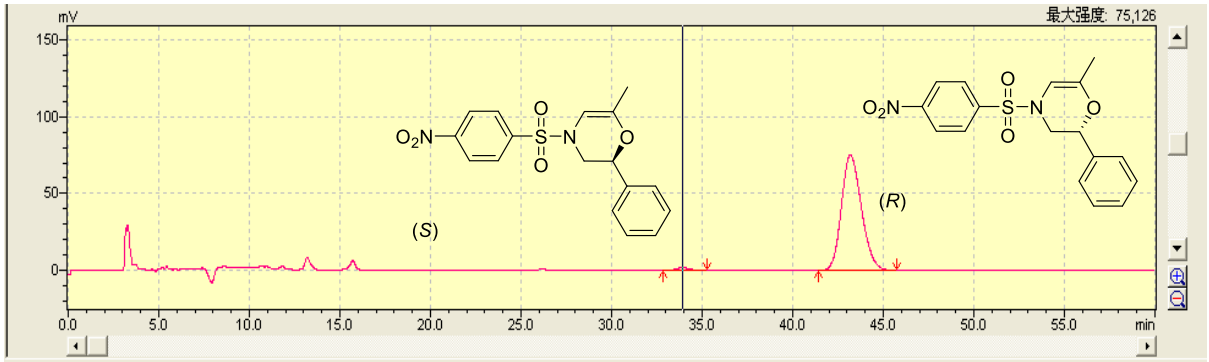
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

(*R*)-3u: (*R*)-6-methyl-4-((4-nitrophenyl)sulfonyl)-2-phenyl-3,4-dihydro-2*H*-1,4-oxazine. HPLC (OZ-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 ºC, t_{major} = 34 min, t_{minor} = 43 min).



化合物表视图

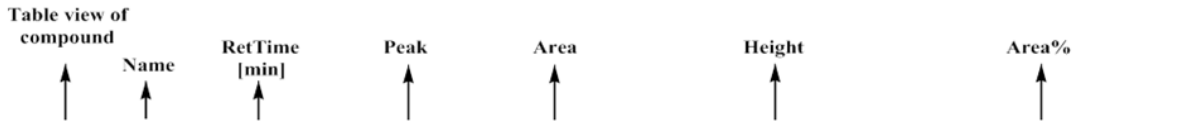
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT34.699	34.699	1	3216309	57280	50.9550
2	RT44.415	44.415	2	3095744	43044	49.0450



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT33.940	33.940	1	109137	1897	1.8430
2	RT43.177	43.177	2	5812534	75552	98.1570

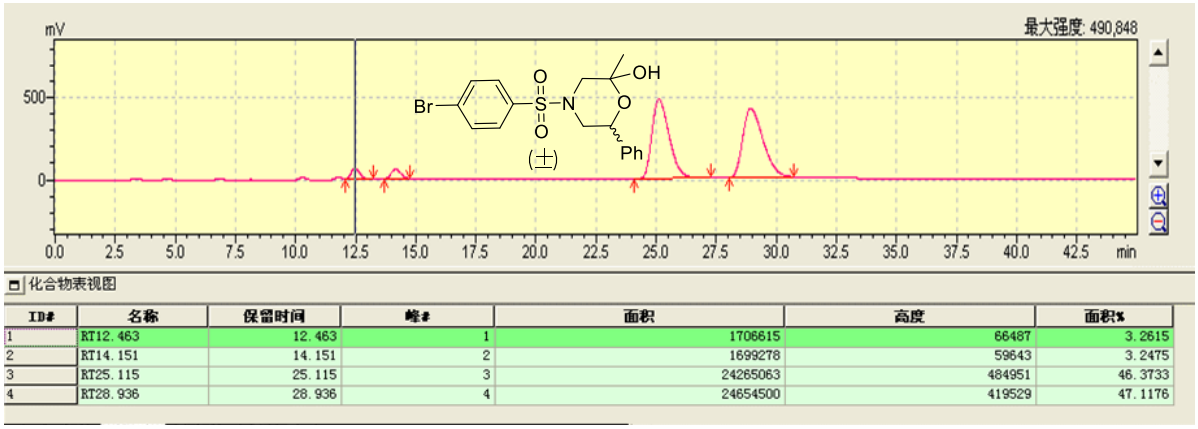
Translation of Chinese into English as follows.



化合物表视图

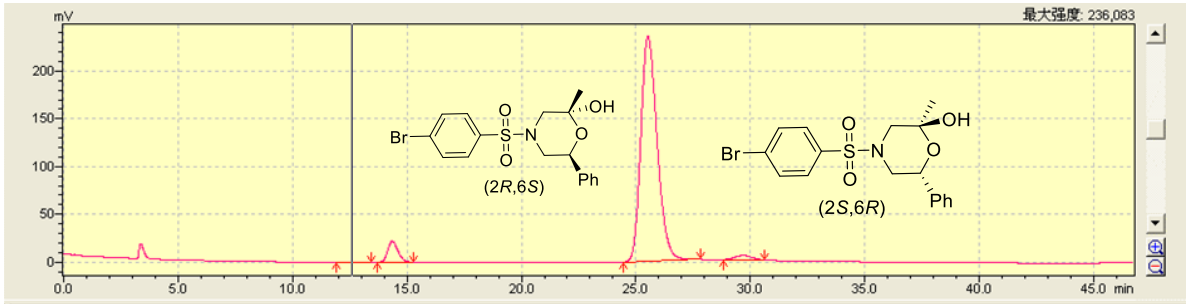
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

4: (2*S*,6*R*)-4-((4-bromophenyl)sulfonyl)-2-methyl-6-phenylmorpholin-2-ol. HPLC (IC-H, elute: Hexane/*i*-PrOH = 90/10, detector: 254 nm, flow rate: 1.0 mL/min, 25 °C, t_{major} = 25 min, t_{minor} = 29 min).



化合物表视图

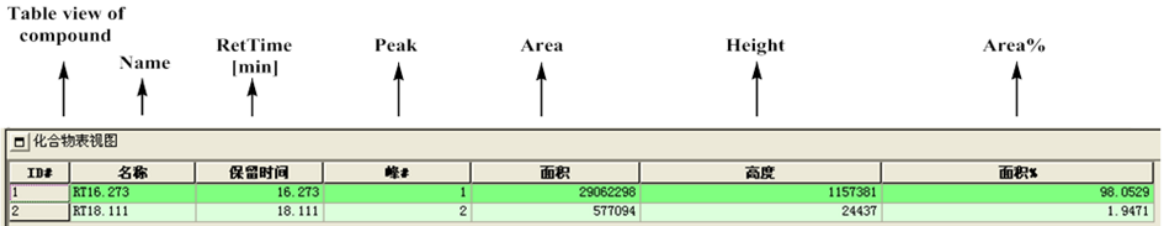
ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.463	12.463	1	1706615	66487	3.2615
2	RT14.151	14.151	2	1699278	59643	3.2475
3	RT25.115	25.115	3	24265063	484951	46.3733
4	RT28.936	28.936	4	24654500	419529	47.1176



化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT12.605	12.605	1	15197	590	0.1201
2	RT14.355	14.355	2	699693	22210	5.5278
3	RT25.525	25.525	3	11708429	235294	92.5008
4	RT29.677	29.677	4	234330	4500	1.8513

Translation of Chinese into English as follows.

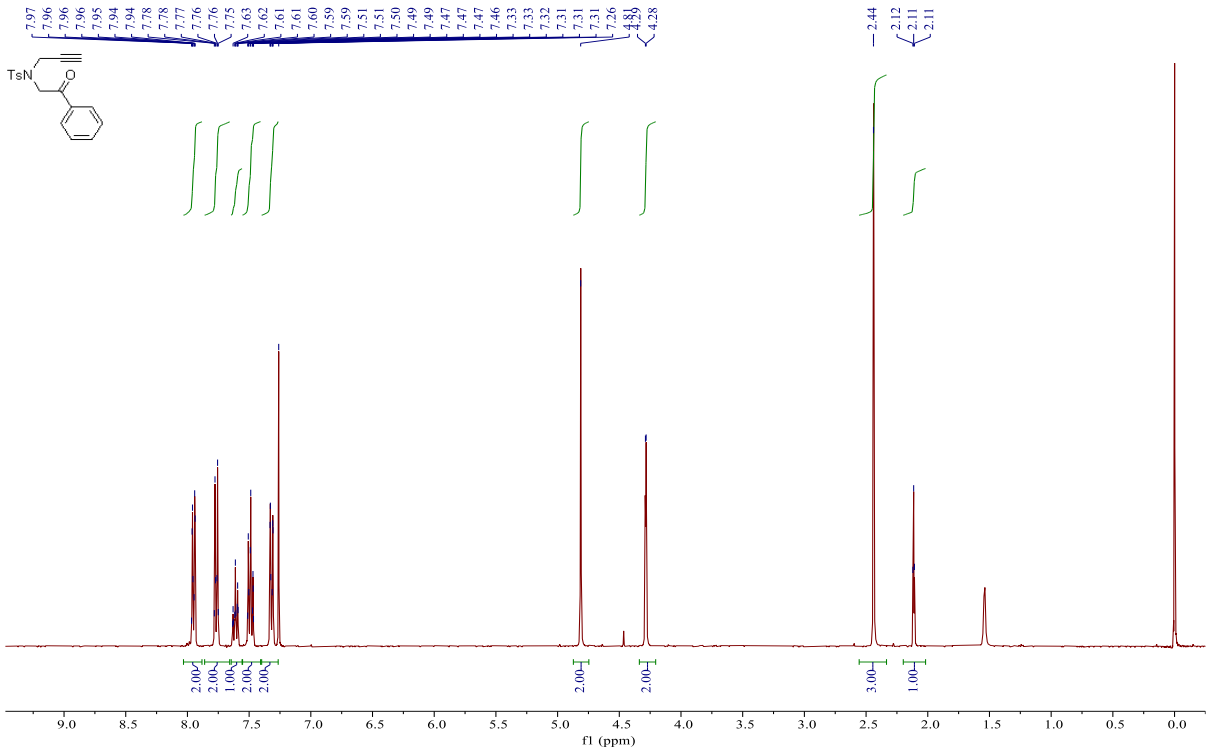


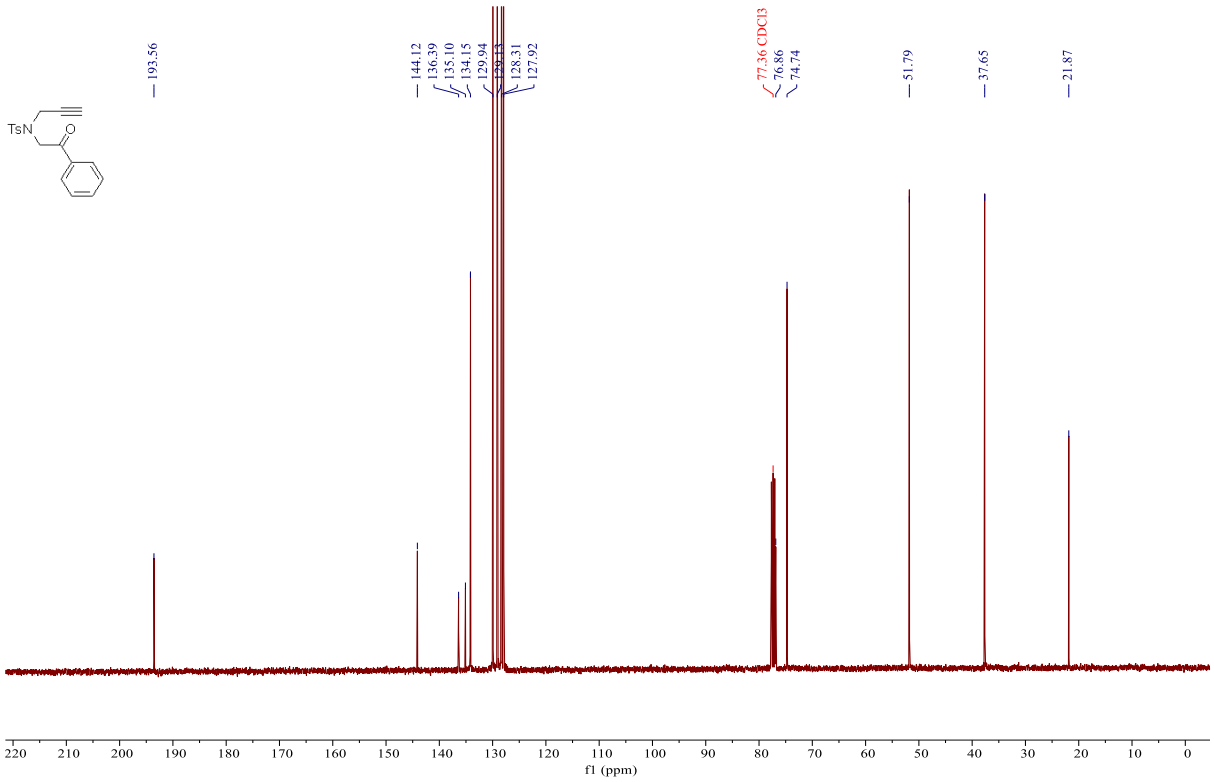
化合物表视图

ID#	名称	保留时间	峰#	面积	高度	面积%
1	RT16.273	16.273	1	29062298	1157381	98.0529
2	RT18.111	18.111	2	577094	24437	1.9471

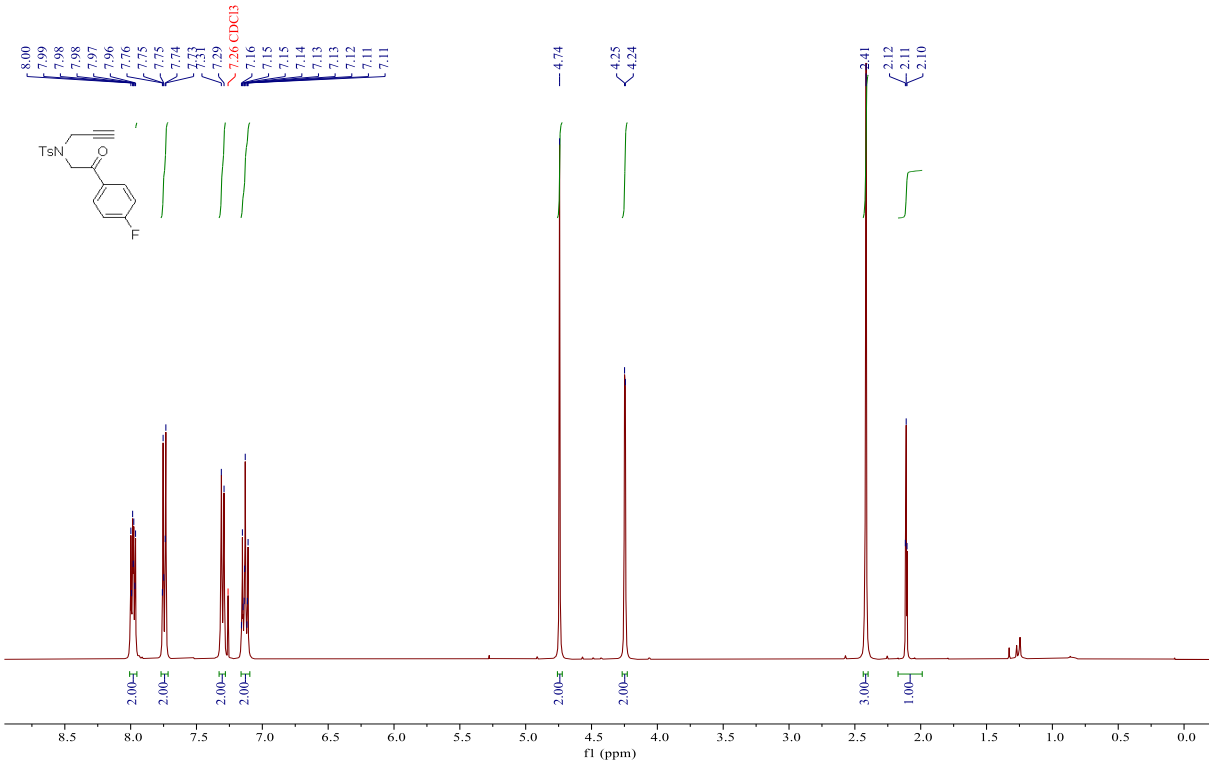
7. The ^{1}H-NMR and ^{13}C-NMR of compounds (1-2), chiral products (3), and 4.

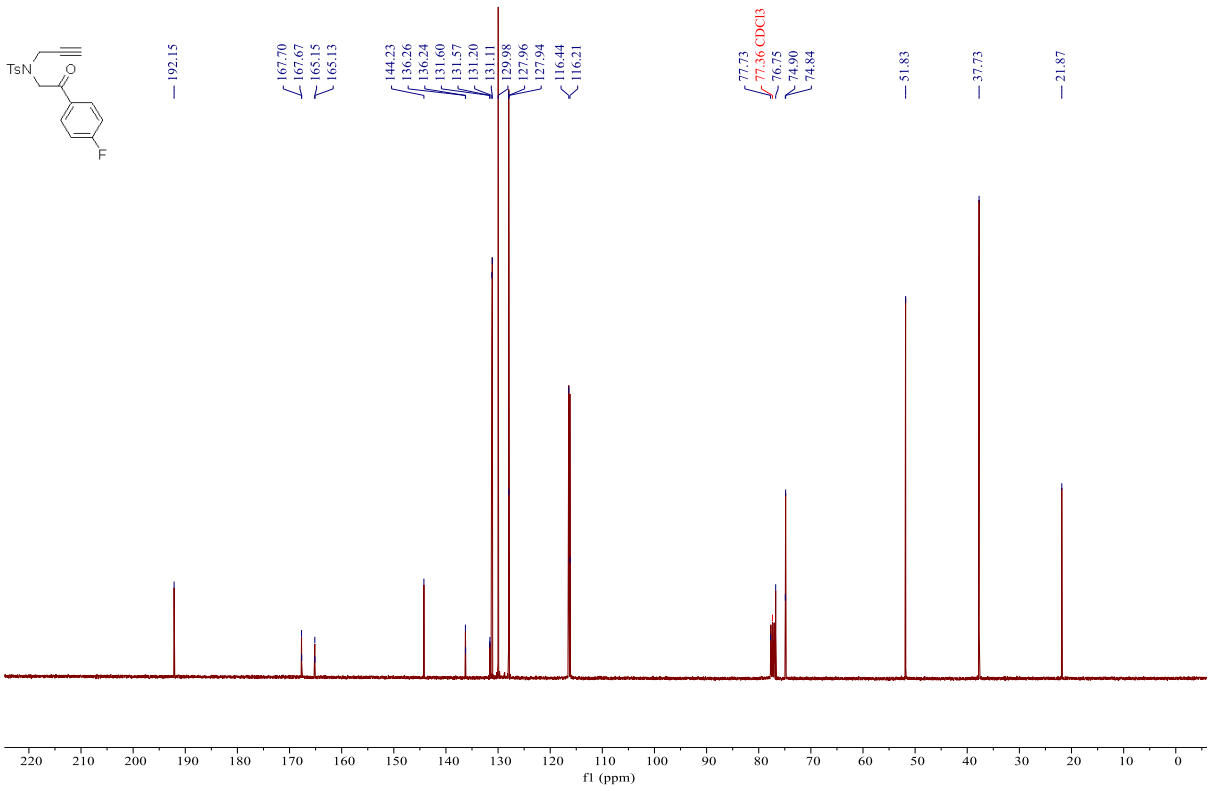
^{1}H-NMR and ^{13}C-NMR spectra of 1a.



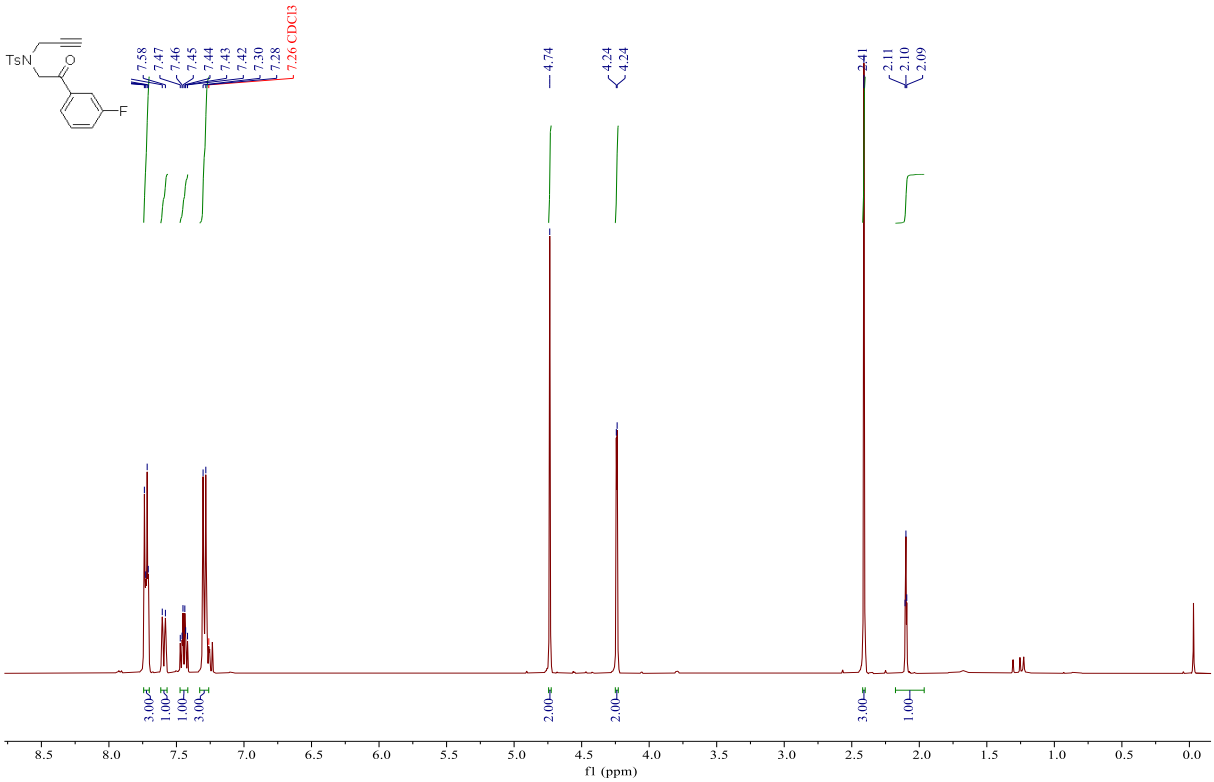


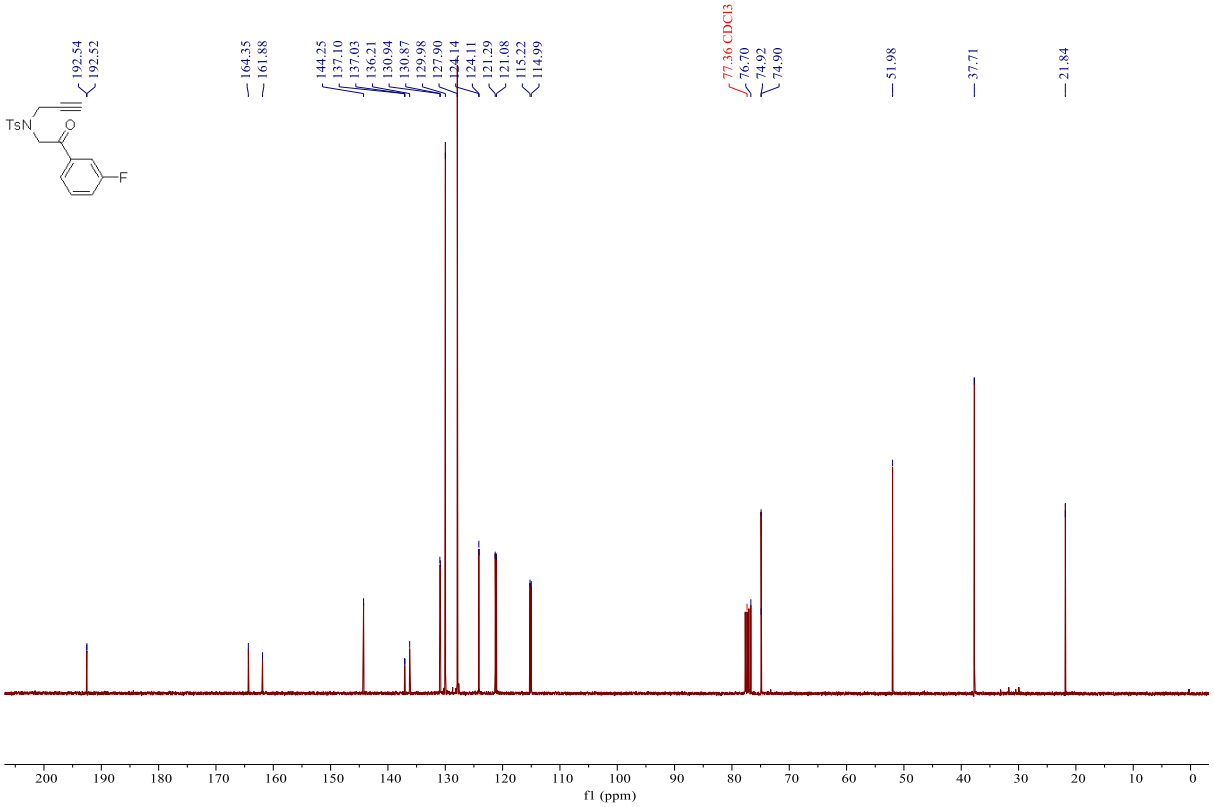
^{1}H-NMR and ^{13}C-NMR spectra of 1b.



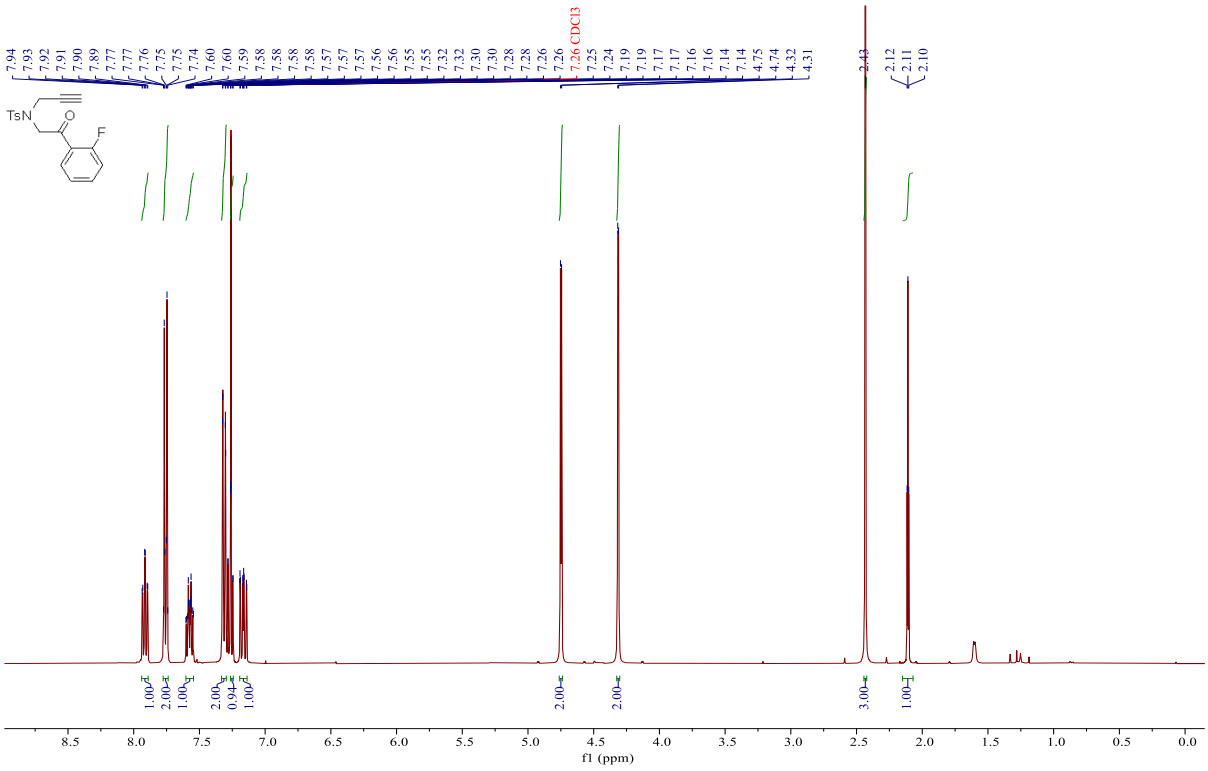


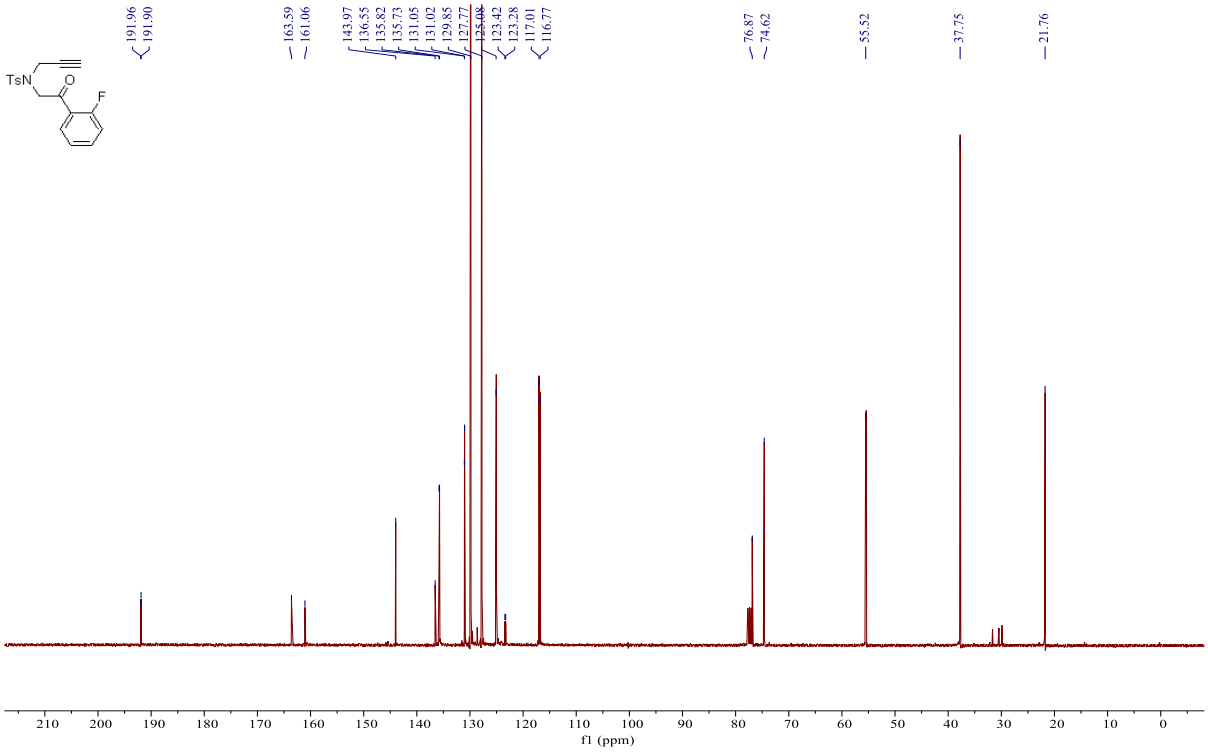
^{1}H-NMR and ^{13}C-NMR spectra of 1c.



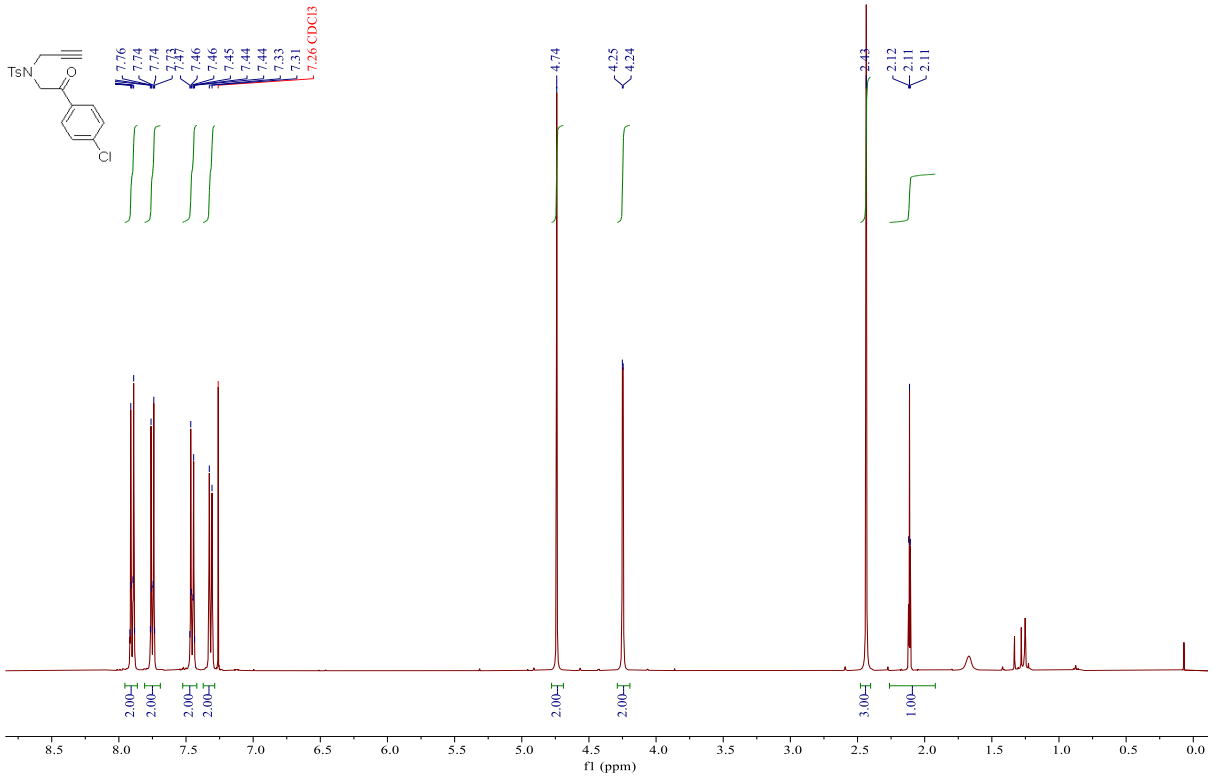


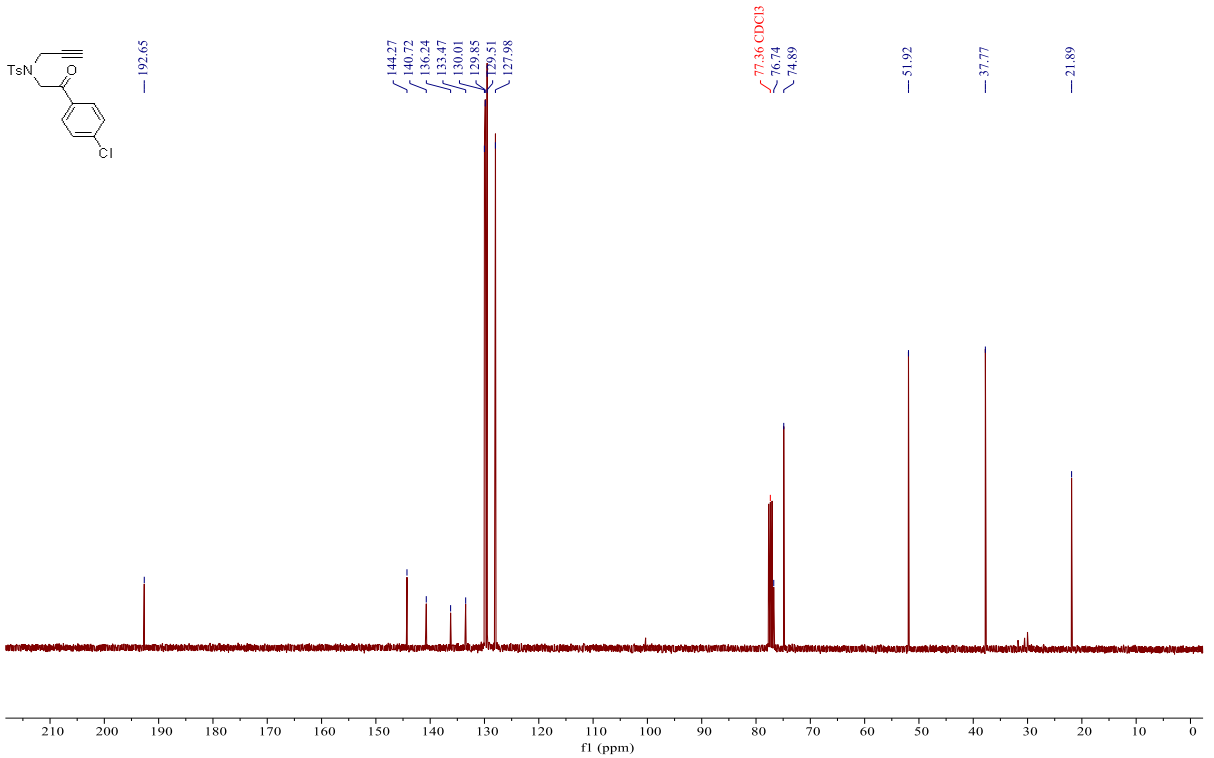
^{1}H-NMR and ^{13}C-NMR spectra of 1d.



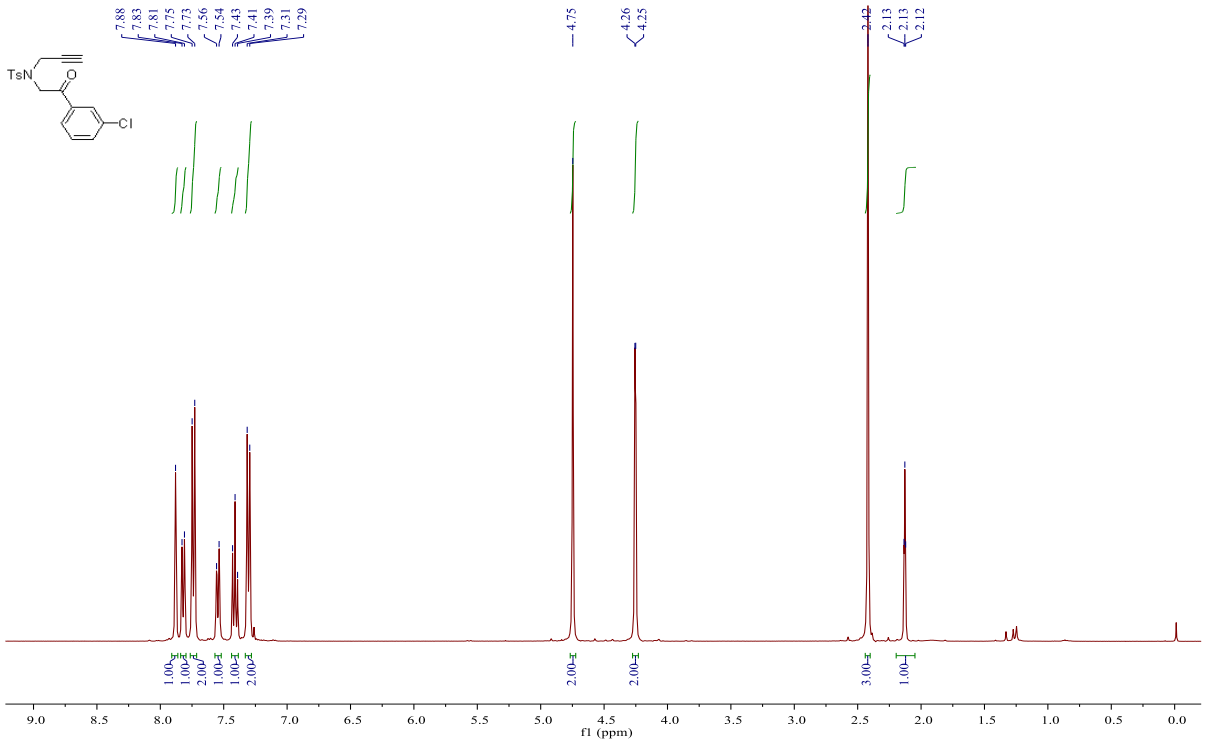


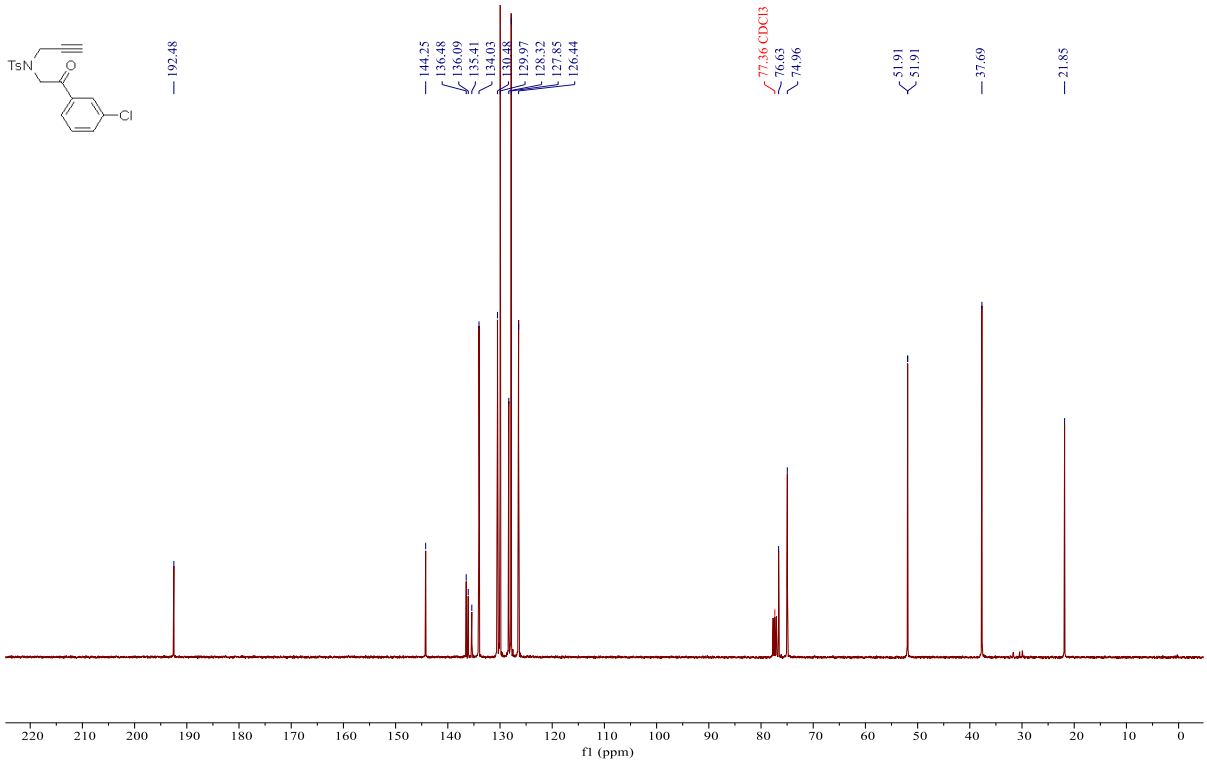
^{1}H-NMR and ^{13}C-NMR spectra of 1e.



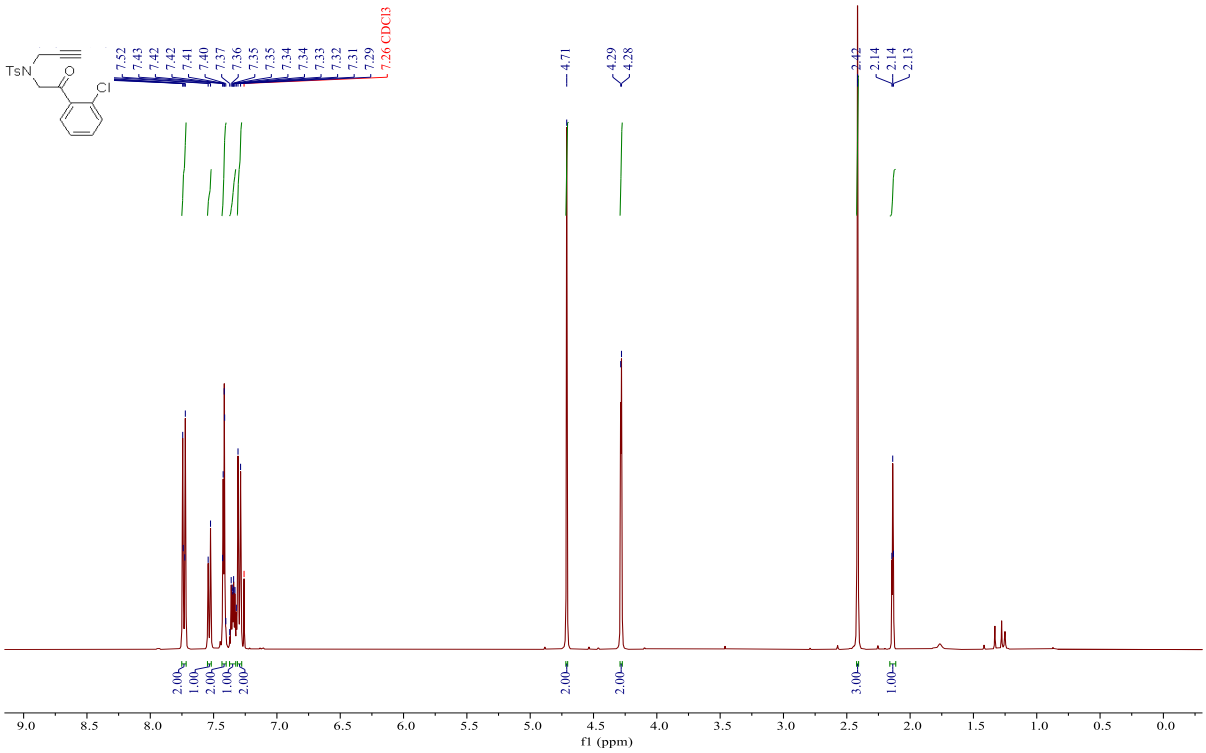


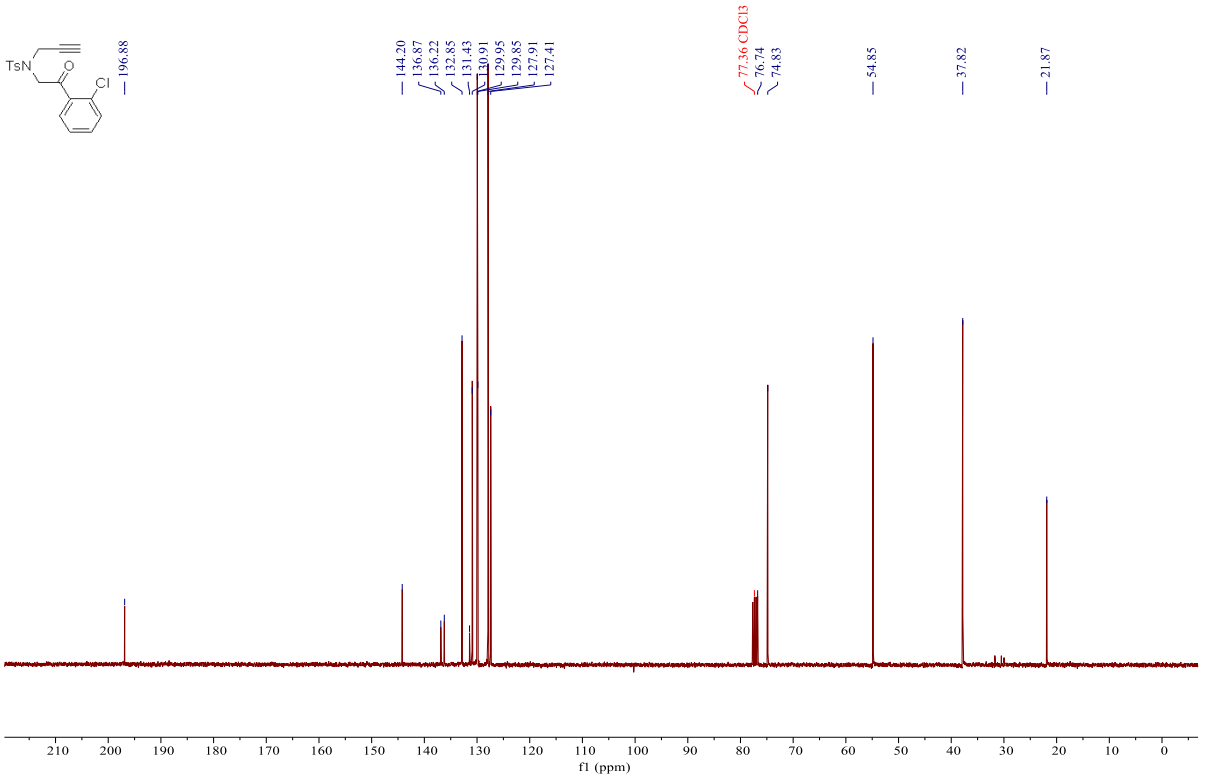
^{1}H-NMR and ^{13}C-NMR spectra of 1f.



