Supporting Information

Gold(I)-Catalyzed Cascade Cyclization of *O*-Tethered 1,7-Enynes Bearing a Cyclopropane Moiety: Construction of multi-Substituted Furans

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CONTENTS

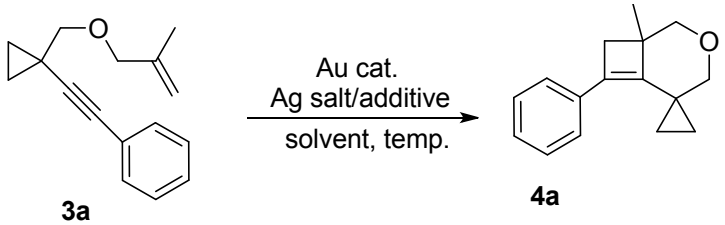
1. General Remarks

^{1}H NMR spectra were recorded on Agilent 400 MR DD2 and BRUKER AVANCE III HD 400MHz spectrometer for solution in $CDCl_3$ with tetramethylsilane (TMS) as an internal standard; coupling constants J are given in Hz. ^{13}C NMR spectra were recorded on Agilent 400 MR DD2 and BRUKER AVANCE III HD 400MHz spectrophotometers (100 MHz) with complete proton decoupling spectrophotometers ($CDCl_3$: 77.0 ppm). ^{19}F NMR spectra were recorded on Agilent 400 MR DD2 for solution in $CDCl_3$. Mass and HRMS spectra were recorded by EI or ESI method. SAESI-MS spectra were recorded on a Finnigan TSQ (Thermo Finnigan, Quantum Access TM) triple-quadrupole mass spectrometer equipped with a home-made SAESI ion source in positive mode. Organic solvents used were dried by standard methods when necessary. The commercially available regents were purchased from Adamas-beta®. Infrared spectra were recorded on a Perkin-Elmer PE-983 spectrometer with absorption in cm^{-1}. Melting points were determined on a digital melting point apparatus and temperatures were uncorrected. Substituted alkynes were commercially obtained without further purification. All these reactions were monitored by TLC with silica gel coated plates. Flash column chromatography was carried out using silica gel at increased pressure.

2. Optimization of the reaction condition for the transformation of 3a to 4a

To a 10 mL oven-dried sealed tube were added SIPrAuCl (3.1 mg, 5 mol %) and $AgSbF_6$ (1.7 mg, 5 mol %) under argon atmosphere, and then ((1-(((2-methylallyl)oxy)methyl)cyclopropyl)ethynyl)benzene **3a** (0.1 mmol) dissolved in anhydrous solvent (1.0 mL) was added through a syringe. The reaction mixture was stirred at room temperature for a set time. After that, the reaction mixture was concentrated under reduced pressure and the residue was dissolved in $CDCl_3$, and then the yield of **4a** was determined by ^{1}H-NMR spectroscopic data using 1-bromo-4-methoxybenzene as an internal standard.

Table S1 Optimization of the reaction condition for the transformation of **3a** to **4a**

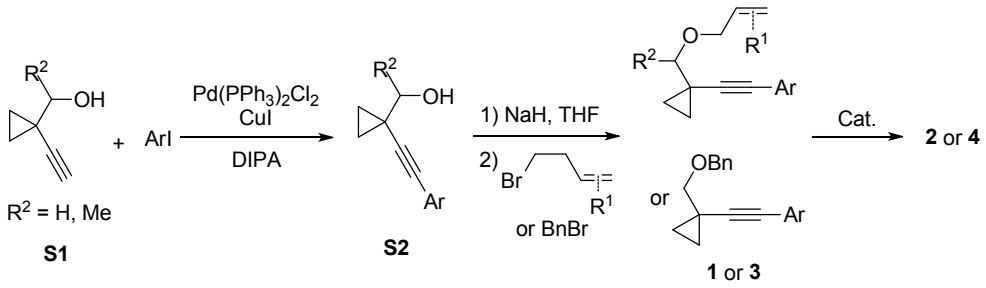


3a **4a**

entry[a]	[Au] (5 mol %)	[Ag] or additive (5 mol %)	solvent	yield/%[b]
1[c]	PPh_3AuCl	$AgNTf_2$	1,2-DCE	N.R.
2[c]	JohnPhosAuCl	$AgNTf_2$	1,2-DCE	30
3[d]	IPrAuCl	$AgNTf_2$	DCM	50
4[d]	SIPrAuCl	$AgNTf_2$	DCM	60
5[d]	IMesAuCl	$AgNTf_2$	DCM	29
6[d]	SIMesAuCl	$AgNTf_2$	DCM	30
7	SIPrAuCl	AgOTf	DCM	Complex
8	SIPrAuCl	$AgSbF_6$	DCM	43
9	***SIPrAuCl***	***$AgSbF_6$***	***1,2-DCE***	***58***
10	SIPrAuCl	$AgSbF_6$	Toluene	28
11	SIPrAuCl	$AgSbF_6$	MeCN	Trace
12	SIPrAuCl	$AgSbF_6$	THF	Trace
13	SIPrAu(MeCN)SbF_6		1,2-DCE	51

[a] The reaction scale is 0.1 mmol of **3a** in anhydrous solvent (0.1 M) at r.t. for 24 h. [b] Yield was determined by ^{1}H-NMR spectroscopic data using 1-bromo-4-methoxybenzene as an internal standard. [c] 80 °C. [d] 72 h.

3. General Reaction Procedures



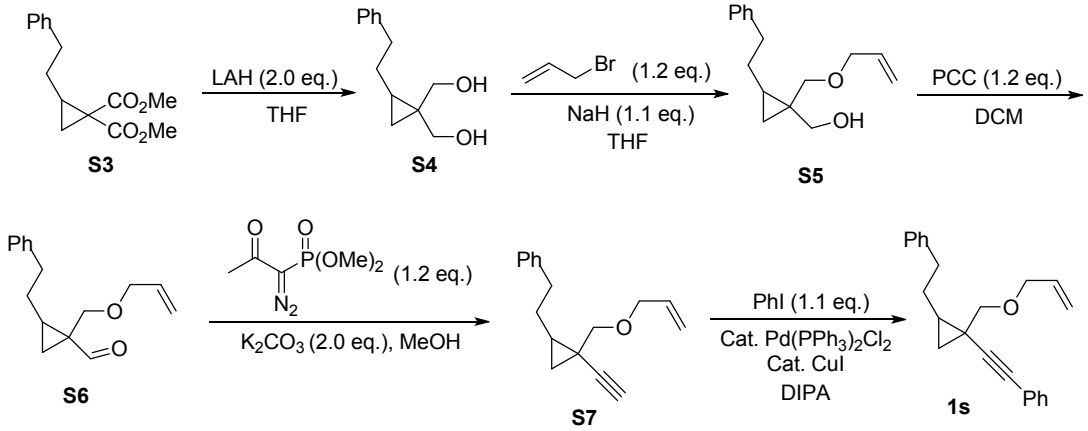
General procedure for the preparation of substrate 1 or 3:

The compound **S1** was synthesized according to the previous literature.[1]

Step 1: To a 50 mL flame dried, argon purged round bottom flask was added ArI (1.0 equiv.), $Pd(PPh_3)_2Cl_2$ (1.0 mol %), CuI(1.5 mol %) in $^iPr_2NH$ (DIPA), and then **S1** (1.1 equiv.) was added into the mixture dropwise through a syringe. The reaction mixtures were stirred at room temperature for 2 h. After that, the organic solvent was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (10:1) as an eluent to afford the desired product **S2**.

Step 2: To a 50 mL flame dried, argon purged round bottom flask was added **S2** dissolved in anhydrous THF, and then NaH (60%, 1.5 equiv.) was added in portions at 0 ^oC. After stirring for 30 min, substituted allylbromide (1.2 equiv.) was added slowly via a syringe. The reaction mixture was stirred at room temperature for 12 h. Quenched by water, extracted by Et_2O three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. After filtration, the solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (200:1) as an eluent to afford the desired product **1** or **3**.

Procedure for the preparation of substrate 1s



The dimethyl 2-phenethylcyclopropane-1,1-dicarboxylate **S3** was synthesized according to the previous literature.[2]

Step 1: To a 50 mL flame dried, argon purged round bottom flask was added **S3** (5.3 g, 1.0 equiv.) dissolved in 50 mL anhydrous THF, and then LAH (1.5 g, 2.0 equiv.) was added in portions at 0 ^{o}C. The reaction mixture was stirred at room temperature for 4 h. The reaction was quenched by Na_2SO_4•$10H_2O$ at 0 ^{o}C. After filtration through a Celite, the solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (1:1) as an eluent to afford the desired product (2-phenethylcyclopropane-1,1-diyl)dimethanol **S4** in 25% yield (1.04 g).

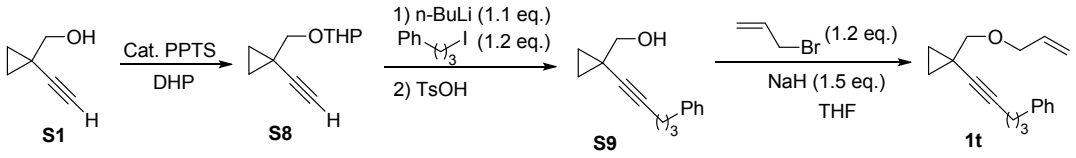
Step 2: To a 50 mL flame dried, argon purged round bottom flask was added **S4** (1.04 g, 1.0 equiv.) dissolved in 10 mL anhydrous THF, and then NaH (220.0 mg, 60%, 1.1 equiv.) was added in portions at 0 ^{o}C. After stirring for 30 min, allylbromide (0.55 mL, 1.2 equiv.) was added slowly via a syringe. The reaction mixture was stirred at room temperature for 12 h. Quenched by water, extracted by Et_2O three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. The solution was concentrated under reduced pressure and the desired product (1-((allyloxy)methyl)-2-phenethylcyclopropyl)methanol **S5** was directly used without further purification.

Step 3: To a 50 mL flame dried, argon purged round bottom flask was added the previously synthesized product **S5** dissolved in dry DCM (10 mL), and then PCC (1.3 g, 1.2 equiv.) was added in portions. The reaction mixture was stirred at room temperature for 4 h. After filtration, the solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (20:1) as an eluent to afford the desired product 1-((allyloxy)methyl)-2-phenethylcyclopropane-1-carbaldehyde **S6** in 75% yield (916.0 mg).

Step 4: To a 50 mL flame dried, argon purged round bottom flask was added **S6** (916.0 mg, 1.0 equiv.) dissolved in dry MeOH (5 mL), and then K_2CO_3 (1.04 g, 2.0 equiv.) was added in portions. The reaction mixture was stirred at room temperature for 10 min. Then, dimethyl (1-diazo-2-oxopropyl)phosphonate[3] was added dropwise into the mixture, and stirred at room temperature for 12 h. Quenched by water, extracted by Et_2O three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. After filtration, the solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (20:1) as an eluent to afford the desired product (2-(2-((allyloxy)methyl)-2-ethynylcyclopropyl)ethyl)benzene **S7** in 79% yield (707.0 mg).

Step 5: To a 25 mL flame dried, argon purged round bottom flask was added ArI (0.27 mL, 1.0 equiv.), $Pd(PPh_3)_2Cl_2$ (14.0 mg, 1.0 mol %), CuI(3.0 mg, 1.5 mol %) in $^iPr_2NH$ (DIPA) (5.0 mL), and then **S7** (480.0 mg, 1.2 equiv.) was added into the mixture dropwise through a syringe. The reaction mixture was stirred at room temperature for 2 h. After that, the organic solvent was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (100:1) as an eluent to afford the desired product **1s** in 90% yield (567.0 mg, d.r. = 1:1).

Procedure for the preparation of substrate 1t



Step 1: To a 50 mL flame dried, argon purged round bottom flask were added (1-ethynylcyclopropyl)methanol **S1** (5.3 g, 1.0 equiv.) and PPTS (251.0 mg, 0.1 equiv.) dissolved in 20 mL anhydrous DCM, and then DHP (6.0 mL, 5.0 equiv.) was added dropwise into the mixture. The reaction mixture was stirred at room temperature for 12 h then concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (20:1) as an eluent to afford the desired product 2-((1-ethynylcyclopropyl)methoxy)tetrahydro-2*H*-pyran **S8** in 60% yield (664.0 mg).

Step 2: To a 50 mL flame dried, argon purged round bottom flask was added **S8** (664 mg, 1.0 equiv.) dissolved in THF (20 mL). The flask was set in a dry ice-acetone bath and cooled down to -78 ^oC. When the temperature reached, *n*-BuLi (3.3 mL, 2.4 M in hexane, 2.0 equiv.) was added dropwise into the flask *via* a syringe. The reaction mixture was stirred at that temperature for 2 h. Followed by addition of (3-iodopropyl)benzene dropwise, the reaction vessel was removed from the bath and naturally warmed to room temperature. The reaction mixture was stirred at room temperature for 12 h. After that, the resulting dark mixture was quenched with water (10 mL) and extracted with Et_2O (50 mL) for three times. The organic phase was concentrated under reduced pressure and the crude product was dissolved in 20 mL MeOH, and then *p*-TsOH•H_2O (15 mg) was added into the mixture. The reaction mixture was stirred at room temperature for 30 min. The solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (10:1) as an eluent to afford the desired product (1-(5-phenylpent-1-yn-1-yl)cyclopropyl)methanol **S9** in 26% yield (220.0 mg).

Step 3: To a 50 mL flame dried, argon purged round bottom flask was added **S9** (220 mg, 1.0 equiv.) dissolved in 5 mL anhydrous THF, and then NaH (70.0 mg, 60%, 1.5 equiv.) was added in portions at 0 ^oC. After stirring for 30 min, allylbromide (0.20 mL,

1.2 equiv.) was added slowly via a syringe. The reaction mixture was stirred at room temperature for 12 h. Quenched by water, extracted by Et_2O three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. The reaction mixture was stirred at room temperature for 30 min. The solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (100:1) as an eluent to afford the desired product (5-(1-((allyloxy)methyl)cyclopropyl)pent-4-yn-1-yl)benzene **1t** in 80% yield (200.0 mg).

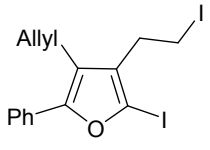
General procedures for the synthesis of product 2:

To a 10 mL oven-dried sealed tube were added $IPrAuNTf_2$ (8.6 mg, 5 mol %) under argon atmosphere, and then compound **1** (0.2 mmol) dissolved in anhydrous DCM (2.0 mL) was added dropwise through a syringe. The reaction mixture was stirred at room temperature for several hours while avoiding light irradiation. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (100:1) as an eluent to afford the desired product **2**.

General procedure for the synthesis of product 4:

To a 10 mL oven-dried sealed tube were added SIPrAuCl (6.2 mg, 5 mol %) and $AgSbF_6$ (3.4 mg, 5 mol %) under argon atmosphere, and then compound **3** (0.2 mmol) dissolved in anhydrous 1,2-DCE (2.0 mL) was added through a syringe. The reaction mixture was stirred at room temperature for a 12 h. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (100:1) as an eluent to afford the desired product **4**.

Procedure for the synthesis of product 5a (0.5-gram scale):

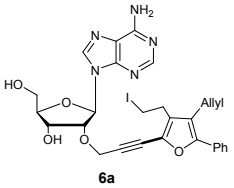


5a

To a 100 mL oven-dried round-bottom flask were added $IPrAuNTf_2$ (21.0 mg, 1.0 mol %) and NIS (1.65 g, 3.0 equiv.) under argon atmosphere, and then compound **1a** (518.5 mg, 2.0 mmol, 1.0 equiv.) dissolved in DCM (20.0 mL) was added dropwise through a syringe. The reaction mixture was stirred at room temperature for 12 hours. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (100:1) as an eluent to afford the desired product **5a** in 80% yield (905.0 mg).

Procedure for the synthesis of product 6a

The 2'-O-(1-Propyn-3-yl)-adenosine was synthesized according to the previous literature.[4]

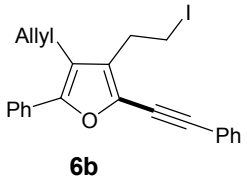


6a

To a 10 mL oven-dried argon purged sealed tube were added **5a** (46.4 mg, 0.1 mmol,

1.0 equiv.), 2'-O-(1-Propyn-3-yl)-adenosine (33.6 mg, 1.1 equiv.), $Pd(PPh_3)_2Cl_2$ (0.7 mg, 1.0 mol %), CuI (0.2 mg, 1.5 mol %), solvent (0.5 mL, DMF:TEA = 1:1), the reaction mixture was stirred at 55 °C for 12 h. After that, the organic solvent was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with DCM-MeOH (10:1) as an eluent to afford the desired product **6a** in 62% yield (39.3 mg).

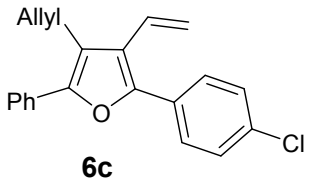
Procedure for the synthesis of product 6b



6b

To a 10 mL oven-dried argon purged sealed tube were added **5a** (46.4 mg, 0.1 mmol), Phenylacetylene (11.2 mg, 1.1 equiv.), $Pd(PPh_3)_2Cl_2$ (0.7 mg, 1 mol %). CuI (0.2 mg, 1.5 mol %), DIPA (0.5 mL), the reaction mixtures were stirred at room temperature for 2 h. After that, the organic solvent was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether as an eluent to afford the desired product **6b** in 66% yield (28.6 mg).

Procedure for the synthesis of product 6c



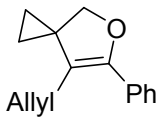
6c

Step 1: To a 10 mL oven-dried argon purged sealed tube were added **5a** (92.8 mg, 0.2 mmol, 1.0 equiv.), (4-chlorophenyl)boronic acid (50.8 mg, 1.5 equiv.), $Pd(PPh_3)_4$ (23.0 mg, 10 mol %), Na_2CO_3 (42.4 mg, 2.0 equiv.), solvent (1.4 mL, Toluene:EtOH:H_2O = 4:2:1), and the reaction mixture was stirred at 80 °C for 12 h while avoiding light irradiation. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether as an eluent to afford the desired product in 58% yield (51.8 mg).

Step 2: To a 10 mL oven-dried argon purged sealed tube were added the previously obtained product (44.9 mg, 0.1 mmol, 1.0 equiv.), ^{t}BuOK (16.8 mg, 1.5 equiv.), ^{t}BuOH (1.0 mL), and the reaction mixture was stirred at 80 °C for 5 h. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether as an eluent to afford the desired product **6c** in 70% yield (22.4 mg). Total yield of the two steps is 41%.

4. Mechanistic Experiments

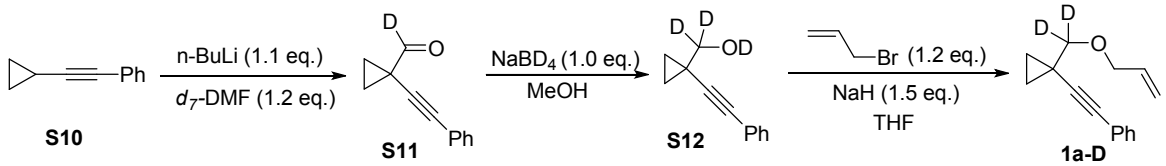
4.1 Isolating intermediate C



IntC

To a 10 mL oven-dried sealed tube was added $IPrAuNTf_2$ (4.3 mg, 5 mol %) under argon atmosphere, and then compound **1a** (0.1 mmol, 1.0 equiv.) dissolved in anhydrous DCM (1.0 mL) was added dropwise through a syringe. The reaction mixture was stirred at room temperature for 3 h. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (100:1) as an eluent to afford **Int C** in 38% yield (8.1 mg).

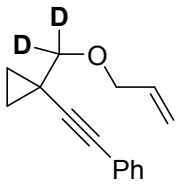
4.2 Deuterium labeling experiment



S10 **S11** **S12** **1a-D**

Procedure for the preparation of substrate 1a-D:

The (cyclopropylethynyl)benzene **S10** was synthesized according to the previous literature.[5]



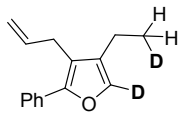
1a-D

Step 1: To a solution of compound **S10** (710.0 mg, 1.0 equiv.) in anhydrous Et_2O (10 ml) was added *n*-BuLi (2.3 ml, 2.4 M in Hexane, 1.1 equiv.) at 0 °C and the resulting mixture was stirred for 24 h before the addition of d^7-DMF (480.0 mg, 1.2 eq). The resulting reaction mixture was stirred at room temperature for 12 h. Quenched by water, extracted by Et_2O for three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. After filtration, the solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (20:1) as an eluent to afford the desired product 1-(phenylethynyl)cyclopropane-1-carbaldehyde-*d* **S11** in 55% yield (472.0 mg).

Step 2: To a 50 mL flame dried, argon purged round bottom flask was added **S11** (472.0 mg, 2.8 mmol, 1.0 equiv.) dissolved in MeOH (10 mL), and then $NaBD_4$ (116.0 mg, 1.0 equiv.) was added in portions at 0 °C. The reaction mixture was stirred at room temperature for 4 h. Quenched by water, extracted by Et_2O for three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. After filtration, the solution was concentrated under reduced pressure and the crude product (1-(phenylethynyl)cyclopropyl)methan-d_2-ol-*d* **S12** was directly used without further purification.

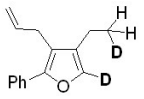
Step 3: To a 50 mL flame dried, argon purged round bottom flask was added previously obtained product **S12** (1.0 equiv.) dissolved in anhydrous THF, and then NaH (200.0 mg, 60%, 1.5 equiv.) was added in portions at 0 °C. After stirring for 30 min,

substituted allylbromide (400.0 mg, 1.2 equiv.) was added slowly via a syringe. The reaction mixture was stirred at room temperature for 12 h. Quenched by water, extracted by Et_2O for three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. After filtration, the solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (200:1) as an eluent to afford the desired product ((1-((allyloxy)methyl-d_2)cyclopropyl)ethynyl)benzene **1a-D** in 73% yield (400.0 mg, D% = 99%).

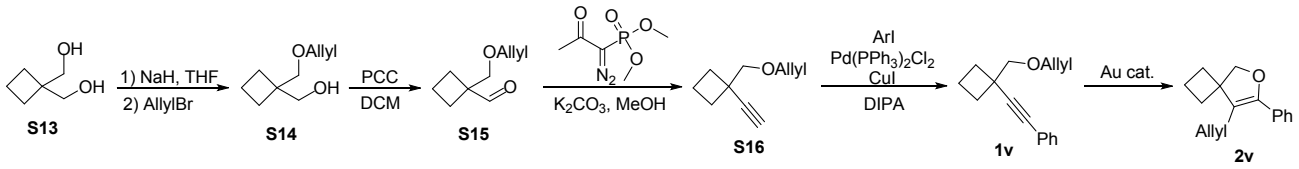


2a-D

Procedure for the synthesis of product 2a-D: To a 10 mL oven-dried sealed tube was added $IPrAuNTf_2$ (8.6 mg, 5 mol %) under argon atmosphere, and then compound **1a-D** (0.2 mmol, 1.0 equiv.) dissolved in anhydrous DCM (2.0 mL) was added dropwise through a syringe. The reaction mixture was stirred at room temperature for several hours while avoiding light irradiation. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (100:1) as an eluent to afford the desired product 3-allyl-4-(ethyl-2-d)-2-phenylfuran-5-*d* **2a-D** in 47% yield (19.8 mg, D% = 99%).



4.3 Employing cyclobutene tethered compound 1v as substrate



Procedure for the preparation of substrate 1v

The cyclobutane-1,1-diyldimethanol **S13** was synthesized according to the previous literature.[6]

Step 1: To a 50 mL flame dried, argon purged round bottom flask was added compound **S13** (1.0 g, 8.6 mmol, 1.0 equiv.) dissolved in anhydrous THF, and then NaH (380.0 mg, 60%, 1.1 equiv.) was added in portions at 0 ^{o}C. After stirring for 30 min, substituted allylbromide (900.0 mg, 1.2 equiv.) was added slowly via a syringe. The reaction mixture was stirred at room temperature for 12 h. Quenched by water, extracted by Et_2O for three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. After filtration, the solution was concentrated under reduced pressure and the crude product (1-((allyloxy)methyl)cyclobutyl)methanol **S14** was directly used without further purification.

Step 2: To a 50 mL flame dried, argon purged round bottom flask was added previously obtained product **S14** dissolved in dry DCM (10 mL), and then PCC (2.2 g, 1.2 equiv.) was added in portions. The reaction mixture was stirred at room temperature for 4 h. Quenched by water, extracted by Et_2O for three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. After filtration, the solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (30:1 to 20:1) as an eluent to afford the desired product 1-((allyloxy)methyl)cyclobutane-1-carbaldehyde **S15** in 40% yield (523.6 mg).

Step 3: To a 50 mL flame dried, argon purged round bottom flask was added **S15** dissolved in dry MeOH (10 mL), and then K_2CO_3 (966.0 g, 2.0 equiv.) was added in portions. The reaction mixture was stirred at room temperature for 10 min. Then dimethyl (1-diazo-2-oxopropyl)phosphonate was added dropwise into the mixture, and was stirred at room temperature for 4 h. Quenched by water, extracted by Et_2O for three-times (10 mL each), the combined the organic phase was dried over anhydrous $MgSO_4$. After filtration, the solution was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether-EtOAc (20:1) as an eluent to afford the desired product 1-((allyloxy)methyl)-1-ethynylcyclobutane **S16** in 89% yield (470.3 mg).

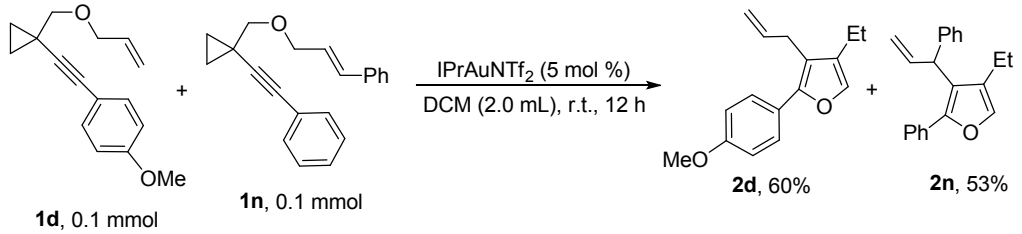
Step 4: To a 25 mL flame dried, argon purged round bottom flask was added ArI (204.0 mg, 1.0 equiv.), $Pd(PPh_3)_2Cl_2$ (7.0 mg, 1.0 mol %), CuI(1.5 mg, 1.5 mol %) in $^{i}Pr_2NH$ (DIPA) (3.0 mL), and then **S16** (150.0 mg, 1.2 equiv.) was added into the mixture dropwise through a syringe. The reaction mixtures were stirred at room temperature for 2 h. After that, the organic solvent was concentrated under reduced pressure and the residue was purified by a silica gel

flash column chromatography with petroleum ether-EtOAc (100:1) as an eluent to afford the desired product ((1-((allyloxy)methyl)cyclobutyl)ethynyl)benzene **1v** in 58% yield (130.0 mg).

Procedure for the synthesis of product 2v

To a 10 mL oven-dried sealed tube was added $IPrAuNTf_2$ (4.3 mg, 5 mol %) under argon atmosphere, and then compound **1** (0.1 mmol, 1.0 equiv.) dissolved in anhydrous DCM (1.0 mL) was added dropwise through a syringe. The reaction mixture was stirred at room temperature for several hours while avoiding light irradiation. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether as an eluent to afford the desired product 8-allyl-7-phenyl-6-oxaspiro[3.4]oct-7-ene **2v** in 97% yield (44.3 mg).

4.4 Cross over experiment



To a 10 mL oven-dried sealed tube was added $IPrAuNTf_2$ (8.6 mg, 5 mol %) under argon atmosphere, and then compound **1d** (0.1 mmol, 1.0 equiv.) and compound **1n** (0.1 mmol, 1.0 equiv.) dissolved in anhydrous DCM (2.0 mL) was added dropwise through a syringe. The reaction mixture was stirred at room temperature for 12 hours while avoiding light irradiation. After that, the reaction mixture was concentrated under reduced pressure and the residue was purified by a silica gel flash column chromatography with petroleum ether as an eluent to afford the desired product **2d** in 60% yield (14.4 mg) and **2n** in 53% yield (15.0 mg).

4.5 Kinetic analysis of the reaction with different amount of H_2O

Two oven-dried NMR tubes were added $IPrAuNTf_2$ (2.2 mg, 0.0025 mmol), then compound **1a** and **1a-D** (10.6 mg, 0.05 mmol) dissolved in dry CD_2Cl_2 (0.5 mL) was added into the tube through a syringe respectively. The reaction mixture was set at room temperature. The yield of **2a** and **2a-D** was determined by ^{1}H-NMR spectroscopic data by using 1-bromo-4-methoxybenzene as an internal standard. K_H/K_D = 1.4

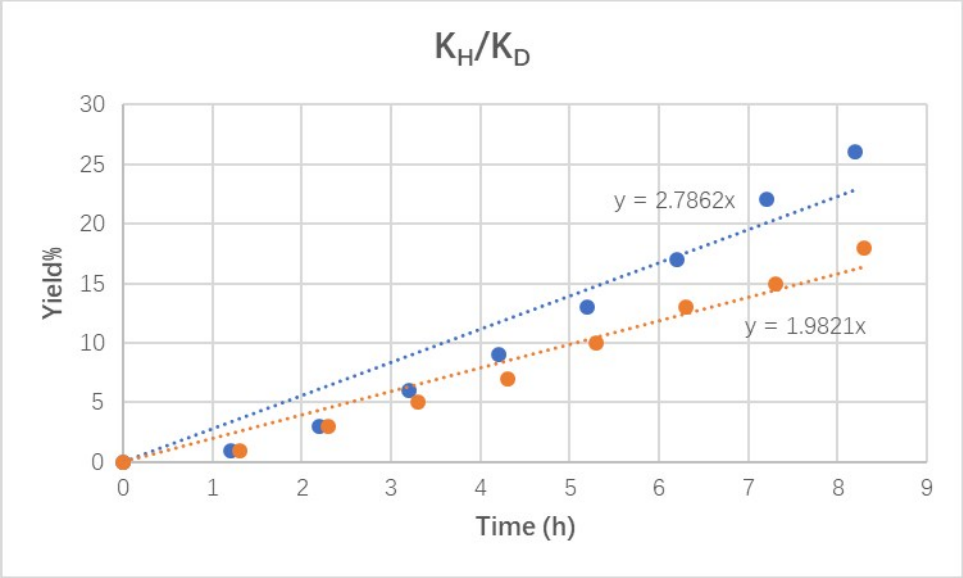
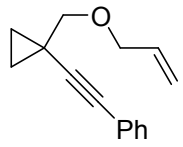
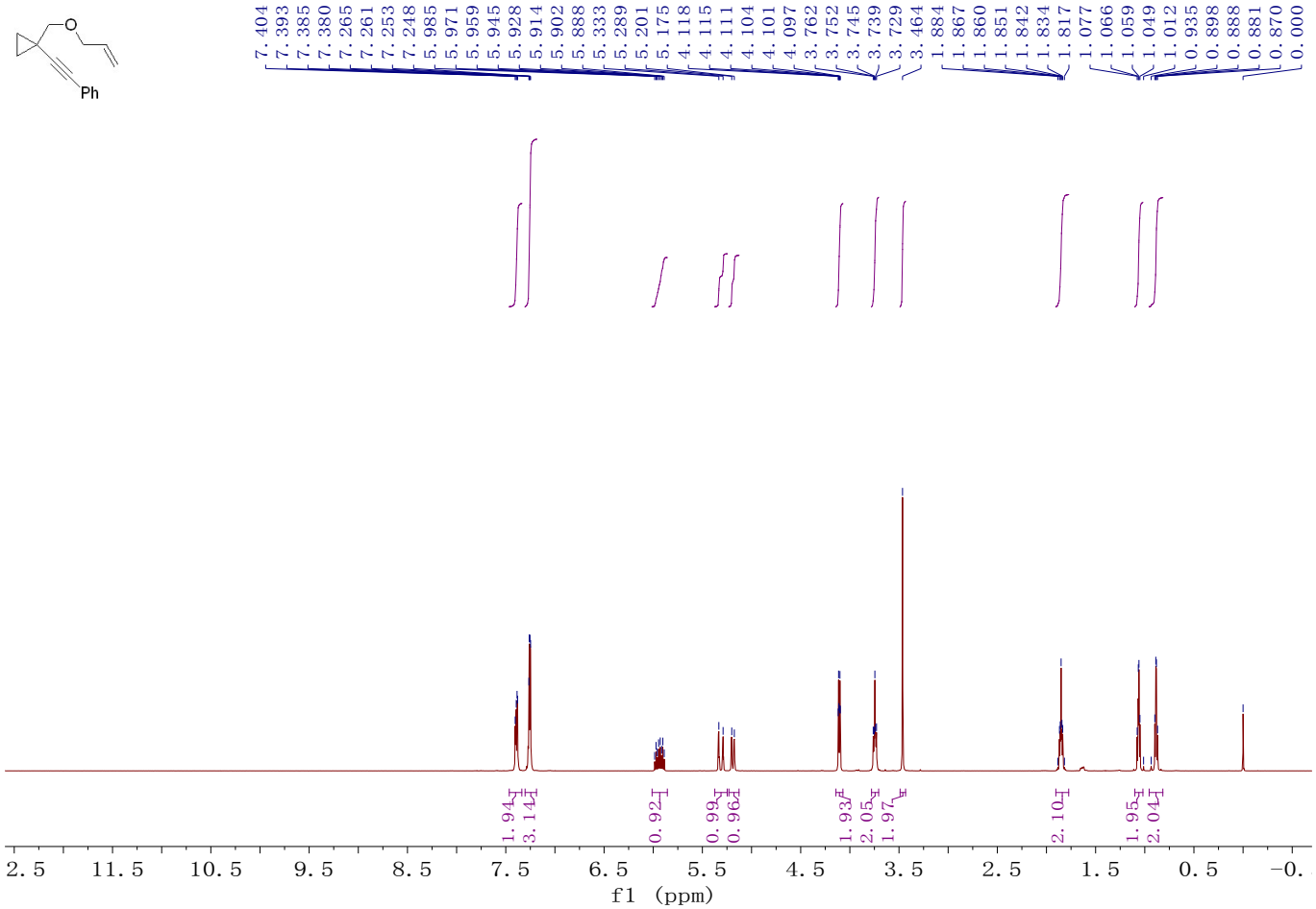


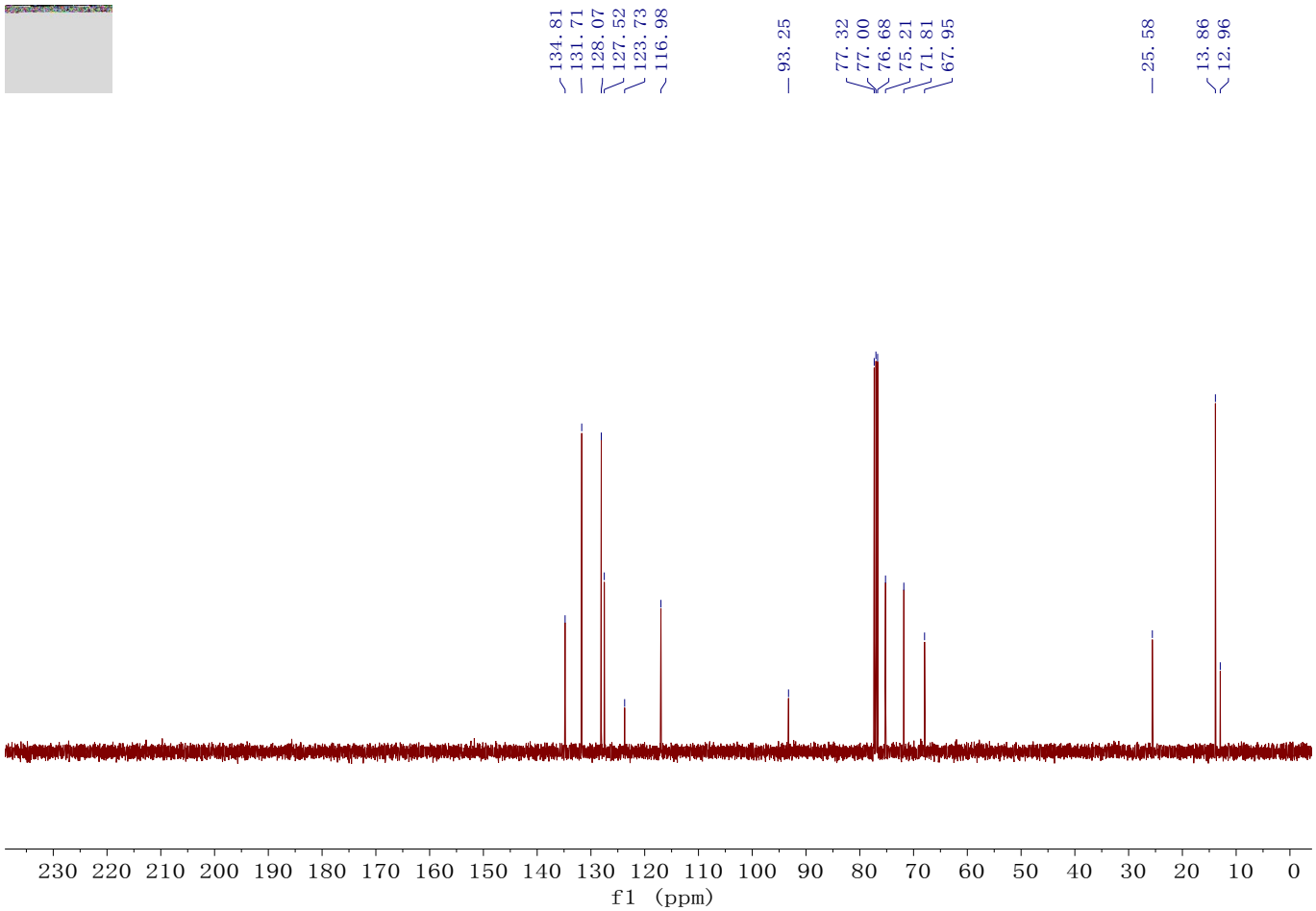
Figure S1. Kinetic analysis of the reaction

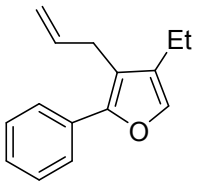
5. Spectroscopic Data



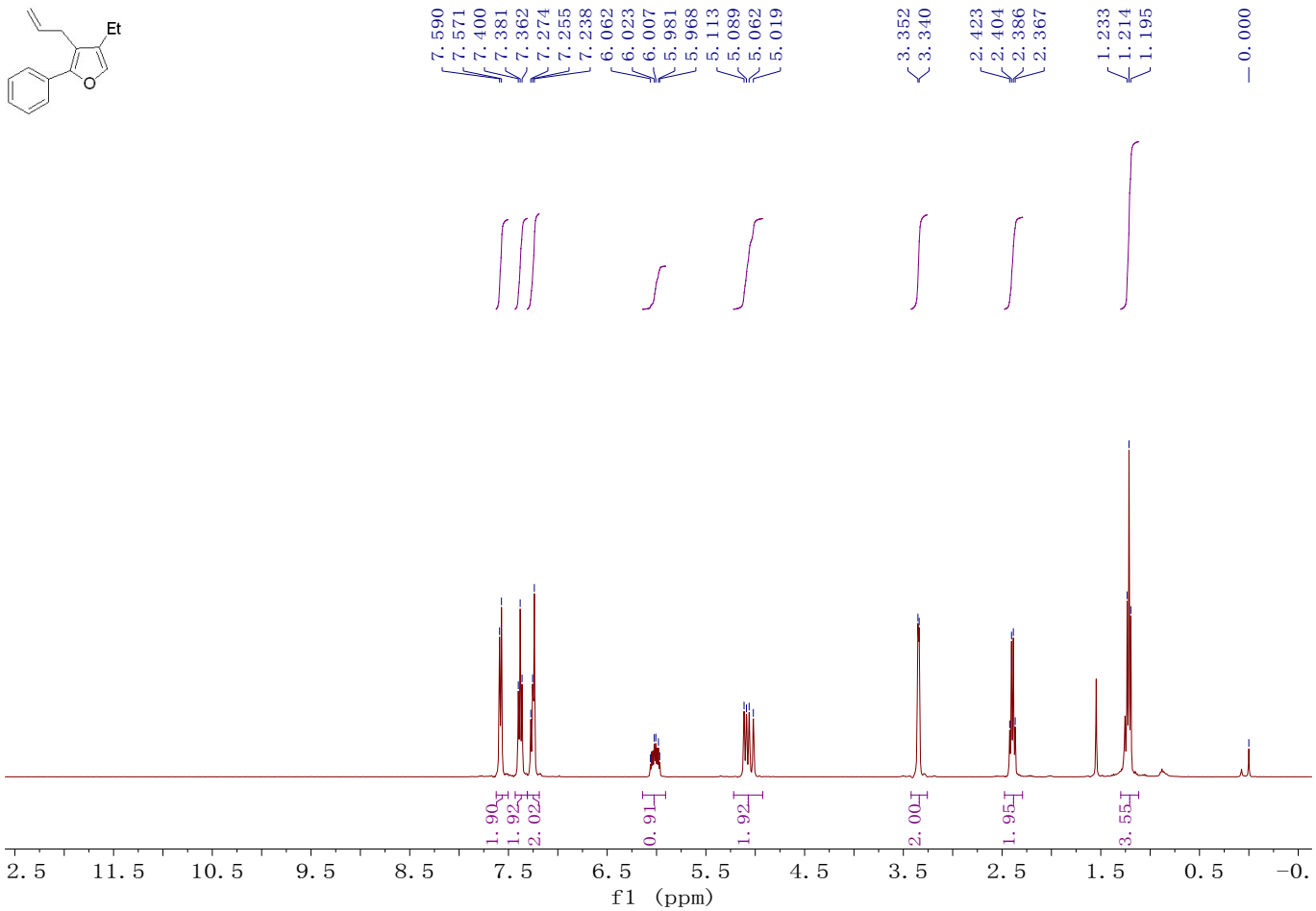
Compound **1a**: 400.7 mg, yield: 67%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.38-7.41 (m, 2H), 7.24-7.27 (m, 3H), 5.88-5.99 (m, 1H), 5.31 (d, *J* = 17.2 Hz, 1H), 5.19 (d, *J* = 10.4 Hz, 1H), 4.09-4.12 (m, 2H), 3.72-3.77 (m, 2H), 3.46 (s, 2H), 1.81-1.89 (m, 2H), 1.10-1.08 (m, 2H), 0.87-0.94 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.8, 131.7, 128.1, 127.5, 123.7, 117.0, 93.3, 75.2, 71.8, 68.0, 25.6, 13.9, 13.0. IR (neat) ν 3080, 3012, 2855, 2228, 1597, 1491, 1442, 1131, 1024, 921 cm^{-1}. HRMS (DART) calc. for $C_{15}H_{16}O^{+}$ $[M+H]^{+}$: 213.1274, Found: 213.1273.

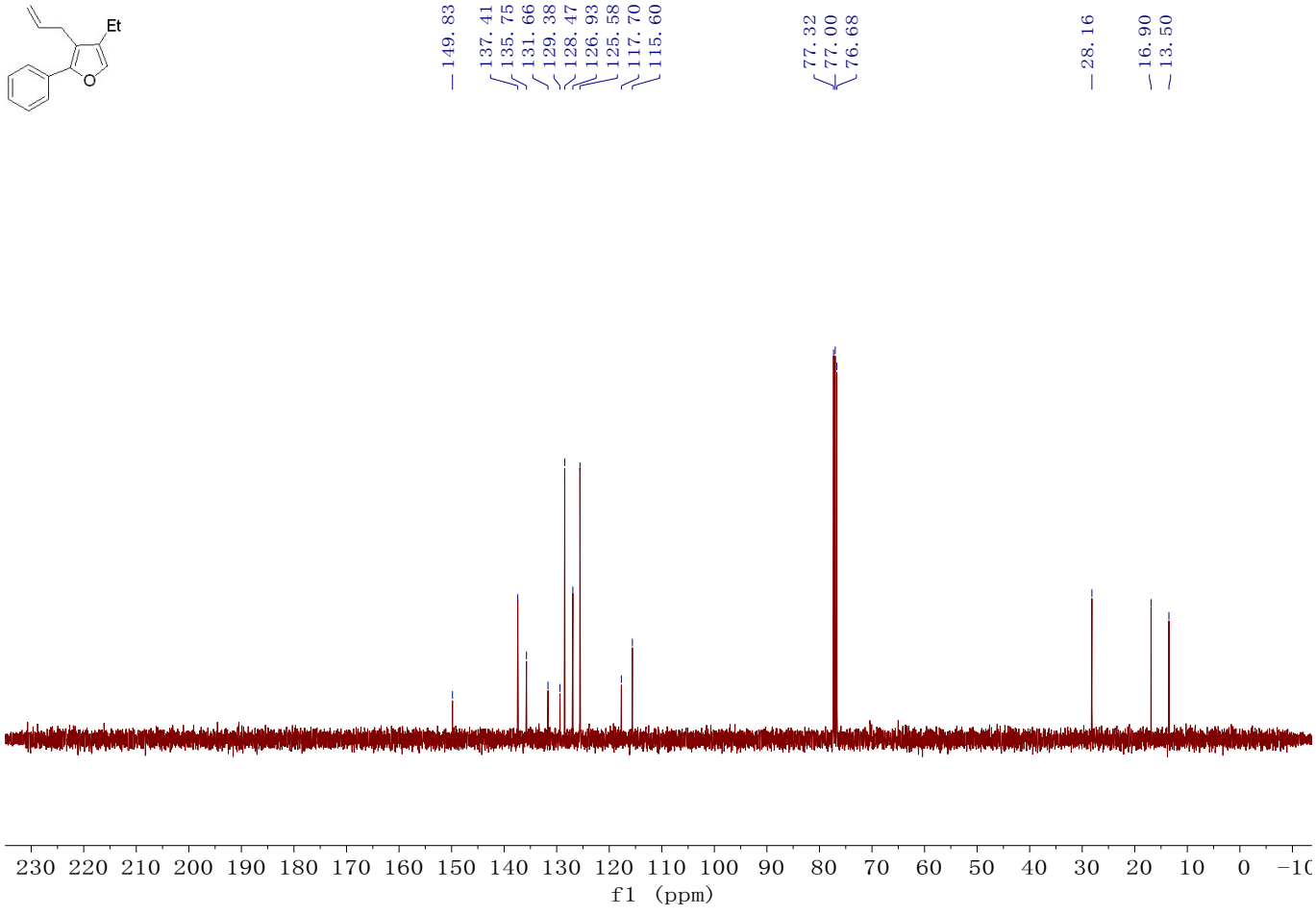






Compound **2a**: 37.3 mg, yield: 84%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.57-7.59 (m, 2H), 7.36-7.40 (m, 2H), 7.23-7.28 (m, 2H), 5.96-6.07 (m, 1H), 5.01-5.12 (m, 2H), 3.35 (d, *J* = 4.8 Hz, 2H), 2.39 (q, *J* = 7.4 Hz, 2H), 1.21 (t, *J* = 7.6 Hz, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.8, 137.4, 135.8, 131.7, 129.4, 128.5, 126.9, 125.6, 117.7, 115.6, 28.2, 16.9, 13.5. IR (neat) ν 3059, 2967, 2869, 1767, 1637, 1492, 1461, 1258, 1024, 913 cm^{-1}. HRMS (EI) calcd. for $C_{15}H_{16}O^{+}$: 212.1201, Found: 212.1205.


Et
O
7.590
7.571
7.400
7.381
7.362
7.274
7.255
7.238
6.062
6.023
6.007
5.981
5.968
5.113
5.089
5.062
5.019
3.352
3.340
2.423
2.404
2.386
2.367
1.233
1.214
1.195
0.000
1.90
1.92
2.02
0.91
1.92
2.00
1.95
3.55
f1 (ppm)


Et
O
149.83
137.41
135.75
131.66
129.38
128.47
126.93
125.58
117.70
115.60
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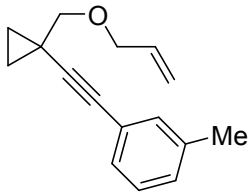
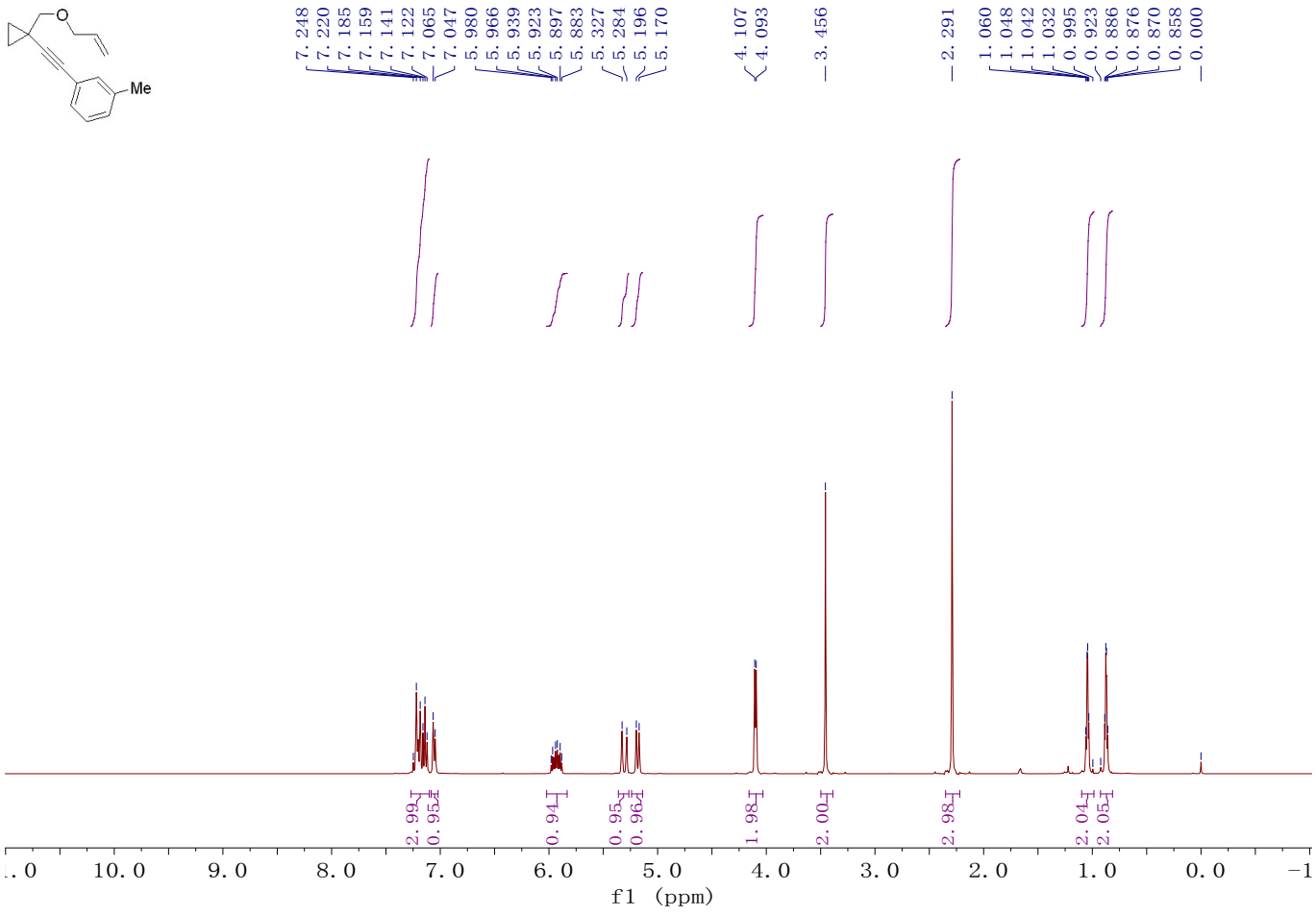
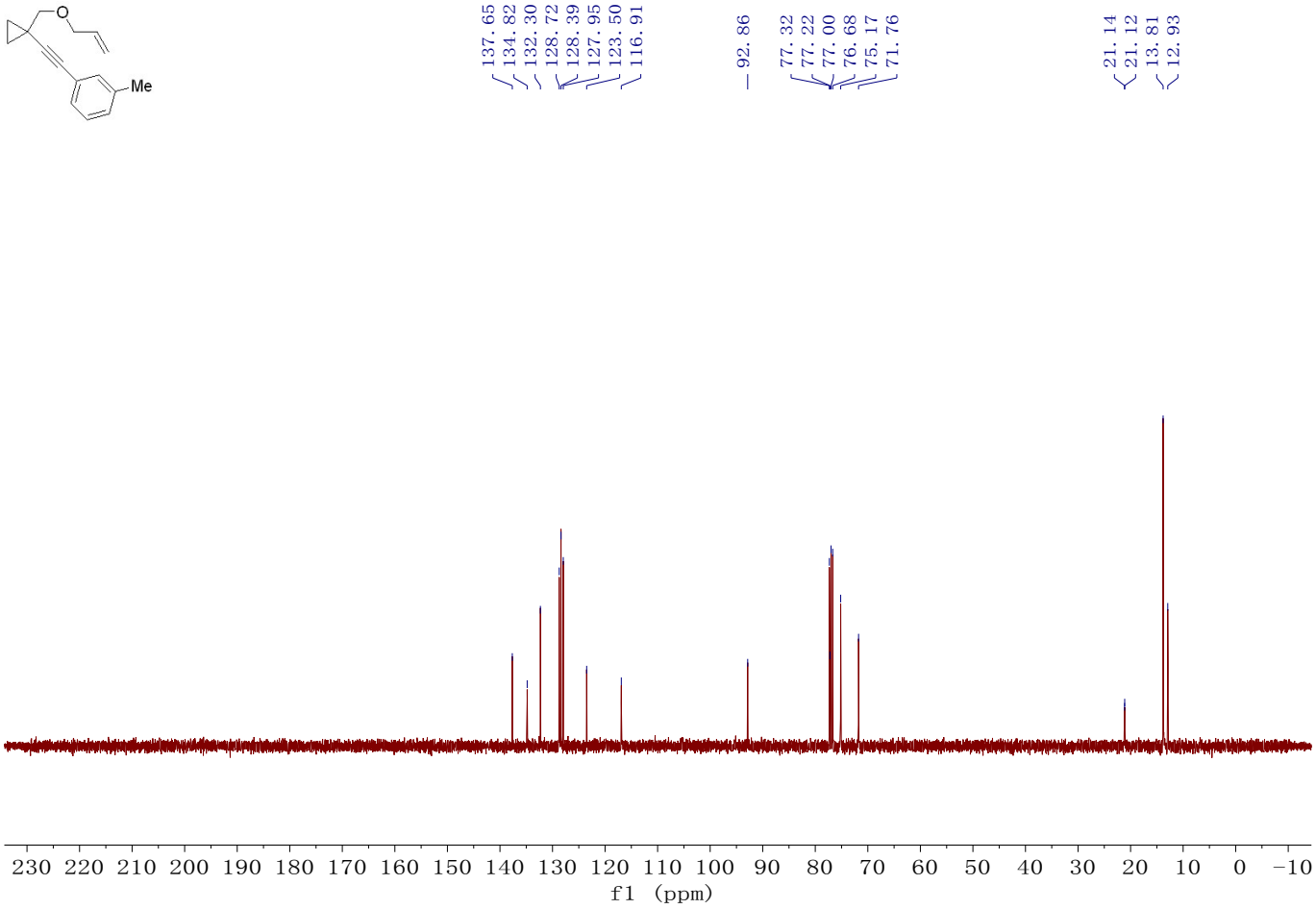
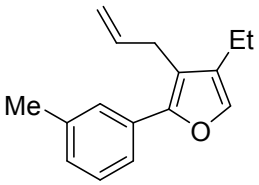
77.00
76.68
28.16
16.90
13.50
f1 (ppm)



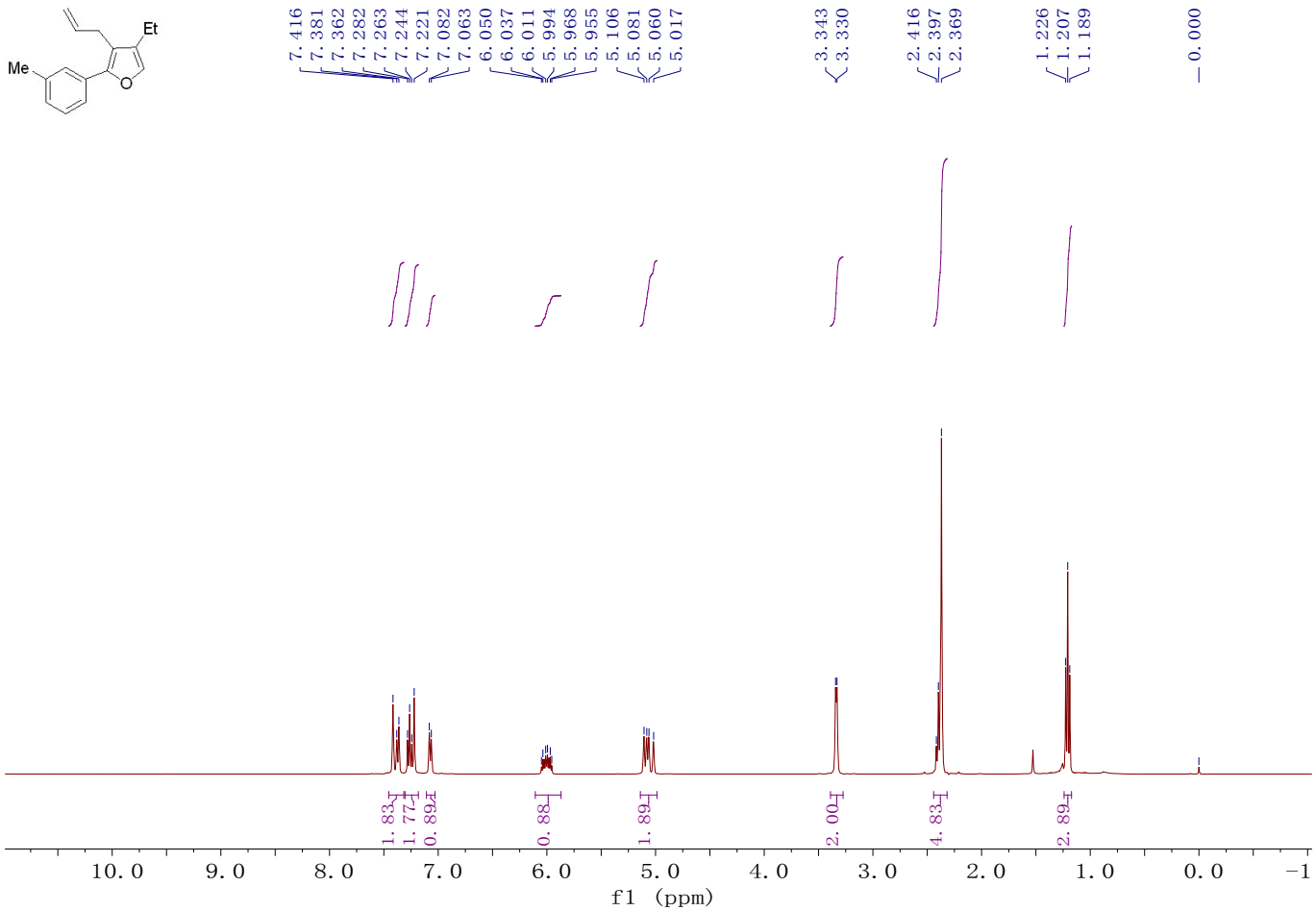
Compound **1b**: 450.1 mg, yield: 99%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.12-7.25 (m, 3H), 7.04-7.07 (m, 1H), 5.88-5.98 (m, 1H), 5.31 (d, *J* = 17.2 Hz, 1H), 5.18 (d, *J* = 10.2 Hz, 1H), 4.10 (d, *J* = 5.6 Hz, 2H), 3.46 (s, 2H), 2.29 (s, 3H), 1.00-1.06 (m, 2H), 0.85-0.93 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 137.7, 134.8, 132.3, 128.7, 128.4, 128.0, 123.5, 116.9, 92.9, 77.2, 75.2, 71.8, 21.1, 13.8, 12.9. IR (neat) ν 3011, 2856, 2223, 1600, 1485, 1131, 1091, 920, 782, 691 cm^{-1}. HRMS (DART) calcd. for $C_{16}H_{19}O^{+}$ $[M+H]^{+}$: 227.1430, Found: 227.1428.







Compound **2b**: 36.1 mg, yield: 80%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.36-7.42 (m, 2H), 7.22-7.29 (m, 2H), 7.06-7.09 (m, 1H), 5.95-6.05 (m, 1H), 5.01-5.11 (m, 2H), 3.34 (d, *J* = 5.2 Hz, 2H), 2.36-2.42 (m, 5H), 1.21 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 150.0, 138.0, 137.3, 135.9, 131.6, 129.3, 128.4, 127.8, 126.3, 122.8, 117.6, 115.5, 43.0, 28.2, 21.5, 16.9, 13.5. IR (neat) ν 2968, 2919, 2869, 1761, 1458, 1030, 907, 788, 729, 701 cm^{-1}. HRMS (EI) calcd. for $C_{16}H_{18}O^{+}$: 226.1358, Found: 226.1354.


