Supporting Information

Diastereoselective desymmetrization reaction of prochiral *para*-quinamines with in situ generated cyclopropenes: access to fused-hydroindol-5-one scaffolds

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General Information: All reactions were carried out either under inert atmosphere or air and monitored by TLC using Merck 60 F254 pre coated silica gel plates (0.25 mm thickness) and the products were visualized by UV detection. Flash chromatography was carried out with silica gel (200-300 mesh). ^{1}H and ^{13}C NMR spectra were recorded on a Bruker Avance (III) 400 and 500 MHz spectrometers. Data for ^{1}H NMR are reported as a chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, q = quartet, m = multiplet), coupling constant J (Hz), integration, and assignment, data for ^{13}C are reported as a chemical shift (δ ppm). High resolutions mass spectral analyses (HRMS) were carried out using ESI-TOF-MS. Melting points were recorded on an electrothermal melting points apparatus and are uncorrected.

Preparation of starting materials: The *para*-quinamines (**1a-h**)[1] and ethyl 1-chloro-2-aroylcyclopropanecarboxylates (**2a-j**)[2] were prepared according to the literature procedures. All chemicals were purchased from commercial suppliers and used without further purification unless otherwise stated.

Representative procedure for the synthesis of ethyl 6b-benzoyl-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3aa): To a stirred solution of compounds **1a** (0.2 mmol) and **2a** (0.26 mmol) in dry MeCN (2.0 mL) was added Cs_2CO_3 (0.4 mmol) at room temperature, followed by heating at 80 °C for 16 h under N_2-atmosphere. Upon completion of the reaction (monitored by TLC), the reaction mixture was extracted three times with ethyl acetate (3 × 10 mL), washed with water and brine, respectively, and dried over Na_2SO_4. The combined organic phases were evaporated under reduced pressure to afford the crude residue. Finally, the product **3aa** was isolated in a pure form (68 mg; 62% yield) through column chromatography over silica gel using a mixture of EtOAc/hexane (25:75, v/v) as the eluent. The product was fully characterized by its spectroscopic data (^{1}HNMR, ^{13}C NMR, and HRMS). Moreover, the relative configuration was assigned by its single crystal X-ray diffraction data. The minor isomer was unable to isolate.

All the prepared products in Table 2 were followed the above procedure and characterized by their corresponding spectroscopic data (^{1}H NMR, ^{13}C NMR, and HRMS).

(±)-*(cis-trans-cis)*-Ethyl 6b-benzoyl-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3aa): Colorless solid; mp 185-187 °C; yield 62% (68 mg); dr = 90:10; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.95 (d, *J* = 7.5 Hz, 2H), 7.60 (t, *J* = 7.3 Hz, 2H), 7.48 (t, *J* = 7.6 Hz, 2H), 7.25-7.19 (m, 3H), 7.09 – 7.04 (m, 6H), 6.30 (d, *J* = 10.5 Hz, 1H), 4.34-4.28 (m, 2H), 3.47 (br s, 1H), 2.69 (d, *J* = 5.6 Hz, 1H), 2.40 (s, 3H), 2.30-2.16 (m, 2H), 2.10 – 1.99 (m, 1H), 1.34 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 192.0, 168.3, 148.1, 143.4, 138.7, 135.8, 134.2, 132.9, 129.2(2C), 129.0(3C), 127.9, 127.6(2C), 78.5, 77.4, 77.0, 76.7, 62.0, 55.3, 55.1, 44.2, 35.2, 33.8, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{32}H_{29}NNaO_6S^+$ 578.1608, found 578.1605.

Crystallographic data: Single crystal X-ray structural of compound **3aa** was measured on the Bruker D8 Quest Single Crystal-XRD at 150(2) K using graphite monochromated Mo Kα radiation (λα = 0.71073 Å). The strategy for the Data collection was evaluated by using the CrysAlisPro CCD software. The data were collected by the standard 'phi-omega scan techniques, and were scaled and reduced using CrysAlisPro RED software. The structure was solved by direct methods using SHELXS-97, and refined by full matrix least-squares with SHELXL-97, refining on *F2*. The positions of all the atoms were obtained by direct methods. All non-hydrogen atoms were refined anisotropically. The remaining hydrogen atoms were placed in geometrically constrained positions, and refined with isotropic temperature factors, generally 1.2*Ueq* of their parent atoms. The crystal data are summarized in Table S1. The **CCDC** number of compound **3aa (2094494)** can be obtained free of charge via www.ccdc.cam.ac.uk (or from the Cambridge Crystallographic Data Centre,12 union Road, Cambridge CB21 EZ, UK; Fax: (+44) 1223-336-033; or deposit@ccdc.cam.ac.uk).

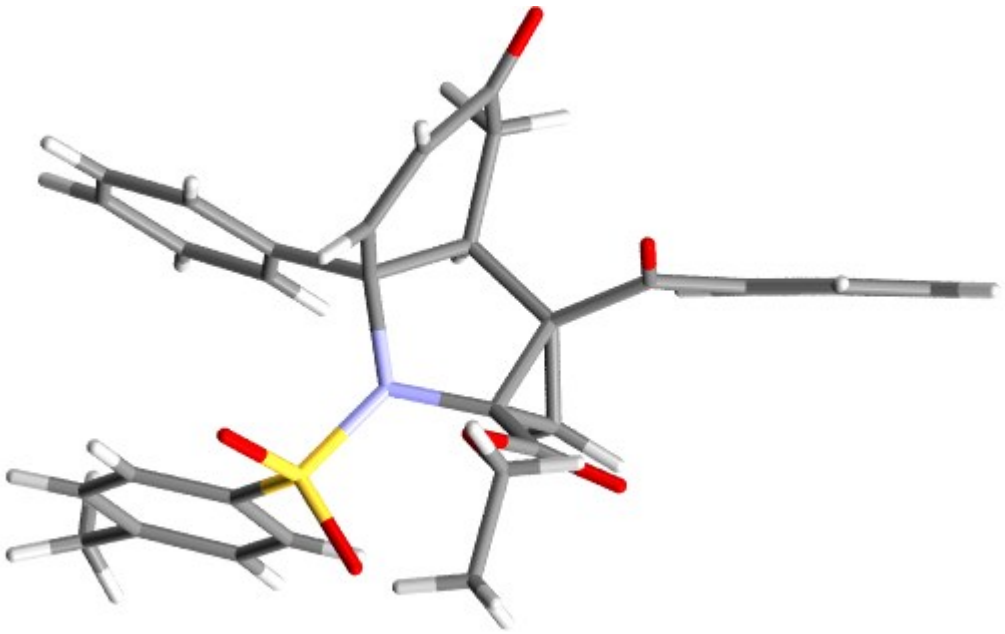


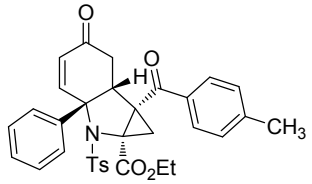
Figure S1. ORTEP diagram of compound **3aa (CCDC 2094494),** thermal ellipsoids drawn at the 50% probability level.

Table S1. Crystal data for compound 3aa.

Compound	**3aa**
Empirical formula	C_{32} H_{29} NO_6S
Formula weight	555.62
Temperature	293 K
Wave length (Å)	1.54184 Å
Crystal system, space group	Monoclinic P1 21/n1
a (Å)	a = 10.7889(3) A

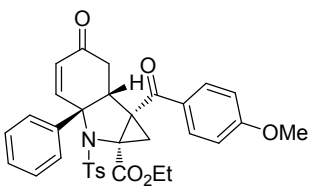
b (Å) *c* (Å) *α* (°) *β* (°) *γ* (°)	b = 23.8675(5) A c = 11.6684(5) A alpha = 90 deg. beta = 113.658(4) deg. gamma = 90 deg.
Volume (Å^3)	2752.14(17) A^3
Z, Calculated density (mg/m^3)	4, 1.266 Mg/m^3
Absorption coefficient (mm^{-1})	1.433 mm^-1
F(000)	1108
Θ range (deg)	3.704 to 71.223 deg.
Limiting indices	-13<=h<=13, -28<=k<=28, -14<=l<=14
Reflections collected / unique	12957 / 5159 [R(int) = 0.0799]
Completeness to Θ	96.6 %
Max. and min. transmission	0.846 and 1.0
Absorption correction	none
Data / restrains / parameters	5159 / 0 / 363
Goodness-of-fit on F^2	1.640
Final R indices [I>2sigma(I)]	R1 = 0.1249, wR2 = 0.2615
R indices (all data)	R1 = 0.1028, wR2 = 0.3020
Extinction coefficient	n/a
Largest diff. peak and hole (e.A^{-3})	0.387 and -1.205 e.A^-3
CCDC	**2094494**

(±)-*(cis-trans-cis)*-Ethyl 6b-(4-methylbenzoyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ab):



Colorless solid; mp 195-197 °C; yield = 59% (67 mg); dr = 91:9; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.7 Hz, 2H), 7.57 (d, *J* = 11.5 Hz, 1H), 7.37-7.23 (m, 4H), 7.21 (d, *J* = 7.9 Hz, 2H), 7.06-7.05 (m, 5H), 6.29 (d, *J* = 10.6 Hz, 1H), 4.34-4.27 (m, 2H), 3.45 (br s, 1H), 2.68 (d, *J* = 5.3 Hz, 1H), 2.41 (d, *J* = 6.5 Hz, 6H), 2.25-2.18 (m, 2H), 2.06-2.01 (m, 2H), 1.33 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 191.5, 168.3, 148.1, 145.2, 143.3, 138.6, 133.4, 132.9, 129.7, 129.3, 129.2, 129.0 (2C), 128.9, 127.8, 127.6, 78.4, 61.9, 55.2, 54.9, 44.2, 35.2, 33.8, 21.7, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{33}H_{31}NNaO_6S^+$ 592.1764, found 592.1772.

(±)-*(cis-trans-cis)*-Ethyl 6b-(4-methoxybenzoyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ac):



Colorless solid; mp 183-185 °C; yield = 60% (70 mg); dr =

88:12; R_f = 0.31 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.94 (d, J = 8.3 Hz, 2H), 7.57 (d, J = 11.5 Hz, 1H), 7.26 – 7.20 (m, 4H), 7.06-7.05 (m, 5H), 6.94 (d, J = 7.9 Hz, 2H), 6.29 (d, J = 9.6 Hz, 1H), 4.32-4.27 (m, 2H), 3.88 (s, 3H), 3.44 (br s, 1H), 2.68 (d, J = 4.6 Hz, 1H), 2.40 (s, 3H), 2.23 – 2.17 (m, 2H), 2.06-2.02 (m, 1H), 1.34 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 190.3, 168.4, 164.3, 148.0, 143.3, 138.7, 131.4, 130.6, 129.3, 129.0, 128.97, 128.92, 128.3, 127.8, 127.6, 114.2, 78.4, 61.9, 55.6, 55.3, 54.9, 44.2, 35.2, 33.8, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{33}H_{31}NNaO_7S^+$ 608.1713, found 608.1727.

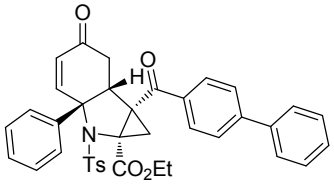
Ethyl 2-(4-methoxybenzoyl)-1-tosylcyclopropanecarboxylate (byproduct): Colorless solid; mp 85-90 °C; R_f = 0.41 (ethyl acetate/hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (d, J = 8.7 Hz, 1H), 7.85 (d, J = 8.1 Hz, 1H), 7.37 (d, J = 8.0 Hz, 1H), 6.92 (d, J = 8.7 Hz, 1H), 3.97 (dd, J = 7.0, 2.3 Hz, 1H), 3.88 (s, 1H), 3.62 (dd, J = 9.1, 7.8 Hz, 1H), 2.47 (s, 1H), 2.32 (dd, J = 7.1, 5.5 Hz, 1H), 2.17 (dd, J = 9.4, 5.3 Hz, 1H), 0.98 (t, J = 7.1 Hz, 1H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.6, 164.1, 163.5, 145.3, 135.3, 131.0, 129.7, 129.5, 129.2, 113.9, 62.4, 55.5, 53.0, 29.9, 21.7, 18.3, 13.5 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{21}H_{22}NaO_6S^+$ 425.1029, found 425.1034.

(±)-*(cis-trans-cis)*-Ethyl 6b-(2,4-dimethylbenzoyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ad): Colorless solid; mp 190-192 °C; yield = 52% (61 mg); dr = 90:10; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.78 (d, J = 7.1 Hz, 1H), 7.60 (d, J = 9.7 Hz, 1H), 7.26-7.17 (m, 3H), 7.08-7.05 (m, 8H), 6.34 (d, J = 10.4 Hz, 1H), 4.38 – 4.26 (m, 2H), 3.41 (br s, 1H), 2.66 (d, J = 4.3 Hz, 1H), 2.43 (s, 3H), 2.40 (s, 3H), 2.36 (s, 3H), 2.24-2.20 (m, 2H), 2.06-2.02 (m, 1H), 1.36 (br s, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.7, 193.5, 168.5, 148.0, 143.6, 143.3, 143.2, 142.0, 138.8, 133.8, 133.2, 132.2, 130.7, 129.4, 129.0, 128.9, 127.8, 127.5, 126.2, 78.4, 62.0, 55.9, 55.8, 45.7, 35.3, 34.4, 21.7, 21.5 (2C), 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{34}H_{33}NNaO_6S^+$ 606.1921, found 606.1935.

(±)-*(cis-trans-cis)*-Ethyl 6b-(4-(tert-butyl) benzoyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ae): Colorless solid; mp 170 -172 °C; yield = 54% (66 mg); dr = 89:11; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H

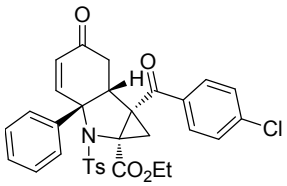
NMR (400 MHz, $CDCl_3$) δ 7.89 (d, *J* = 8.3 Hz, 2H), 7.57 (d, *J* = 9.2 Hz, 1H), 7.48 (d, *J* = 8.3 Hz, 2H), 7.23 – 7.20 (m, 3H), 7.08 – 7.05 (m, 6H), 6.30 (d, *J* = 10.5 Hz, 1H), 4.30 (q, *J* = 6.8 Hz, 2H), 3.47 (br s, 1H), 2.69 (d, *J* = 5.5 Hz, 1H), 2.40 (s, 3H), 2.26-2.21 (m, 2H), 2.07 – 2.01 (m, 1H), 1.34 (br s, 12H) ppm;^{13}C NMR (125 MHz, $CDCl_3$) δ 193.4, 191.4, 168.3, 158.1, 148.0, 143.3, 138.6, 133.2, 132.9, 129.3, 129.1(2C), 128.9, 127.8, 127.6(2C), 126.0, 78.4, 61.9, 55.2, 54.9, 44.2, 35.2, 35.1, 33.8, 31.0, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{36}H_{37}NNaO_6S^+$ 634.2234, found 634.2211.

(±)-(*cis-trans-cis*)-Ethyl



6b-([1,1'-biphenyl]-4-carbonyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3af): Colorless solid; mp 190-192 °C; yield = 61% (77 mg); dr = 92:8; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.03 (d, *J* = 7.2 Hz, 2H), 7.69 (d, *J* = 7.4 Hz, 2H), 7.61 (d, *J* = 6.2 Hz, 3H), 7.48 – 7.41 (m, 3H), 7.24 – 7.21 (m, 3H), 7.09-7.07 (m, 6H), 6.32 (d, *J* = 10.0 Hz, 1H), 4.35-4.29 (m, 2H), 3.51 (br s, 1H), 2.74 (d, *J* = 4.7 Hz, 1H), 2.41 (s, 3H), 2.30 – 2.25 (m, 2H), 2.10-2.06 (m, 1H), 1.36 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 191.5, 168.3, 148.1, 146.9, 143.4, 139.6, 138.6, 134.5, 132.9, 129.7, 129.4, 129.1 (2C), 129.0, 128.5, 127.9, 127.7, 127.6(2C), 127.3, 78.4, 62.0, 55.2, 55.0, 44.2, 35.2, 33.8, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{38}H_{33}NNaO_6S^+$ 654.1921, found 654.1915.

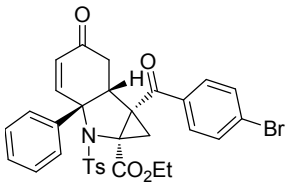
(±)-(*cis-trans-cis)*-Ethyl



6b-(4-chlorobenzoyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ag): Colorless solid; mp 210-212 °C; yield = 69% (81 mg); dr = 90:10; R_f = 0.32 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.90 (d, *J* = 8.4 Hz, 2H), 7.59 (d, *J* = 9.0 Hz, 1H), 7.46 (d, *J* = 8.4 Hz, 2H), 7.26-7.22 (m, 1H), 7.19 (d, *J* = 7.8 Hz, 2H), 7.07– 7.04 (m, 6H), 6.29 (d, *J* = 10.5 Hz, 1H), 4.34-4.25 (m, 2H), 3.46 (br s, 1H), 2.67 (d, *J* = 5.6 Hz, 1H), 2.40 (s, 3H), 2.27 (d, *J* = 4.1 Hz, 1H), 2.17 – 2.04 (m, 2H), 1.34 (br s, 3H) ppm;^{13}C NMR (125 MHz, $CDCl_3$) δ 193.1, 190.9, 168.1, 148.1, 143.4, 140.8, 138.5, 134.1, 132.7, 130.4, 129.4, 129.3, 129.1,

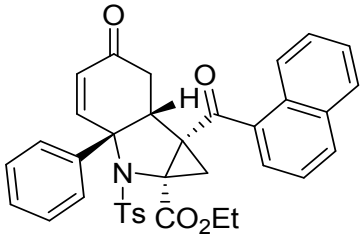
129.0, 127.9, 127.5(2C), 78.4, 62.1, 55.1(2C), 43.9, 35.2, 33.6, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{32}H_{28}ClNNaO_6S^+$ 612.1218, found 612.1236.

(±)-*(cis-trans-cis)*-Ethyl 6b-(4-bromobenzoyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ah):



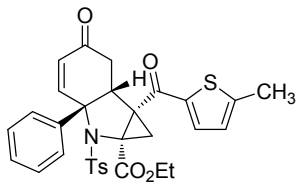
Colorless solid; mp 220-222 °C; yield = 70% (88 mg); dr = 89:11; R_f = 0.32 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82 (d, J = 8.4 Hz, 2H), 7.62 (d, J = 8.4 Hz, 3H), 7.25-7.22 (m, 1H), 7.19 (d, J = 7.8 Hz, 2H), 7.07 – 7.04 (m, 6H), 6.29 (d, J = 10.5 Hz, 1H), 4.33-4.25 (m, 2H), 3.46 (br s, 1H), 2.67 (d, J = 5.6 Hz, 1H), 2.40 (s, 3H), 2.26-2.25 (m, 1H), 2.17-2.13 (m, 1H), 2.07 (dd, J = 5.4, 17.8 Hz, 1H), 1.34 (br s, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.1, 191.1, 168.1, 148.1, 143.4, 138.5, 134.5, 132.7, 132.4, 130.4, 129.6, 129.3, 129.1, 129.0, 127.9, 127.5 (2C), 78.4, 62.1, 55.0 (2C), 43.9, 35.2, 33.6, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{32}H_{28}{}^{79}BrNNaO_6S^+$ 656.0713, found 656.0724.

(±)-*(cis-trans-cis)*-Ethyl 6b-(1-naphthoyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ai):



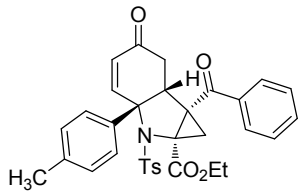
Colorless solid; mp 200-202 °C; yield = 55% (66 mg); dr = 93:7; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.68 (d, J = 8.3 Hz, 1H), 8.12 (d, J = 6.9 Hz, 1H), 8.05 (d, J = 8.0 Hz, 1H), 7.87 (d, J = 7.7 Hz, 1H), 7.62-7.48 (m, 4H), 7.25-7.19 (m, 3H), 7.12-7.05 (m, 6H), 6.42 (d, J = 10.4 Hz, 1H), 4.43-4.23 (m, 2H), 3.55 (br s, 1H), 2.74 (d, J = 5.1 Hz, 1H), 2.40 (s, 3H), 2.33 – 2.29 (m, 2H), 2.14-2.09 (m, 1H), 1.33 (t, J = 6.3 Hz, 3H) ppm;^{13}C NMR (100 MHz, $CDCl_3$) δ 194.8, 193.1, 168.2, 147.6, 143.3, 138.7, 134.8, 134.2, 133.3, 132.7, 131.0, 130.7, 129.6, 129.14, 129.12, 128.9, 128.8, 128.4, 127.9, 127.6, 126.9, 126.2, 123.8, 78.4, 62.1, 56.4, 56.0, 46.0, 35.3, 34.8, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{36}H_{31}NNaO_6S^+$ 628.1764, found 628.1760.

(±)-*(cis-trans-cis)*-Ethyl 6b-(5-methylthiophene-2-carbonyl)-5-oxo-2a-phenyl-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-

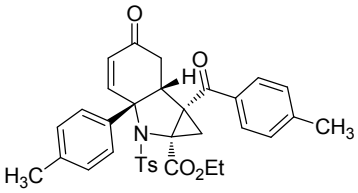


carboxylate (3aj) : Colorless solid; mp 192-194 °C; yield = 57% (65 mg); dr = 88:12; R_f = 0.32 (EtOAc /hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.64 (d, *J* = 3.55 Hz, 1H), 7.52 (d, *J* = 7.65 Hz, 1H), 7.24-7.19 (m, 3H), 7.06-7.04(m, 6H), 6.82 (d, *J* = 3.5 Hz, 1H), 6.30 (d, *J* = 10.5 Hz, 1H), 4.28-4.25 (m, 2H), 3.41 (br s, 1H), 2.81 (d, *J* = 5.5 Hz, 1H), 2.54 (s, 3H), 2.40 (s, 3H), 2.37-2.32 (m, 1H), 2.21 (br s, 1H), 2.09-2.04 (m, 1H), 1.32 (s , 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.2, 183.9, 168.1, 152.0, 147.7, 143.3, 140.8, 138.6, 134.3, 133.0, 129.5, 129.18, 129.12, 128.9, 127.8, 127.6, 127.2, 78.2, 62.0, 55.1, 54.7, 44.6, 35.0, 33.7, 21.5, 16.1, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{31}H_{29}NNaO_6S_2^+$ 598.1329, found 598.1314.

(±)-*(cis-trans-cis)*-Ethyl 6b-benzoyl-5-oxo-2a-(p-tolyl)-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ba): Colorless solid; mp 177-179 °C; yield = 61% (69 mg); dr = 90:10; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.96 (d, *J* = 7.4 Hz, 2H), 7.60 (t, *J* = 7.4 Hz, 2H), 7.48 (t, *J* = 7.7 Hz, 2H), 7.21 (d, *J* = 7.9 Hz, 2H), 7.05 (d, *J* = 8.1 Hz, 2H), 6.97 (d, *J* = 7.6 Hz, 2H), 6.85 (d, *J* = 7.8 Hz, 2H), 6.28 (d, *J* = 10.5 Hz, 1H), 4.37 – 4.25 (m, 2H), 3.47 (br s,1H), 2.69 (d, *J* = 5.6 Hz, 1H), 2.41 (s, 3H), 2.30 (s, 3H), 2.26-2.17 (m, 2H), 2.07 (dd, *J* = 5.5, 18.0 Hz, 1H), 1.33 (br s, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.3, 192.0, 168.3, 148.3, 143.3, 139.1, 138.6, 135.8, 134.1, 129.7, 129.2, 129.1, 129.02, 128.9, 128.5, 127.6 (2C), 78.2, 62.0, 54.9 (2C), 44.2, 35.2, 33.8, 21.5, 21.1, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{33}H_{31}NNaO_6S^+$ 592.1764, found 592.1766.



(±)-*(cis-trans-cis)*-Ethyl 6b-(4-methylbenzoyl)-5-oxo-2a-(p-tolyl)-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3bb): Colorless solid; mp 183-185 °C; yield = 60% (70 mg); dr = 91:9; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.0 Hz, 2H), 7.57 (d, *J* = 8.8 Hz, 1H), 7.27-7.25 (m, 2H), 7.21 (d, *J* = 7. 7 Hz, 2H), 7.05 (d, *J* = 8.0 Hz, 2H), 6.97 (d, *J* = 7.5 Hz, 2H), 6.84 (d, *J* = 7.6 Hz, 2H), 6.27 (d, *J* = 10.5 Hz, 1H), 4.30 (q, *J* = 7.5 Hz, 2H), 3.44 (br s, 1H), 2.67 (d, *J* = 5.5 Hz, 1H), 2.41 (s, 6H), 2.30 (s, 3H), 2.24 – 2.17 (m, 2H), 2.07-2.02 (m, 1H), 1.33 (br s, 3H) ppm;^{13}C NMR (100 MHz, $CDCl_3$) δ 193.4, 191.6, 168.4, 148.3, 145.2, 143.2, 139.0, 138.7, 133.4, 129.8, 129.7, 129.24,

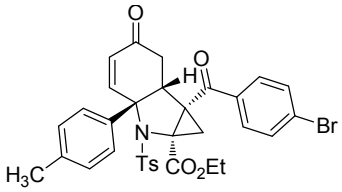


129.2, 128.9, 128.92, 128.4, 127.6, 78.2, 61.9, 54.9, 54.8, 44.2, 35.2, 33.8, 21.7, 21.5, 21.1, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{34}H_{33}NNaO_6S^+$ 606.1921, found 606.1900.

(±)-(*cis-trans-cis)*-Ethyl 6b-(4-methoxybenzoyl)-5-oxo-2a-(p-tolyl)-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3bc): Colorless solid; mp 184-186 °C; yield = 62% (74 mg); dr = 89:11; R_f = 0.31 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.8 Hz, 2H), 7.56 (br s, 1H), 7.21 (d, *J* = 7.4 Hz, 2H), 7.05 (d, *J* = 8.0 Hz, 2H), 6.97-6.93 (m, 4H), 6.85 (d, *J* = 8.0 Hz, 2H), 6.27 (d, *J* = 10.5 Hz, 1H), 4.32-4.26 (m, 2H), 3.87 (s, 3H), 3.43 (br s, 1H), 2.67 (d, *J* = 5.5 Hz, 1H), 2.41 (s, 3H), 2.30 (s, 3H), 2.22-2.18 (m, 2H), 2.05 (dd, *J* = 5.4, 17.7 Hz, 1H), 1.33 (br s, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.4, 190.3, 168.4, 164.2, 148.2, 143.2, 139.0, 138.7, 131.4, 129.8, 129.2, 129.0, 128.94, 128.91, 128.4, 127.6, 114.2, 78.2, 61.9, 55.6, 55.0, 54.8, 44.2, 35.2, 33.9, 21.5, 21.1, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{34}H_{33}NNaO_7S^+$ 622.1870, found 622.1868.

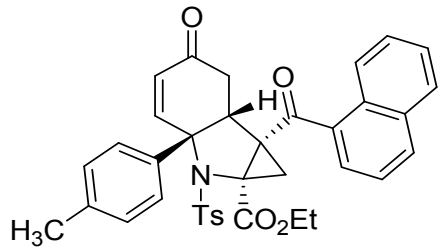
(±)-*(cis-trans-cis)*-Ethyl 6b-([1,1'-biphenyl]-4-carbonyl)-5-oxo-2a-(p-tolyl)-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3bf): Colorless solid; mp 175-177 °C; yield = 64% (82 mg); dr = 92:8; R_f = 0.32 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.03 (d, *J* = 8.2 Hz, 2H), 7.68 (d, *J* = 8.2 Hz, 2H), 7.61 (d, *J* = 7.4 Hz, 3H), 7.48 (t, *J* = 7.3 Hz, 2H), 7.41 (t, *J* = 7.3 Hz, 1H), 7.22 (d, *J* = 7.6 Hz, 2H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.98 (d, *J* = 7.2 Hz, 2H), 6.86 (d, *J* = 7.5 Hz, 2H), 6.29 (d, *J* = 10.5 Hz, 1H), 4.34-4.28 (m, 2H), 3.50 (br s, 1H), 2.73 (d, *J* = 5.5 Hz, 1H), 2.41 (s, 3H), 2.30 (s, 3H), 2.28-2.24 (m, 2H), 2.10 (dd, *J*=5.5, 17.8 Hz, 1H), 1.35 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.4, 191.5, 168.3, 148.3, 146.9, 143.3, 139.6, 139.1, 138.7, 134.5, 129.8, 129.7, 129.2, 129.0(2C), 128.9, 128.5, 127.7(2C), 127.6, 127.3, 78.2, 62.0, 54.9(2C), 44.2, 35.2, 33.9, 21.5, 21.1, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{39}H_{35}NNaO_6S^+$ 668.2077, found 668.2058.

(±)-*(cis-trans-cis)*-Ethyl 6b-(4-bromobenzoyl)-5-oxo-2a-(p-tolyl)-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3bh):



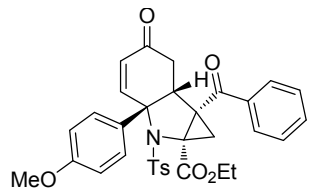
Colorless solid; mp 210-212 °C; yield = 71% (92 mg); dr = 90:10; R_f = 0.32 (EtOAc /hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.62 (d, *J* = 8.3 Hz, 2H), 7.58 (d, *J* = 10.0 Hz, 1H), 7.20 (d, *J* = 7.9 Hz, 2H), 7.05 (d, *J* = 8.0 Hz, 2H), 6.96 (d, *J* = 7.5 Hz, 2H), 6.85 (d, *J* = 7.6 Hz, 2H), 6.27 (d, *J* = 10.5 Hz, 1H), 4.33-4.24 (m, 2H), 3.44 (br s, 1H), 2.66 (d, *J* = 5.5 Hz, 1H), 2.41 (s, 3H), 2.30 (s, 3H), 2.25 (d, *J* = 4.7 Hz, 1H), 2.17 – 2.04 (m, 2H), 1.34 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.2, 191.2, 168.2, 148.3, 143.3, 139.1, 138.6, 134.6, 132.4, 130.4, 129.65,129.6, 129.1, 128.9 (2C), 128.5, 127.6, 78.2, 62.0, 54.9,54.8, 43.9, 35.2, 33.7, 21.5, 21.1 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{33}H_{30}{}^{79}BrNNaO_6S^+$ 670.0869, found 670.0882.

(±)-*(cis-trans-cis)*-Ethyl 6b-(1-naphthoyl)-5-oxo-2a-(p-tolyl)-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3bi):



Colorless solid; mp 190-194 °C; yield = 52% (64 mg); dr = 92:8; R_f = 0.32 (ethyl acetate/hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ8.68 (d, *J* = 8.5 Hz, 1H), 8.13 (d, *J* = 7.2 Hz, 1H), 8.05 (d, *J* = 8.1 Hz, 1H), 7.87 (d, *J* = 7.9 Hz, 1H), 7.60 – 7.48 (m, 4H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.05 (d, *J* = 8.0 Hz, 2H), 7.00 (d, *J* = 7.8 Hz, 2H), 6.86 (d, *J* = 7.7 Hz, 2H), 6.39 (d, *J* = 10.4 Hz, 1H), 4.44-4.20 (m, 2H), 3.54 (d, *J* = 5.2 Hz, 1H), 2.73 (d, *J* = 5.6 Hz, 1H), 2.41 (s, 3H), 2.37-2.33 (m, 1H), 2.31 (s, 3H), 2.28 (d, *J* = 5.6 Hz, 1H), 2.13 (dd, *J*= 5.7, 17.8 Hz, 1H), 1.33 (t, *J* = 7.0 Hz, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 194.9, 193.2, 168.3, 147.7, 143.2, 139.0, 138.7, 134.7, 134.2, 132.7, 130.9, 130.7, 130.1, 129.4, 129.0, 128.9, 128.8, 128.5, 128.4, 127.6, 126.9, 126.2, 123.8, 78.1, 62.1, 56.4, 55.7, 46.0, 35.3, 34.8, 21.5, 21.1, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{37}H_{33}NNaO_6S^+$ 642.1921, found 642.1942.

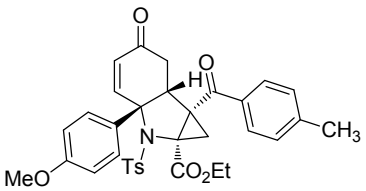
(±)-*(cis-trans-cis)*-Ethyl 6b-benzoyl-2a-(4-methoxyphenyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ca):



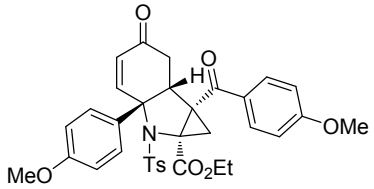
Colorless solid; mp 197-199 °C; yield = 65% (76 mg); dr =

89:11; R_f = 0.30 (EtOAc/hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 (d, *J* = 7.5 Hz, 2H), 7.64 – 7.52 (m, 2H), 7.48 (t, *J* = 7.6 Hz, 2H), 7.25 (d, *J* = 8.0 Hz, 2H), 7.08 (d, *J* = 8.0 Hz, 2H), 7.01 (d, *J* = 8.0 Hz, 2H), 6.56 (d, *J* = 8.3 Hz, 2H), 6.27 (d, *J* = 10.5 Hz, 1H), 4.30 (q, *J* = 7.2 Hz, 2H), 3.79 (s, 3H), 3.45 (br s, 1H), 2.69 (d, *J* = 5.6 Hz, 1H), 2.40 (s, 3H), 2.26-2.18 (m, 2H), 2.12-2.02 (m, 1H), 1.33 (t, *J* = 7.2 Hz, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 192.0, 168.3, 159.9, 148.3, 143.3, 138.7, 135.8, 134.1, 130.5, 129.2, 129.1, 129.0, 127.6(2C), 124.5, 113.1, 78.0, 62.0, 55.3, 54.8, 54.7, 44.2, 35.2, 33.9, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{33}H_{31}NNaO_7S^+$ 608.1713, found 608.1717.

(±)-*(cis-trans-cis)*-Ethyl 2a-(4-methoxyphenyl)-6b-(4-methylbenzoyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3cb): Colorless solid; mp 180-182 °C; yield =60% (72 mg); dr = 91:9; R_f = 0.31 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.0 Hz, 2H), 7.54 (d, *J* = 9.1 Hz, 1H), 7.27-7.24 (m, 4H), 7.07 (d, *J* = 8.0 Hz, 2H), 7.00 (d, *J* = 7.8 Hz, 2H), 6.56 (d, *J* = 8.3 Hz, 2H), 6.25 (d, *J* = 10.5 Hz, 1H), 4.29 (q, *J* = 7.5 Hz, 2H), 3.78 (s, 3H), 3.42 (br s, 1H), 2.68 (d, *J* = 5.1 Hz, 1H), 2.41 (s, 3H), 2.40 (s, 3H), 2.24 – 2.16 (m, 2H), 2.07-2.03 (m, 1H), 1.30 (br s, 3H) ppm;^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 191.5, 168.4, 159.9, 148.3, 145.2, 143.2, 138.7, 133.4, 130.5, 129.7, 129.2, 129.1, 129.0, 127.6, 124.5, 113.1, 78.0, 61.9, 55.3, 54.7, 54.6, 44.3, 35.2, 34.0, 21.7, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{34}H_{33}NNaO_7S^+$ 622.1870, found 622.1896.



(±)-*(cis-trans-cis)*-Ethyl 6b-(4-methoxybenzoyl)-2a-(4-methoxyphenyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3cc): Colorless solid; mp 183-185°C; yield = 59% (73 mg); dr = 90:10; R_f = 0.30 (EtOAc /hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 7.9 Hz, 2H), 7.53 (br s, 1H), 7.25 (d, *J* = 8.3 Hz, 1H), 7.07 (d, *J* = 7.2 Hz, 2H), 7.00 (d, *J* = 6.32 Hz, 2H), 6.94 (d, *J* = 7.9Hz, 2H), 6.56 (d, *J* = 7.1 Hz, 2H), 6.25 (d, *J* = 10.2 Hz, 1H), 4.29 (br s, 2H), 3.87 (s, 3H), 3.78 (s, 3H), 3.41 (br s, 1H), 2.68 (d, *J* = 4.3 Hz, 1H), 2.40 (s, 3H), 2.23-2.19 (m, 2H), 2.08-2.03 (m, 1H), 1.33 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.3, 190.4, 168.5, 164.3, 159.9, 148.3, 143.2,



138.7, 131.4, 130.5, 129.2, 129.0, 128.9, 127.6, 124.6, 114.2, 113.1, 78.0, 61.9, 55.6, 55.3, 54.9, 54.6, 44.2, 35.2, 34.0, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{34}H_{33}NNaO_8S^+$ 638.1819, found 638.1820.

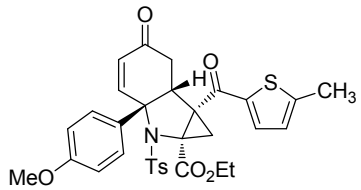
(±)-*(cis-trans-cis)*-Ethyl 6b-(2,4-dimethylbenzoyl)-2a-(4-methoxyphenyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3cd): Colorless solid; mp 180-182 °C; yield = 49% (60 mg); dr = 91:9; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.79 (d, *J* = 7.9 Hz, 1H), 7.57 (d, *J* = 10.5 Hz, 1H), 7.23 (d, *J* = 8.1 Hz, 2H), 7.08-7.05 (m, 4H), 7.00 (d, *J* = 8.1 Hz, 2H), 6.55 (d, *J* = 8.4 Hz, 2H), 6.30 (d, *J* = 10.5 Hz, 1H), 4.39-4.22 (m, 2H), 3.78 (s, 3H), 3.39 (br s, 1H), 2.65 (d, *J* = 5.5 Hz, 1H), 2.42 (s, 3H), 2.40 (s, 3H), 2.36 (s, 3H), 2.24-2.17 (m, 2H), 2.08 – 2.03 (m, 1H), 1.35 (t, *J* = 7.1 Hz, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.7, 193.5, 168.5, 159.8, 148.3, 143.6, 143.1, 142.0, 138.8, 133.8, 132.2, 130.7, 130.4, 129.2, 129.0, 127.5, 126.2, 124.7, 113.1, 77.9, 61.9, 55.6, 55.39, 55.3, 45.7, 35.3, 34.5, 21.7, 21.5, 21.52, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{35}H_{35}NNaO_7S^+$ 636.2026, found 636.2053.

