Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Diastereoselective Access to 2-Aminoindanones via the Rhodium(II)-Catalyzed Tandem Reaction Involving O-H Insertion and Michael Addition

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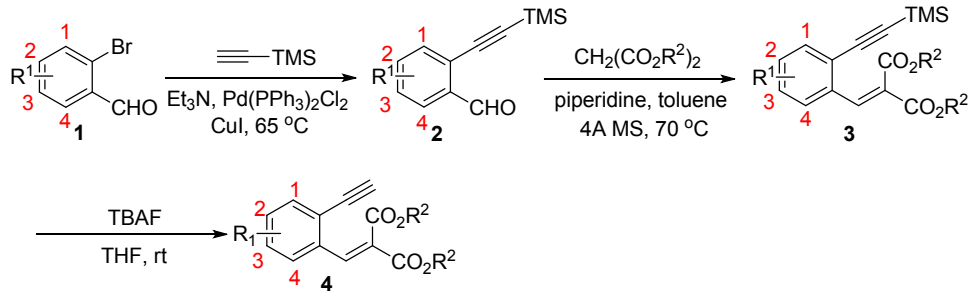
Supporting Information

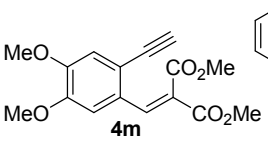
General Information

The ^{1}H NMR and ^{13}C NMR were recorded with Bruker 400 MHz spectrometer instruments in $CDCl_3$. The chemical shifts (δ) were measured in ppm and with the solvents as references (For $CDCl_3$, ^{1}H: δ=7.26 ppm, ^{13}C δ = 77.00 ppm). All solvents were obtained from commercial sources and were purified according to standard procedures. Purification of products was accomplished by flash chromatography using silica gel (200~300 mesh). Thin layer chromatography (TLC) was performed on Merck silica gel GF254 plates and visualized by UV-light (254 nm). Melting points were obtained on a Yanaco-241 apparatus and are uncorrected. IR spectra were recorded on a MAGNA-560 spectrometer made by Nicolet Company. HRMS were recorded on VG ZAB-HS mass spectrometer with ESI resource.

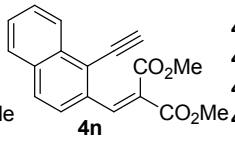
Preparation of alkynes 4



4a, R^1=H, R^2=Me
4b, R^1=1-Me, R^2=Me
4c, R^1=2-Me, R^2=Me
4d, R^1=2-Ph, R^2=Me
4e, R^1=2-OMe, R^2=Me
4f, R^1=2-Cl, R^2=Me
4g, R^1=3-Me, R^2=Me
4h, R^1=3-Ph, R^2=Me
4i, R^1=3-OMe, R^2=Me
4j, R^1=3-F, R^2=Me
4k, R^1=3-Cl, R^2=Me
4l, R^1=4-OMe, R^2=Me



4m



4n

4o, R^1=H, R^2=Et
4p, R^1=H, R^2=*n*-Pr
4q, R^1=H, R^2=*i*-Pr
4r, R^1=H, R^2=*n*-Bu
4s, R^1=H, R^2=Bn

General Procedure A

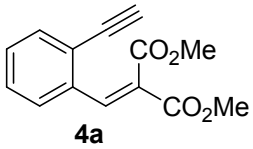
Under a nitrogen atmosphere, to a triethylamine solution (40 mL) of $Pd(PPh_3)_2Cl_2$ (0.56 g, 0.8 mmol) and CuI (0.38 g, 2.0 mmol) was added aldehyde **1** (40 mmol) and stirred for 10 mins, then added trimethylsilylacetylene (4.7 g, 48.0 mmol) dropwise over 30 mins. The resulting suspension was allowed to be stirred for 4 hours at 65 °C. After completion of the reaction, the mixture was filtered through a short celite bed and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate, 50:1) to afford compound **2**.

Piperidine (1 mL) and 4Å MS (8 g) was added to the solution of aldehyde **2** (20 mmol), malonic ester (26 mmol) in toluene (20 mL) at room temperature. Then the mixture was stirred at 70 °C for

8 h. After filtration, the solid mixture was washed with EtOAc (100 mL). The combined organic phases were dried over Na_2SO_4 and evaporated under reduced pressure. The residue was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate, 25:1) to afford compound **3**.

Under a nitrogen atmosphere, compound **3** (10 mmol) dissolved in freshly distilled THF (100 mL) was added TBAF (20 mL, 1M in THF, 20mmol). The reaction mixture was stirred at rt for 30 min. Then the mixture was concentrated in vacuo. The residue was extracted with DCM (3 × 50 mL), and the combined organic layers were washed with brine (20 mL), dried over Na_2SO_4, filtered and evaporated in vacuo. The residue was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate, 10:1) to afford compound **4**.

Dimethyl 2-(2-ethynylbenzylidene)malonate **4a**

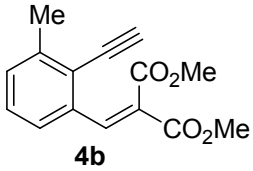


4a

4a was synthesized from commercially sourced **1a** according to *General Procedure A* via a three-step sequence. Yield for **2a**: 83%, yield for **3a**: 63%, yield for **4a**: 67%.

The data for **4a**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 1H), 7.61 – 7.35 (m, 4H), 3.86 (s, 3H), 3.78 (s, 3H), 3.44 (s, 1H).

Dimethyl 2-(2-ethynyl-3-methylbenzylidene)malonate **4b**

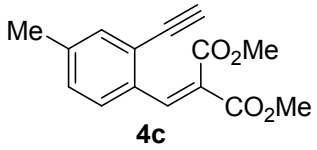


4b

4b was synthesized from commercially sourced **1b** according to *General Procedure A* via a three-step sequence. Yield for **2b**: 64%, yield for **3b**: 67%, yield for **4b**: 54%.

The data for **4b**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.28 (s, 1H), 7.36 – 7.16 (m, 3H), 3.87 (s, 3H), 3.78 (s, 3H), 3.71 (d, J = 10.6 Hz, 1H), 2.47 (d, J = 14.3 Hz, 3H).

Dimethyl 2-(2-ethynyl-4-methylbenzylidene)malonate **4c**

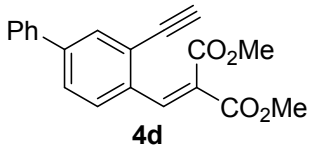


4c

4c was synthesized from commercially sourced **1c** according to *General Procedure A* via a three-step sequence. Yield for **2c**: 82%, yield for **3c**: 60%, yield for **4c**: 57%.

The data for **4c**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 7.38 (s, 1H), 7.31 (d, J = 8.1 Hz, 1H), 7.13 (d, J = 7.9 Hz, 1H), 3.85 (s, 3H), 3.79 (s, 3H), 3.40 (s, 1H), 2.34 (s, 3H).

Dimethyl 2-((3-ethynyl-[1,1'-biphenyl]-4-yl)methylene)malonate **4d**

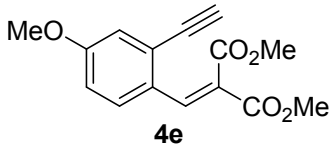


4d

4d was synthesized from aldehyde **1d**[1] and according to *General Procedure A* via a three-step sequence. Yield for **2d**: 95%, yield for **3d**: 69%, yield for **4d**: 58%.

The data for **4d**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (s, 1H), 7.83 (d, J = 1.7 Hz, 1H), 7.62 – 7.39 (m, 7H), 3.90 (s, 3H), 3.85 (s, 3H), 3.50 (s, 1H).

Dimethyl 2-(2-ethynyl-4-methoxybenzylidene)malonate **4e**

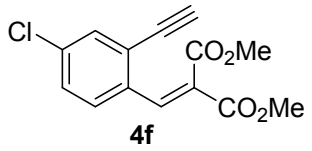


4e

4e was synthesized from commercially sourced **1e** according to *General Procedure A* via a three-step sequence. Yield for **2e**: 90%, yield for **3e**: 79%, yield for **4e**: 83%.

The data for **4e**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.37 (d, J = 8.8 Hz, 1H), 7.06 (d, J = 2.7 Hz, 1H), 6.87 (dd, J = 8.8, 2.7 Hz, 1H), 3.84 (s, 3H), 3.82 (s, 3H), 3.81 (s, 3H), 3.44 (s, 1H).

Dimethyl 2-(4-chloro-2-ethynylbenzylidene)malonate **4f**

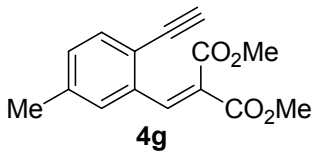


4f

4f was synthesized from commercially sourced **1f** according to *General Procedure A* via a three-step sequence. Yield for **2f**: 62%, yield for **3f**: 45%, yield for **4f**: 60%.

The data for **4f**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (s, 1H), 7.54 (d, J = 1.9 Hz, 1H), 7.32 (dt, J = 8.5, 5.2 Hz, 2H), 3.86 (s, 3H), 3.79 (s, 3H), 3.48 (s, 1H).

Dimethyl 2-(2-ethynyl-5-methylbenzylidene)malonate **4g**

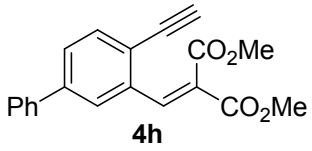


4g

4g was synthesized from commercially sourced **1g** according to *General Procedure A* via a three-step sequence. Yield for **2g**: 94%, yield for **3g**: 85%, yield for **4g**: 63%.

The data for **4g**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 7.45 (d, *J* = 7.9 Hz, 1H), 7.21 (s, 1H), 7.17 (d, *J* = 7.7 Hz, 1H), 3.86 (s, 3H), 3.79 (s, 3H), 3.38 (s, 1H), 2.34 (s, 3H).

Dimethyl 2-((4-ethynyl-[1,1'-biphenyl]-3-yl)methylene)malonate **4h**

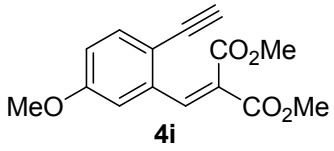


4h

4h was synthesized from aldehyde **1h**[1] according to *General Procedure A* via a three-step sequence. Yield for **2h**: 92%, yield for **3h**: 61%, yield for **4h**: 63%.

The data for **4h**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (s, 1H), 7.68 (s, 1H), 7.61 (q, *J* = 8.0 Hz, 2H), 7.54 (d, *J* = 7.3 Hz, 2H), 7.46 (t, *J* = 7.2 Hz, 2H), 7.38 (t, *J* = 7.2 Hz, 1H), 3.88 (s, 3H), 3.78 (s, 3H), 3.49 (s, 1H).

Dimethyl 2-(2-ethynyl-5-methoxybenzylidene)malonate **4i**

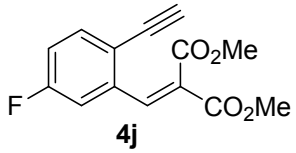


4i

4i was synthesized from commercially sourced **1i** according to *General Procedure A* via a three-step sequence. Yield for **2i**: 90%, yield for **3i**: 67%, yield for **4i**: 52%.

The data for **4i**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 7.46 (d, *J* = 8.6 Hz, 1H), 6.96 (d, *J* = 2.5 Hz, 1H), 6.88 (dd, *J* = 8.6, 2.6 Hz, 1H), 3.85 (s, 3H), 3.79 (s, 3H), 3.77 (s, 3H), 3.34 (s, 1H).

Dimethyl 2-(2-ethynyl-5-fluorobenzylidene)malonate **4j**



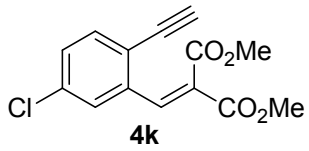
4j

4j was synthesized from commercially sourced **1j** according to *General Procedure A* via a three-step sequence. Yield for **2j**: 90%, yield for **3j**: 54%, yield for **4j**: 57%.

The data for **4j**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (s, 1H), 7.54 (dd, *J* = 8.6, 5.6 Hz, 1H), 7.13

(dd, *J* = 9.5, 2.5 Hz, 1H), 7.07 (td, *J* = 8.3, 2.6 Hz, 1H), 3.87 (s, 4H), 3.82 (s, 3H), 3.41 (s, 1H).

Dimethyl 2-(5-chloro-2-ethynylbenzylidene)malonate **4k**

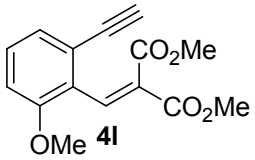


4k

4k was synthesized from commercially sourced **1k** according to *General Procedure A* via a three-step sequence. Yield for **2k**: 83%, yield for **3k**: 36%, yield for **4k**: 63%.

The data for **4k**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.48 (d, *J* = 8.3 Hz, 1H), 7.39 (d, *J* = 2.0 Hz, 1H), 7.32 (dd, *J* = 8.3, 2.1 Hz, 1H), 3.87 (s, 3H), 3.82 (s, 3H), 3.46 (s, 1H).

Dimethyl 2-(2-ethynyl-6-methoxybenzylidene)malonate **4l**

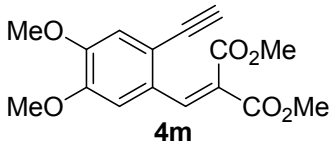


4l

4l was synthesized from commercially sourced **1l** according to *General Procedure A* via a three-step sequence. Yield for **2l**: 81%, yield for **3l**: 51%, yield for **4l**: 47%.

The data for **4l**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (s, 1H), 7.35 – 7.18 (m, 2H), 6.92 (d, *J* = 8.4 Hz, 1H), 3.90 (s, 3H), 3.81 (s, 3H), 3.72 (s, 3H), 3.39 (s, 1H).

Dimethyl 2-(2-ethynyl-4,5-dimethoxybenzylidene)malonate **4m**

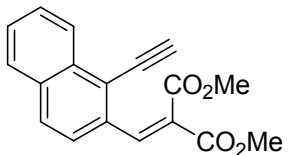


4m

4m was synthesized from commercially sourced **1m** according to *General Procedure A* via a three-step sequence. Yield for **2m**: 91%, yield for **3m**: 56%, yield for **4m**: 41%.

The data for **4m**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.00 (d, *J* = 2.2 Hz, 2H), 3.90 (s, 3H), 3.84 (s, 6H), 3.82 (s, 3H), 3.39 (s, 1H).

Dimethyl 2-((1-ethynylnaphthalen-2-yl)methylene)malonate **4n**



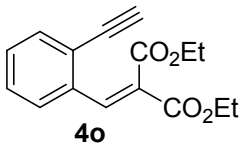
4n

4n was synthesized from commercially sourced **1n** according to *General Procedure A* via a three-

step sequence. Yield for **2n**: 93%, yield for **3n**: 56%, yield for **4n**: 68%.

The data for **4n**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (s, 1H), 8.42 (d, *J* = 8.0 Hz, 1H), 7.81 (dd, *J* = 13.5, 8.3 Hz, 2H), 7.68 – 7.53 (m, 2H), 7.46 (d, *J* = 8.7 Hz, 1H), 3.93 (s, 1H), 3.89 (s, 3H), 3.80 (s, 3H).

Diethyl 2-(2-ethynylbenzylidene)malonate **4o**

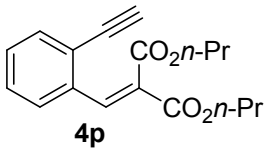


4o

4o was synthesized from commercially sourced **1a** according to *General Procedure A* via a three-step sequence. Yield for **3o**: 82%, yield for **4o**: 59%.

The data for **4o**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.61 – 7.41 (m, 2H), 7.38 – 7.28 (m, 2H), 4.29 (dq, *J* = 17.9, 7.1 Hz, 4H), 3.43 (s, 1H), 1.34 (t, *J* = 7.1 Hz, 3H), 1.22 (t, *J* = 7.1 Hz, 3H).

Dipropyl 2-(2-ethynylbenzylidene)malonate **4p**

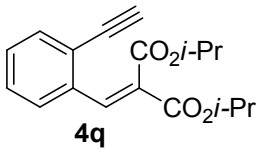


4p

4p was synthesized from commercially sourced **1a** according to *General Procedure A* via a three-step sequence. Yield for **3p**: 47%, yield for **3p**: 57%.

The data for **4p**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (s, 1H), 7.62 – 7.51 (m, 1H), 7.51 – 7.43 (m, 1H), 7.38 – 7.29 (m, 2H), 4.22 (t, *J* = 6.6 Hz, 2H), 4.16 (t, *J* = 6.6 Hz, 2H), 3.42 (s, 1H), 1.78 – 1.66 (m, 2H), 1.66 – 1.53 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H), 0.84 (t, *J* = 7.4 Hz, 3H).

Diisopropyl 2-(2-ethynylbenzylidene)malonate **4q**

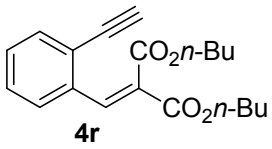


4q

4q was synthesized from commercially sourced **1a** according to *General Procedure A* via a three-step sequence. Yield for **3q**: 53%, yield for **4q**: 21%.

The data for **4q**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (s, 1H), 7.61 – 7.47 (m, 2H), 7.41 – 7.27 (m, 2H), 5.17 (tt, *J* = 12.5, 6.3 Hz, 2H), 3.42 (s, 1H), 1.31 (d, *J* = 6.3 Hz, 7H), 1.23 (d, *J* = 6.3 Hz, 7H).

Dibutyl 2-(2-ethynylbenzylidene)malonate **4r**

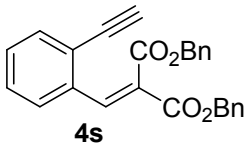


4r

4r was synthesized from commercially sourced **1a** according to *General Procedure A* via a three-step sequence. Yield for **3r**: 62%, yield for **4r**: 42%.

The data for **4r**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.59 – 7.50 (m, 1H), 7.50 – 7.43 (m, 1H), 7.33 (ddd, *J* = 6.6, 5.9, 3.7 Hz, 2H), 4.26 (t, *J* = 6.6 Hz, 2H), 4.20 (t, *J* = 6.6 Hz, 2H), 3.42 (s, 1H), 1.75 – 1.63 (m, 2H), 1.63 – 1.51 (m, 2H), 1.42 (dd, *J* = 15.0, 7.5 Hz, 2H), 1.26 (dd, *J* = 15.0, 7.5 Hz, 2H), 0.95 (t, *J* = 7.4 Hz, 3H), 0.86 (t, *J* = 7.4 Hz, 3H).

Dibenzyl 2-(2-ethynylbenzylidene)malonate **4s**

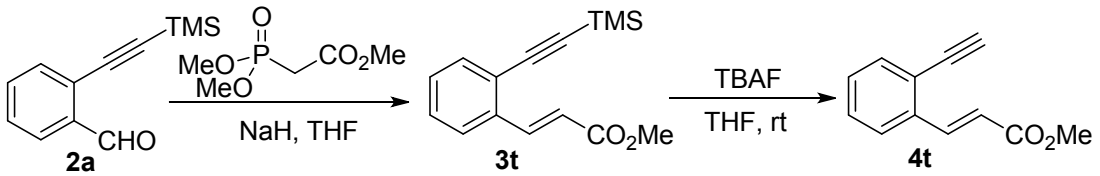


4s

4s was synthesized from commercially sourced **1a** according to *General Procedure A* via a three-step sequence. Yield for **3s**: 61%, yield for **4s**: 70%.

The data for **4s**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.31 (s, 1H), 7.56 (d, *J* = 7.7 Hz, 1H), 7.41 – 7.24 (m, 12H), 7.13 (t, *J* = 7.5 Hz, 1H), 5.33 (s, 2H), 5.27 (s, 2H), 3.44 (s, 1H).

(E)-Methyl 3-(2-ethynylphenyl)acrylate **4t**



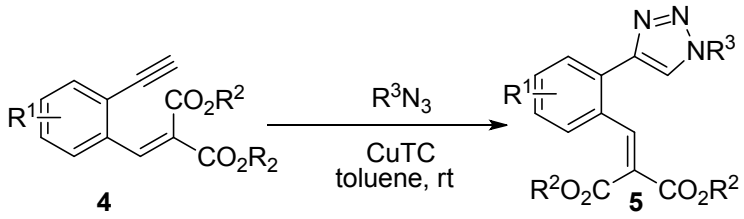
2a **3t** **4t**

To a suspension of NaH (0.87 g, 21.7 mmol, 1.1 equiv, 60% wt in mineral oil) in THF (40 mL) at 0 ºC was added dropwise of trimethyl phosphonacetate (4.33g, 23.7 mmol, 1.2 equiv). The reaction was then brought to room temperature and stirred for 30 min before being cooled to -78 ºC. An aldehyde **2a** (4.0 g, 19.8 mmol, 1.0 equiv) was subsequently added and the reaction mixture was slowly raised to room temperature. Then the reaction mixture was stirred at room temperature overnight. The reaction was quenched with saturated NH_4Cl (80 mL) and extracted with ether (200 mL). The combined ether layers were washed with brine, dried and concentrated. The residue was purified by column chromatography (petroleum ether/ethyl acetate, 20:1) on silica gel to afford the desired product **3t** (3.0 g, 62%).

Under a nitrogen atmosphere, compound **3t** (2.58 g, 10 mmol) dissolved in freshly distilled THF (100 mL) was added TBAF (20 mL, 1M in THF, 20mmol). The reaction mixture was stirred at rt for 10 min until starting material disappeared on TLC. The mixture was concentrated in vacuo. The residue was extracted with DCM (3 × 50 mL), and the combined organic layers were washed with brine (20 mL), dried over Na_2SO_4, filtered and evaporated in vacuo. The residue was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate, 10:1) to afford compound **4t** (0.9 g, 45%).

The data for **4t**: ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (d, *J* = 16.2 Hz, 1H), 7.63 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.54 (dd, *J* = 7.5, 1.7 Hz, 1H), 7.35 (pd, *J* = 7.5, 1.7 Hz, 2H), 6.52 (d, *J* = 16.1 Hz, 1H), 3.82 (s, 3H), 3.42 (s, 1H).

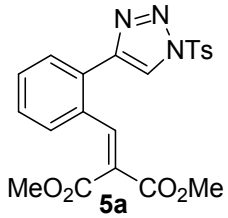
Preparation of triazoles 5



General Procedure B

Under a nitrogen atmosphere, copper(I) thiophene-2-carboxylate (CuTC, 0.380 g, 2.0 mmol) was added to a stirred solution of alkyne **4** (10.0 mmol) in toluene (45 mL). The reaction mixture was cooled in an ice-water bath. Subsequently, the sulfonyl azide (30.0 mmol, 3 equiv) was added slowly as the limiting reagent to avoid a run-away exotherm, and the reaction mixture allowed to warm to room temperature and stirred overnight. The reaction was diluted with saturated aq NH_4Cl (100 mL) and extracted with EtOAc (2 ×200 mL). The combined organics were dried (Na_2SO_4) and concentrated in vacuo. The residue was purified by flash column chromatography on silica gel (petroleum ether/ethyl acetate, 5:1) to afford triazole **5**.

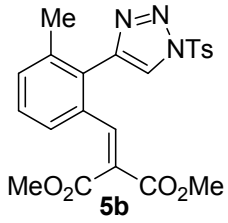
Dimethyl 2-(2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5a**



5a was synthesized from **4a** according to *General Procedure B*. Yield: 63%; white solid; m. p.

116-119 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 8.04 (d, *J* = 8.3 Hz, 2H), 7.95 – 7.83 (m, 2H), 7.51 – 7.33 (m, 5H), 3.89 (s, 3H), 3.71 (s, 3H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.20, 163.98, 147.52, 145.03, 142.61, 132.88, 131.75, 130.55, 130.27, 129.14, 129.11, 128.79, 128.62, 128.42, 128.28, 122.32, 52.80, 52.54, 21.85; HRMS (ESI) Calcd for $C_{21}H_{20}N_3O_6S$ $(M+H)^+$: 442.1067; Found: 442.1068; IR (neat): *v* = 539, 854, 991, 1116, 1388, 1598, 1723, 2452, 3140 cm^{-1}.

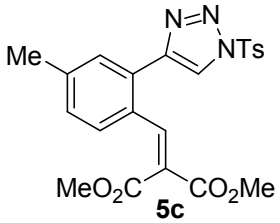
Dimethyl 2-(3-methyl-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5b**



5b

5b was synthesized from **4b** according to *General Procedure B*. Yield: 60%; white solid; m. p. 107-110 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (s, 1H), 8.04 (d, *J* = 8.3 Hz, 2H), 7.48 – 7.45 (m, 3H), 7.37 – 7.28 (m, 3H), 3.83 (s, 3H), 3.75 (s, 3H), 2.51 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.36, 163.77, 147.40, 143.57, 142.94, 138.66, 133.77, 132.94, 132.27, 130.57, 129.17, 128.62, 128.14, 127.84, 125.55, 124.00, 52.55, 52.53, 21.86, 20.73; HRMS (ESI) Calcd for $C_{22}H_{22}N_3O_6S$ $(M+H)^+$: 456.1224; Found: 456.1227; IR (neat): *v* = 540, 588, 673, 854, 989, 1115, 1391, 1437, 1598, 1731, 3383 cm^{-1}.

Dimethyl 2-(4-methyl-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5c**

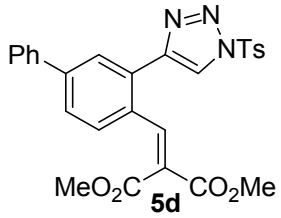


5c

5c was synthesized from **4c** according to *General Procedure B*. Yield: 57%; white solid; m. p. 124-127 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (s, 1H), 8.04 (d, *J* = 8.4 Hz, 2H), 7.87 (s, 1H), 7.70 (s, 1H), 7.42 (d, *J* = 8.3 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 1H), 7.19 (d, *J* = 7.8 Hz, 1H), 3.88 (s, 3H), 3.74 (s, 3H), 2.46 (s, 3H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.48, 164.13, 147.49, 145.12, 142.45, 140.85, 132.94, 130.56, 129.99, 129.83, 128.88, 128.78, 128.67, 128.39, 127.48, 122.39, 52.75, 52.54, 21.86, 21.33; HRMS (ESI) Calcd for $C_{22}H_{22}N_3O_6S$ $(M+H)^+$: 456.1224; Found: 456.1226; IR (neat): *v* = 539, 616, 855, 985, 1090, 1387, 1602, 2024, 2070,

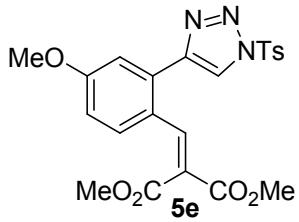
2443, 3379 cm^{-1}.

2-((3-(1-Tosyl-1H-1,2,3-triazol-4-yl)-[1,1'-biphenyl]-4-yl)methylene)malonate **5d**



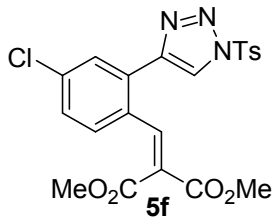
5d was synthesized from **4d** according to *General Procedure B*. Yield: 31%; white solid; m. p. 139-142 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 8.13 – 8.11 (m, 1H), 8.09 – 8.04 (m, 2H), 7.94 (s, 1H), 7.66 – 7.61 (m, 3H), 7.53 (d, *J* = 8.2 Hz, 1H), 7.49 – 7.37 (m, 5H), 3.90 (s, 3H), 3.77 (s, 3H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.40, 164.06, 147.56, 145.05, 143.22, 142.08, 139.31, 132.89, 130.59, 130.45, 129.33, 129.04, 128.96, 128.83, 128.23, 127.99, 127.81, 127.65, 127.11, 122.52, 52.84, 52.65, 21.88; HRMS (ESI) Calcd for $C_{27}H_{24}N_3O_6S$ $(M+H)^+$: 518.1380; Found: 518.1381; IR (neat): *v* = 538, 671, 765, 853, 993, 1117, 1350, 1394, 1436, 1598, 1632, 1726, 2460, 3134cm^{-1}.

Dimethyl 2-(4-methoxy-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5e**



5e was synthesized from **4e** according to *General Procedure B*. Yield: 68%; white solid; m. p. 123-125 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (s, 1H), 8.05 (d, *J* = 8.5 Hz, 2H), 7.82 (s, 1H), 7.44 – 7.39 (m, 4H), 6.93 (dd, *J* = 8.7, 2.6 Hz, 1H), 3.88 (s, 3H), 3.87 (s, 3H), 3.76 (s, 3H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.73, 164.27, 161.12, 147.55, 144.84, 141.85, 132.86, 130.76, 130.59, 130.09, 128.81, 126.36, 123.99, 122.68, 115.66, 113.78, 55.56, 52.72, 52.57, 21.88; HRMS (ESI) Calcd for $C_{22}H_{22}N_3O_7S$ $(M+H)^+$: 472.1173; Found: 472.1176; IR (neat): *v* = 539, 616, 855, 992, 1115, 1387, 1602, 1729, 2025, 2068, 2461, 3404 cm^{-1}.

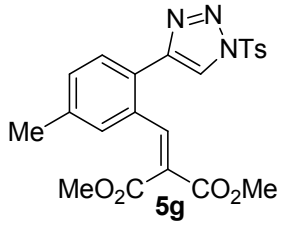
Dimethyl 2-(4-chloro-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5f**



5f

5f was synthesized from **4f** according to *General Procedure B*. Yield: 61%; white solid; m. p. 104-106 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (s, 1H), 8.05 (d, *J* = 8.3 Hz, 2H), 7.90 (s, 1H), 7.83 (s, 1H), 7.43 (d, *J* = 8.1 Hz, 2H), 7.40 – 7.33 (m, 2H), 3.89 (s, 3H), 3.73 (s, 3H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.97, 163.82, 147.71, 143.86, 141.32, 136.41, 132.68, 130.62, 130.24, 130.04, 129.81, 129.21, 129.08, 128.86, 128.75, 122.65, 52.93, 52.70, 21.89; HRMS (ESI) Calcd for $C_{21}H_{19}ClN_3O_6S$ $(M+H)^+$: 476.0678; Found: 476.0681; IR (neat): *v* = 540, 586, 670, 733, 816, 850, 995, 1072, 1176, 1223, 1254, 1355, 1397, 1438, 1595, 1633, 1733, 2852, 2922, 2955, 3140 cm^{-1}.

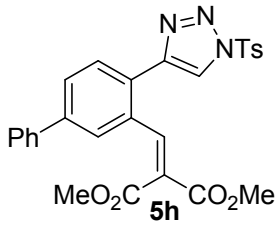
Dimethyl 2-(5-methyl-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5g**



5g

5g was synthesized from **4g** according to *General Procedure B*. Yield: 65%; white solid; m. p. 122-124 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (s, 1H), 8.06 – 7.99 (m, 2H), 7.89 (s, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.41 (d, *J* = 8.1 Hz, 2H), 7.28 (d, *J* = 8.1 Hz, 1H), 7.22 (s, 1H), 3.88 (s, 3H), 3.71 (s, 3H), 2.45 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.27, 164.01, 147.44, 145.10, 142.70, 139.19, 132.86, 131.54, 131.12, 130.51, 129.03, 128.82, 128.72, 127.92, 125.86, 121.94, 52.75, 52.43, 21.82, 21.21; HRMS (ESI) Calcd for $C_{22}H_{22}N_3O_6S$ $(M+H)^+$: 456.1224; Found: 456.1225; IR (neat): *v* = 539, 587, 673, 852, 991, 1114, 1352, 1389, 1437, 1596, 1725, 2461, 3122cm^{-1}.

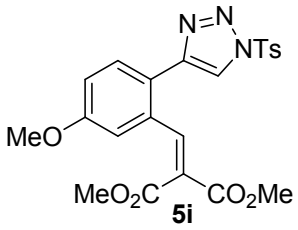
Dimethyl 2-((4-(1-tosyl-1H-1,2,3-triazol-4-yl)-[1,1'-biphenyl]-3-yl)methylene)malonate **5h**



5h

5h was synthesized from **4h** according to *General Procedure B*. Yield: 68%; white solid; m. p. 152-154 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 8.06 (d, *J* = 8.3 Hz, 2H), 7.98 – 7.96 (m, 2H), 7.73 – 7.70 (m, 2H), 7.58 (d, *J* = 7.4 Hz, 2H), 7.48 – 7.36 (m, 5H), 3.91 (s, 3H), 3.72 (s, 3H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.21, 163.98, 147.53, 144.85, 142.63, 141.80, 139.25, 132.87, 132.10, 130.56, 129.53, 129.02, 128.80, 128.71, 128.60, 128.10, 127.34, 127.02, 126.87, 122.16, 52.85, 52.62, 21.86; HRMS (ESI) Calcd for $C_{27}H_{24}N_3O_6S$ $(M+H)^+$: 518.1380; Found: 518.1384; IR (neat): *v* = 538, 673, 852, 990, 1116, 1390, 1598, 1712, 1742, 2455, 3391cm^{-1}.

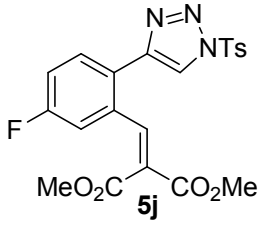
Dimethyl 2-(5-methoxy-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5i**



5i

5i was synthesized from **4i** according to *General Procedure B*. Yield: 40%; white solid; m. p. 124-126 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 (s, 1H), 8.02 (d, *J* = 8.3 Hz, 2H), 7.86 (s, 1H), 7.78 (d, *J* = 8.6 Hz, 1H), 7.40 (d, *J* = 8.3 Hz, 2H), 7.07 – 6.91 (m, 2H), 3.87 (s, 3H), 3.80 (s, 3H), 3.74 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.22, 163.88, 159.86, 147.42, 144.92, 142.21, 132.82, 130.49, 128.66, 128.28, 121.50, 121.19, 116.40, 113.05, 55.38, 52.80, 52.62, 21.80; HRMS (ESI) Calcd for $C_{22}H_{22}N_3O_7S$ $(M+H)^+$: 472.1173; Found: 472.1172; IR (neat): *v* = 538, 621, 673, 843, 992, 1074, 1396, 1437, 1602, 1702, 1742, 2467, 2952, 3002, 3121cm^{-1}.

Dimethyl 2-(5-fluoro-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5j**

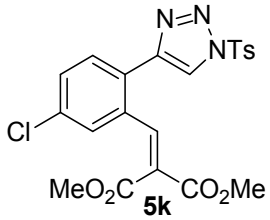


5j

5j was synthesized from **4j** according to *General Procedure B*. Yield: 65%; white solid; m. p.

114-117 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 8.04 (d, *J* = 8.5 Hz, 2H), 7.87 (dd, *J* = 8.5, 5.6 Hz, 1H), 7.81 (s, 1H), 7.42 (d, *J* = 8.1 Hz, 2H), 7.23 – 7.11 (m, 2H), 3.89 (s, 3H), 3.76 (s, 3H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.67, 163.68, 162.55 (d, *J* = 250.7 Hz), 147.59, 144.18, 140.91 (d, *J* = 1.2 Hz), 133.60 (d, *J* = 8.3 Hz), 132.78, 131.20 (d, *J* = 8.5 Hz), 130.57, 129.28, 128.79, 124.96 (d, *J* = 3.4 Hz), 122.15, 117.42 (d, *J* = 21.8 Hz), 115.29 (d, *J* = 23.5 Hz), 52.93, 52.69, 21.84; HRMS (ESI) Calcd for $C_{21}H_{19}FN_3O_6S$ $(M+H)^+$: 460.0973; Found: 460.0971; IR (neat): *v* = 539, 617, 672, 854, 991, 1116, 1260, 1393, 1437, 1600, 1732, 2457, 3411 cm^{-1}.

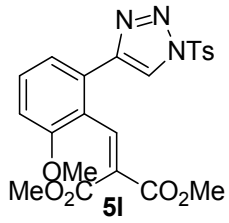
Dimethyl 2-(5-chloro-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5k**



5k

5k was synthesized from **4k** according to *General Procedure B*. Yield: 65%; white solid; m. p. 143-145 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (s, 1H), 8.04 (d, *J* = 8.4 Hz, 2H), 7.85 – 7.83 (m, 2H), 7.52 – 7.38 (m, 4H), 3.90 (s, 3H), 3.76 (s, 3H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.60, 163.69, 147.64, 144.09, 140.95, 135.09, 133.12, 132.75, 130.58, 130.36, 130.25, 129.38, 128.83, 128.31, 127.07, 122.30, 52.94, 52.63, 21.86; HRMS (ESI) Calcd for $C_{21}H_{19}ClN_3O_6S$ $(M+H)^+$: 476.0678; Found: 476.0681; IR (neat): *v* = 539, 587, 673, 852, 991, 1114, 1352, 1389, 1437, 1596, 1725, 2461, 3122cm^{-1}.

Dimethyl 2-(2-methoxy-6-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5l**

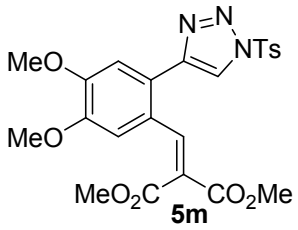


5l

5l was synthesized from **4l** according to *General Procedure B*. Yield: 60%; white solid; m. p. 127-130 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13 (s, 1H), 8.02 (d, *J* = 8.3 Hz, 2H), 7.74 (s, 1H), 7.49 (d, *J* = 7.7 Hz, 1H), 7.45 – 7.37 (m, 3H), 6.93 (d, *J* = 8.2 Hz, 1H), 3.88 (s, 3H), 3.80 (s, 3H), 3.59 (s, 3H), 2.46 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.29, 164.60, 156.66, 147.42, 145.00, 140.69, 132.93, 130.90, 130.50, 129.73, 129.16, 128.77, 122.68, 121.31, 121.23, 111.06, 55.45, 52.69, 51.89, 21.86; HRMS (ESI) Calcd for $C_{22}H_{22}N_3O_7S$ $(M+H)^+$: 472.1173; Found: 472.1176; IR

(neat): v = 539, 854, 994, 1114, 1383, 1599, 1735, 2462, 3121cm^{-1}.

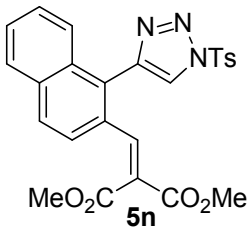
Dimethyl 2-(4,5-dimethoxy-2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5m**



5m

5m was synthesized from **4m** according to *General Procedure B*. Yield: 62%; white solid; m. p. 145-148 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (s, 1H), 8.05 (d, J = 8.4 Hz, 2H), 7.81 (s, 1H), 7.44 – 7.41 (m, 3H), 7.03 (s, 1H), 3.95 (s, 3H), 3.89 (s, 3H), 3.88 (s, 3H), 3.78 (s, 3H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.83, 164.20, 150.78, 149.44, 147.48, 144.74, 141.54, 133.00, 130.57, 128.79, 126.66, 124.10, 122.83, 122.22, 111.56, 110.84, 56.16, 55.99, 52.75, 52.65, 21.85; HRMS (ESI) Calcd for $C_{23}H_{24}N_3O_8S$ $(M+H)^+$: 502.1279; Found: 502.1282; IR (neat): v = 538, 585, 614, 673, 854, 977 1117, 1250, 1392, 1436, 1506, 1549, 1600, 1723, 2451, 2954, 3141cm^{-1}.

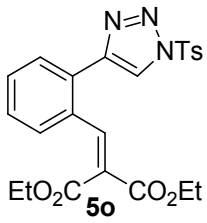
Dimethyl 2-((1-(1-tosyl-1H-1,2,3-triazol-4-yl)naphthalen-2-yl)methylene)malonate **5n**



5n

5n was synthesized from **4n** according to *General Procedure B*. Yield: 86%; white solid; m. p. decomposition; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (s, 1H), 8.08 (d, J = 8.4 Hz, 2H), 7.92 – 7.81 (m, 3H), 7.64 (s, 1H), 7.59 – 7.42 (m, 5H), 3.83 (s, 3H), 3.74 (s, 3H), 2.50 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.45, 163.88, 147.58, 142.81, 142.76, 133.97, 132.88, 131.99, 131.34, 130.67, 129.99, 128.80, 128.20, 128.11, 127.64, 127.54, 126.92, 126.27, 125.31, 124.31, 52.69, 52.63, 21.93; HRMS (ESI) Calcd for $C_{25}H_{22}N_3O_6S$ $(M+H)^+$: 492.1224; Found: 492.1226; IR (neat): v = 542, 612, 677, 751, 853, 988, 1149, 1239, 1356, 1397, 1438, 1595, 1745, 2440, 2924, 2953, 3089, 3380 cm^{-1}.

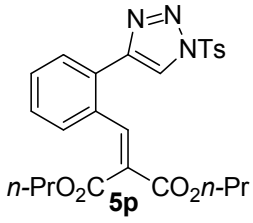
Diethyl 2-(2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5o**



5o

5o was synthesized from **4o** according to *General Procedure B*. Yield: 57%; white solid; m. p. 99-102 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 8.05 (d, *J* = 8.4 Hz, 2H), 7.92 (d, *J* = 7.7 Hz, 1H), 7.86 (s, 1H), 7.56 – 7.32 (m, 5H), 4.35 (q, *J* = 7.1 Hz, 2H), 4.22 (q, *J* = 7.1 Hz, 2H), 2.46 (s, 3H), 1.37 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.61, 163.51, 147.46, 144.94, 141.70, 132.81, 131.76, 130.50, 130.07, 129.17, 128.95, 128.70, 128.53, 128.45, 122.29, 61.78, 61.55, 21.79, 14.07, 13.76; HRMS (ESI) Calcd for $C_{23}H_{24}N_3O_6S$ $(M+H)^+$: 470.1380; Found: 470.1385; IR (neat): *v* = 540, 588, 671, 760, 853, 991, 1119, 1196, 1255, 1349, 1390, 1446, 1595, 1634, 1717, 2451, 2981, 3143cm^{-1}.

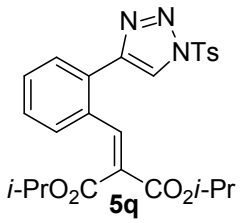
Dipropyl 2-(2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5p**



5p

5p was synthesized from **4p** according to *General Procedure B*. Yield: 64%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (s, 1H), 8.04 (d, *J* = 8.4 Hz, 2H), 7.94 – 7.89 (m, 1H), 7.87 (s, 1H), 7.49 – 7.36 (m, 5H), 4.25 (t, *J* = 6.7 Hz, 2H), 4.10 (t, *J* = 6.6 Hz, 2H), 2.46 (s, 3H), 1.75 (dd, *J* = 14.2, 6.9 Hz, 2H), 1.55 (dd, *J* = 14.2, 6.9 Hz, 2H), 1.00 (t, *J* = 7.4 Hz, 3H), 0.77 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.85, 163.62, 147.49, 144.99, 141.71, 132.87, 131.89, 130.54, 130.12, 129.34, 129.04, 128.79, 128.55, 128.49, 122.30, 67.34, 67.24, 21.90, 21.86, 21.60, 10.38, 10.19; HRMS (ESI) Calcd for $C_{25}H_{28}N_3O_6S$ $(M+H)^+$: 498.1693; Found: 498.1695; IR (neat): *v* = 538, 853, 991, 1115, 1389, 1601, 1726, 2457, 3152 cm^{-1}.

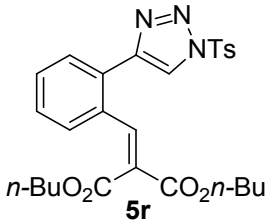
Diisopropyl 2-(2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5q**



5q

5q was synthesized from **4q** according to *General Procedure B*. Yield: 59%; white solid; m. p. 127-129 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (s, 1H), 8.02 (d, *J* = 8.2 Hz, 2H), 7.92 (d, *J* = 7.7 Hz, 1H), 7.80 (s, 1H), 7.53 – 7.33 (m, 5H), 5.19 (dt, *J* = 12.5, 6.3 Hz, 1H), 5.11 (dt, *J* = 12.5, 6.3 Hz, 1H), 2.44 (s, 3H), 1.34 (d, *J* = 6.2 Hz, 6H), 1.16 (d, *J* = 6.3 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.13, 163.01, 147.41, 144.88, 140.72, 132.84, 131.77, 130.48, 130.07, 129.94, 128.88, 128.84, 128.67, 128.56, 128.40, 122.30, 69.47, 69.25, 21.76, 21.70, 21.36; HRMS (ESI) Calcd for $C_{25}H_{28}N_3O_6S$ $(M+H)^+$: 498.1693; Found: 498.1696; IR (neat): *v* = 539, 588, 670, 764, 862, 989, 1072, 1255, 1354, 1391, 1636, 1719, 2369, 3375 cm^{-1}.

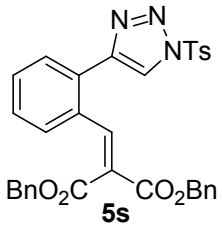
Dibutyl 2-(2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5r**



5r

5r was synthesized from **4r** according to *General Procedure B*. Yield: 40%; white solid; m. p. 115-117 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 8.04 (d, *J* = 8.4 Hz, 2H), 7.91 (d, *J* = 7.5 Hz, 1H), 7.86 (s, 1H), 7.53 – 7.32 (m, 5H), 4.29 (t, *J* = 6.6 Hz, 2H), 4.14 (t, *J* = 6.6 Hz, 2H), 2.46 (s, 3H), 1.74 – 1.64 (m, 2H), 1.56 – 1.37 (m, 4H), 1.31 – 1.11 (m, 2H), 0.97 (t, *J* = 7.4 Hz, 3H), 0.81 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.80, 163.61, 147.45, 144.98, 141.66, 132.91, 132.89, 131.90, 130.53, 130.06, 129.40, 129.01, 128.77, 128.55, 128.50, 122.28, 65.65, 65.42, 30.52, 30.24, 21.82, 19.09, 18.86, 13.67, 13.52; HRMS (ESI) Calcd for $C_{27}H_{32}N_3O_6S$ $(M+H)^+$: 526.2006; Found: 526.2008; IR (neat): *v* = 540, 588, 670, 763, 852, 991, 1119, 1198, 1251 1353, 1392, 1467, 1597, 1634, 1718, 2447, 2961, 3151cm^{-1}.

Dibenzyl 2-(2-(1-tosyl-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5s**

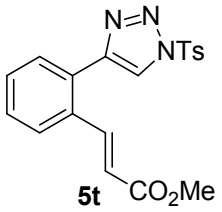


5s

5s was synthesized from **4s** according to *General Procedure B*. Yield: 61%; white solid; m. p. 115-117 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 8.00 (d, *J* = 8.4 Hz, 2H), 7.95 (s, 1H), 7.87 (d, *J* = 7.6 Hz, 1H), 7.46 – 7.27 (m, 11H), 7.25 – 7.11 (m, 4H), 5.32 (s, 2H), 5.17 (s, 2H),

2.45 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 165.35, 163.32, 147.42, 144.98, 142.87, 135.35, 134.78, 132.90, 131.58, 130.52, 130.18, 129.05, 129.00, 128.76, 128.65, 128.58, 128.54, 128.46, 128.34, 128.31, 127.96, 122.25, 67.41, 67.38, 21.84; HRMS (ESI) Calcd for $C_{33}H_{28}N_3O_6S$ $(M+H)^+$: 594.1693; Found: 594.1696; IR (neat): ν = 540, 587, 856, 987, 1090, 1351, 1389, 1598, 1722, 3380 cm^{-1}.

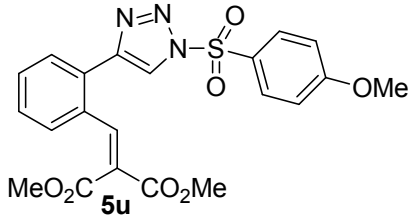
(E)-Methyl 3-(2-(1-tosyl-1H-1,2,3-triazol-4-yl)phenyl)acrylate **5t**



5t

5t was synthesized from **4t** according to *General Procedure B*. Yield: 62%; white solid; m. p. 105-108 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 (s, 1H), 8.09 – 8.02 (m, 2H), 7.90 (d, J = 15.9 Hz, 1H), 7.75 – 7.71 (m, 1H), 7.65 (dd, J = 7.2, 1.9 Hz, 1H), 7.49 – 7.41 (m, 4H), 6.42 (d, J = 15.8 Hz, 1H), 3.81 (s, 3H), 2.47 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.89, 147.53, 145.36, 142.65, 133.19, 132.87, 130.58, 130.09, 129.79, 129.40, 128.80, 127.36, 122.20, 120.77, 51.85, 21.88; HRMS (ESI) Calcd for $C_{19}H_{18}N_3O_4S$ $(M+H)^+$: 384.1013; Found: 384.1014; IR (neat): ν = 541, 585, 669, 765, 996, 1170, 1196, 1320, 1397, 1632, 1713, 2364, 2928, 3449, 3651, 3678, 3753 cm^{-1}.

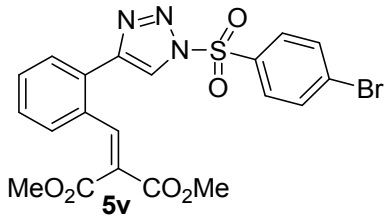
Dimethyl 2-(2-(1-((4-methoxyphenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5u**



5u

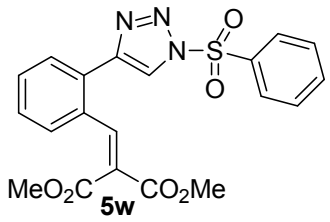
5u was synthesized from **4a** according to *General Procedure B*. Yield: 32%; white solid; m. p. 132-134 ^{o}C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (s, 1H), 8.10 (d, J = 9.0 Hz, 2H), 7.92 (s, 1H), 7.89 (d, J = 7.7 Hz, 1H), 7.51 – 7.38 (m, 3H), 7.07 (d, J = 9.0 Hz, 2H), 3.90 (s, 3H), 3.89 (s, 3H), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.24, 165.51, 164.00, 144.95, 142.67, 131.75, 131.35, 130.28, 129.15, 129.07, 128.73, 128.43, 128.25, 126.76, 122.20, 115.20, 55.96, 52.81, 52.55; HRMS (ESI) Calcd for $C_{21}H_{20}N_3O_7S$ $(M+H)^+$: 458.1016; Found: 458.1019; IR (neat): ν = 545, 586, 680, 718, 771, 846, 983, 1117, 1267, 1320, 1355, 1396, 1438, 1496, 1594, 1632, 1721, 2454, 2956, 3150 cm^{-1}.