Et
Me
O
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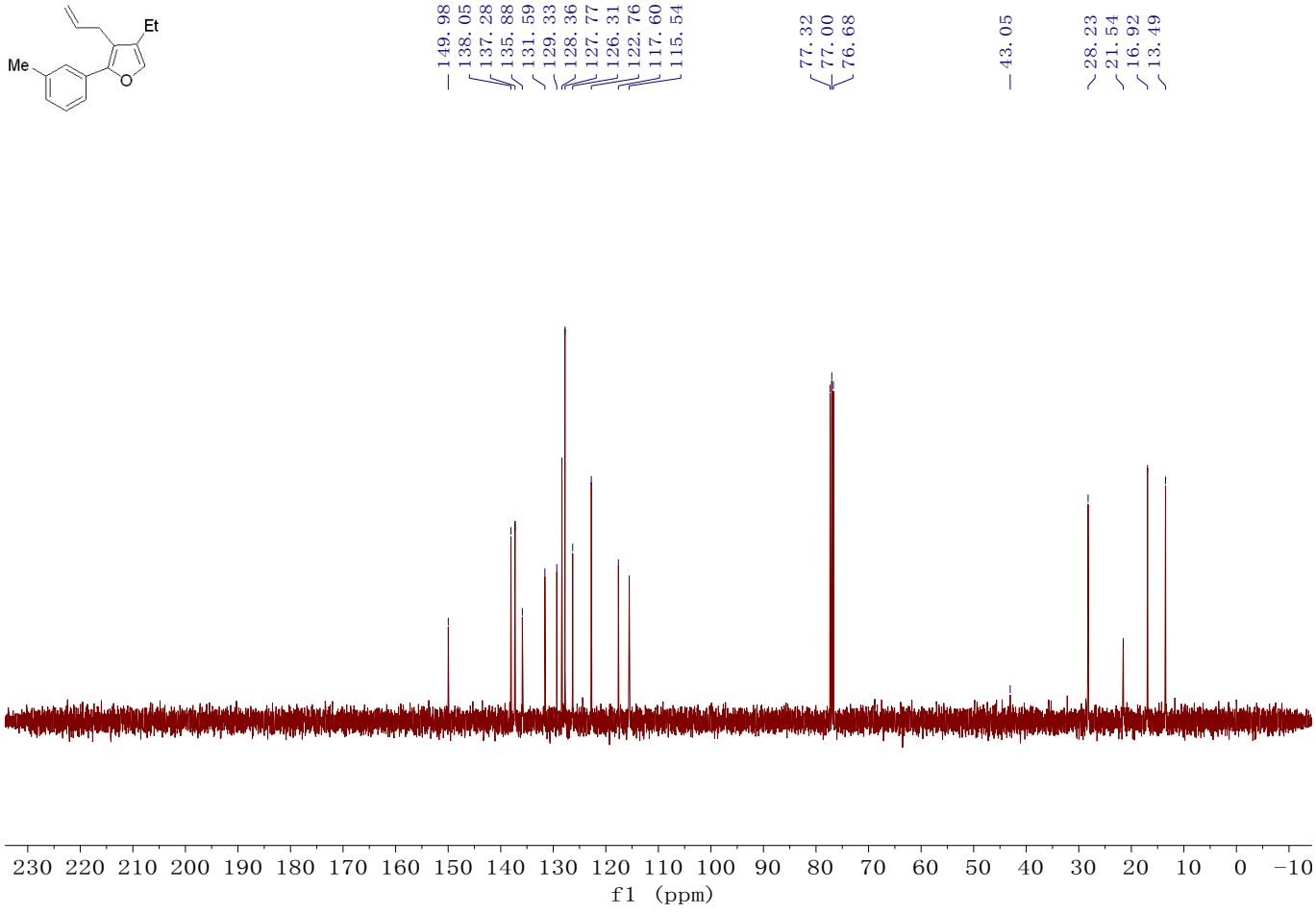
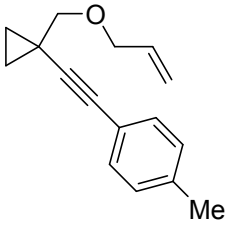
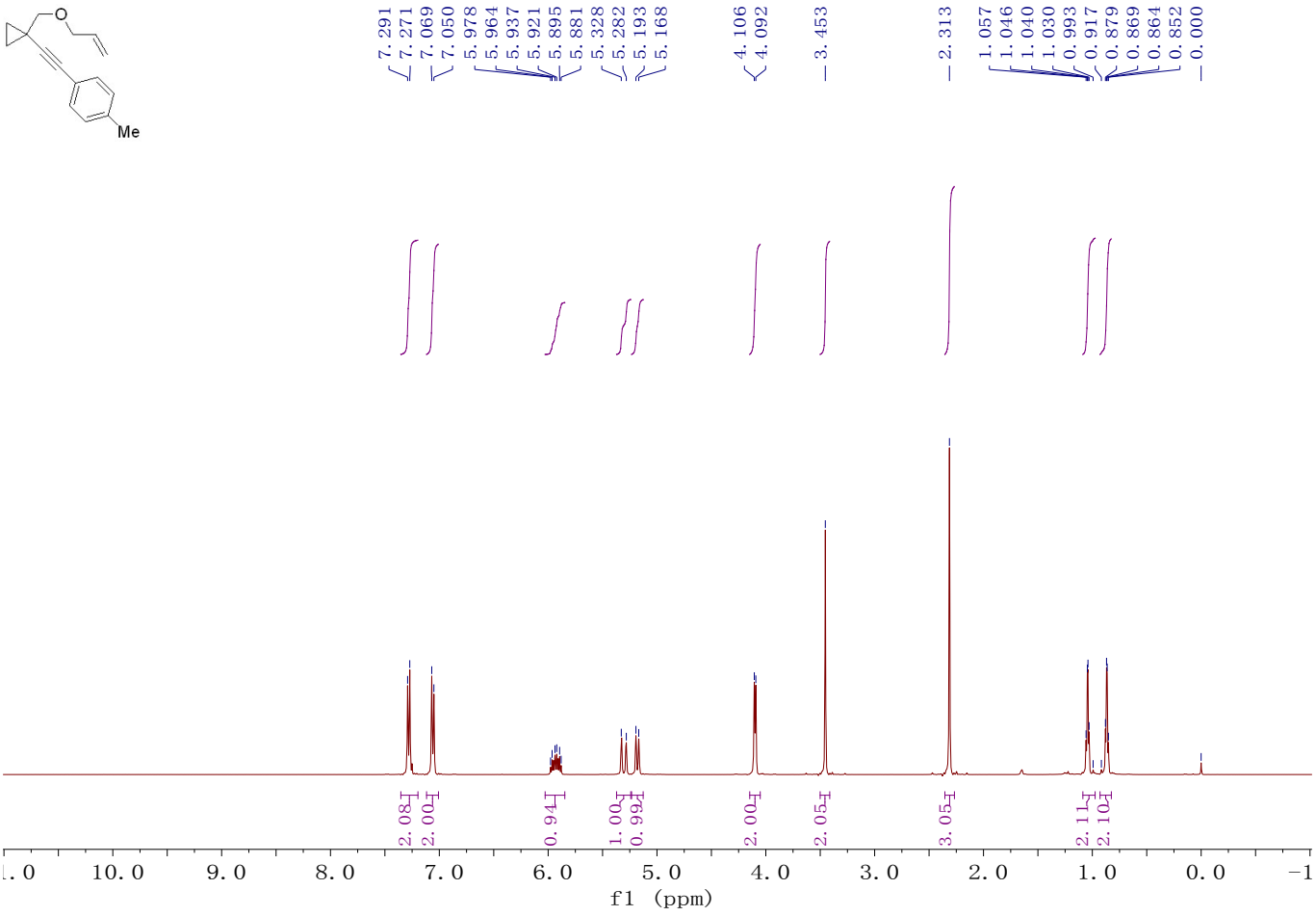
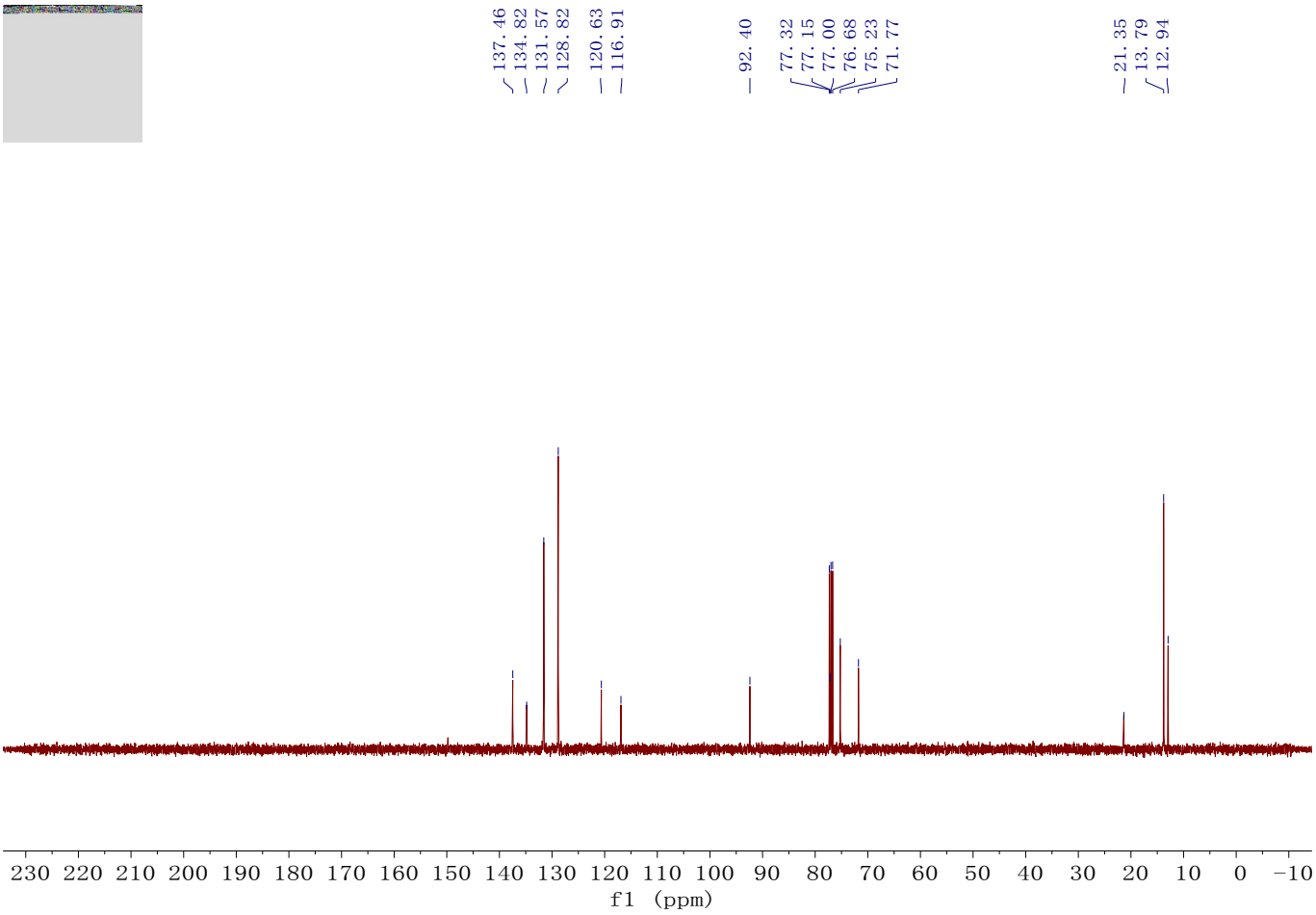
7.381
7.362
7.282
7.263
7.244
7.221
7.082
7.063
6.050
6.037
6.011
5.994
5.968
5.955
5.106
5.081
5.060
5.017
3.343
3.330
2.416
2.397
2.369
1.226
1.207
1.189
0.000
1.83
1.77
0.89
0.88
1.89
2.00
4.83
2.89
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
−1
f1 (ppm)

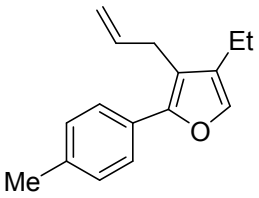

Et
Me
O
149.98
138.05
137.28
135.88
131.59
129.33
128.36
127.77
126.31
122.76
117.60
115.54
77.32
77.00
76.68
43.05
28.23
21.54
16.92
13.49
230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)



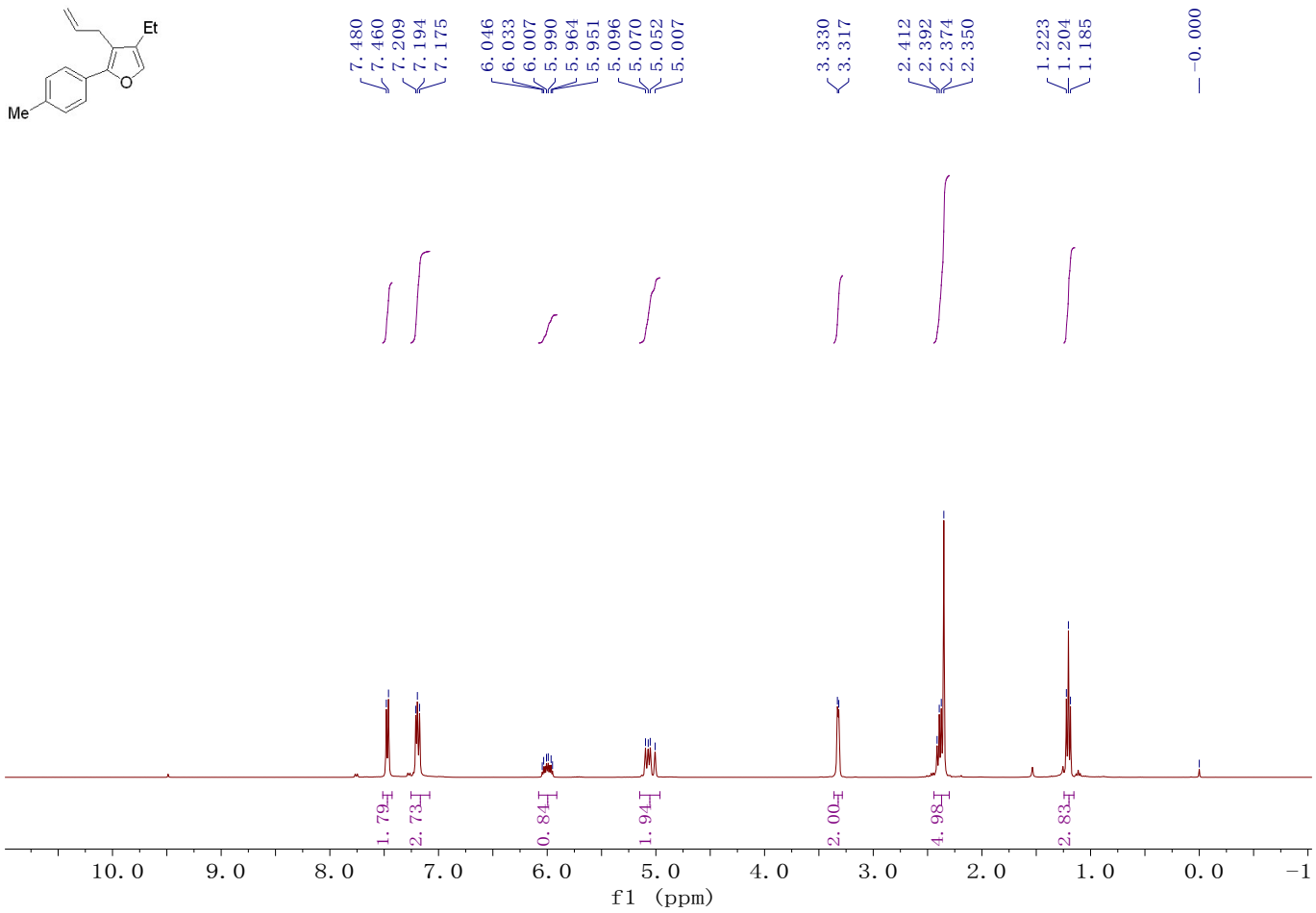
Compound **1c**: 300.3 mg, yield: 89%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.27-7.30 (m, 2H), 7.05-7.07 (m, 2H), 5.88-5.98 (m, 1H), 5.30 (d, *J* = 18.4 Hz, 1H), 5.18 (d, *J* = 10.4 Hz, 1H), 4.10 (d, *J* = 5.6 Hz, 2H), 3.45 (s, 2H), 2.31 (s, 3H), 0.99-1.06 (m, 2H), 0.85-0.92 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 137.5, 134.8, 131.6, 128.8, 120.6, 116.9, 92.4, 77.1, 75.2, 71.8, 21.4, 13.8, 12.9. IR (neat) ν 3078, 2921, 2859, 2204, 1644, 1510, 1448, 1092, 910, 815 cm^{-1}. HRMS (DART) calcd. for $C_{16}H_{19}O^{+}$ $[M+H]^{+}$: 227.1430, Found: 227.1430.







Compound **2c**. 38.3 mg, yield: 85%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.46-7.48 (m, 2H), 7.17-7.21 (m, 3H), 5.95-6.05 (m, 1H), 5.00-5.10 (m, 2H), 3.32 (d, *J* = 5.2 Hz, 2H), 2.35-2.42 (m, 5H), 1.20 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 150.0, 137.1, 136.7, 135.9, 129.3, 129.2, 128.9, 125.6, 117.0, 115.5, 28.2, 21.2, 16.9, 13.5. IR (neat) ν 2966, 2916, 2866, 1759, 1512, 1457, 1030, 907, 819, 728 cm^{-1}. HRMS (EI) calcd. for $C_{16}H_{18}O^{+}$: 226.1358, Found: 226.1355.


Et
Me
7.480
7.460
7.209
7.194
7.175
6.046
6.033
6.007
5.990
5.964
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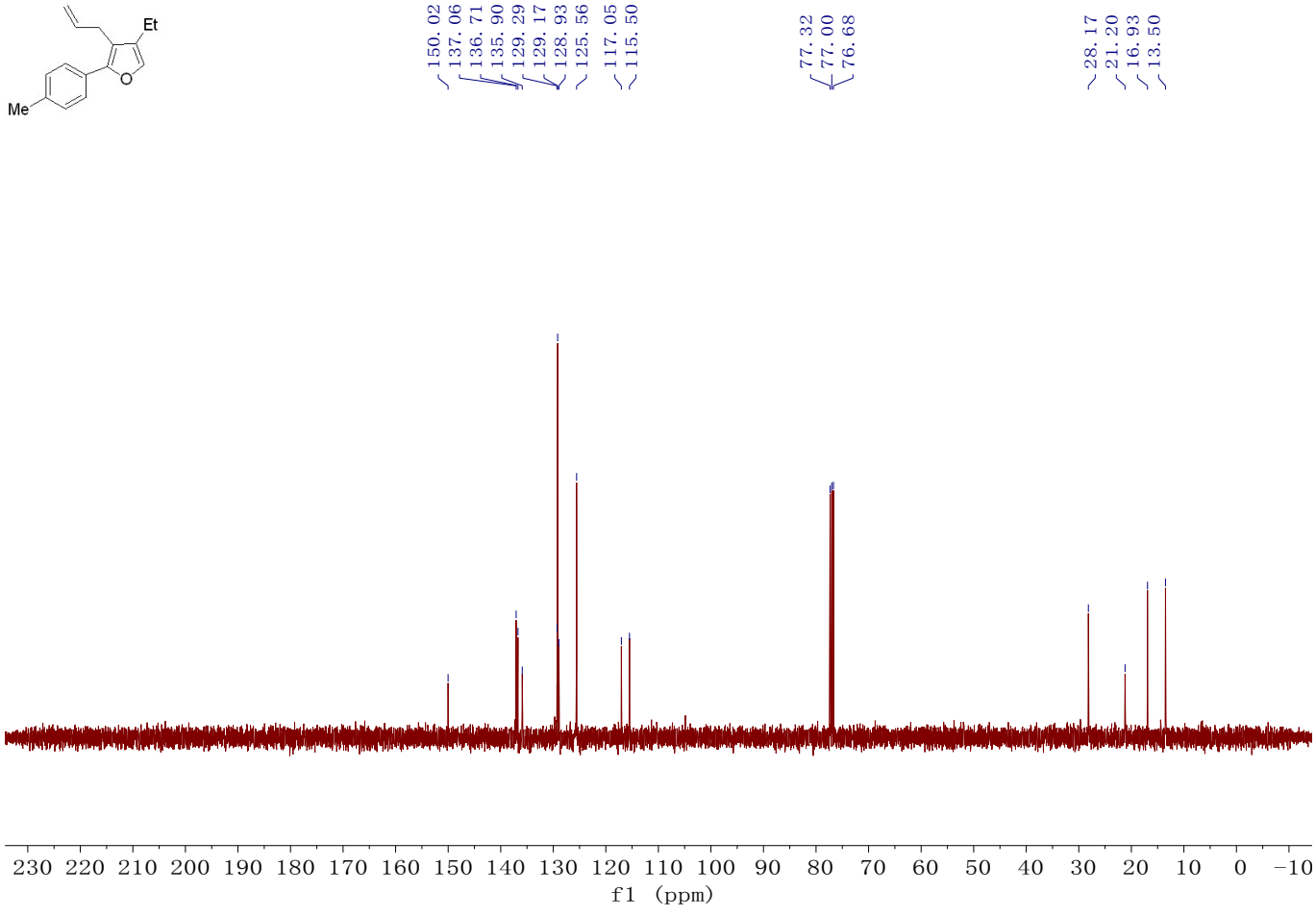
5.096
5.070
5.052
5.007
3.330
3.317
2.412
2.392
2.374
2.350
1.223
1.204
1.185
-0.000
1.79
2.73
0.84
1.94
2.00
4.98
2.83
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
-1
f1 (ppm)


Et
Me
150.02
137.06
136.71
135.90
129.29
129.17
128.93
125.56
117.05
115.50
77.32
77.00
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28.17
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16.93
13.50
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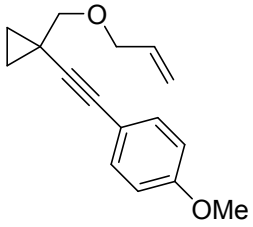
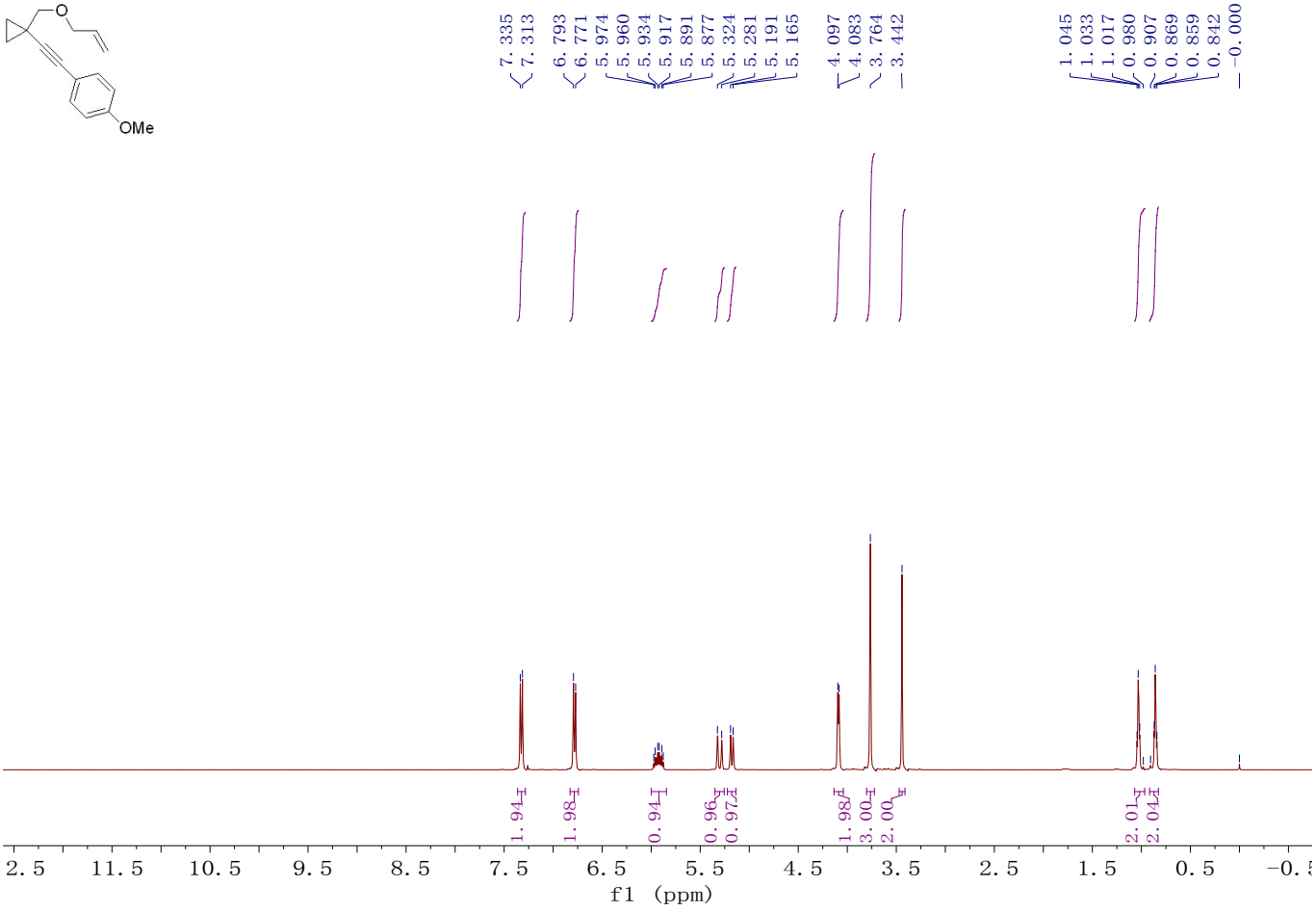
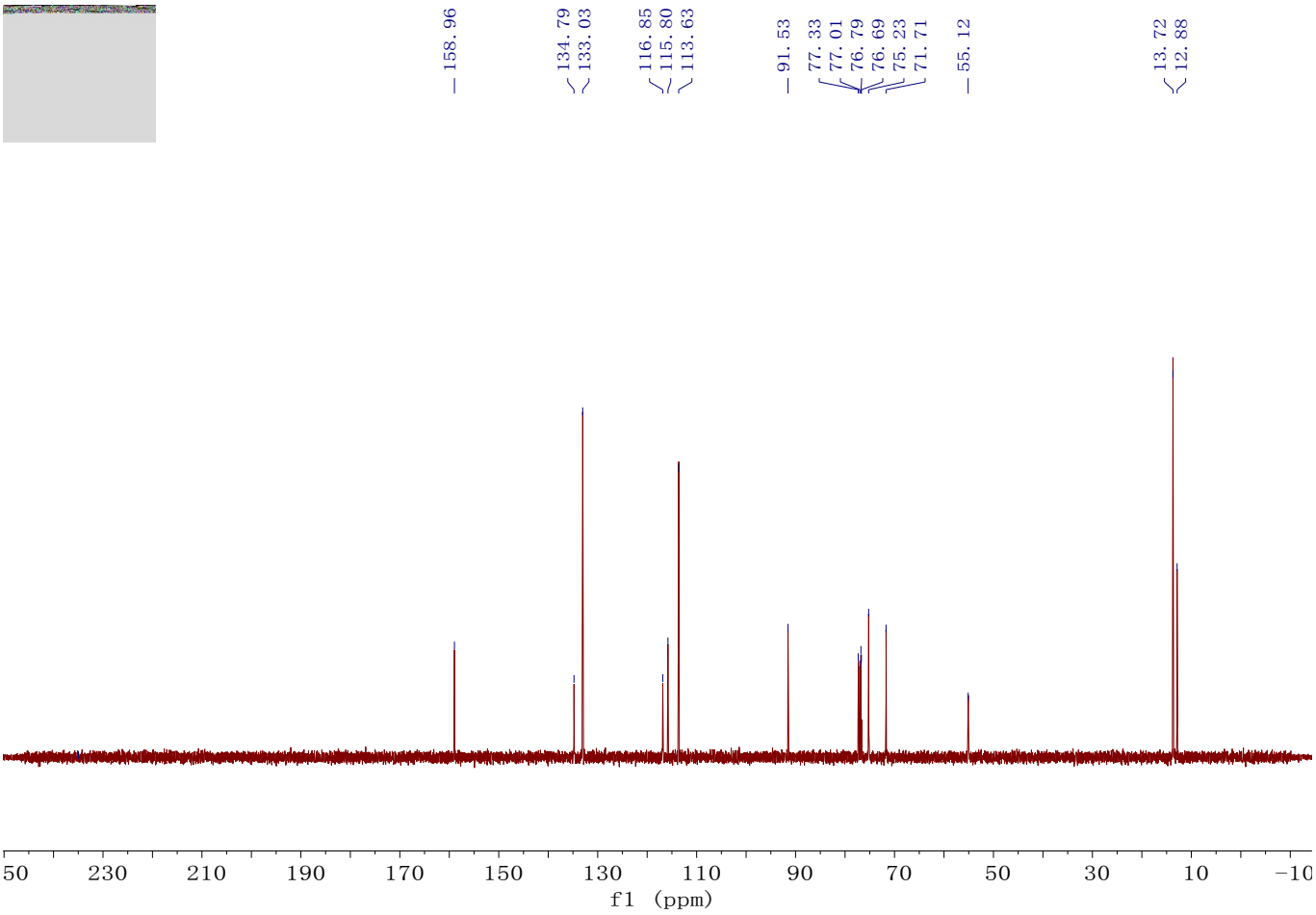
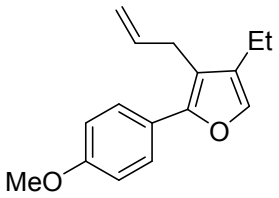
f1 (ppm)



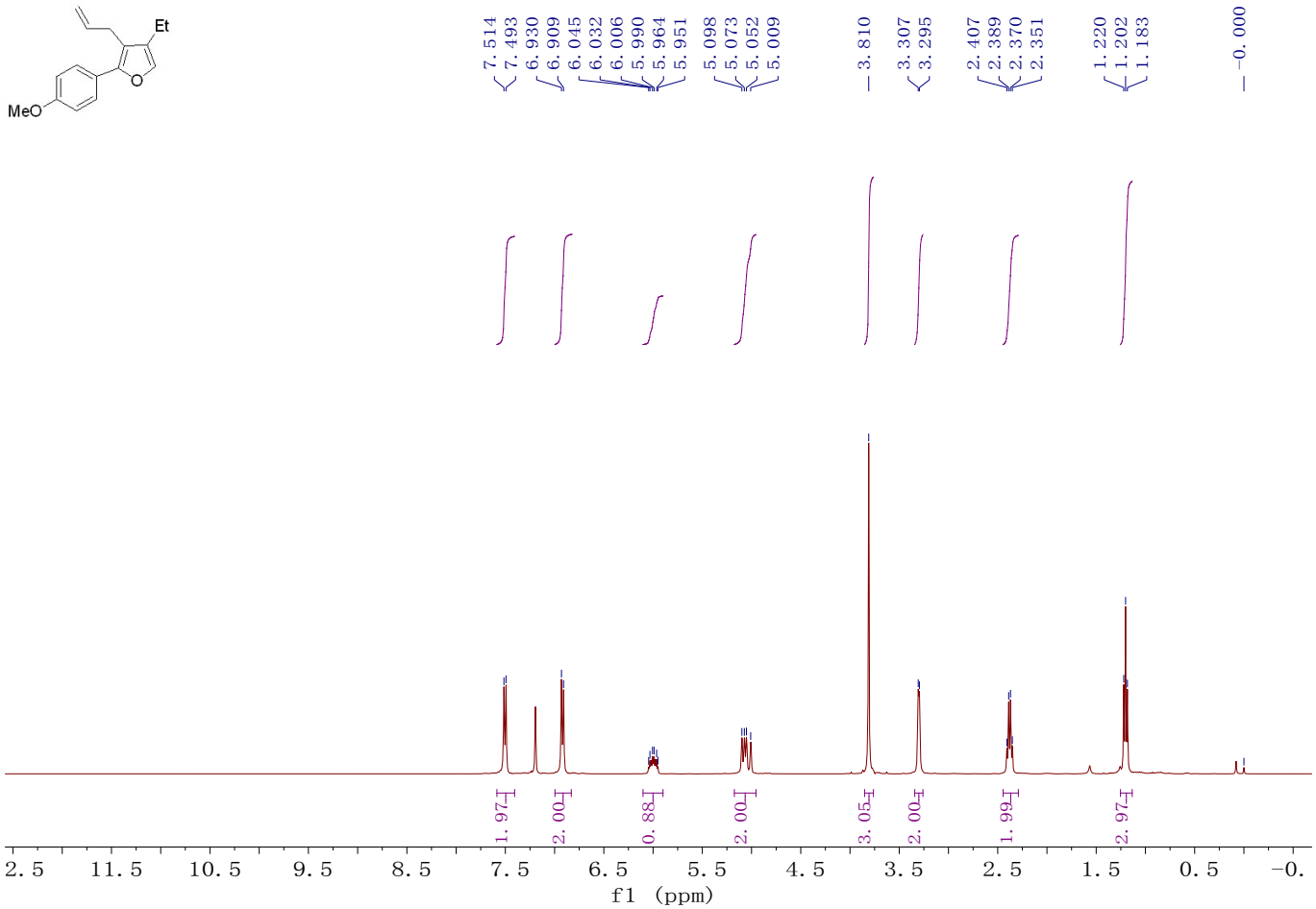
Compound **1d**. 280.4 mg, yield: 78%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.31-7.34 (m, 2H), 6.77-6.80 (m, 2H), 5.87-5.98 (m, 1H), 5.30 (d, *J* = 17.2 Hz, 1H), 5.18 (d, *J* = 10.4 Hz, 1H), 4.09 (d, *J* = 5.4 Hz, 2H), 3.76 (s, 3H), 3.44 (s, 2H), 0.98-1.05 (m, 2H), 0.84-0.91 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 159.0, 134.8, 133.0, 116.8, 115.8, 113.6, 91.5, 76.8, 75.2, 71.7, 55.1, 13.7, 12.9. IR (neat) ν 3000, 2832, 1606, 1508, 1286, 1245, 1030, 830, 809, 731 cm^{-1}. MS (%) m/e 226 (M^{+}, 1.57), 197 (33.01), 169 (46.17), 157 (99.49), 155 (100), 143 (78.38), 142 (52.26), 141 (38.69), 128 (37.24). HRMS (DART) calcd. for $C_{16}H_{19}O_2^{+}$ $[M+H]^{+}$: 243.1380, Found: 243.1379.







Compound **2d**. 46.0 mg, yield: 96%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.49-7.52 (m, 2H), 6.90-6.93 (m, 2H), 5.95-6.05 (m, 1H), 5.00-5.10 (m, 2H), 3.81 (s, 3H), 3.30 (d, *J* = 4.8 Hz, 2H), 2.38 (q, *J* = 7.2 Hz, 2H), 1.20 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.7, 149.9, 136.8, 136.0, 129.2, 127.0, 124.6, 116.3, 115.5, 113.9, 55.2, 28.1, 16.9, 13.5. IR (neat) ν 2969, 2937, 2838, 1755, 1511, 1462, 1252, 1178, 1027, 906 cm^{-1}. HRMS (EI) calcd. for $C_{16}H_{18}O_2^+$: 242.1307, Found: 242.1306.


Et
MeO
7.514
7.493
6.930
6.909
6.045
6.032
6.006
5.990
5.964
5.951
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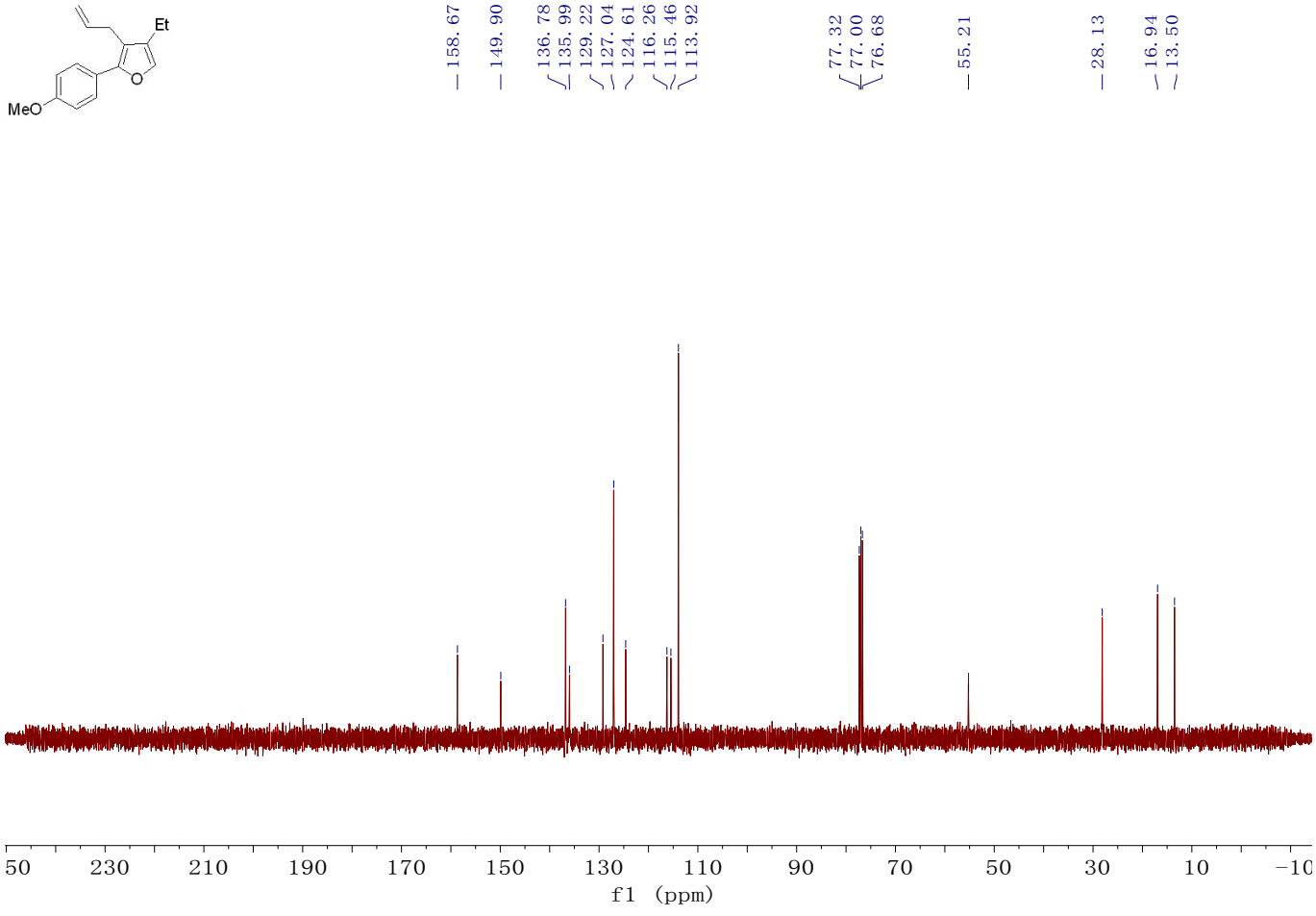
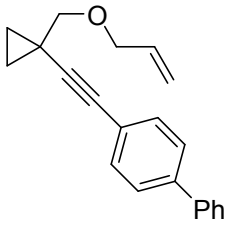
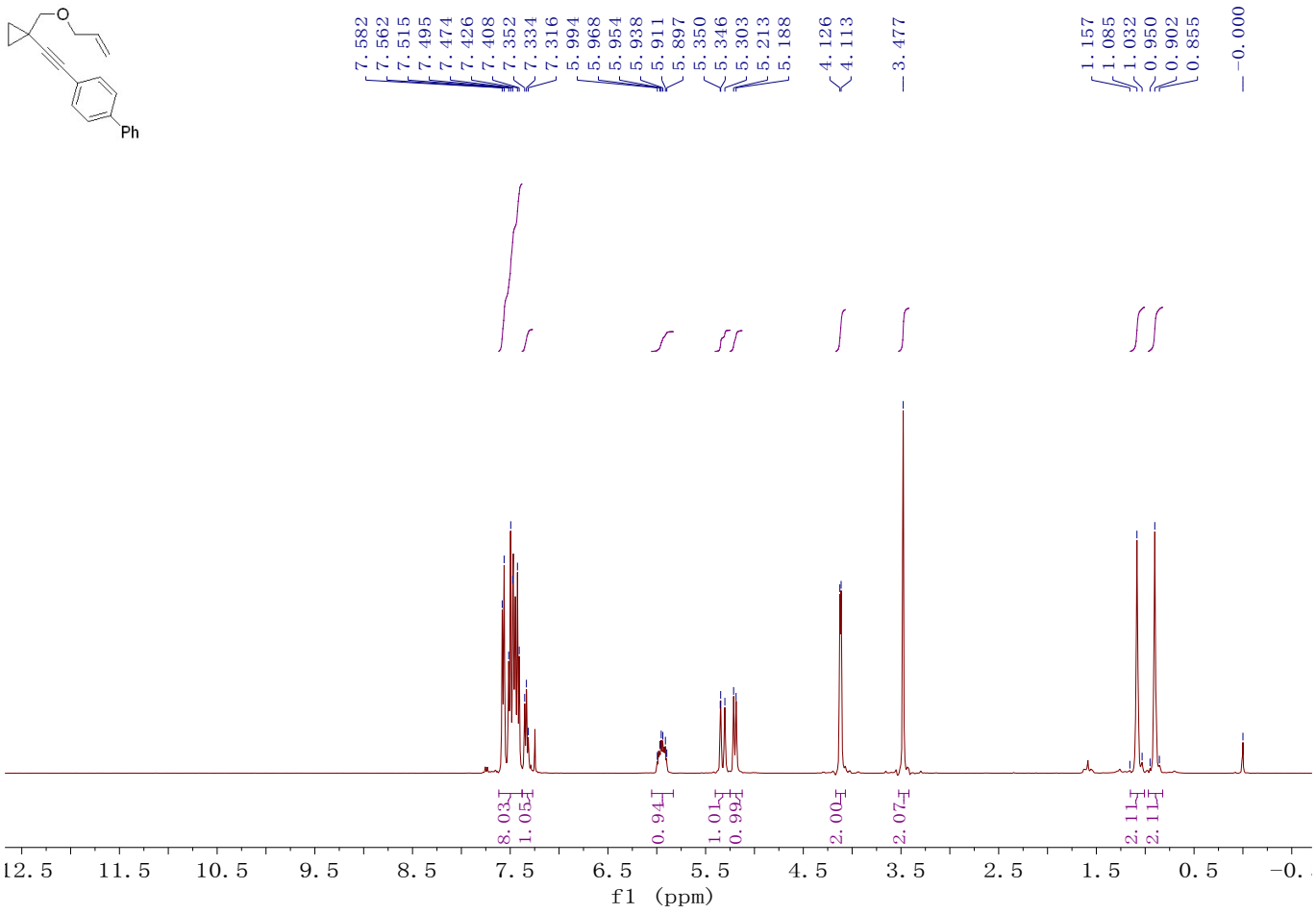
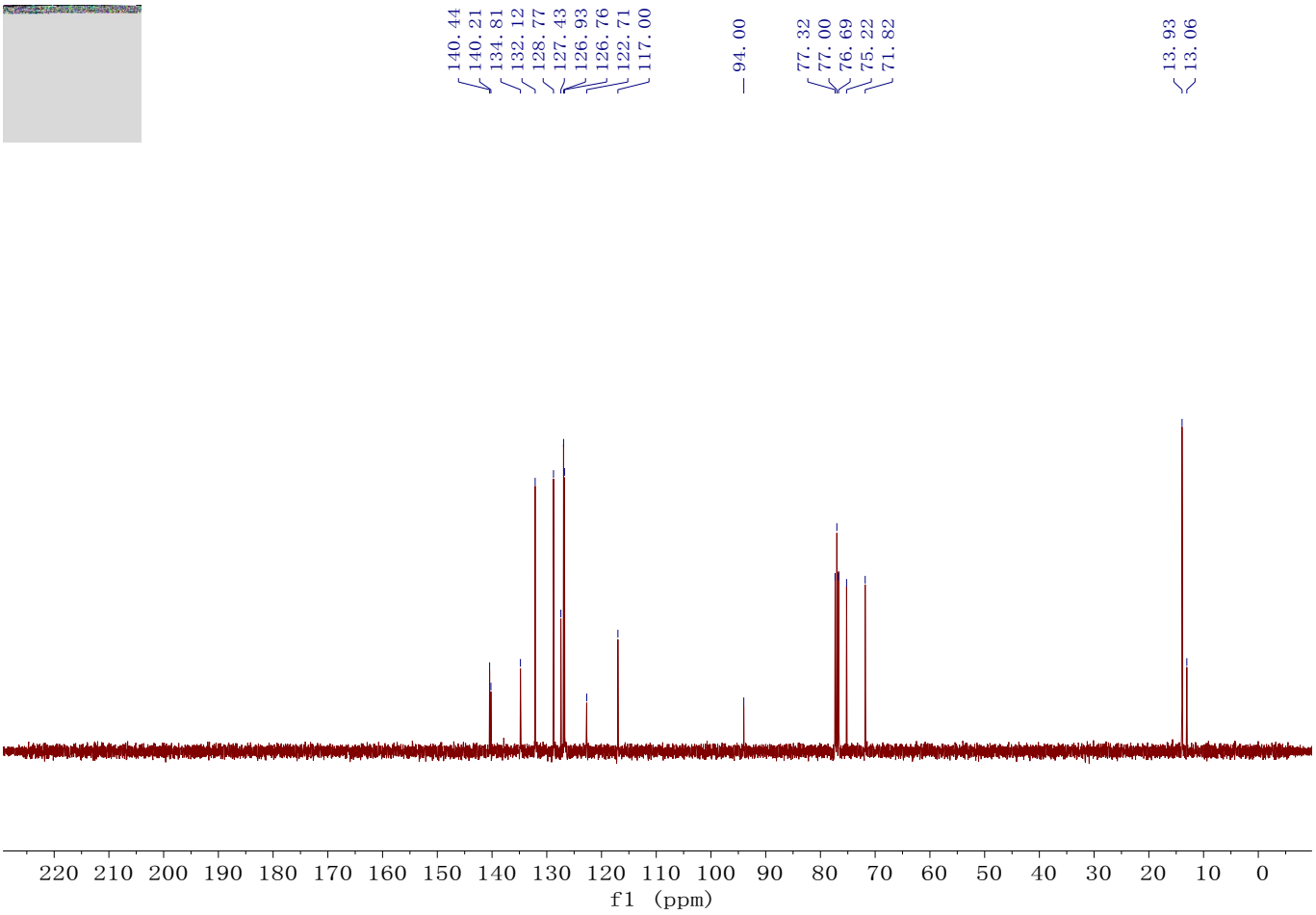
5.073
5.052
5.009
3.810
3.307
3.295
2.407
2.389
2.370
2.351
1.220
1.202
1.183
-0.000
1.97
2.00
0.88
2.00
3.05
2.00
1.99
2.97
f1 (ppm)

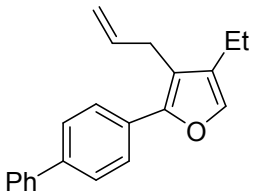

Et
MeO
158.67
149.90
136.78
135.99
129.22
127.04
124.61
116.26
115.46
113.92
77.32
77.00
76.68
55.21
28.13
16.94
13.50
f1 (ppm)



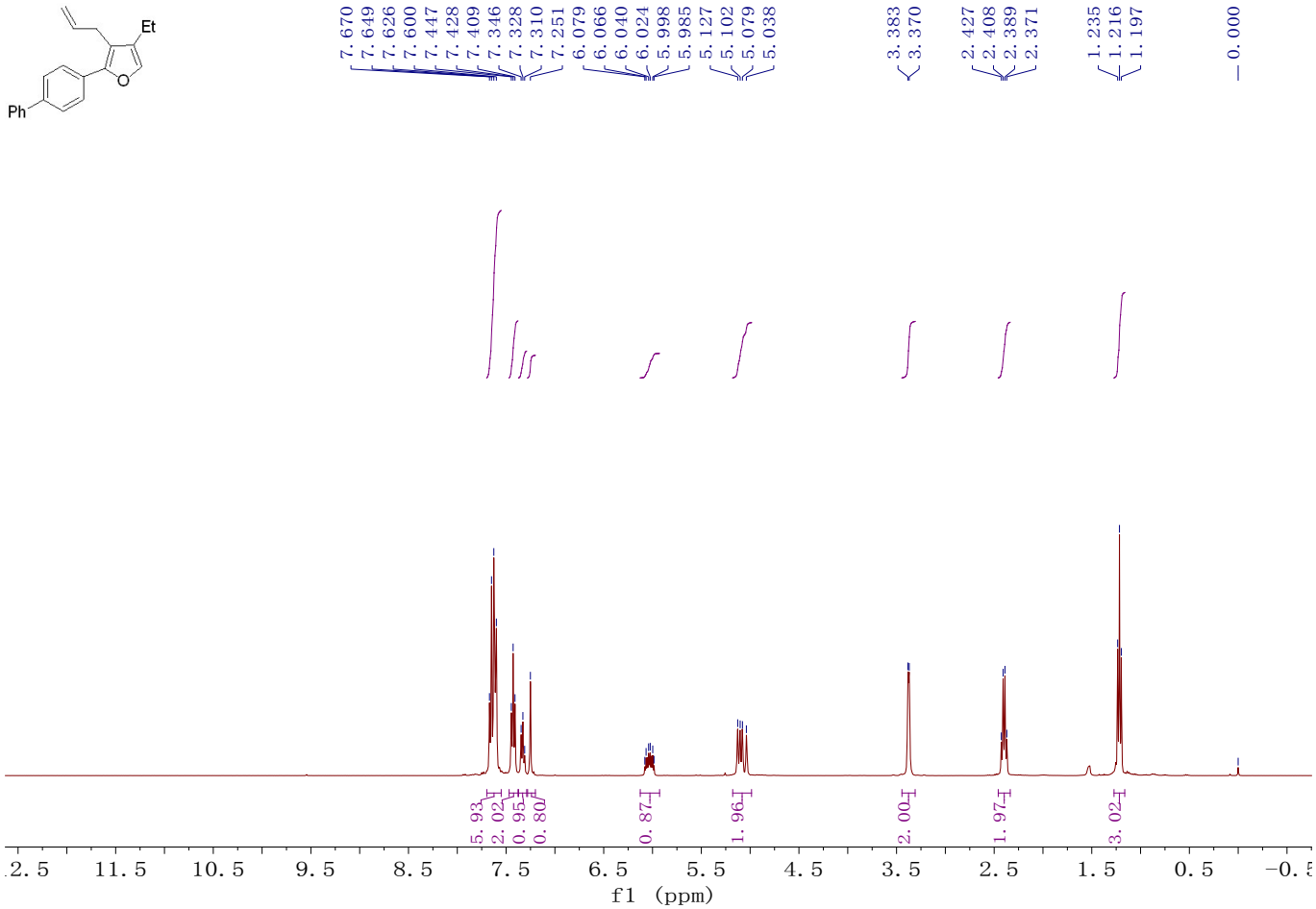
Compound **1e**. 400.0 mg, yield: 70%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.40-7.59 (m, 8H), 7.31-7.36 (m, 1H), 5.89-6.00 (m, 1H), 5.32 (d, *J* = 17.4 Hz, 1H), 5.20 (d, *J* = 10.0 Hz, 1H), 4.12 (d, *J* = 5.2 Hz, 2H), 3.48 (s, 2H), 1.03-1.16 (m, 2H), 0.85-0.95 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 140.4, 140.2, 134.8, 132.1, 128.8, 127.4, 126.9, 126.8, 122.7, 117.0, 94.0, 75.2, 71.8, 13.9, 13.1. IR (neat) ν 3078, 3029, 2995, 2845, 2207, 1486, 1092, 923, 838, 762 cm^{-1}. HRMS (DART) calcd. for $C_{21}H_{21}O^{+}$ $[M+H]^{+}$: 289.1587, Found: 289.1586.

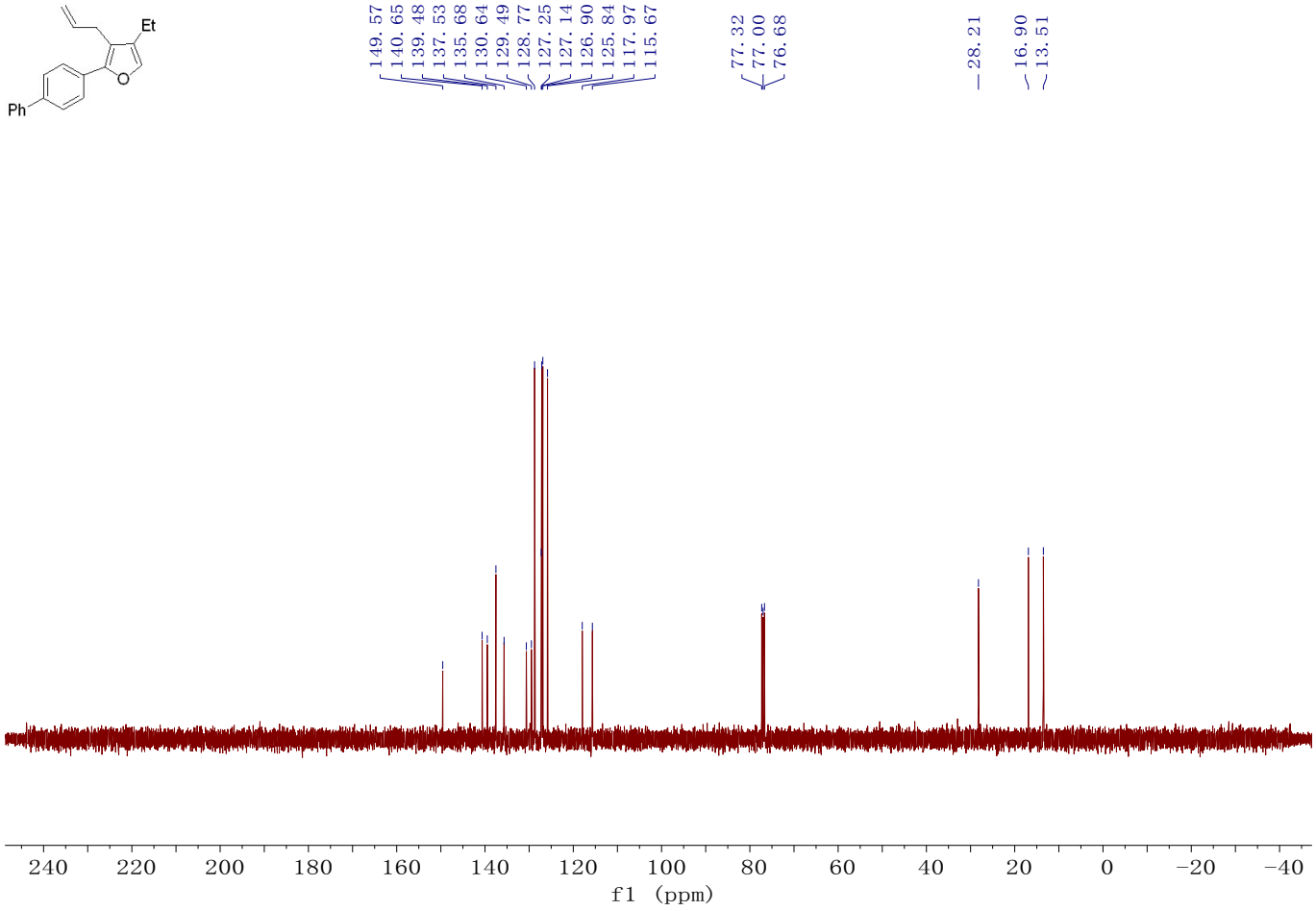


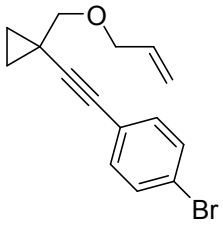




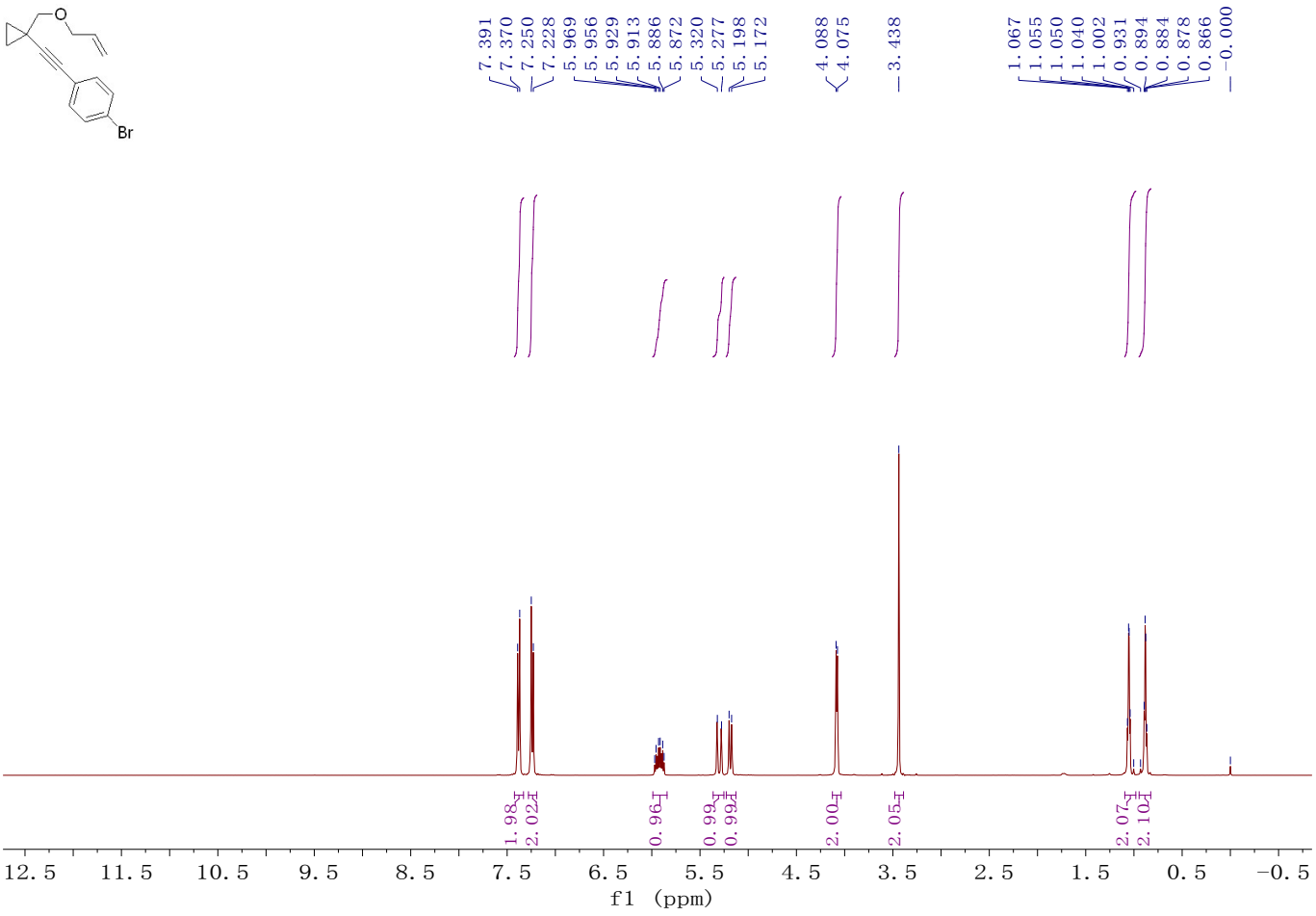
Compound **2e**. 46.1 mg, yield: 81%; pale white solid, Mp: 54-56 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.60-7.67 (m, 6H), 7.40-7.45 (m, 2H), 7.31-7.35 (m, 1H), 7.25 (s, 1H), 5.98-6.08 (m, 1H), 5.03-5.13 (m, 2H), 3.38 (d, *J* = 5.4 Hz, 2H), 2.40 (q, *J* = 7.4 Hz, 2H), 1.22 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.6, 140.7, 139.5, 137.5, 135.7, 130.6, 129.5, 128.8, 127.3, 127.1, 126.9, 125.8, 118.0, 115.7, 28.2, 16.9, 13.5. IR (neat) ν 3071, 2960, 2838, 1751, 1636, 1485, 1438, 1074, 904, 761 cm^{-1}. HRMS (EI) calcd. for $C_{21}H_{20}O^{+}$: 288.1514, Found: 288.1518.

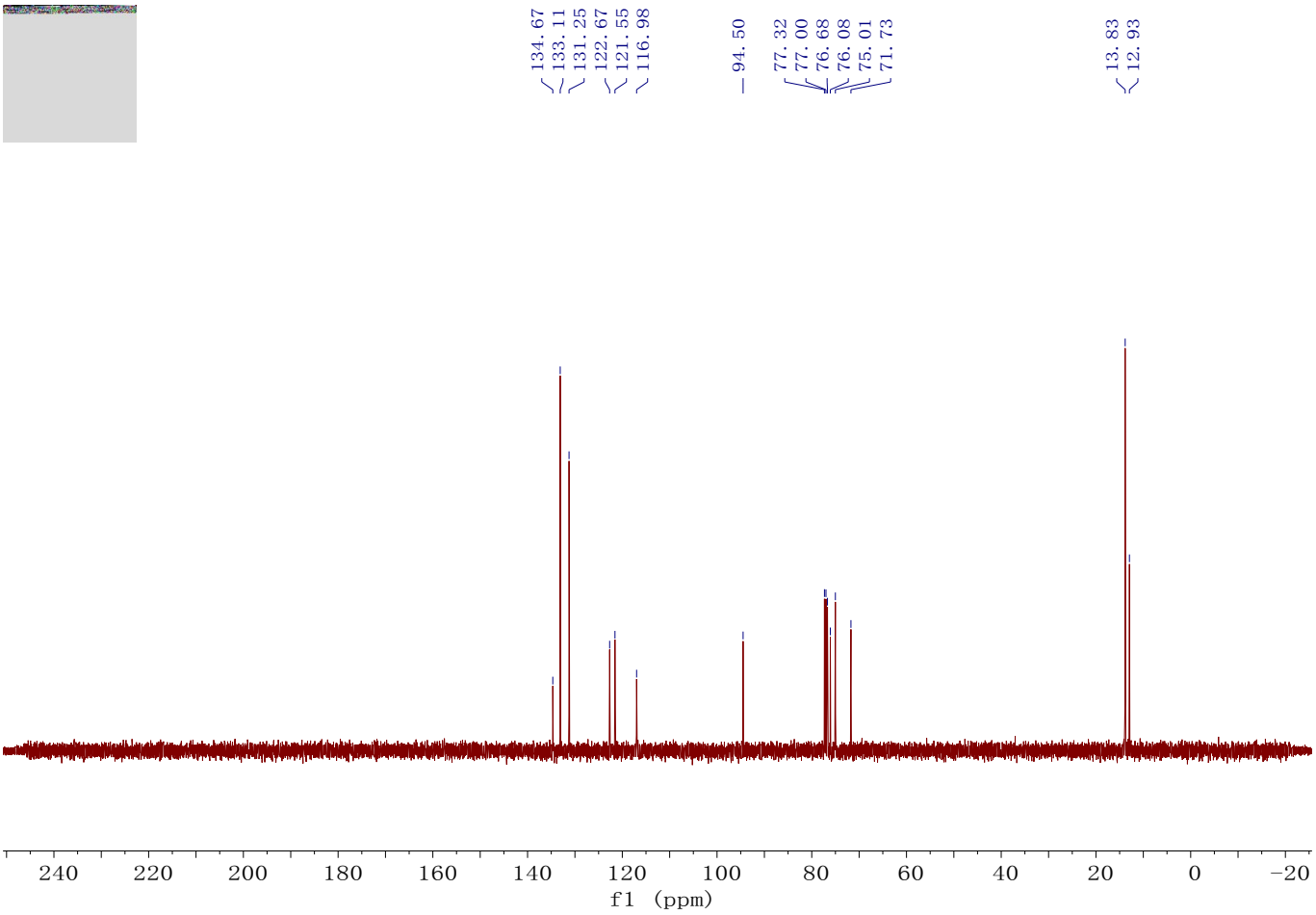

Et
Ph
7.670
7.649
7.626
7.600
7.447
7.428
7.409
7.346
7.328
7.310
7.251
6.079
6.066
6.040
6.024
5.998
5.985
5.127
5.102
5.079
5.038
3.383
3.370
2.427
2.408
2.389
2.371
1.235
1.216
1.197
0.000
5.93
2.02
0.95
0.80
0.87
1.96
2.00
1.97
3.02
f1 (ppm)

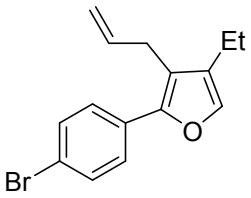

Et
Ph
149.57
140.65
139.48
137.53
135.68
130.64
129.49
128.77
127.25
127.14
126.90
125.84
117.97
115.67
77.32
77.00
76.68
28.21
16.90
13.51
f1 (ppm)



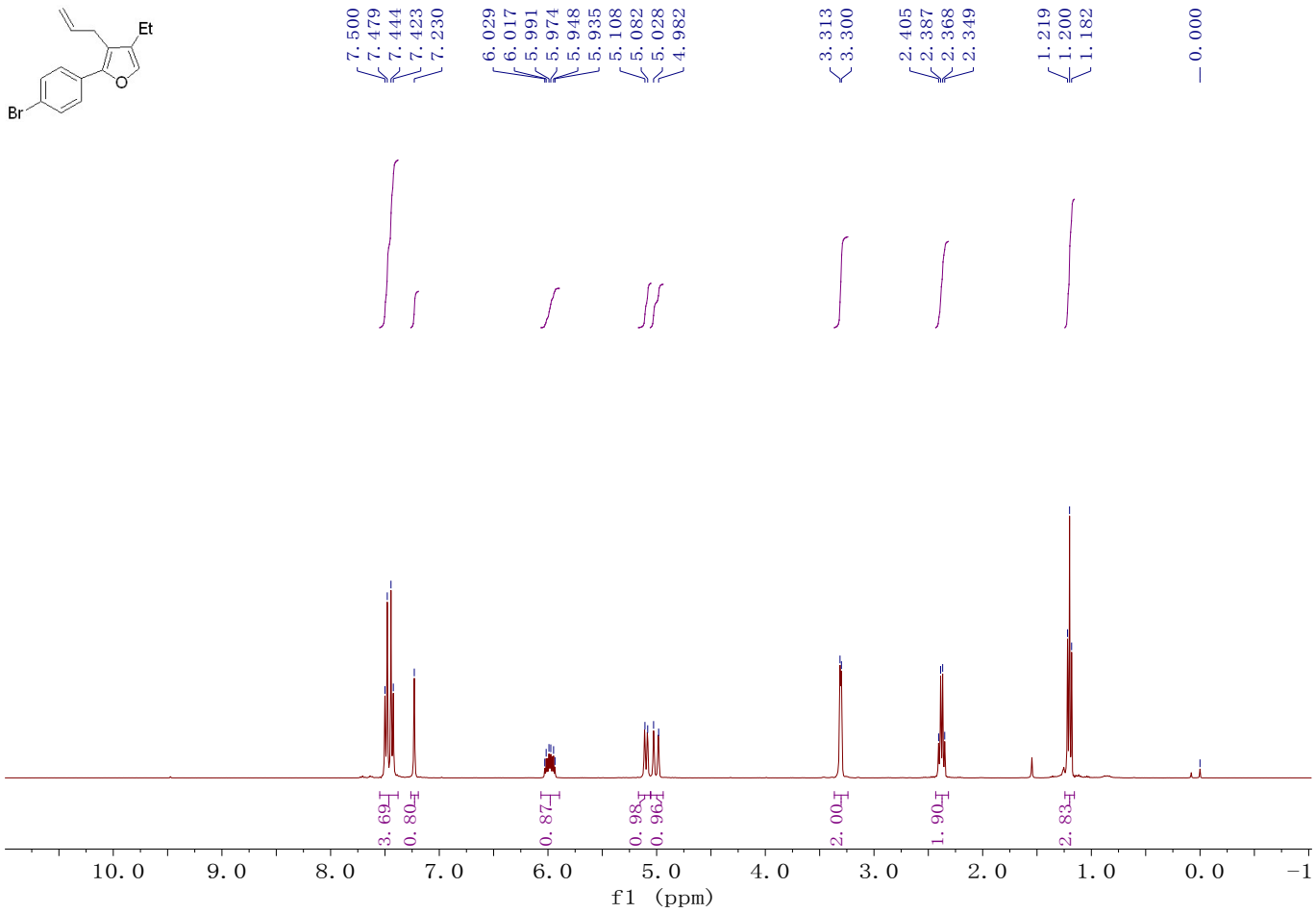
Compound **1f**. 378.0 mg, yield: 87%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.40 (m, 2H), 7.22-7.25 (m, 2H), 5.87-5.97 (m, 1H), 5.30 (d, *J* = 17.2 Hz, 1H), 5.19 (d, *J* = 10.4 Hz, 1H), 4.08 (d, *J* = 5.2 Hz, 2H), 3.44 (s, 2H), 1.00-1.07 (m, 2H), 0.86-0.94 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.7, 133.1, 131.2, 122.7, 121.5, 117.0, 94.5, 76.1, 75.0, 71.7, 13.8, 12.9. IR (neat) ν 3084, 3010, 2848, 2225, 1486, 1392, 1069, 1009, 922, 821 cm^{-1}. HRMS (DART) calcd. for $C_{15}H_{16}OBr^{+}$ $[M+H]^{+}$: 291.0371, Found: 291.0378.

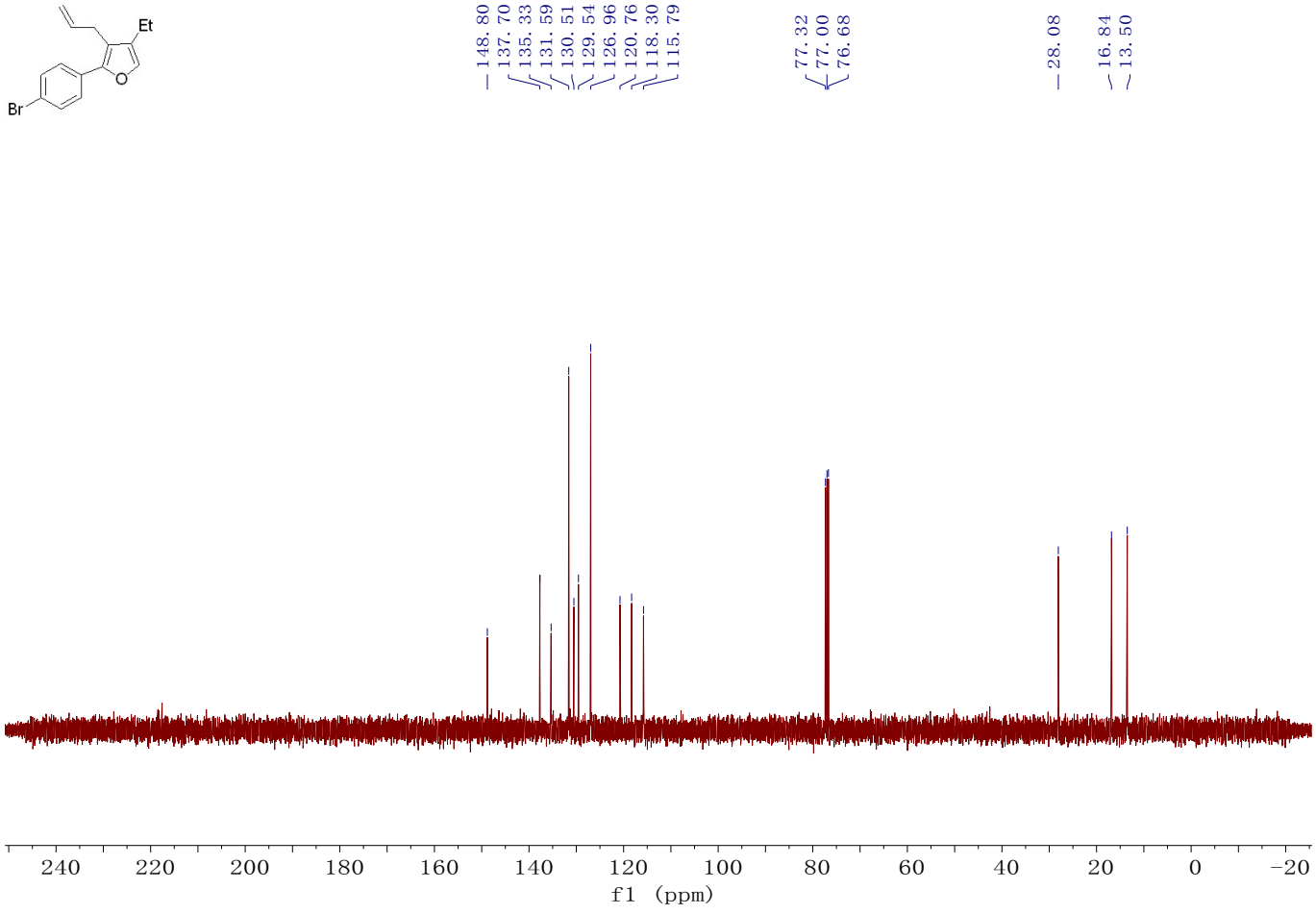


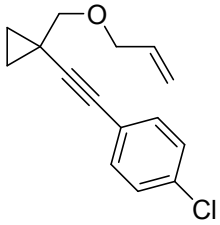




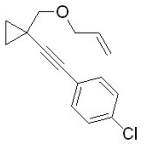
Compound **2f**. 45.8 mg, yield: 79%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.42-7.50 (m, 4H), 7.23 (s, 1H), 5.93-6.03 (m, 1H), 5.10 (d, *J* = 10.4 Hz, 1H), 5.00 (d, *J* = 18.6 Hz, 1H), 3.31 (d, *J* = 5.2 Hz, 2H), 2.38 (q, *J* = 7.6 Hz, 2H), 1.20 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 148.8, 137.7, 135.3, 131.6, 130.5, 129.5, 127.0, 120.8, 118.3, 115.8, 28.1, 16.8, 13.5. IR (neat) ν 2971, 2927, 2869, 1762, 1485, 1073, 1007, 906, 826, 729 cm^{-1}. HRMS (EI) calcd. for $C_{15}H_{15}OBr^{+}$: 290.0306, Found: 290.0300.