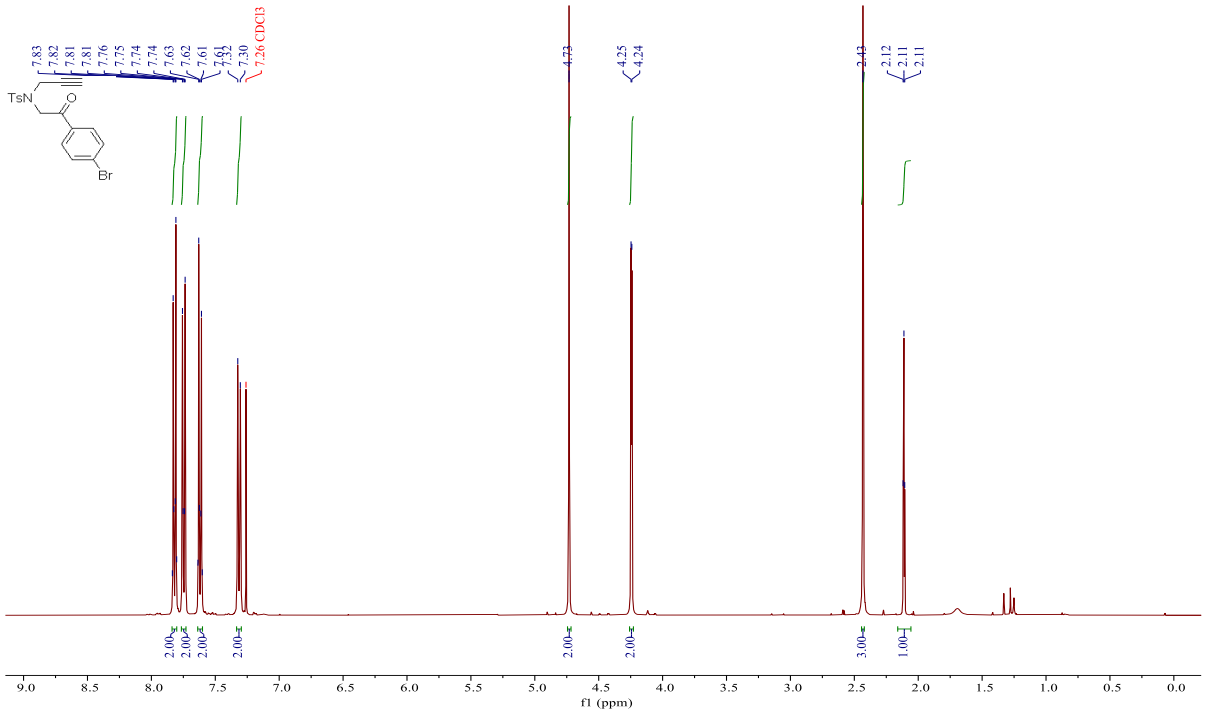


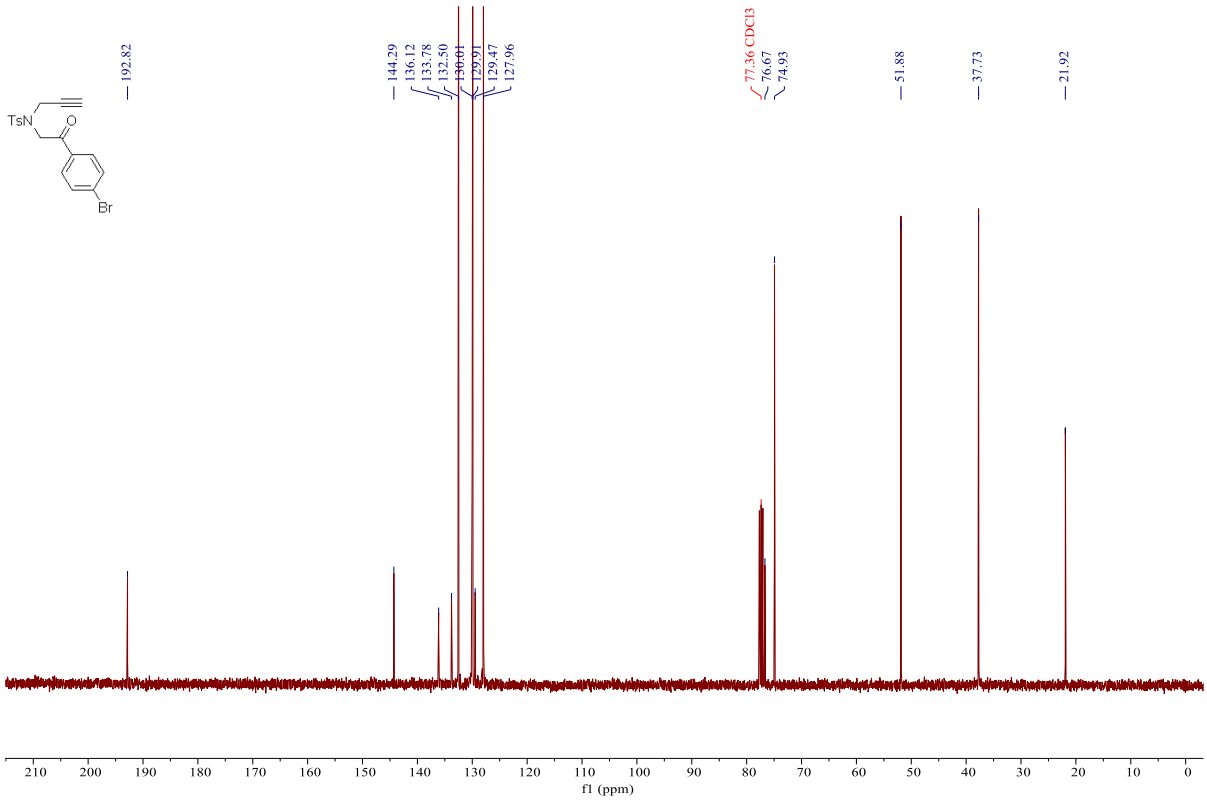
^{1}H-NMR and ^{13}C-NMR spectra of 1g.



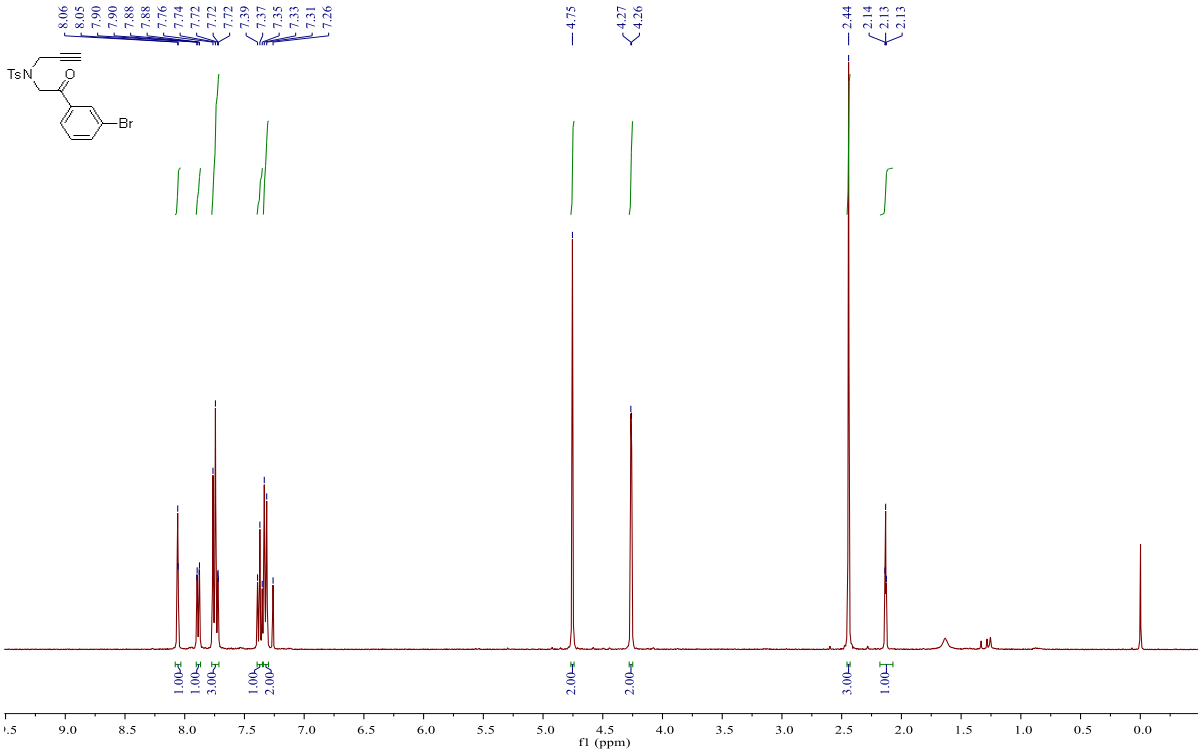


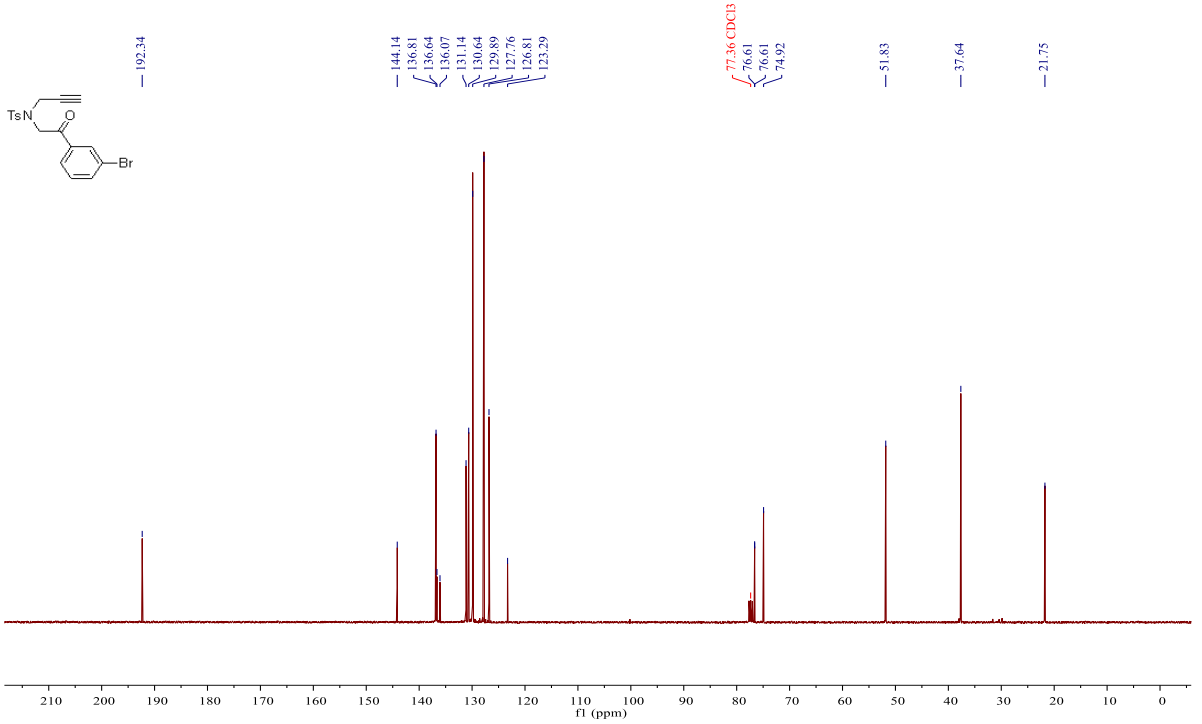
^{1}H-NMR and ^{13}C-NMR spectra of 1h.



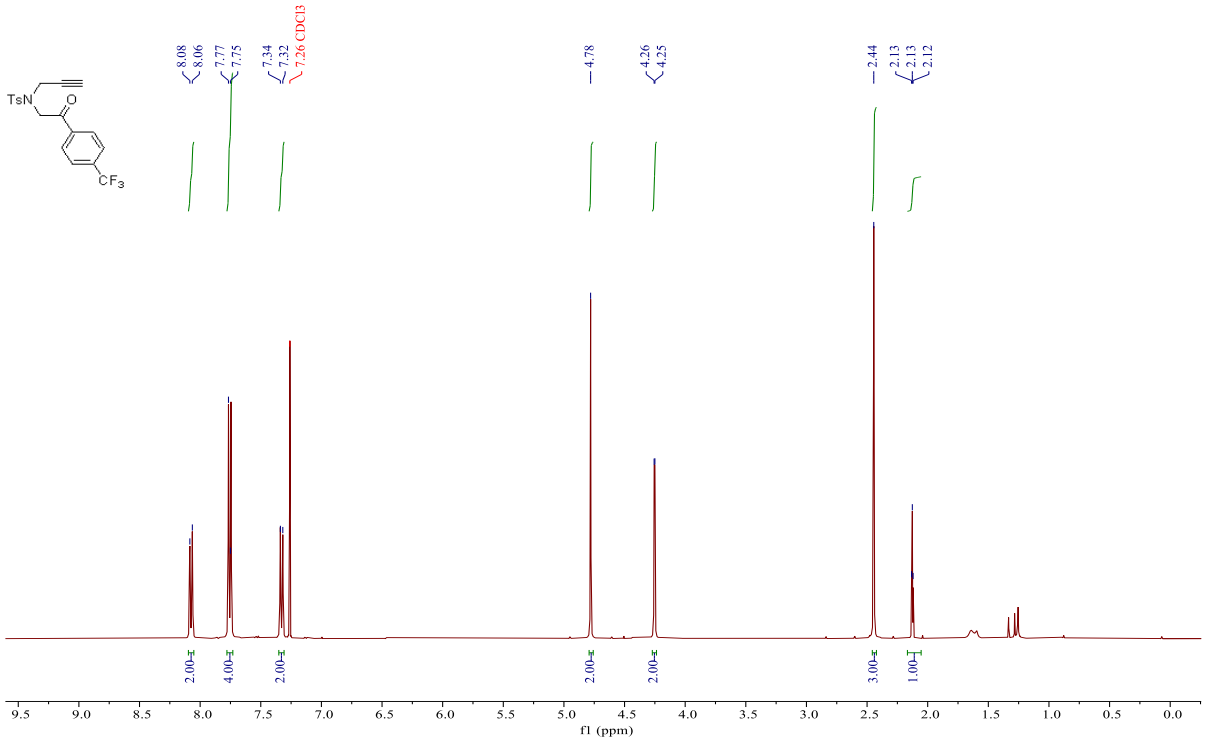


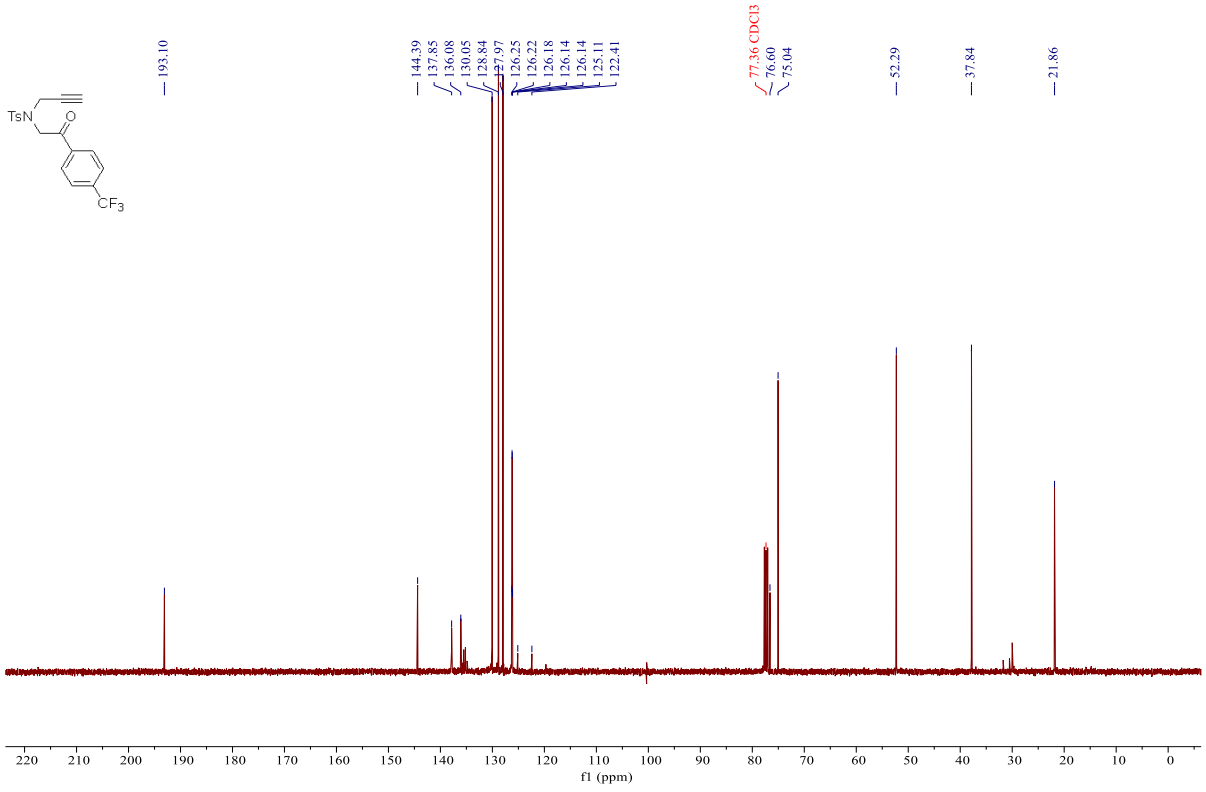
^{1}H-NMR and ^{13}C-NMR spectra of 1i.



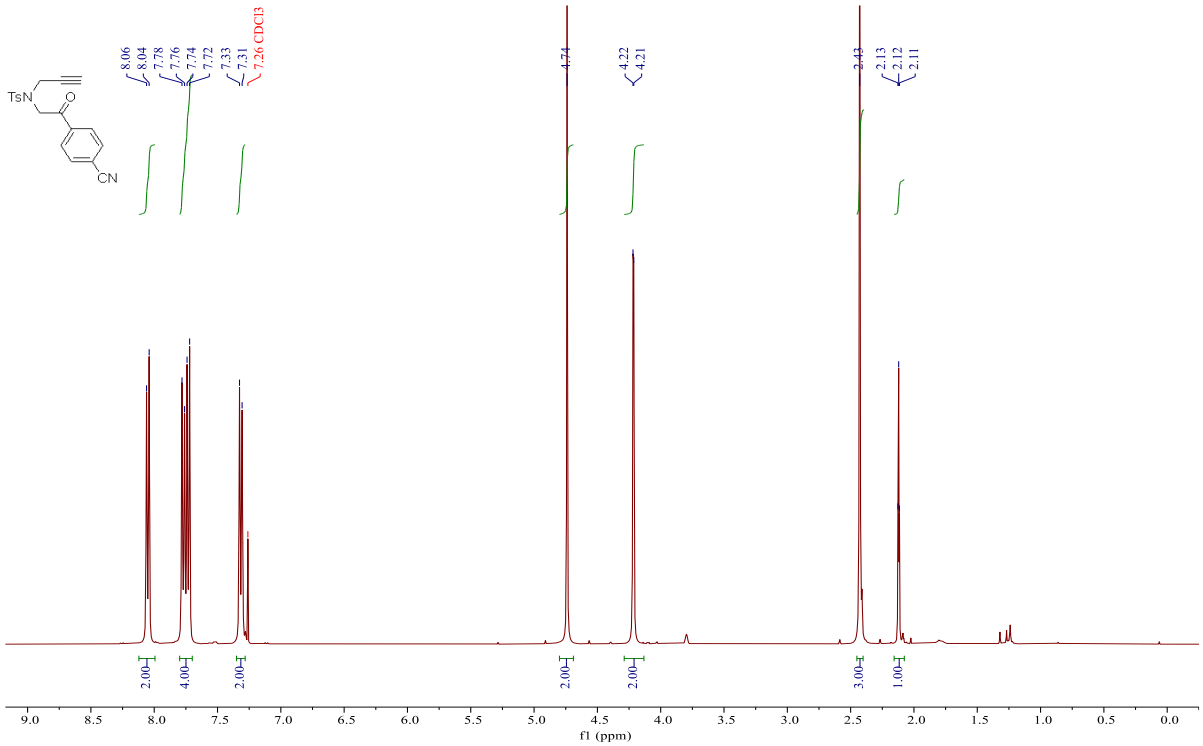


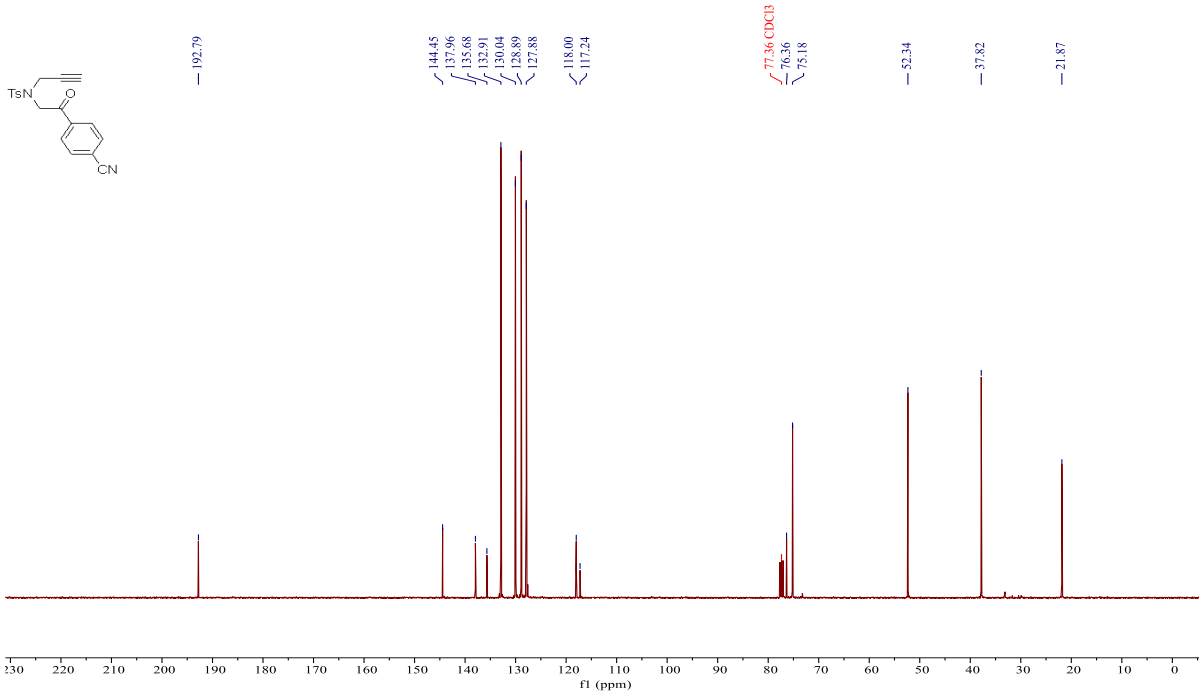
^{1}H-NMR and ^{13}C-NMR spectra of 1j.



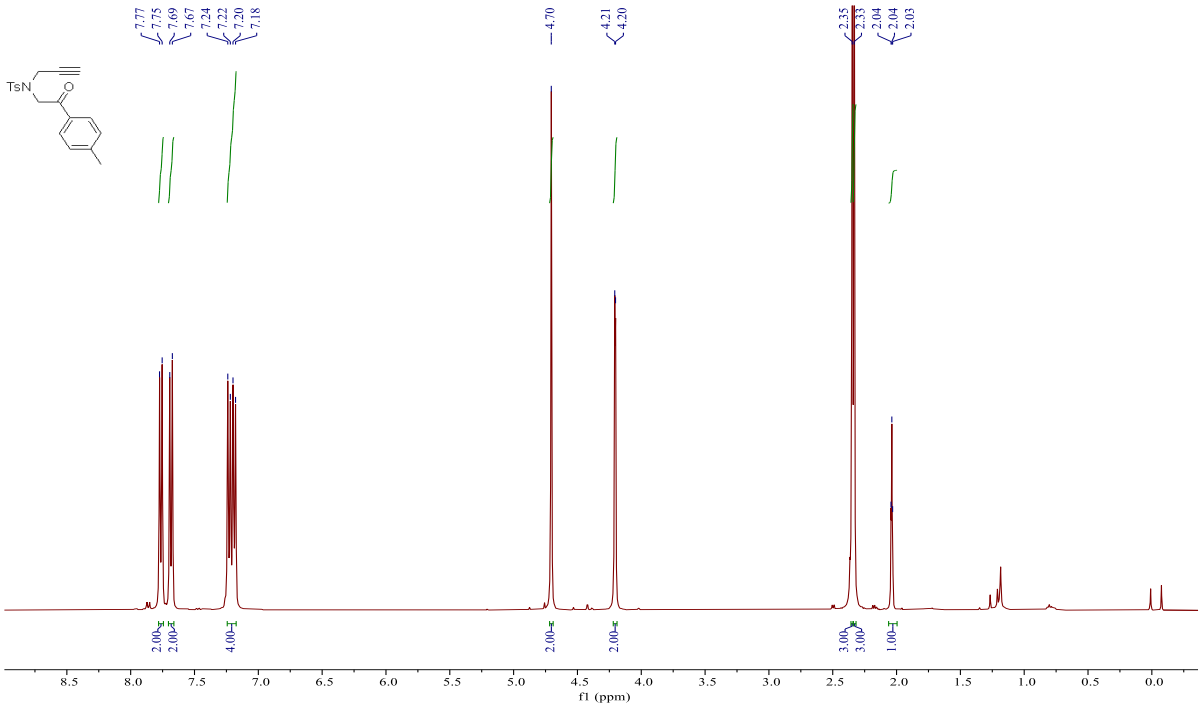


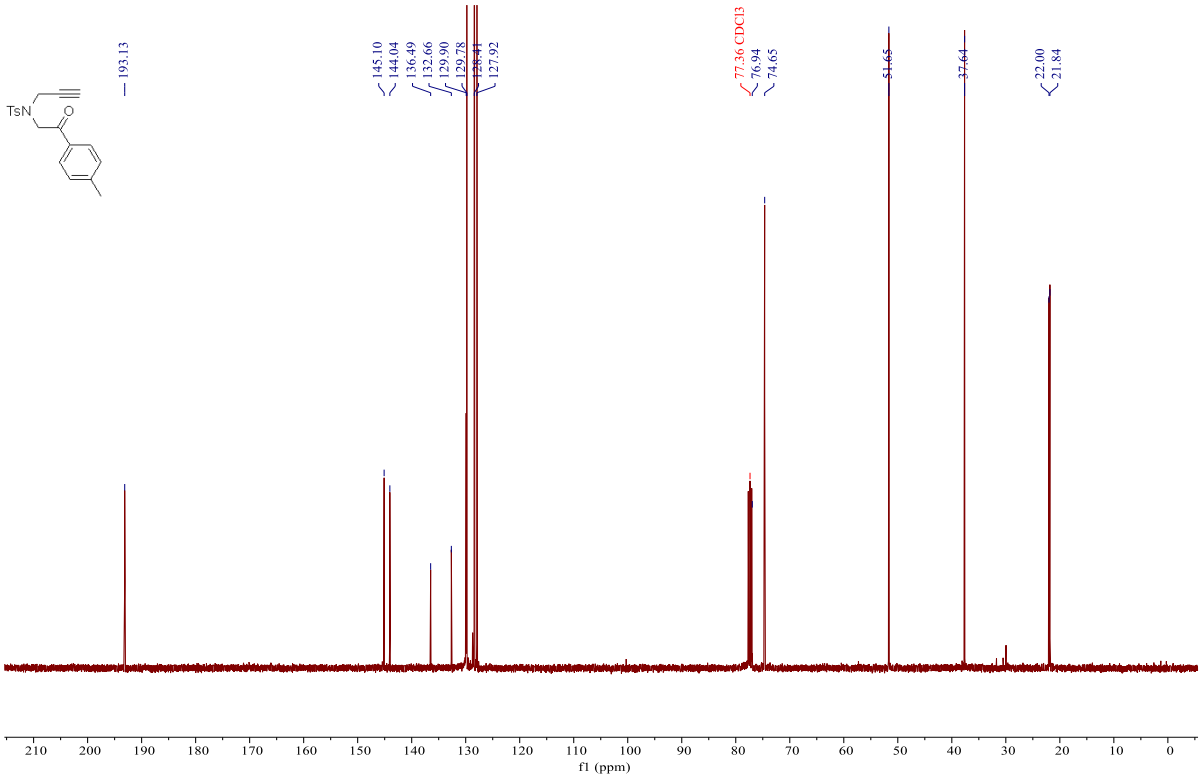
^{1}H-NMR and ^{13}C-NMR spectra of 1k.



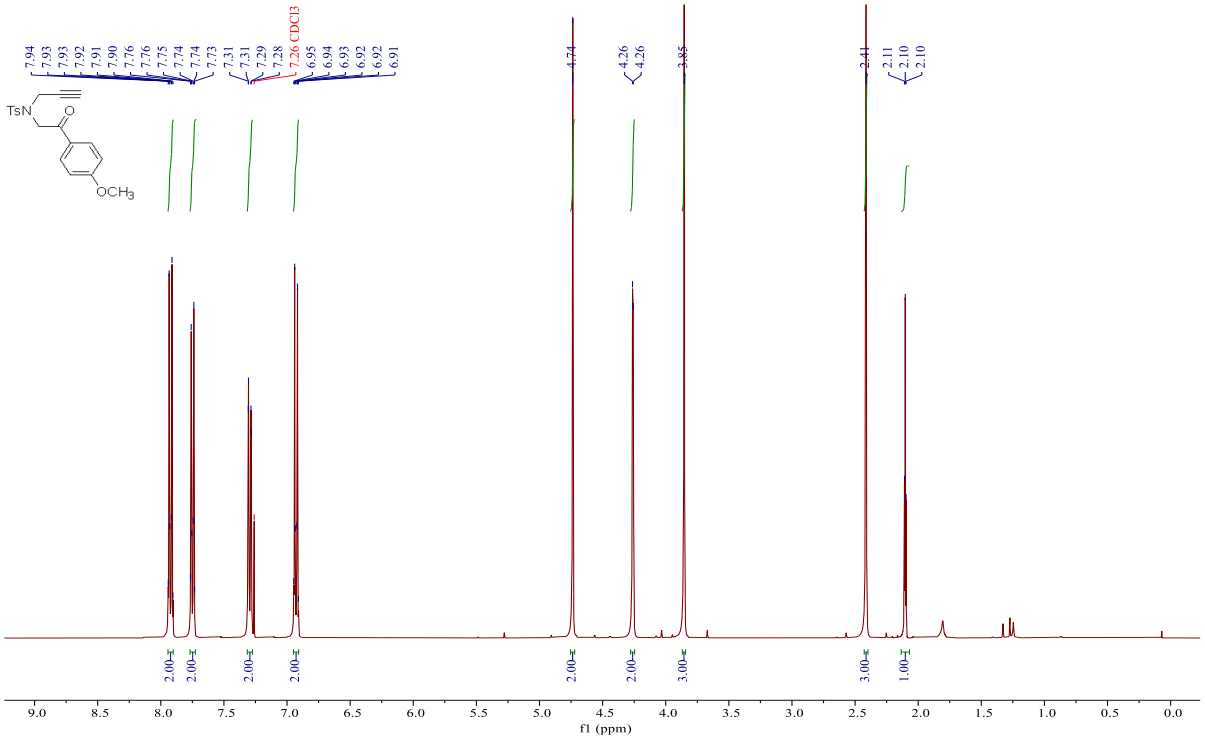


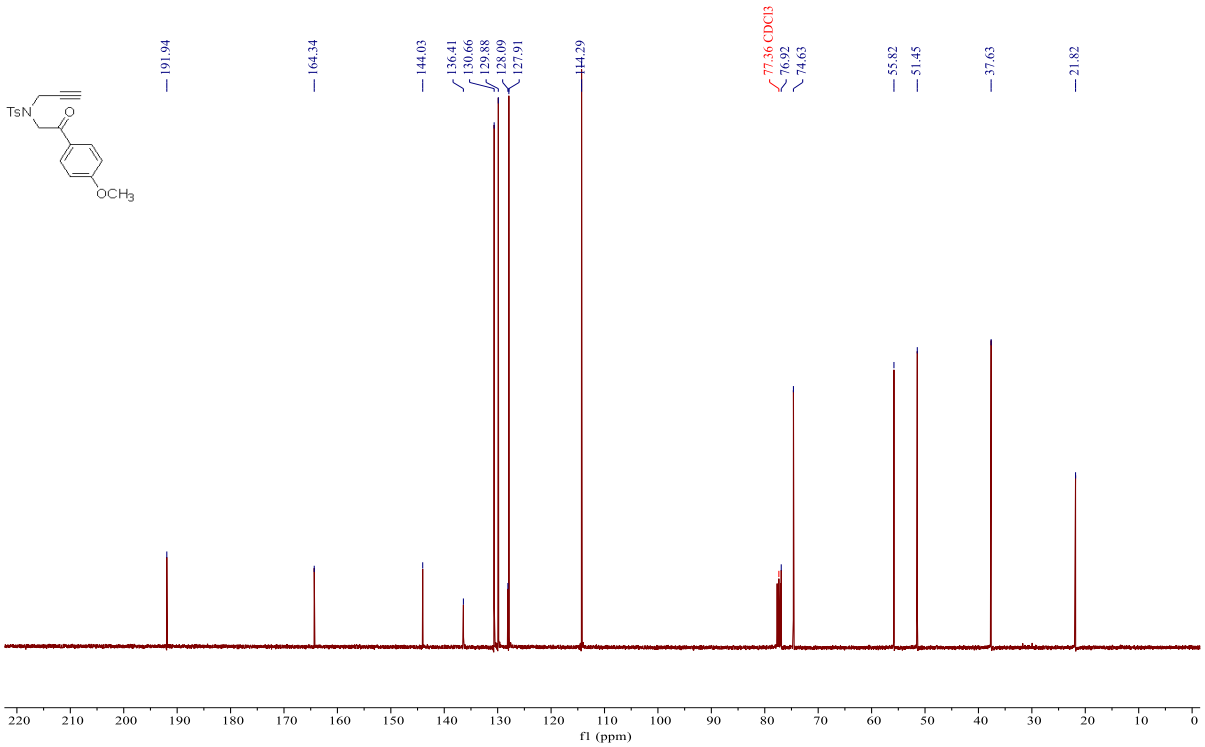
^{1}H-NMR and ^{13}C-NMR spectra of 1l.



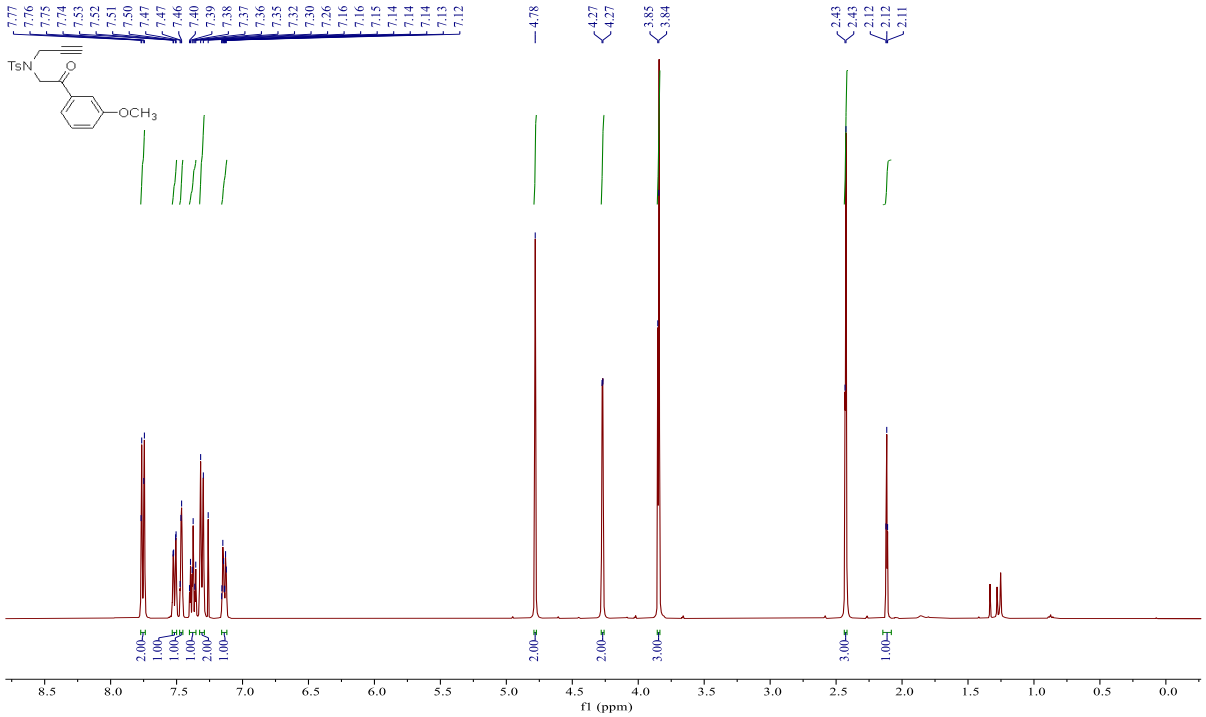


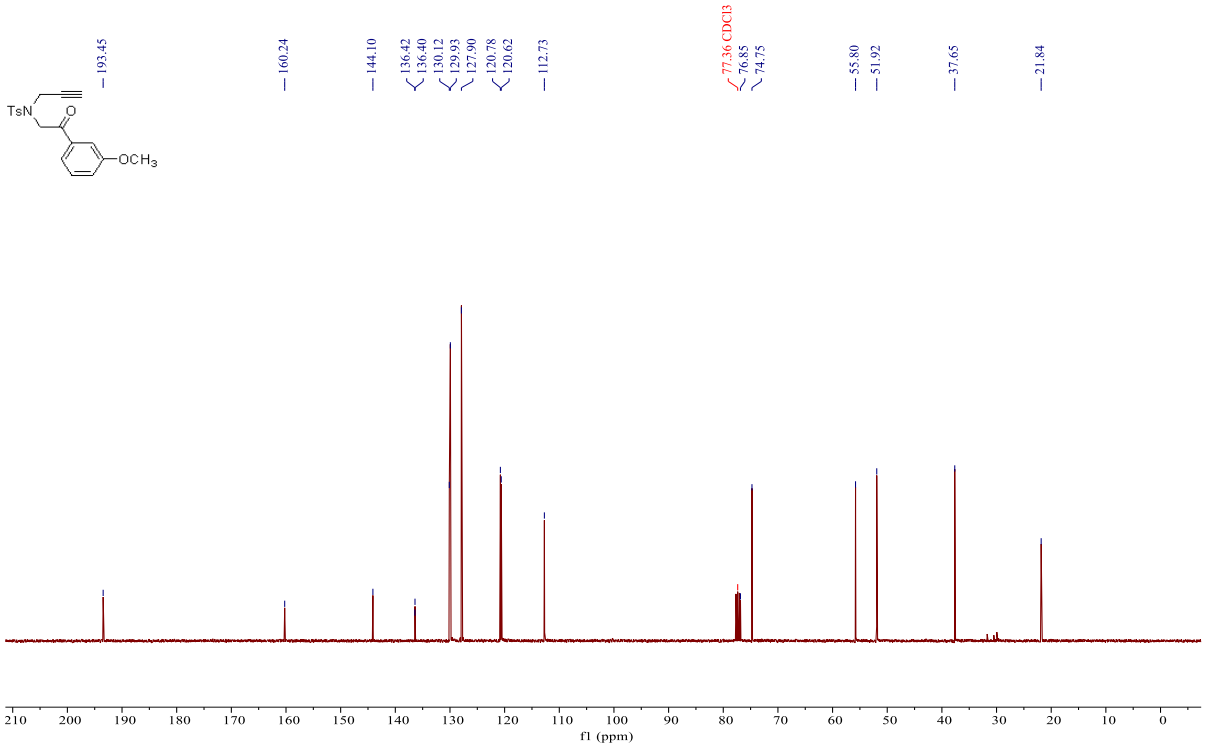
^{1}H-NMR and ^{13}C-NMR spectra of 1m.



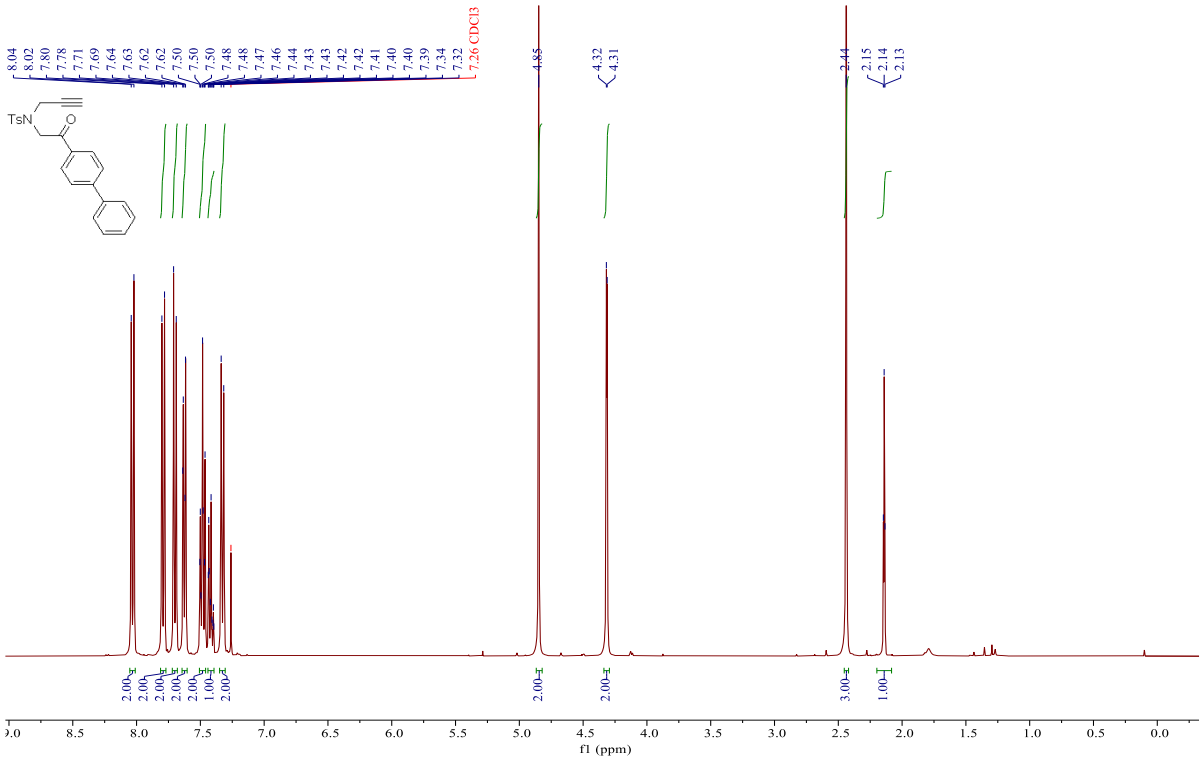


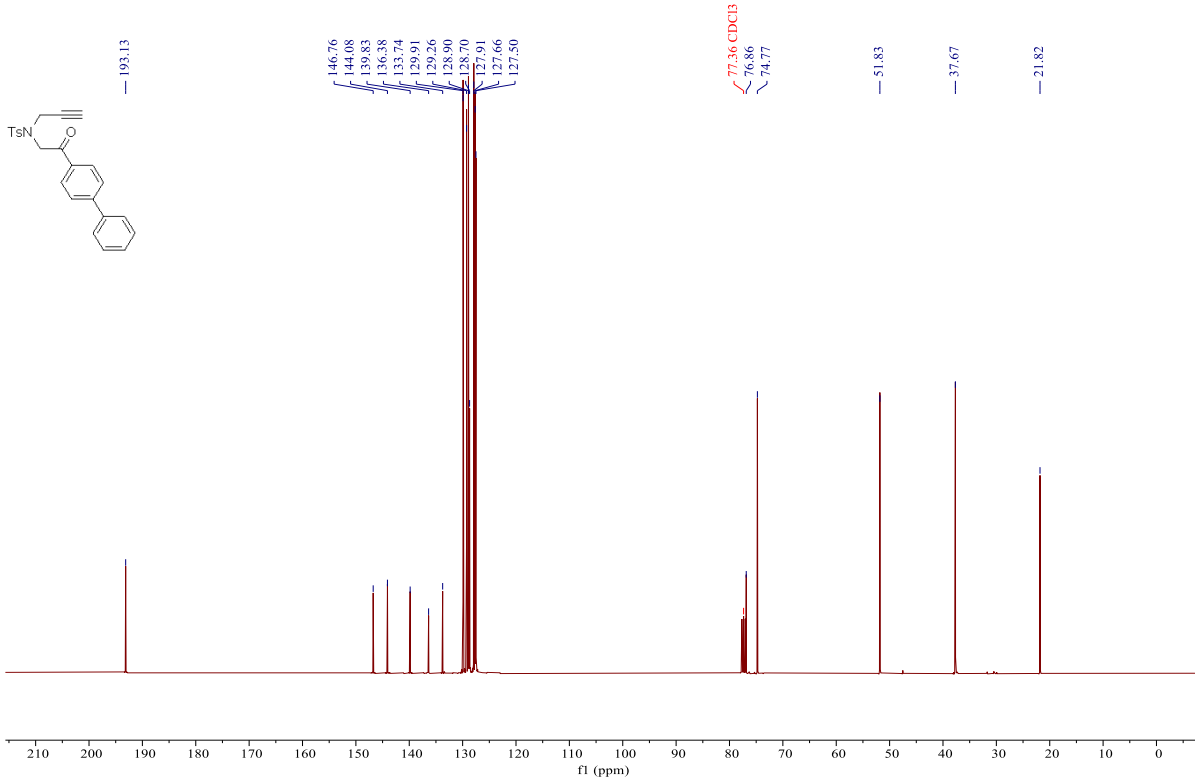
^{1}H-NMR and ^{13}C-NMR spectra of 1n.



