Supporting Information

Design and Application of Intramolecular Vinylogous Michael Reaction for the Construction of 2-Alkenyl Indoles

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1. General Information

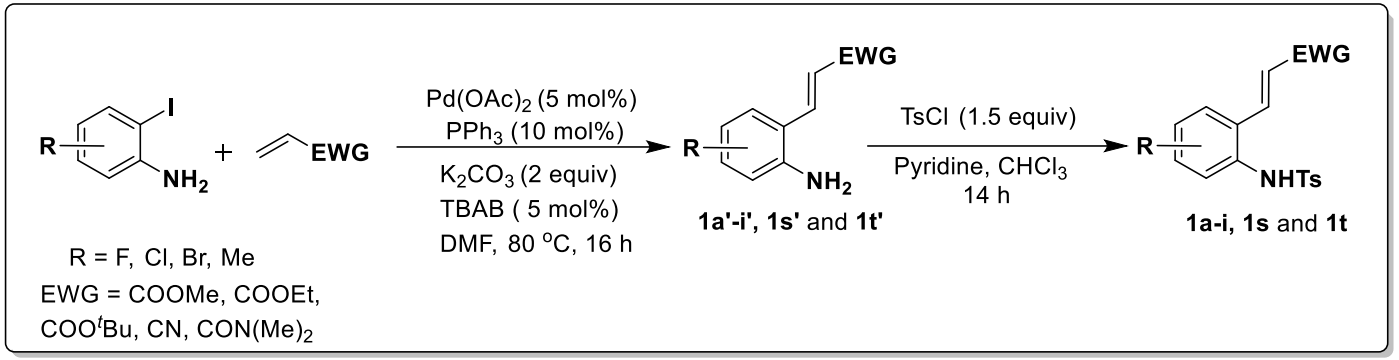
Unless otherwise noted, all the reactions were performed in oven dried glassware with magnetic stirring. Reported temperatures are the metal heating block surrounding temperature of the screw cap reaction vessel. All the solvents which are used in the reactions like DMF, DMSO, NMP, CH_3CN, Toluene, DME and 1,4-dioxane were purchased from Finar Scientifics, India. All the reagents/catalysts and starting materials/building blocks were purchased from Sigma-Aldrich, Alfa Aesar, and TCI, used without further purification.

Analytical thin layer chromatography (TLC) was performed on Merck silica gel 60 F_{254} plates. Eluted plates were visualised by ultraviolet light (254 nm) lamp; iodine; 2,4-DNP, *p*-anisaldehyde and α-napthol were used as a developing agent followed by heating. Purification of products was carried out by column chromatography using 60-120 mesh silica and hexane, ethyl acetate, dichloromethane were used as eluents, concentration was performed by rotary evaporator at 40-45 ^oC, under reduced pressure. The yields were mentioned to the purified products.

^{1}H-NMR spectra were recorded at room temperature (rt) on a Bruker AVANCE III 300, 400 and 500 MHz instruments; **^{13}C{H}NMR** spectra were recorded on 75, 100 and 125 MHz spectrometers. Chemical shifts are reported in ppm with the reference solvent TMS = 0 internal standard $CDCl_3$ = 7.26 and DMSO-d_6 = 2.50 ppm (^{1}H-NMR), $CDCl_3$ = 77.16 and DMSO-d_6 = 39.43 (^{13}C-NMR), peaks which appear at 1.26 and 0.86 ppm in ^{1}H-NMR and 29.7 ppm in ^{13}C-NMR corresponds to the residual grease present in the solvent.[1] ·Multiplicity of the compounds in the data reported as (s = singlet, d = doublet, dd = doublet of doublet, t = triplet, m = multiplet, brs = broad singlet). Coupling constants *(J)* are represented in *Hz*. All the characteristic protons and carbons are denoted in an italic style in the spectral data section. Mass spectra were analysed by Electrospray Ionization (ESI) method that were obtained on a Shimadzu LCMS-2020 mass spectrometer. High Resolution Mass Spectra (HRMS) data were obtained on a Thermo scientific Exactive^{TM} Orbitrap mass spectrometer or Q STAR XL Hybrid MS/MS. Infrared (IR) spectroscopy was performed neat on a BRUKER FT-IR spectrophotometer in chloroform, and IR [KBr] spectra were recorded on a THERMO NICOLER NEXUS 670 FT-IR instrument. Melting points (MP) were determined using a normal temperature adjustable capillary melting point apparatus. MPs are uncorrected.

2. Synthesis of the Starting Materials

2A. Experimental procedure for the synthesis of *o*-tosylamidocinnamic acid derivatives[2]

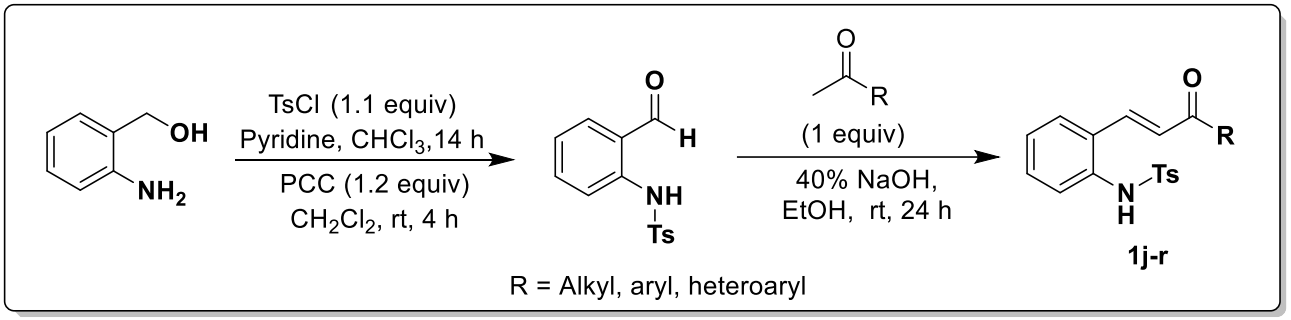


A clean and oven dried round bottom flask was charged with substituted *ortho*-iodoanilines (1 equiv, 1 mmol), acrylyl ester/nitrile/amide derivatives (2 equiv, 2 mmol), K_2CO_3 (2 equiv, 2 mmol, 276 mg), palladium acetate (5 mol%, 0.05 mmol, 11 mg), triphenylphoshine (10 mol%, 0.1 mmol, 26 mg) and tetrabutylammonium bromide (TBAB) (5 mol%, 0.05 mmol, 16 mg) followed by the addition of *N*,*N*-dimethylformamide (DMF) (3 mL). The reaction mixture was stirred at 80 °C for 16 hours under a nitrogen atmosphere. After completion of the reaction, the mixture was cooled to rt, diluted with EtOAc (10 mL), washed with ice cold water (3 x 10 mL) followed by brine (20 mL). The organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure to give a crude residue. The residue was purified by column chromatography on silica gel using ethyl acetate/hexane as eluent to furnish the respective *ortho*-aminocinnamic acid derivatives **1a′-i′**, **1s′** and **1t′**.

To a stirred solution of *ortho*-aminocinnamic acid derivatives **1a′-i′**, **1s′** and **1t′** (1 equiv, 1 mmol) in dry $CHCl_3$ (8 mL) was added dry pyridine (catalytic amount) followed by *p*-toluenesulfonyl chloride (1.5 equiv, 1.5 mmol, 285 mg) at rt. The reaction mixture was stirred at rt for 14 h, and then dry MeOH (5 mL) was added. The mixture was concentrated *in vacuo*, and the residue was partitioned between EtOAc (20 mL) and 2 N HCl (20 mL). The organic layer was separated, and the aqueous layer was extracted with EtOAc (20 mL). The organic layers were combined, washed with saturated aqueous $NaHCO_3$ (20 mL) and

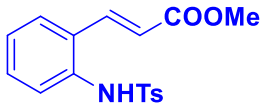
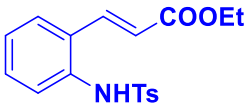
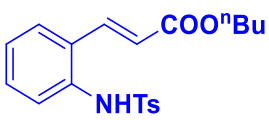
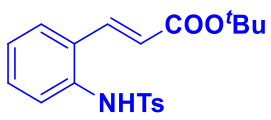
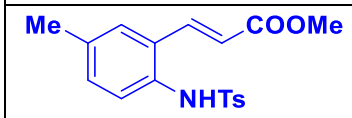
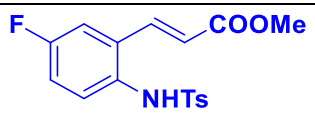
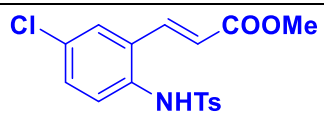
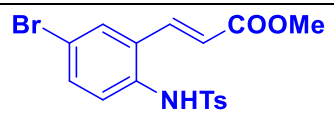
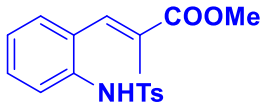
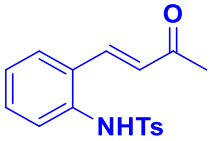
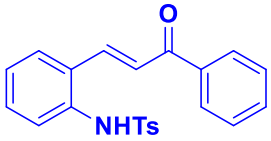
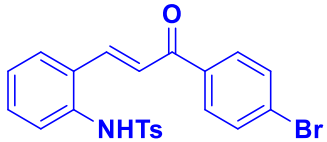
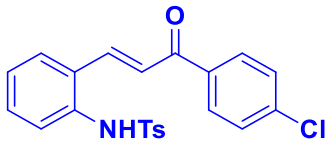
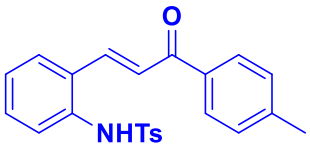
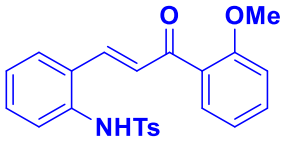
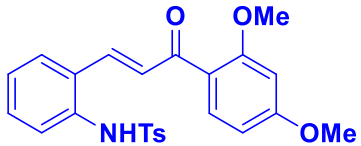
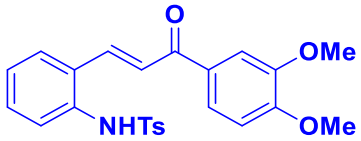
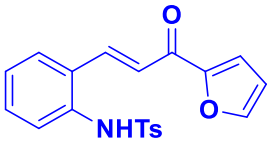
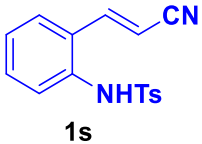
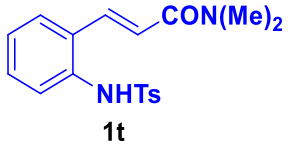
brine (20 mL). The organic extract was dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure to afford the desired *o*-tosylamidocinnamic acid derivatives **1a-i**, **1s** and **1t**.

2B. Experimental procedure for the synthesis of *o*-tosylamidochalcone derivatives[6]



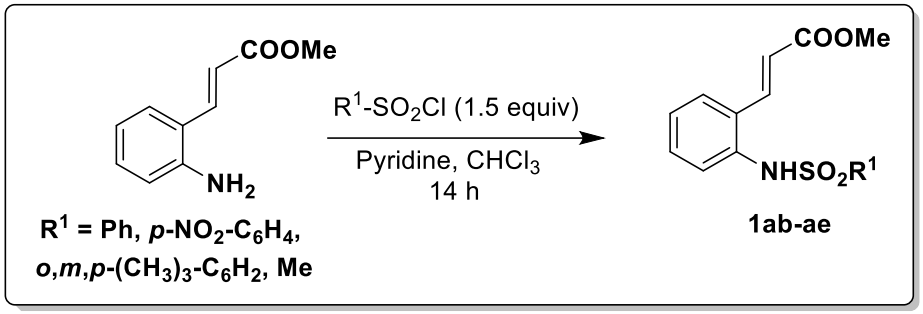
To a clean and oven dried round bottom flask, a solution of 2-aminobenzylalcohol (1 equiv, 20 mmol, 2.46 g,) in $CHCl_3$ (100 mL), TsCl (1.1 equiv, 22 mmol, 4.18 g) and pyridine (0.1 mL, catalytic) were added at rt. The reaction mixture was stirred for 14 h at rt. Then the solvent was removed under reduced pressure on a rotary evaporator. Without further purification, the crude product was dissolved in dichloromethane (50 mL) and then pyridinium chlorochromate (PCC) (1.2 equiv, 24 mmol, 5.17 g) was added. The reaction mixture was stirred for 4 h at rt and then filtered through Celite® pad followed by washing with CH_2Cl_2. Thereafter, the solvent in the filtrate was removed and concentrated under reduced pressure on a rotary evaporator to give a residue. Purification of the residue by flash chromatography on silica gel using dichloromethane/hexanes as eluents to furnish *ortho*-tosylaminobenzaldehyde (4.95 g, 90%).

A mixture of acetone or substituted acetophenone (1 mmol, 1 equiv), *ortho*-tosylaminobenzaldehyde (1 mmol, 1 equiv, 275 mg), and aqueous sodium hydroxide (40%, 1.3 mL) in 10 mL absolute ethanol was stirred at rt for 24 h. The solvent was removed and concentrated under reduced pressure on a rotary evaporator to give a mixture. The mixture was extracted with EtOAc (2 x 100 mL), washed with water, dried over on anhydrous Na_2SO_4, filtered and concentrated to give a residue. Purification of the residue by flash chromatography on silica gel (EtOAc/hexanes : 1/3, as eluent) furnished the corresponding *o*-tosylamidochalcone derivatives **1j-r**.

 1a	 1b	 1c	 1d
 1e	 1f	 1g	 1h
 1i	 1j	 1k	 1l
 1m	 1n	 1o	 1p
 1q	 1r	 1s	 1t

All the starting materials **1a-t** were prepared by using above general procedures. Spectral data were in good agreement with the reported data for the compounds as follows: **1a**,[2] **1b**,[3] **1c**,[4] **1d**,[3] **1e,**[2] **1f**,[2] **1g**,[2] **1h**,[5]**1j**,[6] **1k**,[6] **1l**,[7] **1m**,[6] **1n**,[6] **1o**,[6] and **1r**.[7]

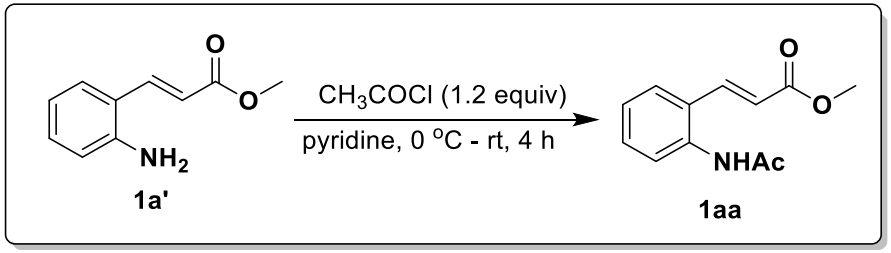
2C. Experimental procedure for the sulfonyl groups protection (Bs, Ns, Mts and Ms):



To a stirred solution of methyl *o*-aminocinnamate **1a** (1 equiv, 1 mmol) in dry $CHCl_3$ (8 mL) was added dry pyridine (catalytic amount) followed by the addition of requisite sulfonyl chlorides (1.5 equiv, 1.5 mmol) at rt. The reaction mixture was stirred at rt for 14 h, and then dry MeOH (5 mL) was added. The mixture was concentrated *in vacuo*, and the residue was partitioned between EtOAc (20 mL) and 2 N HCl (20 mL). The organic layer was separated, and the aqueous layer was extracted with EtOAc (2 x 20 mL). The organic layers were combined, washed with saturated aqueous $NaHCO_3$ (20 mL) and brine (20 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure to afford the desired methyl *o*-sulfonylamidocinnamate derivatives **1ab**-**ae**.

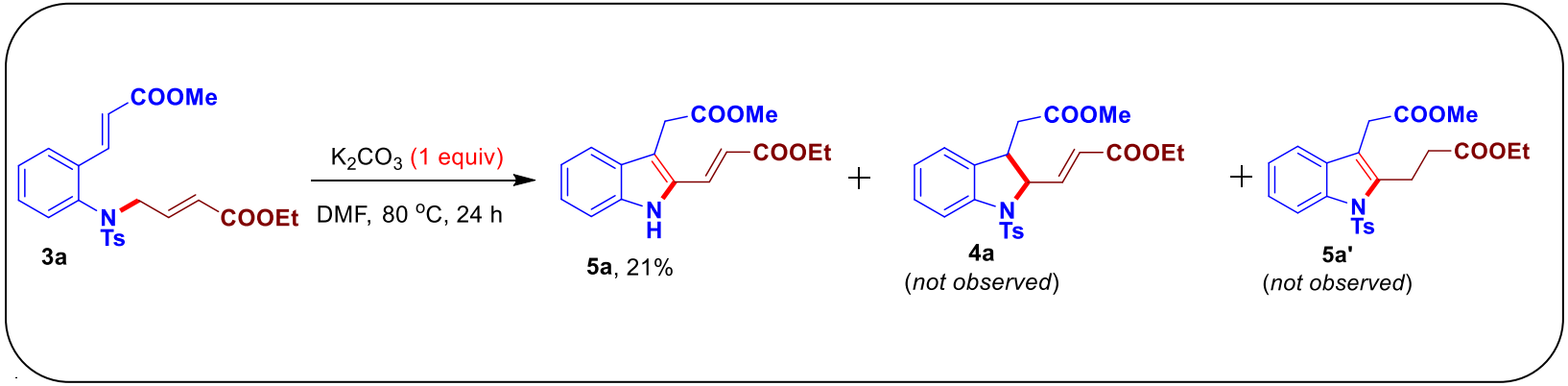
2D. Experimental procedure for the synthesis of acyl protected *o*-aminocinnamate 1aa

Acetylation of **1a'** was performed using the literature reported method.[8]



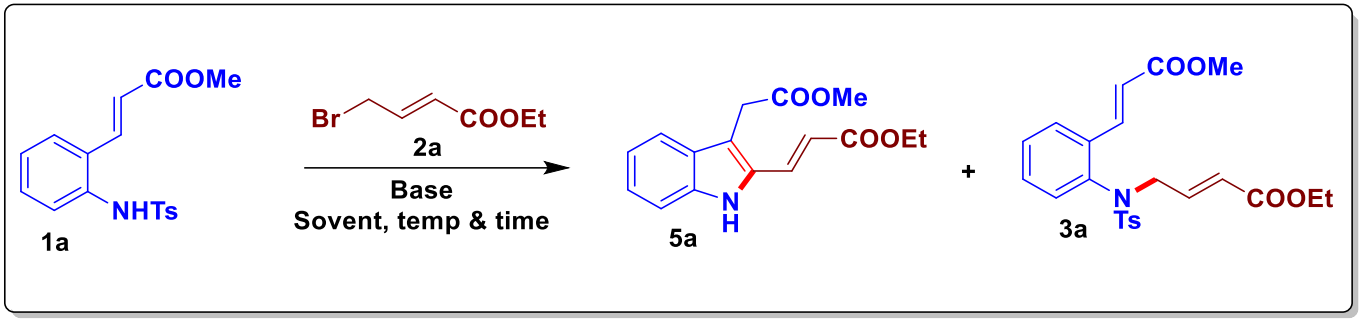
All the starting materials were prepared by using the above general procedures. Spectral data were in good agreement with the reported data for the compounds as follows: **1aa**,[8] and **1ab** [9].

3. General Experimental Procedure for the Initial Reaction



To a 15 mL clean and dry screw cap vial, K_2CO_3 (1 equiv, 1 mmol, 138 mg) was added to solution of ethyl (*E*)-4-((N-(2-((*E*)-3-methoxy-3-oxoprop-1-en-1-yl)phenyl)-4-methylphenyl)sulfonamido)but-2-enoate **3a** (1 equiv, 1 mmol, 443 mg) in DMF (6 mL). The reaction vial was placed in a metal heating block and stirred at 80 ^{0}C for 24 h. After completion of the reaction, the reaction mixture was cooled to rt, extracted with EtOAc (2 x10 mL); the combined organic extract was washed with water (10 mL) and brine (10 mL). Then the organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel to afford 2,3-disubstituted indole derivative **5a** as a pure product in 21% yield.

4. General Experimental Procedure for the Optimization Study

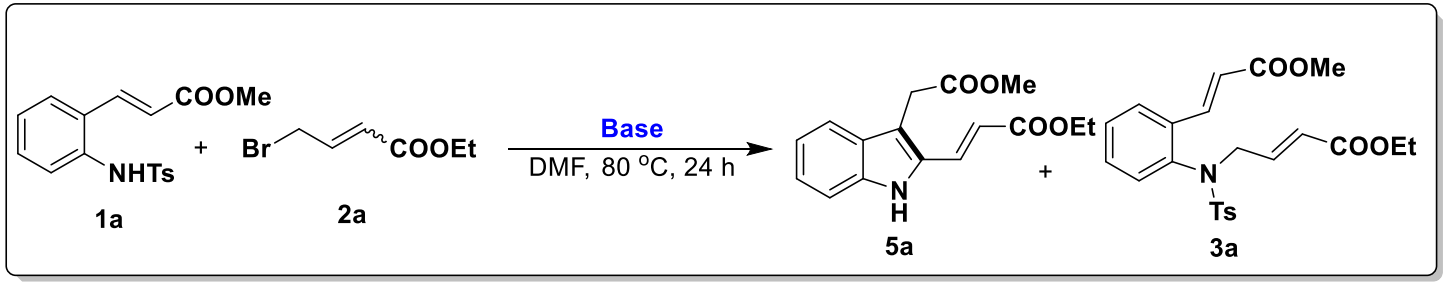


To a 15 mL clean and dry screw cap vial, base (3 equiv) was added to solution of methyl (*E*)-3-(2-((4-methylphenyl)sulfonamido)phenyl)acrylate **1a** (1equiv, 0.5 mmol, 165 mg) and ethyl 4-bromobut-2-enoate **2a** (1.5 equiv, 0.75 mmol, 0.135 mL) in a solvent (3 mL). The reaction vial was placed in a metal heating block and stirred at the specified temperature and time (Tables S1-S3). After completion of the reaction, the reaction mixture was cooled to rt, extracted with EtOAc (2 x10 mL); the combined organic extract was washed with water (10 mL) and brine (10 mL). Then the organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel to afford 2,3-disubstituted indole derivative **5a** and **3a** intermediate (Tables S1-S3).

Note: please see Tables S1-S3 for the screening of various bases, solvents, time and temp.