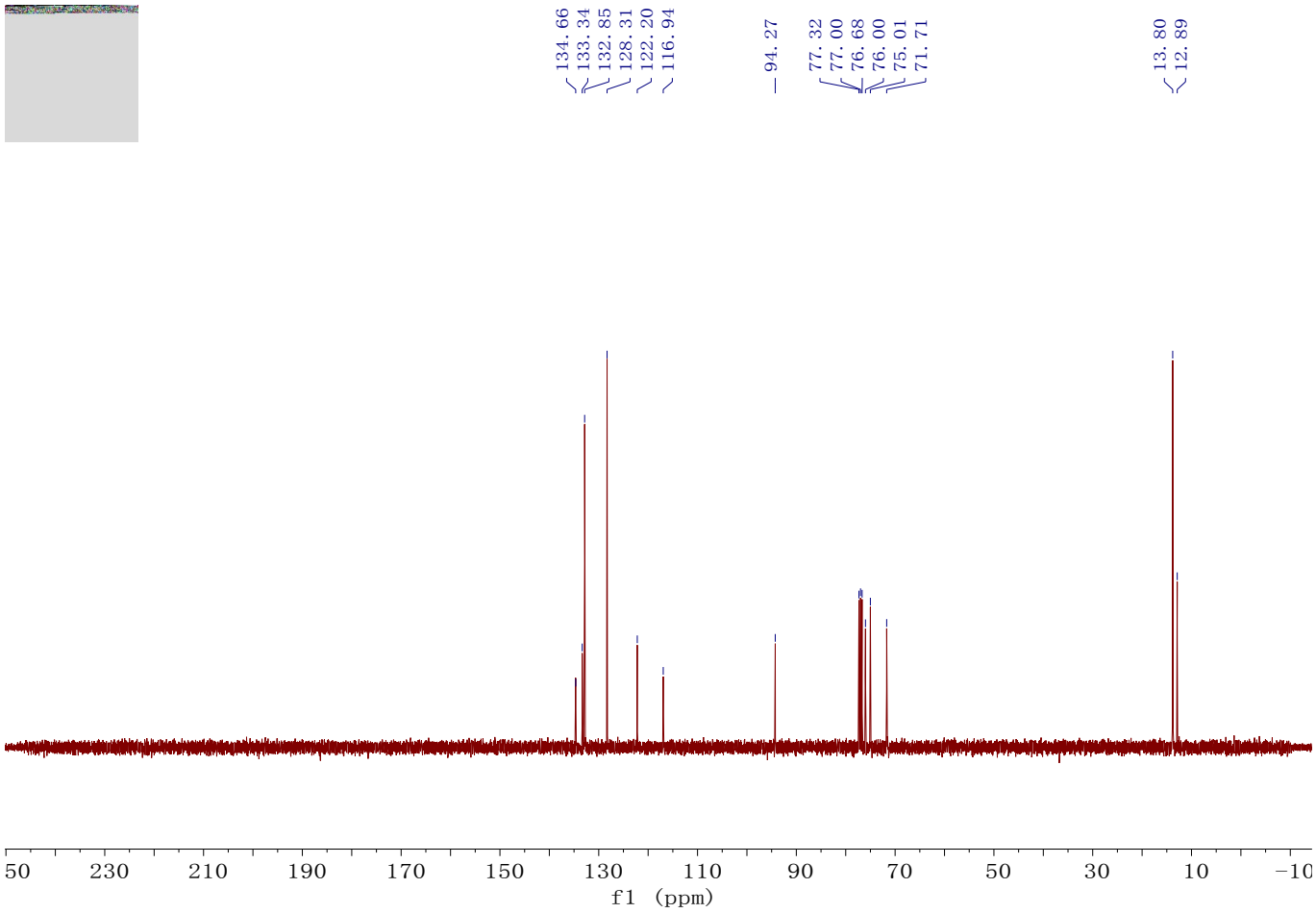

Et
Br
O
7.500
7.479
7.444
7.423
7.230
6.029
6.017
5.991
5.974
5.948
5.935
5.108
5.082
5.028
4.982
3.313
3.300
2.405
2.387
2.368
2.349
1.219
1.200
1.182
0.000
3.69
0.80
0.87
0.98
0.96
2.00
1.90
2.83
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
−1
f1 (ppm)

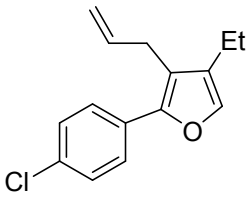

Et
Br
O
148.80
137.70
135.33
131.59
130.51
129.54
126.96
120.76
118.30
115.79
77.32
77.00
76.68
28.08
16.84
13.50
240
220
200
180
160
140
120
100
80
60
40
20
0
−20
f1 (ppm)



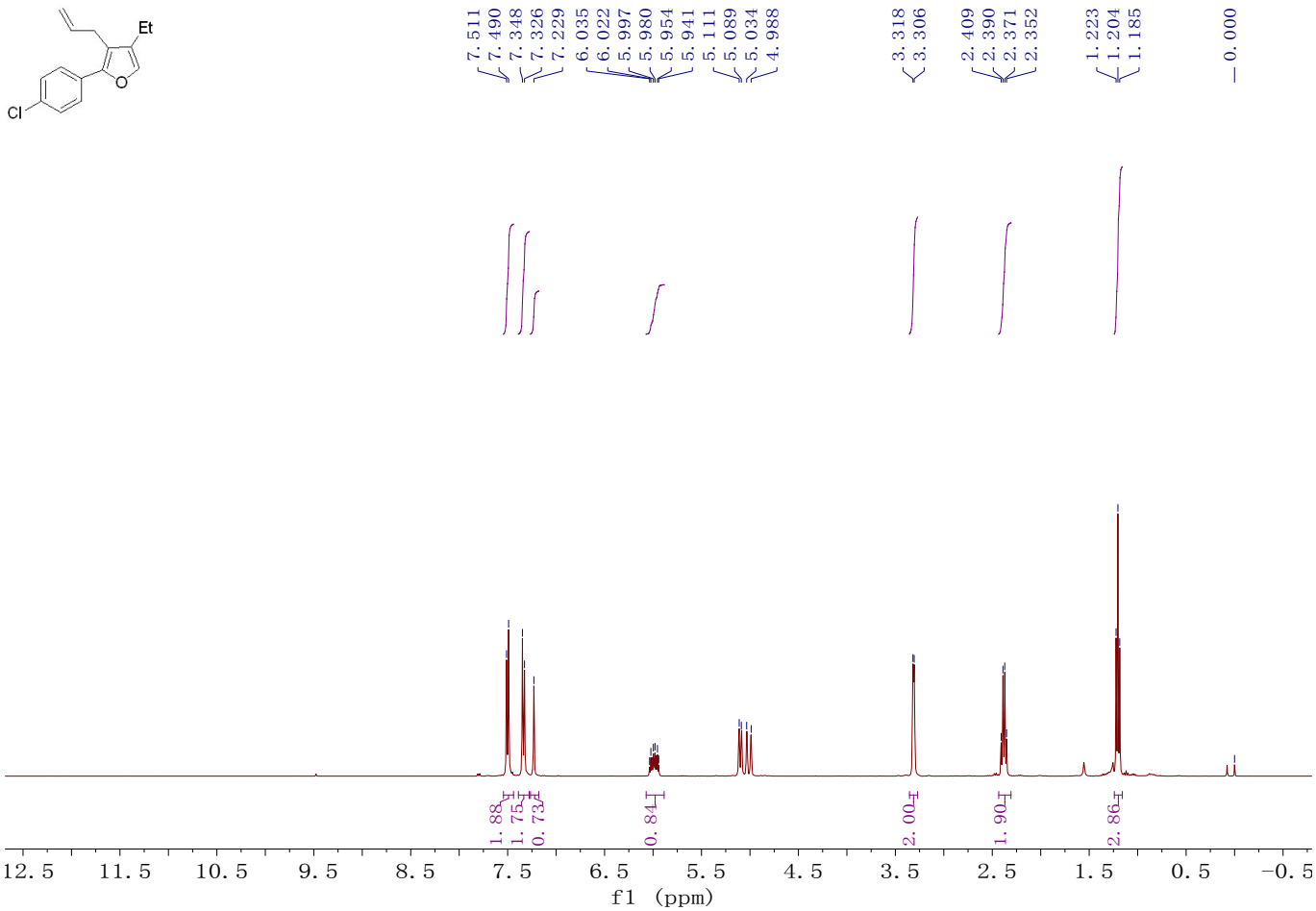
Compound **1g**. 250.3 mg, yield: 79%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.29-7.32 (m, 2H), 7.21-7.23 (m, 2H), 5.87-5.97 (m, 1H), 5.30 (d, *J* = 17.2 Hz, 1H), 5.18 (d, *J* = 10.4 Hz, 1H), 4.08 (d, *J* = 6.0 Hz, 2H), 3.44 (s, 2H), 1.00-1.67 (m, 2H), 0.85-0.93 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.7, 133.3, 132.9, 128.3, 122.2, 116.9, 94.3, 76.0, 75.0, 71.7, 13.8, 12.9. IR (neat) ν 3086, 3000, 2848, 2230, 1489, 1397, 1088, 1013, 922, 826 cm^{-1}. HRMS (DART) calcd. for $C_{15}H_{16}OCl^{+}$ $[M+H]^{+}$: 247.0884, Found: 247.0883.

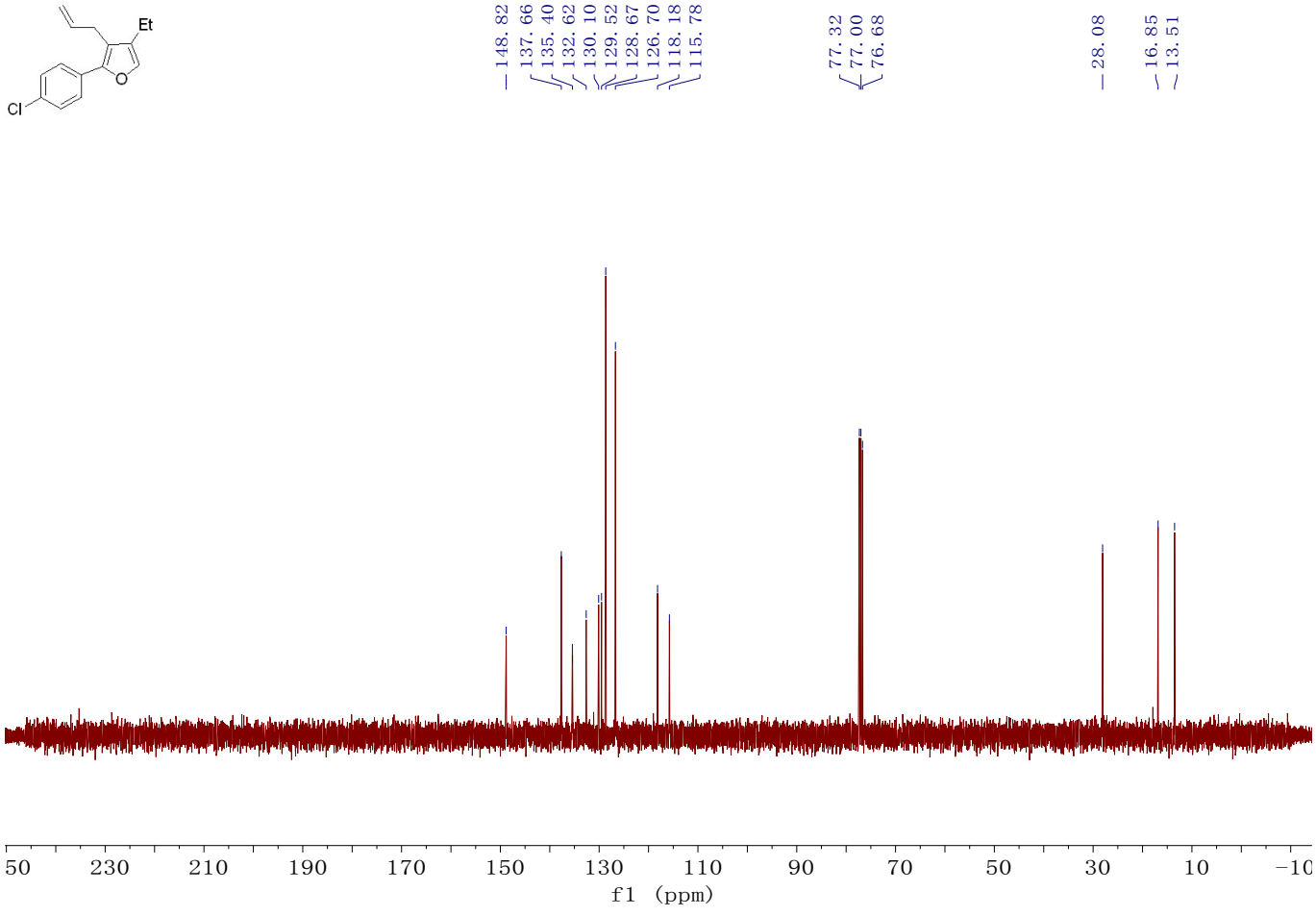


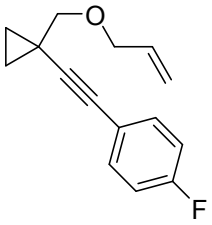




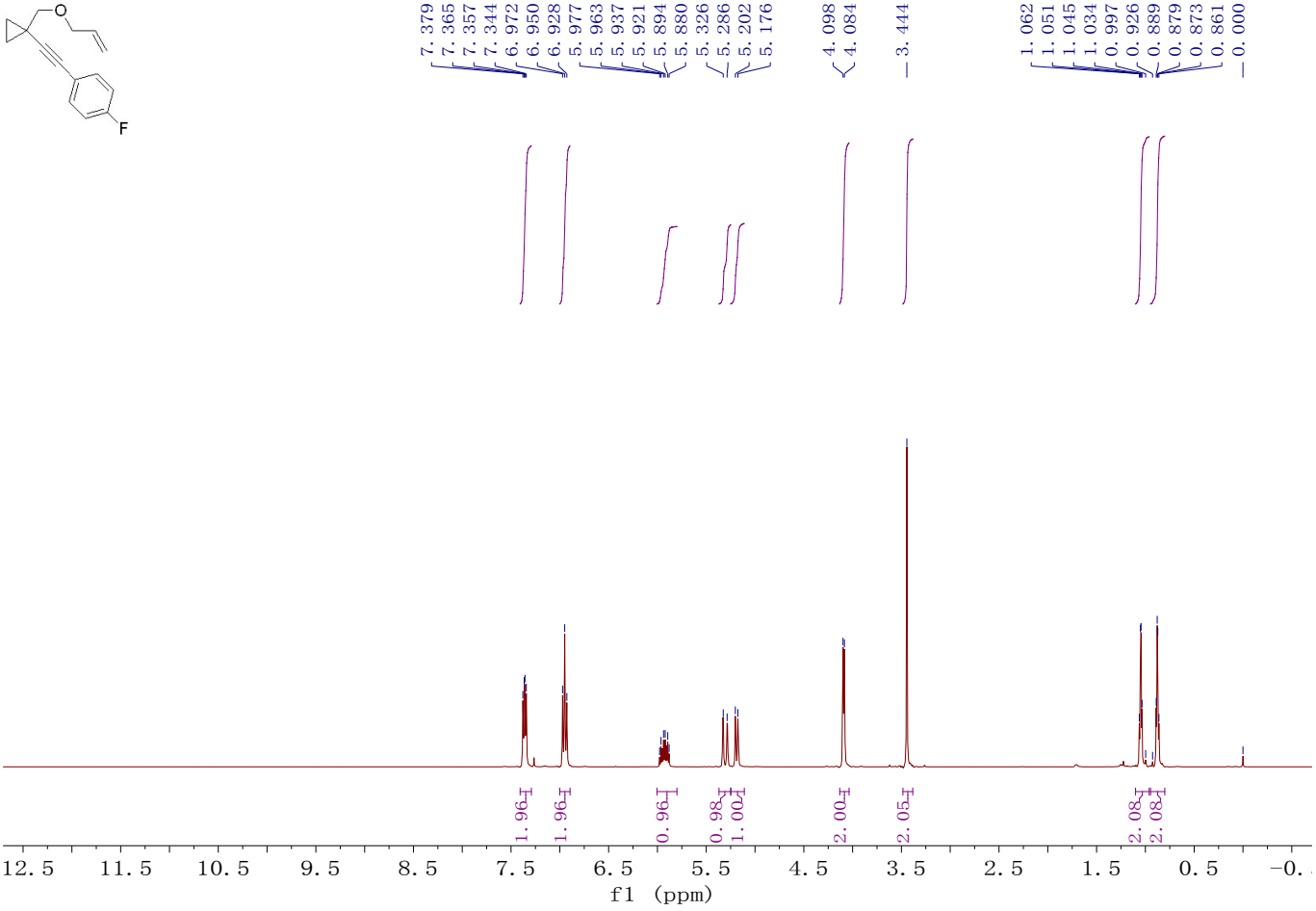
Compound **2g**. 41.4 mg, yield: 84%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.49-7.52 (m, 2H), 7.32-7.35 (m, 2H), 7.23 (s, 1H), 5.94-6.04 (m, 1H), 5.10 (d, *J* = 8.8 Hz, 1H), 5.01 (d, *J* = 18.4 Hz, 1H), 3.31 (d, *J* = 4.8 Hz, 2H), 2.38 (q, *J* = 7.6 Hz, 2H), 1.20 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*)) δ 148.8, 137.7, 135.4, 132.6, 130.1, 129.5, 128.7, 126.7, 118.2, 115.8, 28.1, 16.9, 13.5. IR (neat) ν 2974, 2872, 2929, 1762, 1490. 1092, 1029, 907, 830, 729 cm^{-1}. HRMS (EI) calcd. for $C_{15}H_{15}OCl^{+}$: 246.0811, Found: 246.0821.

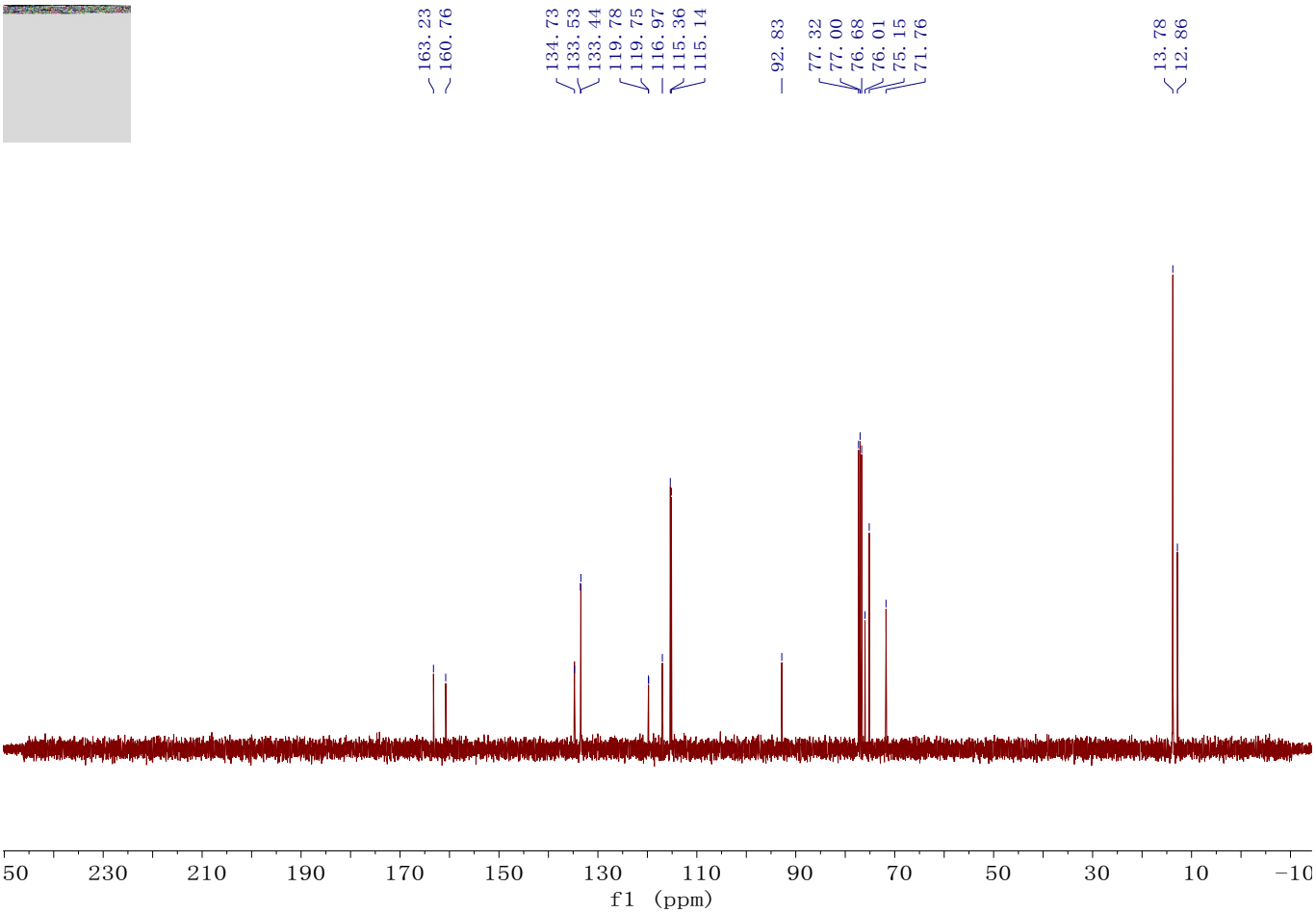

Et
Cl
O
7.511
7.490
7.348
7.326
7.229
6.035
6.022
5.997
5.980
5.954
5.941
5.111
5.089
5.034
4.988
3.318
3.306
2.409
2.390
2.371
2.352
1.223
1.204
1.185
0.000
1.88
1.75
0.73
0.84
2.00
1.90
2.86
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.5
f1 (ppm)


Et
Cl
O
148.82
137.66
135.40
132.62
130.10
129.52
128.67
126.70
118.18
115.78
77.32
77.00
76.68
28.08
16.85
13.51
50
230
210
190
170
150
130
110
90
70
50
30
10
−10
f1 (ppm)



Compound **1h**. 224.1 mg, yield: 82%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.34-7.38 (m, 2H), 6.92-6.98 (m, 2H), 5.88-5.98 (m, 1H), 5.31 (d, *J* = 15.8 Hz, 1H), 5.19 (d, *J* = 10.4 Hz, 1H), 4.09 (d, *J* = 5.6 Hz, 2H), 3.44 (s, 2H), 0.99-1.07 (m, 2H), 0.86-0.93 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.0 (d, *J* = 247.0 Hz), 134.7, 133.5 (d, *J* = 9.0 Hz), 119.8, 119.7, 117.0, 115.2 (d, *J* = 22.0 Hz), 92.8, 76.0, 75.1, 71.8, 13.8, 12.9. ^{19}F NMR (376 MHz, Chloroform-d) δ -112.14. IR (neat) ν 3071, 3003, 2864, 2230, 1506, 1229, 1091, 922, 834, 768 cm^{-1}. HRMS (DART) calcd. for $C_{15}H_{16}OF^{+}$ $[M+H]^{+}$: 231.1180, Found: 231.1179.




163.23
160.76
134.73
133.53
133.44
119.78
119.75
116.97
115.36
115.14
92.83
77.32
77.00
76.68
76.01
75.15
71.76
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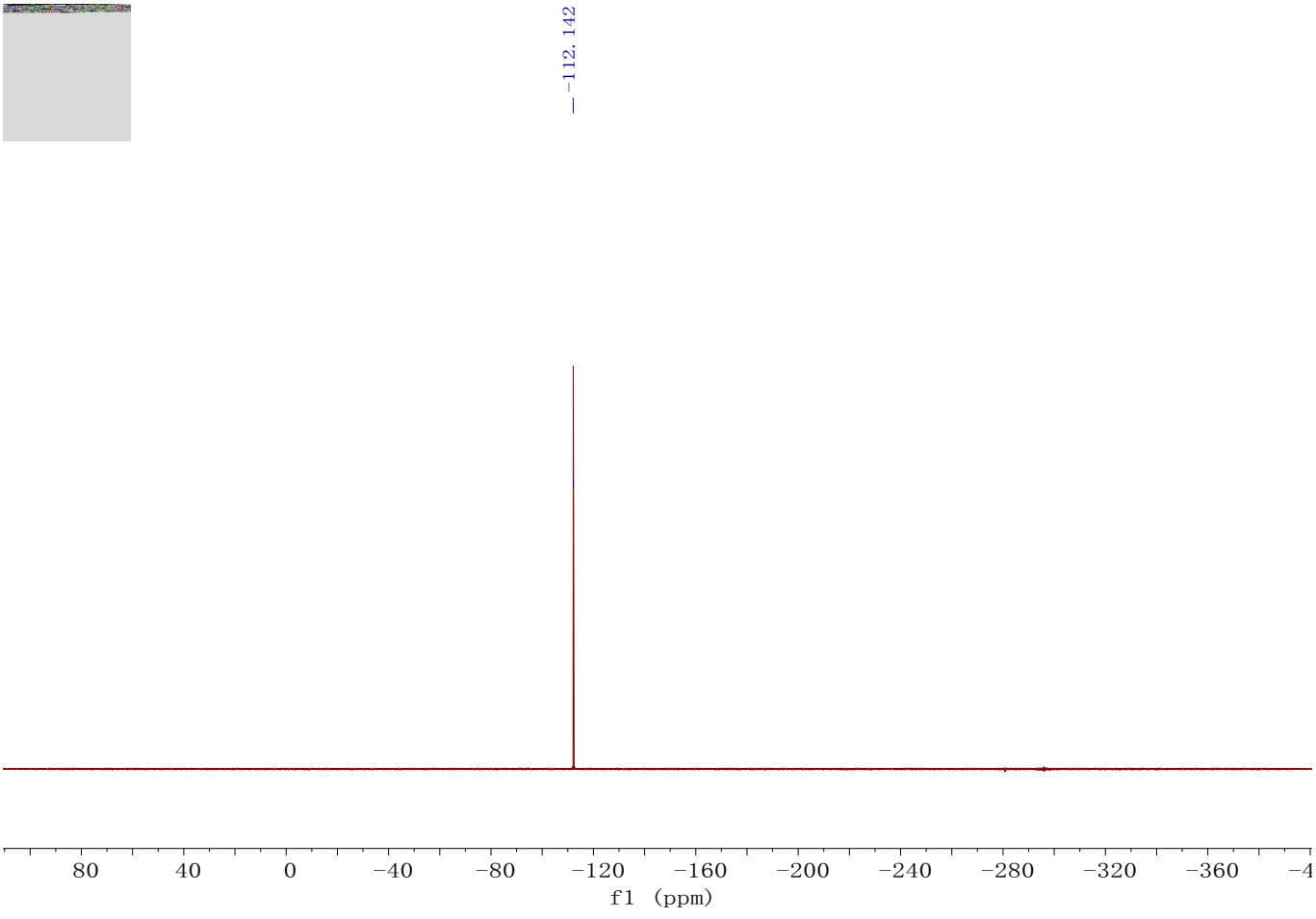
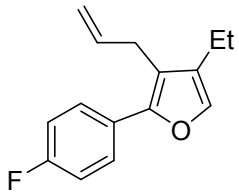
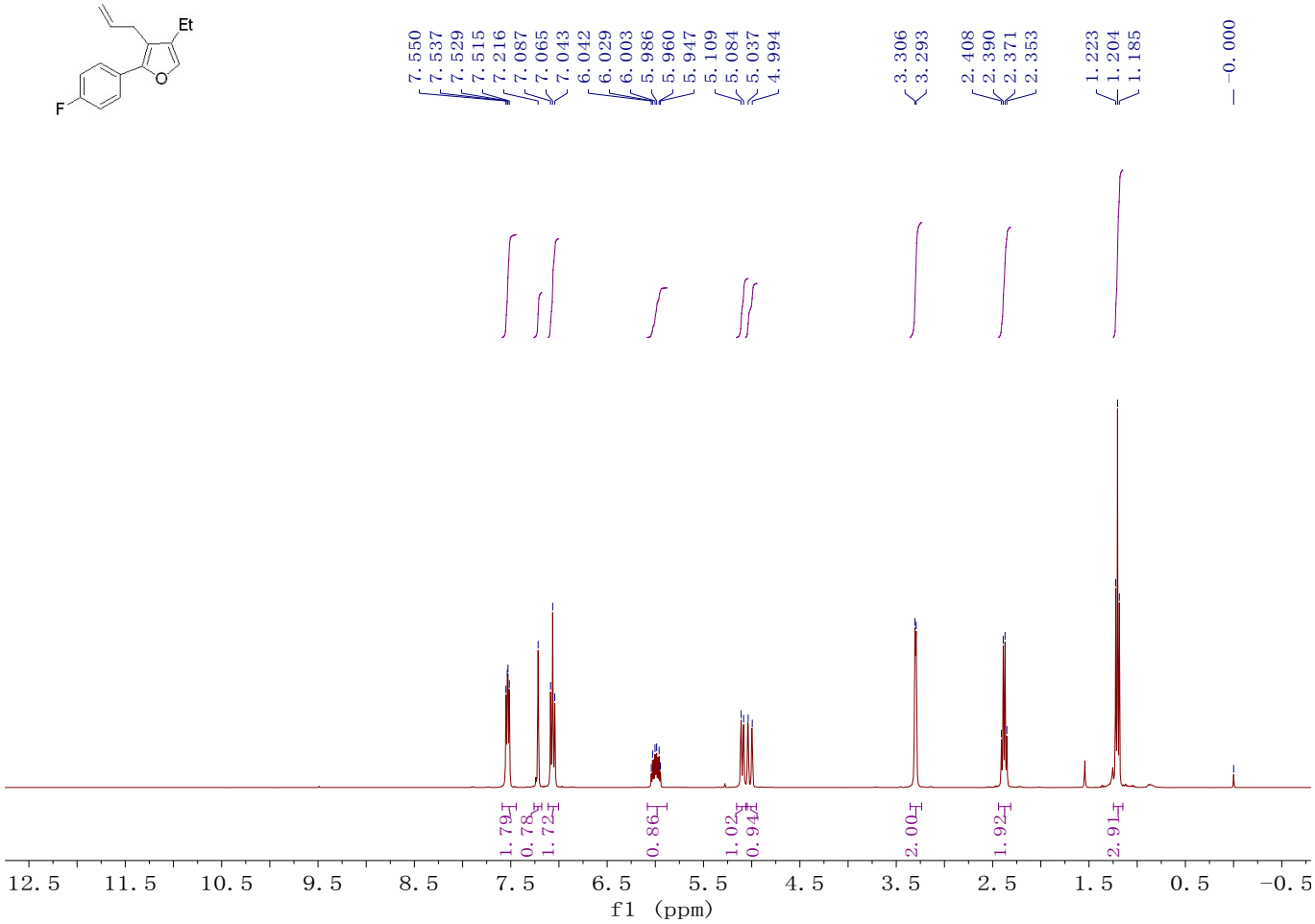
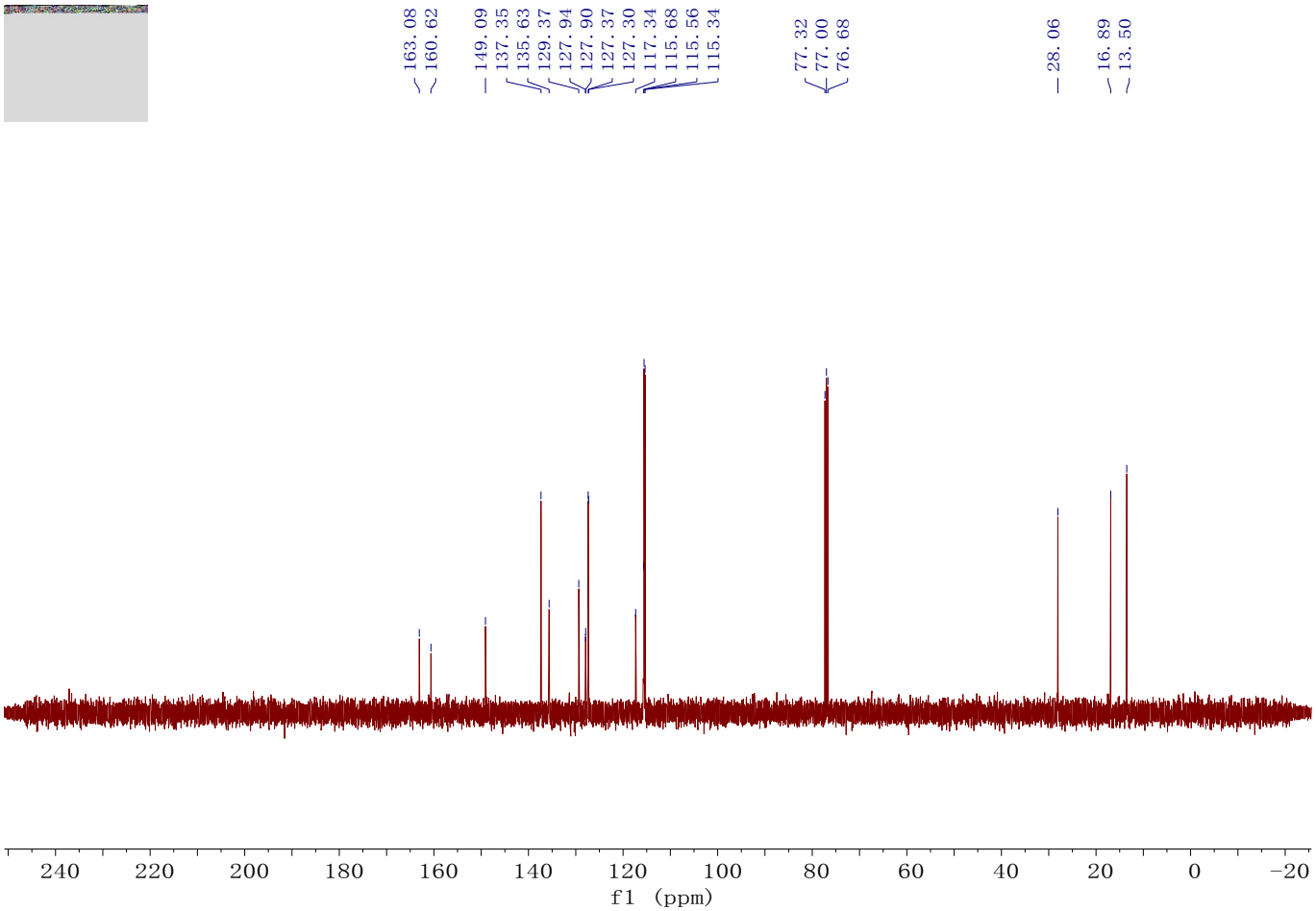
110
90
70
50
30
10
−10
f1 (ppm)

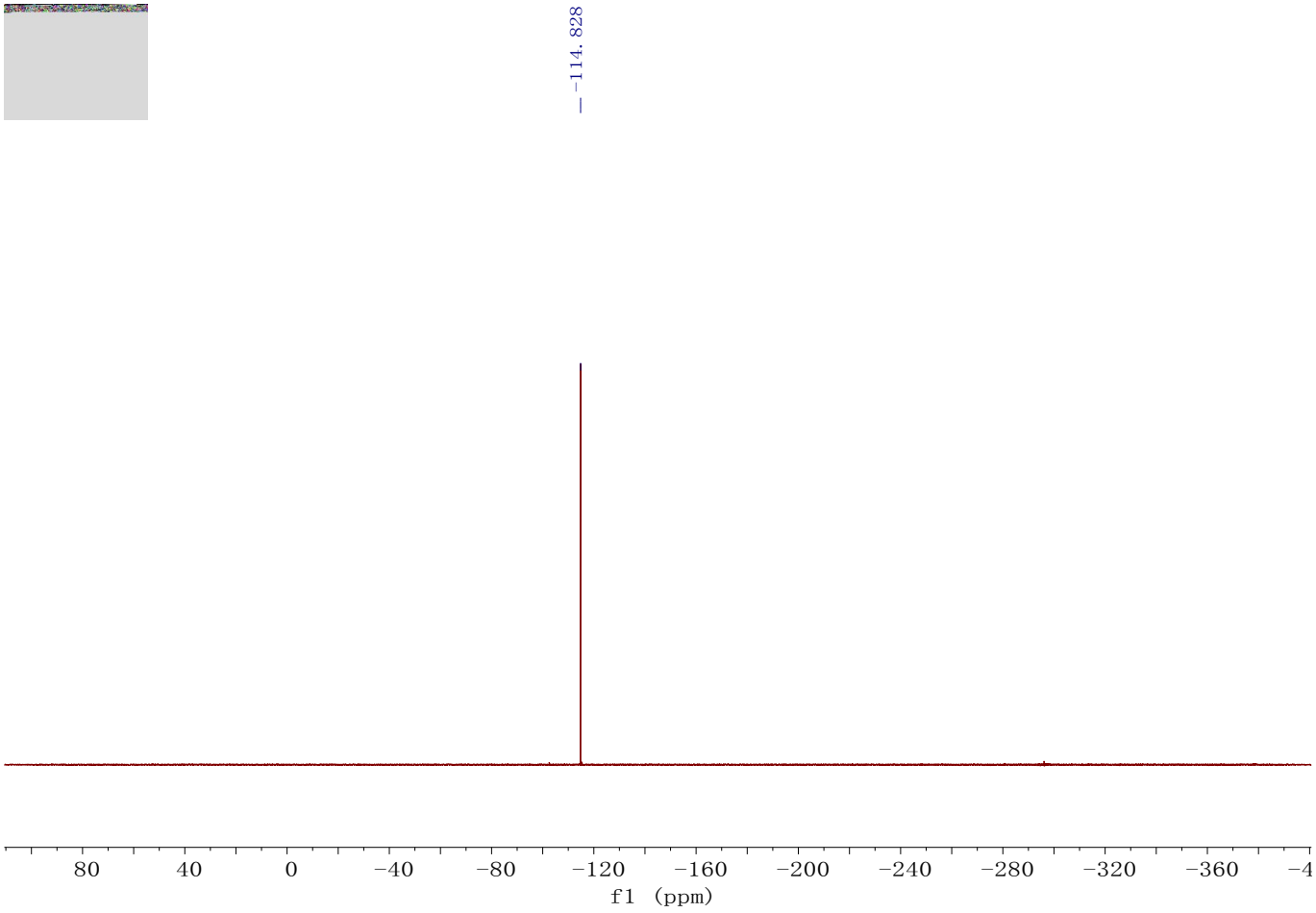

−112.142
80
40
0
−40
−80
−120
−160
−200
−240
−280
−320
−360
−4
f1 (ppm)

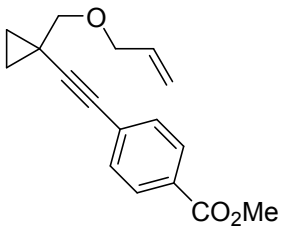


Compound **2h**. 36.9 mg, yield: 81%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.51-7.55 (m, 2H), 7.22 (s, 1H), 7.04-7.09 (m, 2H), 5.94-6.05 (m, 1H), 5.10 (d, *J* = 10.0 Hz, 1H), 5.02 (d, *J* = 17.2 Hz, 1H), 3.30 (d, *J* = 5.2 Hz, 2H), 2.38 (q, *J* = 7.6 Hz, 2H), 1.20 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 163.1 (d, *J* = 246.0 Hz), 149.1, 137.4, 135.6, 129.4, 127.9, 127.4 (d, *J* = 4.0 Hz), 127.3 (d, *J* = 7.0 Hz), 117.3, 115.7, 115.4 (d, *J* = 22.0 Hz), 28.1, 16.9, 13.5. ^{19}F NMR (376 MHz, Chloroform-d) δ -114.83. IR (neat) ν 2976, 2932, 2866, 1762, 1507, 1231, 1027, 907, 836, 730 cm^{-1}. HRMS (EI) calcd. for $C_{15}H_{15}OF^{+}$: 230.1107, Found: 230.1105.

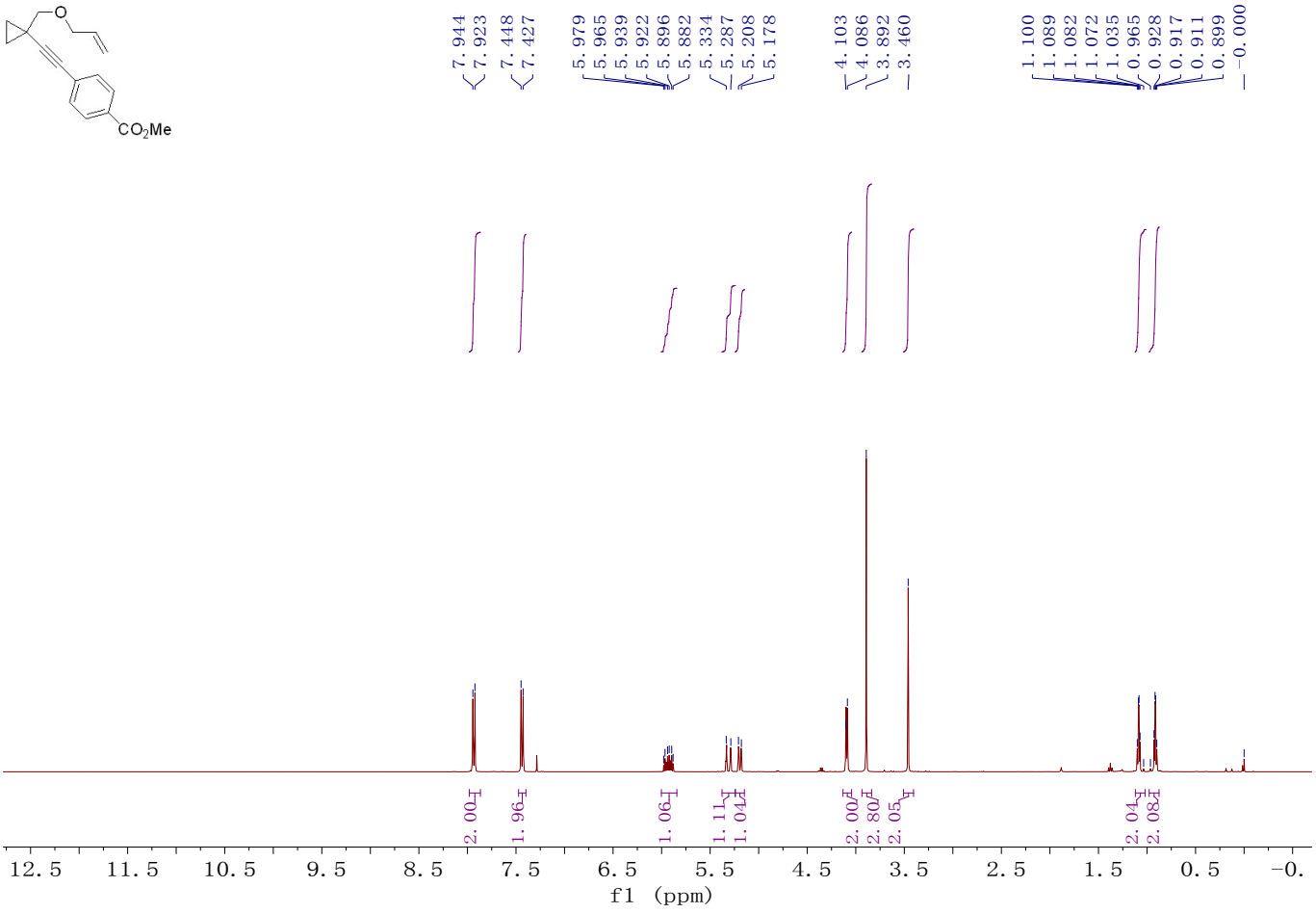


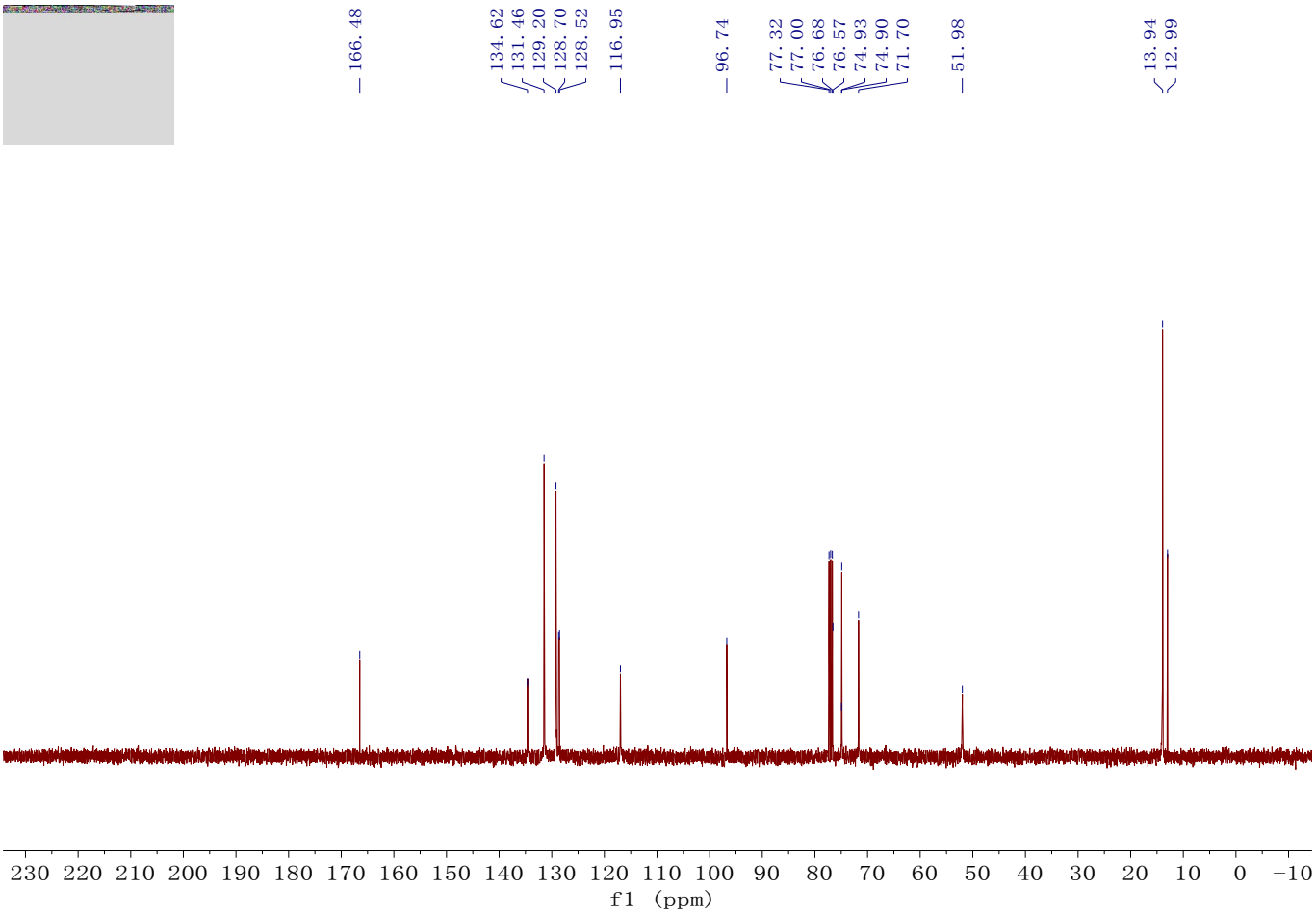

163.08
160.62
149.09
137.35
135.63
129.37
127.94
127.90
127.37
127.30
117.34
115.68
115.56
115.34
77.32
77.00
76.68
28.06
16.89
13.50
240
220
200
180
160
140
120
100
80
60
40
20
0
−20
f1 (ppm)

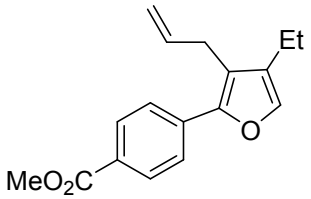

−114.828
80
40
0
−40
−80
−120
−160
−200
−240
−280
−320
−360
−4
f1 (ppm)



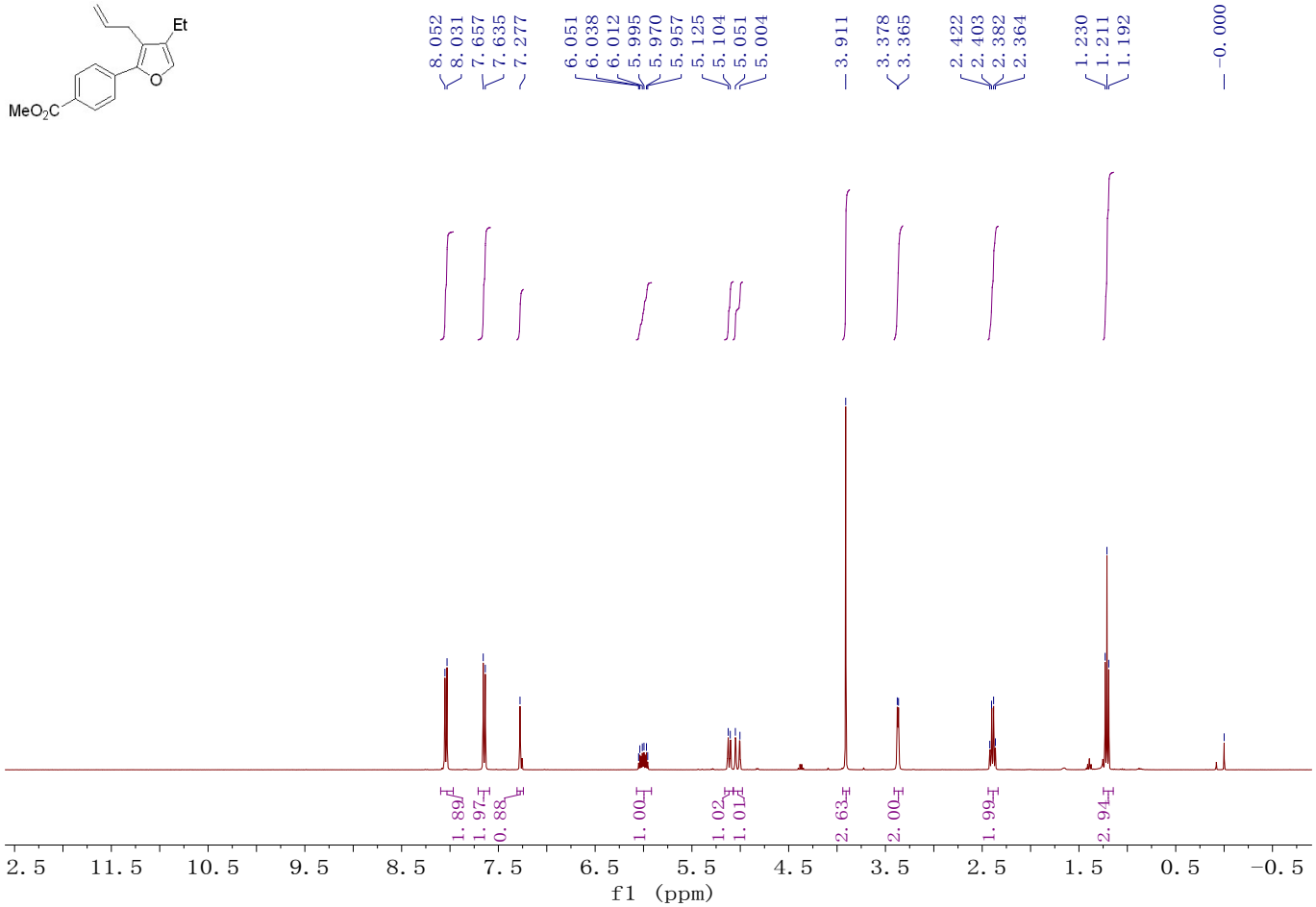
Compound **1i**. 170.0 mg, yield: 32%; yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92-7.95 (m, 2H), 7.42-7.45 (m, 2H), 5.88-5.98 (m, 1H), 5.31 (d, *J* = 18.8 Hz, 1H), 5.19 (d, *J* = 12.0 Hz, 1H), 4.09 (d, *J* = 6.8 Hz, 2H), 3.89 (s, 3H), 3.46 (s, 2H), 1.03-1.10 (m, 2H), 0.89-0.96 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.5, 134.6, 131.5, 129.2, 128.7, 128.5, 117.0, 96.7, 76.6, 74.9, 71.7, 52.0, 13.9, 13.0. IR (neat) ν 3081, 2950, 2856, 1719, 1604, 1435, 1306, 1271, 1095, 768 cm^{-1}. HRMS (EI) calcd. for $C_{17}H_{18}O_3^+$: 270.1256, Found: 270.1258.

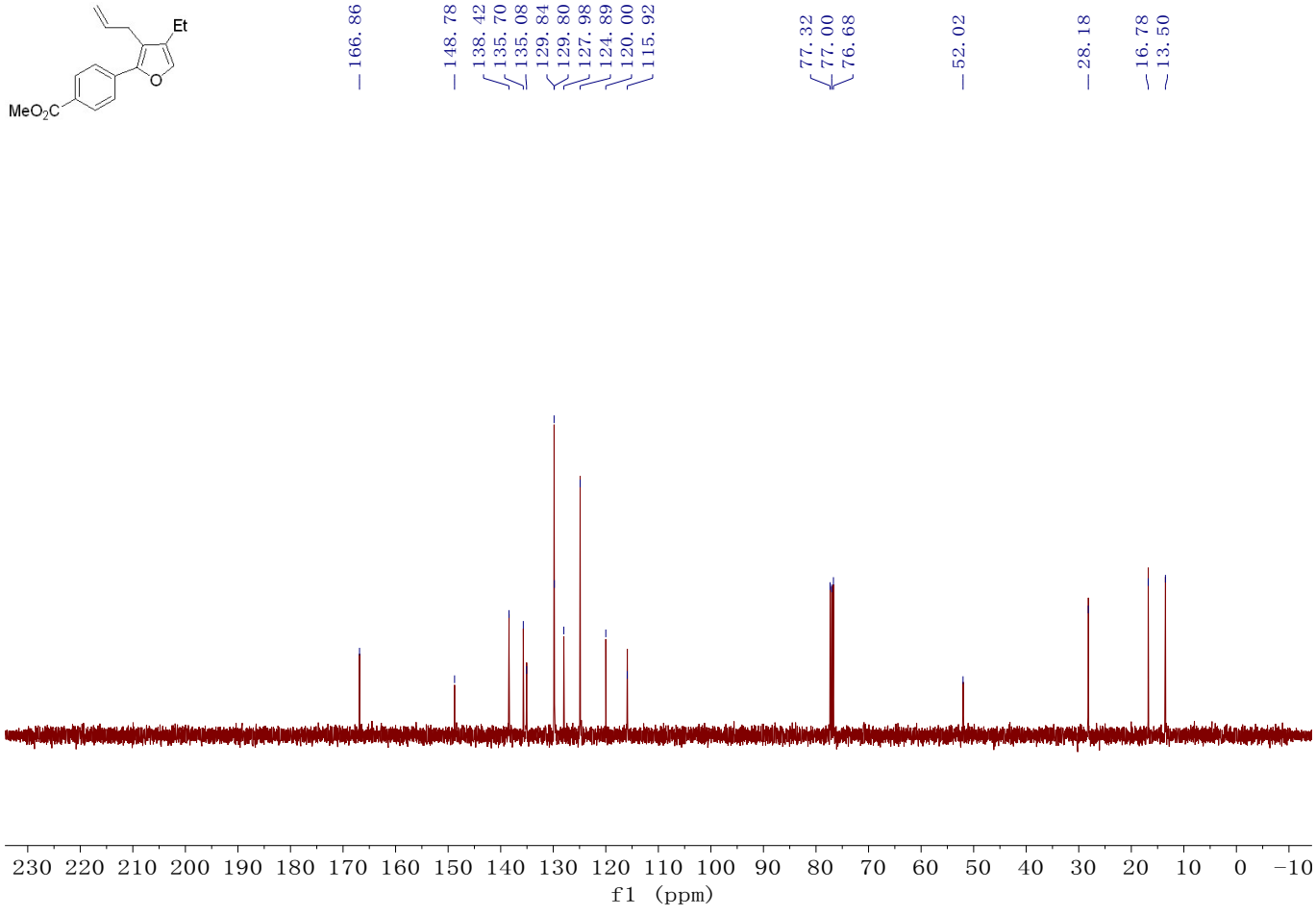


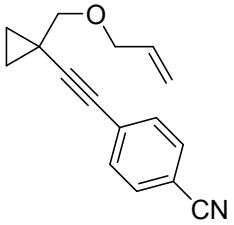




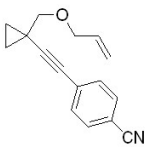
Compound **2i**. 48.5 mg, yield: 90%; white oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.03-8.06 (m, 2H), 7.63-7.66 (m, 2H), 7.28 (s, 1H), 5.95-6.06 (m, 1H), 5.11 (d, *J* = 8.4 Hz, 1H), 5.03 (d, *J* = 18.9 Hz, 1H), 3.91 (s, 3H), 3.37 (d, *J* = 5.0 Hz, 2H), 2.39 (q, *J* = 7.6 Hz, 2H), 1.21 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.9, 148.8, 138.4, 135.7, 135.1, 129.8, 129.8, 128.0, 124.9, 120.0, 115.9, 52.0, 28.2, 16.8, 13.5. IR (neat) ν 2969, 2872, 1768, 1719, 1607, 1435, 1275, 1171, 1109, 1015 cm^{-1}. HRMS (DART) calcd. for $C_{17}H_{19}O_3^+$ $[M+H]^+$: 271.1329, Found: 271.1326.

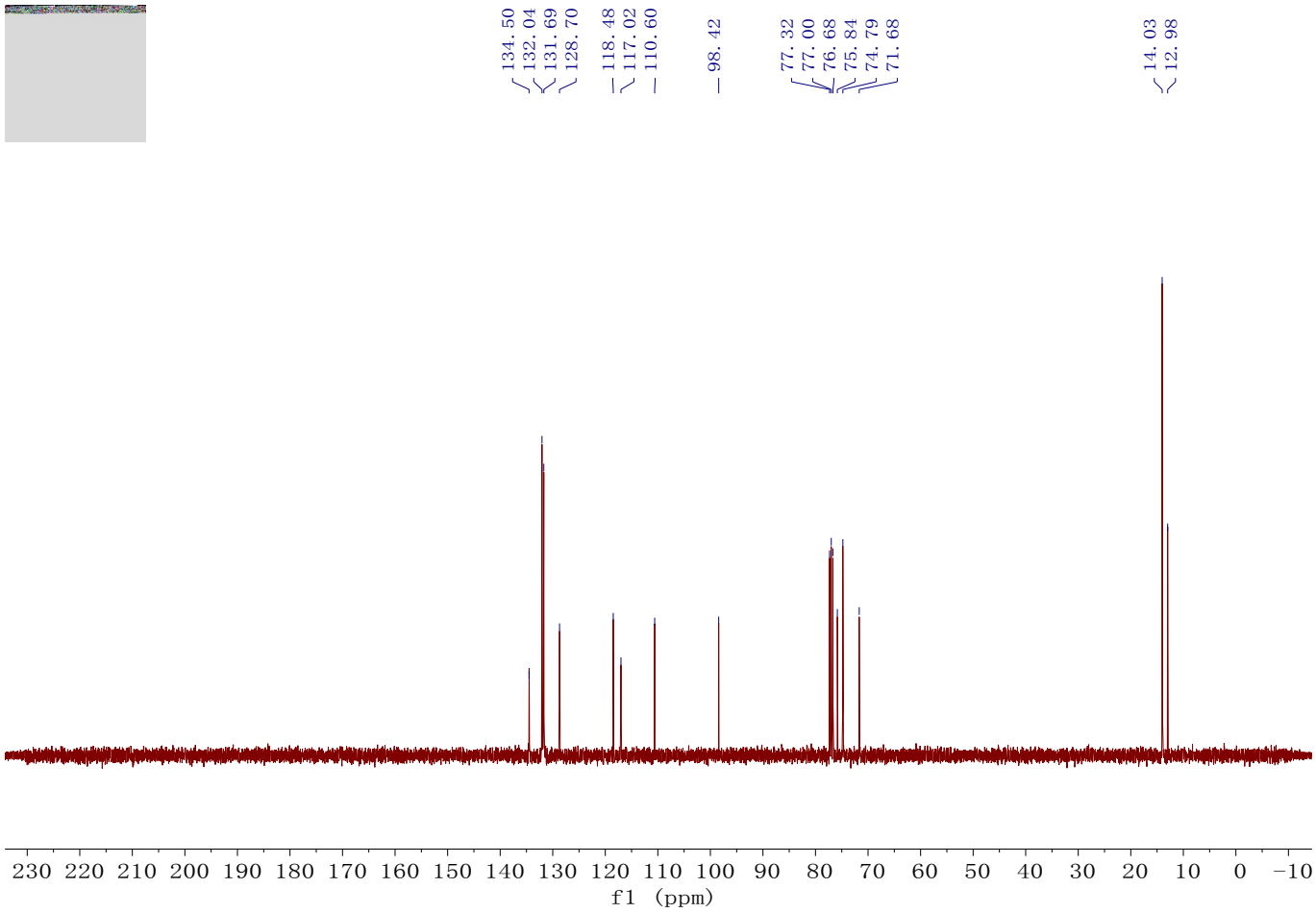

Et
MeO2C
8.052
8.031
7.657
7.635
7.277
6.051
6.038
6.012
5.995
5.970
5.957
5.125
5.104
5.051
5.004
3.911
3.378
3.365
2.422
2.403
2.382
2.364
1.230
1.211
1.192
0.000
1.89
1.97
0.88
1.00
1.02
1.01
2.63
2.00
1.99
2.94
f1 (ppm)

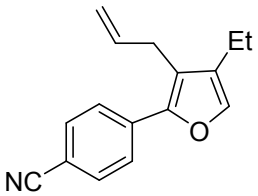

Et
MeO2C
166.86
148.78
138.42
135.70
135.08
129.84
129.80
127.98
124.89
120.00
115.92
77.32
77.00
76.68
52.02
28.18
16.78
13.50
f1 (ppm)



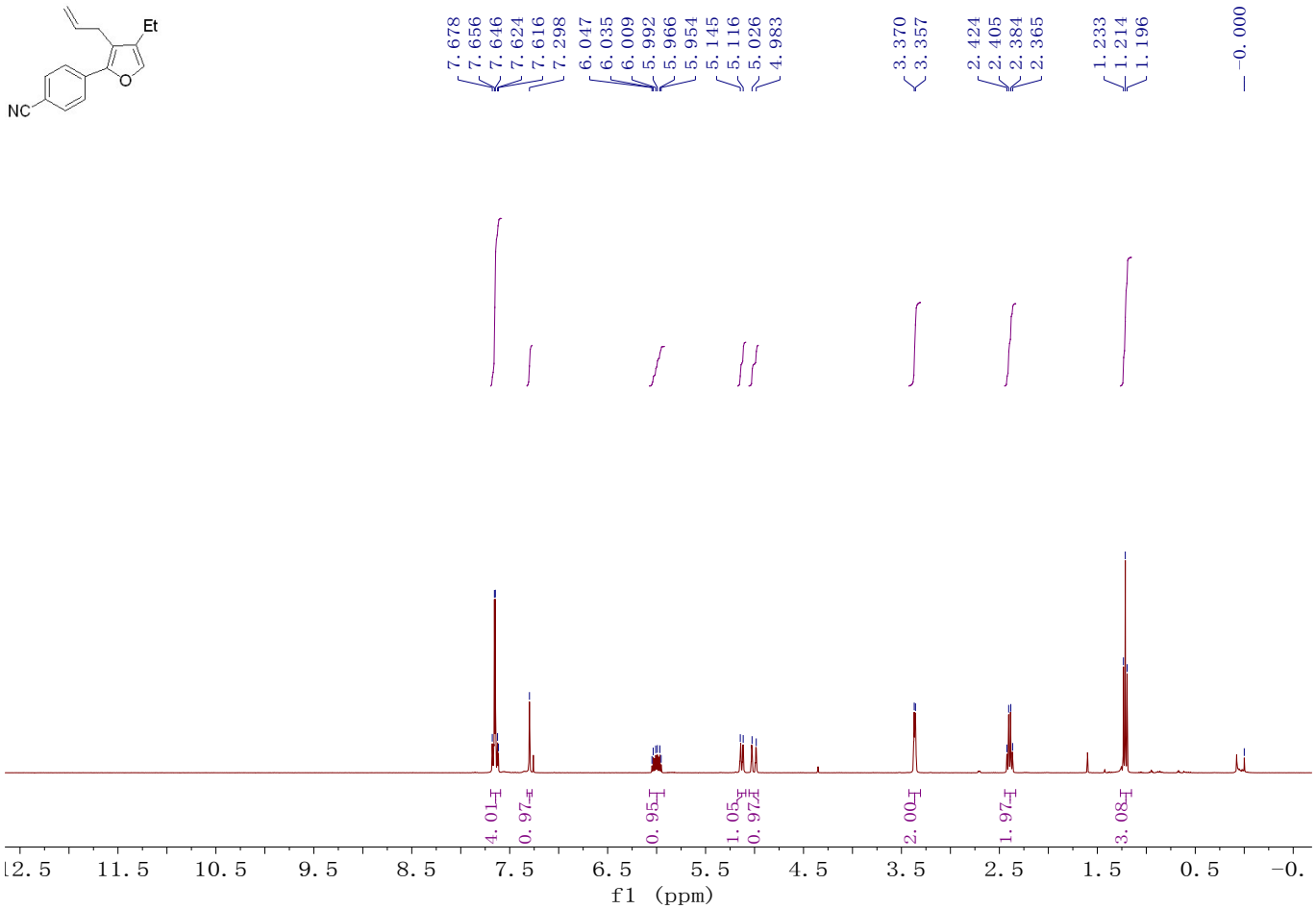
Compound **1j**. 410.0 mg, yield: 85%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.53-7.56 (m, 2H), 7.43-7.46 (m, 2H), 5.87-5.98 (m, 1H), 5.31 (d, *J* = 17.2 Hz, 1H), 5.20 (d, *J* = 12.4 Hz, 1H), 4.09 (d, *J* = 5.6 Hz, 2H), 3.46 (s, 2H), 1.04-1.12 (m, 2H), 0.92-0.99 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.5, 132.0, 131.7, 128.7, 118.5, 117.0, 110.6, 98.4, 75.8, 74.8, 71.7, 14.0, 13.0. IR (neat) ν 3081, 2921, 2856, 2224, 1603, 1502, 1406, 1089, 924, 838 cm^{-1}. HRMS (DART) calcd. for $C_{16}H_{16}ON^{+}$ $[M+H]^{+}$: 238.1226, Found: 238.1225.