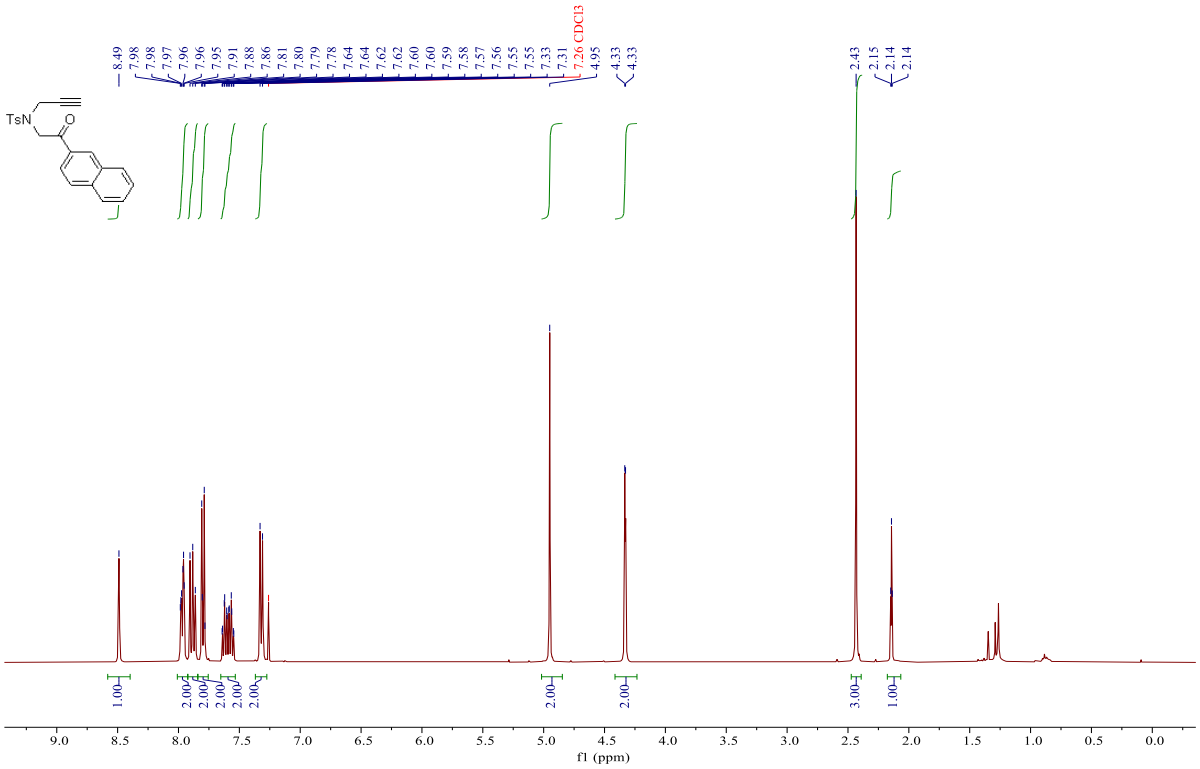


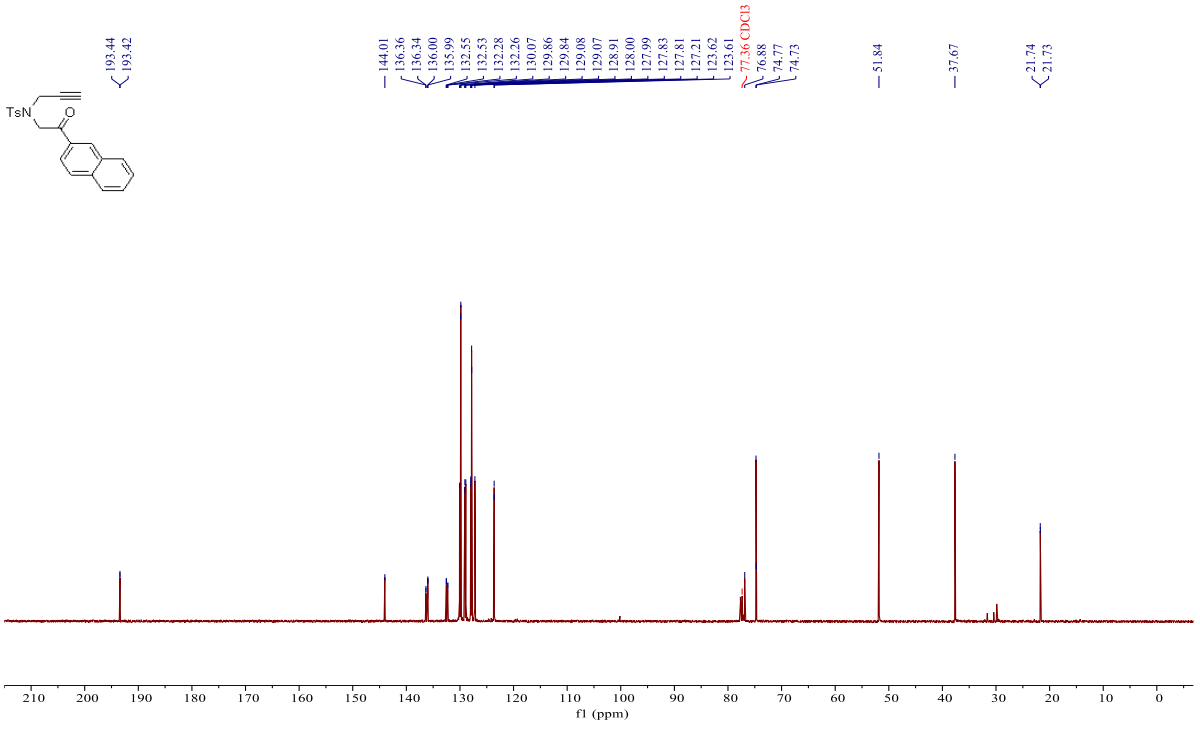
^{1}H-NMR and ^{13}C-NMR spectra of 1o.



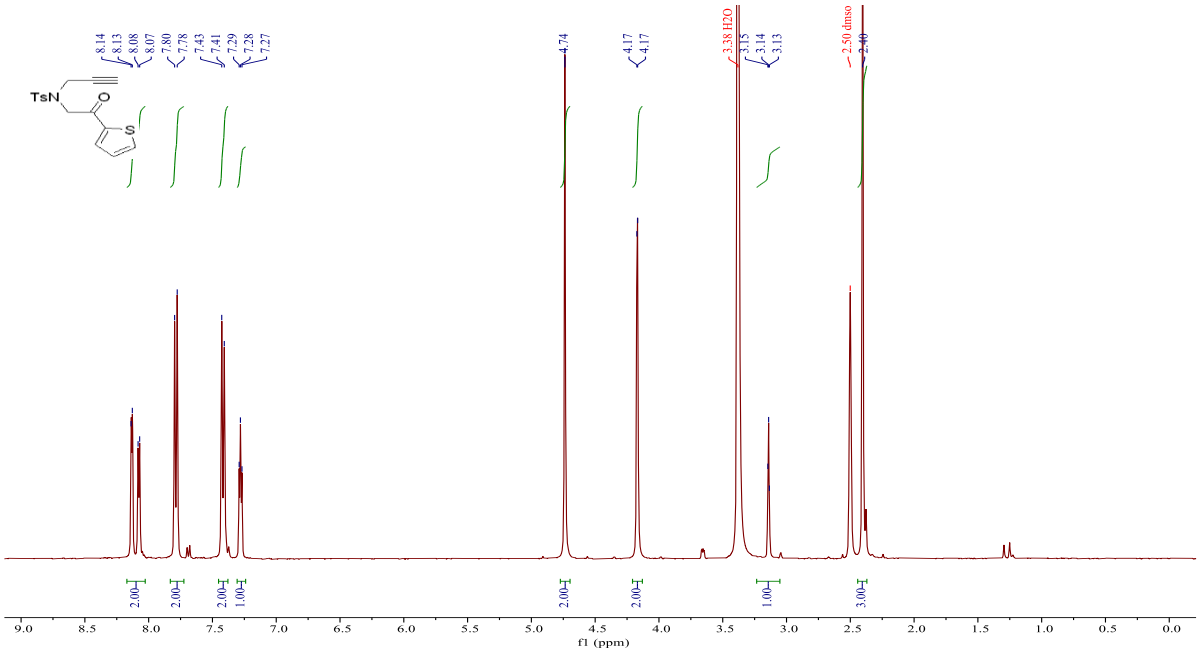


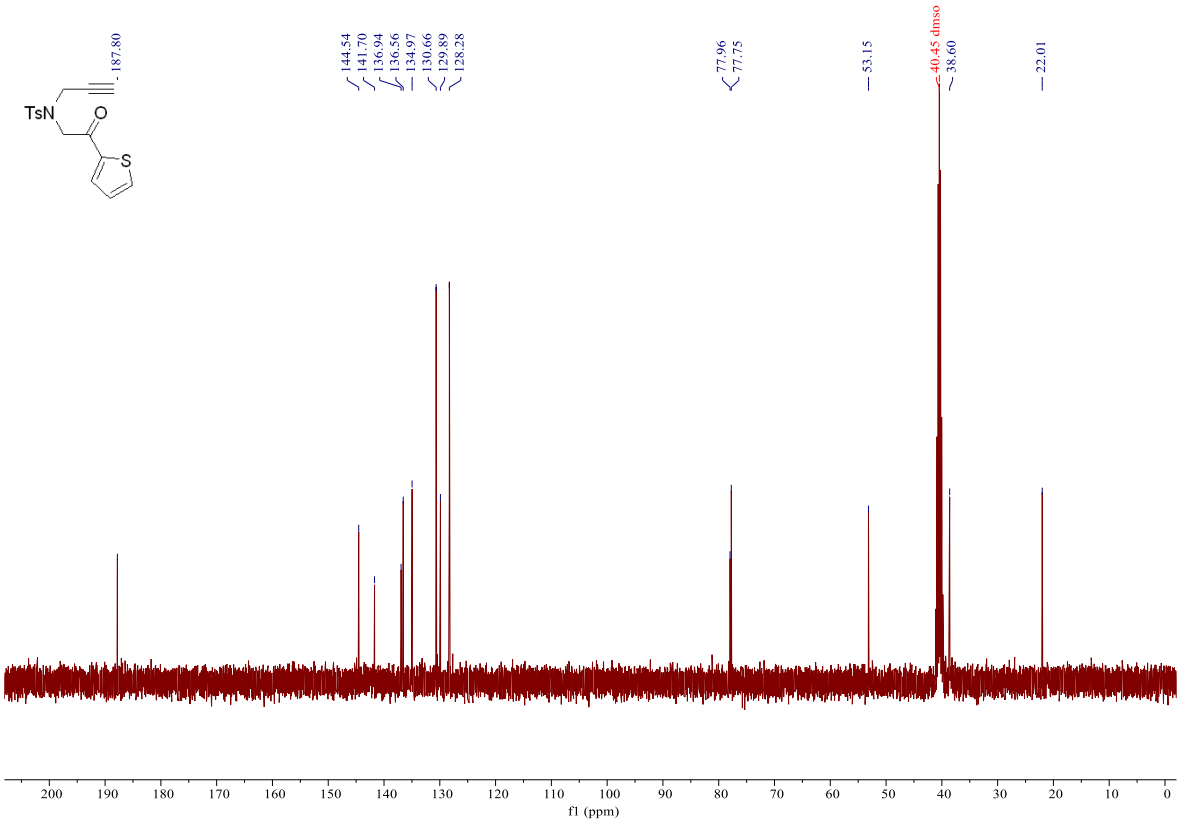
^{1}H-NMR and ^{13}C-NMR spectra of 1p.



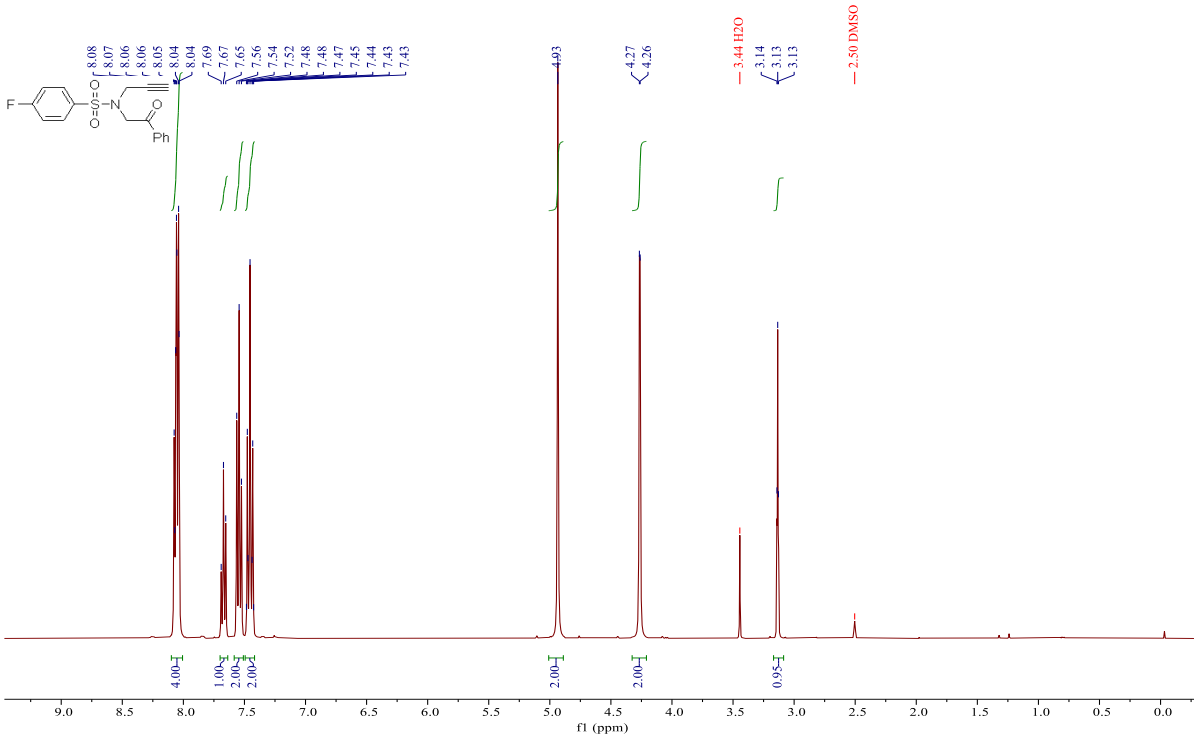


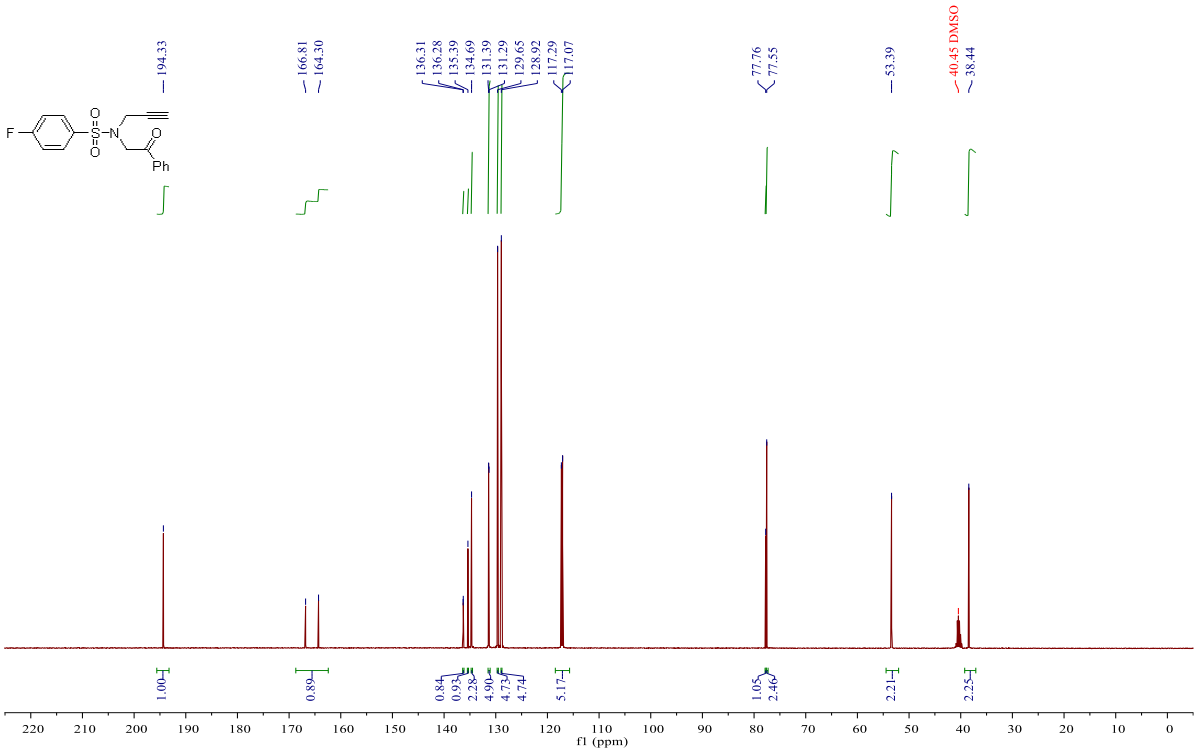
^{1}H-NMR and ^{13}C-NMR spectra of 1q.



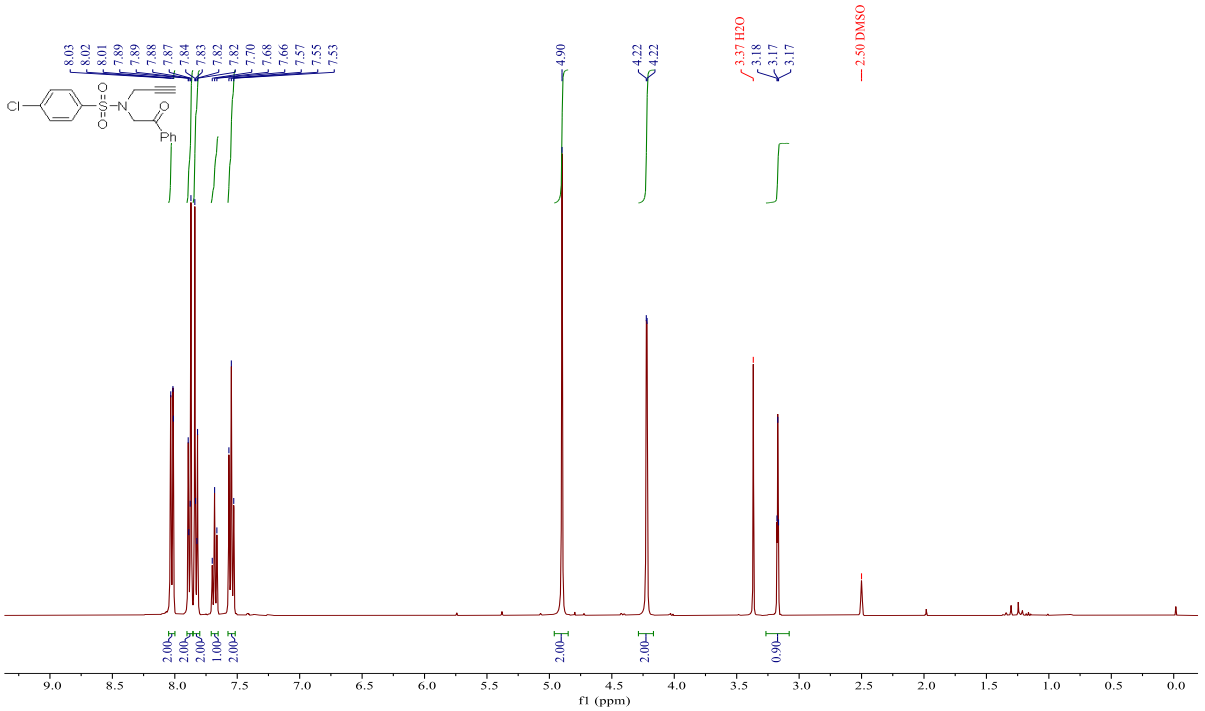


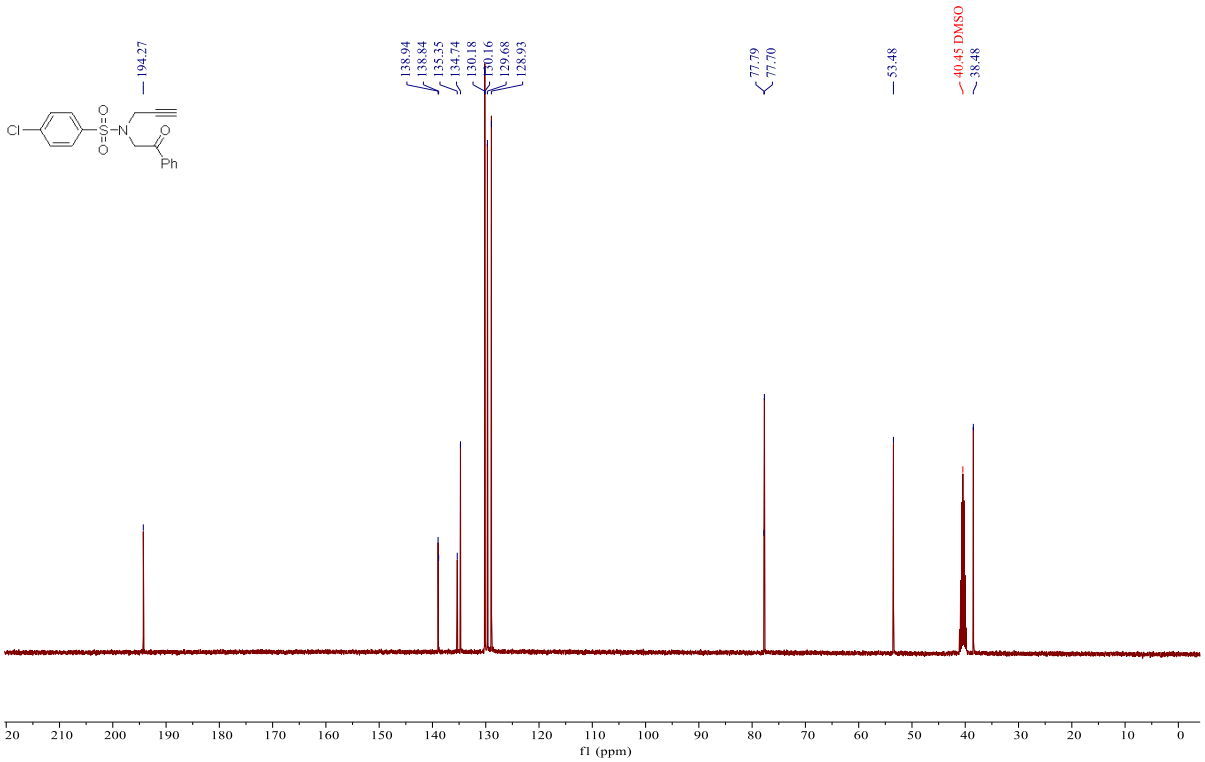
^{1}H-NMR and ^{13}C-NMR spectra of 1r.



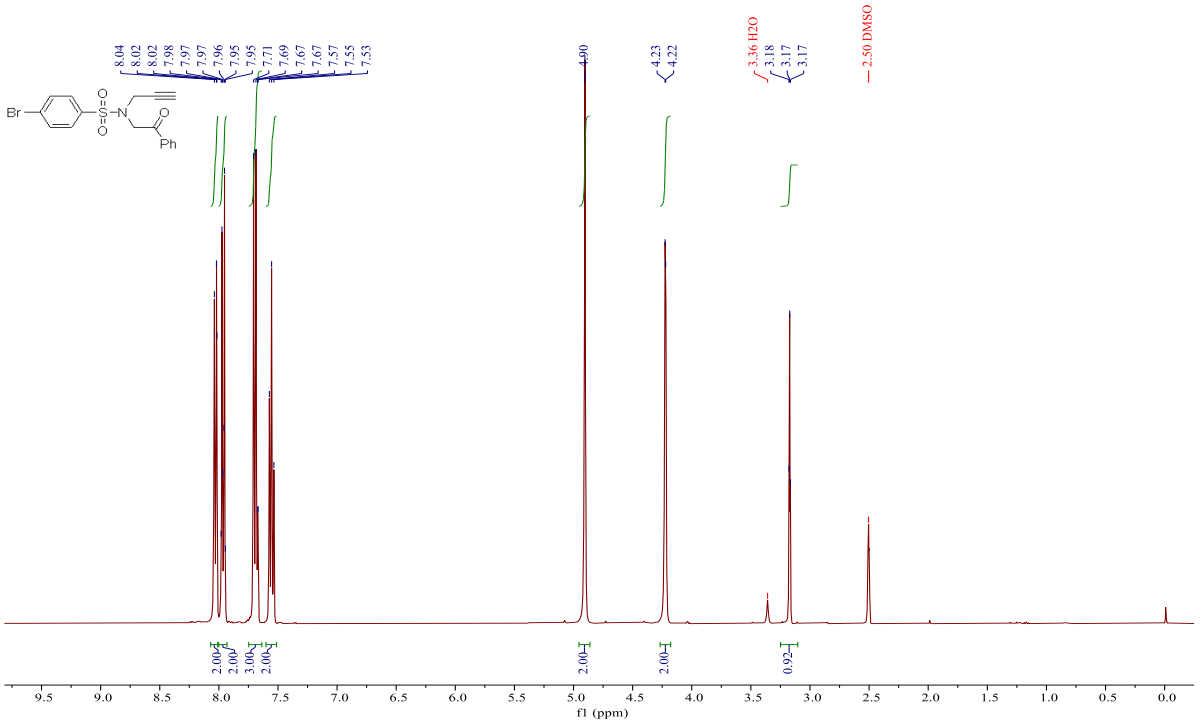


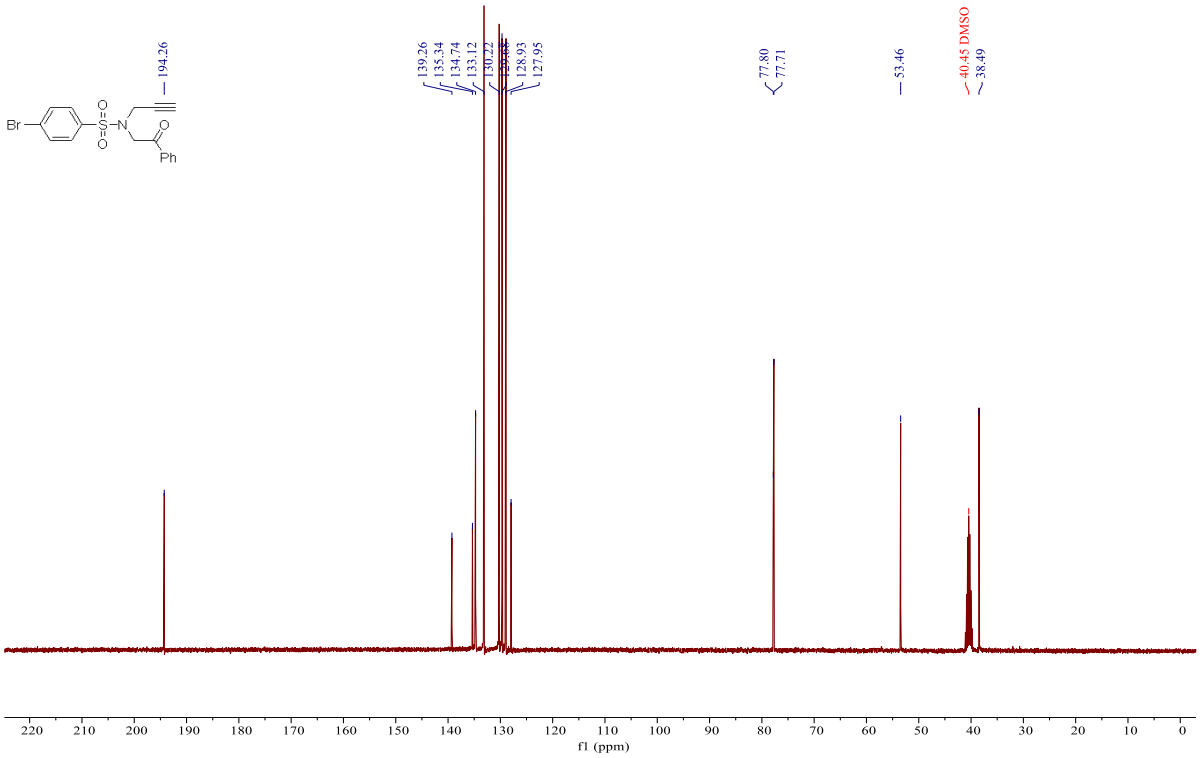
^{1}H-NMR and ^{13}C-NMR spectra of 1s.



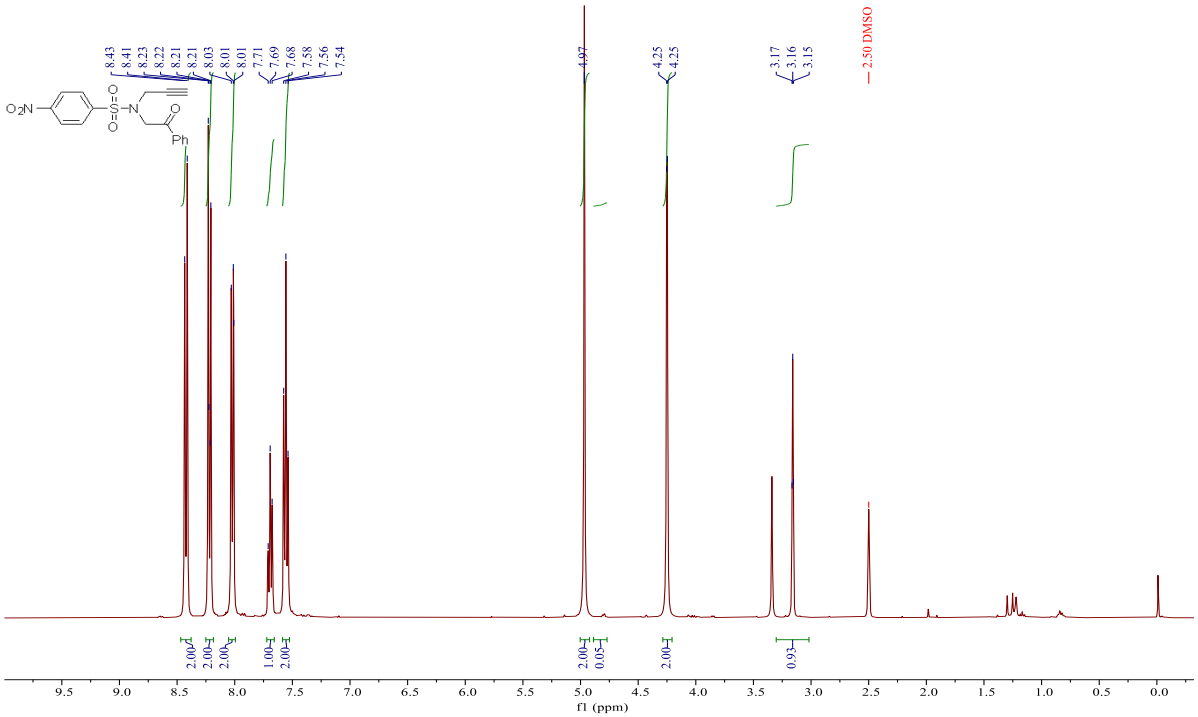


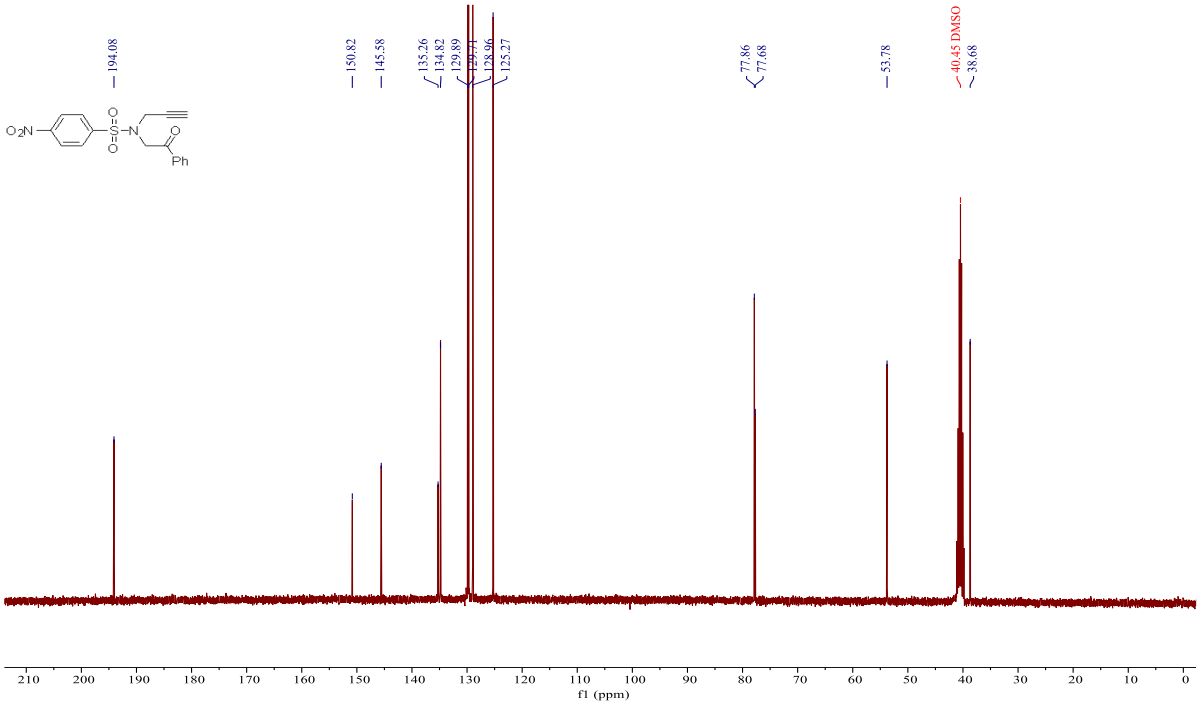
^{1}H-NMR and ^{13}C-NMR spectra of 1t.



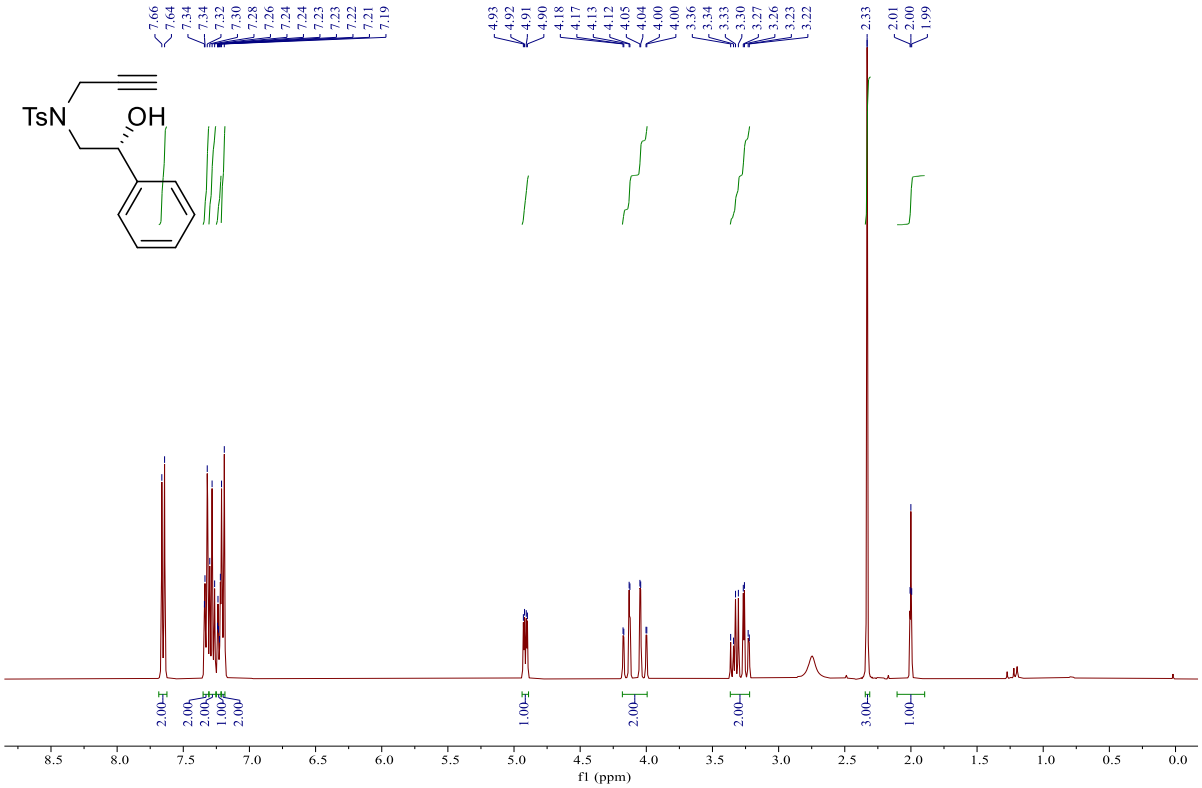


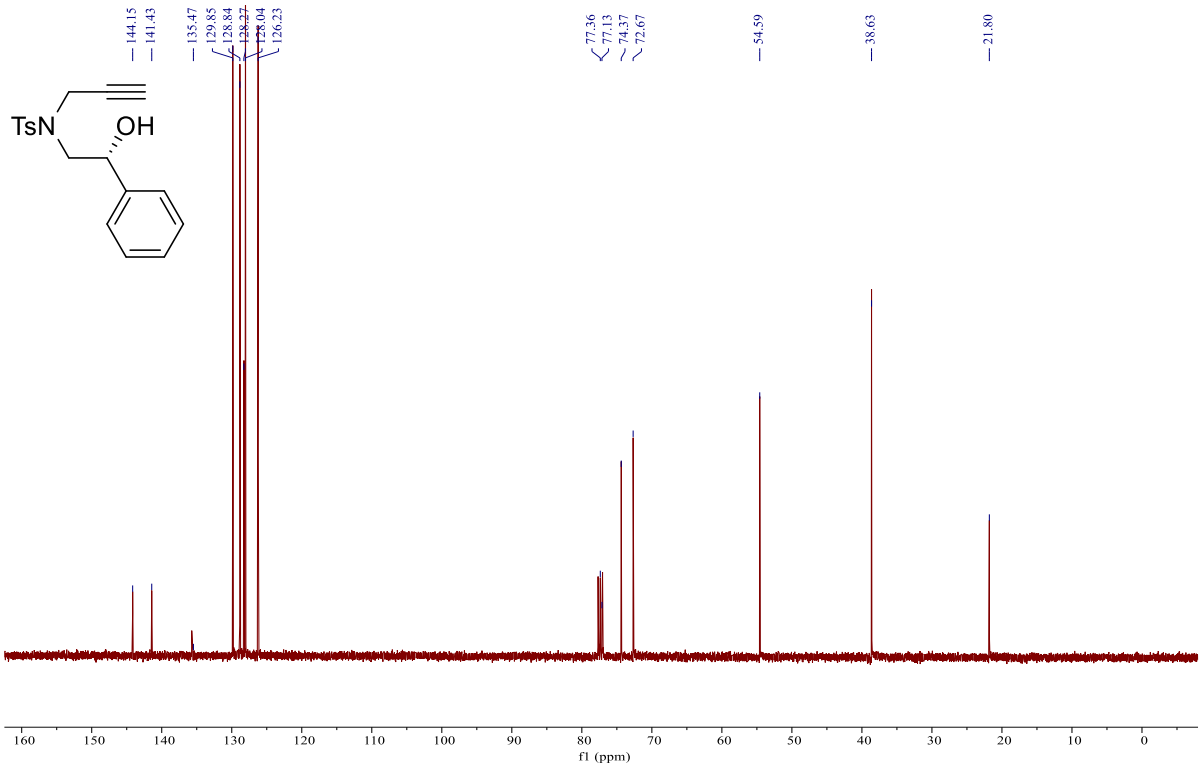
^{1}H-NMR and ^{13}C-NMR spectra of 1u.



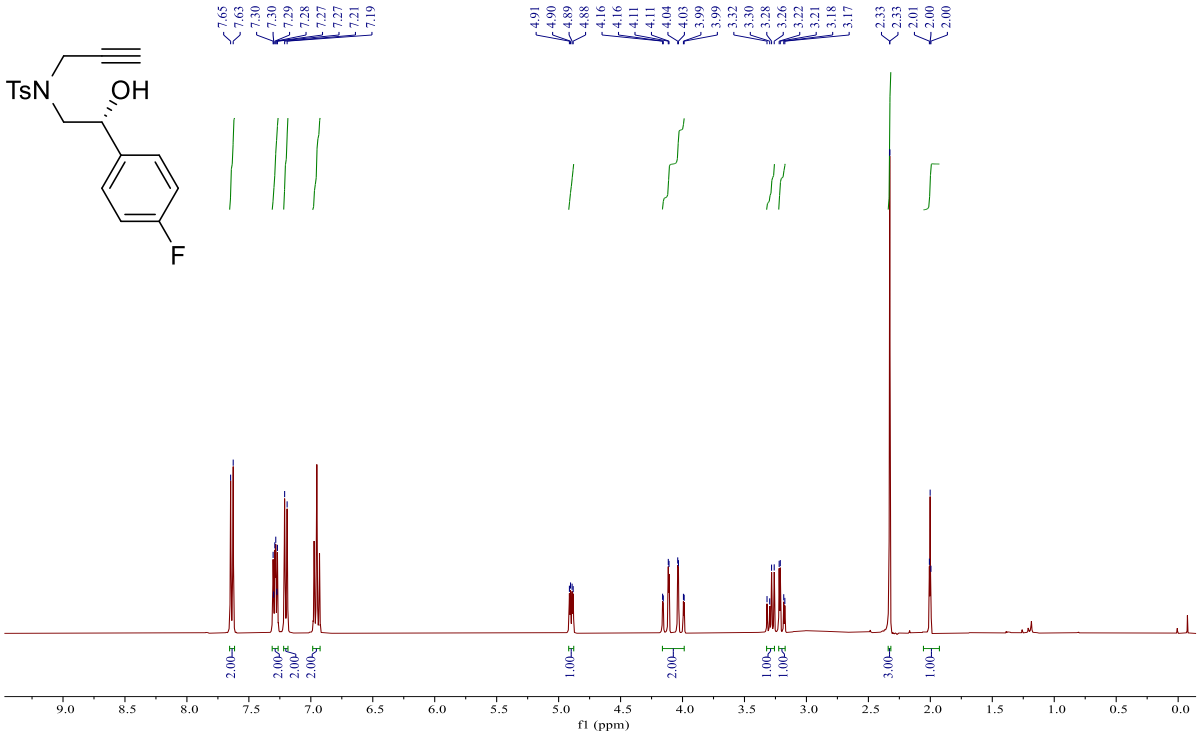


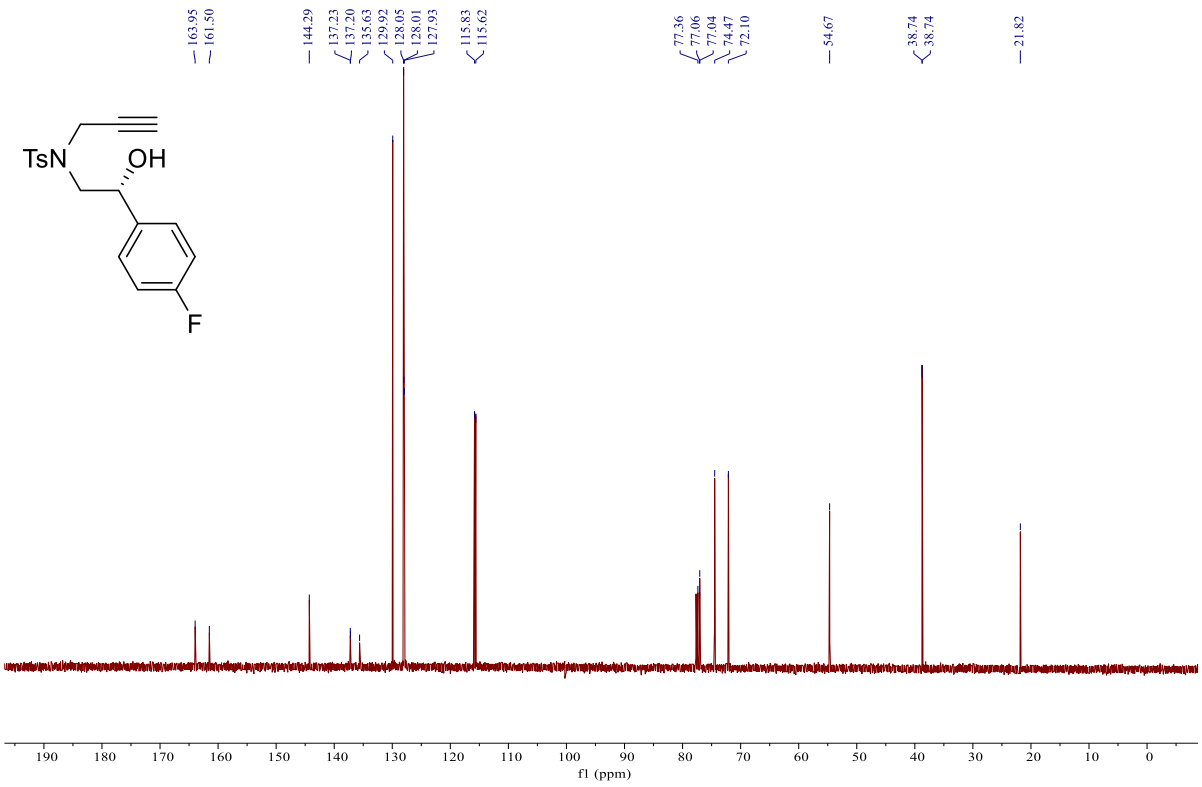
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2a.