Dimethyl 2-(2-(1-((4-bromophenyl)sulfonyl)-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5v**



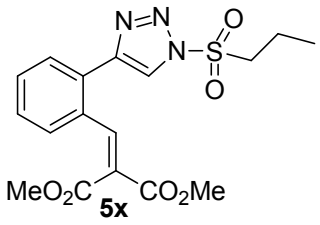
5v was synthesized from **4a** according to *General Procedure B*. Yield: 21%; white solid; m. p. 140-143 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (s, 1H), 8.03 (d, *J* = 8.8 Hz, 2H), 7.89 (t, *J* = 3.6 Hz, 2H), 7.79 (d, *J* = 8.8 Hz, 2H), 7.52 – 7.38 (m, 3H), 3.89 (s, 3H), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.15, 163.99, 145.25, 142.48, 134.82, 133.37, 131.75, 130.34, 130.08, 129.29, 129.18, 128.43, 128.38, 122.40, 52.88, 52.59; HRMS (ESI) Calcd for $C_{20}H_{17}BrN_3O_6S$ $(M+H)^+$: 506.0016; Found: 506.0018; IR (neat): *v* = 418, 472, 538, 575, 608, 644, 742, 766, 818, 941, 980, 1065, 1193, 1261, 1326, 1365, 1398, 1436, 1566, 1631, 1718, 1742, 2570, 2922, 2954, 3015, 3090, 3137 cm^{-1}.

Dimethyl 2-(2-(1-(phenylsulfonyl)-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5w**



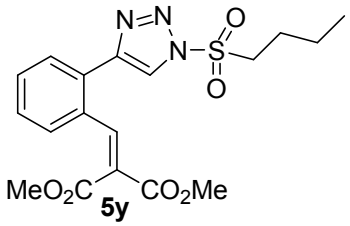
5w was synthesized from **4a** according to *General Procedure B*. Yield: 74%; white solid; m. p. 114-116 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 – 8.14 (m, 3H), 7.94 – 7.87 (m, 2H), 7.76 (t, *J* = 7.5 Hz, 1H), 7.67 – 7.61 (m, 2H), 7.52 – 7.36 (m, 3H), 3.89 (s, 3H), 3.72 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.17, 163.98, 145.13, 142.57, 136.00, 135.81, 131.79, 130.29, 129.94, 129.18, 129.16, 128.73, 128.53, 128.45, 128.37, 122.41, 52.83, 52.55; HRMS (ESI) Calcd for $C_{20}H_{18}N_3O_6S$ $(M+H)^+$: 428.0911; Found: 428.0912; IR (neat): *v* = 470, 541, 592, 681, 725, 762, 843, 986, 1091, 1195, 1264, 1397, 1439, 1604, 1635, 1735, 2464, 2949, 3132, 3391 cm^{-1}.

Dimethyl 2-(2-(1-(propylsulfonyl)-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5x**



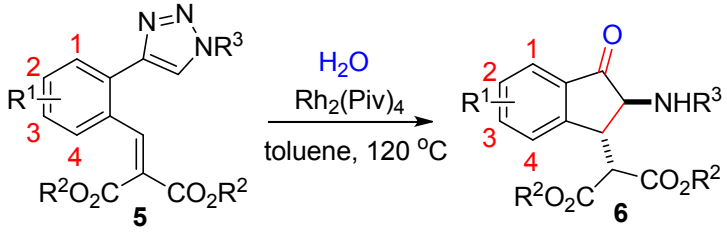
5x was synthesized from **4a** according to *General Procedure B*. Yield: 60%; yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 7.95 (s, 1H), 7.93 (d, *J* = 7.7 Hz, 1H), 7.55 – 7.49 (m, 1H), 7.49 – 7.41 (m, 2H), 3.87 (s, 3H), 3.72 (s, 3H), 3.67 (dd, *J* = 8.8, 6.7 Hz, 2H), 1.93 – 1.81 (m, 2H), 1.10 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.13, 163.94, 145.06, 142.52, 131.92, 130.34, 129.30, 129.29, 128.54, 128.49, 128.44, 122.88, 57.05, 52.84, 52.58, 16.89, 12.50; HRMS (ESI) Calcd for $C_{17}H_{20}N_3O_6S$ $(M+H)^+$: 394.1067; Found: 394.1069; IR (neat): *v* = 535, 611, 733, 771, 855, 1062, 1186, 1355, 1440, 1629, 1742, 2454, 2960, 3426 cm^{-1}.

Dimethyl 2-(2-(1-(butylsulfonyl)-1H-1,2,3-triazol-4-yl)benzylidene)malonate **5y**



5y was synthesized from **4a** according to *General Procedure B*. Yield: 85%; white solid; mp: 75-77 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 7.96 (s, 1H), 7.92 (d, *J* = 7.7 Hz, 1H), 7.52 (t, *J* = 7.3 Hz, 1H), 7.49 – 7.38 (m, 2H), 3.86 (s, 3H), 3.72 (s, 3H), 3.71 – 3.65 (m, 2H), 1.82 (dt, *J* = 15.4, 7.7 Hz, 2H), 1.47 (tt, *J* = 10.2, 5.1 Hz, 2H), 0.95 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.12, 163.93, 145.05, 142.52, 131.92, 130.32, 129.27, 128.54, 128.48, 128.44, 122.83, 55.23, 52.82, 52.56, 24.74, 21.12, 13.27; HRMS (ESI) Calcd for $C_{18}H_{22}N_3O_6S$ $(M+H)^+$: 408.1224; Found: 408.1226; IR (neat): v = 545, 611, 729, 770, 859, 993, 1067, 1170, 1199, 1222, 1257, 1357, 1383, 1438, 1631, 1727, 2369, 2960, 3153, 3435 cm^{-1}.

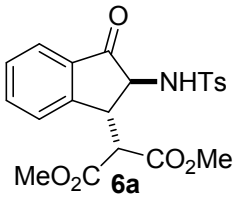
Construction of 2-aminoindanones 6



General Procedure C.

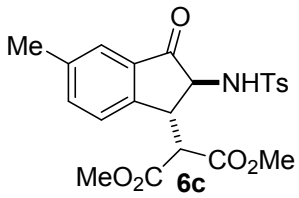
In a sealed tube, $Rh_2(Piv)_4$ (0.01 mmol, 5 mol %), H_2O (2 mmol, 10 equiv.) was successively added to a solution of triazole **5** (0.2 mmol, 1 equiv.) in toluene (2 mL). The reaction mixture was stirred at 120 °C for 2 h. After cooled to room temperature, the reaction mixture was poured into water (10 mL) and extracted with EtOAc (20 mL × 3). The combined organic phases were dried over Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash column chromatography (petroleum ether/ethyl acetate/acetic acid, 100:20:0.5) on silica gel to afford product **6**.

Rel-dimethyl 2-((1R,2S)-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl) malonate **6a**



6a was synthesized from **5a** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 – 7.81 (m, 2.54H), 7.69 – 7.59 (m, 3.81H), 7.42 – 7.37 (m, 1.27H), 7.33 (dd, *J* = 8.1, 4.3 Hz, 2.54H), 5.54 (d, *J* = 6.4 Hz, 0.27H), 5.30 (d, *J* = 5.4 Hz, 1H), 4.68 (d, *J* = 2.5 Hz, 1H), 4.46 (dd, *J* = 8.0, 3.0 Hz, 0.27H), 4.33 (t, *J* = 5.5 Hz, 1H), 4.28 – 4.21 (m, 0.54H), 3.95 (dd, *J* = 5.7, 2.5 Hz, 1H), 3.84 (s, 3H), 3.71 (s, 0.81H), 3.57 (s, 3H), 3.48 (s, 0.81H), 2.43 (s, 3H), 2.42 (s, 0.81H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 199.39, 199.35, 169.41, 169.09, 168.16, 167.80, 149.03, 149.03, 144.07, 143.97, 136.08, 135.83, 135.65, 134.11, 133.81, 129.79, 129.16, 128.66, 127.92, 127.56, 127.52, 127.41, 126.36, 124.13, 123.75, 62.27, 60.76, 52.91, 52.56, 52.45, 51.11, 46.12, 42.52, 21.60; HRMS (ESI) Calcd for $C_{21}H_{22}NO_7S$ $(M+H)^+$: 432.1111; Found: 432.1114; IR (neat): *v* = 539, 616, 854, 992, 1115, 1349, 1602, 1730, 2466, 2924, 3253 cm^{-1}.

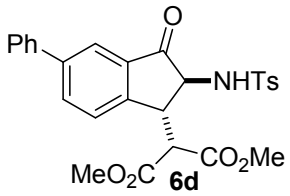
Rel-dimethyl 2-((1R,2S)-5-methyl-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)malonate **6c**



6c was synthesized from **5c** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz,

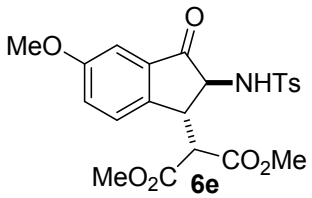
$CDCl_3$) δ 7.84 (d, *J* = 8.2 Hz, 2H), 7.81 (d, *J* = 8.2 Hz, 0.36H), 7.54 (d, *J* = 7.8 Hz, 0.18H), 7.51 – 7.40 (m, 3.36H), 7.35 – 7.30 (m, 2.36H), 5.52 (d, *J* = 6.1 Hz, 0.18H), 5.29 (d, *J* = 5.3 Hz, 1H), 4.66 (d, *J* = 2.5 Hz, 1H), 4.40 (dd, *J* = 7.8, 2.9 Hz, 0.18H), 4.29 (t, *J* = 5.4 Hz, 1H), 4.25 – 4.14 (m, 0.36H), 3.98 – 3.87 (m, 1H), 3.83 (s, 3H), 3.71 (s, 0.54H), 3.57 (s, 3H), 3.49 (s, 0.54H), 2.46 – 2.41 (m, 3.54H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.39, 169.42, 168.20, 147.51, 144.00, 138.83, 137.28, 135.94, 133.97, 129.77, 127.53, 126.08, 124.05, 62.45, 52.85, 52.52, 51.20, 45.88, 21.58, 21.06; HRMS (ESI) Calcd for $C_{22}H_{24}NO_7S$ $(M+H)^+$: 446.1268; Found: 446.1270; IR (neat): *v* = 541, 617, 663, 856, 985, 1111, 1337, 1437, 1603, 1729, 2442, 2923, 2956, 3274 cm^{-1}.

Rel-dimethyl 2-((1R,2S)-2-(4-methylphenylsulfonamido)-3-oxo-5-phenyl-2,3-dihydro-1H-inden-1-yl)malonate **6d**



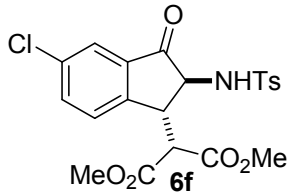
6d was synthesized from **5d** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 – 7.33 (m, 17.4H), 5.57 (d, *J* = 6.3 Hz, 0.45H), 5.36 (d, *J* = 5.2 Hz, 1H), 4.70 (d, *J* = 2.4 Hz, 1H), 4.48 (dd, *J* = 7.9, 3.0 Hz, 0.45H), 4.38 (t, *J* = 5.5 Hz, 1H), 4.29 (d, *J* = 3.1 Hz, 0.9H), 3.99 (d, *J* = 6.2 Hz, 1H), 3.86 (s, 3H), 3.74 (s, 1.35H), 3.60 (s, 3H), 3.51 (s, 1.35H), 2.43 – 2.42 (m, 4.5H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.34, 199.15, 169.40, 169.13, 168.20, 167.84, 148.94, 147.80, 144.04, 143.98, 142.36, 141.90, 139.22, 139.20, 136.15, 135.98, 134.96, 134.78, 134.49, 134.47, 129.78, 128.99, 128.12, 128.09, 127.90, 127.54, 127.44, 127.05, 126.71, 122.13, 121.77, 62.58, 61.09, 52.92, 52.74, 52.61, 52.48, 51.13, 51.10, 45.98, 42.34, 21.58; HRMS (ESI) Calcd for $C_{27}H_{26}NO_7S$ $(M+H)^+$: 508.1424; Found: 508.1427; IR (neat): *v* = 551, 620, 765, 859, 998, 1105, 1325, 1609, 1711, 2460, 2927, 2958, 3269 cm^{-1}.

Rel-dimethyl 2-((1R,2S)-5-methoxy-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)malonate **6e**



6e was synthesized from **5e** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (d, *J* = 8.1 Hz, 2.6H), 7.56 (d, *J* = 8.5 Hz, 0.3H), 7.50 (d, *J* = 8.6 Hz, 1H), 7.33 (d, *J* = 8.0 Hz, 2.6H), 7.18 (dd, *J* = 8.6, 2.5 Hz, 1.3H), 7.07 (dd, *J* = 7.8, 2.4 Hz, 1.3H), 5.55 (d, *J* = 6.5 Hz, 0.3H), 5.35 (d, *J* = 5.5 Hz, 1H), 4.60 (d, *J* = 2.3 Hz, 1H), 4.38 (dd, *J* = 7.7, 2.8 Hz, 0.3H), 4.34 (t, *J* = 5.4 Hz, 1H), 4.24 (t, *J* = 7.2 Hz, 0.3H), 4.19 (d, *J* = 2.8 Hz, 0.3H), 3.87 (d, *J* = 3.3 Hz, 1H), 3.82 (s, 3H), 3.78 (s, 3H), 3.78 (s, 0.9H), 3.70 (s, 0.9H), 3.56 (s, 3H), 3.49 (s, 0.9H), 2.43 (s, 3H), 2.42 (s, 0.9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.35, 199.23, 169.42, 169.15, 168.16, 167.88, 160.37, 160.03, 143.96, 143.89, 142.81, 141.46, 136.26, 136.05, 135.35, 135.14, 129.73, 128.42, 127.51, 127.42, 127.40, 124.98, 124.25, 105.42, 105.37, 62.58, 61.21, 55.54, 52.84, 52.67, 52.53, 52.44, 51.24, 51.11, 45.55, 42.02, 21.57; HRMS (ESI) Calcd for $C_{22}H_{24}NO_8S$ $(M+H)^+$: 462.1217; Found: 462.1218; IR (neat): *v* = 547, 623, 670, 736, 826, 861, 994, 1098, 1158, 1249, 1343, 1442, 1493, 1610, 1726, 2589, 2956, 3280 cm^{-1}.

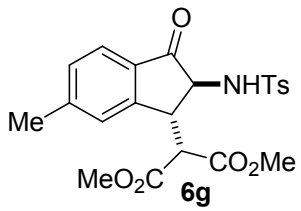
Rel-dimethyl 2-((1R,2S)-5-chloro-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1 -yl)malonate **6f**



6f

6f was synthesized from **5f** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 8.3 Hz, 2.52H), 7.67 – 7.55 (m, 3.78H), 7.35 – 7.33 (m, 2.52H), 5.51 (d, *J* = 6.3 Hz, 0.26H), 5.30 (d, *J* = 5.6 Hz, 1H), 4.65 (d, *J* = 2.5 Hz, 1H), 4.40 (dd, *J* = 7.9, 2.9 Hz, 0.26H), 4.36 (t, *J* = 5.6 Hz, 1H), 4.25 – 4.22 (m, 0.52H), 3.90 (dd, *J* = 5.5, 2.4 Hz, 1H), 3.84 (s, 3H), 3.73 (s, 0.78H), 3.59 (s, 3H), 3.50 (s, 0.78H), 2.43 (s, 3H), 2.43 (s, 0.78H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.23, 197.89, 169.30, 168.99, 167.98, 167.66, 148.15, 147.04, 144.07, 135.98, 135.87, 135.65, 135.53, 135.35, 135.08, 129.81, 129.76, 128.96, 127.81, 127.43, 127.35, 123.78, 123.55, 62.50, 61.02, 52.96, 52.79, 52.67, 52.54, 50.89, 50.80, 45.70, 42.12, 21.56; HRMS (ESI) Calcd for $C_{21}H_{21}ClNO_7S$ $(M+H)^+$: 466.0722; Found: 466.0725; IR (neat): *v* = 539, 617, 853, 994, 1117, 1338, 1600, 1734, 2465, 3379 cm^{-1}.

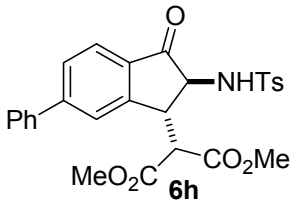
Rel-dimethyl 2-((1R,2S)-6-methyl-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1 -yl)malonate **6g**



6g

6g was synthesized from **5g** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (d, *J* = 8.3 Hz, 2.26H), 7.56 (d, *J* = 7.9 Hz, 1.13H), 7.39 – 7.30 (m, 3.39H), 7.20 (d, *J* = 7.8 Hz, 1.13H), 5.52 (d, *J* = 6.5 Hz, 0.13H), 5.24 (d, *J* = 5.2 Hz, 1H), 4.67 (d, *J* = 2.5 Hz, 1H), 4.40 (d, *J* = 7.9 Hz, 0.13H), 4.28 (t, *J* = 5.4 Hz, 1H), 4.24 (d, *J* = 2.9 Hz, 0.13H), 4.22 – 4.19 (m, 0.13H), 3.90 (d, *J* = 3.4 Hz, 1H), 3.85 (s, 3H), 3.72 (s, 0.39H), 3.57 (s, 3H), 3.49 (s, 0.39H), 2.46 – 2.38 (m, 6.78H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.74, 169.45, 168.17, 150.61, 147.72, 144.03, 135.89, 131.52, 129.92, 129.79, 127.55, 126.61, 124.00, 62.34, 52.88, 52.51, 51.21, 46.04, 22.48, 21.60; HRMS (ESI) Calcd for $C_{22}H_{24}NO_7S$ $(M+H)^+$: 446.1268; Found: 446.1271; IR (neat): *v* = 543, 618, 670, 815, 855, 995, 1115, 1334, 1437, 1606, 1727, 2460, 2955, 3280 cm^{-1}.

Rel-dimethyl 2-((1R,2S)-2-(4-methylphenylsulfonamido)-3-oxo-6-phenyl-2,3-dihydro-1H-inden-1-yl)malonate **6h**

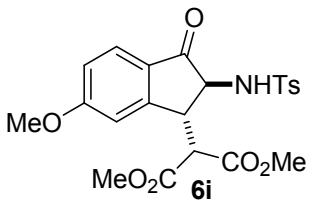


6h

6h was synthesized from **5h** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.85 (m, 2.48H), 7.81 (s, 0.96H), 7.72 (d, *J* = 8.0 Hz, 0.96H), 7.65 – 7.55 (m, 4H), 7.50 – 7.39 (m, 4H), 7.36 – 7.31 (m, 2.48H), 5.57 (d, *J* = 6.4 Hz, 0.24H), 5.35 (d, *J* = 5.4 Hz, 1H), 4.70 (d, *J* = 2.5 Hz, 1H), 4.50 (dd, *J* = 8.0, 2.9 Hz, 0.24H), 4.39 (t, *J* = 5.5 Hz, 1H), 4.30 (d, *J* = 2.8 Hz, 0.24H), 4.29 – 4.24 (m, 0.24H), 4.00 (dd, *J* = 5.5, 2.4 Hz, 1H), 3.84 (s, 3H), 3.72 (s, 0.72H), 3.57 (s, 3H), 3.51 (s, 0.72H), 2.44 (s, 3H), 2.42 (s, 0.72H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.86, 198.66, 169.42, 169.14, 168.18, 167.81, 150.82, 149.77, 149.10, 148.63, 144.02, 143.95, 139.71, 139.58, 136.18, 136.02, 132.90, 132.62, 129.79, 129.03, 128.67, 128.39, 128.33, 127.94, 127.53, 127.48, 127.46, 127.44, 125.95, 124.78, 124.47, 124.11, 62.51, 60.95, 52.91, 52.74, 52.59, 52.48, 51.28, 51.18, 46.21, 42.60, 29.67, 21.59; HRMS (ESI) Calcd for $C_{27}H_{26}NO_7S$ $(M+H)^+$: 508.1424; Found: 508.1427; IR (neat): *v* = 540, 617, 763, 855, 990, 1113, 1338, 1603, 1729, 2458,

2921, 2956, 3265 cm^{-1}.

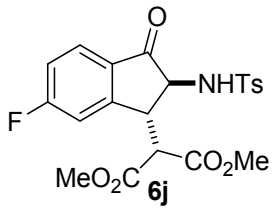
Rel-dimethyl 2-((1R,2S)-6-methoxy-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)malonate **6i**



6i

6i was synthesized from **5i** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 7.8 Hz, 2.22H), 7.58 (d, *J* = 8.5 Hz, 1.11H), 7.32 (d, *J* = 7.7 Hz, 2.22H), 7.15 (s, 0.11H), 7.07 (s, 1H), 6.90 – 6.87 (m, 1.11H), 5.55 (d, *J* = 5.9 Hz, 0.11H), 5.37 (d, *J* = 4.8 Hz, 1H), 4.65 (s, 1H), 4.38 (d, *J* = 7.8 Hz, 0.11H), 4.25 (t, *J* = 5.2 Hz, 1.11H), 4.19 (t, *J* = 6.9 Hz, 0.11H), 3.86 – 3.84 (m, 7.33H), 3.72 (s, 0.33H), 3.55 (s, 3H), 3.46 (s, 0.33H), 2.42 (s, 3.33H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.22, 169.56, 168.10, 166.27, 153.26, 143.93, 135.97, 129.74, 127.50, 126.91, 125.90, 116.53, 109.89, 62.30, 55.73, 52.86, 52.50, 51.28, 46.20, 21.56; HRMS (ESI) Calcd for $C_{22}H_{24}NO_8S$ $(M+H)^+$: 462.1217; Found: 462.1215; IR (neat): *v* = 543, 618, 664, 730, 814, 855, 997, 1096, 1156, 1252, 1339, 1438, 1490, 1601, 1724, 2582, 2954, 3276 cm^{-1}.

Rel-dimethyl 2-((1R,2S)-6-fluoro-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl) malonate **6j**

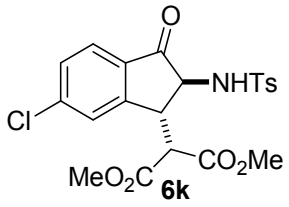


6j

6j was synthesized from **5j** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.6 Hz, 2.6H), 7.69 – 7.63 (m, 1.3H), 7.40 (d, *J* = 8.4 Hz, 0.3H), 7.32 (d, *J* = 8.0 Hz, 3.6H), 7.13 – 7.04 (m, 1.3H), 5.57 (d, *J* = 6.0 Hz, 0.3H), 5.45 (d, *J* = 5.4 Hz, 1H), 4.65 (s, 1H), 4.41 (d, *J* = 6.3 Hz, 0.3H), 4.32 (t, *J* = 5.6 Hz, 1H), 4.29 – 4.21 (m, 0.6H), 3.90 (d, *J* = 3.6 Hz, 1H), 3.84 (s, 3H), 3.73 (s, 0.9H), 3.58 (s, 3H), 3.46 (s, 0.9H), 2.42 (s, 3.9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.52, 197.28, 169.28, 168.94, 167.92, 167.65 (d, *J* =258.4 Hz), 167.59, 167.27 (d, *J* =258.2 Hz), 153.17 (d, *J* = 10.4 Hz), 152.04 (d, *J* = 10.1 Hz), 144.05, 144.02, 135.99, 135.89, 130.51 (d, *J* = 1.8 Hz), 130.29 (d, *J* = 1.6 Hz), 129.79, 129.75, 127.44, 127.36, 126.53 (d, *J* = 10.6

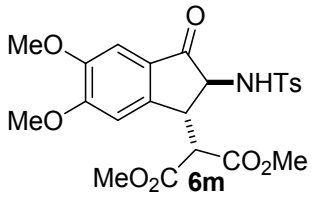
Hz), 126.10 (d, *J* = 10.4 Hz), 117.39 (d, *J* = 23.7 Hz), 116.96 (d, *J* = 23.8 Hz), 114.81 (d, *J* = 23.3 Hz), 113.66 (d, *J* = 23.8 Hz), 62.29, 60.74, 52.99, 52.81, 52.65, 52.48, 50.89, 50.82, 46.02, 42.36, 21.55. HRMS (ESI) Calcd for $C_{21}H_{21}FNO_7S$ $(M+H)^+$: 450.1017; Found: 450.1020; IR (neat): *v* = 552, 627, 669, 817, 844, 998, 1159, 1253, 1326, 1413, 1597, 1740, 2479, 2959, 3232 cm^{-1}.

Rel-dimethyl 2-((1R,2S)-6-chloro-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)malonate **6k**



6k was synthesized from **5k** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 8.3 Hz, 2.72H), 7.69 (d, *J* = 1.4 Hz, 0.36H), 7.65 – 7.55 (m, 2.36H), 7.39 – 7.30 (m, 4.08H), 5.55 (d, *J* = 6.3 Hz, 0.36H), 5.41 (d, *J* = 5.7 Hz, 1H), 4.63 (d, *J* = 2.5 Hz, 1H), 4.40 (dd, *J* = 8.0, 2.9 Hz, 0.36H), 4.34 (t, *J* = 5.7 Hz, 1H), 4.24 (d, *J* = 3.0 Hz, 0.36H), 4.21 (dd, *J* = 7.9, 6.4 Hz, 0.36H), 3.90 (dd, *J* = 5.6, 2.3 Hz, 1H), 3.85 (s, 3H), 3.74 (s, 1.08H), 3.60 (s, 3H), 3.49 (s, 1.08H), 2.42 (s, 3H), 2.41 (s, 1.08H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.06, 197.73, 169.22, 168.93, 167.92, 167.61, 151.61, 150.56, 144.08, 144.06, 142.72, 142.13, 136.00, 135.95, 132.63, 132.33, 129.91, 129.81, 129.78, 129.46, 127.91, 127.46, 127.38, 126.76, 125.18, 124.84, 62.27, 60.73, 53.01, 52.84, 52.68, 52.55, 50.93, 50.84, 45.93, 42.26, 21.57; HRMS (ESI) Calcd for $C_{21}H_{21}ClNO_7S$ $(M+H)^+$: 466.0722; Found: 466.0725; IR (neat): *v* = 550, 623, 669, 814, 849, 996, 1162, 1258, 1325, 1415, 1599, 1740 2477, 2954, 3230 cm^{-1}.

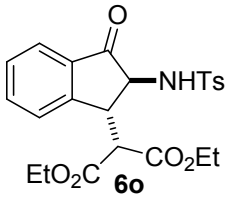
Rel-dimethyl2-((1R,2S)-5,6-dimethoxy-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)malonate **6m**



6m was synthesized from **5m** according to *General Procedure C*. white solid; m. p. 172-175 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.3 Hz, 2H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.14 (s, 1H), 7.05 (s, 1H), 5.28 (d, *J* = 5.0 Hz, 1H), 4.66 (d, *J* = 2.3 Hz, 1H), 4.23 (t, *J* = 4.9 Hz, 1H), 3.93 (s,

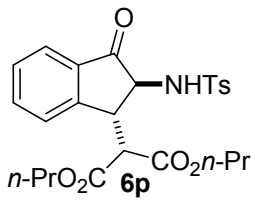
3H), 3.86 – 3.85 (m, 7H), 3.53 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.56, 169.82, 168.15, 156.34, 150.16, 145.85, 144.02, 135.82, 129.78, 127.56, 126.79, 107.90, 104.23, 62.19, 56.36, 56.04, 52.90, 52.52, 51.47, 46.02, 21.60; HRMS (ESI) Calcd for $C_{23}H_{26}NO_9S$ $(M+H)^+$: 492.1323; Found: 492.1326; IR (neat): *v* = 539, 617, 855, 990, 1113, 1502, 1600, 1723, 2455, 2925, 3281 cm^{-1}.

Rel-diethyl 2-((1R,2S)-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)malonate **6o**



6o was synthesized from **5o** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.3 Hz, 2H), 7.67 – 7.58 (m, 3H), 7.41 – 7.36 (m, 1H), 7.32 (d, *J* = 8.2 Hz, 2H), 5.34 (d, *J* = 5.5 Hz, 1H), 4.62 (d, *J* = 2.5 Hz, 1H), 4.38 – 4.24 (m, 3H), 3.99 (qd, *J* = 7.1, 4.8 Hz, 2H), 3.95 – 3.92 (m, 1H), 2.42 (s, 3H), 1.32 (t, *J* = 7.1 Hz, 3H), 0.99 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.53, 169.07, 167.75, 150.28, 144.01, 135.98, 135.93, 133.88, 129.76, 128.59, 127.50, 126.75, 123.98, 62.38, 61.94, 61.59, 51.42, 46.03, 21.57, 14.03, 13.75; HRMS (ESI) Calcd for $C_{23}H_{26}NO_7S$ $(M+H)^+$: 460.1424; Found: 460.1426; IR (neat): *v* = 540, 617, 856, 991, 1115, 1381, 1604, 1727, 2458, 2924, 3365 cm^{-1}.

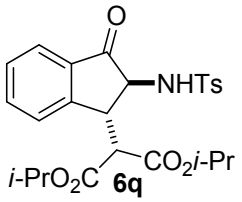
Rel-dipropyl 2-((1R,2S)-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl) malonate **6p**



6p was synthesized from **5p** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 – 7.82 (m, 2H), 7.66 – 7.58 (m, 3H), 7.41 – 7.36 (m, 1H), 7.32 (d, *J* = 8.1 Hz, 2H), 5.35 (d, *J* = 5.3 Hz, 1H), 4.66 (d, *J* = 2.4 Hz, 1H), 4.36 (t, *J* = 5.6 Hz, 1H), 4.27 – 4.22 (m, 1H), 4.19 – 4.12 (m, 1H), 3.94 (dd, *J* = 5.7, 2.3 Hz, 1H), 3.88 (t, *J* = 6.7 Hz, 2H), 2.42 (s, 3H), 1.72 (h, *J* = 7.2 Hz, 2H), 1.45 – 1.36 (m, 2H), 0.97 (t, *J* = 7.4 Hz, 3H), 0.74 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR

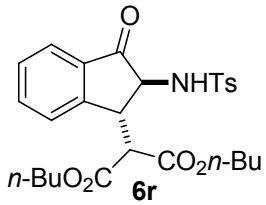
(100 MHz, $CDCl_3$) δ 199.50, 169.21, 167.86, 150.30, 143.99, 135.99, 135.90, 133.86, 129.76, 128.58, 127.50, 126.71, 123.98, 67.55, 67.20, 62.42, 51.44, 46.05, 21.82, 21.56, 10.36, 10.20; HRMS (ESI) Calcd for $C_{25}H_{30}NO_7S$ $(M+H)^+$: 488.1737; Found: 488.1738; IR (neat): ν = 538, 617, 852, 993, 1116, 1344, 1386, 1602, 1731, 2468, 2963, 3260 cm^{-1}.

Rel-diisopropyl 2-((1R,2S)-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl) malonate **6q**



6q was synthesized from **5q** according to *General Procedure C*. Yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, J = 8.2 Hz, 2H), 7.69 (d, J = 7.9 Hz, 1H), 7.66 – 7.56 (m, 2H), 7.39 – 7.30(m, 3H), 5.37 (t, J = 5.5 Hz, 1H), 5.16 (p, J = 6.3 Hz, 1H), 4.82 (p, J = 6.2 Hz, 1H), 4.57 (t, J = 1.8 Hz, 1H), 4.37 (t, J = 5.6 Hz, 1H), 3.97 – 3.85 (m, 1H), 2.42 (s, 3H), 1.32 (dd, J = 6.2, 3.3 Hz, 6H), 1.01 (d, J = 6.2 Hz, 3H), 0.87 (d, J = 6.2 Hz, 3H);^{13}C NMR (100 MHz, $CDCl_3$) δ 199.64, 168.75, 167.32, 150.33, 143.99, 135.89, 133.95, 129.77, 128.56, 127.52, 127.40, 127.25, 123.86, 69.65, 69.34, 62.48, 51.61, 45.98, 21.74, 21.57, 21.41, 21.16; HRMS (ESI) Calcd for $C_{25}H_{30}NO_7S$ $(M+H)^+$: 488.1737; Found: 488.1740; IR (neat): ν = 541, 617, 662, 755, 853, 990, 1102, 1261, 1340, 1379, 1462, 1604, 1726, 2447, 2924, 2982, 3266 cm^{-1}.

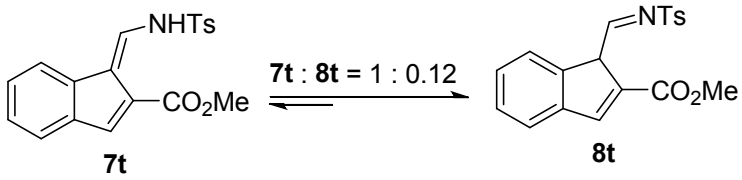
Rel-dibutyl 2-((1R,2S)-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)malonate **6r**



6r was synthesized from **5r** according to *General Procedure C*. Yellow oil; ^1H NMR (400 MHz, $CDCl_3$) δ 7.89 – 7.78 (m, 2H), 7.62 (ddd, J = 14.0, 7.6, 1.5 Hz, 3H), 7.41 – 7.29 (m, 3H), 5.40 (dd, J = 5.8, 2.7 Hz, 1H), 4.64 (d, J = 2.4 Hz, 1H), 4.35 (t, J = 5.6 Hz, 1H), 4.28 (dt, J = 10.8, 6.7 Hz, 1H), 4.25 – 4.16 (m, 1H), 3.96 – 3.88 (m, 3H), 2.42 (s, 3H), 1.72 – 1.64 (m, 2H), 1.44 – 1.30 (m, 4H), 1.20 – 1.10 (m, 2H), 0.95 (t, J = 7.4 Hz, 3H), 0.78 (t, J = 7.3 Hz, 3H); ^{13}C NMR (100 MHz,

$CDCl_3$) δ 199.51, 169.22, 167.85, 150.29, 143.97, 135.98, 135.91, 133.86, 129.75, 128.57, 127.50, 126.73, 123.96, 65.83, 65.40, 62.42, 51.43, 46.06, 30.43, 30.19, 21.59, 19.03, 18.86, 13.65, 13.49; HRMS (ESI) Calcd for $C_{27}H_{34}NO_7S$ $(M+H)^+$: 516.2050; Found: 516.2054; IR (neat): *v* = 540, 617, 855, 993, 1113, 1385, 1462, 1603, 1734, 2449, 2961, 3373 cm^{-1}.

(Z)-Methyl 1-((4-methylphenylsulfonamido)methylene)-1H-indene-2-carboxylate **7t** and *methyl 1-((tosylimino)methyl)-1H-indene-2-carboxylate* **8t**

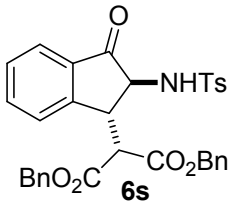


7t and **8t** was synthesized from **5t** according to *General Procedure C*. Purification by flash column chromatography (petroleum ether/ethyl acetate, 10:1) on silica gel provide a mixture of compound **7t** and compound **8t** (**7t** : **8t** = 1 : 0.12). Yellow solid; m. p. 185-188 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.19 (d, *J* = 11.1 Hz, 1H), 9.50 (d, *J* = 10.1 Hz, 0.12H), 7.79 – 7.72 (m, 2.24H), 7.69 – 7.54 (m, 3H), 7.38 (dt, *J* = 7.6, 1.0 Hz, 1H), 7.30 – 7.09 (m, 4.72H), 6.86 (d, *J* = 10.3 Hz, 0.12H), 4.07 (s, 0.12H), 3.79 (s, 3H), 3.09 (s, 0.36H), 2.29 (s, 3H), 2.25 (s, 0.36H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.93, 144.19, 139.82, 139.61, 137.34, 136.59, 129.98, 128.23, 127.48, 126.59, 125.95, 125.46, 123.35, 118.10, 115.14, 52.60, 21.46; HRMS (ESI) Calcd for $C_{19}H_{18}NO_4S$ $(M+H)^+$: 356.0951; Found: 356.0953; IR (neat): *v* = 544, 584, 667, 751, 797, 873, 1087, 1160 1242, 1288, 1346, 1378, 1436, 1636, 1658, 1699, 2364, 3448, 3677 cm^{-1}.

Purification by flash column chromatography (petroleum ether/ethyl acetate/acetic acid, 100:10:0.5) on silica gel provide a mixture of compound **7t** and compound **8t** (**7t** : **8t** = 1 : 0.35). Yellow solid; ^{1}H NMR (400 MHz, $CDCl_3$) δ 12.20 (d, *J* = 11.1 Hz, 1H), 9.50 (d, *J* = 10.1 Hz, 0.35H), 7.81 – 7.73 (m, 2.70H), 7.71 – 7.56 (m, 3H), 7.40 (dt, *J* = 7.6, 1.0 Hz, 1H), 7.30 – 7.07 (m, 6.1H), 6.86 (d, *J* = 10.1 Hz, 0.35H), 4.08 (s, 0.35H), 3.81 (s, 3H), 3.10 (s, 1.05H), 2.31 (s, 3H), 2.28 (s, 1.05H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 172.68, 167.96, 144.20, 143.76, 141.17, 139.83, 139.65, 138.55, 137.53, 137.37, 136.62, 130.00, 129.78, 128.56, 128.29, 127.71, 127.51, 126.72, 126.62, 125.98, 125.52, 124.80, 123.37, 118.78, 118.61, 118.14, 115.15, 58.44, 55.53, 52.59, 21.54, 21.49.

Rel-dibenzyl 2-((1R,2S)-2-(4-methylphenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)

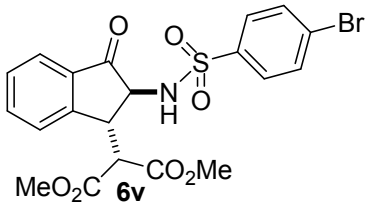
malonate **6s**



6s

6s was synthesized from **5s** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 8.2 Hz, 2H), 7.59 (d, *J* = 7.6 Hz, 1H), 7.52 – 7.46 (d, *J* = 6.2 Hz, 2H), 7.35 – 7.28 (m, 7H), 7.25 – 7.21 (m, 4H), 7.02 (d, *J* = 7.2 Hz, 2H), 5.32 – 5.21(m, 3H), 4.94 (s, 2H), 4.77 (d, *J* = 2.4 Hz, 1H), 4.31 (t, *J* = 5.5 Hz, 1H), 3.97 (dd, *J* = 5.5, 2.0 Hz, 1H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.21, 168.78, 167.43, 149.88, 143.91, 135.97, 135.81, 134.97, 134.64, 133.78, 129.75, 128.60, 128.52, 128.48, 128.44, 128.40, 128.35, 127.48, 126.60, 123.99, 67.76, 67.42, 62.25, 51.39, 46.15, 21.57; HRMS (ESI) Calcd for $C_{33}H_{30}NO_7S$ $(M+H)^+$: 584.1737; Found: 584.1741; IR (neat): *v* = 554, 1092, 1161, 1261, 1340, 1386, 1458, 1605, 1729, 2925, 3443 cm^{-1}.

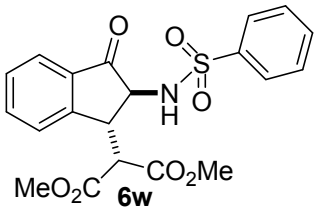
Rel-dimethyl 2-((1R,2S)-2-(4-bromophenylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl) malonate **6v**



6v

6v was synthesized from **5v** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 8.6 Hz, 2.5H), 7.69 – 7.57 (m, 6.25H), 7.41 (t, *J* = 7.3 Hz, 1.25H), 5.69 (d, *J* = 7.3 Hz, 0.25H), 5.44 (d, *J* = 6.4 Hz, 1H), 4.56 (d, *J* = 2.7 Hz, 1H), 4.50 – 4.42 (m, 1.25H), 4.30 (t, *J* = 7.6 Hz, 0.25H), 4.16 (d, *J* = 3.1 Hz, 0.25H), 3.94 (dd, *J* = 5.9, 2.7 Hz, 1H), 3.81 (s, 3H), 3.66 (s, 0.75H), 3.60 (s, 3H), 3.54 (s, 0.75H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.22, 199.12, 169.13, 169.03, 168.09, 167.71, 149.89, 148.93, 138.61, 138.42, 136.13, 135.79, 133.98, 133.81, 132.38, 129.26, 128.99, 128.89, 128.78, 128.17, 128.08, 127.34, 126.13, 124.20, 123.89, 62.17, 60.67, 52.92, 52.78, 52.72, 52.69, 51.44, 51.08, 45.94, 42.45; HRMS (ESI) Calcd for $C_{20}H_{19}BrNO_7S$ $(M+H)^+$: 496.0060; Found: 496.0063; IR (neat): *v* = 421, 545, 610, 737, 825, 1000, 1159, 1267, 1339, 1437, 1576, 1605, 1729, 2479, 2851, 2923, 2953, 3090, 3281 cm^{-1}.

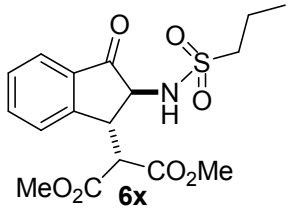
Rel-dimethyl 2-((1R,2S)-3-oxo-2-(phenylsulfonamido)-2,3-dihydro-1H-inden-1-yl)malonate **6w**



6w

6w was synthesized from **5w** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (dd, *J* = 5.5, 3.3 Hz, 2.42H), 7.67 – 7.48 (m, 7.26H), 7.39 (q, *J* = 7.6 Hz, 1.21H), 5.65 (d, *J* = 6.7 Hz, 0.21H), 5.51 (d, *J* = 5.9 Hz, 1H), 4.61 (d, *J* = 2.6 Hz, 1H), 4.46 (dd, *J* = 7.9, 3.0 Hz, 0.21H), 4.39 (t, *J* = 5.8 Hz, 1H), 4.27 (dd, *J* = 7.7, 6.9 Hz, 0.21H), 4.21 (d, *J* = 3.1 Hz, 0.21H), 3.94 (dd, *J* = 5.6, 2.5 Hz, 1H), 3.81 (s, 3H), 3.68 (s, 0.63H), 3.56 (s, 3H), 3.49 (s, 0.63H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 199.31, 199.06, 169.28, 169.03, 168.09, 167.76, 150.03, 148.99, 139.30, 139.25, 136.01, 135.64, 134.07, 133.85, 133.06, 129.14, 129.09, 128.64, 127.47, 127.39, 127.31, 126.34, 126.21, 124.11, 123.77, 62.22, 60.73, 52.86, 52.68, 52.56, 52.47, 51.24, 51.14, 45.99, 42.50; HRMS (ESI) Calcd for $C_{20}H_{20}NO_7S$ $(M+H)^+$: 418.0955; Found: 418.0954; IR (neat): *v* = 544, 584, 688, 726, 757, 859, 980, 1093, 1263, 1337, 1440, 1605, 1729, 2437, 2955, 3280 cm^{-1}.

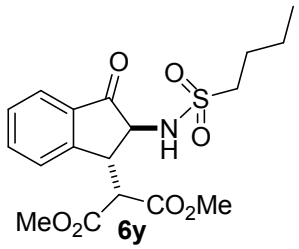
Rel-dimethyl 2-((1R,2S)-3-oxo-2-(propylsulfonamido)-2,3-dihydro-1H-inden-1-yl)malonate **6x**



6x

6x was synthesized from **5x** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (d, *J* = 7.6 Hz, 1.12H), 7.67 – 7.60 (m, 1.12H), 7.52 (d, *J* = 7.8 Hz, 1.12H), 7.43 (t, *J* = 7.5 Hz, 1.12H), 5.45 (d, *J* = 8.8 Hz, 0.12H), 5.20 (d, *J* = 7.8 Hz, 1H), 4.65 (dd, *J* = 7.8, 5.7 Hz, 1H), 4.55 – 4.48 (m, 0.24H), 4.36 (d, *J* = 3.4 Hz, 1H), 4.08 (d, *J* = 3.2 Hz, 0.12H), 3.94 – 3.85 (m, 1H), 3.73 (s, 3H), 3.66 (s, 3H), 3.60 (s, 0.36H), 3.59 (s, 0.36H), 3.32 – 3.18 (m, 2.24H), 2.04 – 1.86 (m, 2.24H), 1.09 (t, *J* = 7.4 Hz, 3.36H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.56, 168.79, 168.22, 150.04, 136.03, 134.13, 128.74, 125.51, 124.19, 62.35, 56.25, 52.90, 52.82, 51.20, 45.91, 17.35, 12.90; HRMS (ESI) Calcd for $C_{17}H_{22}NO_7S$ $(M+H)^+$: 384.1111; Found: 384.1114; IR (neat): *v* = 537, 618, 765, 856, 994, 1141, 1329, 1438, 1605, 1728, 2450, 2960, 3301 cm^{-1}.

Rel-dimethyl 2-((1R,2S)-2-(butylsulfonamido)-3-oxo-2,3-dihydro-1H-inden-1-yl)malonate **6y**



6y

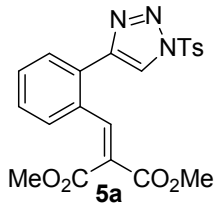
6y was synthesized from **5y** according to *General Procedure C*. Yellow oil; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 7.6 Hz, 1.28H), 7.69 – 7.62 (m, 1.56H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.45 (q, *J* = 7.5, 7.0 Hz, 1.28H), 5.44 (d, *J* = 8.8 Hz, 0.28H), 5.06 (d, *J* = 7.6 Hz, 1H), 4.66 (dd, *J* = 7.6, 5.7 Hz, 1H), 4.56 – 4.48 (m, 0.56H), 4.38 (d, *J* = 3.4 Hz, 1H), 4.09 (d, *J* = 3.2 Hz, 0.28H), 3.96 – 3.88 (m, 1H), 3.74 (s, 3H), 3.67 (s, 3H), 3.61 (s, 0.84H), 3.60 (s, 0.84H), 3.32 – 3.22 (m, 2.56H), 1.97 – 1.83 (m, 2.56H), 1.55 – 1.46 (m, 2.56H), 1.00 – 0.93 (m, 3.84H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 200.43, 168.78, 168.24, 150.03, 136.06, 135.87, 134.14, 129.27, 128.77, 125.53, 124.23, 123.98, 62.40, 60.92, 54.33, 53.98, 53.94, 52.93, 52.84, 52.76, 51.92, 51.21, 46.00, 42.59, 25.57, 21.58, 21.51, 13.59; HRMS (ESI) Calcd for $C_{18}H_{24}NO_7S$ $(M+H)^+$: 398.1268; Found: 398.1269; IR (neat): *v* = 537, 616, 803, 858, 1102, 1142, 1262, 1331, 1438, 1606, 1730, 2368, 2926, 2960, 3297 cm^{-1}.

References

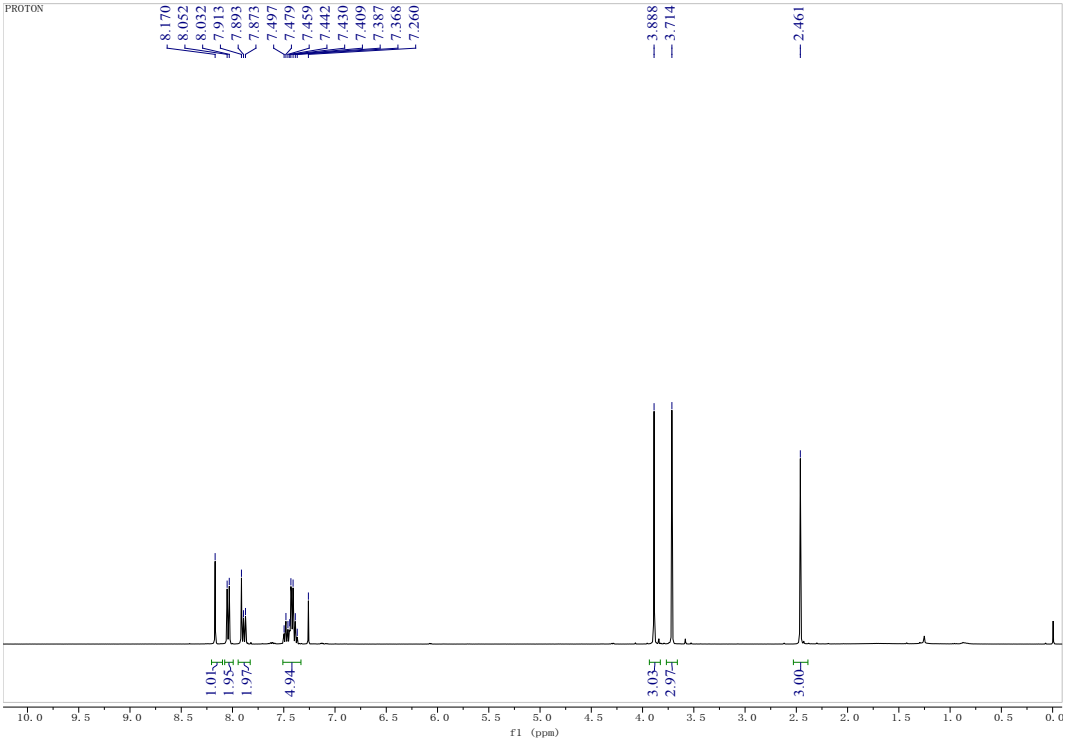
1. R. D. Grigg, R. V. Hoveln, J. M. Schomaker, *J. Am. Chem. Soc*., **2012**, *134*, 16131.