5. Optimization Survey

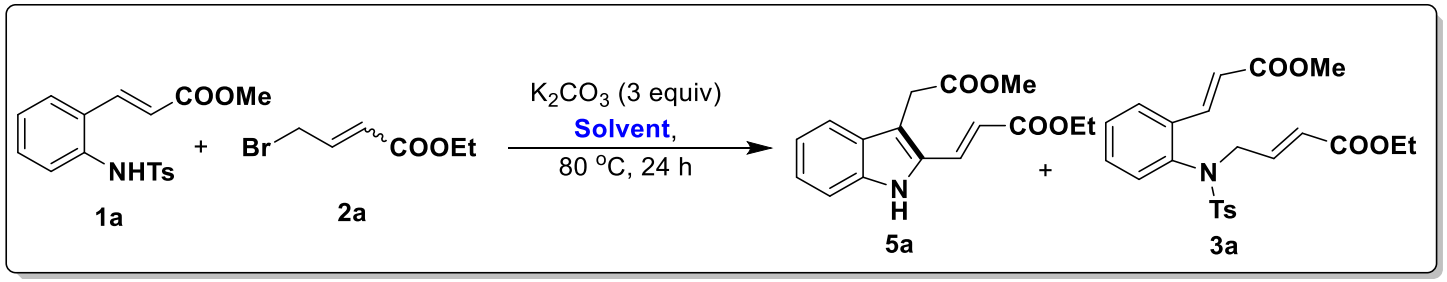
Table S1: Screening of various bases



Entry	Base (3 equiv)	% Yield of 5a	% Yield of 3a
1.	K_2CO_3	30	42
2.	Cs_2CO_3	14	30
3.	K_3PO_4	10	28
4.	1,4-Diazabicyclo[2.2.2]octane (DABCO)	20	29
5.	NaH	12	32
6.	1,8-Diazabicyclo[5.4.0]undec-7-ene (DBU)	24	30
7.	KO^tBu	18	36
8.	No base	NR	NR

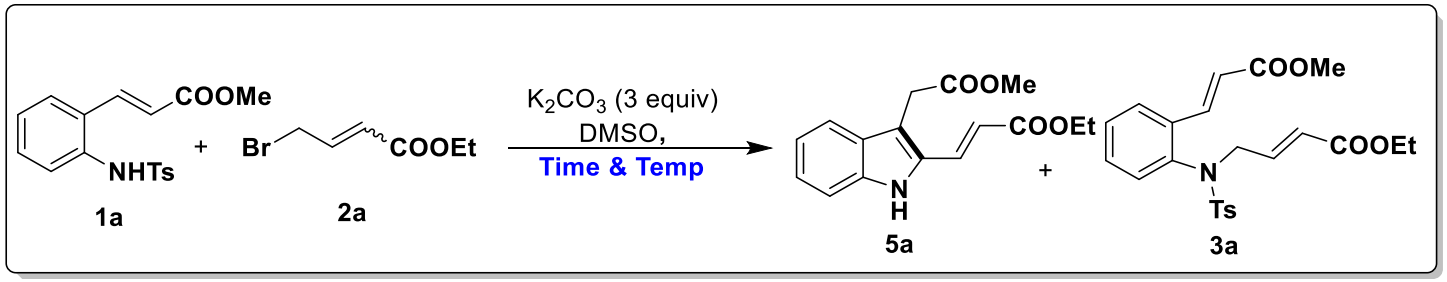
Reaction conditions: **1a** (0.5 mmol), **2a** (0.75 mmol), base (1.50 mmol), solvent (3 mL), reaction time 24 h; Isolated yields; **NR = No reaction**

Table S2: Screening of various solvents



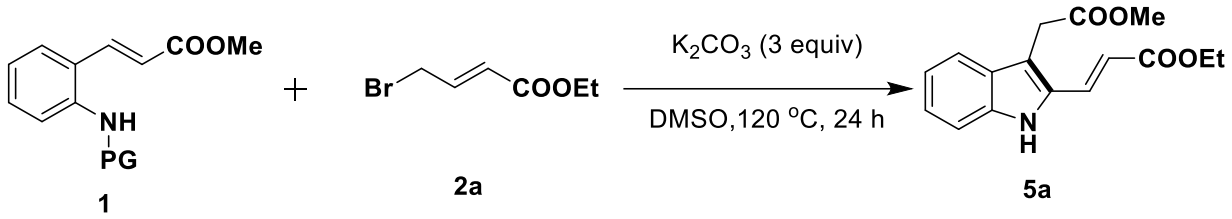
Entry	Solvent (mL)	% Yield of 5a	% Yield of 3a
1.	CH_3CN	10	41
2.	Dimethyl sulfoxide (DMSO)	40	32
3.	Toluene	12	23
4.	*t*-BuOH	10	24
5.	1,4-dioxane	18	26
6.	*N*-Methyl pyrrolidine (NMP)	34	28

Table S3: Screening of time and temperature conditions



Entry	Base (3 equiv)	Solvent	Temp(°C)	Time(h)	% Yield of 5a	% Yield of 3a
1.	K_2CO_3	DMSO	100	24	72	14
2.	**K_2CO_3**	**DMSO**	**120**	**24**	**86**	**10**
3.	K_2CO_3	DMSO	150	24	80	08
4.	K_2CO_3	DMSO	120	12	60	18
5.	K_2CO_3	DMSO	120	36	88	05
6.	K_2CO_3	DMSO	RT	24	-	14

Table S4: Scope of the Protecting groups



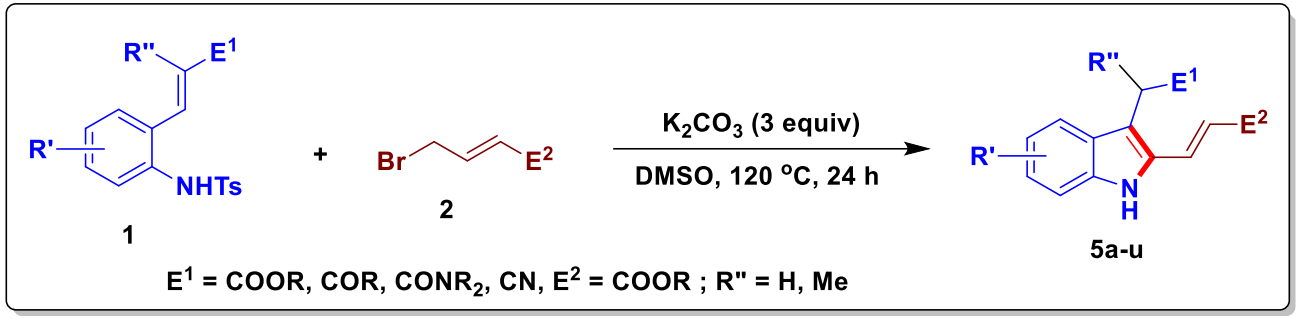
To a 15 mL clean and dry screw cap vial, K_2CO_3 (3 equiv) was added to a solution of *N*-protected *o*-amidocinnamates **1aa**-**1ae** (1 equiv, 1 mmol) and ethyl 4-bromobut-2-enoate **2a** (1.5 equiv, 1.5 mmol, 0.210 mL) in DMSO (6 mL). The reaction vial was placed in a metal heating block, gradually heated to 120 °C and stirred at the same temperature for 24 h. Then the reaction mixture was cooled to rt, diluted with ice cold water and extracted with EtOAc (2 x 10 mL); the combined organic extract was washed with water (10 mL) and brine (10 mL). Then the organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel to afford **5a**. Yields of **5a** using various *N*-protected *o*-aminocinnamates **1aa-1ae** are provided in the Table S4.

Table S4: Scope of the Protecting groups

Entry[a]	**PG in 1**	**%Yield of 5a[b]**
1.	Ts (**1a**)	86
2. [C]	Acetyl (**1aa**)	NP
3.	Bs (**1ab**)	72
4.	Ns (**1ac**)	65
5.	Mts (**1ad**)	78
6.	Ms (**1ae**)	60

[a] Reaction conditions: **1** (0.5 mmol), **2a** (0.75 mmol), K_2CO_3 (1.50 mmol), DMSO (3 mL); [b] Yields are for isolated products; NP: No product of 5a; [c] Deprotection of acetyl group took place [Please see SI page *S*20 for more details]

6. General Experimental Procedure for the Synthesis of 3-Substituted 2-Alkenyl Indole Derivatives 5a-u



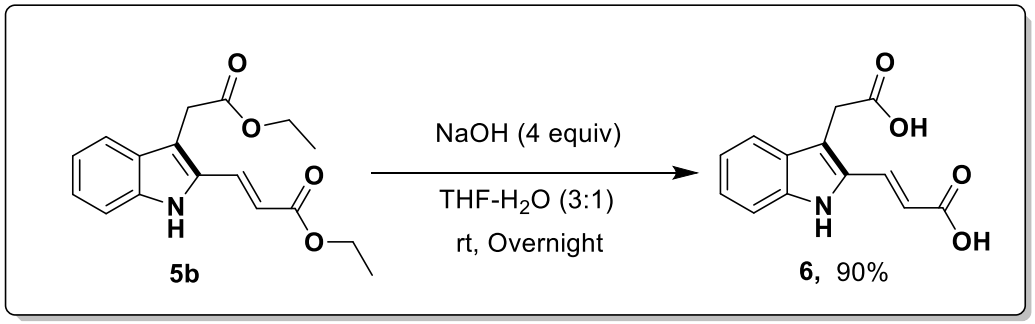
To a 15 mL clean and dry screw cap vial, K_2CO_3 (3 equiv, 1.5 mmol, 207 mg) was added to solution of *ortho*-tosylamido-cinnamate/-chalcones/-cinnamonitrile/-cinnamamide derivatives **1** (1 equiv, 0.5 mmol) and γ-bromocrotonate **2** (1.5 equiv, 0.75 mmol, 0.1 mL) in DMSO (3 mL). The reaction vial was placed in a metal heating block, gradually heated to 120 ^oC and stirred at the same temperature for 24 h. After completion of the reaction, reaction mixture was cooled to rt, diluted with ice cold water and extracted with EtOAc (2 x10 mL); the combined organic extract was washed with brine (10 mL). Then the organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel to afford 2-alkenyl substituted indole-3-acetic acid derivatives **5a-u.**

7. Gram Scale Procedure for the Synthesis of 5b

To a clean and oven dried round bottom flask equipped with a condenser, K_2CO_3 (3 equiv, 30 mmol, 4.14 g) was added to solution of ethyl (*E*)-3-(2-((4-methylphenyl)sulfonamido)phenyl)acrylate **1b** (1 equiv, 10 mmol, 3.45 g) and ethyl 4-bromobut-2-enoate **2a** (1.5 equiv, 15 mmol, 2.06 mL) in DMSO (50 mL). Then round bottom flask was placed in oil bath, gradually heated to 120 ^oC and stirred at the same temperature for 24 h. After completion of the reaction, reaction mixture was cooled to rt, diluted with ice cold water (100 mL) and extracted with EtOAc (2 x 100 mL) and the combined organic extract was washed with brine (100 mL). Then the organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel to affordethyl (*E*)-3-(3-(2-ethoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate **5b** (2.34 g) as a yellow solid in 78% yield.

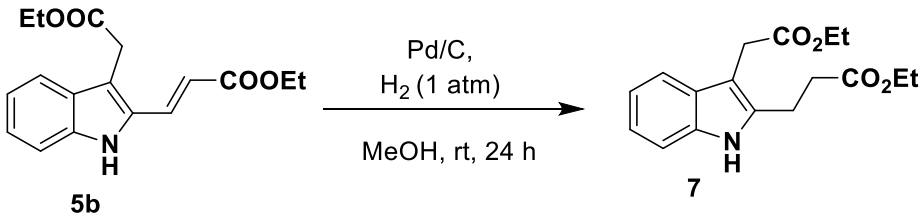
8. Experimental Procedures for the Synthesis of Compounds 6, 7 and 8

Experimental procedure for base-mediated ester hydrolysis of 5b

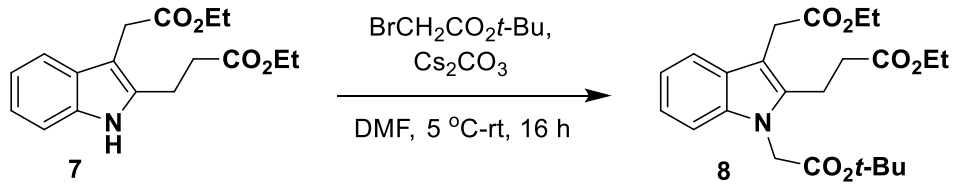


To a solution of ethyl (*E*)-3-(3-(2-ethoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate **5b** (1 equiv, 1 mmol, 301 mg) in THF/H_2O (6 mL/2 mL) was added NaOH (4 equiv, 4 mmol, 160 mg) and the reaction mixture was stirred at rt overnight. The reaction mixture was concentrated under reduced pressure. The crude was diluted with ethyl acetate (20 mL) and neutralised using 1N HCl. The contents were extracted with ethyl acetate (2 x 10 mL). The separated organic phase was dried over anhydrous sodium sulphate, filtered and concentrated to obtain a crude residue. The crude was purified by column chromatography on silica gel to afford the product (*E*)-3-(3-(carboxymethyl)-1*H*-indol-2-yl)acrylic acid **6** (225 mg) as a light yellow solid in 90% yield.

Experimental procedures for the synthesis of compounds 7 and 8:



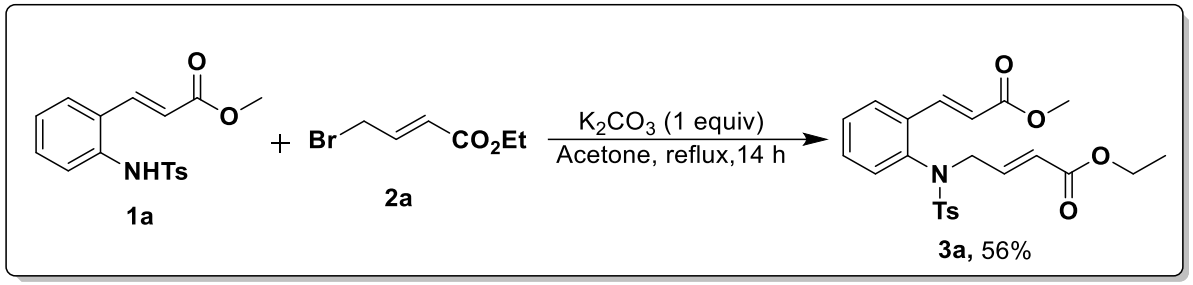
10% (wt/v) Pd/C (200 mg) was added to a stirred solution of **5b** (1 mmol, 1 equiv, 301 mg) in MeOH (5 mL) at rt, and the flask was purged with N_2. The reaction mixture was evacuated (1-3 sec) by applying vacuum and filled with H_2, then the reaction mixture was stirred under an atmosphere of H_2 (balloon) for 24 h. The mixture was then filtered through a plug of Celite® pad, washed with MeOH (2 x 5 mL) and the filtrate was concentrated under reduced pressure on a rotary evaporator. The crude product was purified by column chromatography (EtOAc/hexanes) to afford the product **7** in 86% yield as a yellow oil.



To a stirred solution of the diester **7** (1 equiv, 1 mmol, 303 mg) in DMF (3 mL) was added Cs_2CO_3 (1.2 equiv, 1.2 mmol, 390 mg) in one portion at 5 °C, followed by the addition of *tert*-butyl bromoacetate (1.2 equiv, 1.2 mmol, 0.18 mL) dropwise over 2 min. The mixture was warmed to rt, stirred for 16 h, and then partitioned between methyl *t*-butyl ether (MTBE) (10 mL) and water (10 mL). The layers were separated, and the aqueous layer was extracted with MTBE (2 x 5 mL). The combined organic layer was washed with water (5 mL) and aq. NaCl (10 mL), dried (over anhydrous MgSO4), filtered, and concentrated. The residue was purified by column chromatography on silica gel by using EtOAc/hexanes as eluents to afford the product **8** in 80% yield as orange oil.

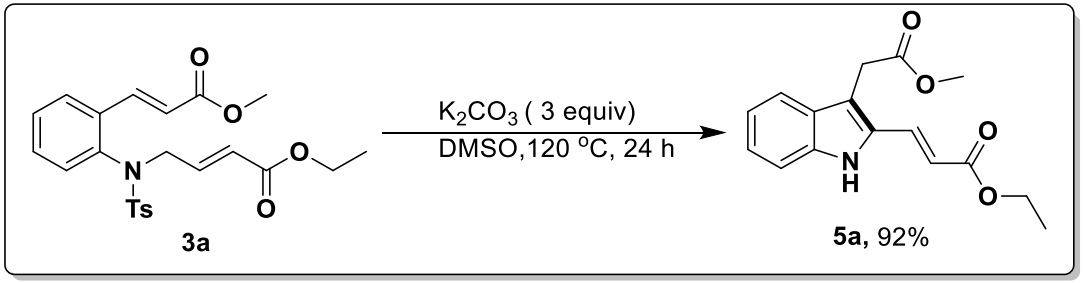
9. Control Experiments and Mechanistic Studies

Experimental Procedure for the synthesis *N*-allylated intermediates 3a & 3a': -



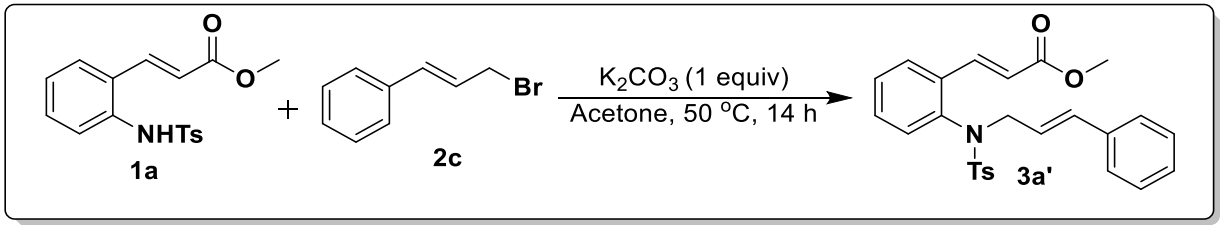
To a clean and oven dried round bottom flask, K_2CO_3 (1 equiv, 1 mmol, 138 mg) was added to a solution of methyl (*E*)-3-(2-((4-methylphenyl)sulfonamido)phenyl)acrylate **1a** (1 equiv, 1 mmol, 331 mg) and ethyl 4-bromobut-2-enoate **2a** (1 equiv, 1 mmol, 0.137 mL) in dry acetone (5 mL). The round bottom flask was placed in paraffin oil bath and refluxed at 50 ^oC under an argon atmosphere for 14 h. After completion of the reaction, the reaction mixture was concentrated under reduced pressure. The resulting residue was taken into EtOAc (20 mL) followed by washing with water (10 mL), 2 N NaOH solution (10 mL) and the organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The crude was purified by column chromatography on silica gel to afford the product ethyl (*E*)-4-((*N*-(2-((*E*)-3-methoxy-3-oxoprop-1-en-1-yl)phenyl)-4-methylphenyl)sulfonamido)but-2-enoate **3a** (287 mg) as a light yellow solid in 56% yield.

Tranformation of intermediate 3a to product 5a: -

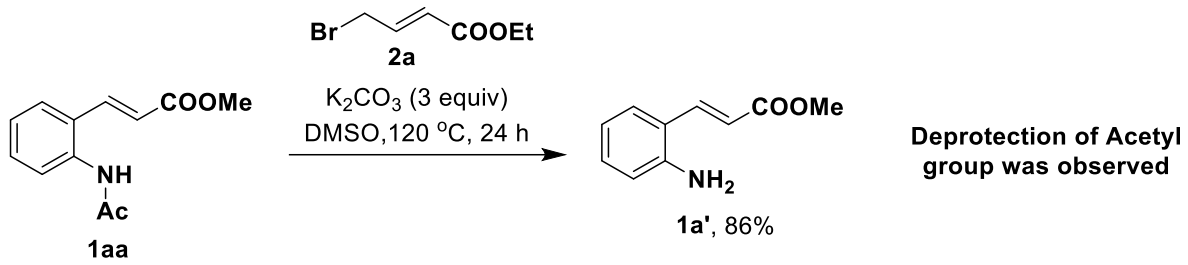


To a 15 mL clean and dry screw cap vial, K_2CO_3 (3 equiv, 3 mmol, 414 mg) was added to a solution of ethyl (*E*)-4-((*N*-(2-((*E*)-3-methoxy-3-oxoprop-1-en-1-yl)phenyl)-4-methylphenyl)sulfonamido)but-2-enoate **3a** (1 equiv, 1 mmol 443 mg,) in DMSO (6 mL). The reaction vial was placed in a metal heating block, gradually heated to 120 ^oC and stirred at the same temperature for 24 h. After completion of the reaction, the reaction mixture was cooled to rt, diluted with ice cold water and extracted with EtOAc (2 x 10 mL); the combined organic extract was washed with water (10 mL) and brine (10 mL). Then the organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel to afford the ethyl (*E*)-3-(3-(2-methoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate **5a** (264 mg) as a yellow solid in 92% yield.

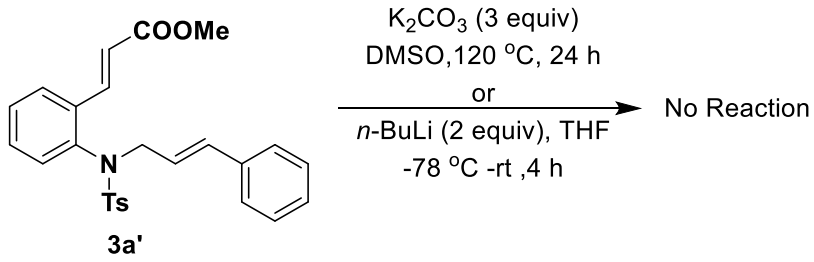
***N*-allylation with cinnamyl bromide: -**



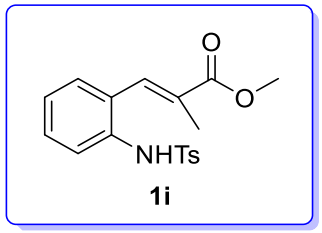
To a clean and oven dried round bottom flask, K_2CO_3 (1equiv, 1 mmol, 138 mg) was added followed by methyl (*E*)-3-(2-((4-methylphenyl)sulfonamido)phenyl)acrylate **1a** (1 equiv, 1 mmol, 331 mg), cinnamyl bromide **2c** (1 equiv, 1 mmol, 0.148 mL) and acetone (10 mL). The resulting mixture was stirred overnight at 50 °C for 14 h. After completion of the reaction, the reaction mixture was extracted with ethyl acetate (3 x 20 mL). The organic phase was washed with brine (10 mL), dried over anhydrous sodium sulphate, filtered and concentrated under reduced pressure. The resulting residue was purified by column chromatography on silica gel using EtOAc/hexane as eluents to afford the methyl (*E*)-3-(2-((*N*-cinnamyl-4-methylphenyl)sulfonamido)phenyl)acrylate **3a'** yellow oil in 25% yield.



To a 15 mL clean and dry screw cap vial, K_2CO_3 (3 equiv, 3 mmol, 414 mg) was added to a solution of **1aa** (1 equiv, 1 mmol) and ethyl 4-bromobut-2-enoate **2a** (1.5 equiv, 1.5 mmol, 0.2 mL) in DMSO (6 mL). The reaction vial was placed in a metal heating block, gradually heated to 120 ^oC and stirred at the same temperature for 24 h. After this time, the reaction mixture was cooled to rt, diluted with ice cold water and extracted with EtOAc (2 x 10 mL), the combined organic extract was washed with water (10 mL) and brine (10 mL). Then the organic extract was dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The crude was purified on silica gel column to obtain **1a'** in 86% yield.

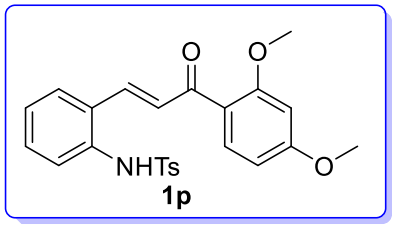


10. Spectral Data



1i

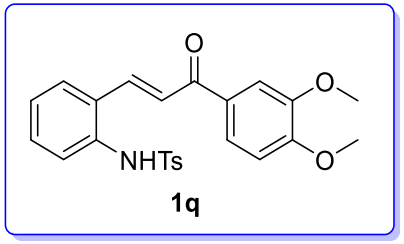
Methyl (*E*)-2-methyl-3-(2-((4-methylphenyl)sulfonamido)phenyl)acrylate (1i): Off-white solid, 131 mg (0.380 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 76% Yield, R_f = 0.5 (EtOAc/Hexane, 70:30); **MP** 100-102 ^oC; **IR** ($CHCl_3$) 758, 1159, 1436, 1700, 2923, 3255 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.74 (d, *J* = 1.7 Hz, -CH=CC*H*$_3$COOCH$_3$, 3H), 2.37 (s, Ar-C*H*$_3$, 3H), 3.81 (s, -CH=CCH$_3$COOC*H*$_3$,, 3H), 6.55 (brs, N-*H*, 1H), 7.05-7.08 (m, Ar-*H*, 1H), 7.13-7.22 (m, Ar-*H*, 4H), 7.28-7.32 (m, Ar-*H*, 1H), 7.55-7.58 (m, Ar-C*H*=C(CH$_3$)COOCH$_3$, 1H), 7.59-7.62 (m, Ar-*H*, 2H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 13.9 (s, Ar-CH=C(COOCH$_3$)-*C*H$_3$), 21.5 (s, Ar-*C*H$_3$), 52.2 (s, Ar-CH=C(COO*C*H$_3$)-CH$_3$), 123.7 (s, *C*H), 125.5 (s, *C*H), 127.2 (s, 2 *C*H), 128.9 (s, *C*q), 129.3 (s, *C*H), 129.5 (s, *C*H), 129.6 (s, 2 *C*H), 132.4 (s, *C*q), 133.8 (s, *C*H), 134.3 (s, *C*q), 136.4 (s, *C*q), 144.0 (s, *C*q), 167.9 (s, -*C*O$_{Ester}$ Cq); **MS** (ESI,*m/z*): $[M+H]^+$ 346; **HRMS** (ESI, *m/z*): calcd for $C_{18}H_{19}O_4NSNa$ $[M+Na]^+$ 368.0932, found 368.0942.



1p

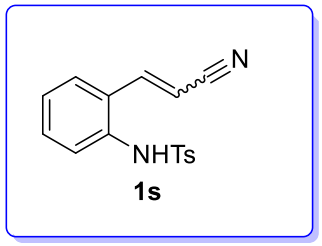
(*E*)-*N*-(2-(3-(2,4-Dimethoxyphenyl)-3-oxoprop-1-en-1-yl)phenyl)-4-methylbenzenesulfonamide (1p): Off-white solid, 167 mg (0.380 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12); 76% Yield, R_f = 0.5 (EtOAc/Hexane, 70:30); **MP** 164-166 ^oC; **IR** ($CHCl_3$) 756, 1157, 1600, 1647, 2923, 3167 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 2.24 (s, Ar-C*H*$_3$,

3H), 3.88 (s, Ar-OCH_3, 3H), 3.90 (s, Ar-OCH_3, 3H), 6.47-6.50 (m, Ar-*H*, 1H), 6.55-6.60 (m, Ar-*H*, 1H), 7.12-7.16 (m, Ar-*H*, 2H), 7.17-7.23 (m, Ar-*H*, 2H), 7.27-7.36 (m, Ar-*H*, 2H), 7.43-7.50 (m, Ar-*H*, Ar-CH=C*H*-COPh, 2H), 7.52-7.60 (m, Ar-*H*, N-*H*, 3H), 7.76 (d, Ar-C*H*=CH-COPh, *J* = 8.6 Hz, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 21.4 (s, Ar-*C*H_3), 55.6 (s, Ar-O*C*H_3), 55.8 (s, Ar-O*C*H_3), 98.6 (s, *C*H), 105.2 (s, *C*H), 121.6 (s, *C*H), 126.3 (s, *C*q), 126.5 (s, *C*q), 127.2 (s, 2 *C*H), 127.5 (s, *C*H), 129.6 (s, 2 *C*H), 129.9 (s, *C*H), 130.4 (s, *C*H), 130.5 (s, *C*H), 133.2 (s, *C*H), 135.1 (s, *C*H), 136.0 (s, *C*q), 136.1 (s, *C*q), 143.8 (s, *C*q), 160.5 (s, *C*q), 164.6 (s, *C*q), 189.6 (s, -*C*O_{Keto}-*C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 438; **HRMS** (ESI, *m/z*): calcd for $C_{24}H_{24}O_5NS$ $[M+H]^+$ 438.1369, found 438.1378.