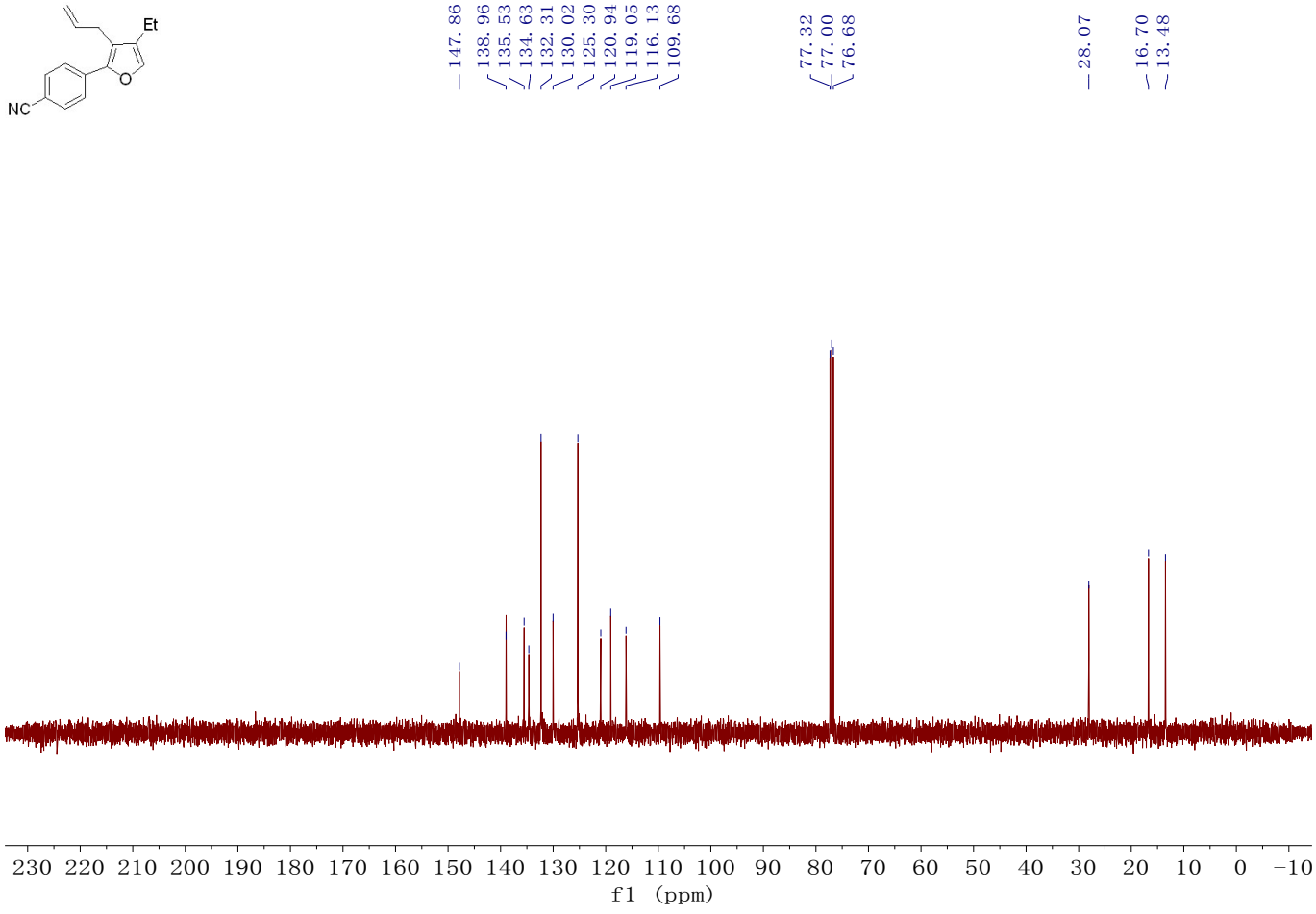


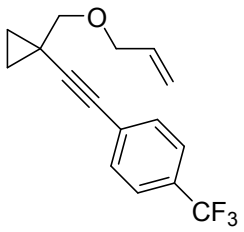




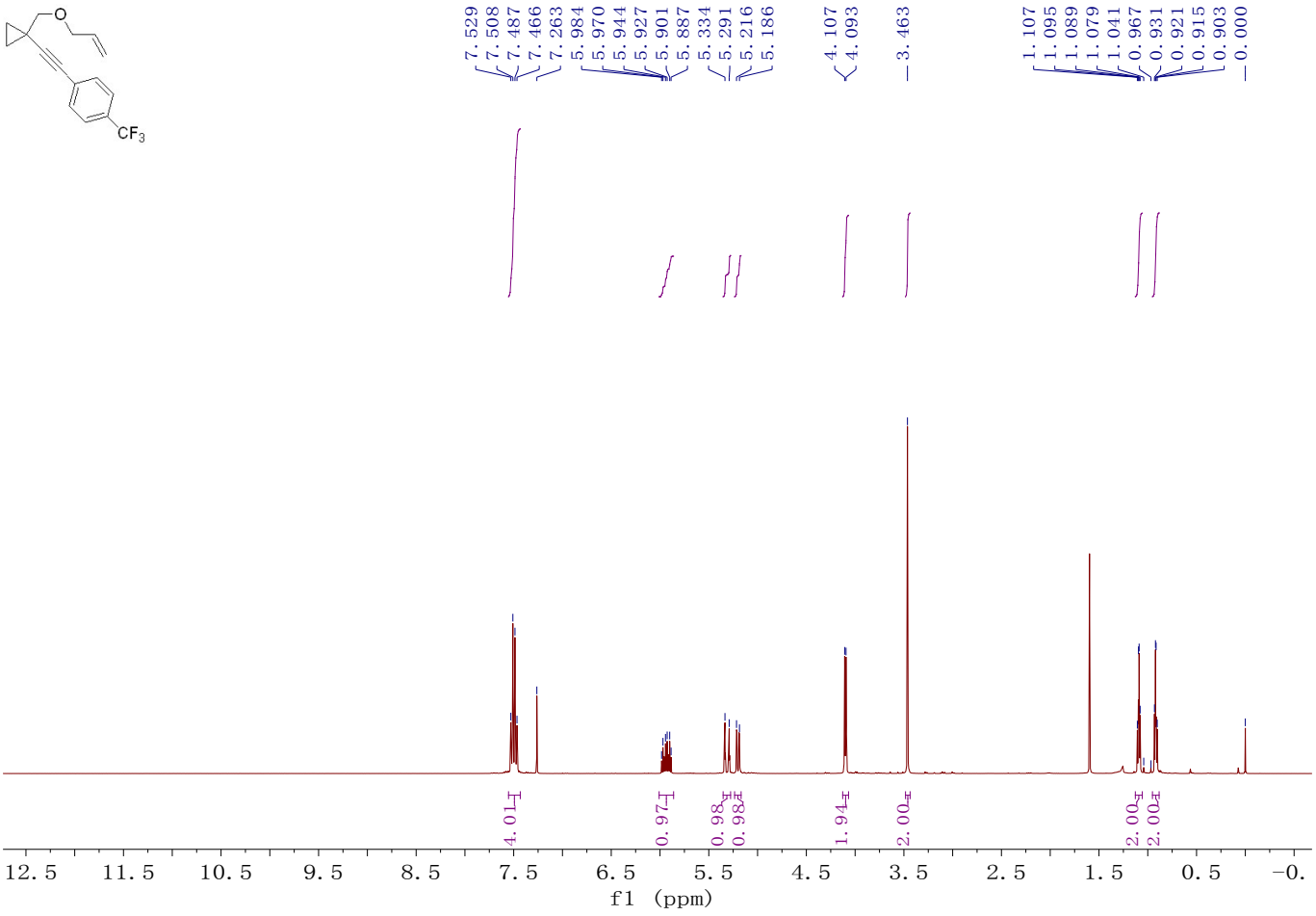
Compound **2j**. 40.8 mg, yield: 87%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.61-7.68 (m, 4H), 7.30 (s, 1H), 5.95-6.05 (m, 1H), 5.13 (d, *J* = 11.6 Hz, 1H), 5.00 (d, *J* = 17.2 Hz, 1H), 3.36 (d, *J* = 5.2 Hz, 2H), 2.39 (q, *J* = 7.4 Hz, 2H), 1.21 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 147.9, 139.0, 135.5, 134.6, 132.3, 130.0, 125.3, 120.9, 119.0, 116.1, 109.7, 28.1, 16.7, 13.5. IR (neat) ν 3073, 2968, 2935, 2224, 1604, 1560, 1438, 1179, 1072, 839 cm^{-1}. HRMS (DART) calcd. for $C_{16}H_{16}ON^{+}$ $[M+H]^{+}$: 238.1226, Found: 238.1224.

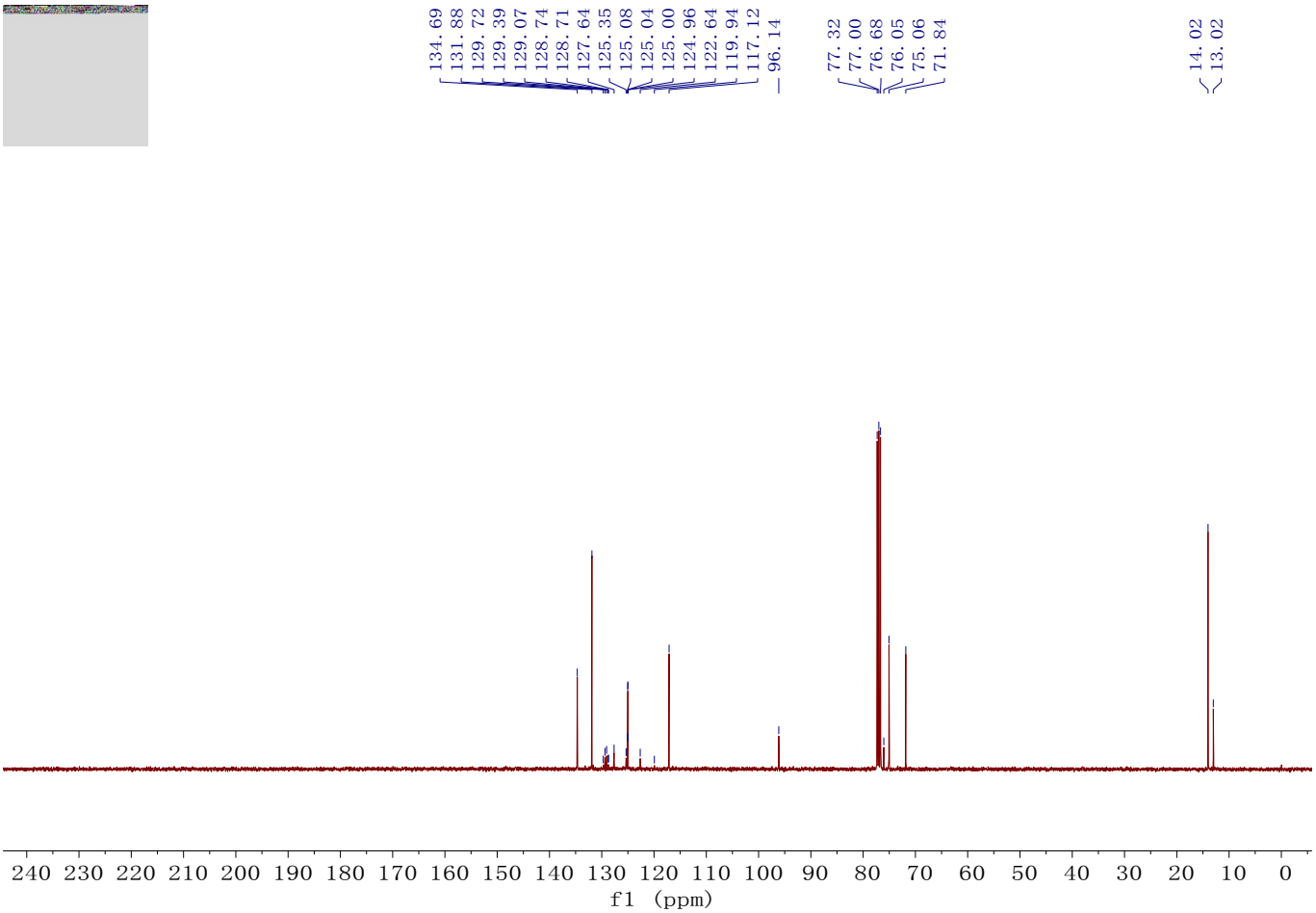

Et
NC
O
7.678
7.656
7.646
7.624
7.616
7.298
6.047
6.035
6.009
5.992
5.966
5.954
5.145
5.116
5.026
4.983
3.370
3.357
2.424
2.405
2.384
2.365
1.233
1.214
1.196
0.000
4.01
0.97
0.95
1.05
0.97
2.00
1.97
3.08
f1 (ppm)

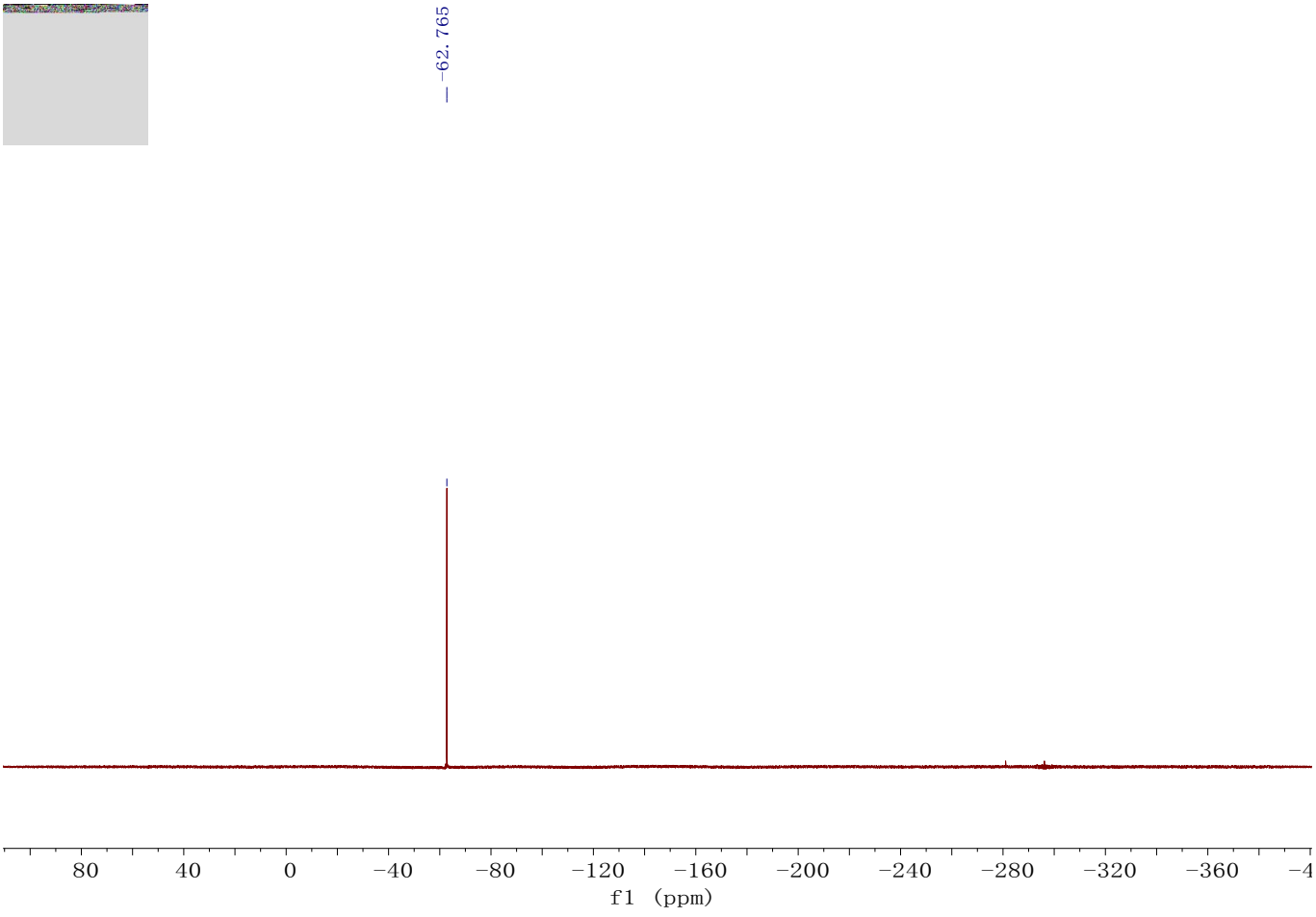

Et
NC
O
147.86
138.96
135.53
134.63
132.31
130.02
125.30
120.94
119.05
116.13
109.68
77.32
77.00
76.68
28.07
16.70
13.48
f1 (ppm)

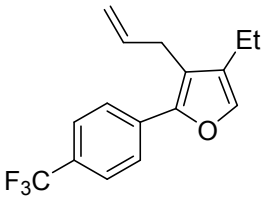


Compound **1k**. 540.3 mg, yield: 97%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.46-7.53 (m, 4H), 5.88-5.99 (m, 1H), 5.31 (d, *J* = 17.2 Hz, 1H), 5.20 (d, *J* = 12.0 Hz, 1H), 4.10 (d, *J* = 5.6 Hz, 2H), 3.46 (s, 2H), 1.04-1.11 (m, 2H), 0.90-0.97 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.7, 131.9, 129.2 (q, *J* = 32.0 Hz), 127.6, 125.0 (q, *J* = 4.0 Hz), 122.6 (q, *J* = 271.0 Hz), 117.1, 96.1, 76.1, 75.1, 71.8, 14.0, 13.0. ^{19}F NMR (376 MHz, Chloroform-d) δ -62.77. IR (neat) ν 3013, 2927, 2851, 2233, 1614, 1320, 1124, 1065, 923, 840 cm^{-1}. HRMS (DART) calcd. for $C_{16}H_{16}OF_3^+$ [M+H]$^+$: 281.1148, Found: 281.1149.

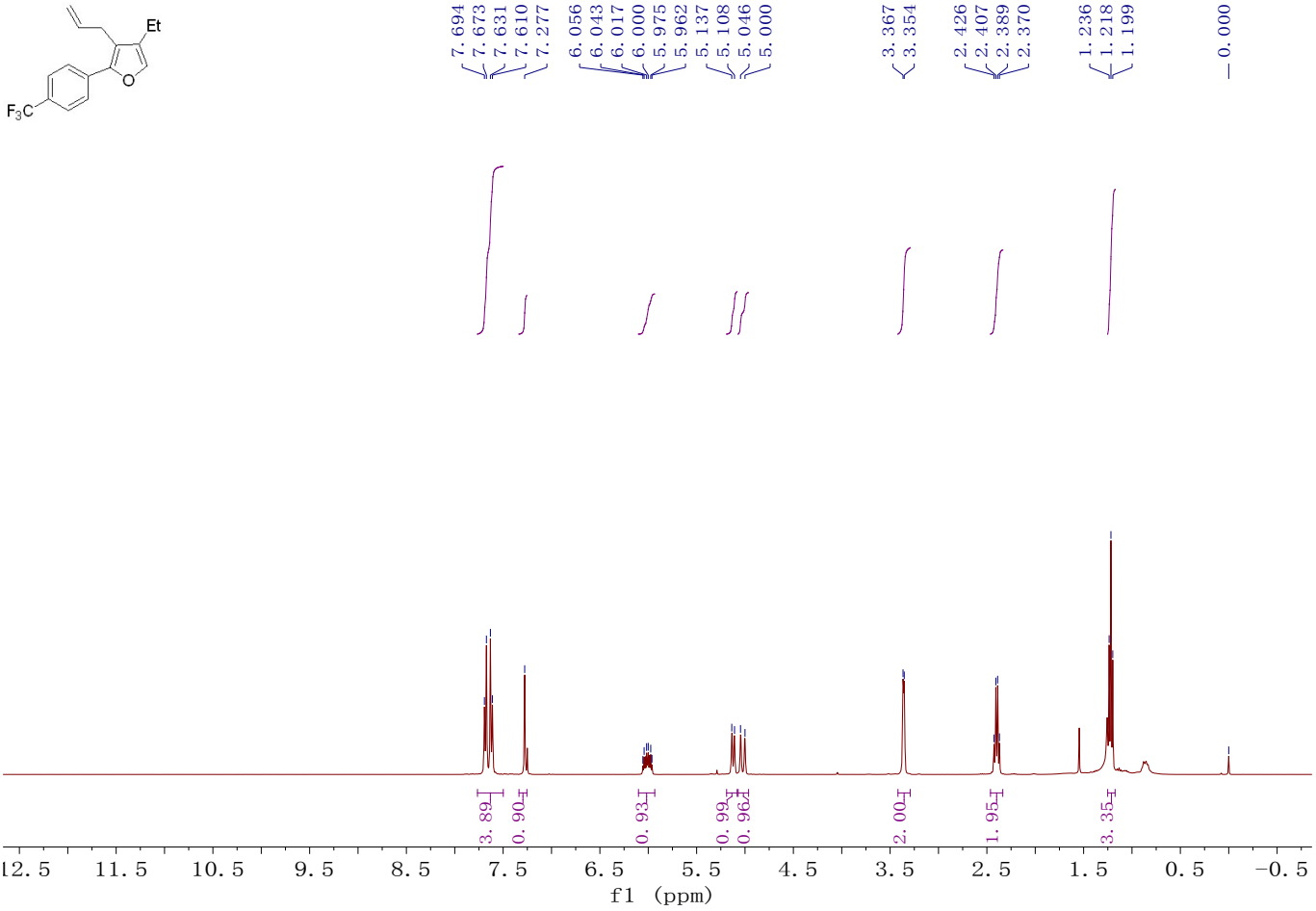


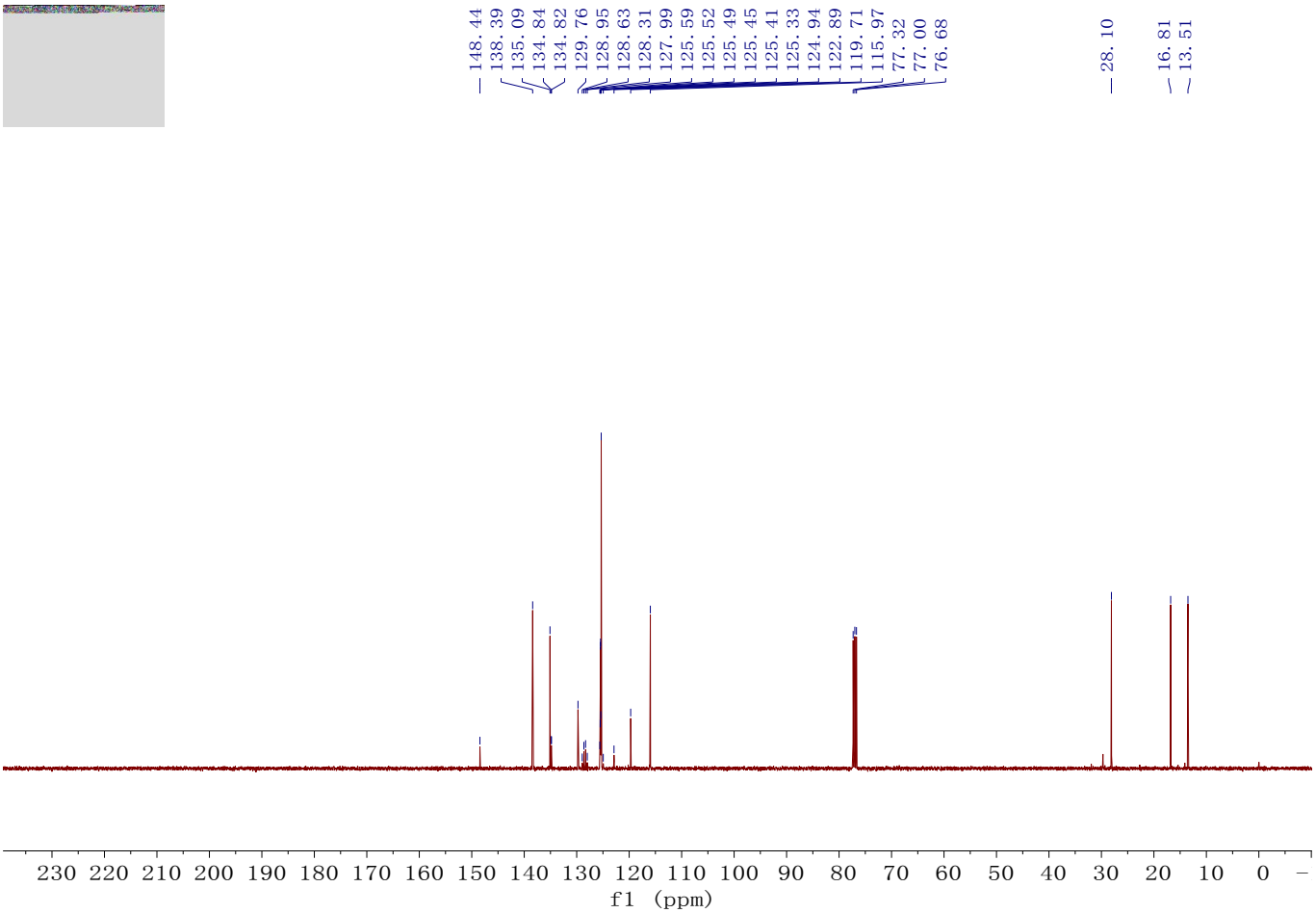

134.69
131.88
129.72
129.39
129.07
128.74
128.71
127.64
125.35
125.08
125.04
125.00
124.96
122.64
119.94
117.12
96.14
77.32
77.00
76.68
76.05
75.06
71.84
14.02
13.02
240 230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

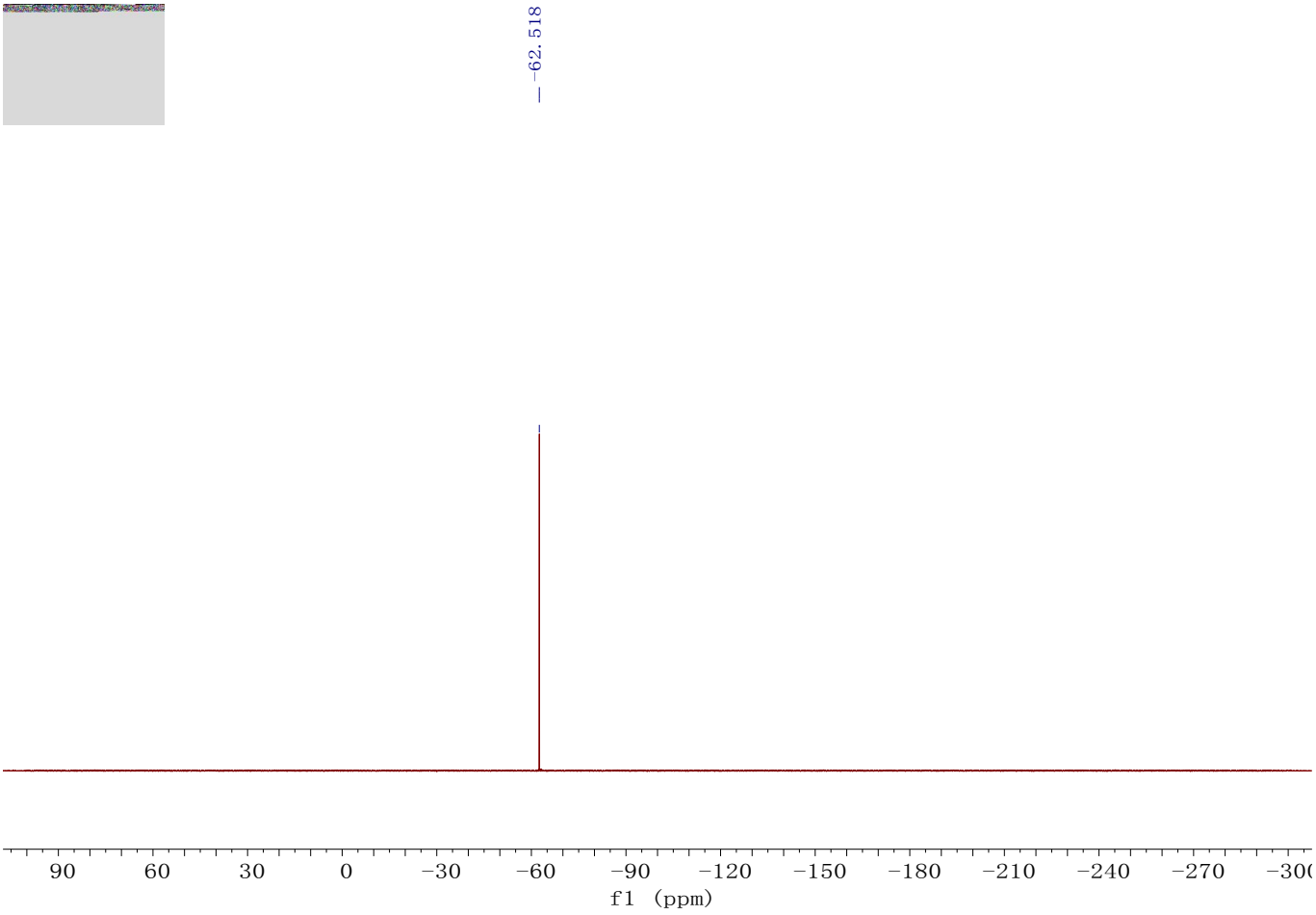

-62.765
80 40 0 -40 -80 -120 -160 -200 -240 -280 -320 -360 -4
f1 (ppm)

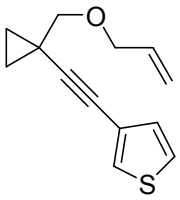


Compound **2k**. 45.7 mg, yield: 82%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.61-7.70 (m, 4H), 7.28 (s, 1H), 5.96-6.06 (m, 1H), 5.12 (d, *J* = 11.6 Hz, 1H), 5.02 (d, *J* = 18.2 Hz, 1H), 3.36 (d, *J* = 5.2 Hz, 2H), 2.40 (q, *J* = 7.6 Hz, 2H), 1.22 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 148.4, 138.4, 135.1, 134.8 (d, *J* = 2.0 Hz), 129.8, 128.5 (q, *J* = 32.0 Hz), 125.5 (q, *J* = 4.0 Hz), 124.2 (q, *J* = 270.0 Hz), 125.3, 124.9, 122.9, 119.7, 116.0, 77.3, 77.0, 76.7, 28.1, 16.8, 13.5. ^{19}F NMR (376 MHz, Chloroform-d) δ -62.52. IR (neat) ν 2971, 2929, 2872, 1766, 1410, 1323, 1166, 1125, 1068, 730 cm^{-1}. HRMS (EI) calcd. for $C_{16}H_{15}OF_3^+$: 280.1075, Found: 280.1076.

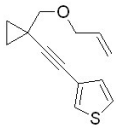


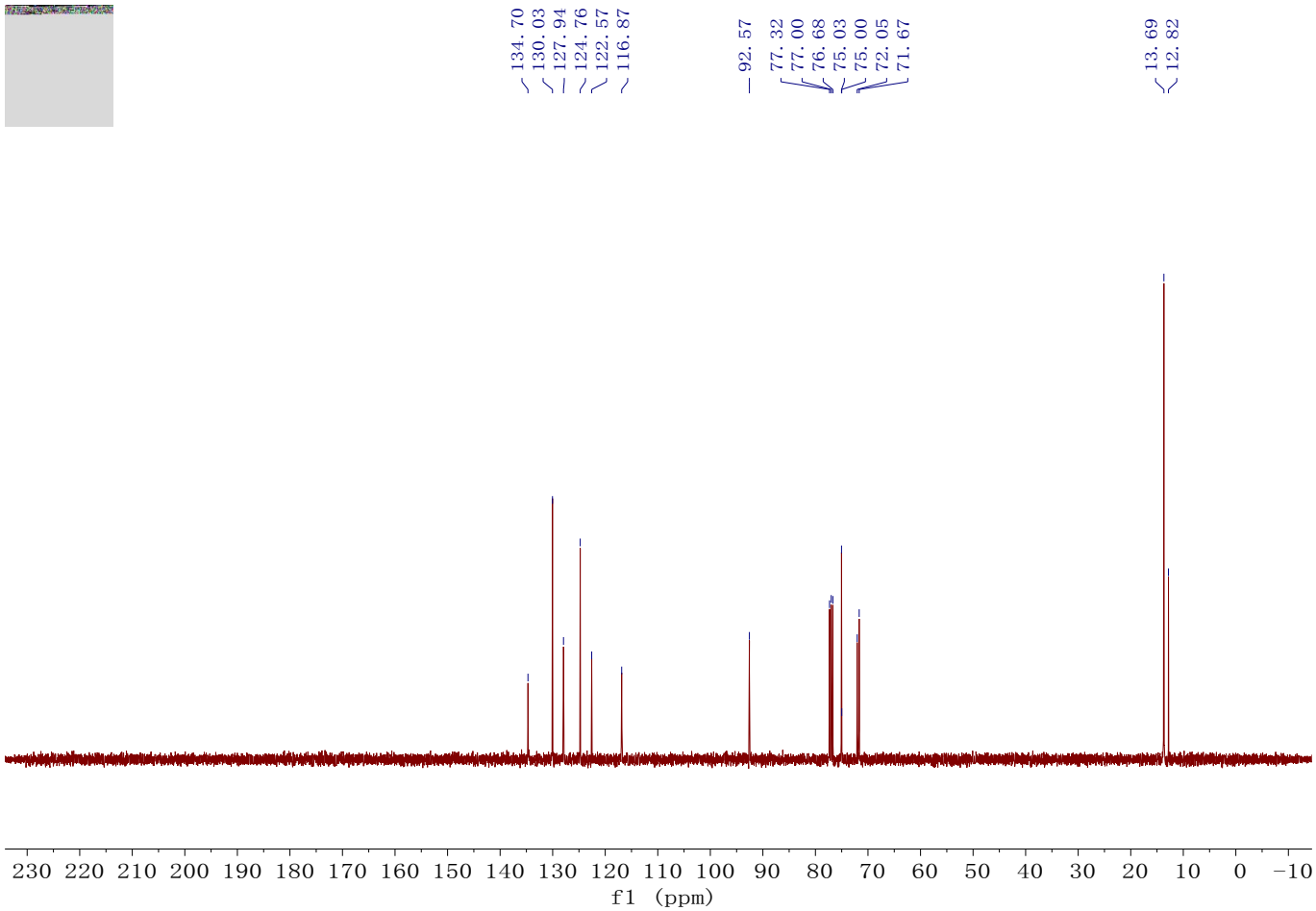

148.44
138.39
135.09
134.84
134.82
129.76
128.95
128.63
128.31
127.99
125.59
125.52
125.49
125.45
125.41
125.33
124.94
122.89
119.71
115.97
77.32
77.00
76.68
28.10
16.81
13.51
230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −
f1 (ppm)

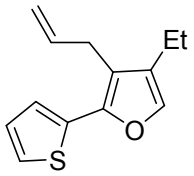

−62.518
90 60 30 0 −30 −60 −90 −120 −150 −180 −210 −240 −270 −300
f1 (ppm)



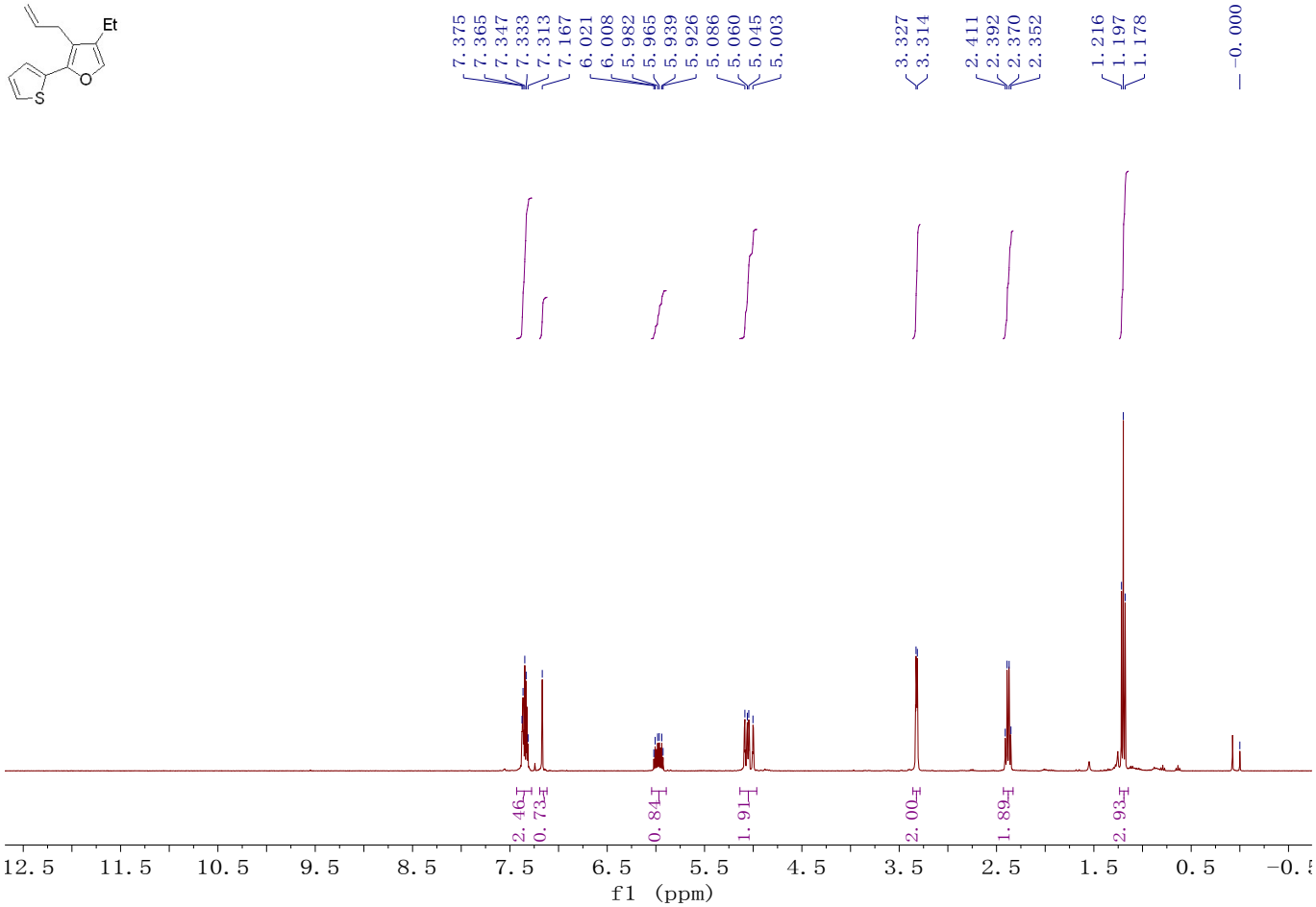
Compound **1l**. 300.0 mg, yield: 76%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.34-7.35 (m, 1H), 7.18-7.21 (m, 1H), 7.04-7.07 (m, 1H), 5.87-5.97 (m, 1H), 5.30 (d, *J* = 18.8 Hz, 1H), 5.18 (d, *J* = 12.0 Hz, 1H), 4.08 (d, *J* = 5.6 Hz, 2H), 3.43 (s, 2H), 0.98-1.06 (m, 2H), 0.84-0.92 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.7, 130.0, 127.9, 124.8, 122.6, 116.9, 92.6, 75.0, 72.1, 71.7, 13.7, 12.8. IR (neat) ν 3103, 3010, 2855, 2228, 1649, 1450, 1357, 1129, 1090 cm^{-1}. HRMS (DART) calcd. for $C_{13}H_{15}OS^{+}$ $[M+H]^{+}$: 219.0838, Found: 219.0837.

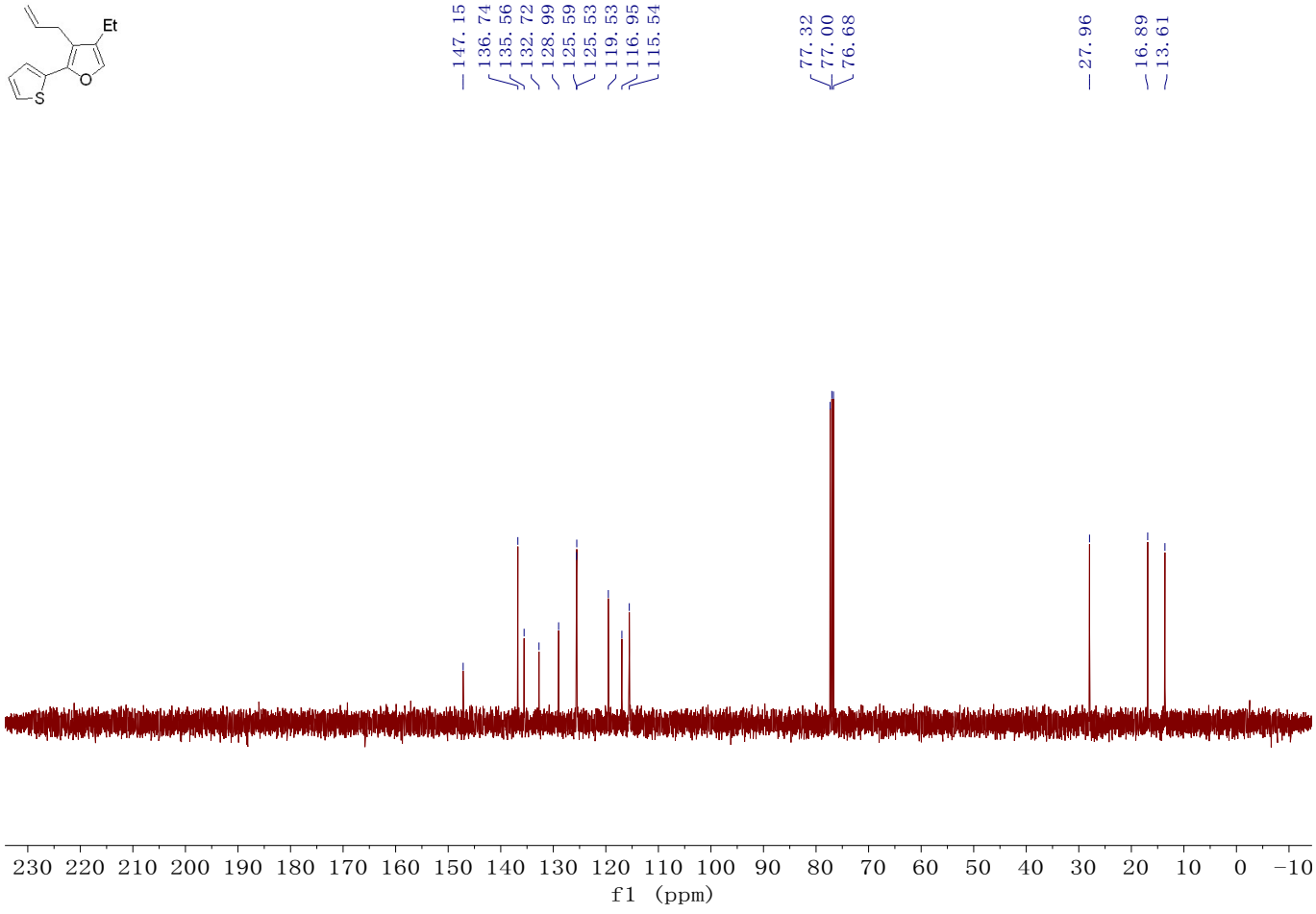


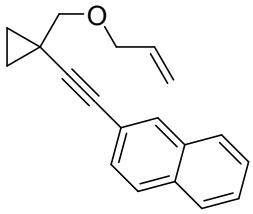




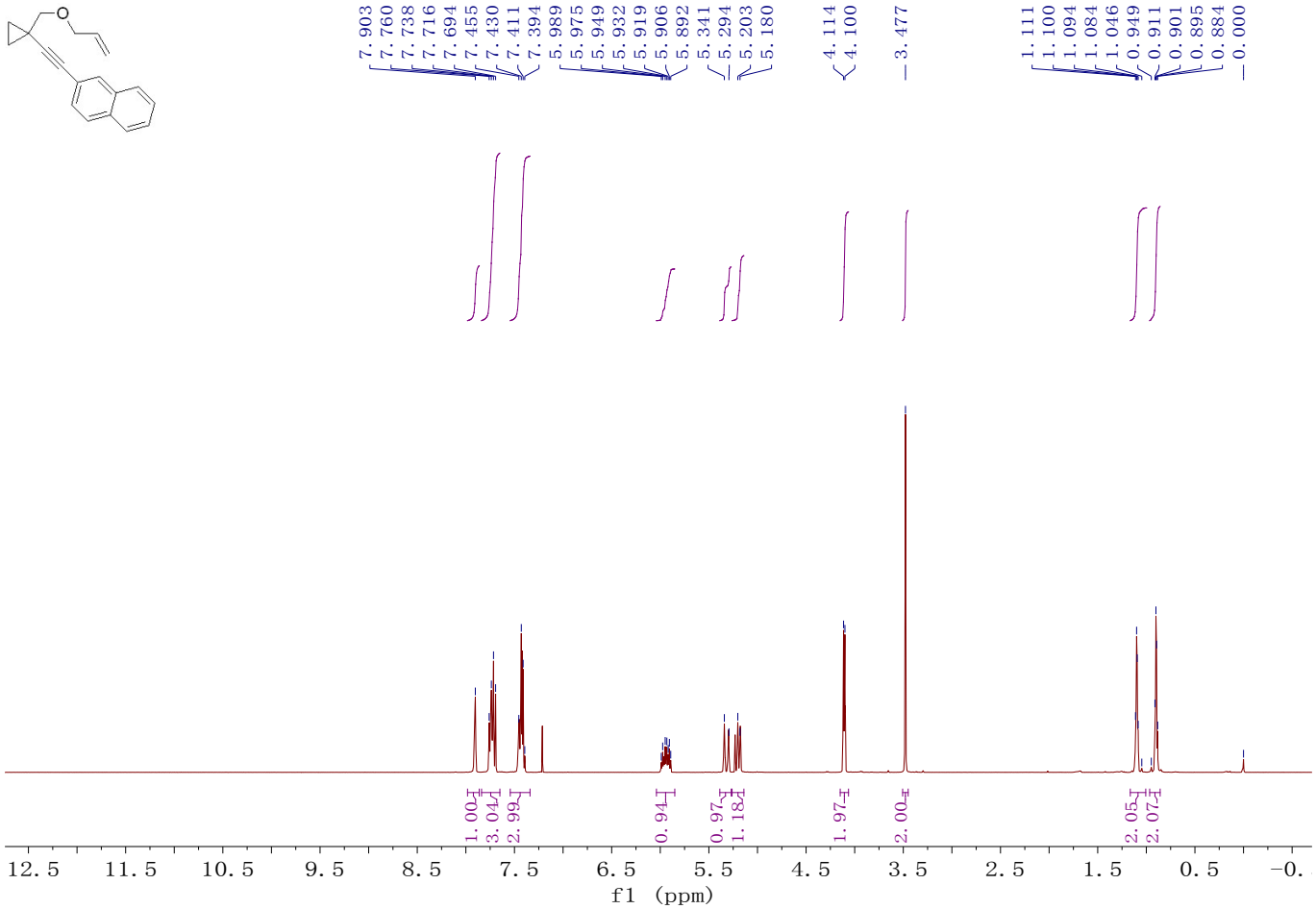
Compound **2l**. 35.6 mg, yield: 83%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.31-7.38 (m, 3H), 7.17 (s, 1H), 5.92-6.03 (m, 1H), 5.00-5.09 (m, 2H), 3.32 (d, *J* = 5.2 Hz, 2H), 2.38 (q, *J* =· 7.8 Hz, 2H), 1.20 (t, *J* = 7.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 147.2, 136.7, 135.6, 132.7, 129.0, 125.6, 125.5, 119.5, 116.9, 115.5, 28.0, 16.9, 13.6. IR (neat) ν 3112, 2972, 2935, 1758, 1637, 1460, 1180, 1030, 996, 908 cm^{-1}. HRMS (EI) calcd. for $C_{13}H_{14}OS^{+}$: 218.0765, Found: 218.0772.

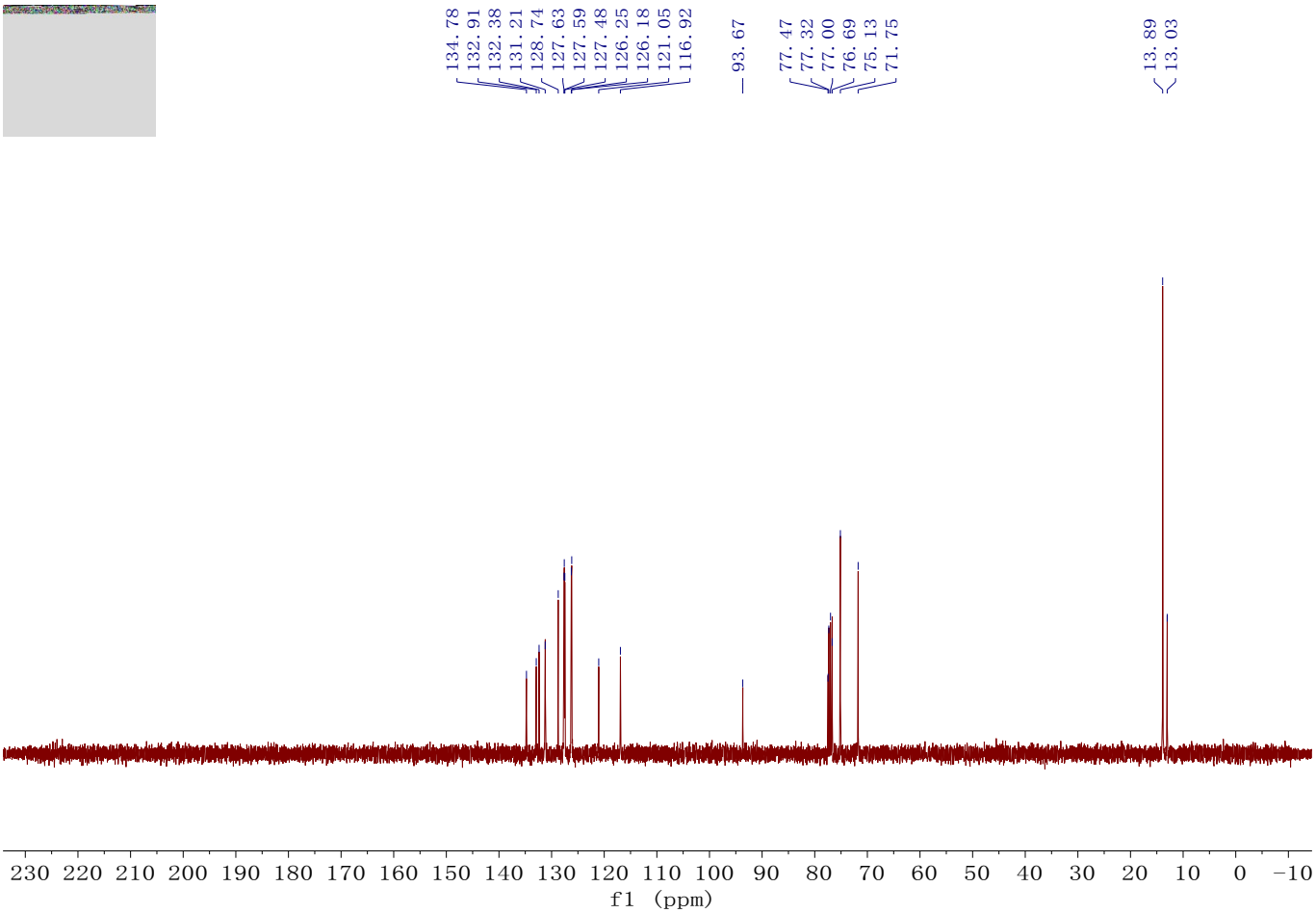

Et
S
O
7.375
7.365
7.347
7.333
7.313
7.167
6.021
6.008
5.982
5.965
5.939
5.926
5.086
5.060
5.045
5.003
3.327
3.314
2.411
2.392
2.370
2.352
1.216
1.197
1.178
-0.000
2.46
0.73
0.84
1.91
2.00
1.89
2.93
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)

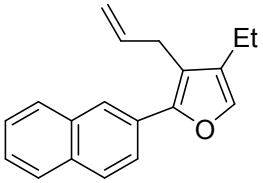

Et
S
O
147.15
136.74
135.56
132.72
128.99
125.59
125.53
119.53
116.95
115.54
77.32
77.00
76.68
27.96
16.89
13.61
230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



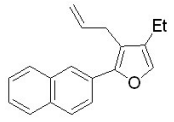
Compound **1m**. 380.0 mg, yield: 74%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.90 (s, 1H), 7.69-7.76 (m, 3H), 7.39-7.46 (m, 3H), 5.89-5.99 (m, 1H), 5.32 (d, *J* = 18.8 Hz, 1H), 5.19 (d, *J* = 9.2 Hz, 1H), 4.11 (d, *J* = 5.6 Hz, 2H), 3.48 (s, 2H), 1.04-1.12 (m, 2H), 0.88-0.95 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.8, 132.9, 132.4, 131.2, 128.7, 127.63, 127.59, 127.5, 126.3, 126.2, 121.0, 116.9, 93.7, 77.5, 75.1, 71.8, 13.9, 13.0. IR (neat) ν 3056, 3011, 2855, 2218, 1626, 1596, 1501, 1268, 1125, 1090 cm^{-1}. HRMS (DART) calcd. for $C_{19}H_{19}O^{+}$ $[M+H]^{+}$: 263.1430, Found: 263.1429.



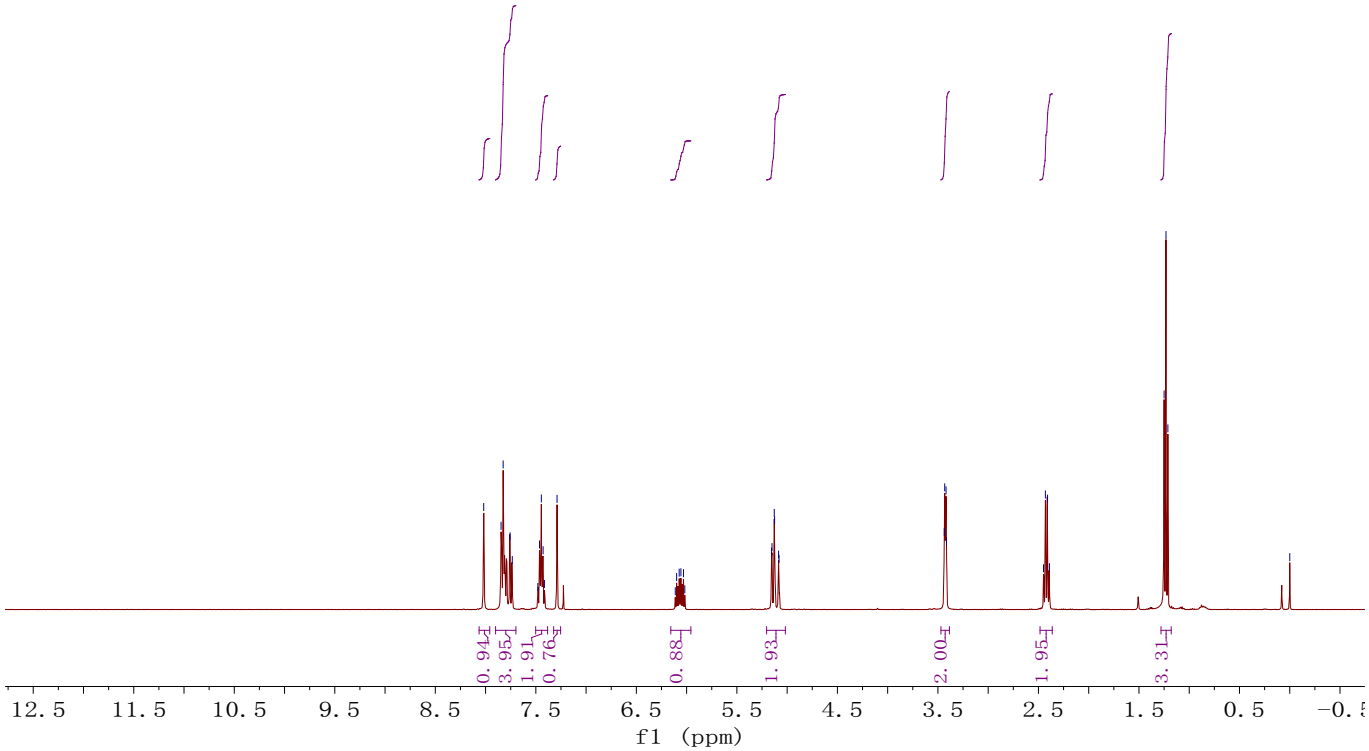


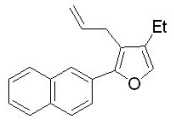


Compound **2m**. 42.4 mg, yield: 81%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.02 (s, 1H), 7.73-7.85 (m, 4H), 7.41-7.48 (m, 2H), 7.29 (s, 1H), 6.01-6.12 (m, 1H), 5.08-5.16 (m, 2H), 3.41-3.44 (m, 2H), 2.42 (q, *J* = 7.6 Hz, 2H), 1.23 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.9, 137.7, 135.8, 133.4, 132.3, 129.6, 129.1, 128.2, 128.1, 127.6, 126.2, 125.8, 124.2, 123.9, 118.3, 115.8, 28.3, 16.9, 13.6. IR (neat) ν 3058, 2966, 2866, 1764, 1636, 1461, 1028, 936, 912, 842 cm^{-1}. HRMS (DART) calcd. for $C_{19}H_{19}O^{+}$ $[M+H]^{+}$: 263.1430, Found: 263.1428.

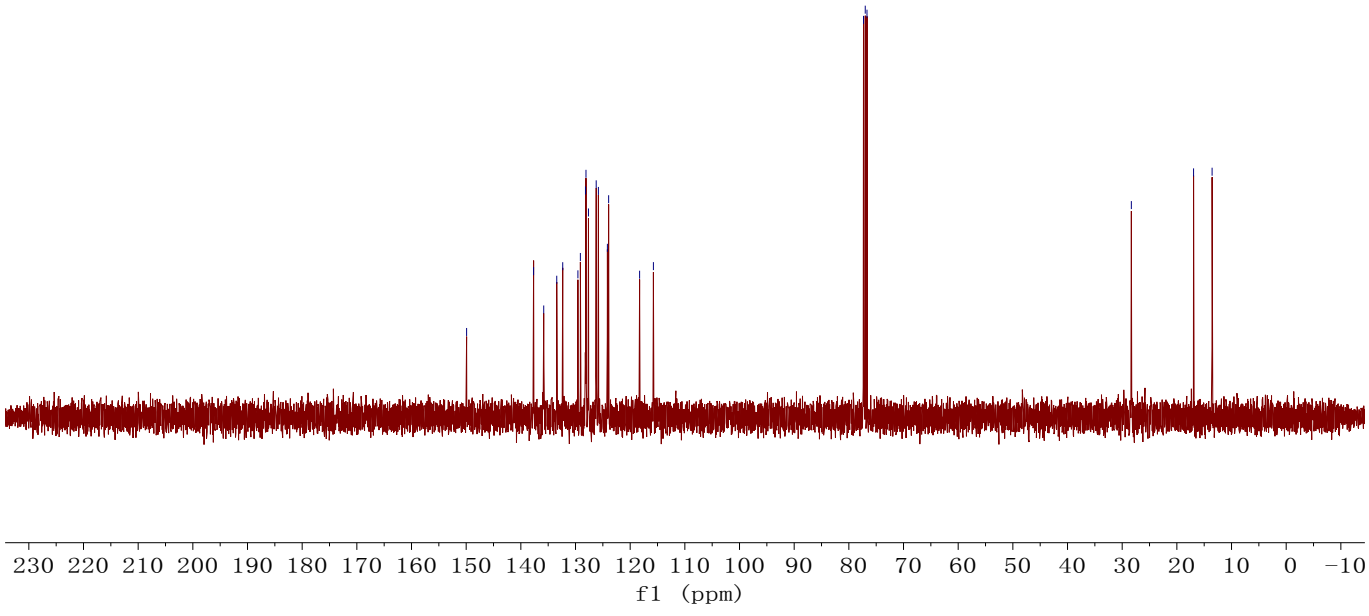


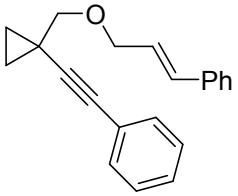
8.019
7.846
7.825
7.756
7.734
7.480
7.463
7.445
7.428
7.415
7.289
6.113
6.099
6.074
6.057
6.031
6.018
5.155
5.150
5.128
5.125
5.085
5.081
3.437
3.432
3.419
3.415
2.450
2.431
2.409
2.391
1.250
1.231
1.212
0.000



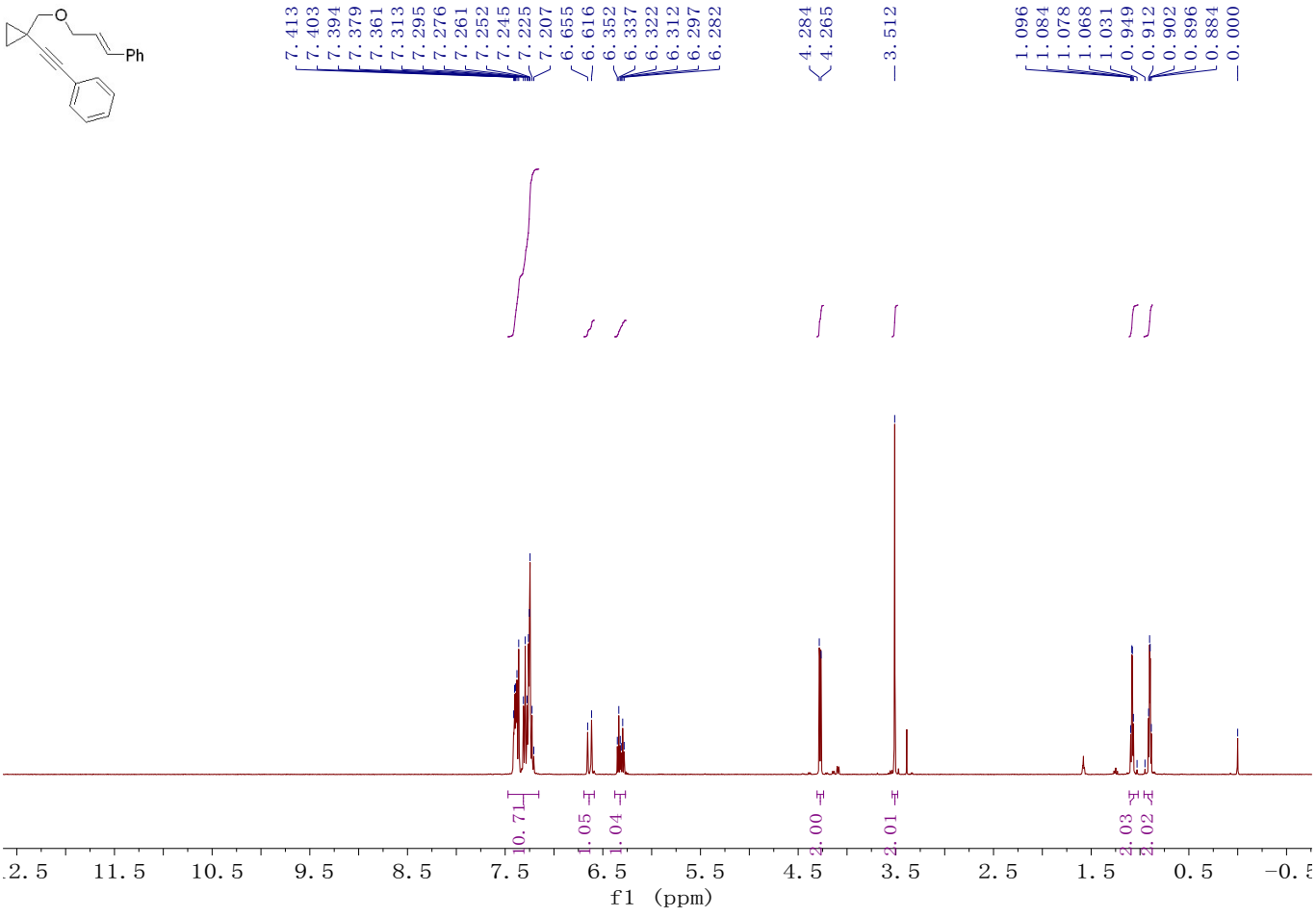


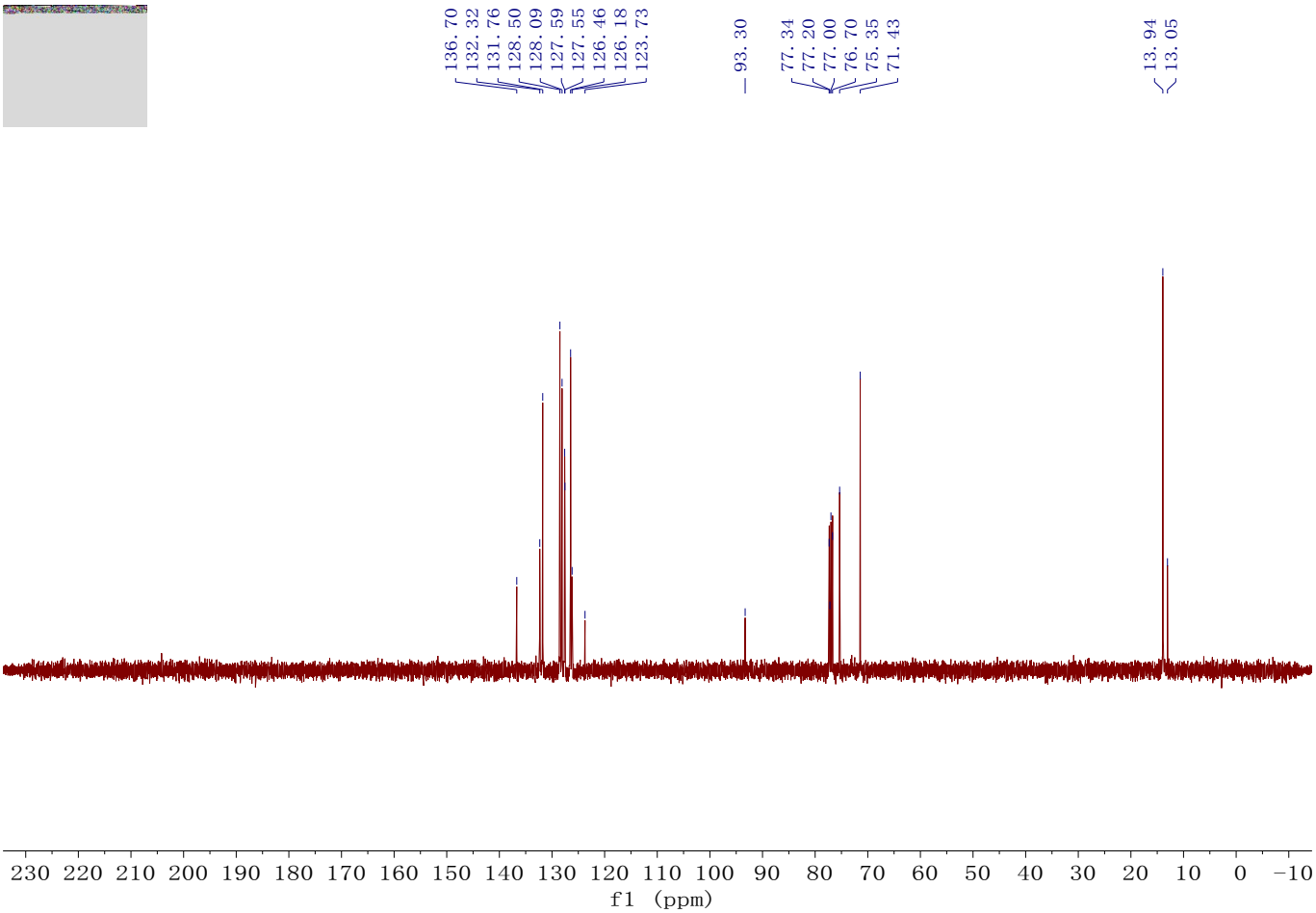
149.92
137.67
135.81
133.43
132.34
129.56
129.11
128.18
128.08
127.63
126.22
125.81
124.17
123.94
118.27
115.75
77.32
77.00
76.68
28.32
16.94
13.55

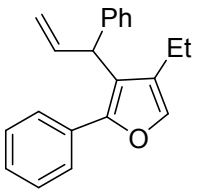




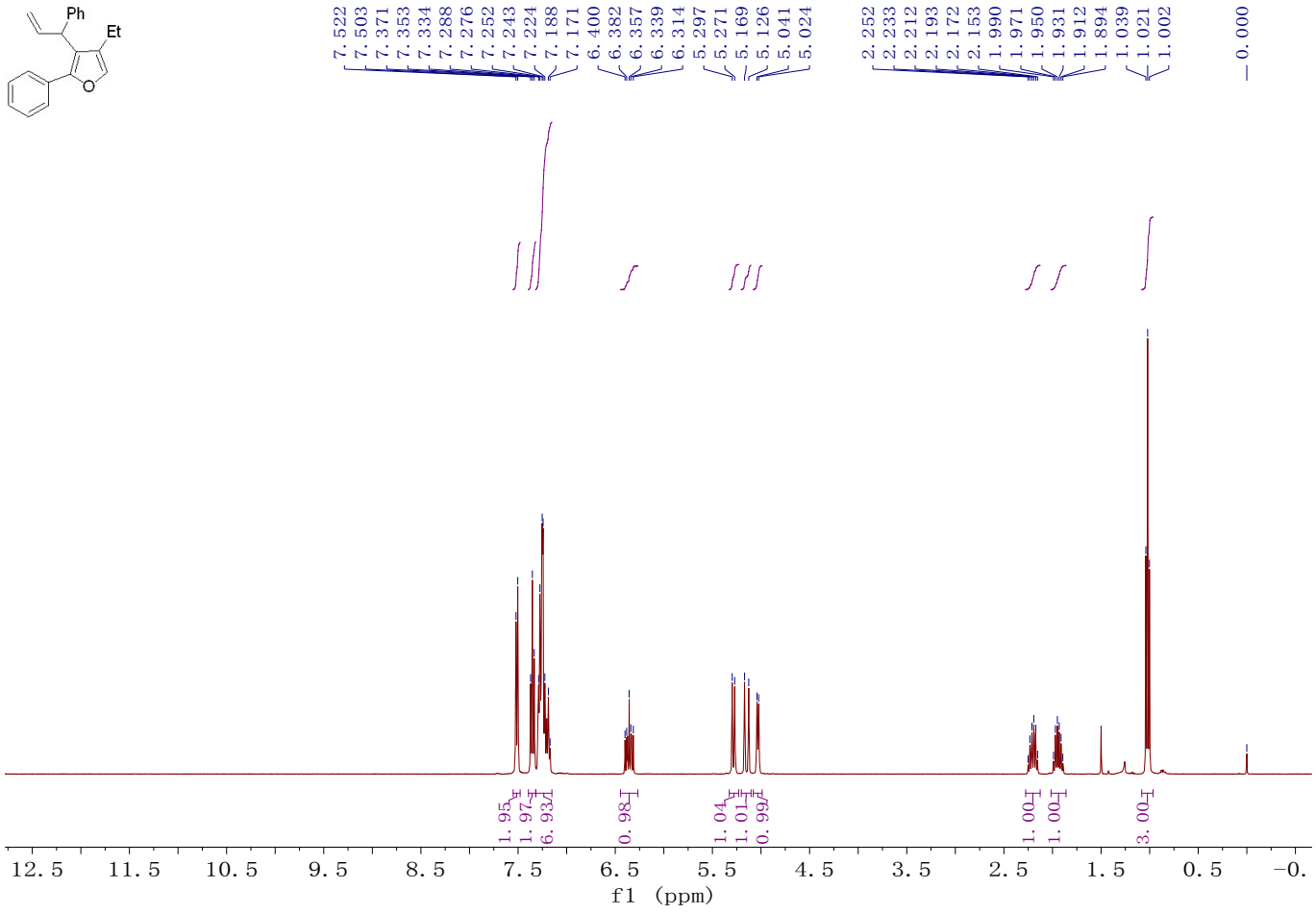
Compound **1n**. 540.0 mg, yield: 92%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.20-7.42 (m, 10H), 6.64 (d, *J* = 16.0 Hz, 1H), 6.32 (dt, *J* = 16.0, 6.0 Hz, 1H), 4.27 (d, *J* = 7.6 Hz, 2H), 3.51 (s, 2H), 1.03-1.10 (m, 2H), 0.88-0.95 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-d) δ 136.7, 132.3, 131.8, 128.5, 128.1, 127.6, 127.5, 126.5, 126.2, 123.7, 93.3, 77.2, 75.3, 71.4, 13.9, 13.1. IR (neat) ν 3081, 3052, 2852, 2217, 1597, 1492, 1442, 1113, 965, 754 cm^{-1}. HRMS (DART) calcd. for $C_{21}H_{21}O^{+}$ $[M+H]^{+}$: 289.1587, Found: 289.1585.