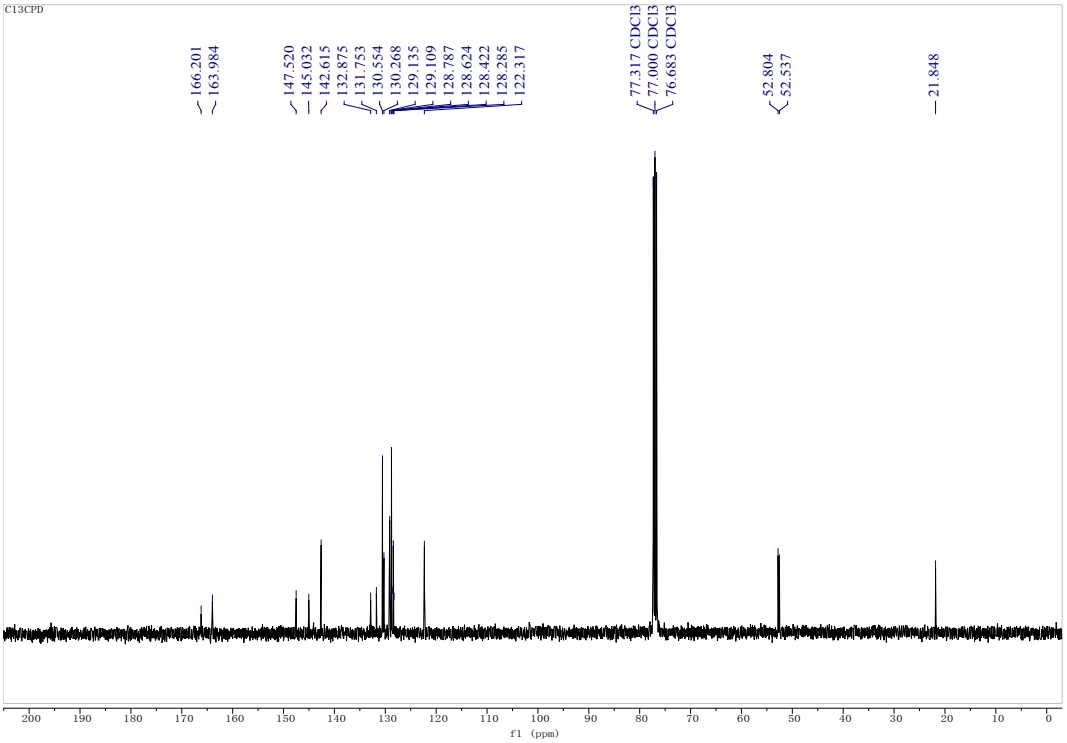
2. S. Shin, J. -Y. Son, C. Choi, S. Kim, P. L. Lee, *J. Org. Chem.* **2016**, *81*, 11706.

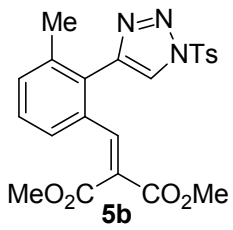
NMR Spectra



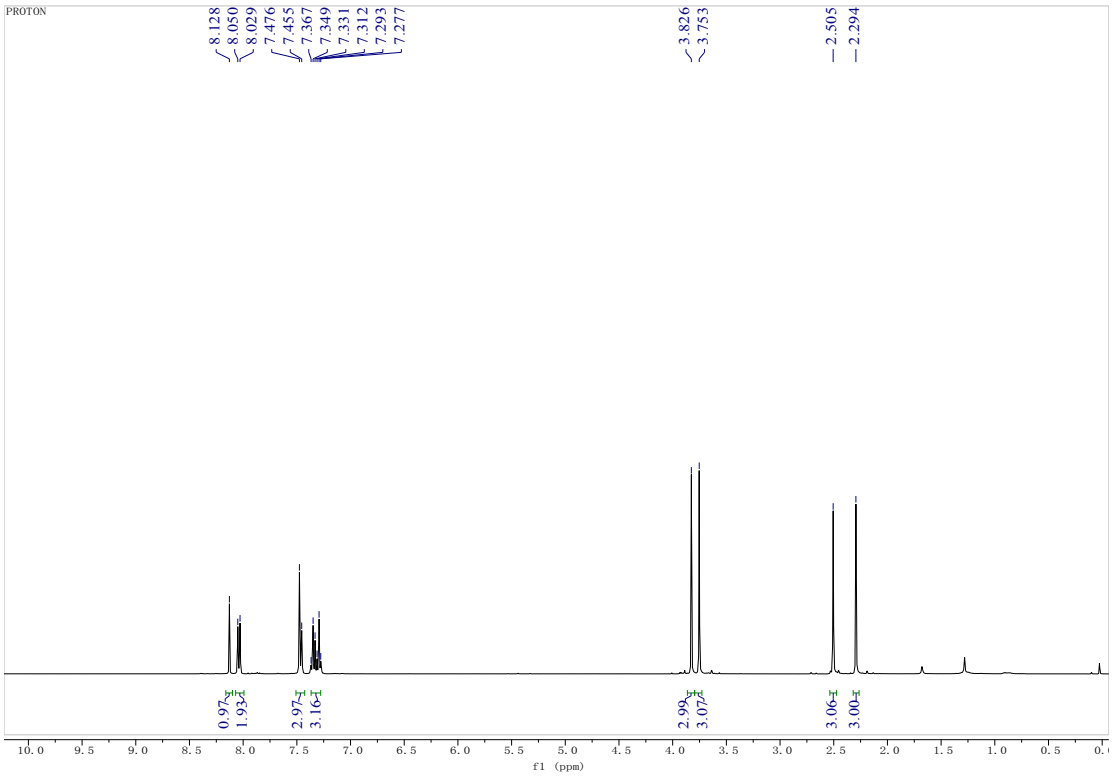
5a






Me
N=N
NTs
MeO2C
CO2Me

5b


PROTON
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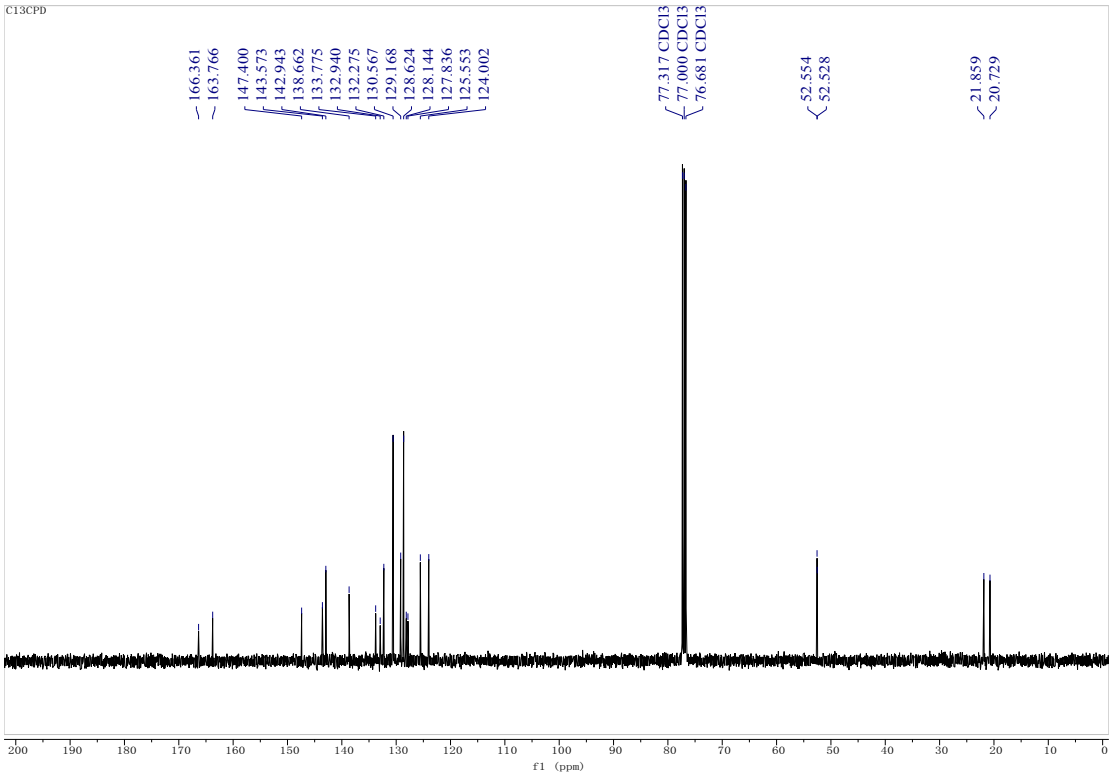
8.029
7.476
7.455
7.367
7.349
7.331
7.312
7.293
7.277
3.826
3.753
2.505
2.294
0.97
1.92
2.97
3.16
2.99
3.07
3.06
3.00
f1 (ppm)


C13CPD
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143.573
142.943
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132.275
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129.168
128.624
128.144
127.836
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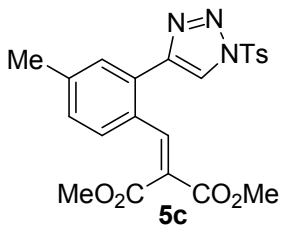
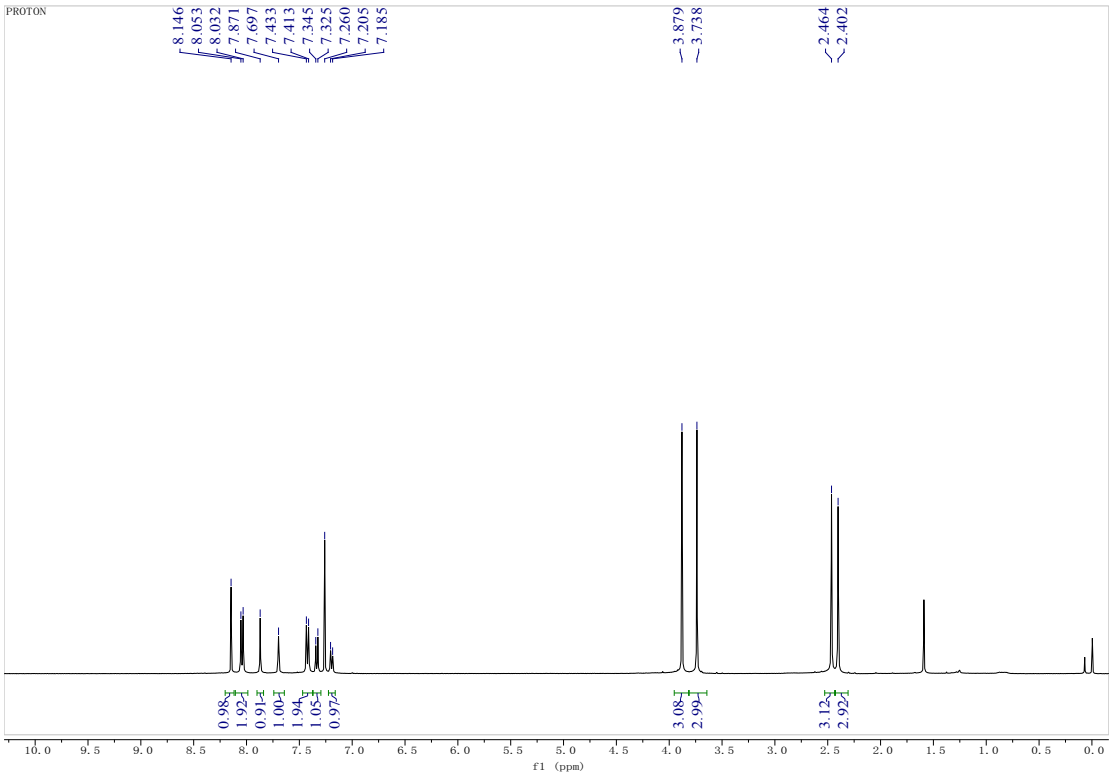
21.859
20.729
f1 (ppm)


N=N
NTs
Me
MeO2C
CO2Me

5c


PROTON
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8.032
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7.697
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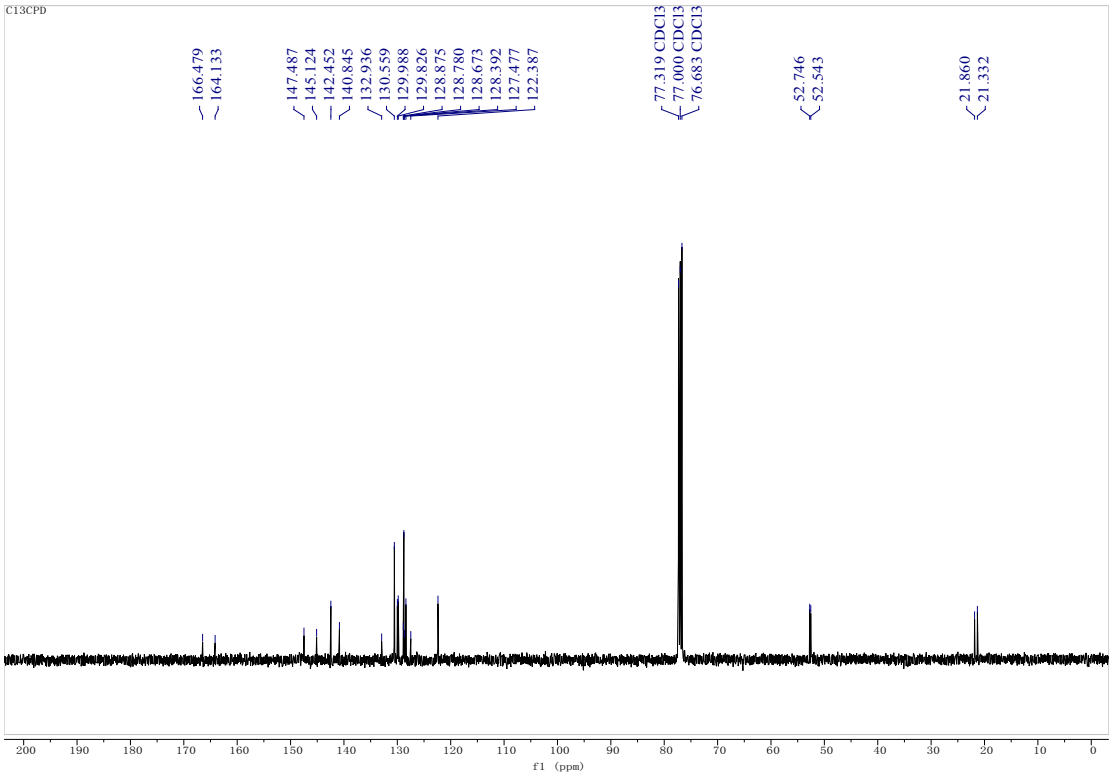
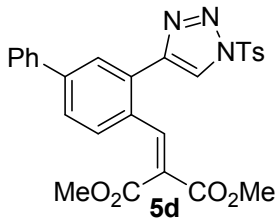
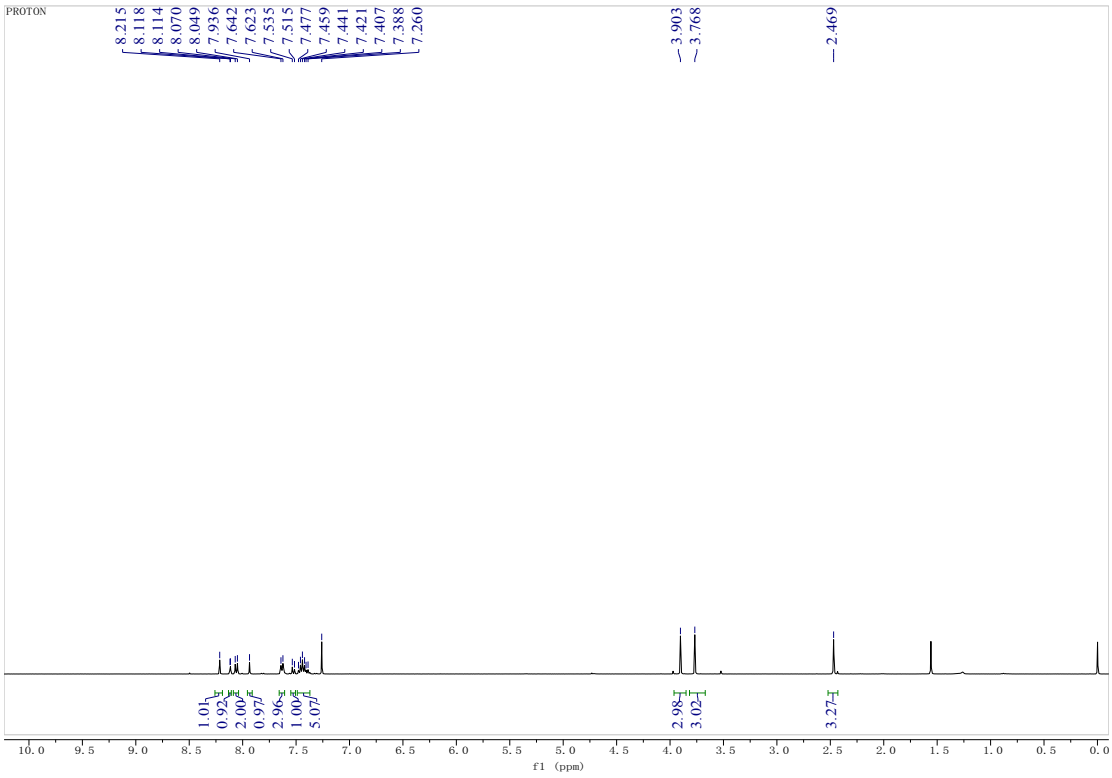
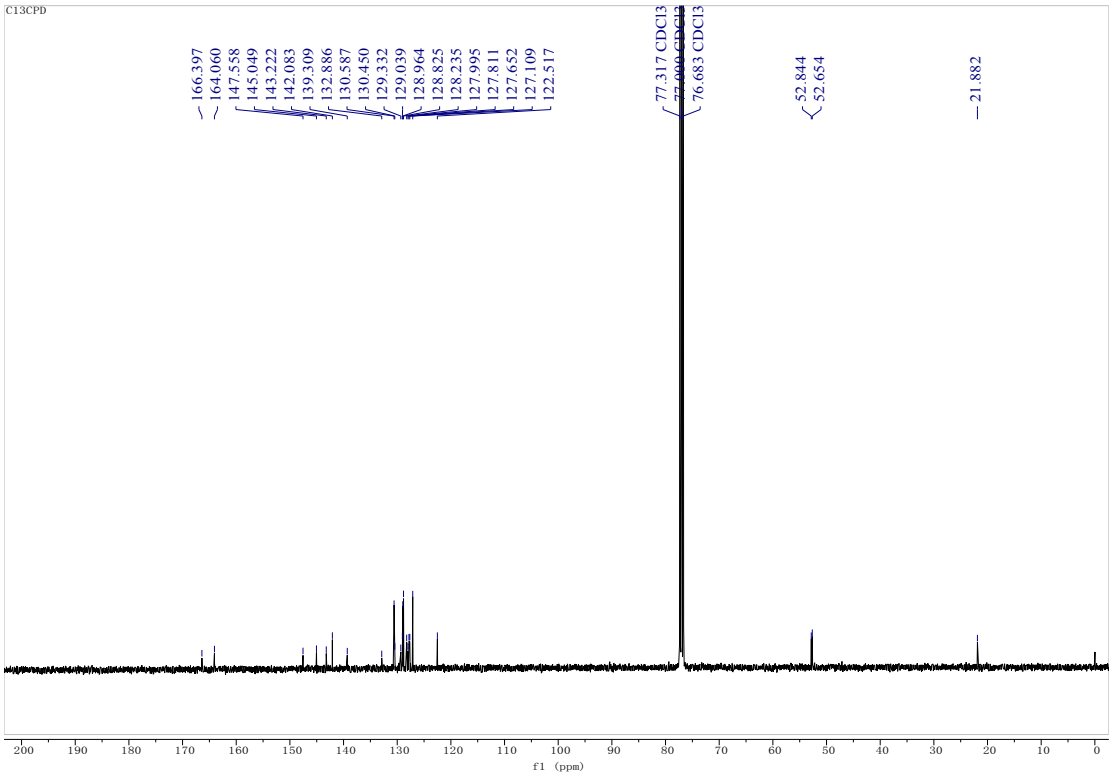
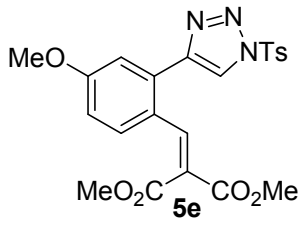
7.345
7.325
7.260
7.205
7.185
3.879
3.738
2.464
2.402
0.98
1.92
0.91
1.00
1.94
1.05
0.97
3.08
2.99
3.12
2.92
f1 (ppm)


C13CPD
166.479
164.133
147.487
145.124
142.452
140.845
132.936
130.559
129.988
129.826
128.875
128.780
128.673
128.392
127.477
122.387
77.319 CDCl3
77.000 CDCl3
76.683 CDCl3
52.746
52.543
21.860
21.332
f1 (ppm)

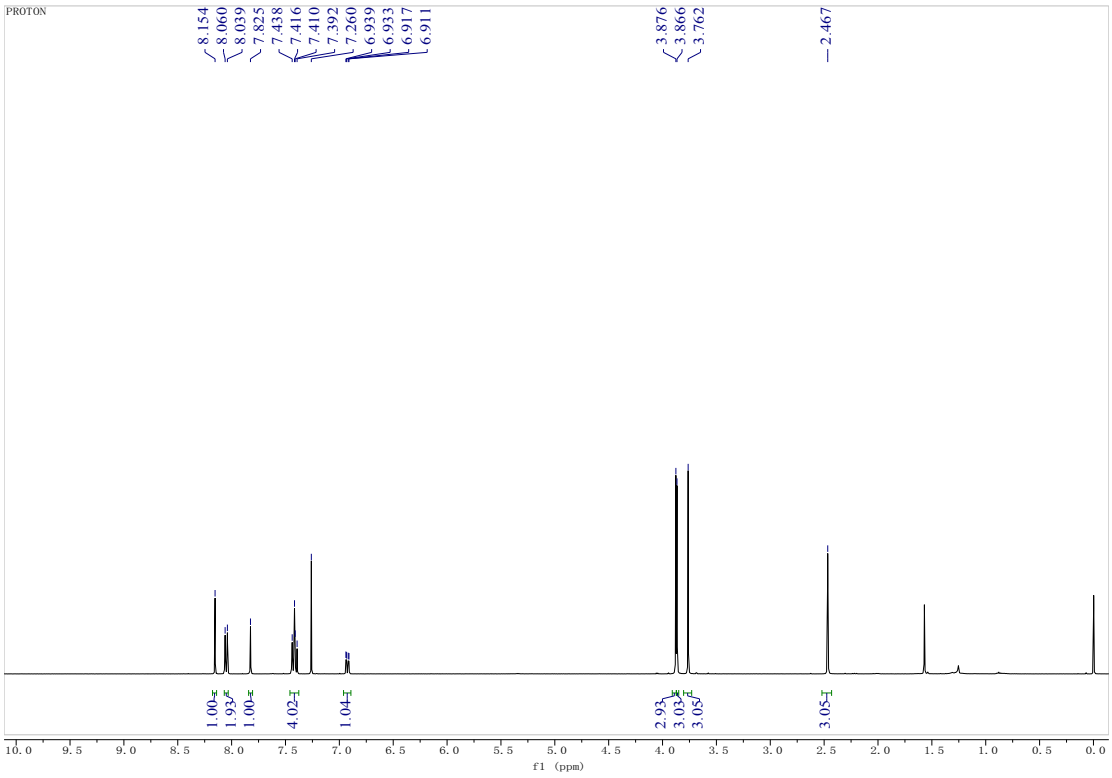

N=N
NTs
Ph
MeO2C
CO2Me
5d

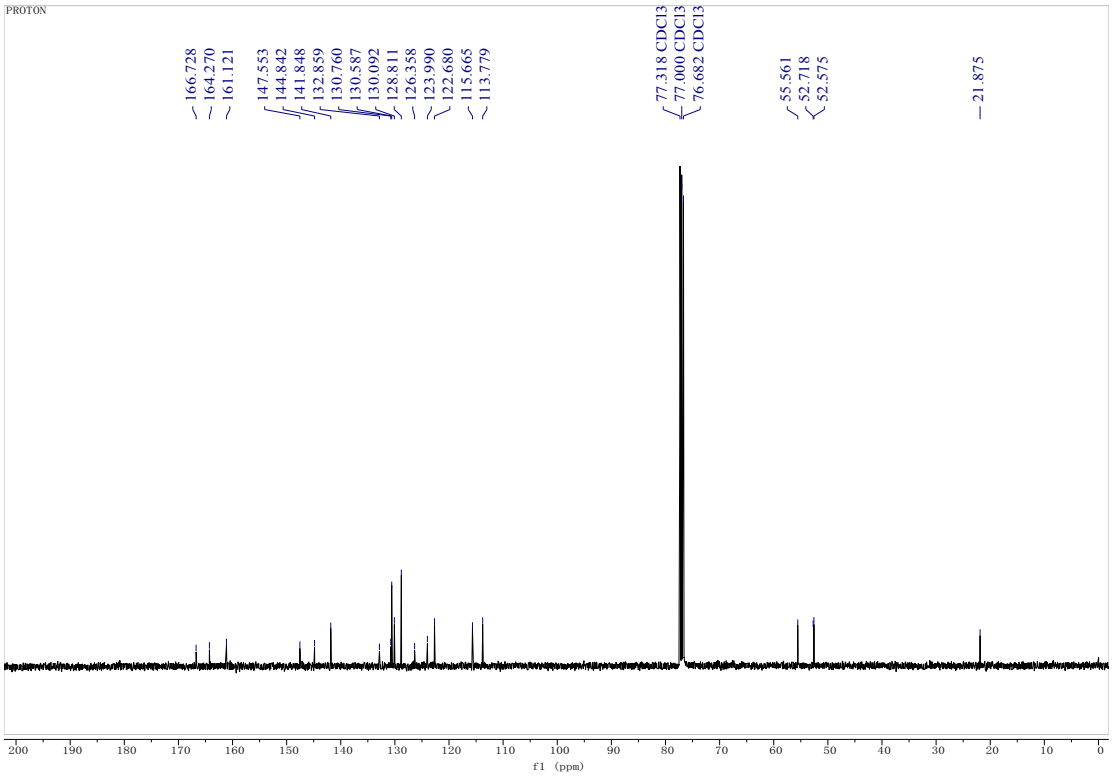

PROTON
8.215
8.118
8.114
8.070
8.049
7.936
7.642
7.623
7.535
7.515
7.477
7.459
7.441
7.421
7.407
7.388
7.260
3.903
3.768
2.469
1.01
0.92
2.00
0.97
2.96
1.00
5.07
2.98
3.02
3.27
f1 (ppm)

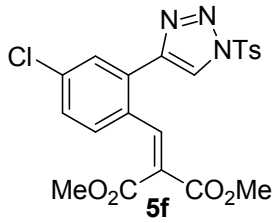

C13CPD
166.397
164.060
147.558
145.049
143.222
142.083
139.309
132.886
130.587
130.450
129.332
129.039
128.964
128.825
128.235
127.995
127.811
127.652
127.109
122.517
77.317 CDCl3
76.683 CDCl3
52.844
52.654
21.882
f1 (ppm)


N=N
NTs
MeO
MeO2C
CO2Me

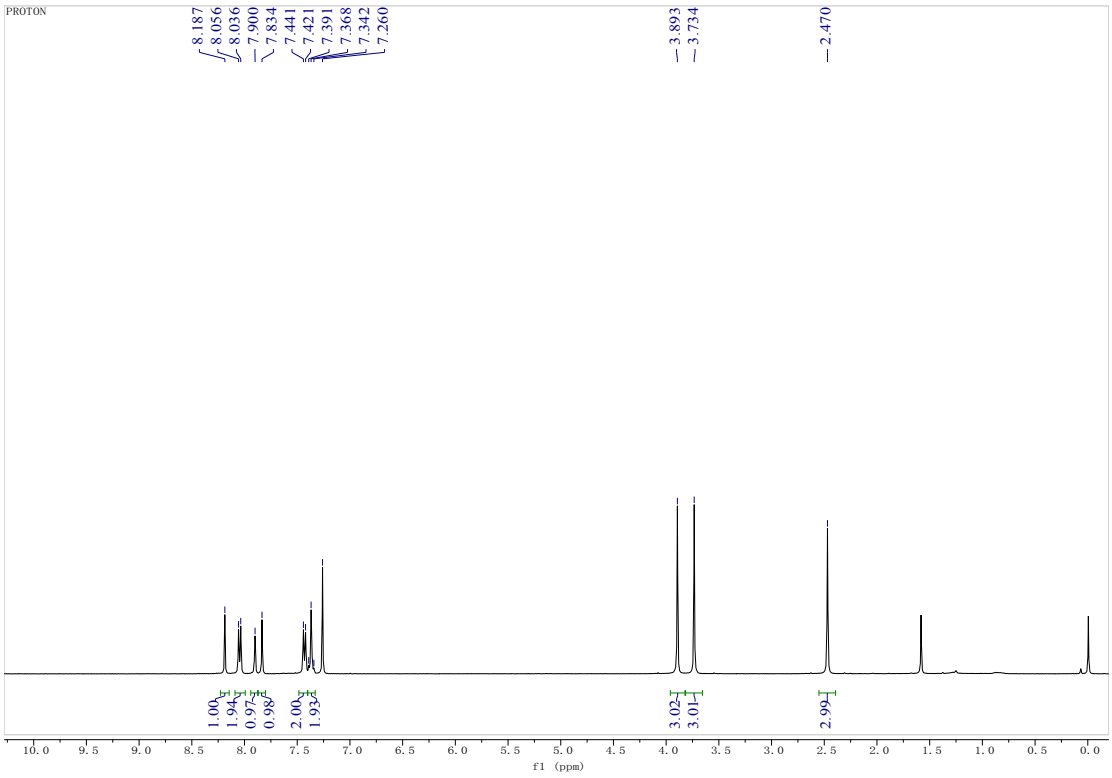
5e

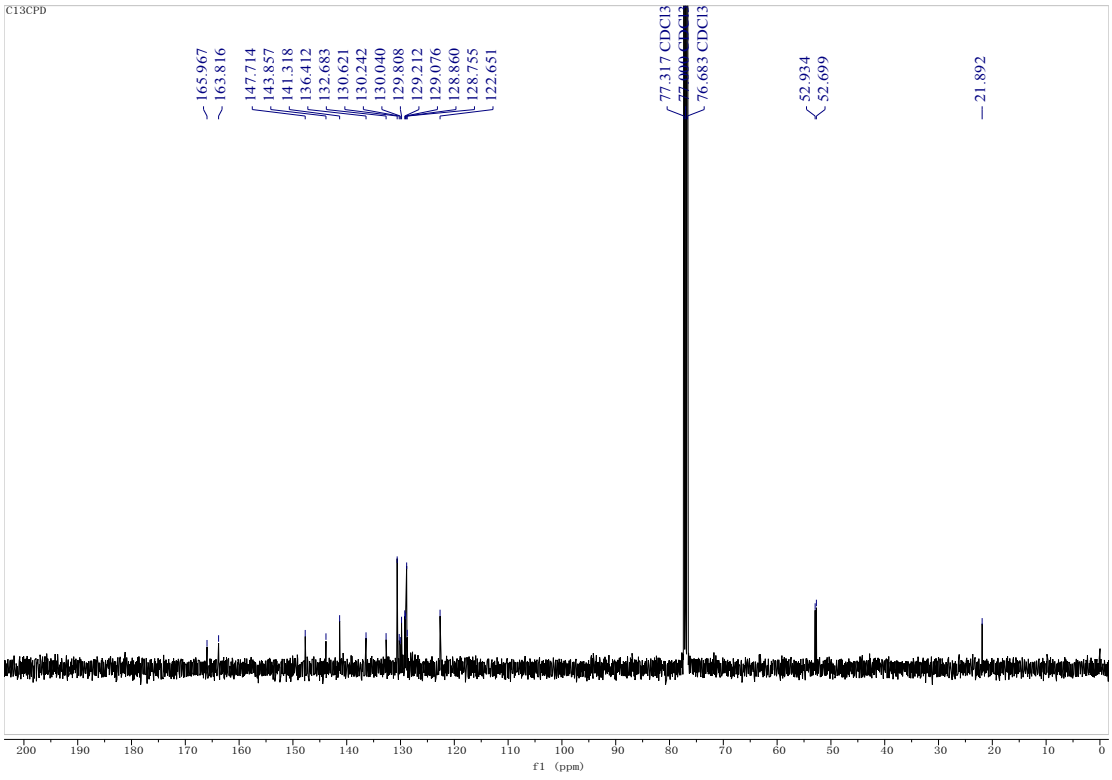

PROTON
8.154
8.060
8.039
7.825
7.438
7.416
7.410
7.392
7.260
6.939
6.933
6.917
6.911
3.876
3.866
3.762
2.467
1.00
1.93
1.00
4.02
1.04
2.93
3.03
3.05
3.05
f1 (ppm)

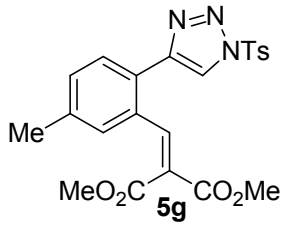

PROTON
166.728
164.270
161.121
147.553
144.842
141.848
132.859
130.760
130.587
130.092
128.811
126.358
123.990
122.680
115.665
113.779
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
55.561
52.718
52.575
21.875
f1 (ppm)


N=N
NTs
Cl
MeO2C
CO2Me

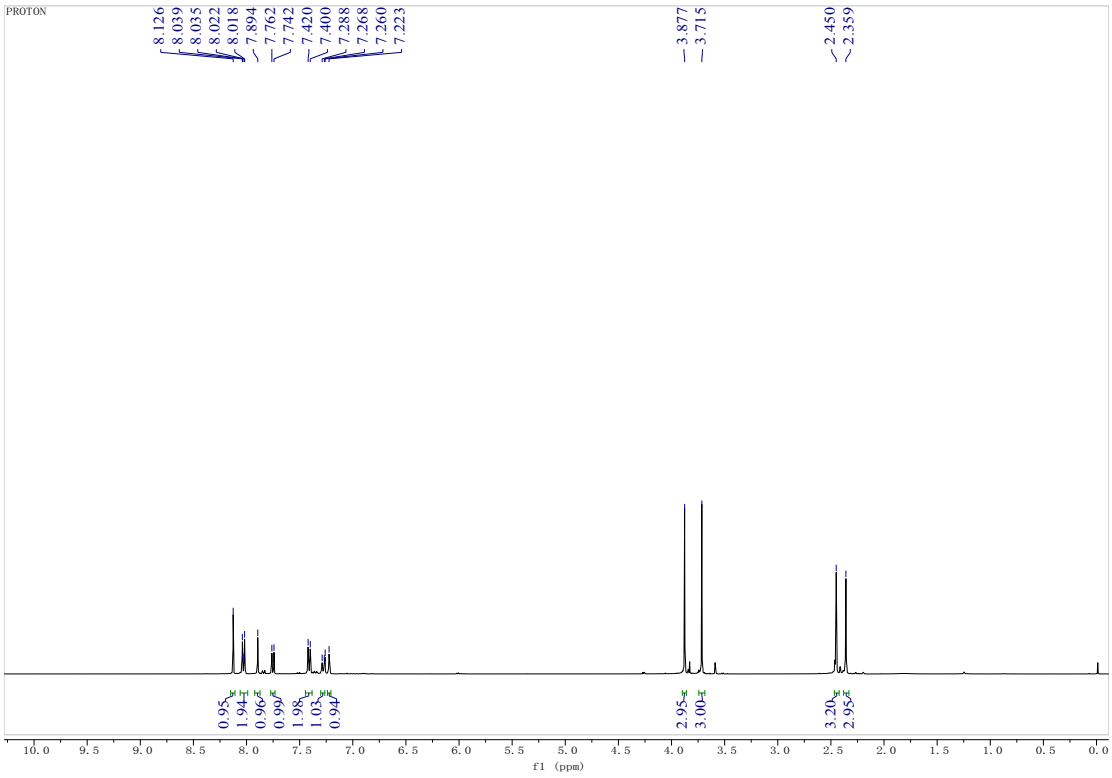
5f

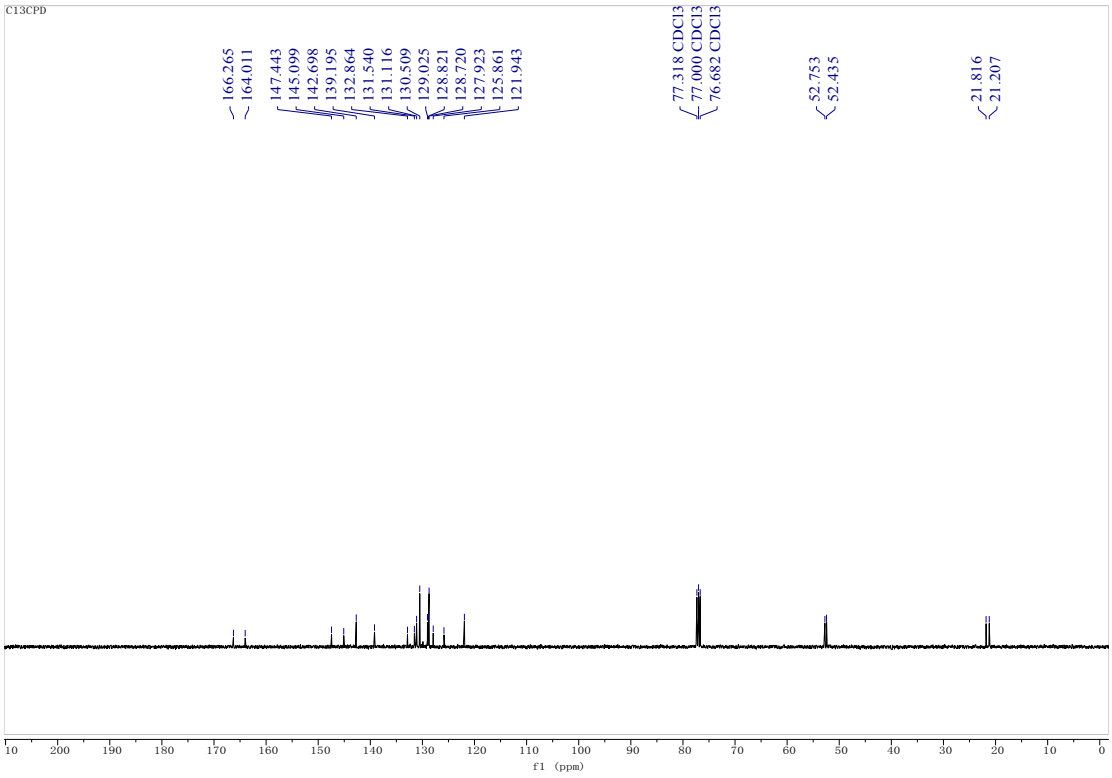

PROTON
8.187
8.056
8.036
7.900
7.834
7.441
7.421
7.391
7.368
7.342
7.260
3.893
3.734
2.470
1.00
1.94
0.97
0.98
2.00
1.93
3.02
3.01
2.99
f1 (ppm)

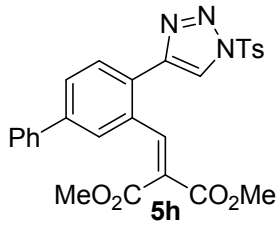

C13CPD
165.967
163.816
147.714
143.857
141.318
136.412
132.683
130.621
130.242
130.040
129.808
129.212
129.076
128.860
128.755
122.651
77.317 CDCl3
76.683 CDCl3
52.934
52.699
21.892
f1 (ppm)


N=N
NTs
Me
MeO2C
CO2Me

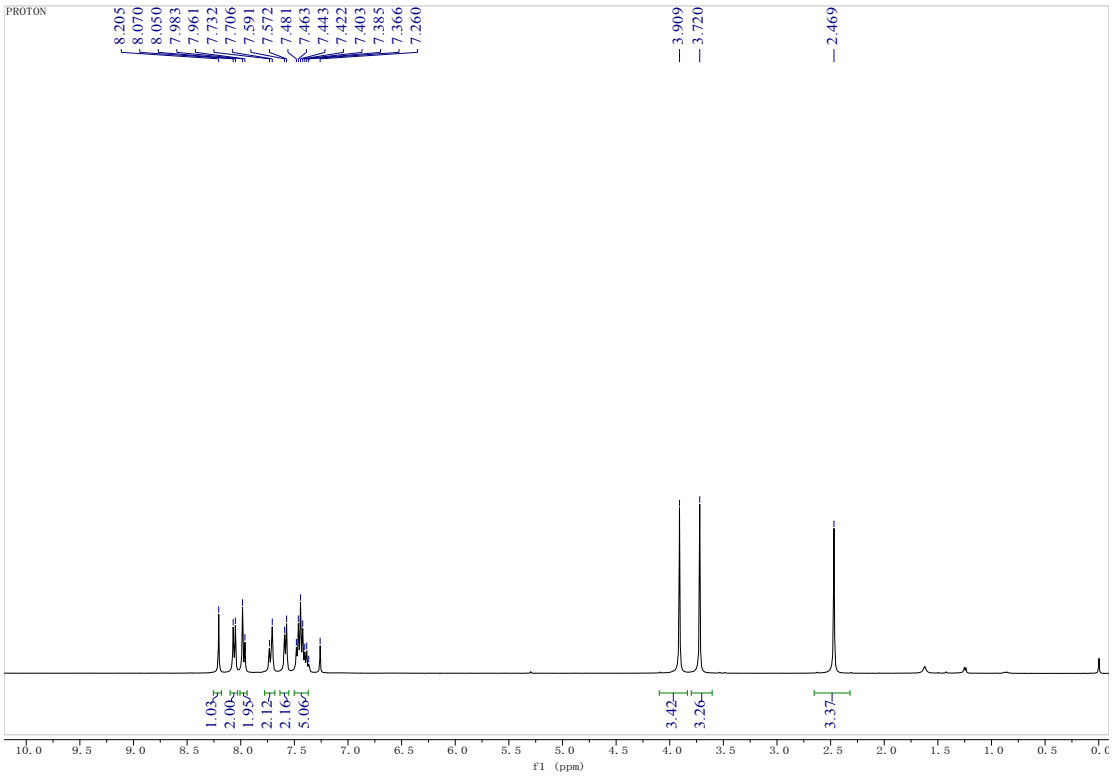
5g

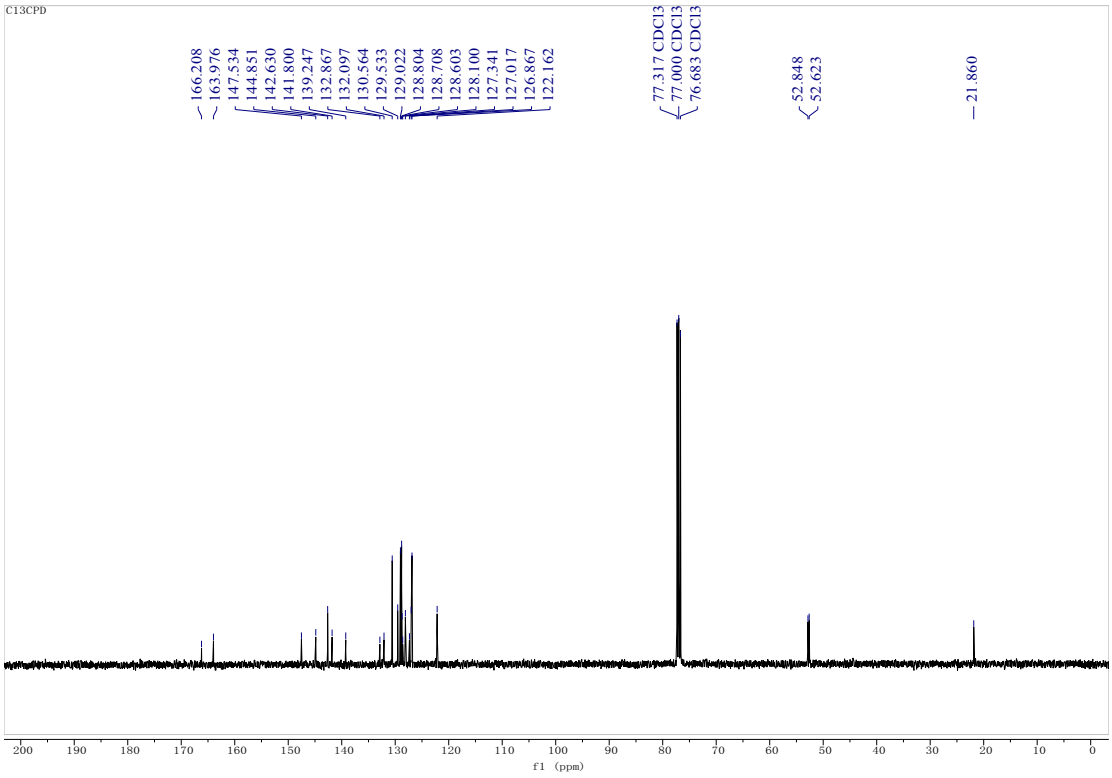

PROTON
8.126
8.039
8.035
8.022
8.018
7.894
7.762
7.742
7.420
7.400
7.288
7.268
7.260
7.223
3.877
3.715
2.450
2.359
0.95
1.94
0.96
0.99
1.98
1.03
0.94
2.95
3.00
3.20
2.95
f1 (ppm)

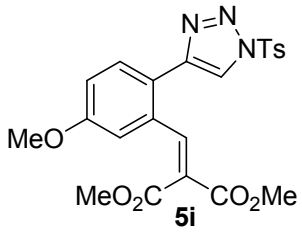

C13CPD
166.265
164.011
147.443
145.099
142.698
139.195
132.864
131.540
131.116
130.509
129.025
128.821
128.720
127.923
125.861
121.943
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
52.753
52.435
21.816
21.207
f1 (ppm)


N=N
NTs
Ph
MeO2C
CO2Me

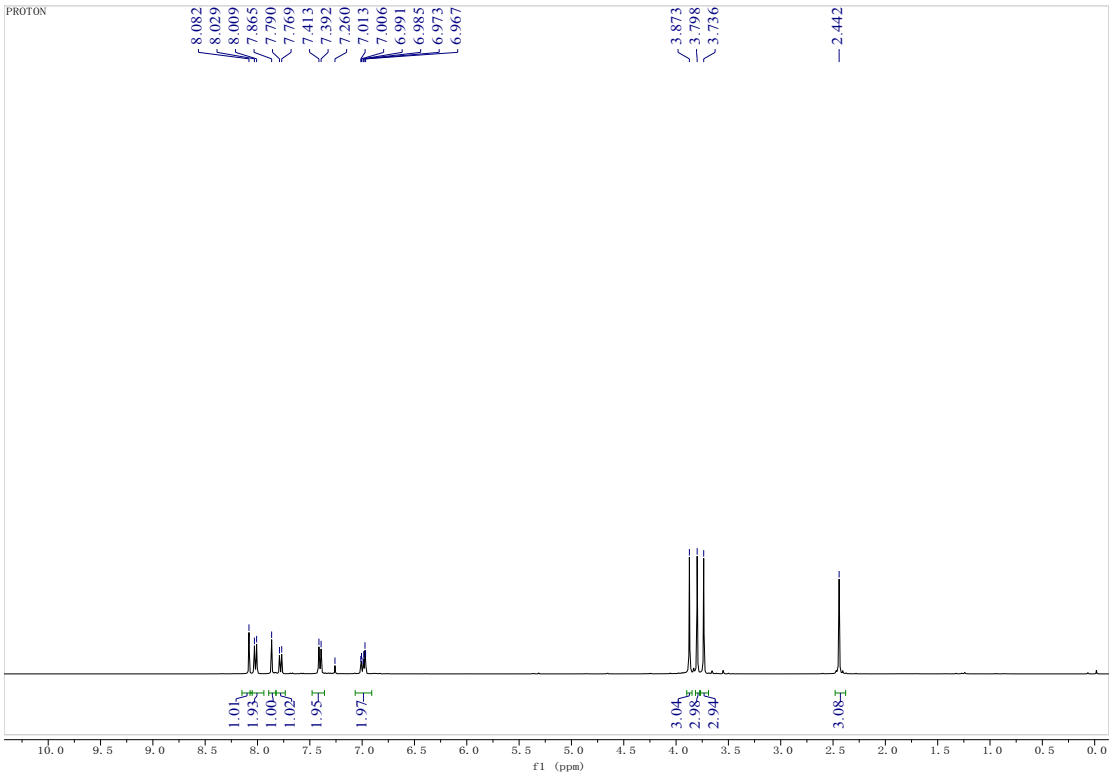
5h

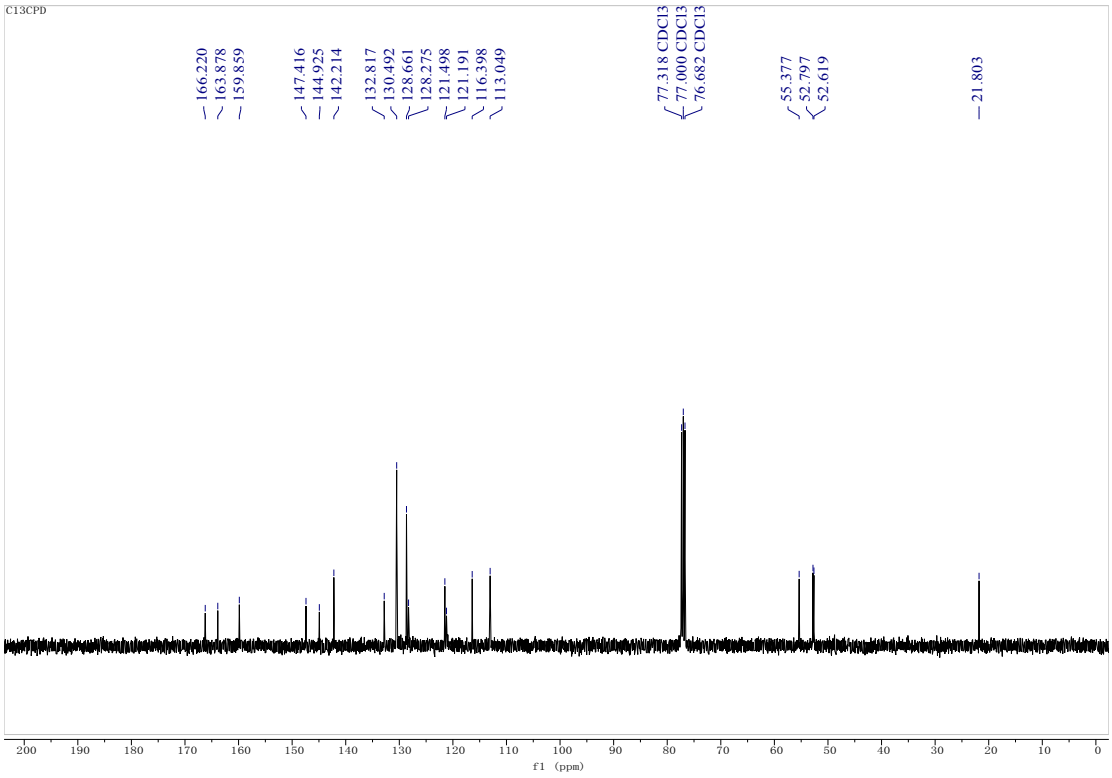

PROTON
8.205
8.070
8.050
7.983
7.961
7.732
7.706
7.591
7.572
7.481
7.463
7.443
7.422
7.403
7.385
7.366
7.260
3.909
3.720
2.469
1.03
2.00
1.95
2.12
2.16
5.06
3.42
3.26
3.37
f1 (ppm)