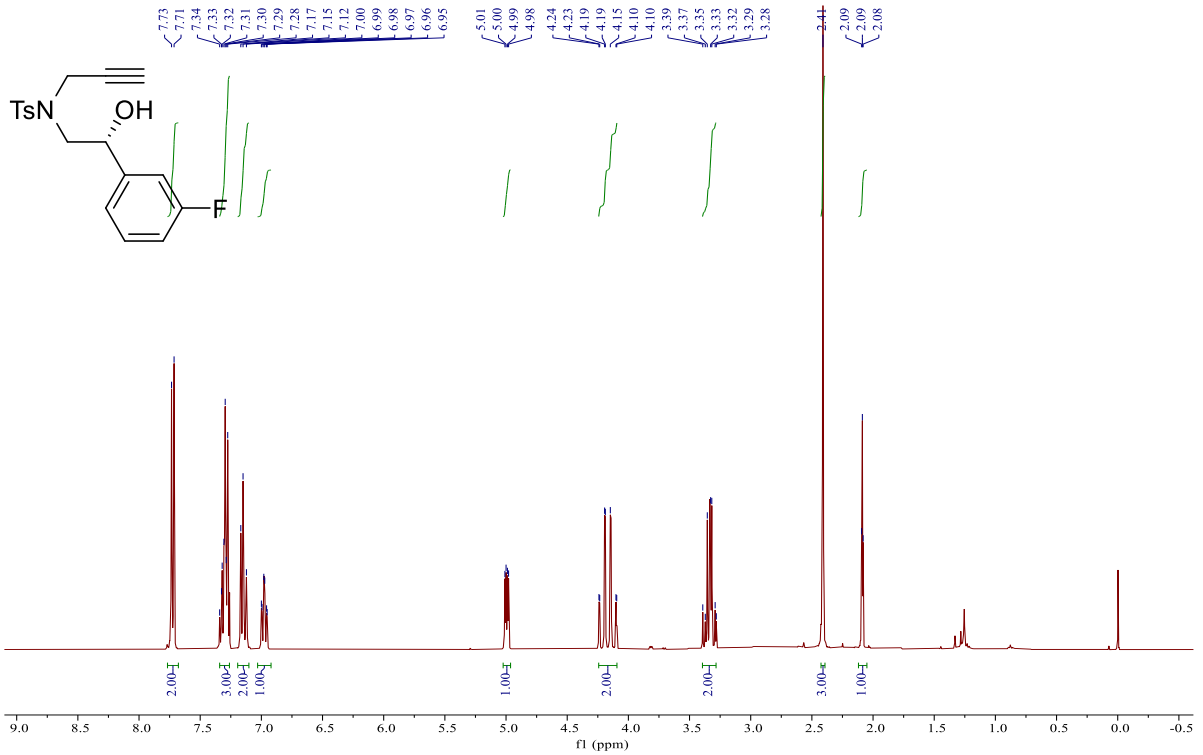


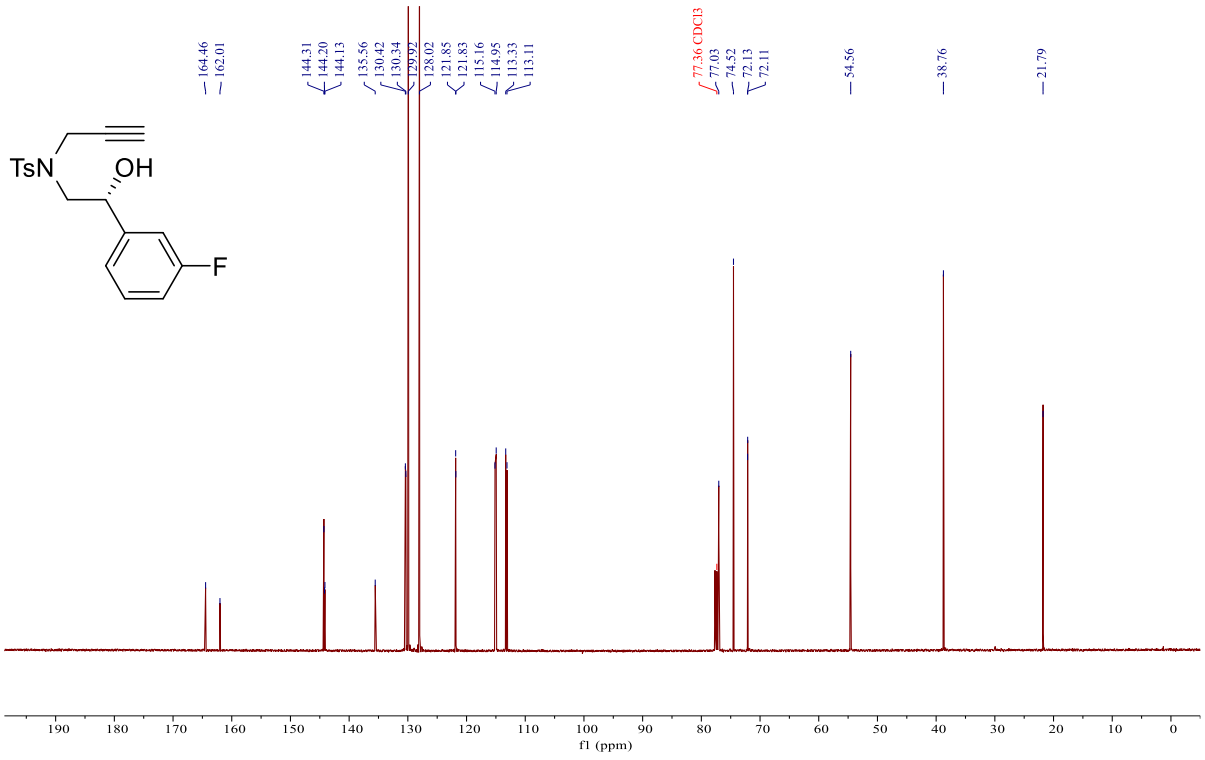
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2b.



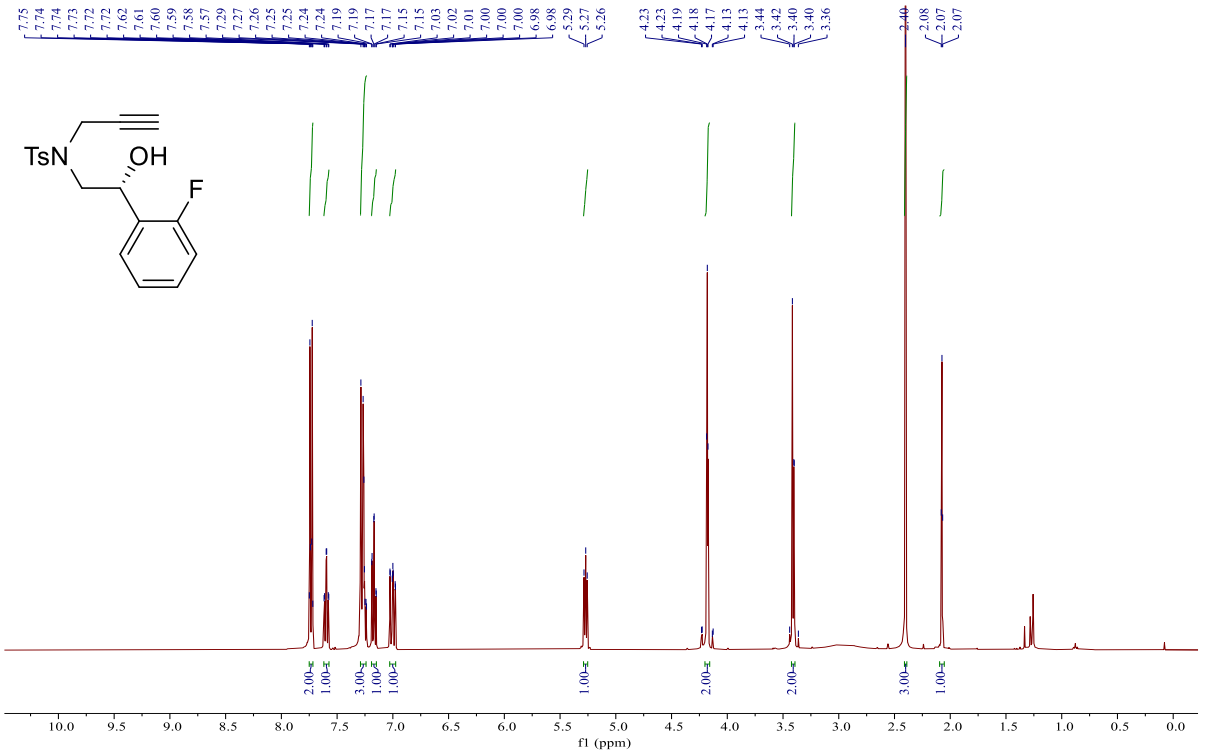


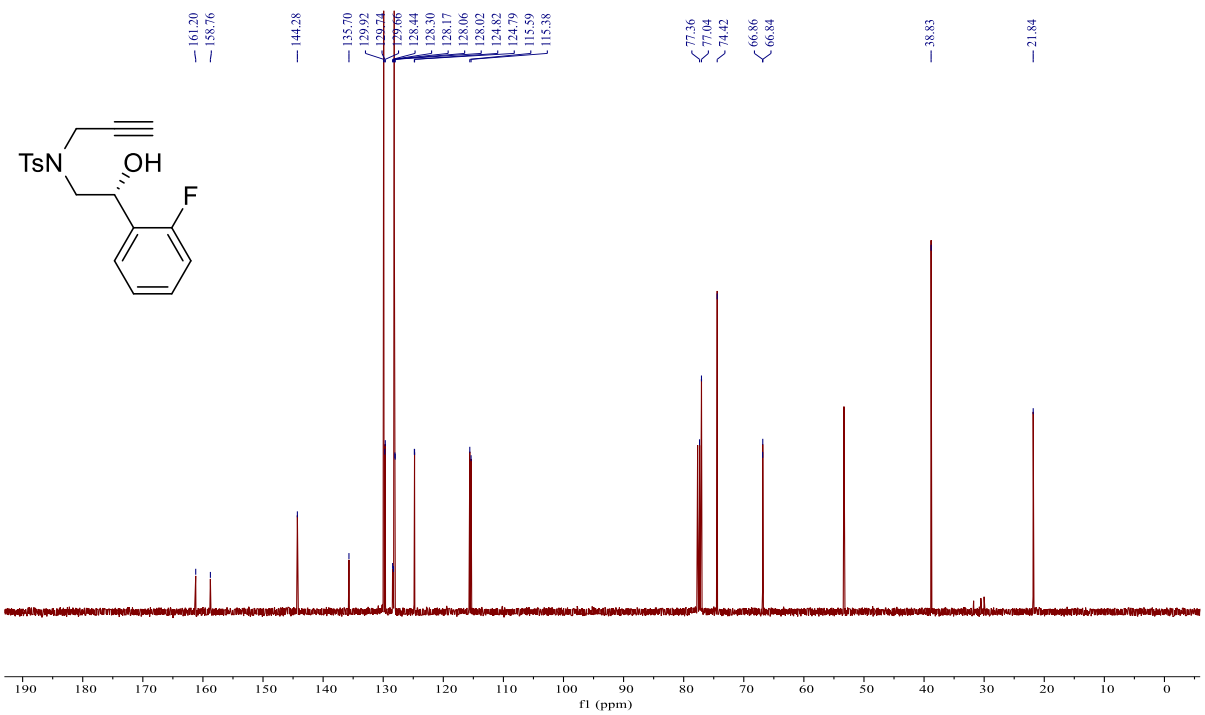
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2c.



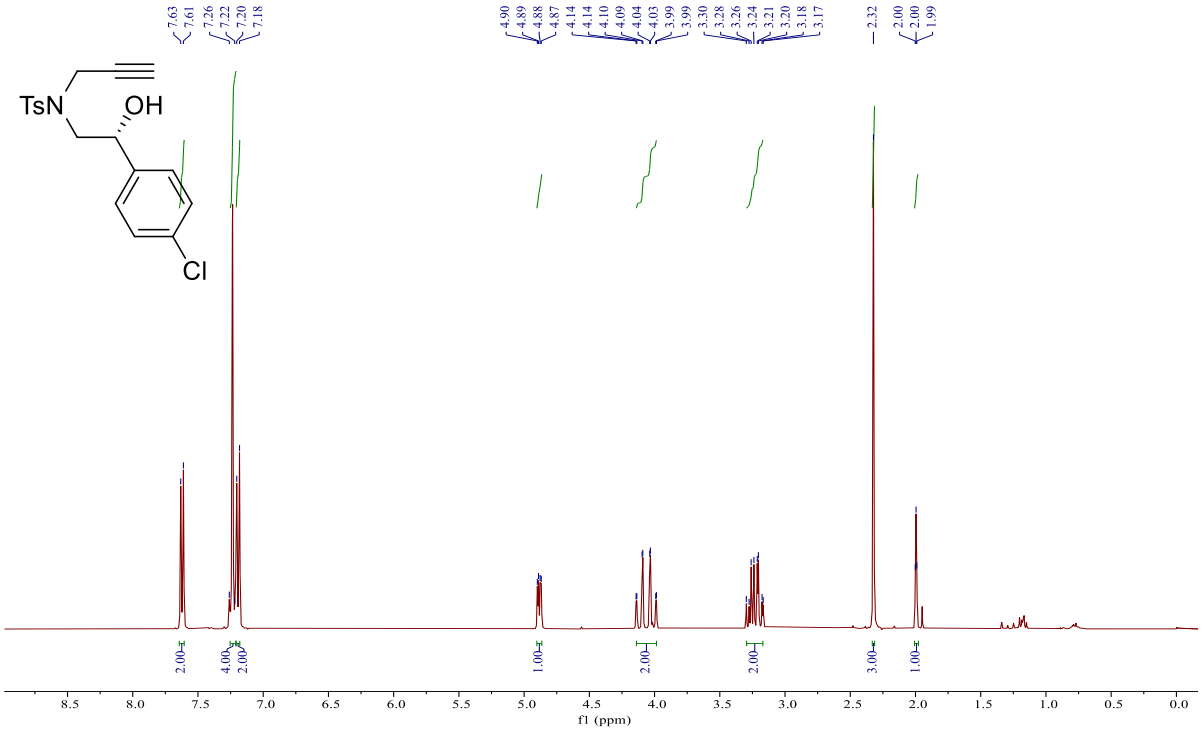


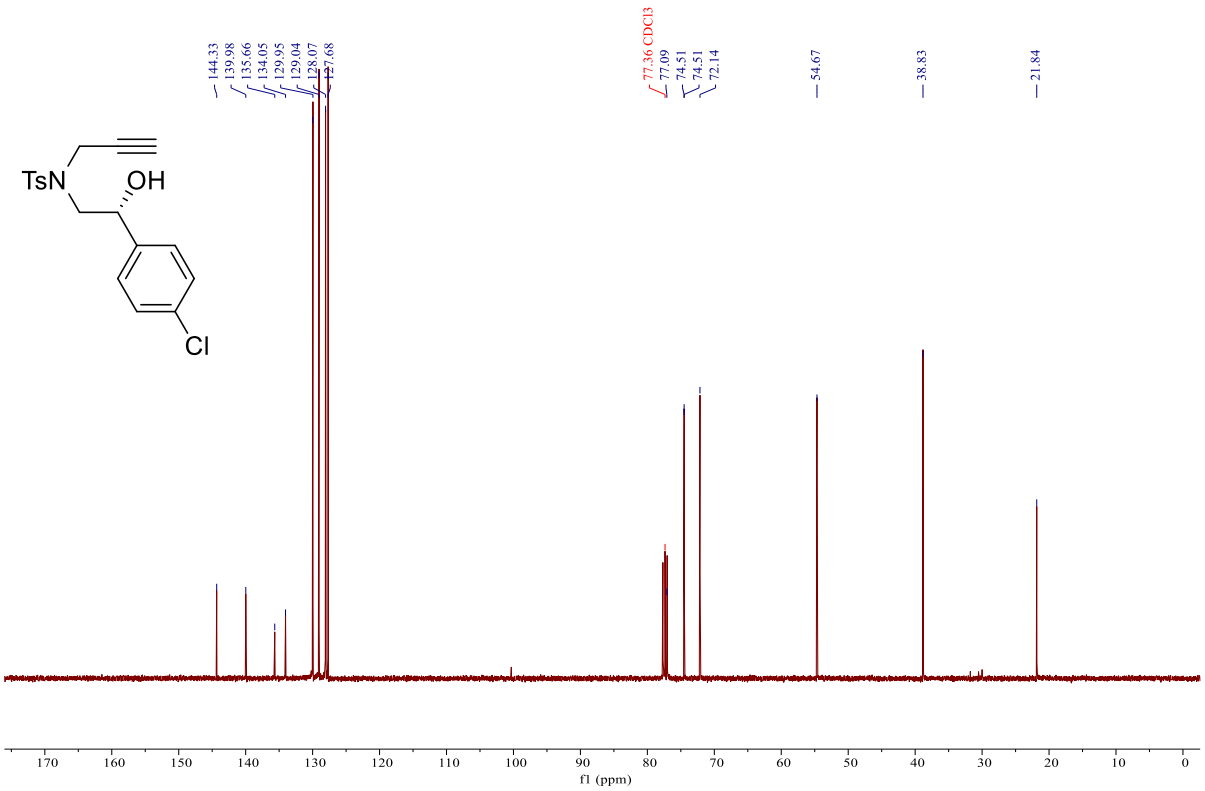
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2d.



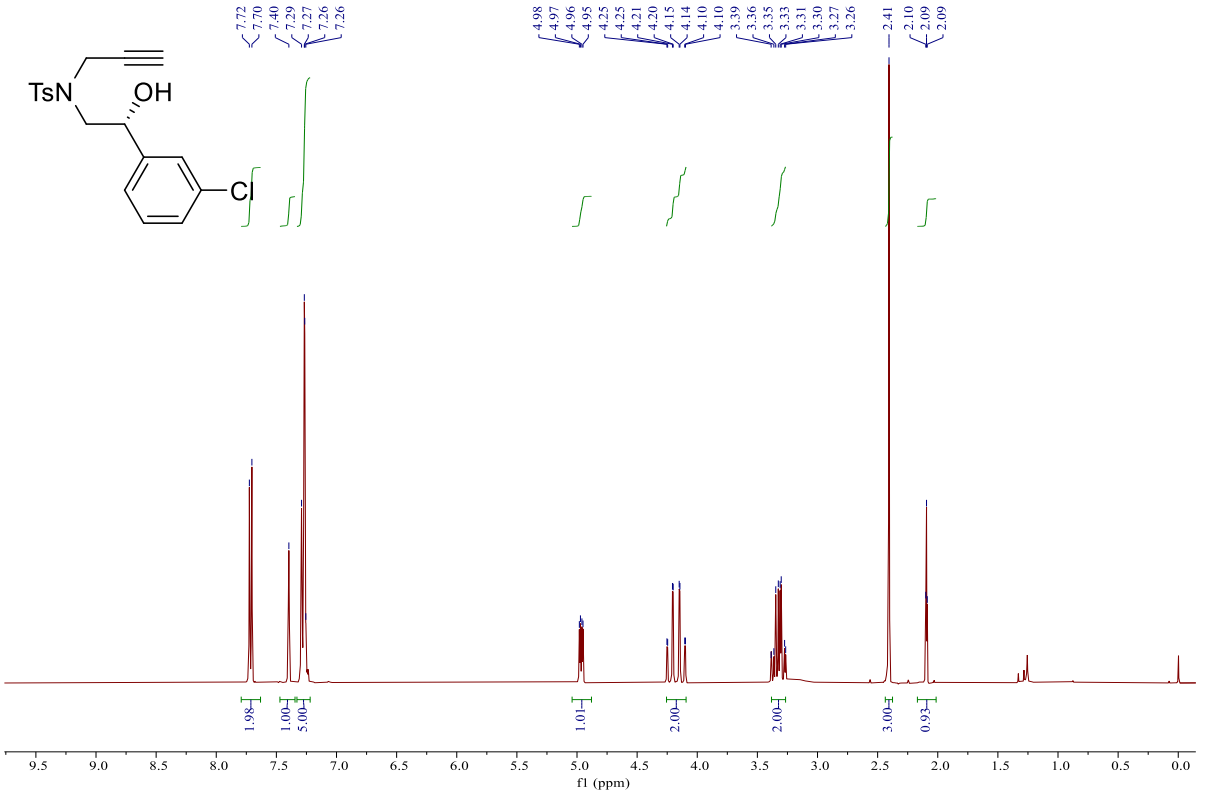


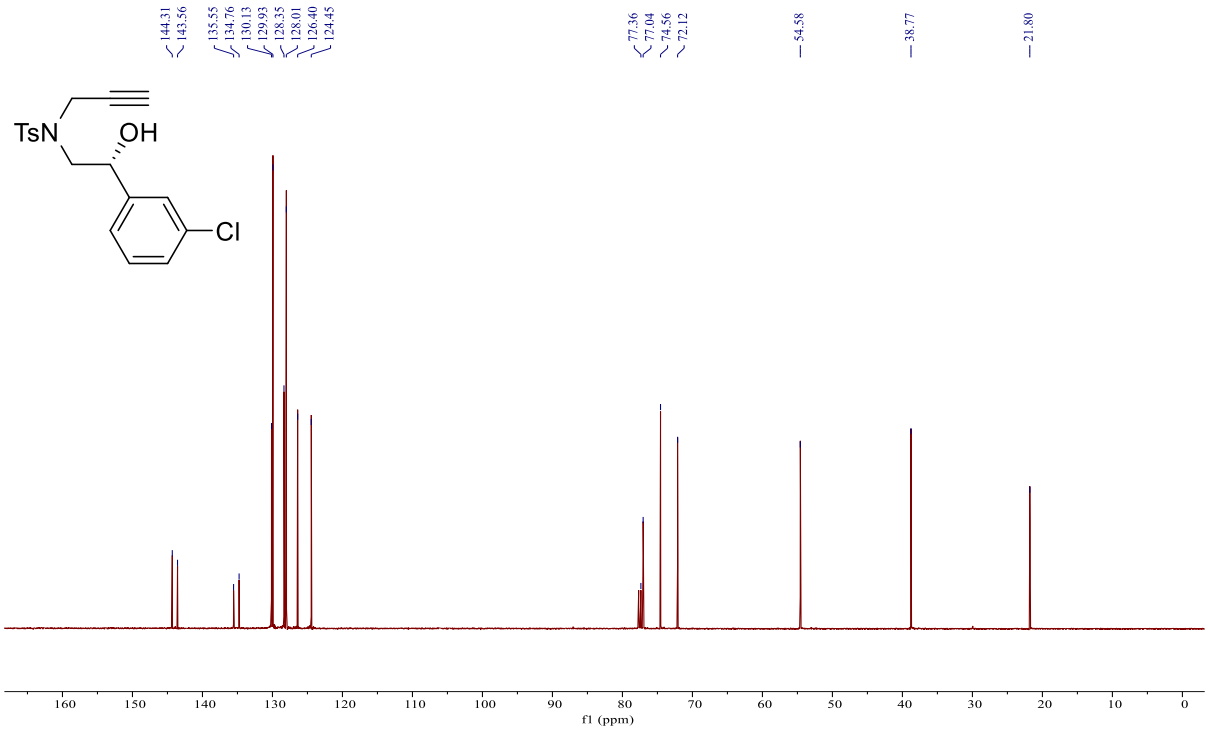
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2e.



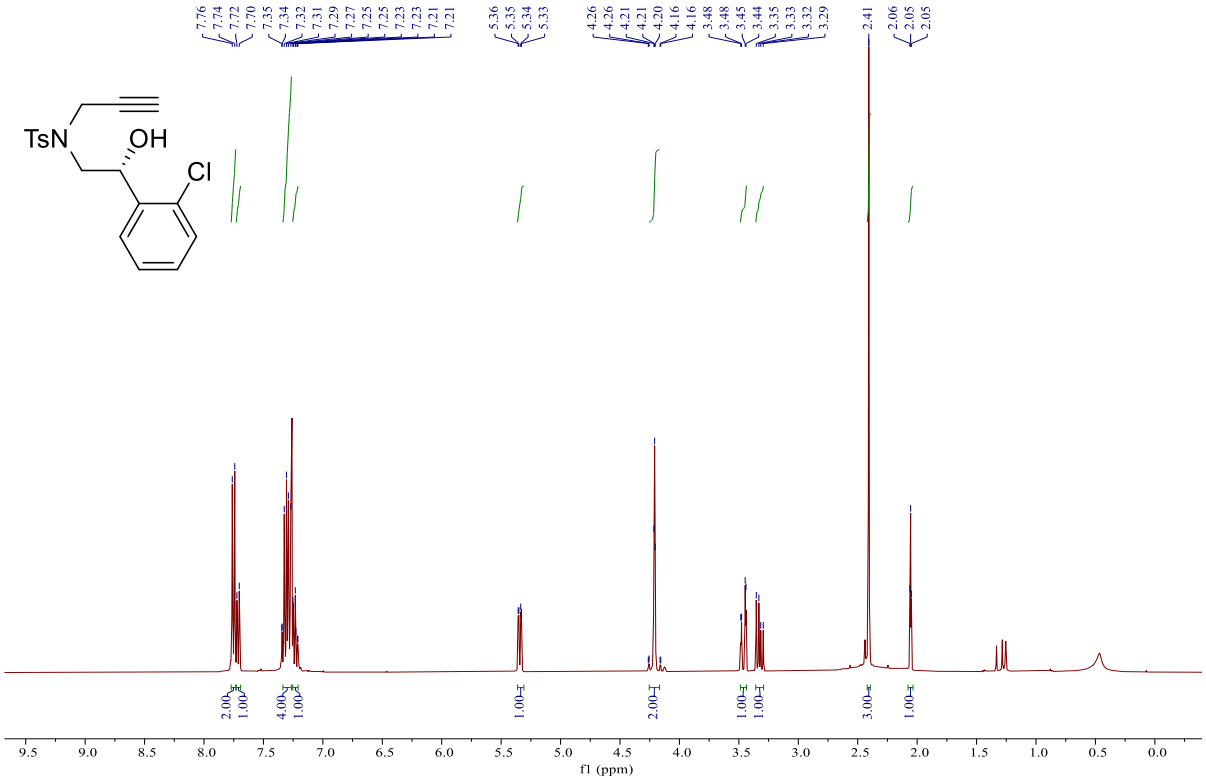


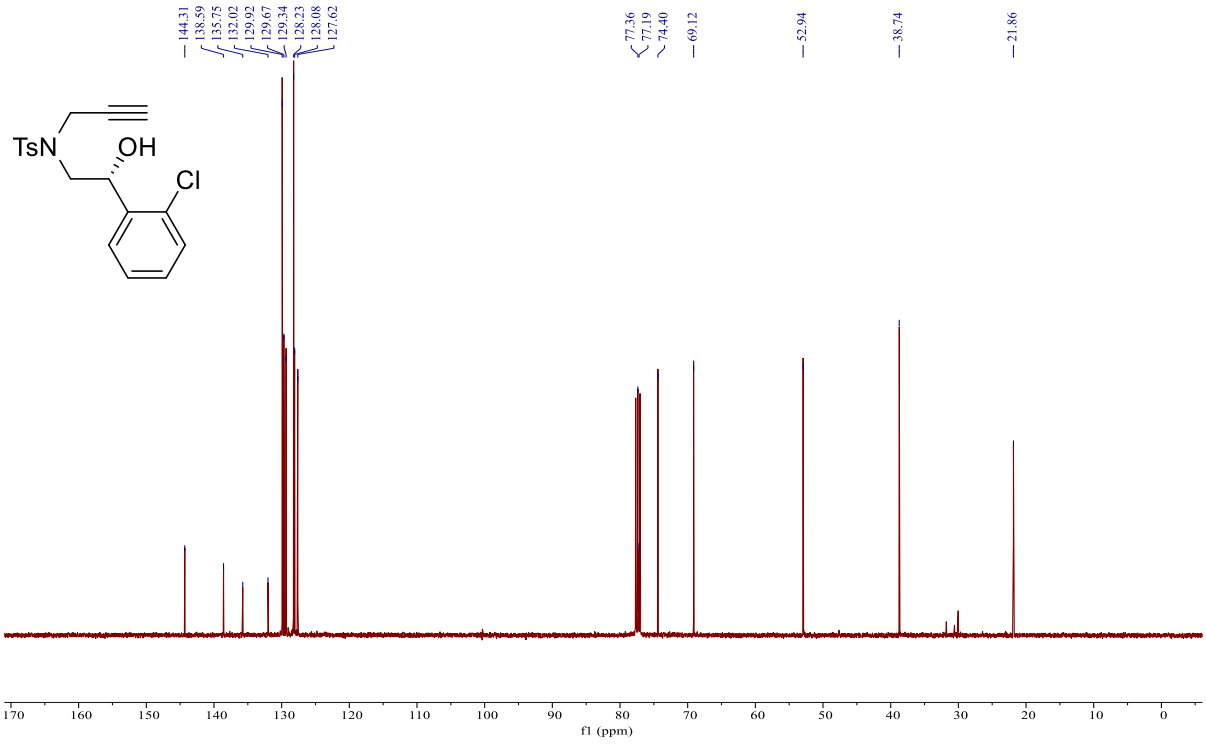
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2f.



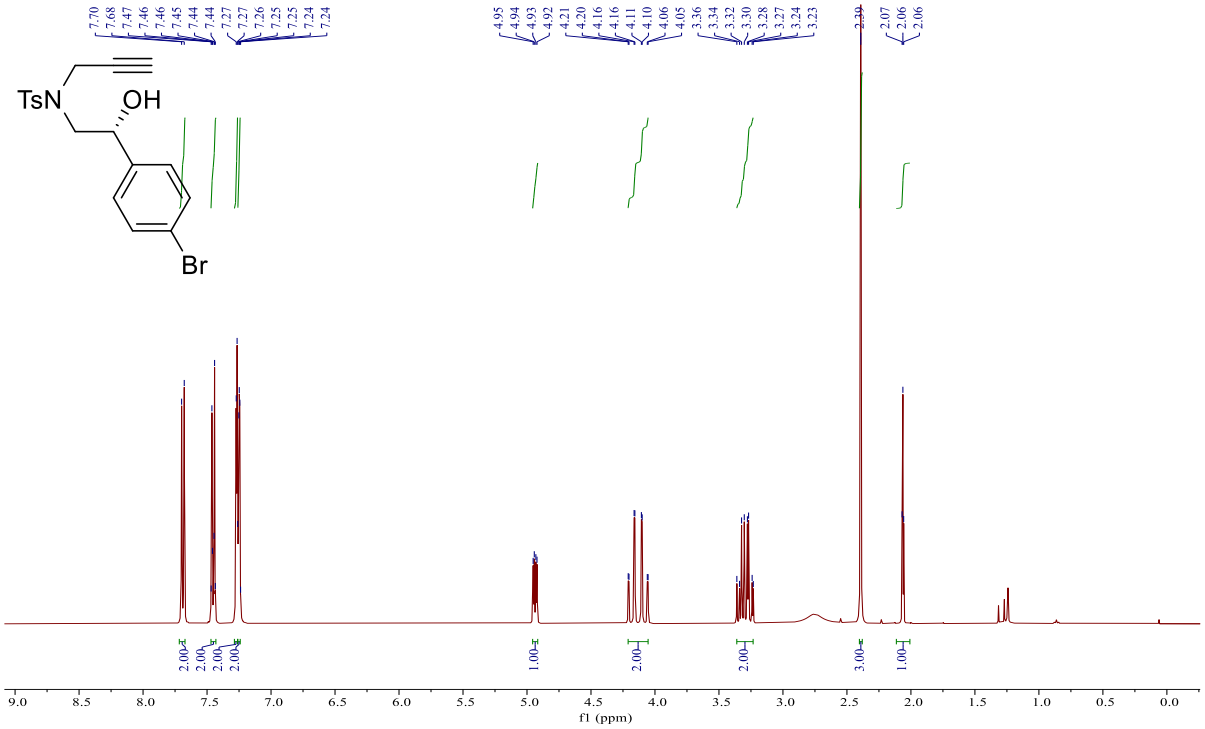


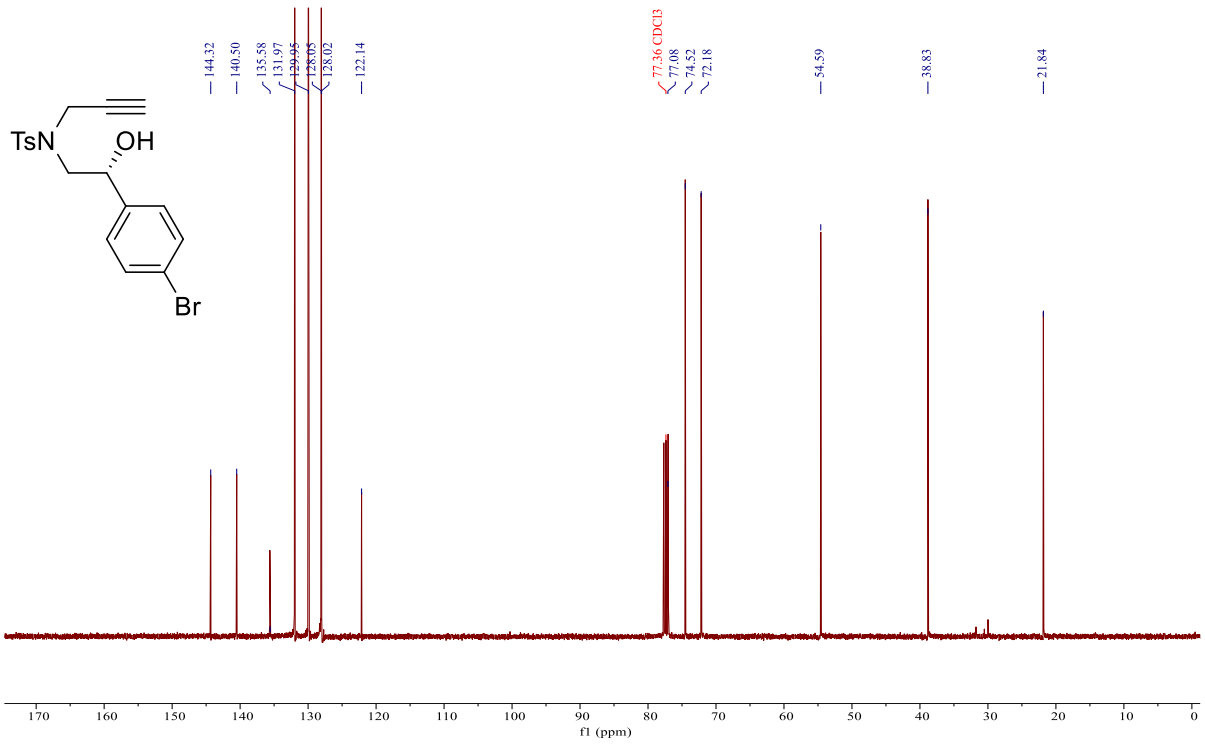
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2g.



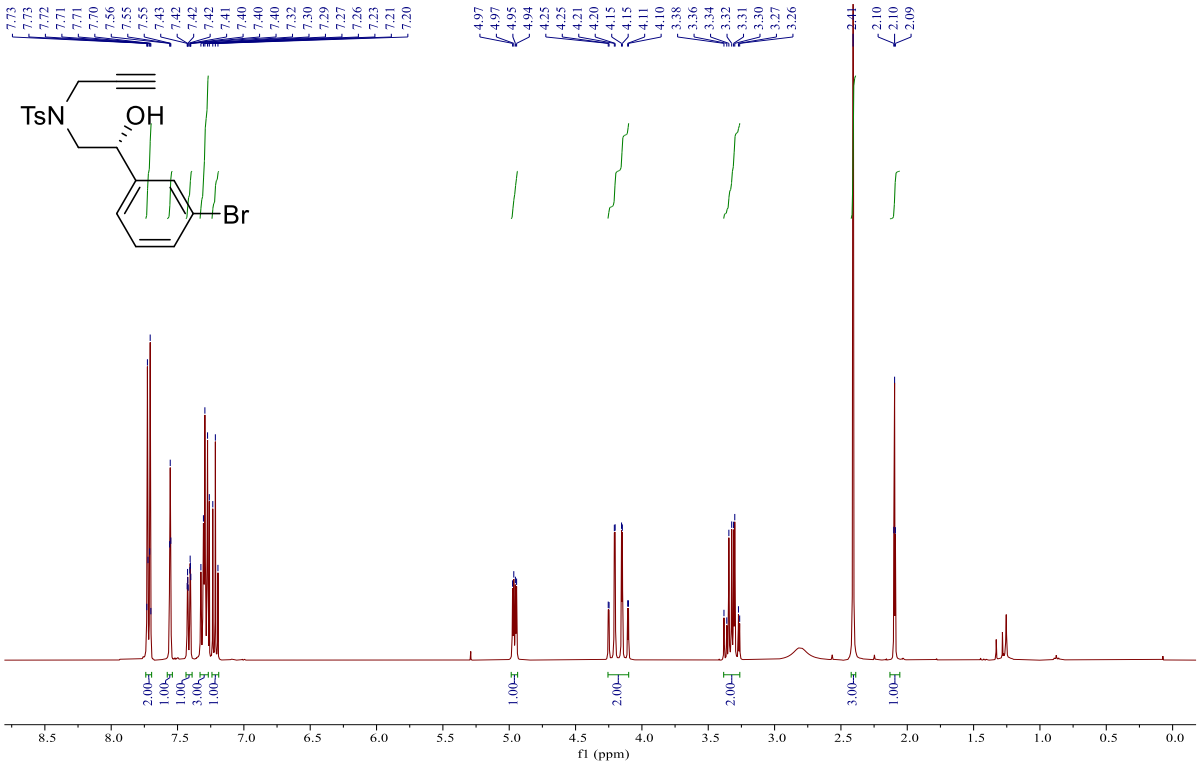


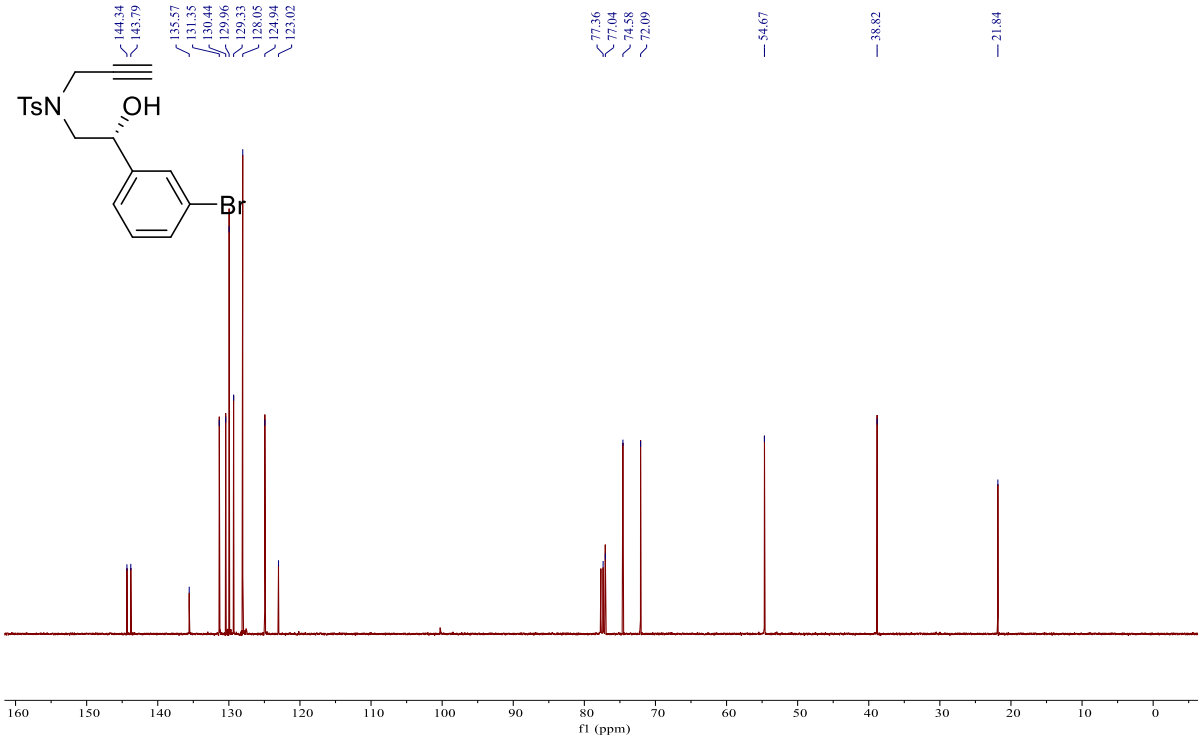
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2h.



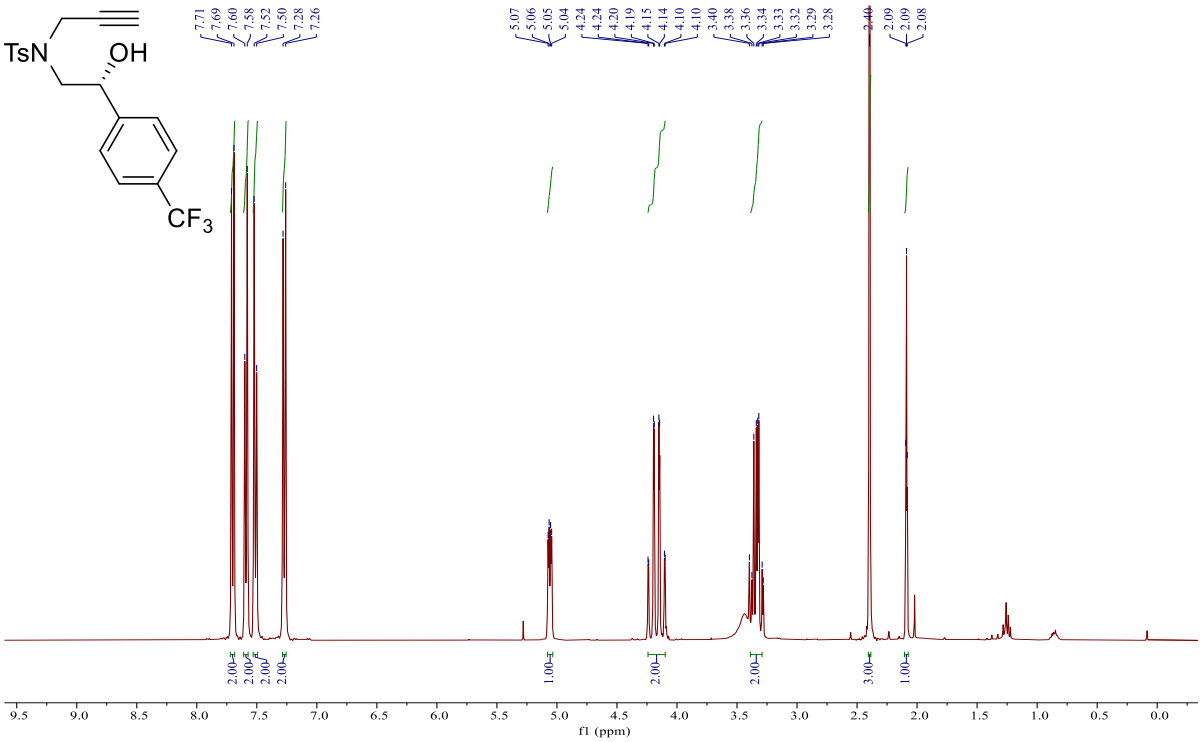


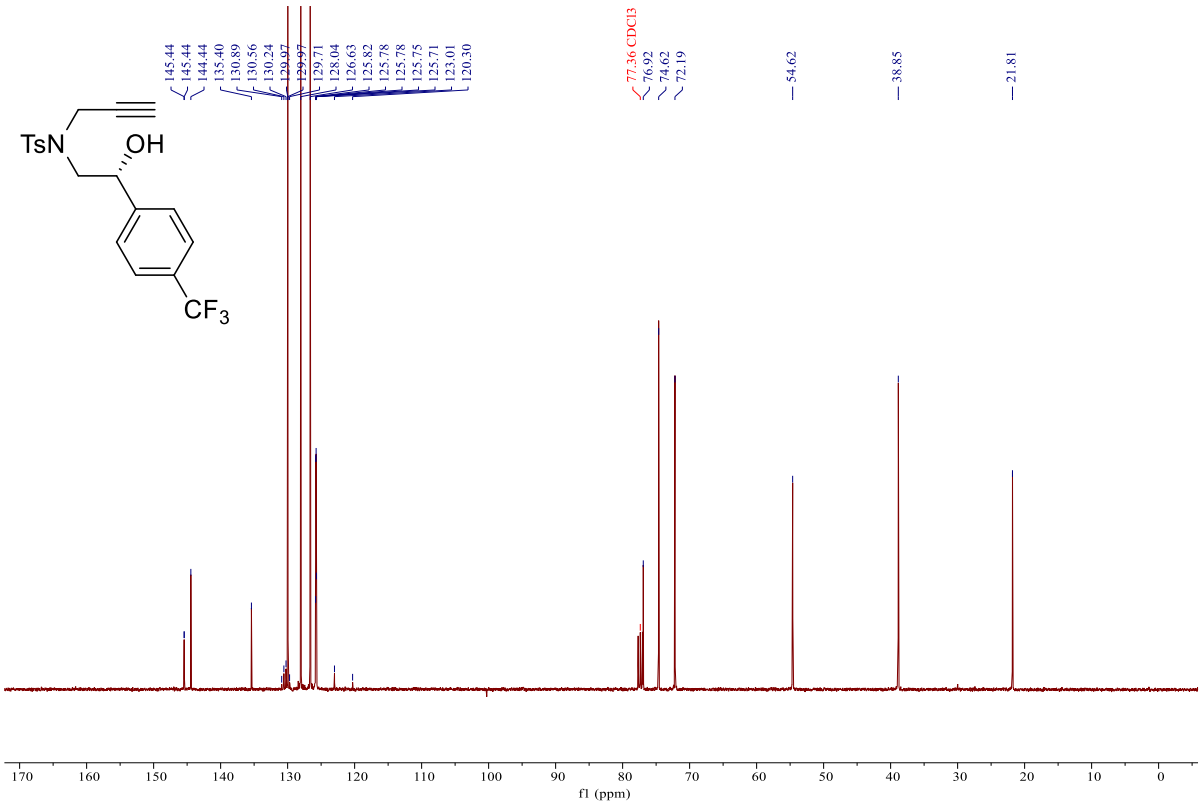
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2i.