(±)-*(cis-trans-cis)*-Ethyl 6b-(4-chlorobenzoyl)-2a-(4-methoxyphenyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3cg): Colorless solid; yield 70% (87 mg); dr = 92:8; mp 205-207 °C; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.90 (d, *J* = 8.4 Hz, 2H), 7.56 (d, *J* = 8.6 Hz, 1H), 7.46 (d, *J* = 8.4 Hz, 2H), 7.23 (d, *J* = 7.7 Hz, 2H), 7.07 (d, *J* = 8.0 Hz, 2H), 7.00 (d, *J* = 7.5 Hz, 2H), 6.56 (d, *J* = 8.0 Hz, 2H), 6.26 (d, *J* = 10.5 Hz, 1H), 4.32-4.25 (m, 2H), 3.78 (s, 3H), 3.43 (br s, 1H), 2.67 (d, *J* = 5.6 Hz, 1H), 2.40 (s, 3H), 2.26 (d, *J* = 3.8 Hz, 1H), 2.17-2.04 (m, 2H), 1.33 (br s, 3H) ppm;^{13}C NMR (125 MHz, $CDCl_3$) δ 193.1, 191.0, 168.2, 159.9, 148.3, 143.3, 140.7, 138.6, 134.2, 130.4, 129.4, 129.1, 129.0, 127.6(2C), 124.3, 113.1, 78.0, 62.0, 55.3, 54.7, 54.6, 44.0, 35.2, 33.8, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{33}H_{30}ClNNaO_7S^+$ 642.1324, found 642.1312.

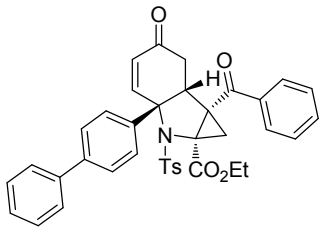
(±)-*(cis-trans-cis)*-Ethyl 6b-(4-bromobenzoyl)-2a-(4-methoxyphenyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-

carboxylate (3ch): Colorless solid; yield = 68% (90 mg); dr = 92:8; mp 222-224°C; R_f = 0.31 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82 (d, *J* = 8.5 Hz, 2H), 7.63 (d, *J* = 8.5 Hz, 2H), 7.55 (d, *J* = 8.8 Hz, 1H), 7.24 (d, *J* = 8.0 Hz, 2H), 7.08 (d, *J* = 8.1 Hz, 2H), 7.00 (d, *J* = 7.7 Hz, 2H), 6.56 (d, *J* = 8. 2 Hz, 2H), 6.25 (d, *J* = 10.5 Hz, 1H), 4.41 – 4.15 (m, 2H), 3.78 (s, 3H), 3.42 (d, *J* = 0.8 Hz, 1H), 2.67 (d, *J* = 5.6 Hz, 1H), 2.39 (s, 3H), 2.28-2.12 (m, 2H), 2.11-2.04 (m, 1H), 1.33 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 190.6, 188.6, 165.7, 157.4, 145.8, 140.8, 136.1, 132.0, 129.9, 127.9, 127.0, 126.6, 126.5(2C), 125.0, 121.7, 110.6, 75.5, 59.5, 52.8, 52.2, 52.1, 41.4, 32.7, 31.2, 19.0, 11.4 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{33}H_{30}{}^{79}BrNNaO_7S^+$ 686.0819, found 686.0797.

(±)-*(cis-trans-cis)*-Ethyl 2a-(4-methoxyphenyl)-6b-(5-methylthiophene-2-carbonyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3cj): Colorless solid; mp 200-202 °C; yield = 60% (73 mg); dr = 88:12R_f = 0.31 (EtOAc /hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.64 (d, *J* = 6.6 Hz, 1H), 7.49 (d, *J* = 5.6 Hz, 1H), 7.54 (d, J = 7.24 Hz, 1H), 7.24 (d, *J* = 7.1 Hz, 2H), 7.07 (d, *J* = 8.0 Hz, 2H), 6.99 (d, *J* = 7.0 Hz, 2H), 6.83 (d, *J* = 6.1 Hz, 1H), 6.55 (d, *J* = 8.0 Hz, 2H), 6.26 (d, *J* = 10.5 Hz, 1H), 4.30-4.24 (m, 2H), 3.78 (s, 3H), 3.38 (br s, 1H), 2.67(d, *J* = 4.4 Hz, 1H), 2.54 (s, 3H), 2.40 (s, 3H), 2.38-2.32 (m, 1H), 2.20 (d, *J* = 0.8 Hz, 1H), 2.12-2.06 (m, 1H), 1.31 (s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.2, 184.0, 168.2, 159.9, 152.0, 147.9, 143.3, 140.9, 138.7, 134.3, 130.5, 129.3, 129.0, 127.6, 127.2, 124.5, 113.1, 77.8, 62.0, 55.3, 54.7, 54.4, 44.7, 35.0, 33.8, 21.5, 16.1, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{32}H_{31}NNaO_7S_2^+$ 628.1434, found 628.1432.



(±)-*(cis-trans-cis)*- Ethyl 2a-([1,1'-biphenyl]-4-yl)-6b-benzoyl-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3da): Colorless solid; mp 180-182 °C; yield = 56% (71 mg); dr = 91:9; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 (d, *J* = 7.6 Hz, 2H), 7.68 (d, *J* = 9.8 Hz, 1H), 7.61 (t, *J* = 7.2 Hz, 1H), 7.52 – 7.45 (m, 6H), 7.40 (t, *J* = 6.8 Hz, 1H), 7.26-7.23 (m, 4H), 7.15 (d, *J* = 7.4 Hz, 2H), 7.04 (d, *J* = 7.8 Hz, 2H), 6.34 (d, *J* = 10.5 Hz, 1H), 4.33



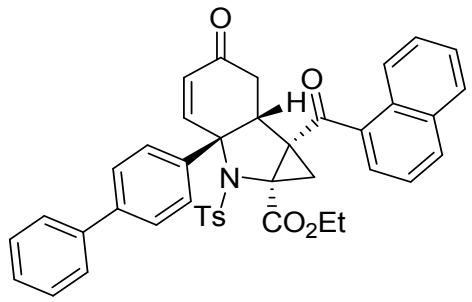
(q, J = 7.2 Hz, 2H), 3.53 (br s, 1H), 2.72 (d, J = 5.5 Hz, 1H), 2.39 (s, 3H), 2.31-2.21 (m, 2H), 2.13 (dd, J = 5.2, 17.8 Hz, 1H), 1.36 (br s, 3H) ppm;^{13}C NMR (100 MHz, $CDCl_3$) δ 193.2, 192.0, 168.3, 148.0, 143.3, 141.7, 139.8, 138.7, 135.8, 134.2, 131.7, 129.4, 129.1, 129.10, 129.06(2C), 129.0, 127.9, 127.5, 126.9, 126.3, 78.1, 62.0, 55.1, 54.9, 44.2, 35.2, 33.9, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{38}H_{33}NNaO_6S^+$ 654.1921, found 654.1910.

(±)-*(cis-trans-cis)*-Ethyl 6b-([1,1'-biphenyl]-4-carbonyl)-2a-([1,1'-biphenyl]-4-yl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3df): Colorless solid; mp 197-199 °C; yield = 51% (72 mg); dr = 94:6; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.05 (d, J = 8.1 Hz, 2H), 7.69 (d, J = 8.1 Hz, 3H), 7.61 (d, J = 7.4 Hz, 2H), 7.52 – 7.46 (m, 6H), 7.43 – 7.38 (m, 2H), 7.25-7.17 (m, 4H), 7.04 (d, J = 7.9 Hz, 2H), 6.35 (d, J = 10.5 Hz, 1H), 4.36-4.31 (m, 2H), 3.57 (br s, 1H), 2.76 (d, J = 5.5 Hz, 2H), 2.39 (s, 3H), 2.32-2.29 (m, 2H), 2.16(dd, J = 5.5, 17.8 Hz, 1H), 1.37 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 193.2, 191.5, 168.3, 148.0, 146.9, 143.3, 141.8, 139.8, 139.6, 138.7, 134.5, 131.7, 129.7, 129.5, 129.1, 129.0, 128.9, 128.5, 128.0, 127.7(2C), 127.6, 127.3, 126.9, 126.4, 78.1, 62.0, 55.1, 55.9, 44.3, 35.3, 33.9, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{44}H_{37}NNaO_6S^+$ 730.2234, found 730.2205.

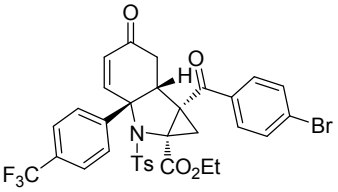
(±)-*(cis-trans-cis)*-Ethyl 2a-([1,1'-biphenyl]-4-yl)-6b-(4-bromobenzoyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3dh): Colorless solid; mp 202-204 °C; yield = 63% (89 mg); dr = 93:7; R_f = 0.33 (ethyl acetate/hexane = 25:75); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (d, J = 8.2 Hz, 2H), 7.69 (d, J = 10.0 Hz, 1H), 7.63 (d, J = 8.1 Hz, 2H), 7.52-7.45 (m, 4H), 7.40 (t, J = 6.8 Hz, 1H), 7.26-7.22 (m,, 4H), 7.14 (d, J = 6.8 Hz, 2H), 7.04 (d, J = 7.8 Hz, 2H), 6.33 (d, J = 10.5 Hz, 1H), 4.32 (q, J = 7.5 Hz, 2H), 3.51 (br s, 1H), 2.69 (d, J = 5.4 Hz, 1H), 2.39 (s, 3H), 2.29 (d, J = 4.2 Hz, 1H), 2.21 – 2.10 (m, 2H), 1.35 (br s, 3H) ppm;^{13}C NMR (100 MHz, $CDCl_3$) δ 193.1, 191.1, 168.1, 148.0, 143.4, 141.8, 139.7, 138.6, 134.5, 132.4, 131.5, 130.5, 129.6, 129.4, 129.1, 129.0, 128.0, 127.5(2C), 126.9,

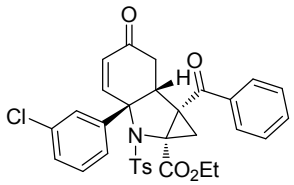
126.4, 78.1, 62.1, 55.1, 54.8, 43.9, 35.3, 33.7, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{38}H_{32}{}^{79}BrNNaO_6S^+$ 732.1026, found 732.1004.

(±)-*(cis-trans-cis)*-Ethyl 6b-(2-naphthoyl)-2a-([1,1'-biphenyl]-4-yl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3di): Colorless solid; mp 188-190°C; yield = 52% (71 mg); dr = 95:5; R_f = 0.32 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.69 (d, *J* = 7.9 Hz, 1H), 8.15 (d, *J* = 5.2 Hz, 1H), 8.06 (d, *J* = 6.6 Hz, 1H), 7.87 (d, *J* = 6.7 Hz, 1H), 7.71 (d, *J* = 9.9 Hz, 1H), 7.61-7.40 (m, 8H), 7.25-7.18 (m, 6H), 7.03 (d, *J* = 6.2 Hz, 2H), 6.45 (d, *J* = 9.7 Hz, 1H), 4.43-4.26 (m, 2H), 3.61 (br s, 1H), 2.77 (br s, 1H), 2.41-2.333 (m, 5H), 2.21-2.16 (m, 1H), 1.34 (br s, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 194.8, 193.1, 168.2, 147.4, 143.3, 141.7, 139.8, 138.8, 134.8, 134.2, 132.7, 132.1, 131.0, 130.7, 129.7, 129.5, 129.1, 128.9, 128.8, 128.4, 127.9, 127.5, 126.98, 126.94, 126.3, 126.2, 123.8, 78.0, 62.1, 56.5, 55.7, 46.1, 35.4, 34.9, 21.5, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{42}H_{35}NNaO_6S^+$ 704.2077, found 704.2091.

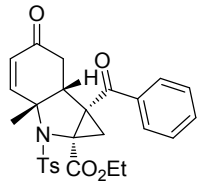


(±)-*(cis-trans-cis)*-Ethyl 6b-(4-bromobenzoyl)-5-oxo-2-tosyl-2a-(4 -(trifluoromethyl) phenyl)-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3eh): Colorless solid; mp 228-230 °C; yield = 58% (81 mg); dr = 92:8; R_f = 0.34 (EtOAc /hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.4 Hz, 2H), 7.69 (d, *J* = 9.3 Hz, 1H), 7.63 (d, *J* = 7.4 Hz, 2H), 7.26 – 7.16 (m, 6H), 7.05 (d, *J* = 7.0 Hz, 2H), 6.36 (d, *J* = 10.2 Hz, 1H), 4.34-4.28 (m, 2H), 3.44 (br s, 1H), 2.70 (d, *J* = 4.2 Hz, 1H), 2.40 (s, 3H), 2.27-2.17 (m, 2H), 2.06-2,01 (dd, *J* = 3.85, 17.5 Hz, 1H), 1.35 (br s, 3H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 192.5, 190.9, 167.8, 146.7, 143.9, 138.5, 137.0, 134.4, 132.5, 131.3, 131.0, 130.4, 130.1, 129.8, 129.3(2C), 127.2, 125.0 – 124.6 (q, 1C), 77.7, 62.2, 55.5, 55.1, 43.8, 35.1, 33.8, 21, 14.0 ppm; ^{19}F NMR (470 MHz, CDC_{l3}) δ -63.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{33}H_{27}BrNNaO_6S^+$ 724.0587, found 724.0607.

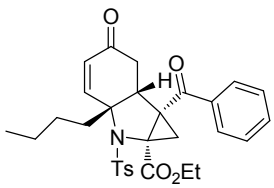


(±)-*(cis-trans-cis)*-Ethyl 6b-benzoyl-2a-(3-chlorophenyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3fa): 

Colorless solid; mp 225-227 °C; yield = 54% (64 mg); dr = 91:9; R_f = 0.31 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.96 (d, *J* = 7.2 Hz, 2H), 7.66-7.58 (m, 2H), 7.48 (t, *J* = 7.7 Hz, 2H), 7.24-7.20 (m, 3H), 7.19-7.14(m, 2H), 7.12-7.10 (m, 2H), 6.78 (br,s, 1H), 6.34 (d, *J* = 10.5 Hz, 1H), 4.36-4.27 (m, 2H), 3.39 (br s, 1H), 2.70 (d, *J* = 5.7 Hz, 1H), 2.42 (s, 3H), 2.25-2.20 (m, 2H), 2.04 (dd, *J* = 5.5, 12.5 Hz, 1H), 1.33 (br s, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.8, 191.8, 168.1, 147.1, 144.0, 138.4, 135.7, 135.1, 134.2, 134.1, 129.7, 129.4, 129.3, 129.1(2C), 129.0, 127.7(2C), 127.1, 77.8, 62.1, 55.2(2C), 43.9, 35.1, 33.8, 21.6, 14.0 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{32}H_{28}ClNNaO_6S^+$ 612.1218, found 612.1207.

(±)-*(cis-trans-cis)*-Ethyl 6b-benzoyl-2a-methyl-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ga): 

Colorless solid**;** mp 170-172 °C; yield 65% (64 mg); dr = 86:14; R_f = 0.34 (EtOAc/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.08 (d, *J* = 7.8 Hz, 2H), 7.95 (d, *J* = 7.4 Hz, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.49 (t, *J* = 7.7 Hz, 2H), 7.37 (d, *J* = 8.0 Hz, 2H), 6.66 (d, *J* = 10.2 Hz, 1H), 5.97 (d, *J* = 10.0 Hz, 1H), 4.20-4.17 (m, 2H), 2.94 (d, *J* = 5.2 Hz, 1H), 2.63 (d, *J* = 5.7 Hz, 1H), 2.47 (s, 3H), 2.41 (d, J =6.0 Hz, 1H), 2.36-2.33 (m, 1H), 2.19-2.16 (m, 1H), 1.25 (s, 3H), 1.24 (t, *J* = 7.0 Hz, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.7, 192.1, 168.5, 149.7, 144.3, 138.6, 135.8, 134.1, 129.7, 129.1, 129.0, 128.4, 127.8, 73.6, 61.8, 53.5, 53.4, 46.2, 35.5, 34.3, 21.6, 21.3, 13.9 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{27}H_{27}NNaO_6S^+$ 516.1451, found 516.1435.

(±)-*(cis-trans-cis)*-Ethyl 6b-benzoyl-2a-butyl-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ha): 

Colorless solid; mp 145-147 °C; yield = 60% (64 mg), dr = 85:15; R_f = 0.33 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ (major isomer) 8.04 (d, *J* = 5.8 Hz, 2H), 7.95 (d, *J* = 7.4 Hz, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 2H), 6.68 (br s, 1H), 6.10 (d, *J* = 10.4 Hz, 1H), 4.20-4.17 (m, 2H), 3.08 (d, *J* = 5.4 Hz, 1H), 2.57 (d, *J* = 5.6

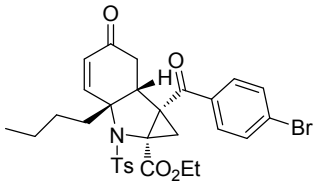
Hz, 1H), 2.49 – 2.44 (m, 4H), 2.34-2.30 (m, 1H), 2.07-2.04 (m, 2H), 1.91-1.86 (m, 1H), 1.27 – 1.14 (m, 7H), 0.77 (t, J = 7.0 Hz, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ (major isomer) 193.3, 192.3, 168.5, 148.3, 144.2, 139.1, 135.8, 134.1, 129.6, 129.1, 129.0, 128.7, 128.3, 77.3, 61.8, 53.8, 50.9, 45.8, 37.0, 35.4, 33.5, 27.3, 23.1, 21.6, 13.9, 13.6 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{30}H_{33}NNaO_6S^+$ 558.1921, found 558.1937.

(±)-Ethyl 6b-benzoyl-2a-butyl-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3ha′, minor isomer): Colorless solid; R_f = 0.34 (ethyl acetate/hexane = 25:75); Whit solid; mp 140-145 °C; R_f = 0.32 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ (minor isomer) 7.90 (d, J = 7.8 Hz, 2H), 7.83 (d, J = 7.5 Hz, 2H), 7.61 (t, J = 7.2 Hz, 1H), 7.48 (t, J = 7.4 Hz, 2H), 7.32 (d, J = 7.7 Hz, 2H), 6.75 (d, J = 10.4 Hz, 1H), 6.05 (d, J = 10.5 Hz, 1H), 4.24 – 4.13 (m, 2H), 2.99 (dd, J = 11.9, 5.8 Hz, 1H), 2.51-2.47 (m, 3H), 2.45 (s, 3H), 2.41 (d, J = 6.4 Hz, 1H), 2.12 – 2.01 (m, 2H), 1.34 – 1.24 (m, 7H), 0.88 (t, J = 6.6 Hz, 3H) ppm;^{13}C NMR (125 MHz, $CDCl_3$) δ (minor isomer) 197.3, 194.5, 168.5, 145.1, 144.5, 137.3, 135.3, 134.0, 129.9, 129.5, 129.1, 128.9, 128.6, 75.4, 62.0, 53.3, 48.2, 45.7, 39.7, 37.2, 27.3, 26.4, 22.7, 21.6, 14.0 (2C) ppm; HRMS (ESI-TOF) m/z[M + Na]$^+$ calcd for $C_{30}H_{33}NNaO_6S^+$ 558.1921, found 558.1938.

(±)-*(cis-trans-cis)*-Ethyl 2a-butyl-6b-(4-chlorobenzoyl)-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3hg): Colorless solid; mp 150-152 °C; yield = 65% (74 mg); dr = 85;15; R_f = 0.33 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.02 (br s, 2H), 7.89 (d, J = 8.1 Hz, 2H), 7.46 (d, J = 8.1 Hz, 2H), 7.36 (d, J = 7.7 Hz, 2H), 6.68 (d, J = 7.9 Hz, 1H), 6.10 (d, J = 10.2 Hz, 1H), 4.19 – 4.17 (m, 2H), 3.06 (br s, 1H), 2.55 (d, J = 5.3 Hz, 1H), 2.50 – 2.46 (m, 4H), 2.29-2.25 (m, 1H), 2.17-2.04 (m, 2H), 1.90 – 1.86 (m, 1H), 1.23 – 1.05 (m, 7H), 0.76 (t, J = 7.1 Hz, 3H) ppm;^{13}C NMR (125 MHz, $CDCl_3$) δ 193.1, 191.2, 168.3, 148.3, 144.3, 140.8, 139.1, 134.2, 130.4, 129.7, 129.4, 128.7, 128.2, 77.3, 61.9, 53.8, 50.8, 45.6, 37.0, 35.3, 33.4,

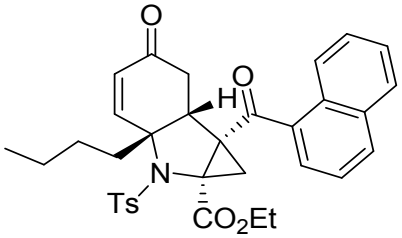
27.3, 23.1, 21.6, 13.9, 13.6 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{30}H_{32}ClNNaO_6S^+$ 592.1531, found 592.1543.

(±)-*(cis-trans-cis)*-Ethyl 6b-(4-bromobenzoyl)-2a-butyl-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3hh):

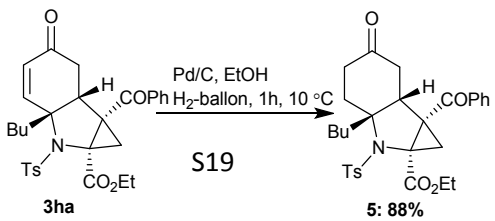


Colorless solid; mp 160-162 °C; yield = 64% (78 mg); dr = 84:16; R_f = 0.33 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.02 (d, *J* = 6.6 Hz, 2H), 7.81 (d, *J* = 8.5 Hz, 2H), 7.63 (d, *J* = 8.5 Hz, 2H), 7.36 (d, *J* = 8.1 Hz, 2H), 6.68 (d, *J* = 6.7 Hz, 1H), 6.09 (d, *J* = 10.4 Hz, 1H), 4.20-4.15 (m, 2H), 3.06 (d, *J* = 5.8 Hz, 1H), 2.54 (d, *J* = 5.6 Hz, 1H), 2.50 (d, *J* = 6.4 Hz, 1H), 2.47 (s, 3H), 2.28-2.25 (m, 1H), 2.06-2.04 (m, 2H), 1.90-1.86 (m, 1H), 1.27 – 1.04 (m, 7H), 0.76 (t, *J* = 7.0 Hz, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 193.1, 191.5, 168.3, 148.2, 144.3, 139.1, 134.6, 132.4, 130.4, 129.7, 129.6, 128.6, 128.2, 77.3, 61.9, 53.8, 50.7, 45.6, 37.0, 35.3, 33.4, 27.3, 23.1, 21.6, 13.9, 13.6 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{30}H_{32}BrNNaO_6S^+$ 636.1026, found 636.1040.

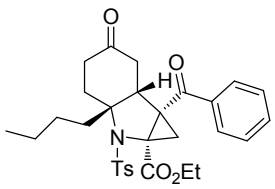
(±)-*(cis-trans-cis)*-Ethyl 6b-(1-naphthoyl)-2a-butyl-5-oxo-2-tosyl-1,1a,2,2a,5,6,6a,6b-octahydrocyclopropa[*b*]indole-1a-carboxylate (3hi):



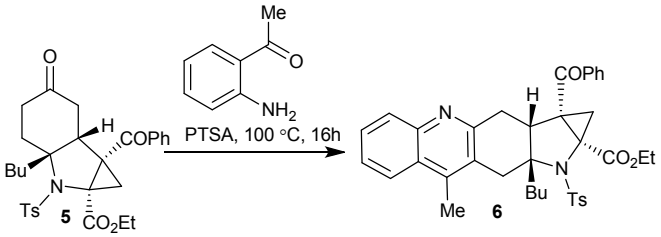
Colorless solid; mp 180-182 °C; yield = 57% (66 mg); dr = 91:9; R_f = 0.32 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.69 (d, *J* = 8.5 Hz, 1H), 8.10 – 8.03 (m, 4H), 7.87 (d, *J* = 7.7 Hz, 1H), 7.60-7.50 (m, 3H), 7.36 (d, *J* = 7.5 Hz, 2H), 6.70 (d, *J* = 9.9 Hz, 1H), 6.20 (d, *J* = 10.2 Hz, 1H), 4.32-4.11 (m, 2H), 3.15 (br s, 1H), 2.59 (d, *J* = 5.3 Hz, 1H), 2.54-2.51 (m, 2H), 2.46 (s, 3H), 2.08 – 2.04 (m, 2H), 1.95 – 1.90 (m, 1H), 1.27 – 1.10 (m, 7H), 0.78 (t, *J* = 6.6 Hz, 3H) ppm;^{13}C NMR (125 MHz, $CDCl_3$) δ 195.1, 193.2, 168.5, 147.7, 144.2, 139.2, 134.8, 134.2, 132.7, 131.0, 130.9, 129.6, 129.0, 128.8, 128.4, 128.3, 126.9, 126.1, 123.9, 77.2 (merging with $CDCl_3$ peaks), 61.9, 55.2, 51.5, 47.9, 37.3, 35.7, 34.4, 27.3, 23.1, 21.6, 13.9, 13.6 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{34}H_{35}NNaO_6S^+$ 608.2077, found 608.2099.



The compound **3ha** (81.0 mg, 0.15 mmol) in EtOH (10 mL, degassed) was taken in a two-neck round-bottom flask. Afterward, 5 mol% of Pd/C (10 mg) was added to the above reaction mixture. Then, the reaction was stirred at 10 °C under H_2-ballon for 1h. After completion of the reaction, it was filtered through short-pad celite-545 and washed with EtOAc (20 mL). Next, evaporation of solvent left the crude materials which was purified, leading to the pure product **5** in 88% yield.



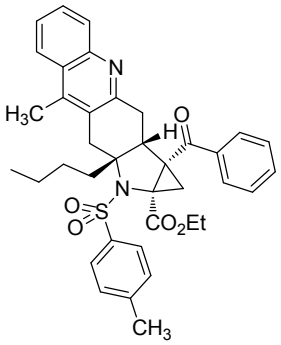
(±)-*(cis-trans-cis)*-Ethyl 6b-benzoyl-2a-butyl-5-oxo-2-tosyldecahydrocyclopropa[*b*]indole-1a-carboxylate (5): Colorless solid; mp 78-80 °C; R_f = 0.33 (ethyl acetate/hexane = 25:75); yield = 88% (71 mg); ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.92-7.91 (m, 4H), 7.59 (t, *J* = 7.2 Hz, 1H), 7.46 (t, *J* = 7.5 Hz, 2H), 7.32 (d, *J* = 7.9 Hz, 2H), 4.35-4.22 (m, 2H), 3.34-3.28 (m, 1H), 3.06 (d, *J* = 4.8 Hz, 1H), 2.45 (s, 4H), 2.36 – 2.29 (m, 3H), 2.23-2.10 (m, 2H), 1.95-1.90 (m, 1H), 1.64 (br s, 2H), 1.35 – 1.25 (m, 7H), 0.87 (t, *J* = 6.7 Hz, 3H) ppm;^{13}C NMR (100 MHz, $CDCl_3$) δ 208.4, 192.3, 168.3, 143.9, 138.6, 135.4, 134.0, 129.4, 129.0, 128.5, 125.2, 76.8, 62.1, 55.1, 47.9, 44.7, 40.1, 39.3, 34.6, 31.3, 27.6, 23.1, 21.5, 13.9, 13.8 ppm; HRMS (ESI-TOF) m/z $[M + Na]^+$ calcd for $C_{30}H_{35}NNaO_6S^+$ 560.2077, found 560.2066.



A mixture of compound **5** (54.0 mg, 0.1 mmol), *ortho*-aminoacetophenone (20.0 mg, 0.15 mmol) and PTSA (20 mol%) was taken in sealed tube and heated at 100 °C for 16h. After completion of the reaction, the reaction mixture was concentrated and added aqueous $NaHCO_3$ solution, followed by extraction with ethyl acetate, dried over Na_2SO_4. Next, the solvent was evaporated under

reduced pressure to give the crude mass, which was purified by column chromatography over silica-gel to afford the pure product **6** in 75% yield.

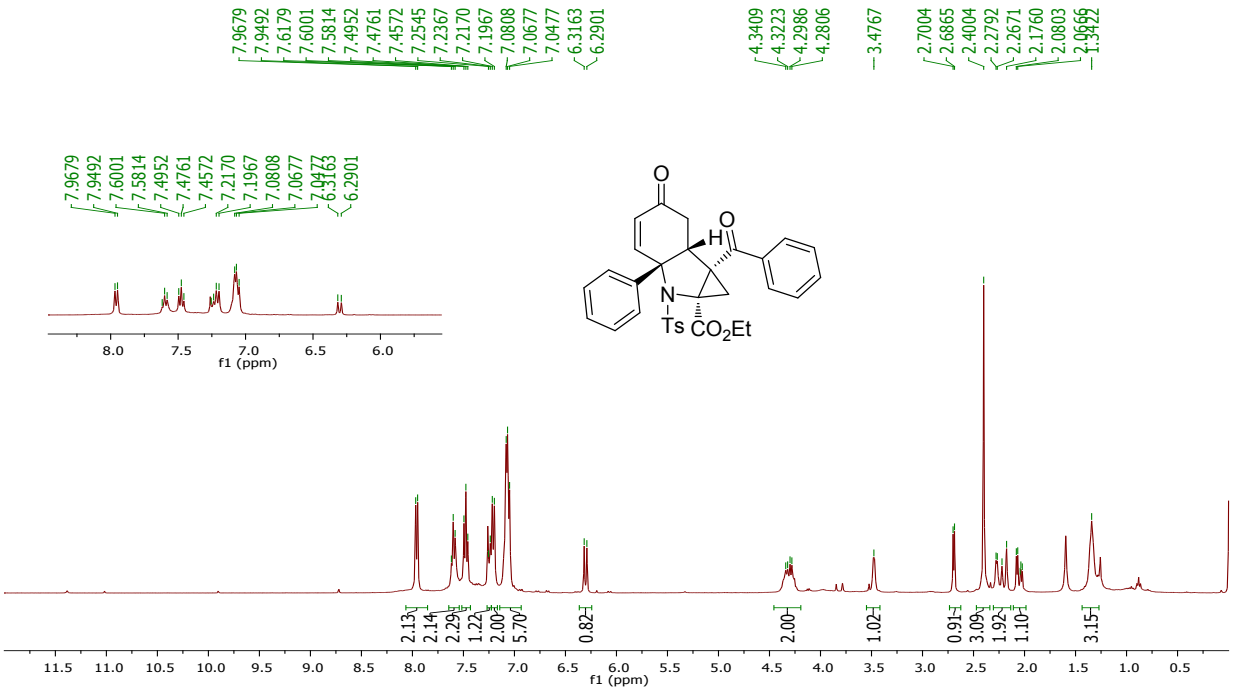
***(±)-(cis-trans-cis)*-Ethyl 10b-benzoyl-2a-butyl-4-methyl-2-tosyl-1,1a,2,2a,3,10,10a,10b-octahydrocyclopropa[4,5]pyrrolo[2,3-*b*]acridine-1a-carboxylate**



(6): Colorless solid; mp 88-90 °C; yield = 75% (47 mg); R_f = 0.3 (ethyl acetate/hexane = 25:75); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.04 (d, *J* = 7.7 Hz, 2H), 8.00 (d, *J* = 7.6 Hz, 1H), 7.95-7.87 (m, 1H), 7.58 (t, *J*= 7.5 Hz, 1H), 7.50 (d, *J* = 8.3 Hz, 1H), 7.46 (d, *J* = 7.5 Hz, 2H), 7.36 – 7.30 (m, 3H), 7.15 (t, *J* = 7.4 Hz, 2H), 4.35-4.15 (m, 2H), 4.12 (s, 1H), 3.84-3.78 (m, 1H), 3.22-2.98 (m, 2H), 2.85 – 2.76 (m, 2H), 2.47 (s, 3H), 2.44-2.34 (m, 1H), 2.21 (s, 3H), 1.75 – 1.65 (m, 2H), 1.33-1.25 (m, 5H), 1.12-1.07 (m, 2H), 0.66 (t, *J* = 7.1 Hz, 3H) ppm; ^{13}C NMR (125 MHz, $CDCl_3$) δ 192.9, 168.8, 160.2, 143.8, 139.2, 136.2, 133.1, 129.5, 129.2,128.98, 128.93, 128.8, 128.6, 128.4, 128.1, 126.3, 125.5, 125.2, 123.5, 80.0, 62.0, 55.6, 53.8, 46.7, 37.7, 33.3, 32.5, 31.5, 27.2, 23.0, 21.6, 14.7, 13.9, 13.7 ppm; HRMS (ESI-TOF) m/z [M + Na]$^+$ calcd for $C_{38}H_{40}N_2NaO_5S^+$ 659.2550, found 659.2540.