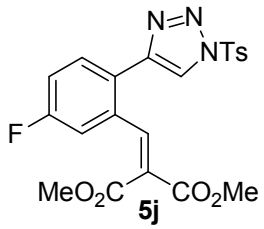

C13CPD
166.208
163.976
147.534
144.851
142.630
141.800
139.247
132.867
132.097
130.564
129.533
129.022
128.804
128.708
128.603
128.100
127.341
127.017
126.867
122.162
77.317 CDCl3
77.000 CDCl3
76.683 CDCl3
52.848
52.623
21.860
f1 (ppm)


N=N
NTs
MeO
MeO2C
CO2Me

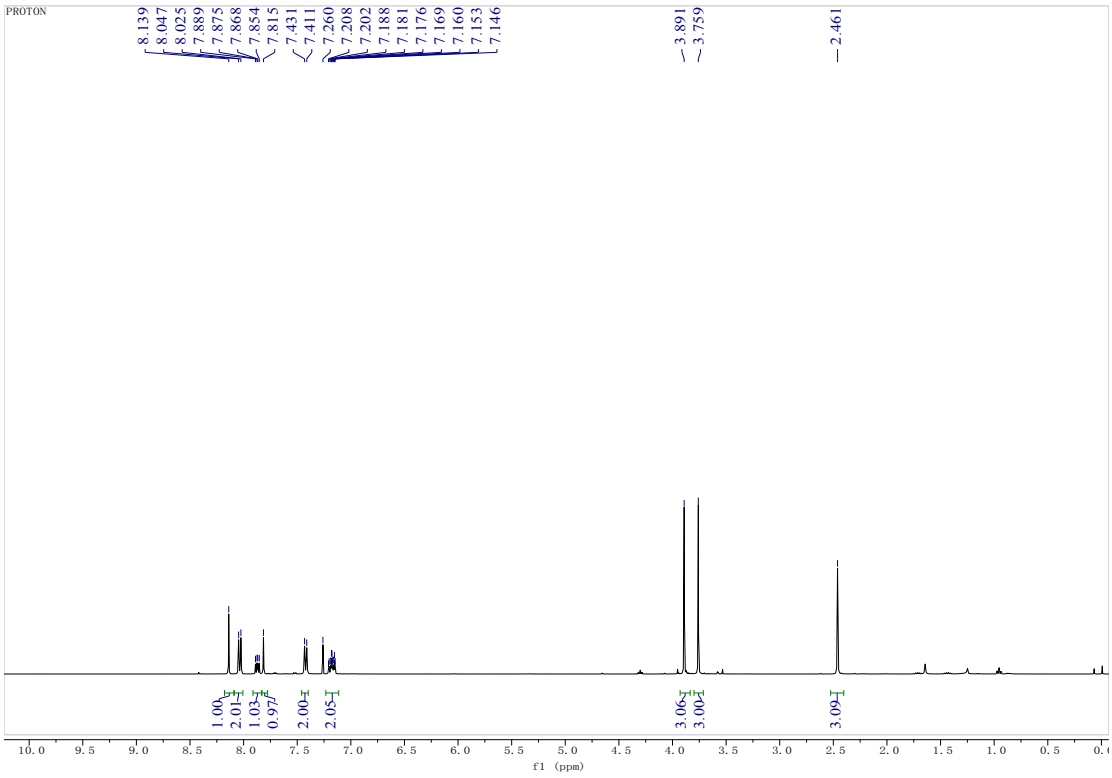
5i

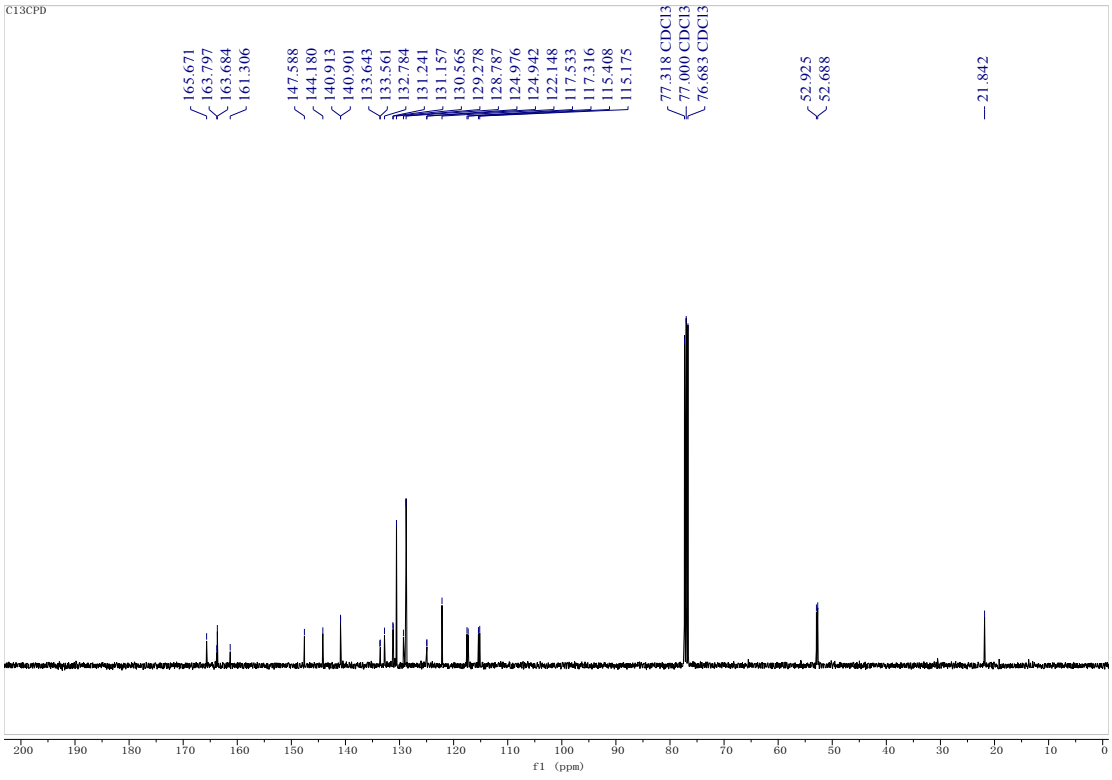

PROTON
8.082
8.029
8.009
7.865
7.790
7.769
7.413
7.392
7.260
7.013
7.006
6.991
6.985
6.973
6.967
3.873
3.798
3.736
2.442
1.01
1.93
1.00
1.02
1.95
1.97
3.04
2.98
2.94
3.08
f1 (ppm)

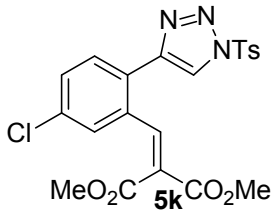

C13CPD
166.220
163.878
159.859
147.416
144.925
142.214
132.817
130.492
128.661
128.275
121.498
121.191
116.398
113.049
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
55.377
52.797
52.619
21.803
f1 (ppm)

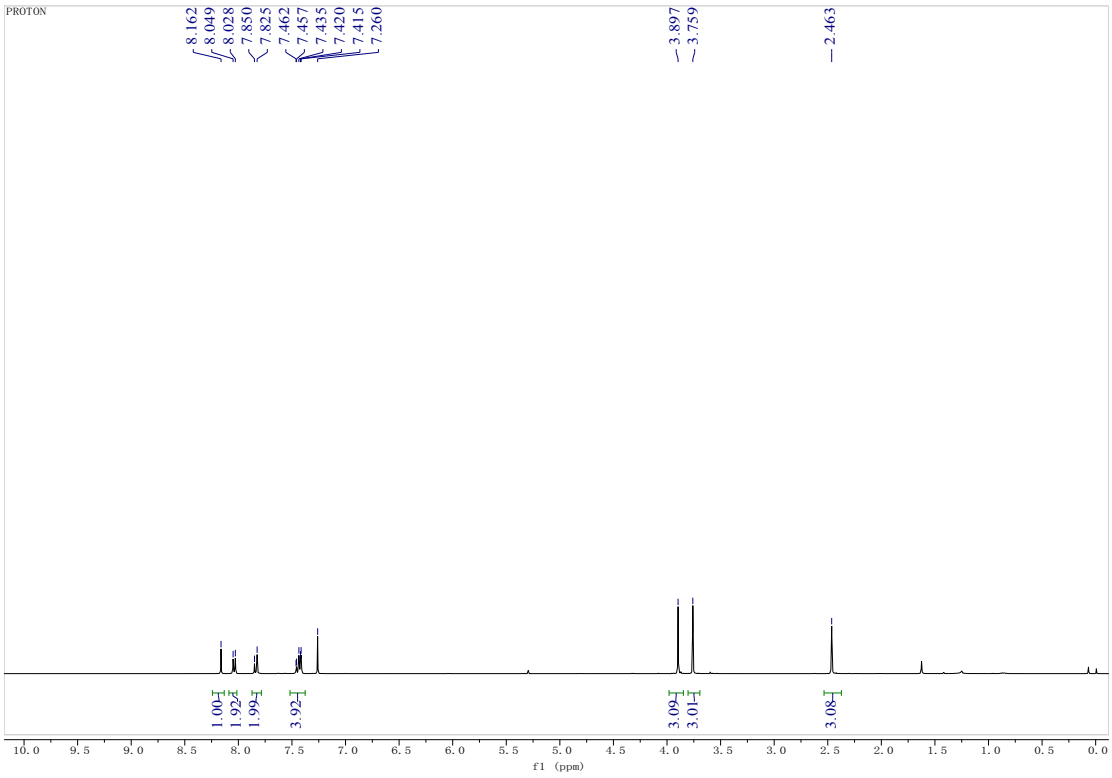

N=N
NTs
F
MeO2C
CO2Me

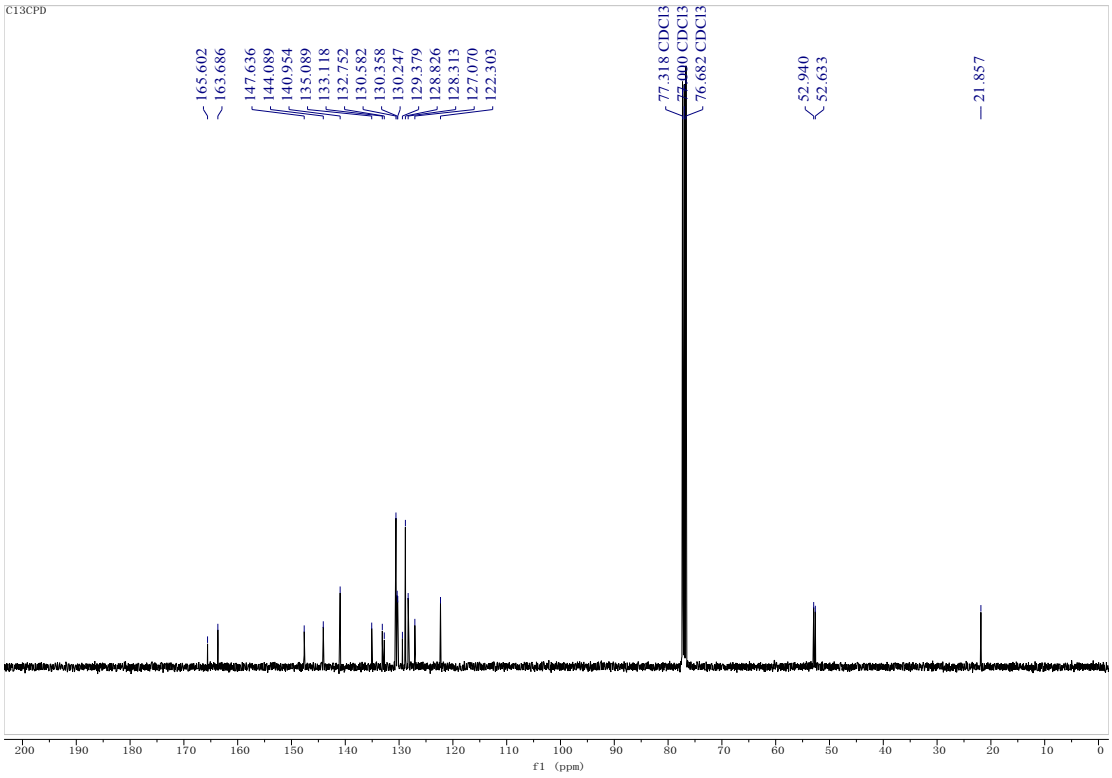
5j

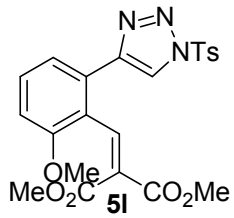

PROTON
8.139
8.047
8.025
7.889
7.875
7.868
7.854
7.815
7.431
7.411
7.260
7.208
7.202
7.188
7.181
7.176
7.169
7.160
7.153
7.146
3.891
3.759
2.461
1.00
2.01
1.03
0.97
2.00
2.05
3.06
3.00
3.09
f1 (ppm)


C13CPD
165.671
163.797
163.684
161.306
147.588
144.180
140.913
140.901
133.643
133.561
132.784
131.241
131.157
130.565
129.278
128.787
124.976
124.942
122.148
117.533
117.316
115.408
115.175
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
52.925
52.688
21.842
f1 (ppm)

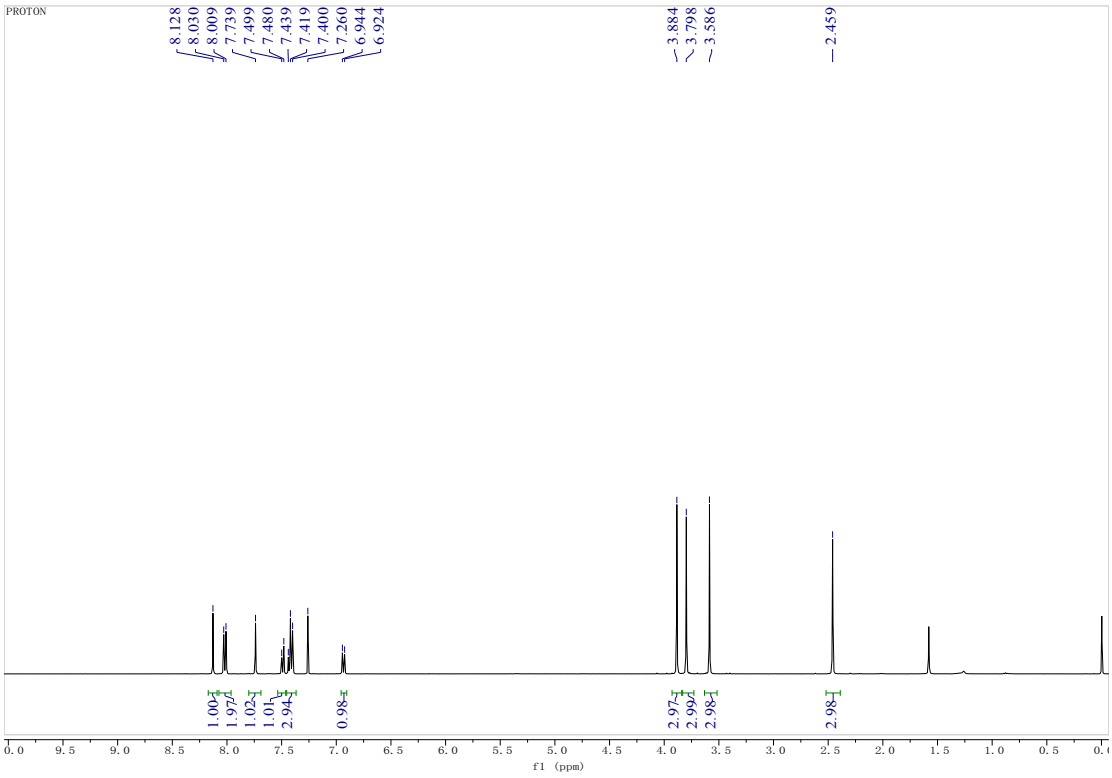

N=N
NTs
Cl
MeO2C
5k
CO2Me

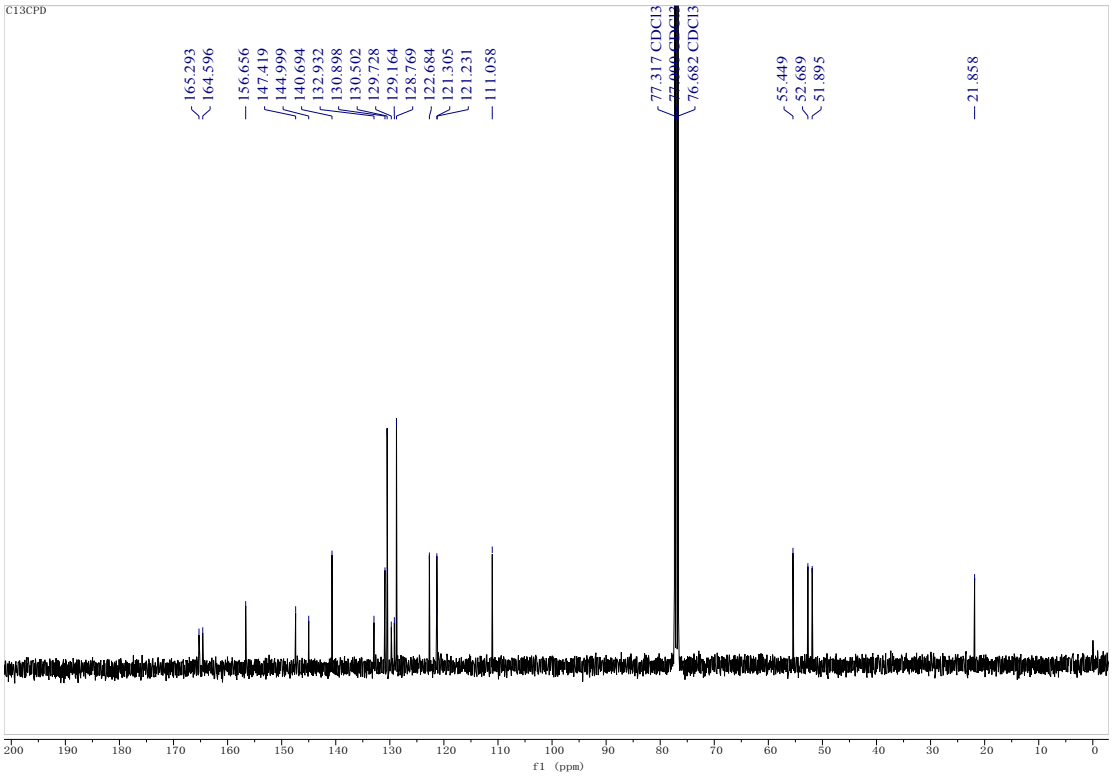

PROTON
8.162
8.049
8.028
7.850
7.825
7.462
7.457
7.435
7.420
7.415
7.260
3.897
3.759
2.463
1.00
1.92
1.99
3.92
3.09
3.01
3.08
f1 (ppm)

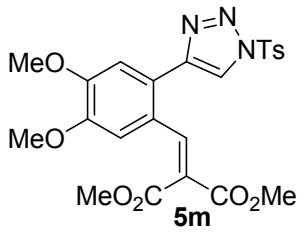

C13CPD
165.602
163.686
147.636
144.089
140.954
135.089
133.118
132.752
130.582
130.358
130.247
129.379
128.826
128.313
127.070
122.303
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
52.940
52.633
21.857
f1 (ppm)


N=N
NTs
OMe
MeO2C
CO2Me

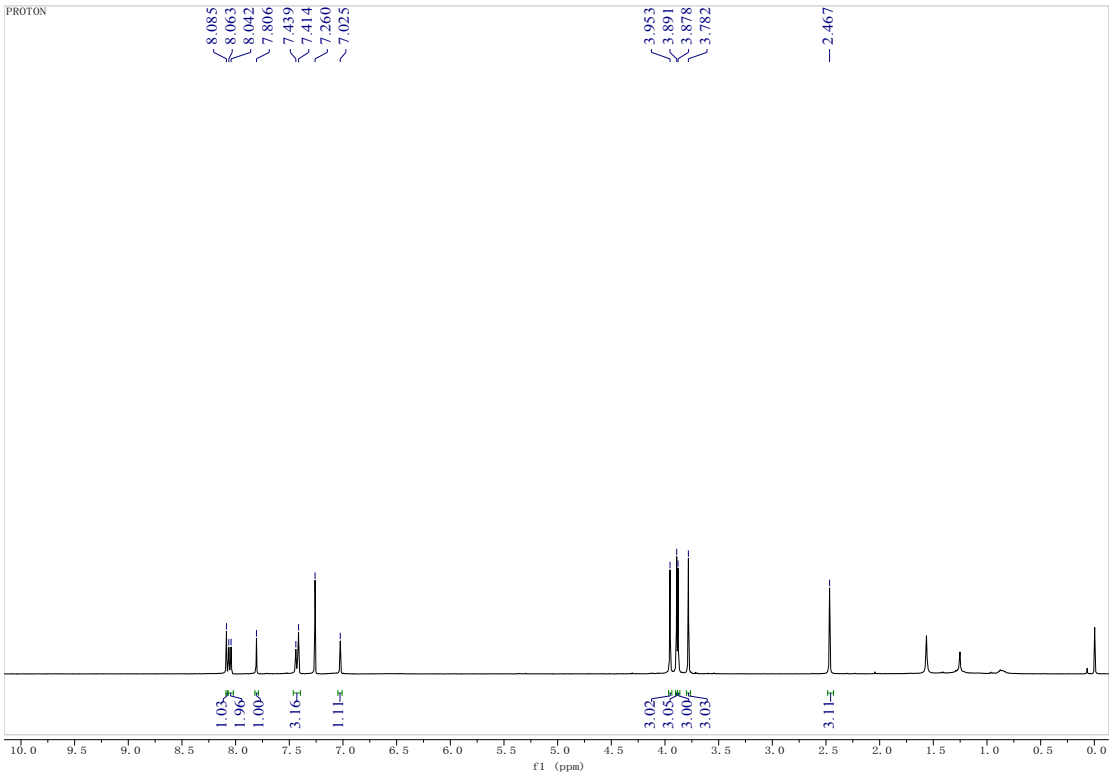
5l

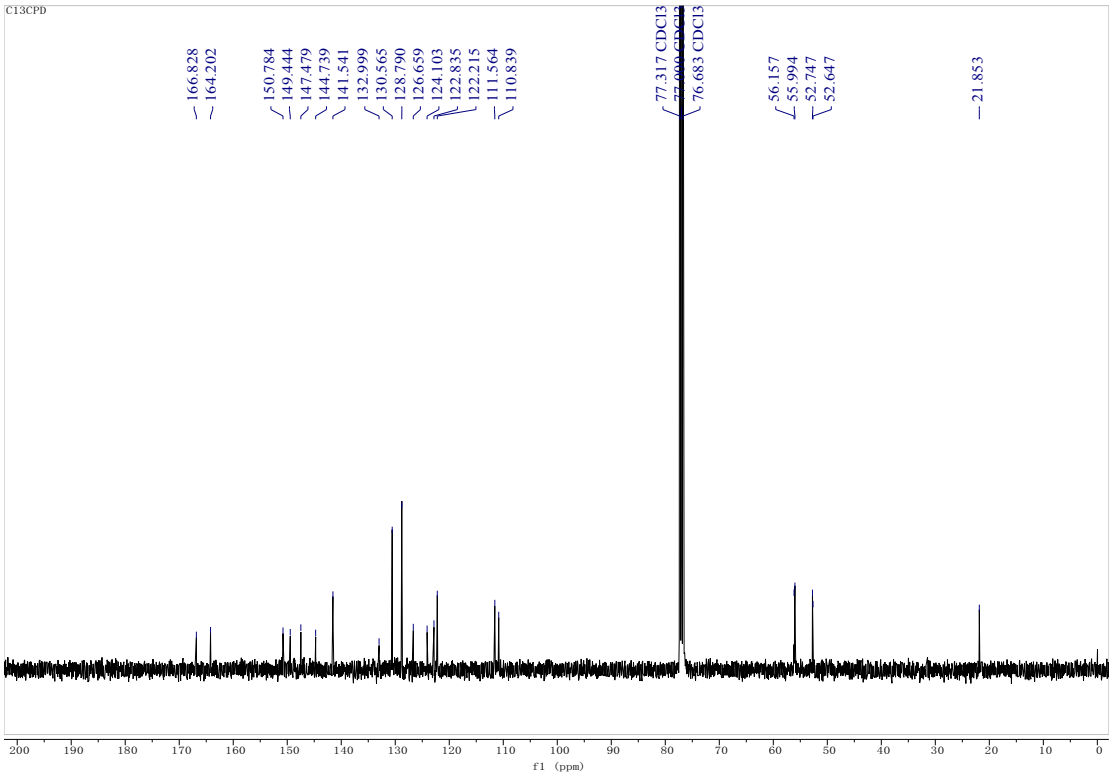

PROTON
8.128
8.030
8.009
7.739
7.499
7.480
7.439
7.419
7.400
7.260
6.944
6.924
3.884
3.798
3.586
2.459
1.00
1.97
1.02
1.01
2.94
0.98
2.97
2.99
2.98
2.98
f1 (ppm)

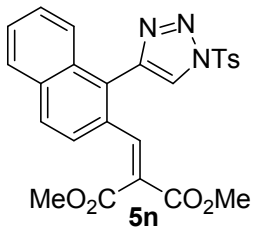

C13CPD
165.293
164.596
156.656
147.419
144.999
140.694
132.932
130.898
130.502
129.728
129.164
128.769
122.684
121.305
121.231
111.058
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
55.449
52.689
51.895
21.858
f1 (ppm)


N=N
NTs
MeO
MeO
MeO2C
CO2Me

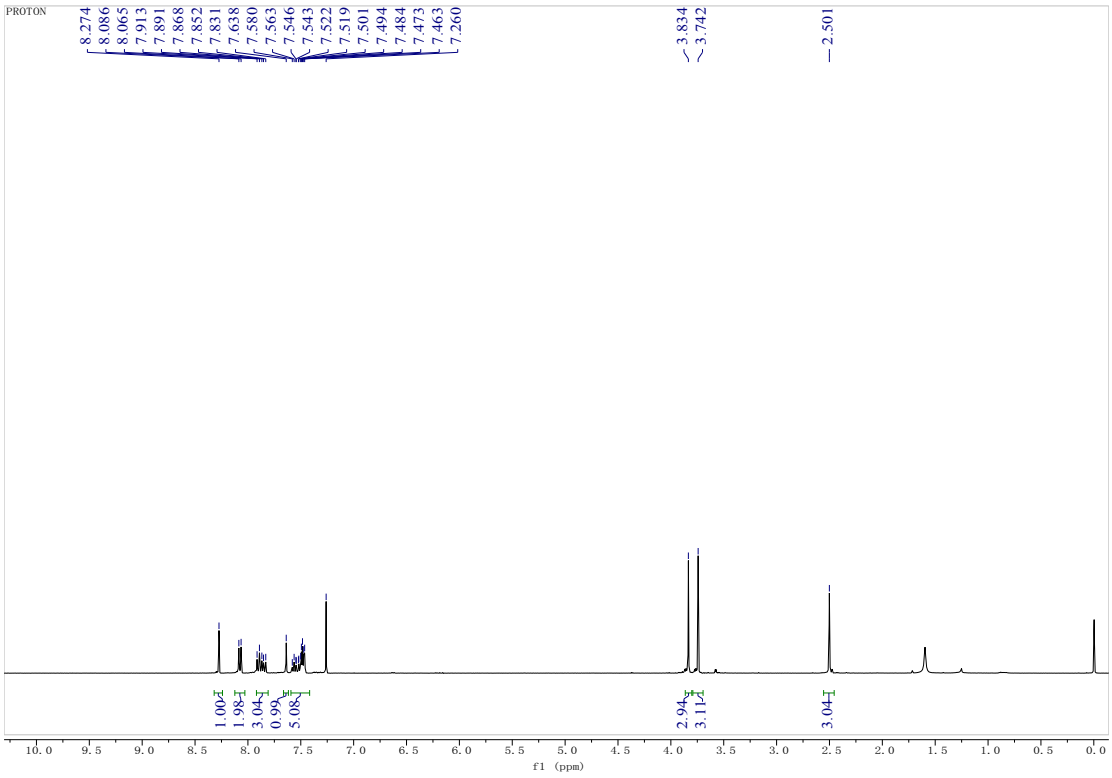
5m

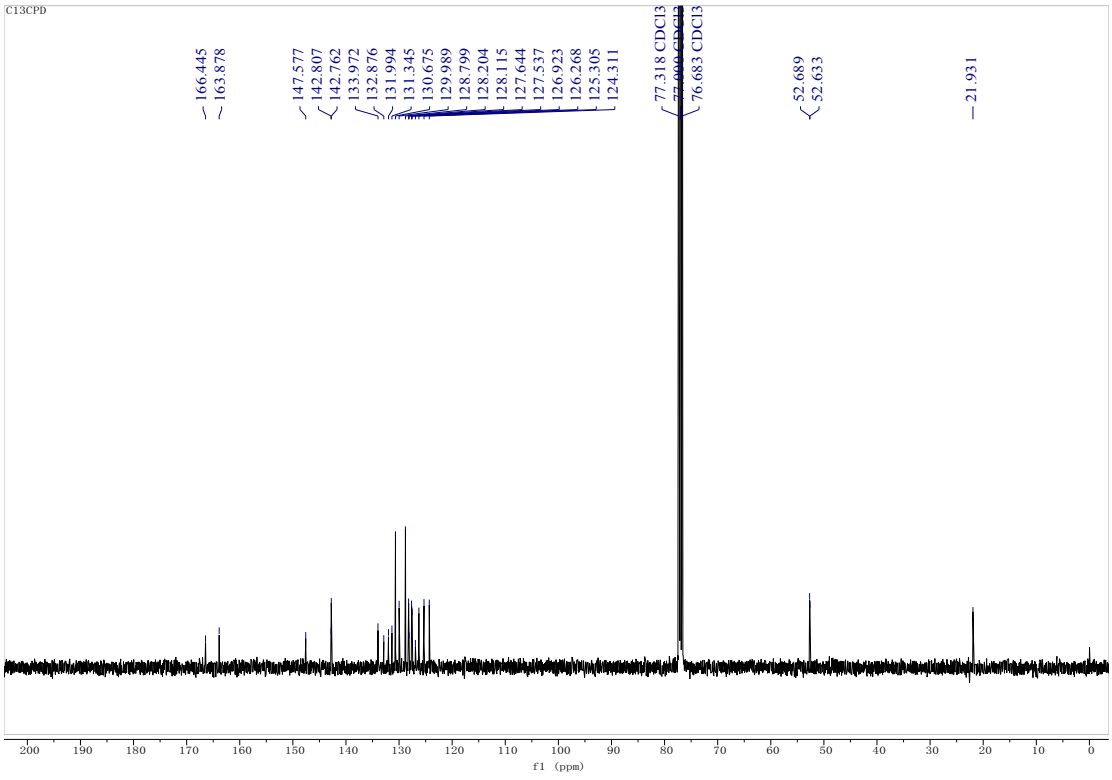

PROTON
8.085
8.063
8.042
7.806
7.439
7.414
7.260
7.025
3.953
3.891
3.878
3.782
2.467
1.03
1.96
1.00
3.16
1.11
3.02
3.05
3.00
3.03
3.11
f1 (ppm)

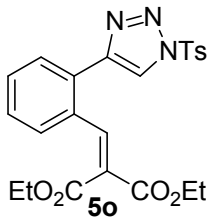

C13CPD
166.828
164.202
150.784
149.444
147.479
144.739
141.541
132.999
130.565
128.790
126.659
124.103
122.835
122.215
111.564
110.839
77.317 CDCl3
76.683 CDCl3
56.157
55.994
52.747
52.647
21.853
f1 (ppm)

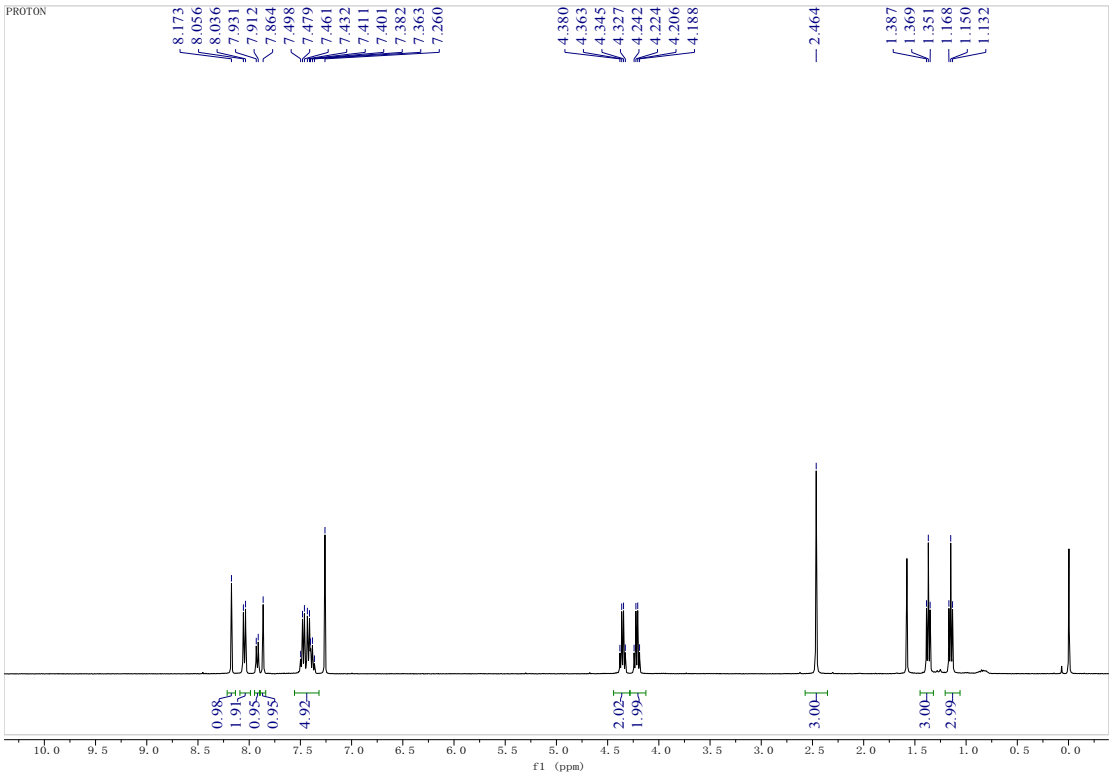

N=N
NTs
MeO2C
CO2Me

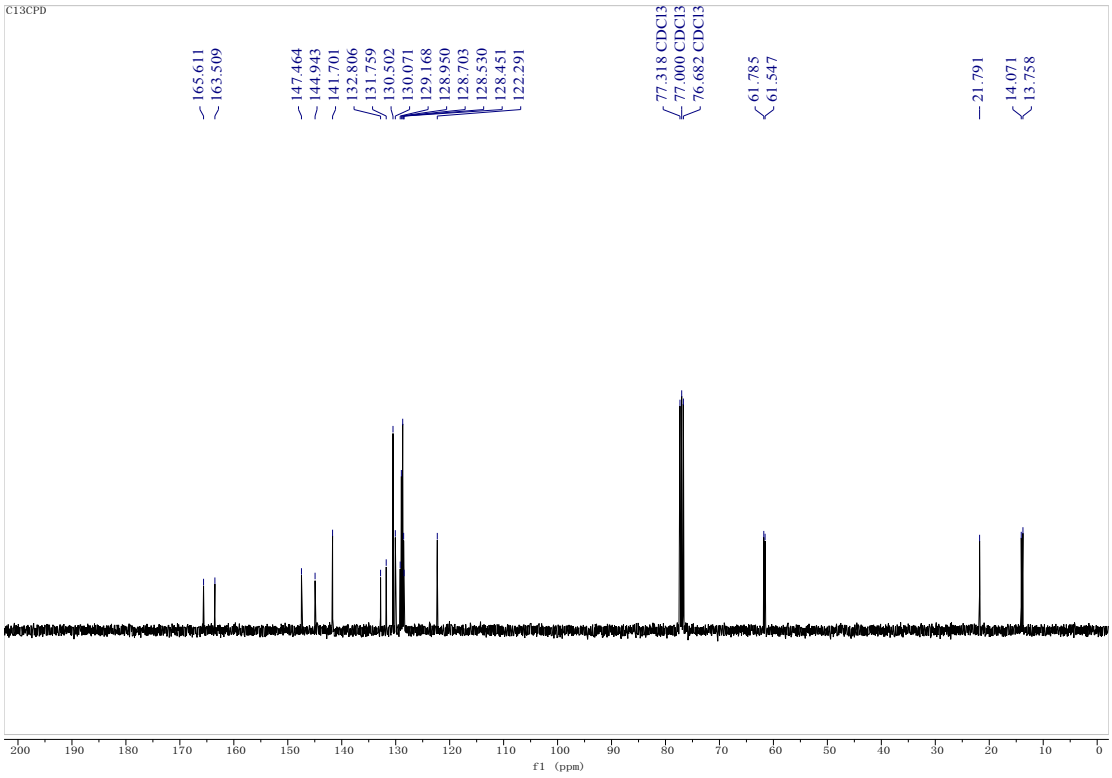
5n

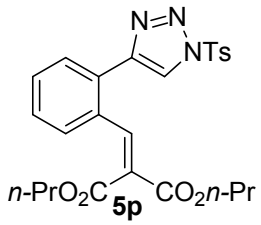

PROTON
8.274
8.086
8.065
7.913
7.891
7.868
7.852
7.831
7.638
7.580
7.563
7.546
7.543
7.522
7.519
7.501
7.494
7.484
7.473
7.463
7.260
3.834
3.742
2.501
1.00
1.98
3.04
0.99
5.08
2.94
3.11
3.04
f1 (ppm)

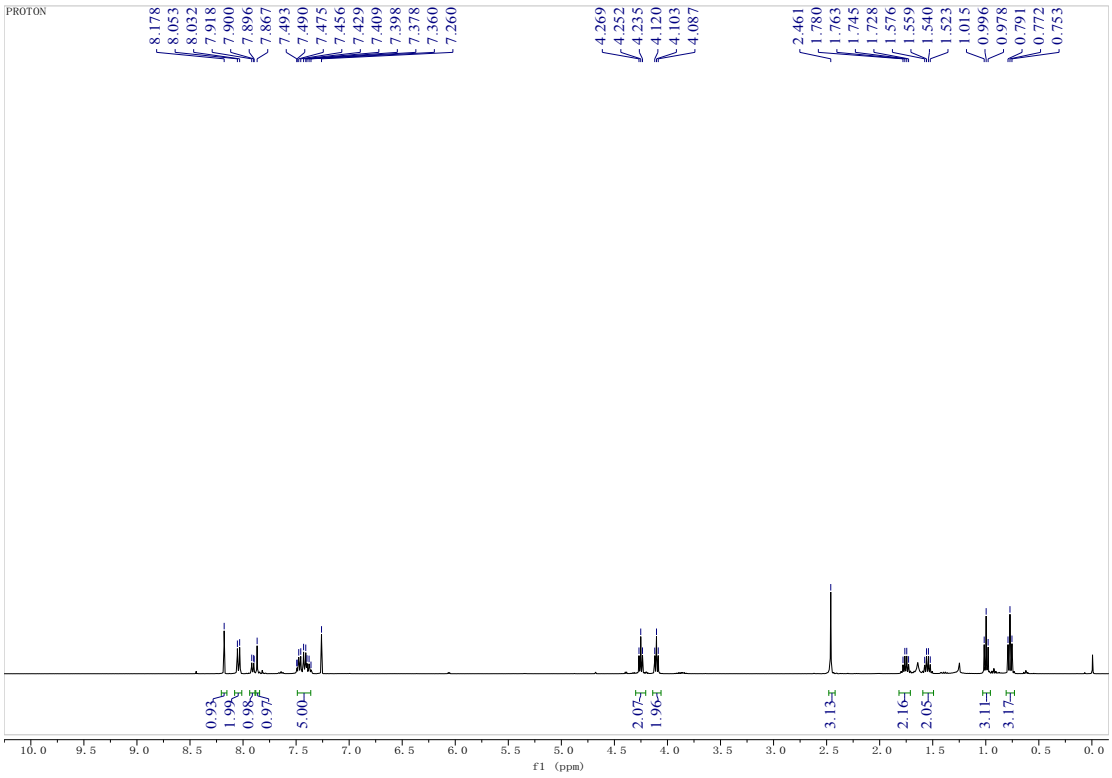

C13CPD
166.445
163.878
147.577
142.807
142.762
133.972
132.876
131.994
131.345
130.675
129.989
128.799
128.204
128.115
127.644
127.537
126.923
126.268
125.305
124.311
77.318 CDCl3
76.683 CDCl3
52.689
52.633
21.931
f1 (ppm)

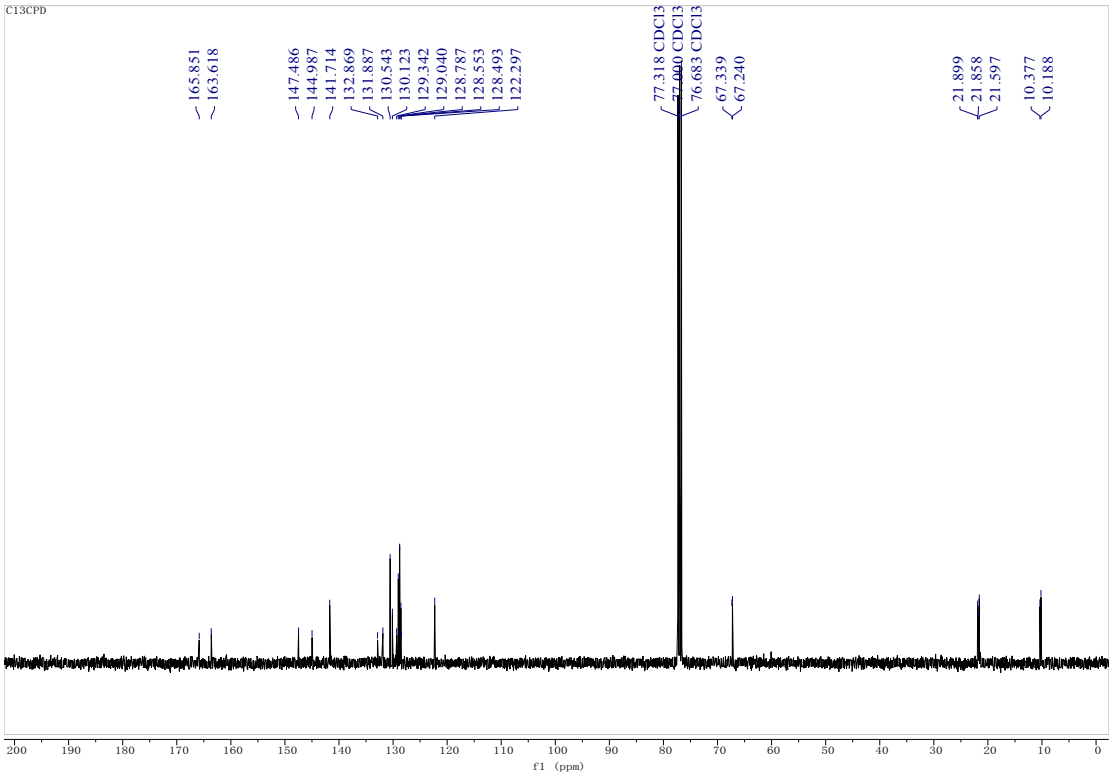

N=N
NTs
EtO2C
CO2Et
5o

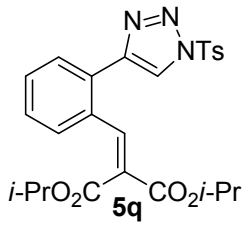

PROTON
8.173
8.056
8.036
7.931
7.912
7.864
7.498
7.479
7.461
7.432
7.411
7.401
7.382
7.363
7.260
4.380
4.363
4.345
4.327
4.242
4.224
4.206
4.188
2.464
1.387
1.369
1.351
1.168
1.150
1.132
0.98
1.91
0.95
0.95
4.92
2.02
1.99
3.00
3.00
2.99
f1 (ppm)


C13CPD
165.611
163.509
147.464
144.943
141.701
132.806
131.759
130.502
130.071
129.168
128.950
128.703
128.530
128.451
122.291
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
61.785
61.547
21.791
14.071
13.758
f1 (ppm)

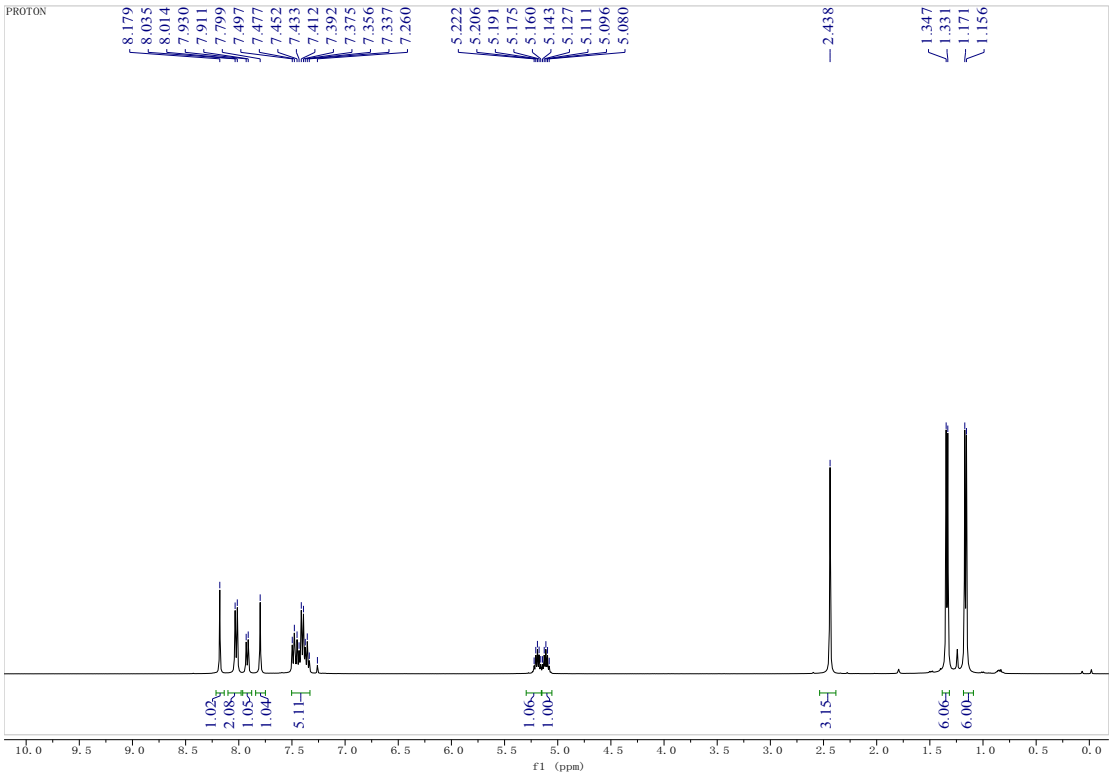

N=N
NTs
n-PrO2C
CO2n-Pr
5p

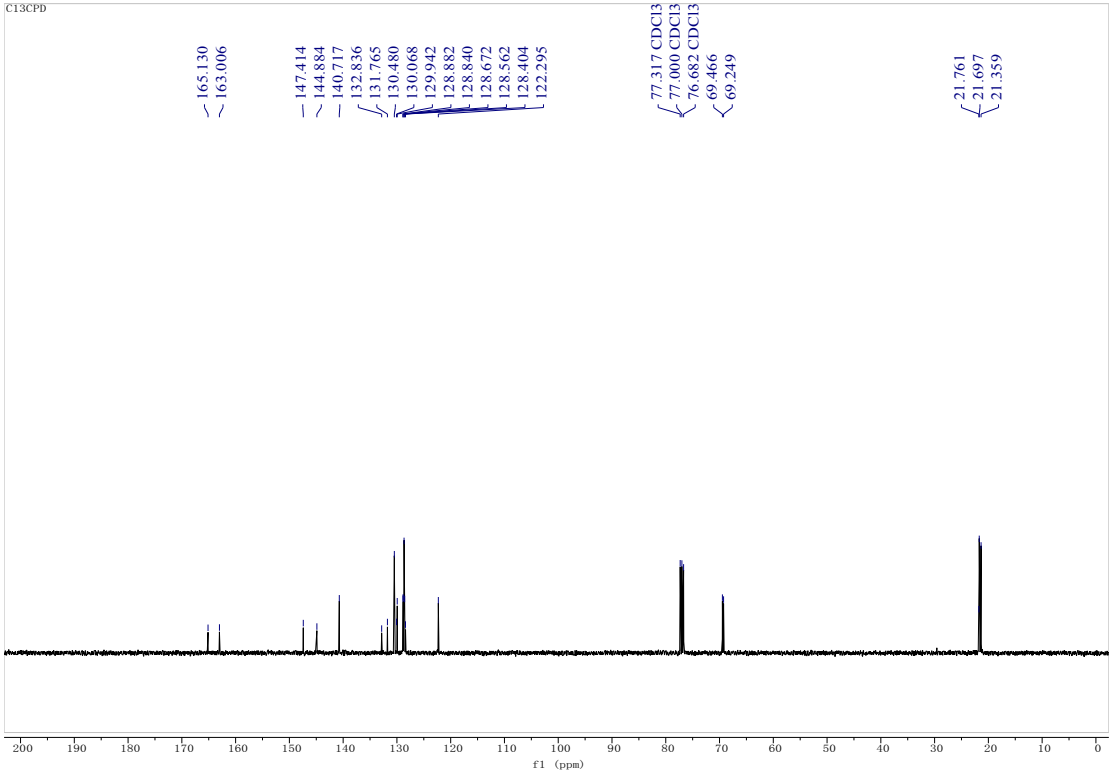

PROTON
8.178
8.053
8.032
7.918
7.900
7.896
7.867
7.493
7.490
7.475
7.456
7.429
7.409
7.398
7.378
7.360
7.260
4.269
4.252
4.235
4.120
4.103
4.087
2.461
1.780
1.763
1.745
1.728
1.576
1.559
1.540
1.523
1.015
0.996
0.978
0.791
0.772
0.753
0.93
1.99
0.98
0.97
5.00
2.07
1.96
3.13
2.16
2.05
3.11
3.17
f1 (ppm)