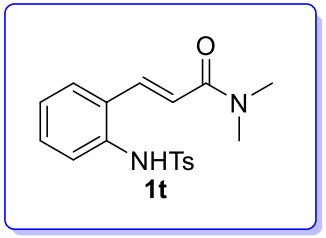
1q

(*E*)-*N*-(2-(3-(3,4-Dimethoxyphenyl)-3-oxoprop-1-en-1-yl)phenyl)-4-methylbenzenesulfonamide (1q): Off-white solid, 161 mg (0.370 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 74% Yield, R_f = 0.5 (EtOAc/Hexane, 70:30); **MP** 158-160 ºC; **IR** ($CHCl_3$) 754, 1157, 1600, 2922, 3170 cm^{-1}; **^{1}H-NMR** (300 MHz, DMSO-d_6) δ = 2.26 (s, Ar-CH_3, 3H), 3.86 (s, Ar-OCH_3, 3H), 3.91 (s, Ar-OCH_3, 3H), 6.62-6.74 (m, Ar-*H*, 2H), 6.94-7.03 (m, Ar-*H*, 1H), 7.22-7.40 (m, Ar-*H*, 5H), 7.45-7.55 (m, Ar-*H*, 2H), 7.57-7.67 (m, Ar-CH=C*H*-COPh, 1H), 7.72-7.85 (m, Ar-C*H*=CH-COPh, 2H), 10.05 (brs, N-*H* 1H); **^{13}C{H}NMR** (101 MHz, DMSO-d_6) δ = 21.3 (s, Ar-*C*H_3), 56.1 (s, Ar-O*C*H_3), 56.4 (s, Ar-O*C*H_3), 99.0 (s, *C*H), 106.4 (s, *C*H), 121.8 (s, *C*H), 127.1 (s, 2 *C*H), 127.4 (s, *C*q), 127.5 (s, *C*H), 128.0 (s, *C*q), 128.2 (s, *C*H), 130.0 (s, 2 *C*H), 130.8 (s, *C*H), 132.6 (s, *C*H), 132.7 (s, *C*q), 136.3 (s, *C*q), 137.3 (s, 2 *C*H), 143.4 (s, *C*q), 160.7 (s, *C*q), 164.4 (s, *C*q), 189.3 (s, -*C*O_{Keto}-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 438; **HRMS** (ESI, *m/z*): calcd for $C_{24}H_{24}O_5NS$ $[M+H]^+$ 438.1369, found 438.1377.



1s

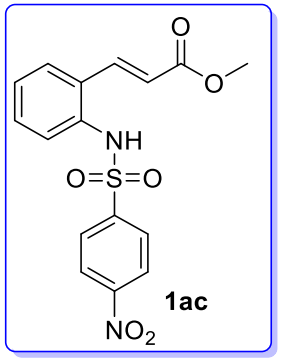
***N*-(2-(2-Cyanovinyl)phenyl)-4-methylbenzenesulfonamide (E/Z isomers mixture) (1s):** Off-white solid,106 mg (0.310 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 62% Yield, R_f = 0.5 (EtOAc/Hexane, 70:30); **MP** 142-144 ^oC; **IR** ($CHCl_3$) 748, 1157, 2219, 3243 cm^{-1}; **^{1}H-NMR** (300 MHz, $CDCl_3$) δ = 2.43 (s, Ar-C*H*$_3$, 3H), 5.66-5.71 (m, Ar-CH=C*H*CN, 1H), 6.75 (brs, N-*H*, 1H), 6.92-7.05 (m, Ar-*H*, 1H), 7.32-7.42 (m, Ar-*H*, 3H), 7.43-7.48 (m, Ar-*H*, 2H), 7.56-7.59 (m, Ar-*H*, 2H), 7.79-7.81 (m, Ar-C*H*=CHCN, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 21.6 (s, Ar-*C*H$_3$), 21.8 (s, Ar-*C*H$_3$), 98.1 (s, *C*H), 98.5 (s, *C*H), 117.1 (s, *C*q), 117.7 (s, *C*H), 126.3 (s, *C*q), 127.3 (s, 3 *C*H), 128.0 (s, *C*H), 128.5 (s, 3 *C*H), 128.8 (s, 3 *C*H), 129.9 (s, *C*H), 130.8 (s, *C*H), 131.1 (s, *C*H), 131.5 (s, *C*H), 131.7 (s, 2 *C*H), 133.2 (s, *C*H), 133.4 (s, *C*H), 134.1 (s, *C*H), 135.2 (s, *C*q), 135.5 (s, *C*q), 144.5 (s, *C*H), 145.1 (s, *C*H), 145.4 (s, *C*H), 145.6 (s, *C*q), 146.0 (s, *C*H); **MS** (ESI, *m/z*): $[M+Na]^+$ 321.



1t

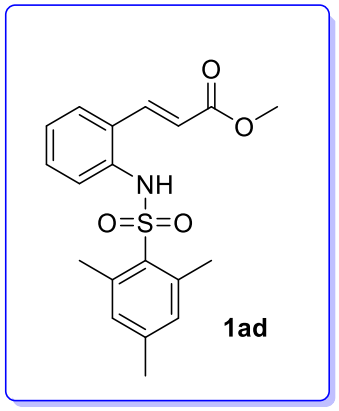
(*E*)-*N*,*N*-Dimethyl-3-(2-((4-methylphenyl)sulfonamido)phenyl)acrylamide (1t): Off-white solid, 90 mg (0.300 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 85:15), 60% Yield, R_f = 0.5 (EtOAc/Hexane, 70:30); **MP** 190-192 ^oC; **IR** ($CHCl_3$) 660, 1156, 1595, 1644, 3438 cm^{-1}; **^{1}H-NMR** (400 MHz, DMSO-d_6) δ = 2.35 (s, Ar-C*H*$_3$, 3H), 2.93 (s, Ar-CH=CH-COON(C*H*$_3$)$_2$, 3H), 3.10 (s, Ar-CH=CH-COON(C*H*$_3$)$_2$, 3H), 6.85-6.89 (m, Ar-*H*, 1H), 6.95 (d, *J* = 15.6 Hz, Ar-

CH=C*H*-COON$(CH_3)_2$, 1H), 7.20-7.27 (m, Ar-*H*, 2H), 7.31 (d, *J* = 7.9 Hz, Ar-*H*, 2H), 7.53 (d, *J* = 8.3 Hz, Ar-*H*, 2H), 7.70 (d, *J* = 15.6 Hz, Ar-C*H*=CH-COON$(CH_3)_2$, 1H), 7.77-7.83 (m, Ar-*H*, 1H), 9.92 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, DMSO-d_6) δ = 21.4 (s, Ar-*C*H_3), 35.8 (s, Ar-CH=CH-COO-N(*C*$H_3)_2$), 37.3 (s, Ar-CH=CH-COO-N(*C*$H_3)_2$), 120.1 (s, *C*H), 127.2 (s, 2 *C*H), 127.3 (s, *C*q), 127.6 (s, 2 *C*H), 130.0 (s, 2 *C*H), 130.1 (s, *C*q), 133.0 (s, *C*H), 135.4 (s, *C*H), 137.1 (s, *C*H), 137.6 (s, *C*q), 143.4 (s, *C*q), 165.8 (s, -*C*O_{Amide} *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 345; **HRMS** (ESI, *m/z*): calcd for $C_{18}H_{21}O_3N_2S$ $[M+H]^+$ 345.1267, found 345.1280.

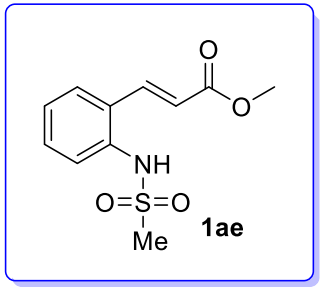


1ac

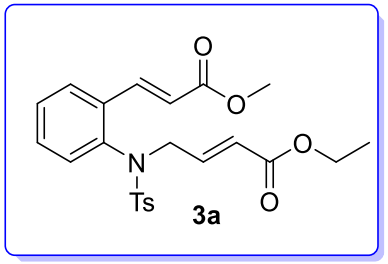
Methyl (*E*)-3-(2-((4-nitrophenyl)sulfonamido)phenyl)acrylate (**1ac**) **:** Off-white solid, 117 mg (0.325 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 65% Yield, R_f = 0.5 (EtOAc/Hexane, 70:30); **MP** 182-184 °C; **IR** ($CHCl_3$) 770, 1165, 1690, 1528, 2922, 3189 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 3.76 (s, Ar-CH=CHCOOC*H*$_3$, 3H), 6.10 (d, *J* = 15.8 Hz, Ar-CH=C*H*COOCH_3, 1H), 6.95 (brs, N-*H*, 1H), 7.30-7.52 (m, Ar-*H*, 5H), 7.82-7.89 (m, Ar-*H*, 2H), 8.20-8.26 (m, Ar-*H*, Ar-C*H*=CHCOOCH_3, 2H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 52.1 (s, Ar-CH=CHCOO*C*H_3), 120.7 (s, 2 *C*H), 124.3 (s, 1 *C*H, 1 *C*q), 127.3 (s, *C*H), 128.4 (s, *C*H), 128.5 (s, *C*H), 128.6 (s, 2 *C*H), 130.8 (s, *C*H), 131.4 (s, *C*H), 133.3 (s, *C*q), 138.3 (s, *C*q), 144.5 (s, *C*q), 166.6 (s, -*C*O_{Ester}-, *C*q); **MS** (ESI,*m/z*): $[M+H]^+$ 363.



Methyl (*E*)-3-(2-((2,4,6-trimethylphenyl)sulfonamido)phenyl)acrylate (**1ad**)**:** Off-white solid, 140 mg (0.390 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 78% Yield, R_f = 0.5 (EtOAc/Hexane, 70:30); **MP** 160-162 ^{o}C; **IR** ($CHCl_3$) 655, 761, 1156, 1436, 1696, 3261 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 2.24 (s, Ar-C*H*$_3$, 3H), 2.45 (s, Ar-*ortho* (C*H*$_3$)$_2$, 6H), 3.78 (s, Ar-CH=CH-COOC*H*$_3$, 3H), 6.14 (d, *J* = 15.8 Hz, Ar-CH=C*H*-COOCH$_3$, 1H), 6.70 (brs, N-*H*, 1H), 6.82-6.86 (m, Ar-*H*, 2H), 7.19-7.26 (m, Ar-*H*, 2H), 7.28-7.33 (m, Ar-*H*, 1H), 7.43-7.49 (m, Ar-*H*, 1H), 7.59-7.67 (m, Ar-C*H*=CH-COOCH$_3$, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 20.9 (s, Ar-*C*H$_3$), 23.1 (s, 2 Ar-*ortho*-*C*H$_3$), 51.7 (s, Ar-CH=CH-COO*C*H$_3$), 120.0 (s, *C*H), 127.1 (s, *C*H), 127.4 (s, *C*H), 127.9 (s, *C*H), 130.7 (s, *C*q), 131.4 (s, *C*H), 132.0 (s, *C*H), 133.0 (s, *C*H), 134.5 (s, *C*H), 139.2 (s, *C*q), 139.5 (s, *C*q), 142.7 (s, 3 *C*q), 166.7 (s, -*C*O$_{Ester}$, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 360; **HRMS** (ESI, *m/z*): calcd for $C_{19}H_{21}O_4NSNa$ $[M+Na]^+$ 382.1089, found 382.1095.



Methyl (*E*)-3-(2-(methylsulfonamido)phenyl)acrylate (**1ae**)**:** Off-white solid, 77 mg (0.300 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 60% Yield, R_f = 0.5 (EtOAc/Hexane, 70:30); **MP** 174-176 ^oC; **IR** ($CHCl_3$) 775, 1324, 1692, 2921, 3215 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 3.04 (s, Ar-NH-SO_2CH_3, 3H), 3.83 (s, Ar-CH=CH-$COOCH_3$, 3H), 6.45 (d, *J* = 15.8 Hz, Ar-CH=C*H*-$COOCH_3$, 1H), 6.60 (brs, N-*H*, 1H), 7.29-7.32 (m, Ar-*H*, 1H), 7.41-7.46 (m, Ar-*H*, 1H), 7.53-7.57 (m, Ar-*H*, 1H), 7.60-7.63 (m, Ar-*H*, 1H), 7.95 (d, *J* = 15.8 Hz, Ar-C*H*=CH-$COOCH_3$, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 40.2 (s, Ar-NH-SO_2CH_3), 52.0 (s, Ar-CH=CH-$COOCH_3$), 121.3 (s, *C*H), 125.4 (s, *C*H), 127.1 (s, *C*H), 127.6 (s, *C*H), 129.2 (s, *C*q), 131.3 (s, *C*H), 134.7 (s, *C*q), 138.7 (s, *C*H), 166.8 (s, -CO_{Ester}- *C*q); **MS** (ESI, *m/z*): $[M+Na]^+$ 278; **HRMS** (ESI, *m/z*): calcd for $C_{11}H_{13}O_4NSNa$ $[M+Na]^+$ 278.0457, found 278.0461.

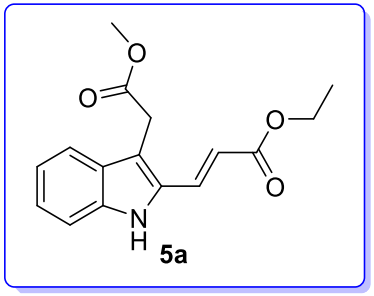


3a

Ethyl(*E*)-4-((*N*-(2-((*E*)-3-methoxy-3-oxoprop-1-en-1-yl)phenyl)-4-methylphenyl)sulfonamido)but-2-enoate (**3a**)**:** (1 mmol Scale) Yellow solid, 122 mg (0.560 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 56% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 118-120 ^oC; **IR** ($CHCl_3$) 569, 755, 1033, 1091, 1158, 1268, 1435, 1635, 1713, 2982 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.24 (t, *J* = 7.2 Hz, -CH_2CH=$CHCOOCH_2CH_3$, 3H), 2.43 (s, Ar-C*H*$_3$, 3H), 3.80 (s, Ar-CH=CH-$COOCH_3$, 3H), 4.13 (q, *J* = 7.1 Hz, -CH_2CH=$CHCOOCH_2CH_3$, 2H), 4.29 (dd, *J* = 6.4, 1.3 Hz, -$CH_2COOCH_2CH_3$, 2H), 5.75-5.85 (m, Ar-*H*, 1H), 6.30 (d, *J* = 16.0 Hz, Ar-CH=C*H*-$COOCH_3$, 1H), 6.73-6.83 (m, Ar-*H*, 1H), 6.91-6.99 (m, Ar-*H*, 1H), 7.27-7.36 (m, Ar-*H*, 4H), 7.54-7.58 (m, Ar-*H*, 2H), 7.61-7.64 (m, Ar-*H*, 1H), 7.71 (d, *J* = 16.0 Hz, Ar-C*H*=CH-$COOCH_3$, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 14.1 (s, -$CH_2COOCH_2CH_3$), 21.6 (s, Ar-CH_3), 51.7 (s, Ar-CH=CH-$COOCH_3$), 52.9 (s, -$CH_2COOCH_2CH_3$), 60.5 (s, -$CH_2COOCH_2CH_3$), 120.2 (s, *C*H), 124.8 (s, *C*H), 127.4 (s, *C*H), 127.9 (s, 2 *C*H), 129.1 (s, *C*H),

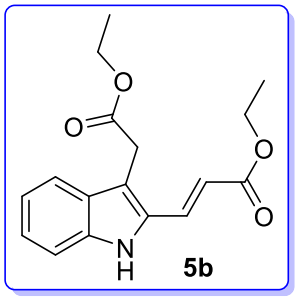
129.7 (s, 2 *C*H), 130.2 (s, *C*H), 130.6 (s, *C*H), 135.1 (s, *C*q), 135.3 (s, *C*q), 138.0 (s, *C*H), 139.7 (s, *C*H), 141.1 (s, *C*q), 144.1 (s, *C*q), 165.4 (s, -*C*O$_{Ester}$, *C*q), 166.6 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 444; **HRMS** (ESI, *m/z*): calcd for $C_{23}H_{25}O_6NSNa$ $[M+Na]^+$ 466.1300, found 466.1313.

Spectral data of 5a-u:



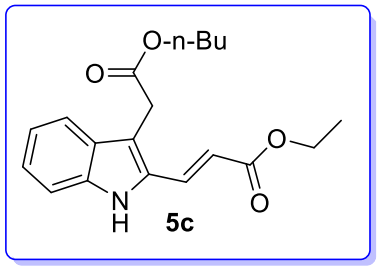
5a

Ethyl (*E*)-3-(3-(2-methoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5a**)**:** Yellow solid, 124 mg (0.430 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 86% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 106-108 ^oC; **IR** ($CHCl_3$) 744, 1162, 1263, 1436, 1628, 1703, 2922, 3353 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.35 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 3.71 (s, -CH$_2$COOC*H*$_3$, 3H), 3.88 (s, -C*H*$_2$COOCH$_3$, 2H), 4.28 (q, *J* = 7.0 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 6.15 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 7.05-7.15 (m, Ar-*H*, 1H), 7.19-7.32 (m, Ar-*H*, 2H), 7.60 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 7.72 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 8.56 (brs, N-*H*, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 14.4 (s, -CH=CH-COOCH$_2$*C*H$_3$), 30.1 (s, -*C*H$_2$-COOCH$_3$), 52.4 (s, -CH$_2$-COO*C*H$_3$), 60.6 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.2 (s, *C*H), 114.0 (s, *C*q), 115.5 (s, *C*H), 119.8 (s, *C*H), 120.5 (s, *C*H), 125.1 (s, *C*H), 128.3 (s, *C*q), 131.0 (s, *C*q), 131.5 (s, *C*H), 137.2 (s, *C*q), 166.9 (s, -*C*O$_{Ester}$-, *C*q), 171.6 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI,*m/z*): $[M+Na]^+$ 310; **HRMS** (ESI, *m/z*): calcd for $C_{16}H_{18}O_4N$ $[M+H]^+$ 288.1230, found 288.1230.



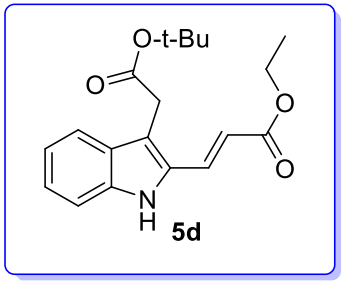
5b

Ethyl (*E*)-3-(3-(2-ethoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5b**)**:** Yellow solid, 120 mg (0.40 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 80% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 110-112 ^oC; **IR** ($CHCl_3$) 741, 1031, 1174, 1455, 1688, 2922, 3350 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.26 (t, *J* = 7.1 Hz, -$CH_2COOCH_2CH_3$, 3H), 1.34 (t, *J* = 7.1 Hz, -CH=CH-$COOCH_2CH_3$, 3H), 3.86 (s, -$CH_2COOCH_2CH_3$, 2H), 4.17 (q, *J* = 7.2 Hz, -CH=CH-$COOCH_2CH_3$, 2H), 4.27 (q, *J* = 7.2 Hz, -$CH_2COOCH_2CH_3$, 2H), 6.12 (d, *J* = 15.9 Hz, -CH=C*H*-$COOCH_2CH_3$, 1H), 7.00-7.12 (m, Ar-*H*, 1H), 7.15-7.25 (m, Ar-*H*, 2H), 7.58 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 7.69 (d, *J* = 15.9 Hz, -C*H*=CH-$COOCH_2CH_3$, 1H), 8.70 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.2 (s, -CH=CH-$COOCH_2CH_3$), 14.4 (s, -$CH_2COOCH_2CH_3$), 30.4 (s, -$CH_2COOCH_2CH_3$), 60.6 (s, -CH=CH-$COOCH_2CH_3$), 61.2 (s, -$CH_2COOCH_2CH_3$), 111.2 (s, *C*H), 114.0 (s, *C*H), 115.2 (s, *C*q), 119.8 (s, *C*H), 120.4 (s, *C*H), 125.0 (s, *C*H), 128.3 (s, *C*q), 130.9 (s, *C*q), 131.5 (s, *C*H), 137.3 (s, *C*q), 167.0 (s, -CO_{Ester}-, *C*q), 171.3 (s, -CO_{Ester}-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 302; **HRMS** (ESI, *m/z*): calcd for $C_{17}H_{20}O_4N$ $[M+H]^+$ 302.1386, found 302.1392.



5c

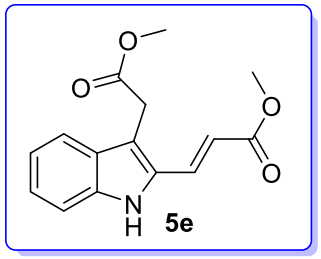
Ethyl (*E*)-3-(3-(2-butoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5c**)**:** Yellow solid, 114 mg (0.345 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 69% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 100-102 ^oC; **IR** ($CHCl_3$) 739, 1033, 1161, 1456, 1687, 1707, 2921, 3350 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 0.89 (t, *J* = 7.1 Hz, -$CH_2COOCH_2CH_2CH_2CH_3$, 3H), 1.29-1.39 (m, -$CH_2COOCH_2CH_2CH_2CH_3$, -CH=CH-$COOCH_2CH_3$, 5H), 1.56-1.64 (m, -$CH_2COOCH_2CH_2CH_2CH_3$, 2H), 3.86 (s, -$CH_2COOCH_2CH_2CH_2CH_3$, 2H), 4.12 (t, *J* = 7.1 Hz, -$CH_2COOCH_2CH_2CH_2CH_3$, 2H), 4.27 (q, *J* = 7.2 Hz, -CH=CH-$COOCH_2CH_3$, 2H), 6.14 (d, *J* = 15.9 Hz, -CH=C*H*-$COOCH_2CH_3$, 1H), 7.05-7.12 (m, Ar-*H*, 1H), 7.19-7.27 (m, Ar-*H*, 2H), 7.60 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 7.71 (d, *J* = 15.9 Hz, -C*H*=CH-$COOCH_2CH_3$, 1H), 8.68 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 13.6 (s, -$CH_2COOCH_2CH_2CH_2CH_3$), 14.4 (s, -CH=CH-$COOCH_2CH_3$), 19.1 (s, -$CH_2COOCH_2CH_2CH_2CH_3$), 30.4 (s, -$CH_2COOCH_2CH_2CH_2CH_3$), 30.6 (s, -$CH_2COOCH_2CH_2CH_2CH_3$), 60.6 (s, -CH=CH-$COOCH_2CH_3$), 65.1 (s, -$CH_2COOCH_2CH_2CH_2CH_3$), 111.2 (s, *C*H), 114.1 (s, *C*q), 115.3 (s, *C*H), 119.8 (s, *C*H), 120.3 (s, *C*H), 125.0 (s, *C*H), 128.2 (s, Cq), 131.0 (s, *C*q), 131.6 (s, *C*H), 137.2 (s, *C*q), 167.0 (s, -CO_{Ester}-, *C*q), 171.3 (s, -CO_{Ester}-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 330; **HRMS** (ESI, *m/z*): calcd for $C_{19}H_{24}O_4N$ $[M+H]^+$ 330.1699, found 330.1706.



5d

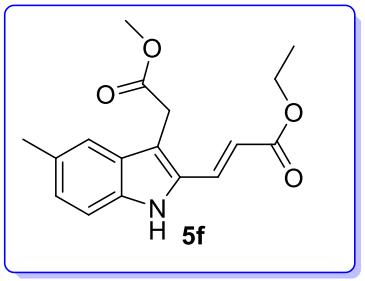
Ethyl (*E*)-3-(3-(2-(tert-butoxy)-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5d**): Yellow solid, 110 mg (0.335 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 67% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 110-112 ^oC; **IR** ($CHCl_3$) 743, 1037, 1146, 1254, 1454, 1628, 1688, 2922, 3345 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.1 Hz, -CH=CH-$COOCH_2CH_3$, 3H), 1.45 (s, -$CH_2COOC(CH_3)_3$, 9H), 3.78 (s, -$CH_2COOC(CH_3)_3$, 2H), 4.28 (q, *J* = 7.2 Hz, -CH=CH-$COOCH_2CH_3$, 2H), 6.12 (d, *J* = 15.9 Hz, -CH=C*H*-$COOCH_2CH_3$, 1H), 7.06-7.11 (m, Ar-*H*, 1H), 7.20-7.29 (m, Ar-*H*, 2H), 7.60 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 7.70 (d, *J* = 15.9 Hz, -C*H*=CH-$COOCH_2CH_3$, 1H), 8.51 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz,

$CDCl_3$) δ = 14.4 (s, -CH=CH-COOCH$_2$*C*H$_3$), 28.0 (s, -CH$_2$COOC(*C*H$_3$)$_3$ 3 C), 31.7 (s, -*C*H$_2$-COOC(CH$_3$)$_3$), 60.4 (s, -CH=CH-COO*C*H$_2$CH$_3$), 81.4 (s, -CH$_2$-COO*C*(CH$_3$)$_3$, Cq), 111.1 (s, *C*H), 114.8 (s, *C*q), 115.0 (s, *C*H), 119.9 (s, *C*H), 120.3 (s, *C*H), 125.0 (s, *C*H), 128.3 (s, *C*q), 130.9 (s, *C*q), 131.7 (s, *C*H), 137.3 (s, *C*q), 167.0 (s, -*C*O$_{Ester}$-, *C*q), 170.5 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+Na]^+$ 352; **HRMS** (ESI, *m/z*): calcd for $C_{19}H_{23}O_4NNa$ $[M+Na]^+$ 352.1519, found 352.1530.