References:

1. (a) S. B. Jadhav and R. Chegondi, *Org. Lett.,* 2019, **21**, 10115. (b) H. Jin, Q. Zhang, E. Li, P. Jia, N. Lia and Y. Huang, *Org. Biomol. Chem*., 2017, **15**, 7097. (c) D. Xu, Y. Zhao, D. Song, Z. Zhong, S. Feng, X. Xie, X. Wang and X. She, *Org. Lett.,* 2017, **19**, 3600. (d) L. Pantaine, V. Coeffard, X. Moreau and C. Greck, *Org. Lett*. 2015, **17**, 3674.
2. (a) S. Yang, D. Lu, H. Huo, F. Luo and Y. F. Gong, *Org. Lett.* 2018, **20**, 6943. (b) Y. Zhu and Y. F. Gong, *J. Org. Chem*., 2015, **80**, 490.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7.0477
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6.2901
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4.3223
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2.4004
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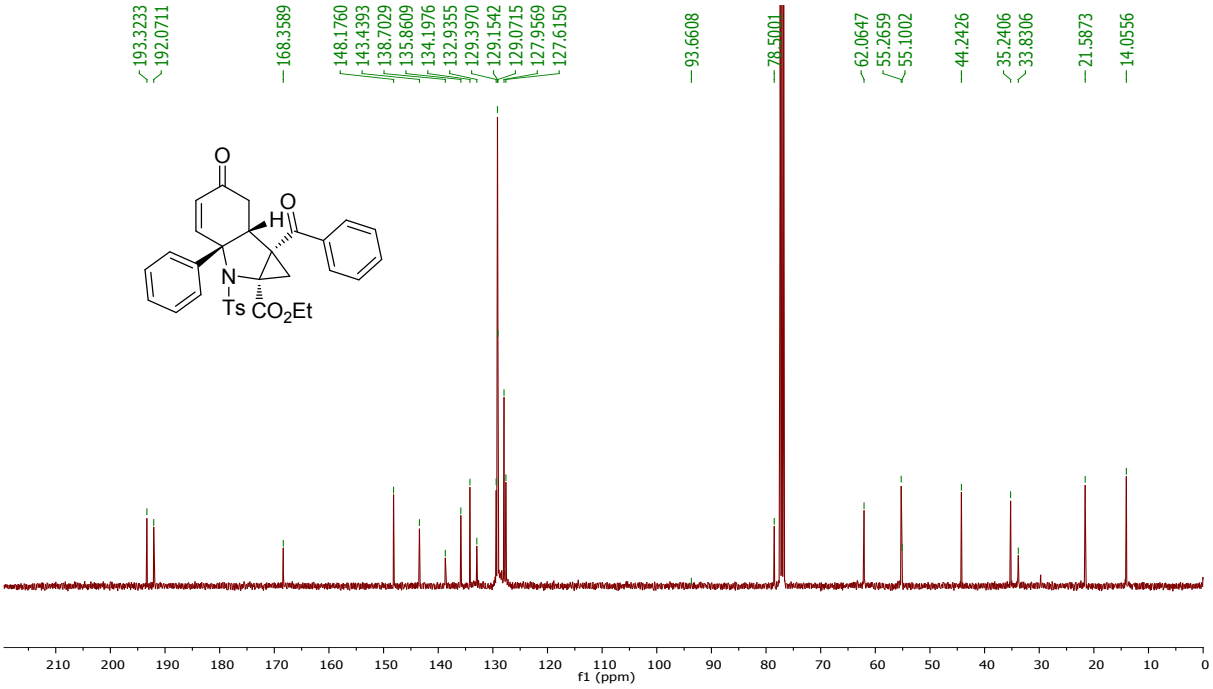
1.92
1.10
3.15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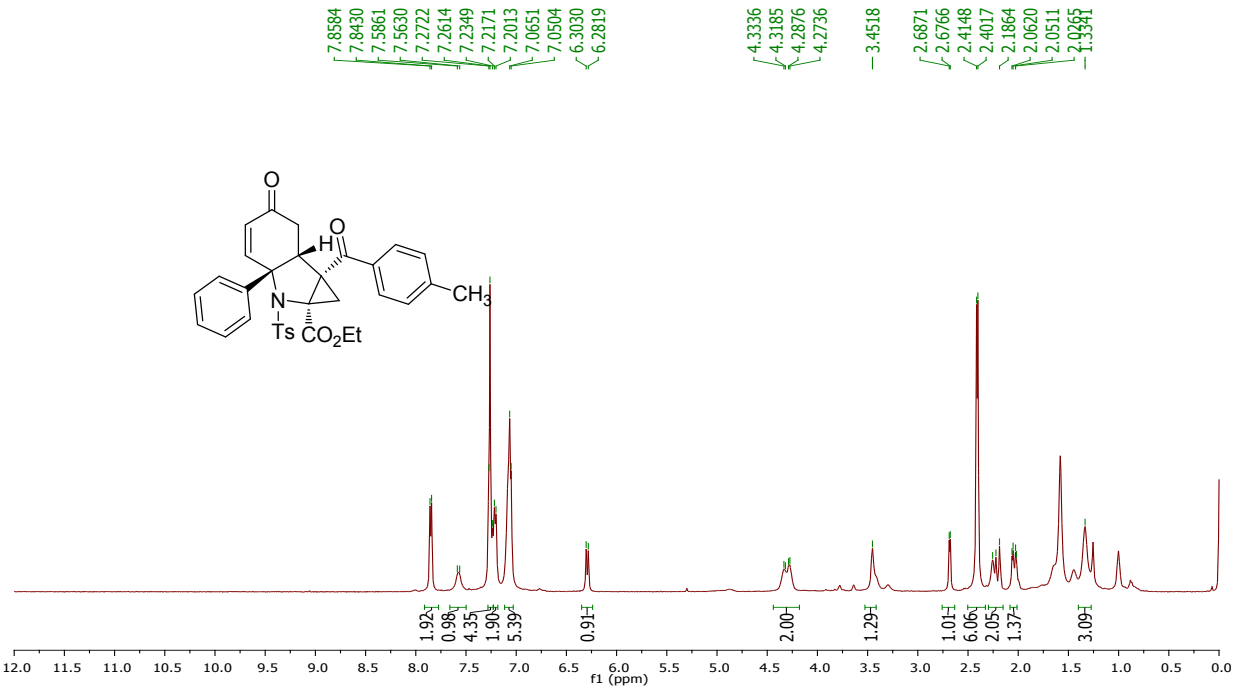
Ts
CO2Et
f1 (ppm)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O
H
N
Ts
CO2Et
CH3
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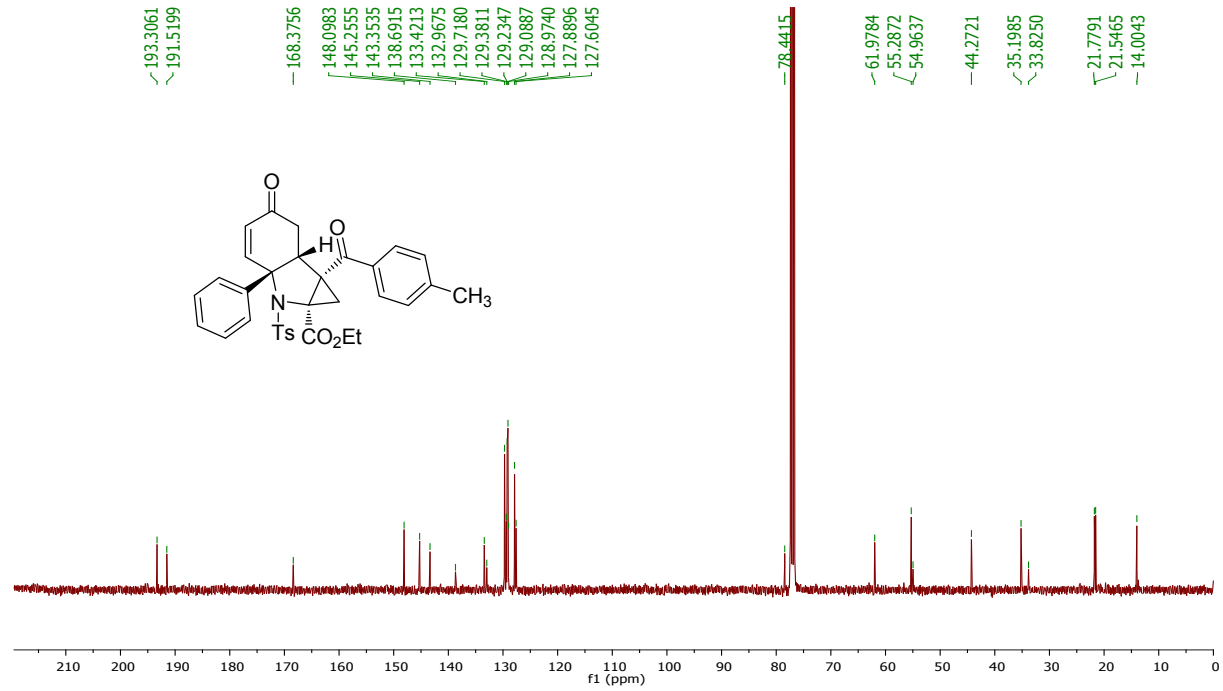
f1 (ppm)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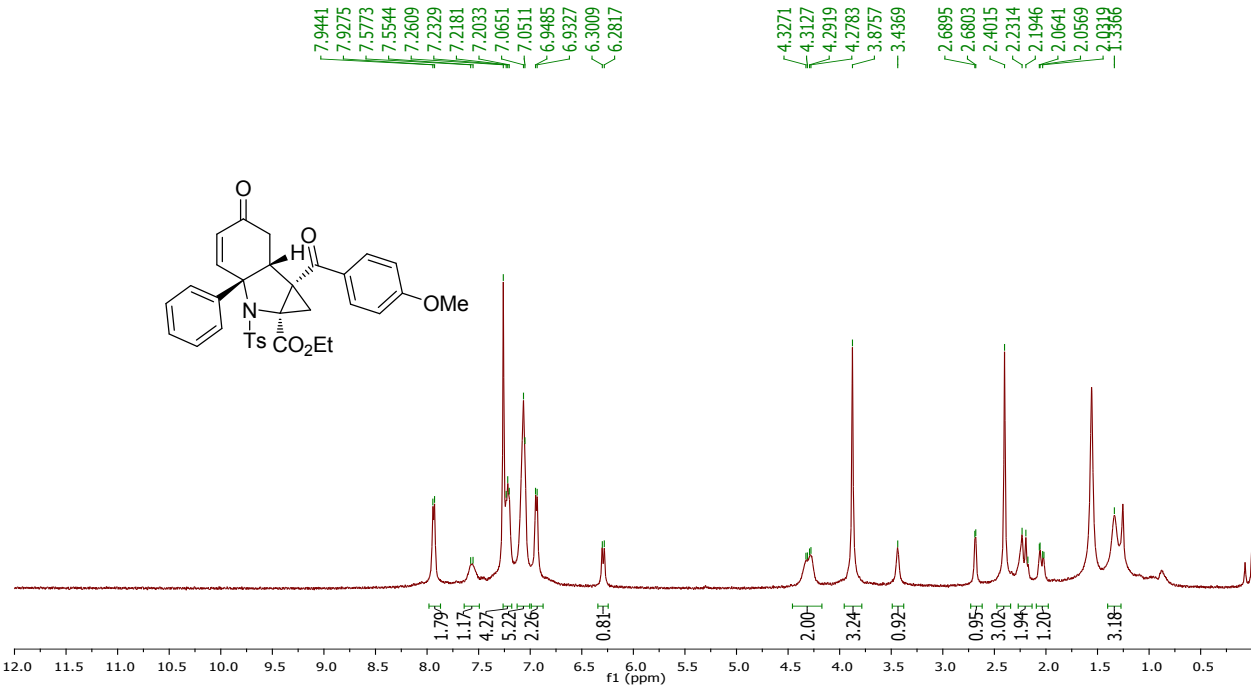
f1 (ppm)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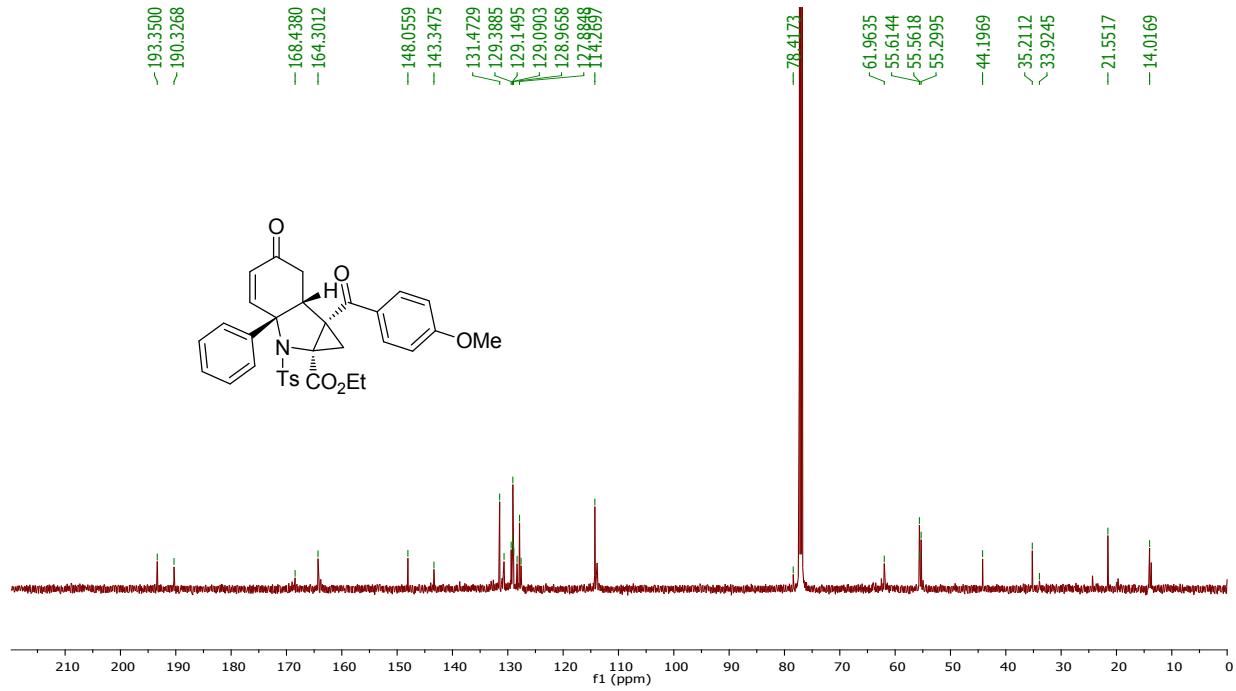
f1 (ppm)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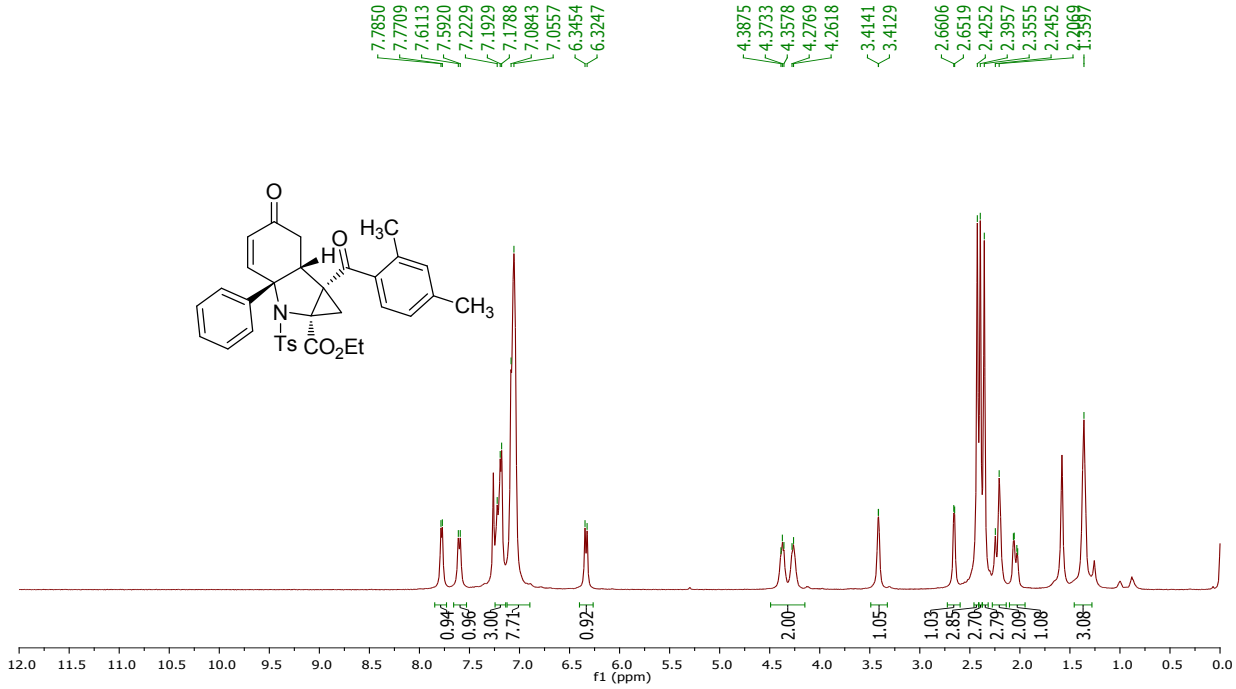
CO2Et
OMe
f1 (ppm)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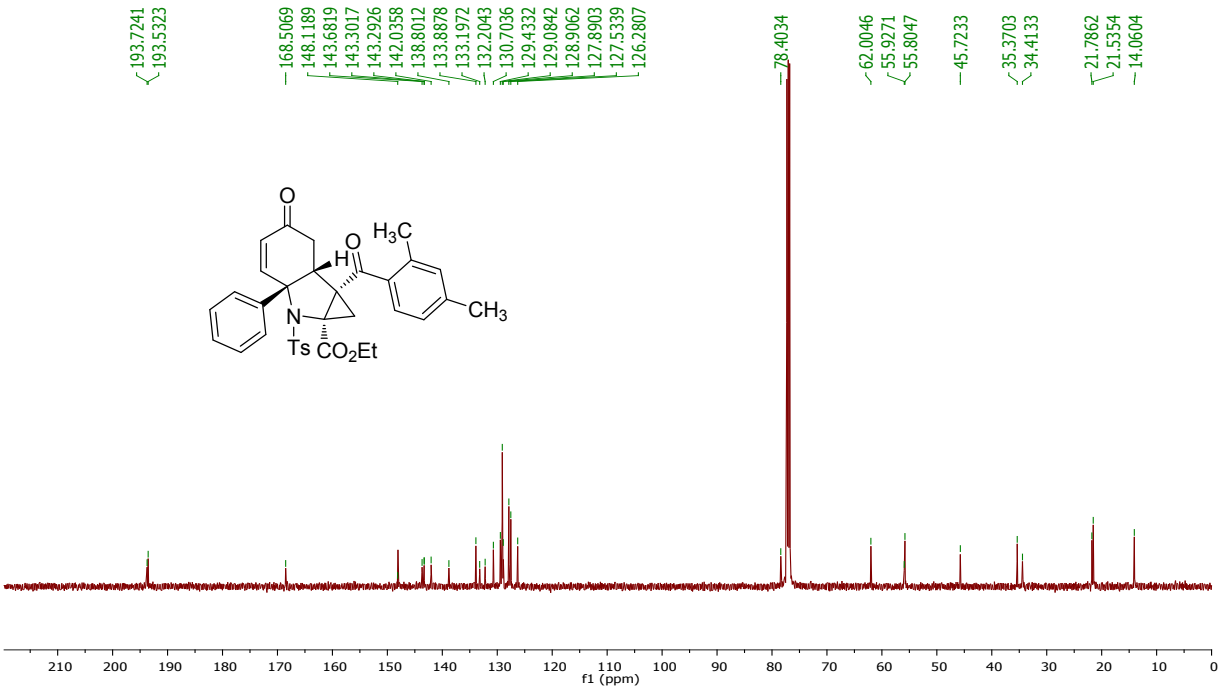
f1 (ppm)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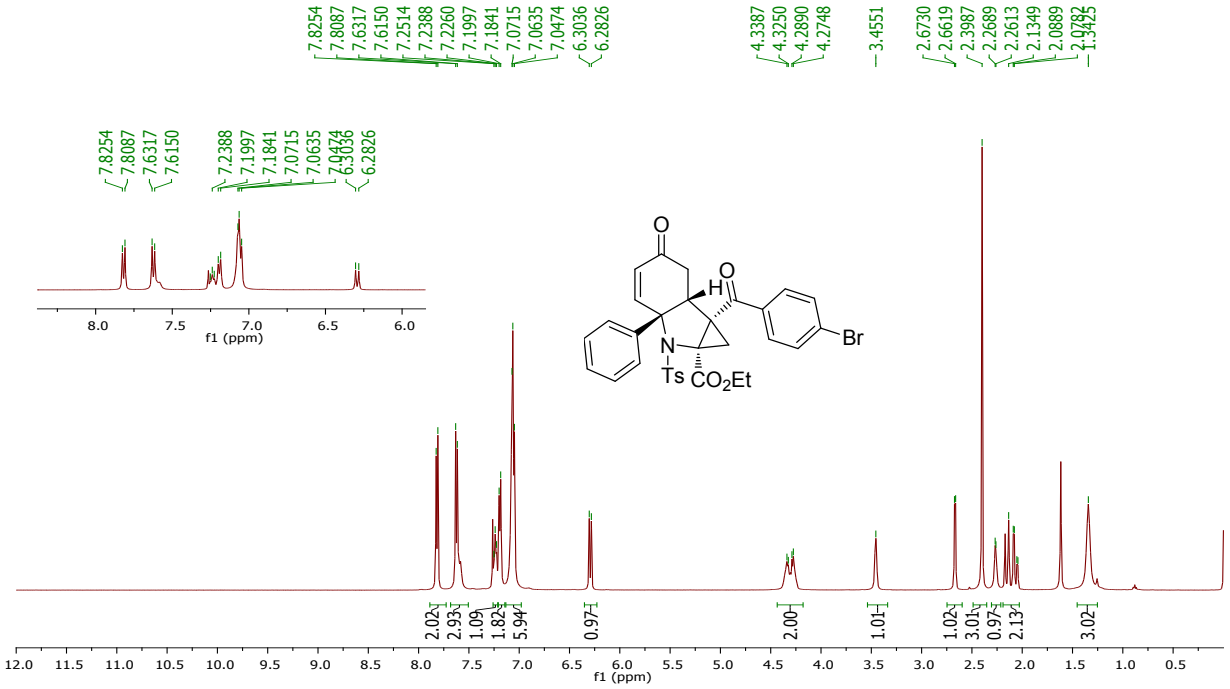
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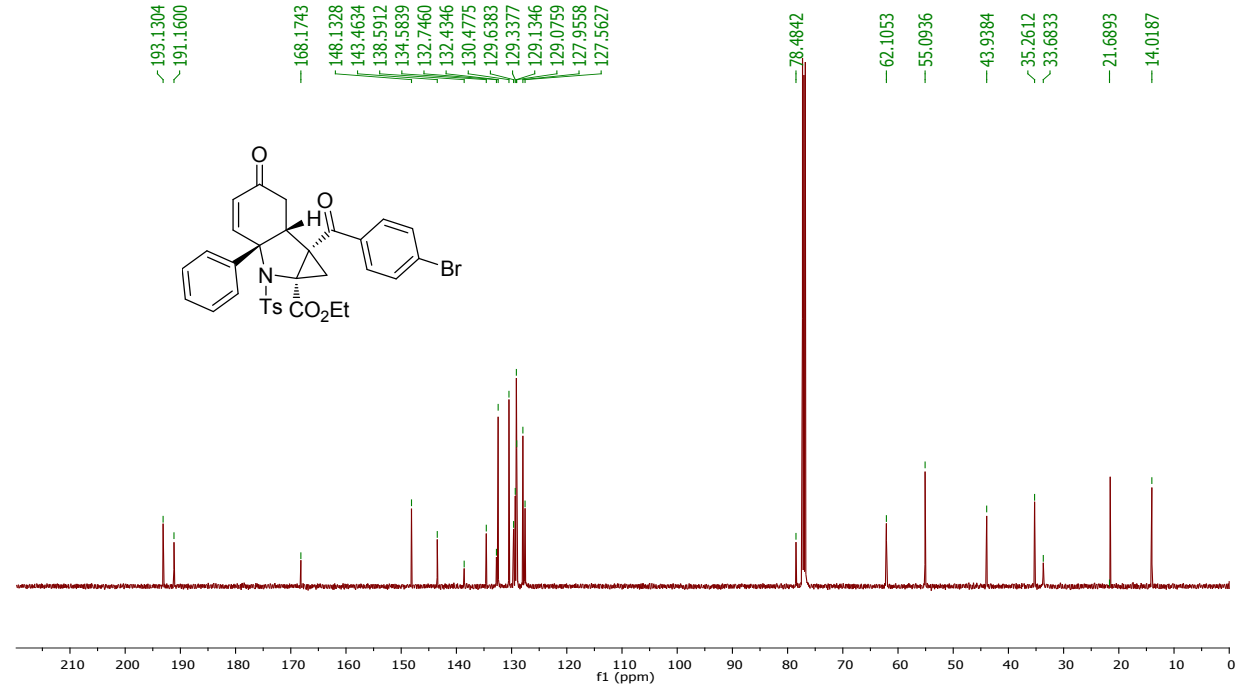
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55.0936
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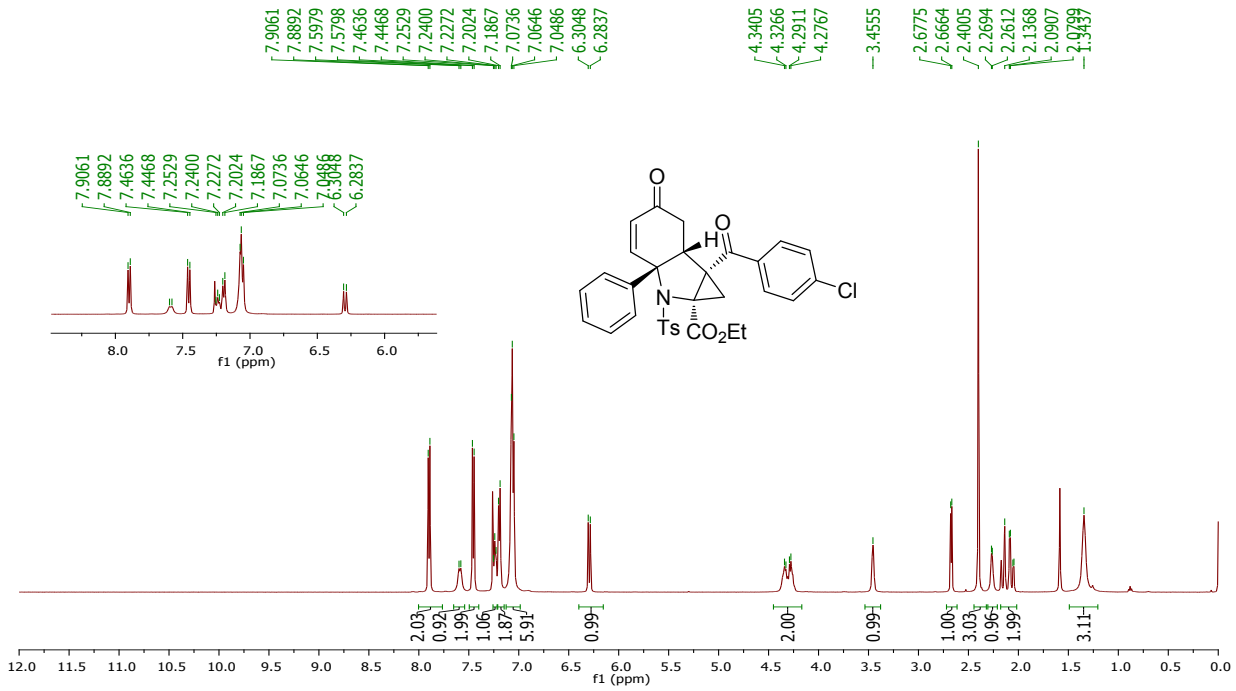
14.0187
f1 (ppm)
O
O
H
N
Ts
CO2Et
Br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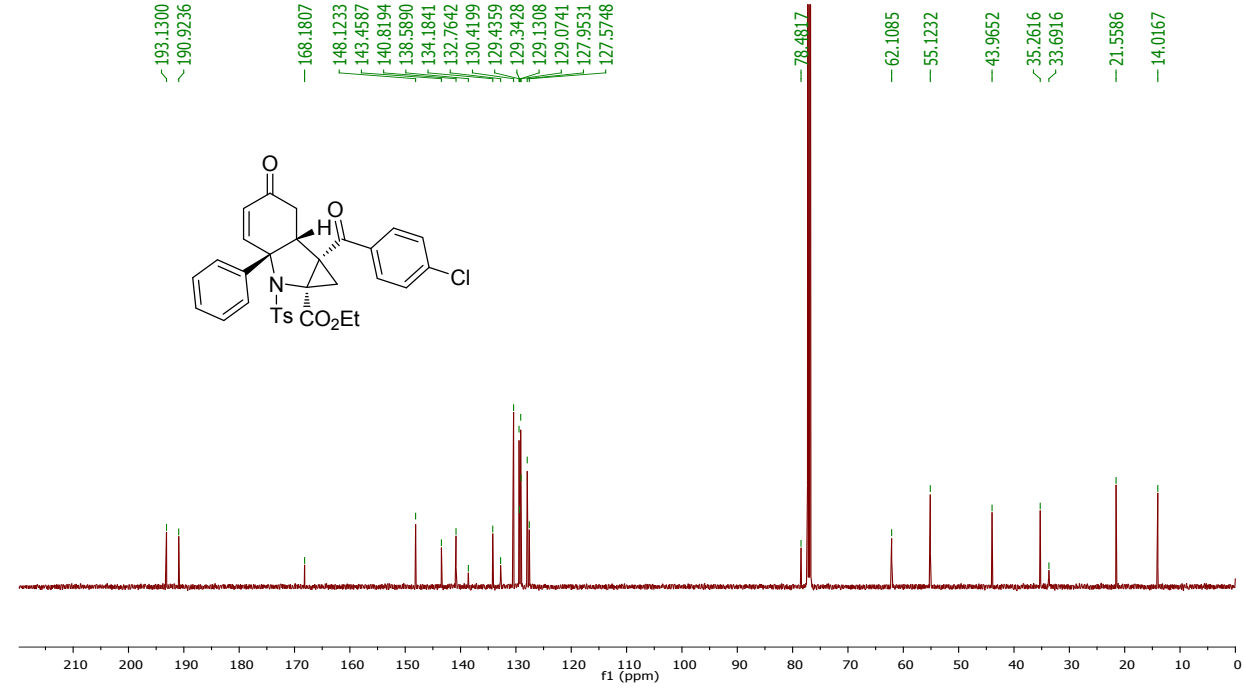
7.0736
7.0646
7.0486
6.3048
6.2837
4.3405
4.3266
4.2911
4.2767
3.4555
2.6775
2.6664
2.4005
2.2694
2.2612
2.1368
2.0907
2.0799
1.3437
O
O
H
N
Ts
CO2Et
Cl
2.03
0.92
1.99
1.06
1.87
5.91
0.99
2.00
0.99
1.00
3.03
0.96
1.99
3.11
f1 (ppm)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127.9531
127.5748
78.4817
62.1085
55.1232
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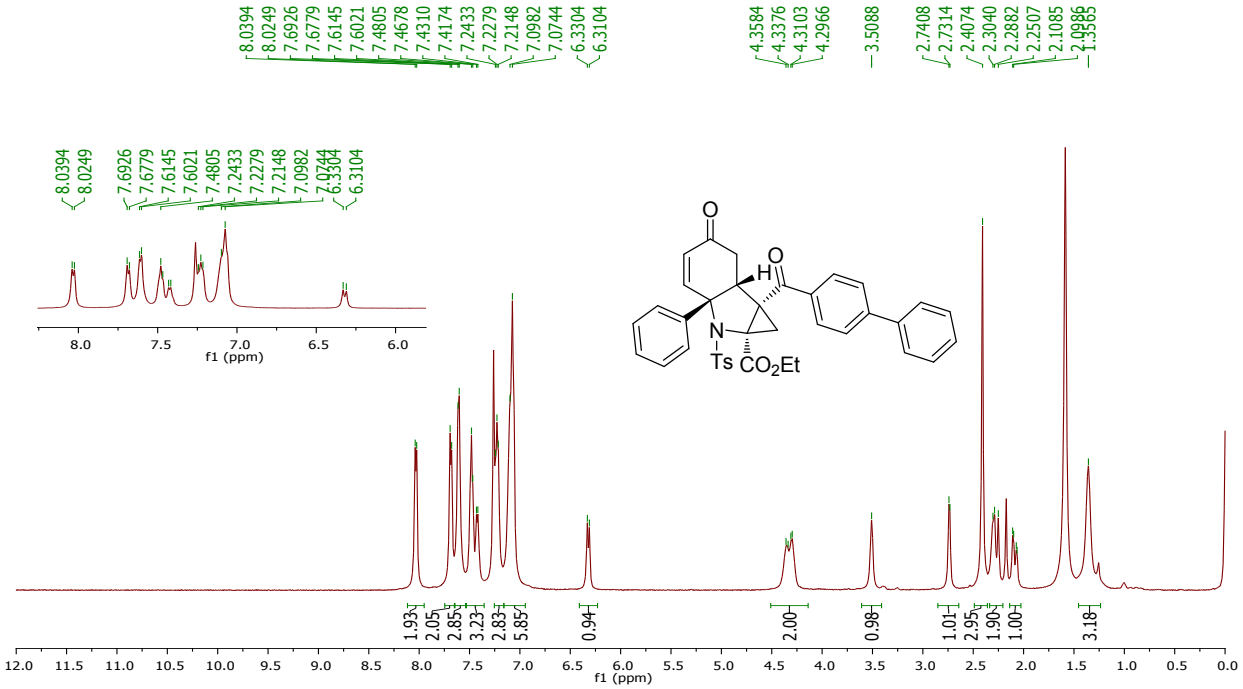
33.6916
21.5586
14.0167
O
O
H
N
Ts
CO2Et
Cl
f1 (ppm)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7.2433
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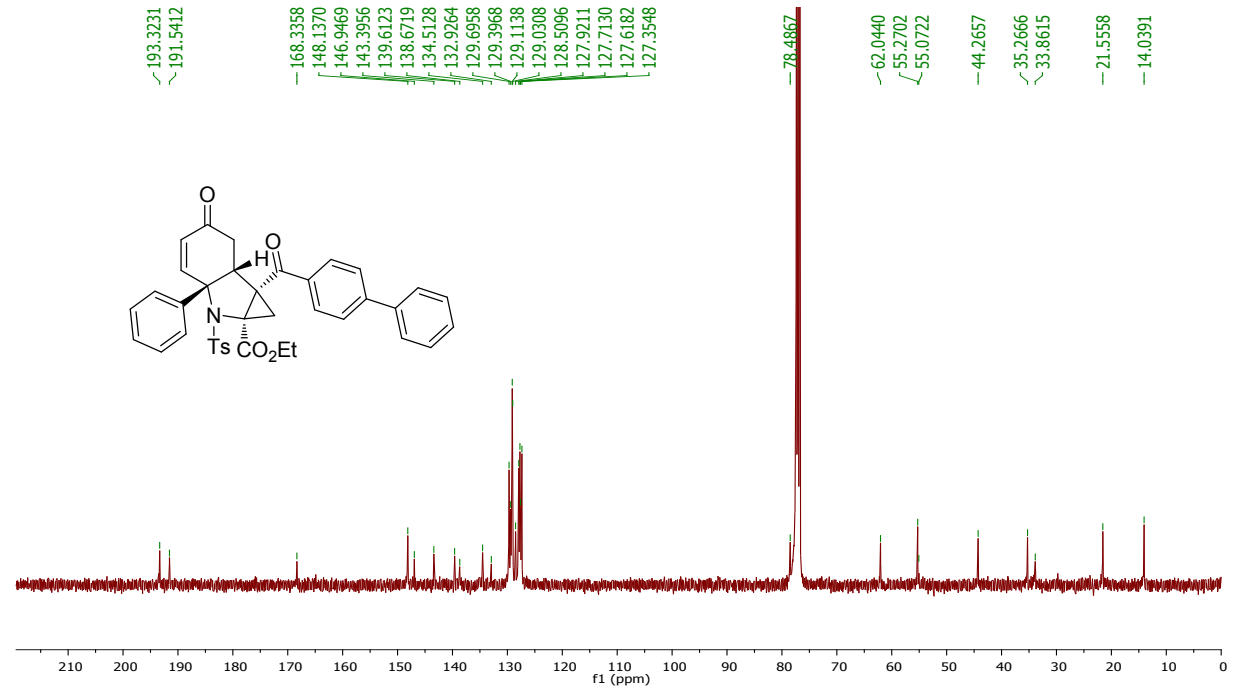
7.2148
7.0982
7.0744
6.3304
6.3104
4.3584
4.3376
4.3103
4.2966
3.5088
2.7408
2.7314
2.4074
2.3040
2.2882
2.2507
2.1085
1.3565
f1 (ppm)
1.93
2.05
2.85
3.23
2.83
5.85
0.94
2.00
0.98
1.01
2.95
1.90
1.00
3.18
f1 (ppm)
O
O
H
N
Ts
CO2Et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127.3548
78.4867
62.0440
55.2702
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33.8615
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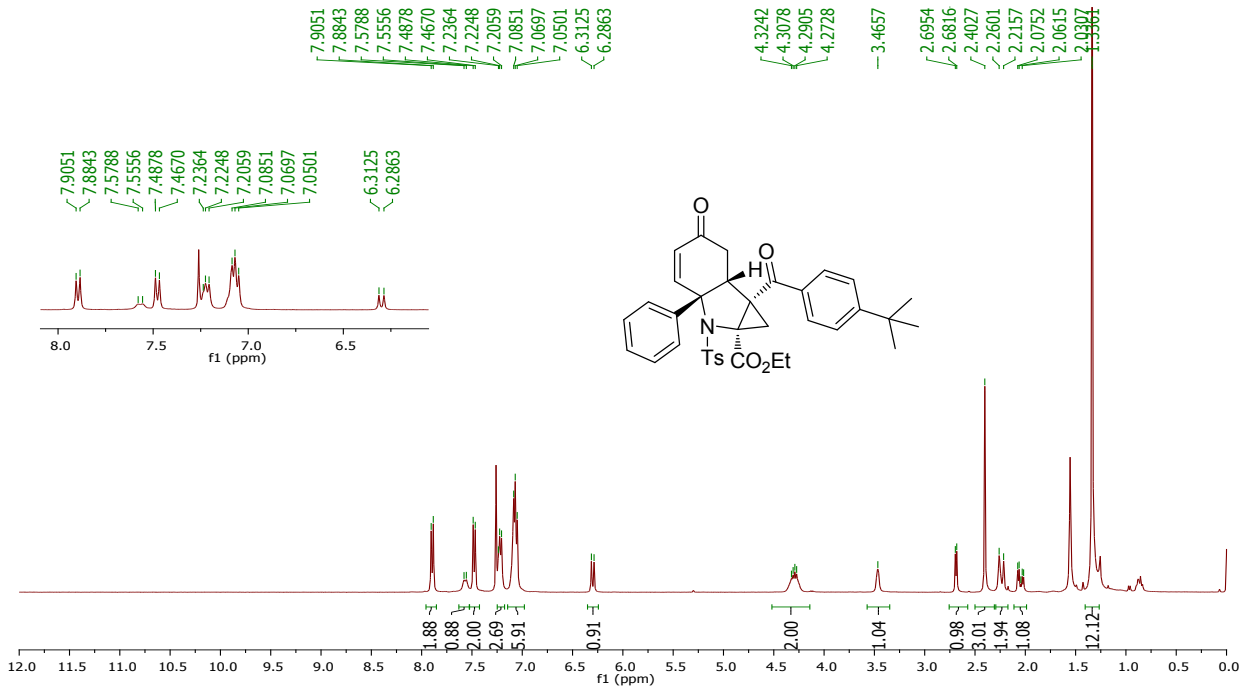
14.0391
f1 (ppm)
O
O
H
N
Ts
CO2Et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6.3125
6.2863
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4.3078
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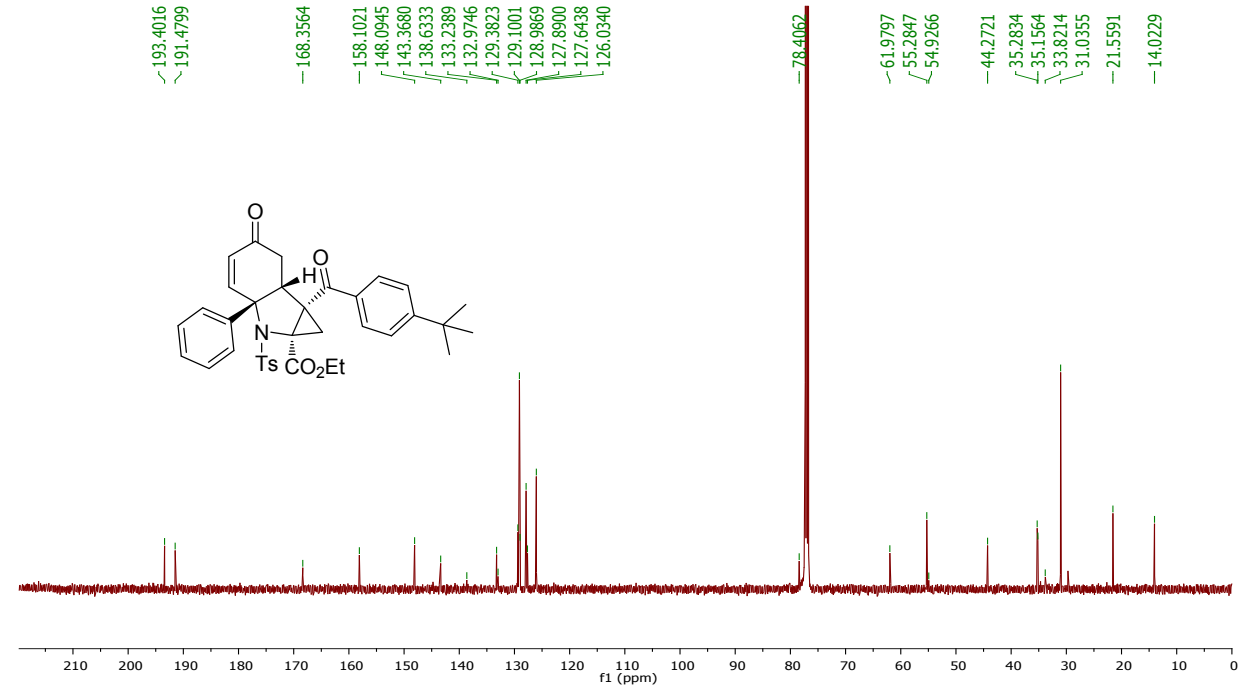
3.4657
2.6954
2.6816
2.4027
2.2601
2.2157
2.0752
2.0615
2.0307
1.5361
1.88
0.88
2.00
2.69
5.91
0.91
2.00
1.04
0.98
3.01
1.94
1.08
12.12
f1 (ppm)
O
H
N
Ts
CO2Et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61.9797
55.2847
54.9266
44.2721
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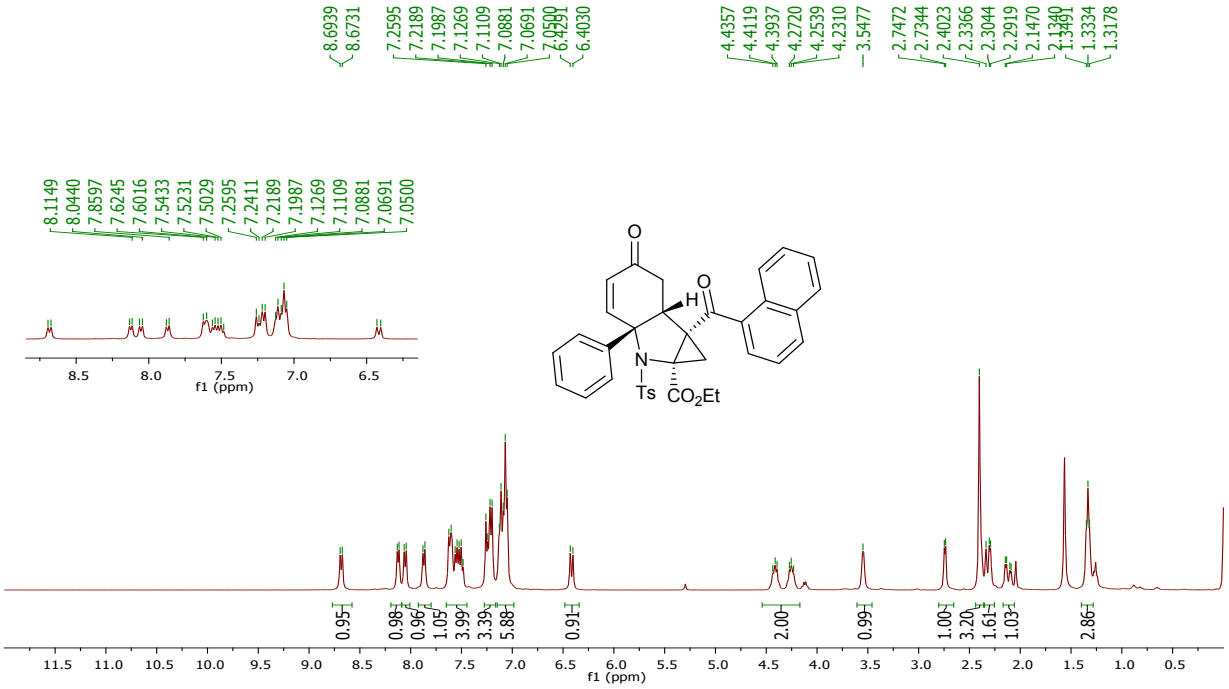
33.8214
31.0355
21.5591
14.0229
f1 (ppm)
O
H
N
Ts
CO2Et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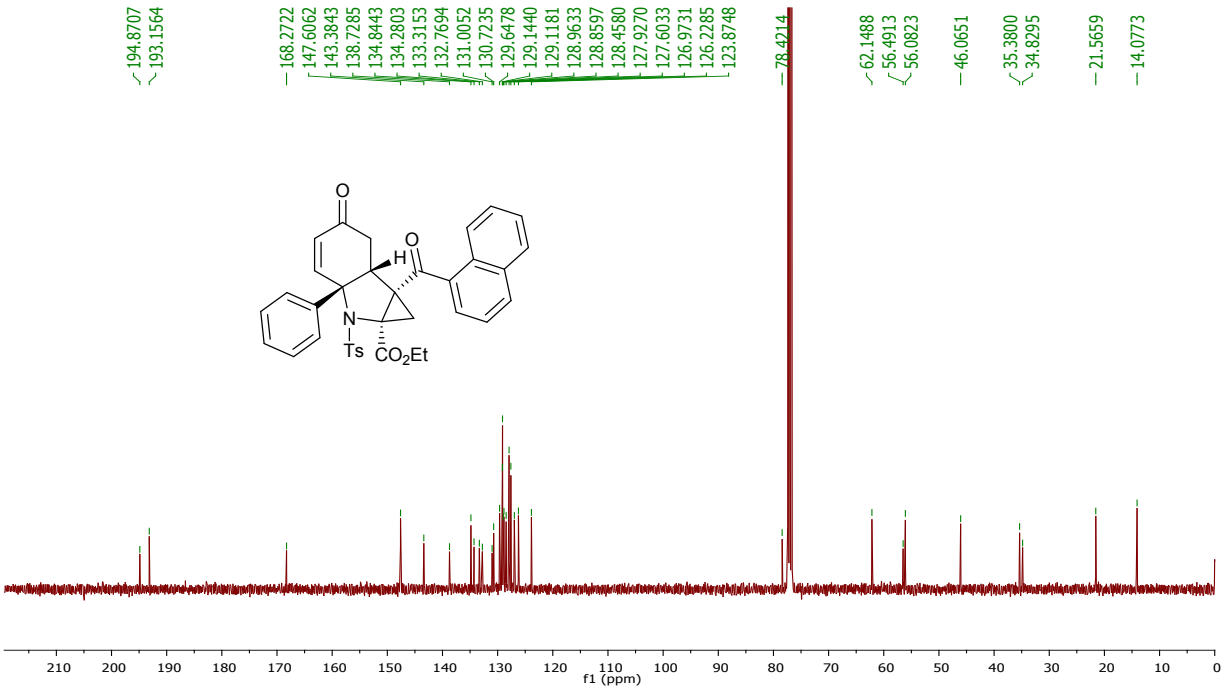
6.4030
4.4357
4.4119
4.3937
4.2720
4.2539
4.2310
3.5477
2.7472
2.7344
2.4023
2.3366
2.3044
2.2919
2.1470
2.1340
1.3491
1.3334
1.3178
8.1149
8.0440
7.8597
7.6245
7.6016
7.5433
7.5231
7.5029
7.2595
7.2411
7.2189
7.1987
7.1269
7.1109
7.0881
7.0691
7.0500
f1 (ppm)
O
H
O
N
Ts
CO2Et
0.95
0.98
0.96
1.05
3.99
3.39
5.88
0.91
2.00
0.99
1.00
3.20
1.61
1.03
2.86
f1 (ppm)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126.2285
123.8748
78.4214
62.1488