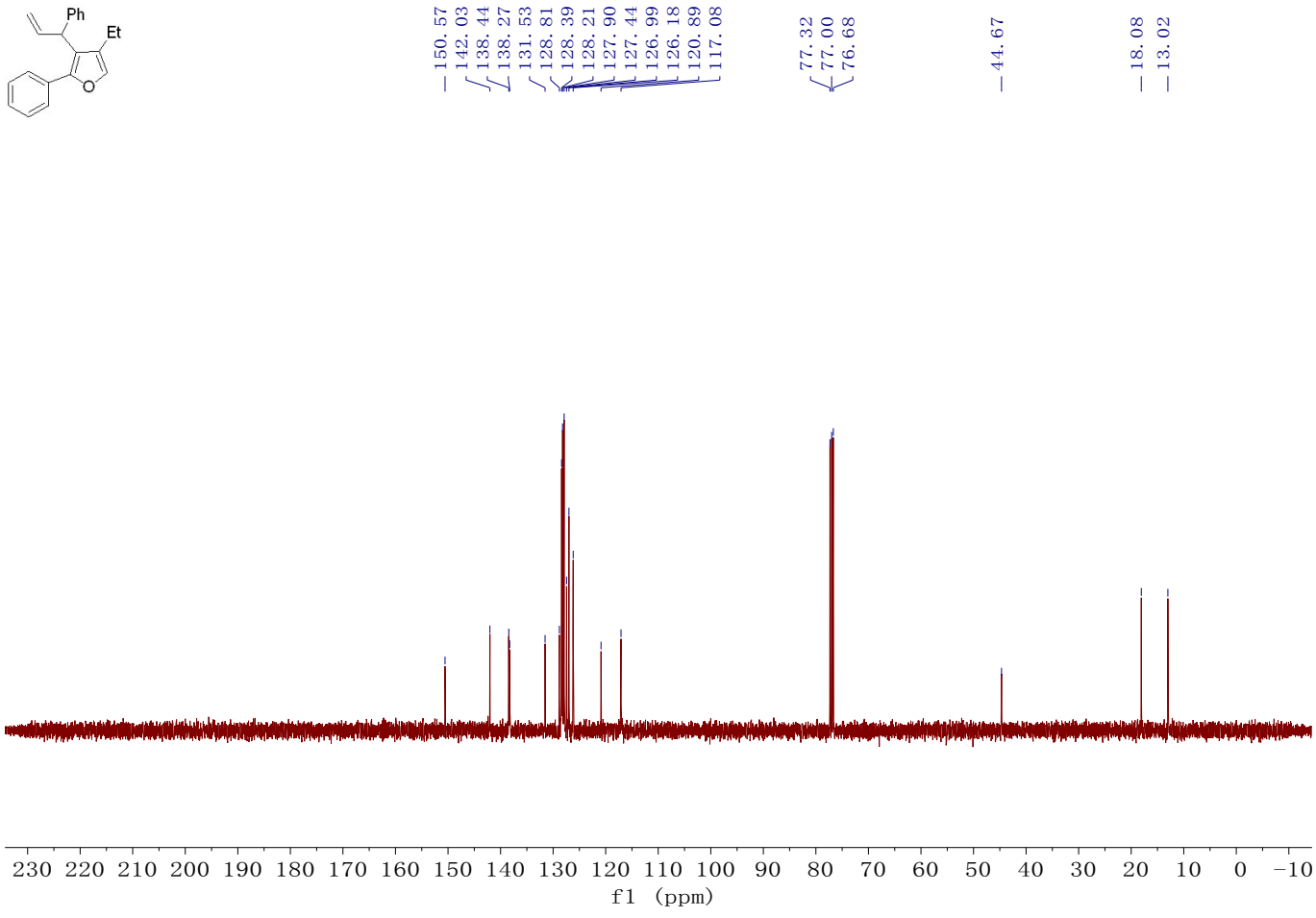


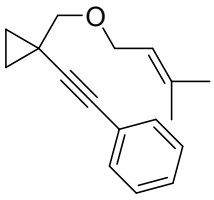




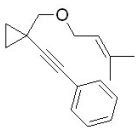
Compound **2n**. 40.5 mg, yield: 90%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.50-7.53 (m, 2H), 7.33-7.38 (m, 2H), 7.17-7.29 (m, 7H), 6.31-6.40 (m, 1H), 5.28 (d, *J* = 10.1 Hz, 1H), 5.15 (d, *J* = 17.2 Hz, 1H), 5.03 (d, *J* = 6.8 Hz, 1H), 2.15-2.26 (m, 1H), 1.89-1.99 (m, 1H), 1.02 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-d) δ 150.6, 142.0, 138.5, 138.4, 138.3, 131.5, 128.8, 128.4, 128.2, 127.9, 127.4, 127.0, 126.2, 120.9, 117.1, 44.7, 18.1, 13.0. IR (neat) ν 3059, 2966, 2872, 1598, 1491, 1446, 1062, 910, 767, 714 cm^{-1}. HRMS (DART) calcd. for $C_{21}H_{21}O^{+}$ $[M+H]^{+}$: 289.1587, Found: 289.1585.

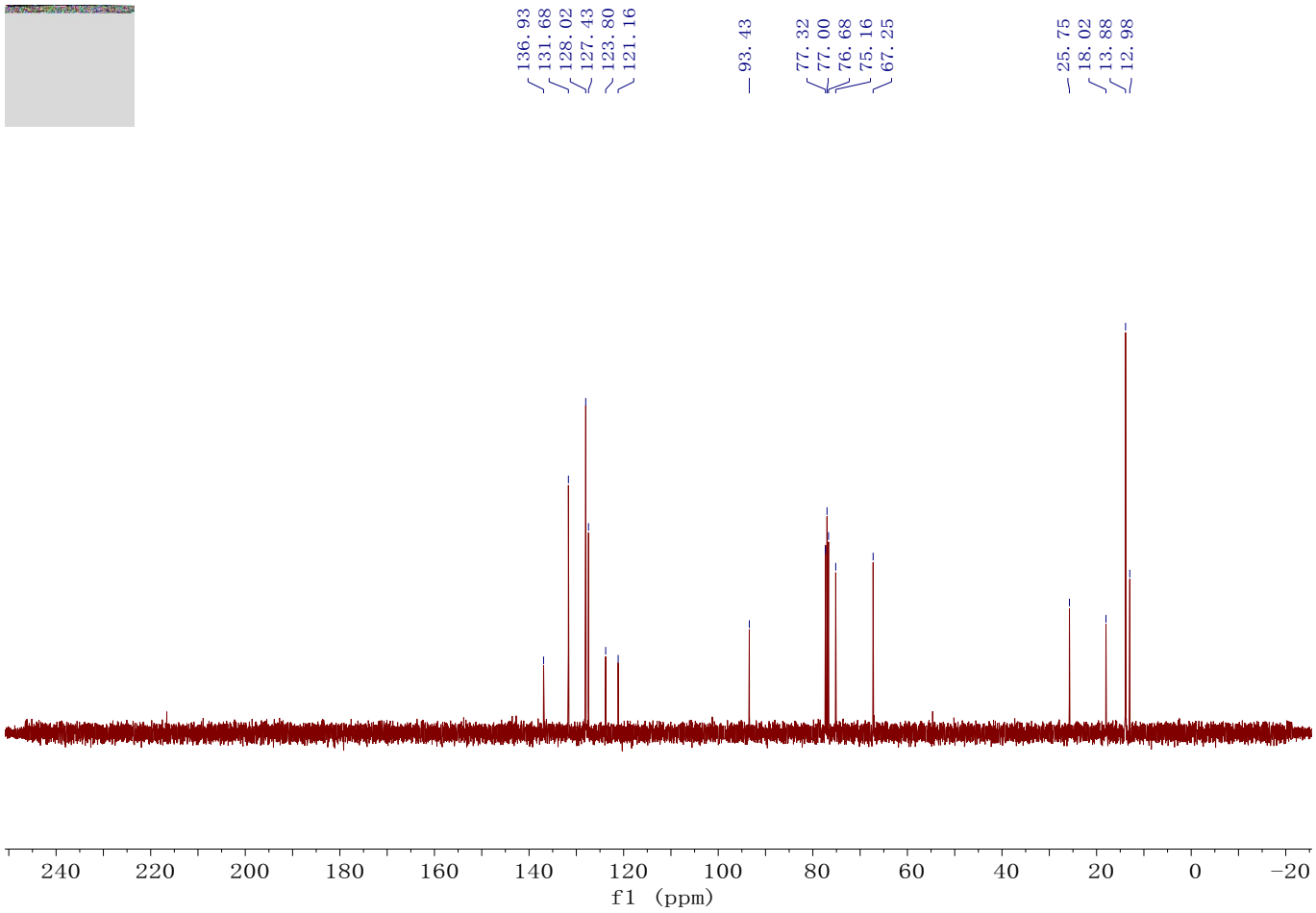

Ph
Et
O
7.522
7.503
7.371
7.353
7.334
7.288
7.276
7.252
7.243
7.224
7.188
7.171
6.400
6.382
6.357
6.339
6.314
5.297
5.271
5.169
5.126
5.041
5.024
2.252
2.233
2.212
2.193
2.172
2.153
1.990
1.971
1.950
1.931
1.912
1.894
1.039
1.021
1.002
0.000
1.95
1.97
6.93
0.98
1.04
1.01
0.99
1.00
1.00
3.00
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.
f1 (ppm)

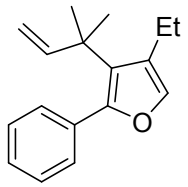

Ph
Et
O
150.57
142.03
138.44
138.27
131.53
128.81
128.39
128.21
127.90
127.44
126.99
126.18
120.89
117.08
77.32
77.00
76.68
44.67
18.08
13.02
230
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)



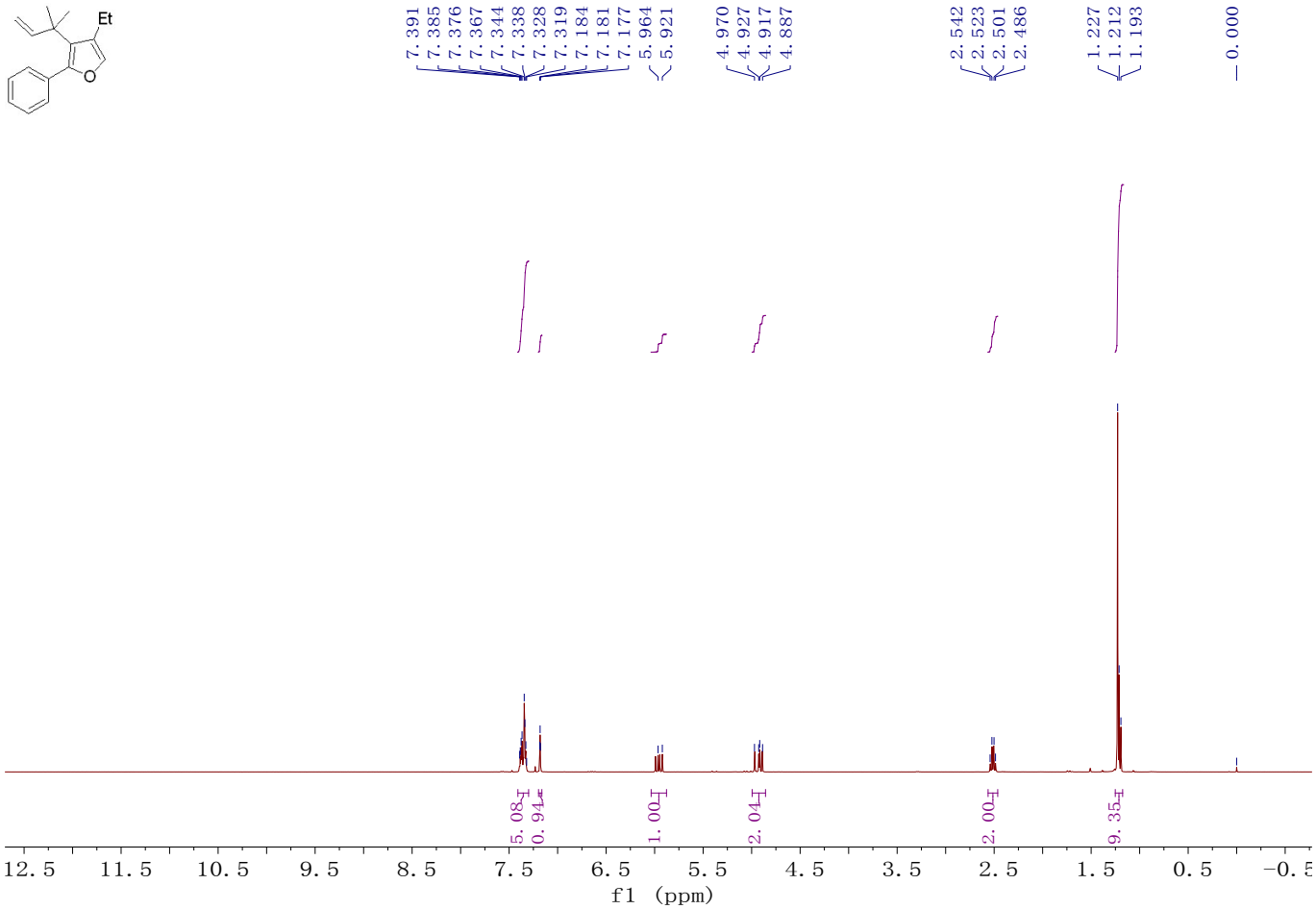
Compound **1o**. 240.0 mg, yield: 50%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.40 (m, 2H), 7.23-7.27 (m, 3H), 5.36-5.41 (m, 1H), 4.08 (d, *J* = 6.8 Hz, 2H), 3.44 (s, 2H), 1.74 (s, 3H), 1.69 (s, 3H), 1.00-1.07 (m, 2H), 0.85-0.92 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 136.9, 131.7, 128.0, 127.4, 123.8, 121.2, 93.4, 75.2, 67.2, 25.7, 18.0, 13.9, 13.0. IR (neat) ν 3081, 3018, 2972, 2857, 2236, 1674, 1492, 1249, 1082, 754 cm^{-1}. HRMS (DART) calcd. for $C_{17}H_{21}O^{+}$ $[M+H]^{+}$: 241.1587, Found: 241.1585.

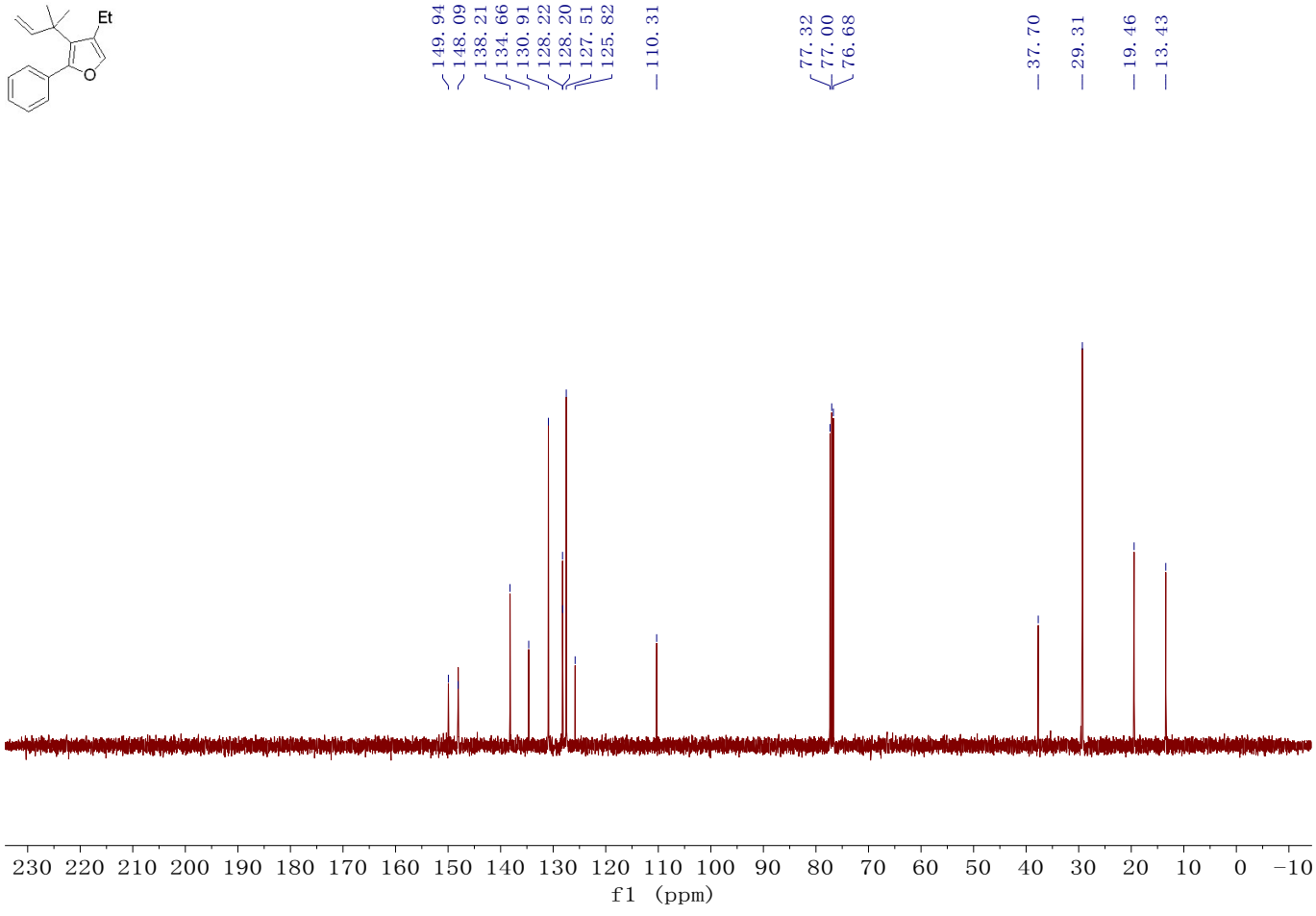


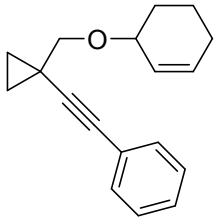




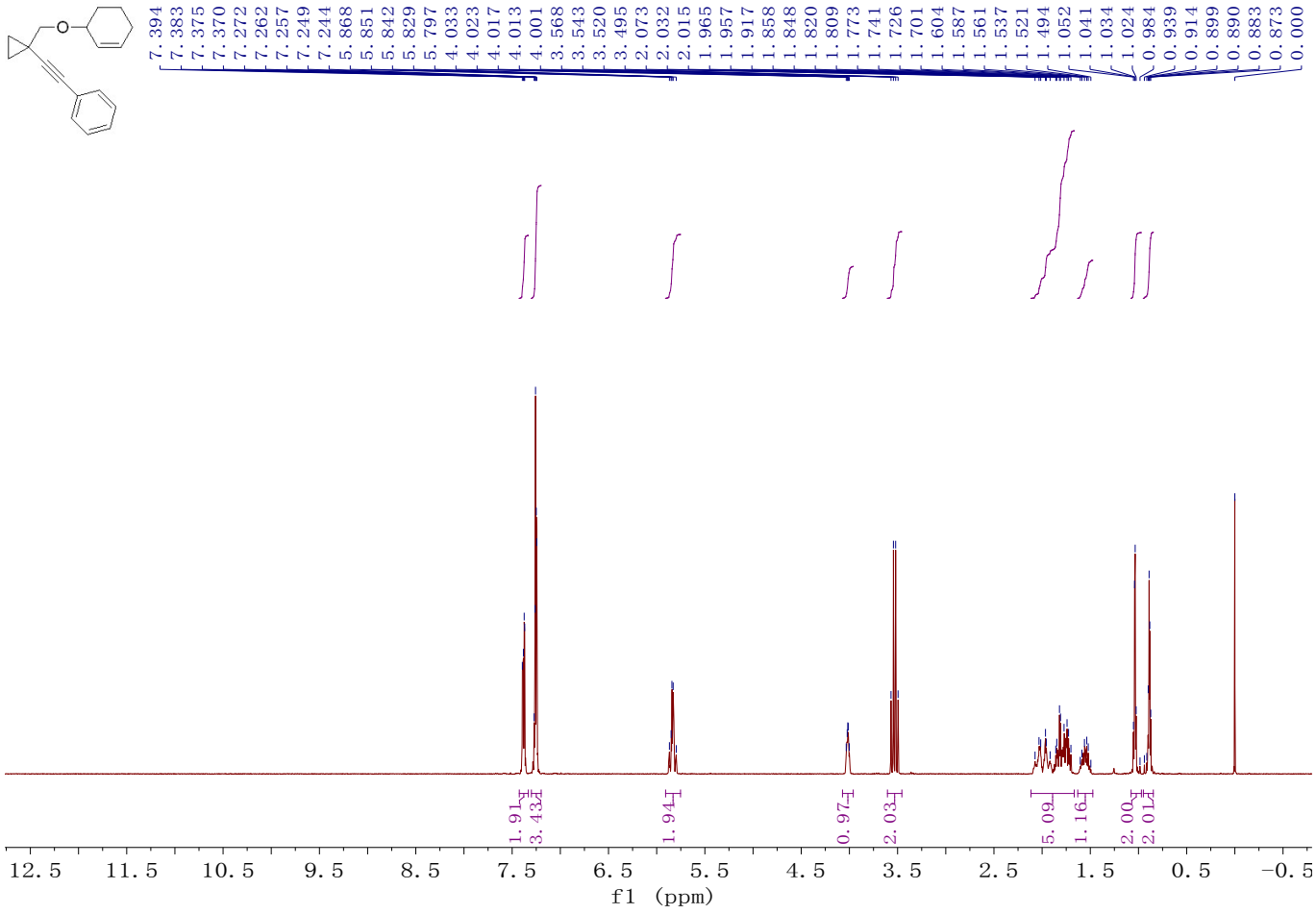
Compound **2o**. 33.4 mg, yield: 70%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.31-7.40 (m, 5H), 7.18 (t, *J* = 1.2 Hz, 1H), 5.94 (d, *J* = 17.4, 10.4 Hz, 1H), 4.88-4.97 (m, 2H), 2.48-2.55 (m, 2H), 1.19-1.23 (m, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.9, 148.1, 138.2, 134.7, 130.9, 128.22, 128.20, 127.5, 125.8, 110.3, 37.7, 29.3, 19.5, 13.4. IR (neat) ν 3080, 2966, 2932, 2876, 1634, 1463, 1374, 1155, 1056, 910 cm^{-1}. HRMS (DART) calcd. for $C_{17}H_{21}O^{+}$ [M+H]$^{+}$: 241.1587, Found: 241.1585.

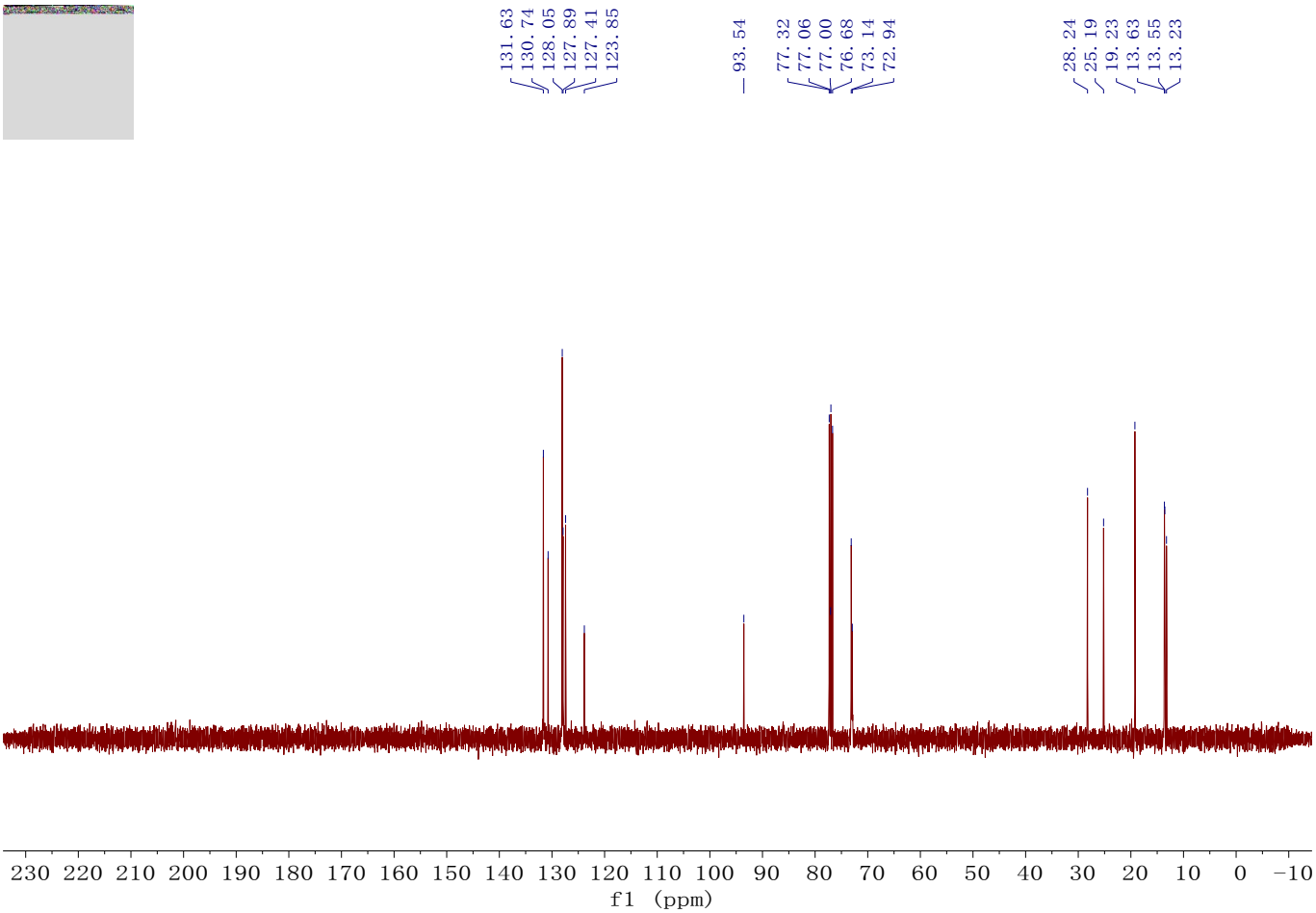

Et
O
7.391
7.385
7.376
7.367
7.344
7.338
7.328
7.319
7.184
7.181
7.177
5.964
5.921
4.970
4.927
4.917
4.887
2.542
2.523
2.501
2.486
1.227
1.212
1.193
0.000
5.08
0.94
1.00
2.04
2.00
9.35
f1 (ppm)

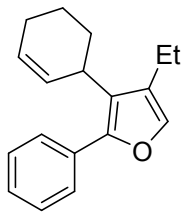

Et
O
149.94
148.09
138.21
134.66
130.91
128.22
128.20
127.51
125.82
110.31
77.32
77.00
76.68
37.70
29.31
19.46
13.43
f1 (ppm)



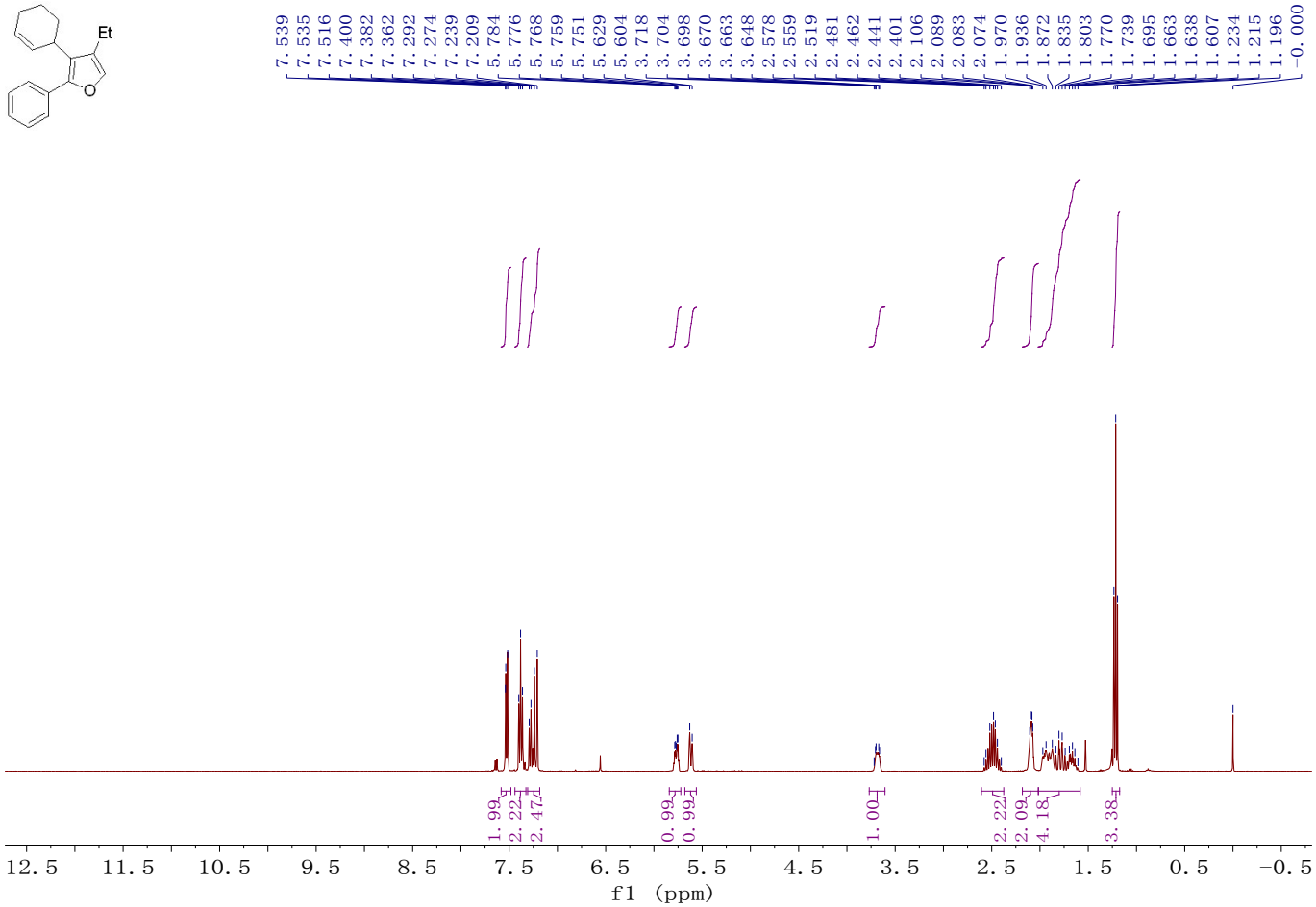
Compound **1p**. 300.0 mg, yield: 60%; yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.40 (m, 2H), 7.24-7.28 (m, 3H), 5.79-5.87 (m, 2H), 4.00-4.04 (m, 1H), 3.53 (q, *J* = 10.2 Hz, 2H), 1.70-2.08 (m, 5H), 1.49-1.61 (m, 1H), 0.98-1.06 (m, 2H), 0.87-0.94 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 131.6, 130.7, 128.0, 127.9, 127.4, 123.8, 93.5, 77.1, 73.1, 72.9, 28.2, 25.2, 19.2, 13.6, 13.5, 13.2. IR (neat) ν 3089, 3021, 2935, 2861, 2217, 1597, 1491, 1085, 926, 754 cm^{-1}. HRMS (DART) calcd. for $C_{18}H_{21}O^{+}$ $[M+H]^{+}$: 253.1587, Found: 253.1585.







Compound **2p**. 30.3 mg, yield: 61%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.51-7.54 (m, 2H), 7.36-7.40 (m, 2H), 7.20-7.30 (m, 3H), 5.75-5.79 (m, 1H), 5.62 (d, *J* = 10.2 Hz, 1H), 3.64-3.72 (m, 1H), 2.40-2.58 (m, 2H), 2.07-2.11 (m, 2H), 1.60-1.97 (m, 4H), 1.21 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-d) δ 149.6, 138.0, 132.0, 130.6, 129.0, 128.6, 128.3, 127.3, 127.1, 127.0, 123.8, 33.1, 29.4, 24.8, 22.9, 17.7, 13.5. IR (neat) ν 3020, 2931, 2858, 2824, 1796, 1445, 1063, 909, 870, 765 cm^{-1}. HRMS (DART) calcd. for $C_{18}H_{21}O^{+}$ $[M+H]^{+}$: 253.1587, Found: 253.1585.


Et
O
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7.535
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7.400
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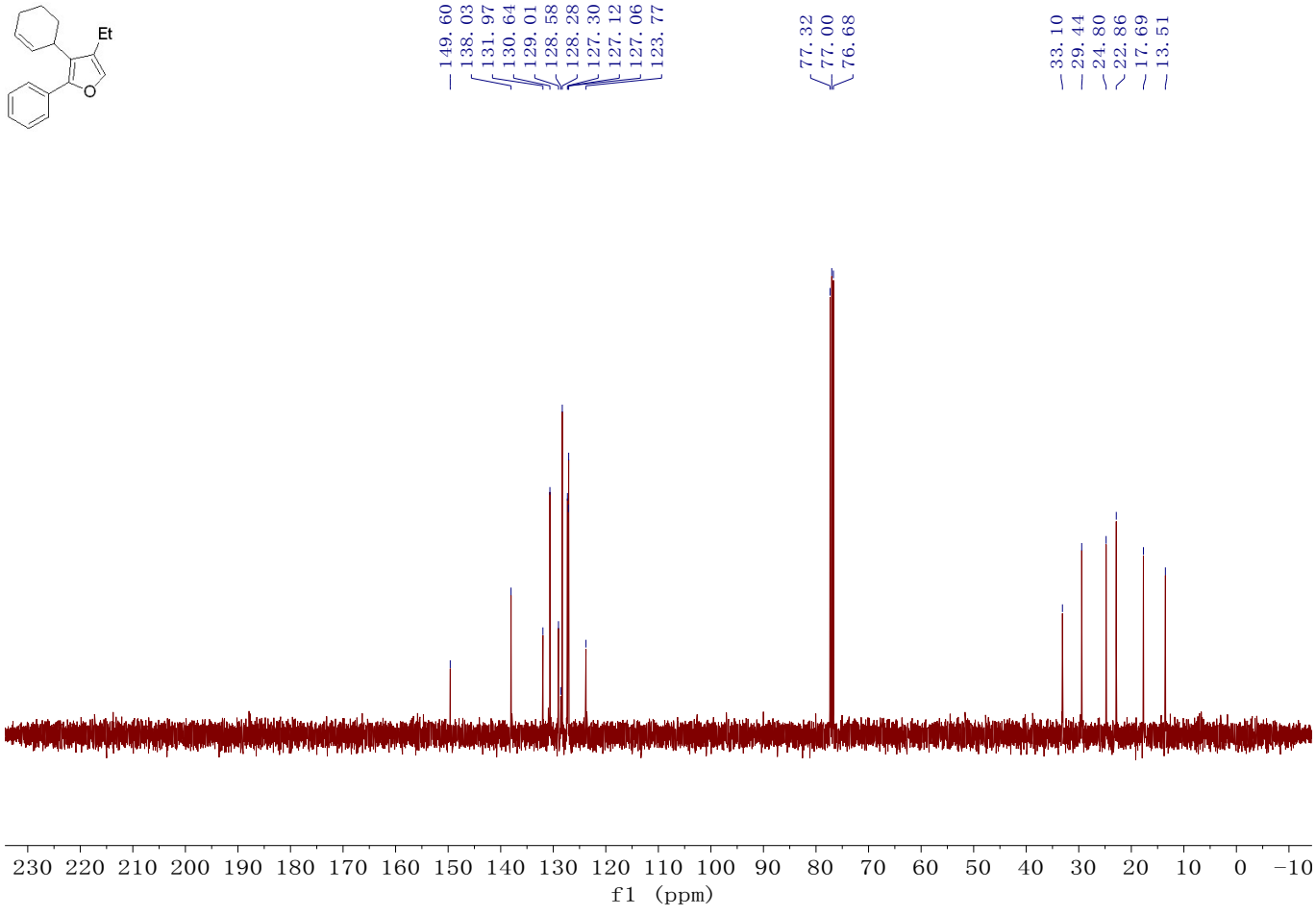
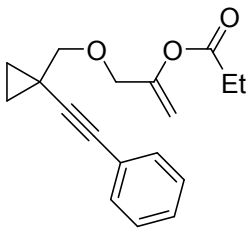
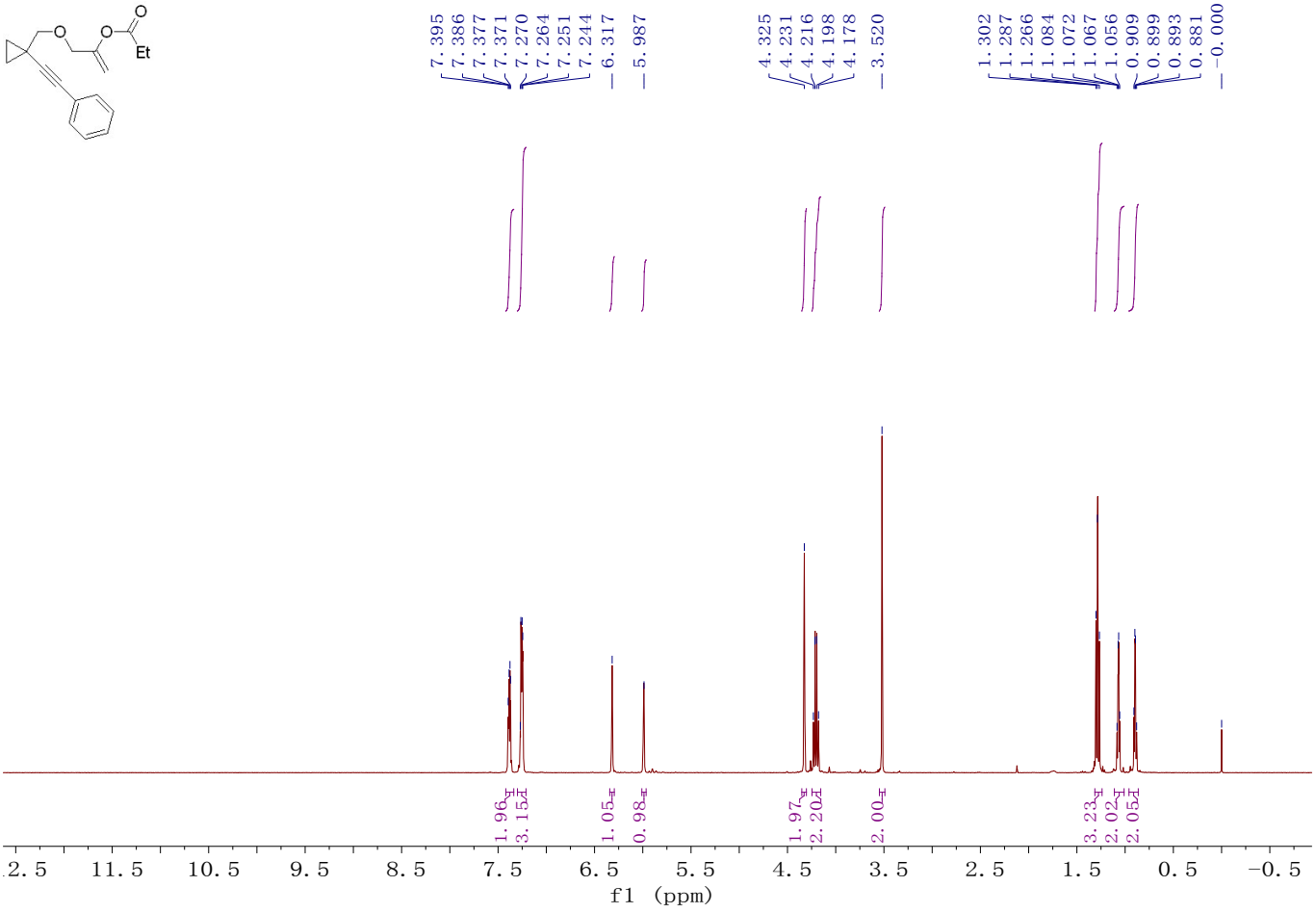
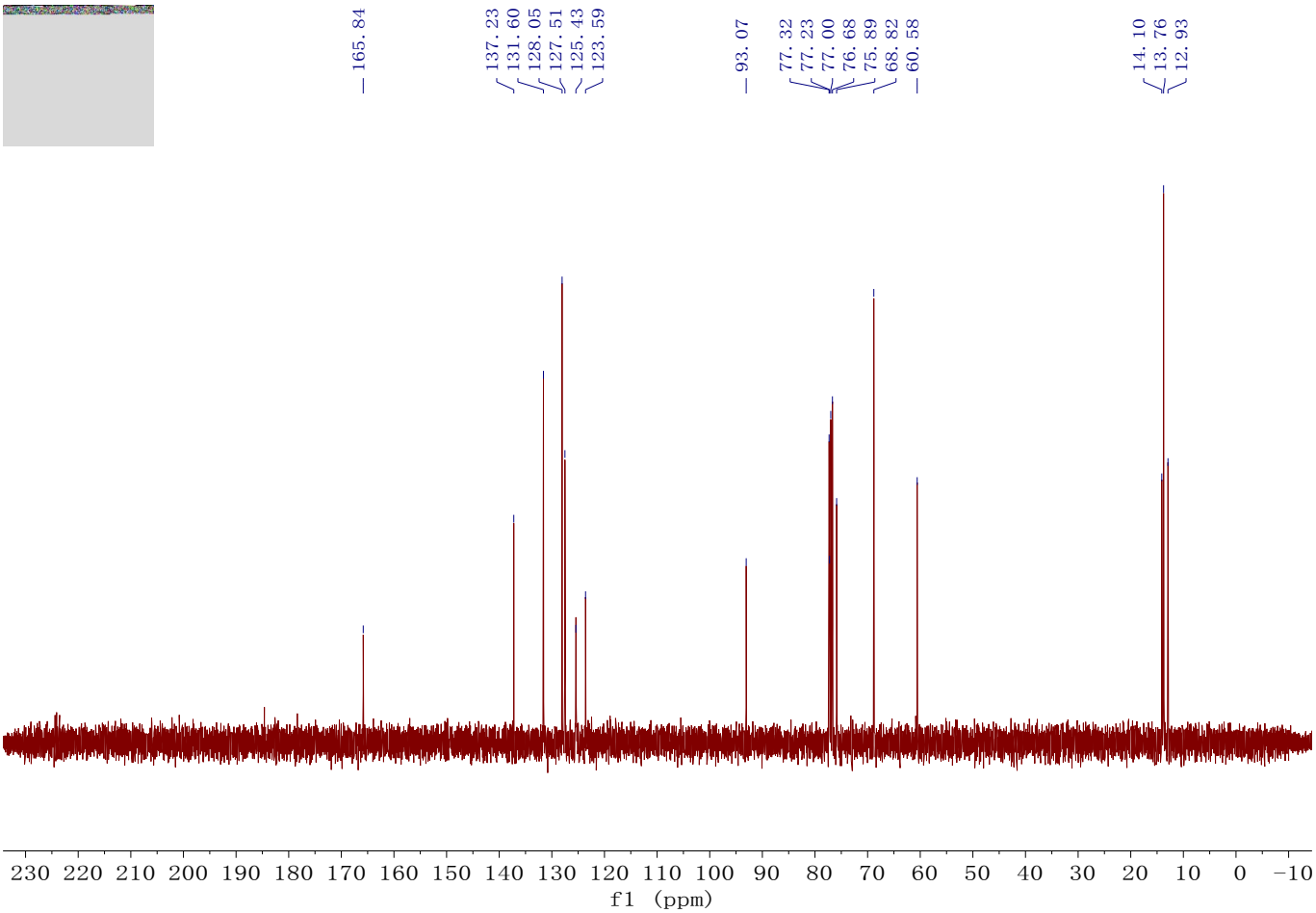
7.362
7.292
7.274
7.239
7.209
5.784
5.776
5.768
5.759
5.751
5.629
5.604
3.718
3.704
3.698
3.670
3.663
3.648
2.578
2.559
2.519
2.481
2.462
2.441
2.401
2.106
2.089
2.083
2.074
1.970
1.936
1.872
1.835
1.803
1.770
1.739
1.695
1.663
1.638
1.607
1.234
1.215
1.196
-0.000
1.99
2.22
2.47
0.99
0.99
1.00
2.22
2.09
4.18
3.38
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
-0.5
f1 (ppm)

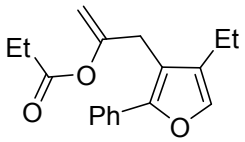

Et
O
149.60
138.03
131.97
130.64
129.01
128.58
128.28
127.30
127.12
127.06
123.77
77.32
77.00
76.68
33.10
29.44
24.80
22.86
17.69
13.51
230
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)



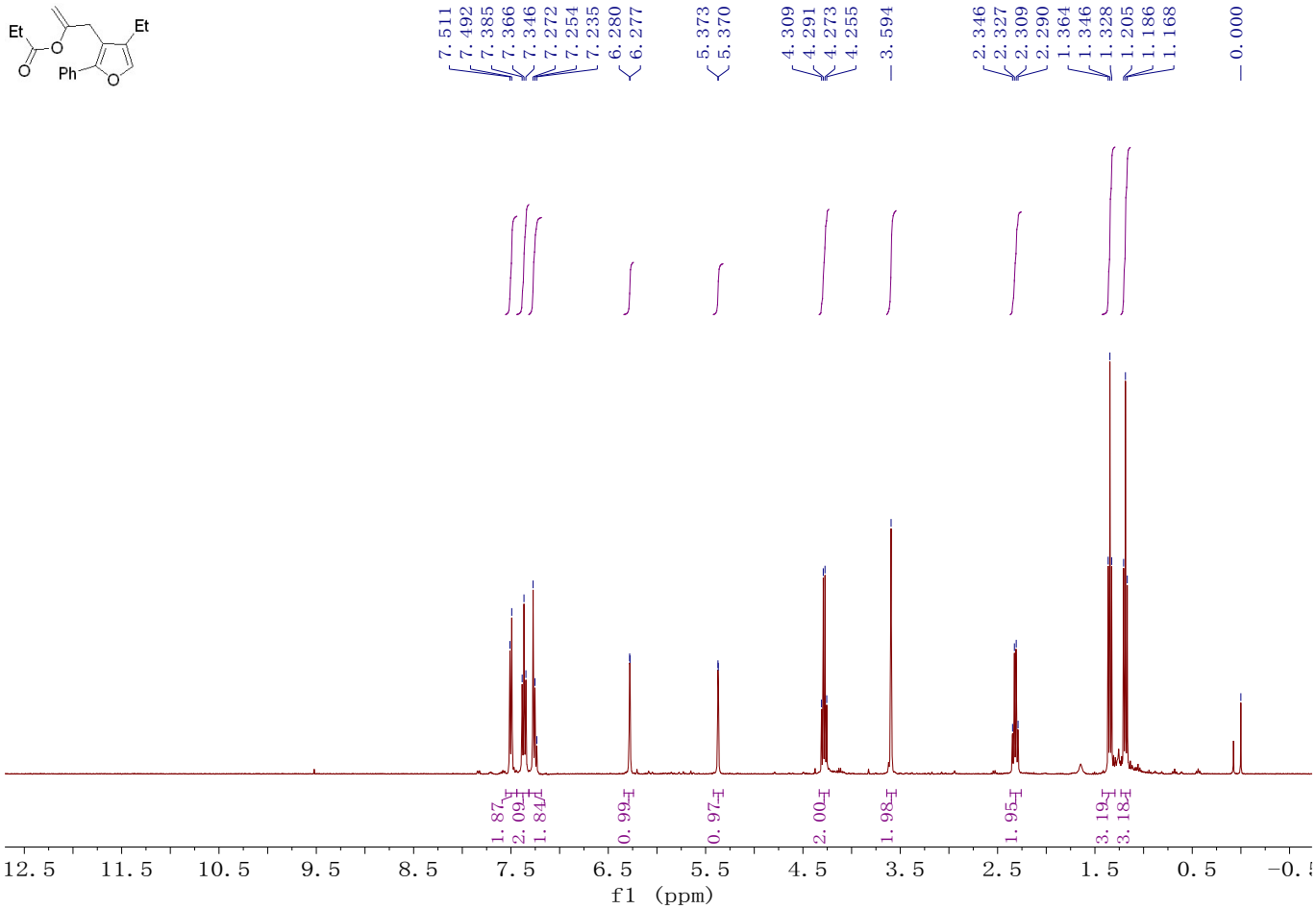
Compound **1q**. 500.3 mg, yield: 93%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.40 (m, 2H), 7.24-7.27 (m, 3H), 6.32 (s, 1H), 5.99 (s, 1H), 4.33 (s, 2H), 4.21 (q, *J* = 8.0 Hz, 2H), 3.52 (s, 2H), 1.29 (t, *J* = 8.0 Hz, 3H), 1.05-1.09 (m, 2H), 0.88-0.91 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 165.8, 137.2, 131.6, 128.1, 127.5, 125.4, 123.6, 93.1, 77.2, 75.9, 68.8, 60.6, 14.1, 13.8, 12.9. IR (neat) ν 3089, 2980, 2865, 2225, 1711, 1637, 1491, 1304, 1096, 755 cm^{-1}. HRMS (EI) calcd. for $C_{18}H_{20}O_3^+$: 284.1412, Found: 284.1418.







Compound **2q**. 34.5 mg, yield: 61%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.49-7.52 (m, 2H), 7.34-7.39 (m, 2H), 7.23-7.28 (m, 2H), 6.28 (d, *J* = 1.2 Hz, 1H), 5.37 (d, *J* = 1.2 Hz, 1H), 4.28 (q, *J* = 7.2 Hz, 2H), 3.59 (s, 2H), 2.32 (q, *J* = 7.2 Hz, 2H), 1.35 (t, *J* = 7.2 Hz, 3H), 1.19 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-d) δ 167.1, 150.4, 138.2, 137.7, 131.3, 129.2, 128.6, 127.1, 125.5, 125.3, 116.5, 60.9, 26.3, 16.8, 14.2, 13.4. IR (neat) ν 2976, 2937, 1765, 1712, 1449, 1255, 1137, 1020, 911, 729 cm^{-1}. HRMS (EI) calcd. for $C_{18}H_{20}O_3^+$: 284.1412, Found: 284.1407.


Et
O
O
Ph
Et
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7.385
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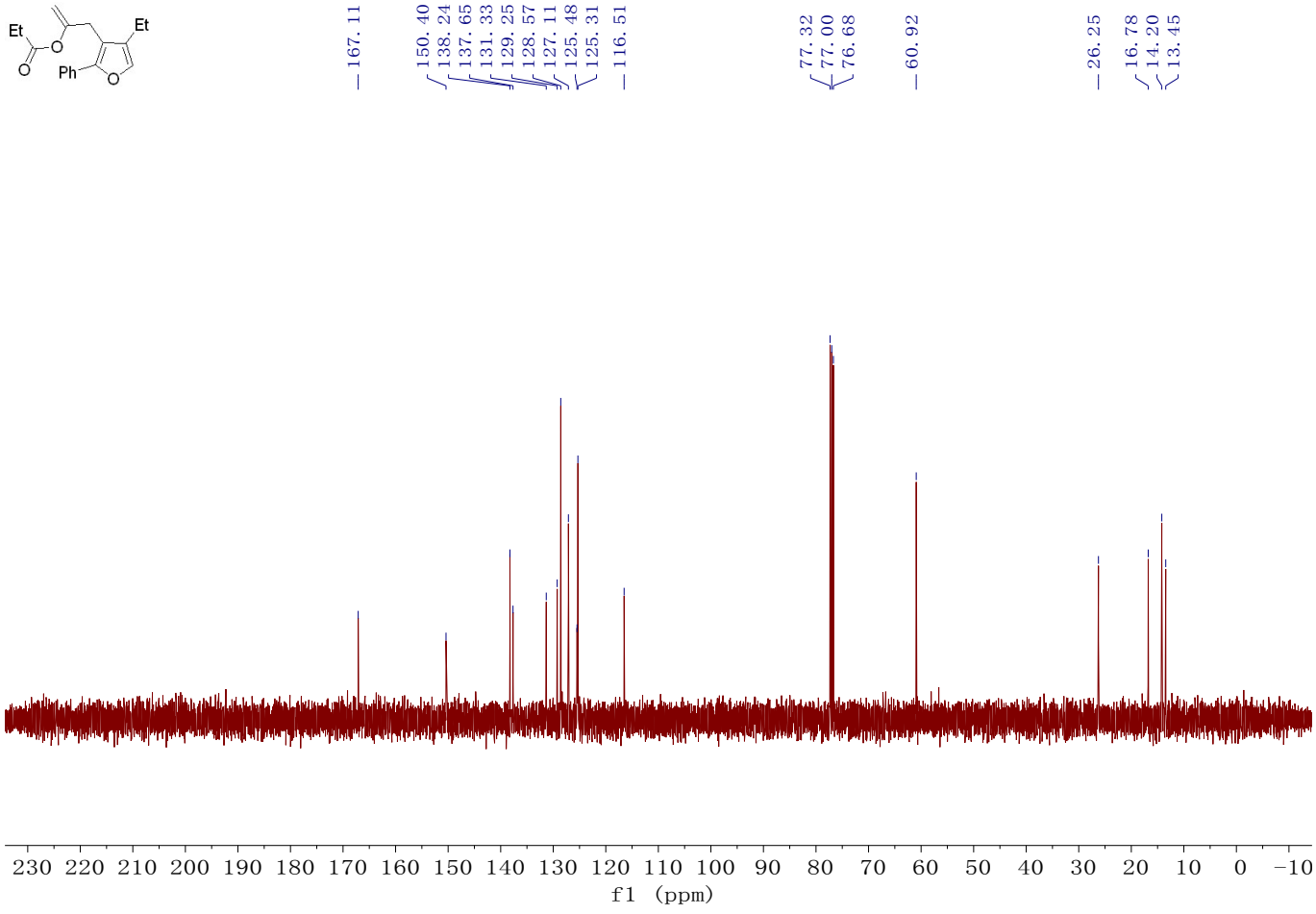
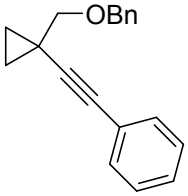
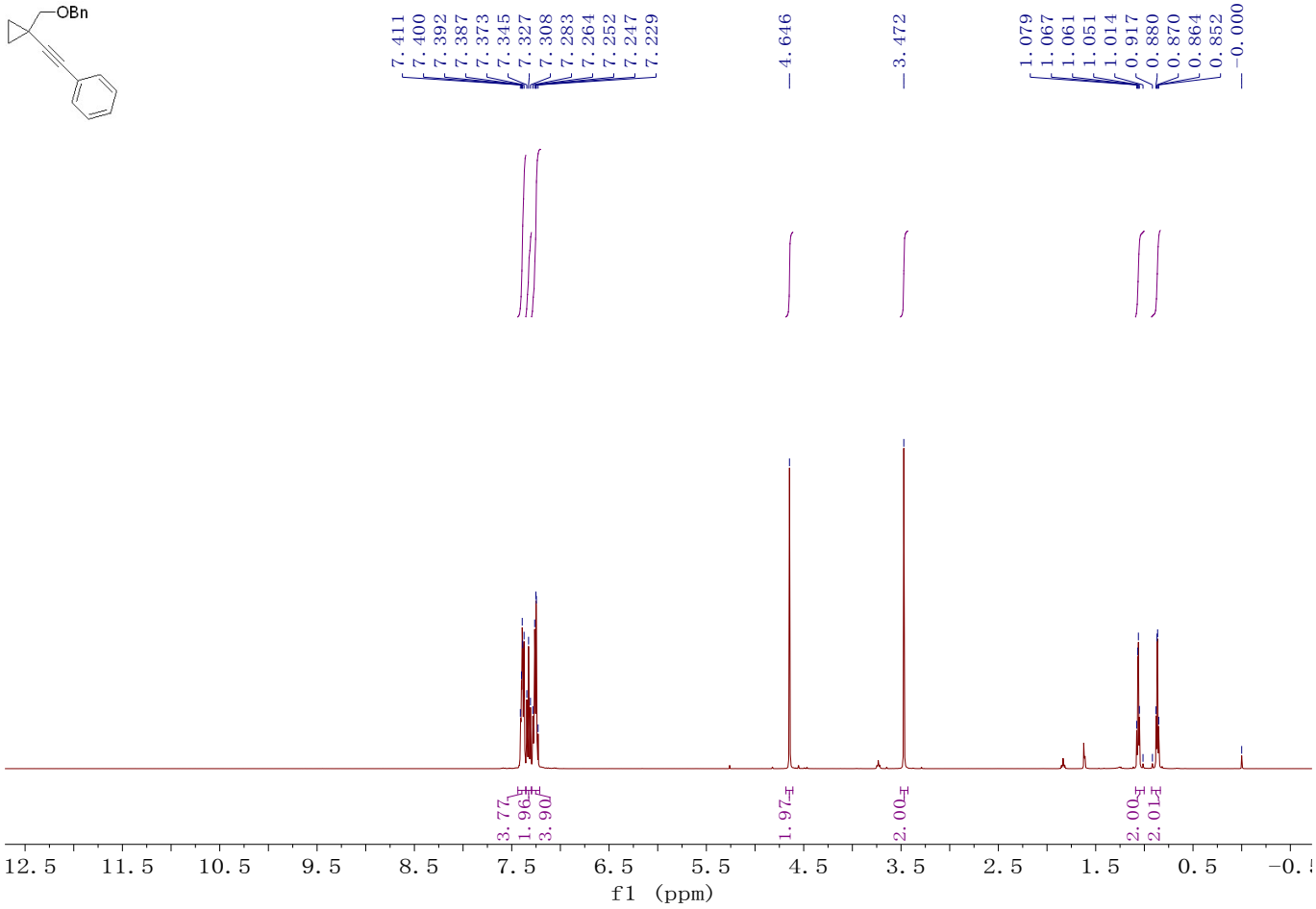
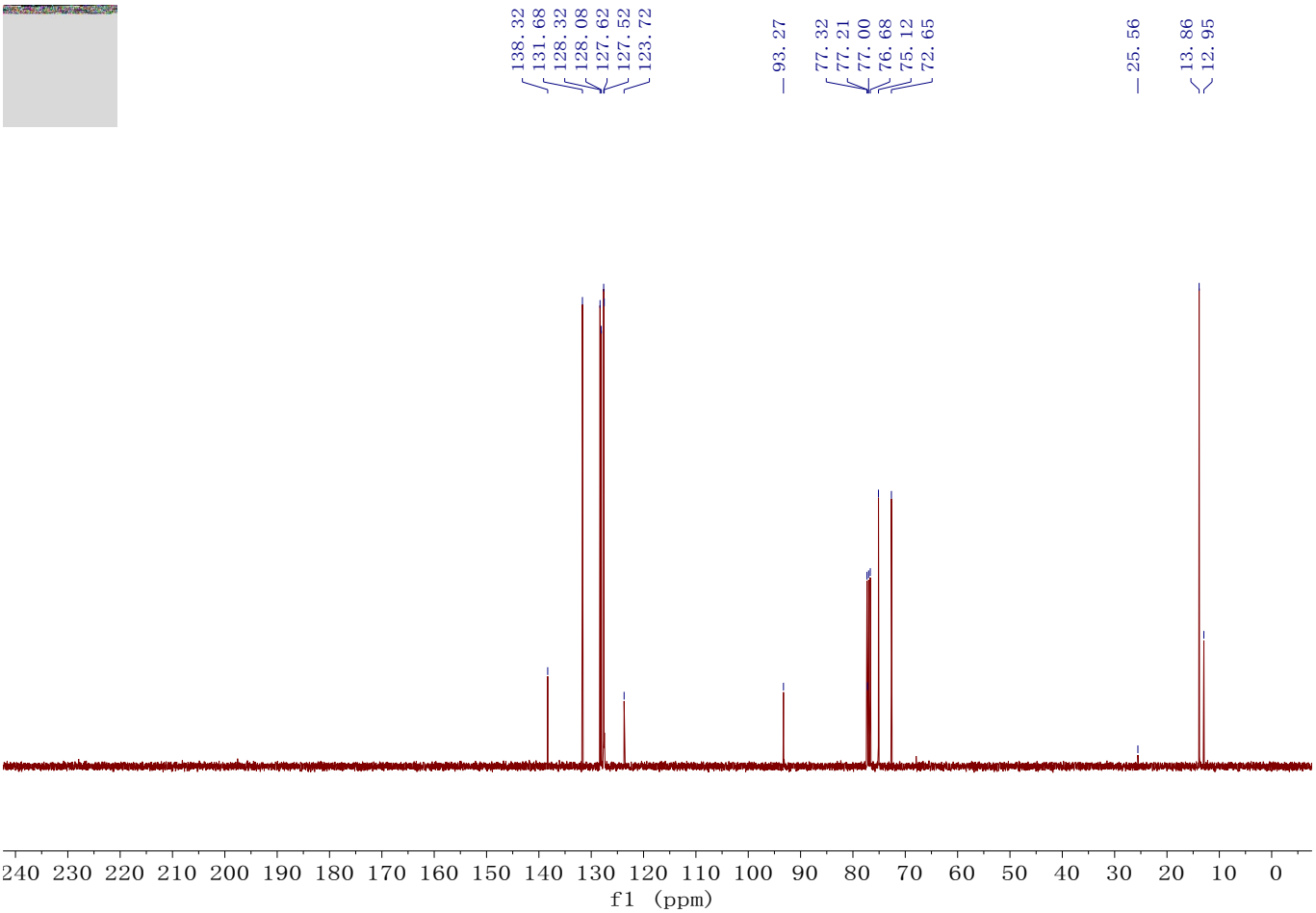
7.346
7.272
7.254
7.235
6.280
6.277
5.373
5.370
4.309
4.291
4.273
4.255
3.594
2.346
2.327
2.309
2.290
1.364
1.346
1.328
1.205
1.186
1.168
0.000
1.87
2.09
1.84
0.99
0.97
2.00
1.98
1.95
3.19
3.18
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)

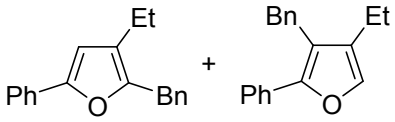

Et
O
O
Ph
Et
167.11
150.40
138.24
137.65
131.33
129.25
128.57
127.11
125.48
125.31
116.51
77.32
77.00
76.68
60.92
26.25
16.78
14.20
13.45
230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)



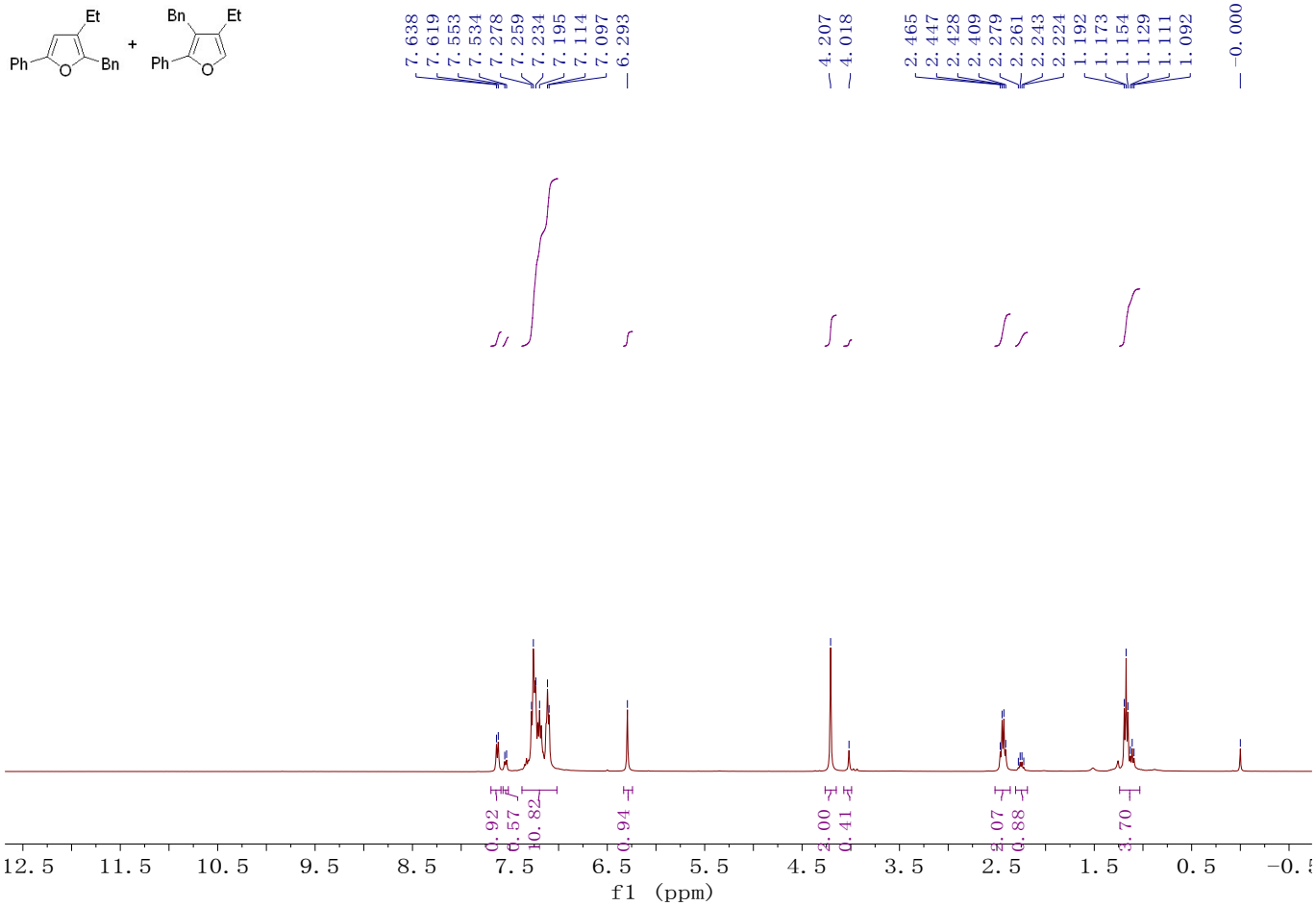
Compound **1r**. 50.1 mg, yield: 13%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.42 (m, 4H), 7.30-7.35 (m, 2H), 7.22-7.24 (m, 4H), 4.65 (s, 2H), 3.47 (s, 2H), 1.01-1.08 (m, 2H), 0.85-0.92 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-d) δ 138.3, 131.7, 128.3, 128.1, 127.6, 127.5, 123.7, 93.3, 77.2, 75.1, 72.6, 13.9, 13.0. IR (neat) ν 3058, 3026, 2856, 2212, 1491, 1453, 1095, 929, 911, 734 cm^{-1}. HRMS (DART) calcd. for $C_{19}H_{19}O^{+}$ $[M+H]^{+}$: 263.1430, Found: 263.1430.