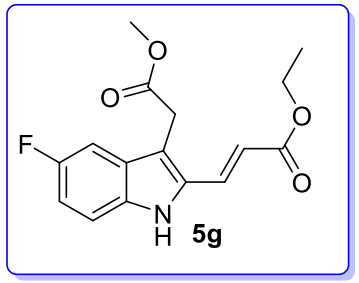
5e

Methyl (*E*)-3-(3-(2-methoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5e**): Yellow solid, 96 mg (0.350 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 70% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 136-138 °C; **IR** ($CHCl_3$) 743, 1025, 1170, 1434, 1692, 2923, 3352 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 3.71 (s, -CH$_2$COOC*H*$_3$, 3H), 3.80 (s, -CH=CH-COOC*H*$_3$, 3H), 3.88 (s, -C*H*$_2$COOCH$_3$, 2H), 6.14 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_3$, 1H), 7.09-7.14 (m, Ar-*H*, 1H), 7.22-7.30 (m, Ar-*H*, 2H), 7.60 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 7.74 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_3$, 1H), 8.50 (brs, N-*H*, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 30.1 (s, -*C*H$_2$COOCH$_3$), 51.8 (s, -CH$_2$COO*C*H$_3$), 52.3 (s, -CH=CH-COO*C*H$_3$), 111.2 (s, *C*H), 114.2 (s, *C*q), 115.0 (s, *C*H), 119.9 (s, *C*H), 120.6 (s, *C*H), 125.3 (s, *C*H), 128.3 (s, *C*q), 130.9 (s, *C*q), 131.7 (s, *C*H), 137.2 (s, *C*q), 167.3 (s, -*C*O$_{Etser}$-, *C*q), 171.5 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 274; **HRMS** (ESI, *m/z*): calcd for $C_{15}H_{15}O_4NNa$ $[M+Na]^+$ 296.0899, found 296.0893. Spectral data were in good agreement with the reported data.[10]



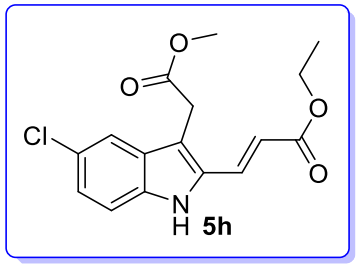
5f

Ethyl (*E*)-3-(3-(2-methoxy-2-oxoethyl)-5-methyl-1*H*-indol-2-yl)acrylate (**5f**): Yellow solid, 126 mg (0.420 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 84% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 120-122 ^oC; **IR** ($CHCl_3$) 798, 1035, 1169, 1263, 1617, 1689, 2922, 3354 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.2 Hz, -CH=CH-$COOCH_2CH_3$, 3H), 2.43 (s, Ar-CH_3, 3H), 3.70 (s, -CH_2COOCH_3, 3H), 3.85 (s, -CH_2COOCH_3, 2H), 4.28 (q, *J* = 7.2 Hz, -CH=CH-$COOCH_2CH_3$, 2H), 6.14 (d, *J* = 15.9 Hz, -CH=C*H*-$COOCH_2CH_3$, 1H), 7.05-7.10 (m, Ar-*H*, 1H), 7.14-7.20 (m, Ar-*H*, 1H), 7.37 (s, Ar-*H*, 1H), 7.74 (d, *J* = 15.9 Hz, -C*H*=CH-$COOCH_2CH_3$, 1H), 8.35 (brs, N-*H*, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 14.4 (s, -CH=CH-$COOCH_2CH_3$), 21.4 (s, Ar-CH_3), 29.9 (s, -CH_2-$COOCH_3$), 52.3 (s, -CH_2COOCH_3), 60.6 (s, -CH=CH-$COOCH_2CH_3$), 110.9 (s, *C*H), 113.6 (s, *C*q), 115.1 (s, *C*H), 119.2 (s, *C*H), 126.9 (s, *C*H), 128.5 (s, *C*q), 129.8 (s, *C*q), 131.0 (s, *C*q), 131.6 (s, *C*H), 135.6 (s, *C*q), 167.0 (s, -*C*O_{Ester}-, *C*q), 171.6 (s, -*C*O_{Ester}-, *C*q); **MS** (ESI,*m/z*): $[M+H]^+$ 302; **HRMS** (ESI, *m/z*): calcd for $C_{17}H_{20}O_4N$ $[M+H]^+$ 302.1386, found 302.1393.



5g

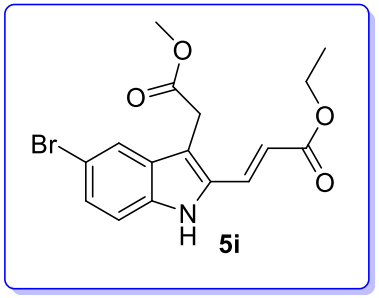
Ethyl (*E*)-3-(5-fluoro-3-(2-methoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5g**): Yellow solid, 49 mg (0.160 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 32% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 140-142 °C; **IR** ($CHCl_3$) 664, 814, 1090, 1155, 1324, 1489, 1698, 2922, 3237 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 3.75 (s, -CH$_2$COOC*H*$_3$, 3H), 3.83 (s, -C*H*$_2$COOCH$_3$, 2H), 4.27 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 6.07 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 6.93-6.99 (m, Ar-*H*, 1H), 7.13-7.22 (m, Ar-*H*, 2H), 7.60 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 8.65 (brs, N-*H*, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 29.9 (s, -*C*H$_2$-COOCH$_3$), 52.4 (s, -CH$_2$-COO*C*H$_3$), 60.7 (s, -CH=CH-COO*C*H$_2$CH$_3$), 104.4 (d, $J_{C\text{-}F}$ = 22.6 Hz, *C*q), 112.1 (d, $J_{C\text{-}F}$ = 8.8 Hz, *C*q), 113.4 (d, $J_{C\text{-}F}$ = 5.04 Hz, *C*q), 116.0 (s, 2 *C*H), 128.5 (d, $J_{C\text{-}F}$ = 10 Hz, *C*q), 130.9 (s, *C*H), 132.2 (s, *C*H), 133.2 (s, *C*H) 158.0 (d, $J_{C\text{-}F}$ = 236 Hz, *C*q), 166.7 (s, -*C*O$_{Ester}$-, *C*q), 171.8 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 306; **HRMS** (ESI, *m/z*): calcd for $C_{16}H_{17}O_4NF$ $[M+H]^+$ 306.1136, found 306.1144.



5h

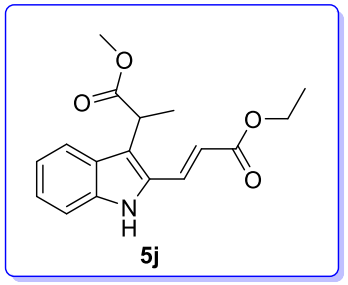
Ethyl (*E*)-3-(5-chloro-3-(2-methoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5h**)**:** Yellow solid, 109 mg (0.340 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 68% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 158-160 °C; **IR** ($CHCl_3$) 804, 1176, 1437, 1612, 1688, 1710, 2922, 3337 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 3.73 (s, -CH$_2$COOC*H*$_3$, 3H), 3.86 (s, -C*H*$_2$COOCH$_3$, 2H), 4.27 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 6.11 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 7.04-7.10 (m, Ar-*H*, 1H), 7.21-7.23 (m, Ar-*H*, 1H), 7.48 (d, *J* = 7.9 Hz, Ar-*H*, 1H), 7.65 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 8.52 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 29.9 (s, -*C*H$_2$-COOCH$_3$), 52.4 (s, -CH$_2$-COO*C*H$_3$), 60.7 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.0 (s, *C*H),

113.7 (s, *C*q), 116.1 (s, *C*H), 120.7 (s, *C*H), 121.4 (s, *C*H), 126.8 (s, *C*q), 130.9 (s, 1 *C*H, 1 *C*q), 131.6 (s, *C*q), 137.4 (s, *C*q), 166.7 (s, -*C*O_{Ester}-, *C*q), 171.5 (s, -*C*O_{Ester}-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 322; **HRMS** (ESI, *m/z*): calcd for $C_{16}H_{16}O_4NClNa$ $[M+Na]^+$ 344.0660, found 344.0665.



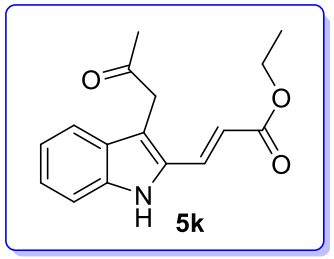
5i

Ethyl (*E*)-3-(5-bromo-3-(2-methoxy-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5i**): Yellow solid, 128 mg (0.350 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 70% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 150-152 ^oC; **IR** ($CHCl_3$) 797, 1034, 1179, 1451, 1712, 2922, 3337 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 3.77 (s, -CH$_2$COOC*H*$_3$, 3H), 3.81 (s, -C*H*$_2$COOCH$_3$, 2H), 4.27 (q, *J*= 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 6.05 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 7.02-7.07 (m, Ar-*H*, 1H), 7.22-7.27 (m, Ar-*H*, 1H), 7.52-7.58 (m, Ar-*H*, 1H), 7.65 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 8.90 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 29.7 (s, -*C*H$_2$-COOCH$_3$), 52.5 (s, -CH$_2$-COO*C*H$_3$), 60.8 (s, -CH=CH-COO*C*H$_2$CH$_3$), 112.5 (s, *C*q), 112.8 (s, *C*H), 113.6 (s, *C*q), 116.3 (s, *C*H), 121.9 (s, *C*H), 127.7 (s, *C*H), 129.7 (s, *C*q), 130.7 (s, *C*H), 132.2 (s, *C*q), 135.8 (s, *C*q), 166.7 (s, -*C*O_{Ester}-, *C*q), 172.0 (s, -*C*O_{Ester}-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 366; **HRMS** (ESI, *m/z*): calcd for $C_{16}H_{17}O_4NBr$ $[M+H]^+$ 366.0335, found 366.0350.



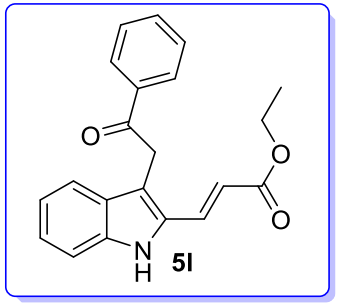
5j

Ethyl (*E*)-3-(3-(1-methoxy-1-oxopropan-2-yl)-1*H*-indol-2-yl)acrylate (**5j**): Yellow solid, 121 mg (0.400 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 80% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 80-82 ^oC; **IR** ($CHCl_3$) 746, 1036, 1171, 1450, 1611, 1685, 2933, 3353 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.30-1.40 (m, -CH=CHCOOCH$_2$C*H$_3$*, 3H), 1.59-1.66 (d, *J* = 6.0 Hz, -CHC*H$_3$*COOCH$_3$, 3H), 3.66 (s, -CHCH$_3$COOC*H$_3$*, 3H), 4.10-4.20 (m, -C*H*CH$_3$COOCH$_3$, 1H), 4.26-4.36 (m, -CH=CHCOOC*H$_2$*CH$_3$, 2H), 6.24 (d, *J* = 15.9 Hz, -CH=C*H*COOCH$_2$CH$_3$, 1H), 7.02-7.13 (m, Ar-*H*, 1H), 7.18-7.38 (m, Ar-*H*, 2H), 7.63-7.73 (m, Ar-*H*, 1H), 7.77-7.89 (d, *J* = 15.9 Hz, -C*H*=CHCOOCH$_2$CH$_3$, 1H), 8.64 (brs, N-*H*, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 14.4 (s, -CH=CHCOOCH$_2$*C*H$_3$), 18.0 (s, -CH*C*H$_3$COOCH$_3$), 36.5 (s, -C*H*CH$_3$COOCH$_3$), 52.2 (s, -CHCH$_3$COO*C*H$_3$), 60.78 (s, -CH=CHCOO*C*H$_2$CH$_3$), 111.3 (s, *C*H), 115.5 (s, *C*H), 120.3 (s, *C*H), 120.7 (s, *C*q), 120.8 (s, *C*H), 125.0 (s, *C*H), 126.7 (s, *C*q), 129.8 (s, *C*q), 131.5 (s, *C*H), 137.5 (s, *C*q), 167.0 (s, -*C*O$_{Ester}$-, *C*q), 174.6 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$302; **HRMS** (ESI, *m/z*): calcd for $C_{17}H_{20}O_4N$ $[M+H]^+$ 302.1386, found 302.1393.



5k

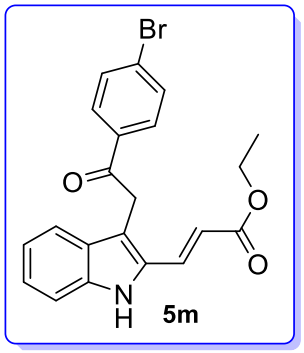
Ethyl (*E*)-3-(3-(2-oxopropyl)-1*H*-indol-2-yl)acrylate (**5k**)**:** Yellow solid, 101 mg (0.370 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 74% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 106-108 ^oC; **IR** ($CHCl_3$) 744, 1042, 1180, 1454, 1613, 1702, 2923, 3350 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 2.16 (s, -CH$_2$COC*H*$_3$, 3H), 3.93 (s, -C*H*$_2$COCH$_3$, 2H), 4.29 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 6.21 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 7.07-7.14 (m, Ar-*H*, 1H), 7.21-7.34 (m, Ar-*H*, 2H), 7.50 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 7.70 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 8.60 (brs, N-*H*, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 29.1 (s, -CH$_2$CO*C*H$_3$), 39.8 (s, -*C*H$_2$-COCH$_3$), 60.7 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.4 (s, *C*H), 114.3 (s, Cq), 115.6 (s, *C*H), 119.6 (s, *C*H), 120.5 (s, *C*H), 125.2 (s, *C*H), 128.2 (s, *C*q), 131.1 (s, *C*H), 131.3 (s, *C*q), 137.4 (s, *C*q), 167.1 (s, -*C*O$_{Ester}$-, *C*q), 206.2 (s, -*C*O$_{Keto}$-, *C*q); **MS** (ESI,*m/z*): $[M+H]^+$ 272; **HRMS** (ESI, *m/z*): calcd for $C_{16}H_{17}O_3NNa$ $[M+Na]^+$ 294.1106, found 294.1101.



5l

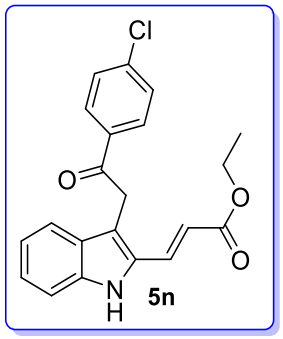
Ethyl (*E*)-3-(3-(2-oxo-2-phenylethyl)-1*H*-indol-2-yl)acrylate (**5l**)**:** Yellow solid, 134 mg (0.400 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 80% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 152-154 ^oC; **IR** ($CHCl_3$) 745, 1181, 1451, 1613, 1685, 2852, 2922, 3338 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.32 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 4.24 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 4.54 (s, -C*H*$_2$COPh, 2H), 6.07 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 6.99-7.06 (m, Ar-*H*, 1H), 7.16-7.28 (m, Ar-*H*, 2H), 7.44-7.53 (m, Ar-*H*, 3H), 7.57-7.62 (m, Ar-*H*, 1H), 7.65 (d, *J* = 7.9 Hz, Ar-*H*, 1H), 8.10 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 2H), 8.60 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 34.6 (s, -*C*H$_2$-CO-Ph), 60.5 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.3 (s, *C*H), 114.6 (s, Cq), 115.1 (s, *C*H), 119.8 (s, *C*H), 120.4 (s, *C*H), 125.0 (s, *C*H), 128.5 (s, 3 *C*H), 128.7 (s, 2 *C*H), 131.2 (s, *C*q), 131.4 (s, *C*q),

133.4 (s, *C*H), 136.6 (s, *C*q), 137.4 (s, *C*q), 166.9 (s, -*C*O$_{Ester}$-, *C*q), 196.8 (s, -*C*O$_{Keto}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 334; **HRMS** (ESI, *m/z*): calcd for $C_{21}H_{20}O_3N$ $[M+H]^+$ 334.1437, found 334.1446.

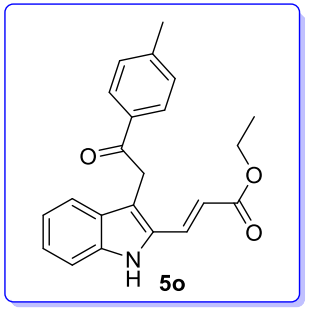


5m

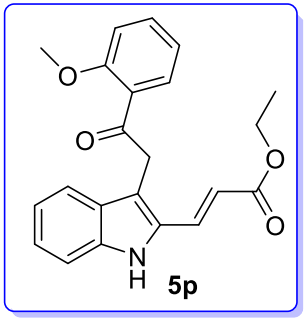
Ethyl (*E*)-3-(3-(2-(4-bromophenyl)-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5m**): Yellow solid, 124 mg (0.300 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 60% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 148-150 ^oC; **IR** ($CHCl_3$) 745, 1180, 1283, 1457, 1688, 2923, 3350 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.1 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 4.27 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 4.50 (s, -C*H*$_2$COAr, 2H), 6.15 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 7.05-7.12 (m, Ar-*H*, 1H), 7.22-7.25 (m, Ar-*H*, 1H), 7.29-7.32 (m, Ar-*H*, 1H), 7.44-7.50 (m, Ar-*H*, 2H), 7.59-7.65 (m, Ar-*H*, 1H), 7.69-7.76 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 7.90-7.96 (m, Ar-*H*, 2H), 8.33 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 34.7 (s, -*C*H$_2$-CO-Ar), 60.7 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.3 (s, *C*H), 114.3 (s, *C*q), 115.4 (s, *C*H), 119.8 (s, *C*H), 120.6 (s, *C*H), 125.2 (s, *C*H), 128.4 (s, *C*q), 128.5 (s, *C*q), 130.1 (s, 2 *C*H), 131.0 (s, *C*q), 131.4 (s, *C*H), 132.0 (s, 2 *C*H), 135.2 (s, *C*q), 137.3 (s, *C*q), 166.8 (s, -*C*O$_{Ester}$-, *C*q), 195.7 (s, -*C*O$_{Keto}$-, *C*q); **MS** (ESI, *m/z*): $[M+H+2]^+$ 412; **HRMS** (ESI, *m/z*): calcd for $C_{21}H_{19}O_3NBr$ $[M+H]^+$ 412.0542, found 412.0549.



Ethyl (*E*)-3-(3-(2-(4-chlorophenyl)-2-oxoethyl)-1*H*-indol-2-yl)acrylate (5n): Yellow solid, 114 mg (0.310 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 62% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 126-128 ^oC; **IR** ($CHCl_3$) 744, 1179, 1457, 1627, 1683, 2852, 2922 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 4.27 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 4.51 (s, -C*H*$_2$COAr, 2H), 6.16 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 7.07-7.12 (m, Ar-*H*, 1H), 7.26-7.28 (m, Ar-*H*, 1H), 7.30-7.34 (m, Ar-*H*, 1H), 7.43-7.50 (m, Ar-*H*, 3H), 7.75 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 7.90-8.02 (m, Ar-*H*, 2H), 8.28 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 34.7 (s, -*C*H$_2$-CO-Ar), 60.6 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.4 (s, *C*H), 114.1 (s, *C*q), 115.3 (s, *C*H), 119.7 (s, *C*H), 120.4 (s, *C*H), 125.1 (s, *C*H), 128.3 (s, *C*q), 129.0 (s, 2 *C*H), 129.9 (s, 2 *C*H), 131.2 (s, *C*q), 131.4 (s, *C*H), 134.8 (s, *C*q), 137.4 (s, *C*q), 139.8 (s, *C*q), 167.0 (s, -*C*O$_{Ester}$-, *C*q), 195.8 (s, -*C*O$_{Keto}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 368; **HRMS** (ESI, *m/z*): calcd for $C_{21}H_{18}O_3NClNa$ $[M+Na]^+$ 390.0867, found 390.0878.



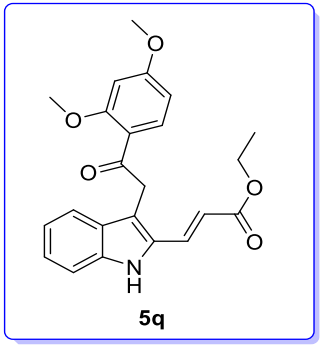
Ethyl (*E*)-3-(3-(2-oxo-2-(*p*-tolyl)ethyl)-1*H*-indol-2-yl)acrylate (5o): Yellow solid, 139 mg (0.400 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 80% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 150-152 ^oC; **IR** ($CHCl_3$) 743, 1037, 1175, 1454, 1608, 1678, 2852, 2921, 3339 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.32 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 2.42 (s, Ar-C*H*$_3$, 3H), 4.25 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 4.51 (s, -C*H*$_2$COAr, 2H), 6.04-6.11 (m, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 7.00-7.07 (m, Ar-*H*, 1H), 7.16-7.31 (m, Ar-*H*, 4H), 7.44-7.50 (m, Ar-*H*, 1H), 7.65-7.72 (m, Ar-*H*, 1H), 7.99 (m, Ar-*H*, 2H), 8.50 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 21.7 (s, Ar-*C*H$_3$), 34.4 (s, -*C*H$_2$-COAr), 60.5 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.4 (s, *C*H), 114.5 (s, *C*q), 114.9 (s, *C*H), 119.7 (s, *C*H), 120.2 (s, *C*H), 124.9 (s, *C*H), 128.4 (s, *C*q), 128.6 (s, 2 *C*H), 129.4 (s, 2 *C*H), 131.3 (s, *C*q), 131.4 (s, *C*H), 134.1 (s, *C*q), 137.5 (s, *C*q), 144.3 (s, *C*q), 167.1 (s, -*C*O$_{Ester}$-, *C*q), 196.8 (s, -*C*O$_{Keto}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 348; **HRMS** (ESI, *m/z*): calcd for $C_{22}H_{22}O_3N$ $[M+H]^+$ 348.1594, found 348.1598.



5p

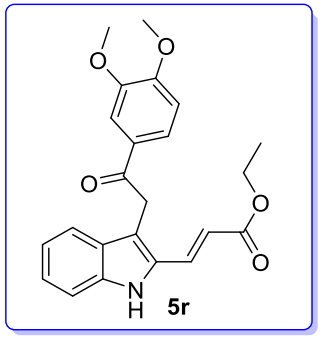
Ethyl €-3-(3-(2-(2-methoxyphenyl)-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5p**): Yellow solid, 142 mg (0.390 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 78% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 158-160 ^oC; **IR** ($CHCl_3$) 745, 1022, 1175, 1457, 1612, 1681, 2923, 3345 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 4.00 (s, Ar-OC*H*$_3$, 3H), 4.25 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 4.55 (s, -C*H*$_2$COAr, 2H), 6.04 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 6.92-7.10 (m, Ar-*H*, 3H), 7.16-7.25 (m, Ar-*H*, 2H), 7.40-7.55 (m, Ar-*H*, 2H), 7.59-7.64 (m, Ar-*H*, 1H), 7.70 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 8.43 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ =14.4 (s, -CH=CH-COOCH$_2$*C*H$_3$), 39.4 (s, -*C*H$_2$-CO-Ph), 55.6 (s, Ar-O*C*H$_3$), 60.4 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.3 (s, *C*H),

111.4 (s, *C*H), 114.5 (s, *C*H), 115.3 (s, *C*q), 119.9 (s, *C*H), 120.1 (s, *C*H), 120.8 (s, *C*H), 124.8 (s, *C*H), 128.2 (s, *C*q), 128.6 (s, *C*q), 130.5 (s, *C*H), 131.3 (s, *C*q), 131.8 (s, *C*H), 133.6 (s, *C*H), 137.5 (s, *C*q), 158.3 (s, *C*q), 167.2 (s, -*C*O_{Ester}-, *C*q), 200.4 (s, -*C*O_{Keto}-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 364; **HRMS** (ESI, *m/z*): calcd for $C_{22}H_{22}O_4N$ $[M+H]^+$ 364.1543, found 364.1549.