56.4913
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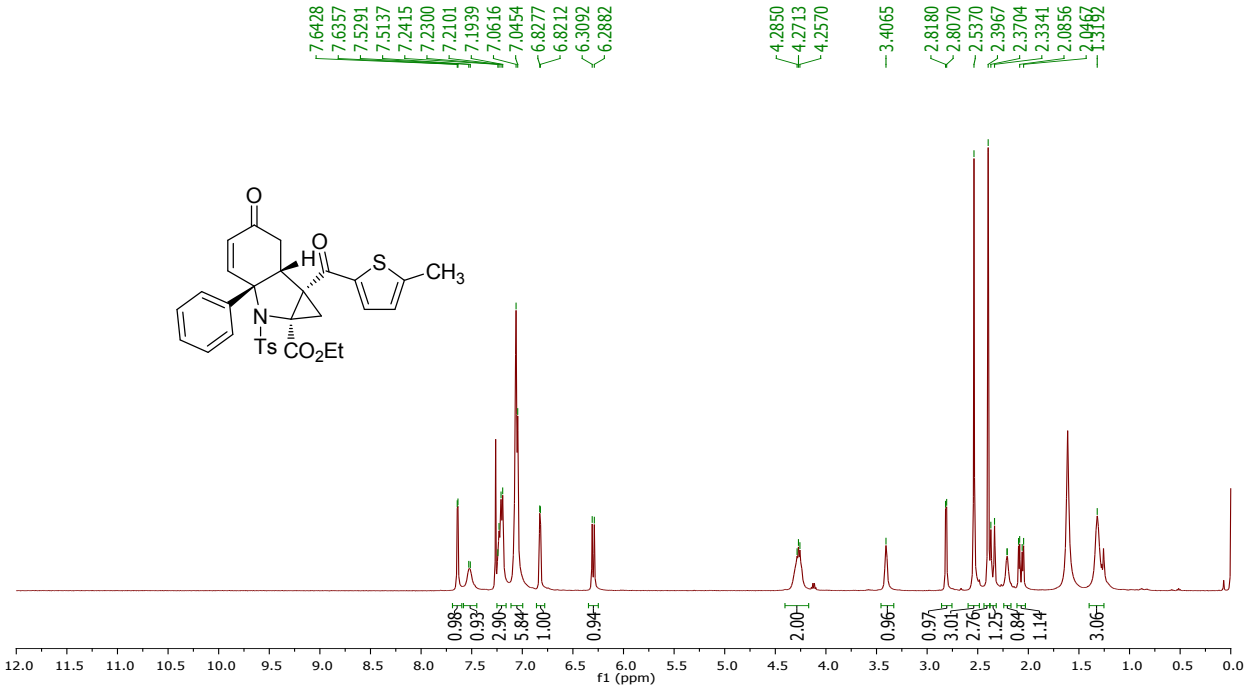
14.0773
O
H
O
N
Ts
CO2Et
f1 (ppm)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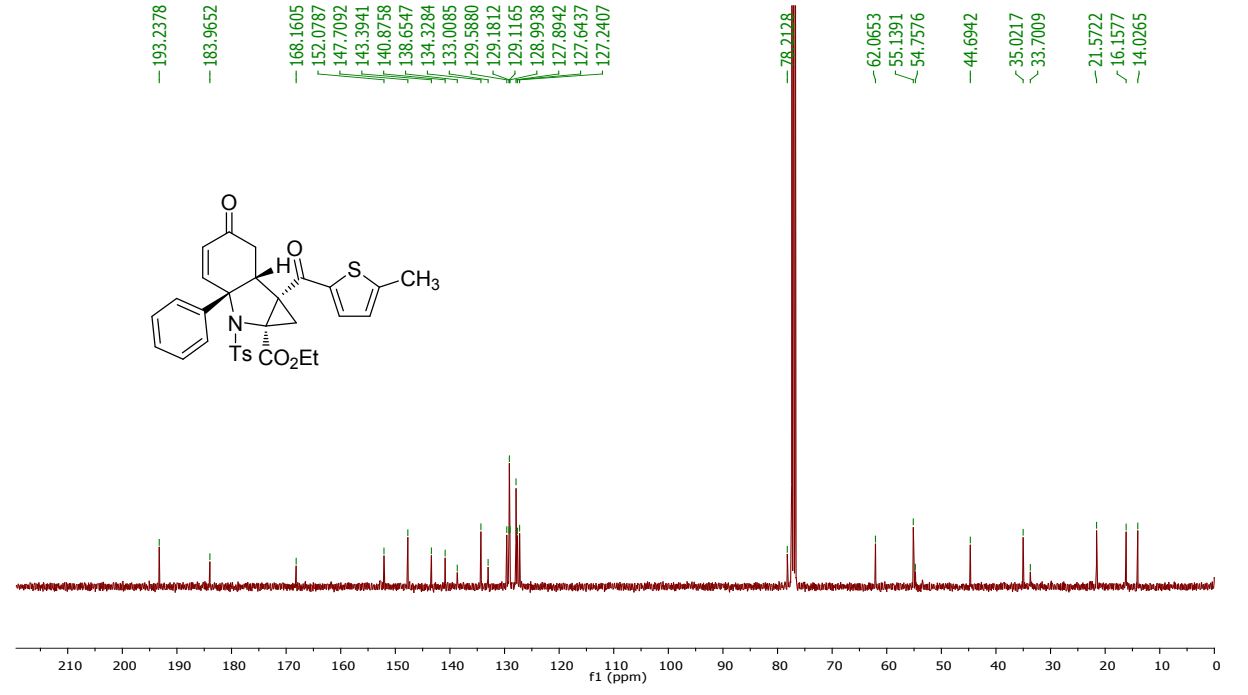
6.8212
6.3092
6.2882
4.2850
4.2713
4.2570
3.4065
2.8180
2.8070
2.5370
2.3967
2.3704
2.3341
2.0856
2.0467
1.3192
O
O
H
S
CH3
N
Ts
CO2Et
0.98
0.93
2.90
5.84
1.00
0.94
2.00
0.96
0.97
3.01
2.76
1.25
0.84
1.14
3.06
f1 (ppm)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129.1165
128.9938
127.8942
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78.2128
62.0653
55.1391
54.7576
44.6942
35.0217
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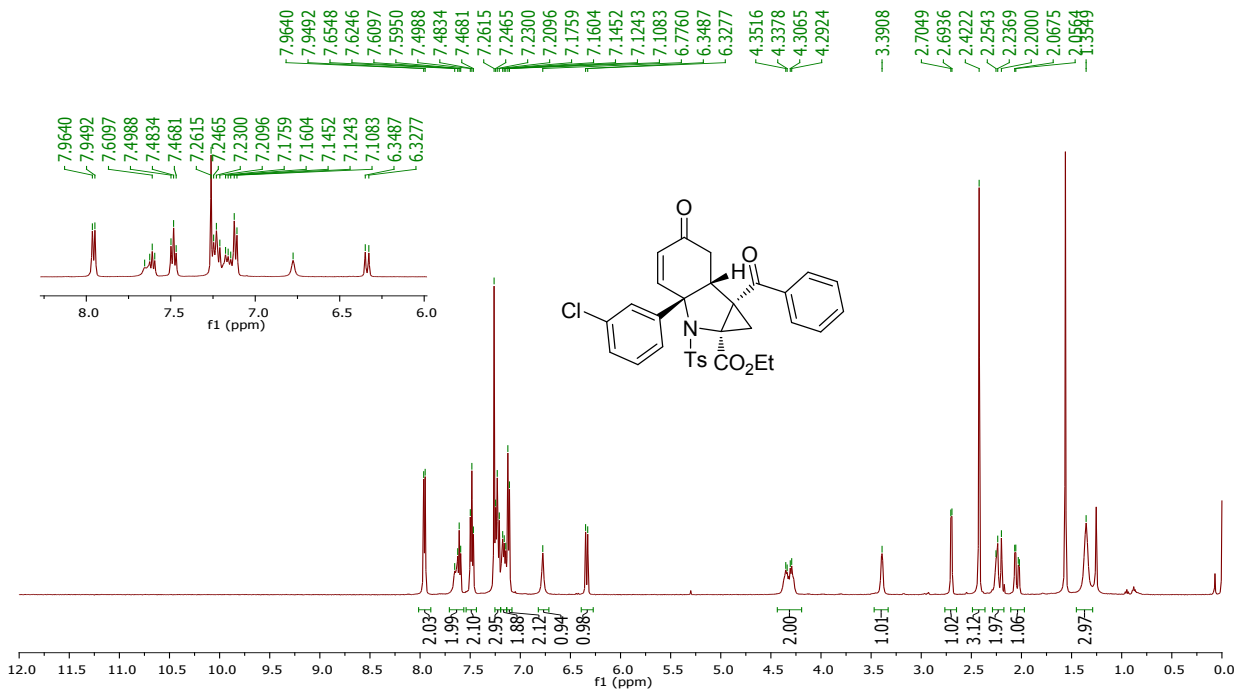
O
O
H
S
CH3
N
Ts
CO2Et
f1 (ppm)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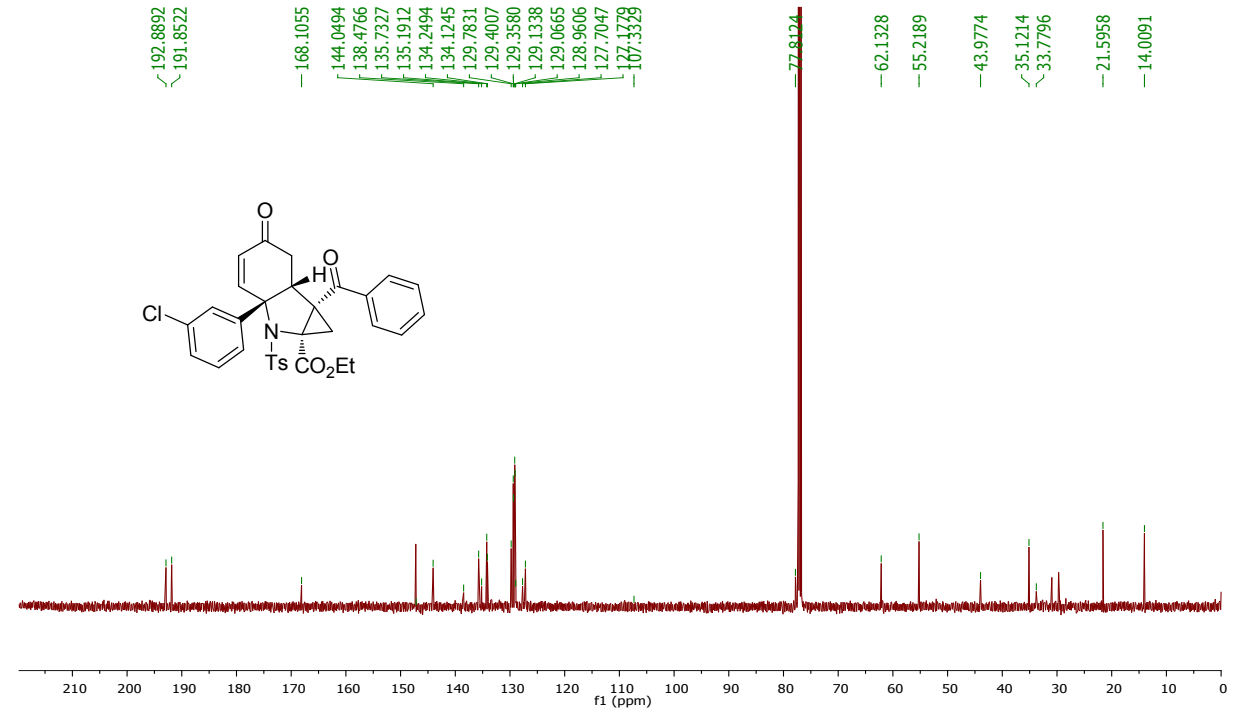
7.2300
7.2096
7.1759
7.1604
7.1452
7.1243
7.1083
6.7760
6.3487
6.3277
4.3516
4.3378
4.3065
4.2924
3.3908
2.7049
2.6936
2.4222
2.2543
2.2369
2.2000
2.0675
2.0564
1.5949
f1 (ppm)
2.03
1.99
2.10
2.95
1.88
2.12
0.94
0.98
2.00
1.01
1.02
3.12
1.97
1.06
2.97
O
H
O
Cl
N
Ts
CO2Et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129.3580
129.1338
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128.9606
127.7047
127.1779
107.3329
77.8124
62.1328
55.2189
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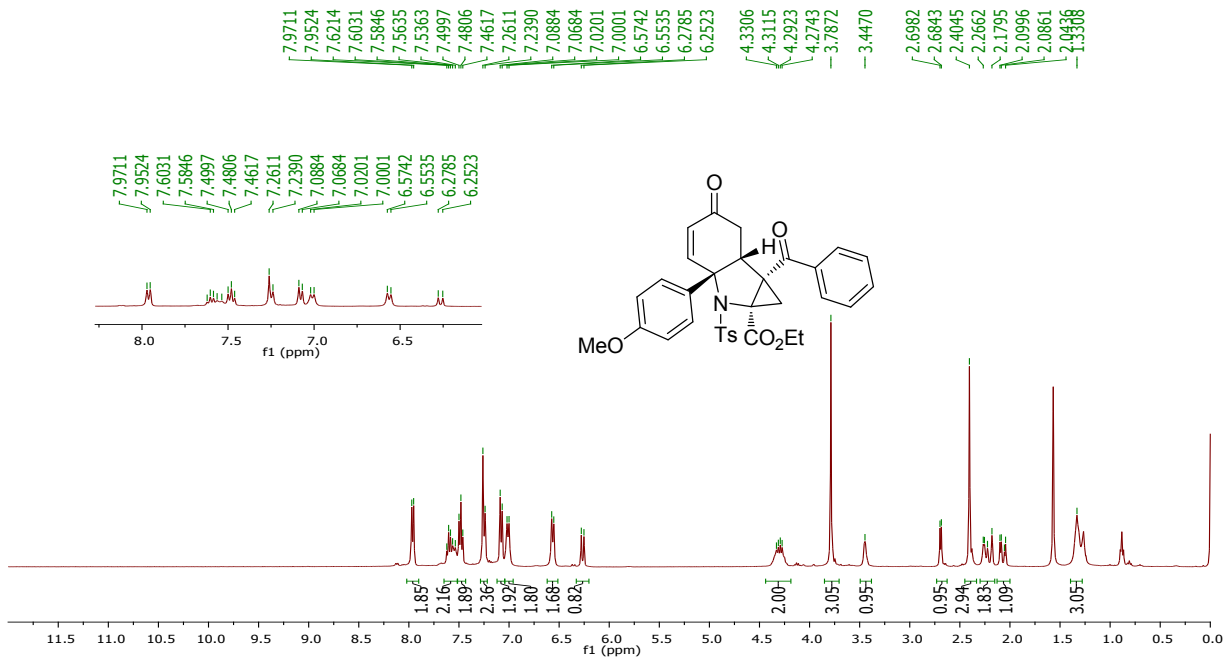
33.7796
21.5958
14.0091
f1 (ppm)
O
H
O
Cl
N
Ts
CO2Et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7.2390
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7.0684
7.0201
7.0001
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6.5535
6.2785
6.2523
4.3306
4.3115
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3.4470
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2.6843
2.4045
2.2662
2.1795
2.0996
2.0861
2.0436
1.9308
f1 (ppm)
MeO
Ts
CO2Et
1.85
2.16
1.89
2.36
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1.80
1.68
0.82
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0.95
0.95
2.94
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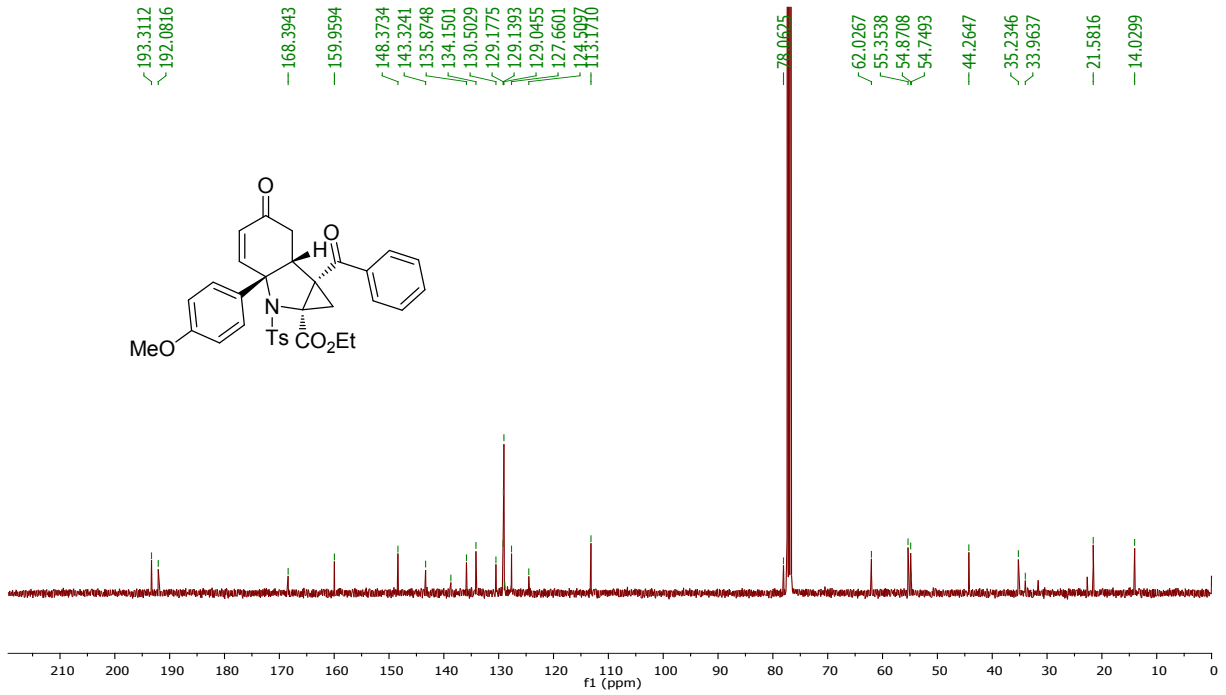
1.09
3.05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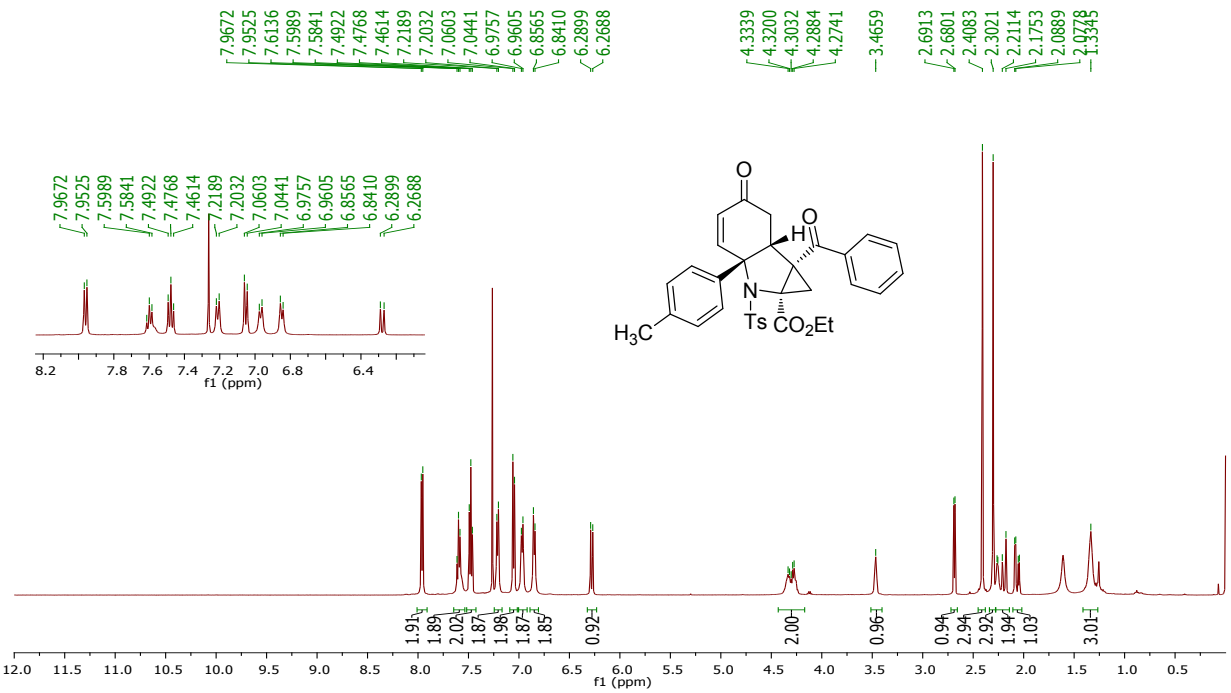
MeO
Ts
CO2Et
f1 (ppm)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6.9757
6.9605
6.8565
6.8410
6.2899
6.2688
4.3339
4.3200
4.3032
4.2884
4.2741
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2.3021
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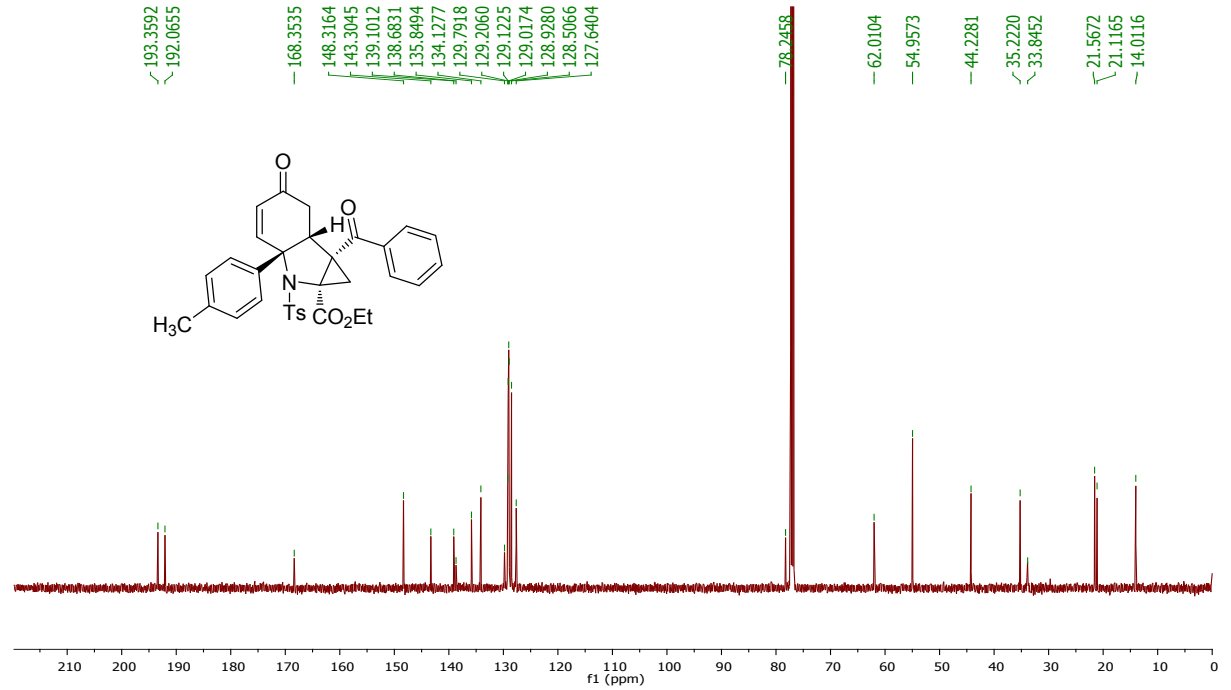
O
H
O
N
Ts
CO2Et
H3C
f1 (ppm)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78.2458
62.0104
54.9573
44.2281
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21.1165
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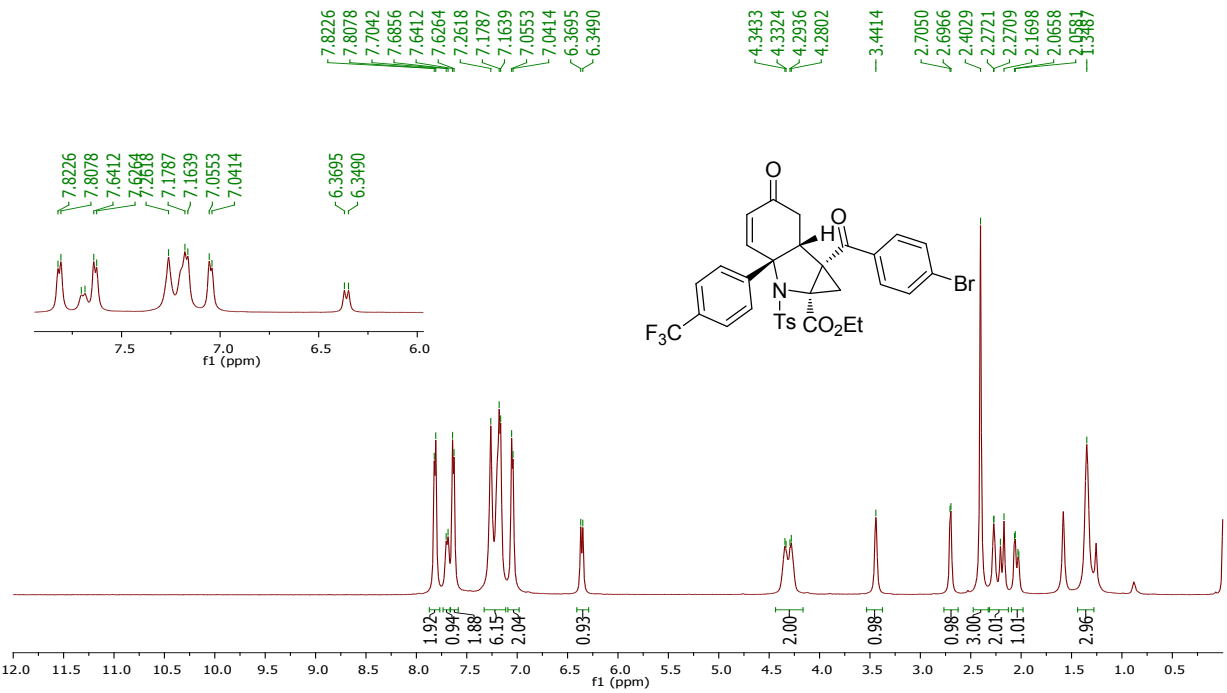
O
H
O
N
Ts
CO2Et
H3C
f1 (ppm)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2.7050
2.6966
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2.2709
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1.3487
O
O
H
N
Ts
CO2Et
F3C
Br
1.92
0.94
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6.15
2.04
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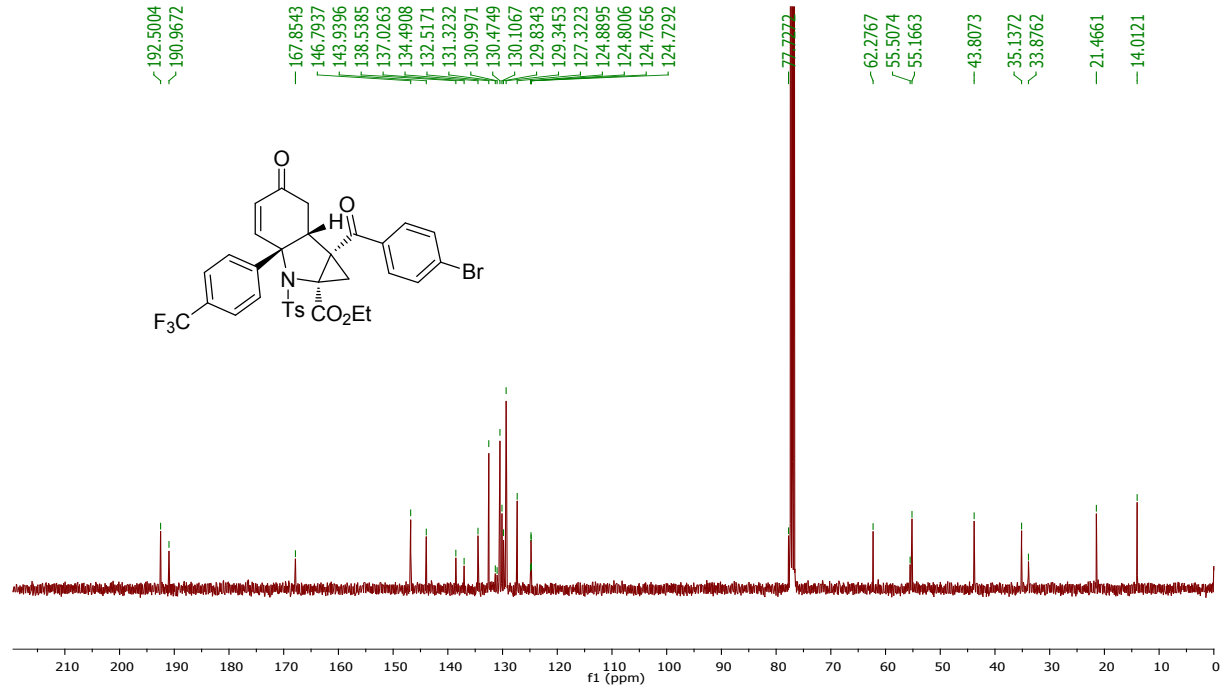
0.98
3.00
2.01
1.01
2.96
f1 (ppm)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124.7292
77.2272
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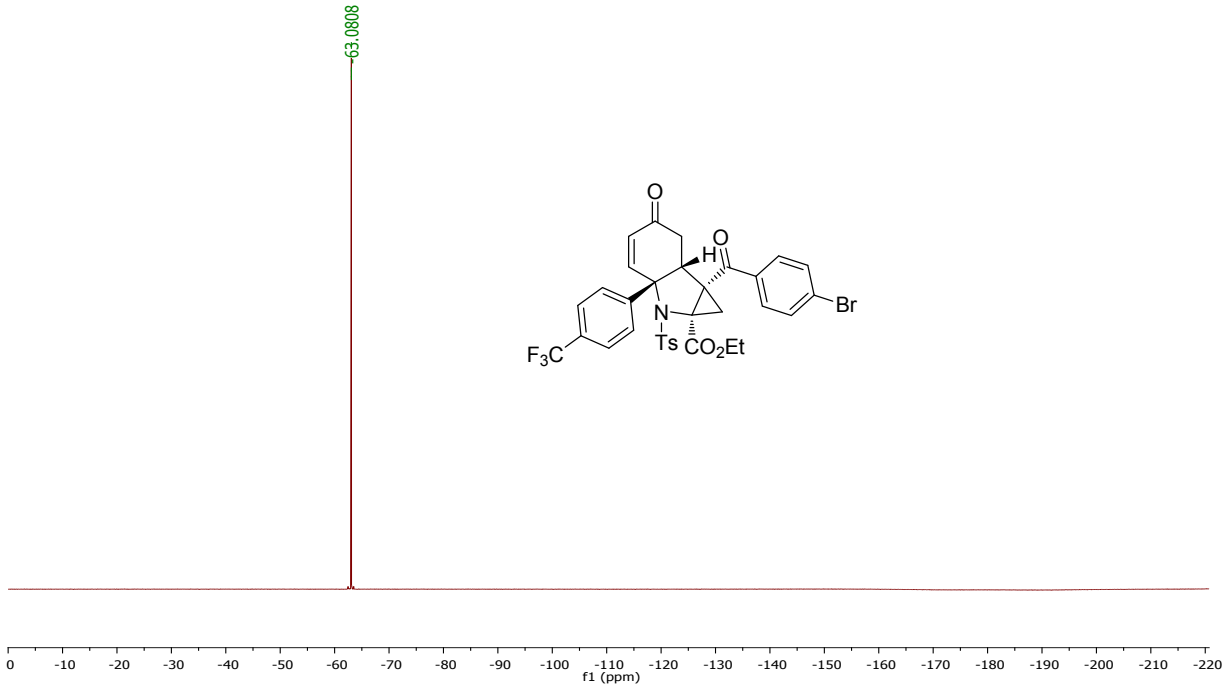
N
Ts
CO2Et
F3C
Br
f1 (ppm)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O
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-10
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-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
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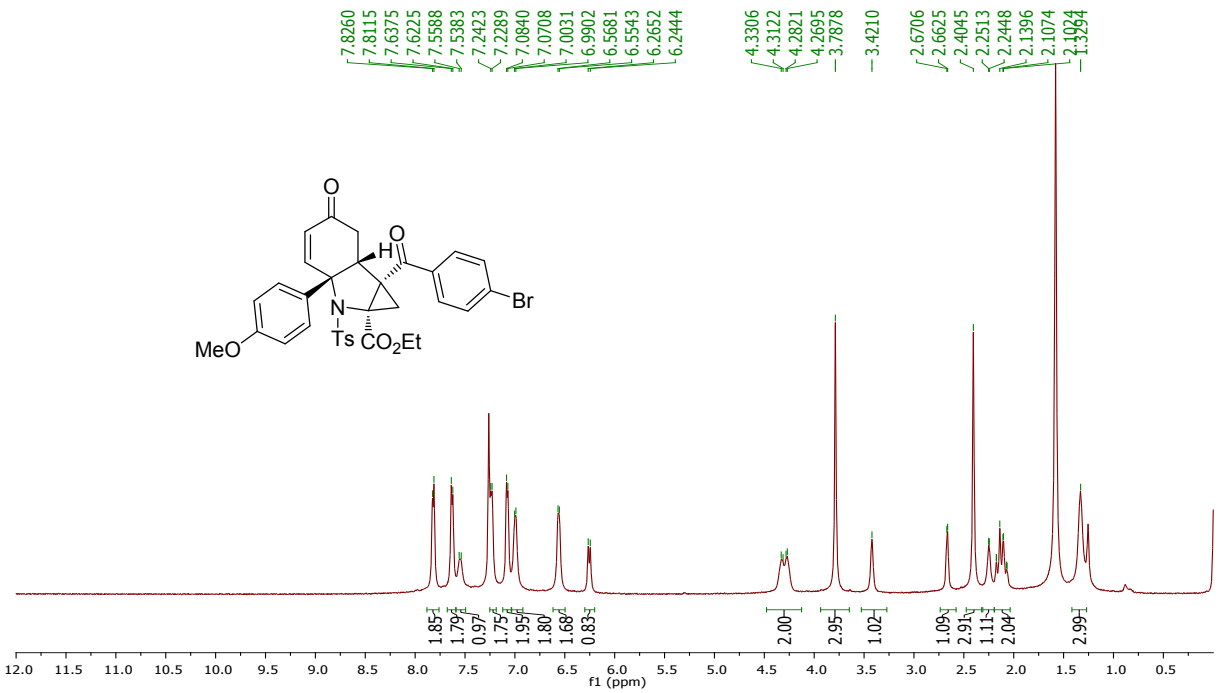
-180
-190
-200
-210
-220
f1 (ppm)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2.1024
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1.79
0.97
1.75
1.95
1.80
1.68
0.83
2.00
2.95
1.02
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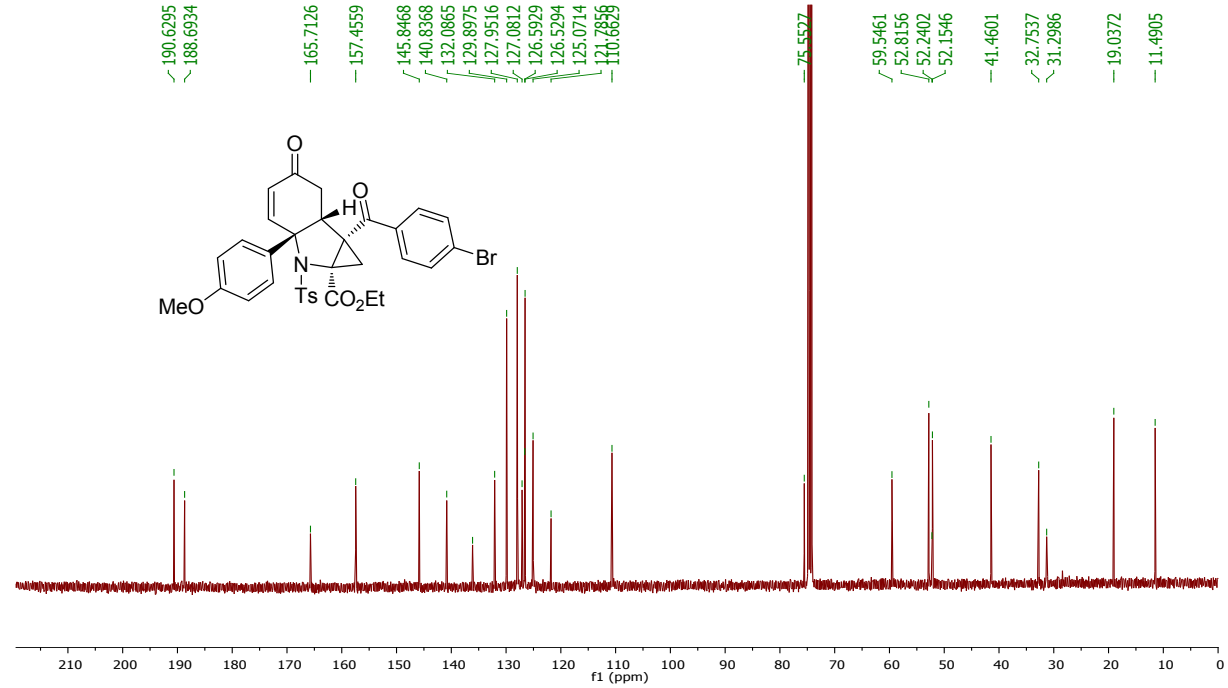
1.11
2.04
2.99
O
O
H
N
Ts
CO2Et
MeO
Br
f1 (ppm)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75.5527
59.5461
52.8156
52.2402
52.1546
41.4601
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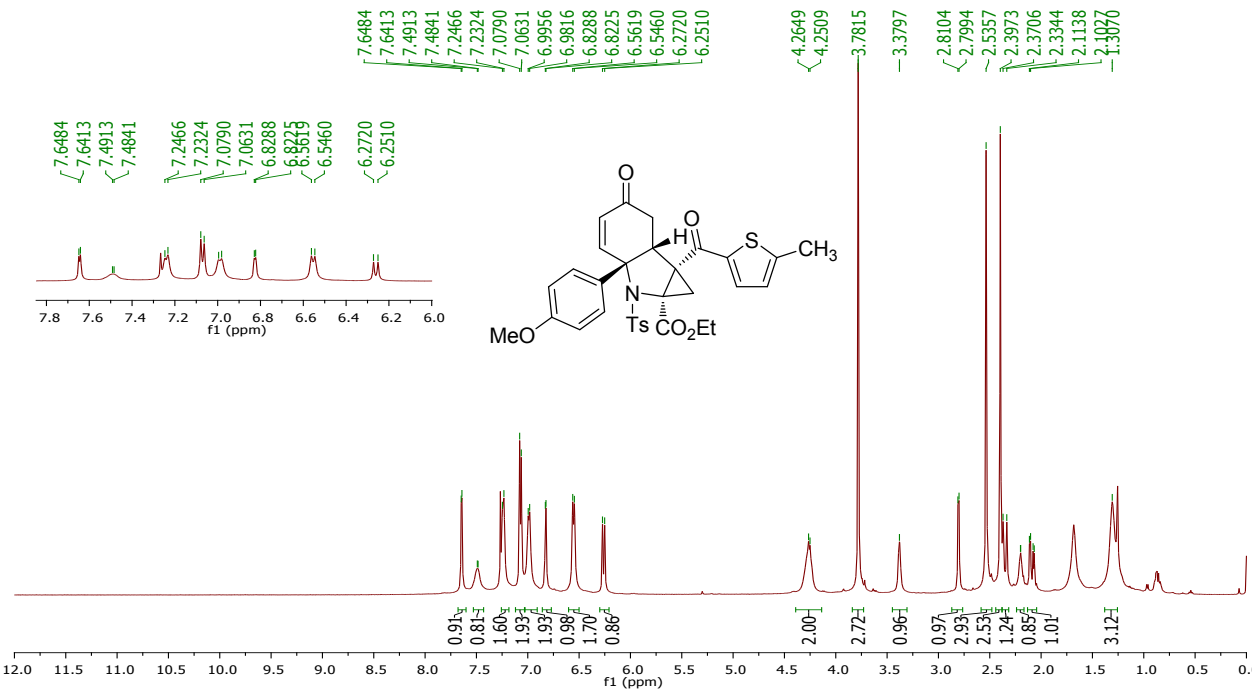
11.4905
O
O
H
N
Ts
CO2Et
MeO
Br