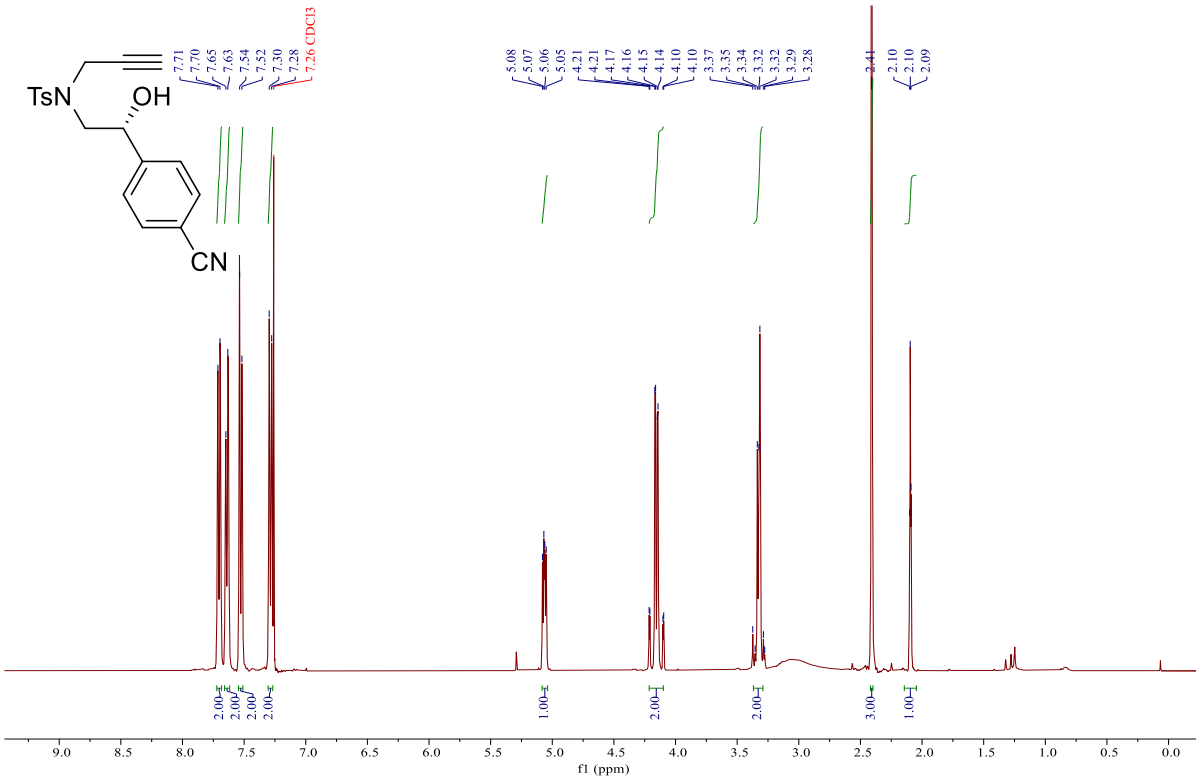


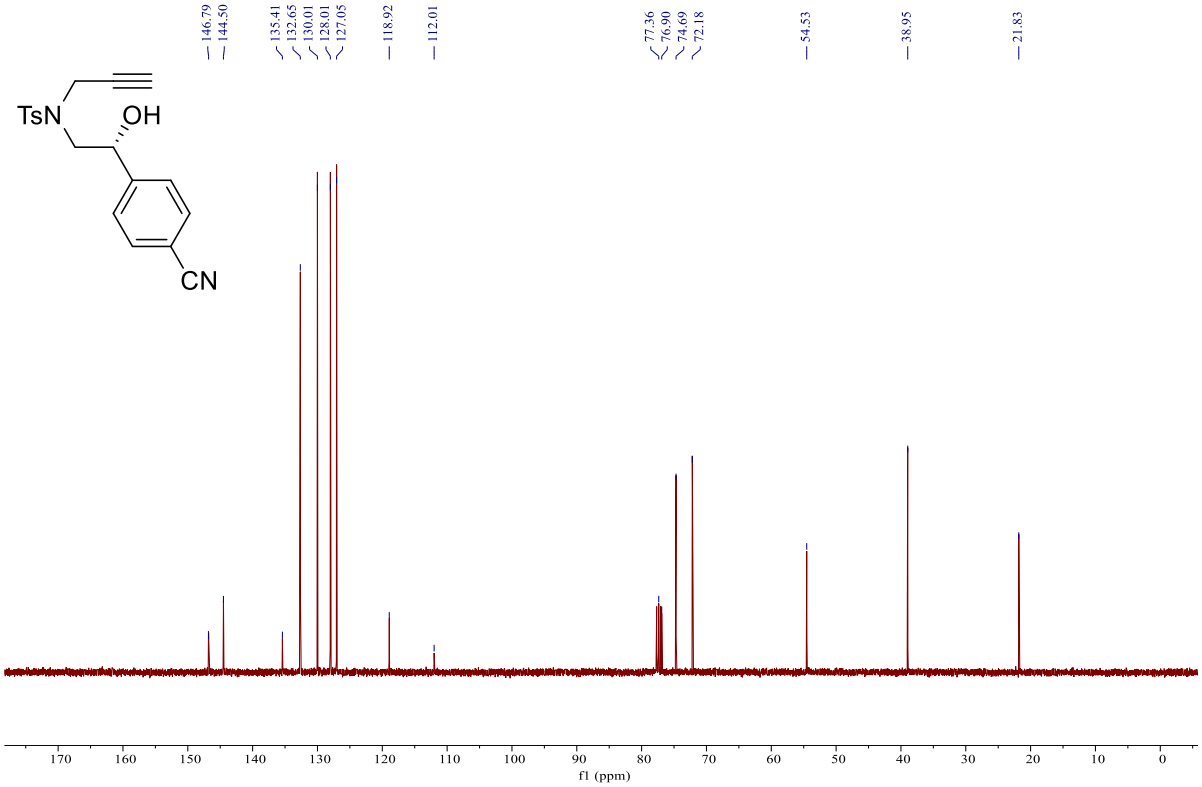
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2j.



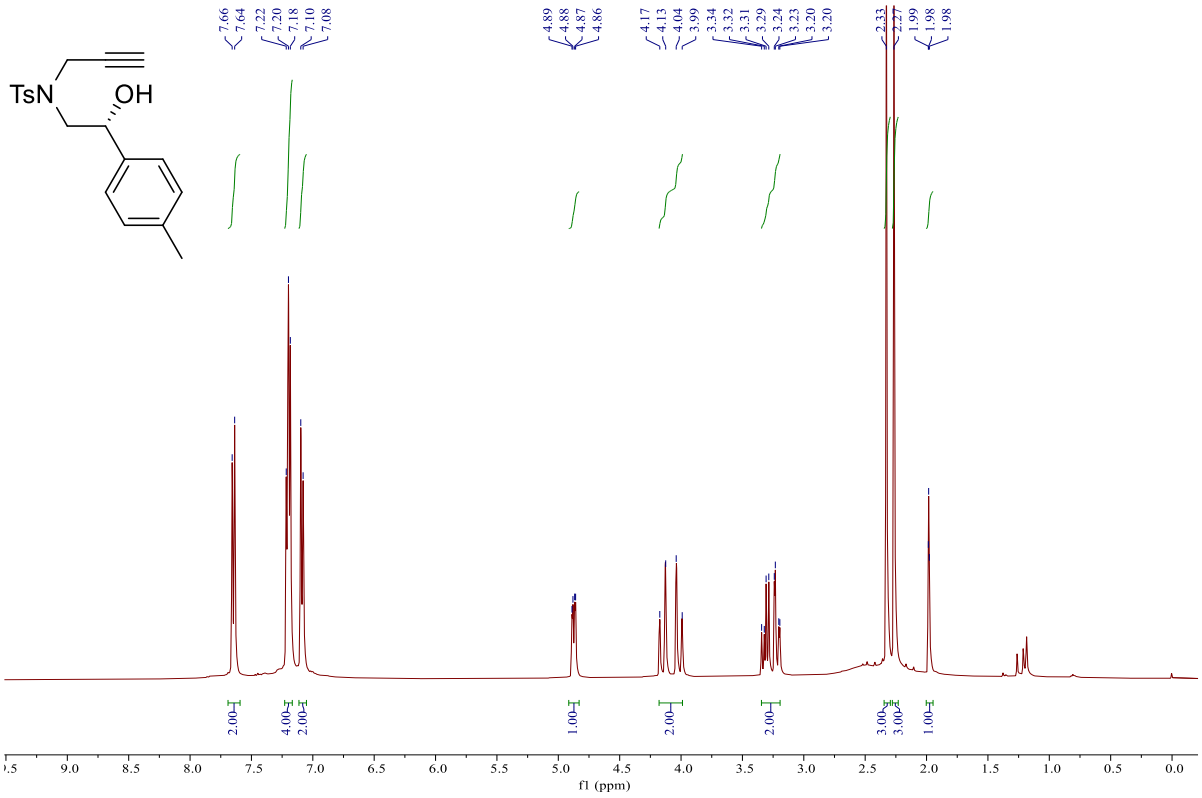


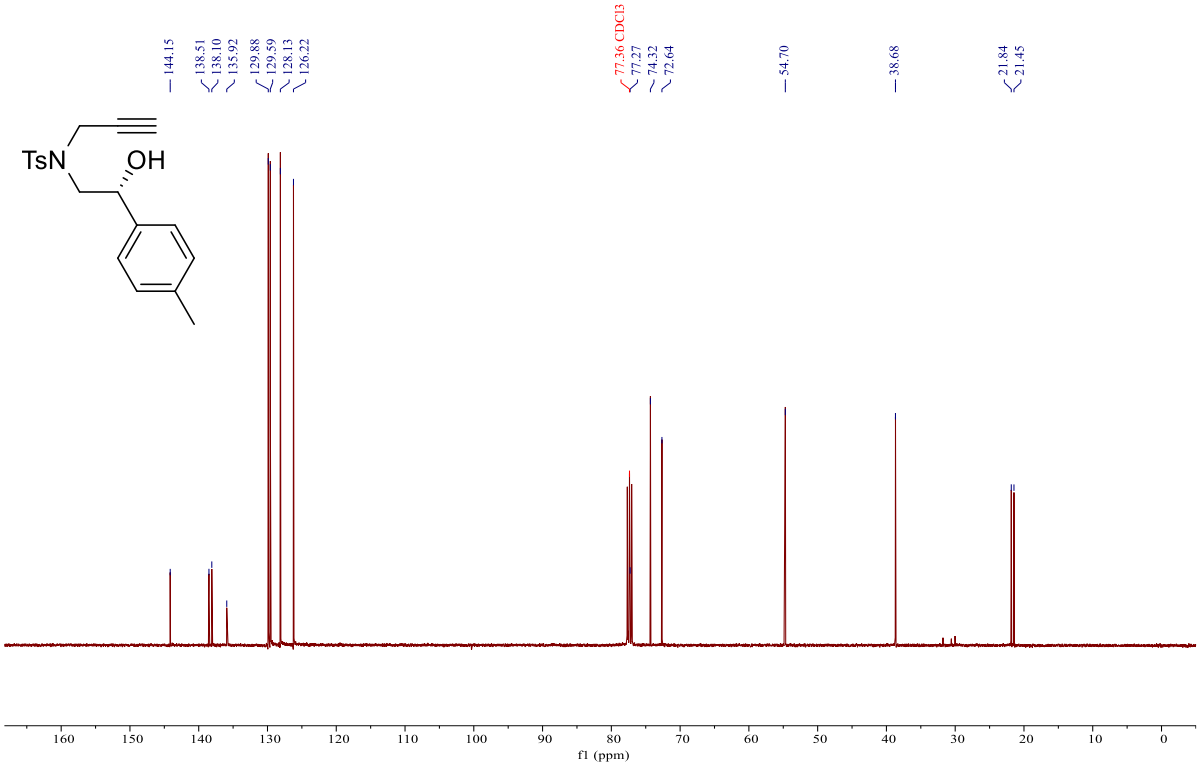
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2k.



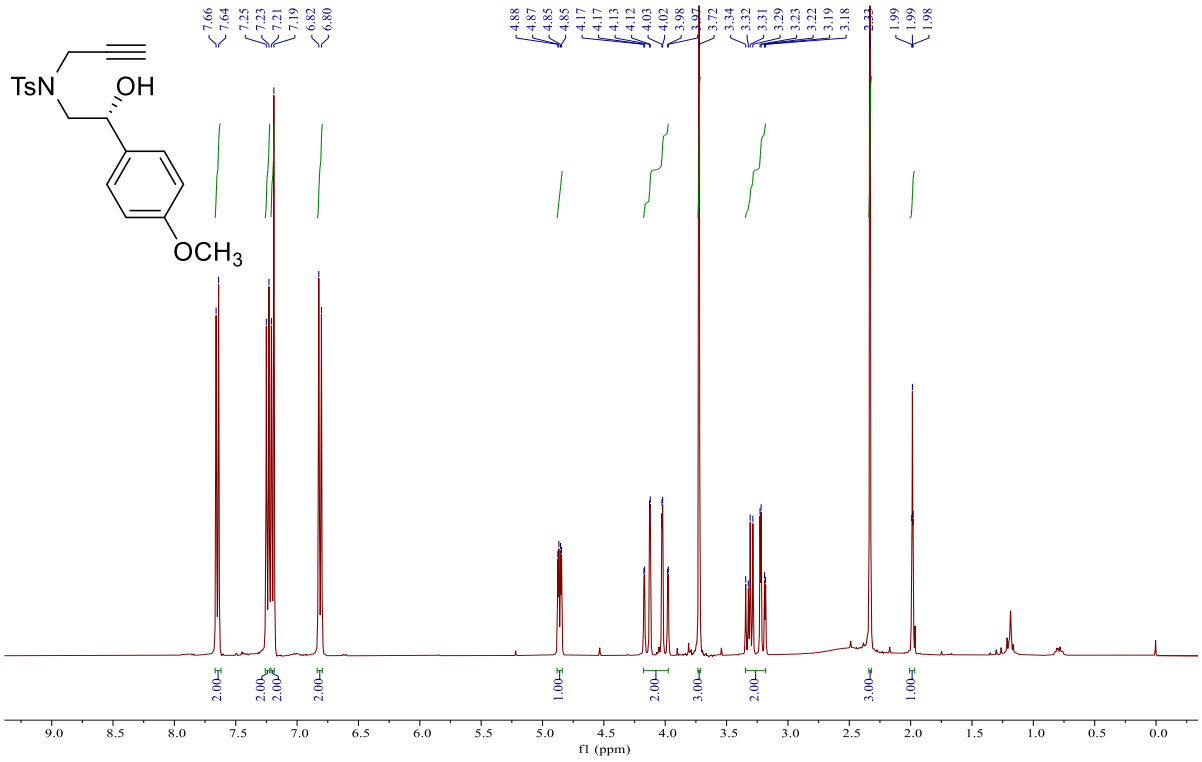


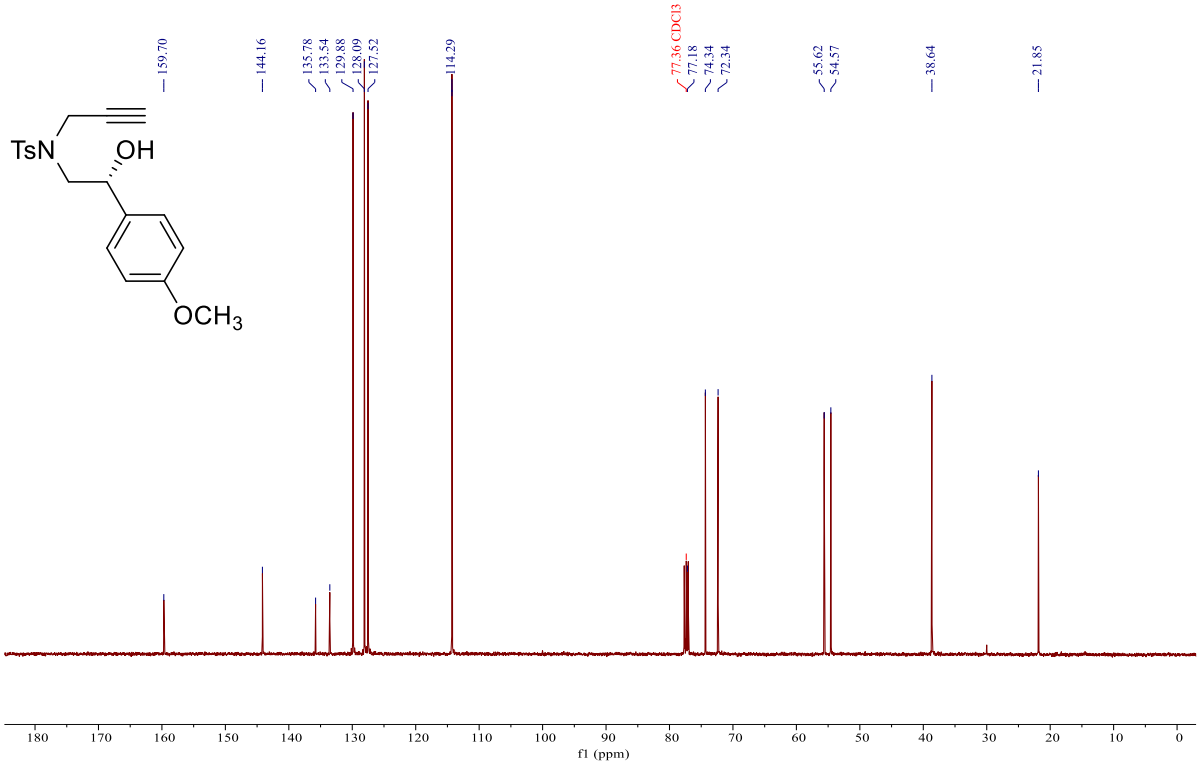
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2l.



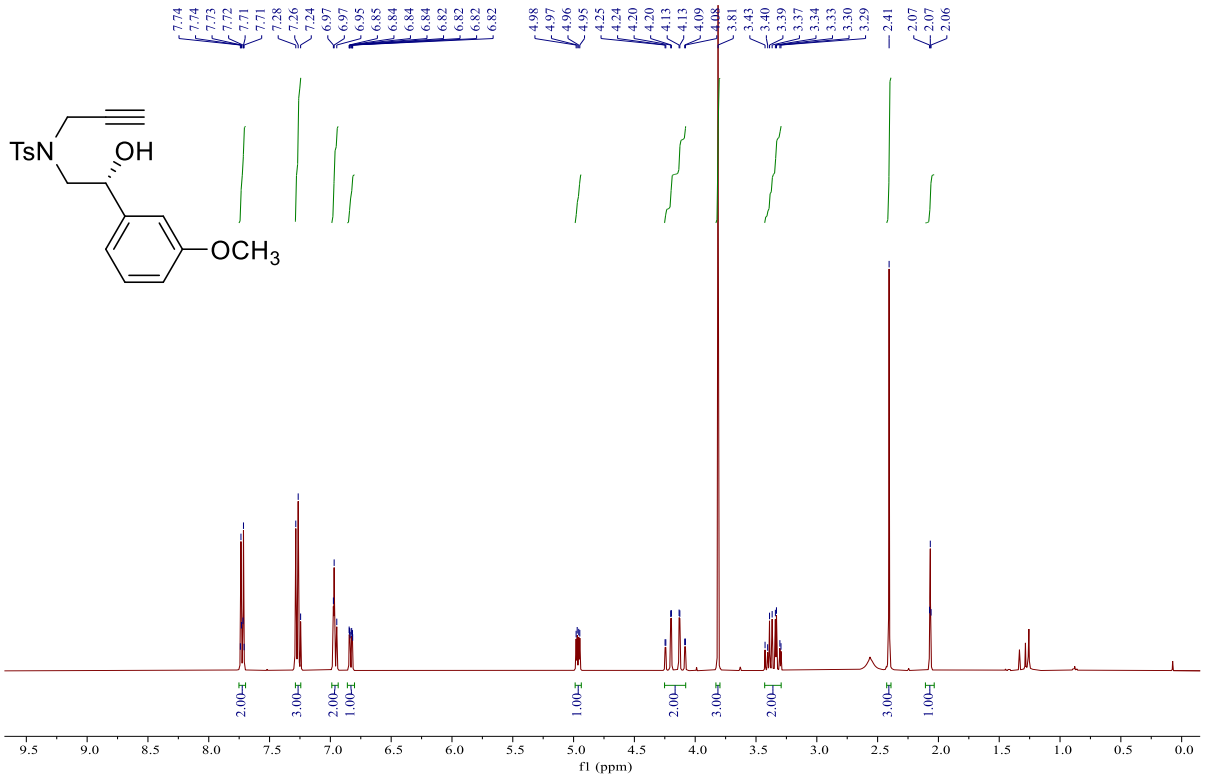


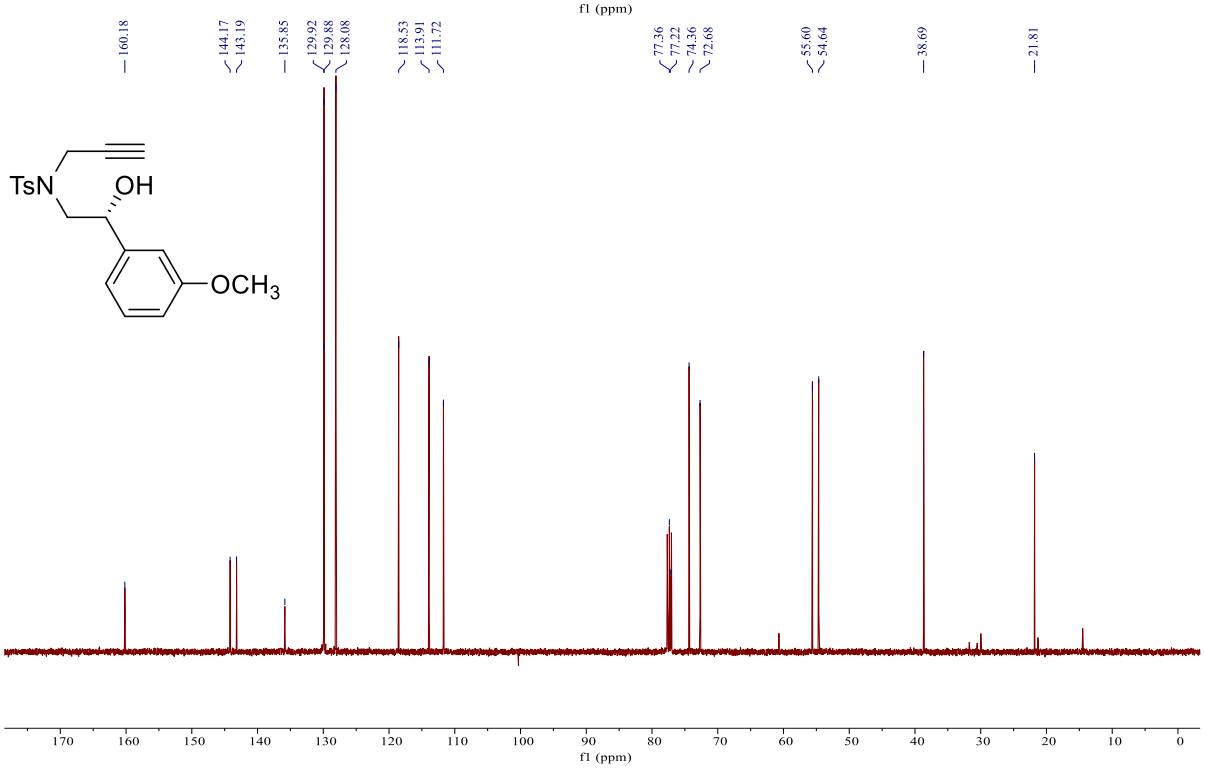
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2m.



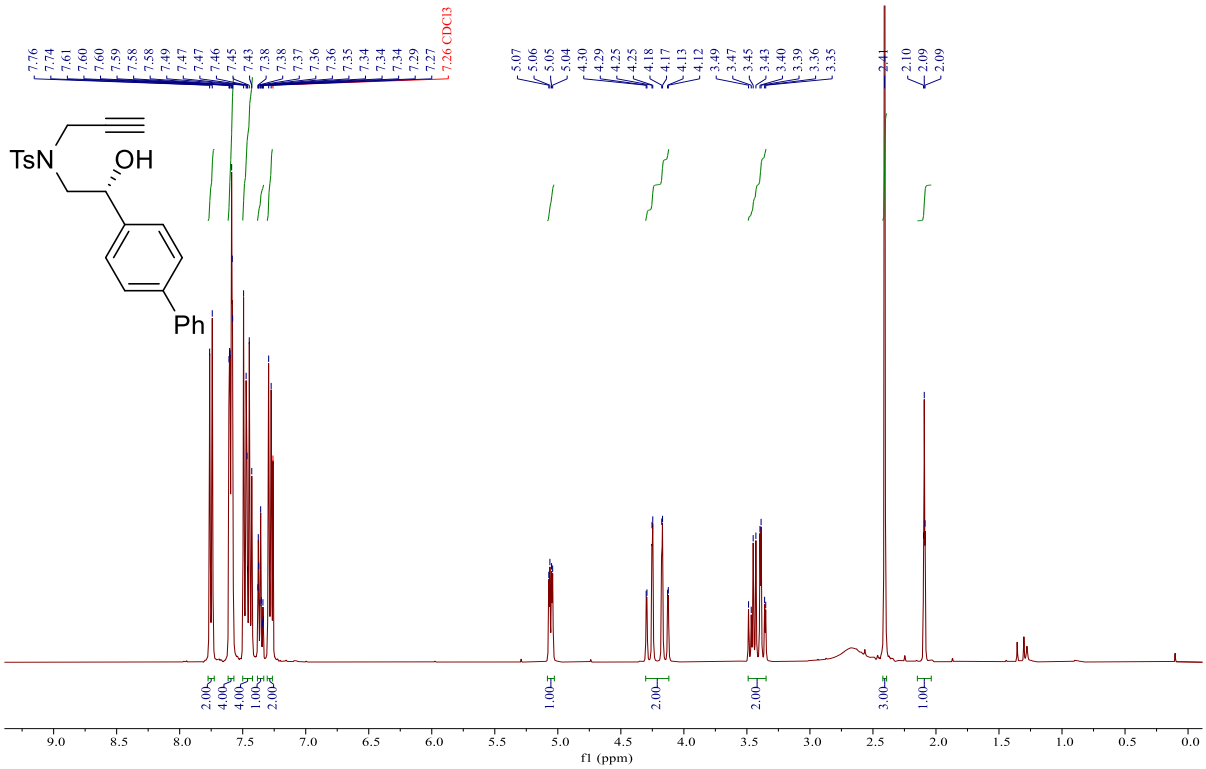


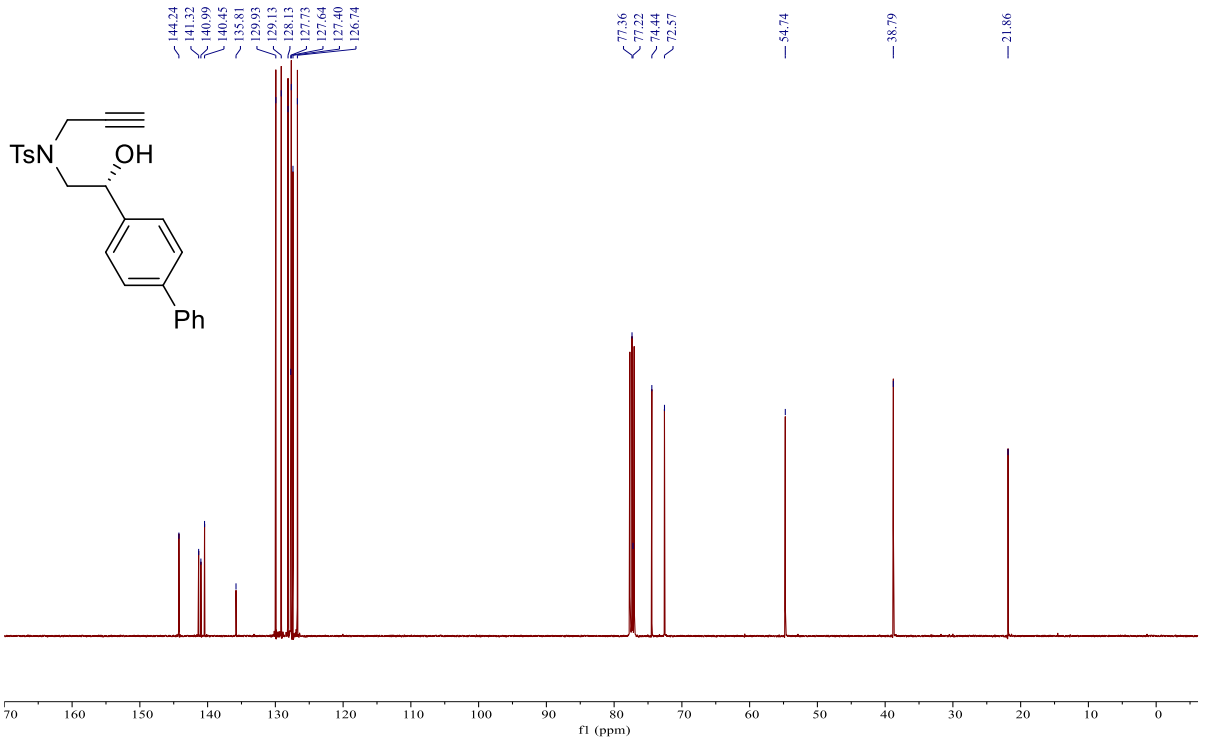
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2n.



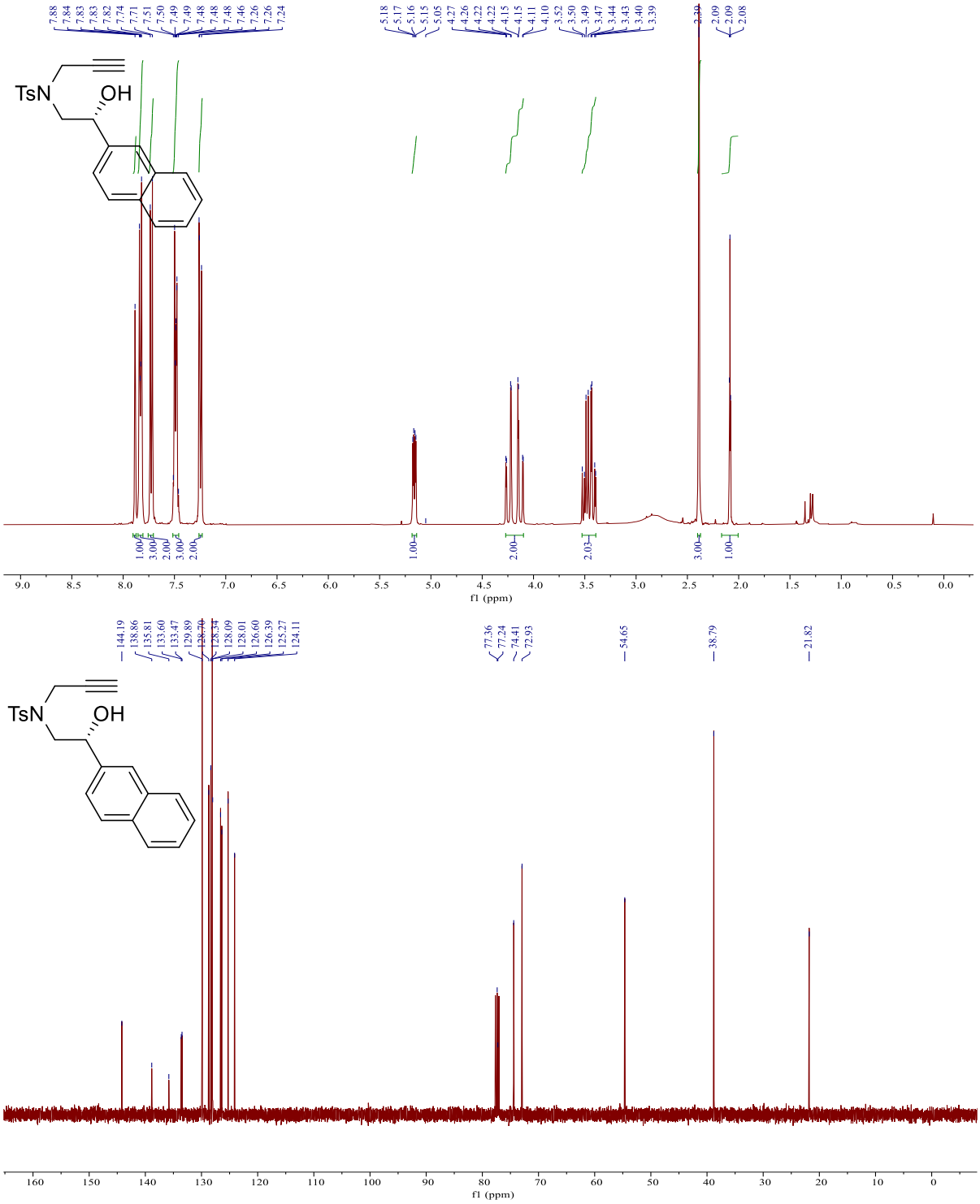


^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2o.

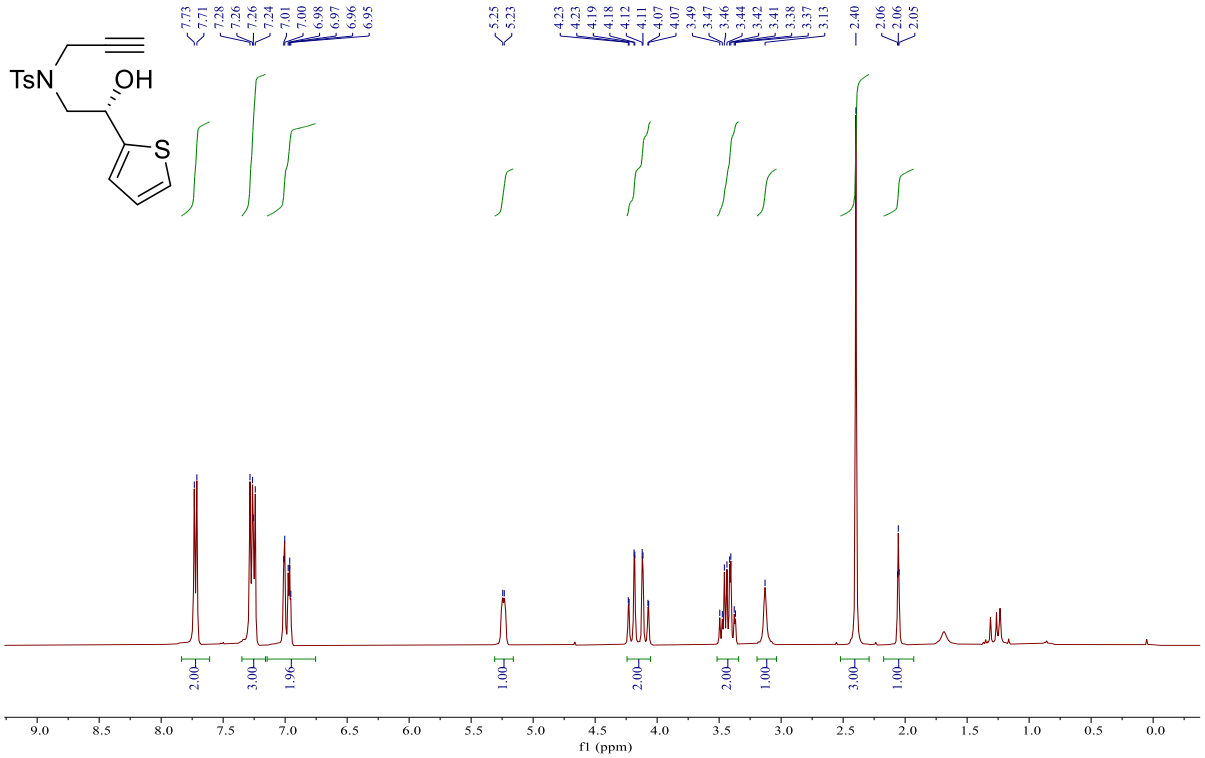


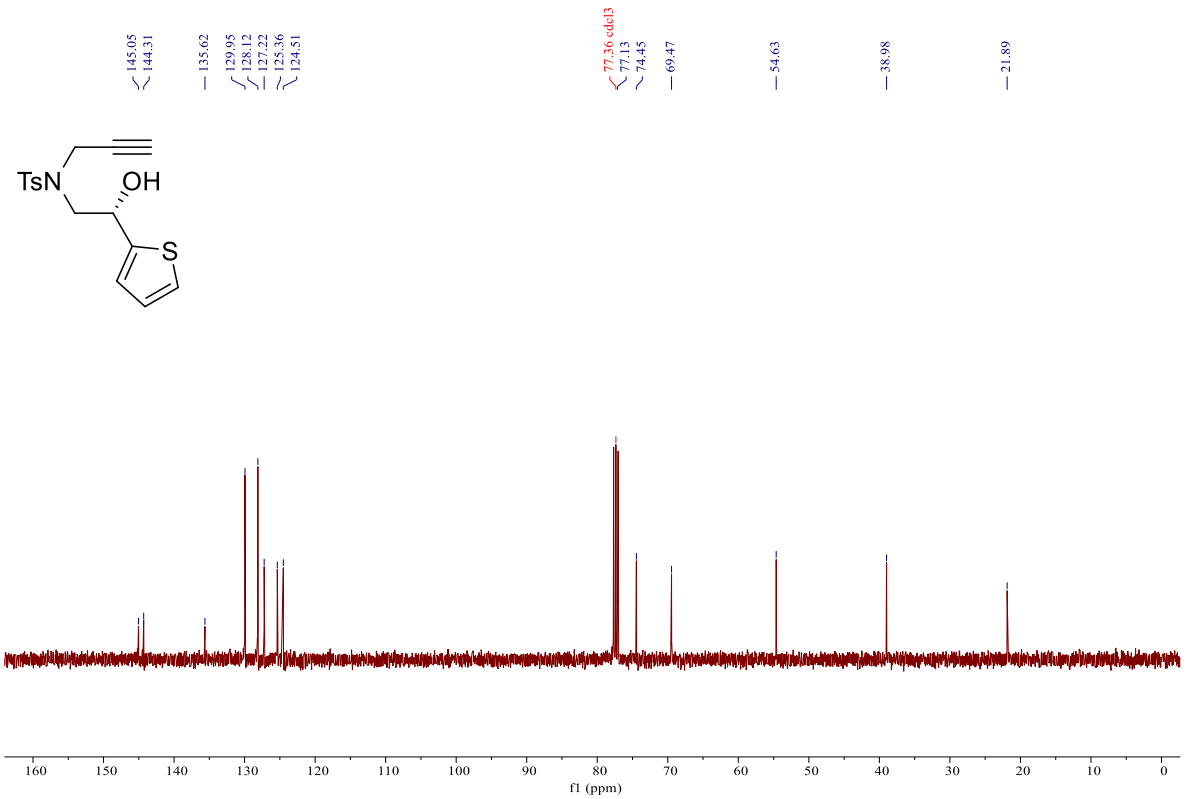


^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2p.

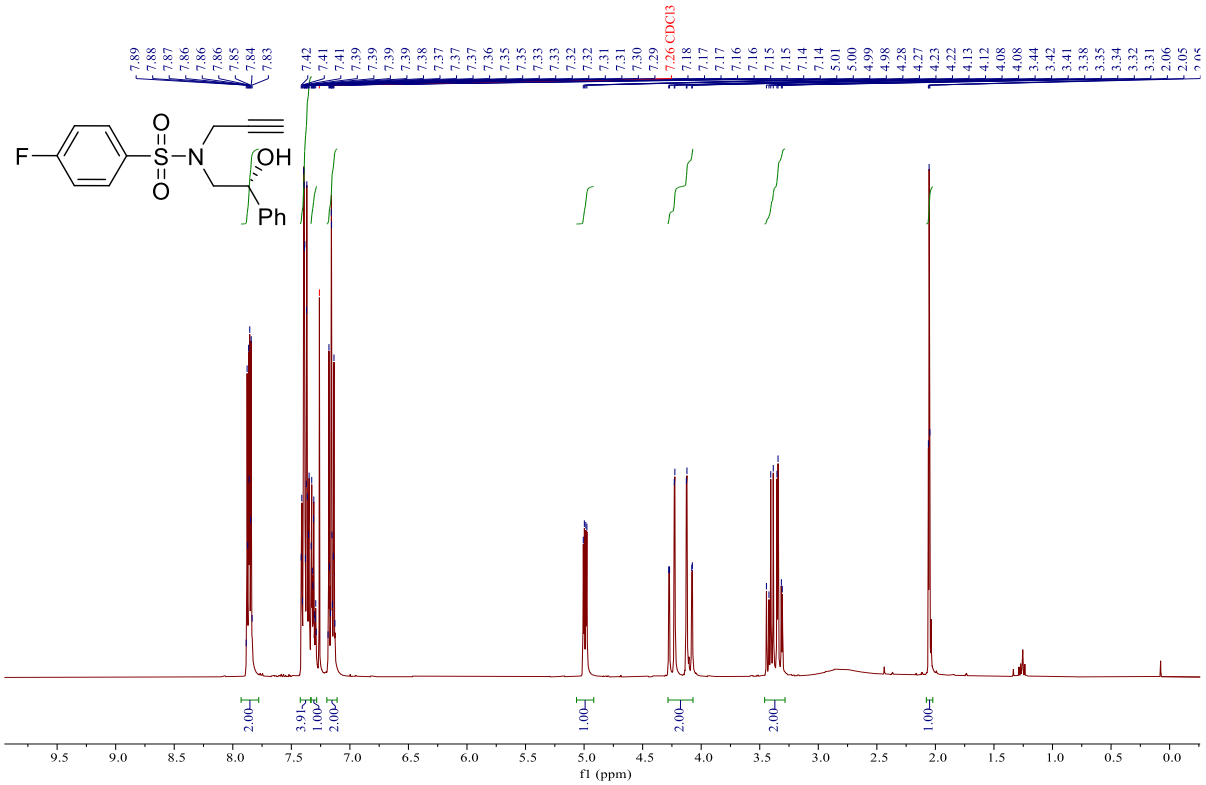


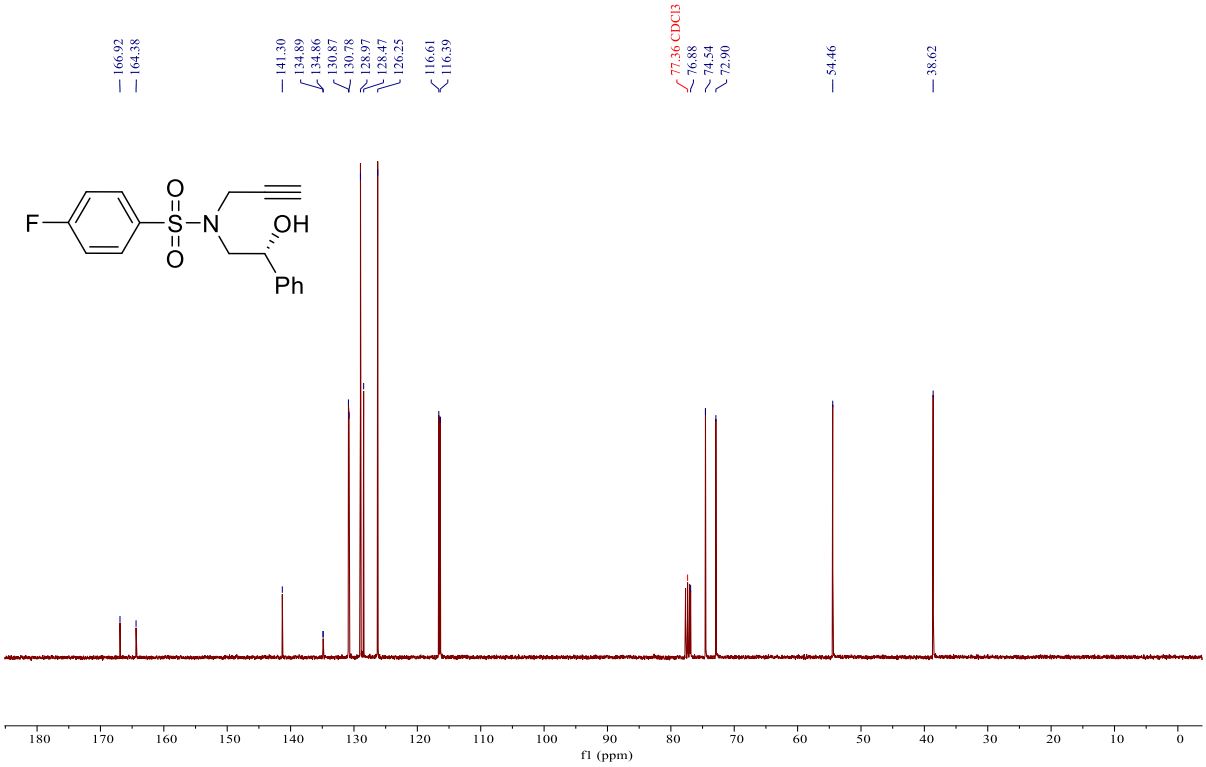
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2q.