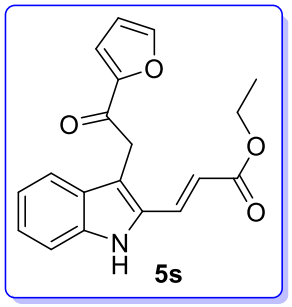
5q

Ethyl €-3-(3-(2-(2,4-dimethoxyphenyl)-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5q**)**:** Yellow solid, 162 mg (0.410 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 85:15), 82% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 154-156 ºC; **IR** ($CHCl_3$) 744, 1212, 1459, 1599, 1689, 2924, 3337 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.33 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 3.85 (s, Ar-O*C*H$_3$, 3H), 3.99 (s, Ar-O*C*H$_3$, 3H), 4.25 (q, *J*= 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 4.55 (s, -C*H*$_2$COPh, 2H), 6.03 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 6.46-6.56 (m, Ar-*H*, 2H), 6.95-7.05 (m, Ar-*H*, 1H), 7.14-7.23 (m, Ar-*H*, 2H), 7.49 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 7.68 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 7.78 (d, *J* = 8.5 Hz, Ar-*H*, 1H), 8.43 (brs, N-*H*, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 14.4 (s, -CH=CH-COOCH$_2$*C*H$_3$), 39.2 (s, -*C*H$_2$-CO-Ph), 55.6 (s, Ar-O*C*H$_3$), 55.7 (s, Ar-O*C*H$_3$), 60.4 (s, -CH=CH-COO*C*H$_2$CH$_3$), 98.3 (s, *C*H), 105.3 (s, *C*H), 111.2 (s, *C*H), 114.2 (s, *C*H), 116.0 (s, *C*q), 120.0 (s, 2 *C*H), 120.8 (s, *C*q), 124.7 (s, *C*H), 128.7 (s, *C*q), 131.2 (s, *C*q), 131.9 (s, *C*H), 133.1 (s, *C*H), 137.5 (s, *C*q), 160.6 (s, *C*q), 164.6 (s, *C*q), 167.2 (s, -*C*O_{Ester}-, *C*q), 197.7 (s, -*C*O_{Keto}, *C*q); **MS** (ESI,*m/z*): $[M+H]^+$ 394; **HRMS** (ESI, *m/z*): calcd for $C_{23}H_{24}O_5N$ $[M+H]^+$ 394.1649, found 394.1657.



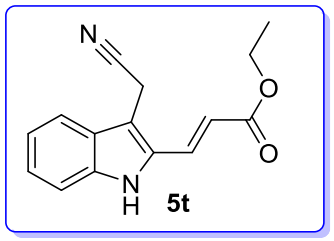
5r

Ethyl €-3-(3-(2-(3,4-dimethoxyphenyl)-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5r**)**:** Yellow solid, 150 mg (0.380 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 85:15). 76% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 150-152 ^oC; **IR** ($CHCl_3$) 746, 1021, 1149, 1415, 1613, 1681, 2922, 3353 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.32 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 3.90 (s, Ar-OC*H*$_3$, 3H), 3.95 (s, Ar-OC*H*$_3$, 3H), 4.25 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 4.50 (s, -C*H*$_2$COAr, 2H), 6.10 (d, *J*= 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 6.88-6.94 (m, Ar-*H*, 1H), 7.00-7.07 (m, Ar-*H*, 1H), 7.17-7.25 (m, Ar-*H*, 2H), 7.50 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 7.60 (d, *J* = 7.9 Hz, Ar-*H*, 1H), 7.70 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 7.75-7.79 (m, Ar-*H*, 1H), 8.60 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 34.3 (s, -*C*H$_2$-COAr), 56.0 (s, Ar-O*C*H$_3$), 56.1 (s, Ar-O*C*H$_3$), 60.5 (s, -CH=CH-COO*C*H$_2$CH$_3$), 110.1 (s, *C*H), 110.6 (s, *C*H), 111.3 (s, *C*H), 115.0 (s, *C*q), 115.1 (s, *C*H), 119.9 (s, *C*H), 120.3 (s, *C*H), 123.3 (s, *C*H), 125.0 (s, *C*H), 128.4 (s, *C*q), 129.7 (s, *C*q), 131.1 (s, *C*q), 131.5 (s, *C*H), 137.5 (s, *C*q), 149.1 (s, *C*q), 153.5 (s, *C*q), 167.0 (s, -*C*O$_{Ester}$-, *C*q), 195.6 (s, -*C*O$_{Keto}$, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 394; **HRMS** (ESI, *m/z*): calcd for $C_{23}H_{24}O_5N$ $[M+H]^+$ 394.1649, found 394.1656.



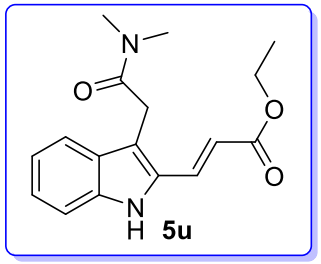
5s

Ethyl €-3-(3-(2-(furan-2-yl)-2-oxoethyl)-1*H*-indol-2-yl)acrylate (5s) : Yellow solid, 120 mg (0.370 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 74% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 106-108 ^oC; **IR** ($CHCl_3$) 743, 1034, 1178, 1463, 1613, 1677, 2924, 3340 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.34 (t, *J* = 7.2 Hz, -CH=CH-$COOCH_2CH_3$, 3H), 4.27 (q, *J* = 7.2 Hz, -CH=CH-$COOCH_2CH_3$, 2H), 4.40 (s, -CH_2CO-C_4H_3O, 2H), 6.14 (d, *J* = 15.9 Hz, -CH=C*H*-$COOCH_2CH_3$, 1H), 6.54 (dd, *J* = 3.6, 1.7 Hz, =CH-C*H*=C, 1H), 7.05-7.10 (m, Ar-*H*, 1H), 7.21-7.31 (m, Ar-*H*, 3H), 7.59-7.63 (m, Ar-*H*, 2H), 7.86 (d, *J* = 15.9 Hz, -C*H*=CH-$COOCH_2CH_3$, 1H), 8.37 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ =14.4 (s, -CH=CH-$COOCH_2CH_3$), 34.4 (s, -CH_2-CO-C_4H_3O), 60.6 (s, -CH=CH-$COOCH_2CH_3$), 111.3 (s, *C*H), 112.6 (s, *C*H), 113.9 (s, *C*q), 115.2 (s, *C*H), 117.8 (s, *C*H), 120.0 (s, *C*H), 120.4 (s, *C*H), 125.1 (s, *C*H), 128.4 (s, *C*q), 131.3 (s, *C*q), 131.7 (s, *C*H), 137.3 (s, *C*q), 146.6 (s, *C*H), 152.3 (s, *C*q), 166.8 (s, -CO_{Ester}-,*C*q), 185.9 (s, -CO_{Keto}, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$324; **HRMS** (ESI, *m/z*): calcd for $C_{19}H_{18}O_4N$ $[M+H]^+$ 324.1230 found 324.1233.



5t

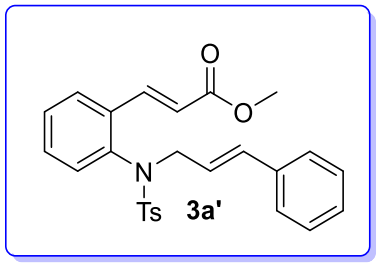
Ethyl (*E*)-3-(3-(cyanomethyl)-1*H*-indol-2-yl)acrylate (**5t**)**:** Yellow solid, 92 mg (0.360 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 72% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 108-110 ^oC; **IR** ($CHCl_3$) 746, 1035, 1181, 1286, 1455, 1689, 2250, 2922, 3336 cm^{-1}; **^{1}H-NMR** (500 MHz, $CDCl_3$) δ = 1.36 (t, *J* = 7.2 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 3.92 (s, Ar-C*H*$_2$CN, 2H), 4.29 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 6.24-6.34 (m, Ar-*H*, 1H), 7.13-7.22 (m, Ar-*H*, 1H), 7.29-7.39 (m, Ar-*H*, 2H), 7.66-7.76 (m, Ar-*H*, 2H), 8.70 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 13.1 (s, Ar-*C*H$_2$-CN), 14.3 (s, -CH=CH-COOCH$_2$*C*H$_3$), 61.1 (s, -CH=CH-COO*C*H$_2$CH$_3$), 98.0 (s, *C*H), 111.5 (s, *C*q), 119.2 (s, *C*q), 126.3 (s, *C*H), 127.3 (s, *C*H), 128.0 (s, *C*q), 128.6 (s, *C*H), 129.9 (s, *C*H), 131.8 (s, *C*H), 135.5 (s, *C*q), 145.7 (s, *C*q), 166.8. (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+Na]^+$ 277; **HRMS** (ESI, *m/z*): calcd for $C_{15}H_{14}O_2N_2Na$ $[M+Na]^+$ 277.0947, found 277.0953.



5u

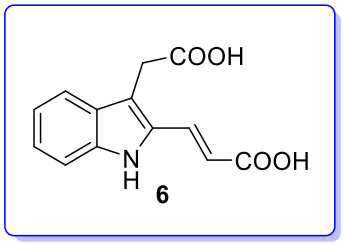
Ethyl (*E*)-3-(3-(2-(dimethylamino)-2-oxoethyl)-1*H*-indol-2-yl)acrylate (**5u**)**:** Yellow solid, 96 mg (0.320 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 80:20), 64% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 106-108 ^oC; **IR** ($CHCl_3$) 747, 1177, 1457, 1628, 1702, 2923, 3252 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.32 (t, *J* = 7.1 Hz, -CH=CH-COOCH$_2$C*H*$_3$, 3H), 3.04 (s, -CH$_2$CON(C*H*$_3$)$_2$, 3H), 3.10 (s, -CH$_2$CON(C*H*$_3$)$_2$, 3H), 3.85 (s, -C*H*$_2$CON(CH$_3$)$_2$, 2H), 4.20 (q, *J* = 7.2 Hz, -CH=CH-COOC*H*$_2$CH$_3$, 2H), 5.91 (d, *J* = 15.9 Hz, -CH=C*H*-COOCH$_2$CH$_3$, 1H), 6.90-6.99 (m, Ar-*H*, 1H), 7.05-7.10 (m, Ar-*H*, 2H), 7.44 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_2$CH$_3$, 1H), 7.48 (d, *J* = 8.0 Hz, Ar-*H*, 1H), 9.52 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.4 (s, -CH=CH-COOCH$_2$*C*H$_3$), 29.7 (s, -*C*H$_2$-CO-N(CH$_3$)$_2$), 36.1 (s, -CH$_2$-CO-N(*C*H$_3$)$_2$), 37.8 (s, -CH$_2$-CO-N(*C*H$_3$)$_2$), 60.3 (s, -CH=CH-COO*C*H$_2$CH$_3$), 111.5 (s, *C*H), 114.3 (s, *C*q) 114.7 (s, *C*H), 119.5 (s, *C*H), 119.9 (s, *C*H),

124.5 (s, *C*q), 128.2 (s, *C*q), 131.3 (s, 2 *C*H), 137.8 (s, *C*q), 167.3 (s, -*C*O$_{Ester}$-, *C*q), 170.9 (s, -*C*O$_{Amide}$, *C*q); **MS** (ESI,*m/z*): $[M+H]^+$ 301; **HRMS** (ESI, *m/z*): calcd for $C_{17}H_{21}O_3N_2[M+H]^+$ 301.1546, found 301.1553.



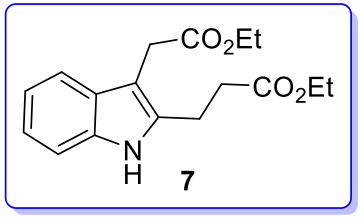
3a'

Methyl (*E*)-3-(2-((*N*-cinnamyl-4-methylphenyl)sulfonamido)phenyl)acrylate (**3a'**): (1 mmol Scale) Yellow solid, 112 mg (0.250 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 88:12), 25% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **MP** 146-150 ^oC; **IR** ($CHCl_3$) 651, 688, 745, 966, 1157, 1271, 1346, 1635, 1713, 2923 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 2.43 (s, Ar-C*H*$_3$, 3H), 3.76 (s, -CH=CH-COOC*H*$_3$, 3H), 4.10-4.50 (m, -C*H*$_2$CH=CH-Ph, 2H), 6.06-6.15 (m, -CH$_2$CH=C*H*-Ph, 1H), 6.23-6.32 (m, -CH$_2$C*H*=CH-Ph, -CH=C*H*-COOCH$_3$, 2H), 6.90-6.94 (m, Ar-*H*, 1H), 7.17-7.35 (m, Ar-*H*, 9H), 7.58-7.63 (m, Ar-*H*, 3H), 7.87 (d, *J* = 15.9 Hz, -C*H*=CH-COOCH$_3$, 1H); **^{13}C{H}NMR** (126 MHz, $CDCl_3$) δ = 21.6 (s, Ar-*C*H$_3$), 51.7 (s, -CH=CH-COO*C*H$_3$), 54.6 (s, *C*H$_2$-CH=CH-Ph), 119.8 (s, *C*H), 123.3 (s, *C*H), 126.5 (s, 2 *C*H), 127.2 (s, *C*H), 127.9 (s, 2 *C*H), 128.0 (s, *C*H), 128.5 (s, 2 *C*H), 128.8 (s, *C*H), 129.6 (s, 2 *C*H), 130.2 (s, *C*H), 130.5 (s, *C*H), 134.7 (s, *C*H), 135.6 (s, *C*q), 135.9 (s, *C*q), 136.1 (s, *C*q), 138.4 (s, *C*q), 140.3 (s, *C*H), 143.8 (s, *C*q), 166.8 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 448; **HRMS** (ESI, *m/z*): calcd for $C_{26}H_{25}O_4NSNa$ $[M+Na]^+$ 470.1396, found 470.1418.



6

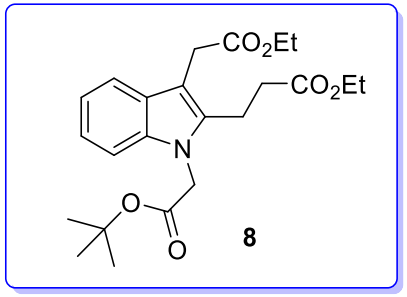
(*E*)-3-(3-(Carboxymethyl)-1*H*-indol-2-yl)acrylic acid (6): (1mmol) Yellow solid, 221 mg (0.900 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 75:25), 90% Yield, R_f = 0.5 (EtOAc/Hexane, 50:50); **MP** 210-212 ^oC; **IR** ($CHCl_3$) 737, 1014, 1153, 1628, 3340 cm^{-1}; **^{1}H-NMR** (400 MHz, DMSO-d_6) δ = 3.82 (s, Ar-C*H*$_2$-COOH, 2H), 6.48 (d, *J* = 15.9 Hz, Ar-CH=C*H*-COOH, 1H), 6.99-7.06 (m, Ar-*H*, 1H), 7.17-7.25 (m, Ar-*H*, 1H), 7.36-7.39 (m, Ar-*H*, 1H), 7.57 (d, *J* = 7.9 Hz Ar-*H*, 1H), 7.66 (d, *J* = 15.9 Hz, Ar-C*H*=CH-COOH, 1H), 11.40 (s, N-*H*, 1H), 12.20 (brs, O-*H*, 2H); **^{13}C{H}NMR** (101 MHz, DMSO-d_6) δ = 30.4 (s, Ar-*C*H$_2$-COOH), 111.7 (s, *C*H), 114.3 (s, *C*q), 116.9 (s, *C*H), 119.8 (s, *C*H), 120.1 (s, *C*H), 124.7 (s, *C*H), 128.3 (s, *C*q), 131.6 (s, *C*q), 132.3 (s, *C*H), 137.7 (s, *C*q), 168.2 (s, Ar-CH=CH-*C*OOH, *C*q), 172.9 (s, Ar-CH$_2$*C*OOH, *C*q); **MS** (ESI,*m/z*): $[M+H]^+$ 246; **HRMS** (ESI, *m/z*): calcd for $C_{13}H_{12}O_4N$ $[M+H]^+$ 246.0761, found 246.0756.



7

Ethyl 3-(3-(2-ethoxy-2-oxoethyl)-1*H*-indol-2-yl)propanoate (**7**): (1 mmol) Yellow oil, 261 mg (0.860 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10) 86% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **IR** ($CHCl_3$) 743, 1177, 1242, 1461, 1727, 2924, 3388 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.25 (t, *J* = 7.0 Hz, Ar-CH$_2$COOCH$_2$C*H*$_3$, 3H), 1.28 (t, *J* = 7.0 Hz, Ar-CH$_2$CH$_2$COOCH$_2$C*H*$_3$, 3H), 2.71-2.75 (m, Ar-C*H*$_2$CH$_2$COOCH$_2$CH$_3$, 2H), 3.08-3.11 (m, Ar-CH$_2$C*H*$_2$COOCH$_2$CH$_3$, 2H), 3.71 (s, Ar-C*H*$_2$COOCH$_2$CH$_3$, 2H), 4.13 (q, *J* = 7.0 Hz, Ar-CH$_2$COOC*H*$_2$CH$_3$, 2H), 4.19 (q, *J* = 7.0 Hz, Ar-CH$_2$C*H*$_2$COOC*H*$_2$CH$_3$, 2H), 7.11-7.14 (m, Ar-*H*, 1H), 7.16-7.19 (m, Ar-*H*, 1H), 7.29-7.31 (m, Ar-*H*, 1H), 7.57-7.60 (m, Ar-*H*, 1H), 8.66 (brs, N-*H*, 1H); **^{13}C{H}NMR** (101 MHz, $CDCl_3$) δ = 14.1 (s, Ar-CH$_2$CH$_2$COOCH$_2$*C*H$_3$), 14.2 (s, Ar-CH$_2$COOCH$_2$*C*H$_3$), 20.7 (s, Ar-*C*H$_2$-CH$_2$-COOCH$_2$CH$_3$), 30.4 (s, Ar-CH$_2$-*C*H$_2$-COOCH$_2$CH$_3$), 34.1 (s, Ar-*C*H$_2$-COOCH$_2$CH$_3$), 60.7 (s, Ar-CH$_2$-CH$_2$-COO*C*H$_2$CH$_3$), 60.9 (s, Ar-CH$_2$-COO*C*H$_2$CH$_3$), 104.6 (s, *C*q), 110.6 (s, *C*H), 118.4 (s, *C*H), 119.4 (s, *C*H),

121.5 (s, *C*H), 128.1 (s, *C*q), 135.1 (s, *C*q), 135.7 (s, *C*q), 171.9 (s, -*C*O$_{Ester}$-, *C*q), 174.2 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 304. Spectral data were in good agreement with the reported data.[11]



8

Ethyl-3-(1-(2-(*tert*-butoxy)-2-oxoethyl)-3-(2-ethoxy-2-oxoethyl)-1*H*-indol-2-yl)propanoate (**8**): (1 mmol Scale) Orange oil, 334 mg (0.800 mmol), (Column chromatography elution mixture: Hexane/Ethyl acetate 90:10), 80% Yield, R_f = 0.5 (EtOAc/Hexane, 20:80); **IR** ($CHCl_3$) 771, 1149, 1467, 1729, 2923 cm^{-1}; **^{1}H-NMR** (400 MHz, $CDCl_3$) δ = 1.20-1.25 (m, -$CH_2COOCH_2CH_3$, Ar-$CH_2CH_2COOCH_2CH_3$, 6H), 1.45 (s, -N-$CH_2COOCH(CH_3)_3$, 9H), 2.61-269 (m, Ar-$CH_2CH_2COOCH_2CH_3$, 2H), 3.05-3.12 (m, Ar-$CH_2CH_2COOCH_2CH_3$, 2H), 3.73 (s, Ar-$CH_2COOCH_2CH_3$, 2H), 4.07-4.17 (m, Ar-$CH_2COOCH_2CH_3$, Ar-$CH_2CH_2COOCH_2CH_3$, 4H), 4.75 (s, -N-$CH_2COOCH(CH_3)_3$, 2H), 7.08-7.20 (m, Ar-*H*, 3H), 7.58 (d, *J* = 7.5 Hz, Ar-*H*, 1H); **^{13}C{H}NMR** (125 MHz, $CDCl_3$) δ = 14.2 (s, -$CH_2COOCH_2CH_3$, Ar-$CH_2CH_2COOCH_2CH_3$, 2C), 19.7 (s, Ar-CH_2-CH_2-$COOCH_2CH_3$), 27.9 (s, N-$CH_2COOC(CH_3)_3$ 3C), 30.9 (s, Ar-CH_2-CH_2-$COOCH_2CH_3$), 34.1 (s, -$CH_2COOCH_2CH_3$) 45.9 (s, N-$CH_2COOC(CH_3)_3$), 60.7 (s, -$CH_2COOCH_2CH_3$, Ar-$CH_2CH_2COOCH_2CH_3$, 2C), 82.6 (s, N-$CH_2COOC(CH_3)_3$ Cq), 105.7 (s, *C*q), 108.7, 118.7, 119.9, 121.8, 127.9 (s, *C*q), 136.4 (s, *C*q), 136.7 (s, *C*q), 167.8 (s, -*C*O$_{Ester}$-, *C*q), 171.8 (s, -*C*O$_{Ester}$-, *C*q), 172.5 (s, -*C*O$_{Ester}$-, *C*q); **MS** (ESI, *m/z*): $[M+H]^+$ 418. Spectral data were in good agreement with the reported data.[11]

11. References

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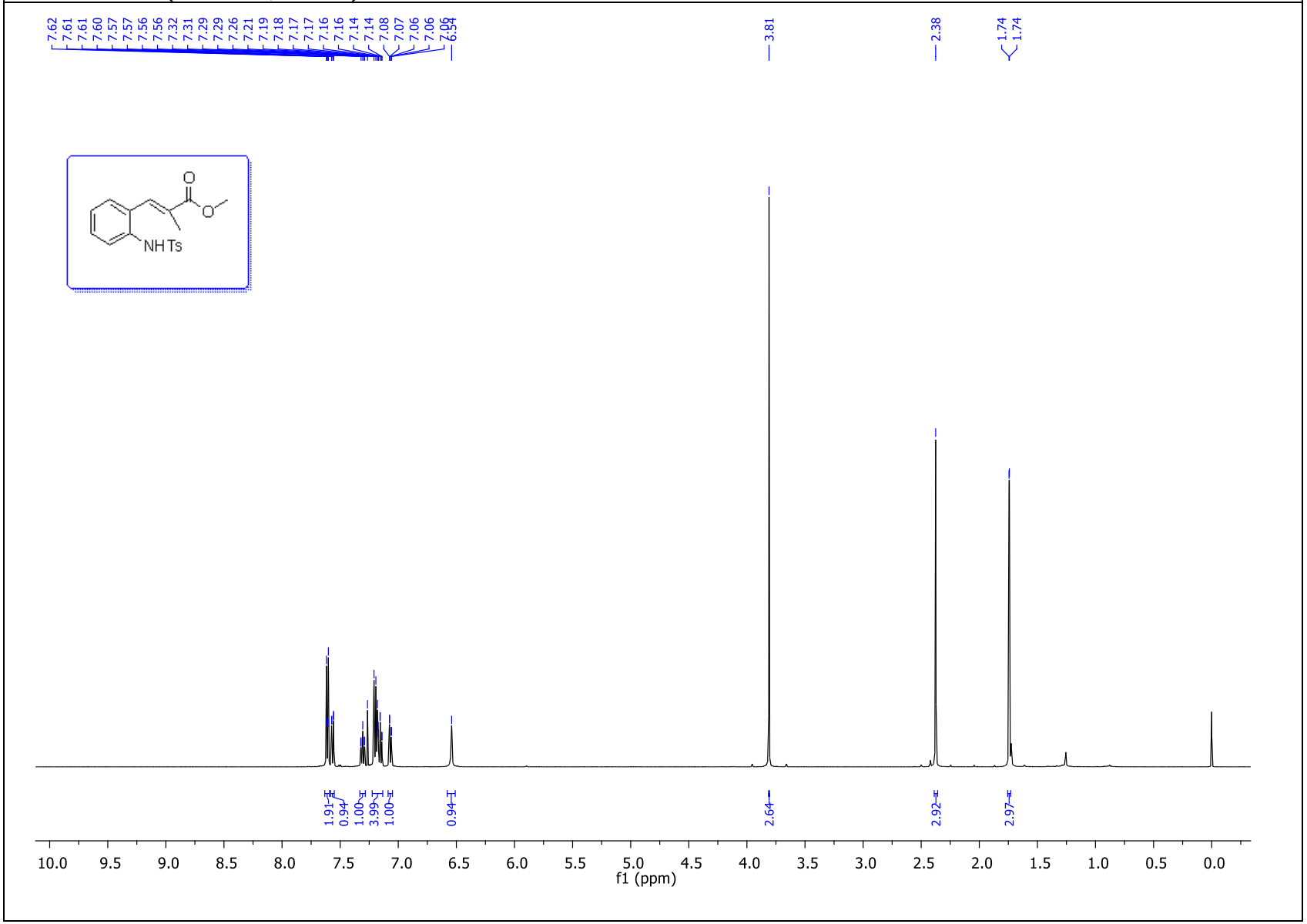
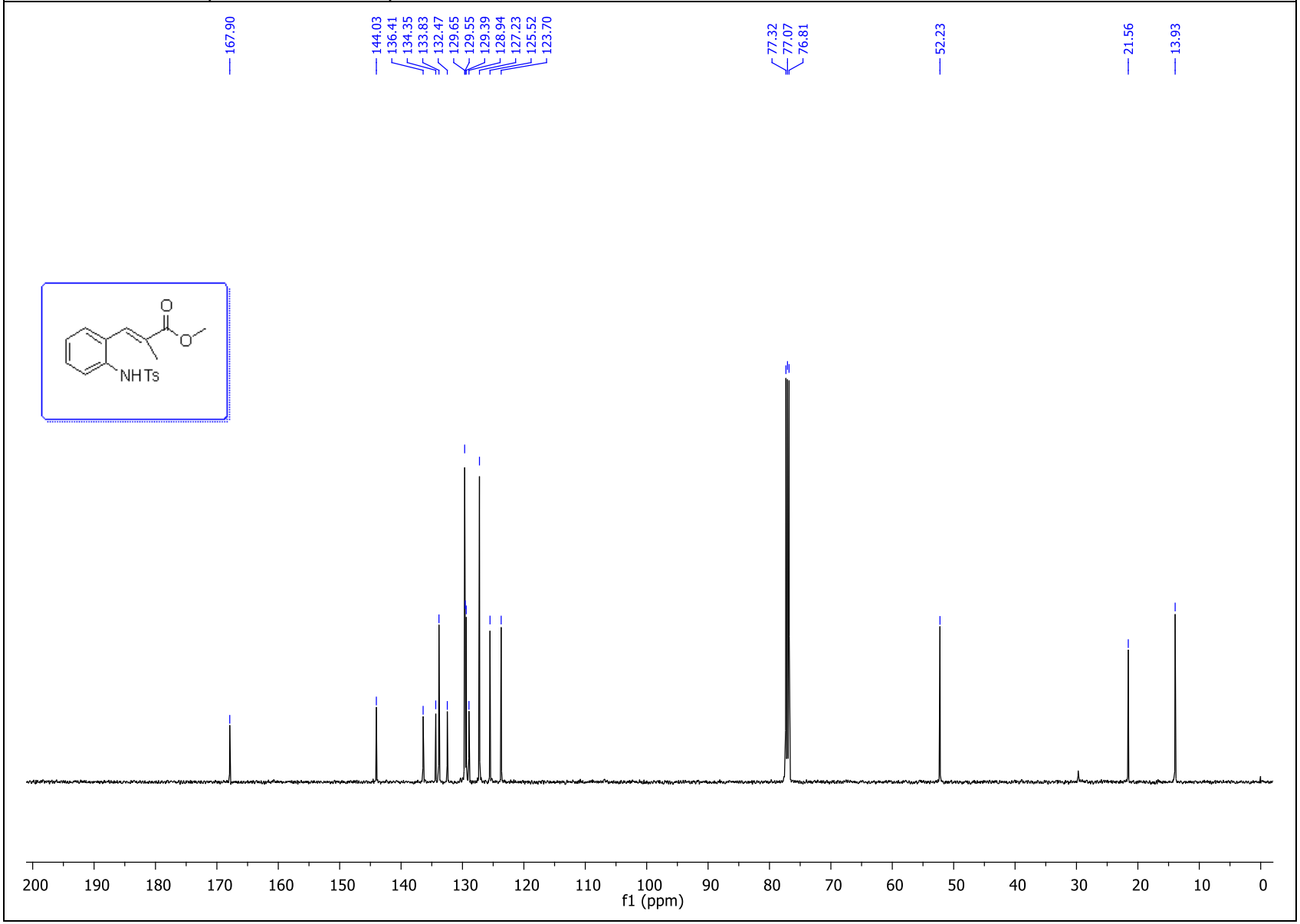
12. Copies of ^{1}H NMR and ^{13}C{H}NMR Spectra