Compound **2ra** & **2rb**. 22.6 mg, yield: 44%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.61-7.64 (m, 1H), 7.53-7.56 (m, 0.5H), 7.09-7.28 (m, 11H), 6.29 (s, 1H), 4.21 (s, 2H), 4.02 (s, 0.4H), 2.44 (q, *J* = 7.2 Hz, 2H), 2.25 (q, *J* = 7.2 Hz, 0.8H), 1.09-1.20 (m, 4H). ^{13}C NMR (101 MHz, Chloroform-d) δ 153.2, 140.9, 137.7, 137.4, 130.9, 130.8, 128.9, 128.7, 128.4, 128.0, 127.7, 126.3, 125.9, 39.7, 18.3, 14.2. IR (neat) ν 3060, 2966, 2932, 1794, 1494, 1451, 1124, 1029, 912, 761 cm^{-1}. HRMS (EI) calcd. for $C_{19}H_{18}O^{+}$: 262.1358, Found: 262.1356.


Et
Ph
Bn
+
Bn
Et
Ph
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7.553
7.534
7.278
7.259
7.234
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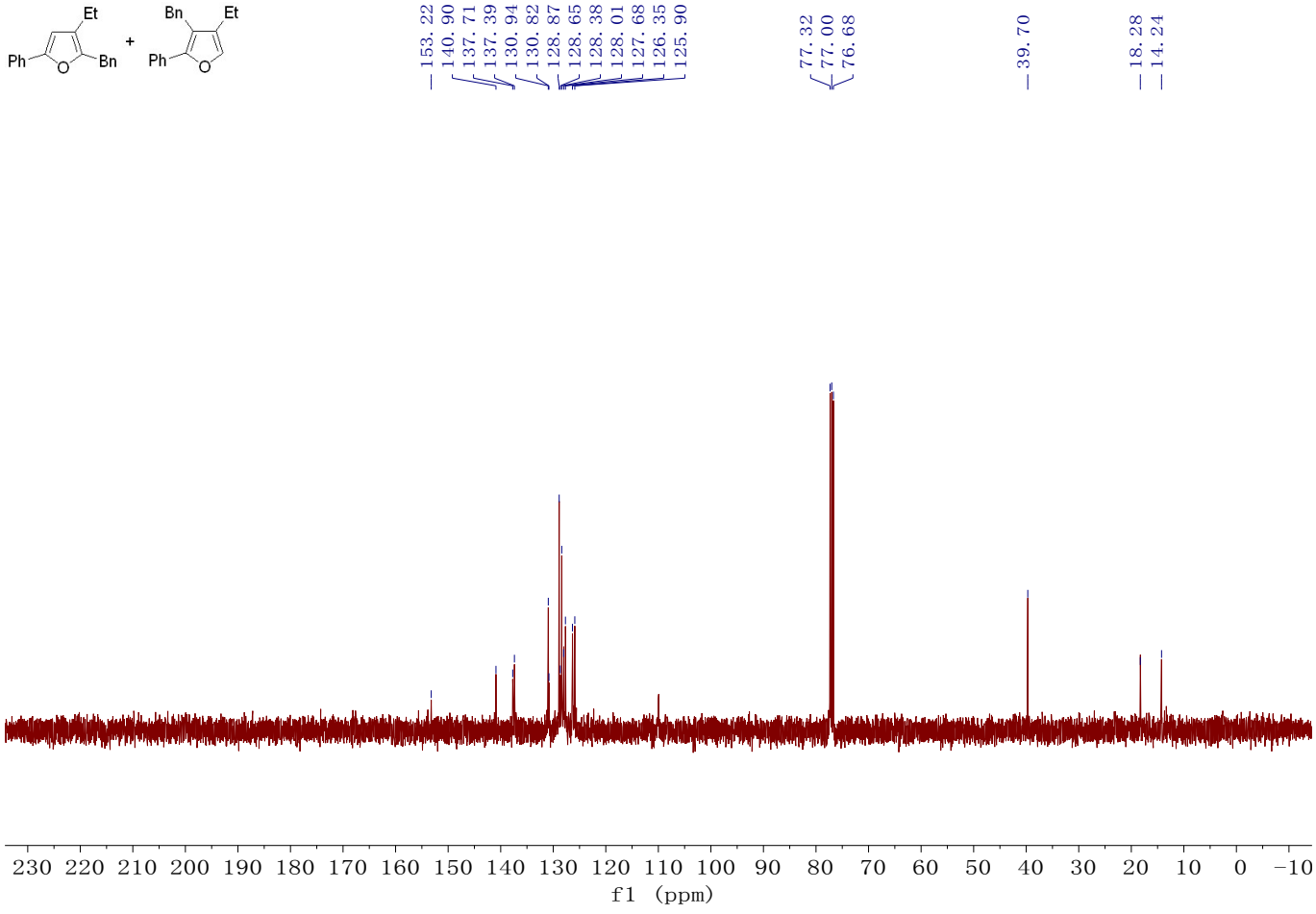
7.114
7.097
6.293
4.207
4.018
2.465
2.447
2.428
2.409
2.279
2.261
2.243
2.224
1.192
1.173
1.154
1.129
1.111
1.092
-0.000
0.92
0.57
10.82
0.94
2.00
0.41
2.07
0.88
3.70
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)


Et
Ph
Bn
+
Bn
Et
Ph
153.22
140.90
137.71
137.39
130.94
130.82
128.87
128.65
128.38
128.01
127.68
126.35
125.90
77.32
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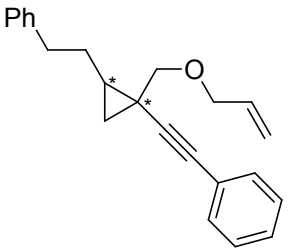
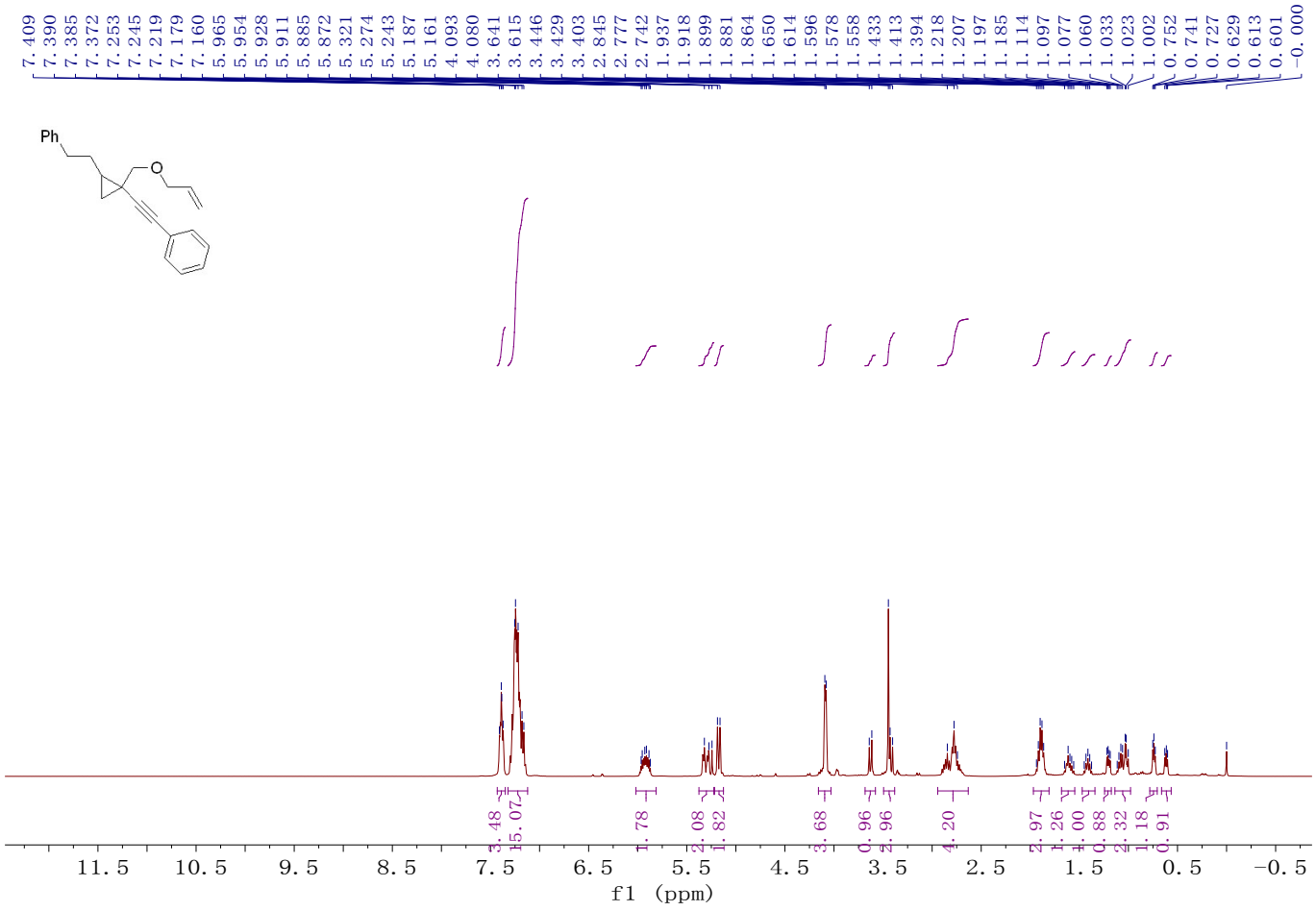
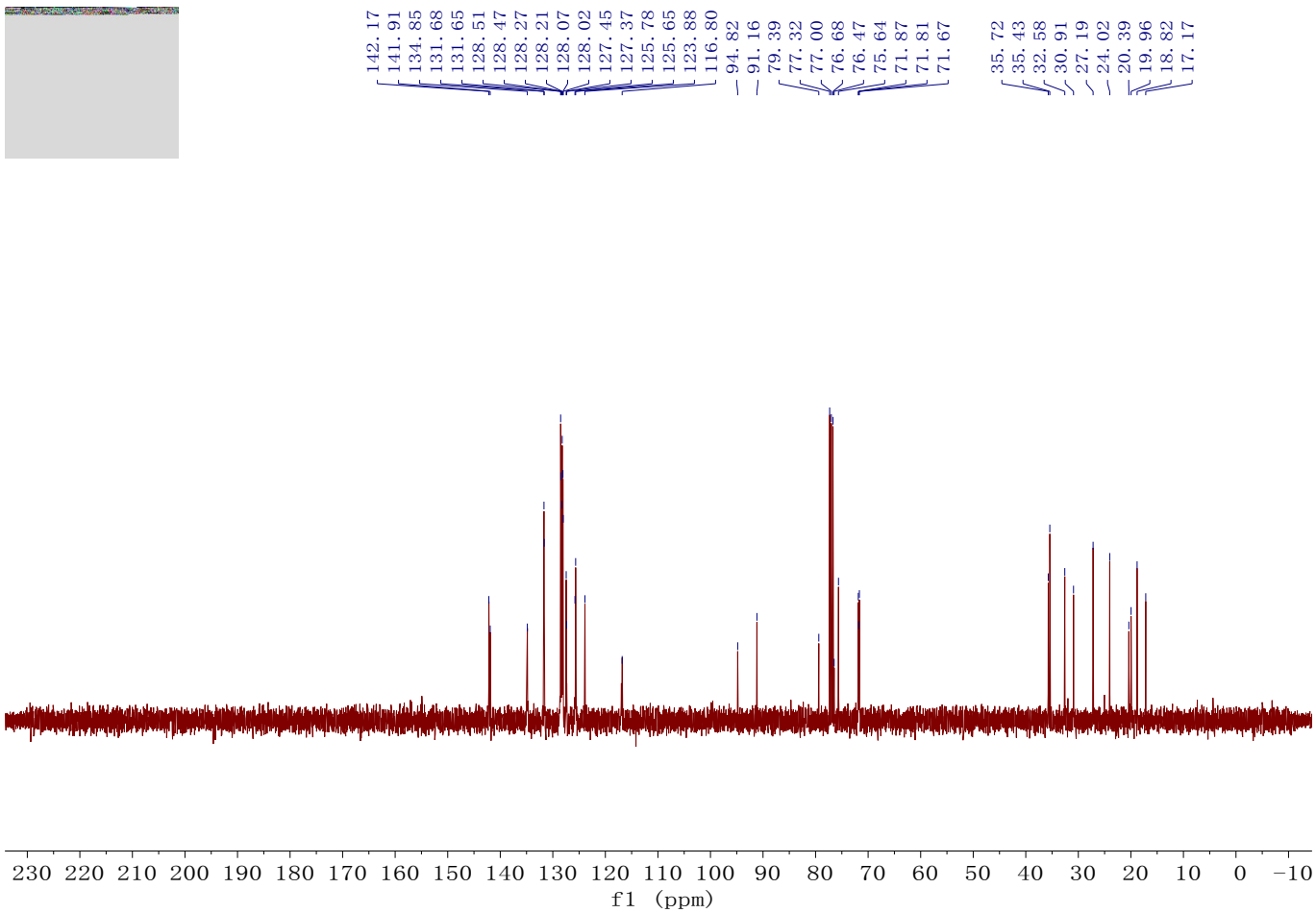
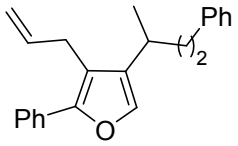
f1 (ppm)



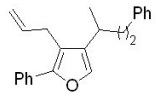
Compound **1s**. 567.0 mg, yield: 90%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.41 (m, 4H), 7.16-7.26 (m, 15H), 5.87-5.97 (m, 2H), 5.24-5.33 (m, 2H), 5.17 (d, *J* = 10.4 Hz, 2H), 4.09 (d, *J* = 5.3 Hz, 4H), 3.63 (d, *J* = 10.3 Hz, 1H), 3.40-3.45 (m, 3H), 2.74-2.85 (m, 4H), 1.86-1.94 (m, 3H), 1.55-1.65 (m, 1H), 1.37-1.45 (m, 1H), 1.18-1.22 (m, 1H), 1.00-1.12 (m, 2H), 0.72-0.76 (m, 1H), 0.60-0.63 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 142.2, 141.9, 134.8, 131.7, 131.6, 128.51, 128.47, 128.3, 128.2, 128.1, 128.0, 127.5, 127.4, 125.8, 125.7, 123.9, 116.8, 94.8, 91.2, 79.4, 76.5, 75.6, 71.9, 71.8, 71.7, 35.7, 35.4, 32.6, 30.9, 27.2, 24.0, 20.4, 20.0, 18.8, 17.2. IR (neat) ν 3086, 3060, 2925, 2855, 1625, 1491, 1453, 1132, 1094, 919 cm^{-1}. HRMS (EI) calcd. for $C_{23}H_{24}O^{+}$: 316.1827, Found: 316.1828.







Compound **2s**. 27.9 mg, yield: 45%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.58-7.61 (m, 2H), 7.35-7.40 (m, 2H), 7.14-7.28 (m, 7H), 5.92-6.03 (m, 1H), 5.09 (d, *J* = 10.4 Hz, 1H), 5.00 (d, *J* = 18.4 Hz, 1H), 3.32 (s, 2H), 2.58-2.66 (m, 3H), 1.89-1.98 (m, 1H), 1.75-1.85 (m, 1H), 1.24-1.26 (m, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.9, 142.4, 137.3, 136.0, 133.0, 131.6, 128.5, 128.4, 128.3, 126.9, 125.7, 125.6, 117.4, 115.8, 39.2, 33.7, 28.7, 28.1, 21.6. IR (neat) ν 3068, 2928, 1763, 1450, 1259, 1022, 908, 793, 763, 697 cm^{-1}. HRMS (EI) calcd. for $C_{23}H_{24}O^{+}$: 316.1827, Found: 316.1824.



7.604
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7.235
7.188
7.169
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6.009
5.983
5.966
5.940
5.928
5.099
5.073
5.019
4.973

3.318
2.654
2.639
2.623
2.606
2.589
1.980
1.964
1.947
1.929
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1.828
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1.772
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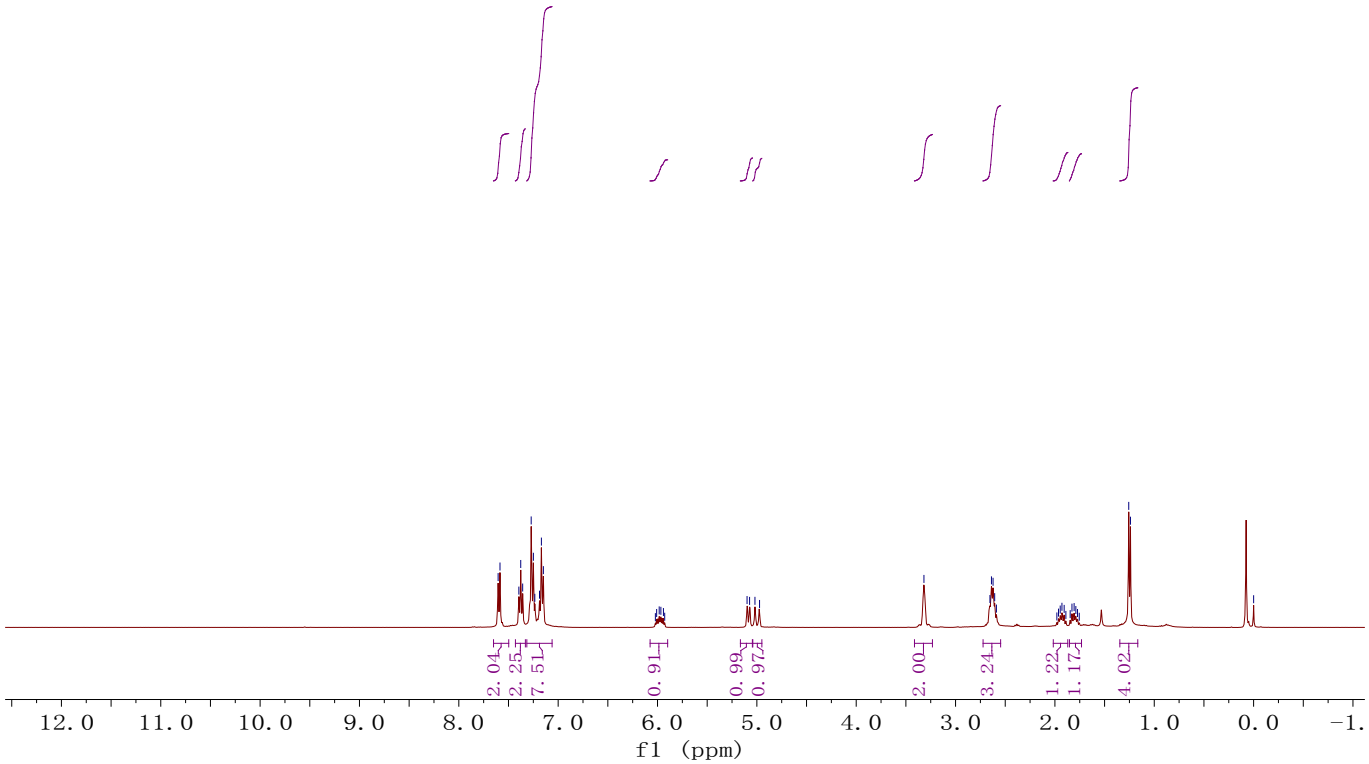
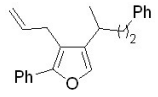
1.257
1.240
-0.000





149.86
142.43
137.25
135.99
133.02
131.62
128.46
128.39
128.30
126.92
125.69
125.56
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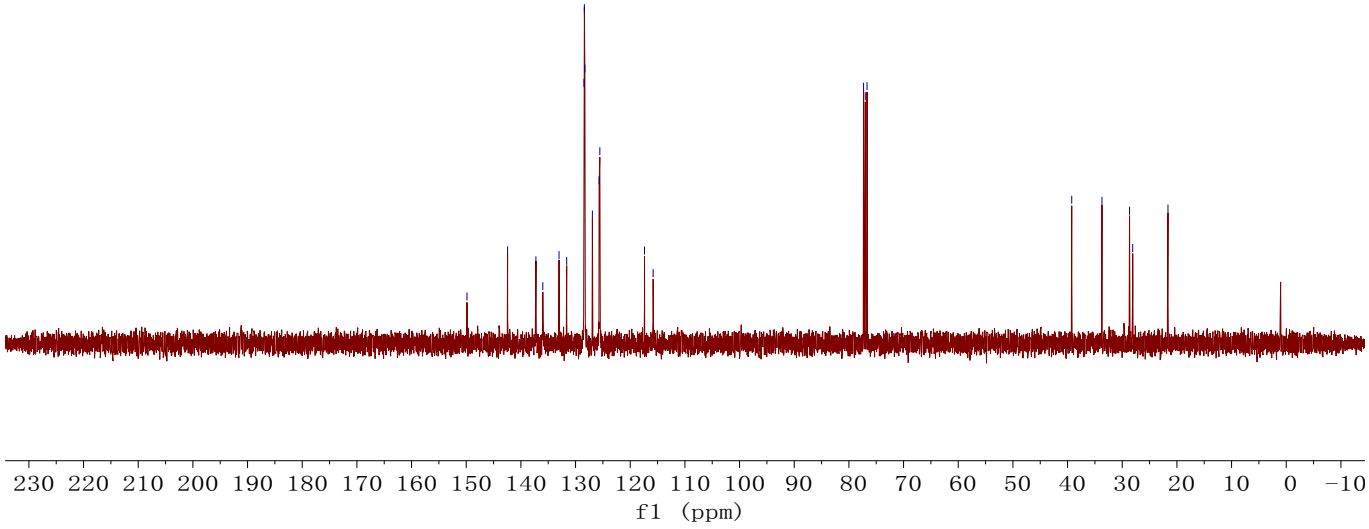
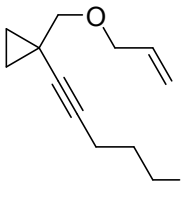
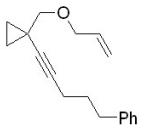
76.68

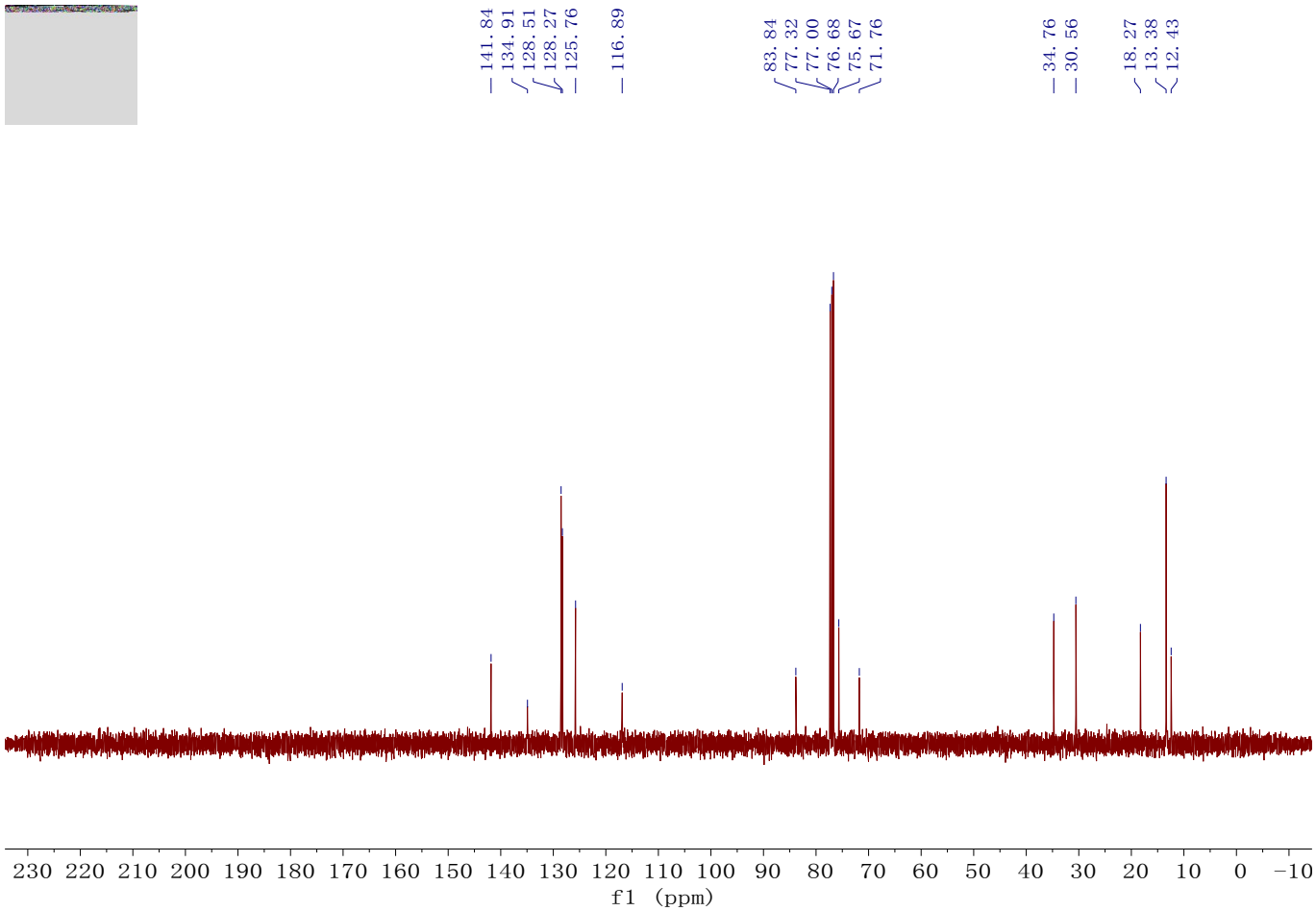
39.24
33.71
28.66
28.09
21.63

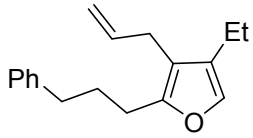




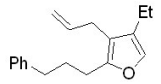
Compound **1t**. 200.0 mg, yield: 80%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.25-7.27 (m, 2H), 7.17-7.20 (m, 2H), 5.86-5.97 (m, 1H), 5.28 (d, *J* = 17.2 Hz, 1H), 5.17 (d, *J* = 11.6 Hz, 1H), 4.07 (d, *J* = 5.6 Hz, 2H), 3.36 (s, 2H), 2.70 (t, *J* = 7.6 Hz, 2H), 2.16 (t, *J* = 7.2 Hz, 2H), 1.75-1.83 (m, 2H), 0.83-0.91 (m, 2H), 0.72-0.79 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 141.8, 134.9, 128.5, 128.3, 125.8, 116.9, 83.8, 75.7, 71.8, 34.8, 30.6, 18.3, 13.4, 12.4. IR (neat) ν 3084, 3025, 2938, 2857, 1649, 1496, 1453, 1095, 921, 698 cm^{-1}. HRMS (EI) calcd. for $C_{18}H_{22}O^{+}$: 254.1671, Found: 254.1665.







Compound **2t**. 35.9 mg, yield: 71%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.16-7.29 (m, 5H), 7.05 (s, 1H), 5.77-5.88 (m, 1H), 4.92-4.97 (m, 2H), 3.04 (d, *J* = 5.6 Hz, 2H), 2.53-2.64 (m, 4H), 2.29-2.36 (m, 2H), 1.88-1.96 (m, 2H), 1.15 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-d) δ 151.9, 142.1, 136.8, 136.0, 128.4, 128.3, 127.6, 125.7, 116.0, 114.7, 35.4, 30.1, 27.7, 25.8, 17.1, 13.5. IR (neat) ν 3076, 3026, 2931, 2856, 1762, 1453, 1132, 1030, 910, 743 cm^{-1}. HRMS (EI) calcd. for $C_{18}H_{22}O^{+}$: 254.1671, Found: 254.1670.



7.281
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5.830
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1.883
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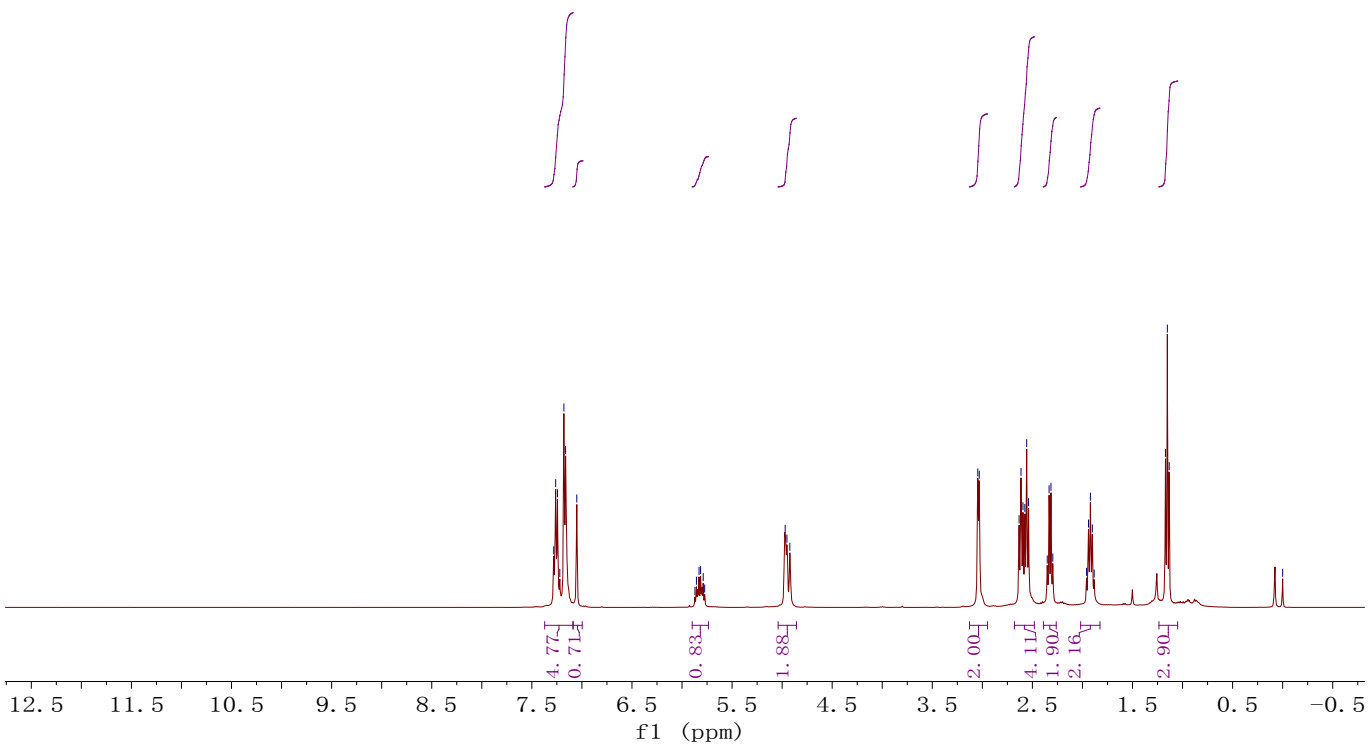
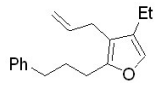
1.152
1.133
-0.000





151.85
142.11
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128.25
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125.71
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114.72
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30.09
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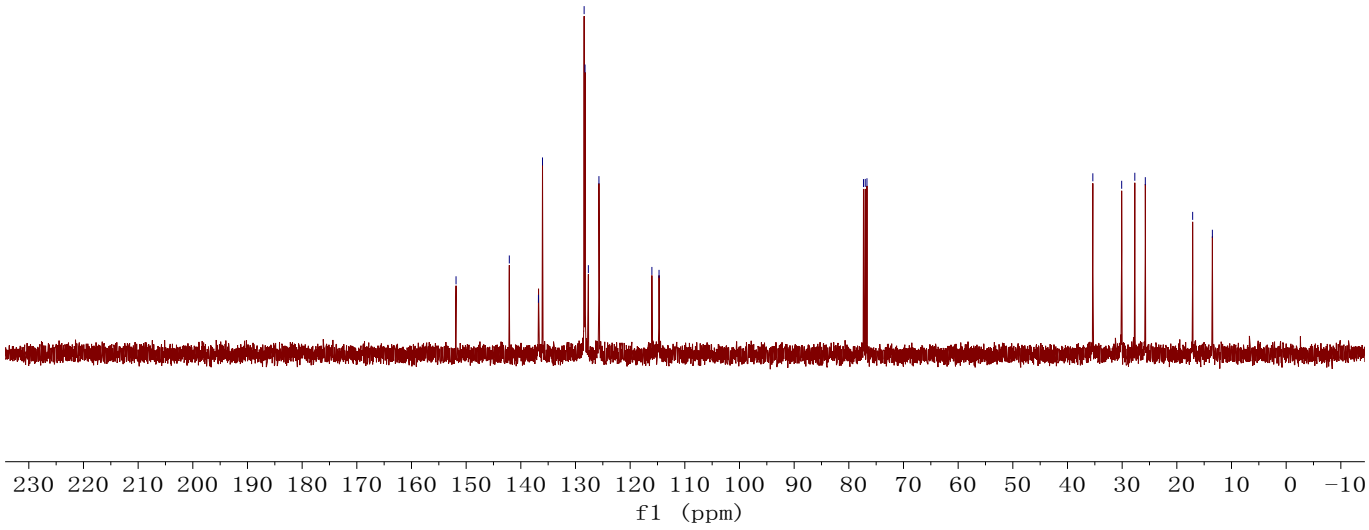
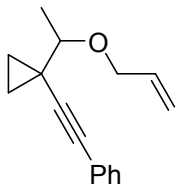
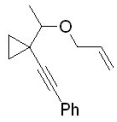
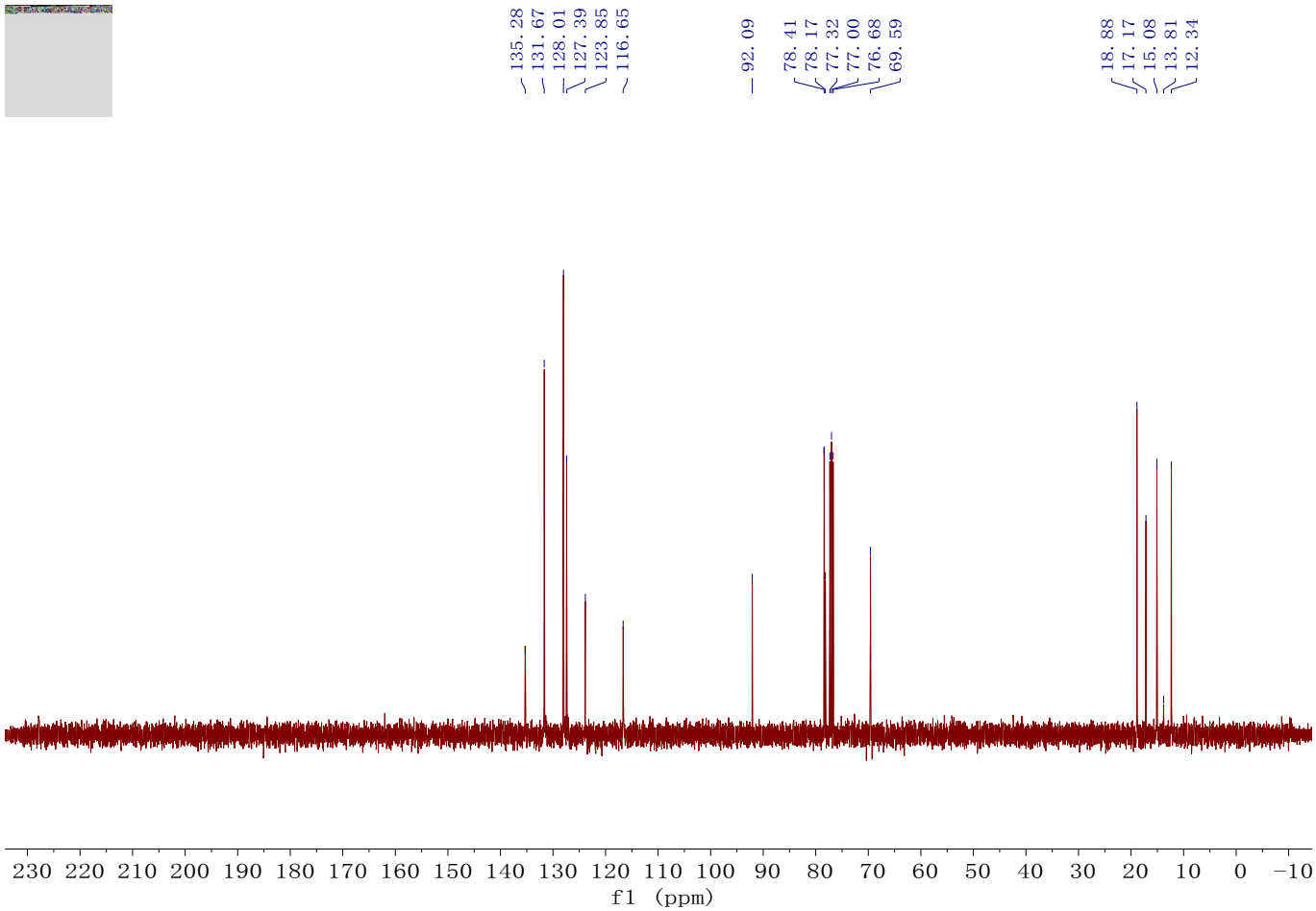
25.77
17.11
13.50

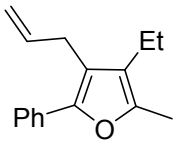




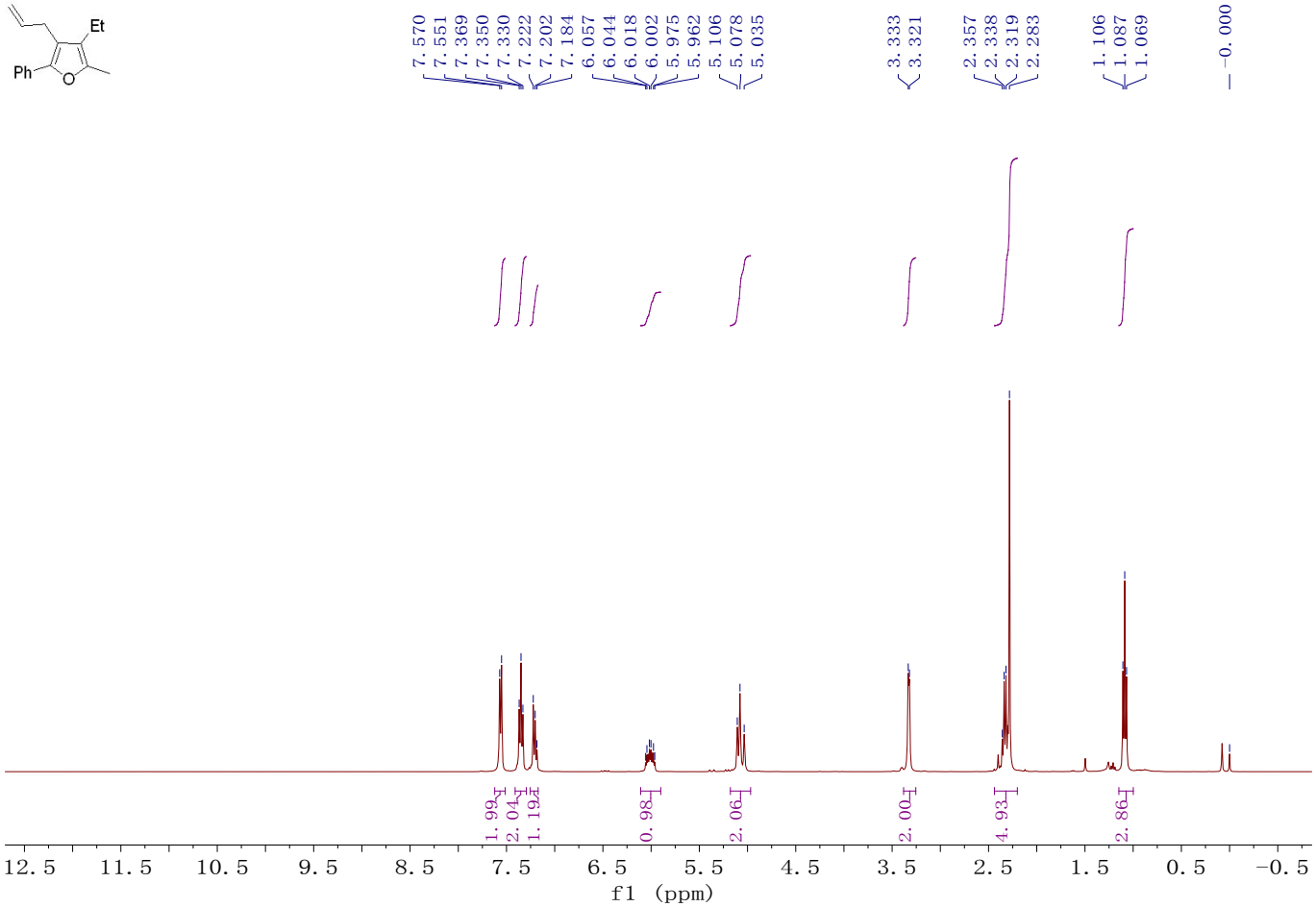
Compound **1u**. 300.0 mg, yield: 67%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.40 (m, 2H), 7.23-7.25 (m, 3H), 5.88-5.98 (m, 1H), 5.28 (d, *J* = 18.2 Hz, 1H), 5.16 (d, *J* = 10.0 Hz, 1H), 4.01-4.18 (m, 2H), 3.02 (q, *J* = 6.2 Hz, 1H), 1.39 (d, *J* = 6.2 Hz, 3H), 1.05-1.14 (m, 1H), 0.91-0.99 (m, 2H), 0.68-0.74 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-d) δ 135.3, 131.7, 128.0, 127.4, 123.8, 116.6, 92.1, 78.4, 78.2, 69.6, 18.9, 17.2, 15.1, 12.3. IR (neat) ν 3080, 2977, 2861, 1597, 1490, 1424, 1109, 1066, 916,754 cm^{-1}. HRMS (EI) calcd. for $C_{16}H_{18}O^{+}$: 226.1358, Found: 226.1354.







Compound **2u**. 38.0 mg, yield: 84%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.55-7.57 (m, 2H), 7.33-7.37 (m, 2H), 7.18-7.23 (m, 1H), 5.96-6.06 (m, 1H), 5.03-5.11 (m, 2H), 3.33 (d, *J* = 4.8 Hz, 2H), 2.28-2.36 (m, 5H), 1.09 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 147.0, 146.4, 136.2, 131.8, 128.4, 126.3, 125.1, 123.1, 118.5, 115.6, 28.4, 16.6, 15.2, 11.7. IR (neat) ν 3088, 2963, 2866, 1602, 1446, 1155, 1030, 911, 763, 693 cm^{-1}. MS (%) m/e 226 (M^{+}, 19.71), 211 (100), 183 (44.94), 155 (51.81), 141 (35.36), 129 (76.96), 128 (40.59), 115 (49.96), 69 (29.12). HRMS (EI) calcd. for $C_{16}H_{18}O^{+}$: 226.1358, Found: 226.1363.


Et
Ph
O
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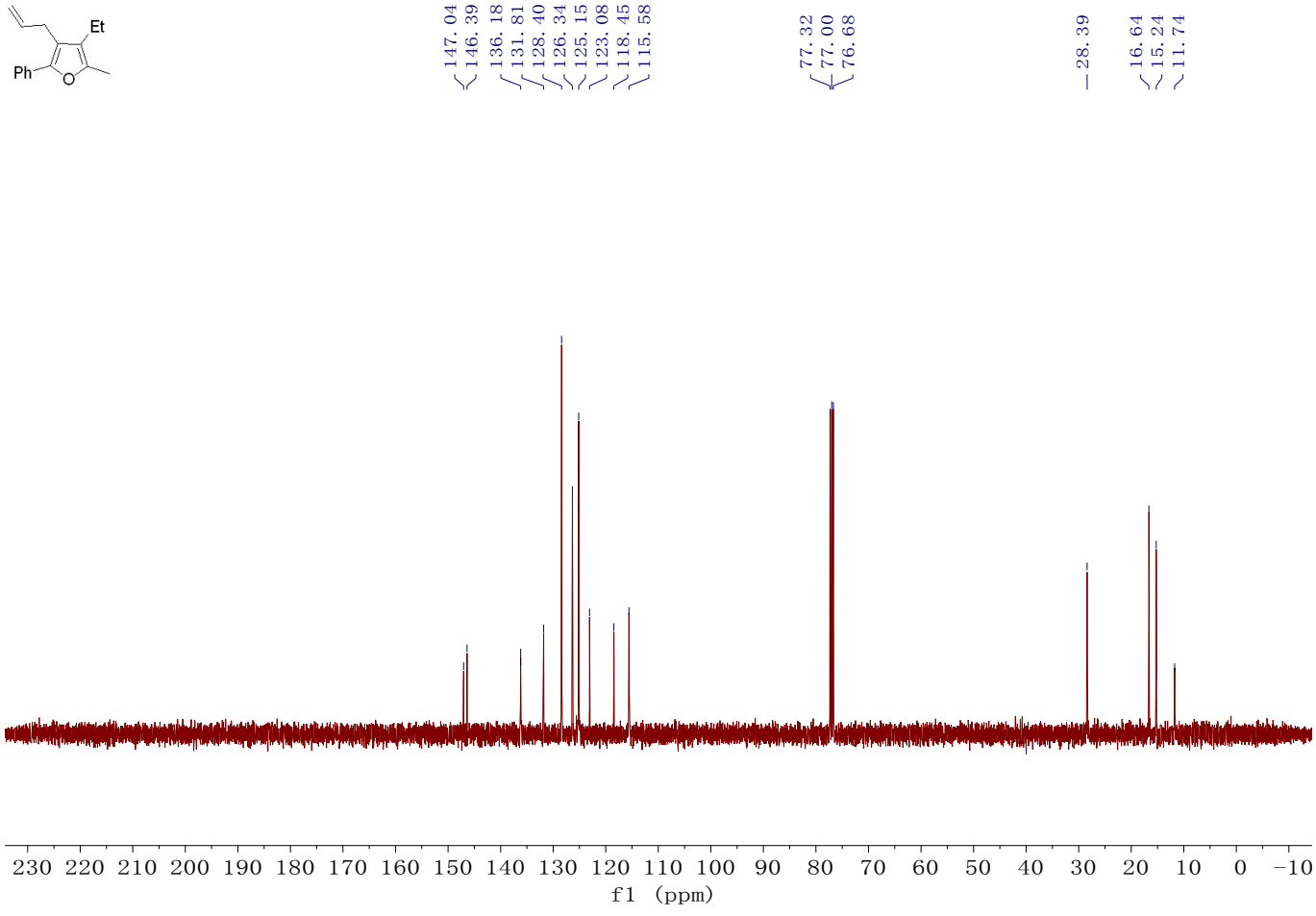
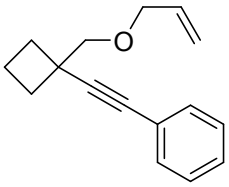
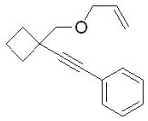
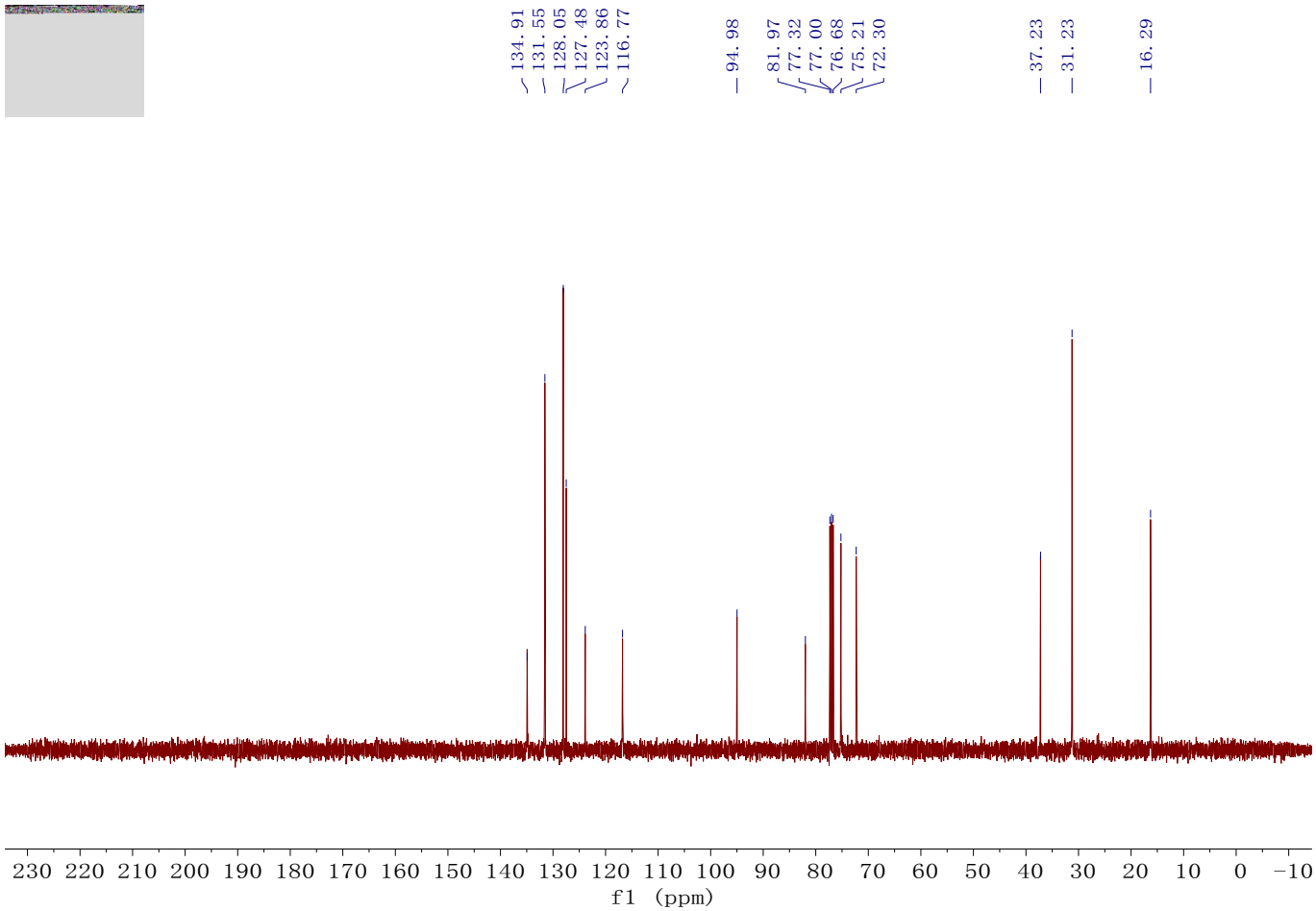
7.551
7.369
7.350
7.330
7.222
7.202
7.184
6.057
6.044
6.018
6.002
5.975
5.962
5.106
5.078
5.035
3.333
3.321
2.357
2.338
2.319
2.283
1.106
1.087
1.069
0.000
1.99
2.04
1.19
0.98
2.06
2.00
4.93
2.86
12.5 11.5 10.5 9.5 8.5 7.5 6.5 5.5 4.5 3.5 2.5 1.5 0.5 −0.5
f1 (ppm)

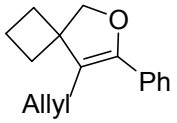

Et
Ph
O
147.04
146.39
136.18
131.81
128.40
126.34
125.15
123.08
118.45
115.58
77.32
77.00
76.68
28.39
16.64
15.24
11.74
230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)



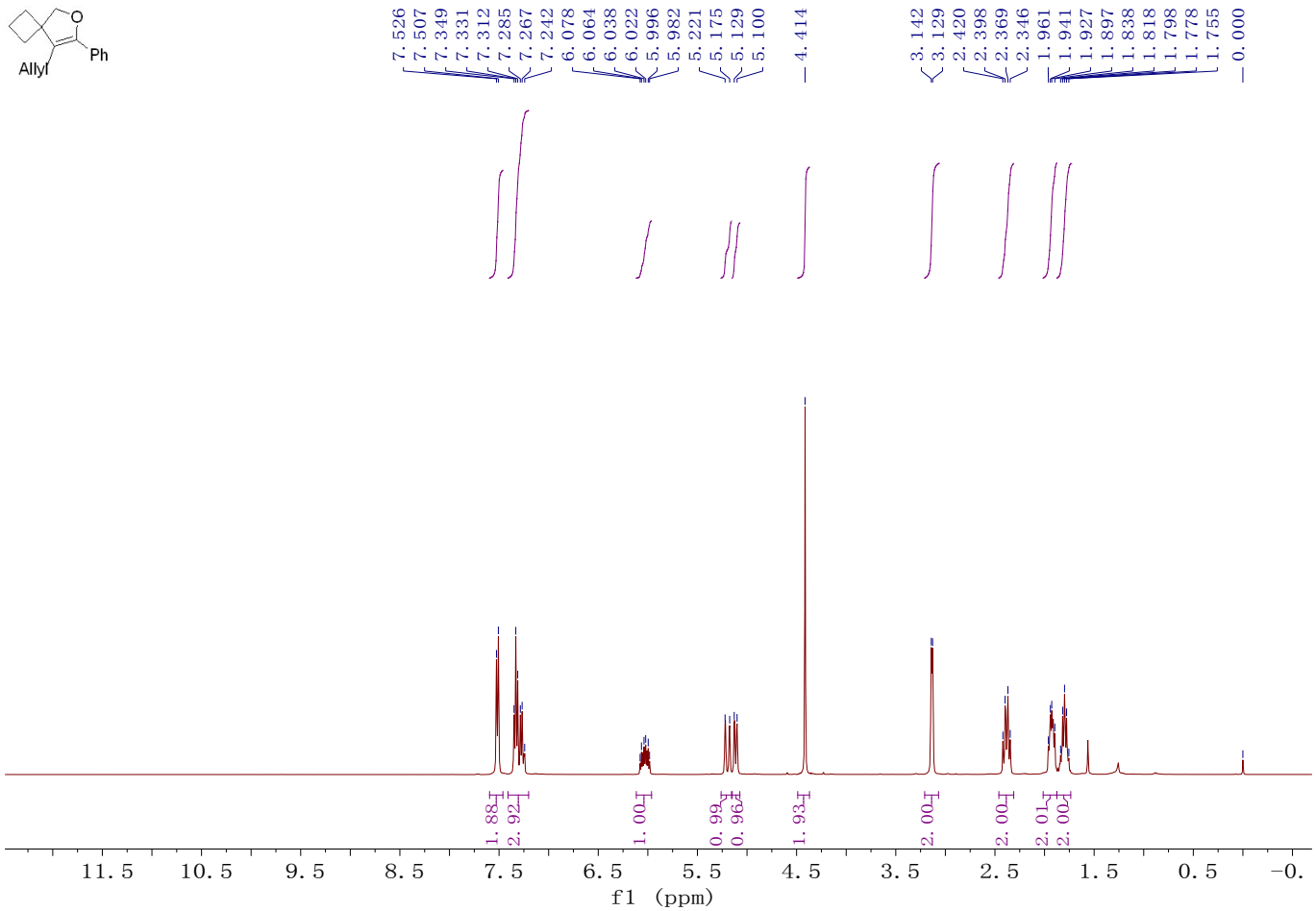
Compound **1v**. 130.0 mg, yield: 58%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.40-7.42 (m, 2H), 7.25-7.27 (m, 3H), 5.89-6.00 (m, 1H), 5.33 (d, *J* = 17.2 Hz, 1H), 5.19 (d, *J* = 10.4 Hz, 1H), 4.11 (d, *J* = 5.2 Hz, 2H), 3.56 (s, 2H), 2.21-2.36 (m, 4H), 2.03-2.13 (m, 1H), 1.90-1.98 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.9, 131.5, 128.0, 127.5, 123.9, 116.8, 95.0, 82.0, 75.2, 72.3, 37.2, 31.2, 16.3. IR (neat) ν 3081, 2987, 2941, 2850, 1597, 1490, 1330, 1091, 911, 734 cm^{-1}. HRMS (DART) calcd. for $C_{16}H_{19}O^{+}$ $[M+H]^{+}$: 227.1430, Found: 227.1430.







Compound **2v**. 44.3 mg, yield: 97%; colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.50-7.53 (m, 2H), 7.24-7.35 (m, 3H), 5.98-6.08 (m, 1H), 5.20 (d, *J* = 18.5 Hz, 1H), 5.11 (d, *J* = 11.6 Hz, 1H), 4.41 (s, 2H), 3.14 (d, *J* = 5.4 Hz, 2H), 2.34-2.42 (m, 2H), 1.89-1.97 (m, 2H), 1.75-1.84 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-d) δ 150.2, 137.3, 131.8, 128.1, 127.9, 126.7, 115.5, 112.7, 81.4, 53.8, 32.5, 28.3, 16.2. IR (neat) ν 3086, 3052, 2927, 2867, 1678, 1446, 1276, 1065, 987, 766 cm^{-1}. HRMS (EI) calcd. for $C_{16}H_{18}O^{+}$: 226.1358, Found: 226.1363.


Allyl
Ph
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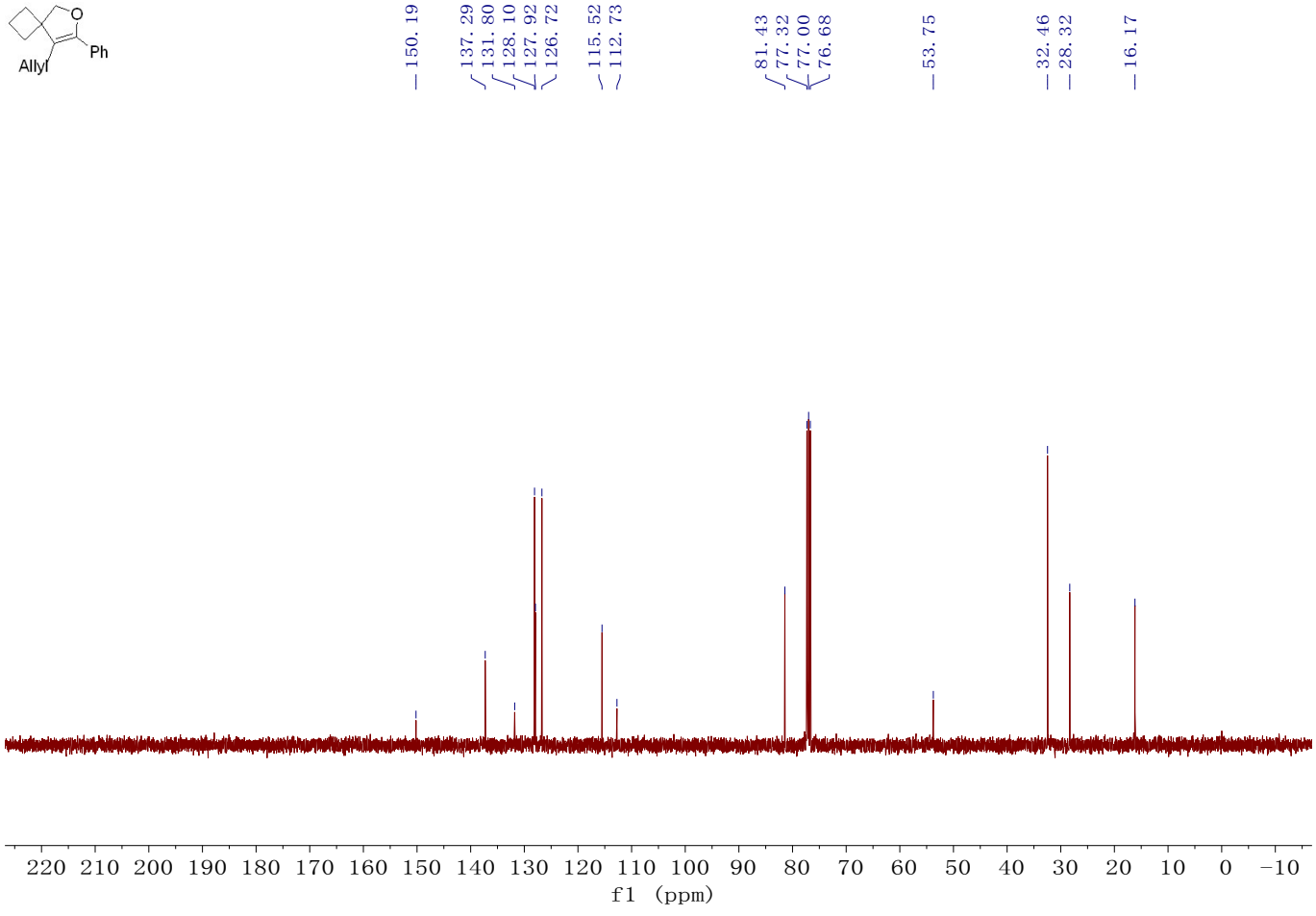
7.507
7.349
7.331
7.312
7.285
7.267
7.242
6.078
6.064
6.038
6.022
5.996
5.982
5.221
5.175
5.129
5.100
4.414
3.142
3.129
2.420
2.398
2.369
2.346
1.961
1.941
1.927
1.897
1.838
1.818
1.798
1.778
1.755
0.000
1.88
2.92
1.00
0.99
0.96
1.93
2.00
2.00
2.01
2.00
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.
f1 (ppm)


Allyl
Ph
150.19
137.29
131.80
128.10
127.92
126.72
115.52
112.73
81.43
77.32
77.00
76.68
53.75
32.46
28.32
16.17
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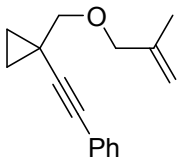
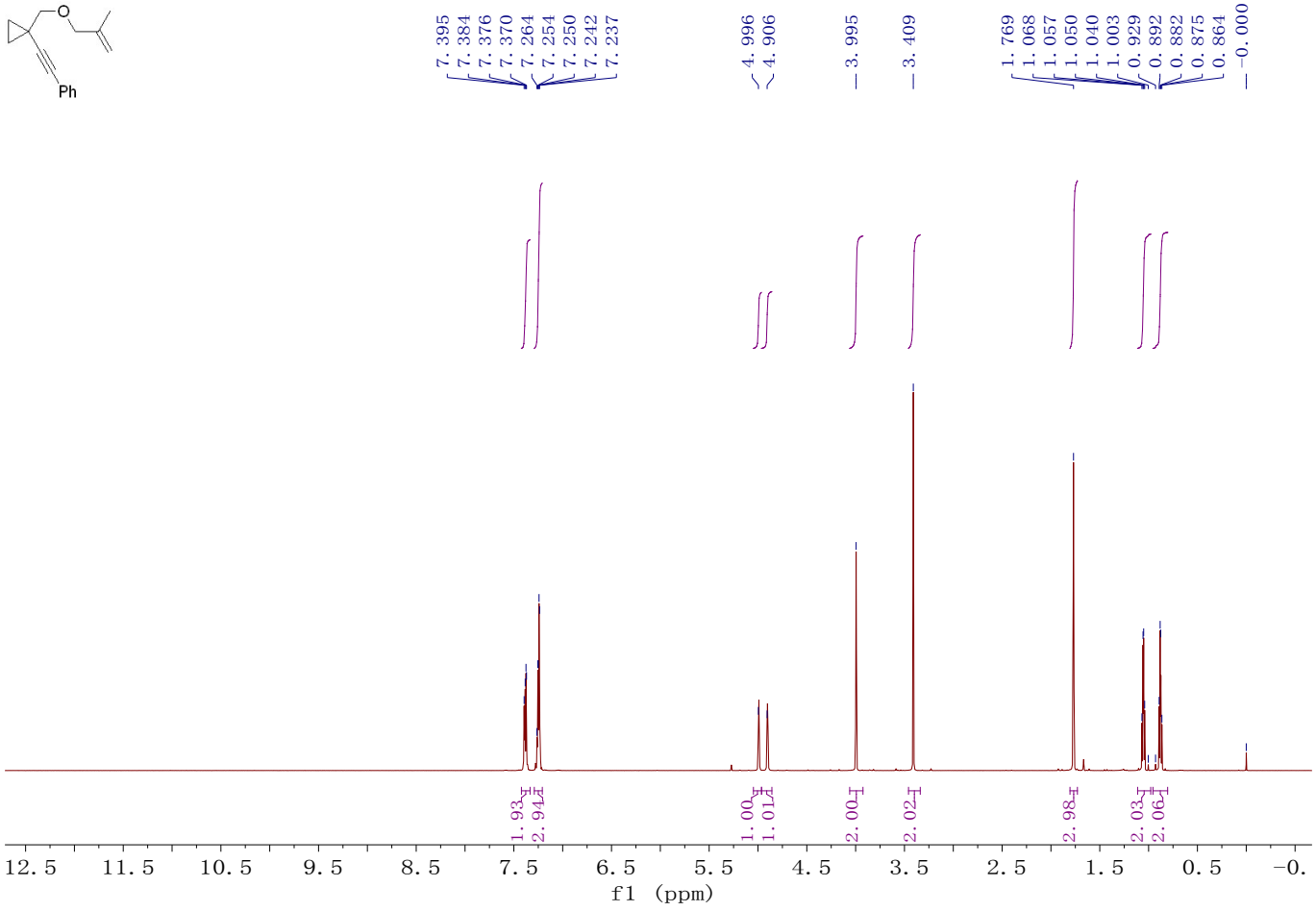
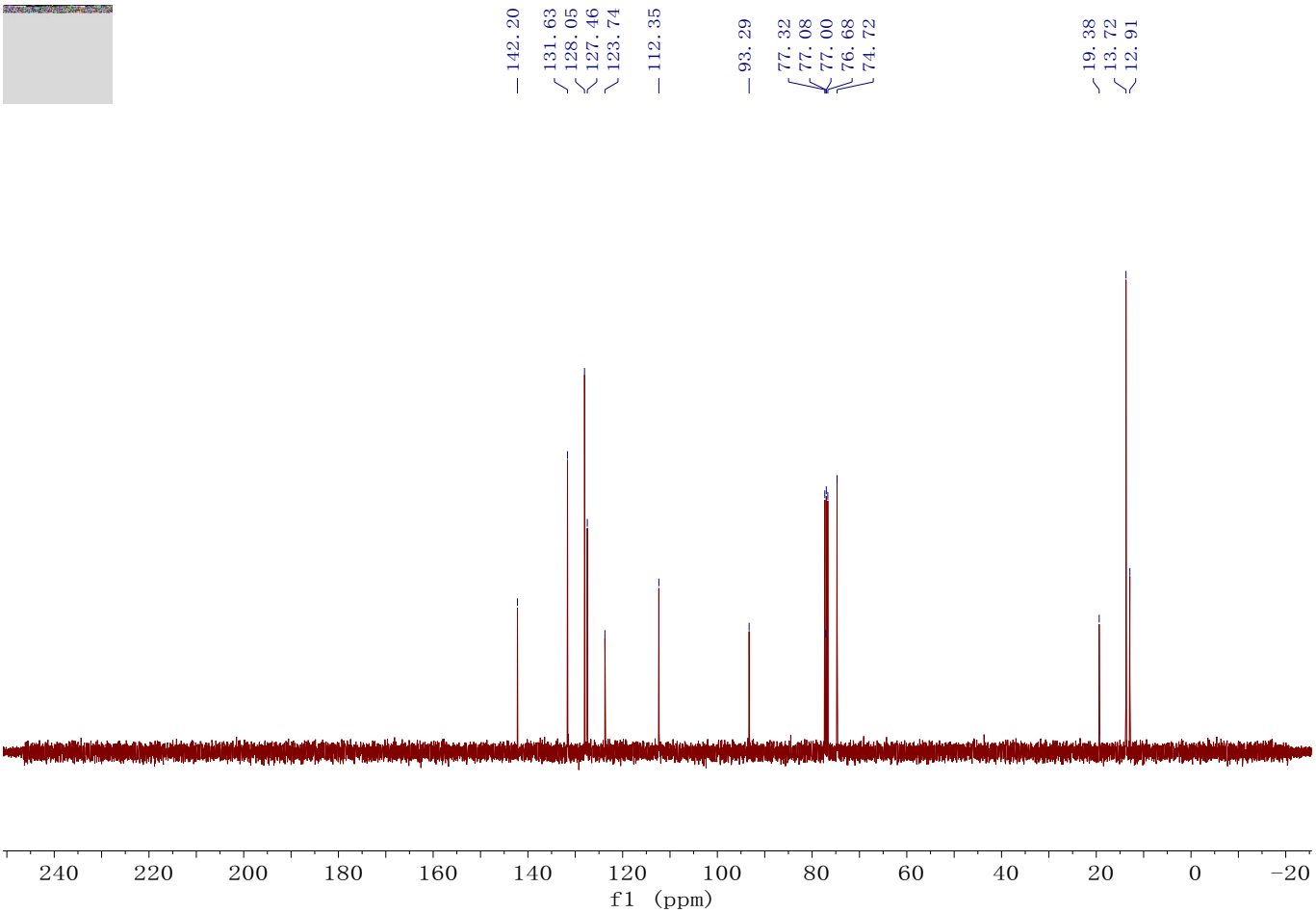
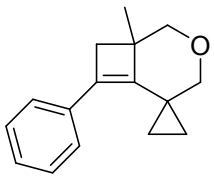
f1 (ppm)



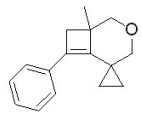
Compound **3a**. 270.2 mg, yield: 62%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.40 (m, 2H), 7.23-7.27 (m, 3H), 5.00 (s, 1H), 4.91 (s, 1H), 4.00 (s, 2H), 3.41 (s, 2H), 1.77 (s, 3H), 1.00-1.07 (m, 2H), 0.86-0.93 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-d) δ 142.2, 131.6, 128.0, 127.5, 123.7, 112.4, 93.3, 77.1, 74.7, 19.4, 13.7, 12.9. IR (neat) ν 3068, 3008, 2854, 2212, 1597, 1491, 1442, 1372, 1092, 900 cm^{-1}. HRMS (DART) calcd. for $C_{16}H_{19}O^{+}$ $[M+H]^{+}$: 227.1430, Found: 227.1429.