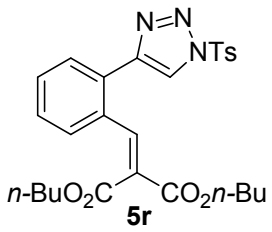

C13CPD
165.851
163.618
147.486
144.987
141.714
132.869
131.887
130.543
130.123
129.342
129.040
128.787
128.553
128.493
122.297
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
67.339
67.240
21.899
21.858
21.597
10.377
10.188
f1 (ppm)


N=N
NTs
i-PrO2C
CO2i-Pr

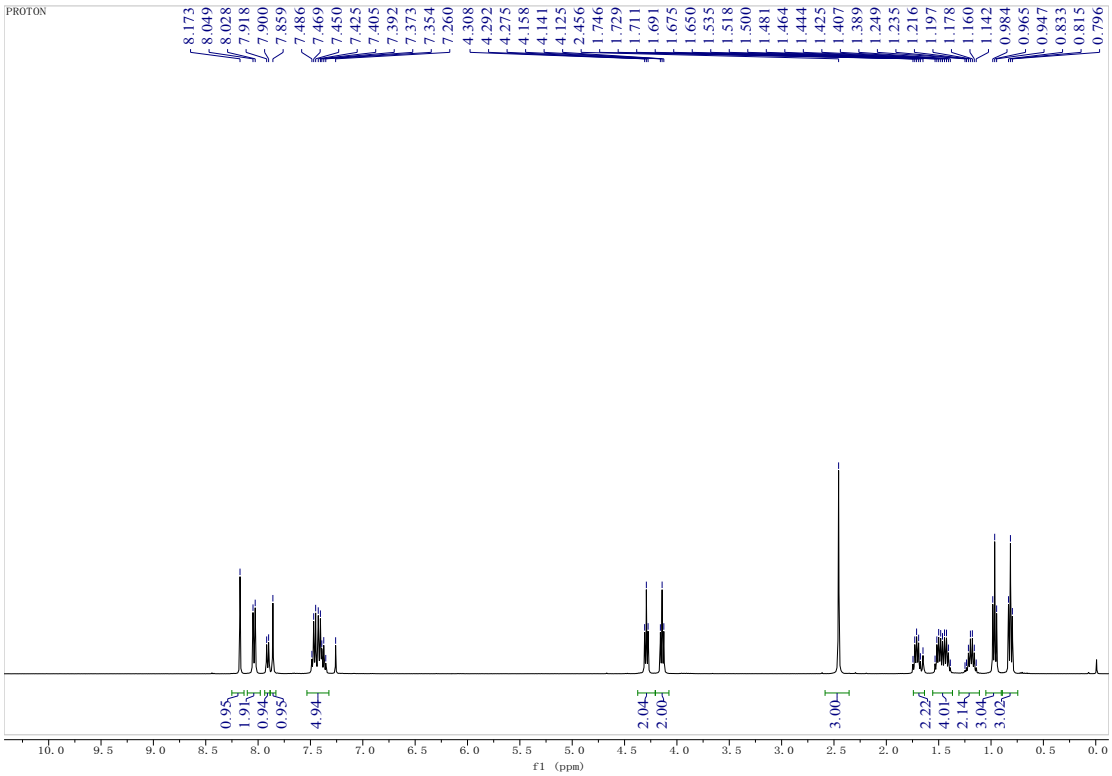
5q

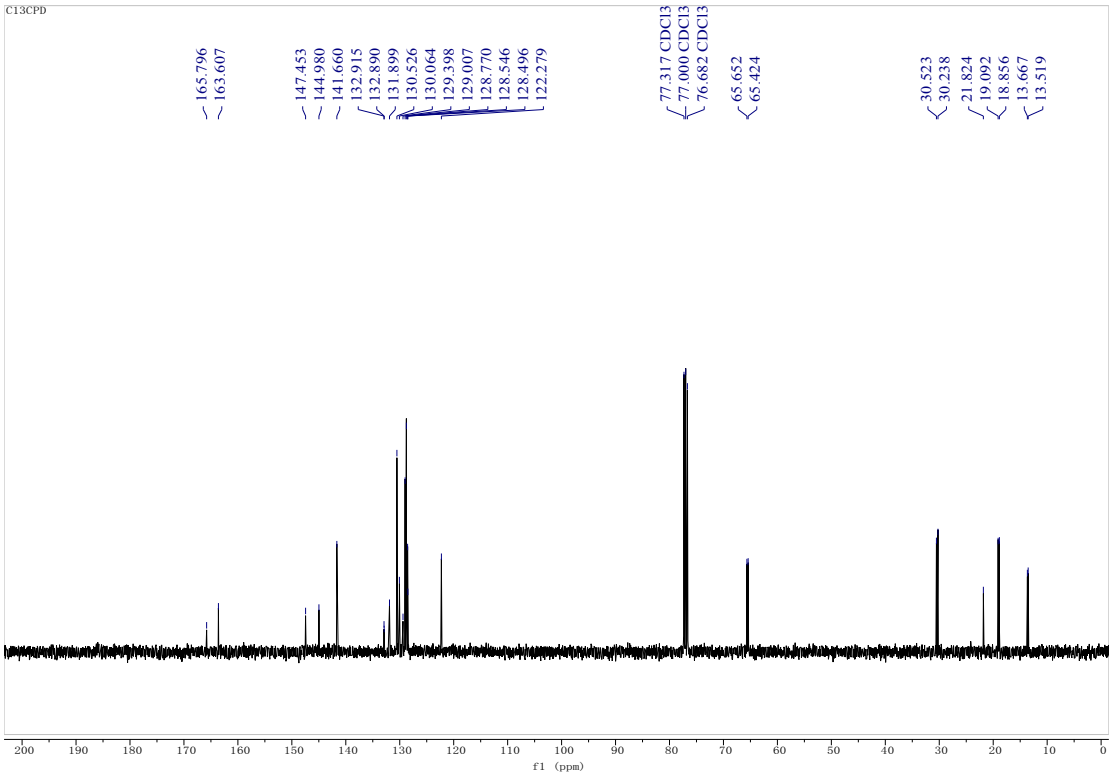

PROTON
8.179
8.035
8.014
7.930
7.911
7.799
7.497
7.477
7.452
7.433
7.412
7.392
7.375
7.356
7.337
7.260
5.222
5.206
5.191
5.175
5.160
5.143
5.127
5.111
5.096
5.080
2.438
1.347
1.331
1.171
1.156
1.02
2.08
1.05
1.04
5.11
1.06
1.00
3.15
6.06
6.00
f1 (ppm)

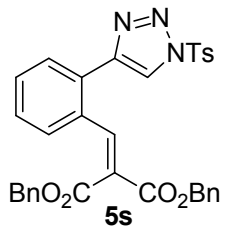

C13CPD
165.130
163.006
147.414
144.884
140.717
132.836
131.765
130.480
130.068
129.942
128.882
128.840
128.672
128.562
128.404
122.295
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
69.466
69.249
21.761
21.697
21.359
f1 (ppm)


N=N
NTs
n-BuO2C
CO2n-Bu

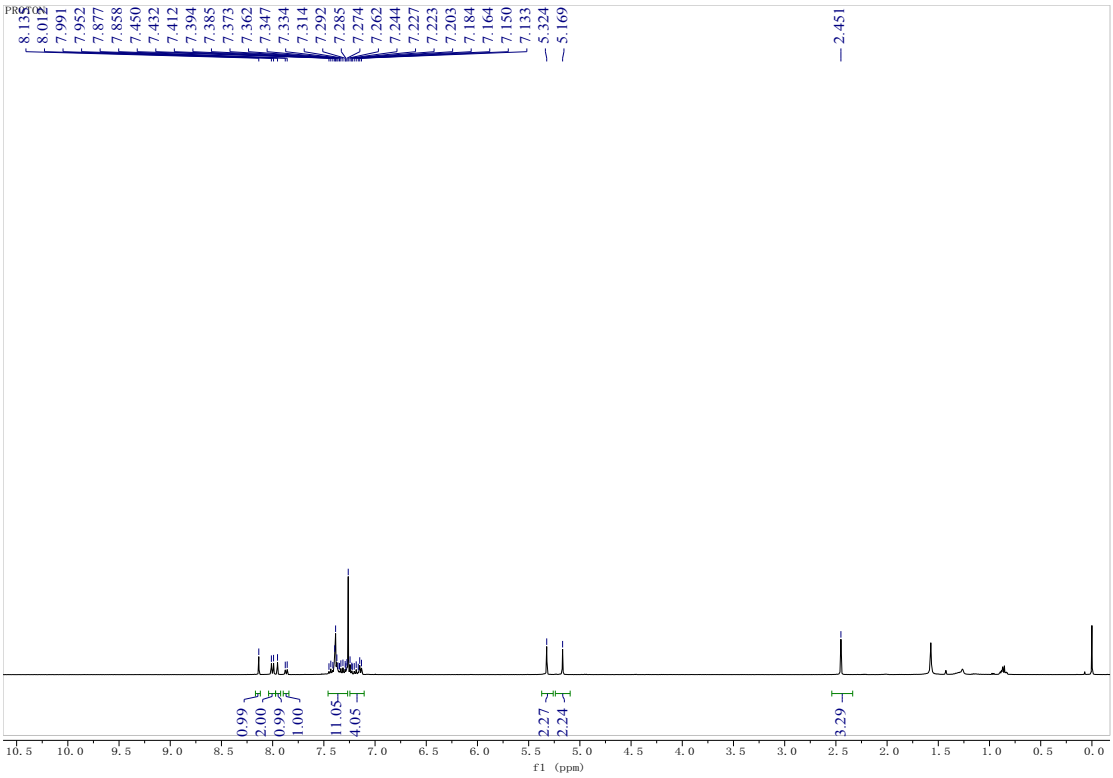
5r

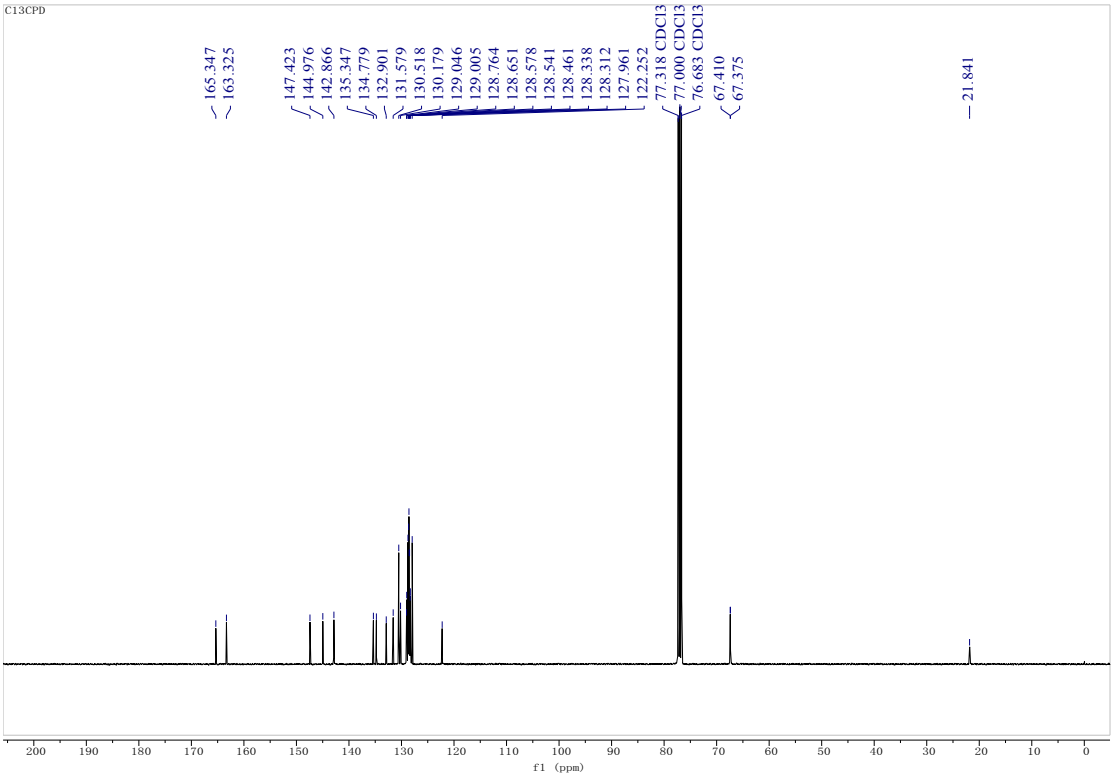

PROTON
8.173
8.049
8.028
7.918
7.900
7.859
7.486
7.469
7.450
7.425
7.405
7.392
7.373
7.354
7.260
4.308
4.292
4.275
4.158
4.141
4.125
2.456
1.746
1.729
1.711
1.691
1.675
1.650
1.535
1.518
1.500
1.481
1.464
1.444
1.425
1.407
1.389
1.249
1.235
1.216
1.197
1.178
1.160
1.142
0.984
0.965
0.947
0.833
0.815
0.796
0.95
1.91
0.94
0.95
4.94
2.04
2.00
3.00
2.22
4.01
2.14
3.04
3.02
f1 (ppm)

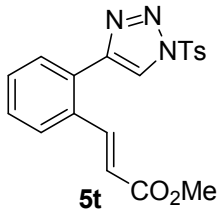

C13CPD
165.796
163.607
147.453
144.980
141.660
132.915
132.890
131.899
130.526
130.064
129.398
129.007
128.770
128.546
128.496
122.279
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
65.652
65.424
30.523
30.238
21.824
19.092
18.856
13.667
13.519
f1 (ppm)


N=N
NTs
BnO2C
CO2Bn

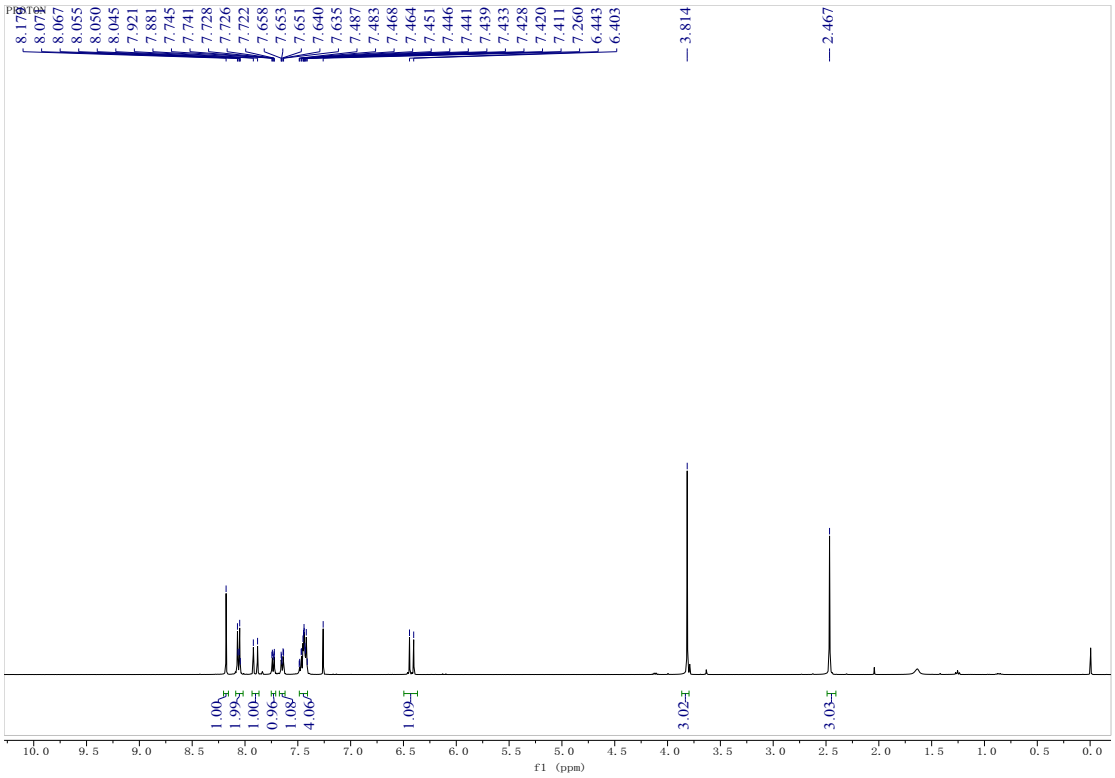
5s

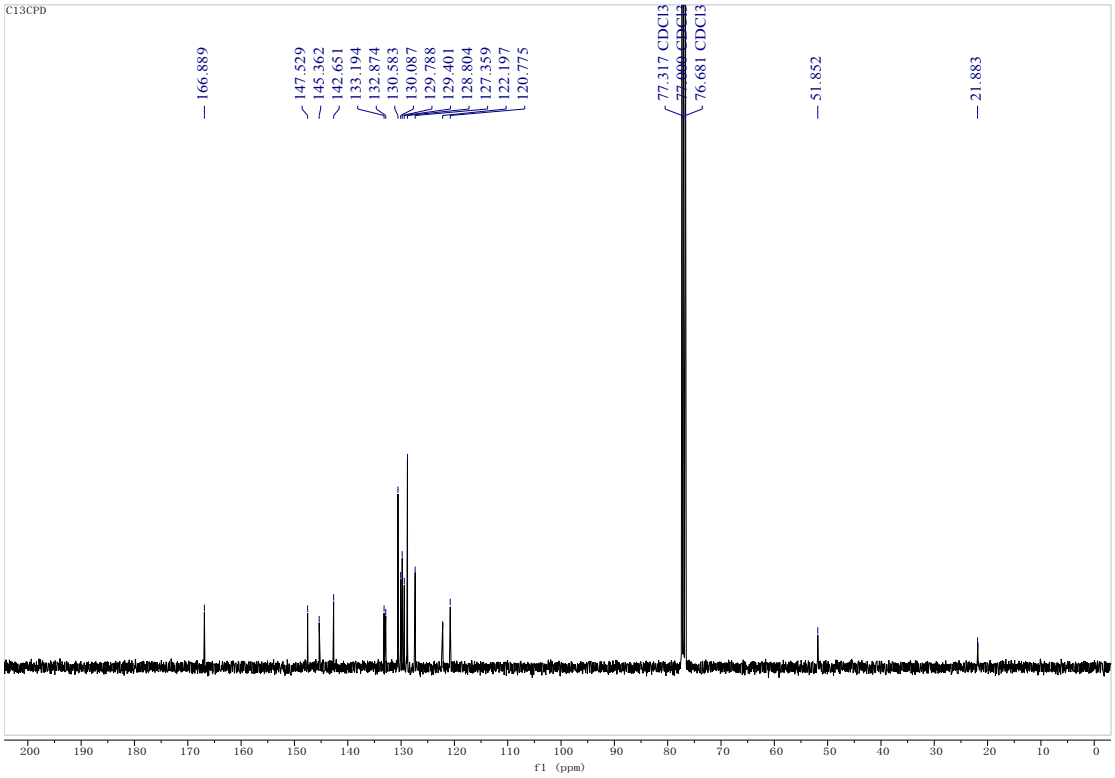

PROTON
8.137
8.012
7.991
7.952
7.877
7.858
7.450
7.432
7.412
7.394
7.385
7.373
7.362
7.347
7.334
7.314
7.292
7.285
7.274
7.262
7.244
7.227
7.223
7.203
7.184
7.164
7.150
7.133
5.324
5.169
2.451
0.99
2.00
0.99
1.00
11.05
4.05
2.27
2.24
3.29
f1 (ppm)

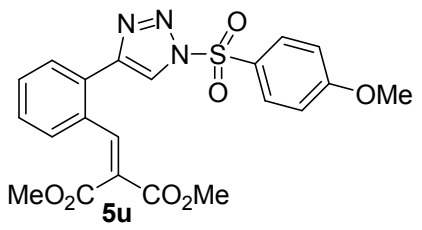

C13CPD
165.347
163.325
147.423
144.976
142.866
135.347
134.779
132.901
131.579
130.518
130.179
129.046
129.005
128.764
128.651
128.578
128.541
128.461
128.338
128.312
127.961
122.252
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
67.410
67.375
21.841
f1 (ppm)


N=N
NTs
CO2Me

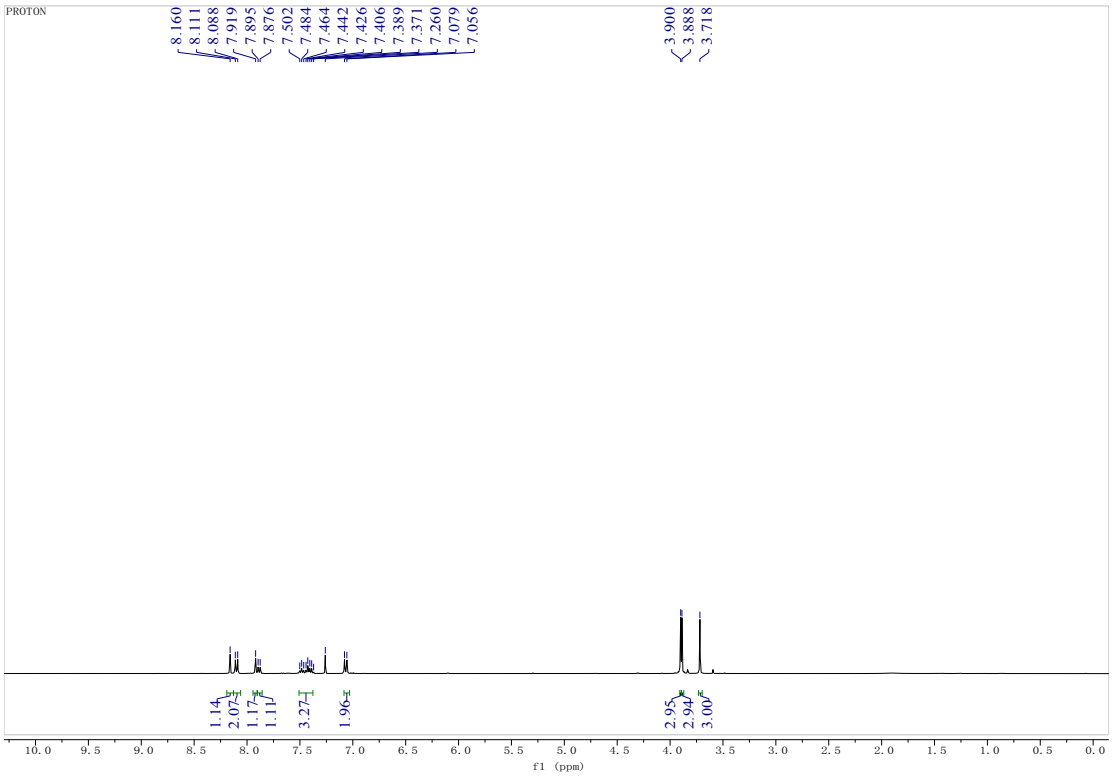
5t

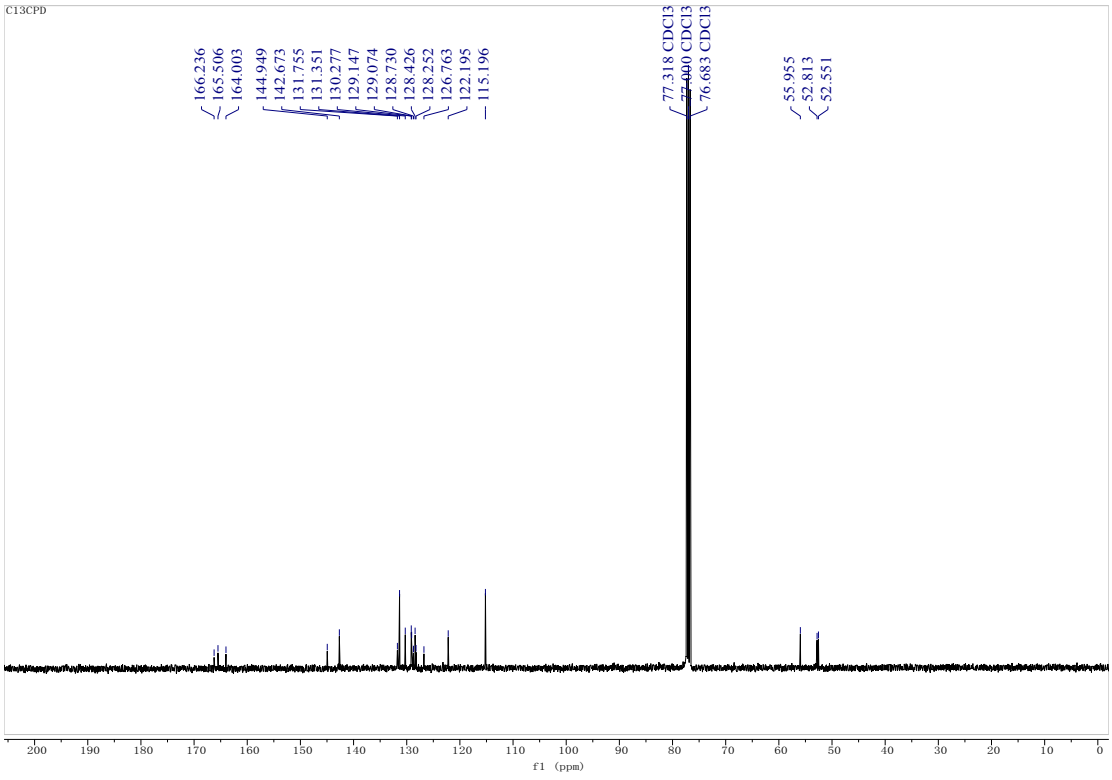

8.176
8.072
8.067
8.055
8.050
8.045
7.921
7.881
7.745
7.741
7.728
7.726
7.722
7.658
7.653
7.651
7.640
7.635
7.487
7.483
7.468
7.464
7.451
7.446
7.441
7.439
7.433
7.428
7.420
7.411
7.260
6.443
6.403
3.814
2.467
1.00
1.99
1.00
0.96
1.08
4.06
1.09
3.02
3.03
f1 (ppm)

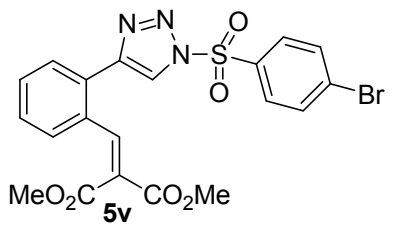

C13CPD
166.889
147.529
145.362
142.651
133.194
132.874
130.583
130.087
129.788
129.401
128.804
127.359
122.197
120.775
77.317 CDCl3
77.000 CDCl3
76.681 CDCl3
51.852
21.883
f1 (ppm)


N=N
N
S
O
O
OMe
MeO2C
CO2Me

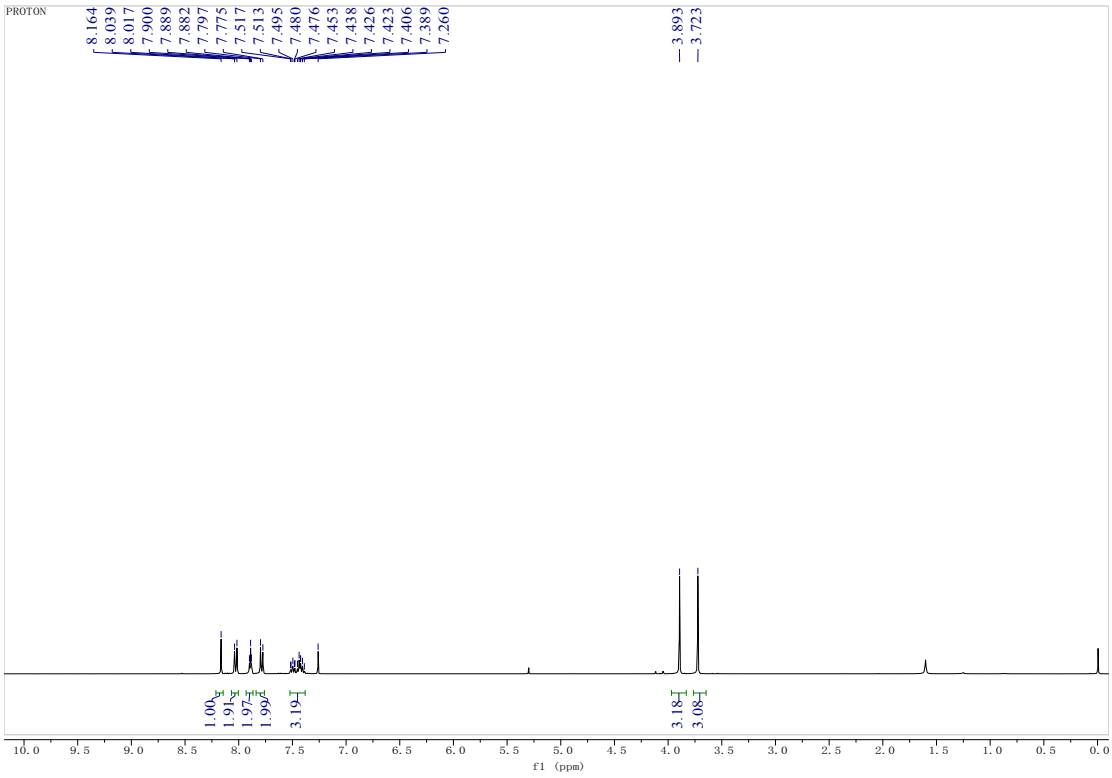
5u

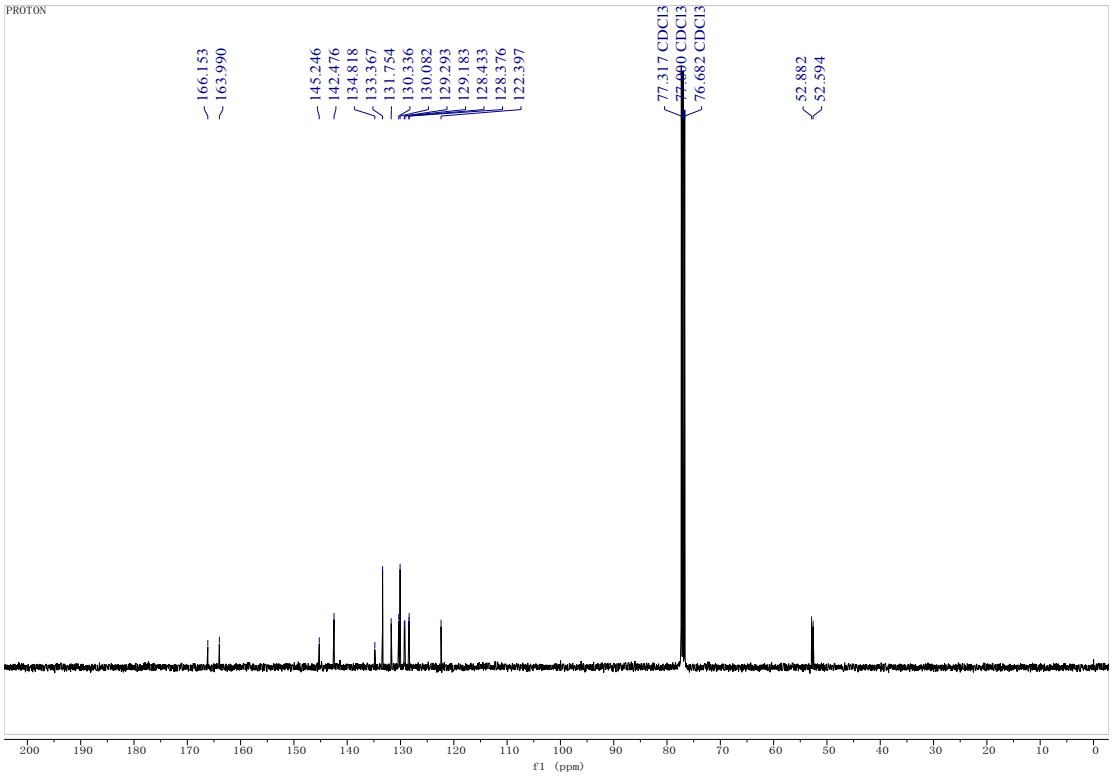

PROTON
8.160
8.111
8.088
7.919
7.895
7.876
7.502
7.484
7.464
7.442
7.426
7.406
7.389
7.371
7.260
7.079
7.056
3.900
3.888
3.718
1.14
2.07
1.17
1.11
3.27
1.96
2.95
2.94
3.00
f1 (ppm)

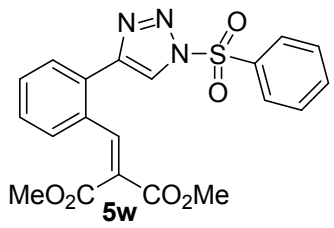

C13CPD
166.236
165.506
164.003
144.949
142.673
131.755
131.351
130.277
129.147
129.074
128.730
128.426
128.252
126.763
122.195
115.196
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
55.955
52.813
52.551
f1 (ppm)


N=N
N
S
O
O
Br
MeO2C
CO2Me

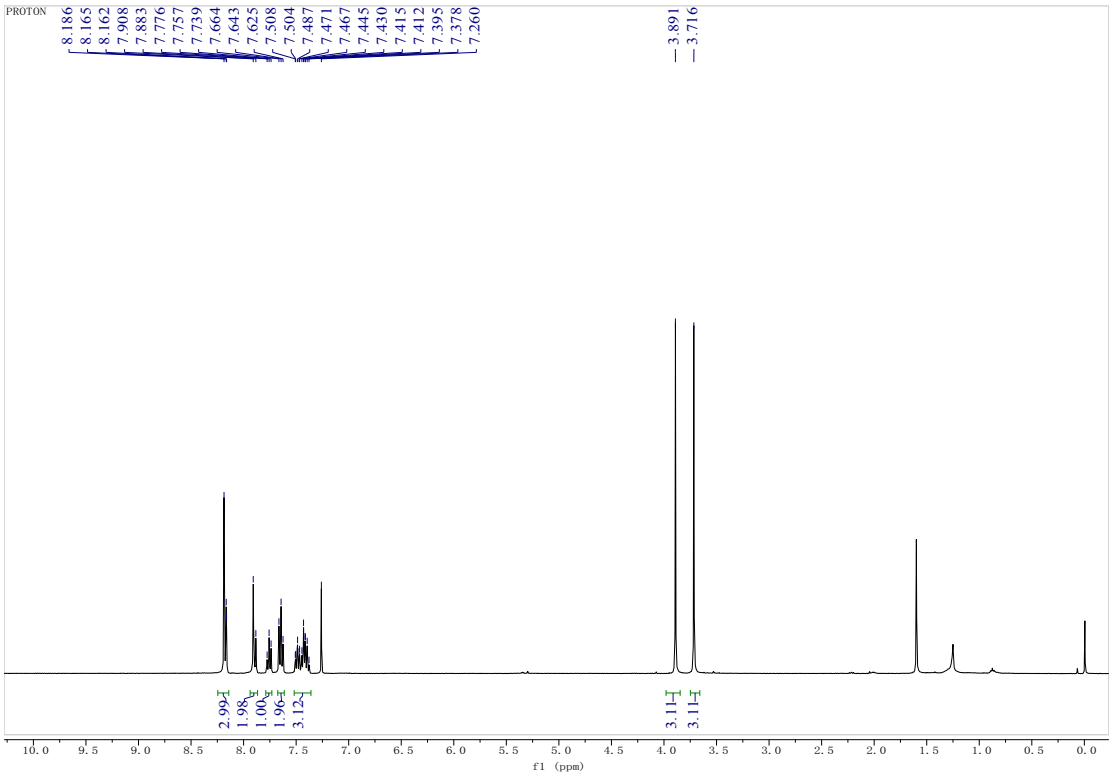
5v

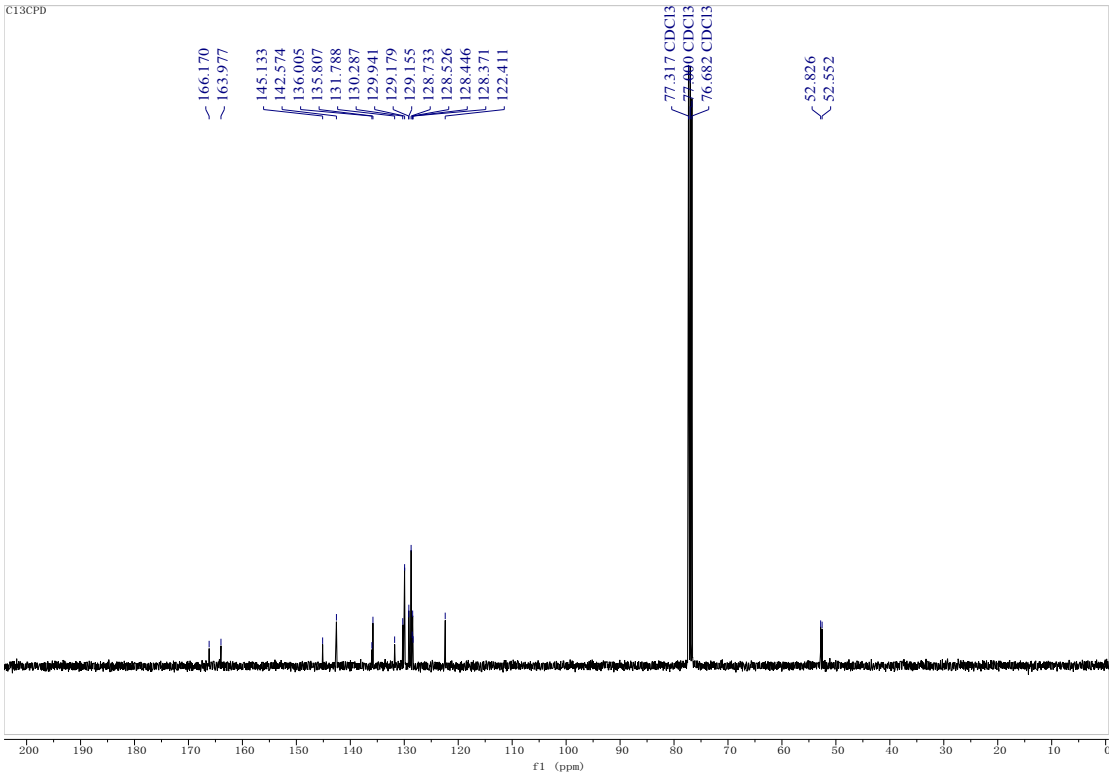

PROTON
8.164
8.039
8.017
7.900
7.889
7.882
7.797
7.775
7.517
7.513
7.495
7.480
7.476
7.453
7.438
7.426
7.423
7.406
7.389
7.260
3.893
3.723
1.00
1.91
1.97
1.99
3.19
3.18
3.08
f1 (ppm)

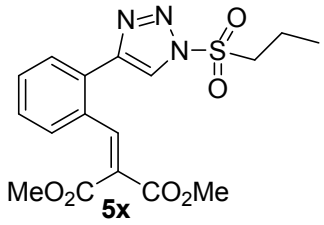

PROTON
166.153
163.990
145.246
142.476
134.818
133.367
131.754
130.336
130.082
129.293
129.183
128.433
128.376
122.397
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
52.882
52.594
f1 (ppm)

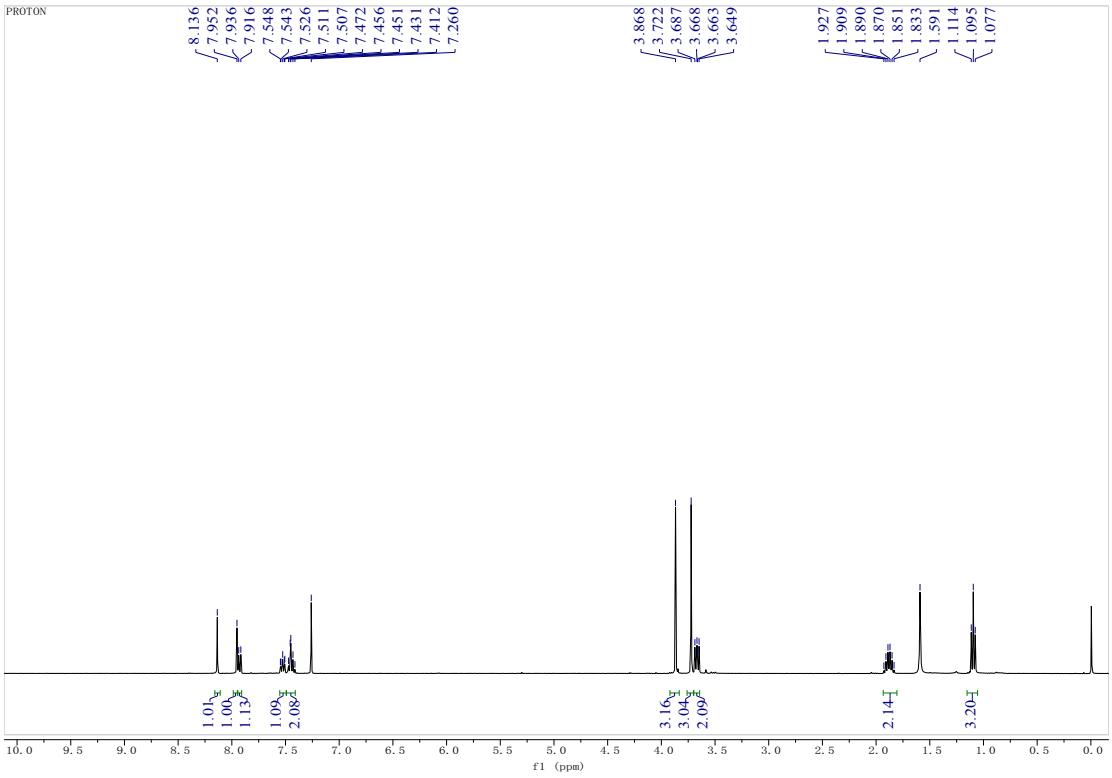

N=N
N
S
O
O
MeO2C
CO2Me

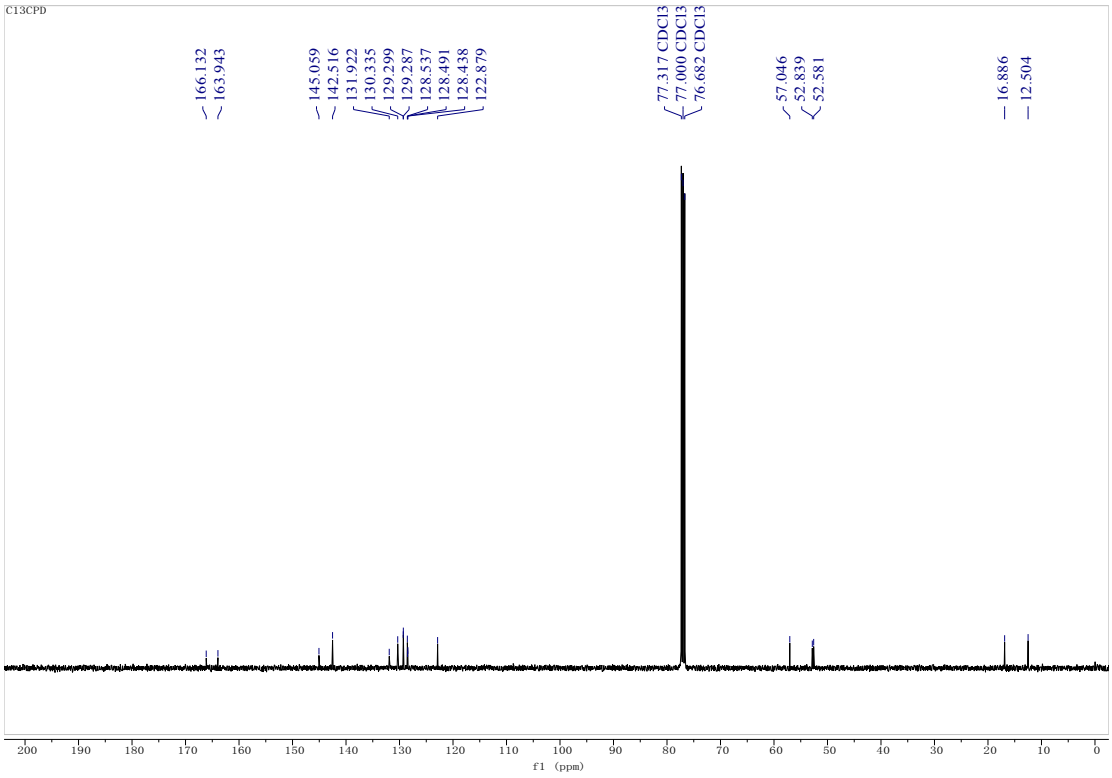
5w

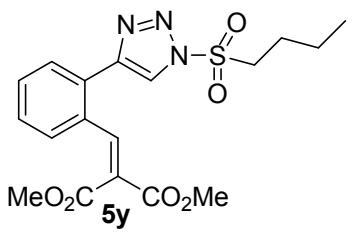

PROTON
8.186
8.165
8.162
7.908
7.883
7.776
7.757
7.739
7.664
7.643
7.625
7.508
7.504
7.487
7.471
7.467
7.445
7.430
7.415
7.412
7.395
7.378
7.260
3.891
3.716
2.99
1.98
1.00
1.96
3.12
3.11
3.11
f1 (ppm)


C13CPD
166.170
163.977
145.133
142.574
136.005
135.807
131.788
130.287
129.941
129.179
129.155
128.733
128.526
128.446
128.371
122.411
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
52.826
52.552
f1 (ppm)

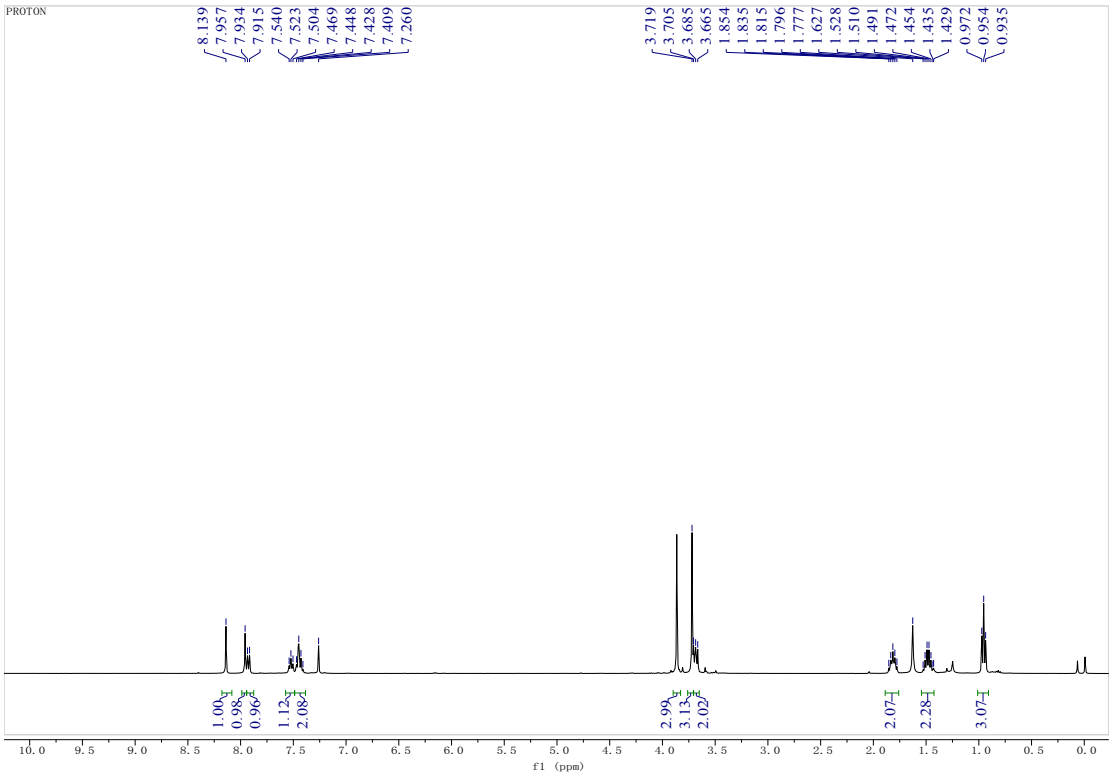

MeO2C
CO2Me
5x

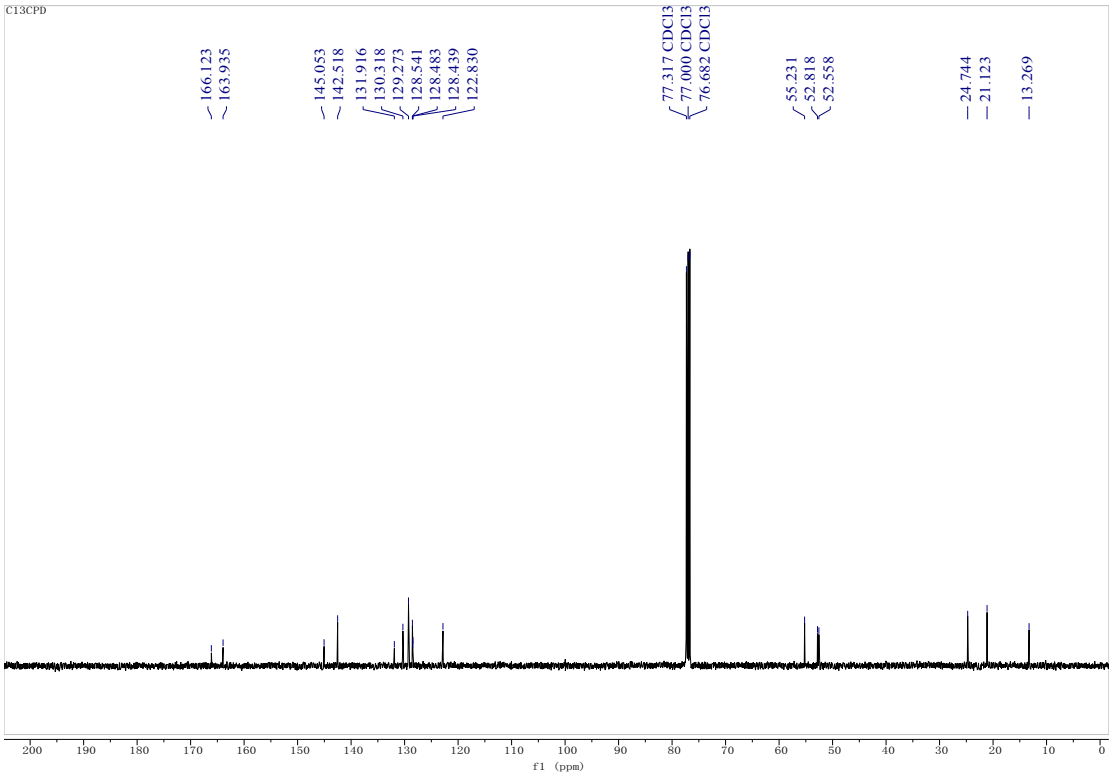

PROTON
8.136
7.952
7.936
7.916
7.548
7.543
7.526
7.511
7.507
7.472
7.456
7.451
7.431
7.412
7.260
3.868
3.722
3.687
3.668
3.663
3.649
1.927
1.909
1.890
1.870
1.851
1.833
1.591
1.114
1.095
1.077
1.01
1.00
1.13
1.09
2.08
3.16
3.04
2.09
2.14
3.20
f1 (ppm)