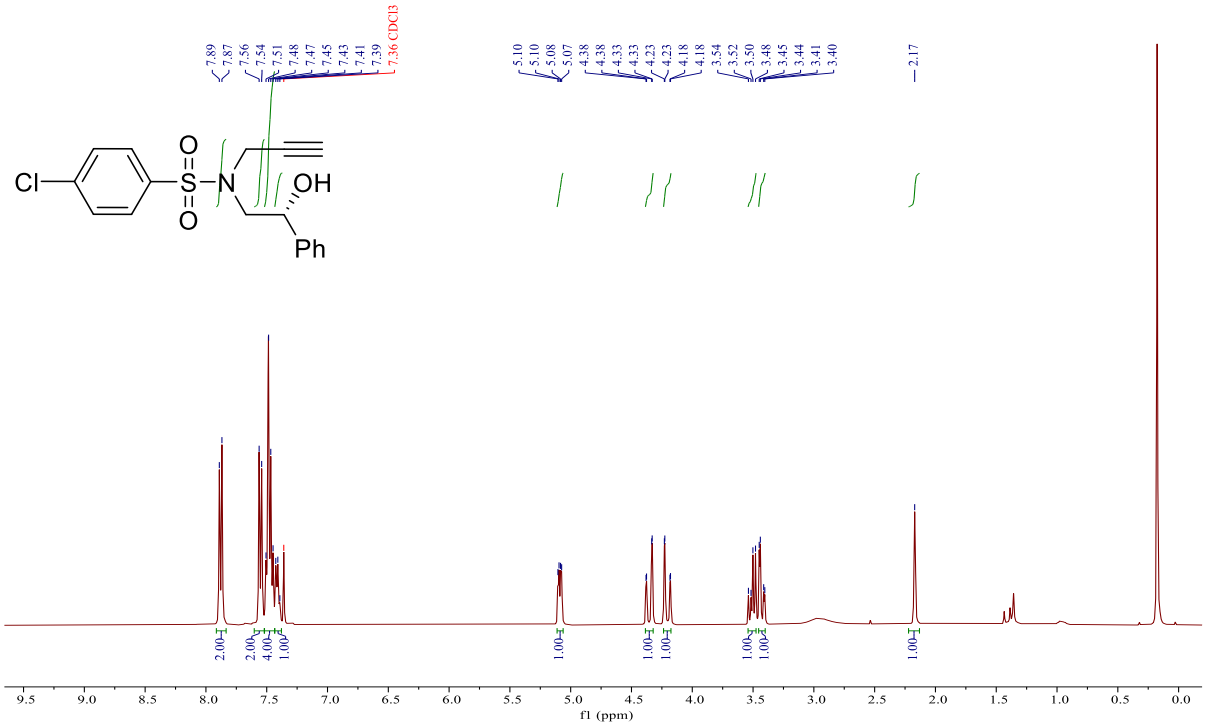


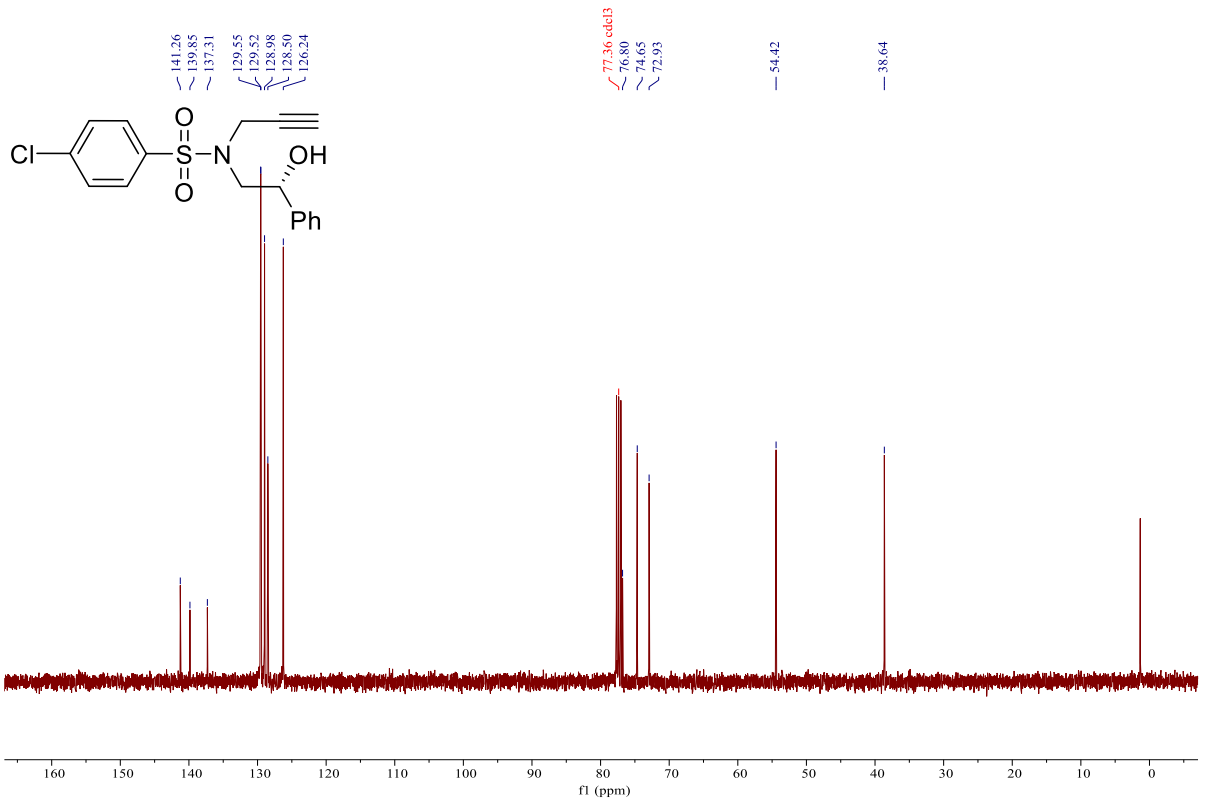
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2r.



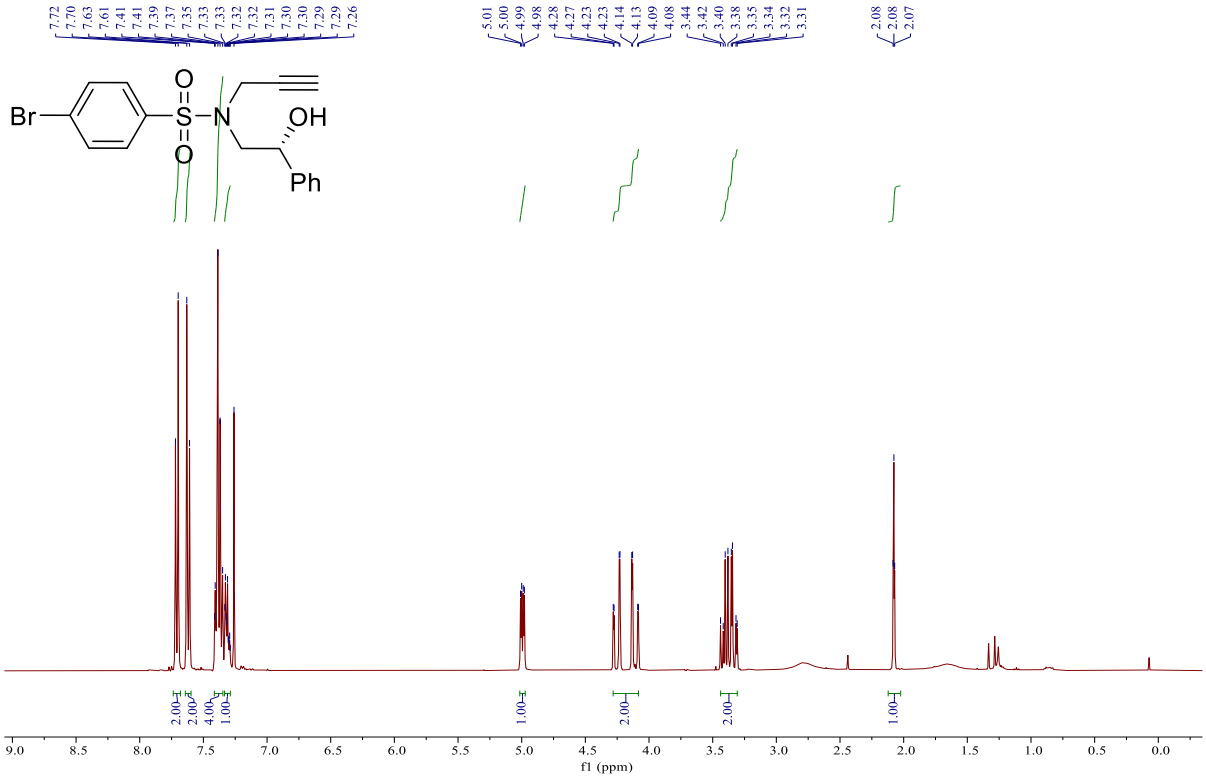


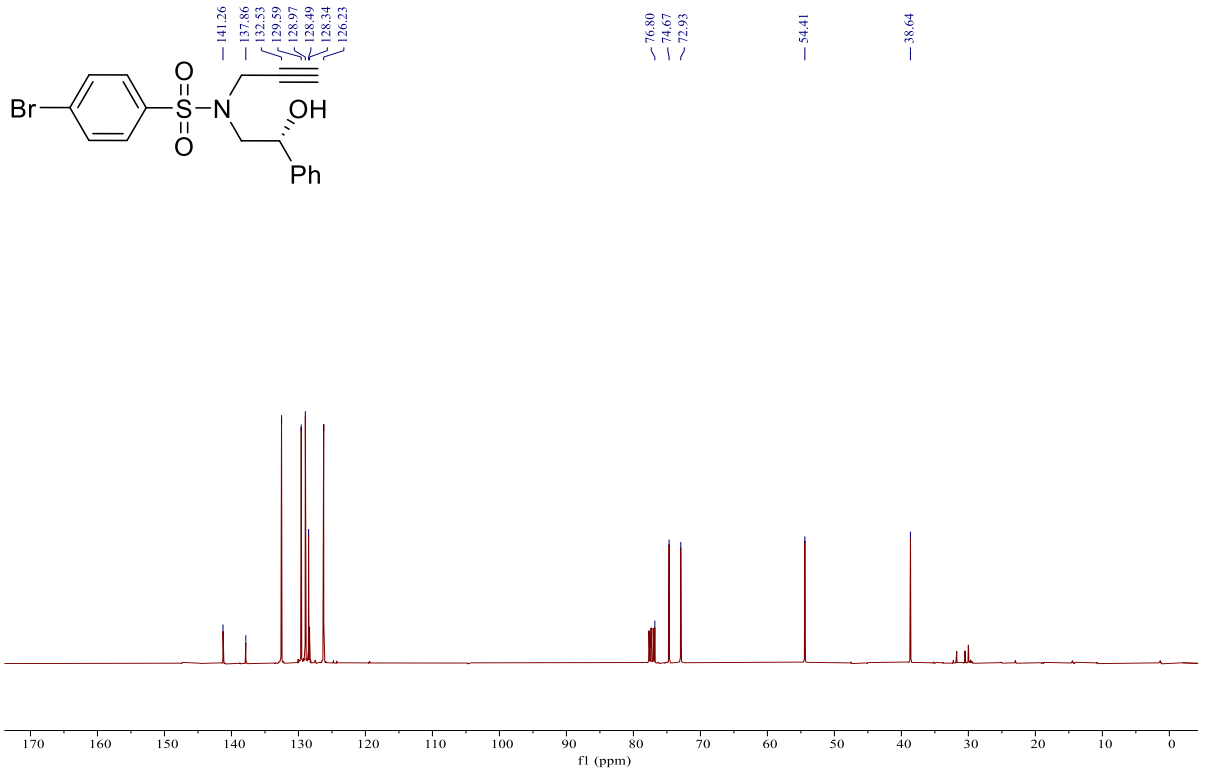
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2s.



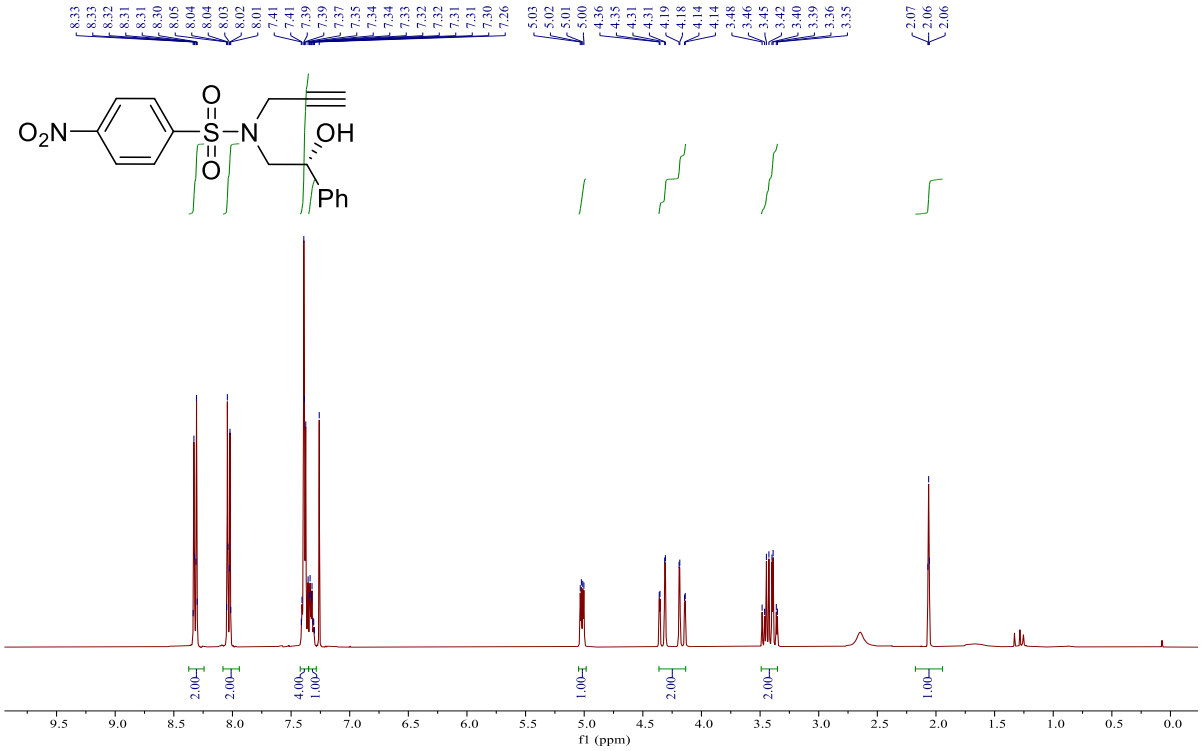


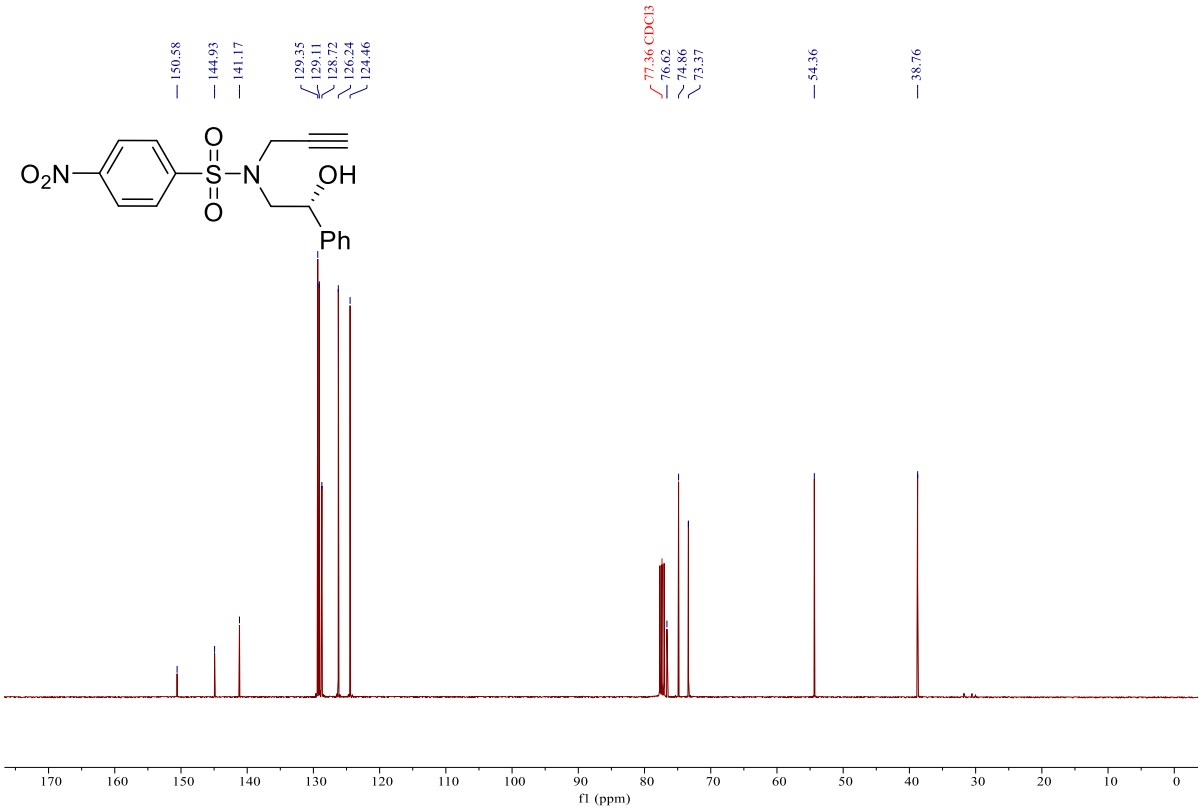
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2t.



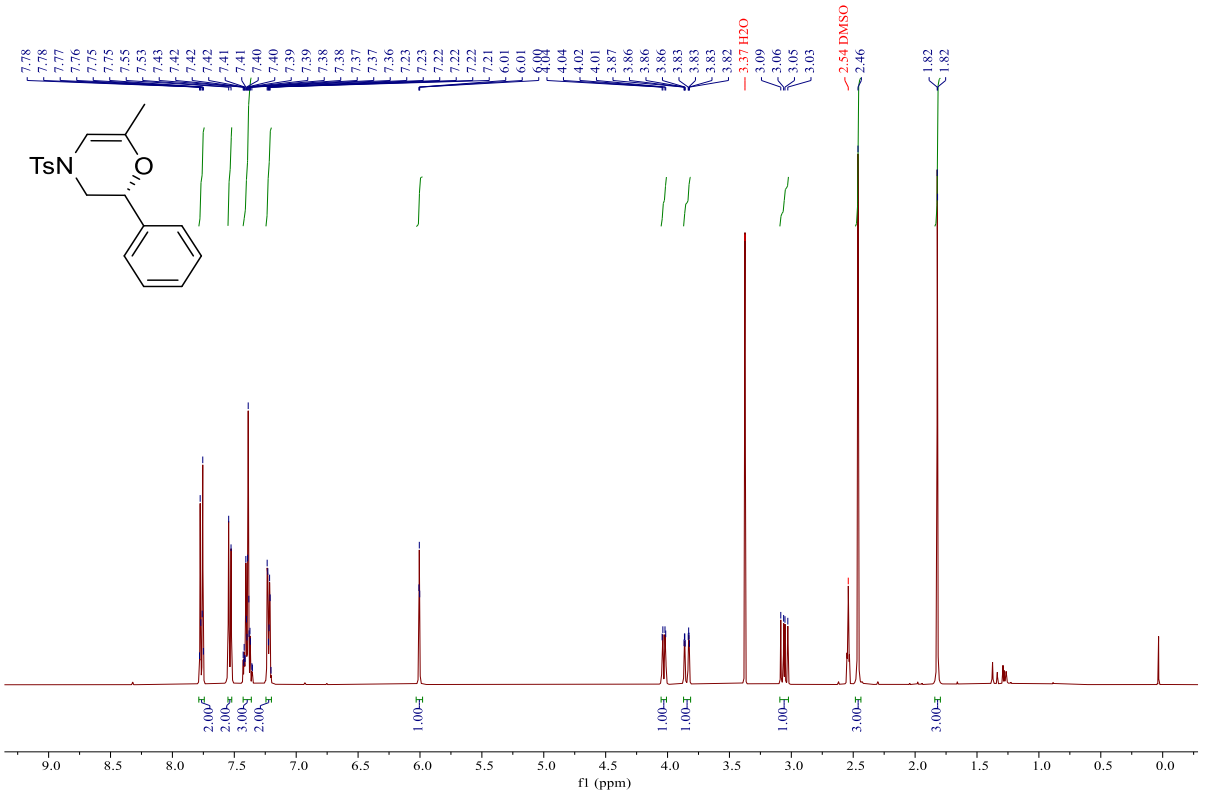


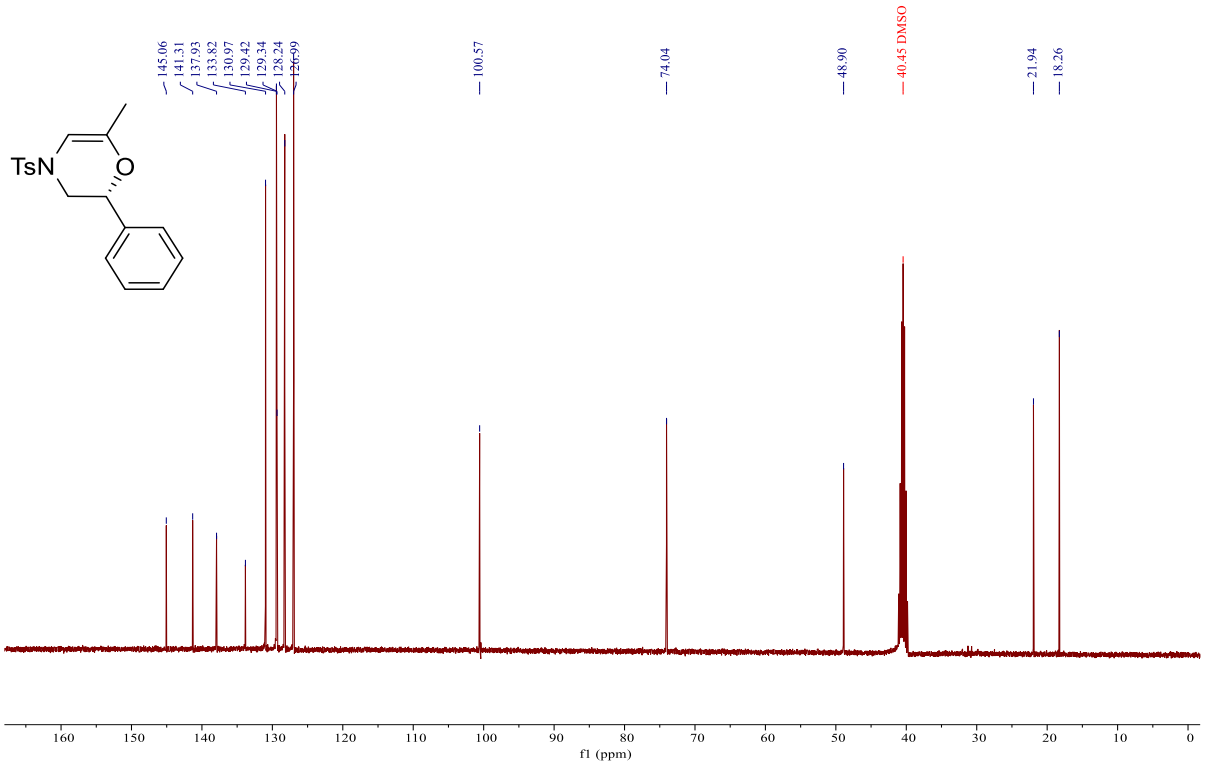
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-2u.



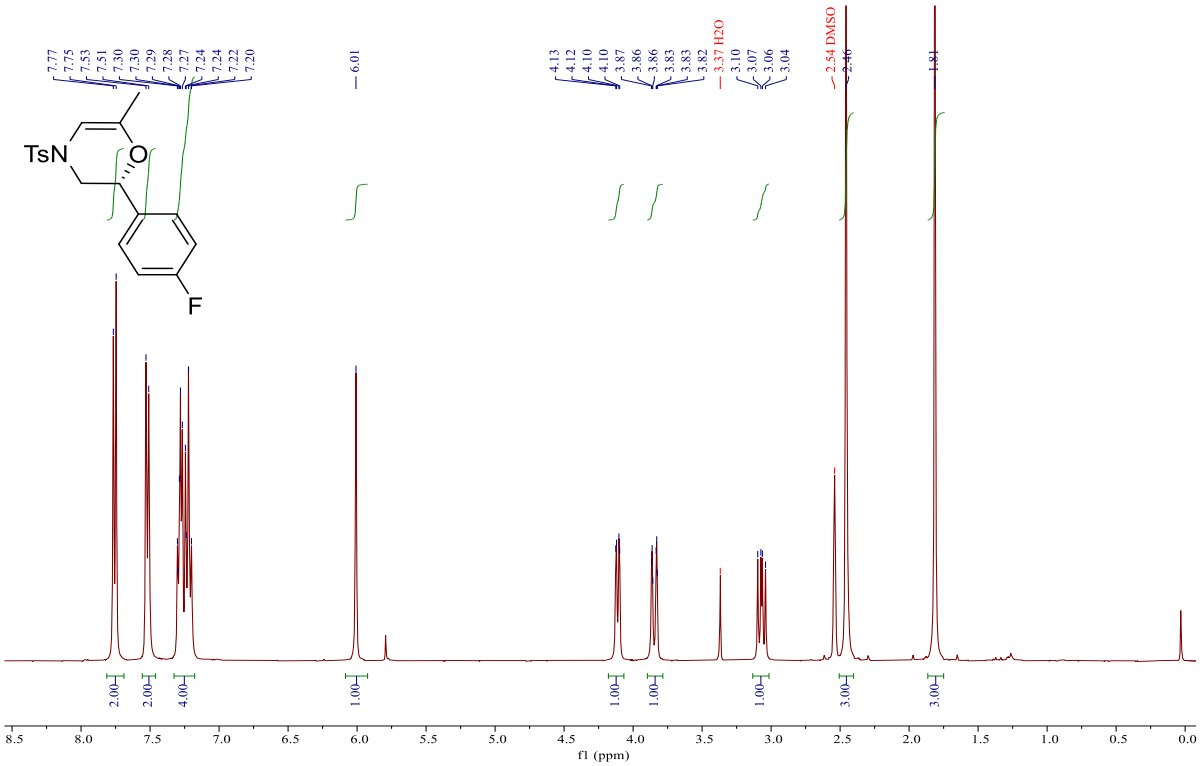


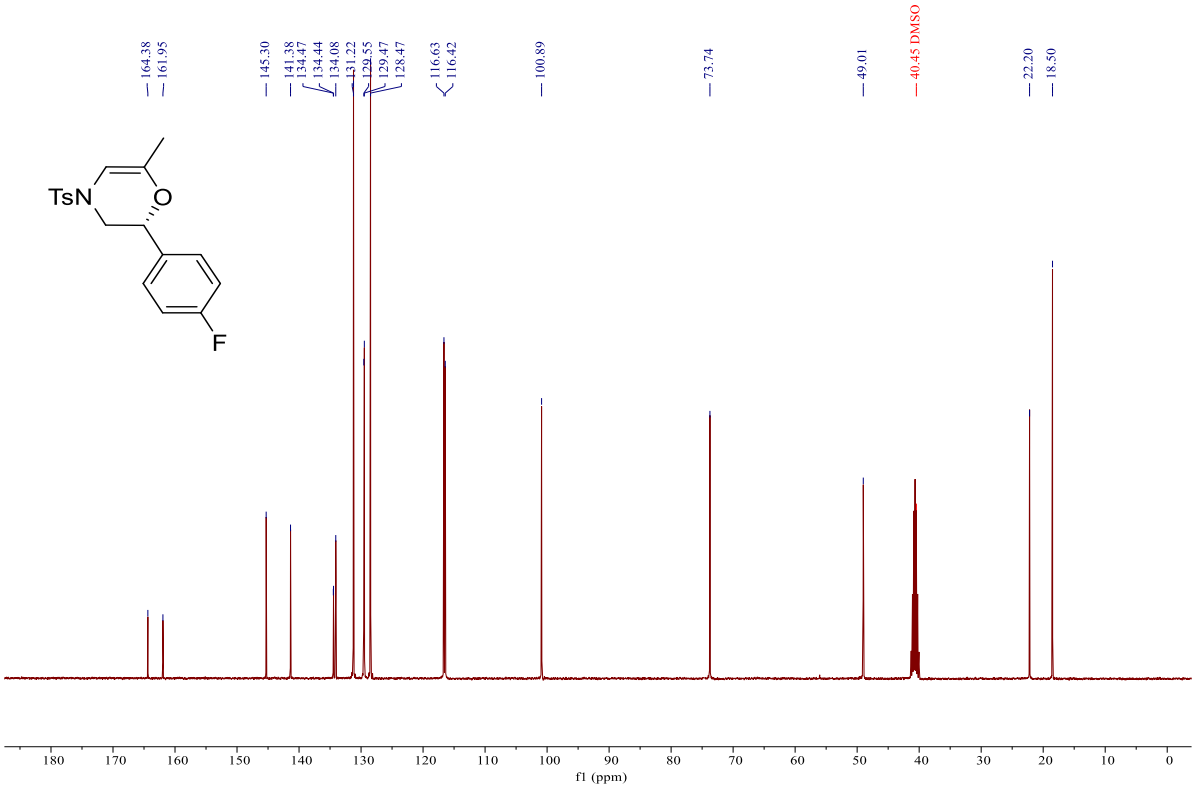
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3a.



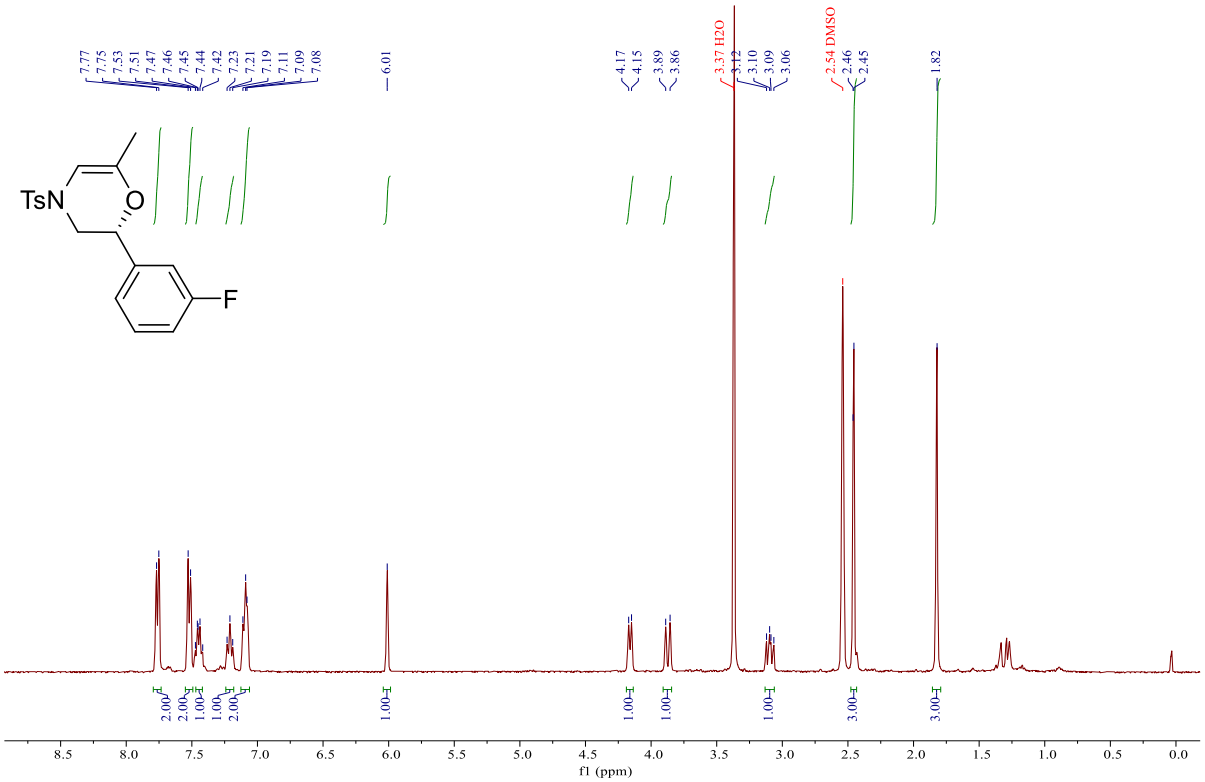


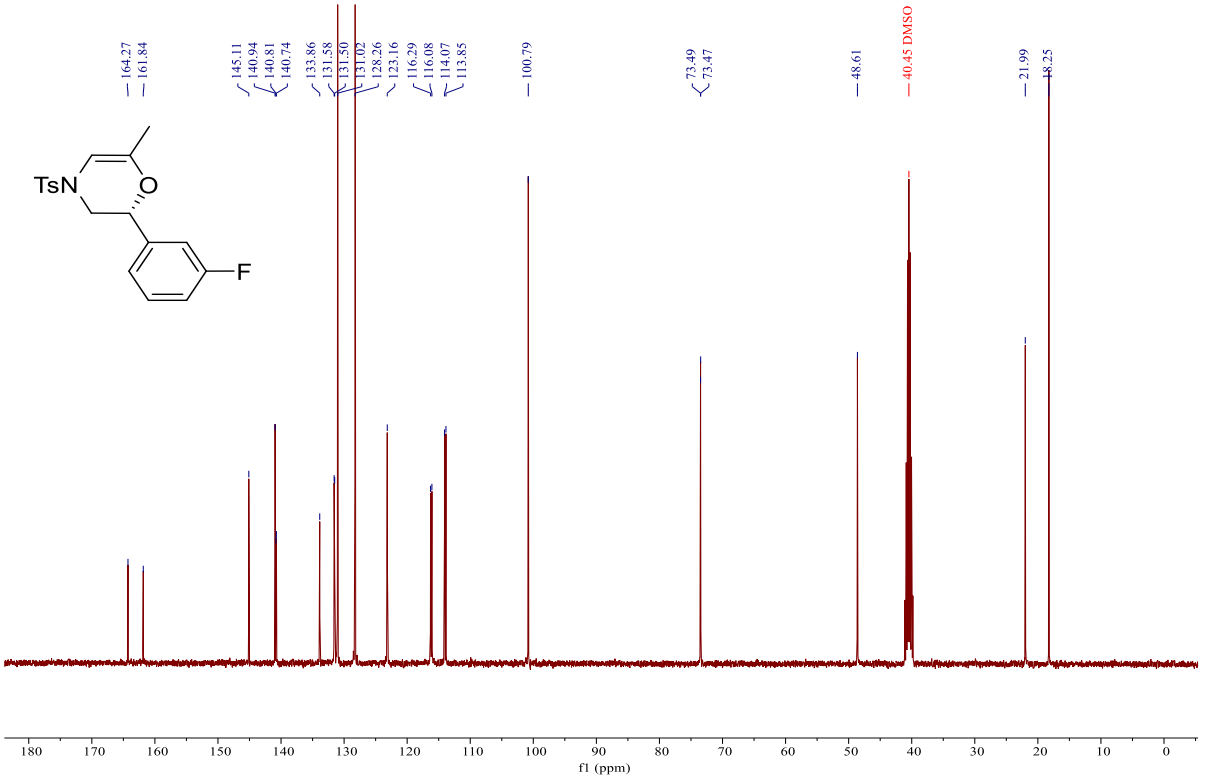
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3b.



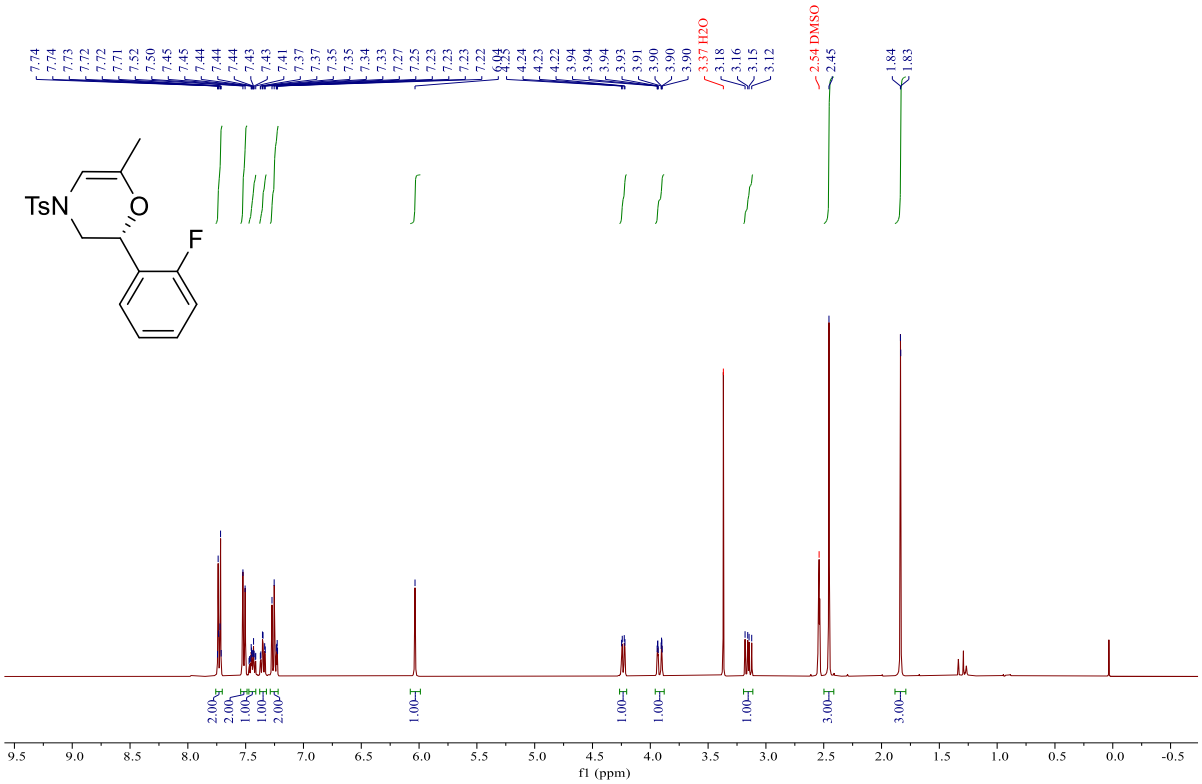


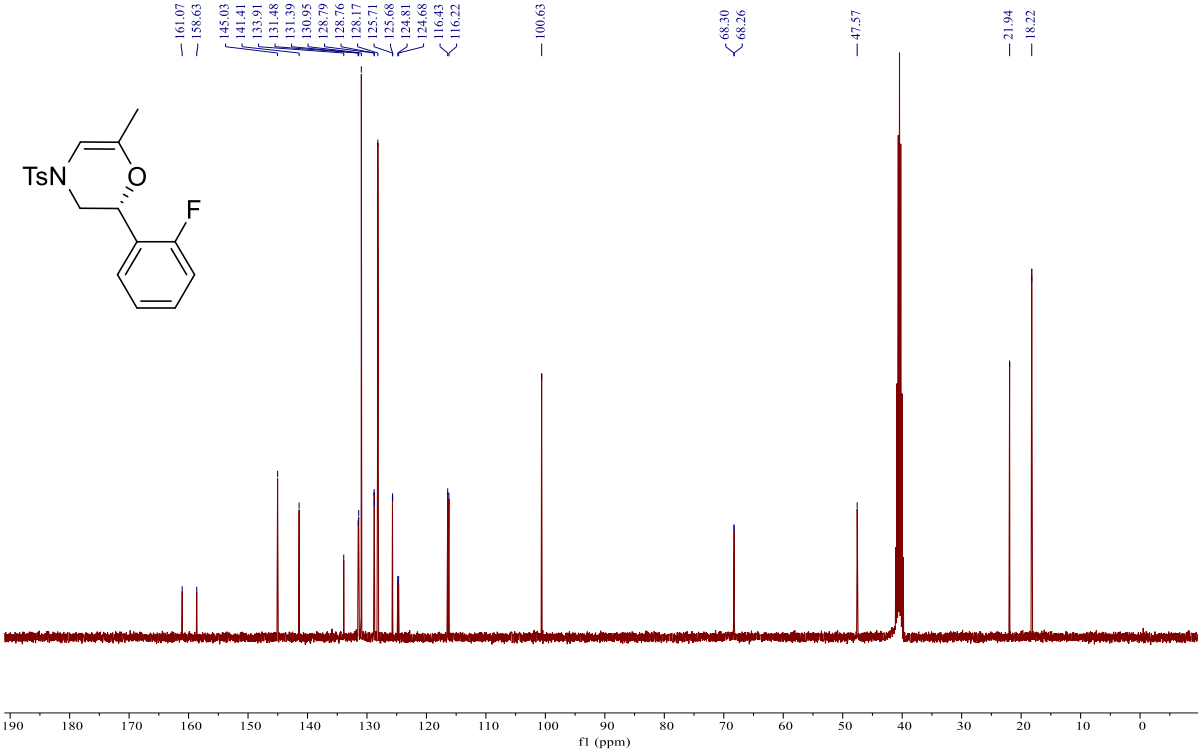
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3c.



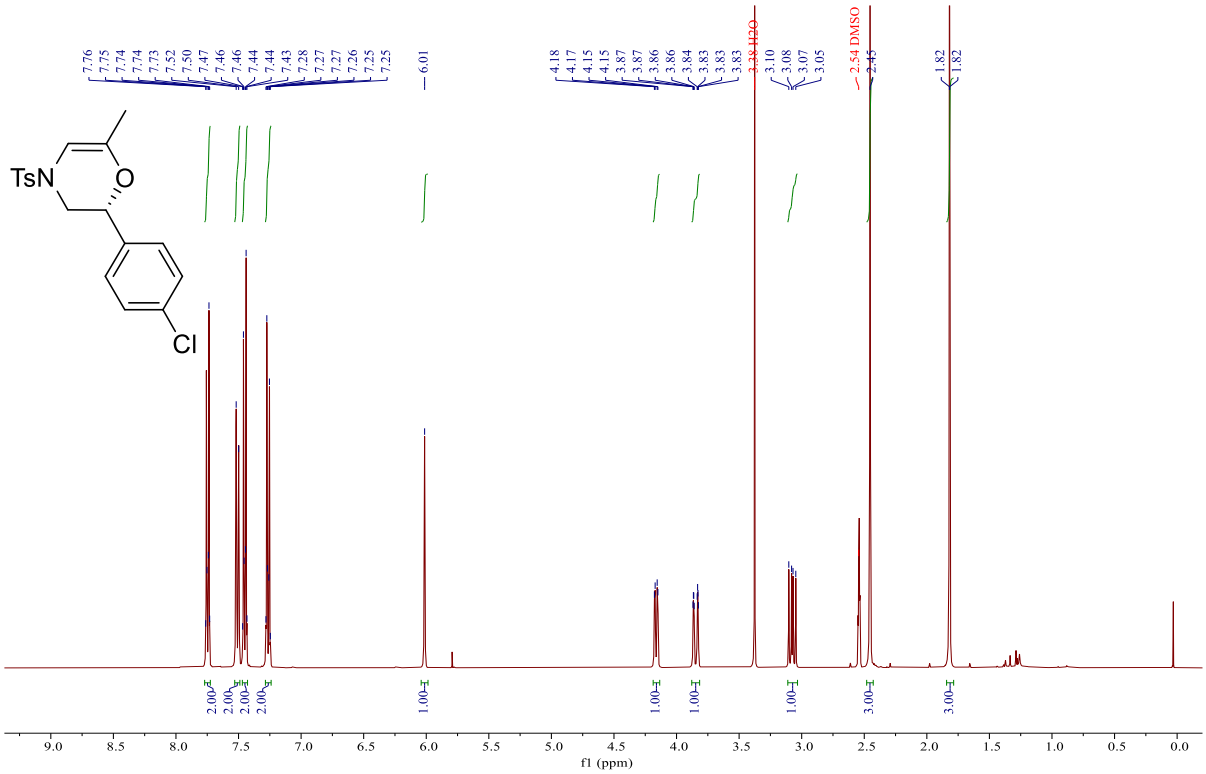


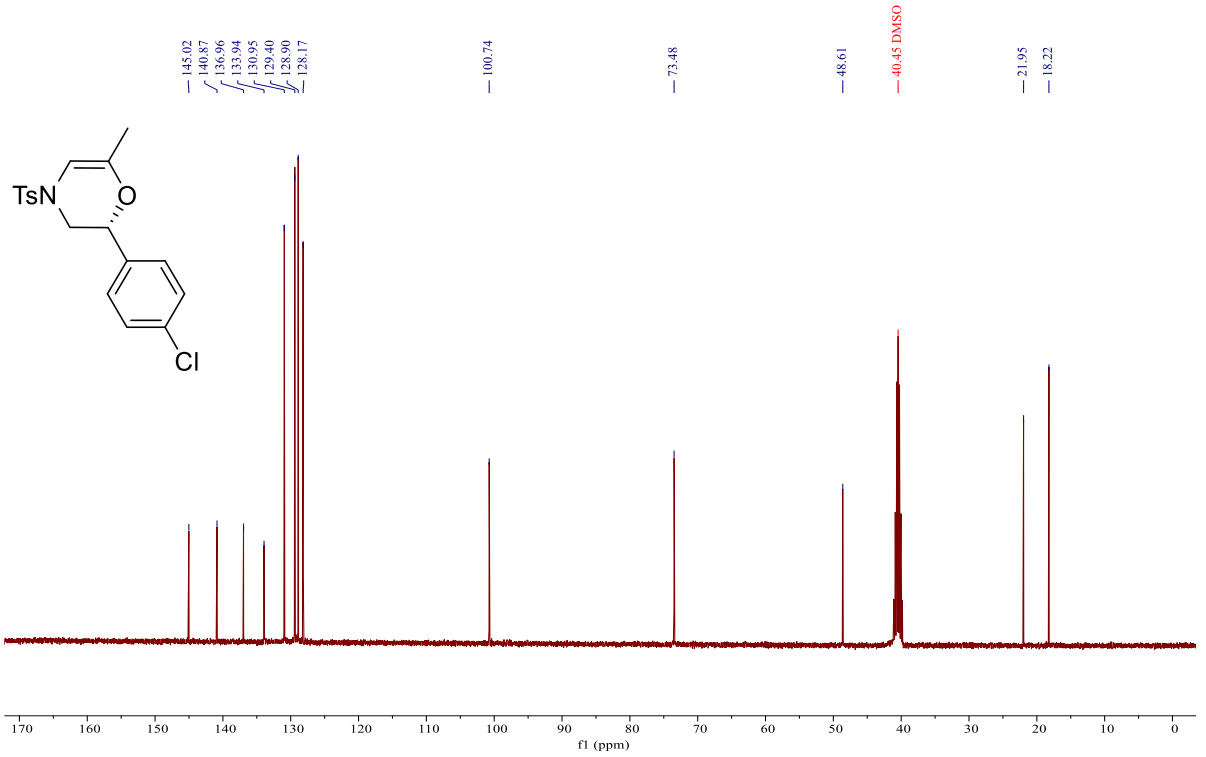
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3d.