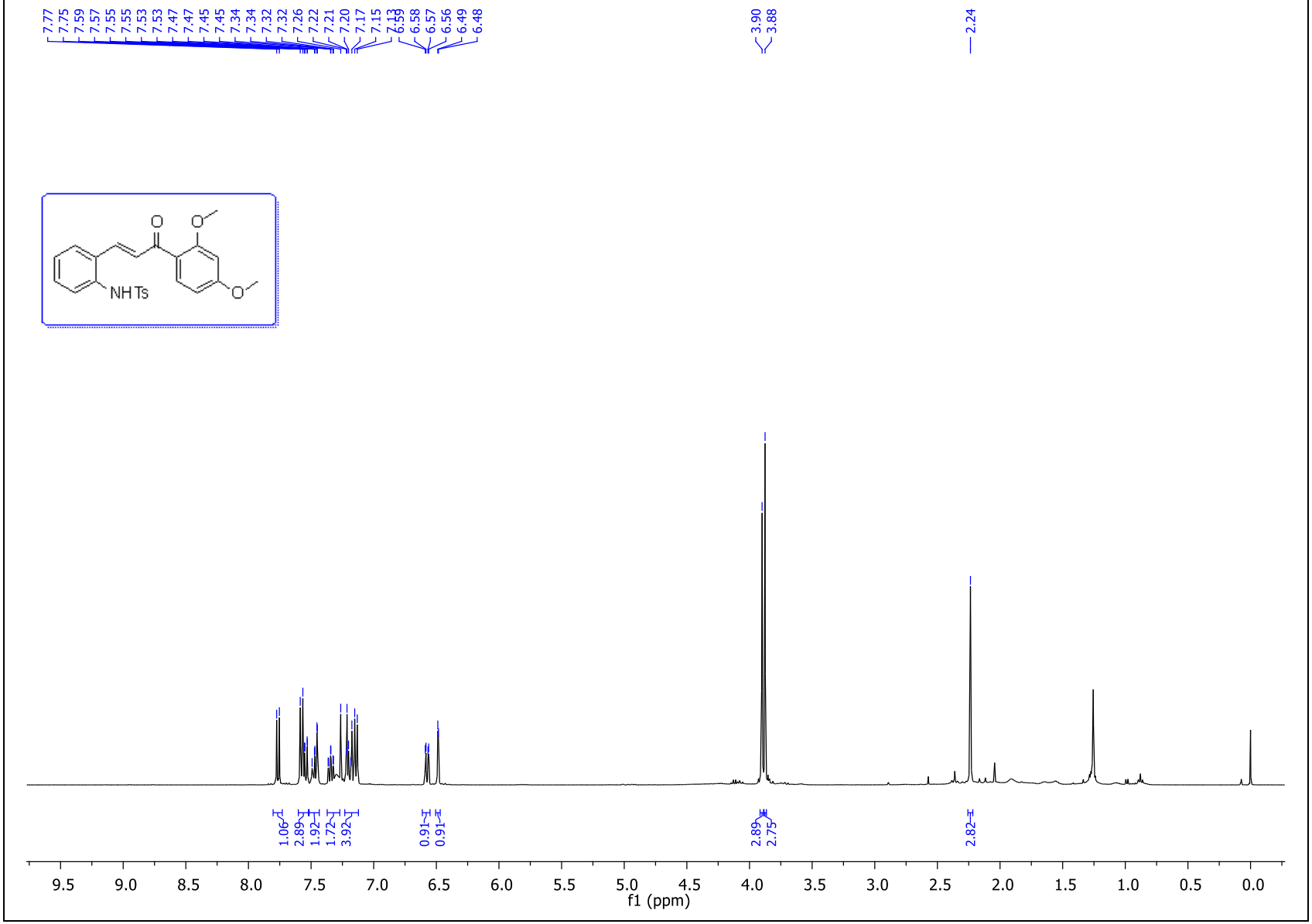
^{1}H NMR of 1i (500 MHz, $CDCl_3$)



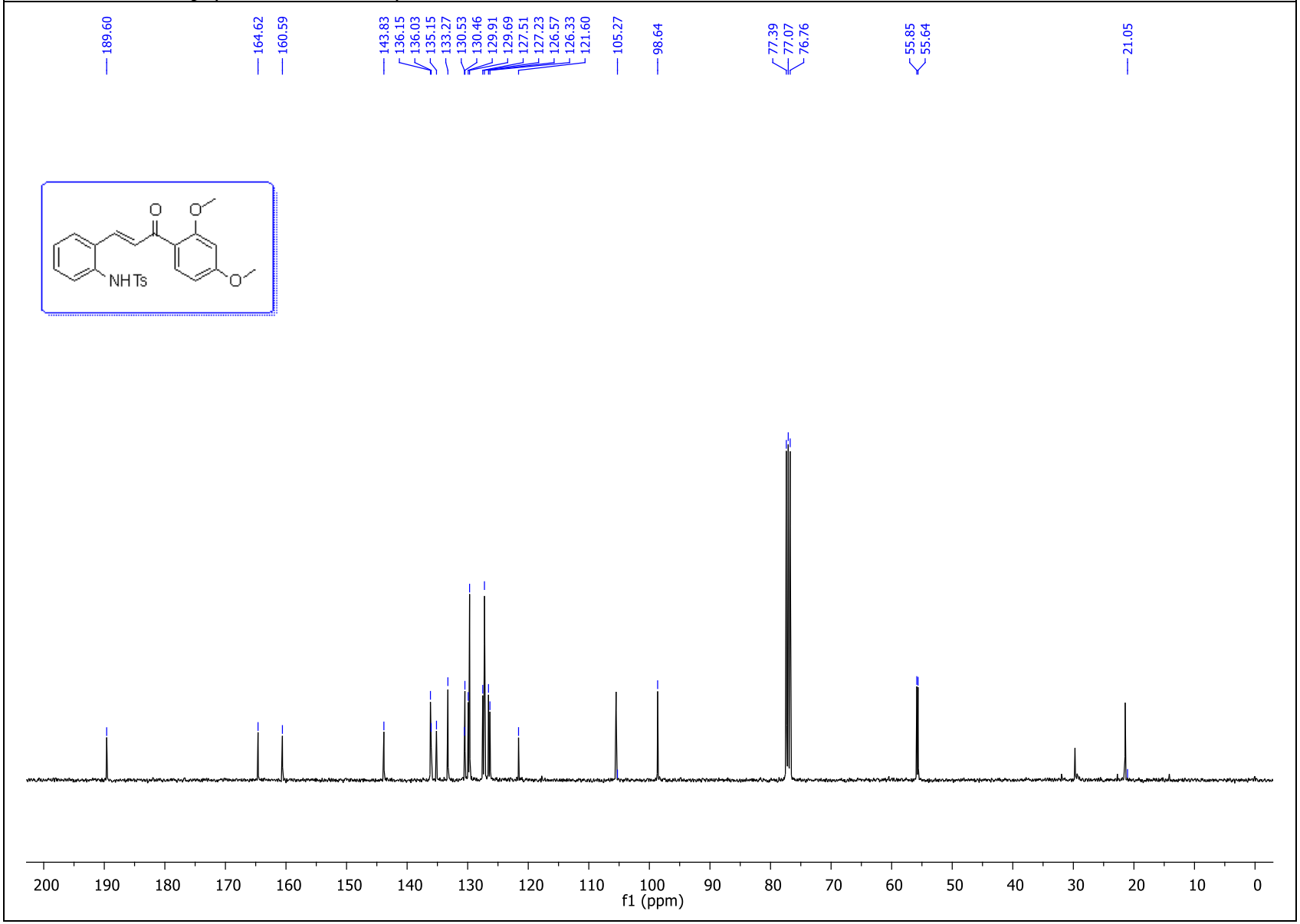
$^{13}C\{H\}$NMR of 1i (126 MHz, $CDCl_3$)



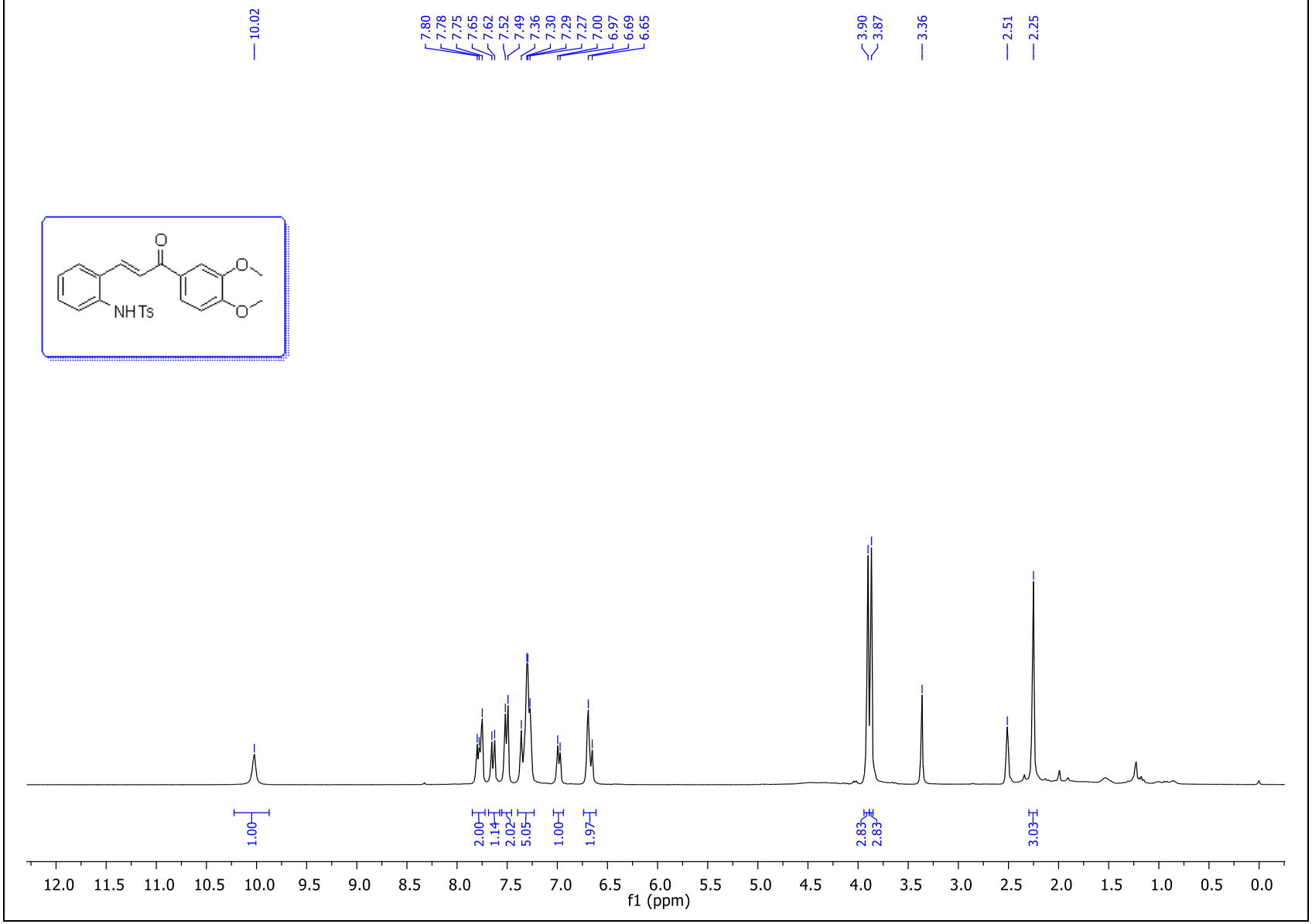
^{1}H NMR of 1p (400 MHz, $CDCl_3$)



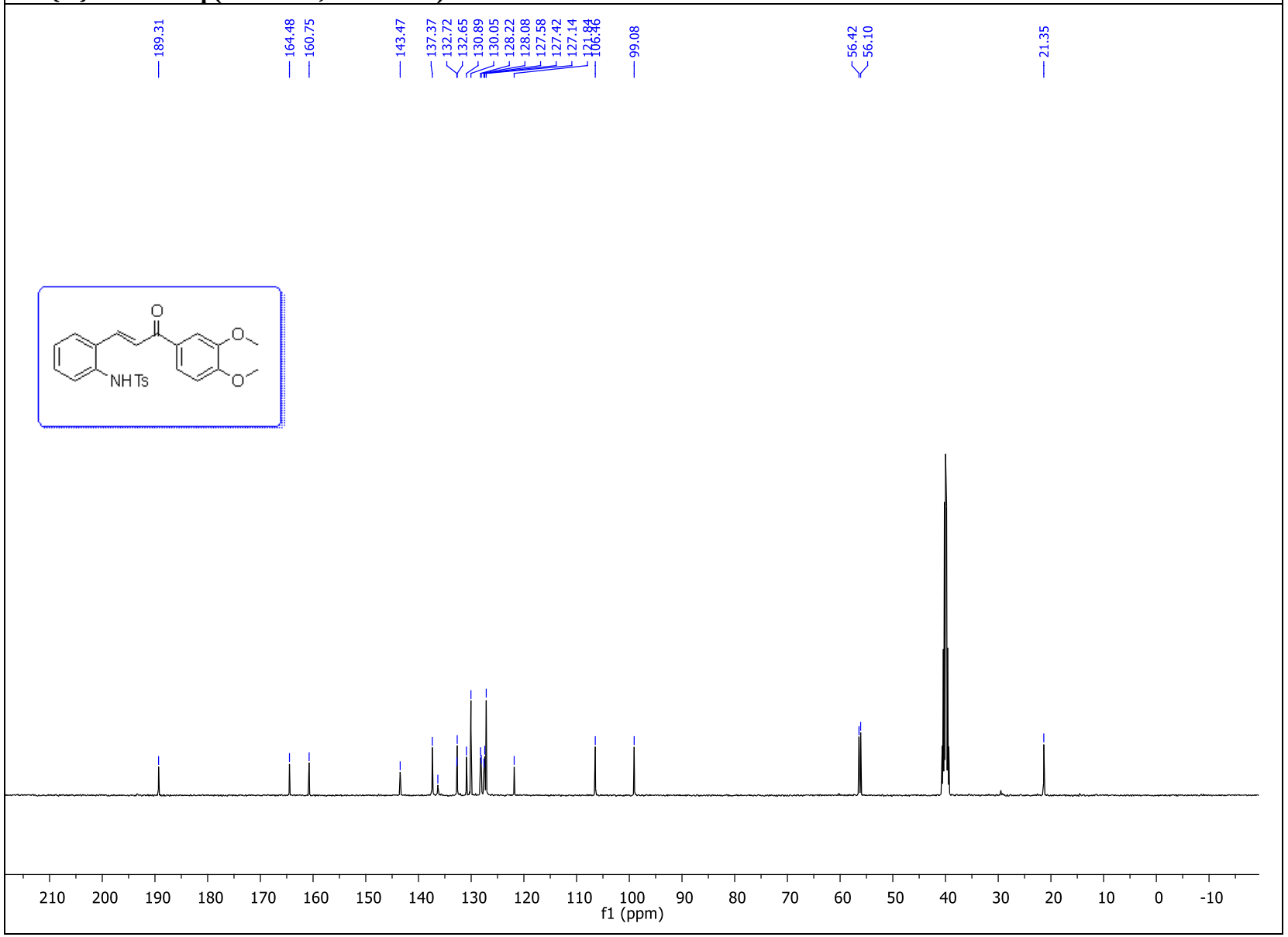
$^{13}C\{H\}$NMR of 1p (101 MHz, $CDCl_3$)



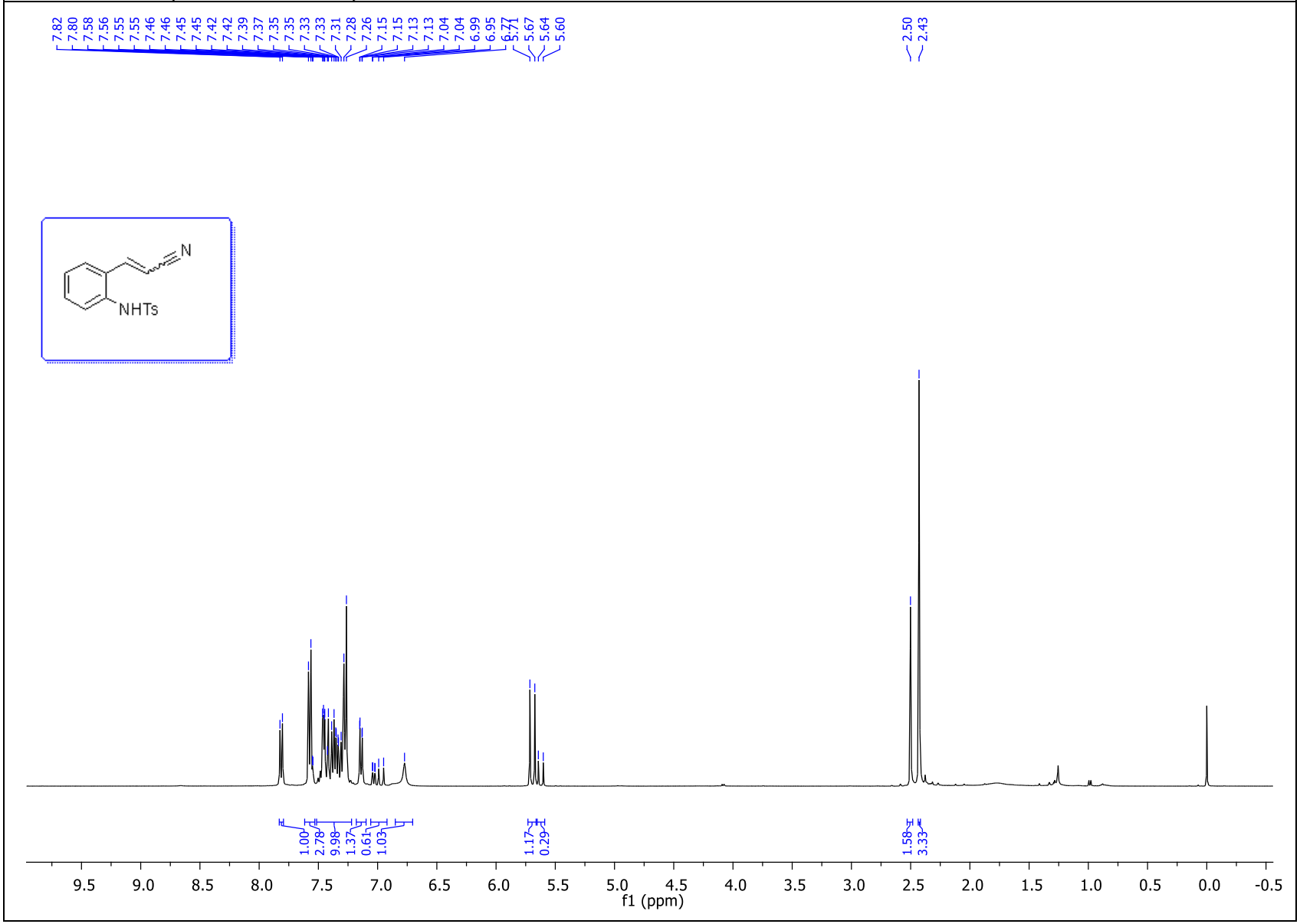
^{1}H NMR of 1q (300 MHz, DMSO-d$_6$)



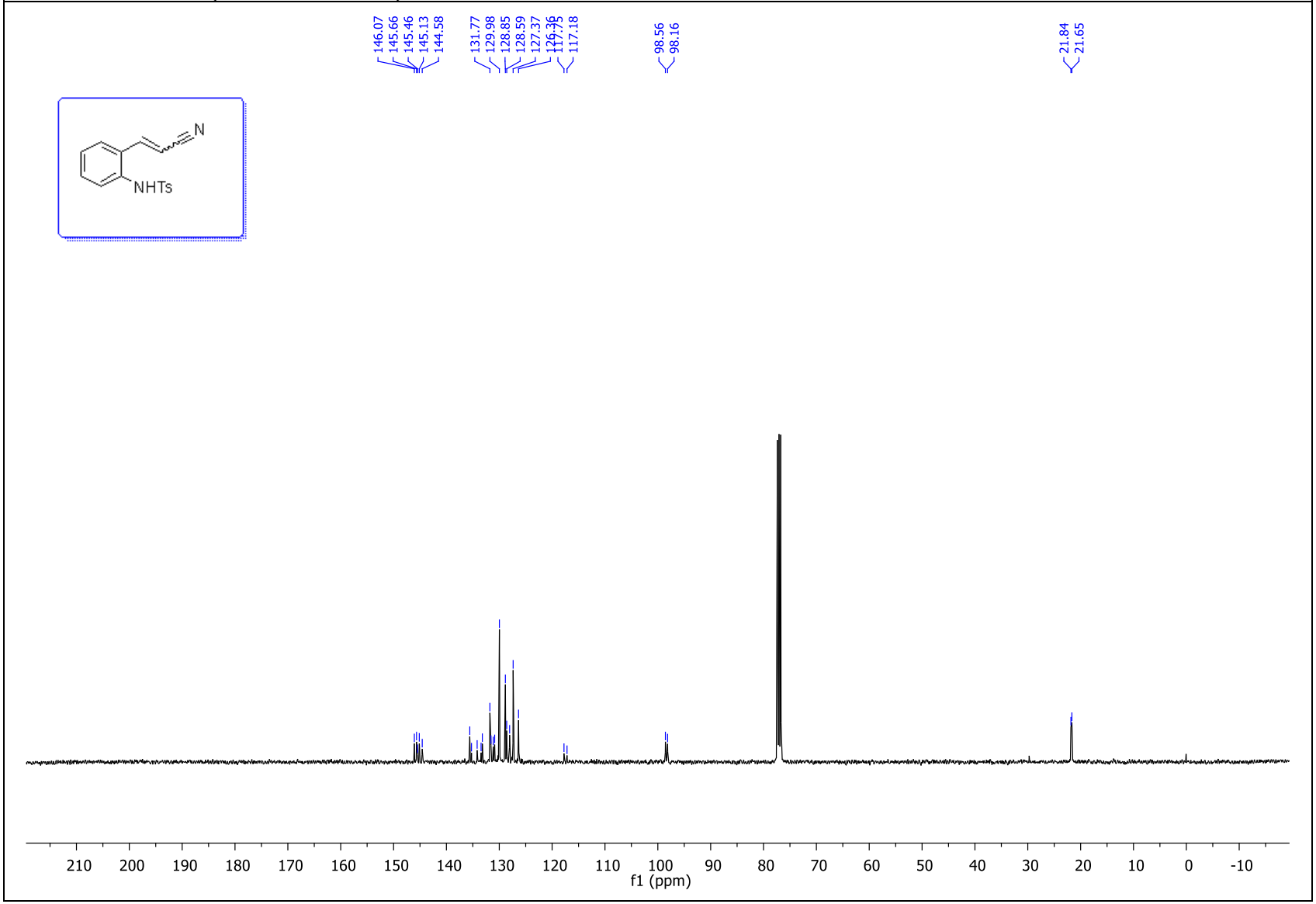
^{13}C{H}NMR of 1q (101 MHz, DMSO-d$_6$)