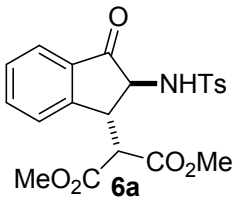

C13CPD
166.132
163.943
145.059
142.516
131.922
130.335
129.299
129.287
128.537
128.491
128.438
122.879
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
57.046
52.839
52.581
16.886
12.504
f1 (ppm)

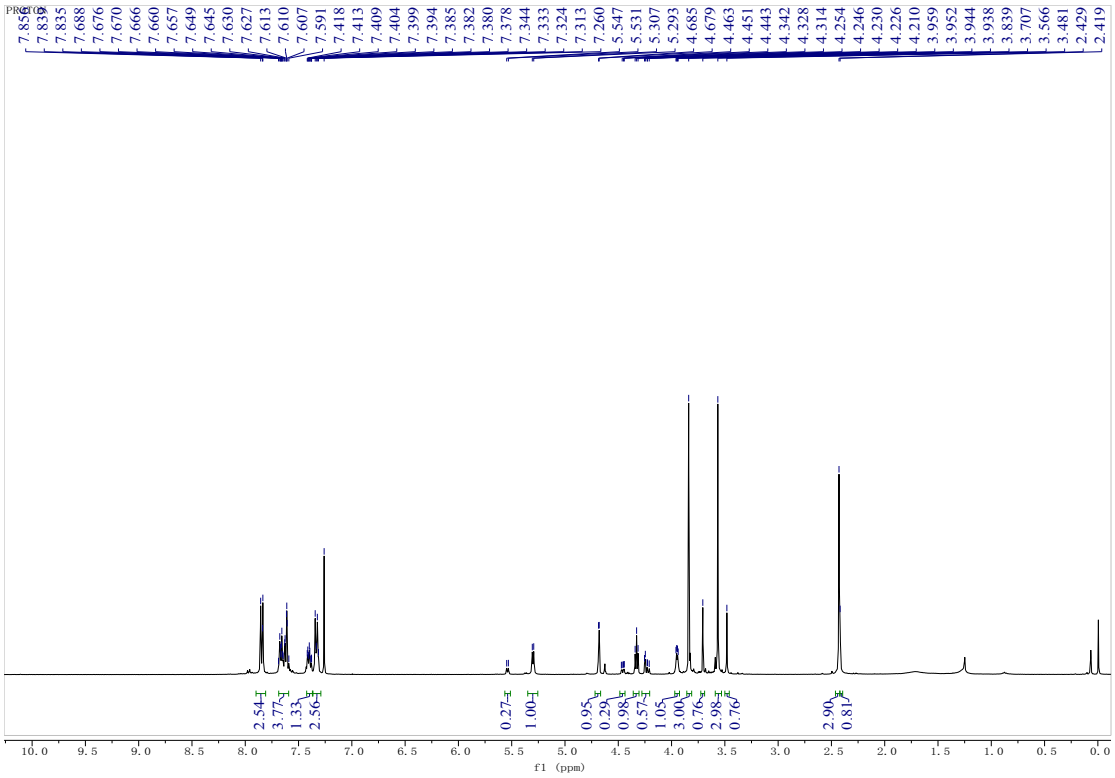

N=N
N
S
O
O
MeO2C
CO2Me

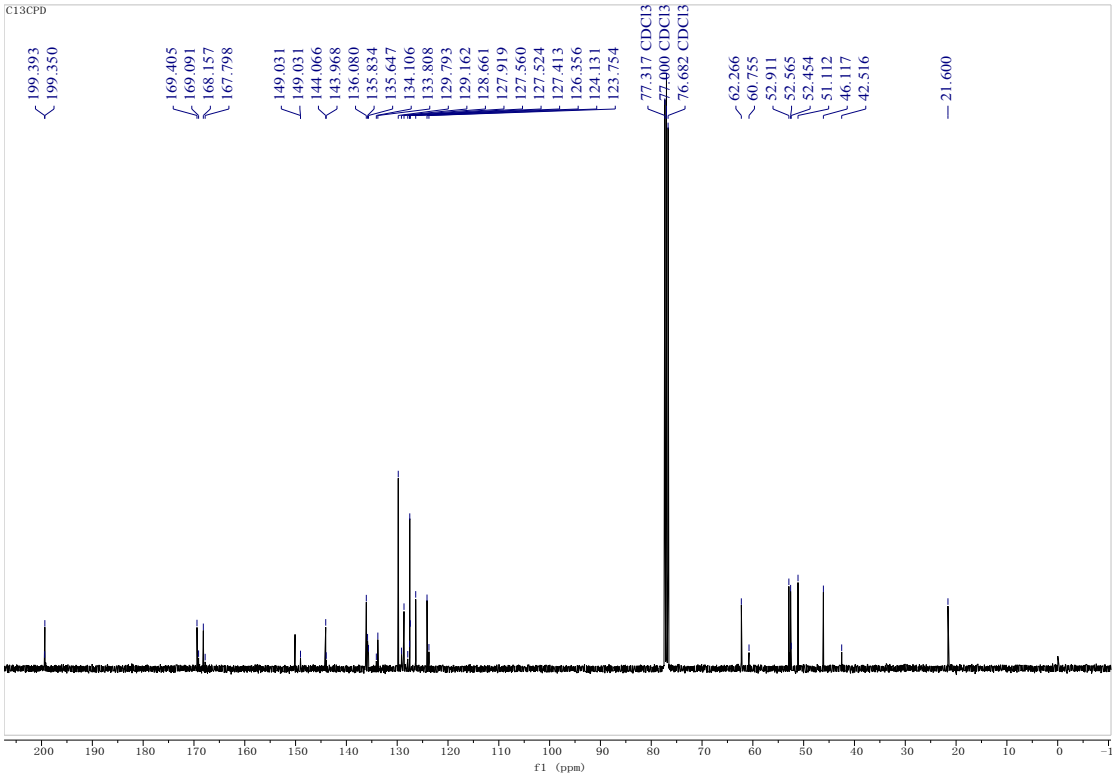
5y

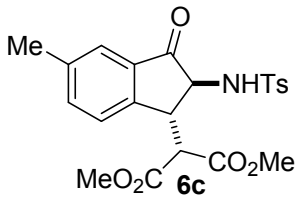

PROTON
8.139
7.957
7.934
7.915
7.540
7.523
7.504
7.469
7.448
7.428
7.409
7.260
3.719
3.705
3.685
3.665
1.854
1.835
1.815
1.796
1.777
1.627
1.528
1.510
1.491
1.472
1.454
1.435
1.429
0.972
0.954
0.935
1.00
0.98
0.96
1.12
2.08
2.99
3.13
2.02
2.07
2.28
3.07
f1 (ppm)

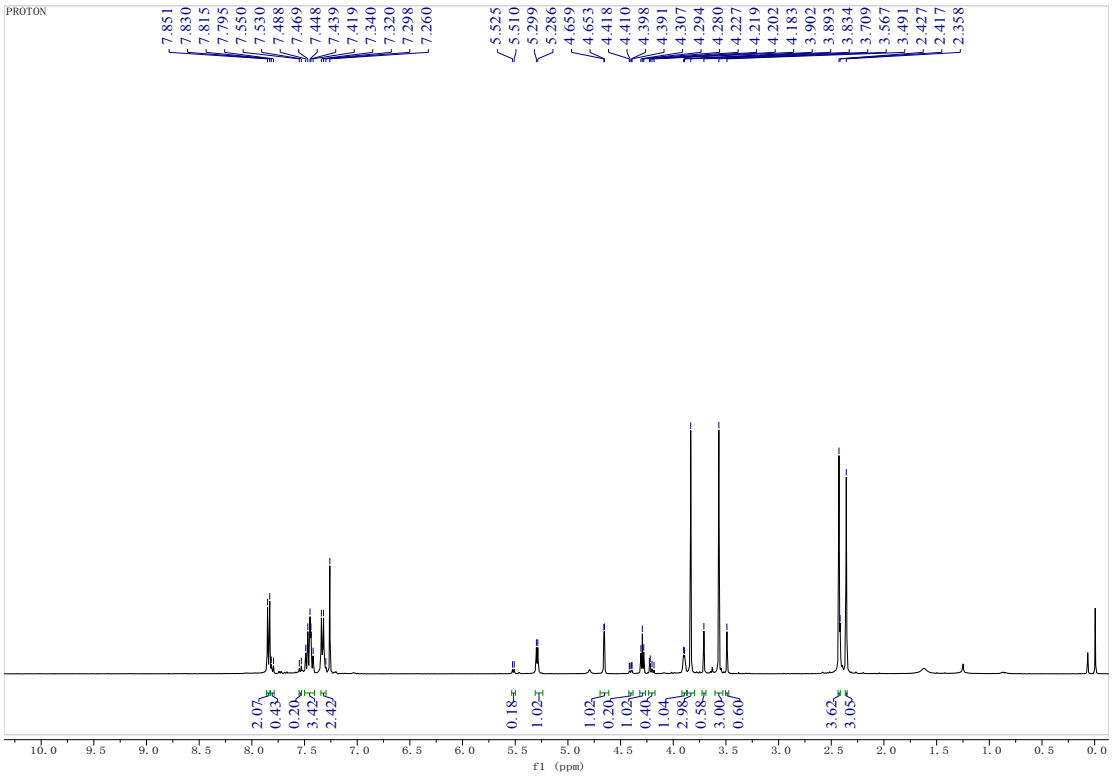

C13CPD
166.123
163.935
145.053
142.518
131.916
130.318
129.273
128.541
128.483
128.439
122.830
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
55.231
52.818
52.558
24.744
21.123
13.269
f1 (ppm)

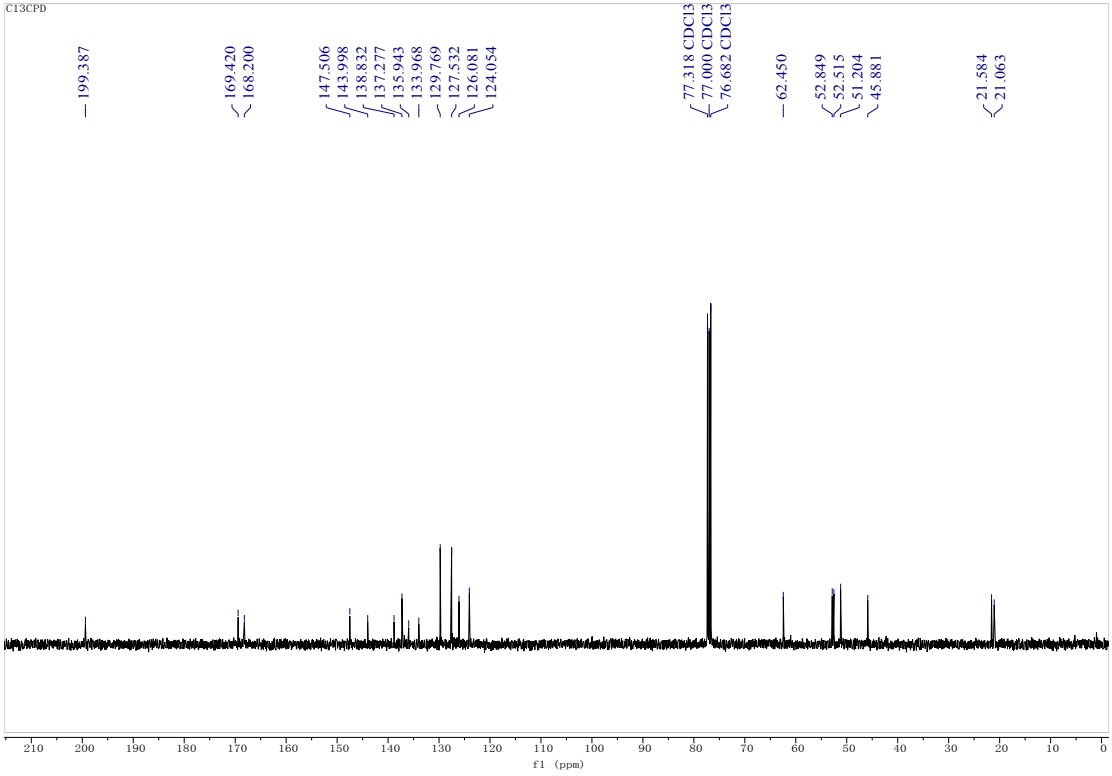

O
NHTs
MeO2C
CO2Me
6a

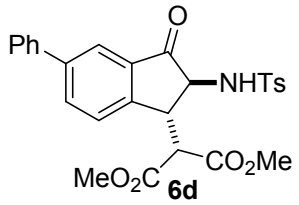

PROTON
7.858 7.839 7.835 7.688 7.676 7.670 7.666 7.660 7.657 7.649 7.645 7.630 7.627 7.613 7.610 7.607 7.591 7.418 7.413 7.409 7.404 7.399 7.394 7.385 7.382 7.380 7.378 7.344 7.333 7.324 7.313 7.260 5.547 5.531 5.307 5.293 4.685 4.679 4.463 4.451 4.443 4.342 4.328 4.314 4.254 4.246 4.230 4.226 4.210 3.959 3.952 3.944 3.938 3.839 3.707 3.566 3.481 2.429 2.419
2.54 3.77 1.33 2.56 0.27 1.00 0.95 0.29 0.98 0.57 1.05 3.00 0.76 2.98 0.76 2.90 0.81
f1 (ppm)


C13CPD
199.393 199.350 169.405 169.091 168.157 167.798 149.031 149.031 144.066 143.968 136.080 135.834 135.647 134.106 133.808 129.793 129.162 128.661 127.919 127.560 127.524 127.413 126.356 124.131 123.754 77.317 CDCl3 77.000 CDCl3 76.682 CDCl3 62.266 60.755 52.911 52.565 52.454 51.112 46.117 42.516 21.600
f1 (ppm)

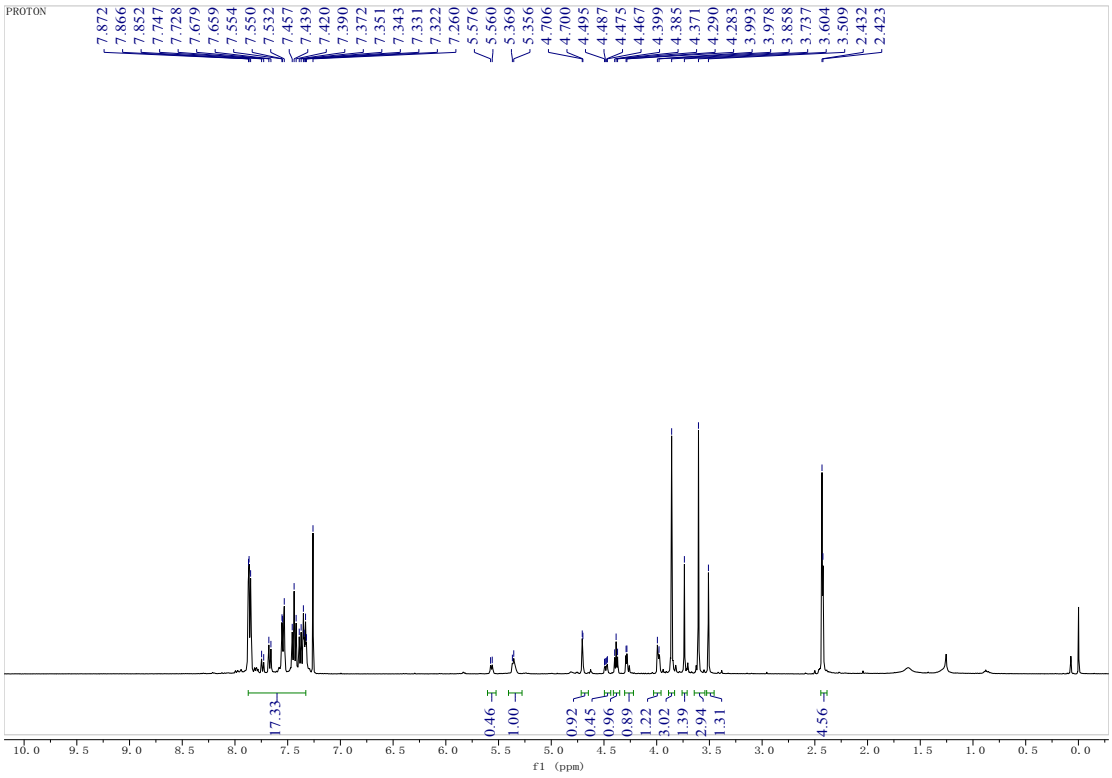

O
Me
NHTs
MeO2C
CO2Me
6c

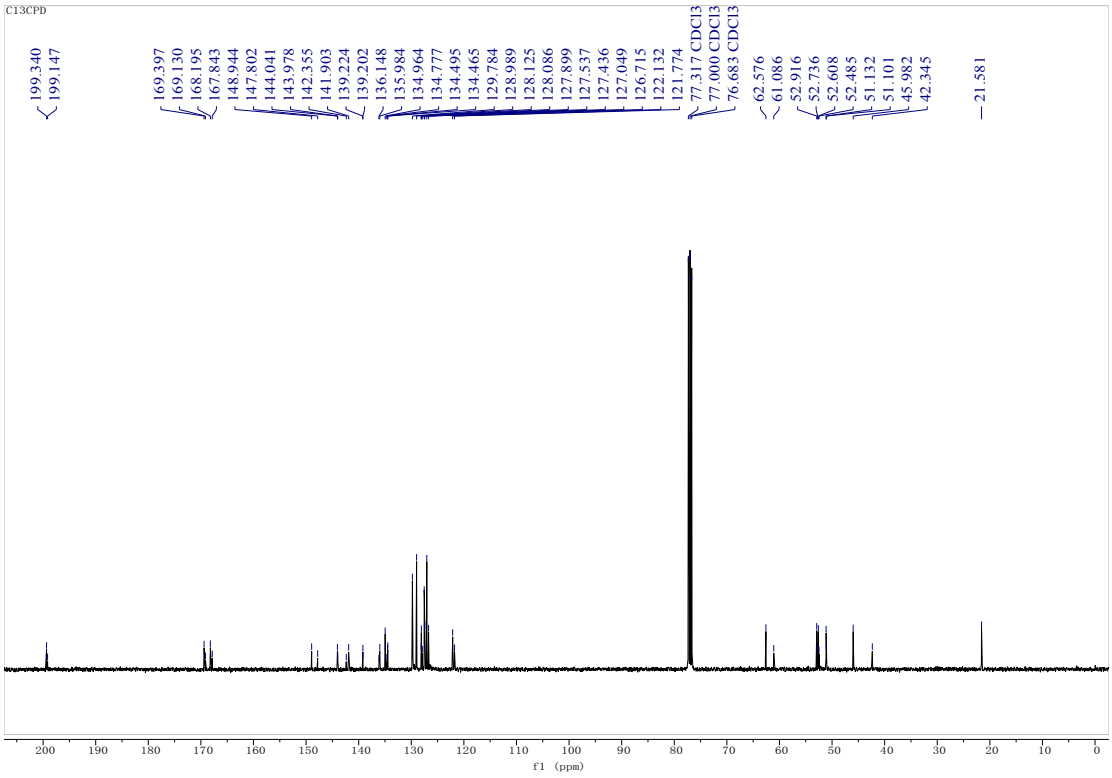

PROTON
7.851
7.830
7.815
7.795
7.550
7.530
7.488
7.469
7.448
7.439
7.419
7.340
7.320
7.298
7.260
5.525
5.510
5.299
5.286
4.659
4.653
4.418
4.410
4.398
4.391
4.307
4.294
4.280
4.227
4.219
4.202
4.183
3.902
3.893
3.834
3.709
3.567
3.491
2.427
2.417
2.358
2.07
0.43
0.20
3.42
2.42
0.18
1.02
1.02
0.20
1.02
0.40
1.04
2.98
0.58
3.00
0.60
3.62
3.05
f1 (ppm)

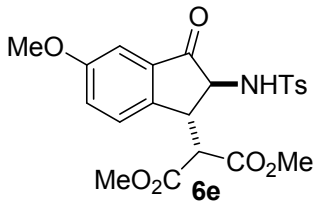

C13CPD
199.387
169.420
168.200
147.506
143.998
138.832
137.277
135.943
133.968
129.769
127.532
126.081
124.054
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
62.450
52.849
52.515
51.204
45.881
21.584
21.063
f1 (ppm)


O
Ph
NHTs
CO2Me
MeO2C

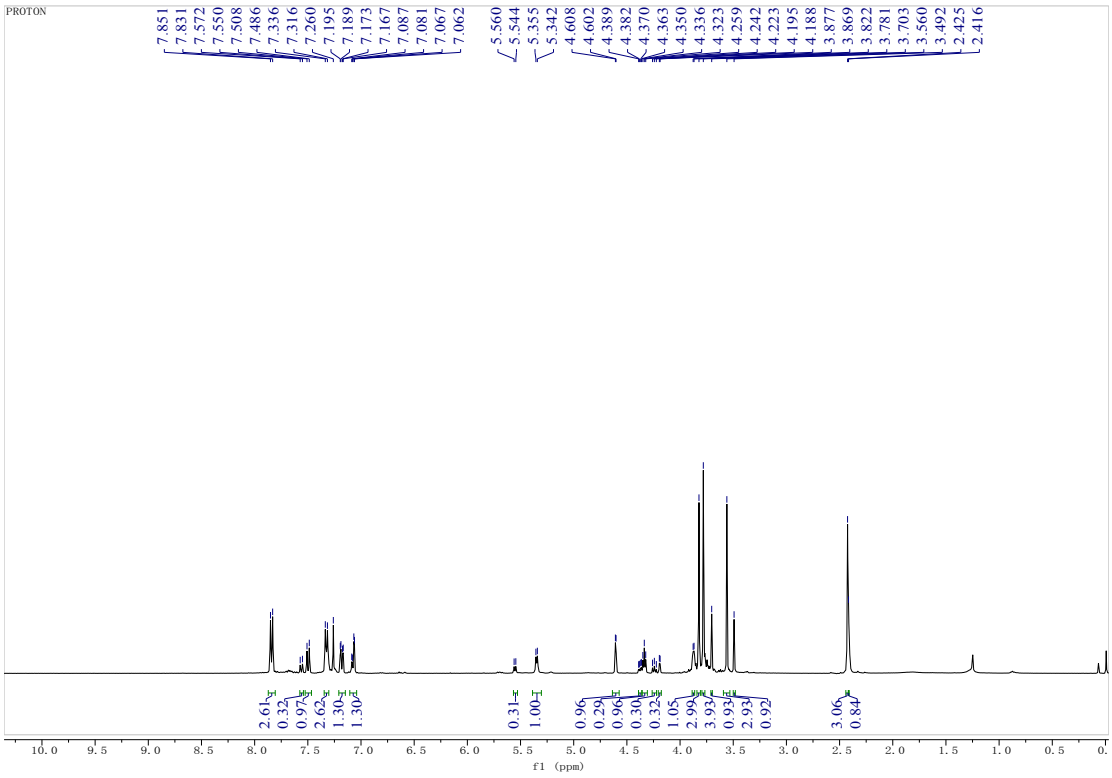
6d

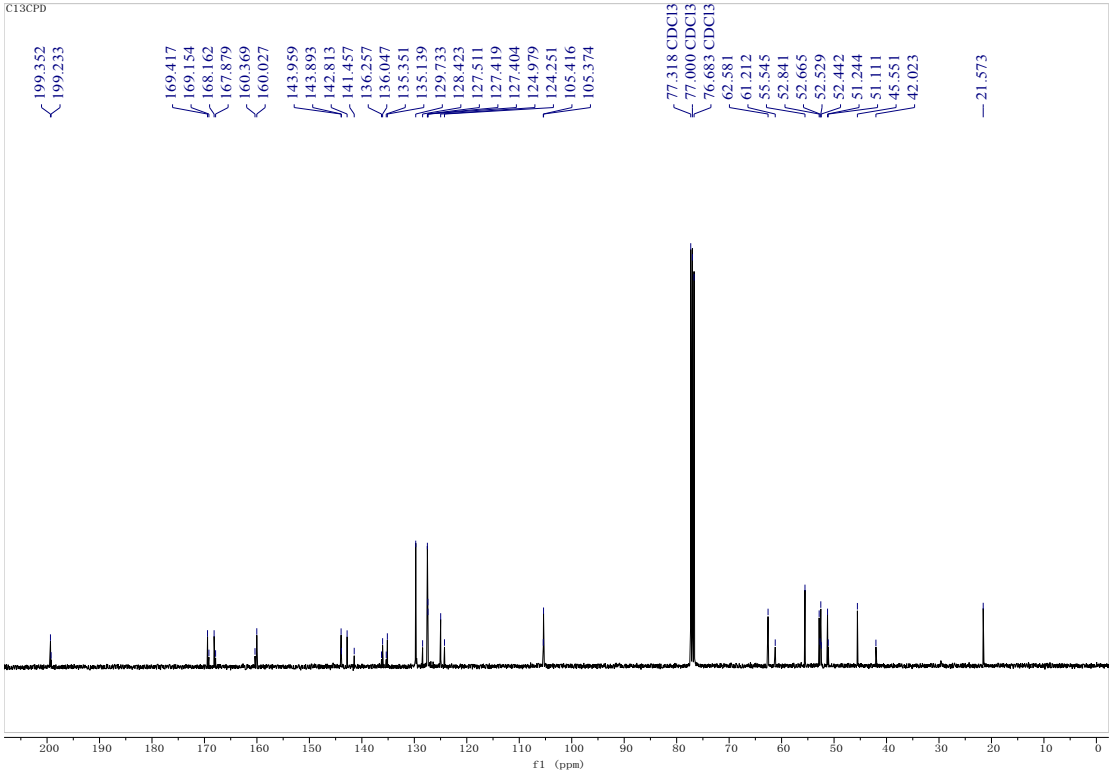

PROTON
7.872
7.866
7.852
7.747
7.728
7.679
7.659
7.554
7.550
7.532
7.457
7.439
7.420
7.390
7.372
7.351
7.343
7.331
7.322
7.260
5.576
5.560
5.369
5.356
4.706
4.700
4.495
4.487
4.475
4.467
4.399
4.385
4.371
4.290
4.283
3.993
3.978
3.858
3.737
3.604
3.509
2.432
2.423
17.33
0.46
1.00
0.92
0.45
0.96
0.89
1.22
3.02
1.39
2.94
1.31
4.56
f1 (ppm)

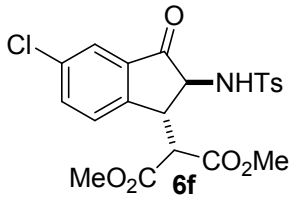

C13CPD
199.340
199.147
169.397
169.130
168.195
167.843
148.944
147.802
144.041
143.978
142.355
141.903
139.224
139.202
136.148
135.984
134.964
134.777
134.495
134.465
129.784
128.989
128.125
128.086
127.899
127.537
127.436
127.049
126.715
122.132
121.774
77.317 CDCl3
77.000 CDCl3
76.683 CDCl3
62.576
61.086
52.916
52.736
52.608
52.485
51.132
51.101
45.982
42.345
21.581
f1 (ppm)


O
MeO
NHTs
CO2Me
MeO2C

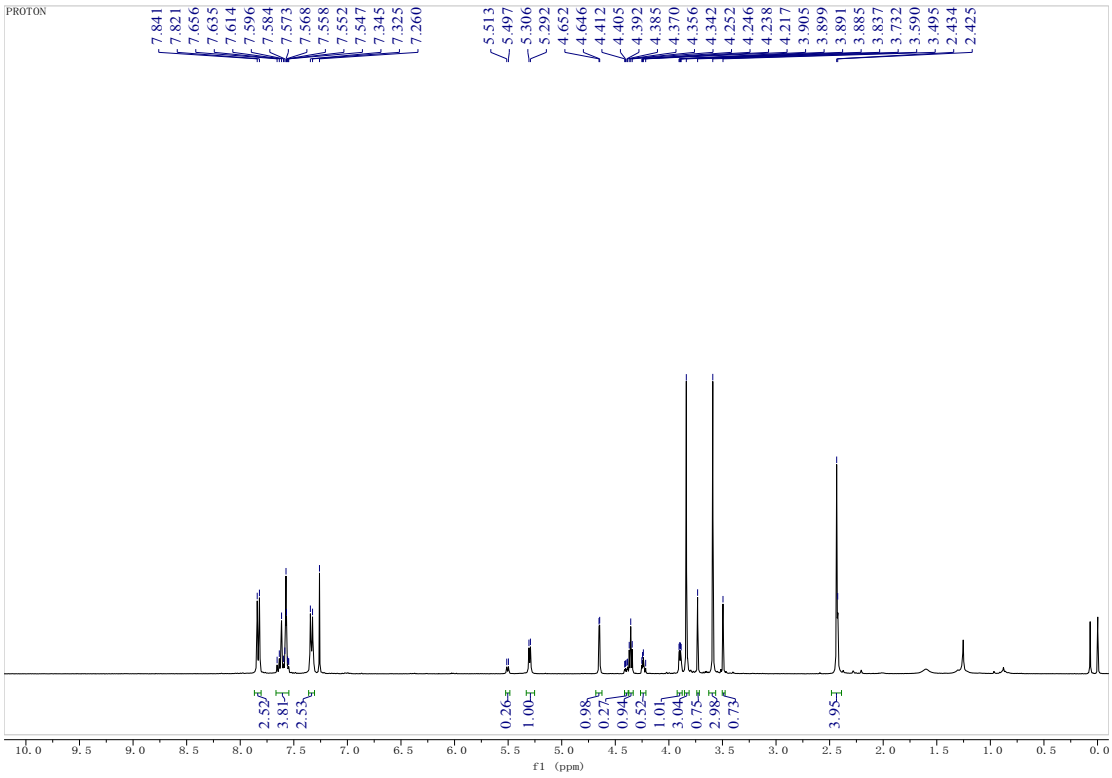
6e

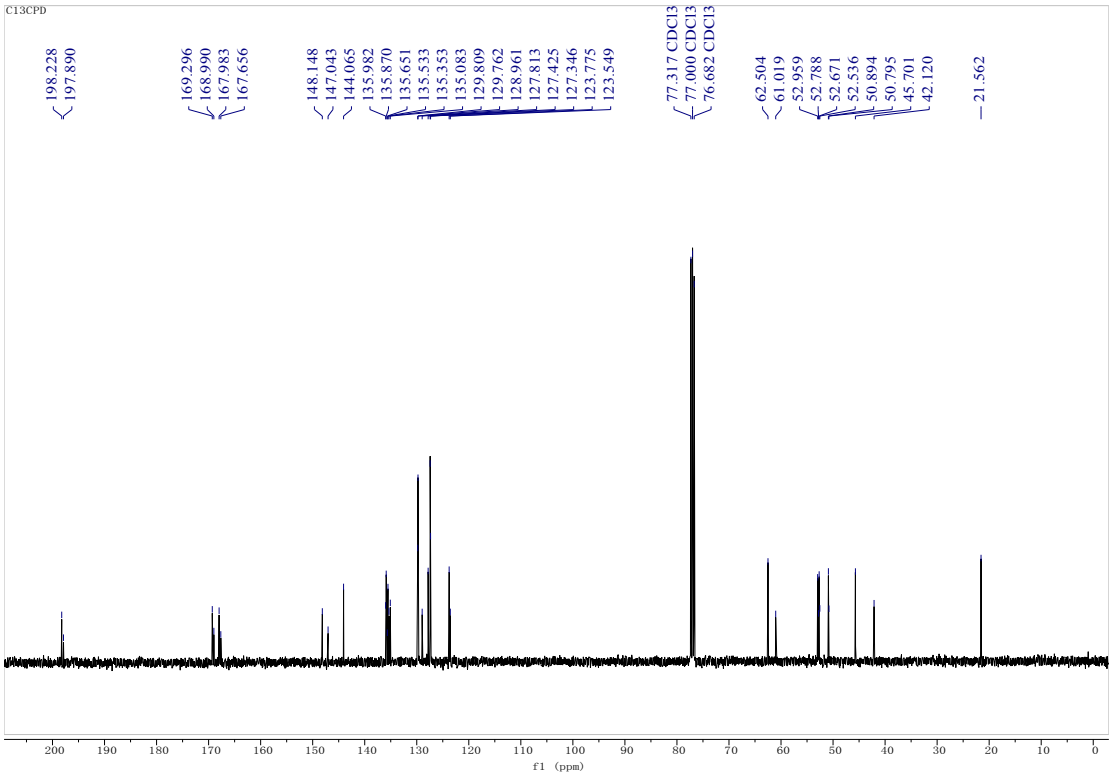

PROTON
7.851
7.831
7.572
7.550
7.508
7.486
7.336
7.316
7.260
7.195
7.189
7.173
7.167
7.087
7.081
7.067
7.062
5.560
5.544
5.355
5.342
4.608
4.602
4.389
4.382
4.370
4.363
4.350
4.336
4.323
4.259
4.242
4.223
4.195
4.188
3.877
3.869
3.822
3.781
3.703
3.560
3.492
2.425
2.416
2.61
0.32
0.97
2.62
1.30
1.30
0.31
1.00
0.96
0.29
0.96
0.30
0.32
1.05
2.99
3.93
0.93
2.93
0.92
3.06
0.84
f1 (ppm)

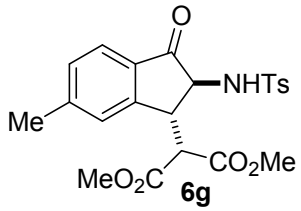

C13CPD
199.352
199.233
169.417
169.154
168.162
167.879
160.369
160.027
143.959
143.893
142.813
141.457
136.257
136.047
135.351
135.139
129.733
128.423
127.511
127.419
127.404
124.979
124.251
105.416
105.374
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
62.581
61.212
55.545
52.841
52.665
52.529
52.442
51.244
51.111
45.551
42.023
21.573
f1 (ppm)


O
Cl
NHTs
MeO2C
CO2Me

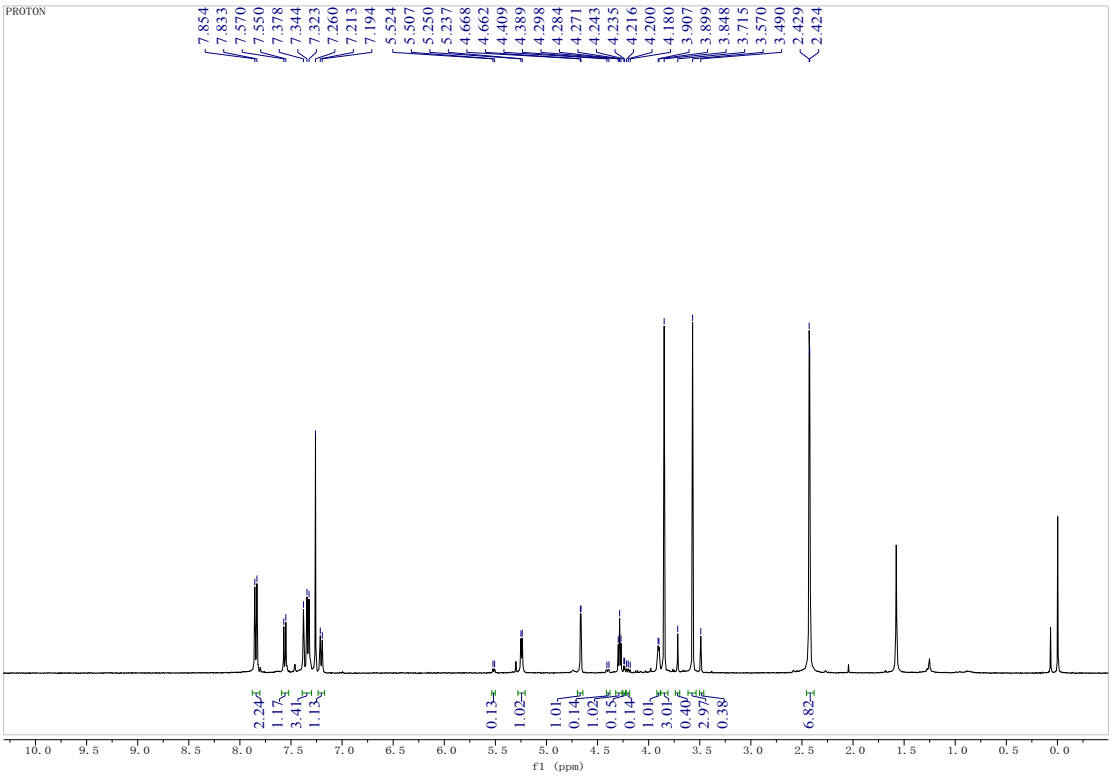
6f

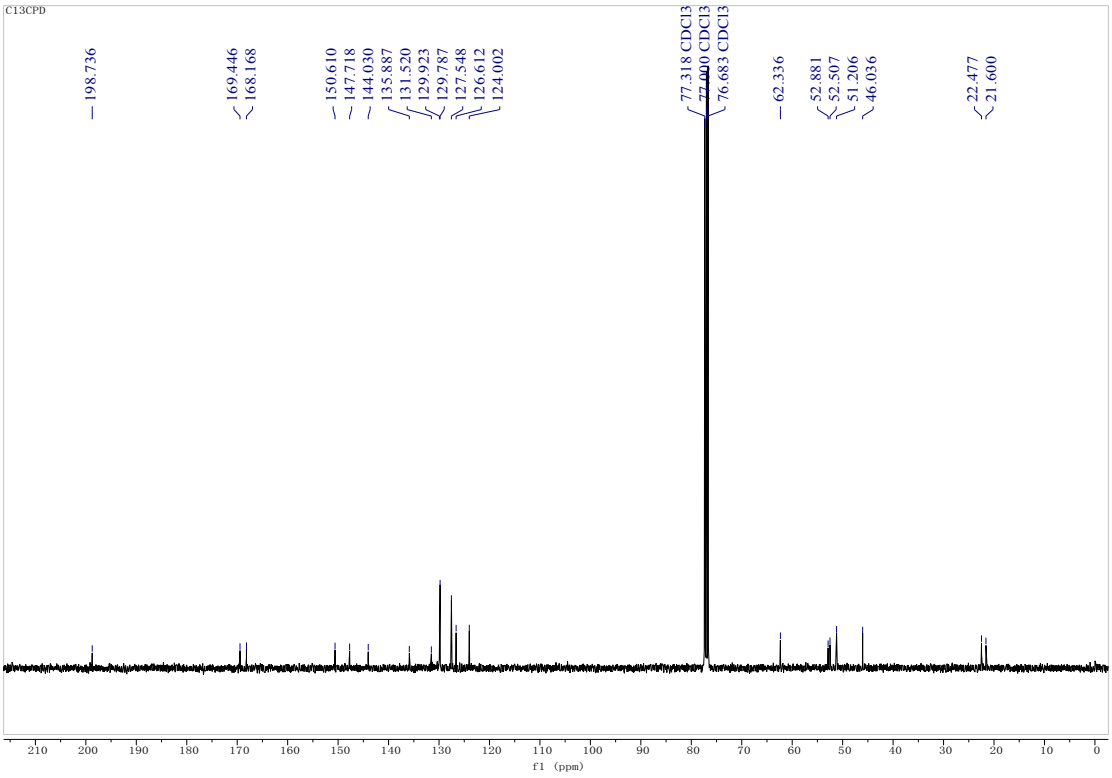

PROTON
7.841
7.821
7.656
7.635
7.614
7.596
7.584
7.573
7.568
7.558
7.552
7.547
7.345
7.325
7.260
5.513
5.497
5.306
5.292
4.652
4.646
4.412
4.405
4.392
4.385
4.370
4.356
4.342
4.252
4.246
4.238
4.217
3.905
3.899
3.891
3.885
3.837
3.732
3.590
3.495
2.434
2.425
2.52
3.81
2.53
0.26
1.00
0.98
0.27
0.94
0.52
1.01
3.04
0.75
2.98
0.73
3.95
f1 (ppm)

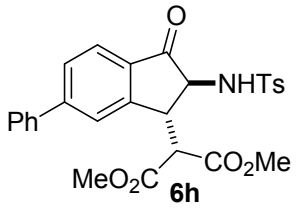

C13CPD
198.228
197.890
169.296
168.990
167.983
167.656
148.148
147.043
144.065
135.982
135.870
135.651
135.533
135.353
135.083
129.809
129.762
128.961
127.813
127.425
127.346
123.775
123.549
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
62.504
61.019
52.959
52.788
52.671
52.536
50.894
50.795
45.701
42.120
21.562
f1 (ppm)


O
NHTs
Me
CO2Me
MeO2C

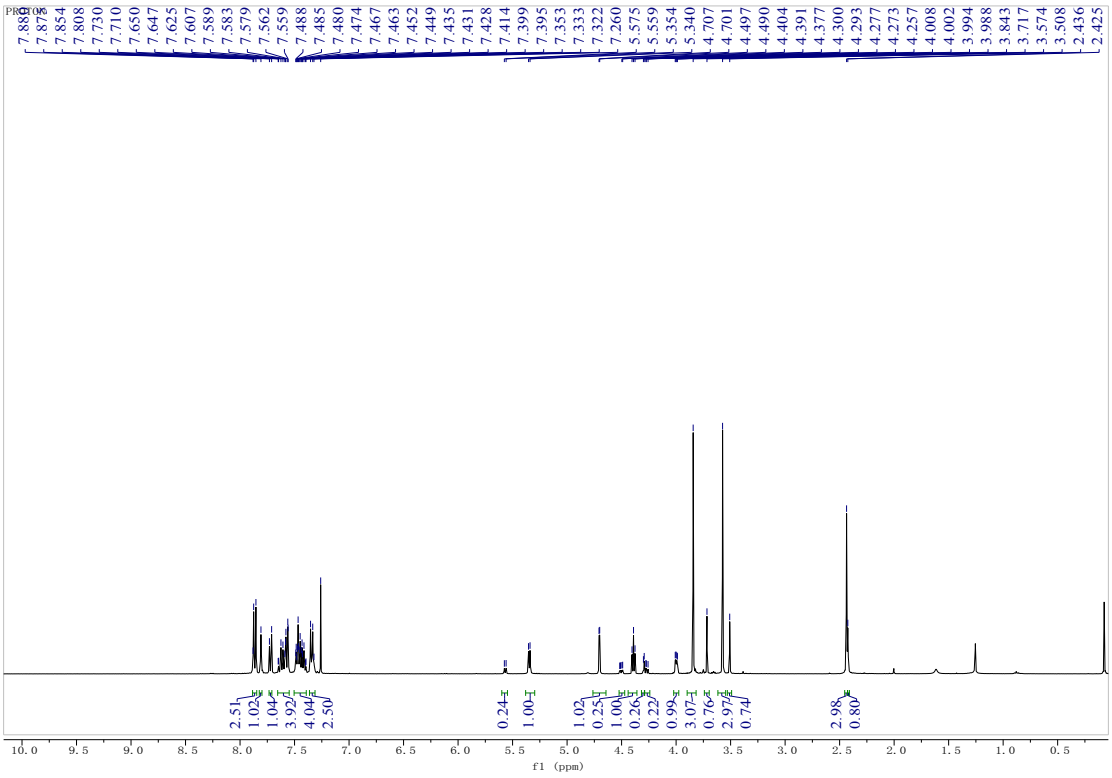
6g

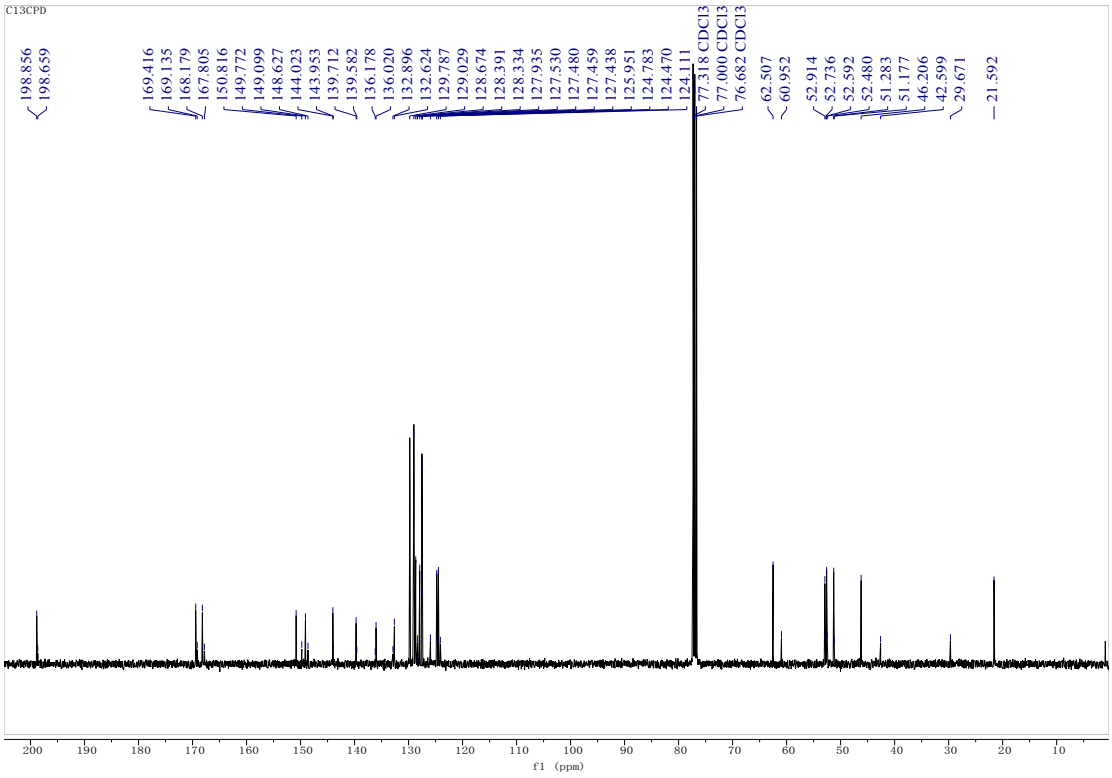

PROTON
7.854
7.833
7.570
7.550
7.378
7.344
7.323
7.260
7.213
7.194
5.524
5.507
5.250
5.237
4.668
4.662
4.409
4.389
4.298
4.284
4.271
4.243
4.235
4.216
4.200
4.180
3.907
3.899
3.848
3.715
3.570
3.490
2.429
2.424
2.24
1.17
3.41
1.13
0.13
1.02
1.01
0.14
1.02
0.15
0.14
1.01
3.01
0.40
2.97
0.38
6.82
f1 (ppm)

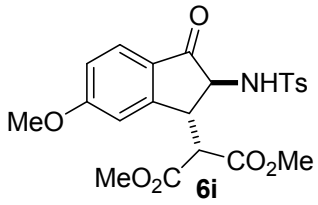

C13CPD
198.736
169.446
168.168
150.610
147.718
144.030
135.887
131.520
129.923
129.787
127.548
126.612
124.002
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
62.336
52.881
52.507
51.206
46.036
22.477
21.600
f1 (ppm)