f1 (ppm)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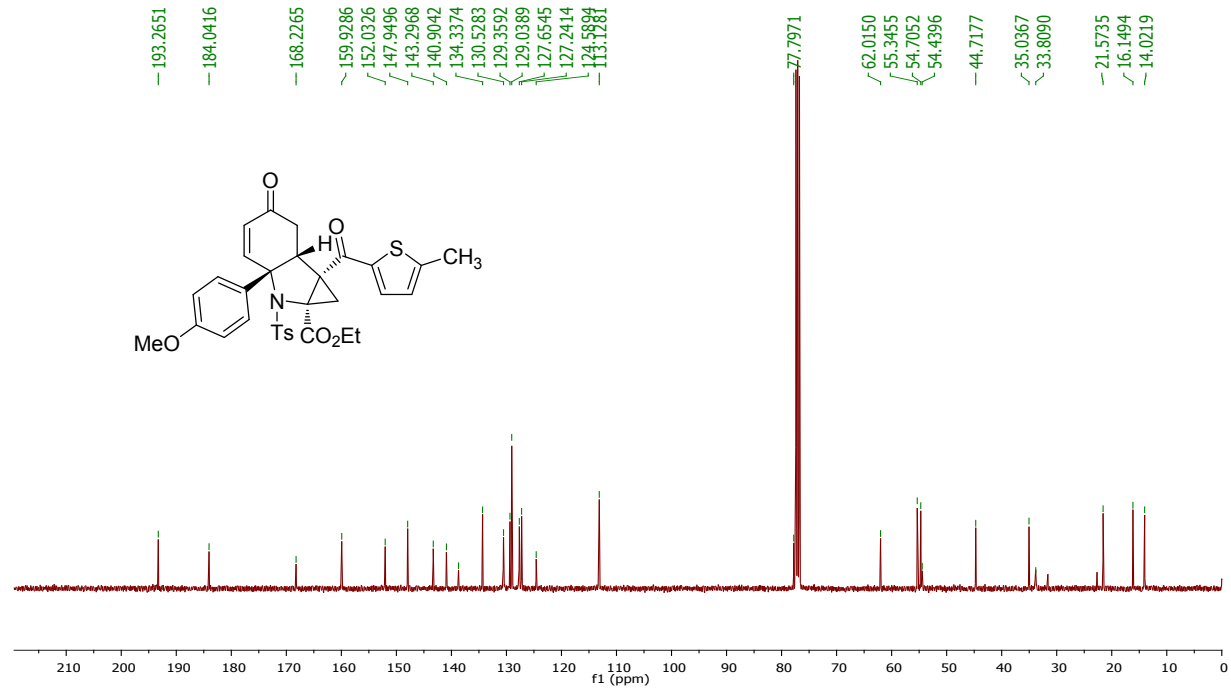
0.81
1.60
1.93
1.93
0.98
1.70
0.86
2.00
2.72
0.96
0.97
2.93
2.53
1.24
0.85
1.01
3.12
f1 (ppm)
MeO
Ts
CO2Et
CH3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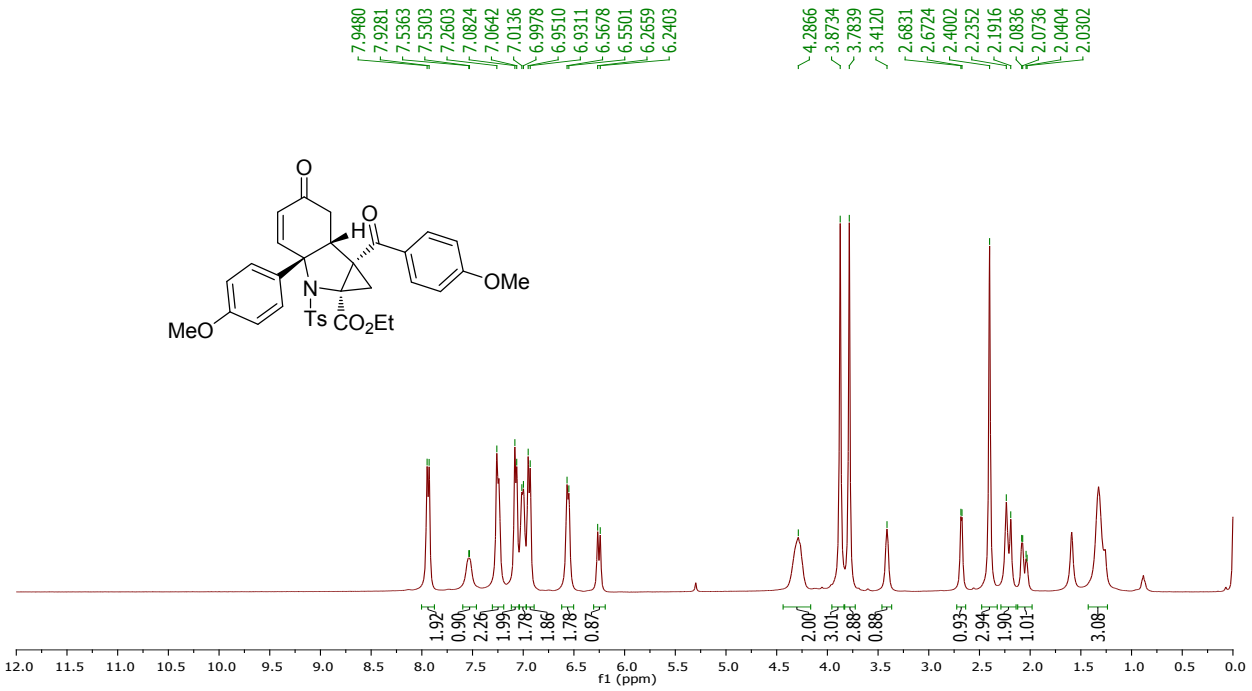
129.3592
129.0389
127.6545
127.2414
124.5894
113.1281
77.7971
62.0150
55.3455
54.7052
54.4396
44.7177
35.0367
33.8090
21.5735
16.1494
14.0219
f1 (ppm)
MeO
Ts
CO2Et
CH3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7.0642
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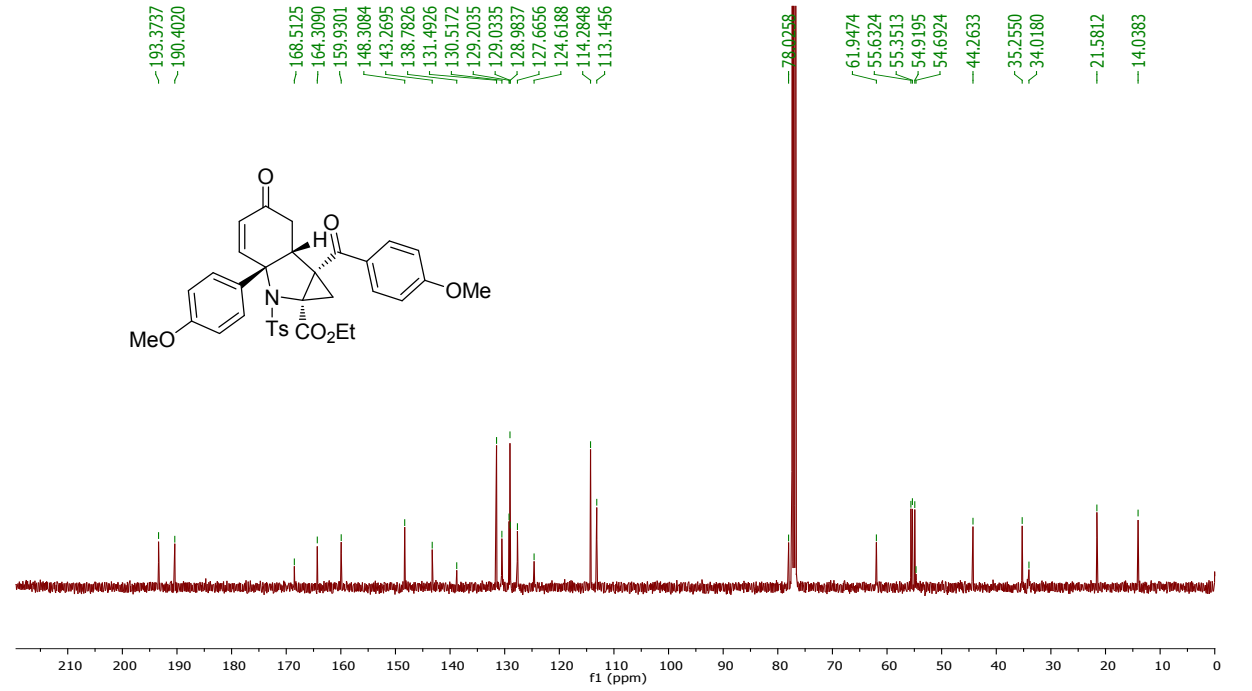
6.9978
6.9510
6.9311
6.5678
6.5501
6.2659
6.2403
4.2866
3.8734
3.7839
3.4120
2.6831
2.6724
2.4002
2.2352
2.1916
2.0836
2.0736
2.0404
2.0302
O
O
H
N
Ts
CO2Et
MeO
OMe
1.92
0.90
2.26
1.99
1.78
1.86
1.78
0.87
2.00
3.01
2.88
0.88
0.93
2.94
1.90
1.01
3.08
f1 (ppm)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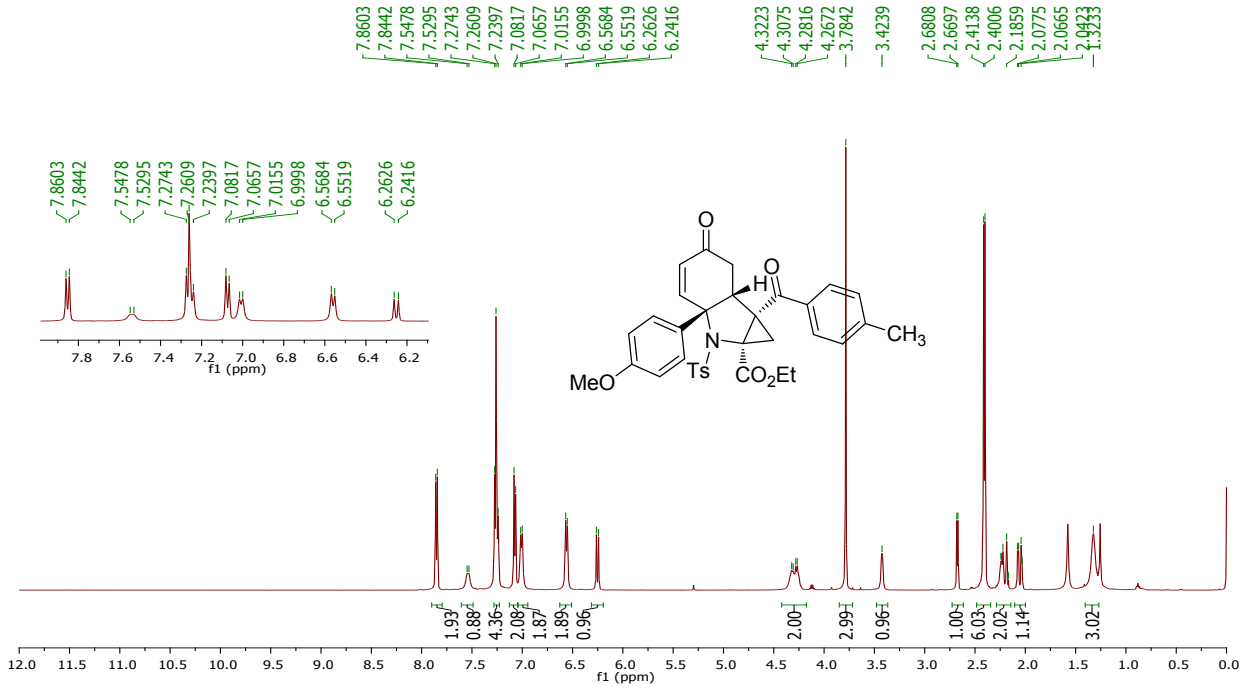
129.2035
129.0335
128.9837
127.6656
124.6188
114.2848
113.1456
78.0258
61.9474
55.6324
55.3513
54.9195
54.6924
44.2633
35.2550
34.0180
21.5812
14.0383
O
O
H
N
Ts
CO2Et
MeO
OMe
f1 (ppm)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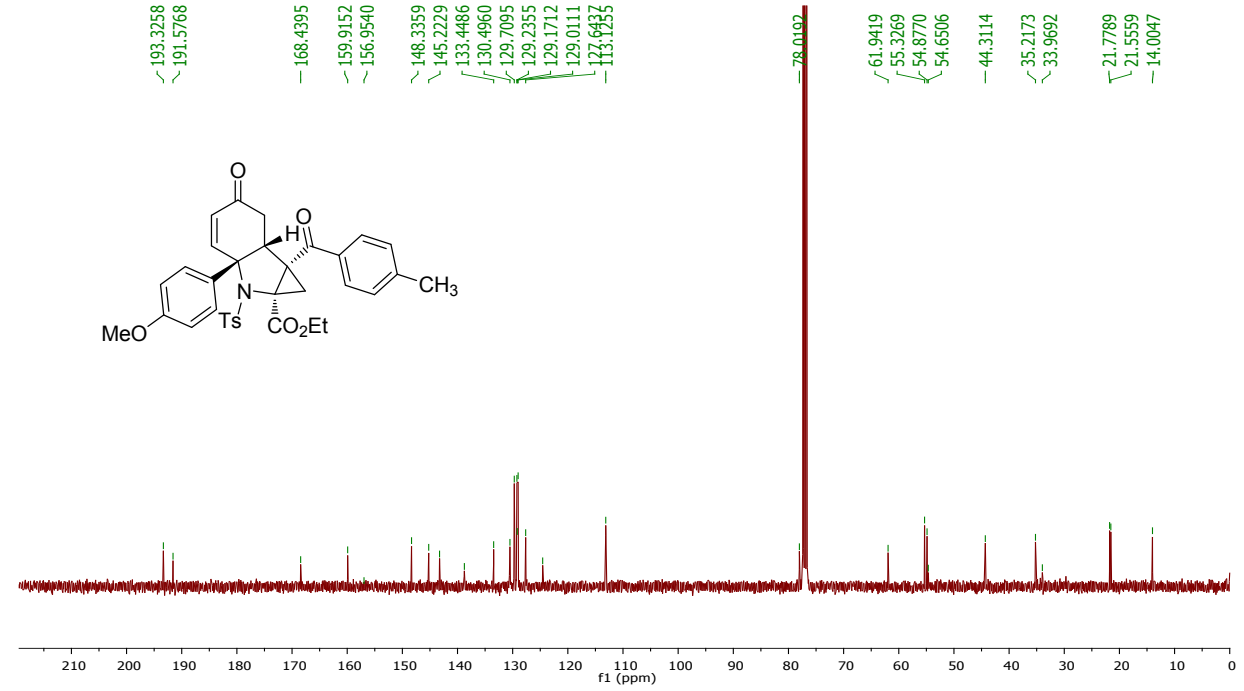
6.9998
6.5684
6.5519
6.2626
6.2416
4.3223
4.3075
4.2816
4.2672
3.7842
3.4239
2.6808
2.6697
2.4138
2.4006
2.1859
2.0775
2.0665
2.0423
1.3233
f1 (ppm)
MeO
Ts
N
O
H
CO2Et
CH3
1.93
0.88
4.36
2.08
1.87
1.89
0.96
2.00
2.99
0.96
1.00
6.03
2.02
1.14
3.02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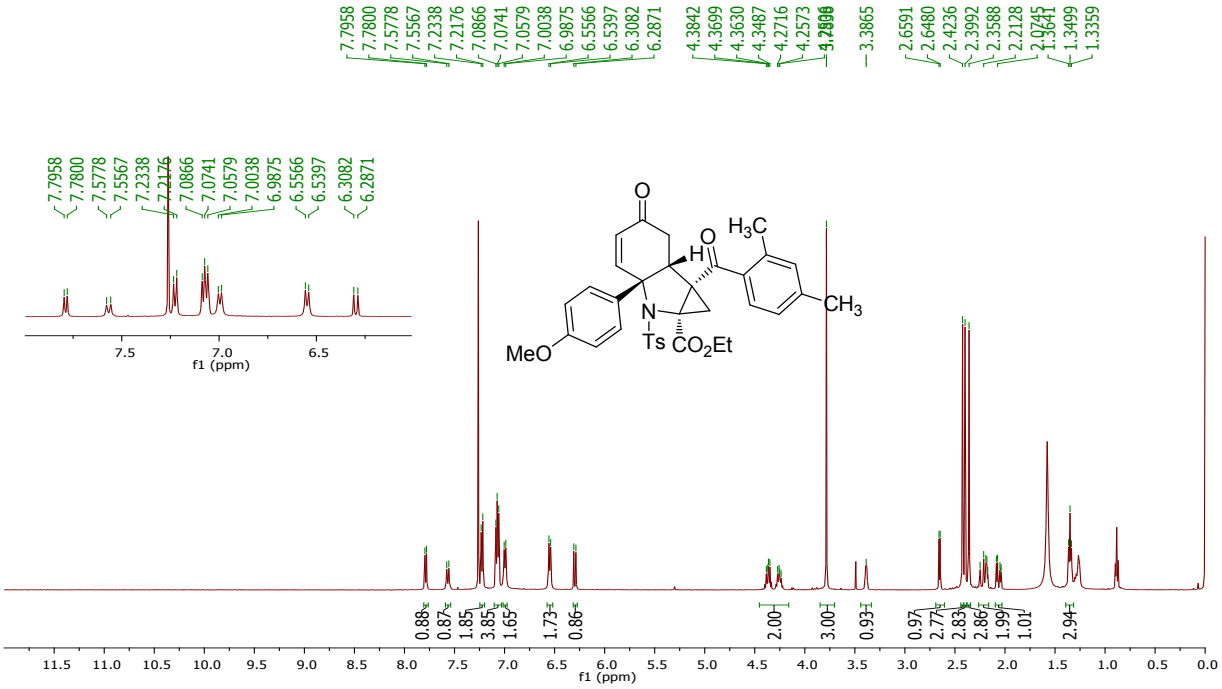
129.2355
129.1712
129.0111
127.6437
113.1255
78.0192
61.9419
55.3269
54.8770
54.6506
44.3114
35.2173
33.9692
21.7789
21.5559
14.0047
f1 (ppm)
MeO
Ts
N
O
H
CO2Et
CH3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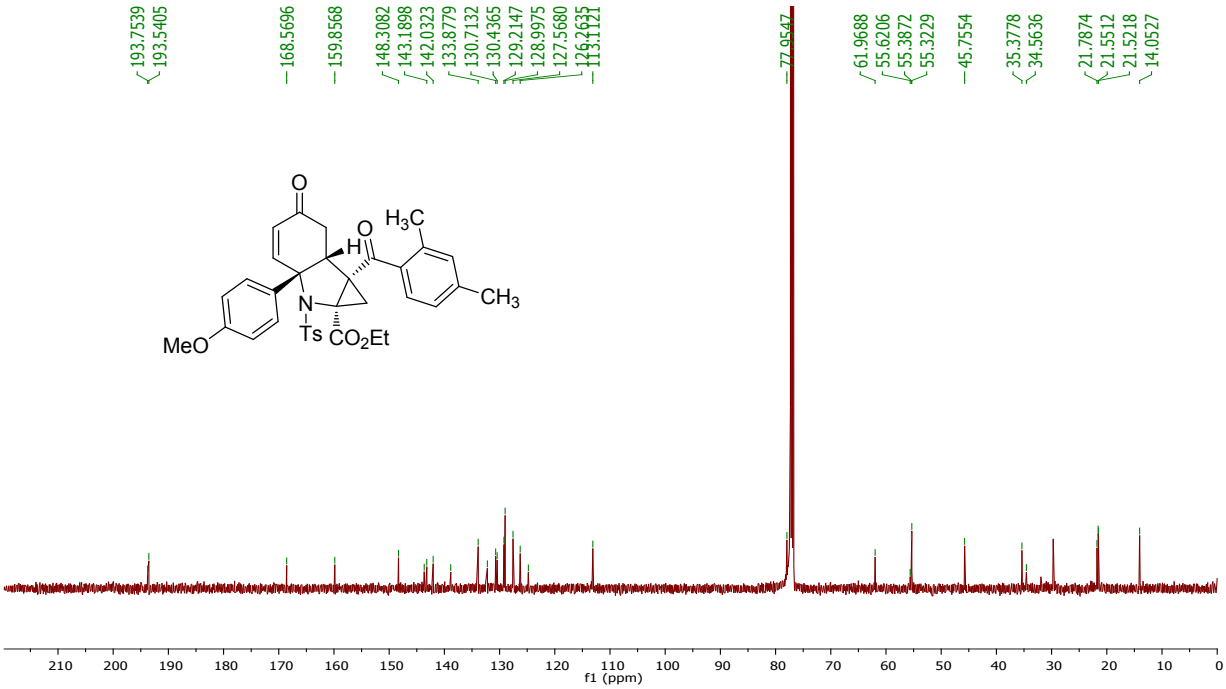
7.0579
7.0038
6.9875
6.5566
6.5397
6.3082
6.2871
4.3842
4.3699
4.3630
4.3487
4.2716
4.2573
3.3865
2.6591
2.6480
2.4236
2.3992
2.3588
2.2128
1.3641
1.3499
1.3359
O
H
O
H3C
CH3
N
Ts
CO2Et
MeO
f1 (ppm)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143.1898
142.0323
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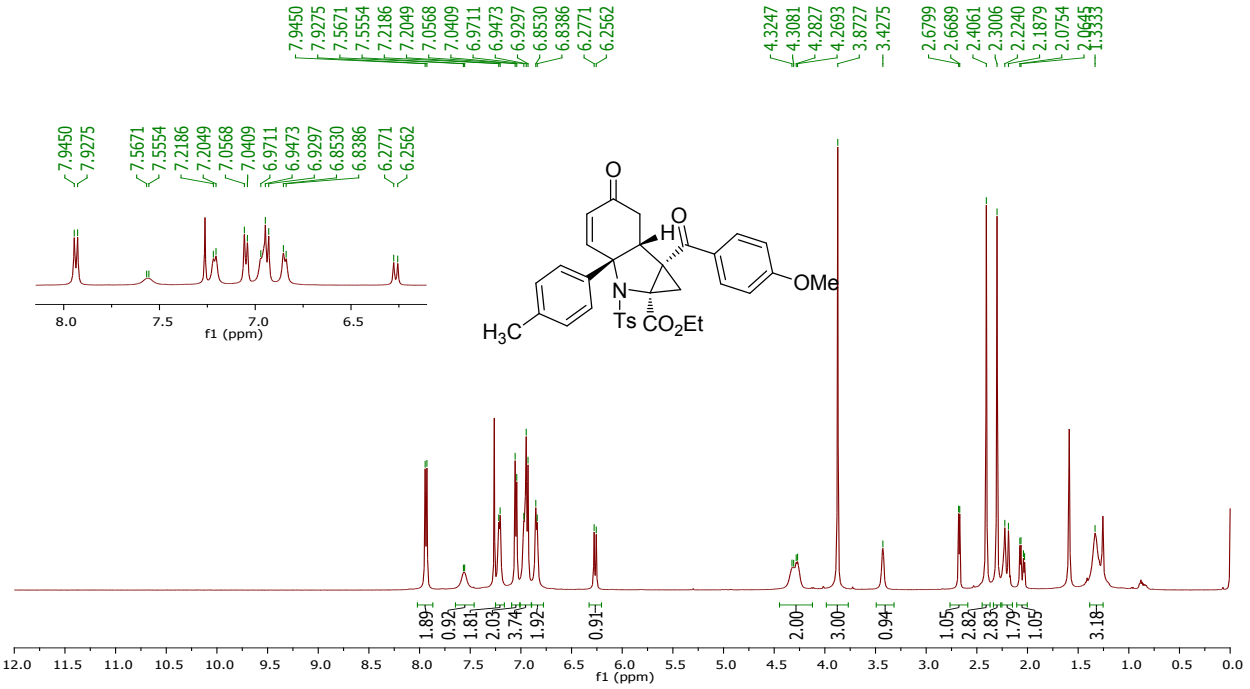
129.2147
128.9975
127.5680
126.2635
77.9547
61.9688
55.6206
55.3872
55.3229
45.7554
35.3778
34.5636
21.7874
21.5512
21.5218
14.0527
O
H
O
H3C
CH3
N
Ts
CO2Et
MeO
f1 (ppm)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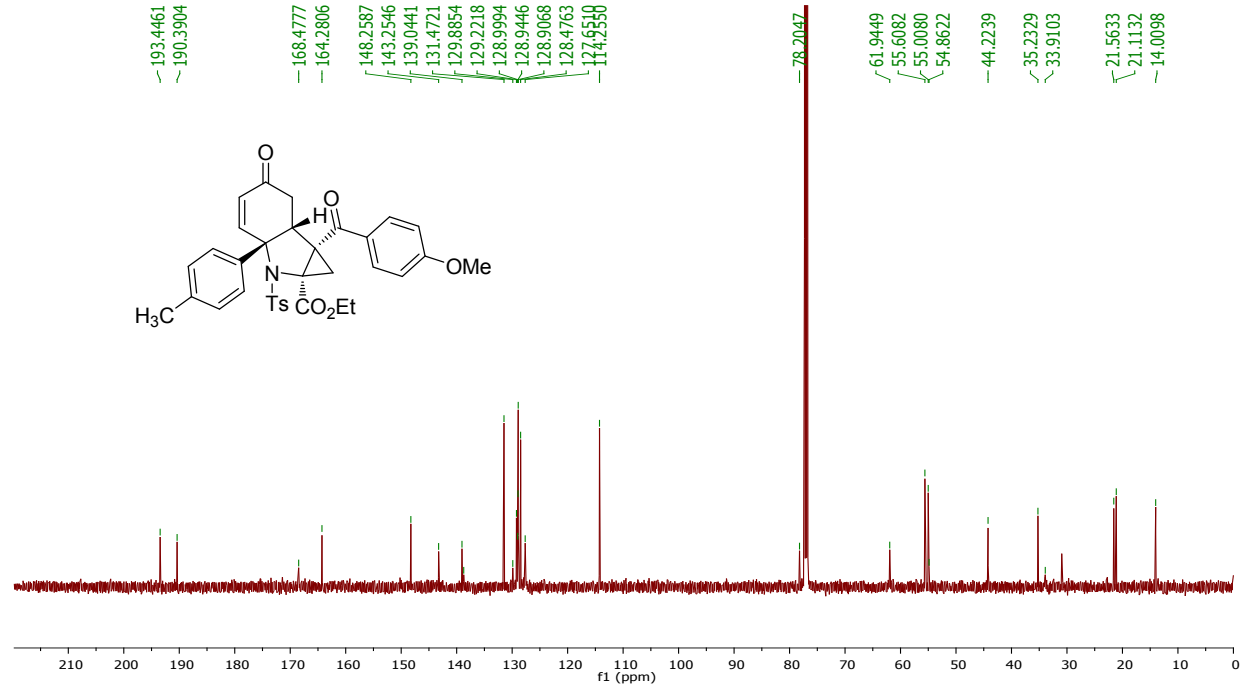
7.9275
7.5671
7.5554
7.2186
7.2049
7.0568
7.0409
6.9711
6.9473
6.9297
6.8530
6.8386
6.2771
6.2562
4.3247
4.3081
4.2827
4.2693
3.8727
3.4275
2.6799
2.6689
2.4061
2.3006
2.2240
2.1879
2.0754
2.0645
1.9333
O
O
H
N
Ts
CO2Et
H3C
OMe
f1 (ppm)
1.89
0.92
1.81
2.03
3.74
1.92
0.91
2.00
3.00
0.94
1.05
2.82
2.83
1.79
1.05
3.18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139.0441
131.4721
129.8854
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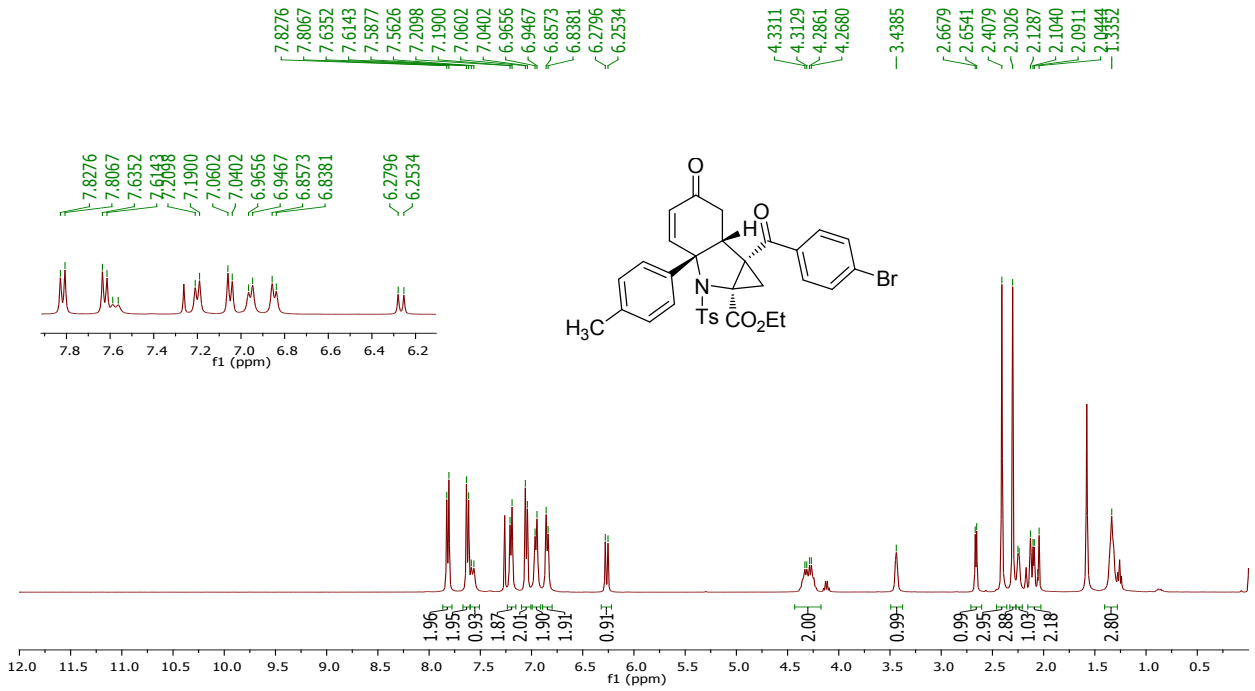
128.9994
128.9446
128.9068
128.4763
127.6510
114.2550
78.2047
61.9449
55.6082
55.0080
54.8622
44.2239
35.2329
33.9103
21.5633
21.1132
14.0098
O
O
H
N
Ts
CO2Et
H3C
OMe
f1 (ppm)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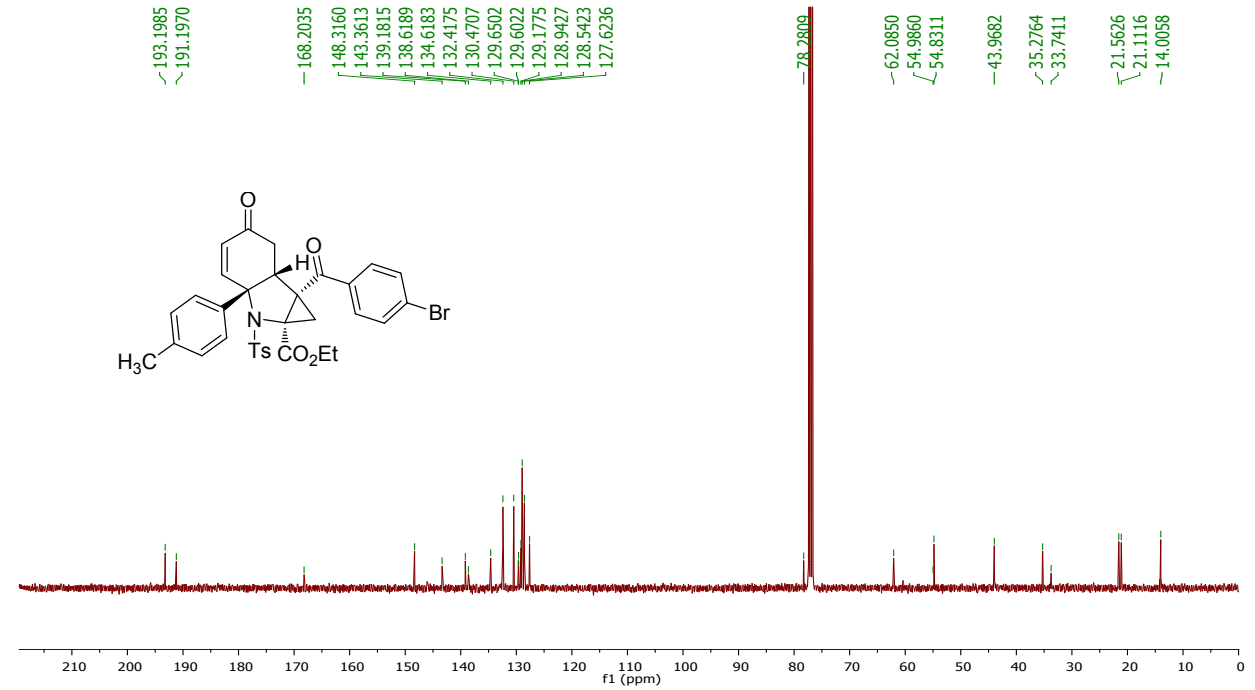
7.6143
7.5877
7.5626
7.2098
7.1900
7.0602
7.0402
6.9656
6.9467
6.8573
6.8381
6.2796
6.2534
4.3311
4.3129
4.2861
4.2680
3.4385
2.6679
2.6541
2.4079
2.3026
2.1287
2.1040
2.0911
2.0444
1.3352
O
H
O
Br
N
Ts
CO2Et
H3C
f1 (ppm)
1.96
1.95
0.93
1.87
2.01
1.90
1.91
0.91
2.00
0.99
0.99
2.95
2.88
1.03
2.18
2.80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191.1970
168.2035
148.3160
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139.1815
138.6189
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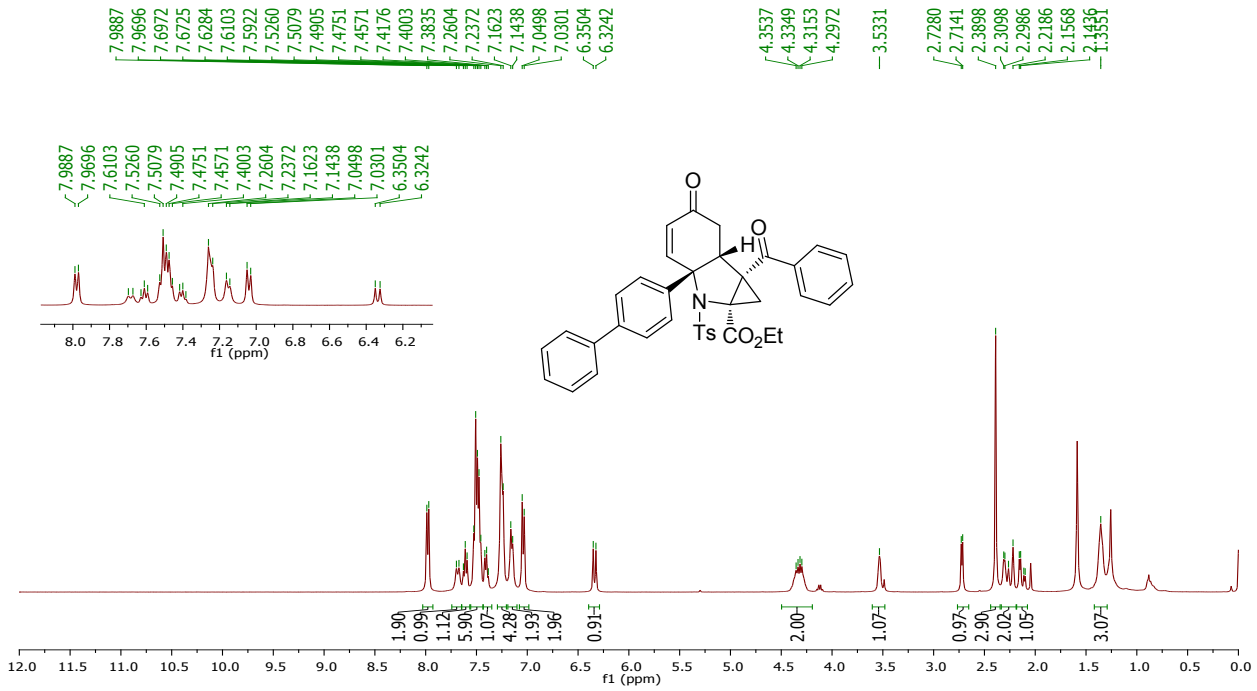
129.6502
129.6022
129.1775
128.9427
128.5423
127.6236
78.2809
62.0850
54.9860
54.8311
43.9682
35.2764
33.7411
21.5626
21.1116
14.0058
O
H
O
Br
N
Ts
CO2Et
H3C
f1 (ppm)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7.6972
7.6725
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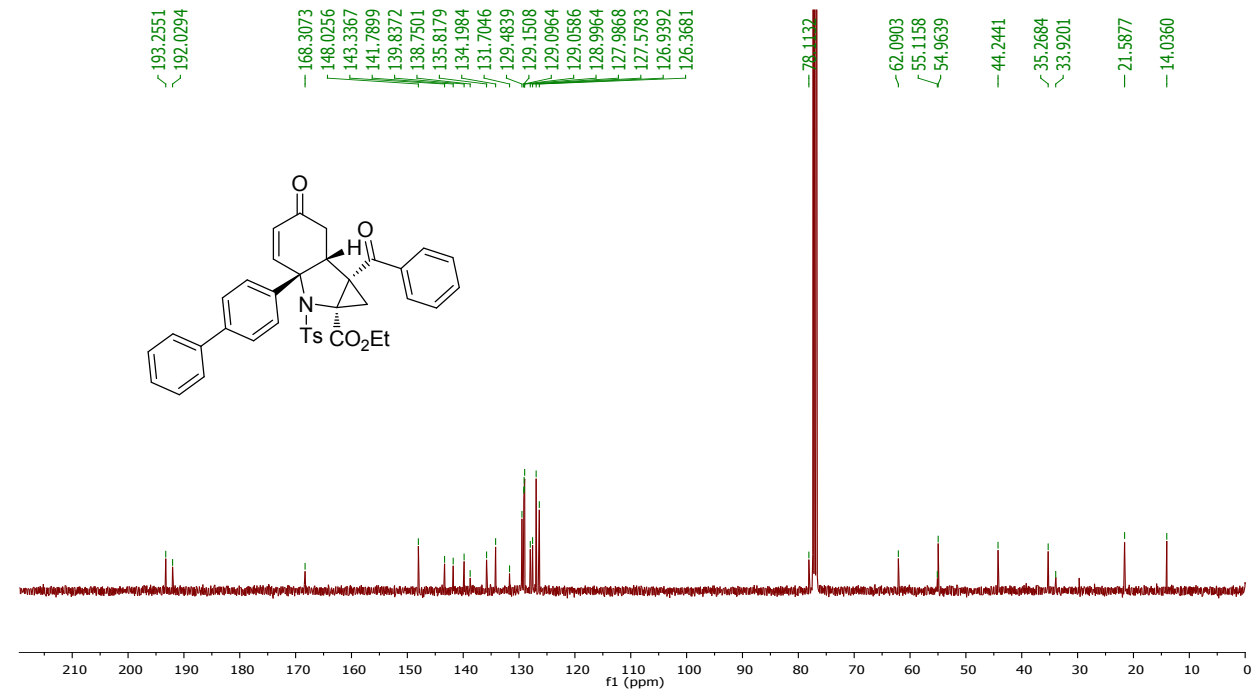
7.5260
7.5079
7.4905
7.4751
7.4571
7.4176
7.4003
7.3835
7.2604
7.2372
7.1623
7.1438
7.0498
7.0301
6.3504
6.3242
4.3537
4.3349
4.3153
4.2972
3.5331
2.7280
2.7141
2.3898
2.3098
2.2986
2.2186
2.1568
2.1436
2.1351
O
H
O
N
Ts
CO2Et
1.90
0.99
1.12
5.90
1.07
4.28
1.93