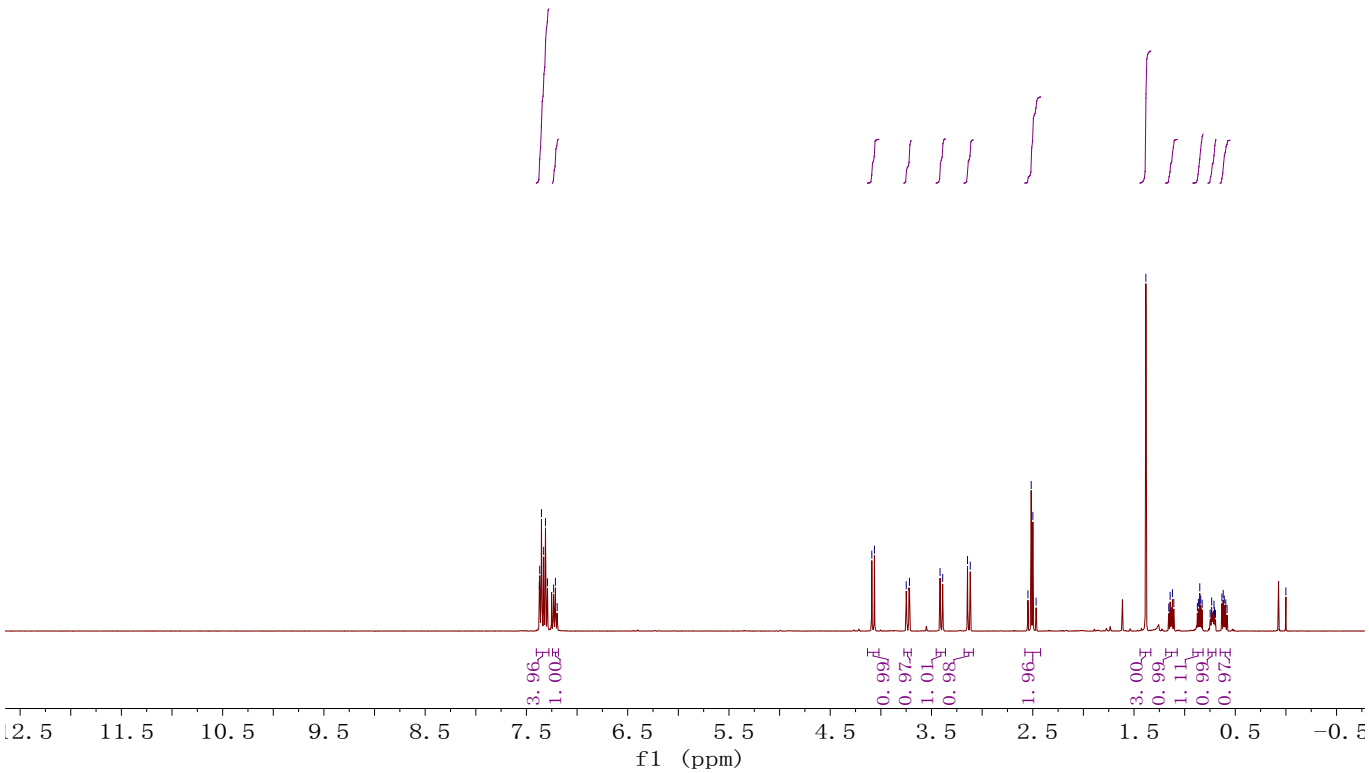


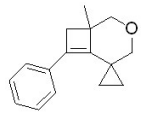


Compound **4a**. 27.3 mg, yield: 60%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.29-7.38 (m, 4H), 7.19-7.24 (m, 1H), 4.08 (d, *J* = 10.4 Hz, 1H), 3.73 (d, *J* = 12.8 Hz, 1H), 3.40 (d, *J* = 10.4 Hz, 1H), 3.13 (d, *J* = 10.8 Hz, 1H), 2.46-2.55 (m, 2H), 1.38 (s, 3H), 1.10-1.16 (m, 1H), 0.82-0.88 (m, 1H), 0.69-0.75 (m, 1H), 0.58-0.64 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-d) δ 147.5, 135.5, 131.0, 128.3, 127.0, 126.5, 80.0, 76.6, 40.5, 39.3, 20.7, 19.9, 13.0, 7.0. IR (neat) ν 3076, 2937, 2833, 1655, 1592, 1370, 1351, 1206, 1069, 913 cm^{-1}. HRMS (DART) calcd. for $C_{16}H_{19}O^{+}$ $[M+H]^{+}$: 227.1430, Found: 227.1429.

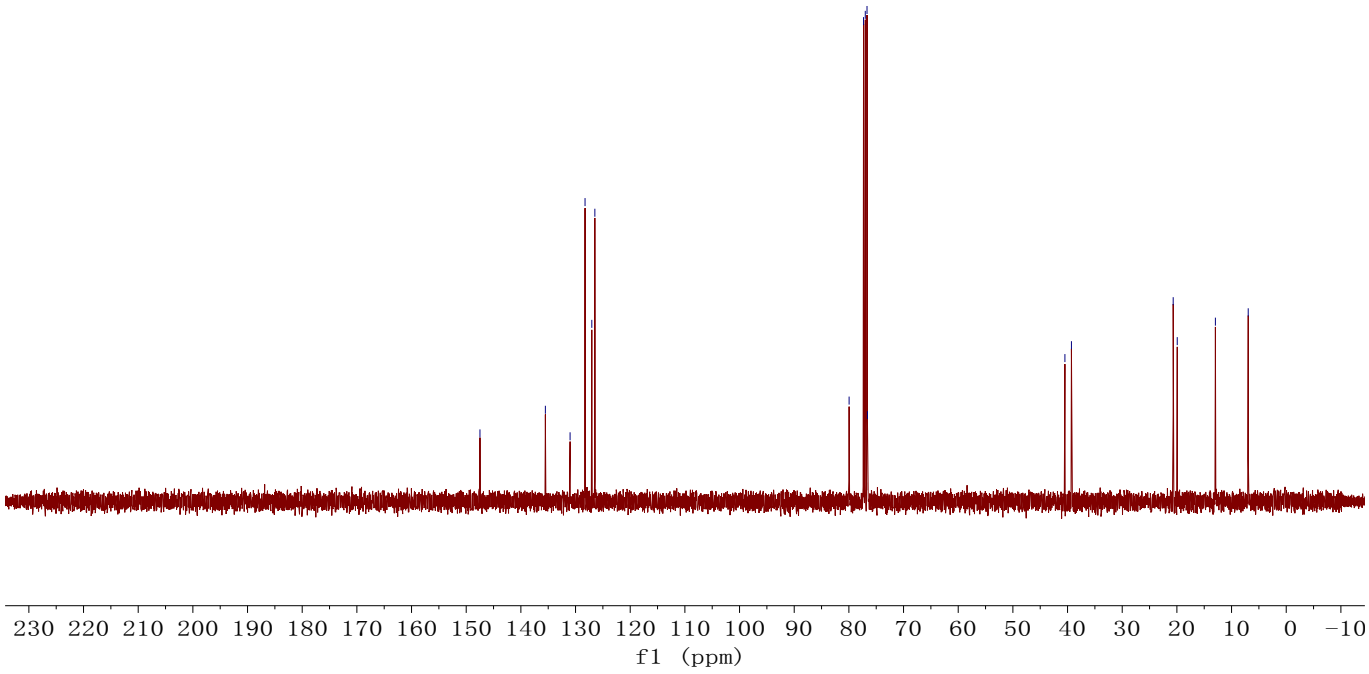


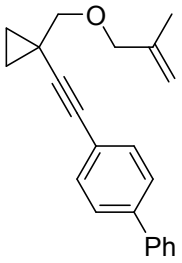

7.373
7.369
7.352
7.330
7.312
7.292
7.232
7.214
7.196
4.089
4.063
3.750
3.718
3.416
3.390
3.144
3.117
2.548
2.516
2.499
2.467
1.383
1.157
1.143
1.121
1.107
0.874
0.865
0.851
0.841
0.827
0.748
0.733
0.711
0.705
0.696
0.632
0.619
0.609
0.594
0.581
0.000


3.96
1.00
0.99
0.97
1.01
0.98
1.96
3.00
0.99
1.11
0.99
0.97
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.5
f1 (ppm)

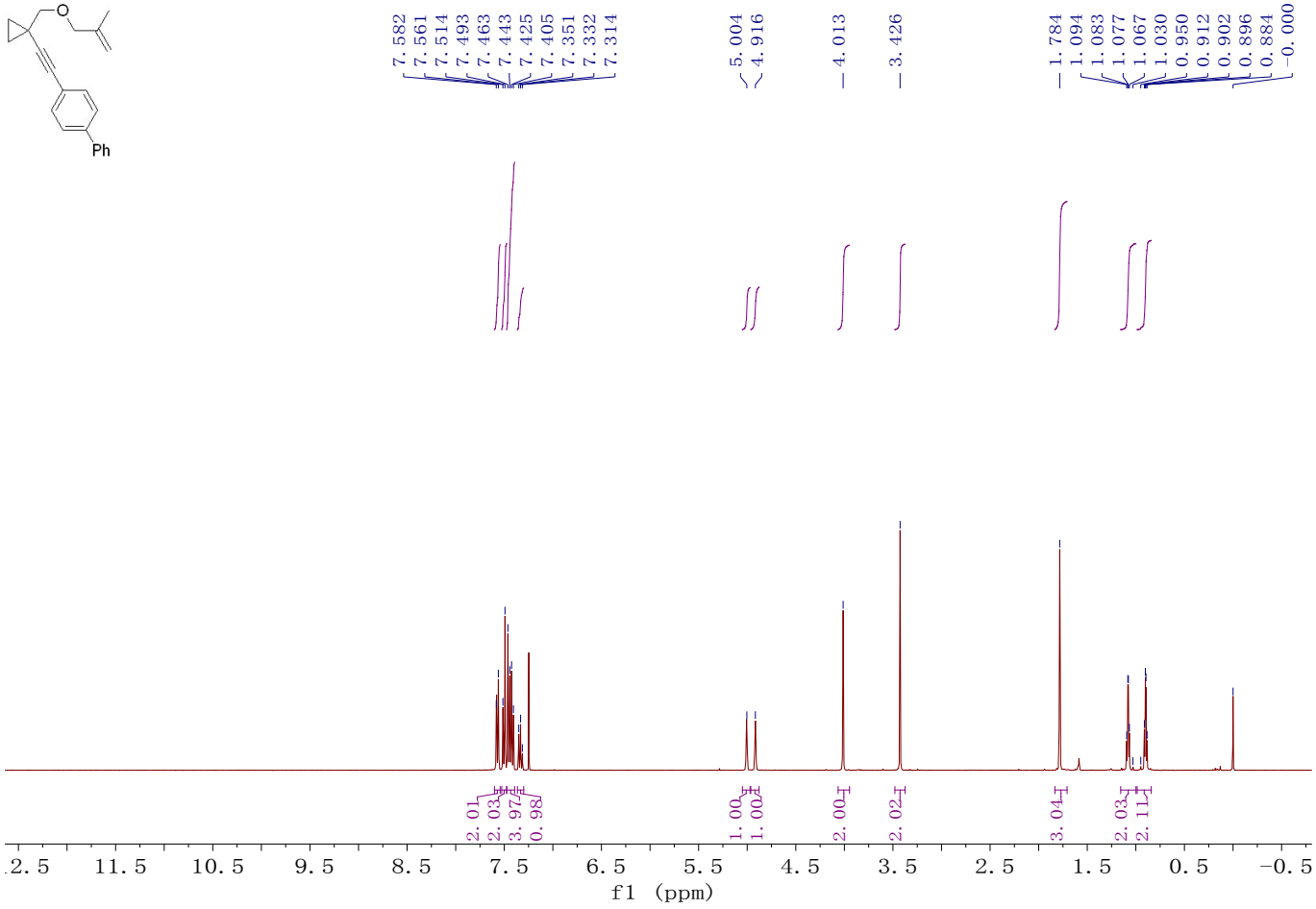


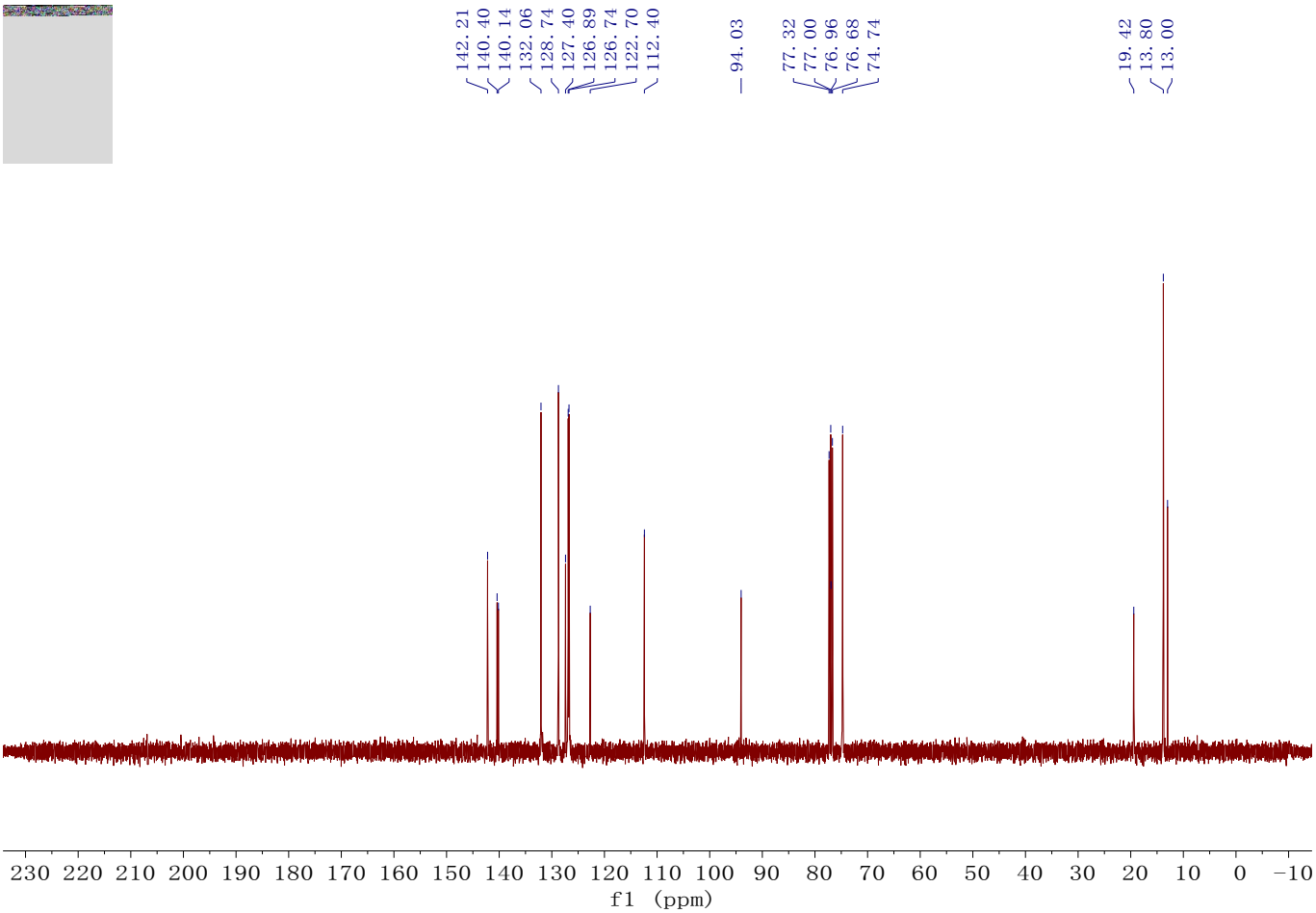

147.48
135.52
131.00
128.26
127.03
126.47
79.96
77.32
77.00
76.68
76.60
40.48
39.29
20.68
19.94
12.96
6.95

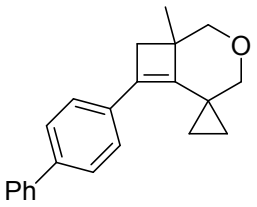

230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)



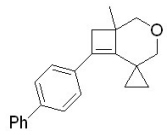
Compound **3b**. 497.1 mg, yield: 83%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56-7.59 (m, 2H), 7.49-7.52 (m, 2H), 7.40-7.47 (m, 4H), 7.31-7.36 (m, 1H), 5.00 (s, 1H), 4.92 (s, 1H), 4.01 (s, 2H), 3.43 (s, 2H), 1.78 (s, 3H), 1.03-1.10 (m, 2H), 0.88-0.95 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 142.2, 140.4, 140.1, 132.1, 128.7, 127.4, 126.9, 126.7, 122.7, 112.4, 94.0, 77.1, 74.7, 19.4, 13.8, 13.0. IR (neat) ν 3078, 2906, 2853, 2219, 1599, 1486, 1091, 930, 867, 797 cm^{-1}. HRMS (EI) calcd. for $C_{22}H_{22}O^{+}$: 302.1671, Found: 302.1662.







Compound **4b**. 30.0 mg, yield: 50%; pale yellow oil, Mp:101-102 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.54-7.60 (m, 4H), 7.41-7.46 (m, 4H), 7.31-7.36 (m, 1H), 4.09 (d, *J* = 10.4 Hz, 1H), 3.75 (d, *J* = 12.8 Hz, 1H), 3.42 (d, *J* = 10.4 Hz, 1H), 3.15 (d, *J* = 10.8 Hz, 1H), 2.49-2.58 (m, 2H), 1.40 (s, 3H), 1.14-1.20 (m, 1H), 0.84-0.90 (m, 1H), 0.71-0.77 (m, 1H), 0.61-0.67 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 147.9, 140.7, 139.7, 134.5, 130.6, 128.8, 127.3, 127.0, 126.9, 80.0, 76.6, 40.6, 39.3, 20.7, 20.0, 13.0, 7.0. IR (neat) ν 2954, 2927, 2901, 2831, 1484, 1369, 1069, 1057, 896, 762 cm^{-1}. HRMS (EI) calcd. for $C_{22}H_{22}O^{+}$: 302.1671, Found: 302.1674.



7.596
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2.576
2.544
2.528
2.496
1.399
1.196
1.183
1.160
1.147
0.896
0.886
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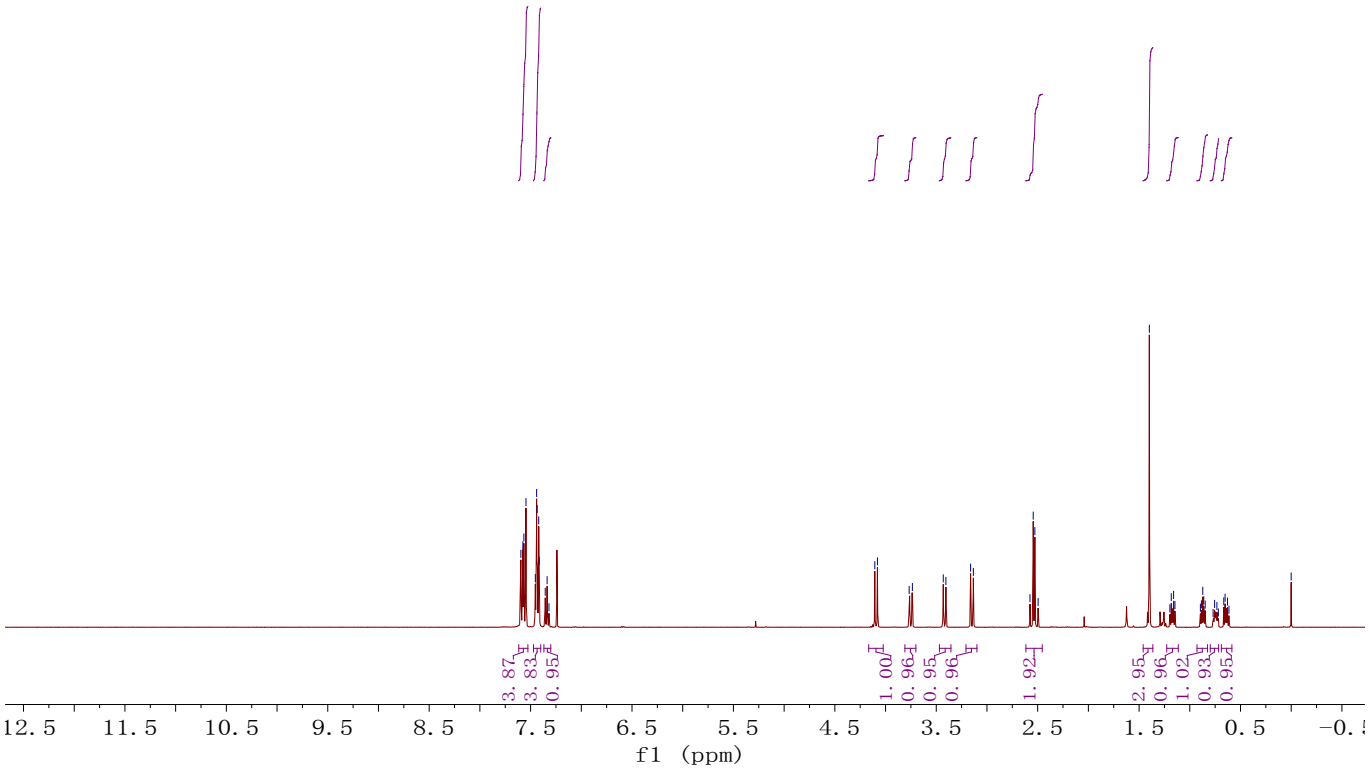
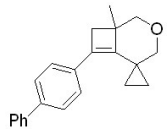
0.000





147.86
140.72
139.74
134.48
130.63
128.77
127.26
126.97
126.89
79.97
77.32
77.00
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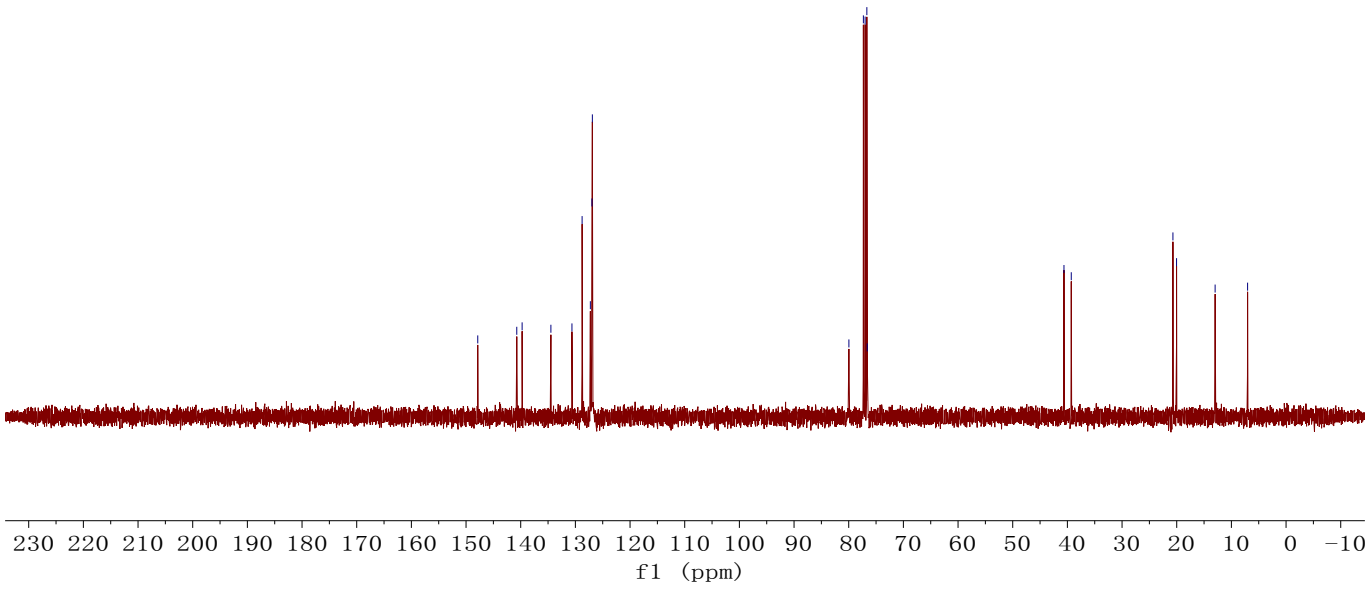
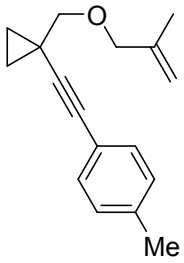
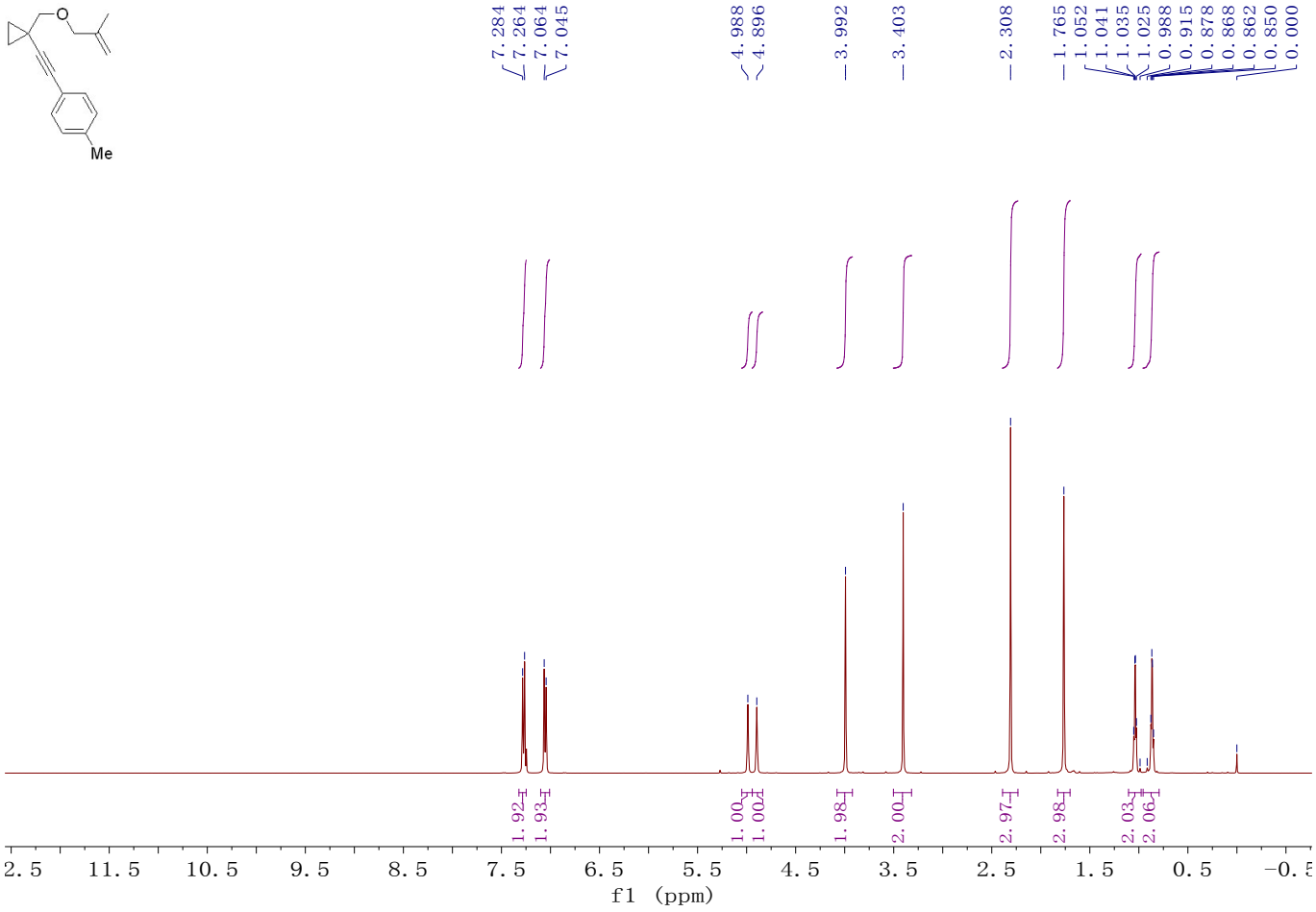
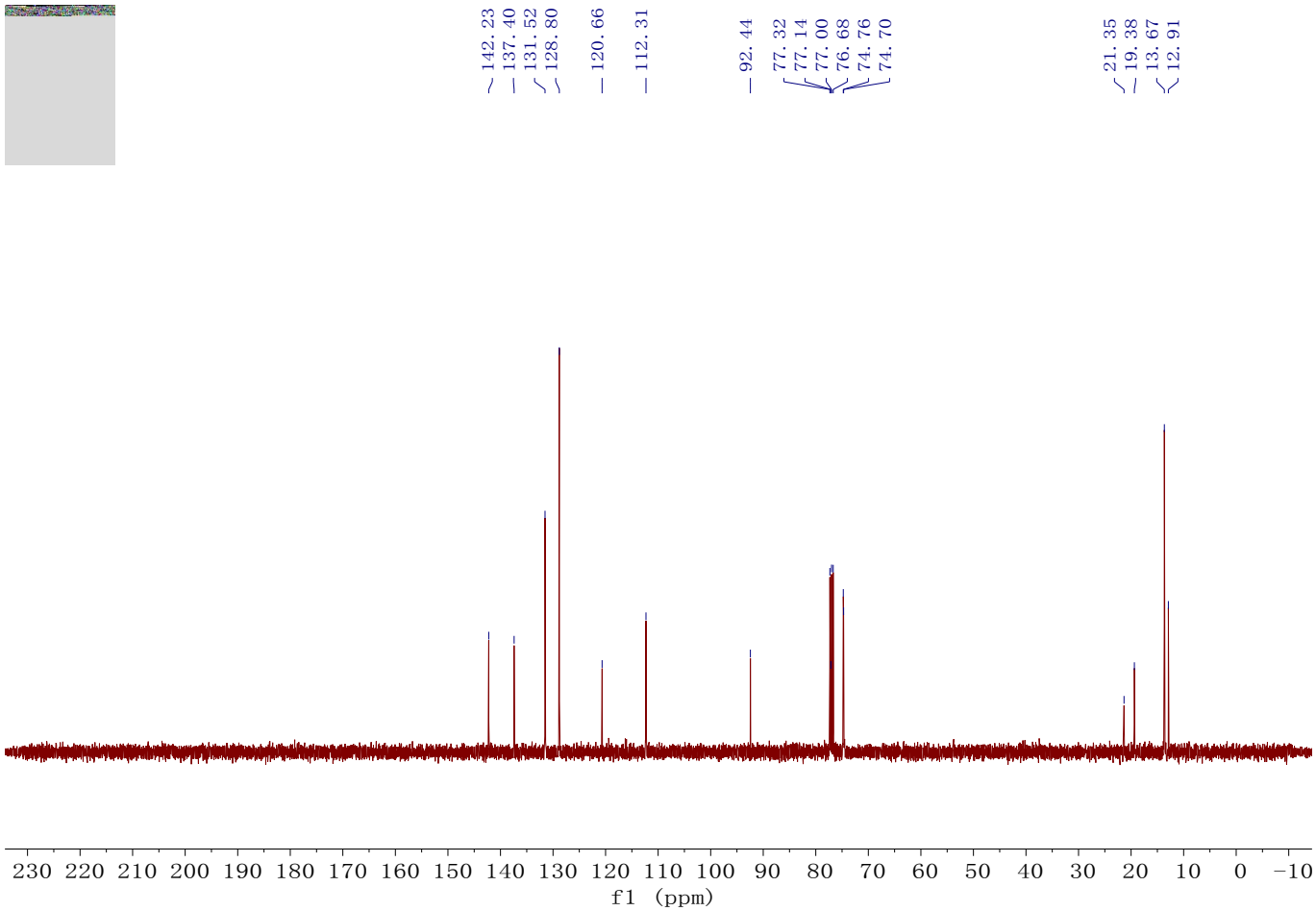
40.63
39.29
20.69
20.03
12.98
7.04

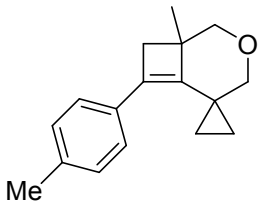




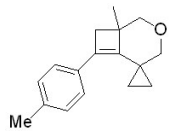
Compound **3c**. 400.1 mg, yield: 84%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.26-7.29 (m, 2H), 7.04-7.07 (m, 2H), 4.99 (s, 1H), 4.90 (s, 1H), 3.99 (s, 2H), 3.40 (s, 2H), 2.31 (s, 3H), 1.76 (s, 3H), 0.98-1.06 (m, 2H), 0.85-0.92 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 142.2, 137.4, 131.5, 128.8, 120.7, 112.3, 92.4, 77.1, 74.8, 74.7, 21.3, 19.4, 13.7, 12.9. IR (neat) ν 3076, 2987, 2921, 2855, 1510, 1449, 1091, 898, 815, 708 cm^{-1}. HRMS (EI) calcd. for $C_{17}H_{20}O^{+}$: 240.1514, Found: 240.1516.



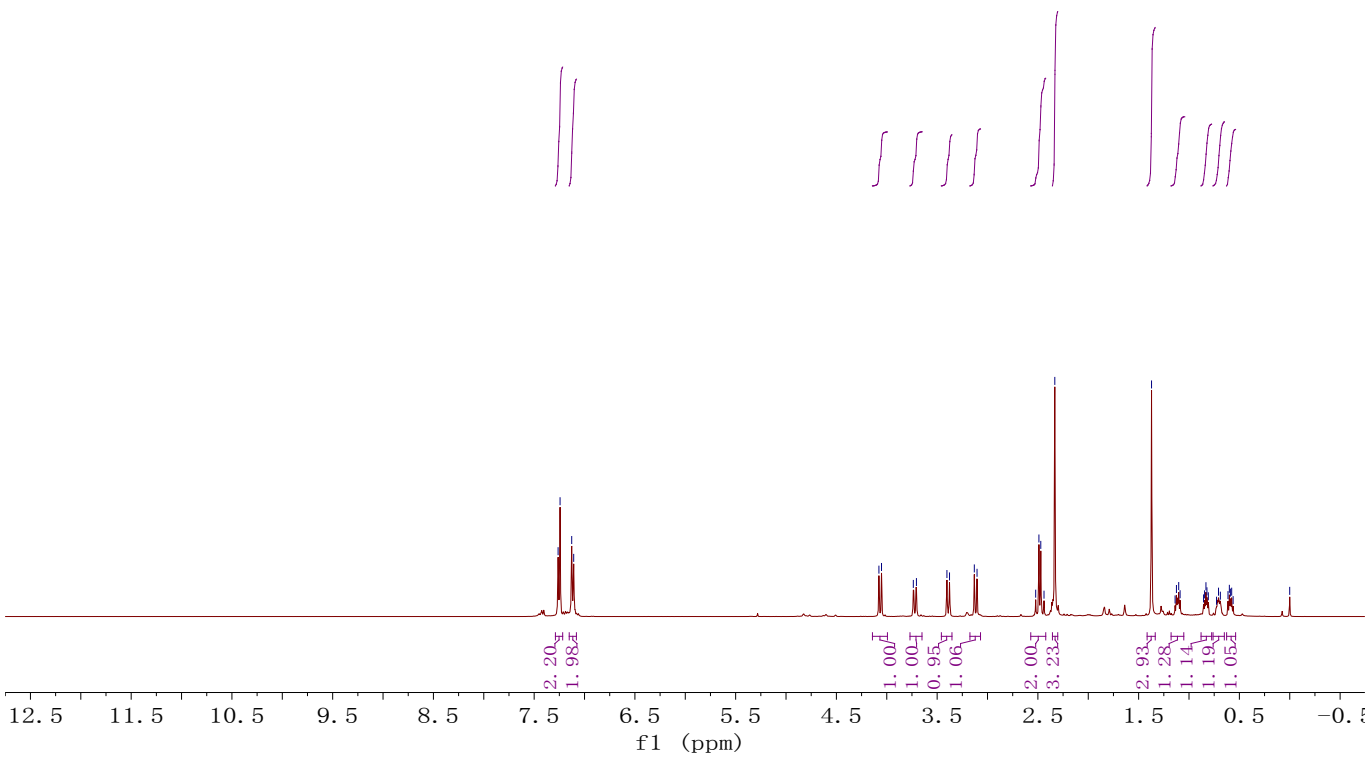


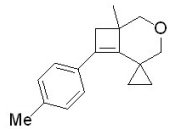


Compound **4c**. 32.2 mg, yield: 68%; pale yellow solid, Mp: 80-82 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.24-7.27 (m, 2H), 7.10-7.13 (m, 2H), 4.07 (d, *J* = 10.4 Hz, 1H), 3.72 (d, *J* = 12.0 Hz, 1H), 3.39 (d, *J* = 10.4 Hz, 1H), 3.12 (d, *J* = 10.8 Hz, 1H), 2.43-2.53 (m, 2H), 2.33 (s, 3H), 1.37 (s, 3H), 1.08-1.14 (m, 1H), 0.80-0.86 (m, 1H), 0.68-0.73 (m, 1H), 0.56-0.62 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 146.2, 136.8, 132.8, 130.9, 128.9, 126.4, 80.0, 76.6, 40.4, 39.3, 21.2, 20.7, 19.9, 12.9, 6.9. IR (neat) ν 3081, 2995, 2932, 2893, 2834, 1510, 1370, 1070, 1018, 909 cm^{-1}. HRMS (EI) calcd. for $C_{17}H_{20}O^{+}$: 240.1514, Found: 240.1507.

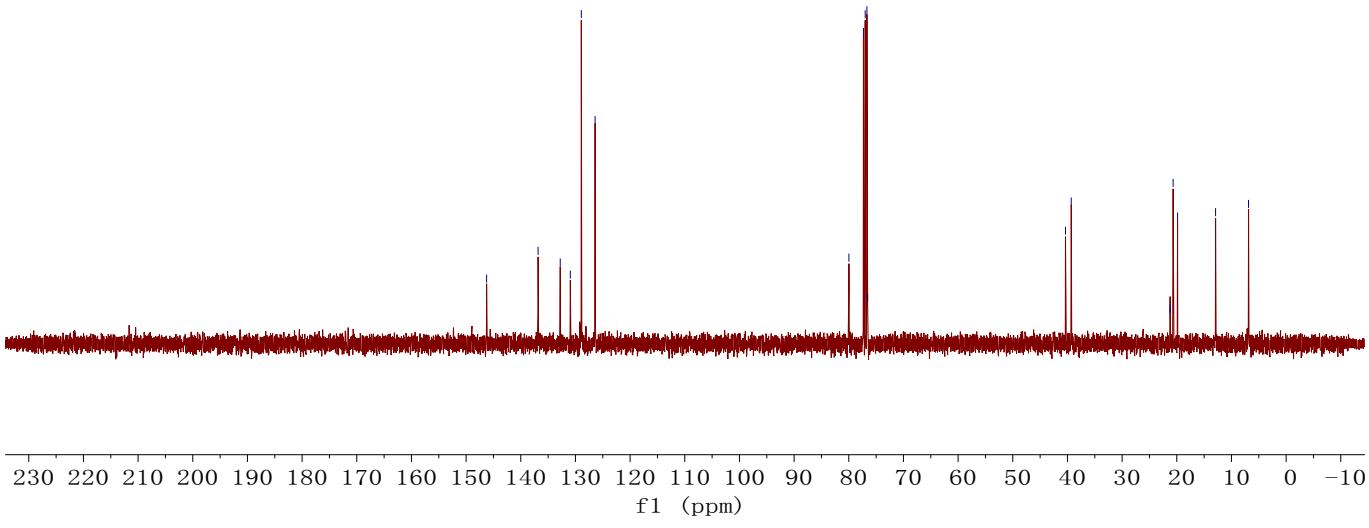

Me

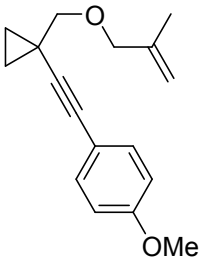

7.262
7.243
7.127
7.108
4.078
4.052
3.736
3.706
3.403
3.377
3.131
3.104
2.522
2.490
2.471
2.439
2.332
1.372
1.138
1.125
1.102
1.088
0.855
0.845
0.832
0.822
0.808
0.727
0.707
0.689
0.613
0.600
0.589
0.576
0.562
0.000


2.20
1.98
1.00
1.00
0.95
1.06
2.00
3.23
2.93
1.28
1.14
1.19
1.05
12.5
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
f1 (ppm)

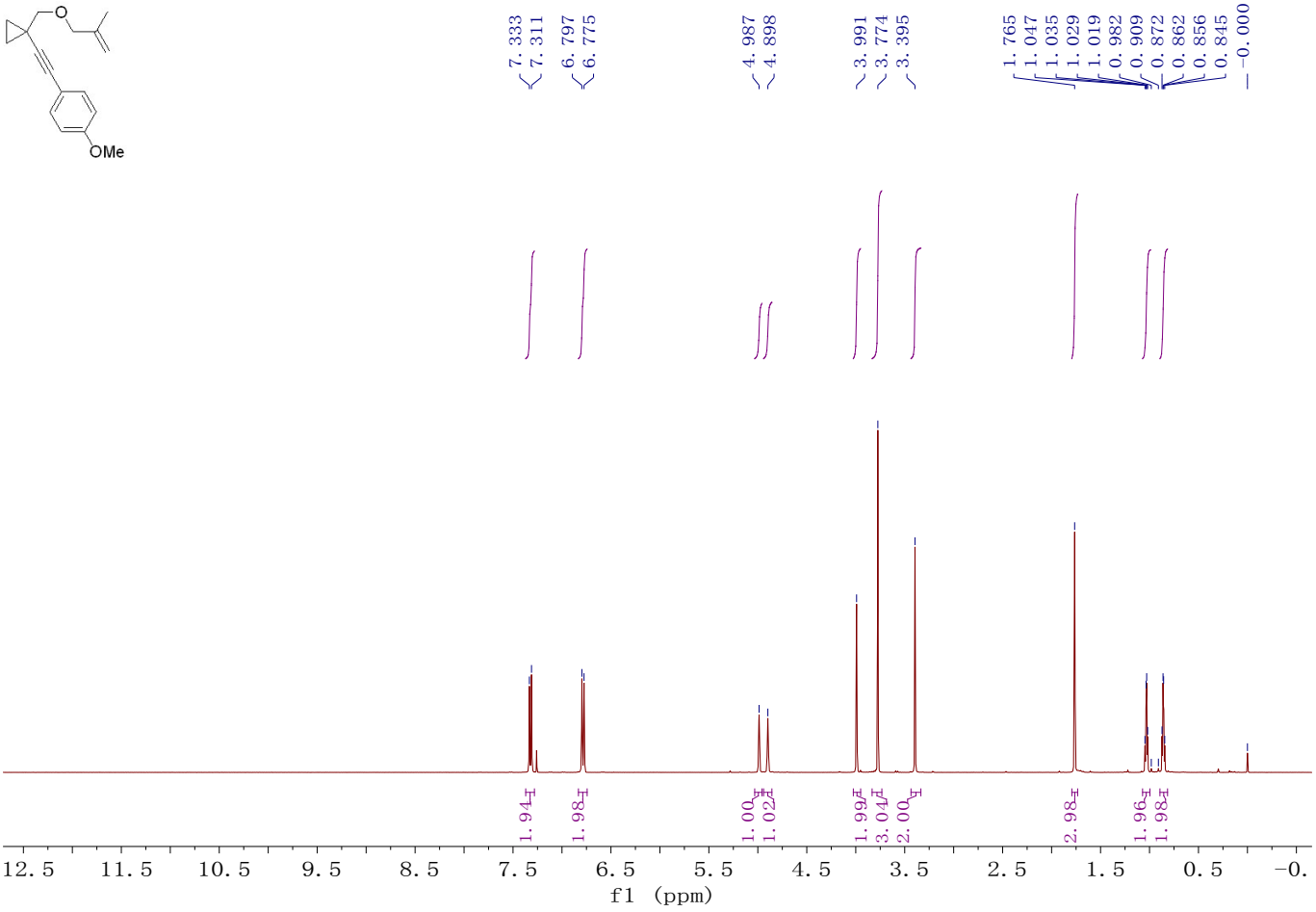

Me

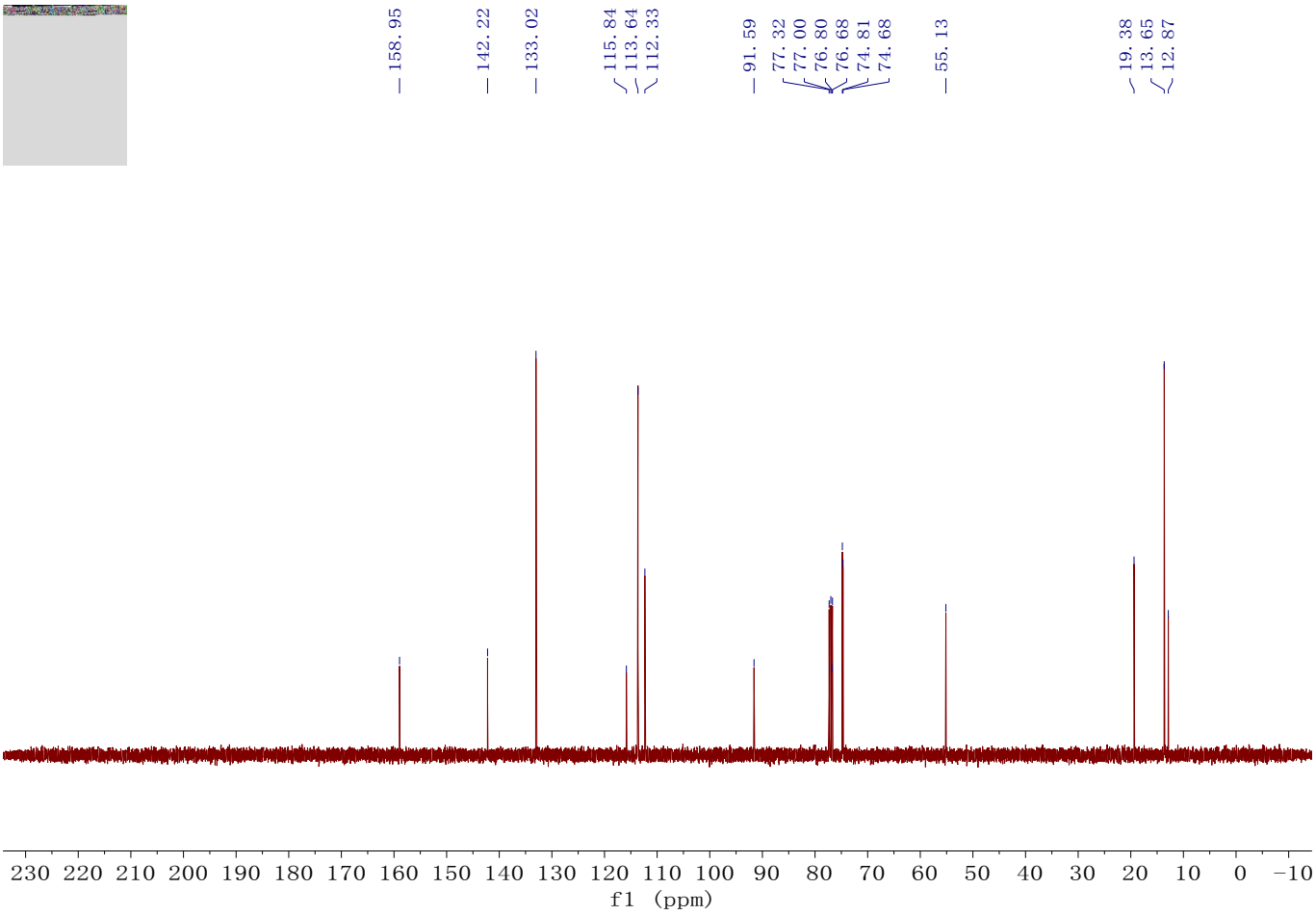

146.25
136.83
132.78
130.94
128.93
126.40
79.98
77.32
77.00
76.68
76.55
40.36
39.31
21.23
20.67
19.86
12.90
6.88

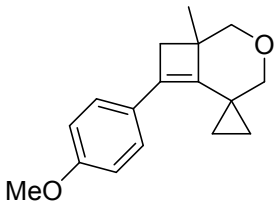

230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)



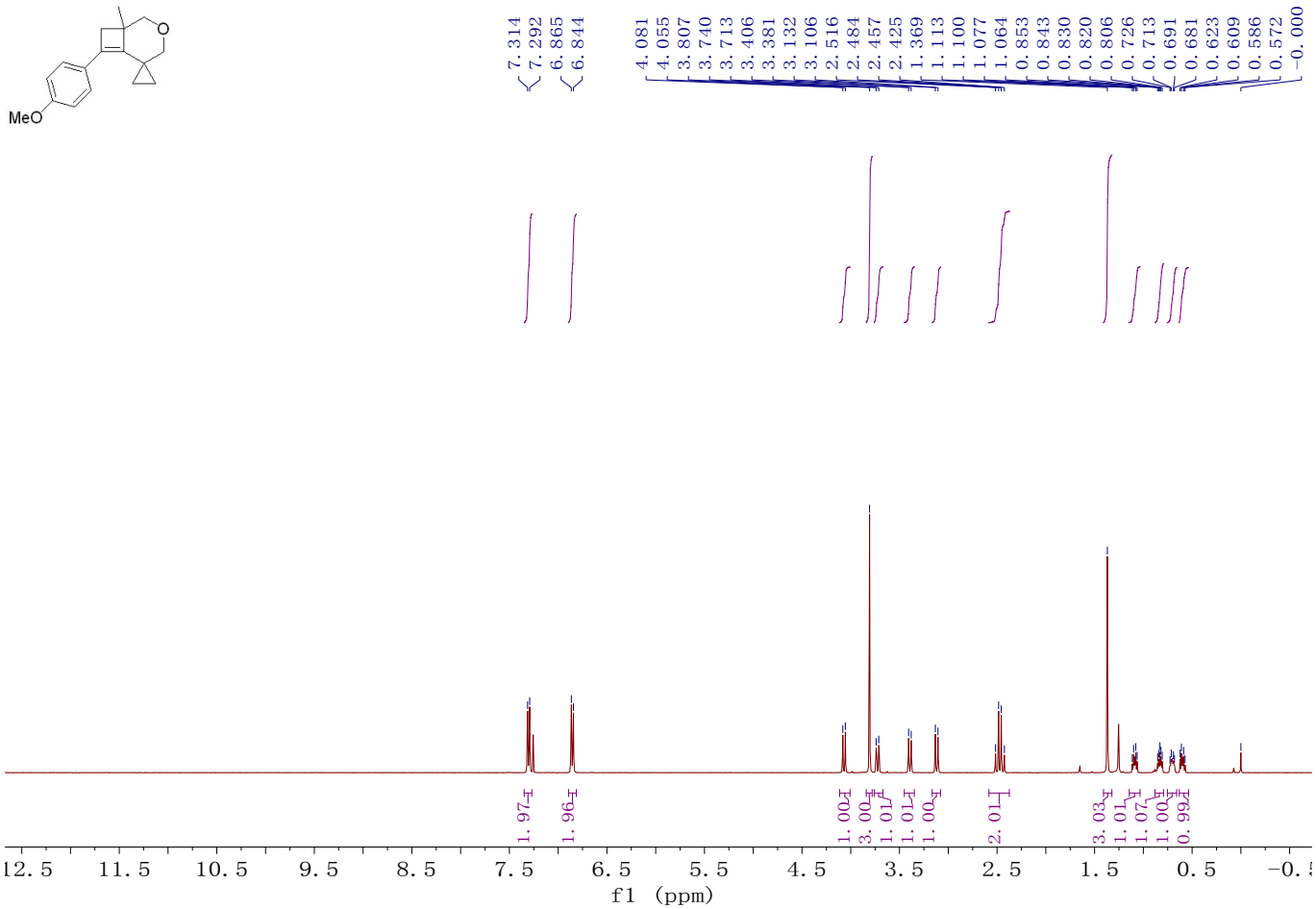
Compound **3d**. 754.1 mg, yield: 85%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.31-7.34 (m, 2H), 6.77-6.80 (m, 2H), 4.99 (s, 1H), 4.90 (s, 1H), 3.99 (s, 2H), 3.77 (s, 3H), 3.40 (s, 2H), 1.76 (s, 3H), 0.98-1.05 (m, 2H), 0.84-0.91 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-d) δ 158.9, 142.2, 133.0, 115.8, 113.6, 112.3, 91.6, 76.8, 74.8, 74.7, 55.1, 19.4, 13.6, 12.9. IR (neat) ν 3084, 3005, 2940, 2913, 2837, 1606, 1508, 1244, 1170, 830 cm^{-1}. HRMS (EI) calcd. for $C_{17}H_{20}O_2^+$: 256.1463, Found: 256.1465.

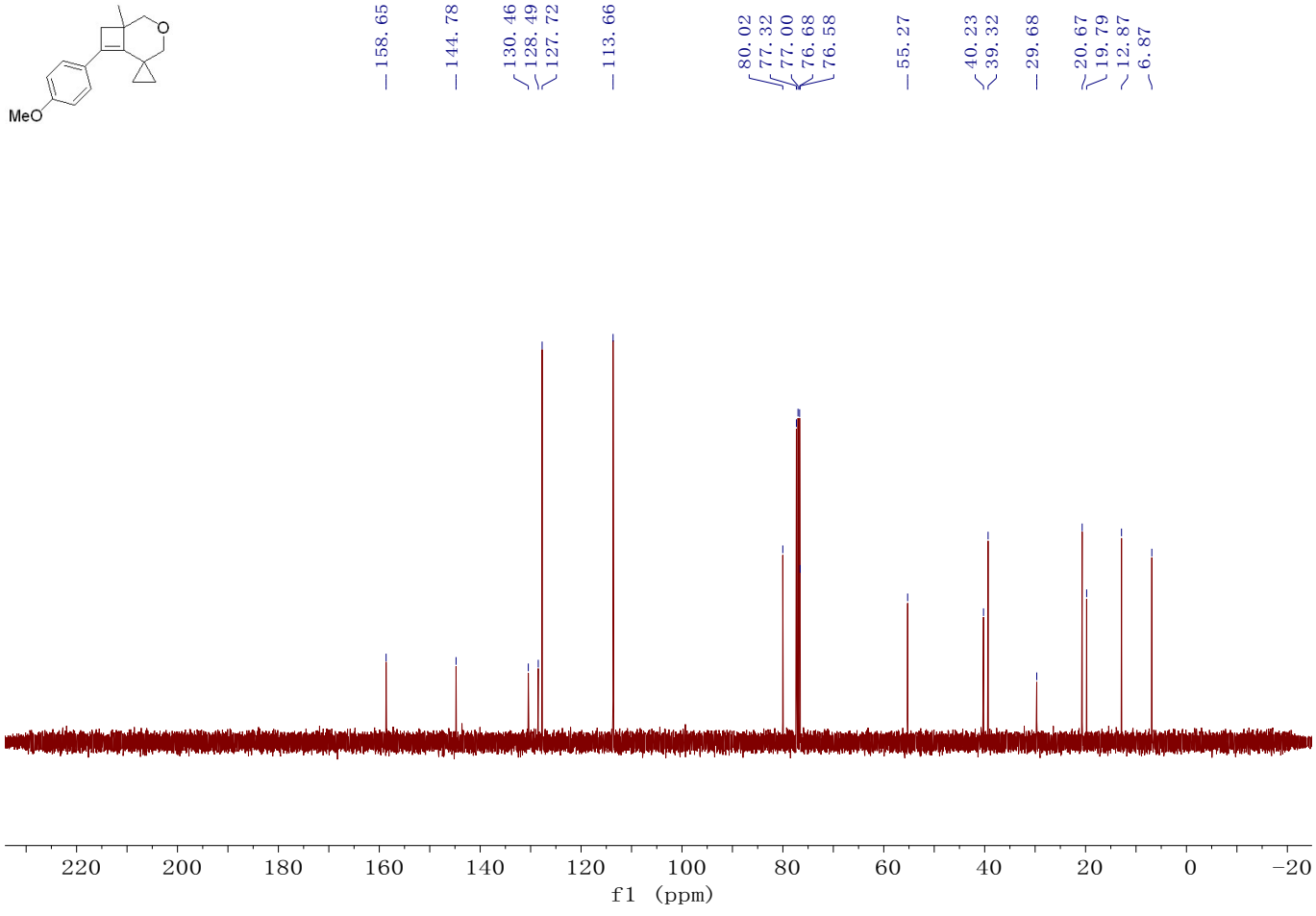


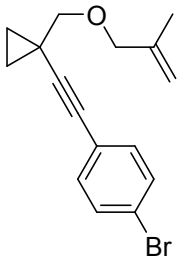




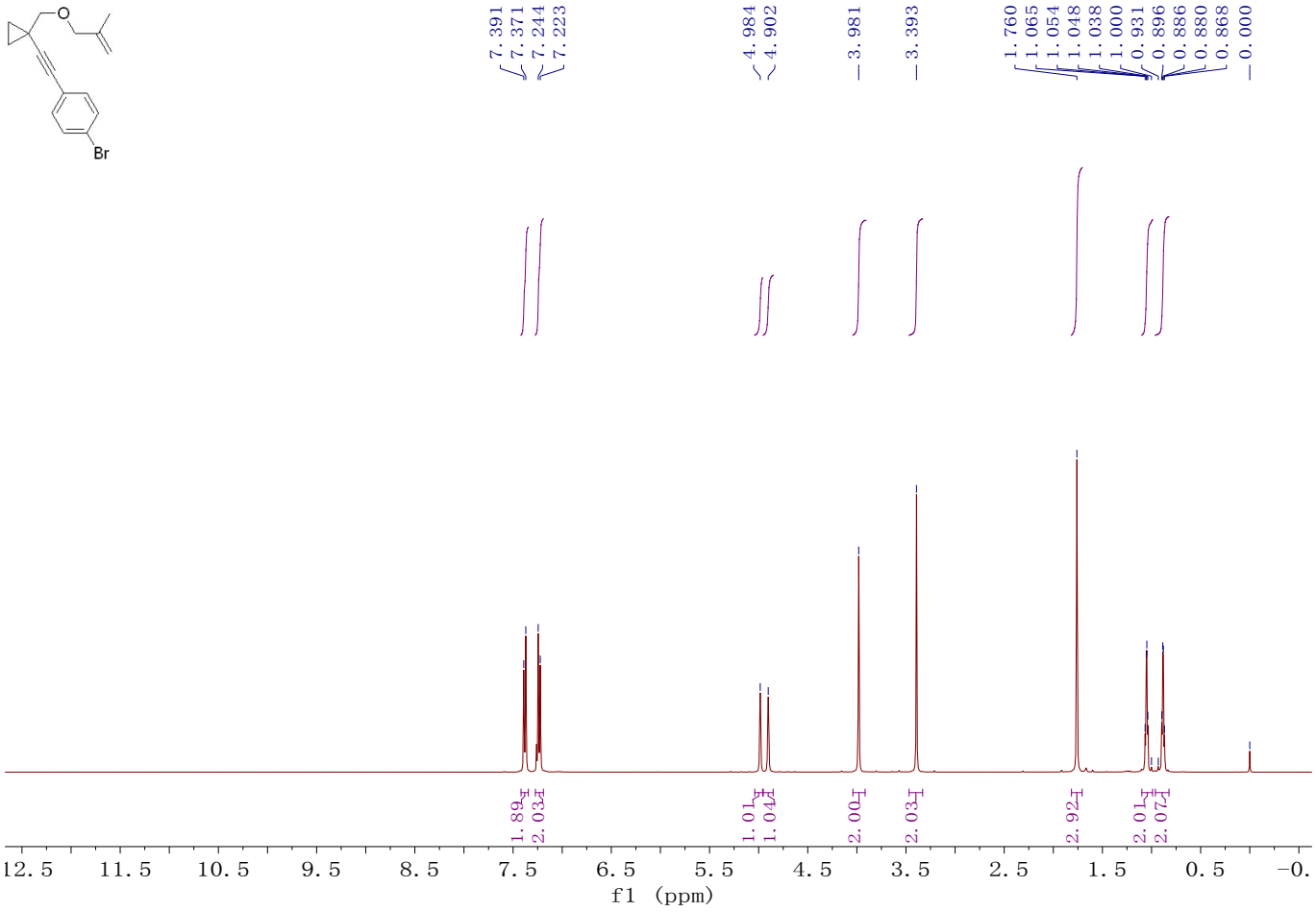
Compound **4d**. 22.3 mg, yield: 44%. pale yellow solid, Mp: 74-76 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.29-7.32 (m, 2H), 6.84-6.87 (m, 2H), 4.07 (d, *J* = 10.4 Hz, 1H), 3.81 (s, 3H), 3.73 (d, *J* = 10.8 Hz, 1H), 3.39 (d, *J* = 10.0 Hz, 1H), 3.12 (d, *J* = 10.4 Hz, 1H), 2.42-2.52 (m, 2H), 1.37 (s, 3H), 1.06-1.12 (m, 1H), 0.80-0.86 (m, 1H), 0.68-0.73 (m, 1H), 0.57-0.63 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.6, 144.8, 130.5, 128.5, 127.7, 113.7, 80.0, 76.6, 55.3, 40.2, 39.3, 29.7, 20.7, 19.8, 12.9, 6.9. IR (neat) ν 2995, 2953, 2939, 2905, 2843, 1602, 1506, 1250, 1016, 822 cm^{-1}. MS (%) m/e 300 (M^{+}, 26.19), 260 (32.90), 258 (100), 195 (31.72), 179 (26.42), 178 (32.61), 165 (52.36), 152 (32.54). HRMS (EI) calcd. for $C_{17}H_{20}O_2^{+}$: 256.1463, Found: 256.1464.

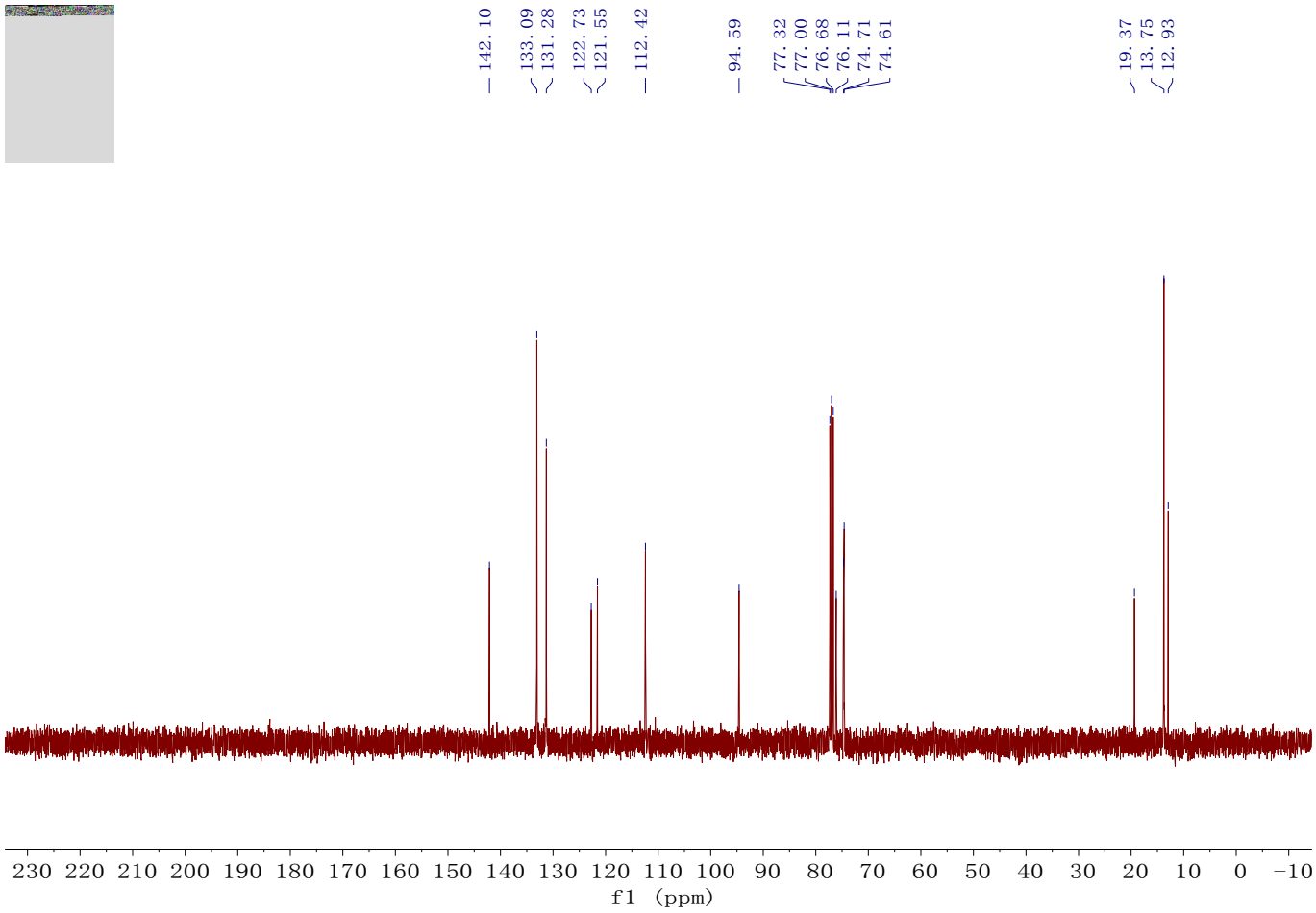

MeO
7.314
7.292
6.865
6.844
4.081
4.055
3.807
3.740
3.713
3.406
3.381
3.132
3.106
2.516
2.484
2.457
2.425
1.369
1.113
1.100
1.077
1.064
0.853
0.843
0.830
0.820
0.806
0.726
0.713
0.691
0.681
0.623
0.609
0.586
0.572
-0.000
1.97
1.96
1.00
3.00
1.01
1.01
1.00
2.01
3.03
1.01
1.07
1.00
0.99
f1 (ppm)

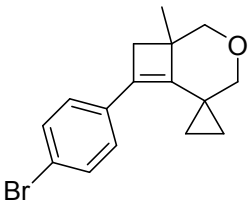

MeO
158.65
144.78
130.46
128.49
127.72
113.66
80.02
77.32
77.00
76.68
76.58
55.27
40.23
39.32
29.68
20.67
19.79
12.87
6.87
f1 (ppm)



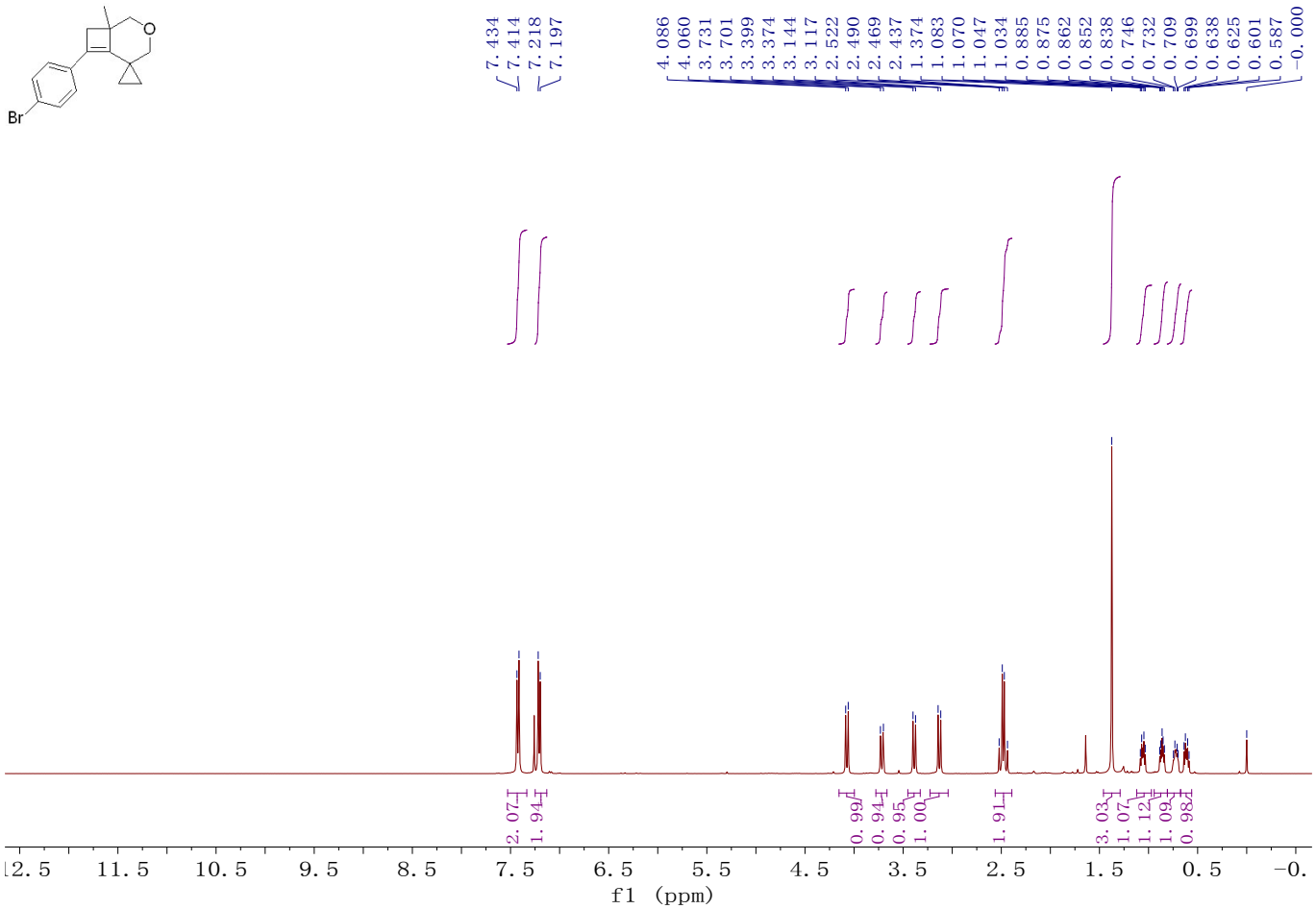
Compound **3e**. 500.3 mg, yield: 84%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37-7.40 (m, 2H), 7.22-7.25 (m, 2H), 4.98 (s, 1H), 4.90 (s, 1H), 3.98 (s, 2H), 3.39 (s, 2H), 1.76 (s, 3H), 1.00-1.07 (m, 2H), 0.86-0.94 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 142.1, 133.1, 131.3, 122.7, 121.5, 112.4, 94.6, 76.1, 74.7, 74.6, 19.4, 13.8, 12.9. IR (neat) ν 3068, 2971, 2853, 2229, 1654, 1486, 1448, 1093, 866, 821 cm^{-1}. HRMS (EI) calcd. for $C_{16}H_{17}OBr^{+}$: 304.0463, Found: 304.0470.