O
NHTs
Ph
MeO2C
CO2Me

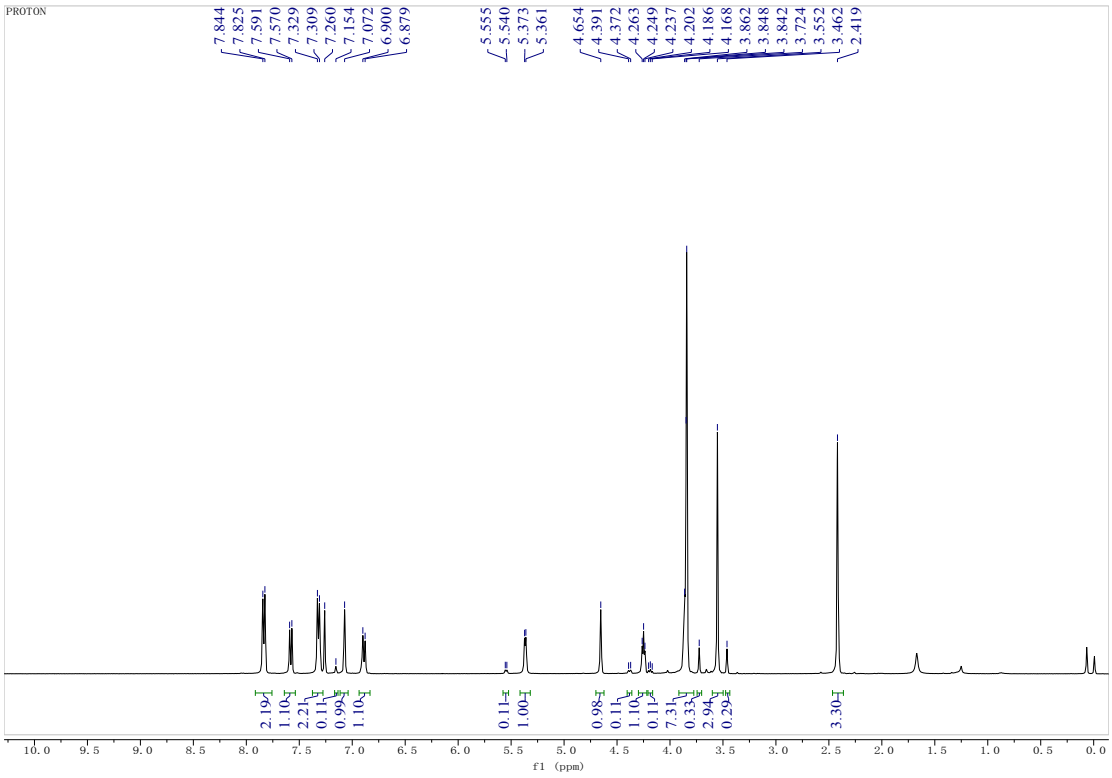
6h

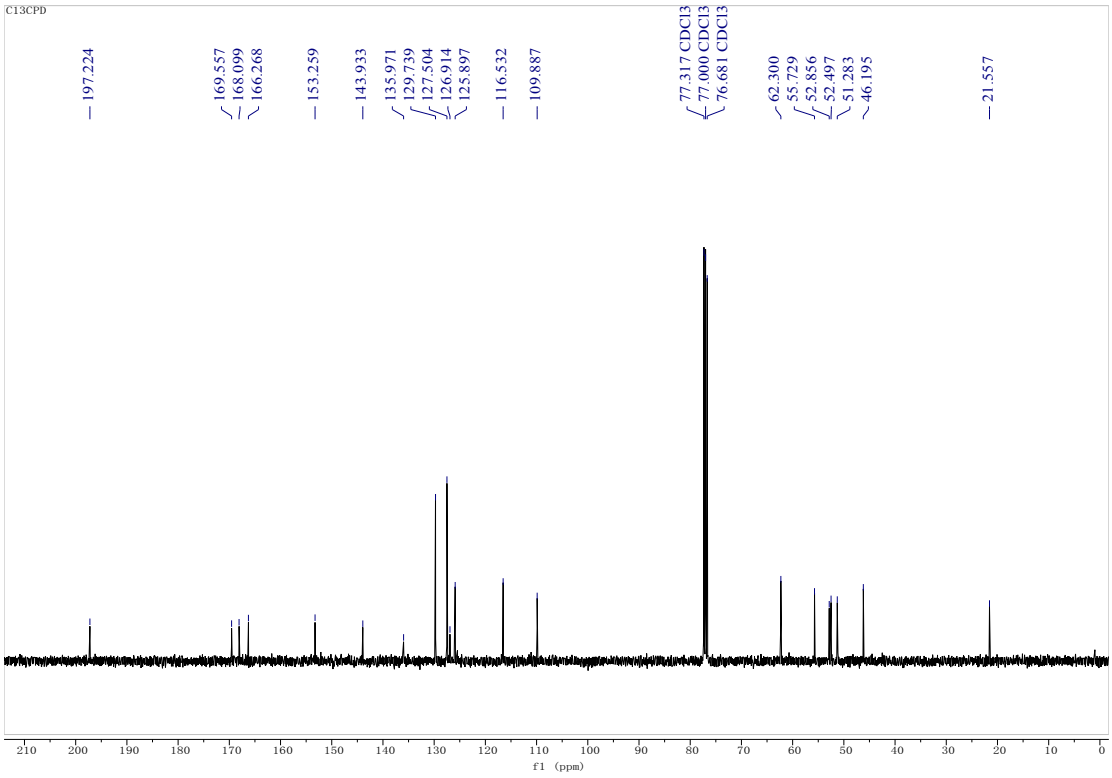

PROTON
7.886
7.873
7.854
7.808
7.730
7.710
7.650
7.647
7.625
7.607
7.589
7.583
7.579
7.562
7.559
7.488
7.485
7.480
7.474
7.467
7.463
7.452
7.449
7.435
7.431
7.428
7.414
7.399
7.395
7.353
7.333
7.322
7.260
5.575
5.559
5.354
5.340
4.707
4.701
4.497
4.490
4.404
4.391
4.377
4.300
4.293
4.277
4.273
4.257
4.008
4.002
3.994
3.988
3.843
3.717
3.574
3.508
2.436
2.425
2.51
1.02
1.04
3.92
4.04
2.50
0.24
1.00
1.02
0.25
1.00
0.26
0.22
0.99
3.07
0.76
2.97
0.74
2.98
0.80
f1 (ppm)

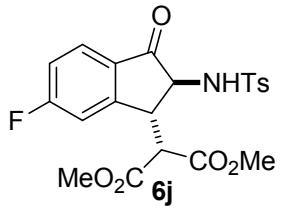

C13CPD
198.856
198.659
169.416
169.135
168.179
167.805
150.816
149.772
149.099
148.627
144.023
143.953
139.712
139.582
136.178
136.020
132.896
132.624
129.787
129.029
128.674
128.391
128.334
127.935
127.530
127.480
127.459
127.438
125.951
124.783
124.470
124.111
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
62.507
60.952
52.914
52.736
52.592
52.480
51.283
51.177
46.206
42.599
29.671
21.592
f1 (ppm)


O
NHTs
MeO
CO2Me
MeO2C

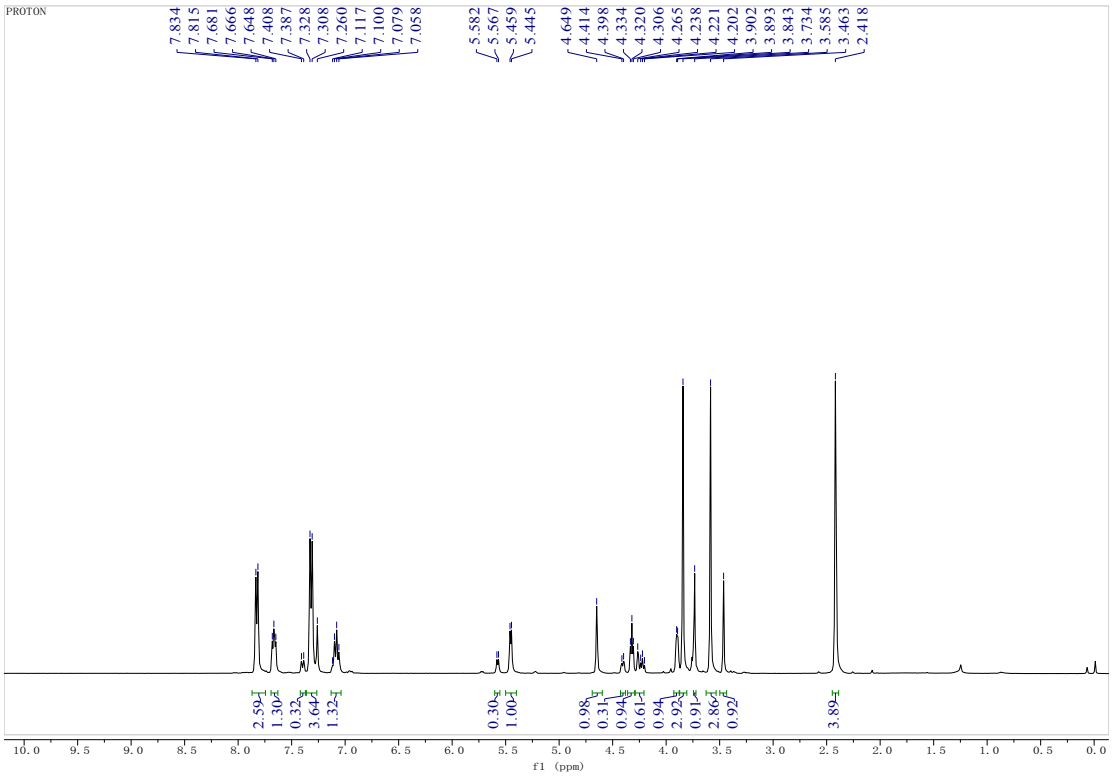
6i

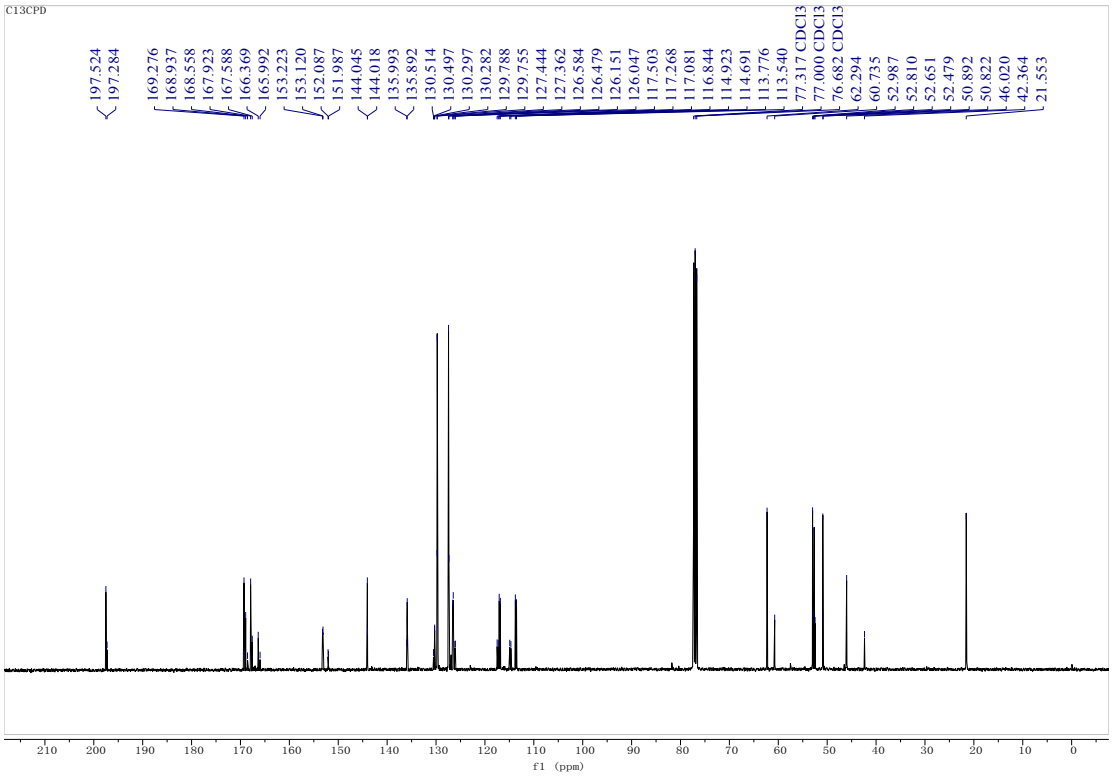

PROTON
7.844
7.825
7.591
7.570
7.329
7.309
7.260
7.154
7.072
6.900
6.879
5.555
5.540
5.373
5.361
4.654
4.391
4.372
4.263
4.249
4.237
4.202
4.186
4.168
3.862
3.848
3.842
3.724
3.552
3.462
2.419
2.19
1.10
2.21
0.11
0.99
1.10
0.11
1.00
0.98
0.11
1.10
0.11
7.31
0.33
2.94
0.29
3.30
f1 (ppm)

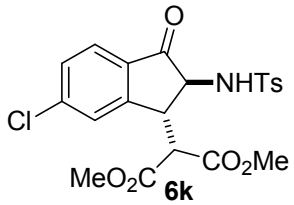

C13CPD
197.224
169.557
168.099
166.268
153.259
143.933
135.971
129.739
127.504
126.914
125.897
116.532
109.887
77.317 CDCl3
77.000 CDCl3
76.681 CDCl3
62.300
55.729
52.856
52.497
51.283
46.195
21.557
f1 (ppm)


O
NHTs
F
MeO2C
CO2Me

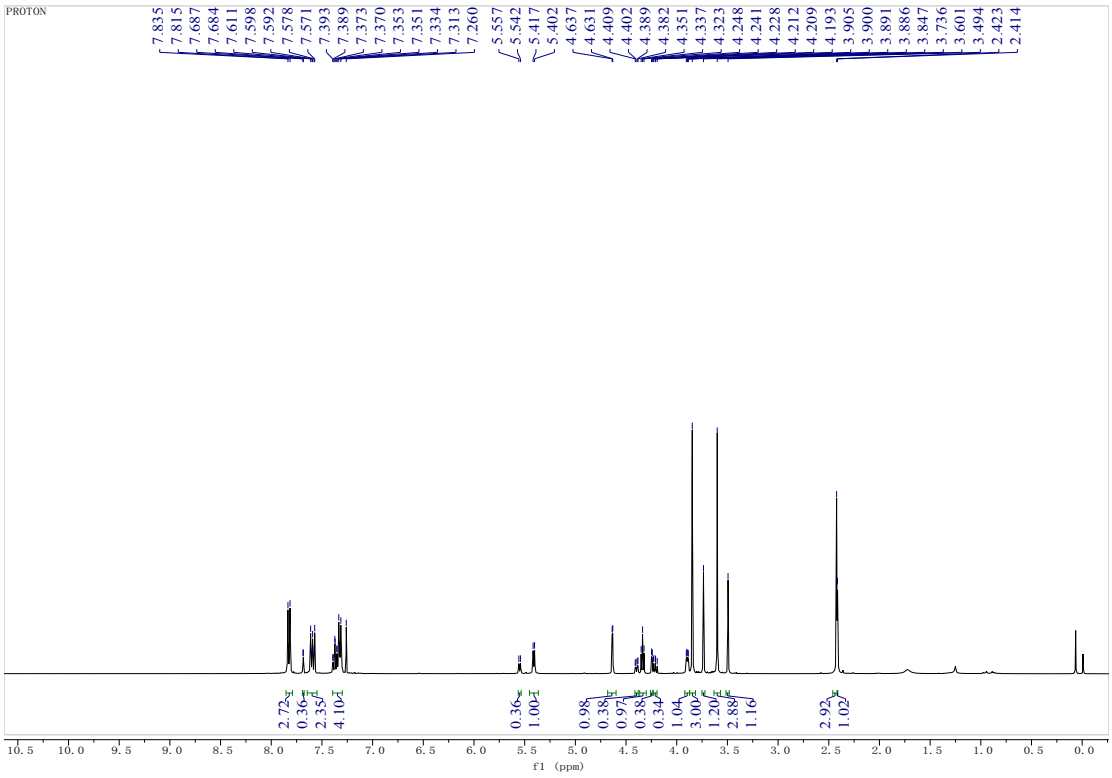
6j

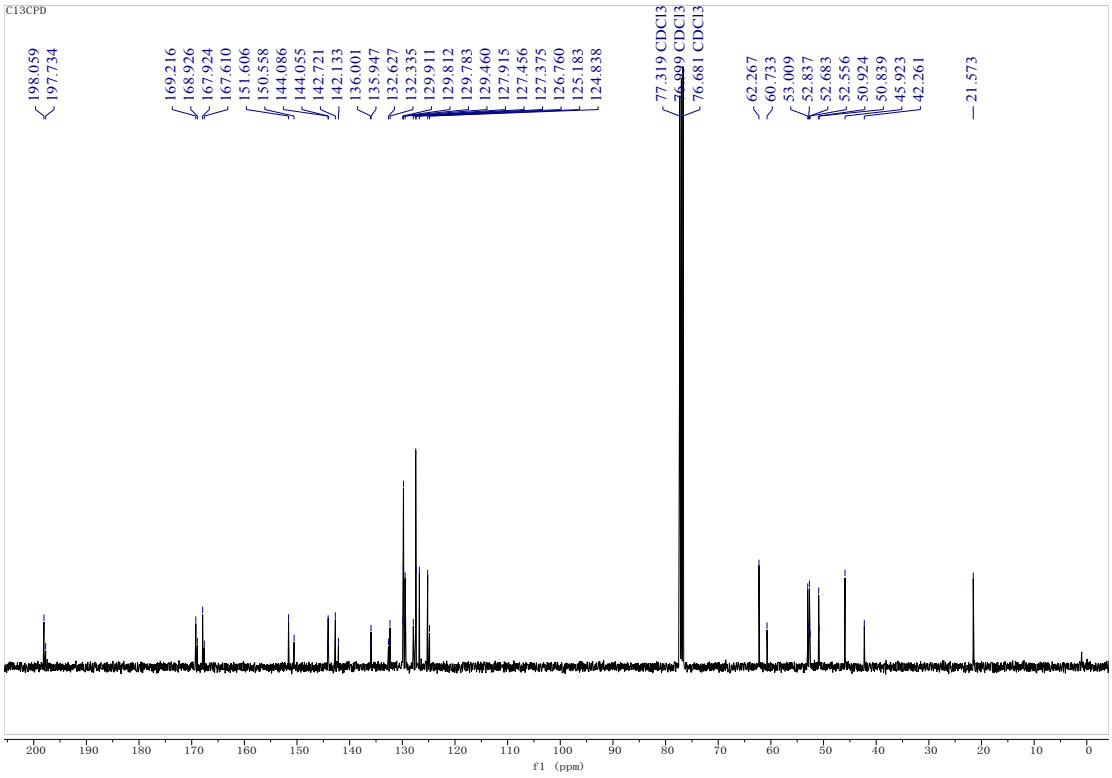

PROTON
7.834
7.815
7.681
7.666
7.648
7.408
7.387
7.328
7.308
7.260
7.117
7.100
7.079
7.058
5.582
5.567
5.459
5.445
4.649
4.414
4.398
4.334
4.320
4.306
4.265
4.238
4.221
4.202
3.902
3.893
3.843
3.734
3.585
3.463
2.418
2.59
1.30
0.32
3.64
1.32
0.30
1.00
0.98
0.31
0.94
0.61
0.94
2.92
0.91
2.86
0.92
3.89
f1 (ppm)

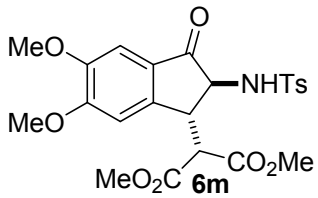

C13CPD
197.524
197.284
169.276
168.937
168.558
167.923
167.588
166.369
165.992
153.223
153.120
152.087
151.987
144.045
144.018
135.993
135.892
130.514
130.497
130.297
130.282
129.788
129.755
127.444
127.362
126.584
126.479
126.151
126.047
117.503
117.268
117.081
116.844
114.923
114.691
113.776
113.540
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
62.294
60.735
52.987
52.810
52.651
52.479
50.892
50.822
46.020
42.364
21.553
f1 (ppm)

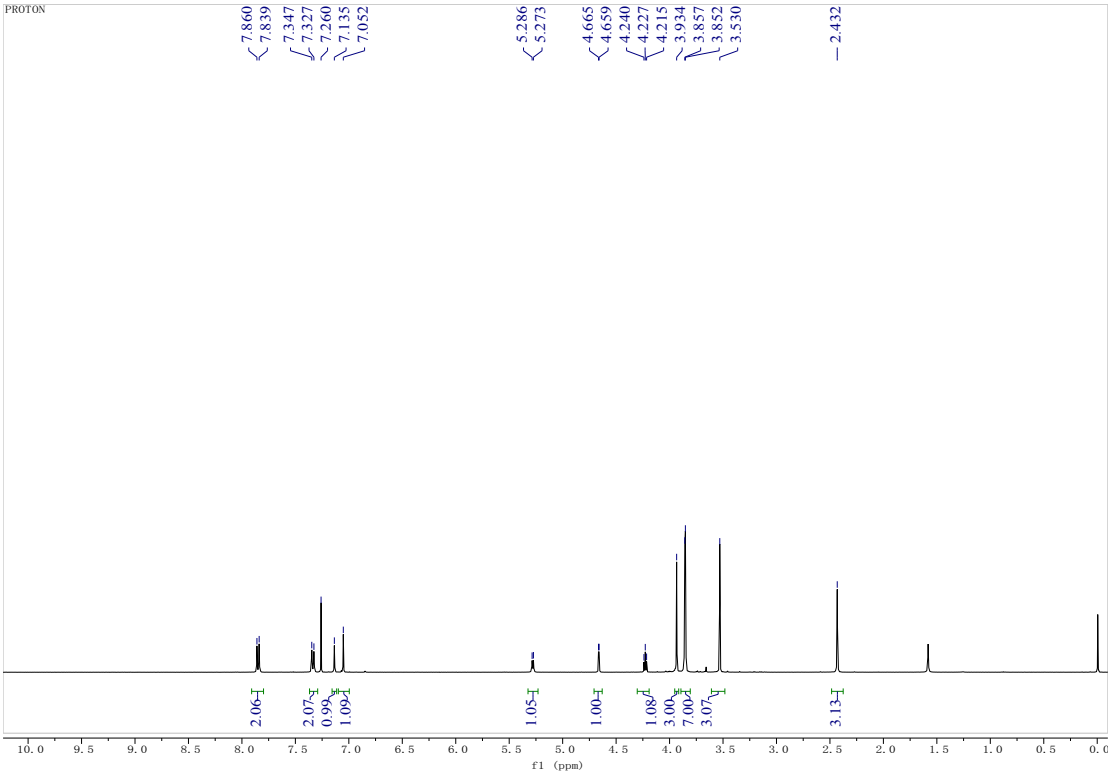

O
NHTs
Cl
MeO2C
CO2Me

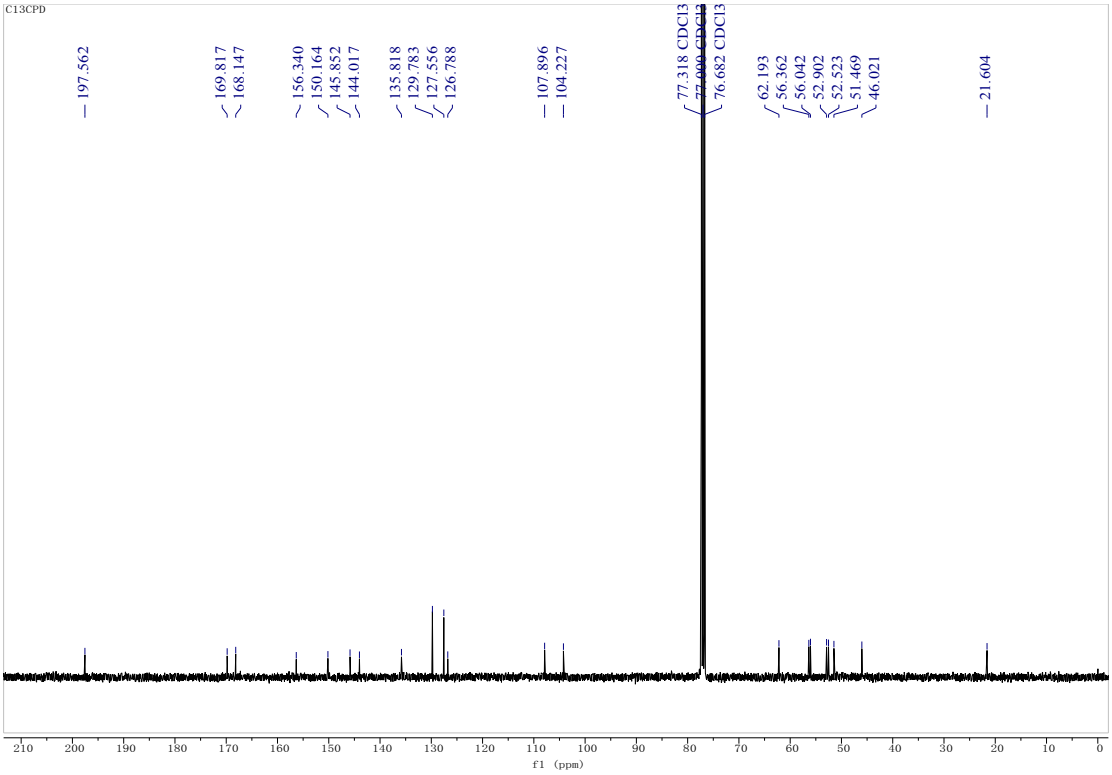
6k

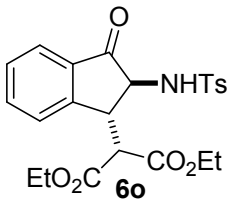

PROTON
7.835
7.815
7.687
7.684
7.611
7.598
7.592
7.578
7.571
7.393
7.389
7.373
7.370
7.353
7.351
7.334
7.313
7.260
5.557
5.542
5.417
5.402
4.637
4.631
4.409
4.402
4.389
4.382
4.351
4.337
4.323
4.248
4.241
4.228
4.212
4.209
4.193
3.905
3.900
3.891
3.886
3.847
3.736
3.601
3.494
2.423
2.414
2.72
0.36
2.35
4.10
0.36
1.00
0.98
0.38
0.97
0.38
0.34
1.04
3.00
1.20
2.88
1.16
2.92
1.02
f1 (ppm)


C13CPD
198.059
197.734
169.216
168.926
167.924
167.610
151.606
150.558
144.086
144.055
142.721
142.133
136.001
135.947
132.627
132.335
129.911
129.812
129.783
129.460
127.915
127.456
127.375
126.760
125.183
124.838
77.319 CDCl3
76.999 CDCl3
76.681 CDCl3
62.267
60.733
53.009
52.837
52.683
52.556
50.924
50.839
45.923
42.261
21.573
f1 (ppm)

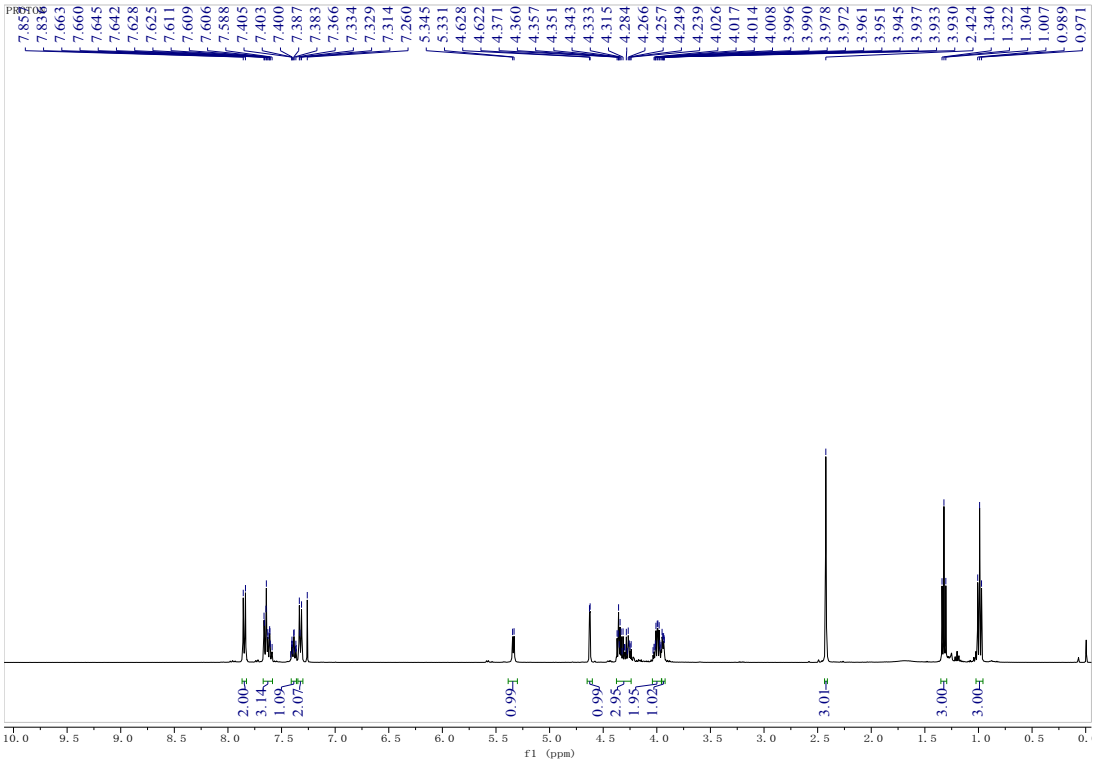

O
MeO
NHTs
MeO
CO2Me
MeO2C
6m

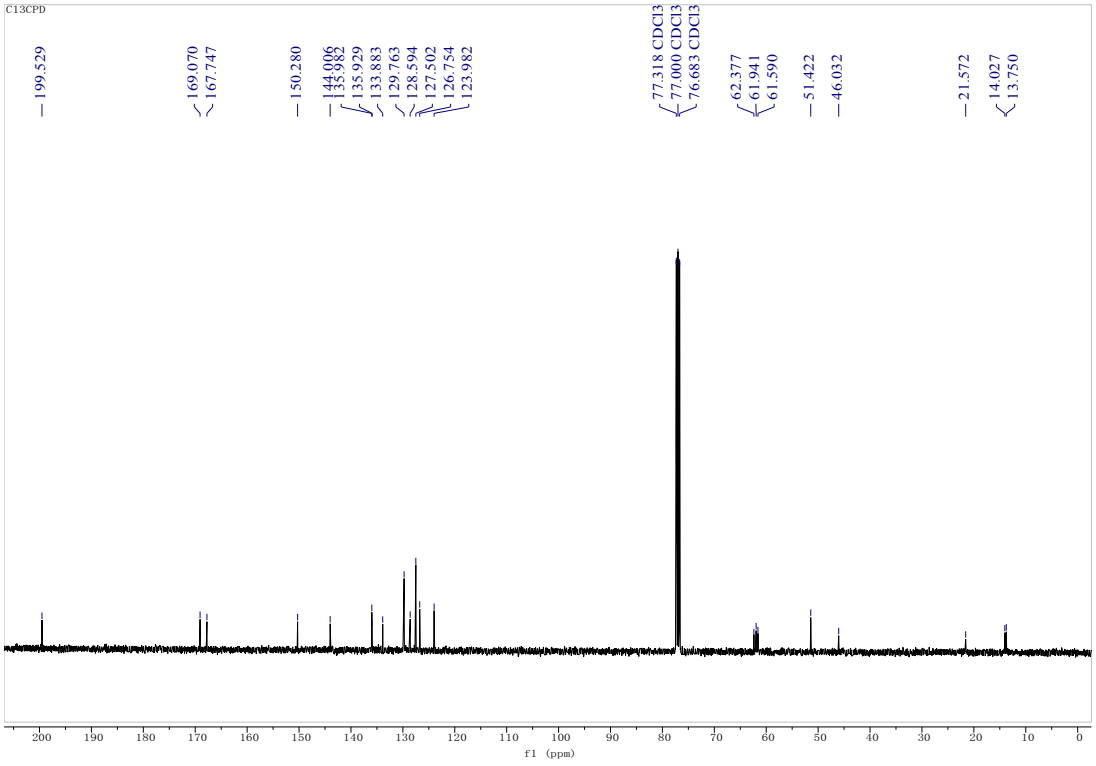

PROTON
7.860
7.839
7.347
7.327
7.260
7.135
7.052
5.286
5.273
4.665
4.659
4.240
4.227
4.215
3.934
3.857
3.852
3.530
2.432
2.06
2.07
0.99
1.09
1.05
1.00
1.08
3.00
7.00
3.07
3.13
f1 (ppm)

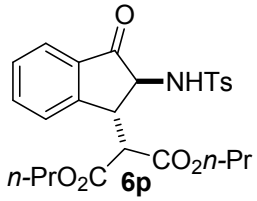

C13CPD
197.562
169.817
168.147
156.340
150.164
145.852
144.017
135.818
129.783
127.556
126.788
107.896
104.227
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
62.193
56.362
56.042
52.902
52.523
51.469
46.021
21.604
f1 (ppm)

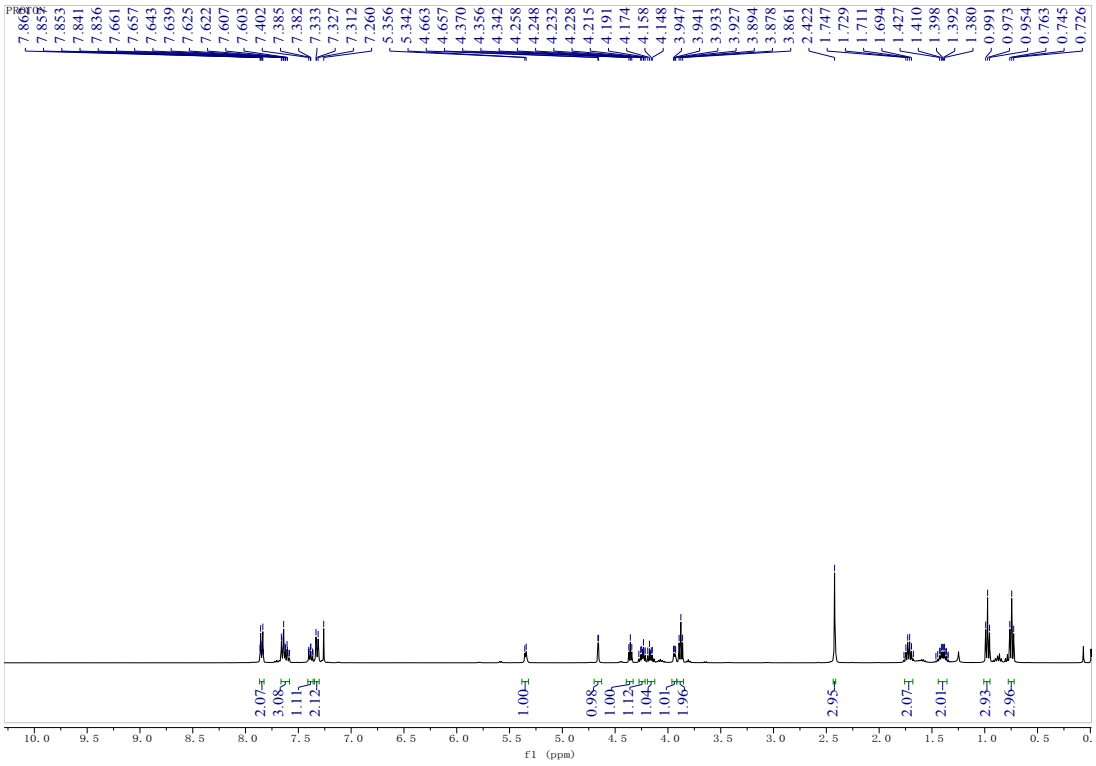

O
NHTs
CO2Et
EtO2C

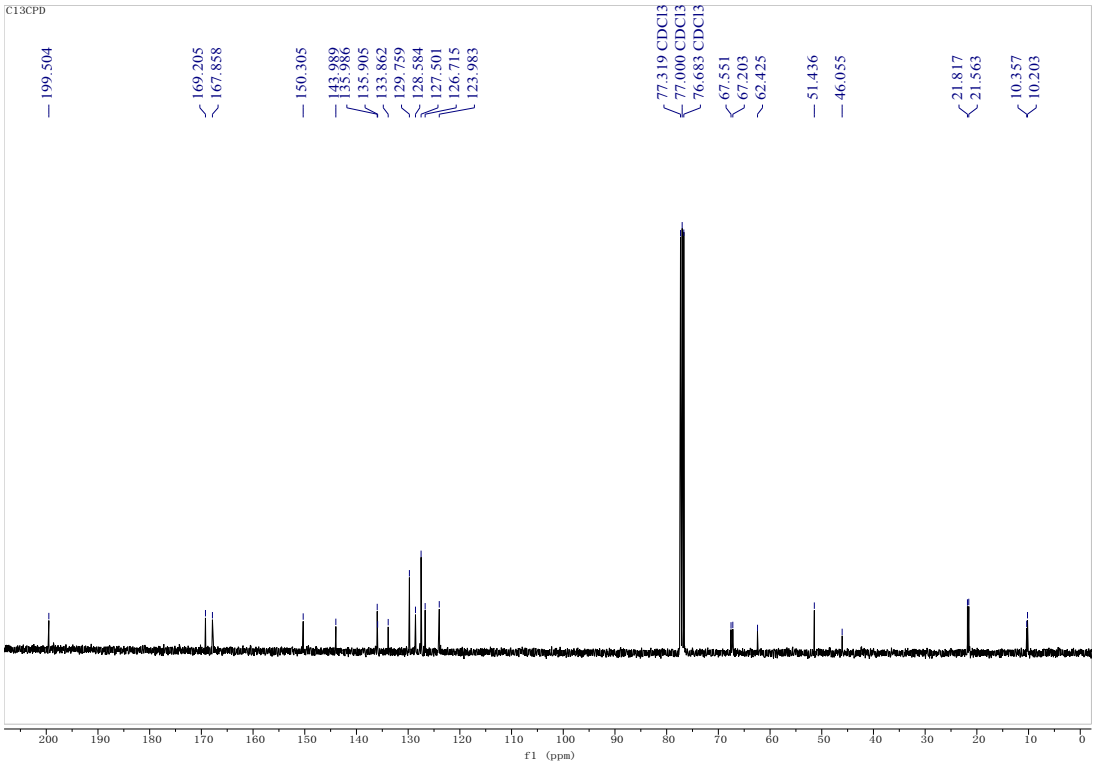
6o

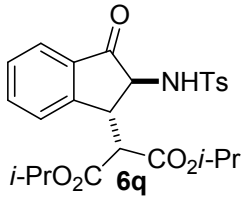

7.852
7.836
7.663
7.660
7.645
7.642
7.628
7.625
7.611
7.609
7.606
7.588
7.405
7.403
7.400
7.387
7.383
7.366
7.334
7.329
7.314
7.260
5.345
5.331
4.628
4.622
4.371
4.360
4.357
4.351
4.343
4.333
4.315
4.284
4.266
4.257
4.249
4.239
4.026
4.017
4.014
4.008
3.996
3.990
3.978
3.972
3.961
3.951
3.945
3.937
3.933
3.930
2.424
1.340
1.322
1.304
1.007
0.989
0.971
2.00
3.14
1.09
2.07
0.99
0.99
2.95
1.95
1.02
3.01
3.00
3.00
f1 (ppm)

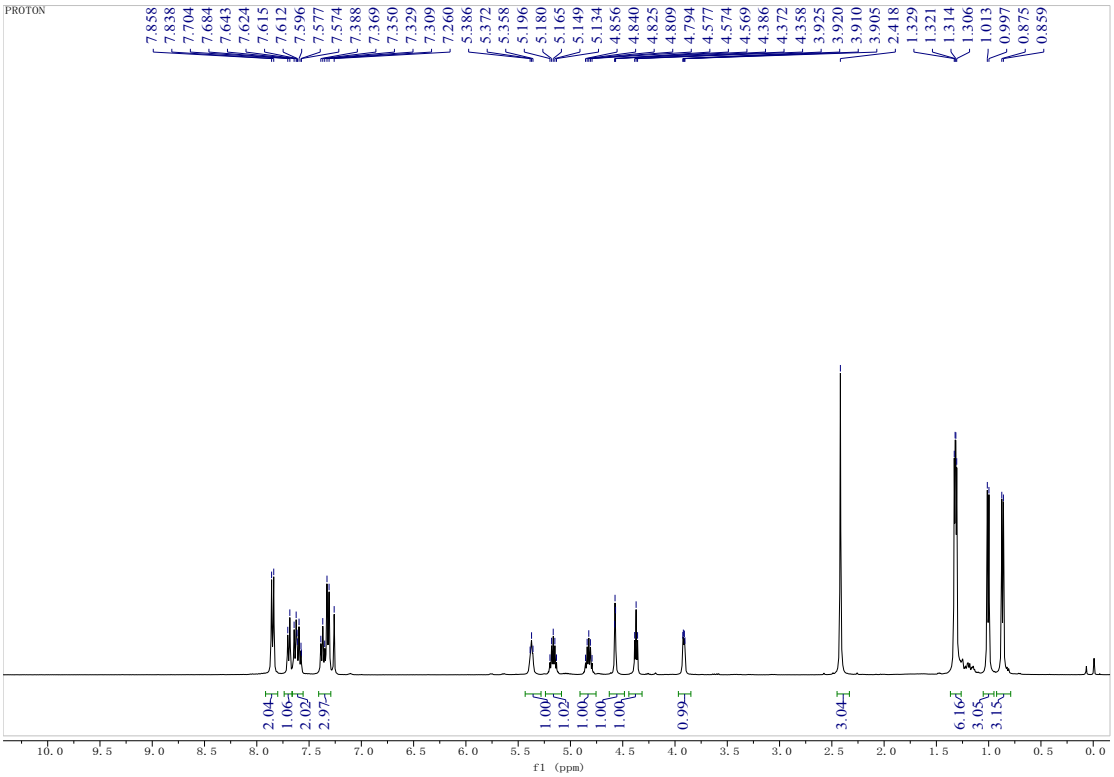

C13CPD
199.529
169.070
167.747
150.280
144.006
135.982
135.929
133.883
129.763
128.594
127.502
126.754
123.982
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
62.377
61.941
61.590
51.422
46.032
21.572
14.027
13.750
f1 (ppm)

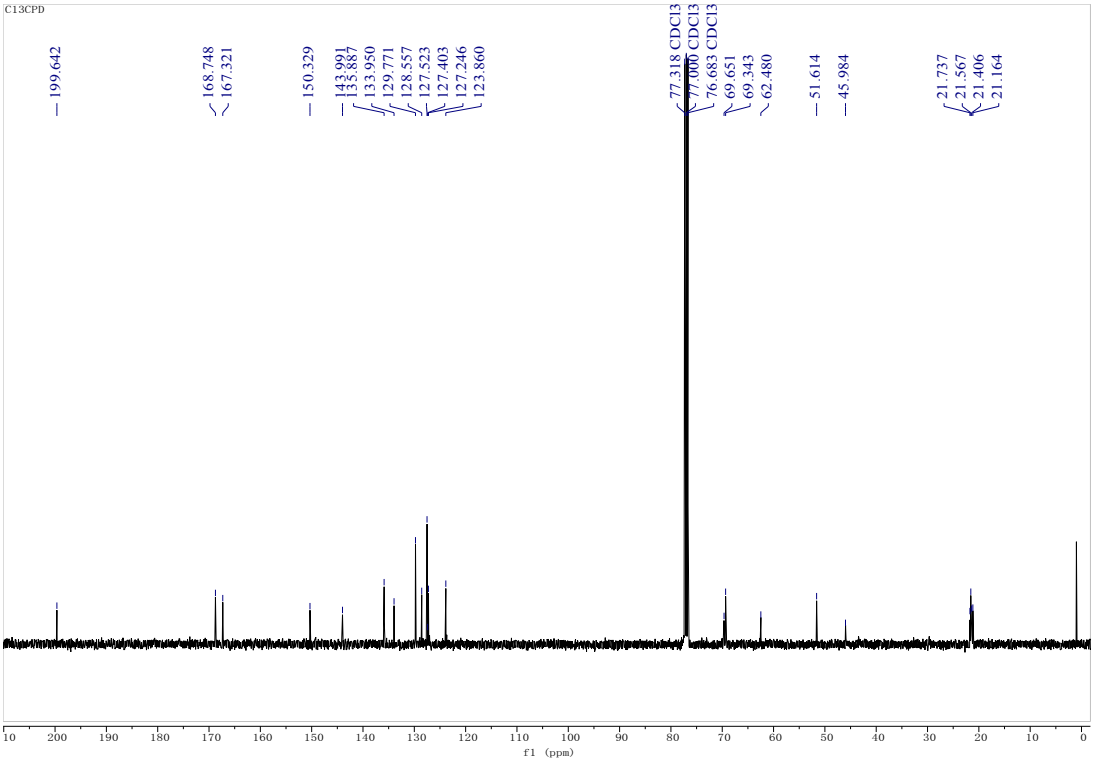

O
NHTs
n-PrO2C
CO2n-Pr
6p

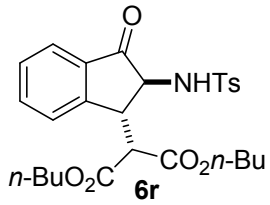

PROTON
7.862
7.857
7.853
7.841
7.836
7.661
7.657
7.643
7.639
7.625
7.622
7.607
7.603
7.402
7.385
7.382
7.333
7.327
7.312
7.260
5.356
5.342
4.663
4.657
4.370
4.356
4.342
4.258
4.248
4.232
4.228
4.215
4.191
4.174
4.158
4.148
3.947
3.941
3.933
3.927
3.894
3.878
3.861
2.422
1.747
1.729
1.711
1.694
1.427
1.410
1.398
1.392
1.380
0.991
0.973
0.954
0.763
0.745
0.726
2.07
3.08
1.11
2.12
1.00
0.98
1.00
1.12
1.04
1.01
1.96
2.95
2.07
2.01
2.93
2.96
f1 (ppm)


C13CPD
199.504
169.205
167.858
150.305
143.989
135.986
135.905
133.862
129.759
128.584
127.501
126.715
123.983
77.319 CDCl3
77.000 CDCl3
76.683 CDCl3
67.551
67.203
62.425
51.436
46.055
21.817
21.563
10.357
10.203
f1 (ppm)

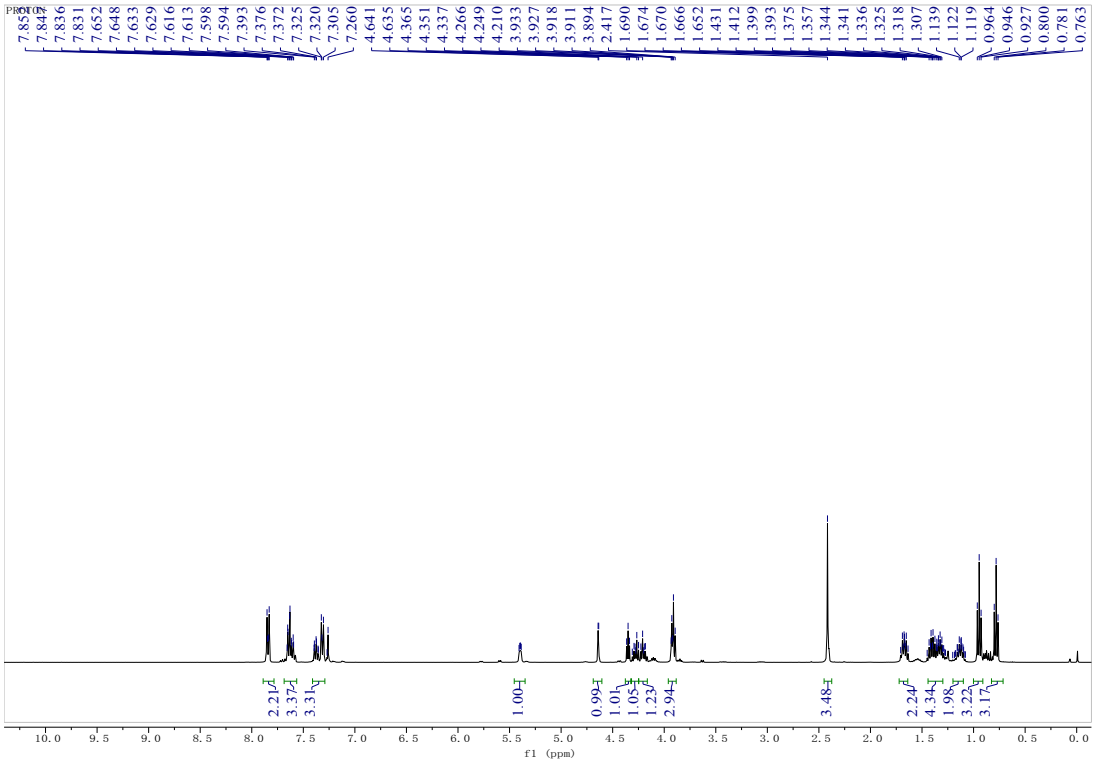

O
NHTs
i-PrO2C
CO2i-Pr
6q

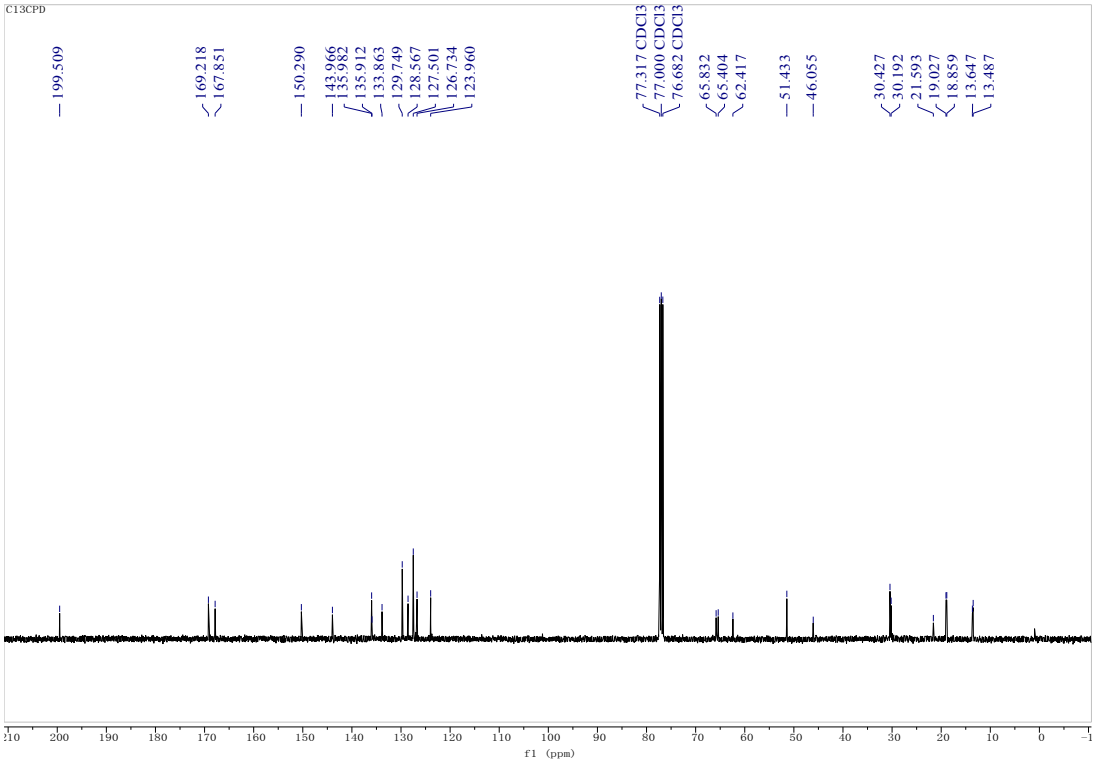

PROTON
7.858
7.838
7.704
7.684
7.643
7.624
7.615
7.612
7.596
7.577
7.574
7.388
7.369
7.350
7.329
7.309
7.260
5.386
5.372
5.358
5.196
5.180
5.165
5.149
5.134
4.856
4.840
4.825
4.809
4.794
4.577
4.574
4.569
4.386
4.372
4.358
3.925
3.920
3.910
3.905
2.418
1.329
1.321
1.314
1.306
1.013
0.997
0.875
0.859
2.04
1.06
2.02
2.97
1.00
1.02
1.00
1.00
1.00
0.99
3.04
6.16
3.05
3.15
f1 (ppm)