1.96
0.91
2.00
1.07
0.97
2.90
2.02
1.05
3.07
f1 (ppm)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131.7046
129.4839
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129.0964
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128.9964
127.9868
127.5783
126.9392
126.3681
78.1132
62.0903
55.1158
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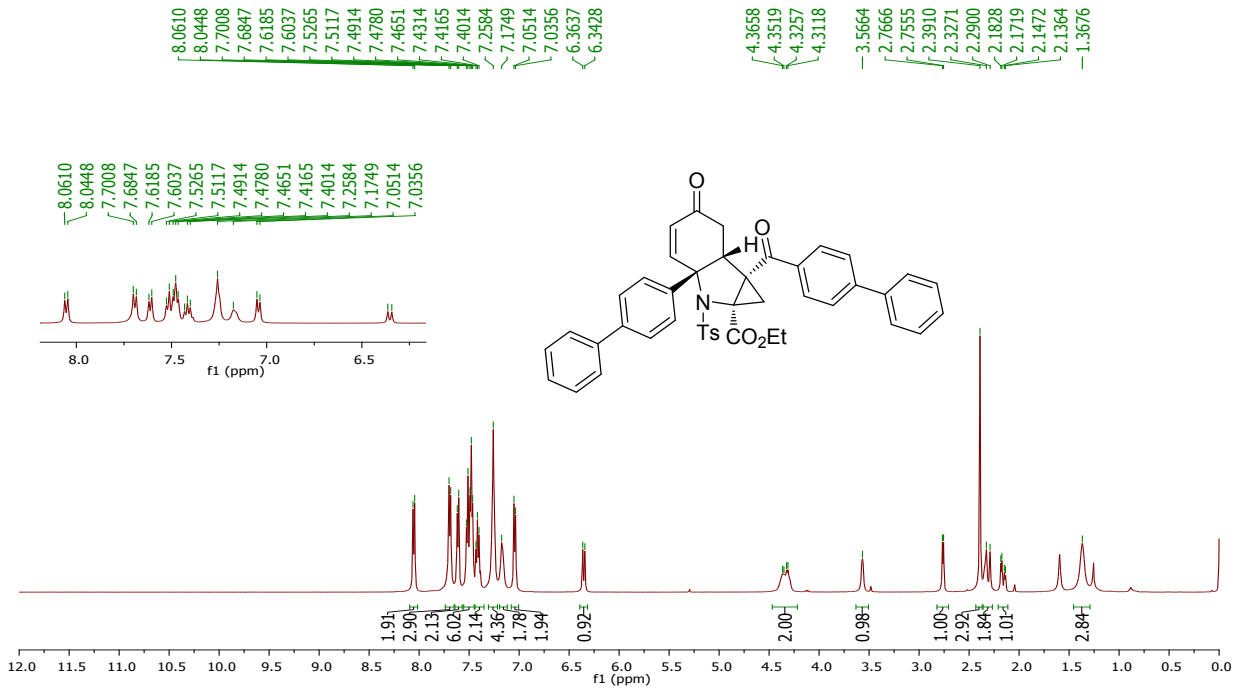
33.9201
21.5877
14.0360
O
H
O
N
Ts
CO2Et
f1 (ppm)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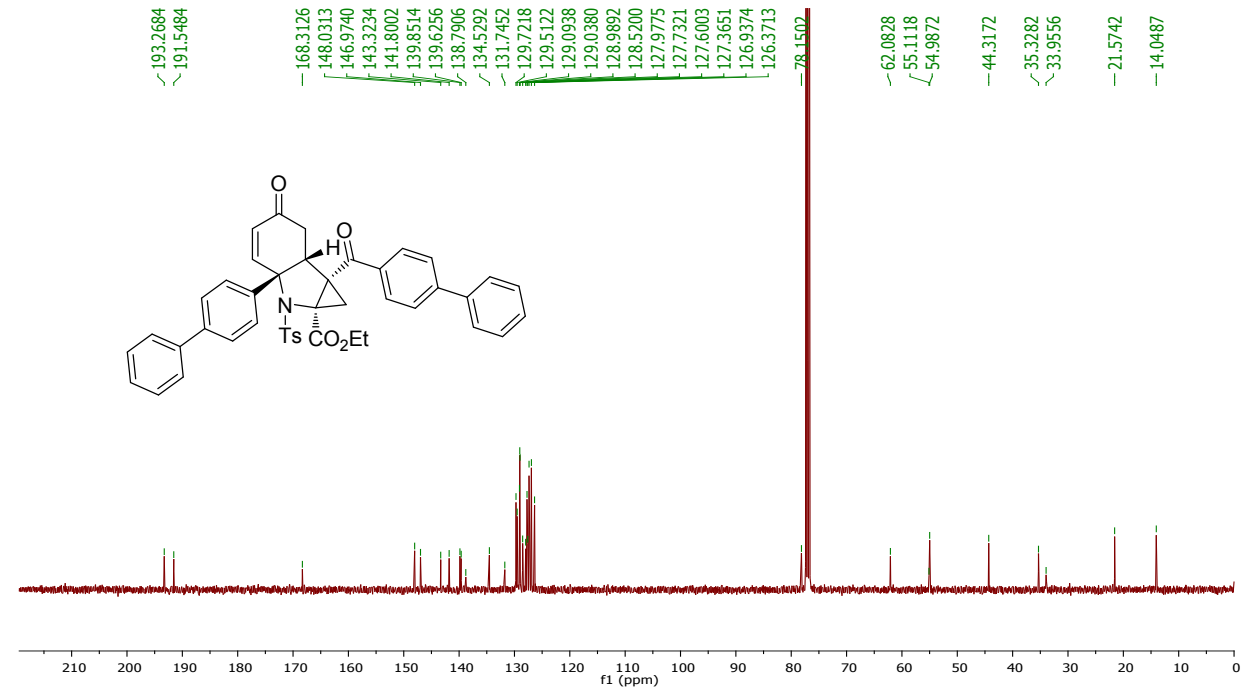
7.4780
7.4651
7.4165
7.4014
7.2584
7.1749
7.0514
7.0356
6.3637
6.3428
4.3658
4.3519
4.3257
4.3118
3.5664
2.7666
2.7555
2.3910
2.3271
2.2900
2.1828
2.1719
2.1472
2.1364
1.3676
f1 (ppm)
O
H
N
Ts
CO2Et
1.91
2.90
2.13
6.02
2.14
4.36
1.78
1.94
0.92
2.00
0.98
1.00
2.92
1.84
1.01
2.84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129.7218
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128.9892
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127.7321
127.6003
127.3651
126.9374
126.3713
78.1502
62.0828
55.1118
54.9872
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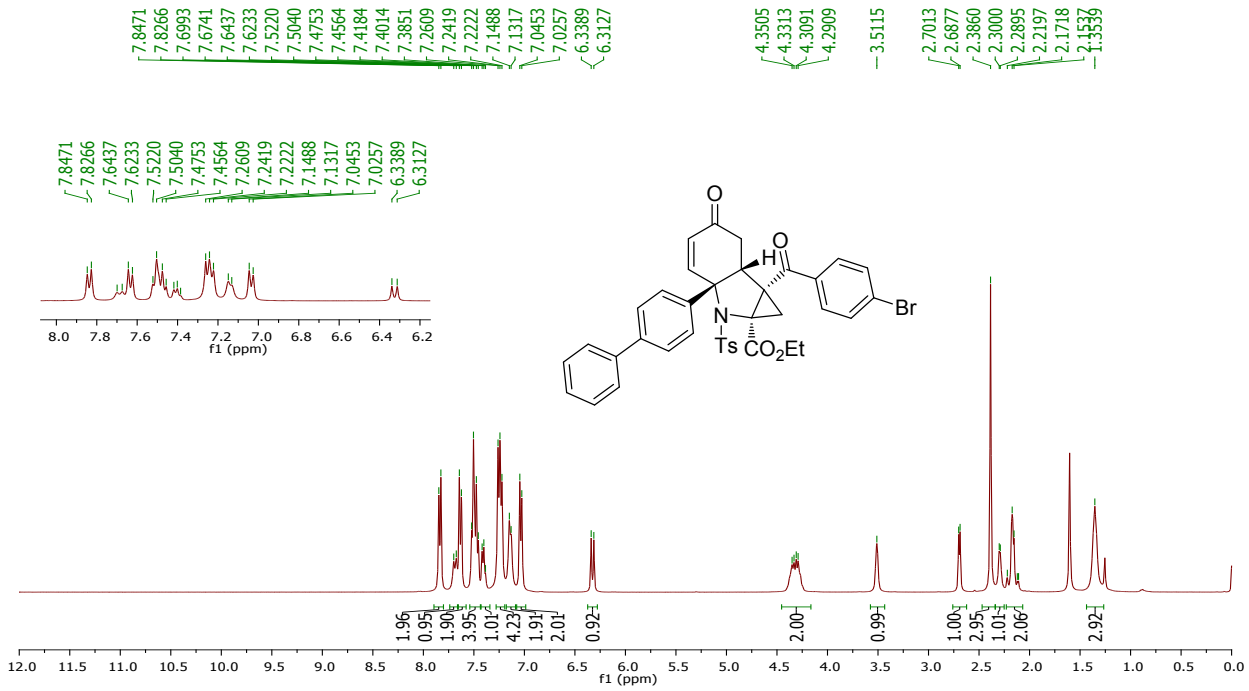
35.3282
33.9556
21.5742
14.0487
f1 (ppm)
O
H
N
Ts
CO2Et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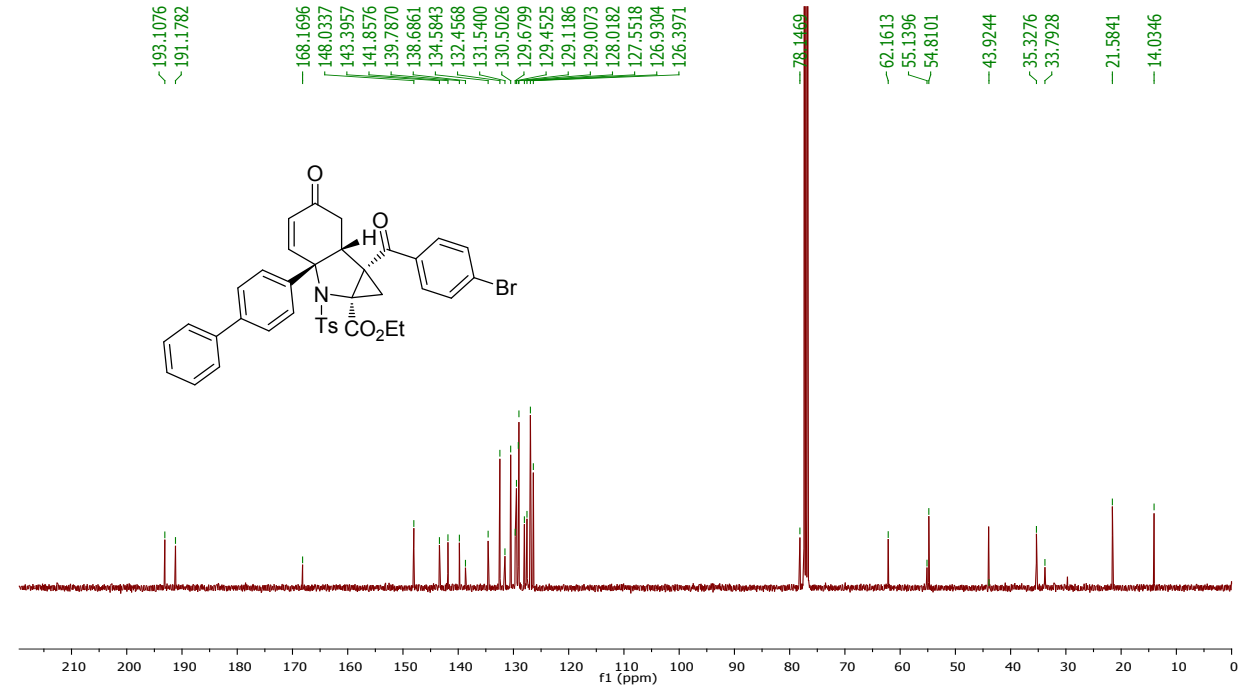
7.4184
7.4014
7.3851
7.2609
7.2419
7.2222
7.1488
7.1317
7.0453
7.0257
6.3389
6.3127
4.3505
4.3313
4.3091
4.2909
3.5115
2.7013
2.6877
2.3860
2.3000
2.2895
2.2197
2.1718
2.1537
1.3539
f1 (ppm)
O
H
O
Br
N
Ts
CO2Et
1.96
0.95
1.90
3.95
1.01
4.23
1.91
2.01
0.92
2.00
0.99
1.00
2.95
1.01
2.06
2.92
f1 (ppm)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129.6799
129.4525
129.1186
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127.5518
126.9304
126.3971
78.1469
62.1613
55.1396
54.8101
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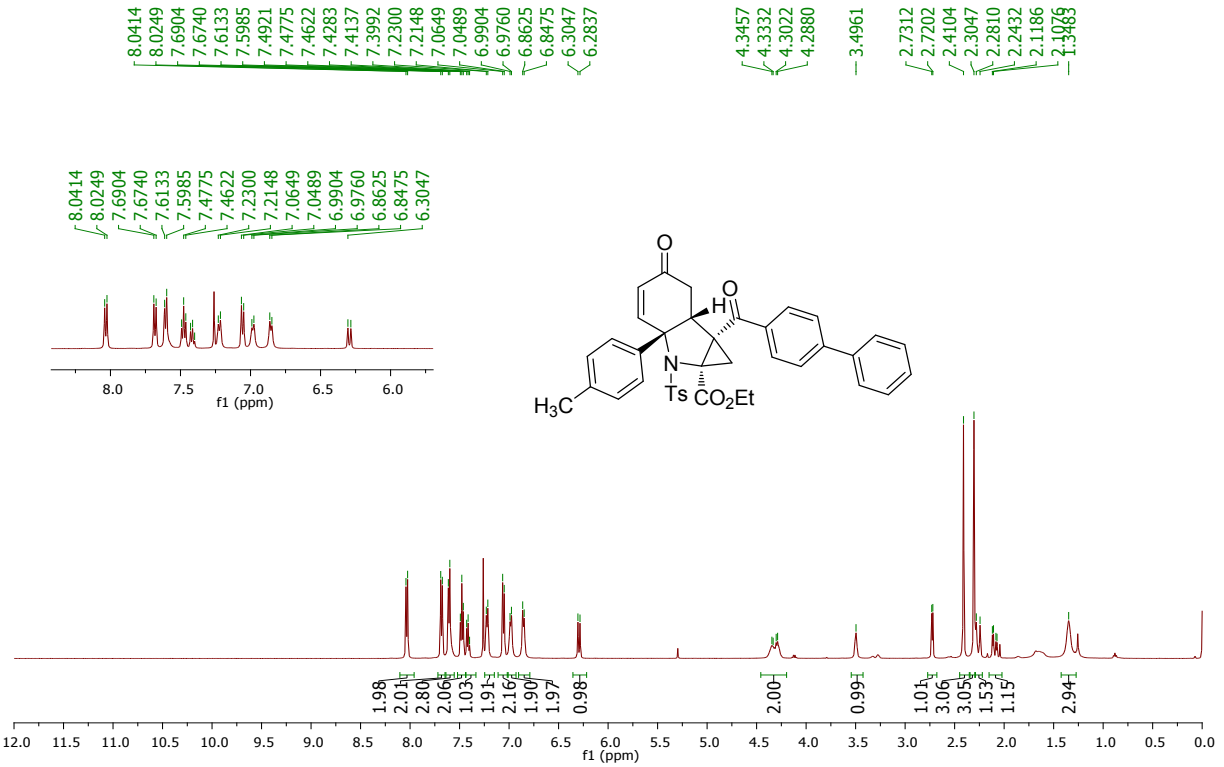
33.7928
21.5841
14.0346
O
H
O
Br
N
Ts
CO2Et
f1 (ppm)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7.4137
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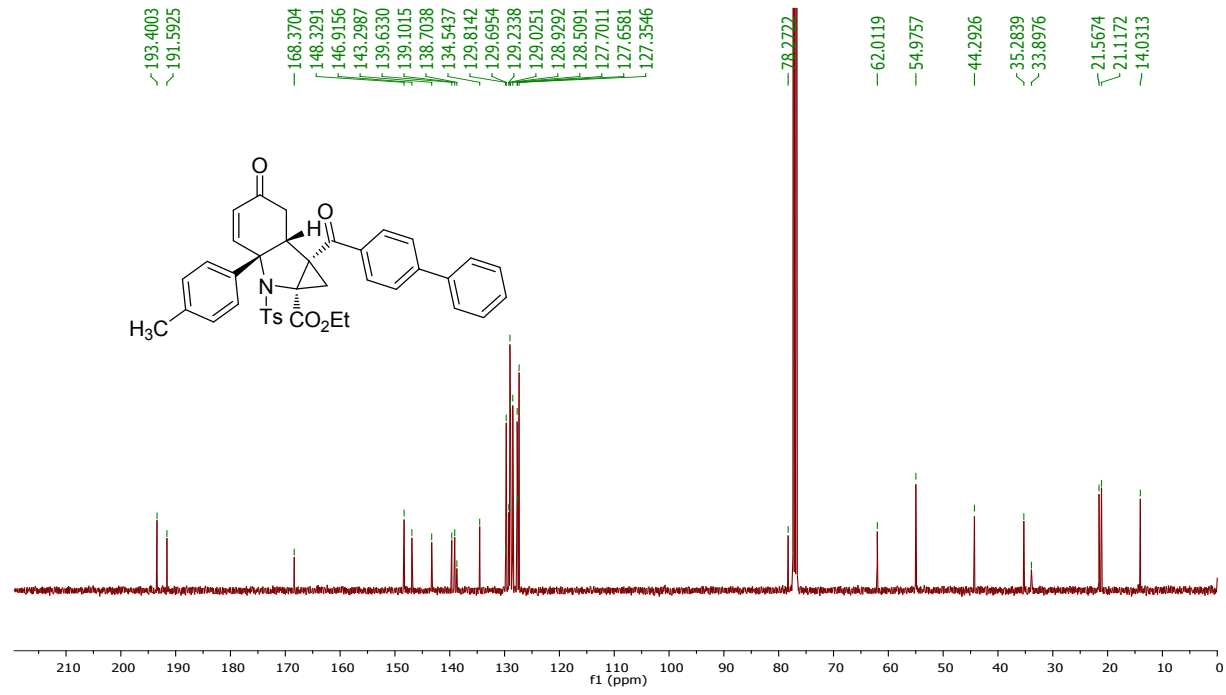
6.9760
6.8625
6.8475
6.3047
6.2837
4.3457
4.3332
4.3022
4.2880
3.4961
2.7312
2.7202
2.4104
2.3047
2.2810
2.2432
2.1186
2.1076
1.3483
f1 (ppm)
O
H
N
Ts
CO2Et
H3C
1.98
2.01
2.80
2.06
1.03
1.91
2.16
1.90
1.97
0.98
2.00
0.99
1.01
3.06
3.05
1.53
1.15
2.94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129.2338
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128.9292
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127.3546
78.2722
62.0119
54.9757
44.2926
35.2839
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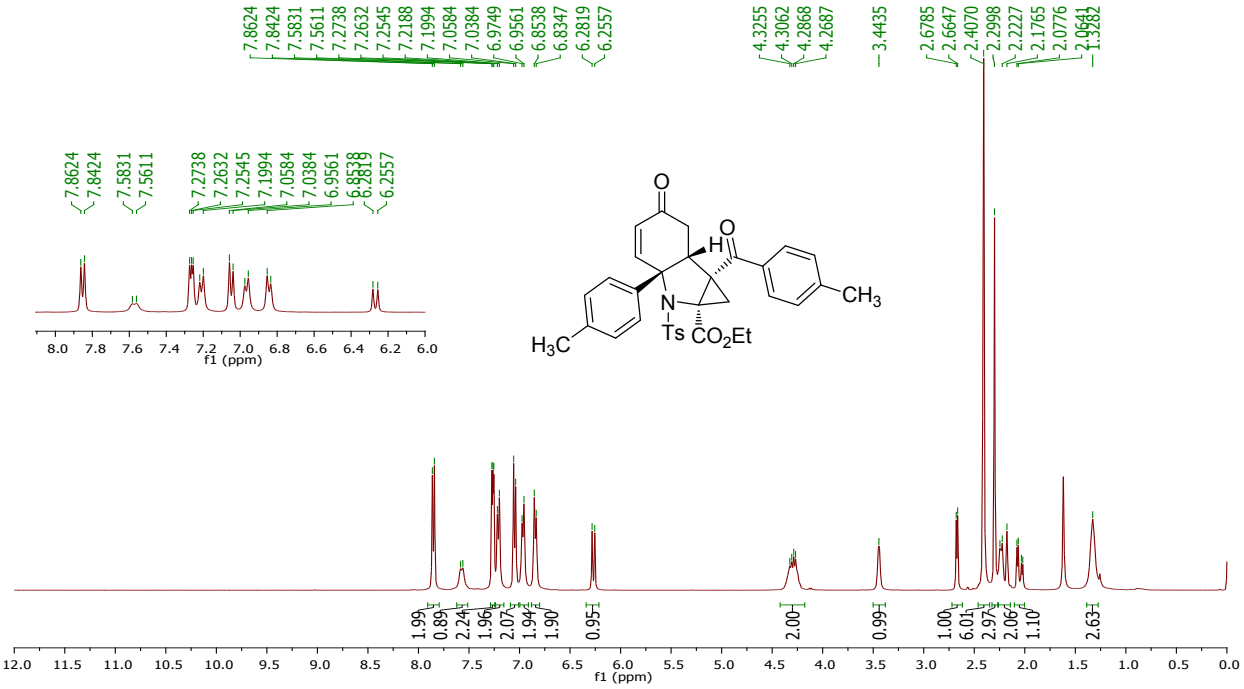
21.5674
21.1172
14.0313
f1 (ppm)
O
H
N
Ts
CO2Et
H3C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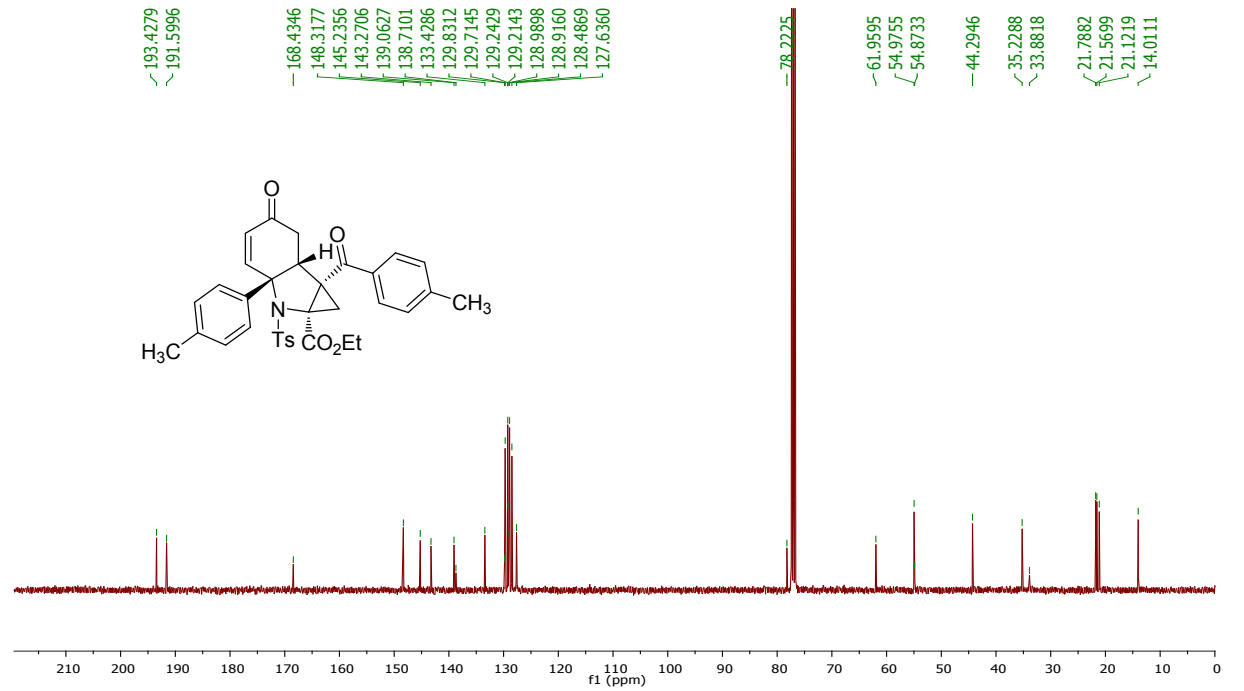
7.0384
6.9749
6.9561
6.8538
6.8347
6.2819
6.2557
4.3255
4.3062
4.2868
4.2687
3.4435
2.6785
2.6647
2.4070
2.2998
2.2227
2.1765
2.0776
2.0641
1.3282
1.99
0.89
2.24
1.96
2.07
1.94
1.90
0.95
2.00
0.99
1.00
6.01
2.97
2.06
1.10
2.63
f1 (ppm)
O
H
N
Ts
CO2Et
H3C
CH3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168.4346
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145.2356
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139.0627
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133.4286
129.8312
129.7145
129.2429
129.2143
128.9898
128.9160
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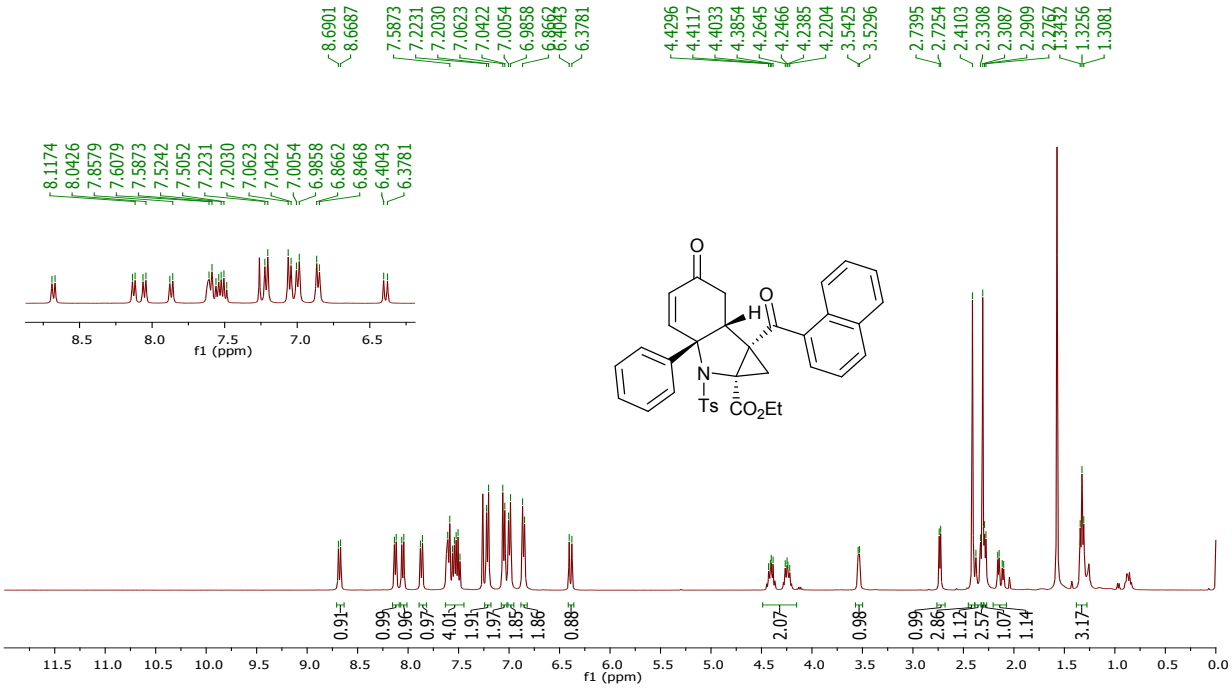
127.6360
78.2225
61.9595
54.9755
54.8733
44.2946
35.2288
33.8818
21.7882
21.5699
21.1219
14.0111
f1 (ppm)
O
H
N
Ts
CO2Et
H3C
CH3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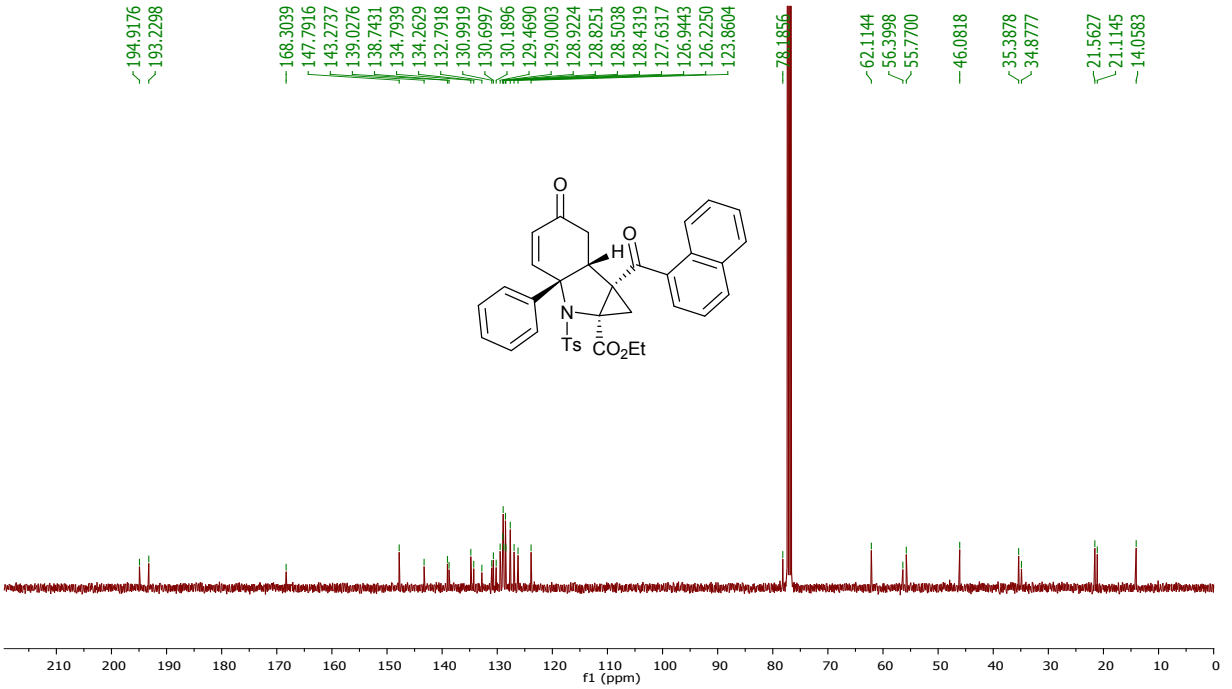
4.2466
4.2385
4.2204
3.5425
3.5296
2.7395
2.7254
2.4103
2.3308
2.3087
2.2909
1.3432
1.3256
1.3081
8.1174
8.0426
7.8579
7.6079
7.5873
7.5242
7.5052
7.2231
7.2030
7.0623
7.0422
7.0054
6.9858
6.8662
6.8468
6.4043
6.3781
8.5
8.0
7.5
7.0
6.5
f1 (ppm)
O
H
O
N
Ts
CO2Et
0.91
0.99
0.96
0.97
4.01
1.91
1.97
1.85
1.86
0.88
2.07
0.98
0.99
2.86
1.12
2.57
1.07
1.14
3.17
11.5
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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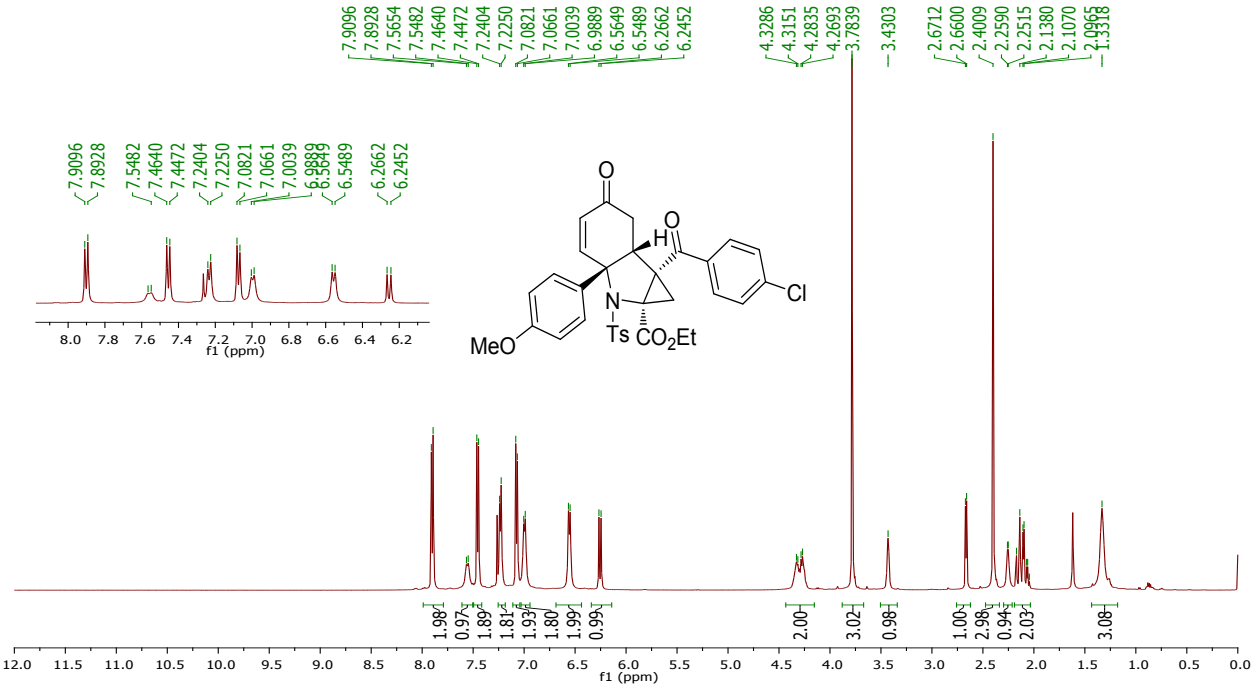
130.9919
130.6997
130.1896
129.4690
129.0003
128.9224
128.8251
128.5038
128.4319
127.6317
126.9443
126.2250
123.8604
78.1856