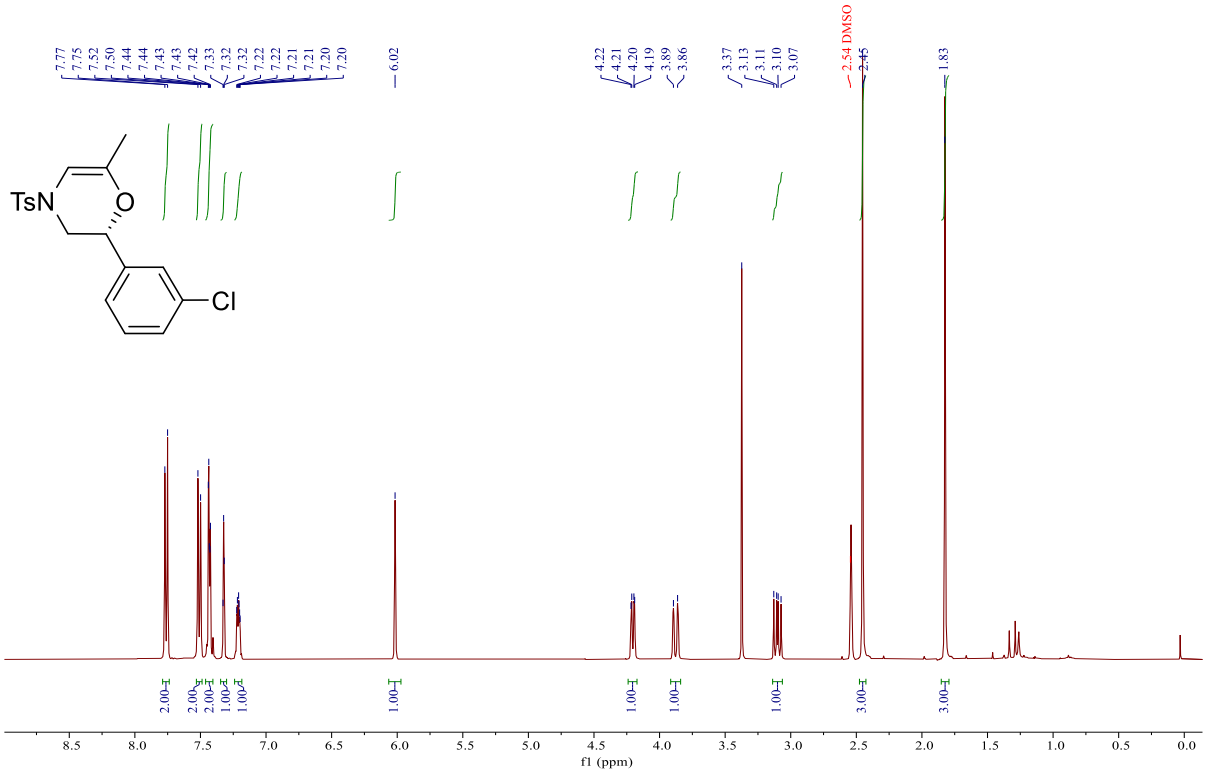


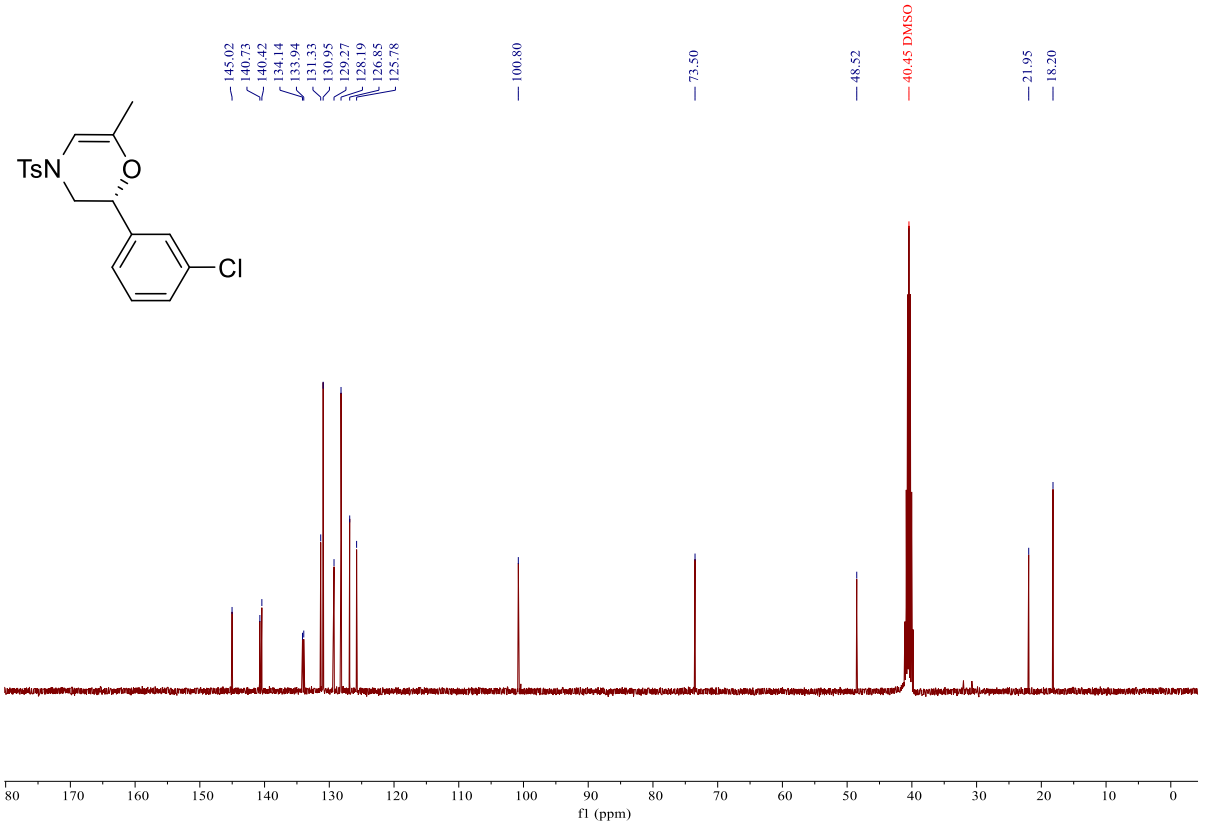
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3e.



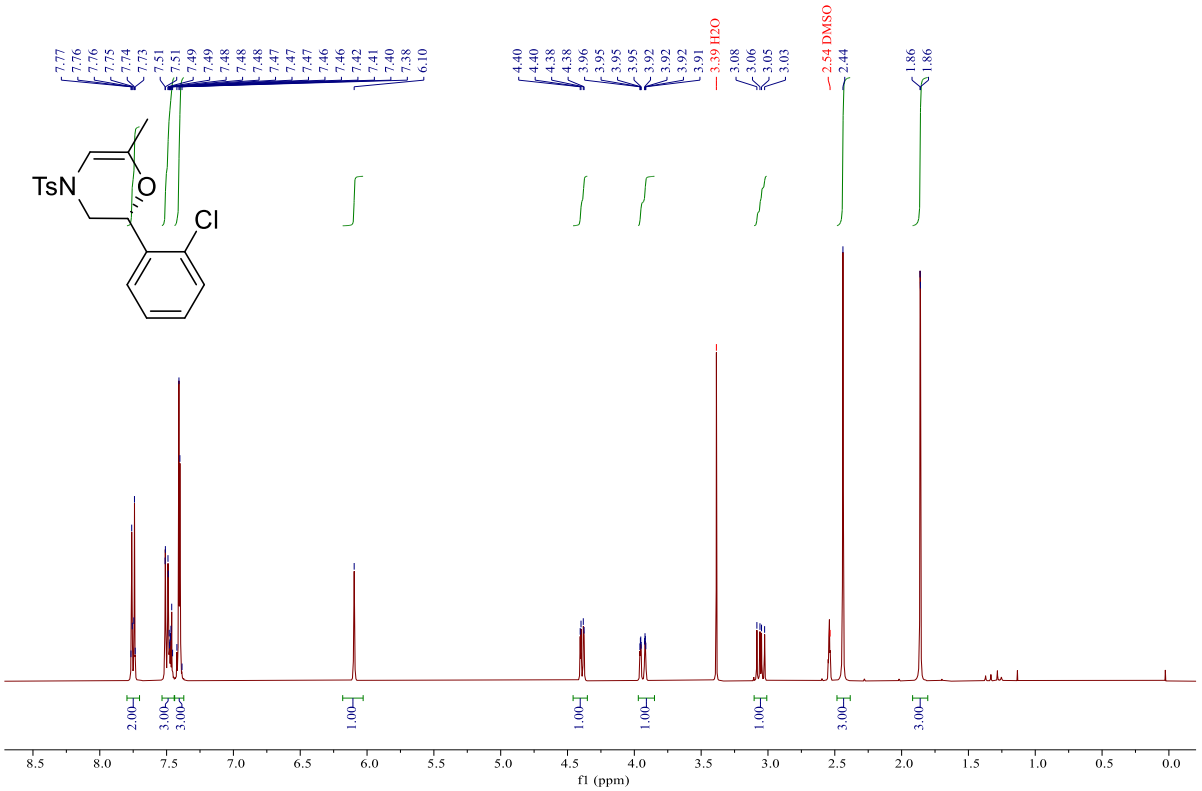


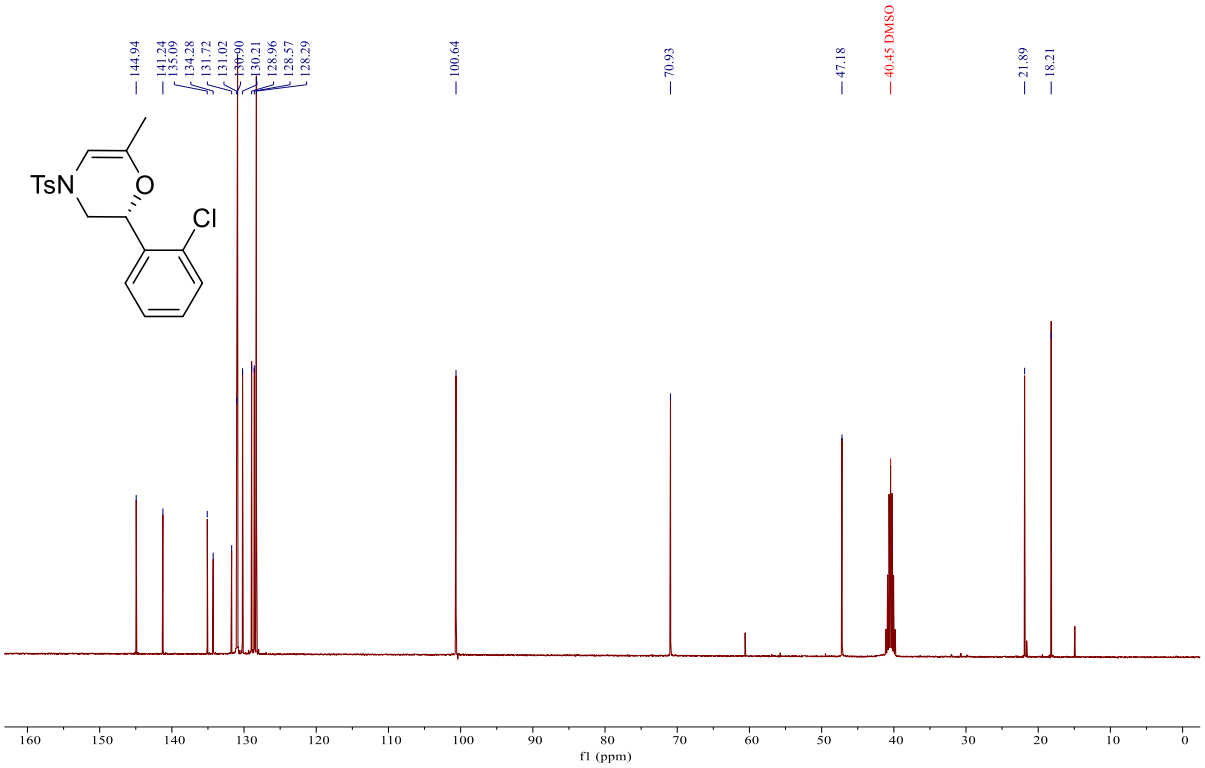
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3f.



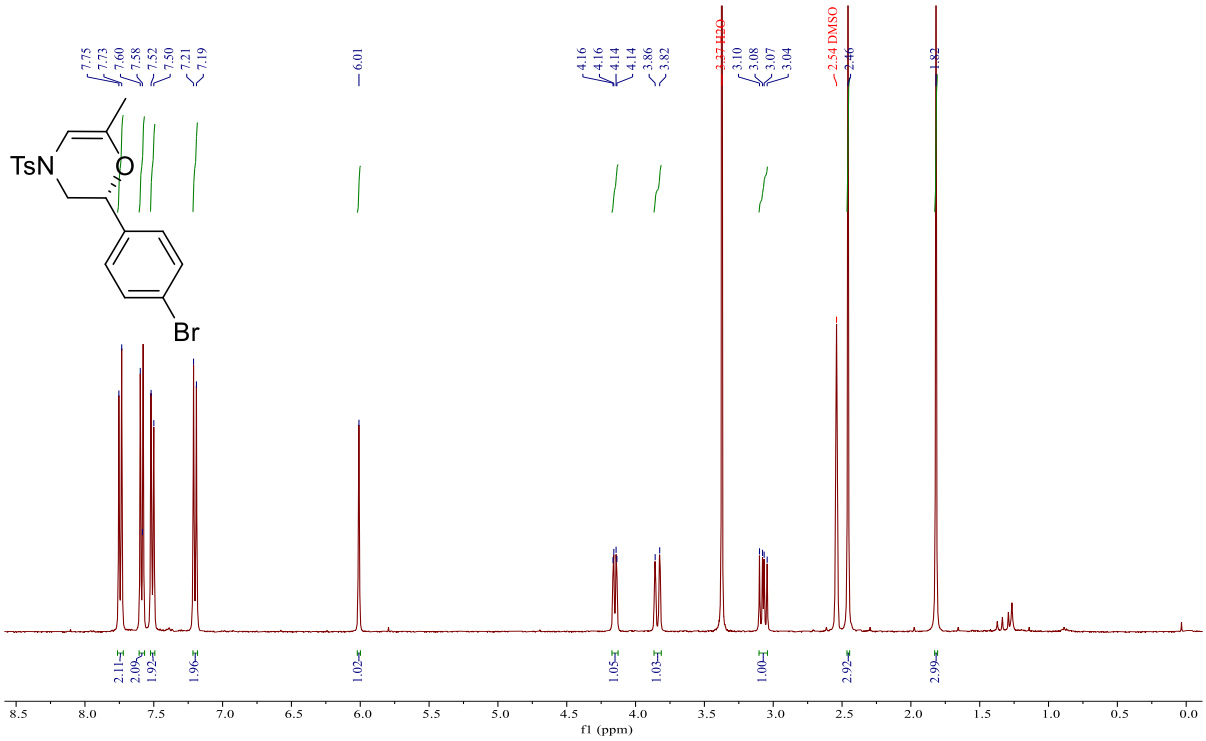


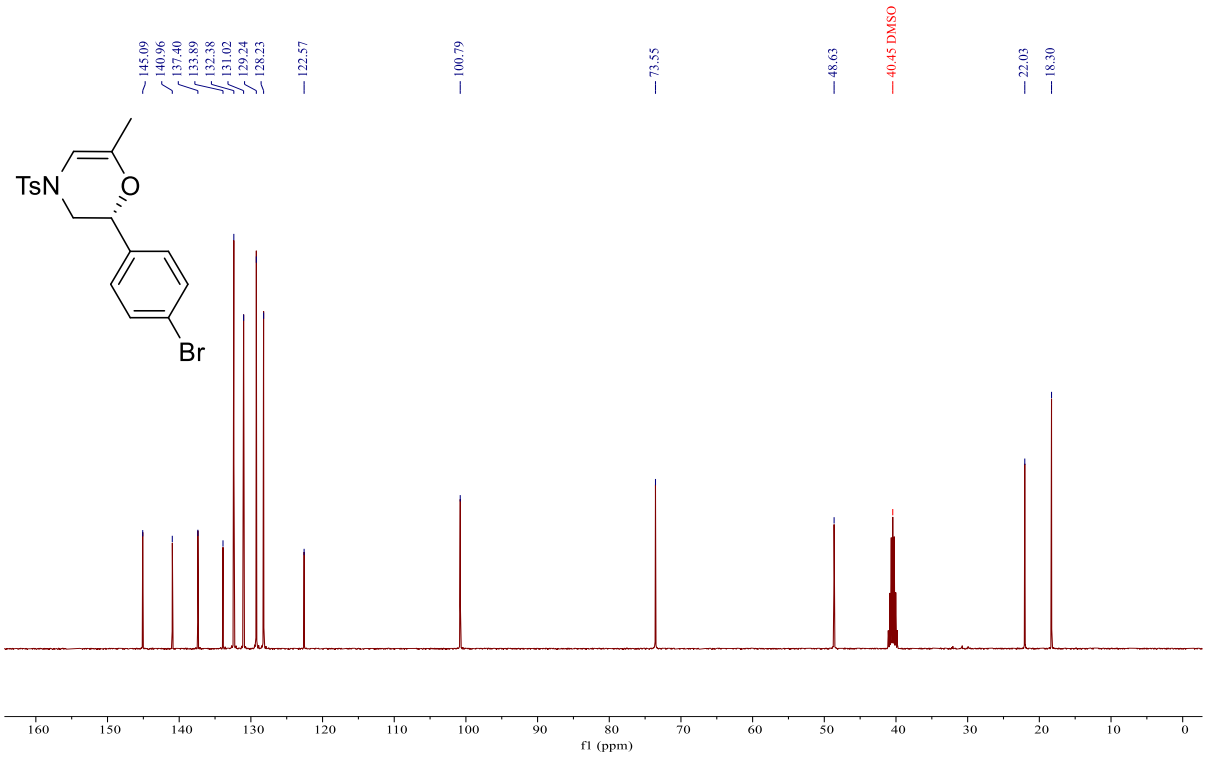
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3g.



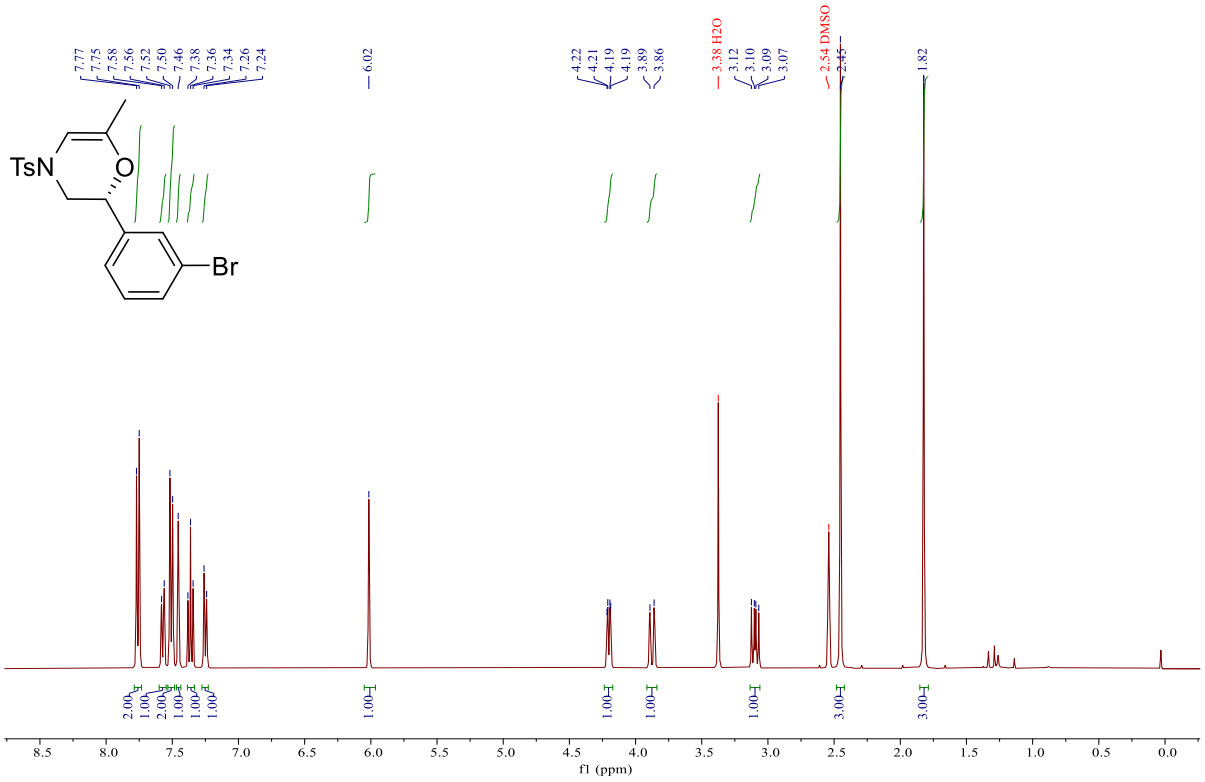


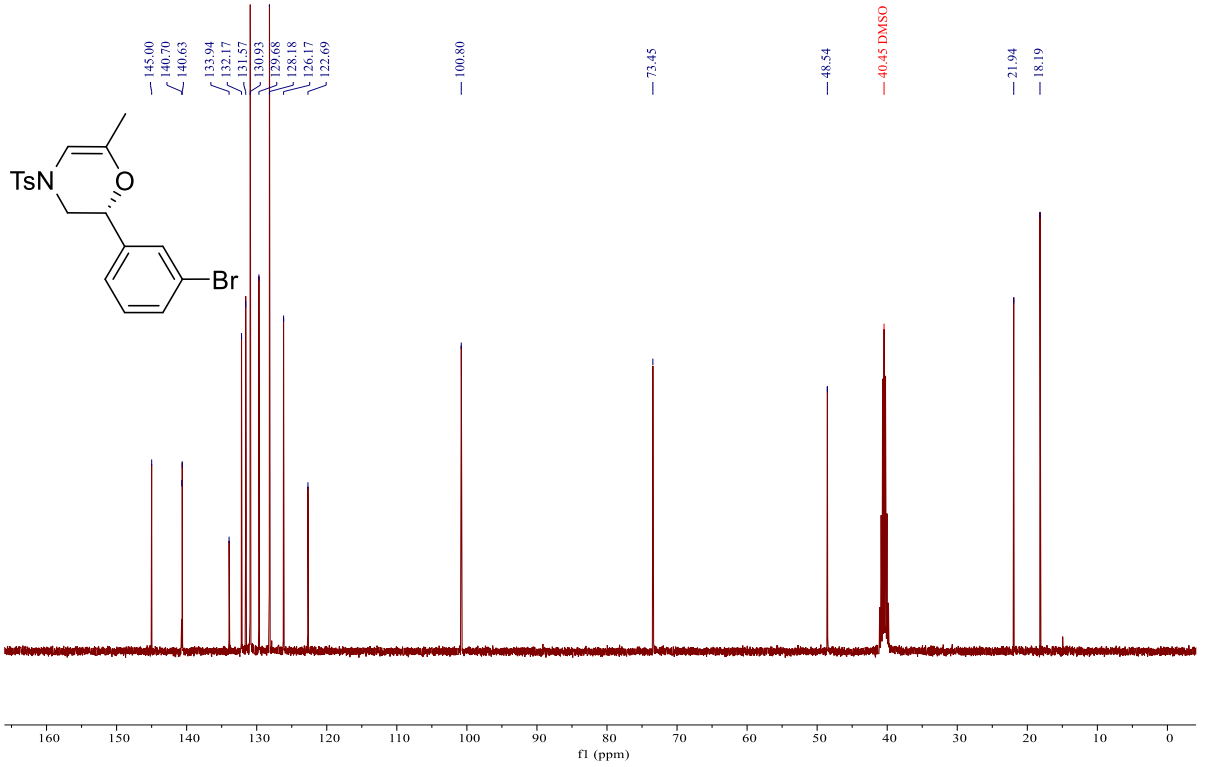
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3h.



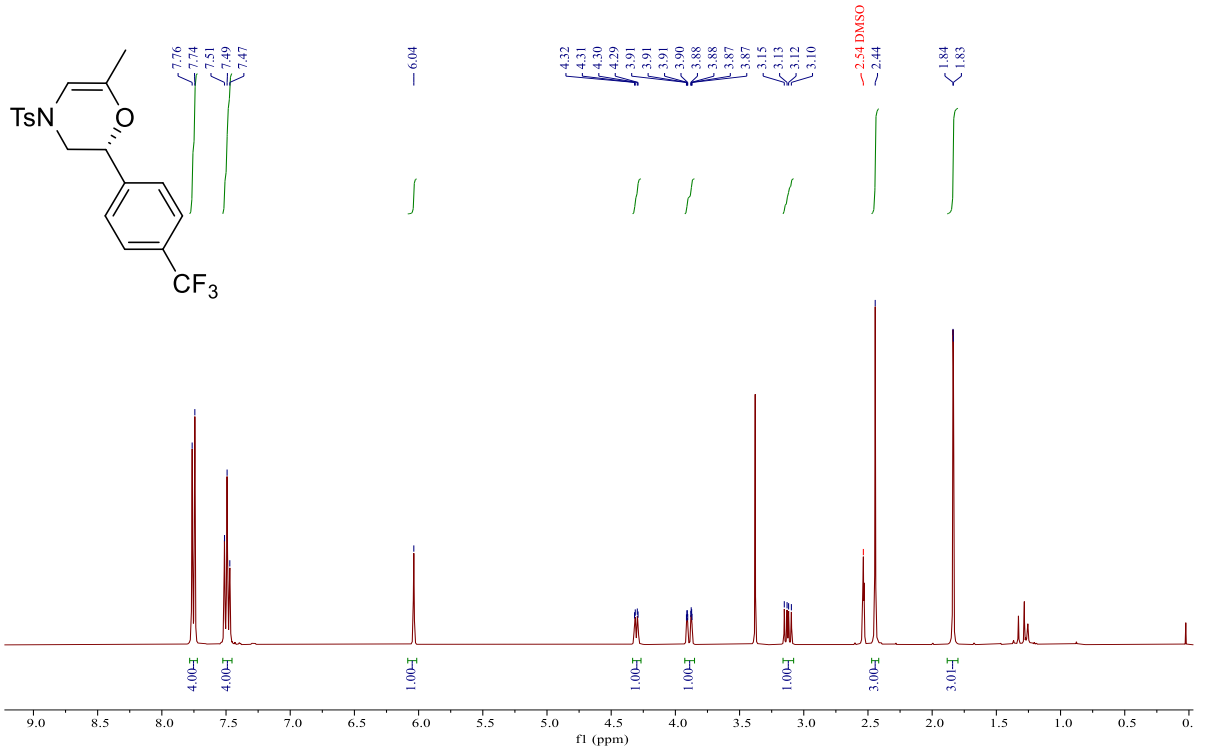


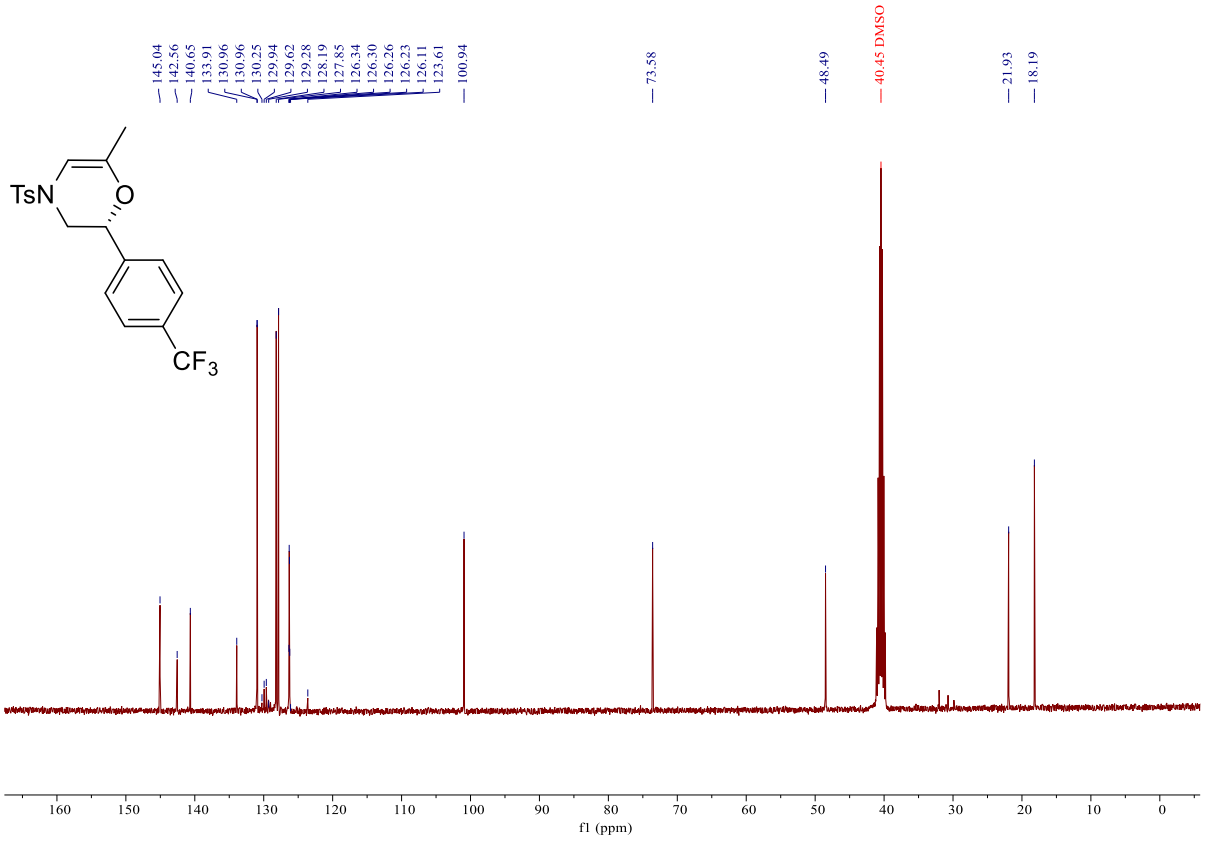
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3i.



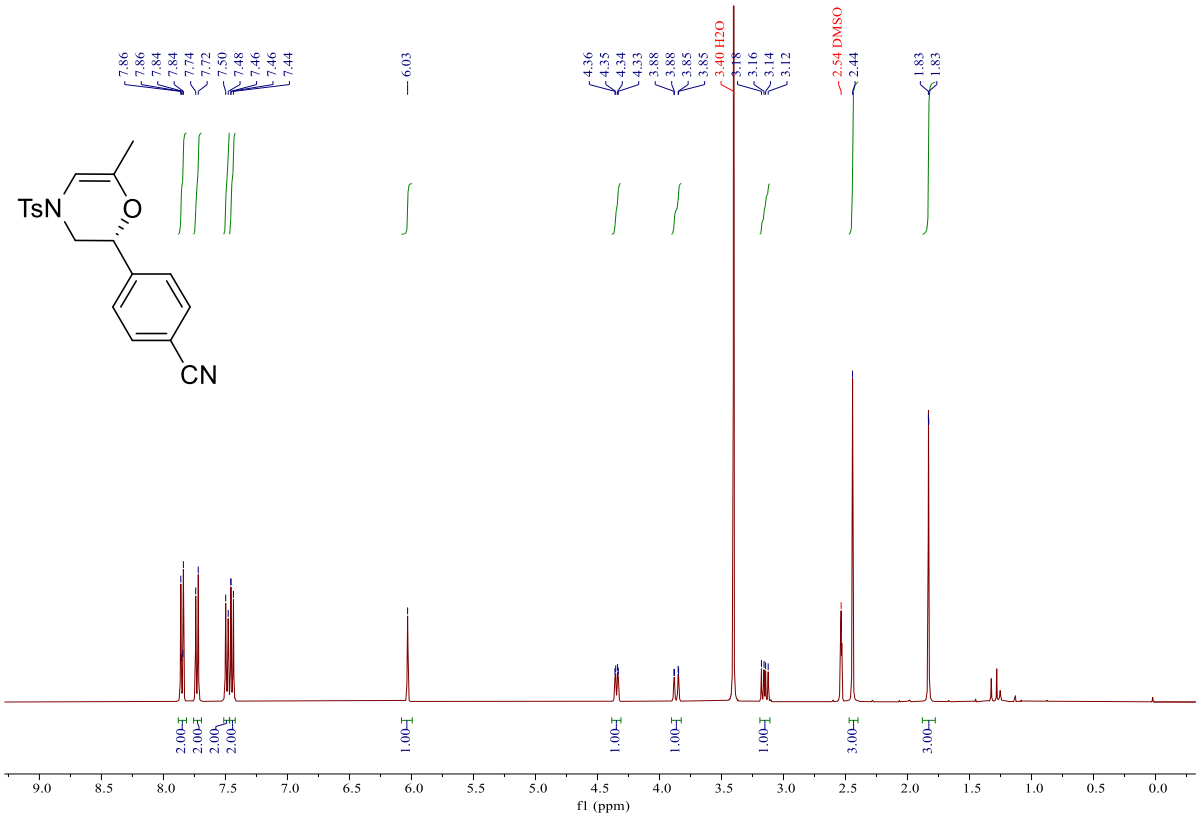


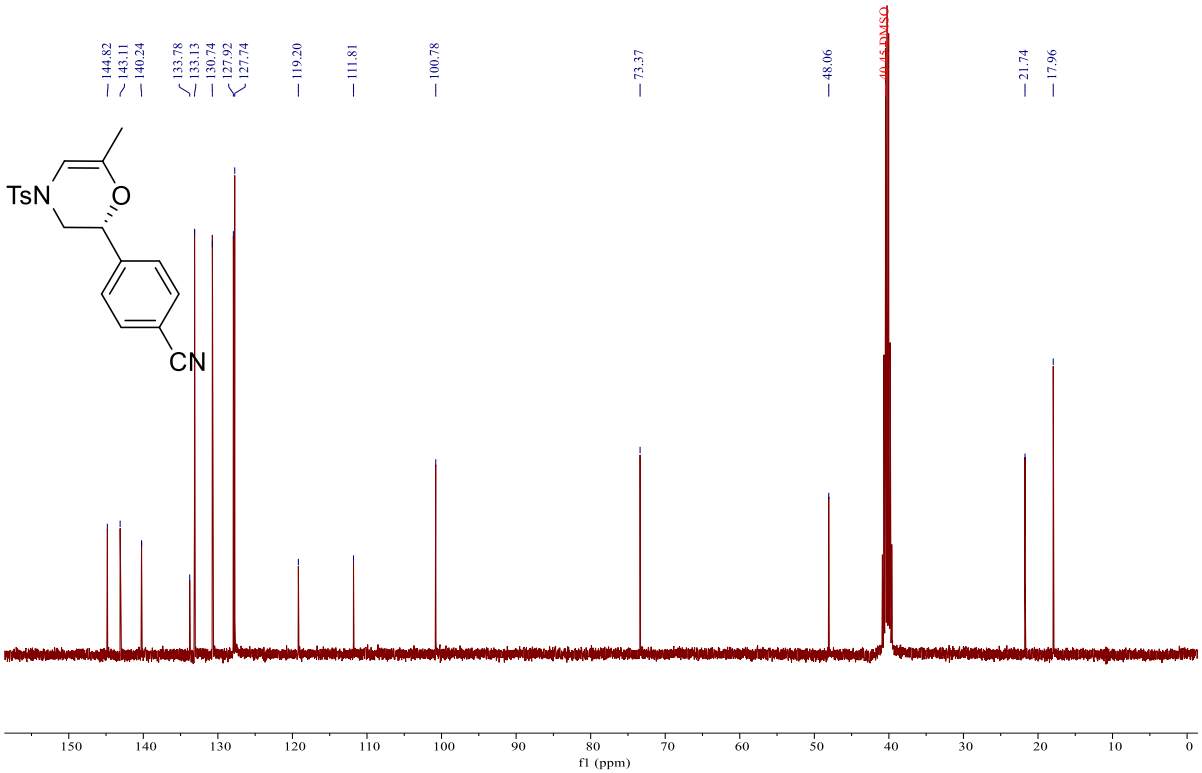
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3j.



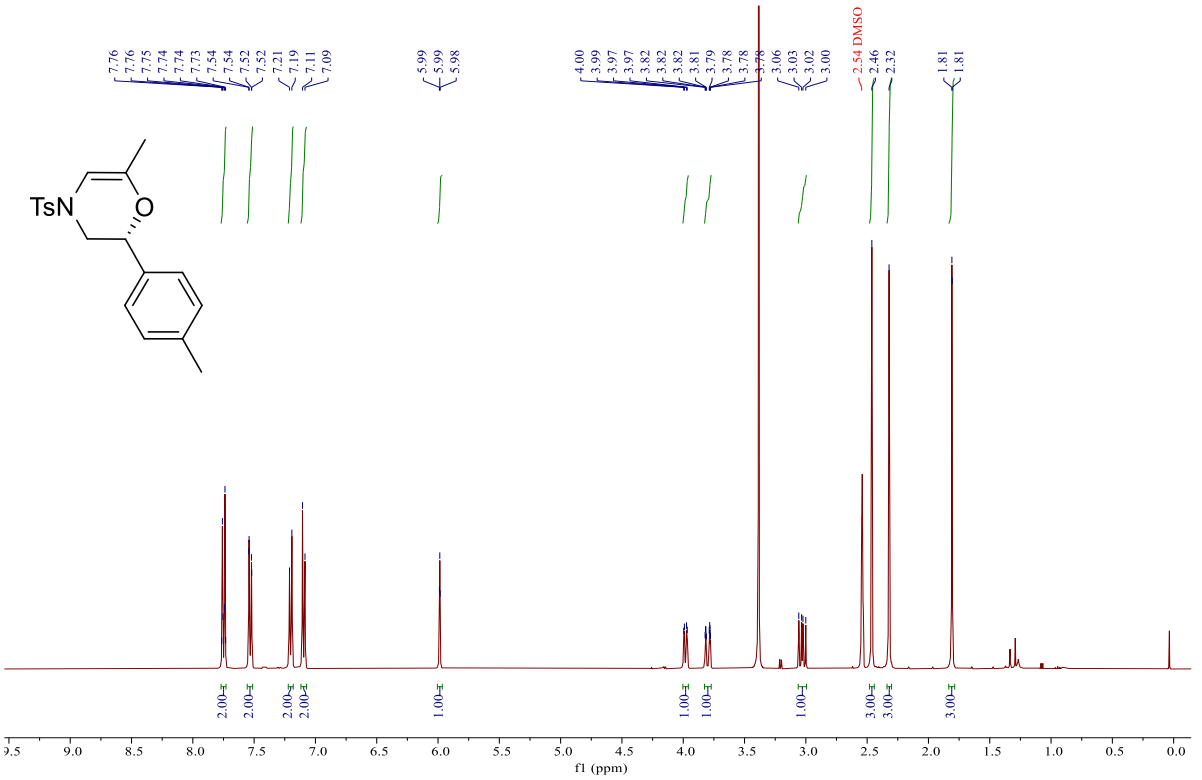


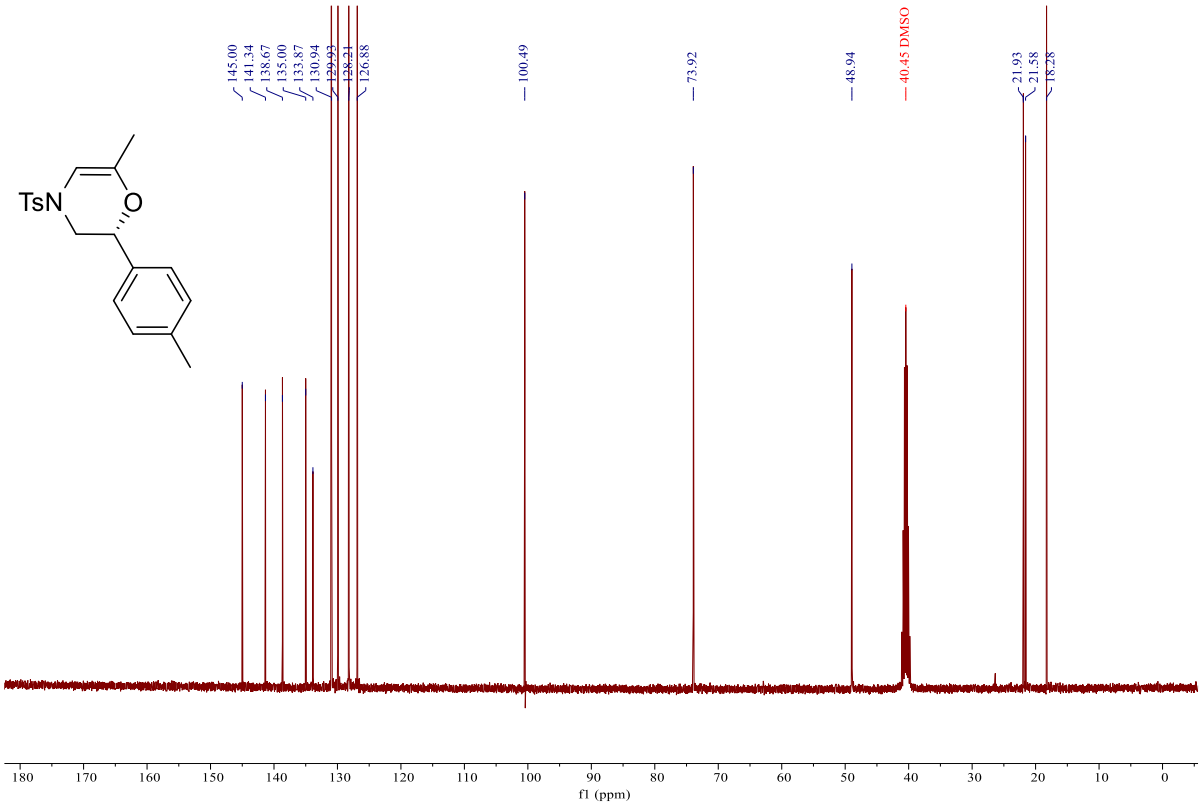
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3k.