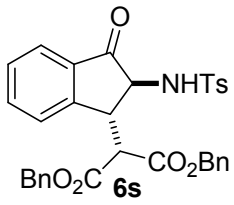

C13CPD
199.642
168.748
167.321
150.329
143.991
135.887
133.950
129.771
128.557
127.523
127.403
127.246
123.860
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
69.651
69.343
62.480
51.614
45.984
21.737
21.567
21.406
21.164
f1 (ppm)


O
NHTs
CO2n-Bu
n-BuO2C

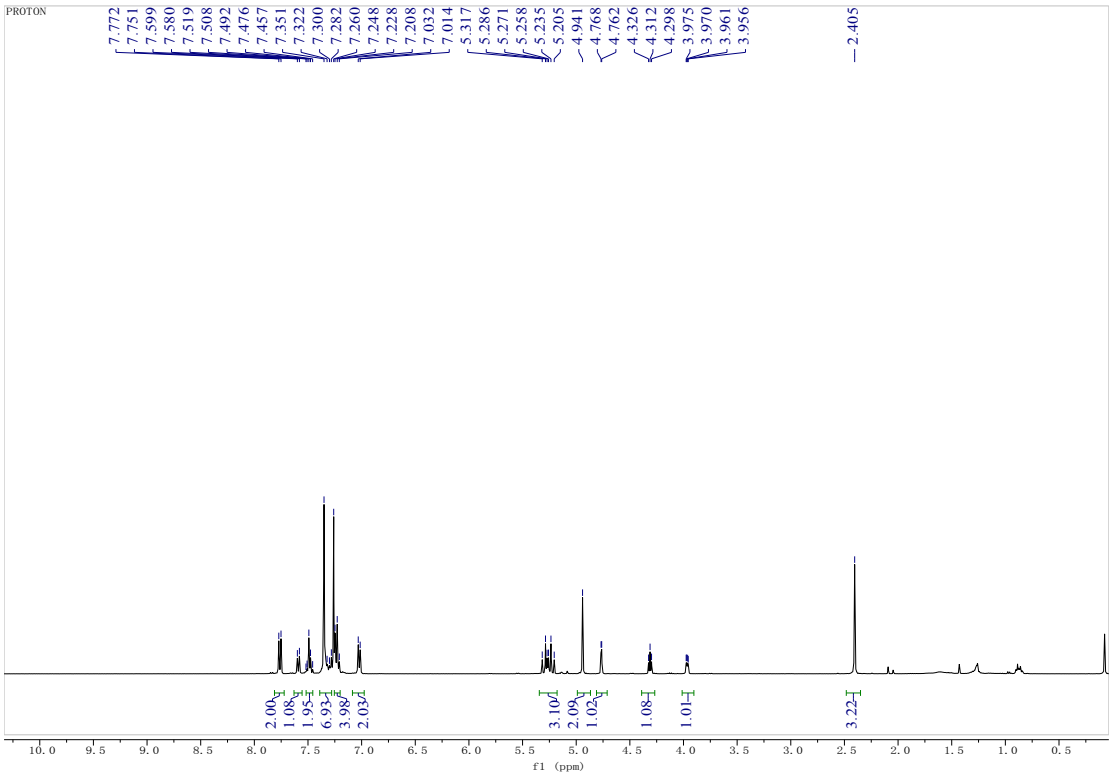
6r

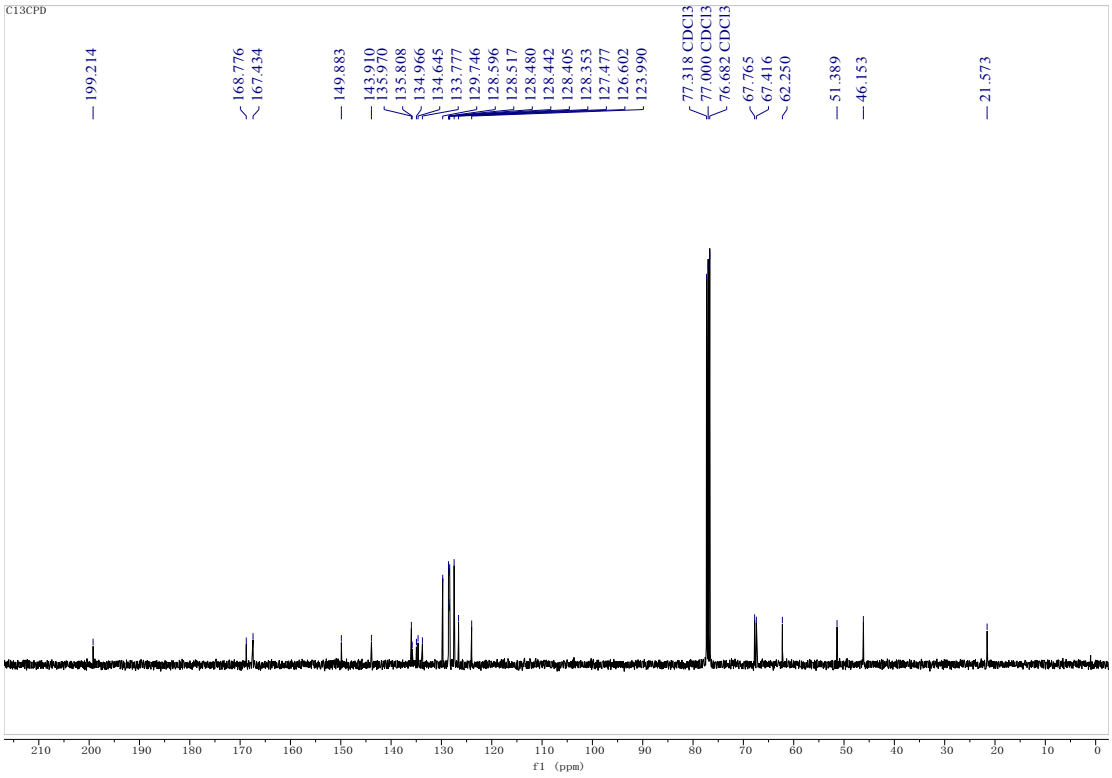

PROTON
7.852
7.844
7.836
7.831
7.652
7.648
7.633
7.629
7.616
7.613
7.598
7.594
7.393
7.376
7.372
7.325
7.320
7.305
7.260
4.641
4.635
4.365
4.351
4.337
4.266
4.249
4.210
3.933
3.927
3.918
3.911
3.894
2.417
1.690
1.674
1.670
1.666
1.652
1.431
1.412
1.399
1.393
1.375
1.357
1.344
1.341
1.336
1.325
1.318
1.307
1.139
1.122
1.119
0.964
0.946
0.927
0.800
0.781
0.763
2.21
3.37
3.31
1.00
0.99
1.01
1.05
1.23
2.94
3.48
2.24
4.34
1.98
3.22
3.17
f1 (ppm)

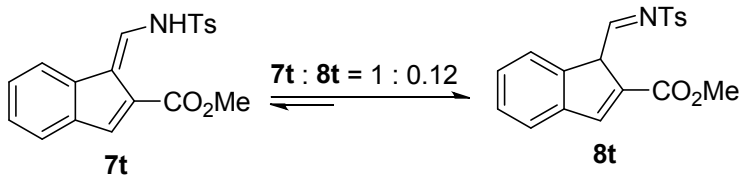

C13CPD
199.509
169.218
167.851
150.290
143.966
135.982
135.912
133.863
129.749
128.567
127.501
126.734
123.960
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
65.832
65.404
62.417
51.433
46.055
30.427
30.192
21.593
19.027
18.859
13.647
13.487
f1 (ppm)


O
NHTs
BnO2C
CO2Bn

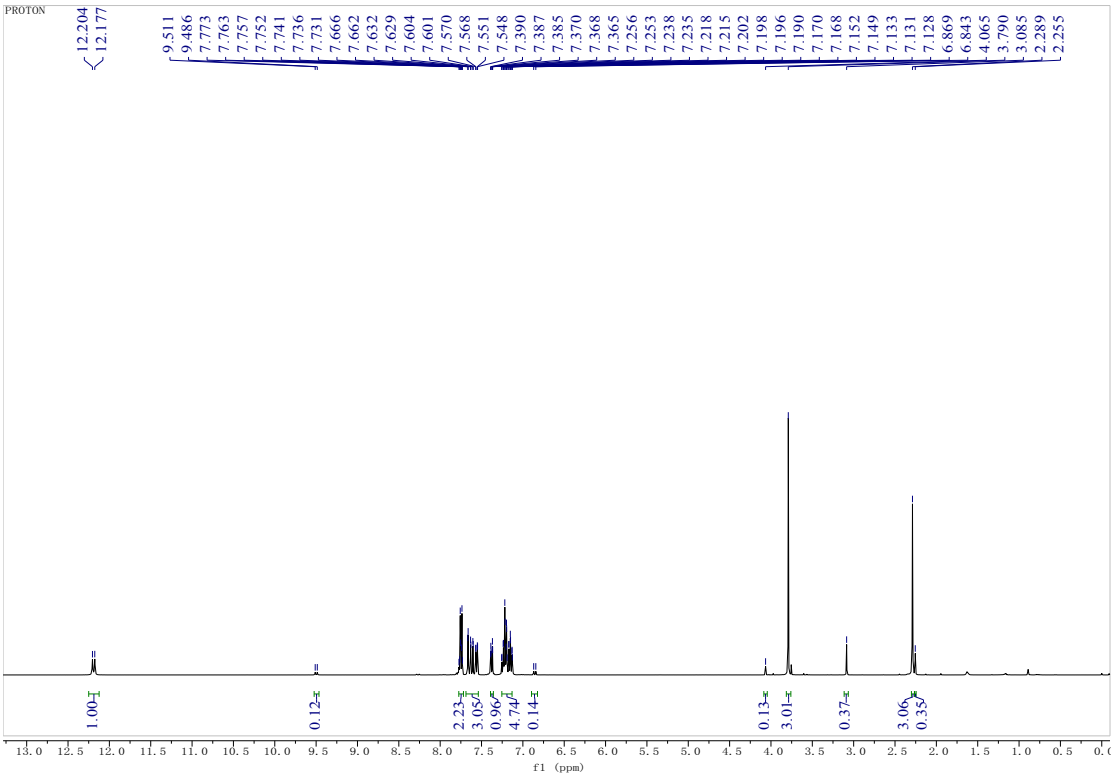
6s

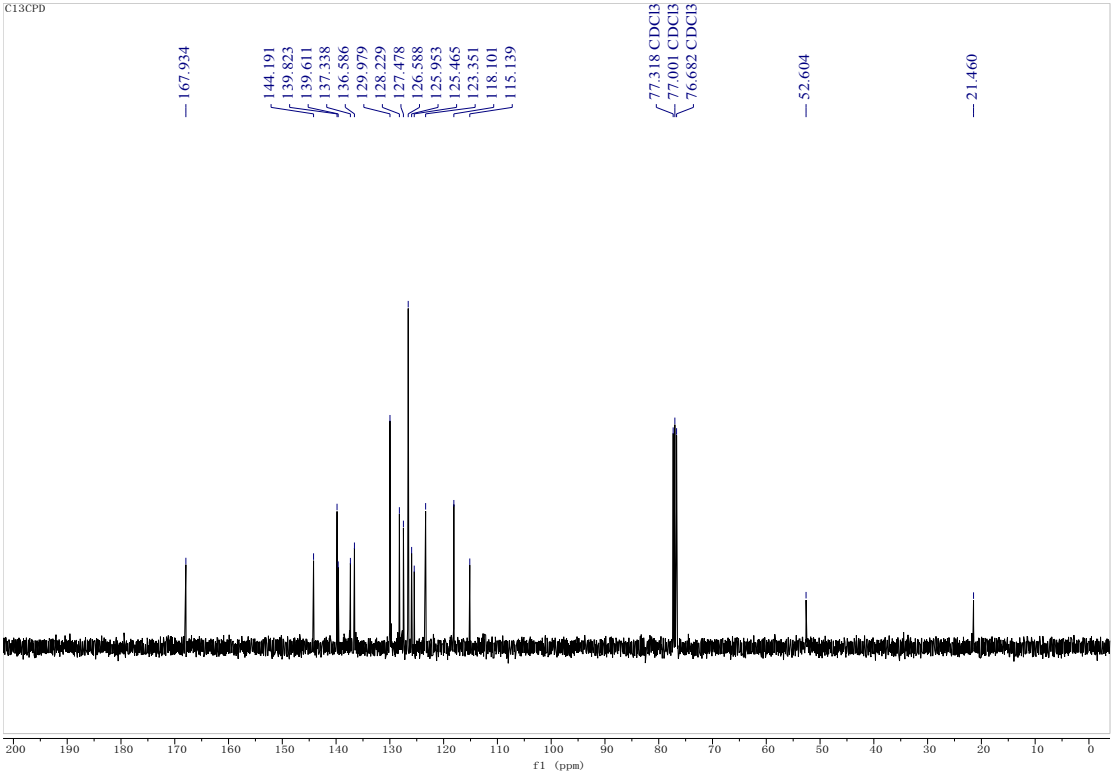

PROTON
7.772
7.751
7.599
7.580
7.519
7.508
7.492
7.476
7.457
7.351
7.322
7.300
7.282
7.260
7.248
7.228
7.208
7.032
7.014
5.317
5.286
5.271
5.258
5.235
5.205
4.941
4.768
4.762
4.326
4.312
4.298
3.975
3.970
3.961
3.956
2.405
2.00
1.08
1.95
6.93
3.98
2.03
3.10
2.09
1.02
1.08
1.01
3.22
f1 (ppm)

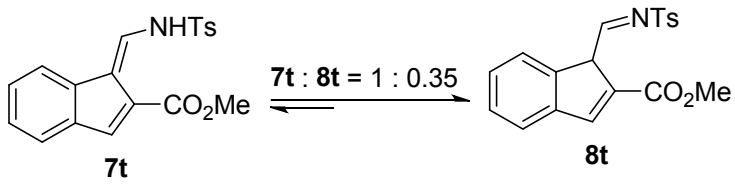

C13CPD
199.214
168.776
167.434
149.883
143.910
135.970
135.808
134.966
134.645
133.777
129.746
128.596
128.517
128.480
128.442
128.405
128.353
127.477
126.602
123.990
77.318 CDCl3
77.000 CDCl3
76.682 CDCl3
67.765
67.416
62.250
51.389
46.153
21.573
f1 (ppm)


NHTs
CO2Me
7t : 8t = 1 : 0.12
NTs
CO2Me

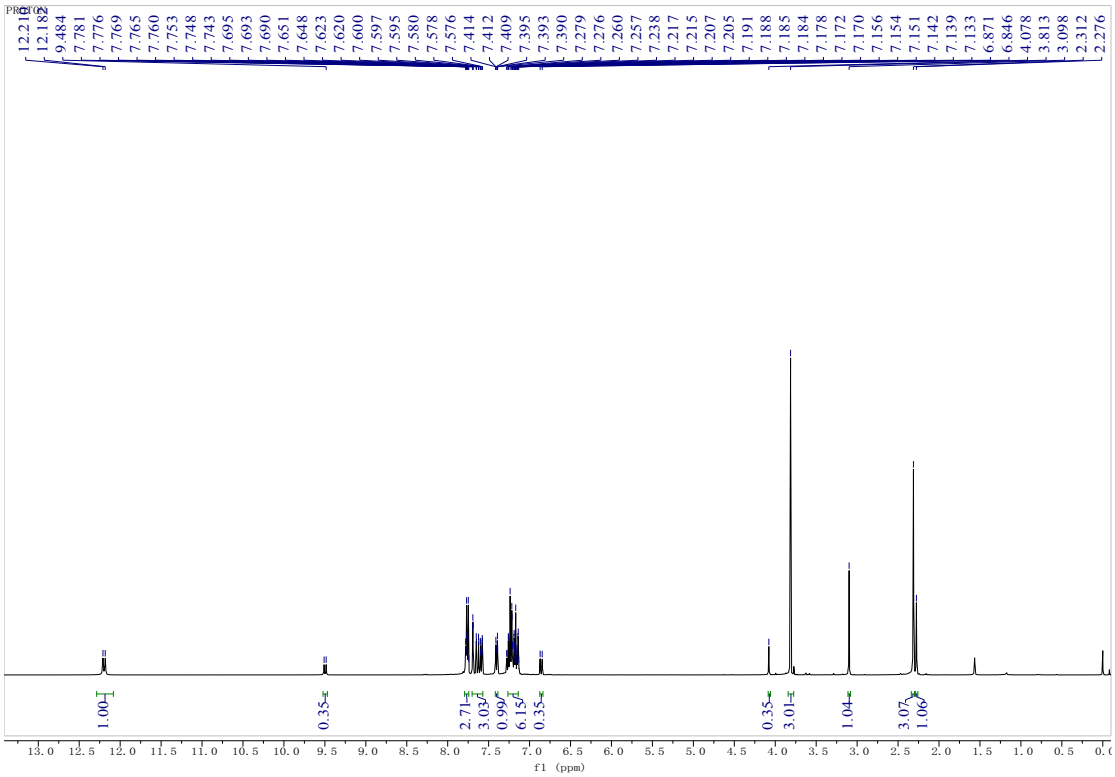
7t **8t**

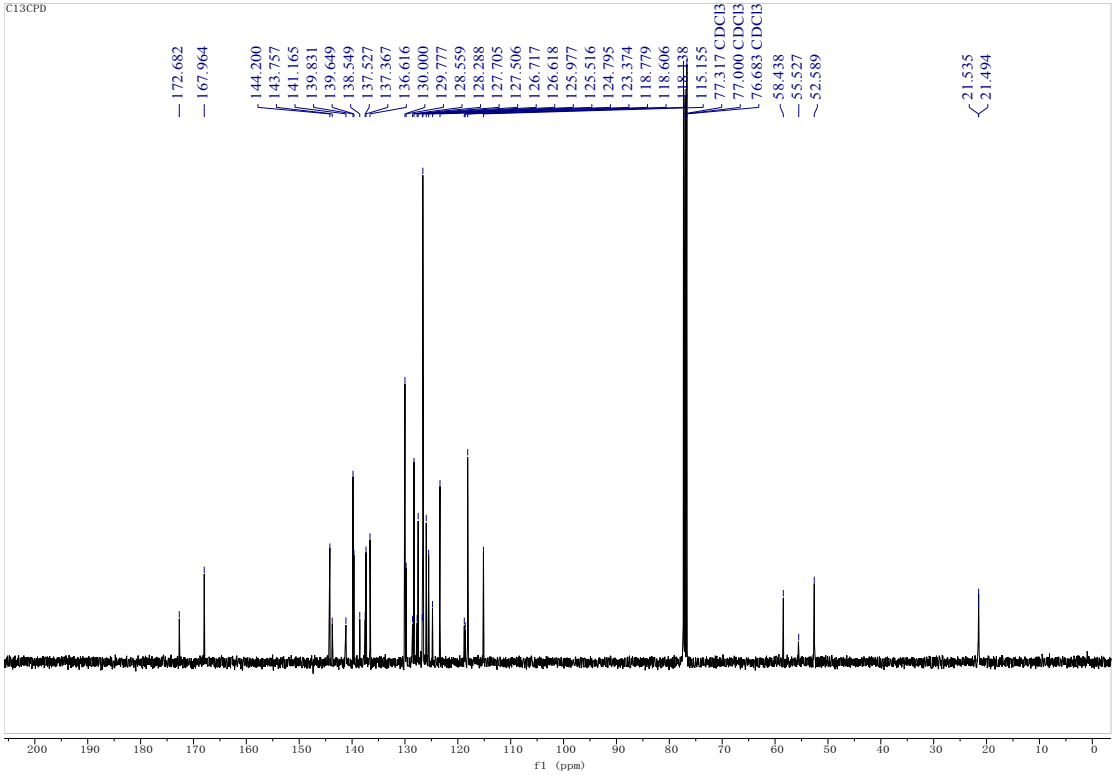

PROTON
12.204
12.177
9.511
9.486
7.773
7.763
7.757
7.752
7.741
7.736
7.731
7.666
7.662
7.632
7.629
7.604
7.601
7.570
7.568
7.551
7.548
7.390
7.387
7.385
7.370
7.368
7.365
7.256
7.253
7.238
7.235
7.218
7.215
7.202
7.198
7.196
7.190
7.170
7.168
7.152
7.149
7.133
7.131
7.128
6.869
6.843
4.065
3.790
3.085
2.289
2.255
1.00
0.12
2.23
3.05
0.96
4.74
0.14
0.13
3.01
0.37
3.06
0.35
f1 (ppm)

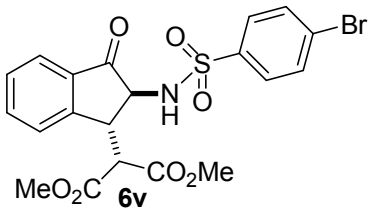

C13CPD
167.934
144.191
139.823
139.611
137.338
136.586
129.979
128.229
127.478
126.588
125.953
125.465
123.351
118.101
115.139
77.318 CDCl3
77.001 CDCl3
76.682 CDCl3
52.604
21.460
f1 (ppm)


NHTs
CO2Me
7t : 8t = 1 : 0.35
NTs
CO2Me

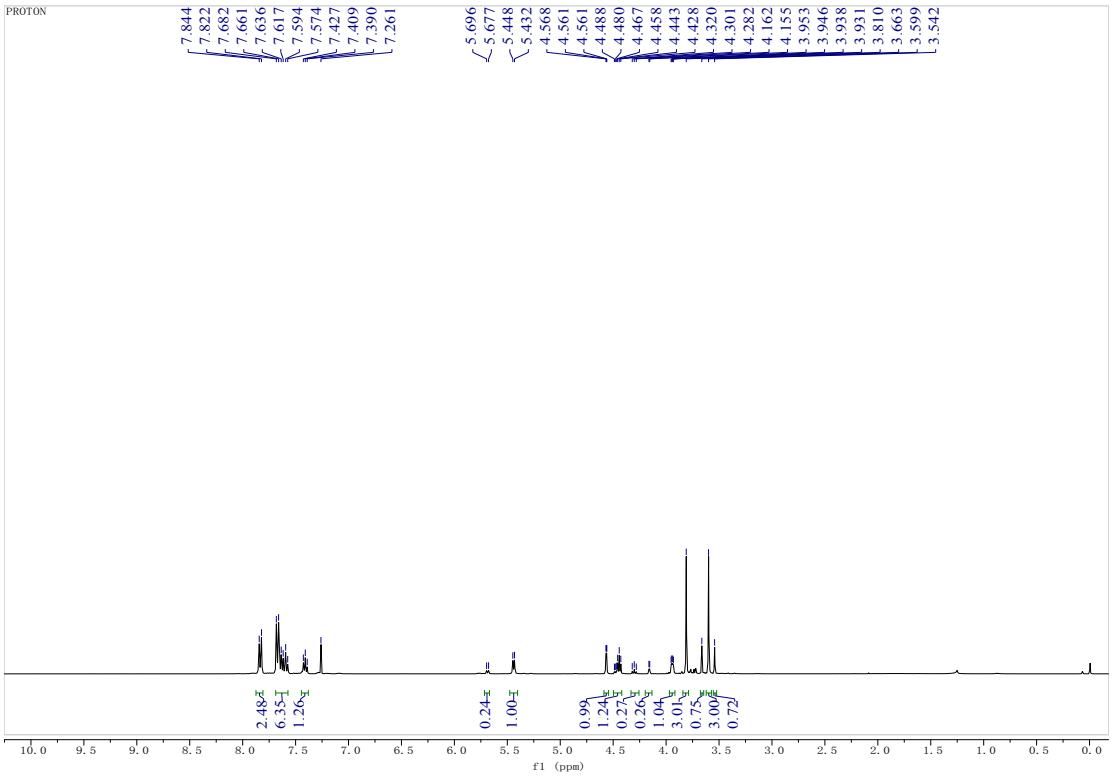
7t **8t**

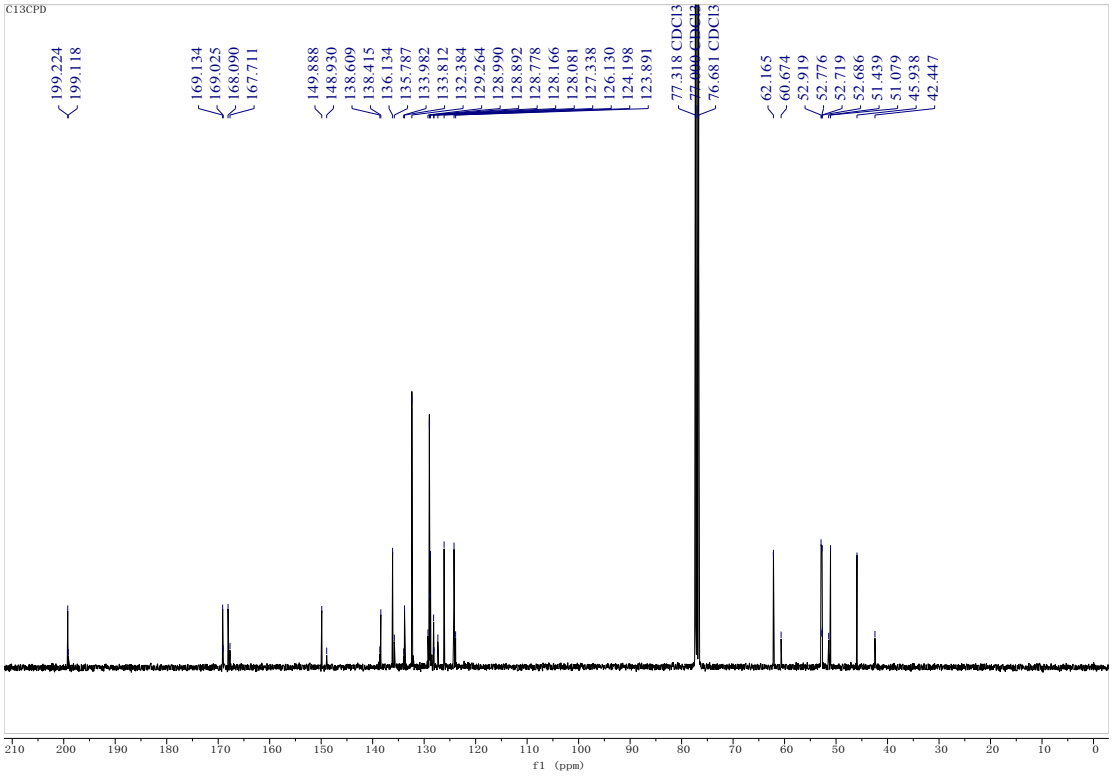

PROTON
12.211
12.182
9.484
7.781
7.776
7.769
7.765
7.760
7.753
7.748
7.743
7.695
7.693
7.690
7.651
7.648
7.623
7.620
7.600
7.597
7.595
7.580
7.578
7.576
7.414
7.412
7.409
7.395
7.393
7.390
7.279
7.276
7.260
7.257
7.238
7.217
7.215
7.207
7.205
7.191
7.188
7.185
7.184
7.178
7.172
7.170
7.156
7.154
7.151
7.142
7.139
7.133
6.871
6.846
4.078
3.813
3.098
2.312
2.276
1.00
0.35
2.71
3.03
0.99
6.15
0.35
0.35
3.01
1.04
3.07
1.06
f1 (ppm)

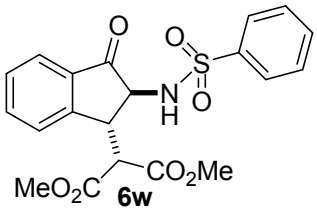

C13CPD
172.682
167.964
144.200
143.757
141.165
139.831
139.649
138.549
137.527
137.367
136.616
130.000
129.777
128.559
128.288
127.705
127.506
126.717
126.618
125.977
125.516
124.795
123.374
118.779
118.606
115.155
77.317 CDCl3
77.000 CDCl3
76.683 CDCl3
58.438
55.527
52.589
21.535
21.494
f1 (ppm)


O
O
S
O
N
H
Br
MeO2C
CO2Me

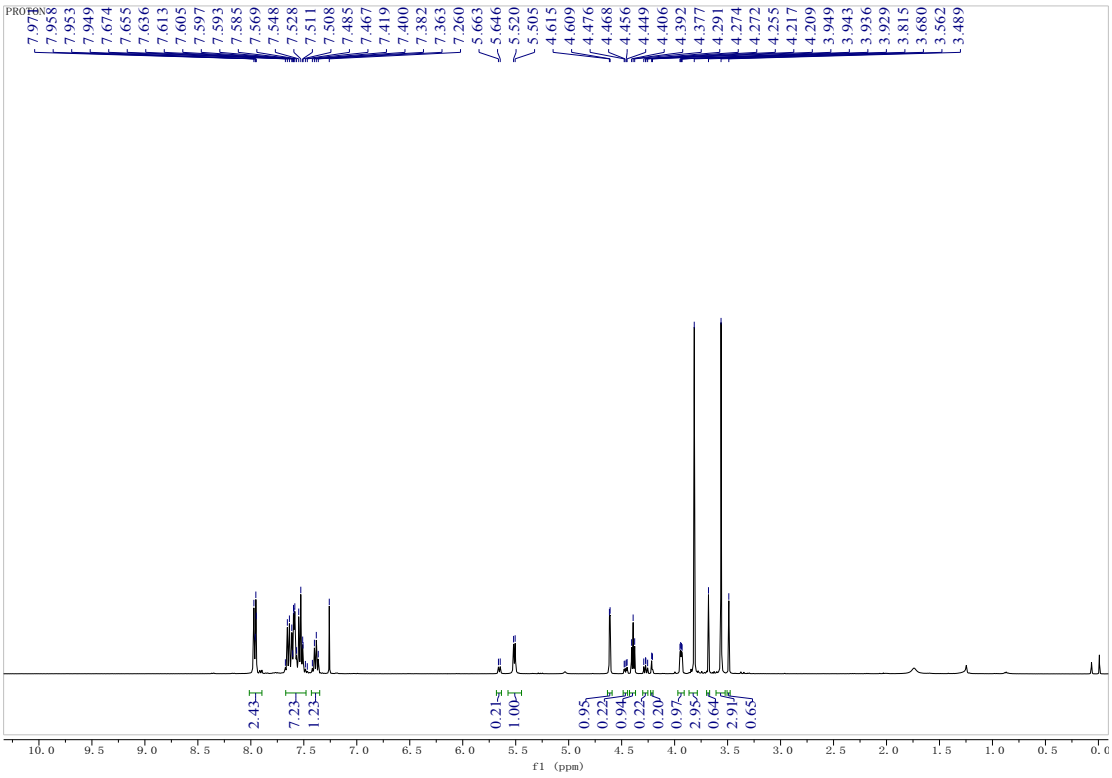
6v

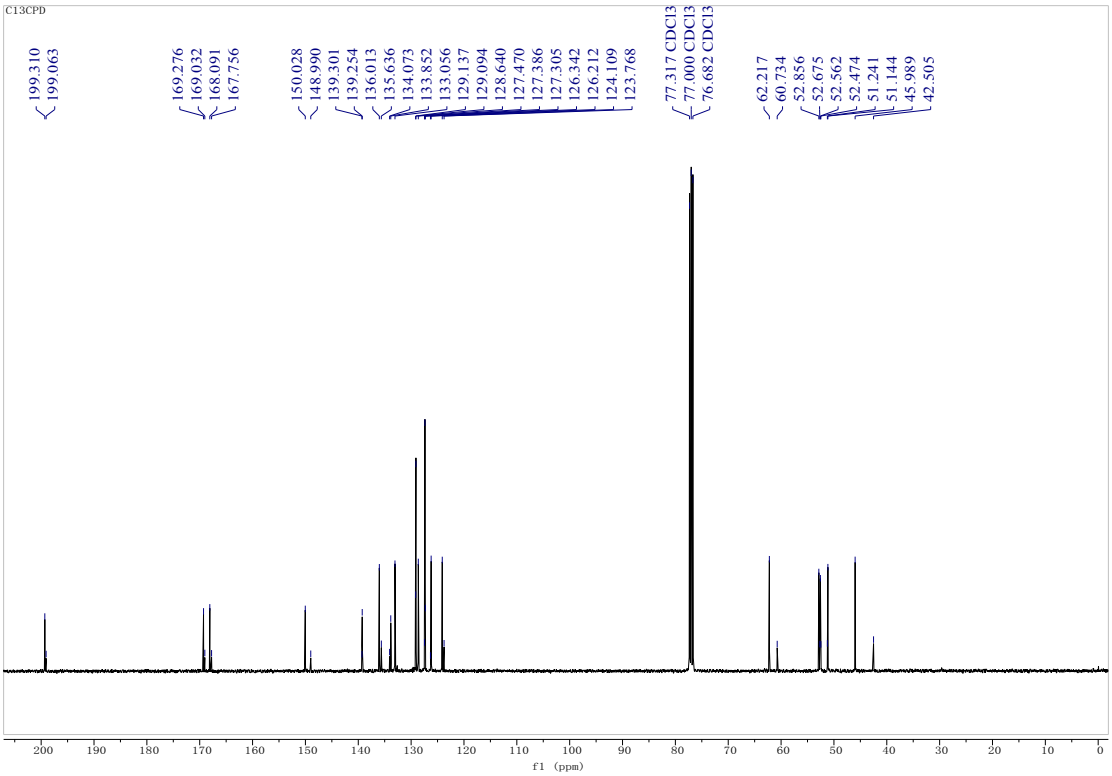

PROTON
7.844
7.822
7.682
7.661
7.636
7.617
7.594
7.574
7.427
7.409
7.390
7.261
5.696
5.677
5.448
5.432
4.568
4.561
4.561
4.488
4.480
4.467
4.458
4.443
4.428
4.320
4.301
4.282
4.162
4.155
3.953
3.946
3.938
3.931
3.810
3.663
3.599
3.542
2.48
6.35
1.26
0.24
1.00
0.99
1.24
0.27
0.26
1.04
3.01
0.75
3.00
0.72
f1 (ppm)

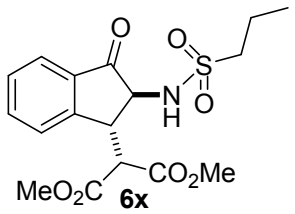

C13CPD
199.224
199.118
169.134
169.025
168.090
167.711
149.888
148.930
138.609
138.415
136.134
135.787
133.982
133.812
132.384
129.264
128.990
128.892
128.778
128.166
128.081
127.338
126.130
124.198
123.891
77.318 CDCl3
77.000 CDCl3
76.681 CDCl3
62.165
60.674
52.919
52.776
52.719
52.686
51.439
51.079
45.938
42.447
f1 (ppm)


O
O
S
O
N
H
MeO2C
CO2Me

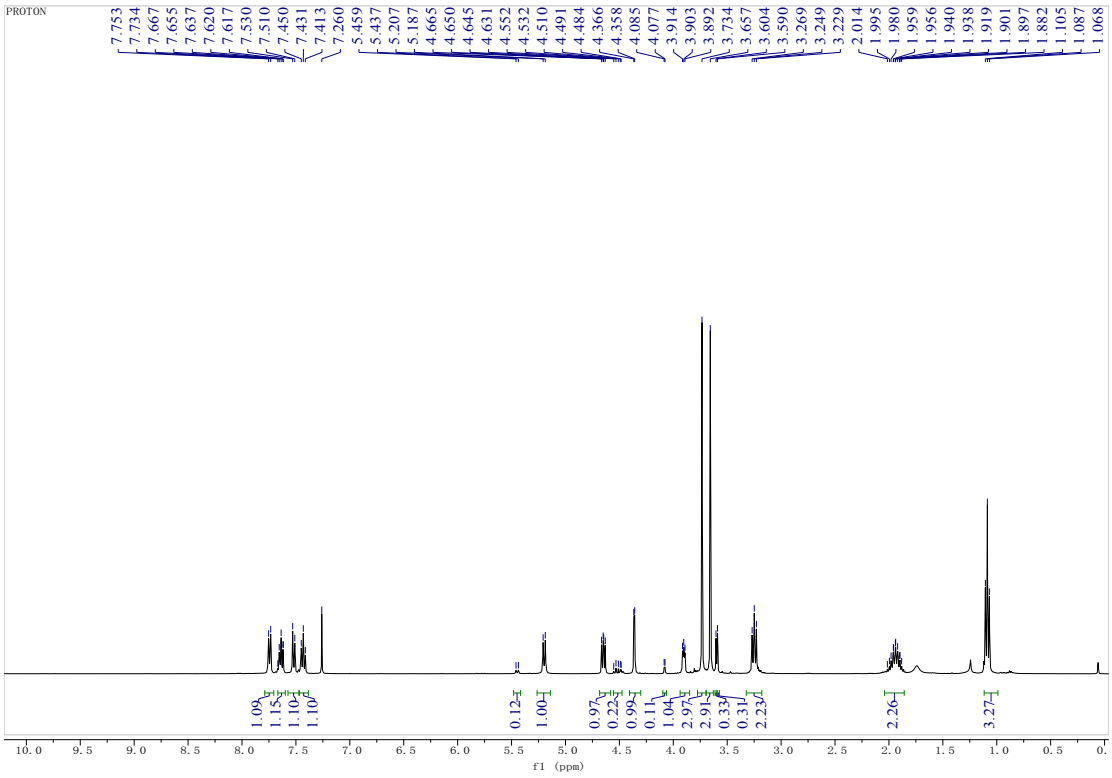
6w

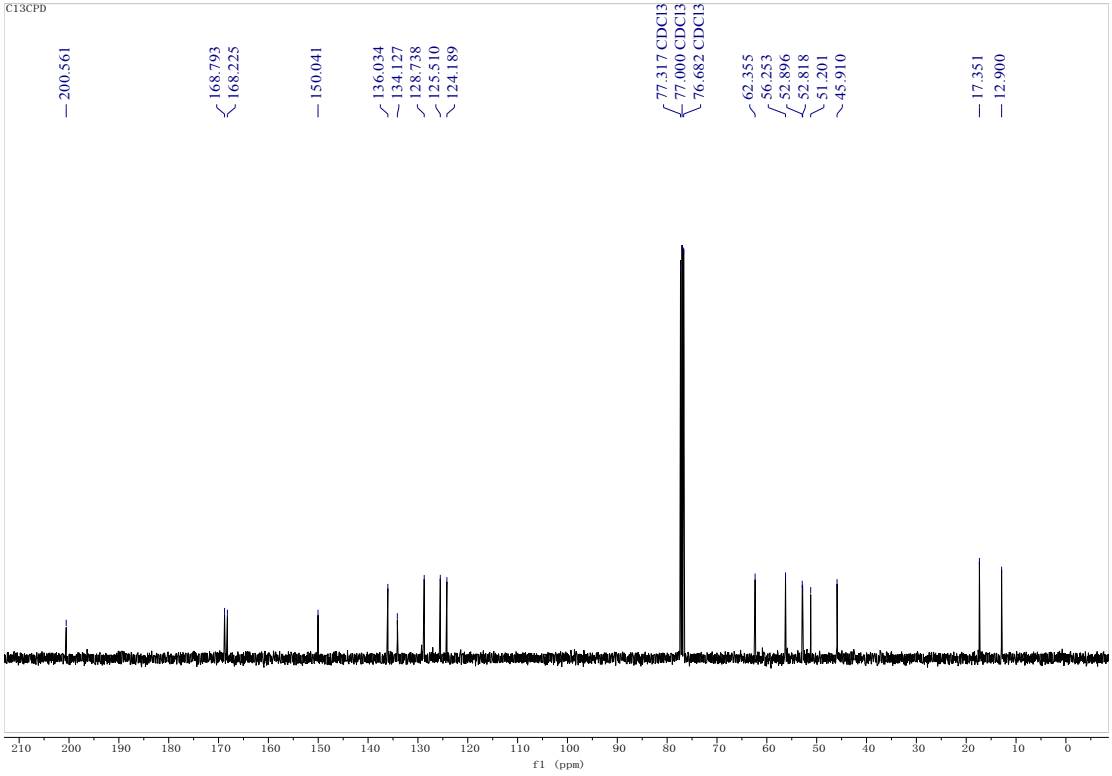

PROTON
7.978
7.958
7.953
7.949
7.674
7.655
7.636
7.613
7.605
7.597
7.593
7.585
7.569
7.548
7.528
7.511
7.508
7.485
7.467
7.419
7.400
7.382
7.363
7.260
5.663
5.646
5.520
5.505
4.615
4.609
4.476
4.468
4.456
4.449
4.406
4.392
4.377
4.291
4.274
4.272
4.255
4.217
4.209
3.949
3.943
3.936
3.929
3.815
3.680
3.562
3.489
2.43
7.23
1.23
0.21
1.00
0.95
0.22
0.94
0.22
0.20
0.97
2.95
0.64
2.91
0.65
f1 (ppm)

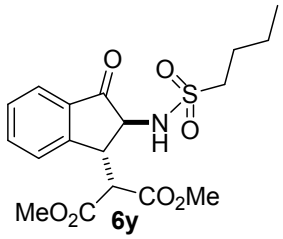

C13CPD
199.310
199.063
169.276
169.032
168.091
167.756
150.028
148.990
139.301
139.254
136.013
135.636
134.073
133.852
133.056
129.137
129.094
128.640
127.470
127.386
127.305
126.342
126.212
124.109
123.768
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
62.217
60.734
52.856
52.675
52.562
52.474
51.241
51.144
45.989
42.505
f1 (ppm)

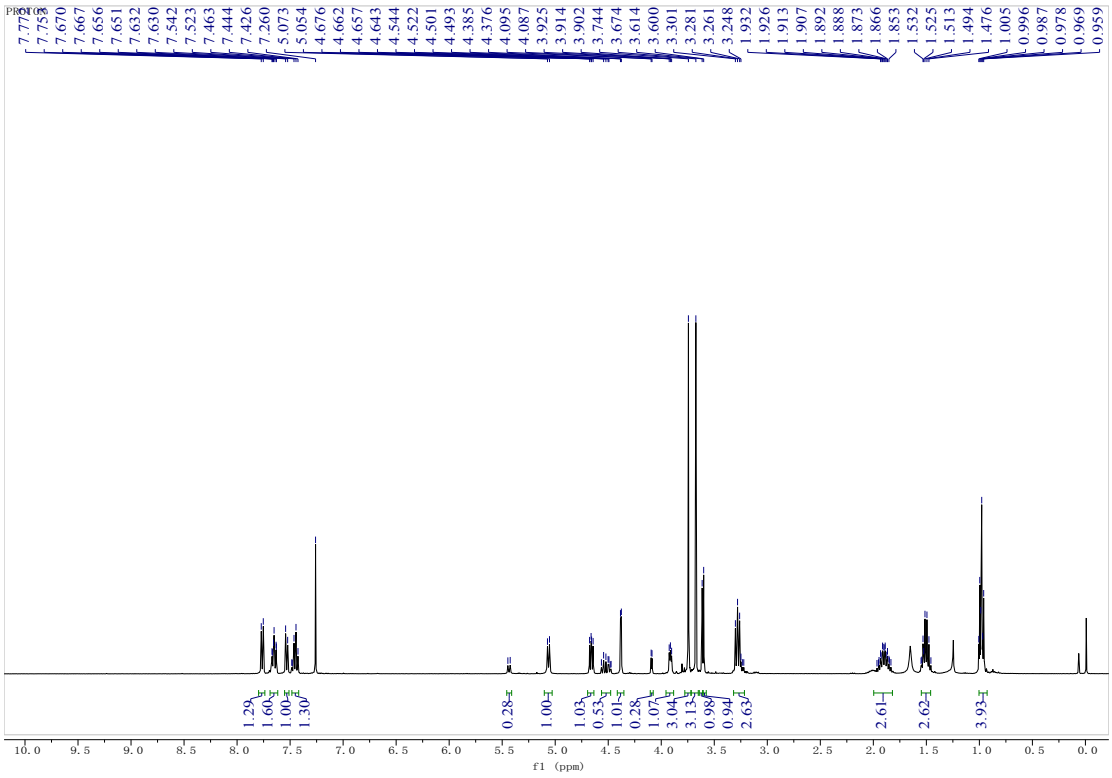

O
O
S
O
N
H
MeO2C
CO2Me

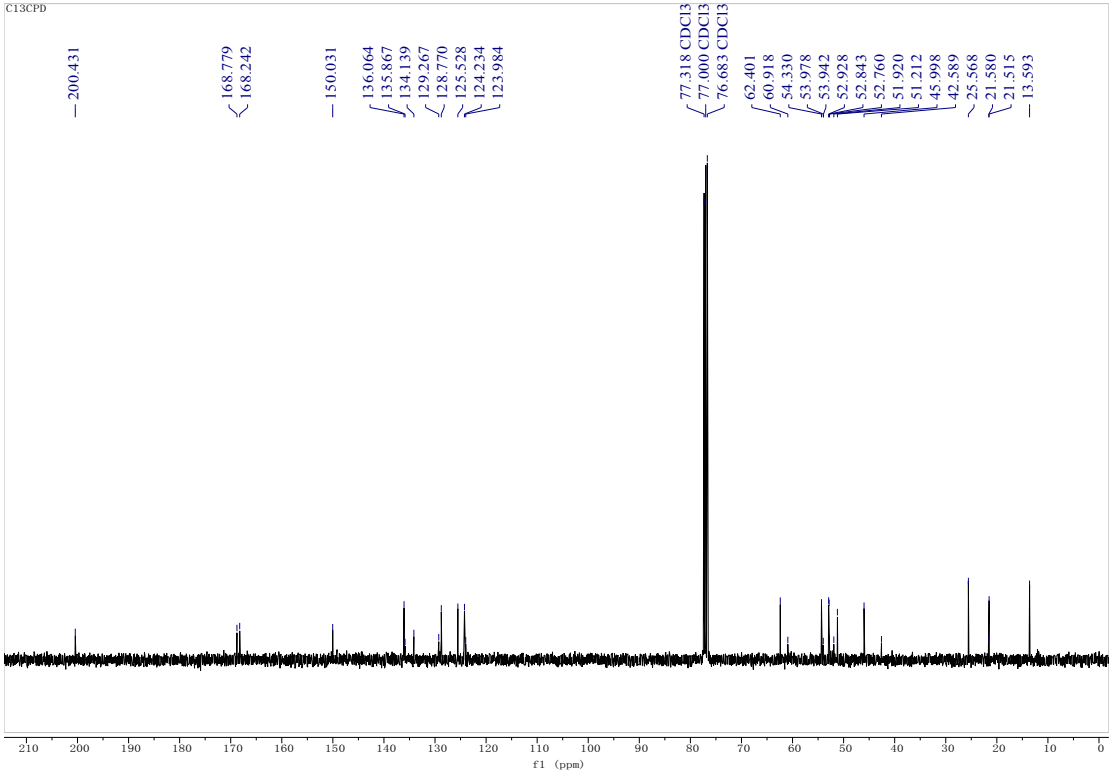
6x


PROTON
7.753
7.734
7.667
7.655
7.637
7.620
7.617
7.530
7.510
7.450
7.431
7.413
7.260
5.459
5.437
5.207
5.187
4.665
4.650
4.645
4.631
4.552
4.532
4.510
4.491
4.484
4.366
4.358
4.085
4.077
3.914
3.903
3.892
3.734
3.657
3.604
3.590
3.269
3.249
3.229
2.014
1.995
1.980
1.959
1.956
1.940
1.938
1.919
1.901
1.897
1.882
1.105
1.087
1.068
1.09
1.15
1.10
1.10
0.12
1.00
0.97
0.22
0.99
0.11
1.04
2.97
2.91
0.33
0.31
2.23
2.26
3.27
f1 (ppm)


C13CPD
200.561
168.793
168.225
150.041
136.034
134.127
128.738
125.510
124.189
77.317 CDCl3
77.000 CDCl3
76.682 CDCl3
62.355
56.253
52.896
52.818
51.201
45.910
17.351
12.900
f1 (ppm)


O
O
S
N
H
O
MeO2C
CO2Me
6y


PROTON
7.773
7.759
7.670
7.667
7.656
7.651
7.632
7.630
7.542
7.523
7.463
7.444
7.426
7.260
5.073
5.054
4.676
4.662
4.657
4.643
4.544
4.522
4.501
4.493
4.385
4.376
4.095
4.087
3.925
3.914
3.902
3.744
3.674
3.614
3.600
3.301
3.281
3.261
3.248
1.932
1.926
1.913
1.907
1.892
1.888
1.873
1.866
1.853
1.532
1.525
1.513
1.494
1.476
1.005
0.996
0.987
0.978
0.969
0.959
1.29
1.60
1.00
1.30
0.28
1.00
1.03
0.53
1.01
0.28
1.07
3.04
3.13
0.98
0.94
2.63
2.61
2.62
3.93
f1 (ppm)


C13CPD
200.431
168.779
168.242
150.031
136.064
135.867
134.139
129.267
128.770
125.528
124.234
123.984
77.318 CDCl3
77.000 CDCl3
76.683 CDCl3
62.401
60.918
54.330
53.978
53.942
52.928
52.843
52.760
51.920
51.212
45.998
42.589
25.568
21.580
21.515
13.593
f1 (ppm)