62.1144
56.3998
55.7700
46.0818
35.3878
34.8777
21.5627
21.1145
14.0583
O
H
O
N
Ts
CO2Et
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)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7.8928
7.5654
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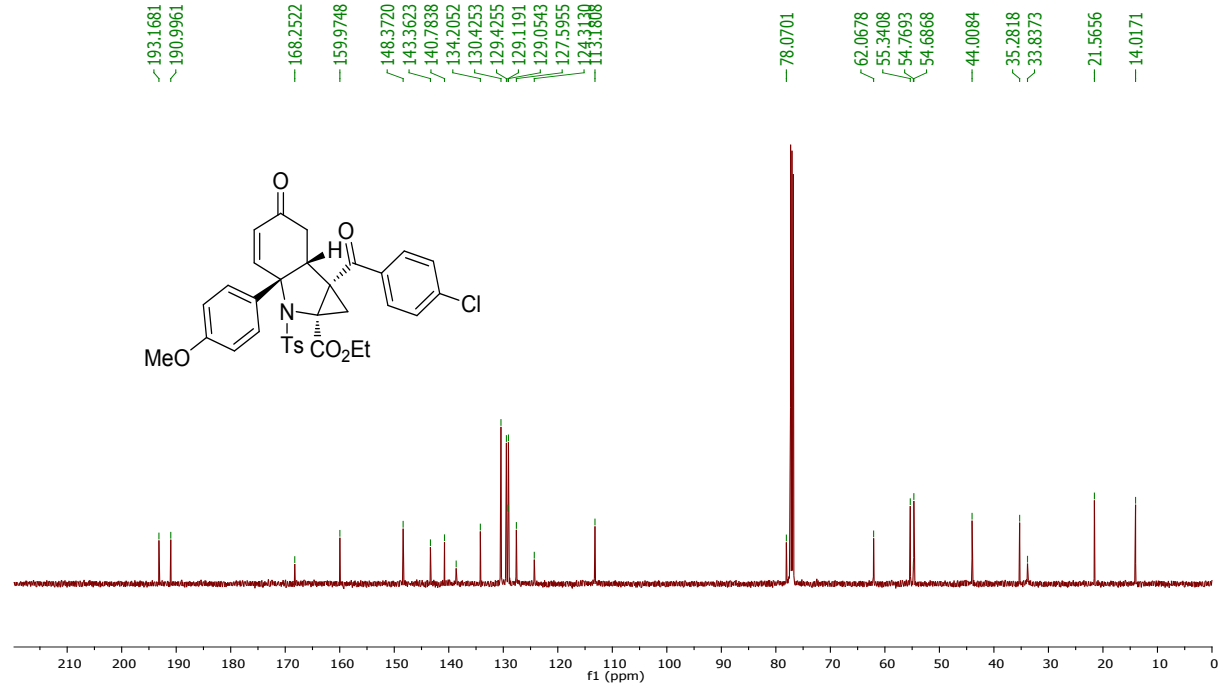
7.2404
7.2250
7.0821
7.0661
7.0039
6.9889
6.5649
6.5489
6.2662
6.2452
4.3286
4.3151
4.2835
4.2693
3.7839
3.4303
2.6712
2.6600
2.4009
2.2590
2.2515
2.1380
2.1070
1.3318
O
O
H
N
Ts
CO2Et
MeO
Cl
1.98
0.97
1.89
1.81
1.93
1.80
1.99
0.99
2.00
3.02
0.98
1.00
2.98
0.94
2.03
3.08
f1 (ppm)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130.4253
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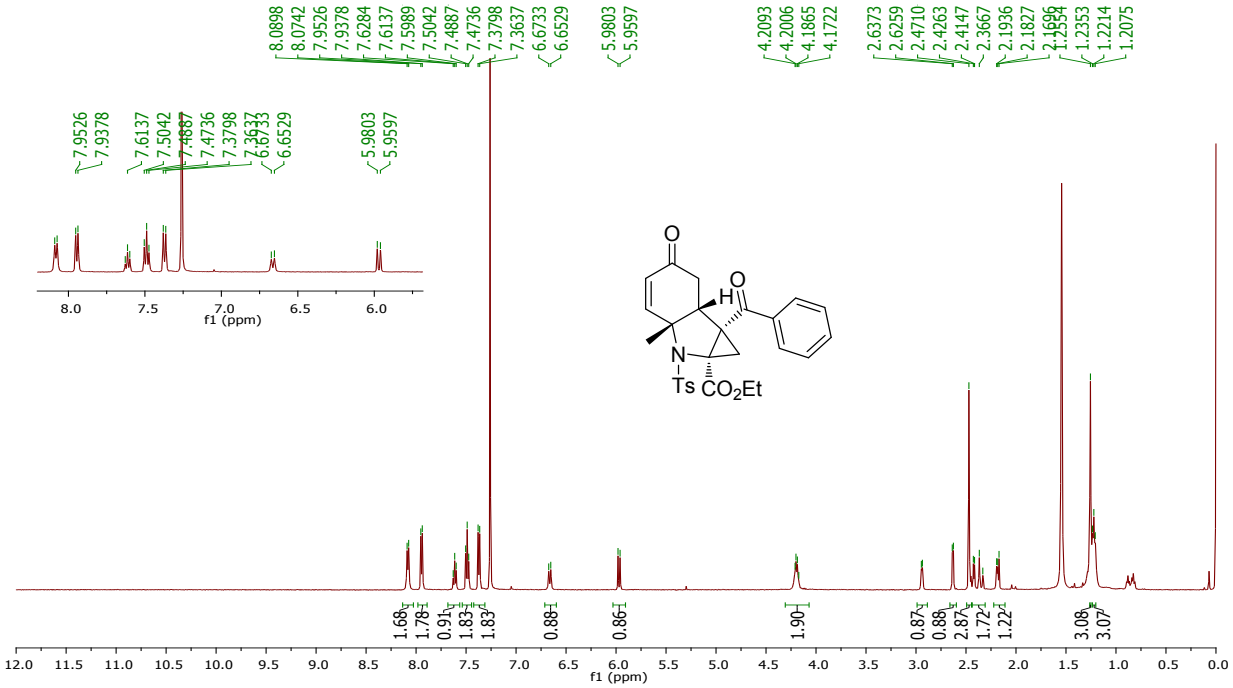
129.1191
129.0543
127.5955
78.0701
62.0678
55.3408
54.7693
54.6868
44.0084
35.2818
33.8373
21.5656
14.0171
O
O
H
N
Ts
CO2Et
MeO
Cl
f1 (ppm)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7.9526
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7.4887
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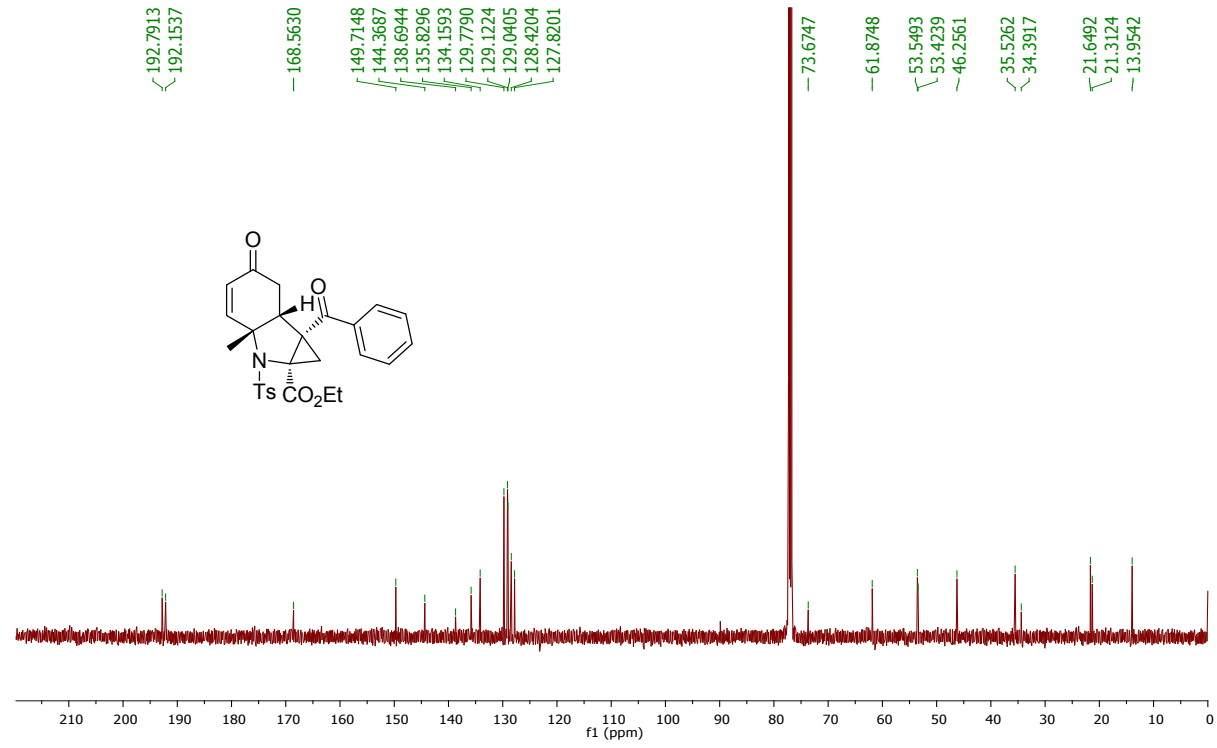
7.3798
7.3637
6.6733
6.6529
5.9803
5.9597
4.2093
4.2006
4.1865
4.1722
2.6373
2.6259
2.4710
2.4263
2.4147
2.3667
2.1936
2.1827
2.1696
2.1554
1.2353
1.2214
1.2075
f1 (ppm)
1.68
1.78
0.91
1.83
1.83
0.88
0.86
1.90
0.87
0.88
2.87
1.72
1.22
3.08
3.07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192.1537
168.5630
149.7148
144.3687
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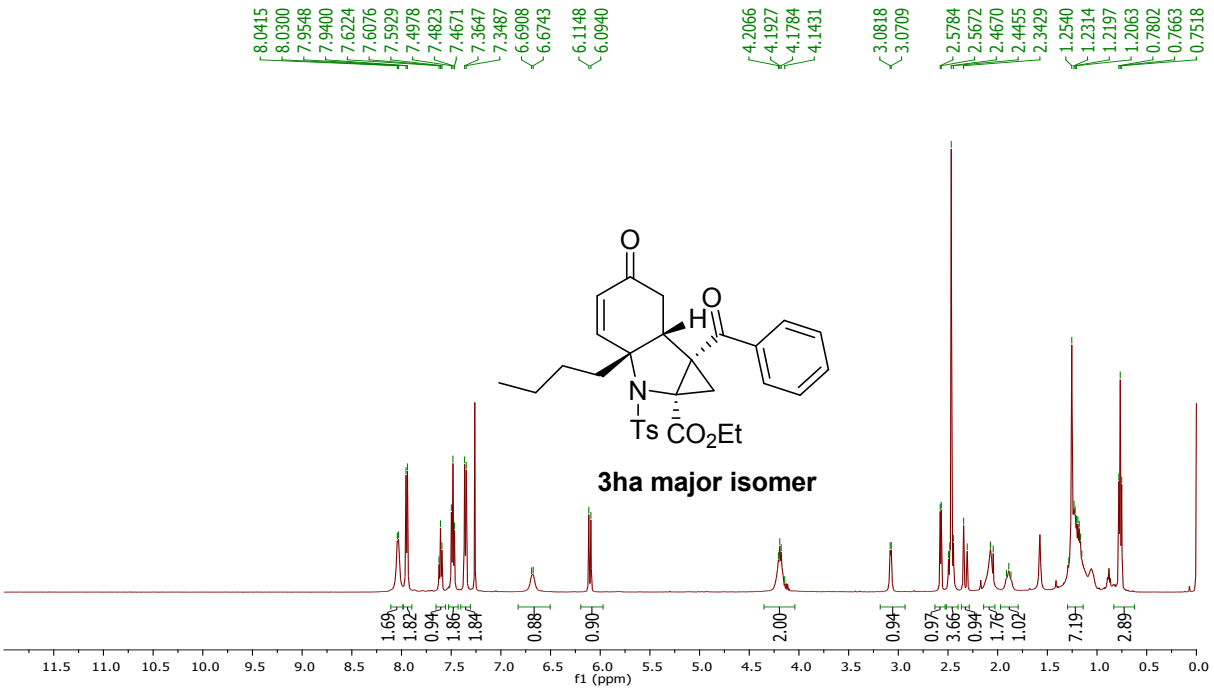
135.8296
134.1593
129.7790
129.1224
129.0405
128.4204
127.8201
73.6747
61.8748
53.5493
53.4239
46.2561
35.5262
34.3917
21.6492
21.3124
13.9542
f1 (ppm)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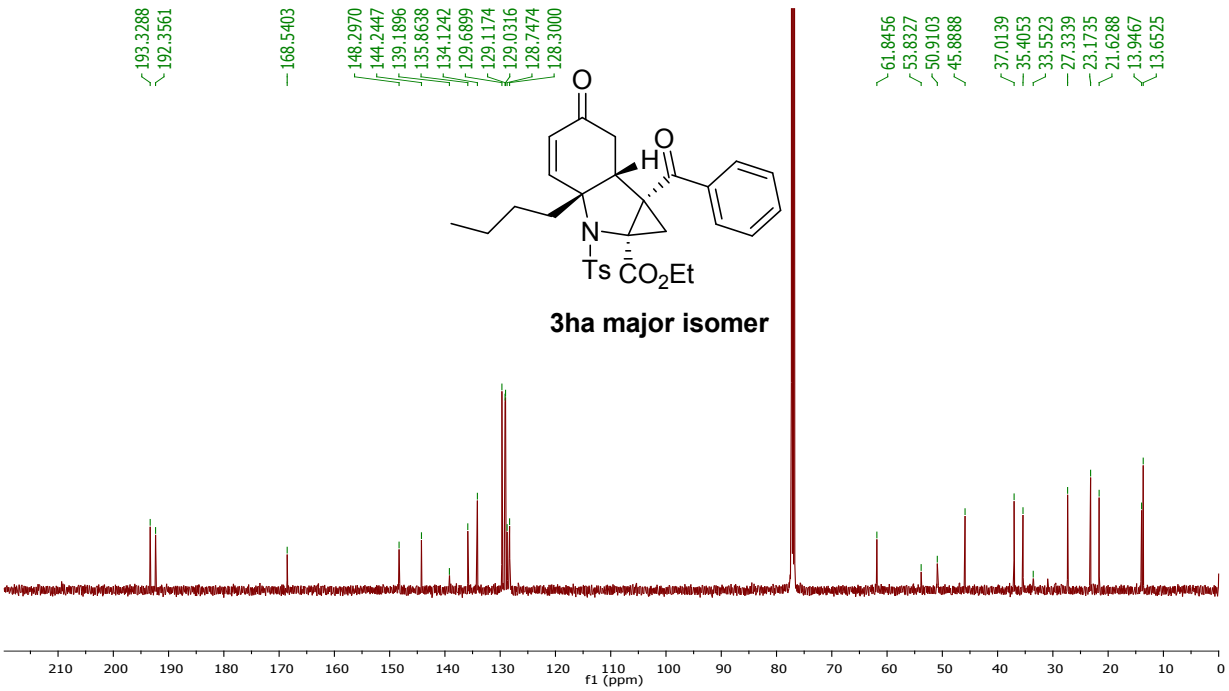
8.0300
7.9548
7.9400
7.6224
7.6076
7.5929
7.4978
7.4823
7.4671
7.3647
7.3487
6.6908
6.6743
6.1148
6.0940
4.2066
4.1927
4.1784
4.1431
3.0818
3.0709
2.5784
2.5672
2.4670
2.4455
2.3429
1.2540
1.2314
1.2197
1.2063
0.7802
0.7663
0.7518
O
O
H
N
Ts
CO2Et
3ha major isomer
1.69
1.82
0.94
1.86
1.84
0.88
0.90
2.00
0.94
0.97
3.66
0.94
1.76
1.02
7.19
2.89
f1 (ppm)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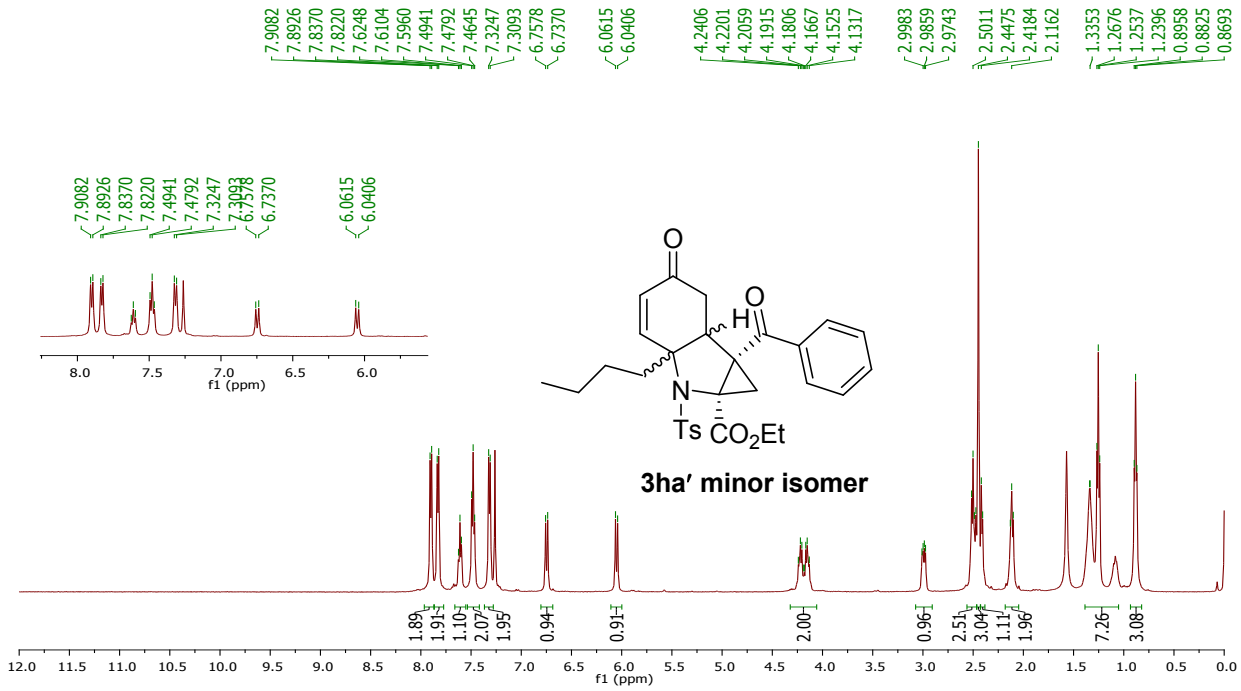
148.2970
144.2447
139.1896
135.8638
134.1242
129.6899
129.1174
129.0316
128.7474
128.3000
61.8456
53.8327
50.9103
45.8888
37.0139
35.4053
33.5523
27.3339
23.1735
21.6288
13.9467
13.6525
O
O
H
N
Ts
CO2Et
3ha major isomer
f1 (ppm)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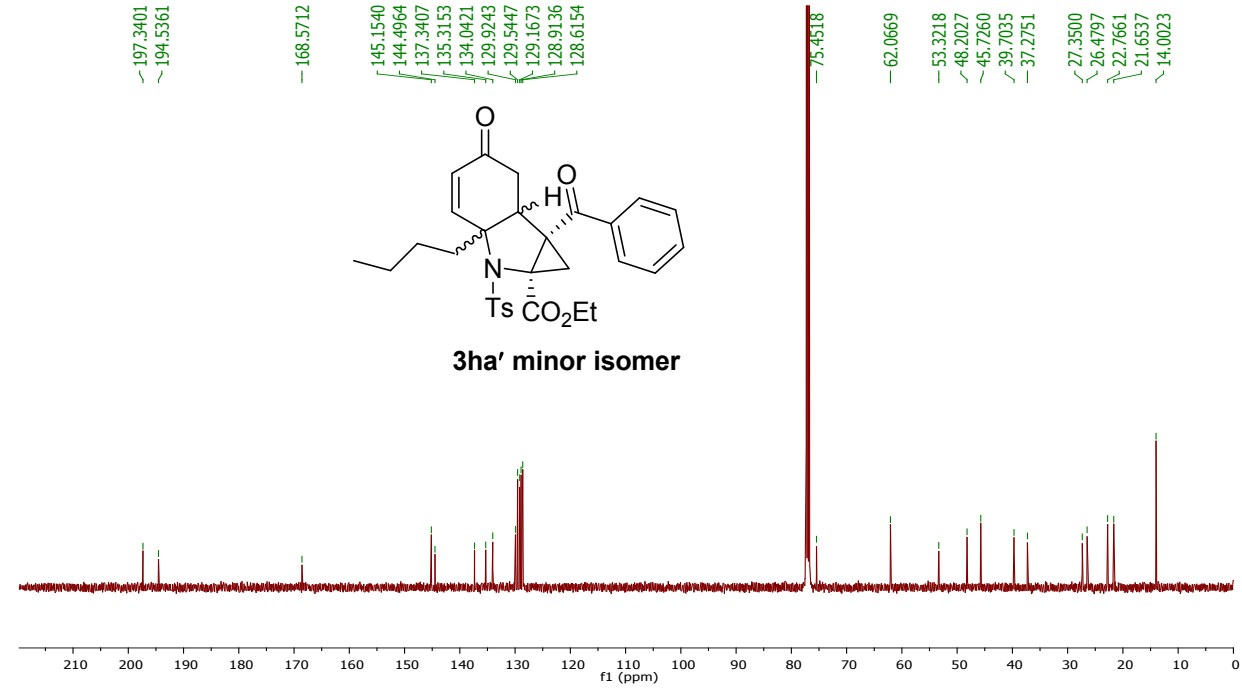
7.8220
7.6248
7.6104
7.5960
7.4941
7.4792
7.4645
7.3247
7.3093
6.7578
6.7370
6.0615
6.0406
4.2406
4.2201
4.2059
4.1915
4.1806
4.1667
4.1525
4.1317
2.9983
2.9859
2.9743
2.5011
2.4475
2.4184
2.1162
1.3353
1.2676
1.2537
1.2396
0.8958
0.8825
0.8693
O
O
H
N
Ts
CO2Et
3ha′ minor isomer
1.89
1.91
1.10
2.07
1.95
0.94
0.91
2.00
0.96
2.51
3.04
1.11
1.96
7.26
3.08
f1 (ppm)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168.5712
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144.4964
137.3407
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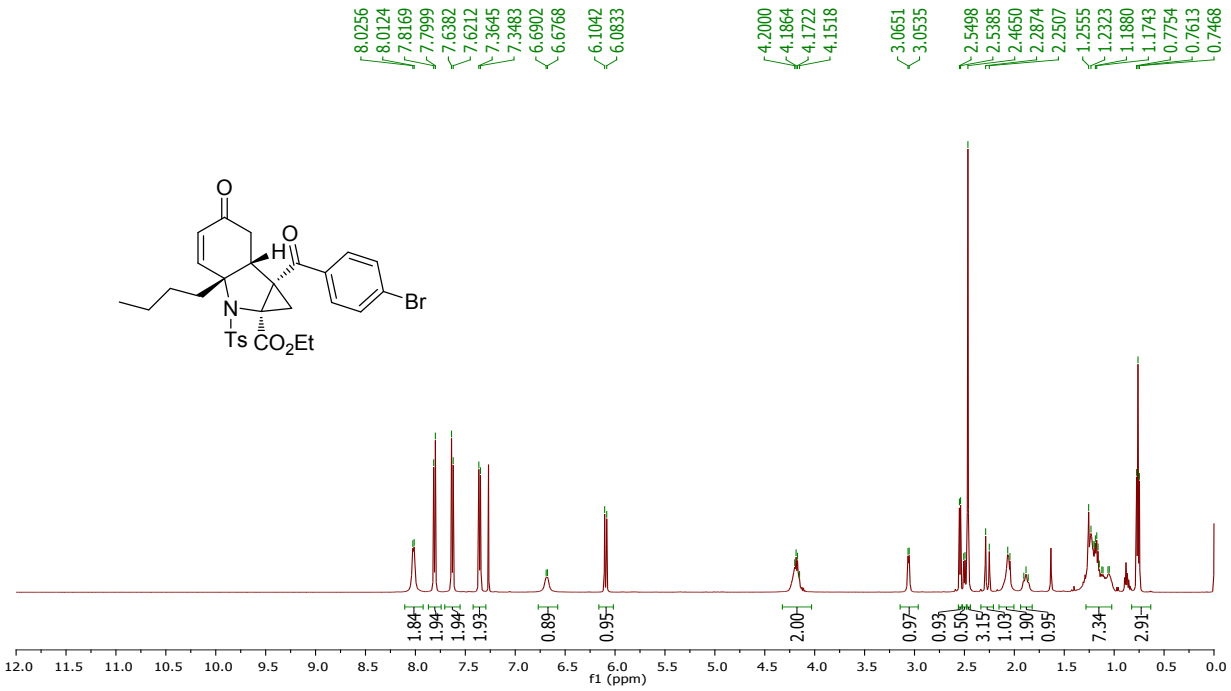
129.5447
129.1673
128.9136
128.6154
75.4518
62.0669
53.3218
48.2027
45.7260
39.7035
37.2751
27.3500
26.4797
22.7661
21.6537
14.0023
O
O
H
N
Ts
CO2Et
3ha′ minor isomer
f1 (ppm)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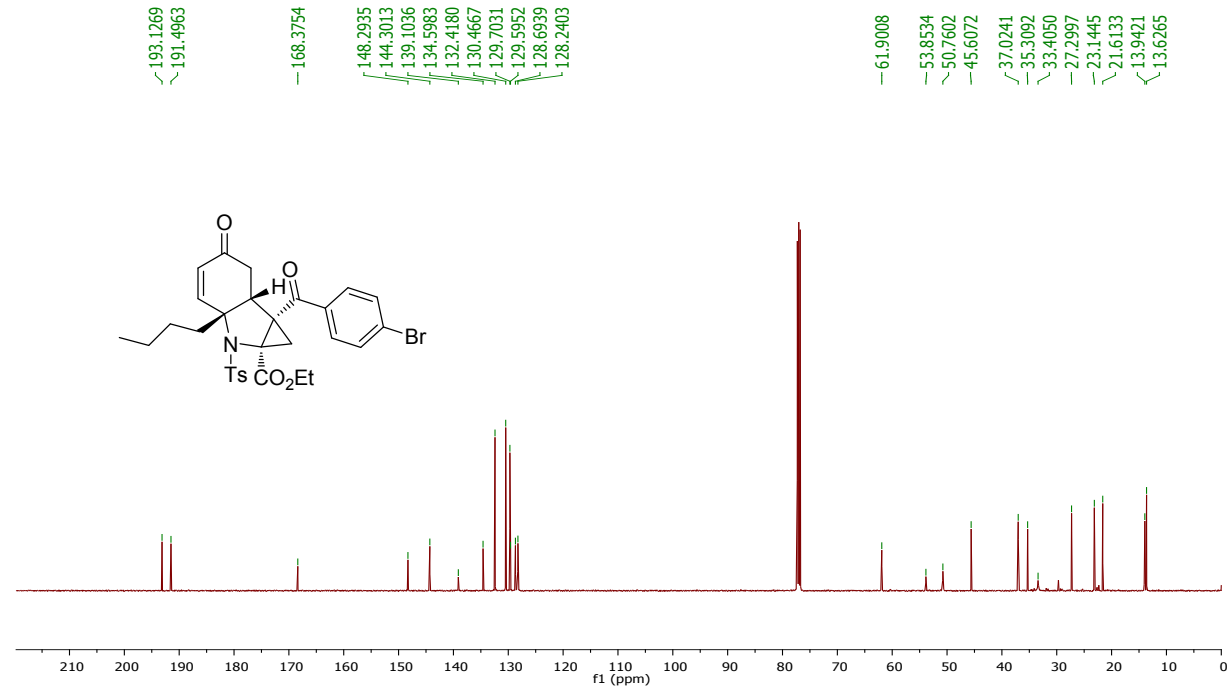
7.8169
7.7999
7.6382
7.6212
7.3645
7.3483
6.6902
6.6768
6.1042
6.0833
4.2000
4.1864
4.1722
4.1518
3.0651
3.0535
2.5498
2.5385
2.4650
2.2874
2.2507
1.2555
1.2323
1.1880
1.1743
0.7754
0.7613
0.7468
O
O
H
N
Ts
CO2Et
Br
1.84
1.94
1.94
1.93
0.89
0.95
2.00
0.97
0.93
0.50
3.15
1.03
1.90
0.95
7.34
2.91
f1 (ppm)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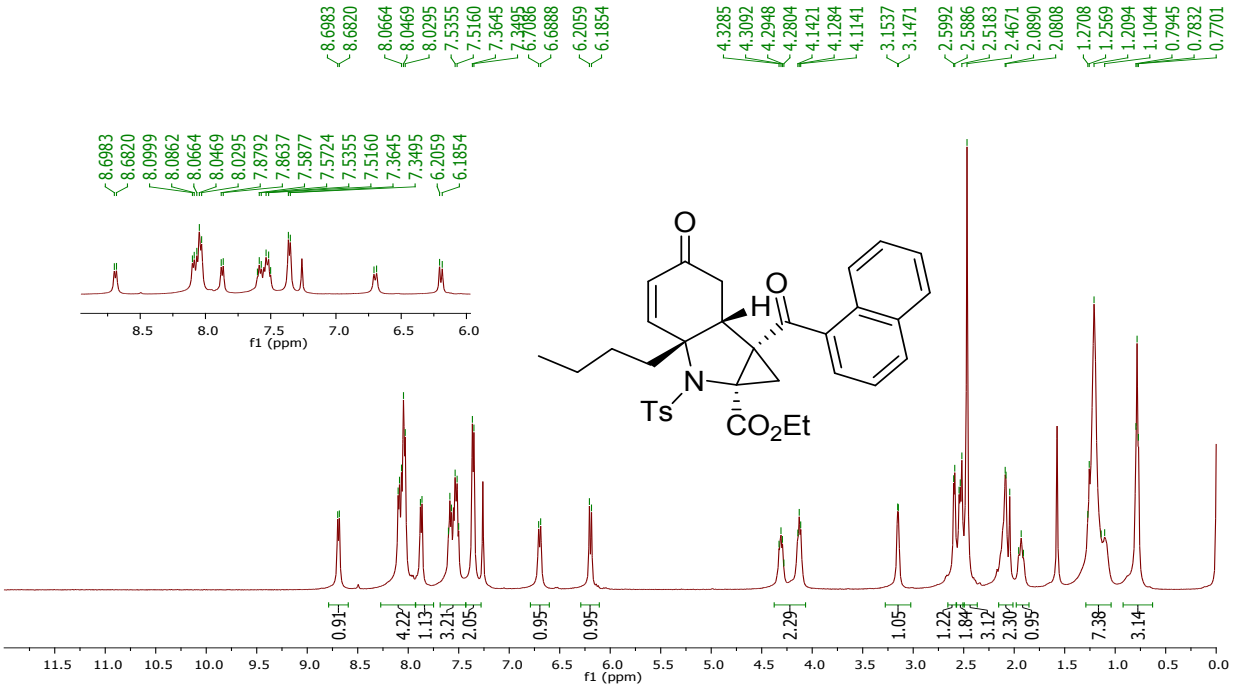
191.4963
168.3754
148.2935
144.3013
139.1036
134.5983
132.4180
130.4667
129.7031
129.5952
128.6939
128.2403
61.9008
53.8534
50.7602
45.6072
37.0241
35.3092
33.4050
27.2997
23.1445
21.6133
13.9421
13.6265
O
O
H
N
Ts
CO2Et
Br
f1 (ppm)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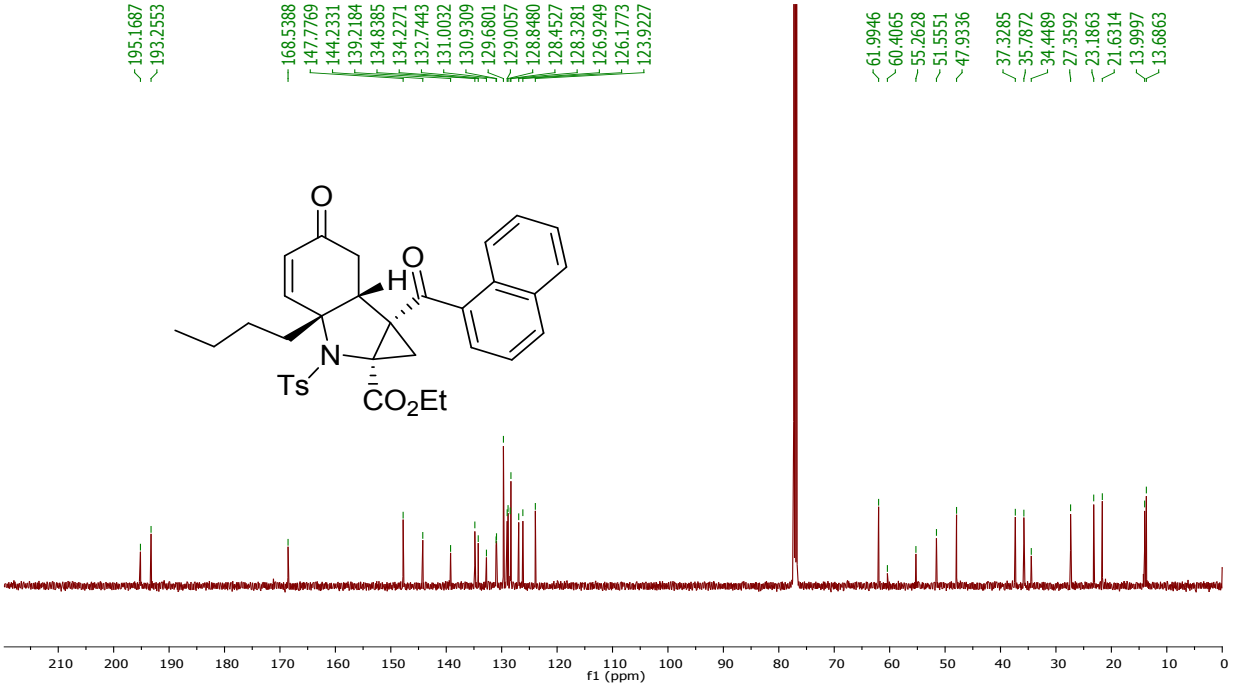
8.6820
8.0664
8.0469
8.0295
7.5355
7.5160
7.3645
7.3495
6.7086
6.6888
6.2059
6.1854
4.3285
4.3092
4.2948
4.2804
4.1421
4.1284
4.1141
3.1537
3.1471
2.5992
2.5886
2.5183
2.4671
2.0890
2.0808
1.2708
1.2569
1.2094
1.1044
0.7945