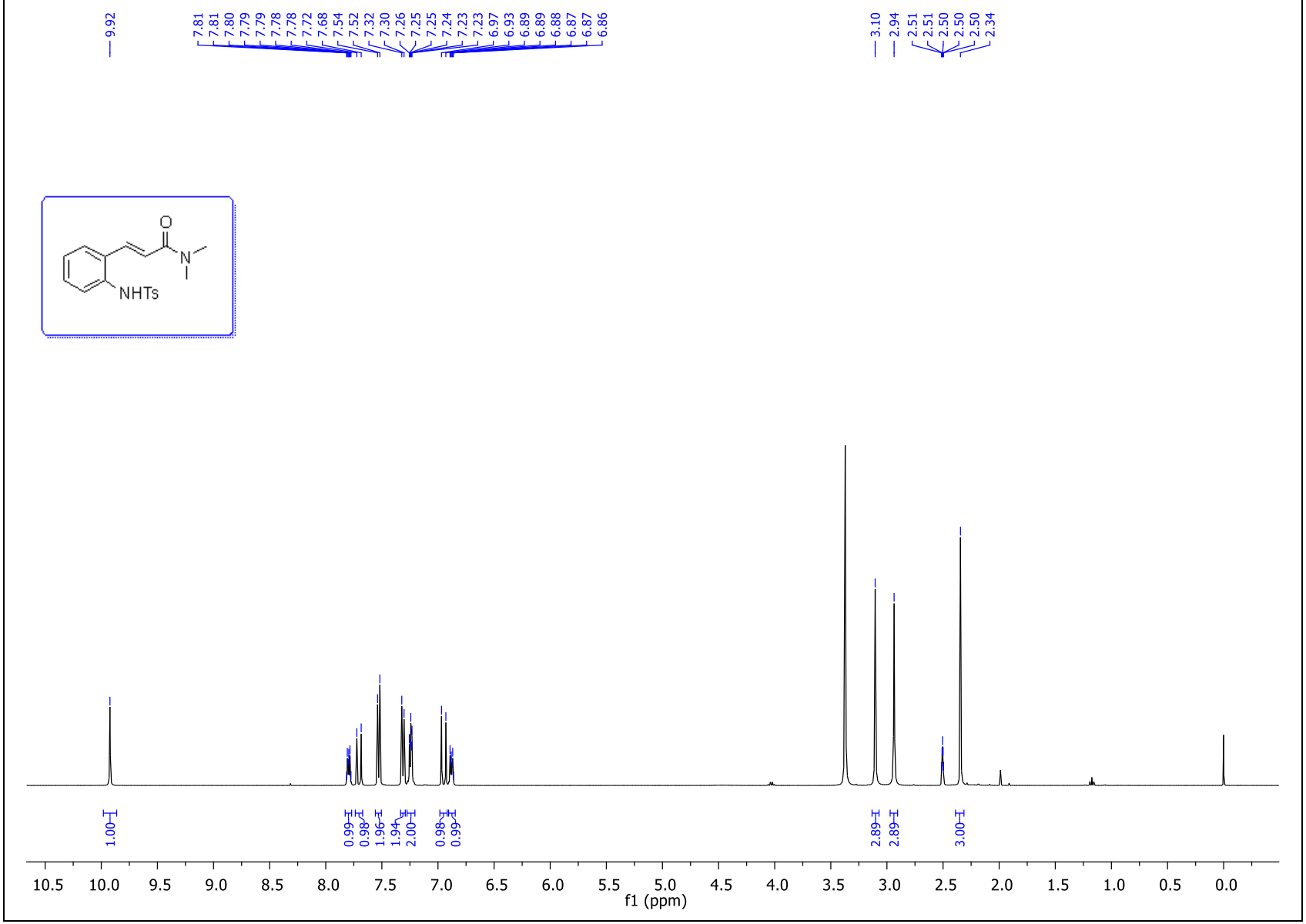
^{1}H NMR of 1s (300 MHz, $CDCl_3$)



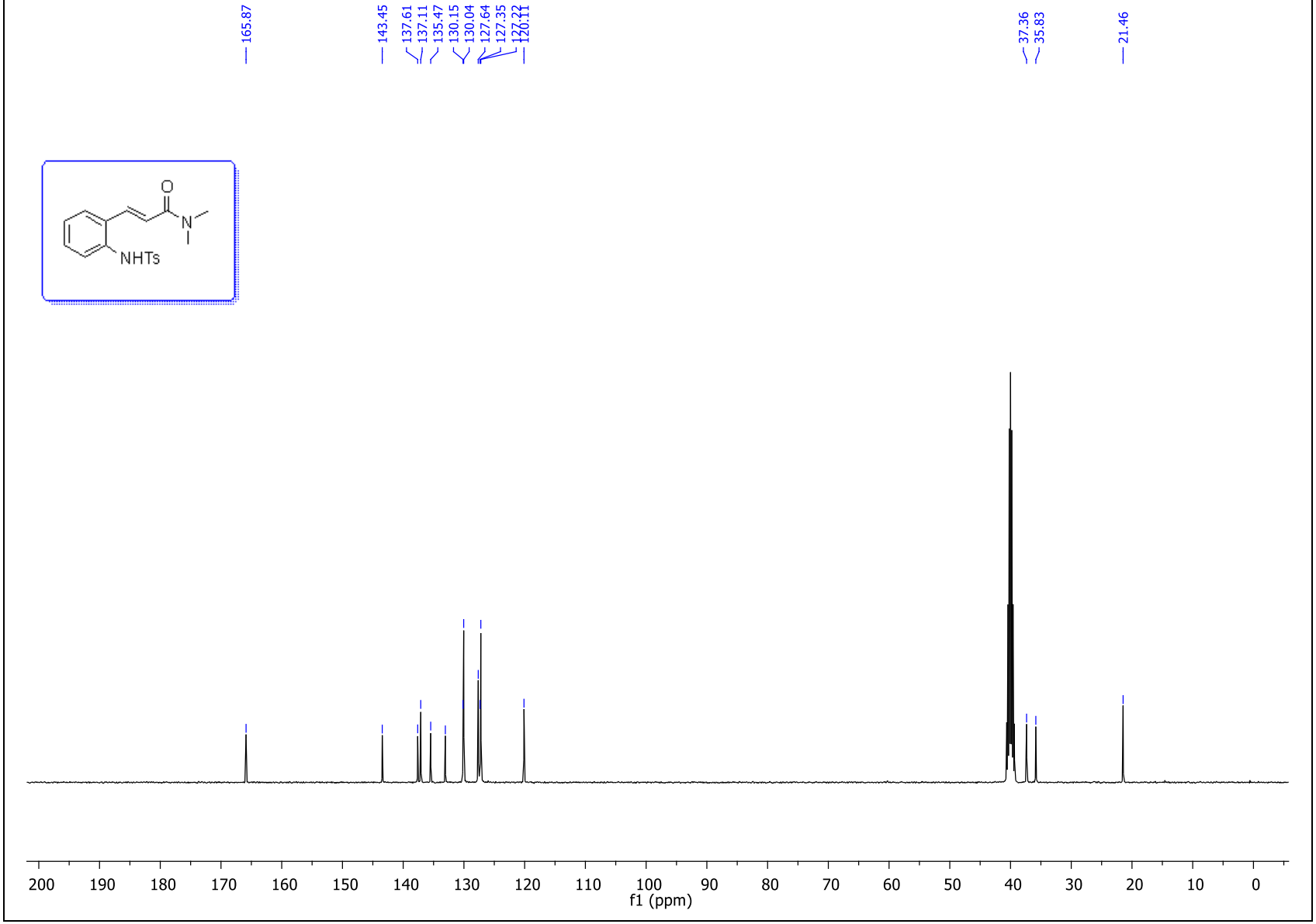
$^{13}C\{H\}$NMR of 1s (101 MHz, $CDCl_3$)



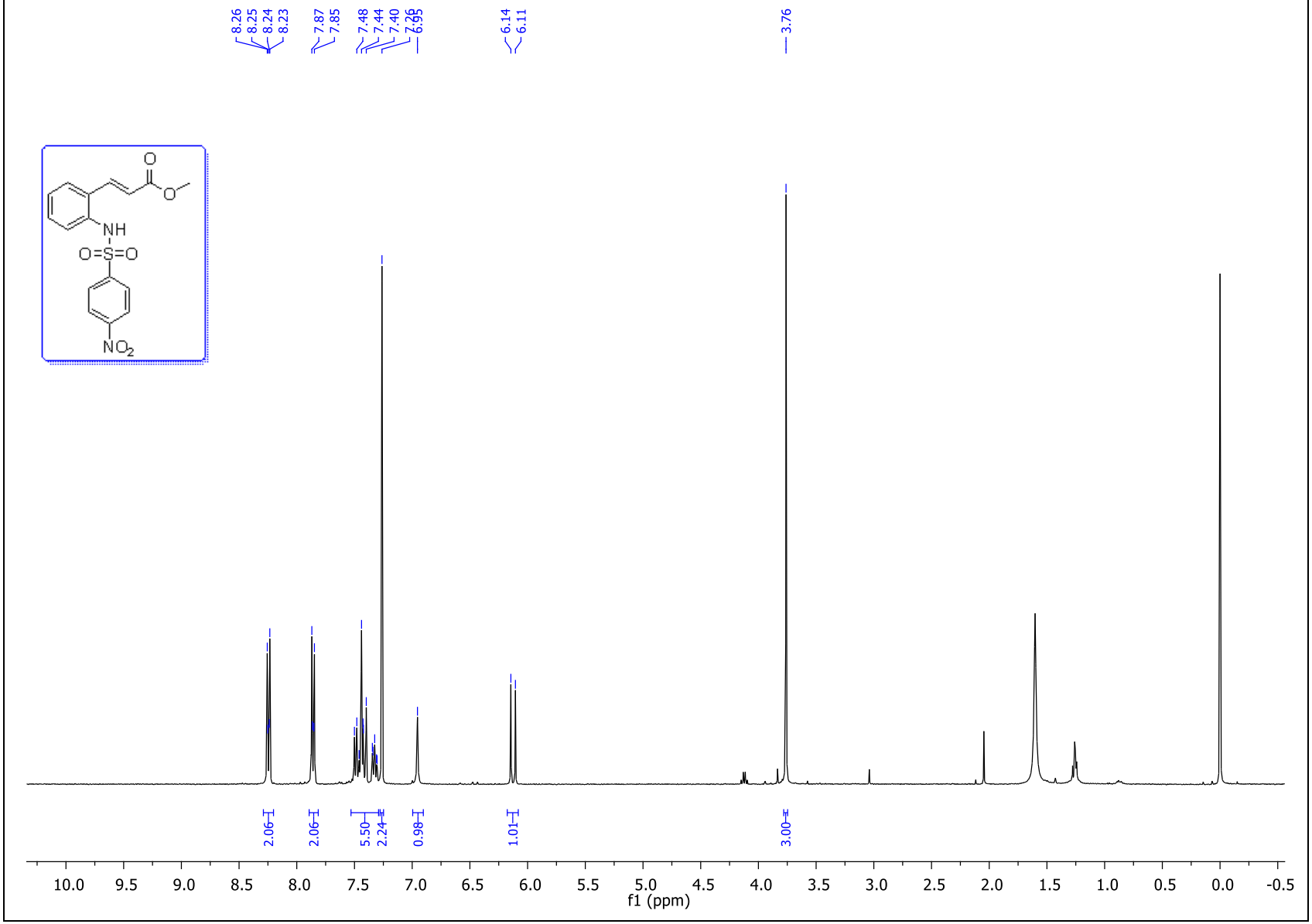
^{1}H NMR of 1t (400 MHz, DMSO-d$_6$)



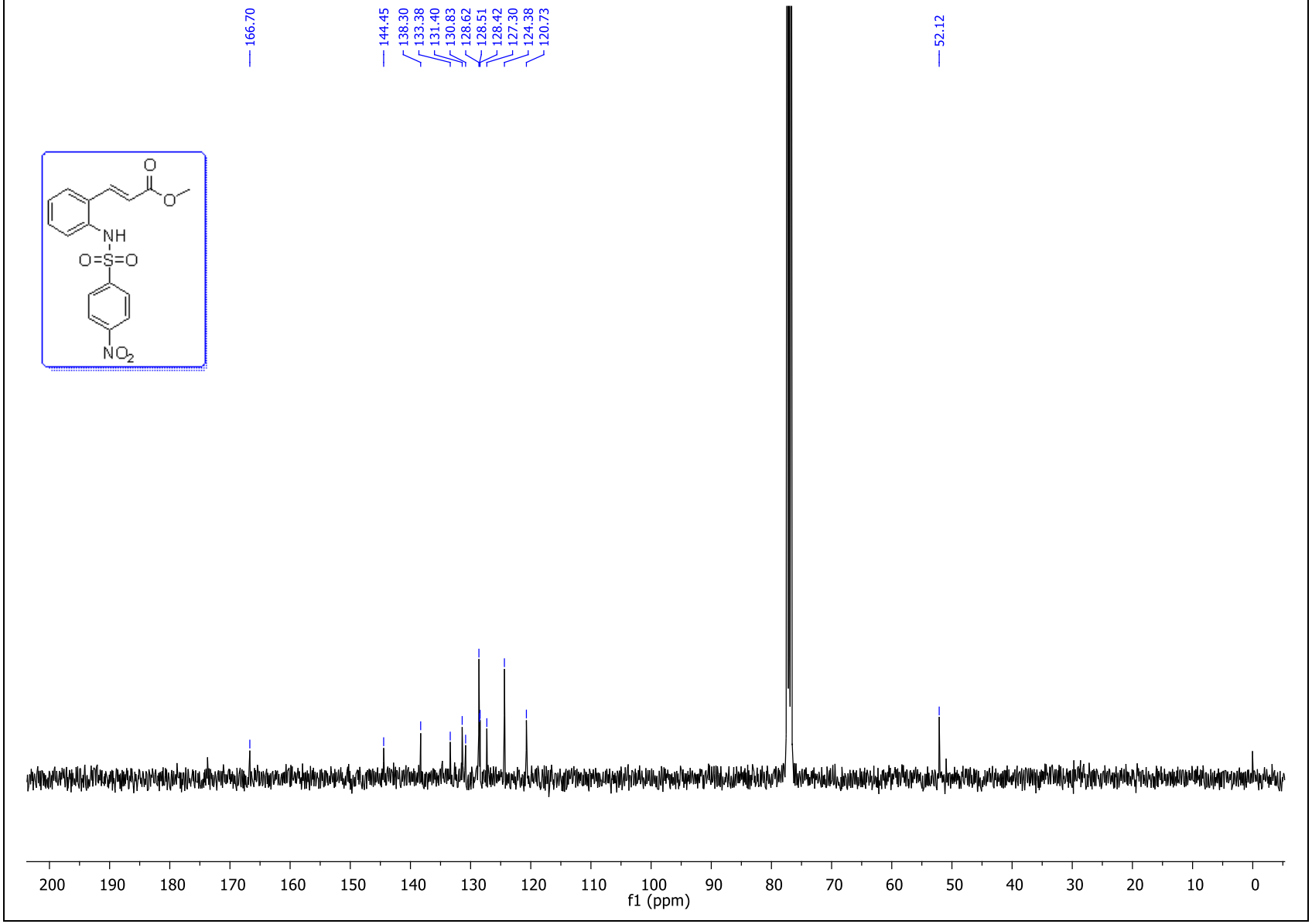
$^{13}C\{H\}$NMR of 1t (101 MHz, DMSO-d_6)



^{1}H NMR of 1ac (500 MHz, $CDCl_3$)



$^{13}C\{H\}$NMR of 1ac (101 MHz, $CDCl_3$)



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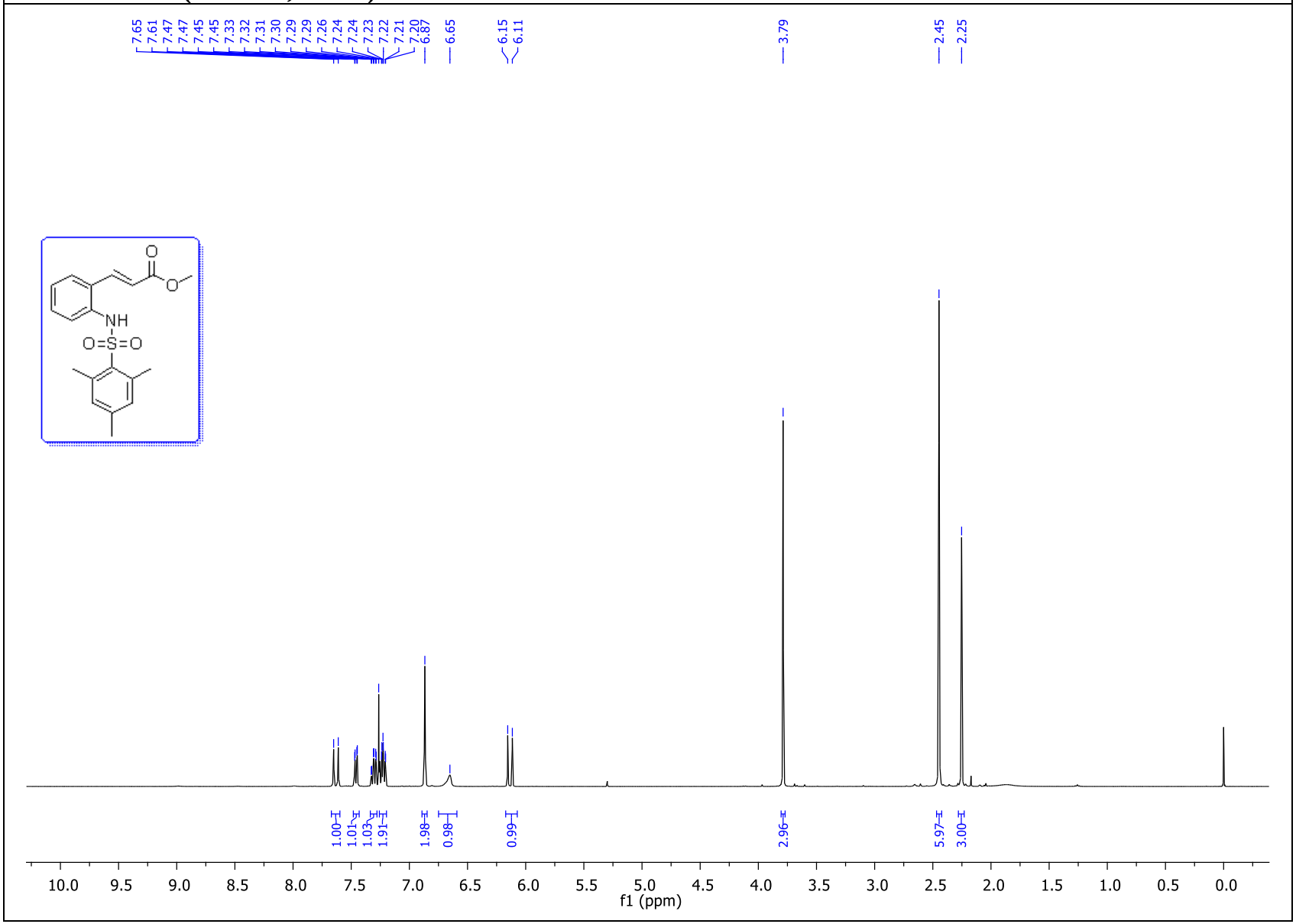