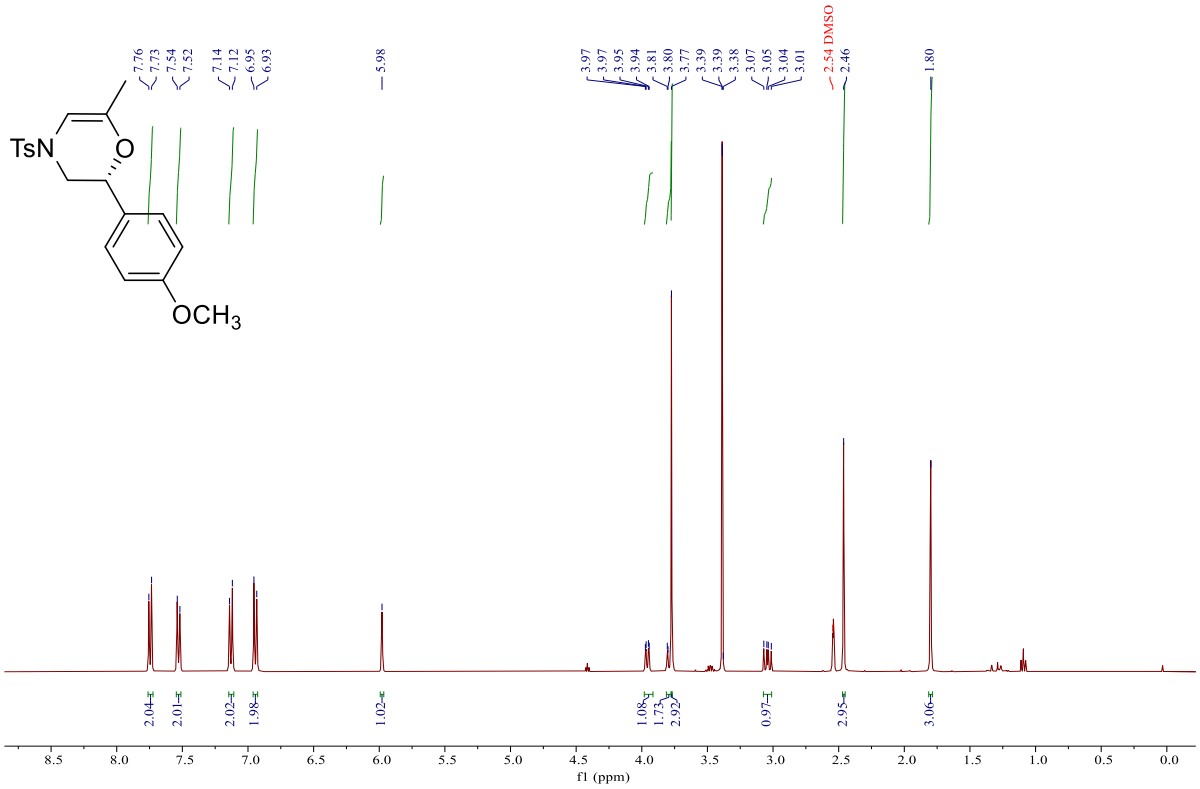


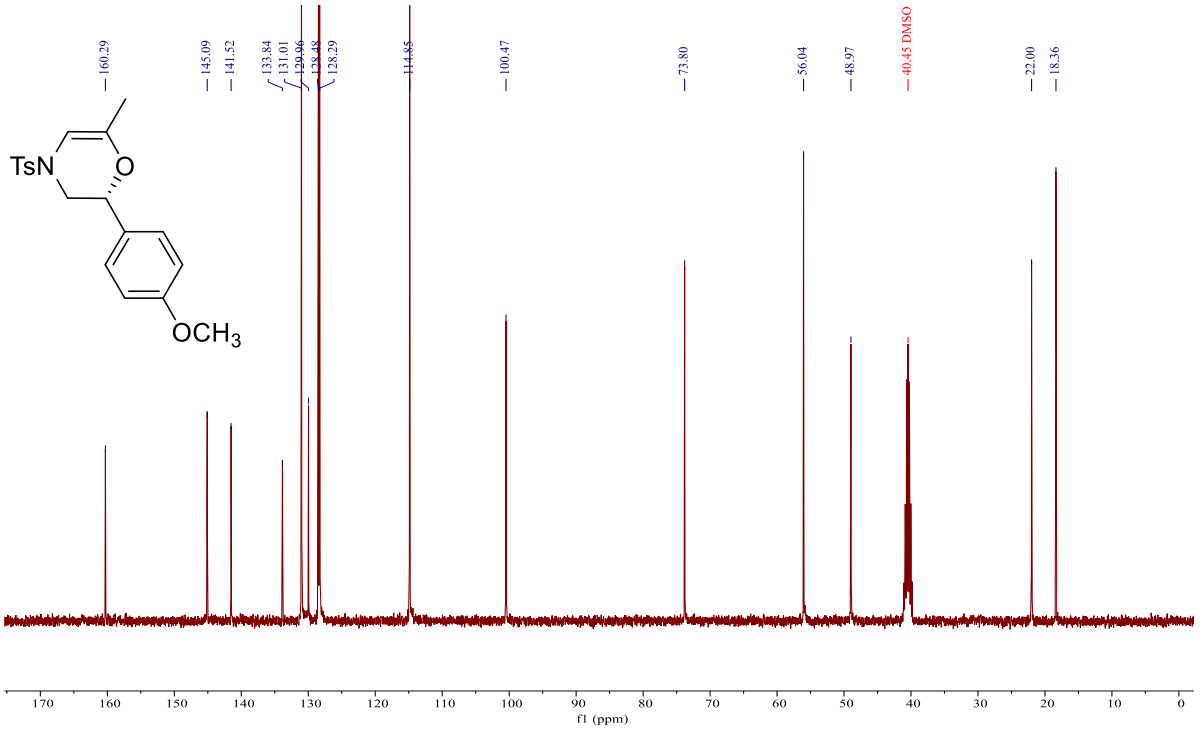
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3l.



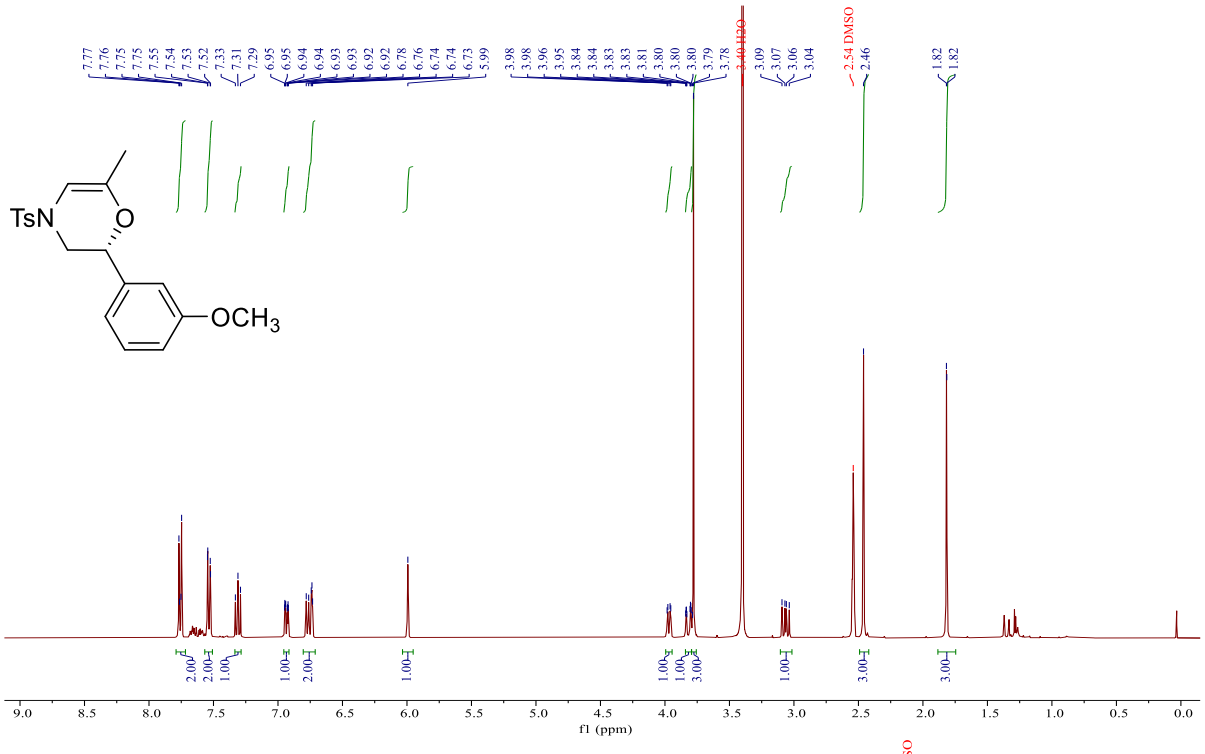


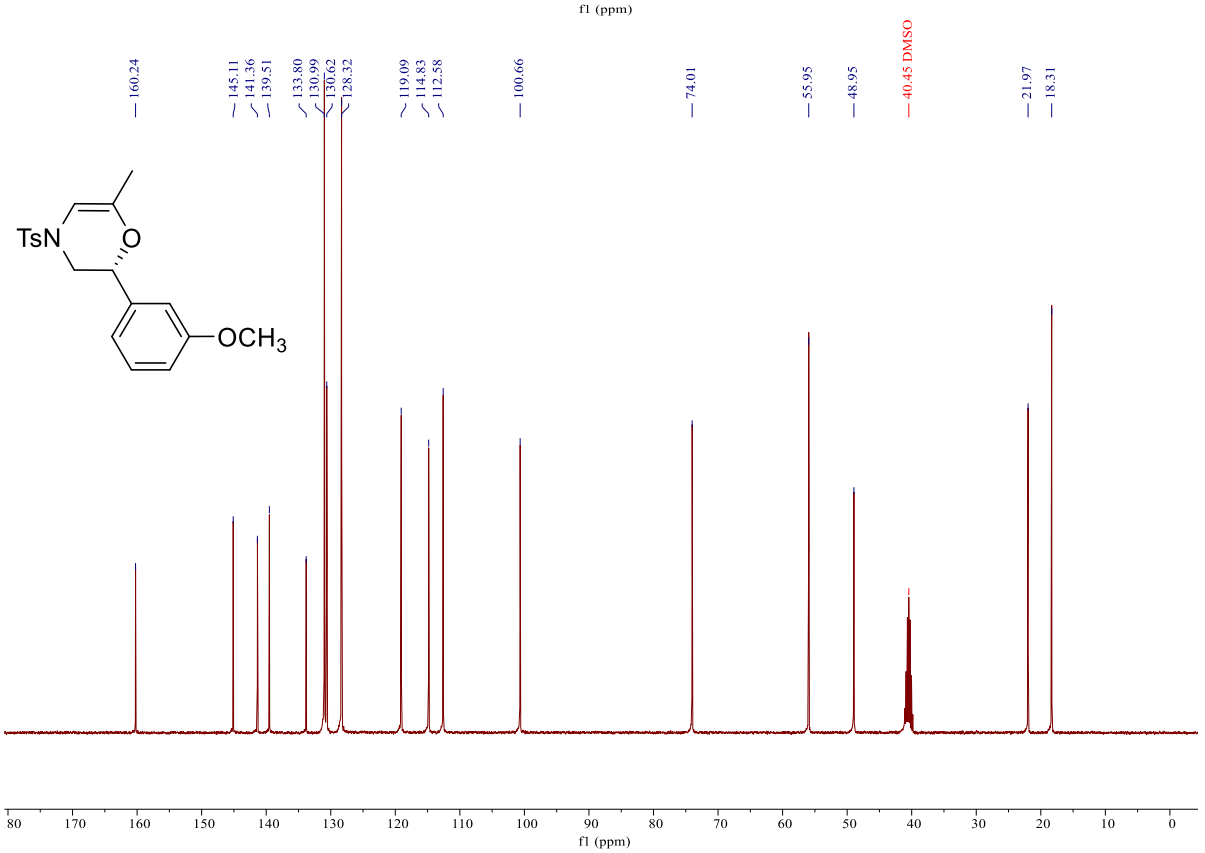
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3m.



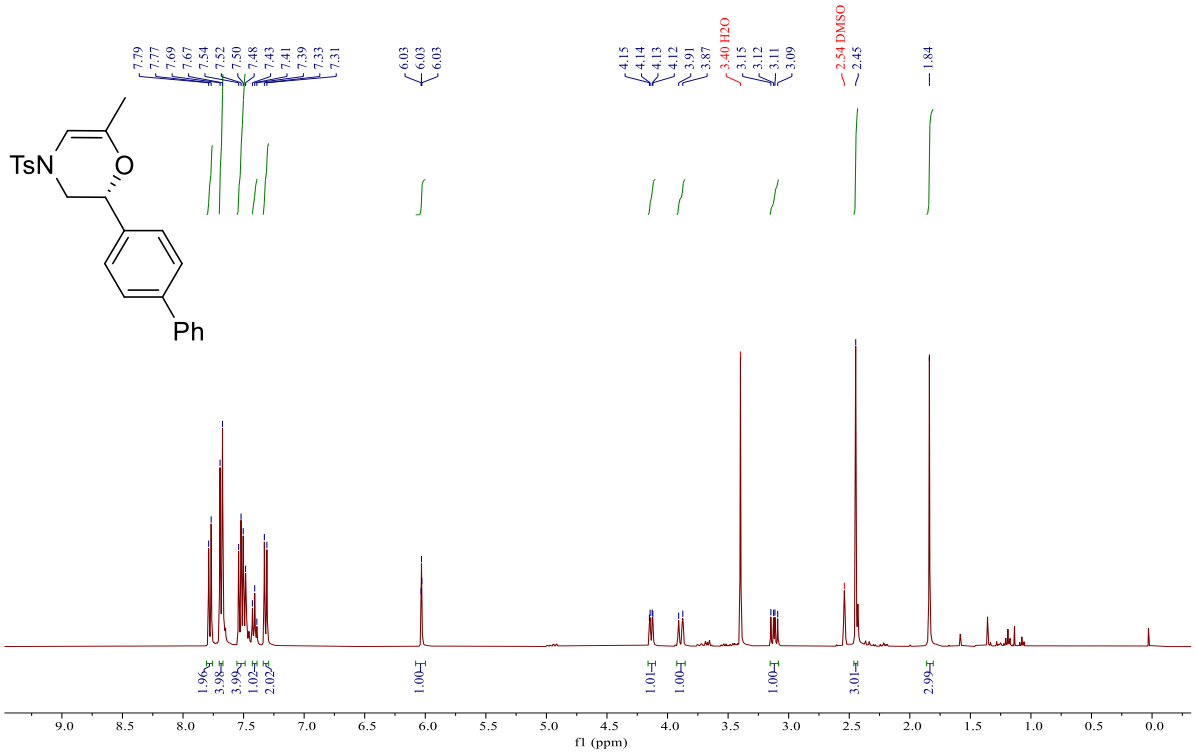


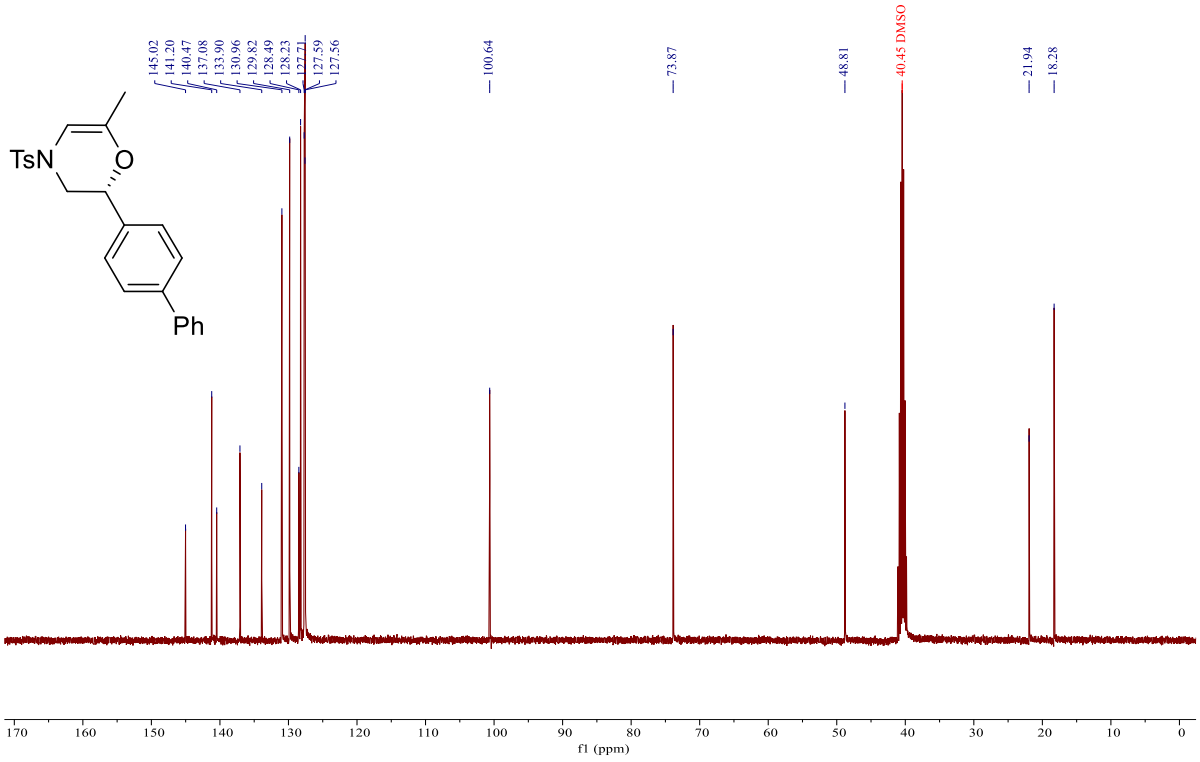
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3n.



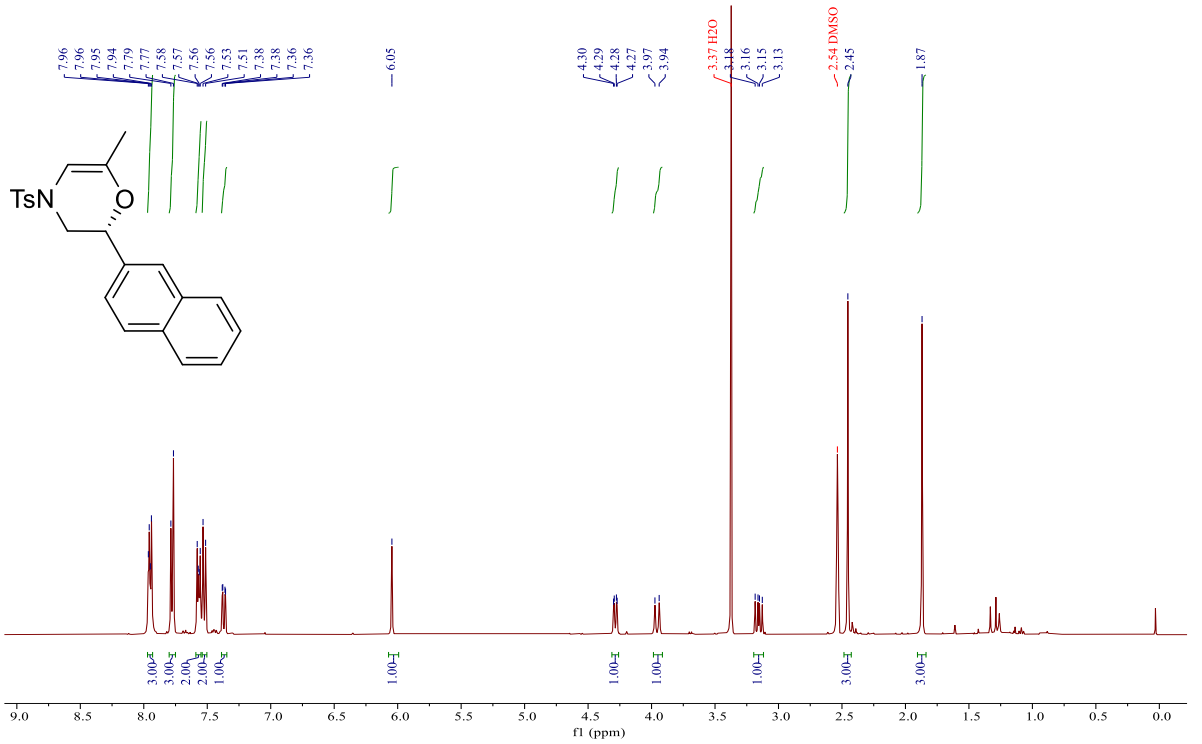


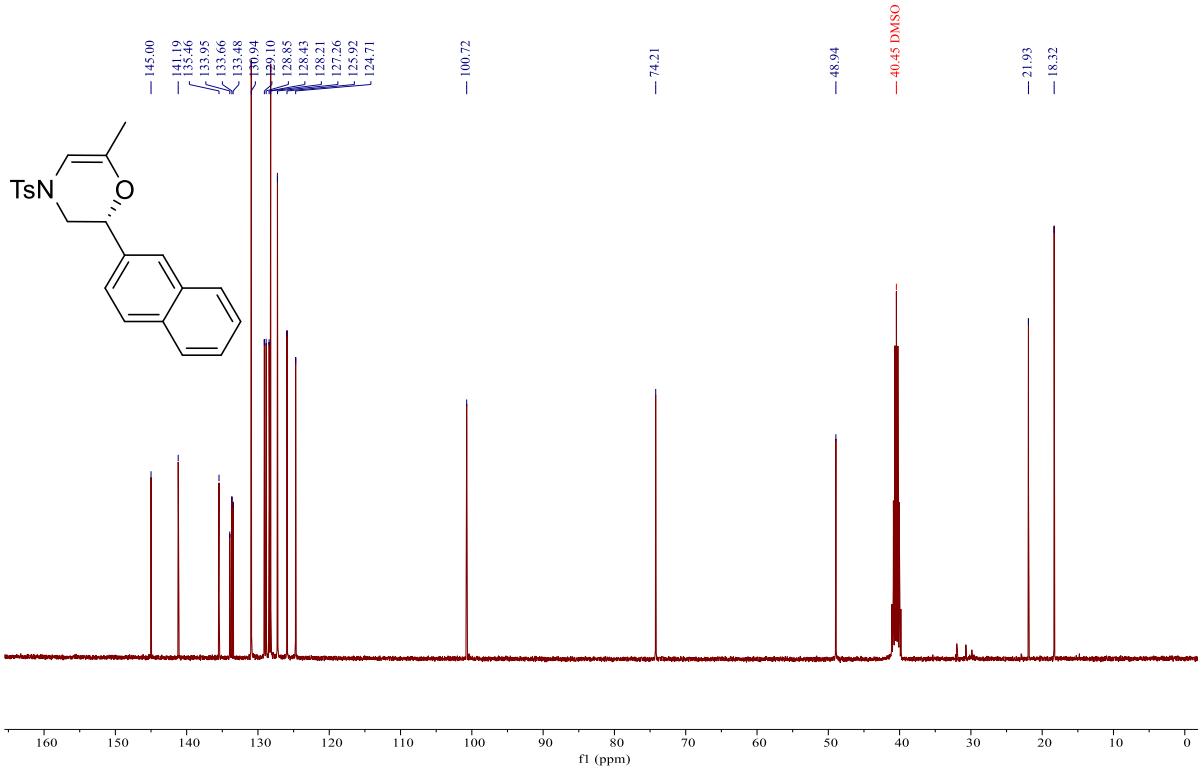
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3o.



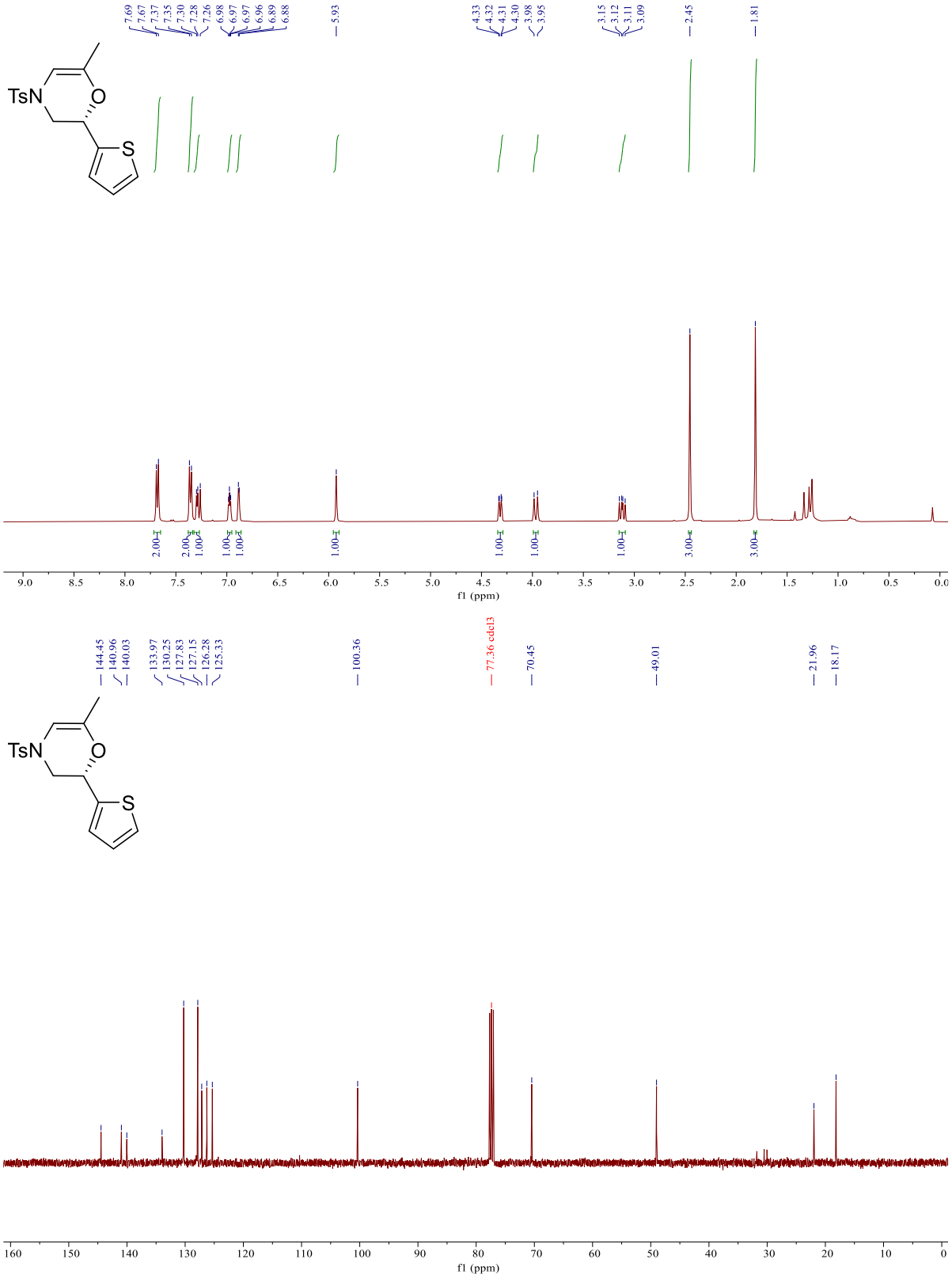


^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3p.

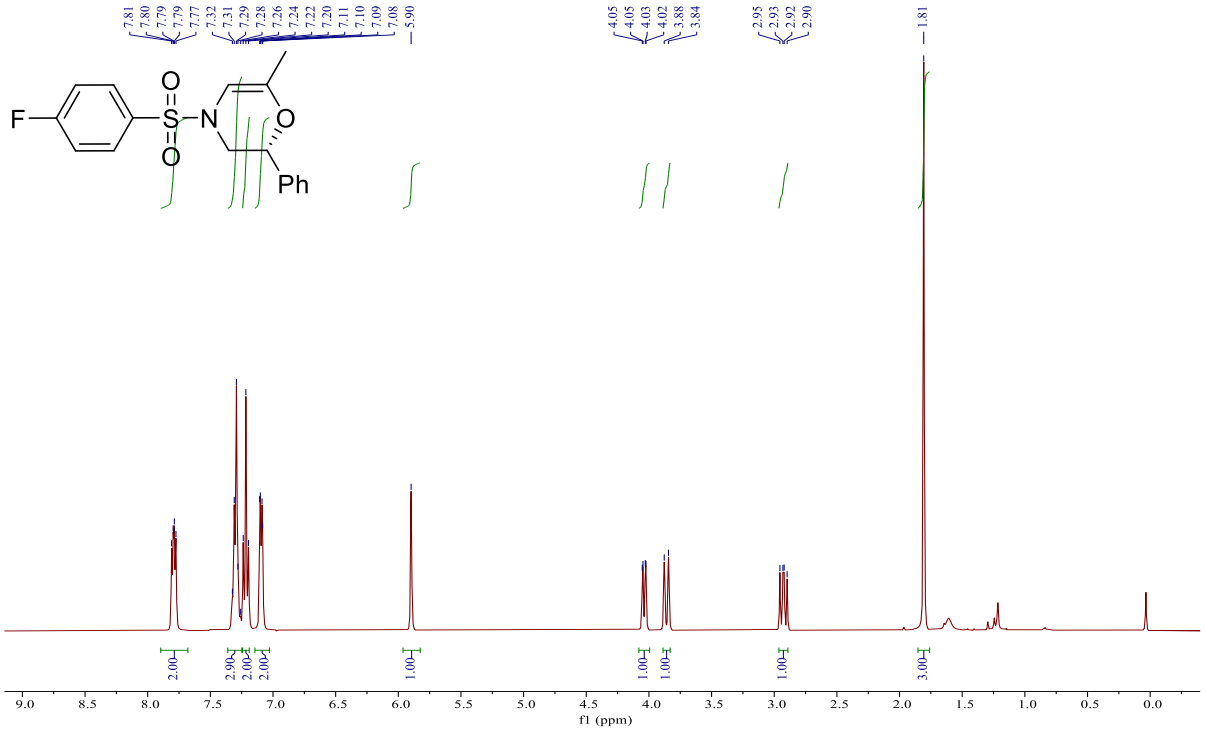


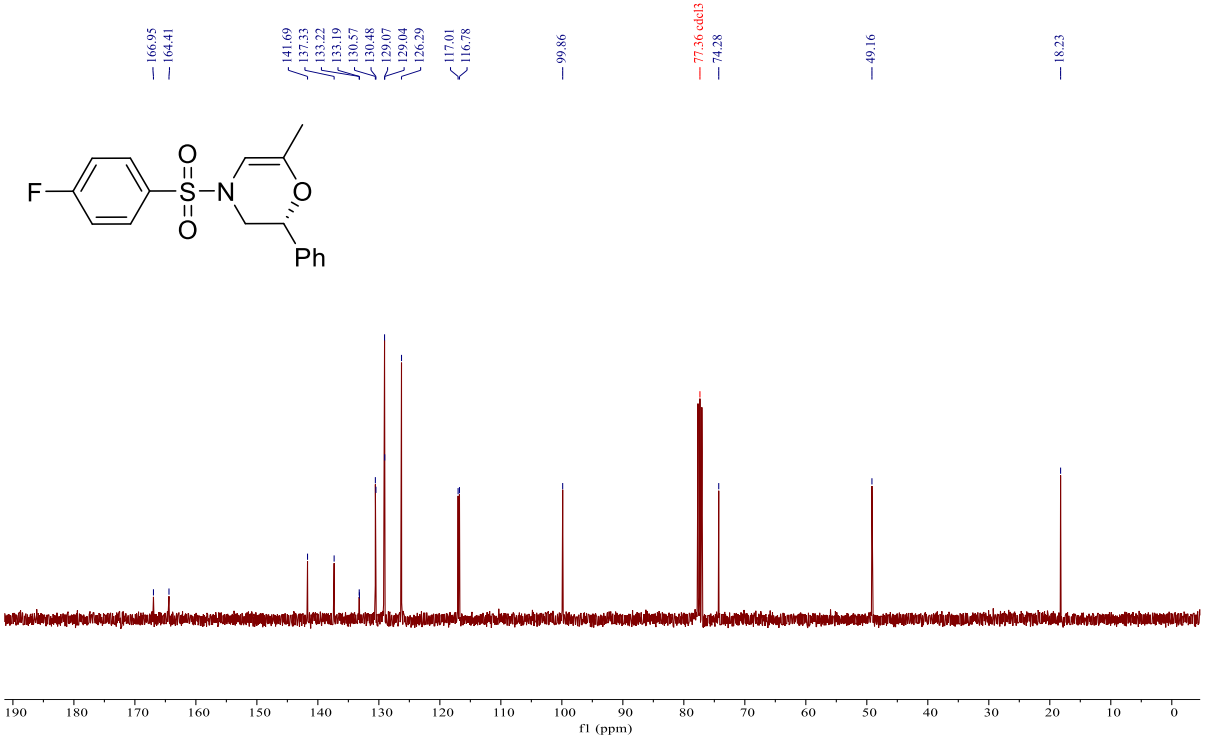


^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3q.

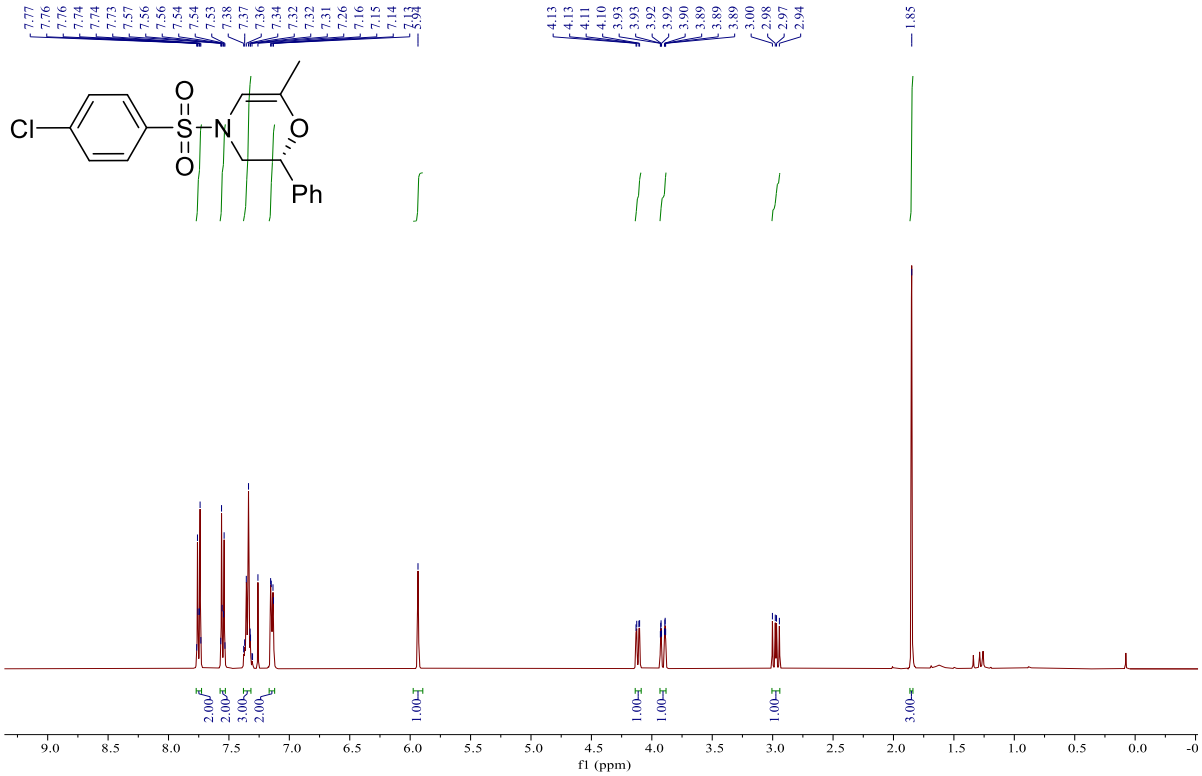


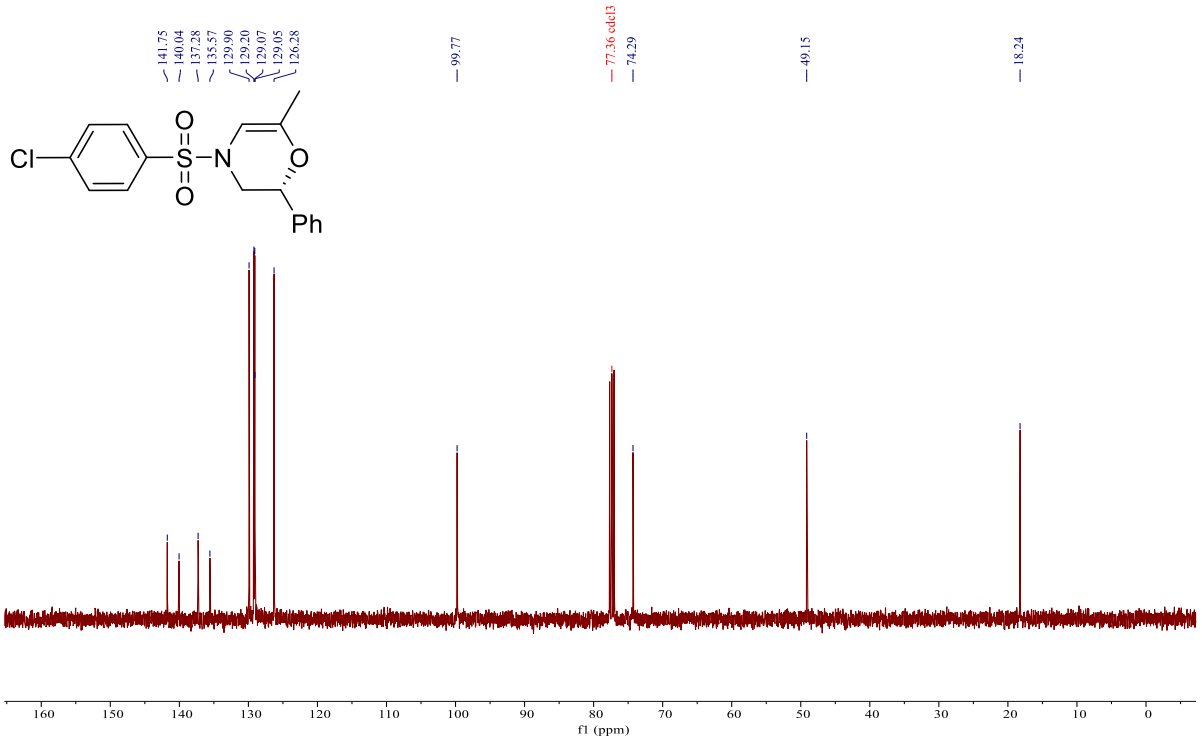
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3r.



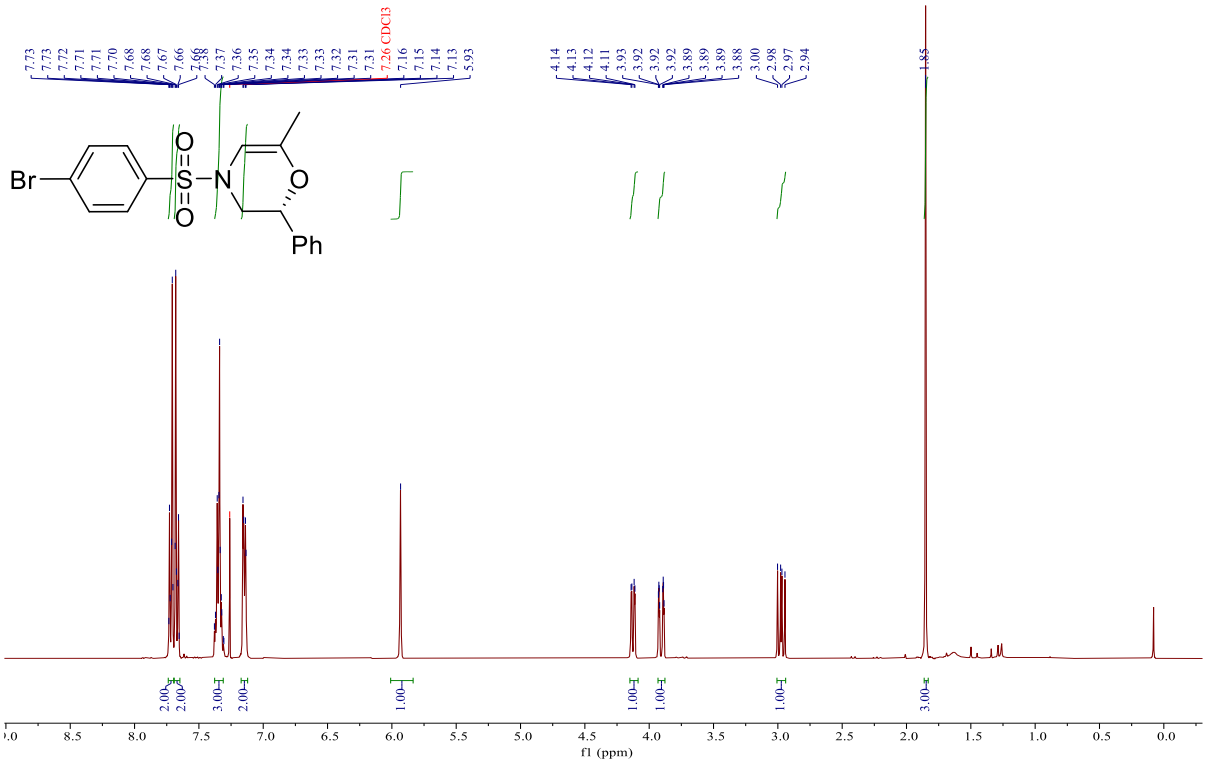


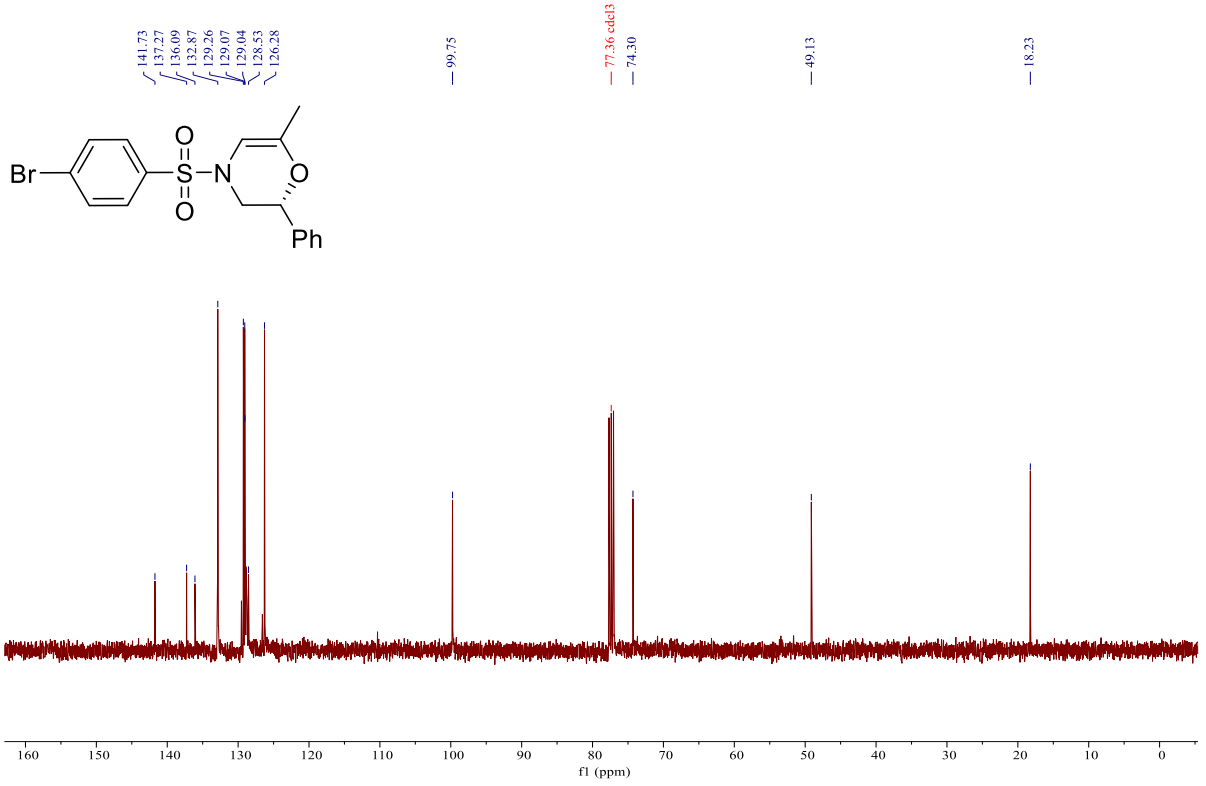
^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3s.



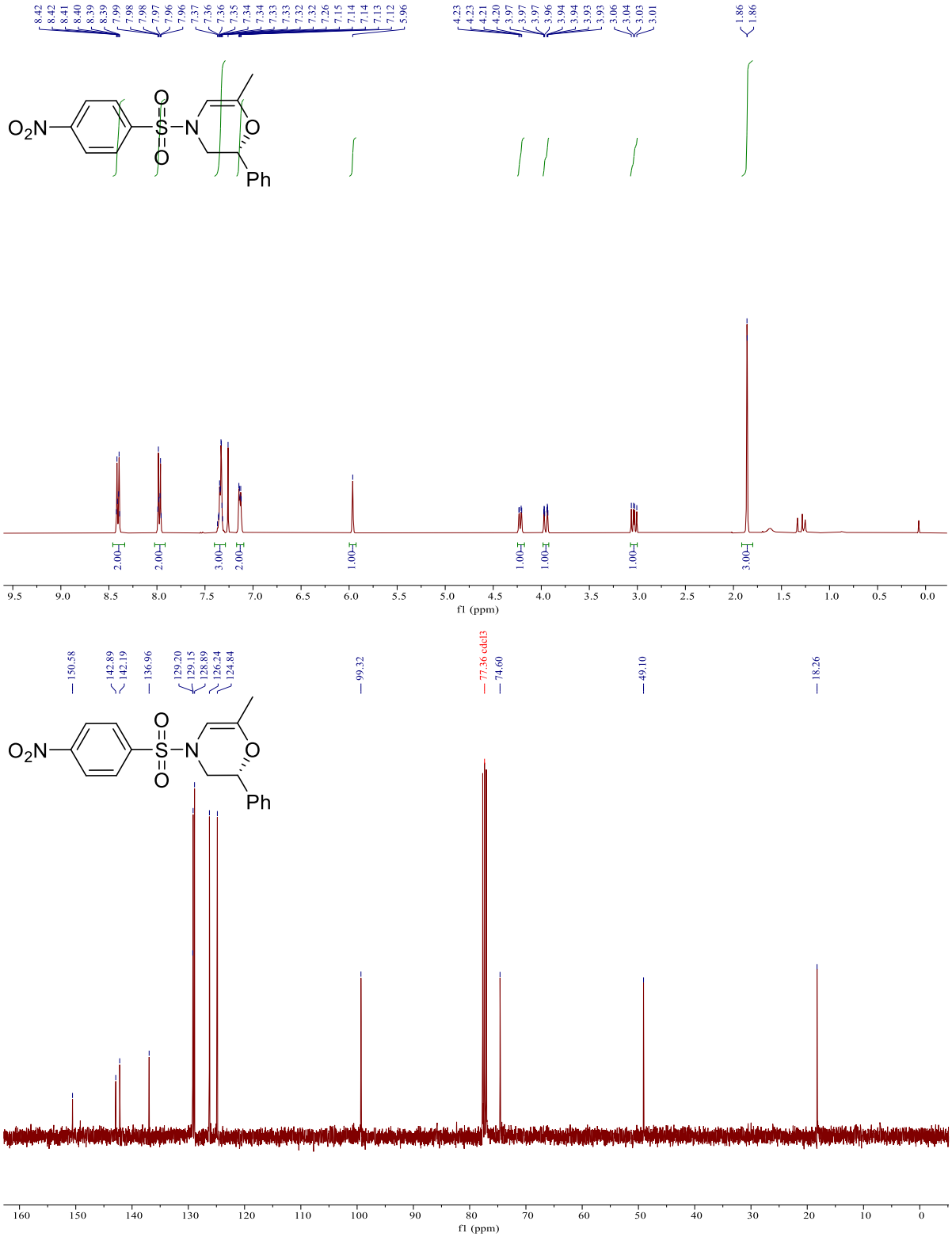


^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3t.





^{1}H-NMR and ^{13}C-NMR spectra of (*R*)-3u.



4: (2*S*,6*R*)-4-((4-bromophenyl)sulfonyl)-2-methyl-6-phenylmorpholin-2-ol.