0.7832
0.7701
f1 (ppm)
O
H
O
N
Ts
CO2Et
0.91
4.22
1.13
3.21
2.05
0.95
0.95
2.29
1.05
1.22
1.84
3.12
2.30
0.95
7.38
3.14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147.7769
144.2331
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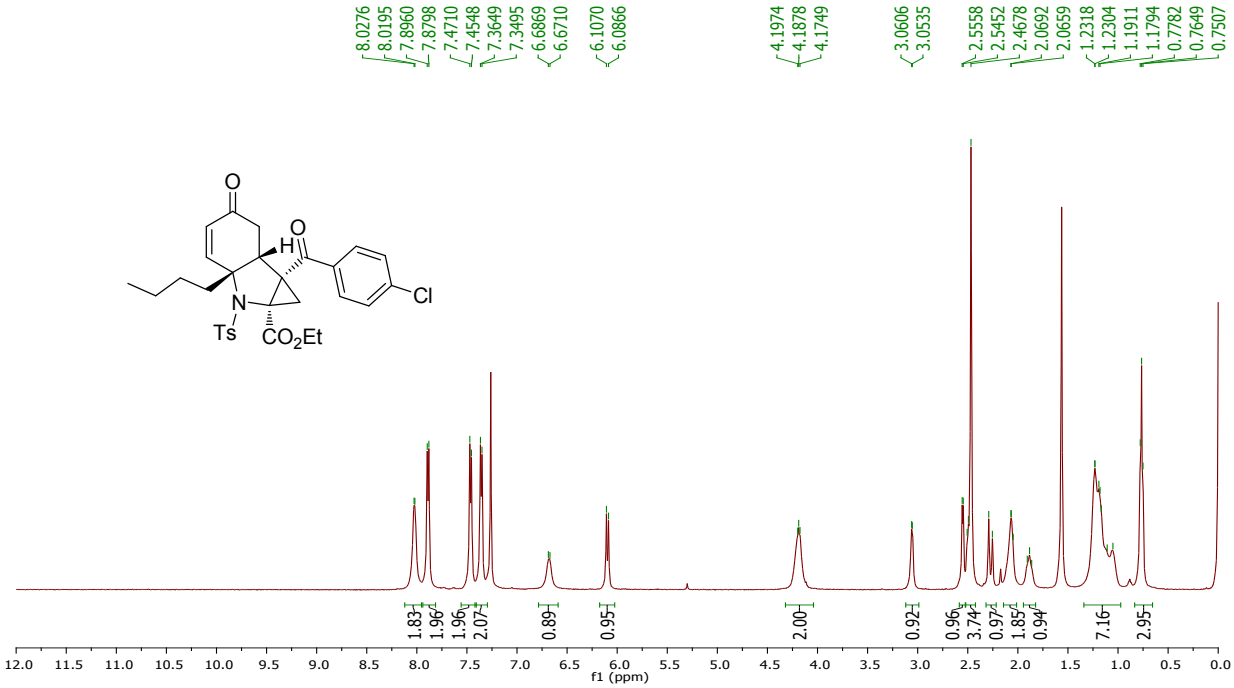
132.7443
131.0032
130.9309
129.6801
129.0057
128.8480
128.4527
128.3281
126.9249
126.1773
123.9227
61.9946
60.4065
55.2628
51.5551
47.9336
37.3285
35.7872
34.4489
27.3592
23.1863
21.6314
13.9997
13.6863
f1 (ppm)
O
H
O
N
Ts
CO2Et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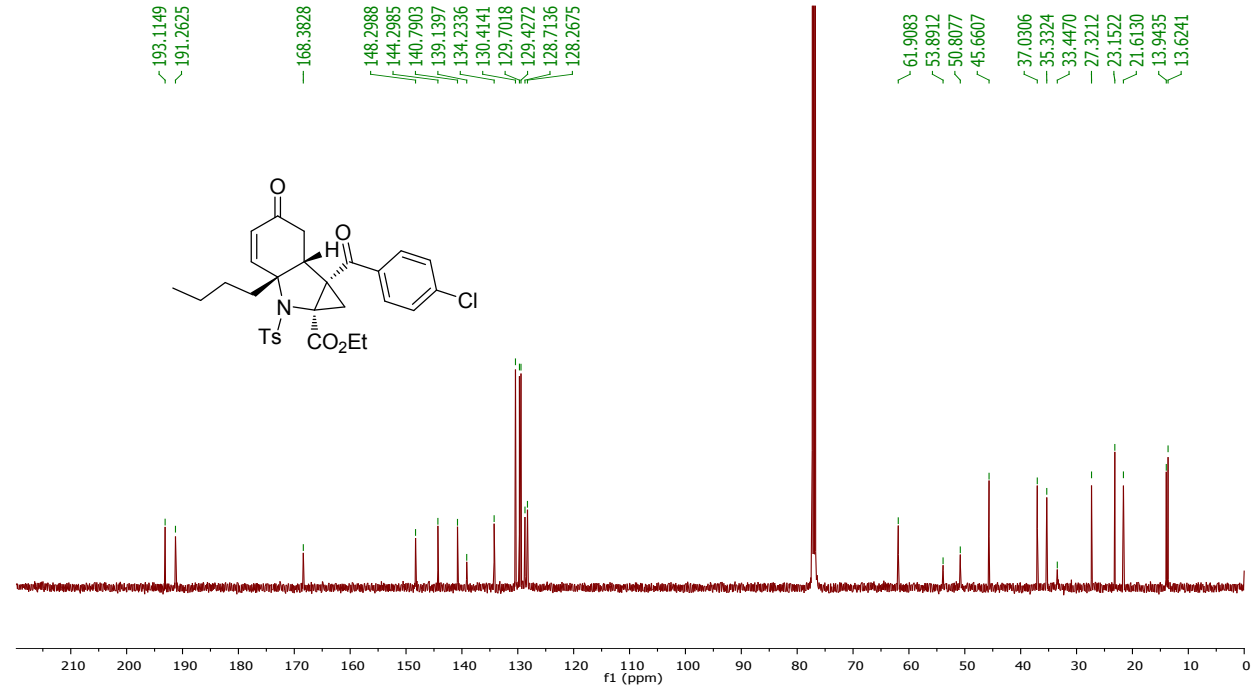
7.3649
7.3495
6.6869
6.6710
6.1070
6.0866
4.1974
4.1878
4.1749
3.0606
3.0535
2.5558
2.5452
2.4678
2.0692
2.0659
1.2318
1.2304
1.1911
1.1794
0.7782
0.7649
0.7507
O
O
H
N
Ts
CO2Et
Cl
1.83
1.96
1.96
2.07
0.89
0.95
2.00
0.92
0.96
3.74
0.97
1.85
0.94
7.16
2.95
f1 (ppm)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191.2625
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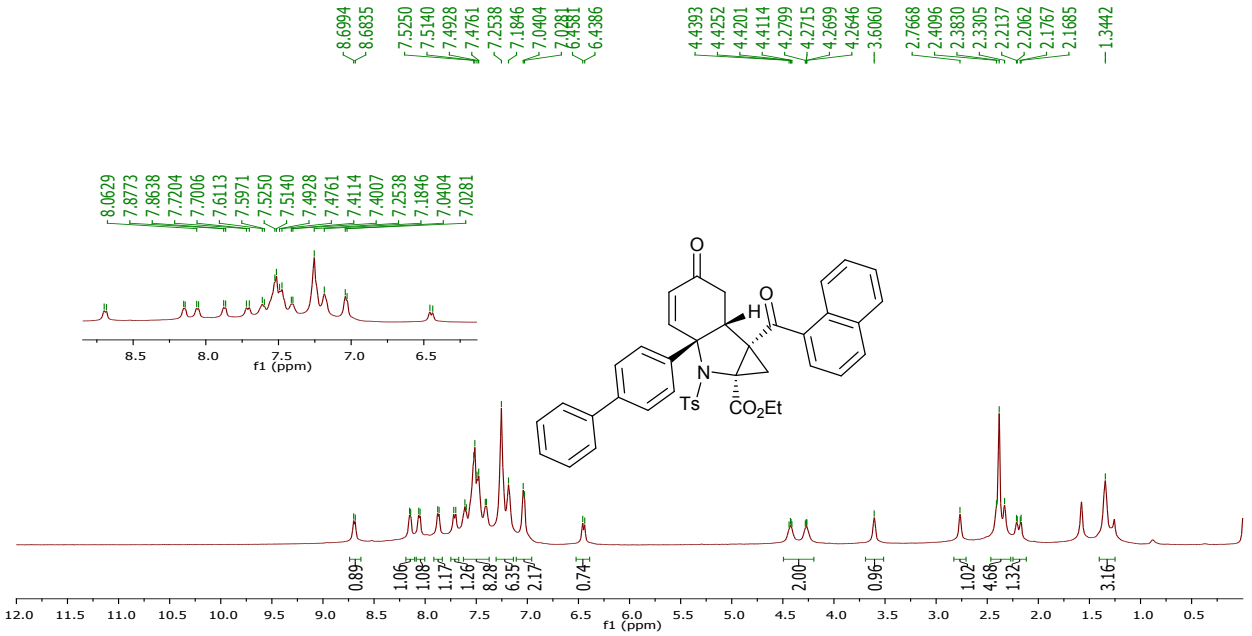
148.2988
144.2985
140.7903
139.1397
134.2336
130.4141
129.7018
129.4272
128.7136
128.2675
61.9083
53.8912
50.8077
45.6607
37.0306
35.3324
33.4470
27.3212
23.1522
21.6130
13.9435
13.6241
O
O
H
N
Ts
CO2Et
Cl
f1 (ppm)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7.2538
7.1846
7.0404
7.0281
6.4581
6.4386
4.4393
4.4252
4.4201
4.4114
4.2799
4.2715
4.2699
4.2646
3.6060
2.7668
2.4096
2.3830
2.3305
2.2137
2.2062
2.1767
2.1685
1.3442
8.0629
7.8773
7.8638
7.7204
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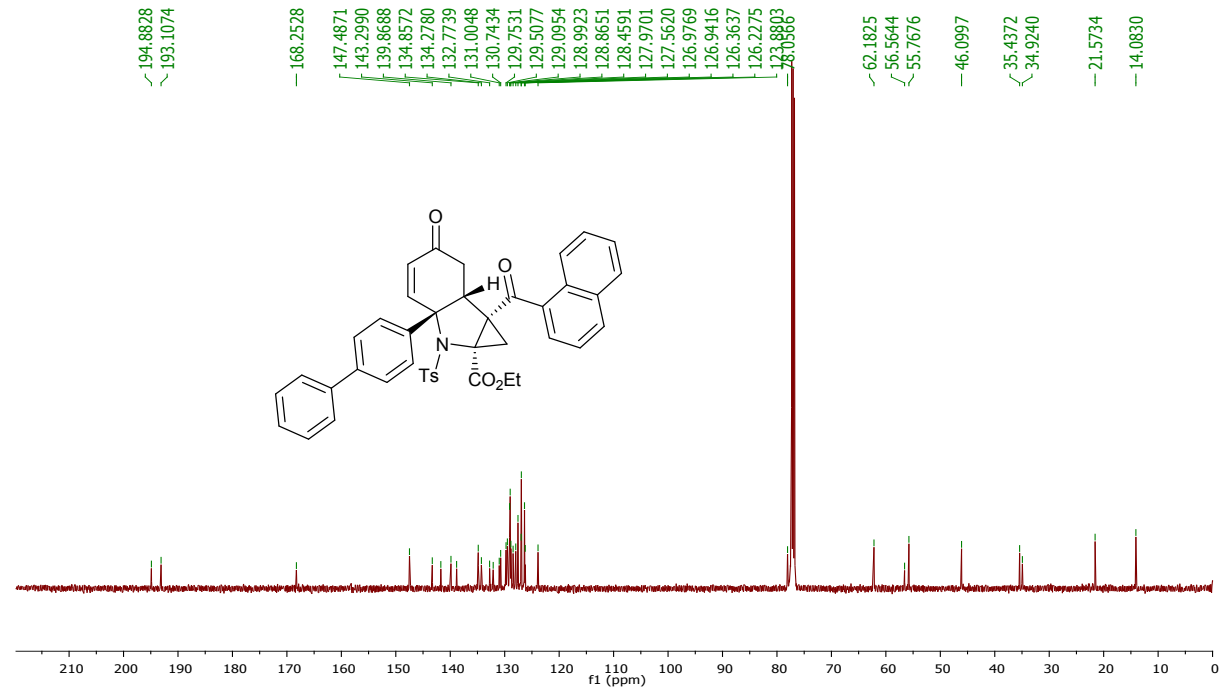
7.5250
7.5140
7.4928
7.4761
7.4114
7.4007
7.2538
7.1846
7.0404
7.0281
f1 (ppm)
O
O
H
N
Ts
CO2Et
0.89
1.06
1.08
1.17
1.26
8.28
6.35
2.17
0.74
2.00
0.96
1.02
4.68
1.32
3.16
f1 (ppm)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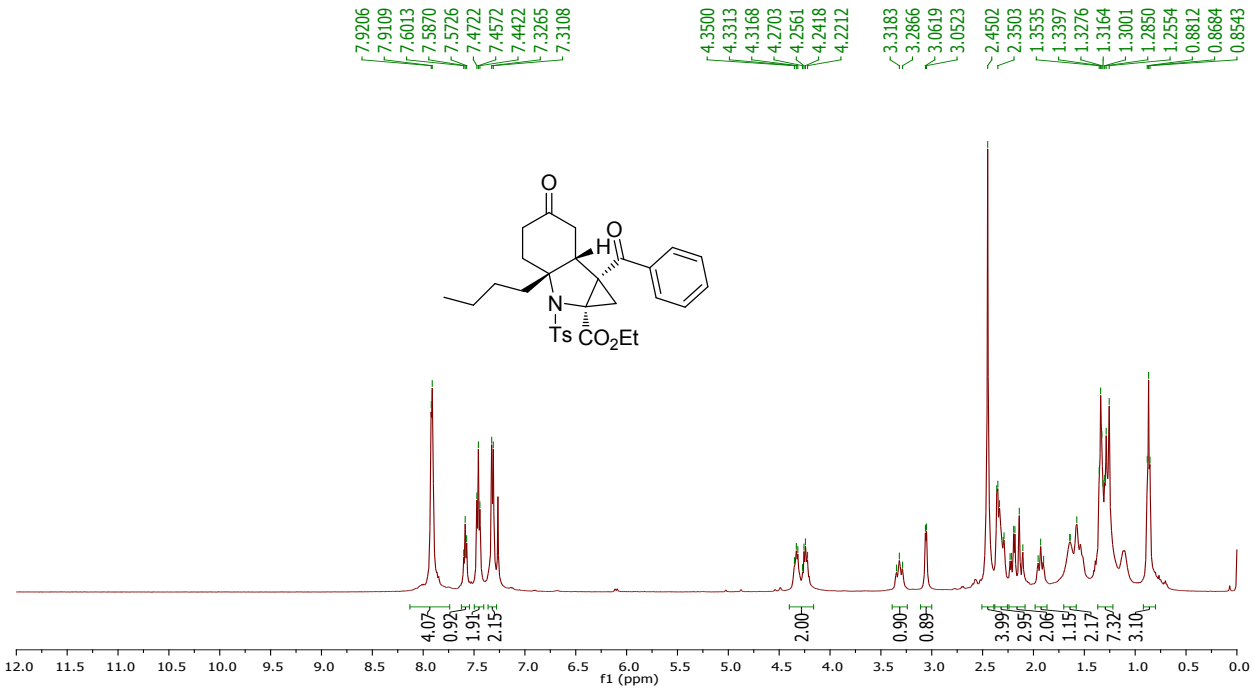
130.7434
129.7531
129.5077
129.0954
128.9923
128.8651
128.4591
127.9701
127.5620
126.9769
126.9416
126.3637
126.2275
123.8803
62.1825
56.5644
55.7676
46.0997
35.4372
34.9240
21.5734
14.0830
O
O
H
N
Ts
CO2Et
f1 (ppm)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7.4572
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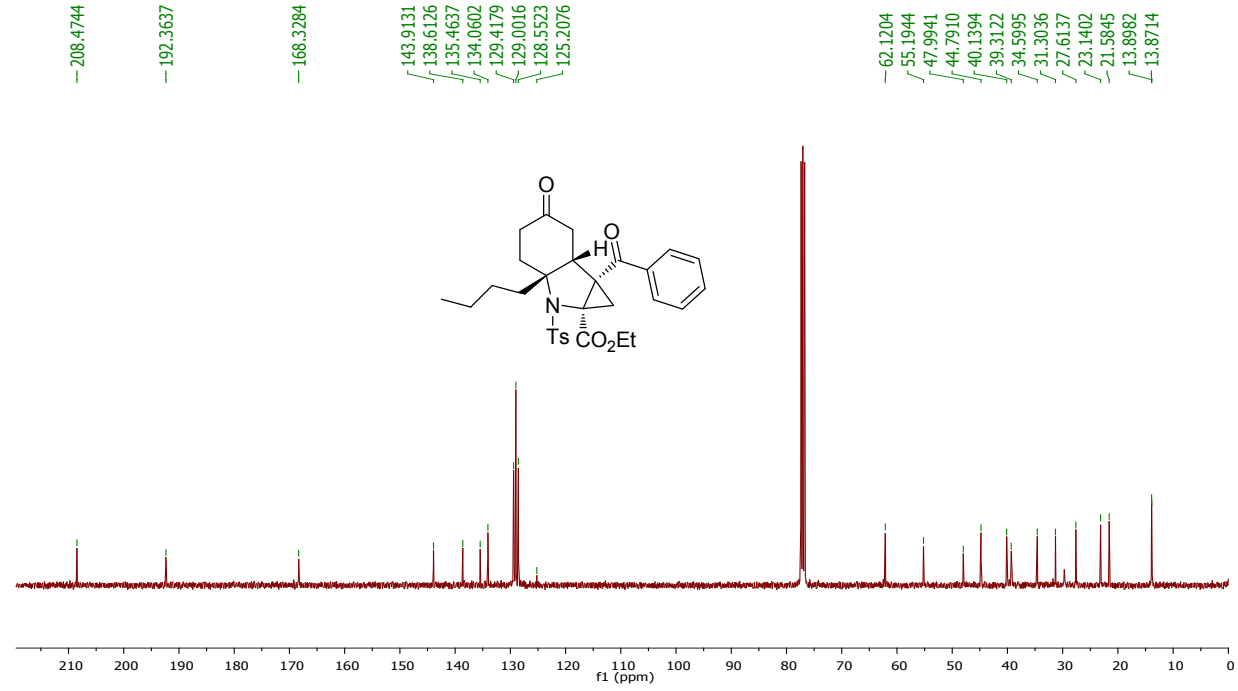
7.3265
7.3108
4.3500
4.3313
4.3168
4.2703
4.2561
4.2418
4.2212
3.3183
3.2866
3.0619
3.0523
2.4502
2.3503
1.3535
1.3397
1.3276
1.3164
1.3001
1.2850
1.2554
0.8812
0.8684
0.8543
O
O
H
N
Ts
CO2Et
4.07
0.92
1.91
2.15
2.00
0.90
0.89
3.99
2.95
2.06
1.15
2.17
7.32
3.10
f1 (ppm)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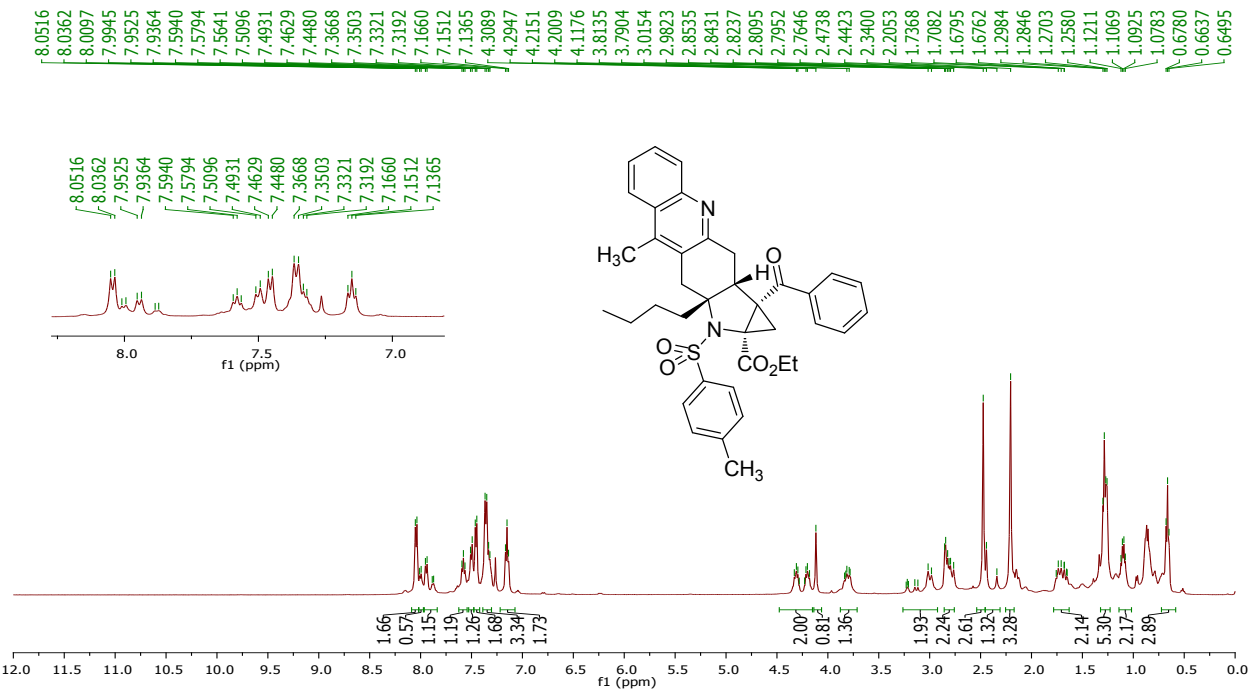
125.2076
62.1204
55.1944
47.9941
44.7910
40.1394
39.3122
34.5995
31.3036
27.6137
23.1402
21.5845
13.8982
13.8714
O
O
H
N
Ts
CO2Et
f1 (ppm)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7.4480
7.3668
7.3503
7.3321
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7.1660
7.1512
7.1365
4.3089
4.2947
4.2151
4.2009
4.1176
3.8135
3.7904
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2.9823
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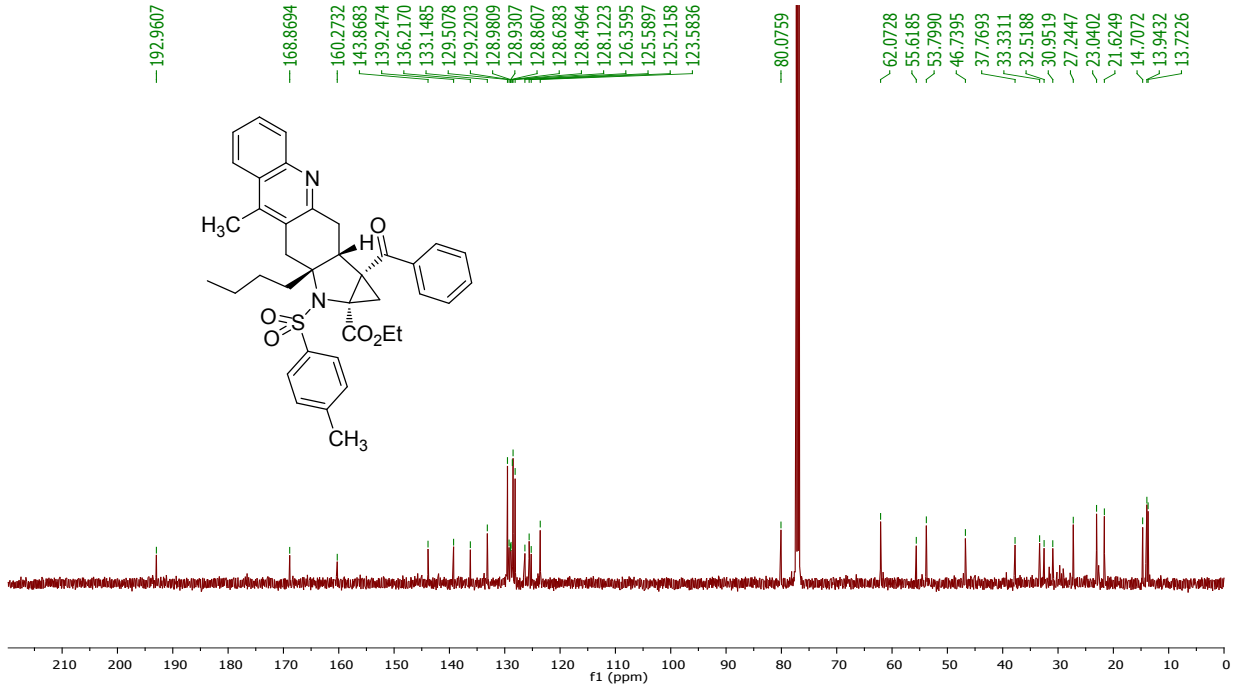
2.7646
2.4738
2.4423
2.3400
2.2053
1.7368
1.7082
1.6795
1.6762
1.2984
1.2846
1.2703
1.2580
1.1211
1.1069
1.0925
1.0783
0.6780
0.6637
0.6495
8.0
7.5
7.0
f1 (ppm)
H3C
N
O
H
N
O
S
O
CO2Et
CH3
1.66
0.57
1.15
1.19
1.26
1.68
3.34
1.73
2.00
0.81
1.36
1.93
2.24
2.61
1.32
3.28
2.14
5.30
2.17
2.89
f1 (ppm)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168.8694
160.2732
143.8683
139.2474
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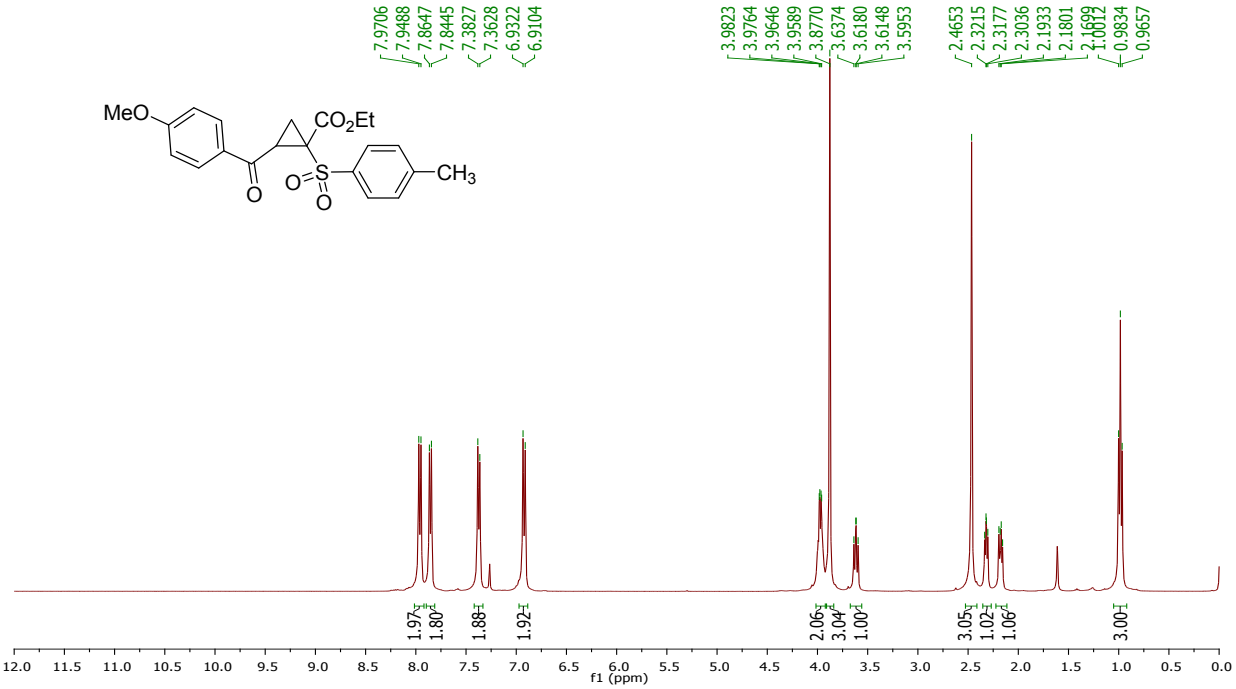
129.5078
129.2203
128.9809
128.9307
128.8607
128.6283
128.4964
128.1223
126.3595
125.5897
125.2158
123.5836
80.0759
62.0728
55.6185
53.7990
46.7395
37.7693
33.3311
32.5188
30.9519
27.2447
23.0402
21.6249
14.7072
13.9432
13.7226
H3C
N
O
H
N
O
S
O
CO2Et
CH3
f1 (ppm)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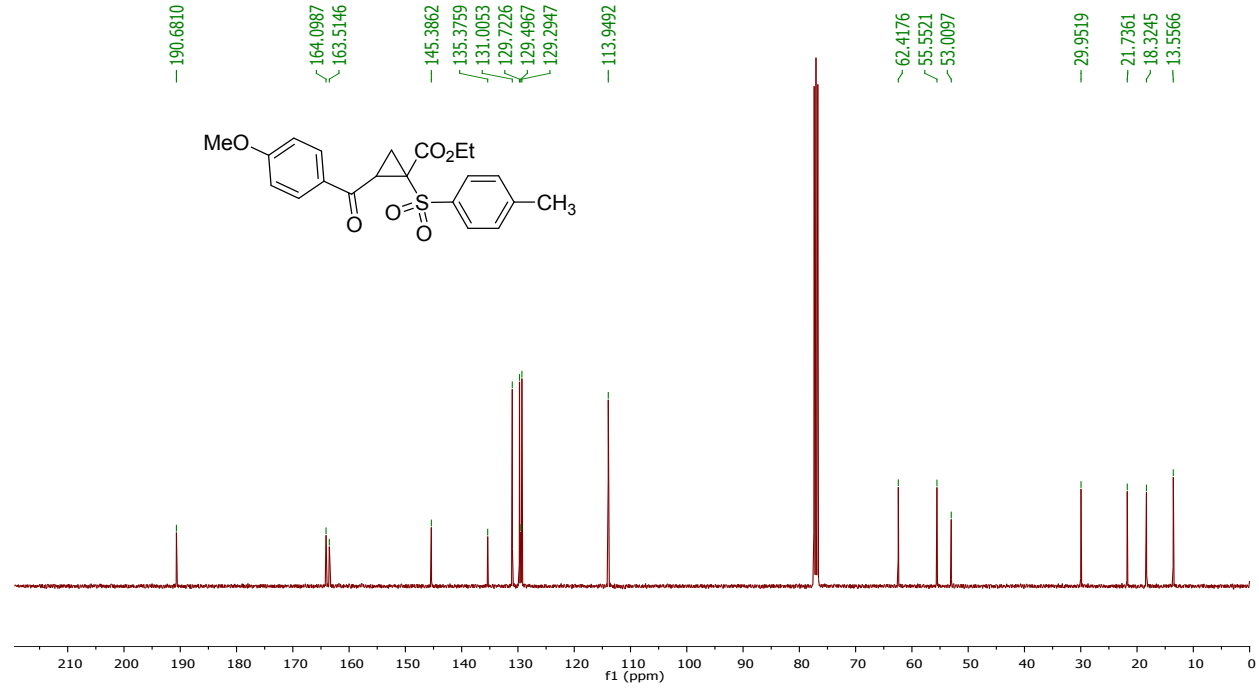
7.9488
7.8647
7.8445
7.3827
7.3628
6.9322
6.9104
3.9823
3.9764
3.9646
3.9589
3.8770
3.6374
3.6180
3.6148
3.5953
2.4653
2.3215
2.3177
2.3036
2.1933
2.1801
0.9834
0.9657
MeO
CO2Et
CH3
1.97
1.80
1.88
1.92
2.06
3.04
1.00
3.05
1.02
1.06
3.00
f1 (ppm)


190.6810
164.0987
163.5146
145.3862
135.3759
131.0053
129.7226
129.4967
129.2947
113.9492
62.4176
55.5521
53.0097
29.9519
21.7361
18.3245
13.5566
MeO
CO2Et
CH3
f1 (ppm)