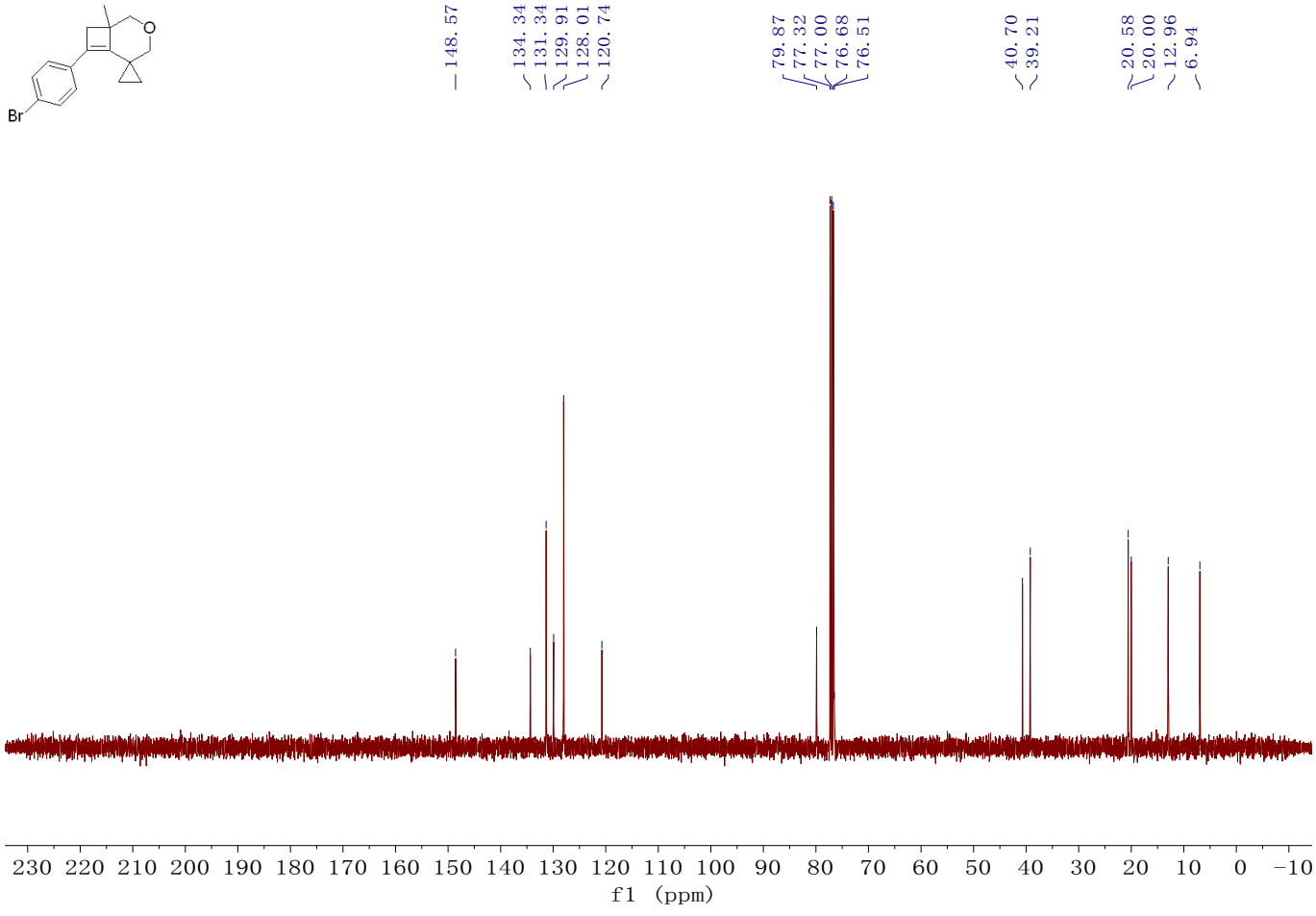


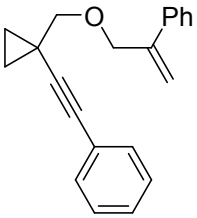




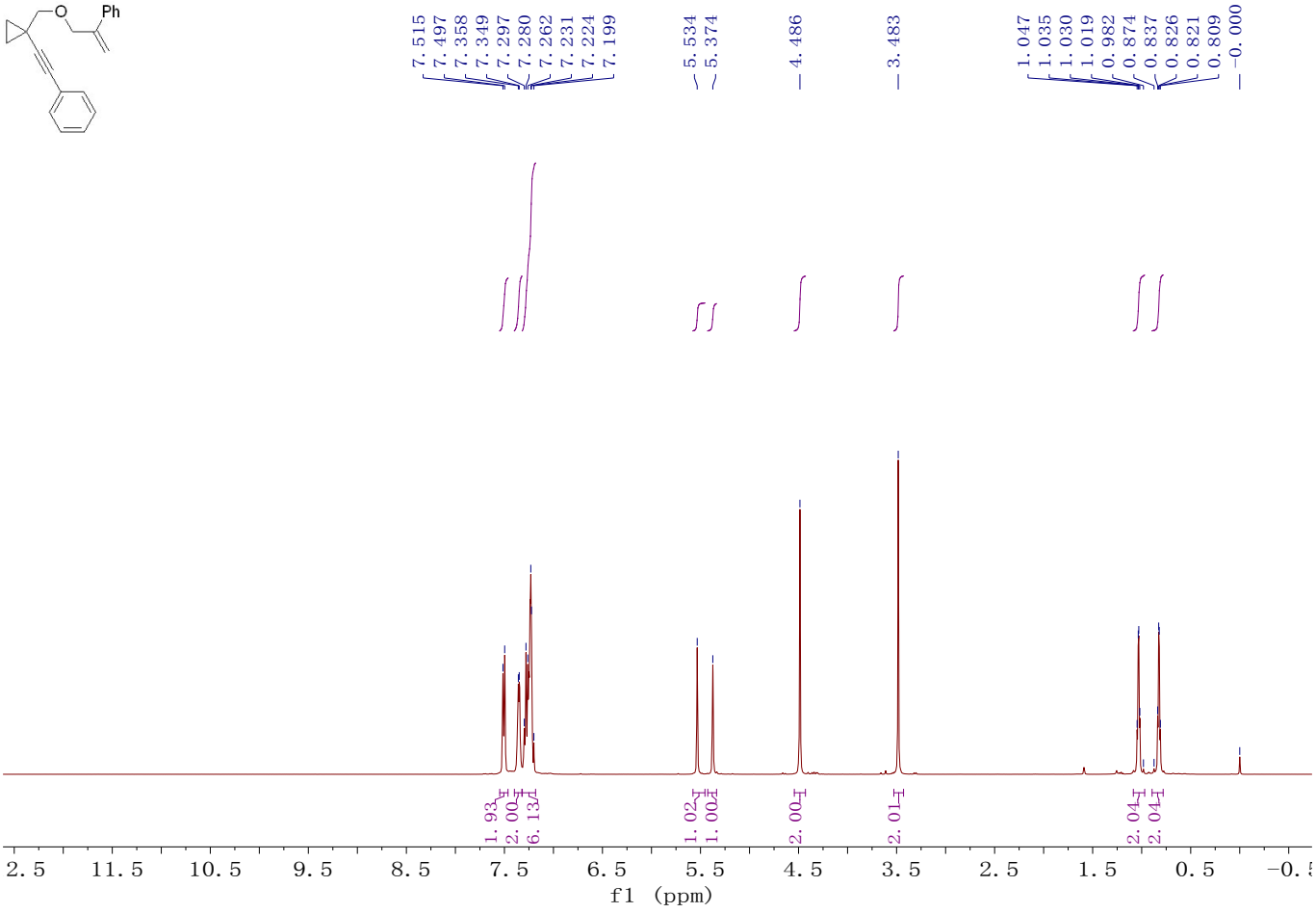
Compound **4e**. 30.5 mg, yield: 51%; pale yellow solid, Mp: 93-95 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.41-7.44 (m, 2H), 7.19-7.22 (m, 2H), 4.07 (d, *J* = 10.4 Hz, 1H), 3.72 (d, *J* = 12.0 Hz, 1H), 3.39 (d, *J* = 10.4 Hz, 1H), 3.13 (d, *J* = 10.8 Hz, 1H), 2.43-2.53 (m, 2H), 1.37 (s, 3H), 1.03-1.09 (m, 1H), 0.83-0.89 (m, 1H), 0.69-0.75 (m, 1H), 0.58-0.64 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 148.6, 134.3, 131.3, 129.9, 128.0, 120.7, 79.9, 76.5, 40.7, 39.2, 20.6, 20.0, 13.0, 6.9. IR (neat) ν 3003, 2919, 2901, 2838, 1666, 1459, 1420, 1068, 1050, 833 cm^{-1}. HRMS (EI) calcd. for $C_{16}H_{17}OBr^{+}$: 304.0463, Found: 304.0465.

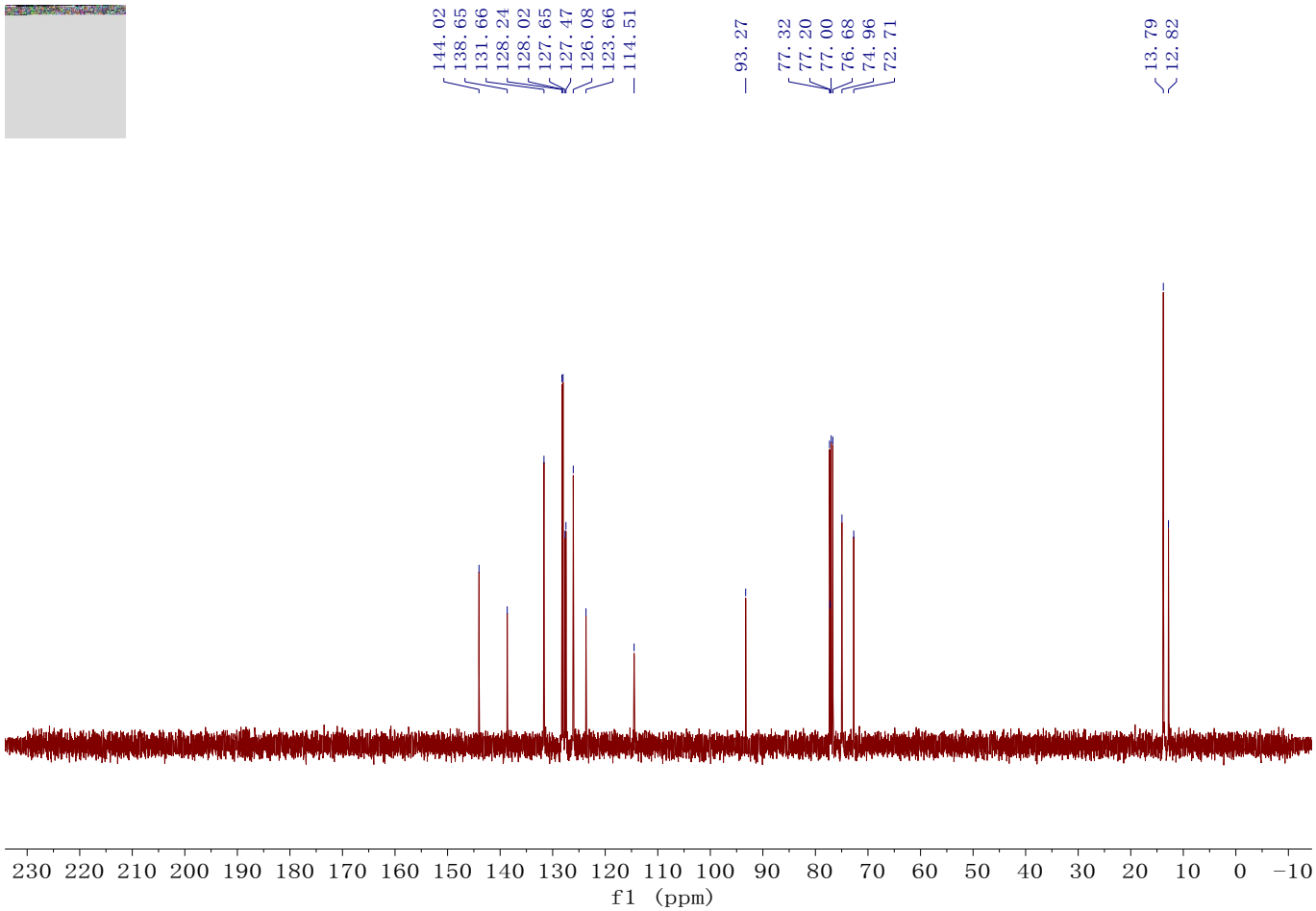

Br
O
7.434
7.414
7.218
7.197
4.086
4.060
3.731
3.701
3.399
3.374
3.144
3.117
2.522
2.490
2.469
2.437
1.374
1.083
1.070
1.047
1.034
0.885
0.875
0.862
0.852
0.838
0.746
0.732
0.709
0.699
0.638
0.625
0.601
0.587
-0.000
2.07
1.94
0.99
0.94
0.95
1.00
1.91
3.03
1.07
1.12
1.09
0.98
f1 (ppm)

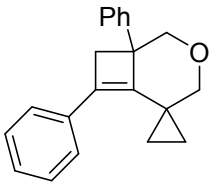

Br
O
148.57
134.34
131.34
129.91
128.01
120.74
79.87
77.32
77.00
76.68
76.51
40.70
39.21
20.58
20.00
12.96
6.94
f1 (ppm)



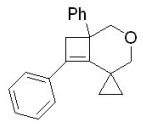
Compound **3f**. 323.3 mg, yield: 58%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.49-7.52 (m, 2H), 7.34-7.36 (m, 2H), 7.19-7.30 (m, 6H), 5.53 (s, 1H), 5.37 (s, 1H), 4.49 (s, 2H), 3.48 (s, 2H), 0.98-1.05 (m, 2H), 0.80-0.88 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 138.6, 131.7, 128.2, 128.0, 127.7, 127.5, 126.1, 123.7, 114.5, 93.3, 77.2, 75.0, 72.7, 13.8, 12.8. IR (neat) ν 3086, 3016, 2911, 2856, 1597, 1491, 1120, 1087, 907, 778 cm^{-1}. HRMS (EI) calcd. for $C_{21}H_{20}O^{+}$: 288.1514, Found: 288.1509.



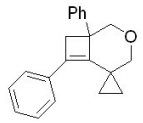




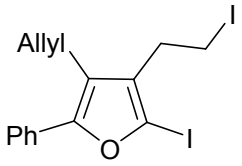
Compound **4f**. 24.1 mg, yield: 42%; pale yellow oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.52-7.54 (m, 2H), 7.41-7.43 (m, 2H), 7.31-7.37 (m, 4H), 7.19-7.28 (m, 3H), 4.88 (d, *J* = 10.8 Hz, 1H), 3.86 (d, *J* = 10.8 Hz, 1H), 3.65 (d, *J* = 10.8 Hz, 1H), 3.21 (d, *J* = 10.8 Hz, 1H), 2.87 (s, 2H), 1.21-1.26 (m, 1H), 0.67-0.77 (m, 2H), 0.57-0.63 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 145.6, 143.3, 135.5, 135.1, 128.3, 128.2, 127.5, 126.83, 126.77, 126.0, 78.4, 47.3, 42.3, 20.5, 14.2, 7.4. IR (neat) ν 3097, 3024, 2944, 2837, 1599, 1492, 1357, 1065, 1054, 908 cm^{-1}. HRMS (EI) calcd. for $C_{21}H_{20}O^{+}$: 288.1514, Found: 288.1521.


Ph
O

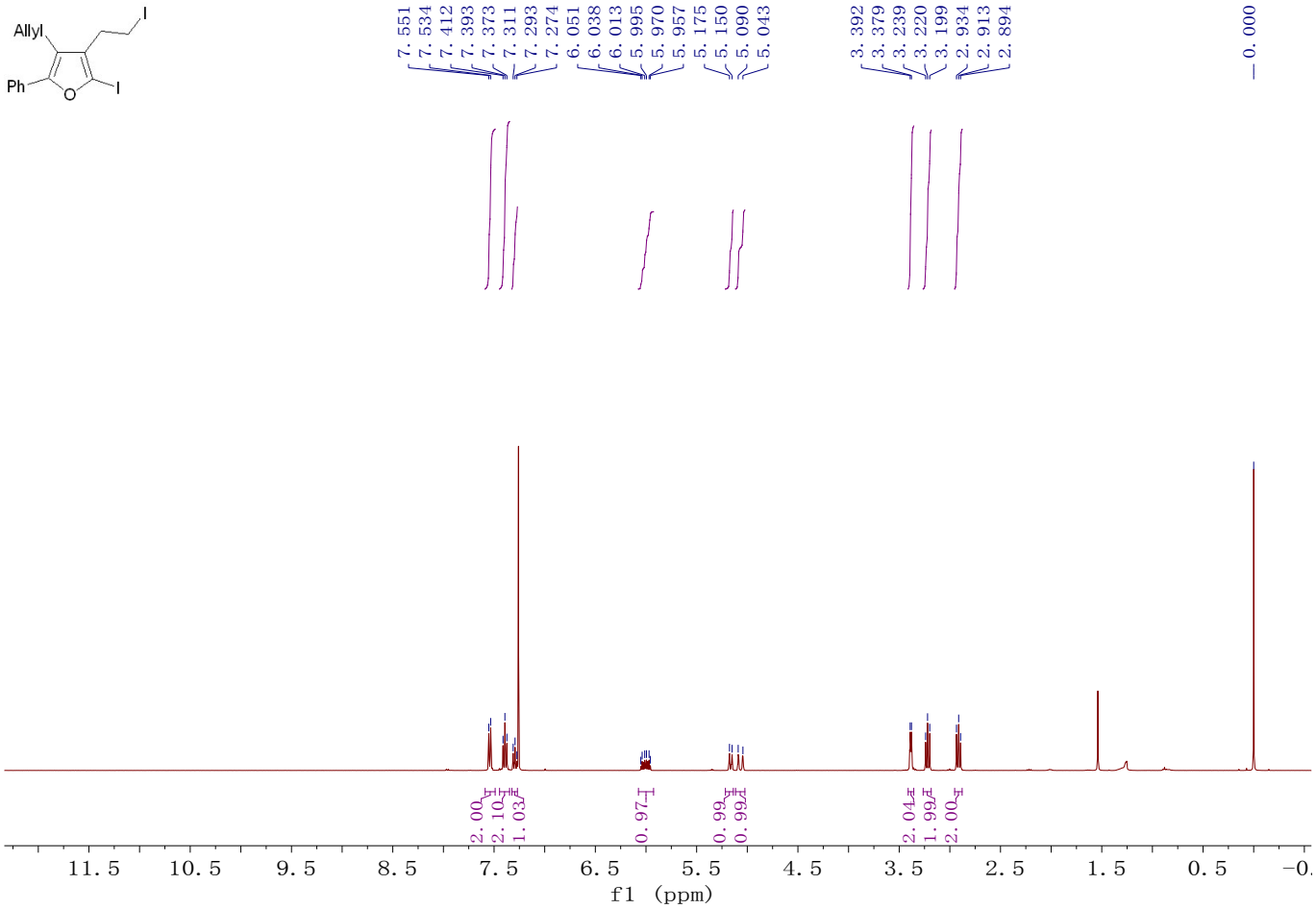
7.540
7.521
7.428
7.410
7.370
7.352
7.338
7.319
7.280
7.262
7.239
7.216
7.198
4.889
4.862
3.875
3.848
3.663
3.636
3.220
3.193
2.870
1.259
1.246
1.223
1.210
0.763
0.739
0.715
0.701
0.674
0.626
0.613
0.600
0.589
0.575
0.000
1.97
2.06
4.29
3.10
1.00
1.10
1.24
1.11
2.06
1.32
2.12
1.03
f1 (ppm)

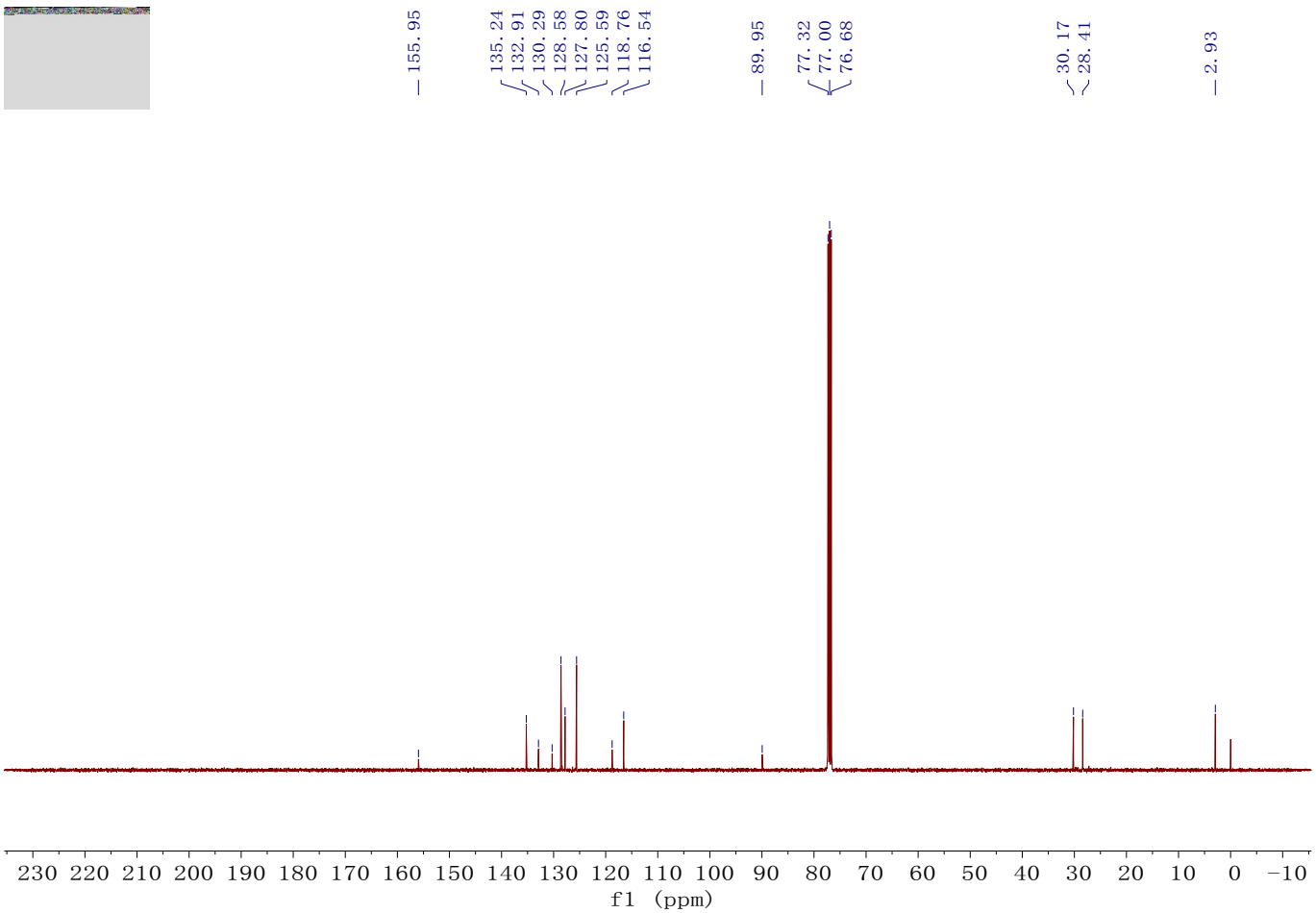

Ph
O

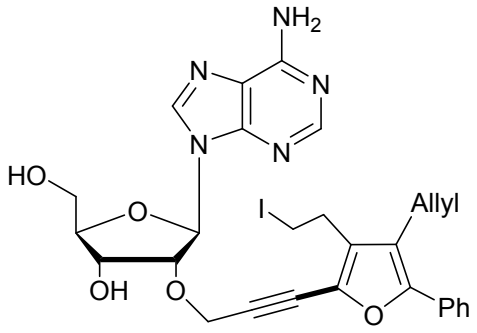
145.64
143.32
135.52
135.12
128.34
128.22
127.47
126.83
126.77
125.98
78.38
77.32
77.00
76.68
47.27
42.34
20.54
14.15
7.43
f1 (ppm)



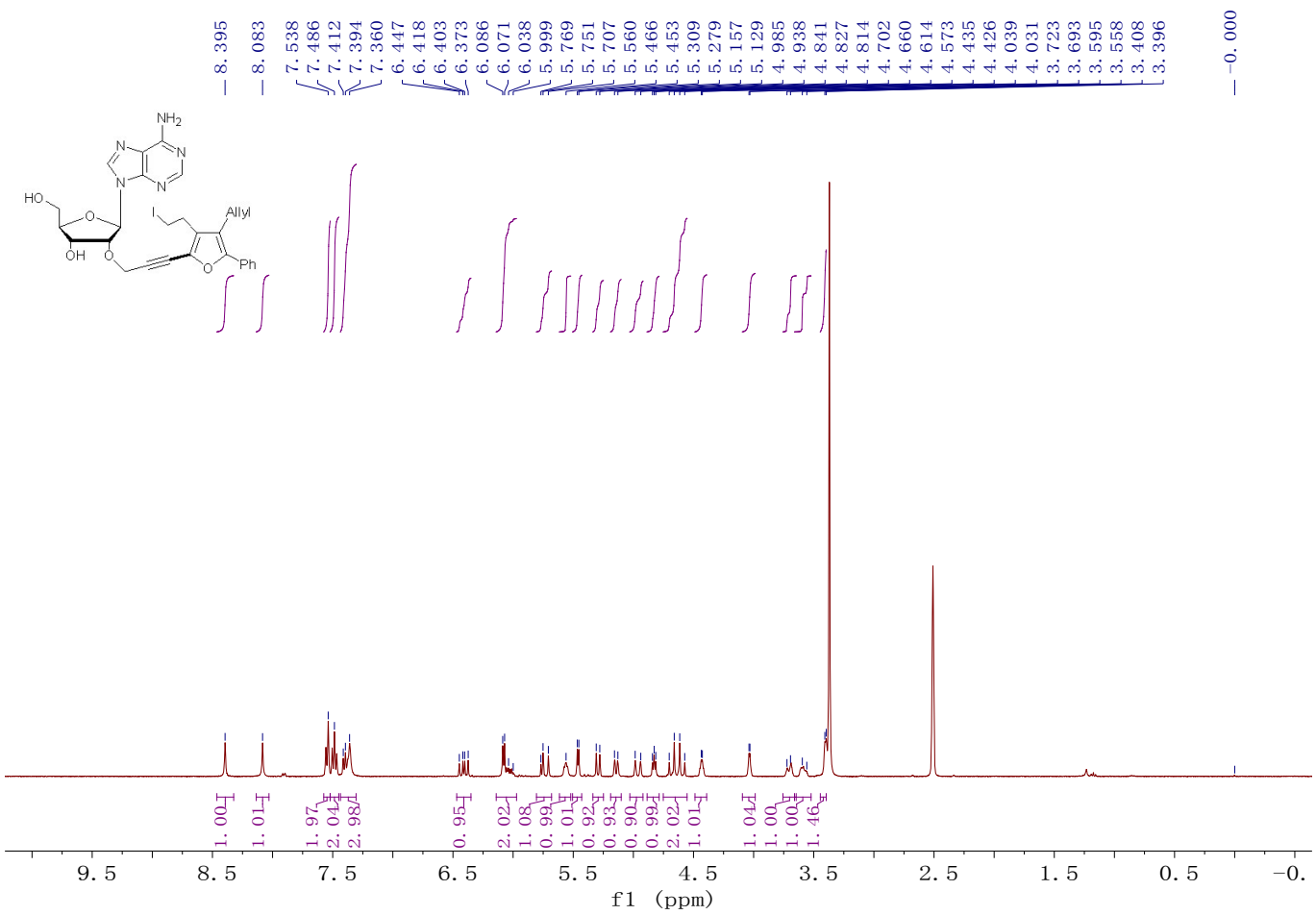
Compound **5a**. 905.0 mg, yield: 80%; pale yellow solid. Mp: 50-51 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.53-7.56 (m, 2H), 7.37-7.42 (m, 2H), 7.27-7.32 (m, 1H), 5.95-6.06 (m, 1H), 5.16 (d, *J* = 10.2 Hz, 1H), 5.07 (d, *J* = 18.6 Hz, 1H), 3.39 (d, *J* = 5.2 Hz, 2H), 3.22 (t, *J* = 8.4 Hz, 2H), 2.91 (t, *J* = 8.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.0, 135.2, 132.9, 130.3, 128.6, 127.8, 125.6, 118.8, 116.5, 89.9, 30.2, 28.4, 2.9. IR (neat) ν 3075, 3008, 2929, 2893, 1532, 1443, 1169, 1115, 1067, 1027 cm^{-1}. HRMS (DART) calcd. for $C_{15}H_{15}OI_2^+$ [M+H]$^+$: 464.9207, Found: 464.9203.

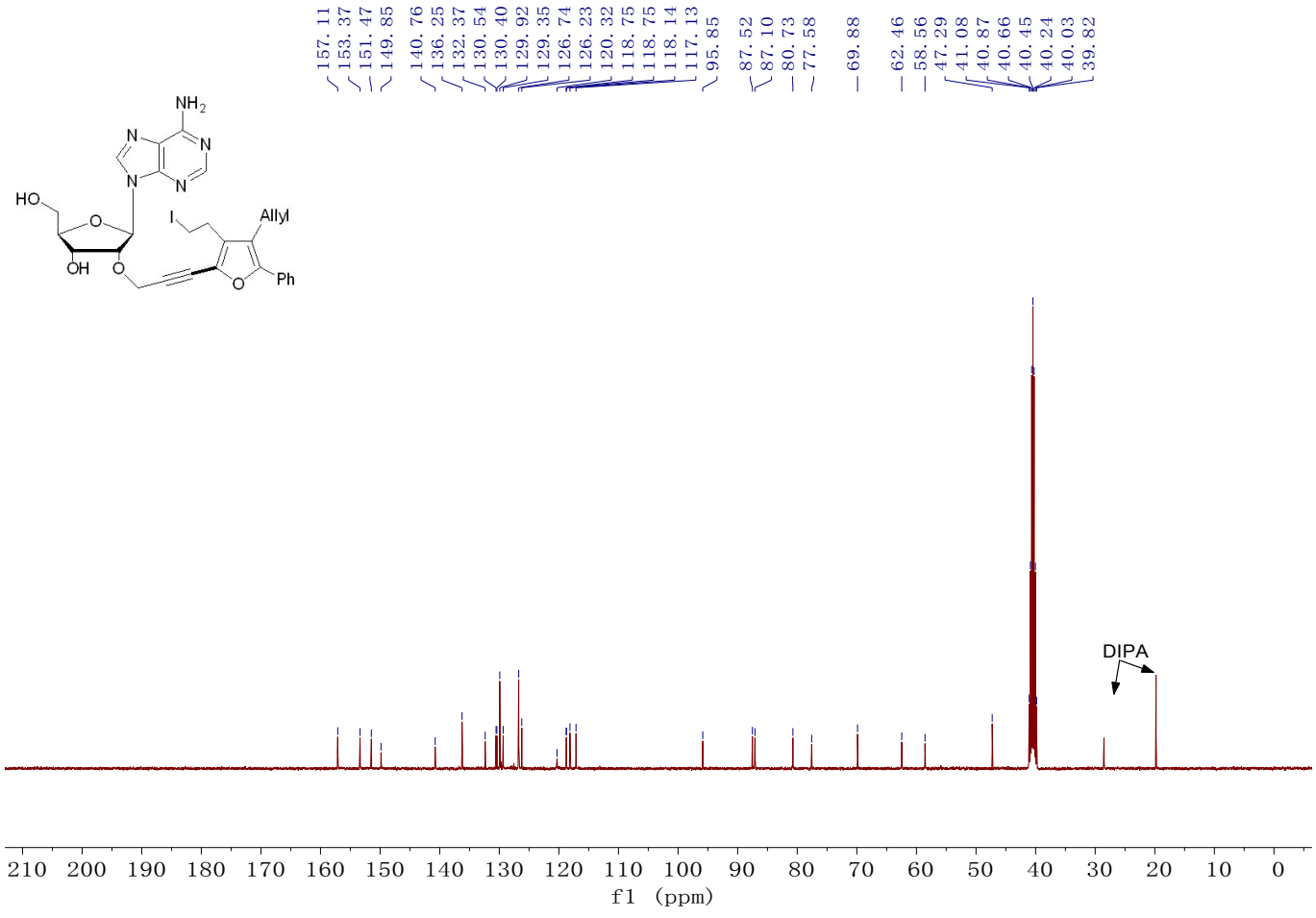


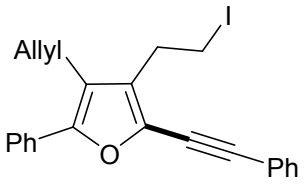




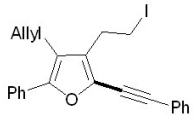
Compound **6a**. 39.8 mg, yield: 62%; pale yellow solid. Mp: 165-166 °C. ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.39 (s, 1H), 8.08 (s, 1H), 7.53-7.56 (m, 2H), 7.46-7.51 (m, 2H), 7.36-7.42 (m, 3H), 6.37-6.45 (dd, J = 17.8, 12.0 Hz, 1H), 5.99-6.09 (m, 2H), 5.70-5.77 (m, 1H), 5.56 (s, 1H), 5.46 (d, J = 5.2 Hz, 1H), 5.29 (d, J = 12.0 Hz, 1H), 5.14 (d, J = 11.2 Hz, 1H), 4.96 (d, J = 18.8 Hz, 1H), 4.83 (t, J = 5.2 Hz, 1H), 4.57-4.71 (m, 2H), 4.42-4.44 (m, 1H), 4.03-4.04 (d, J = 3.2 Hz 1H), 3.69-3.73 (m, 1H), 3.55-3.60 (m, 1H), 3.39-3.41 (m, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 157.1, 153.4, 151.5, 149.9, 140.8, 136.2, 132.4, 130.5, 130.4, 129.9, 129.3, 126.7, 126.2, 120.3, 118.8, 118.7, 118.1, 117.1, 95.8, 87.5, 87.1, 80.7, 77.6, 69.9, 62.5, 58.6, 47.3. IR (neat) ν 3273, 3109, 2923, 2199, 1681, 1613, 1089, 1024, 731, 685 cm^{-1}. HRMS (ESI) calcd. for $C_{28}H_{28}O_5N_5^+$ [M-I]$^+$: 514.2085, Found: 514.2088.

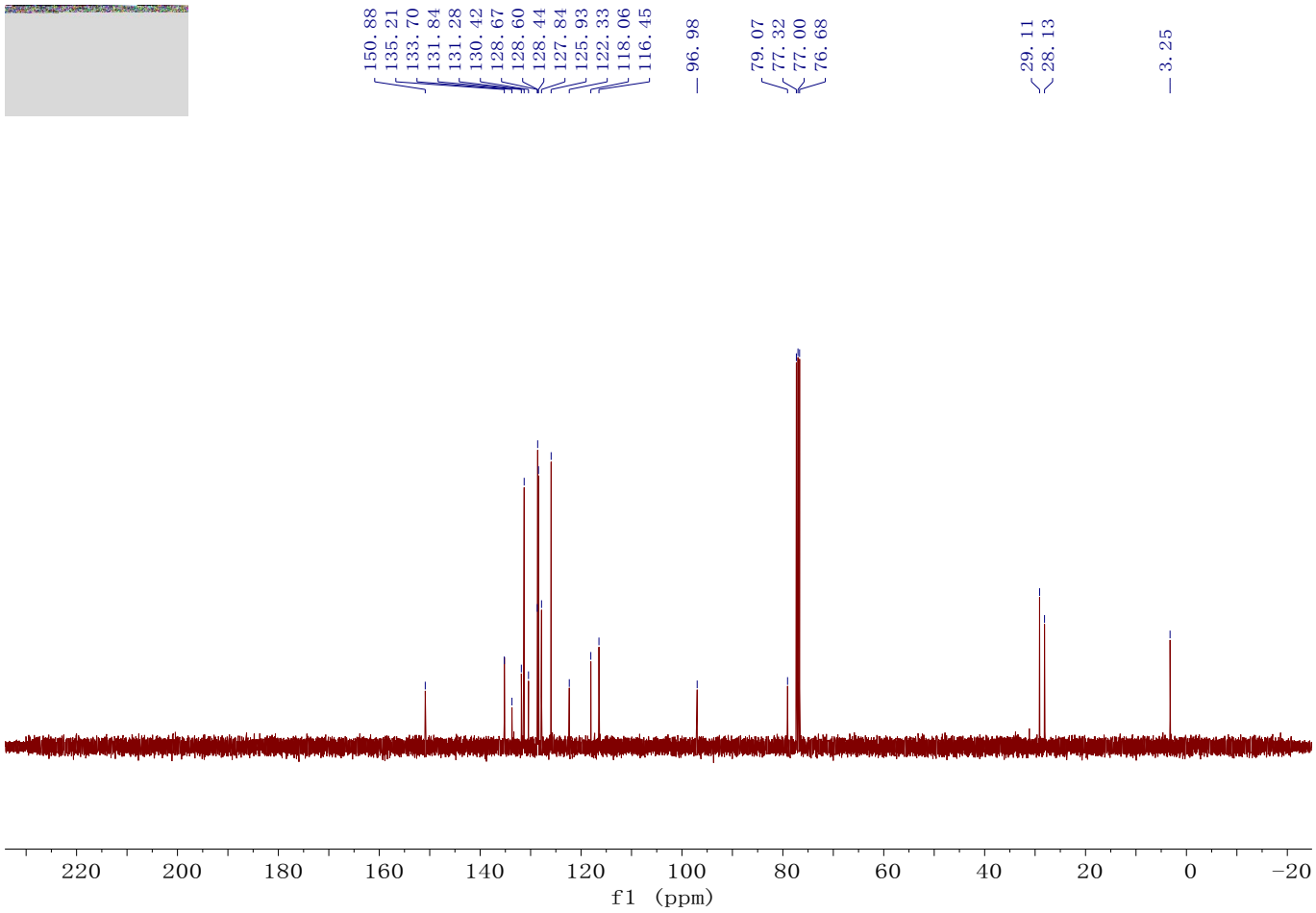

8.395
8.083
7.538
7.486
7.412
7.394
7.360
6.447
6.418
6.403
6.373
6.086
6.071
6.038
5.999
5.769
5.751
5.707
5.560
5.466
5.453
5.309
5.279
5.157
5.129
4.985
4.938
4.841
4.827
4.814
4.702
4.660
4.614
4.573
4.435
4.426
4.039
4.031
3.723
3.693
3.595
3.558
3.408
3.396
0.000
NH2
HO
OH
Allyl
Ph
1.00
1.01
1.97
2.04
2.98
0.95
2.02
1.08
0.99
1.01
0.92
0.93
0.90
0.99
2.02
1.01
1.04
1.00
1.00
1.46
f1 (ppm)

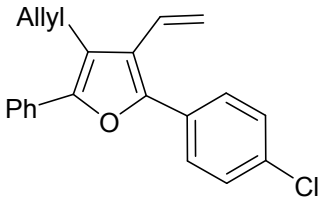

157.11
153.37
151.47
149.85
140.76
136.25
132.37
130.54
130.40
129.92
129.35
126.74
126.23
120.32
118.75
118.75
118.14
117.13
95.85
87.52
87.10
80.73
77.58
69.88
62.46
58.56
47.29
41.08
40.87
40.66
40.45
40.24
40.03
39.82
NH2
HO
OH
Allyl
Ph
DIPA
f1 (ppm)



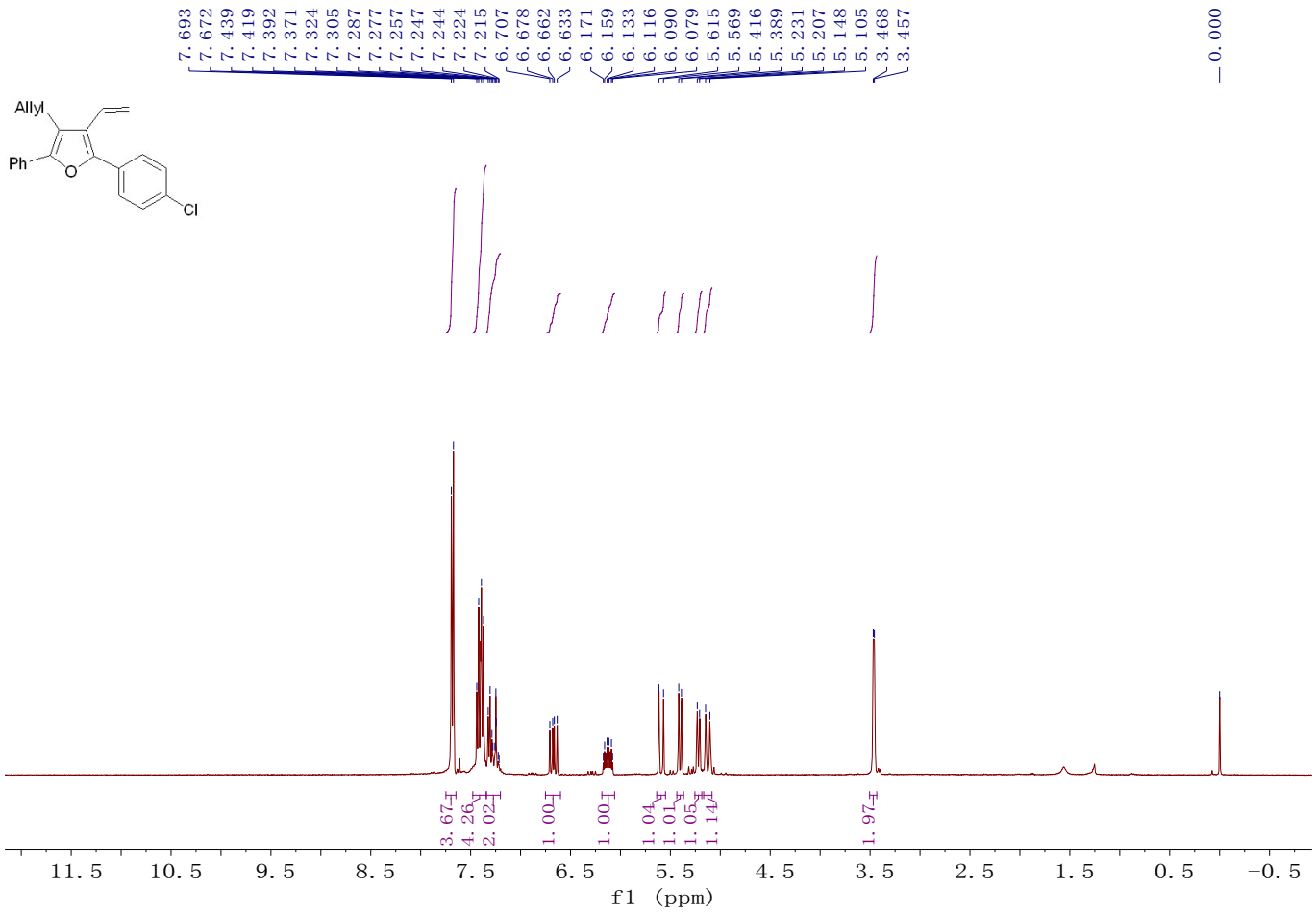
Compound **6b**. 28.6 mg, yield: 66%; colorless solid, Mp:83-84 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.62-7.65 (m, 2H), 7.54-7.56 (m, 2H), 7.29-7.43 (m, 6H), 5.99-6.09 (m, 1H), 5.17 (d, *J* = 10.4 Hz, 1H), 5.07 (d, *J* = 16.8 Hz, 1H), 3.35-3.39 (m, 4H), 3.10-3.15 (t, *J* = 7.6 Hz 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 150.9, 135.2, 133.7, 131.8, 131.3, 130.4, 128.7, 128.6, 128.4, 127.8, 125.9, 122.3, 118.1, 116.5, 97.0, 79.1, 29.1, 28.1, 3.2. IR (neat) ν 3030, 2950, 2914, 2840, 1636, 1482, 1443, 1167, 916, 699 cm^{-1}. HRMS (EI) calcd. for $C_{23}H_{19}OI$: 438.0481, Found: 438.0485.







Compound **6c**. 22.4 mg, yield: 41%; a colorless solid, Mp: 60-62 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.67-7.70 (m, 3H), 7.37-7.44 (m, 4H), 7.21-7.33 (m, 2H), 6.63-6.71 (dd, *J* = 17.8, 11.6 Hz 1H), 6.07-6.18 (m, 1H), 5.59 (d, *J* = 18.4 Hz, 1H), 5.40 (d, *J* = 10.8 Hz, 1H), 5.22 (d, *J* = 9.6 Hz, 1H), 5.13 (d, *J* = 17.2 Hz, 1H), 3.46 (d, *J* = 4.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.7, 147.8, 135.9, 133.1, 131.5, 130.9, 129.6, 128.7, 128.6, 127.7, 127.5, 125.8, 122.6, 118.8, 118.4, 116.5, 28.6. IR (neat) ν 3055, 2929, 2846, 1766, 1662, 1586, 1485, 1262, 1090, 830 cm^{-1}. HRMS (EI) calcd. for $C_{21}H_{17}OCl$: 320.0968, Found: 320.0974.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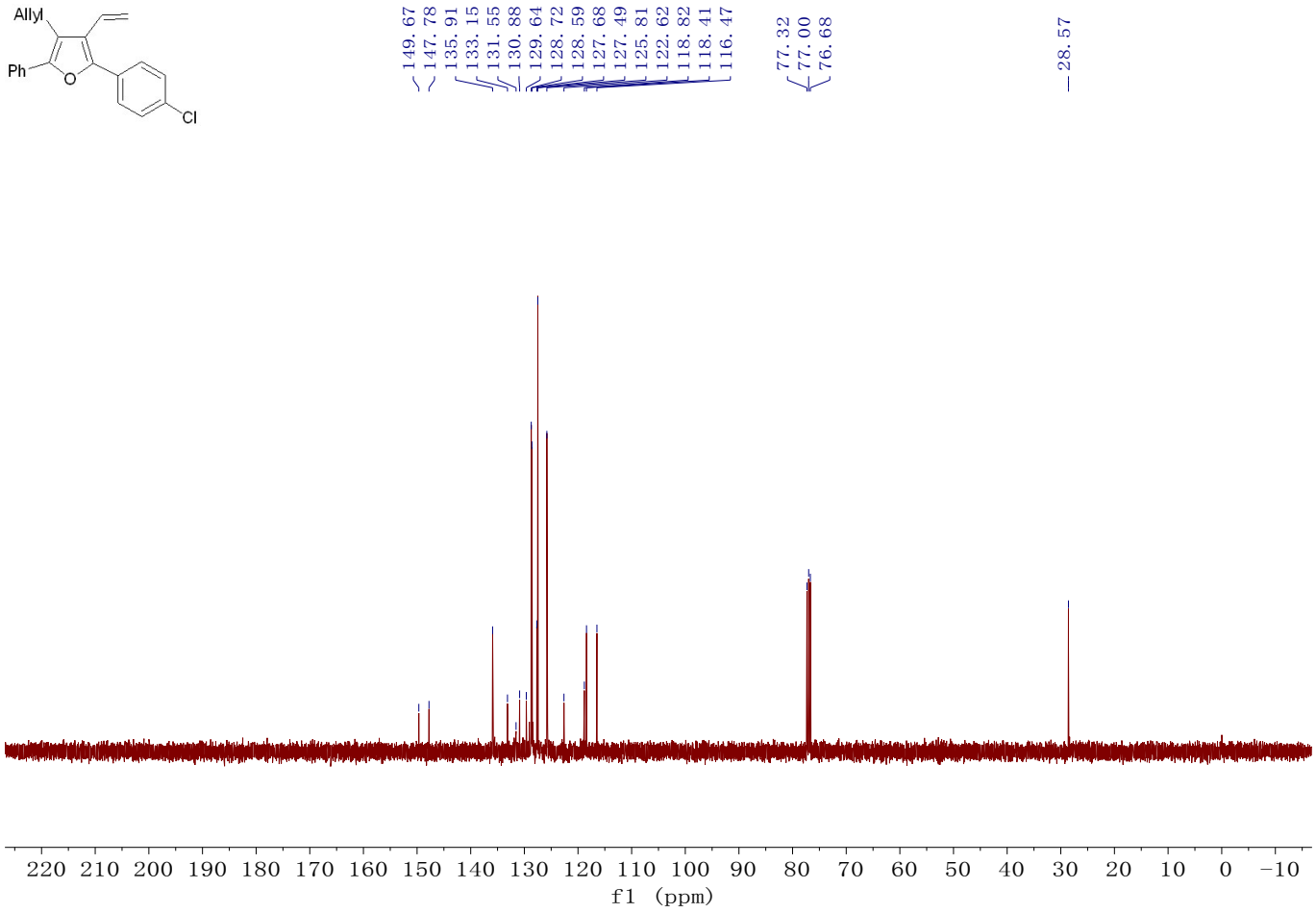
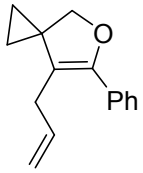
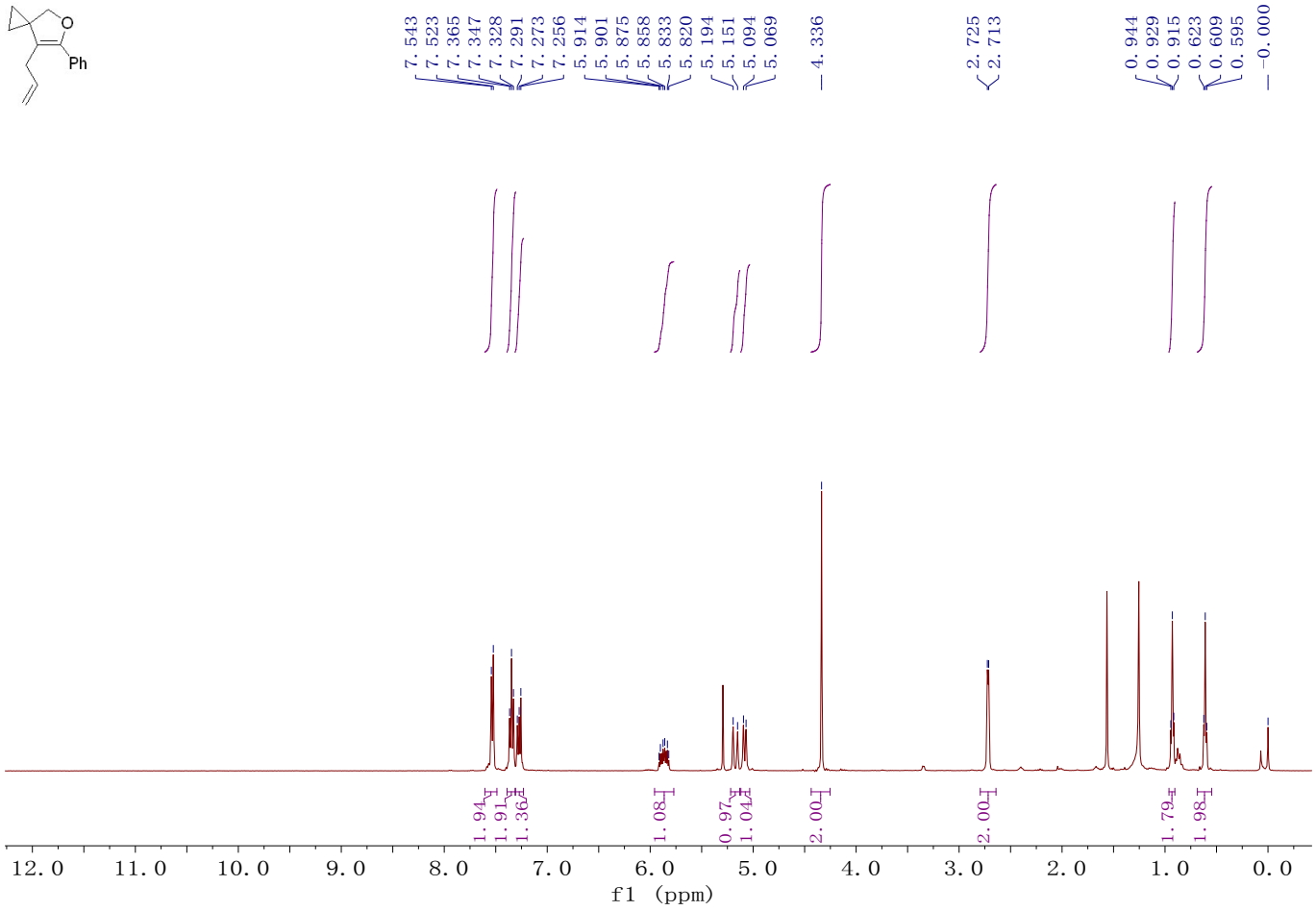
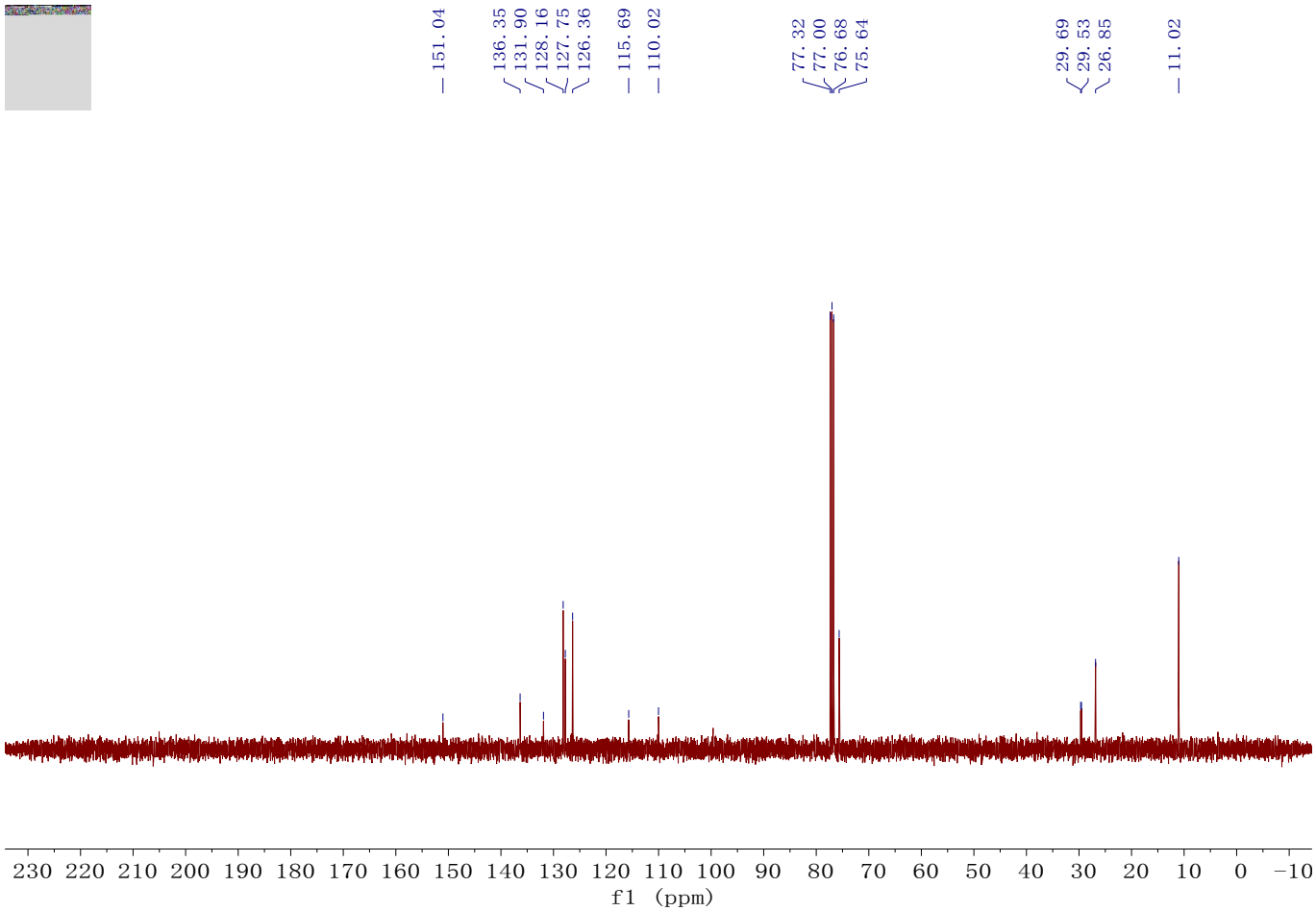
7.672
7.439
7.419
7.392
7.371
7.324
7.305
7.287
7.277
7.257
7.247
7.244
7.224
7.215
6.707
6.678
6.662
6.633
6.171
6.159
6.133
6.116
6.090
6.079
5.615
5.569
5.416
5.389
5.231
5.207
5.148
5.105
3.468
3.457
0.000
Allyl
Ph
O
Cl
3.67
4.26
2.02
1.00
1.00
1.04
1.01
1.05
1.14
1.97
11.5
10.5
9.5
8.5
7.5
6.5
5.5
4.5
3.5
2.5
1.5
0.5
−0.5
f1 (ppm)


Allyl
Ph
O
Cl
149.67
147.78
135.91
133.15
131.55
130.88
129.64
128.72
128.59
127.68
127.49
125.81
122.62
118.82
118.41
116.47
77.32
77.00
76.68
28.57
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)

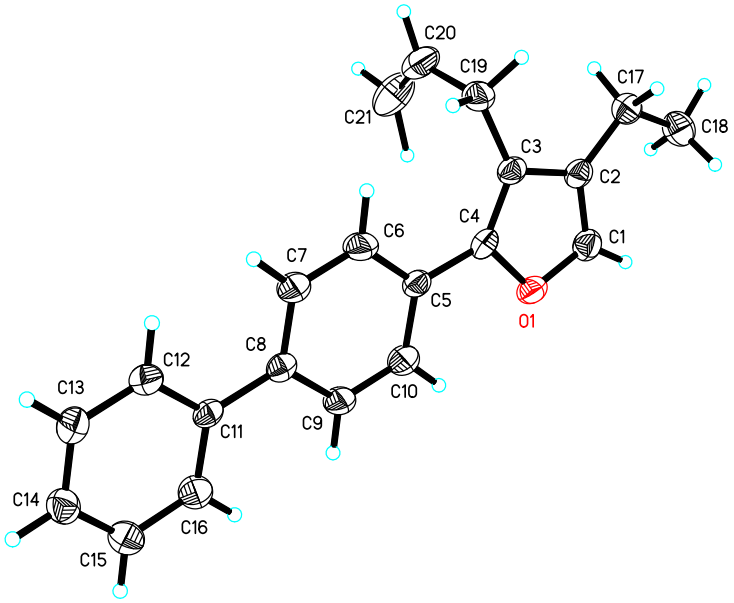


Compound **IntC**. 8.1 mg, yield: 38%; a colorless oil. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.52-7.55 (m, 2H), 7.32-7.37 (m, 2H), 7.25-7.30 (m, 1H), 5.82-5.92 (m, 1H), 5.17 (d, *J* = 17.2 Hz, 1H), 5.08 (d, *J* = 10.0 Hz, 1H), 4.34 (s, 2H), 2.72 (d, *J* = 4.8 Hz, 2H), 0.91-0.95 (m, 2H), 0.59-0.63 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 151.0, 136.4, 131.9, 128.2, 127.7, 126.4, 115.7, 110.0, 75.6, 29.7, 29.5, 26.8, 11.0. IR (neat) ν 3097, 3024, 2944, 2837, 1599, 1492, 1357, 1065, 1054, 908 cm^{-1}. HRMS (EI) calcd. for $C_{15}H_{16}O$: 212.1201, Found: 212.1199.

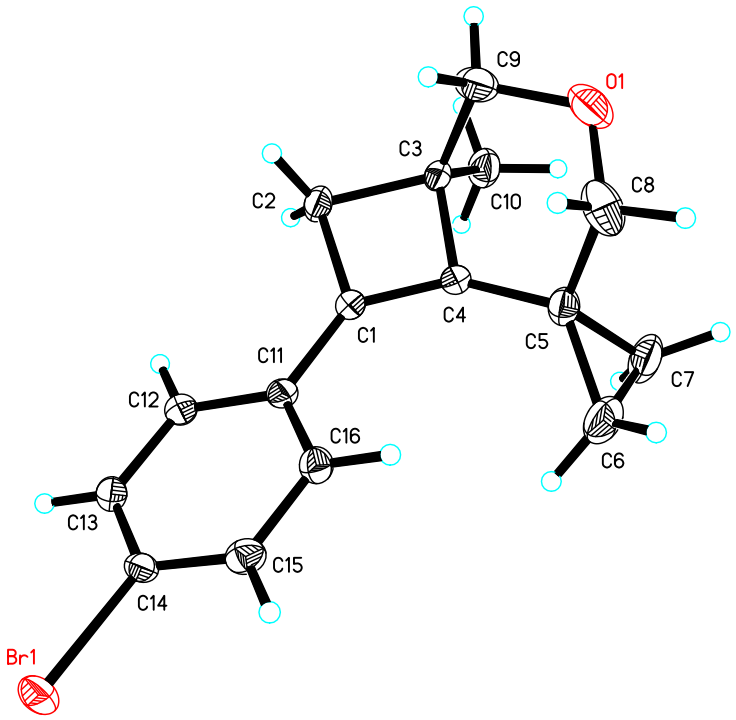



151.04
136.35
131.90
128.16
127.75
126.36
115.69
110.02
77.32
77.00
76.68
75.64
29.69
29.53
26.85
11.02
230 220 210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)

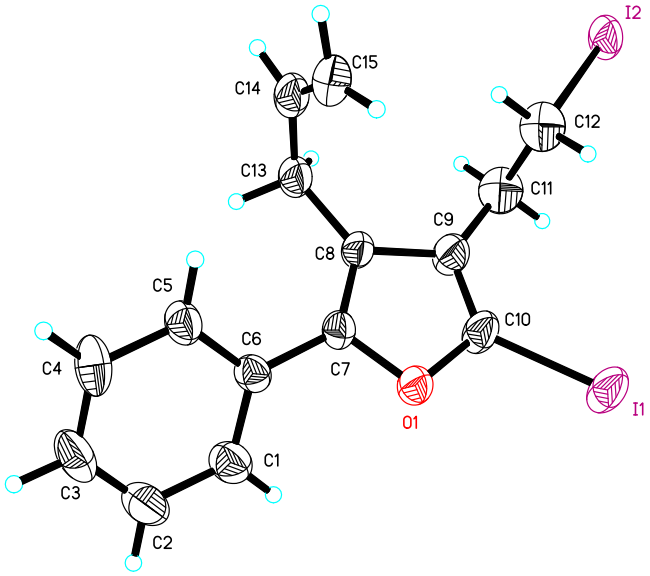
6. X-ray Crystal Data



The crystal data of **2d** have been deposited in CCDC with number 1868700. Empirical formula: $C_{21}H_{20}O$, Formula weight: 288.37, Crystal system: Monoclinic, Space group: P 21, Unit cell dimensions: a = 10.069(3) Å, $\alpha = 90°$; b = 5.4426(14) Å, $\beta = 97.633(8)°$; c = 14.511(3) Å, $\gamma = 90°$. Volume: 788.2(3) $Å^3$, Z = 2, Density (calculated): 1.215 Mg/m^3, F(000) = 308, Crystal size: 0.18 x 0.16 x 0.10 mm^3, Final R indices [I>2sigma(I)]: R1 = 0.0490, wR2 = 0.1208.



The crystal data of **4e** have been deposited in CCDC with number 1885449. Empirical formula: $C_{16}H_{17}BrO$, Formula weight: 305.20, Crystal system: Monoclinic, Space group: P b c a, Unit cell dimensions: a = 11.1989(4) Å, α = 90°; b = 7.7847(3) Å, β = 90°; c = 31.7495(10) Å, γ = 90°. Volume: 2767.92(17) $Å^3$, Z = 8, Density (calculated): 1.465 Mg/m^3, F(000) = 1248, Crystal size: 0.200 x 0.170 x 0.110 mm^3, Final R indices [I>2sigma(I)]: R1 = 0.0354, wR2 = 0.0836.



The crystal data of **5a** have been deposited in CCDC with number 1874229. Empirical formula: $C_{15}H_{14}I_2O$, Formula weight: 464.06, Crystal system: Monoclinic, Space group: P 21/c, Unit cell dimensions: a = 5.7795(15) Å, α = 90°; b = 7.8483(18) Å, β = 91.786(10)°; c = 34.692(10) Å, γ = 90°. Volume: 1572.9(7) $Å^3$, Z = 4, Density (calculated): 1.960 Mg/m^3, F(000) = 872, Crystal size: 0.170 x 0.140 x 0.120 mm^3, Final R indices [I>2sigma(I)]: R1 = 0.0650, wR2 = 0.1667.

7. Reference

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