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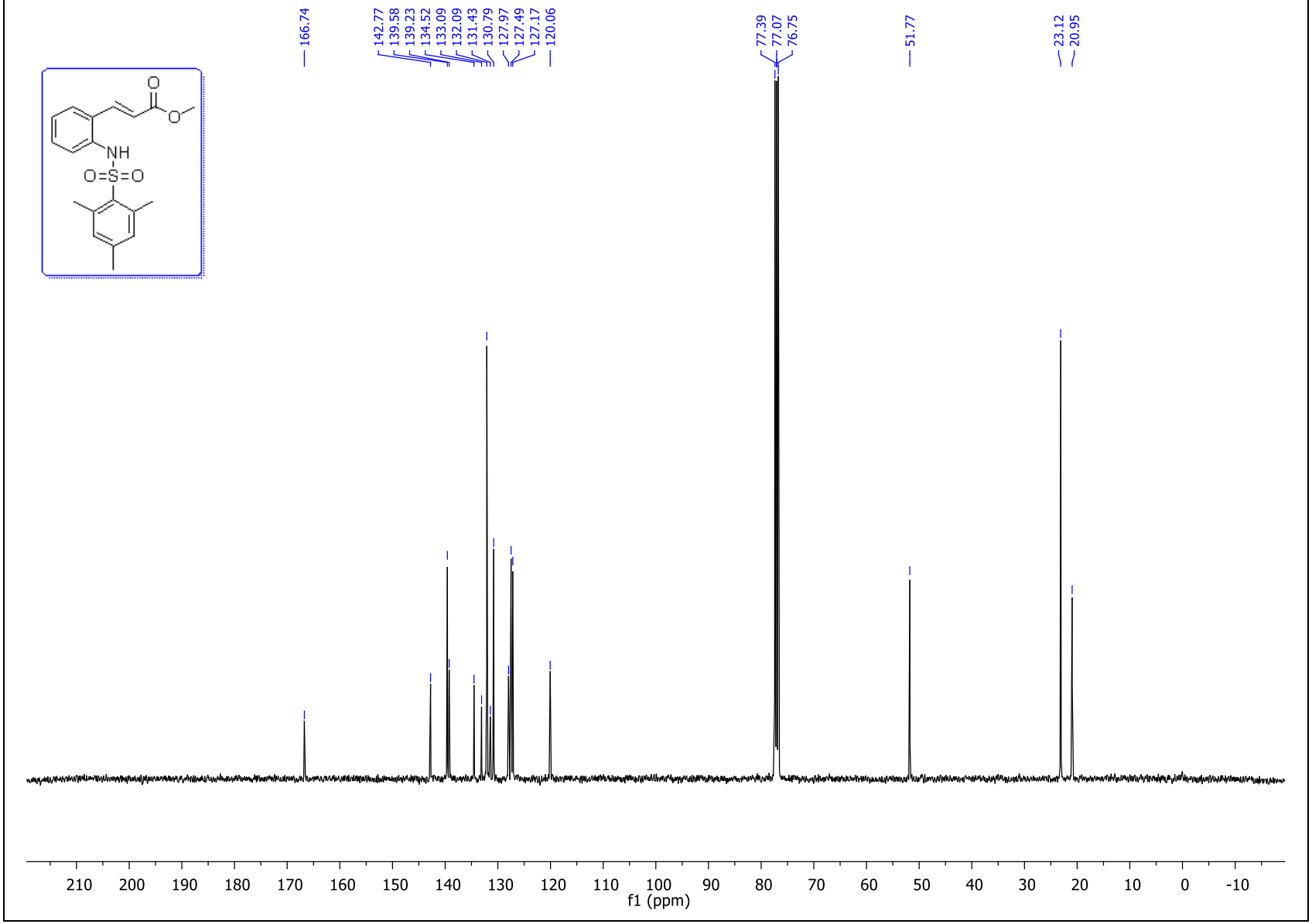
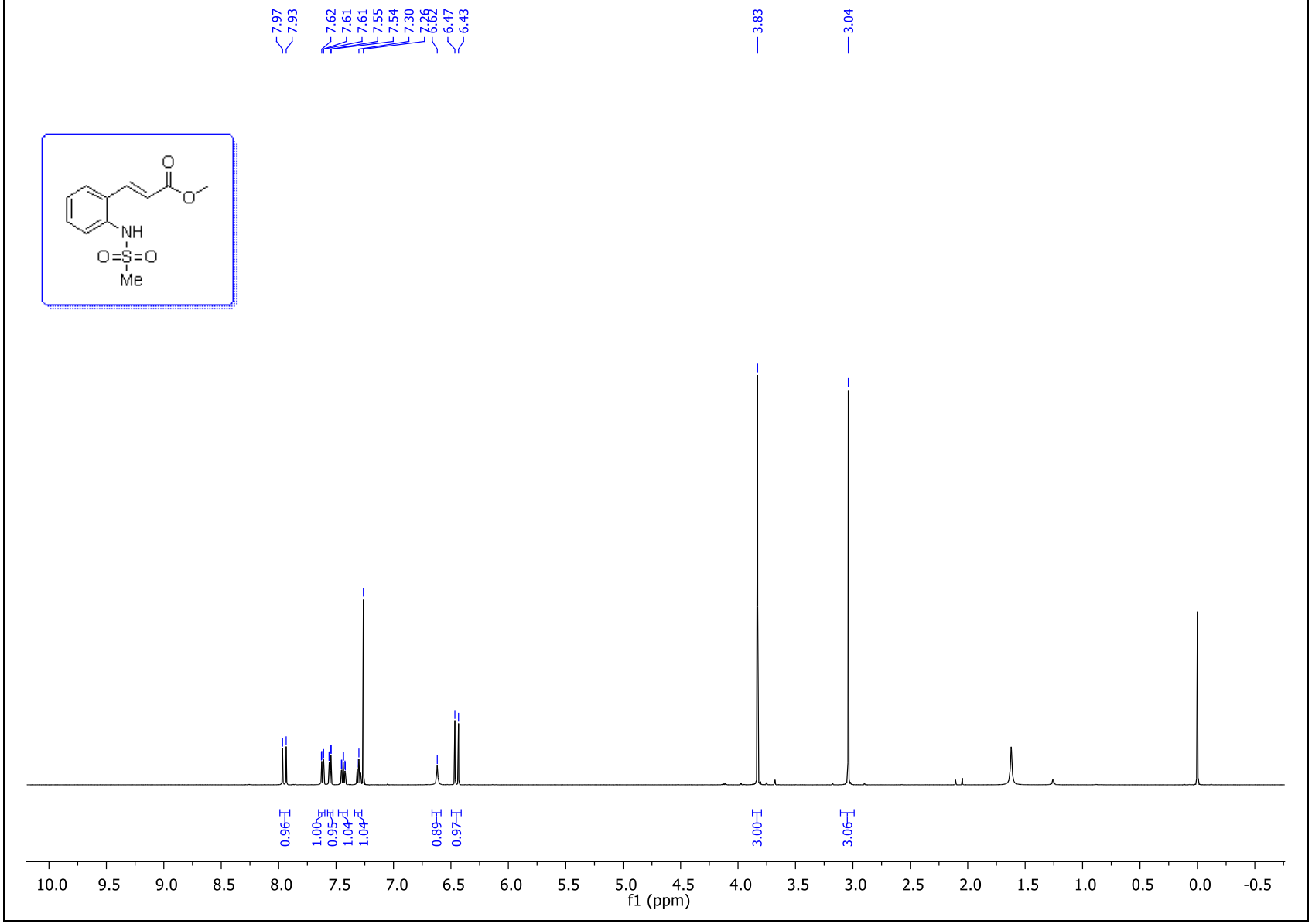
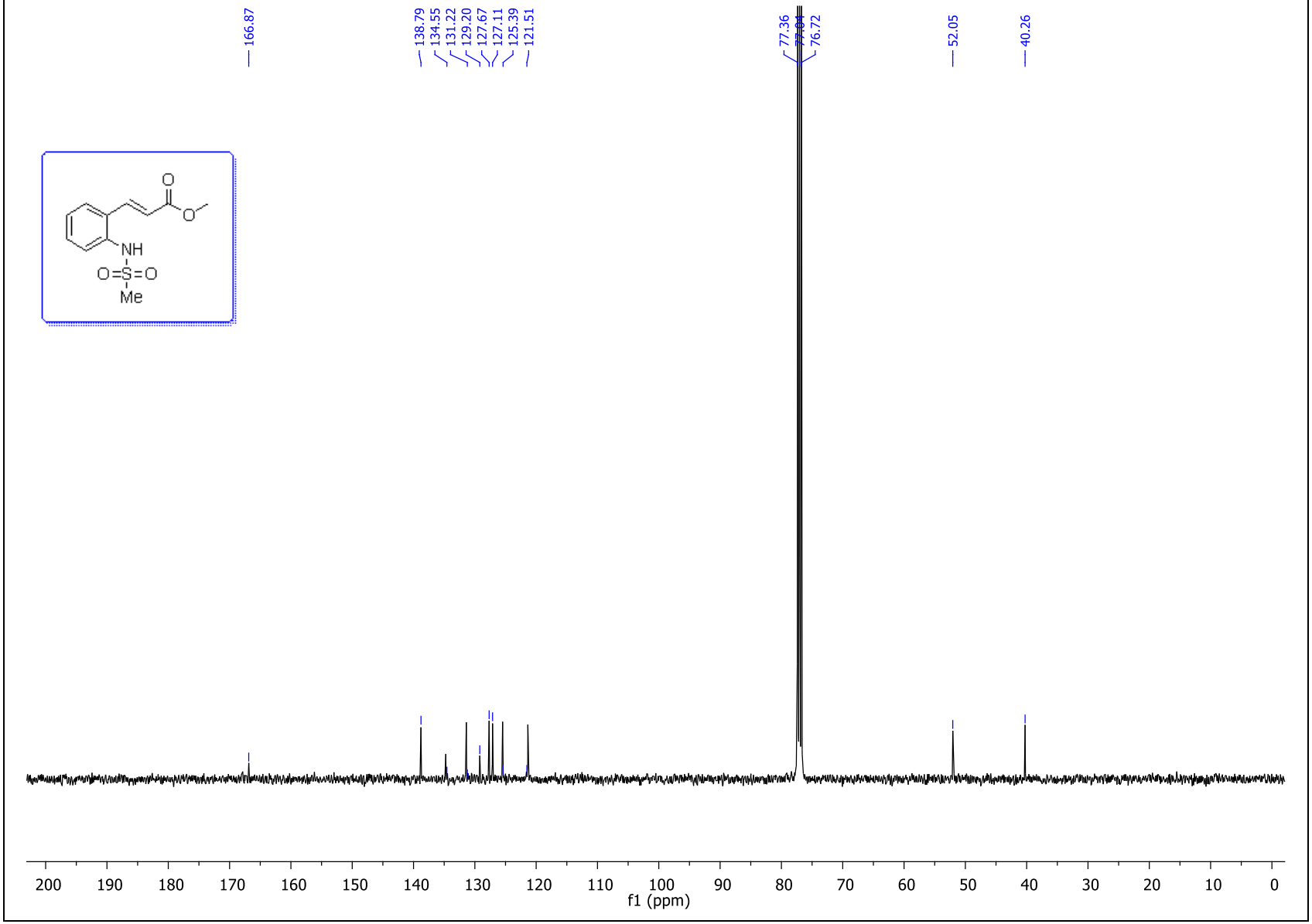


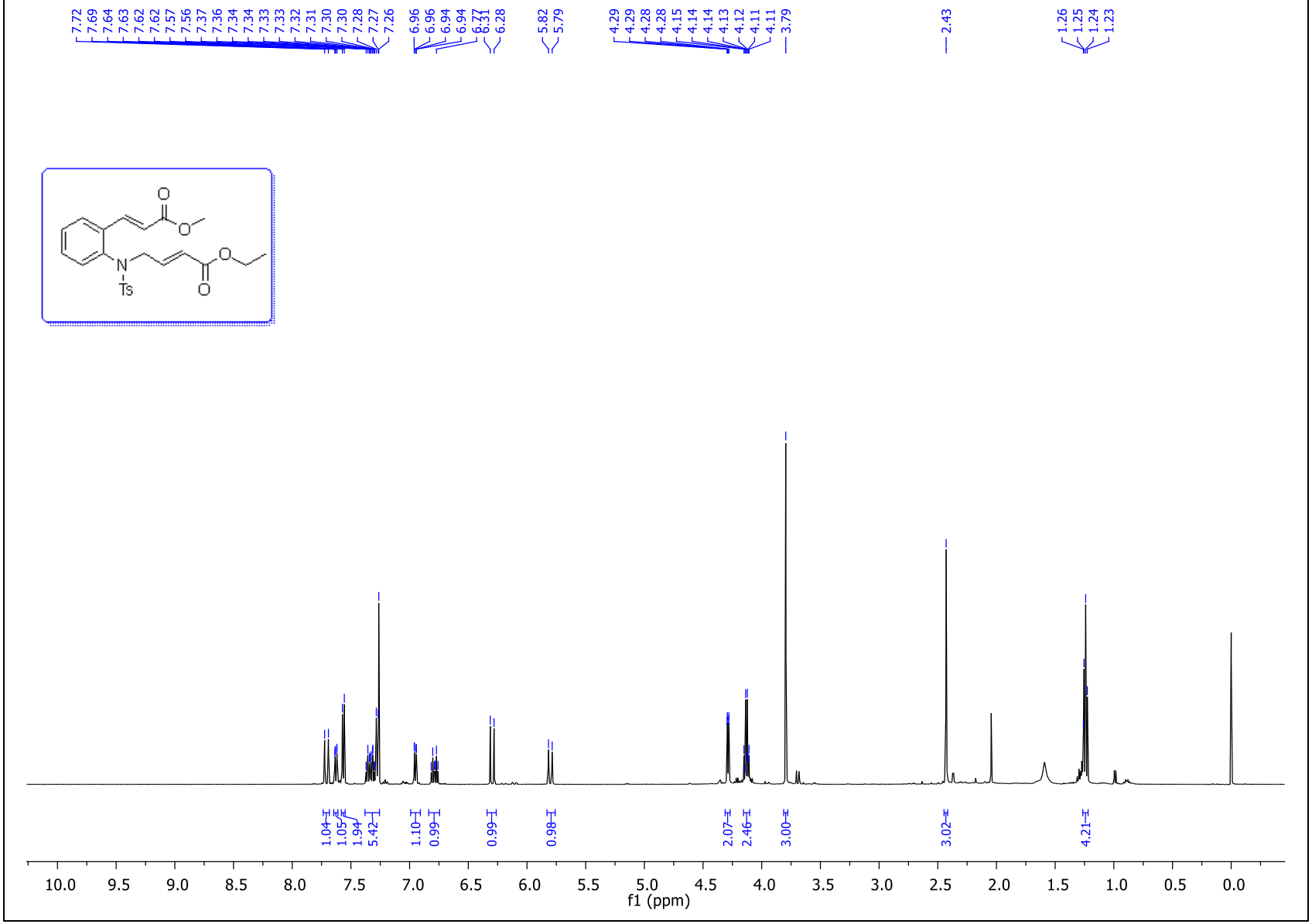
^{1}H NMR of 1ae (500 MHz, $CDCl_3$)



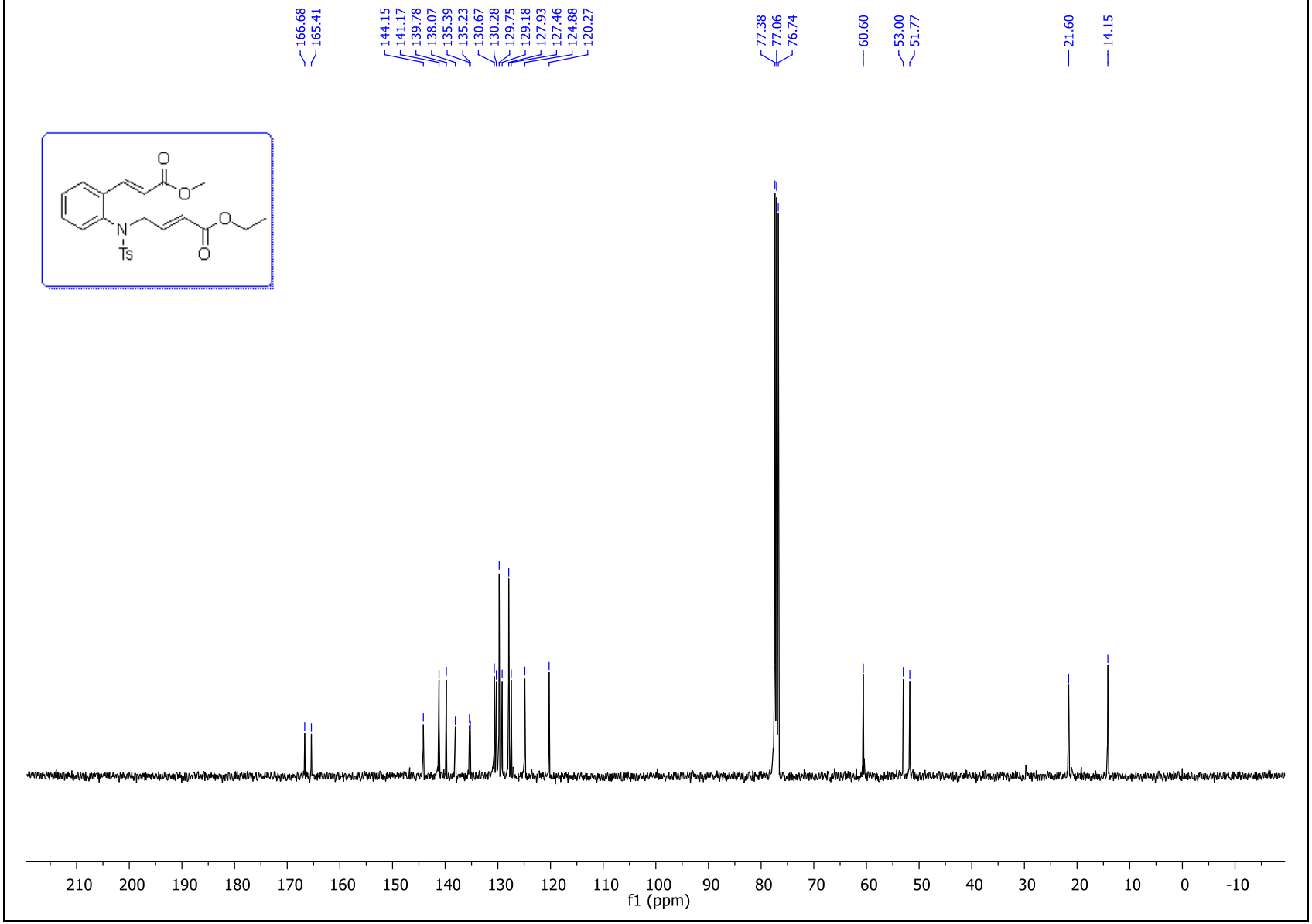
$^{13}C\{H\}$NMR of 1ae (101MHz, $CDCl_3$)



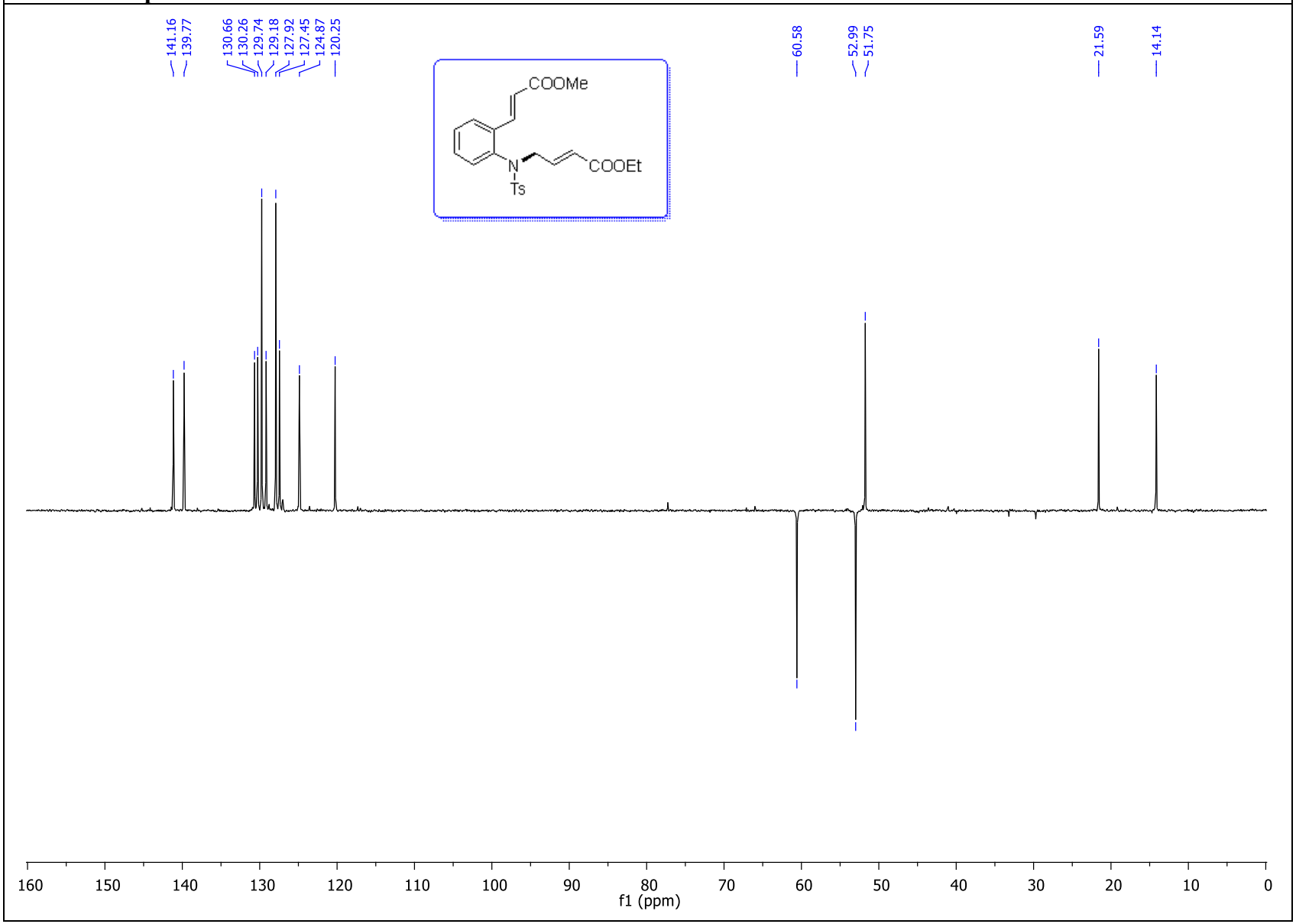
^{1}H NMR of 3a (400 MHz, $CDCl_3$)



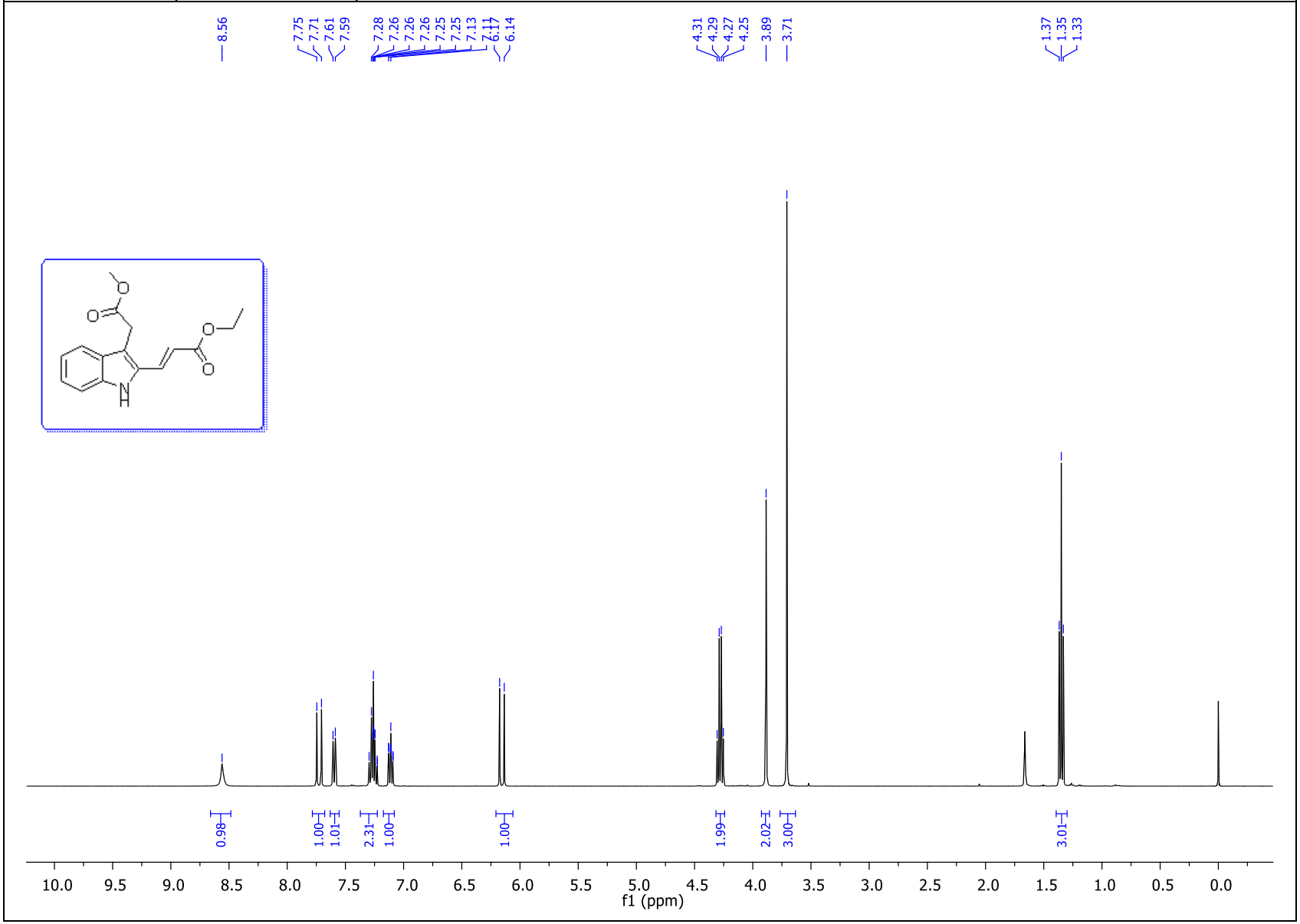
$^{13}C\{H\}$NMR of 3a (126 MHz, $CDCl_3$)



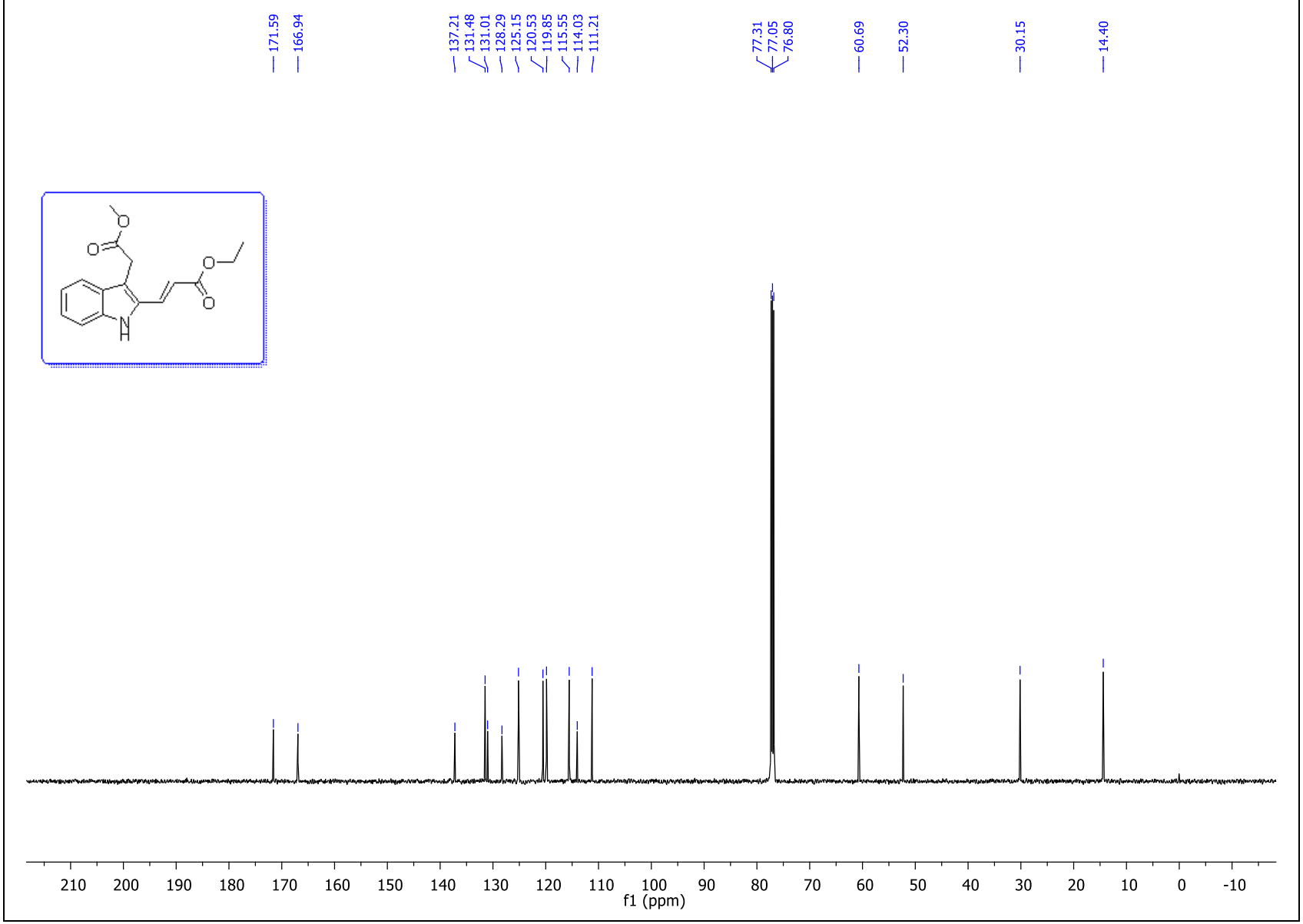
DEPT-135 Spectrum of 3a



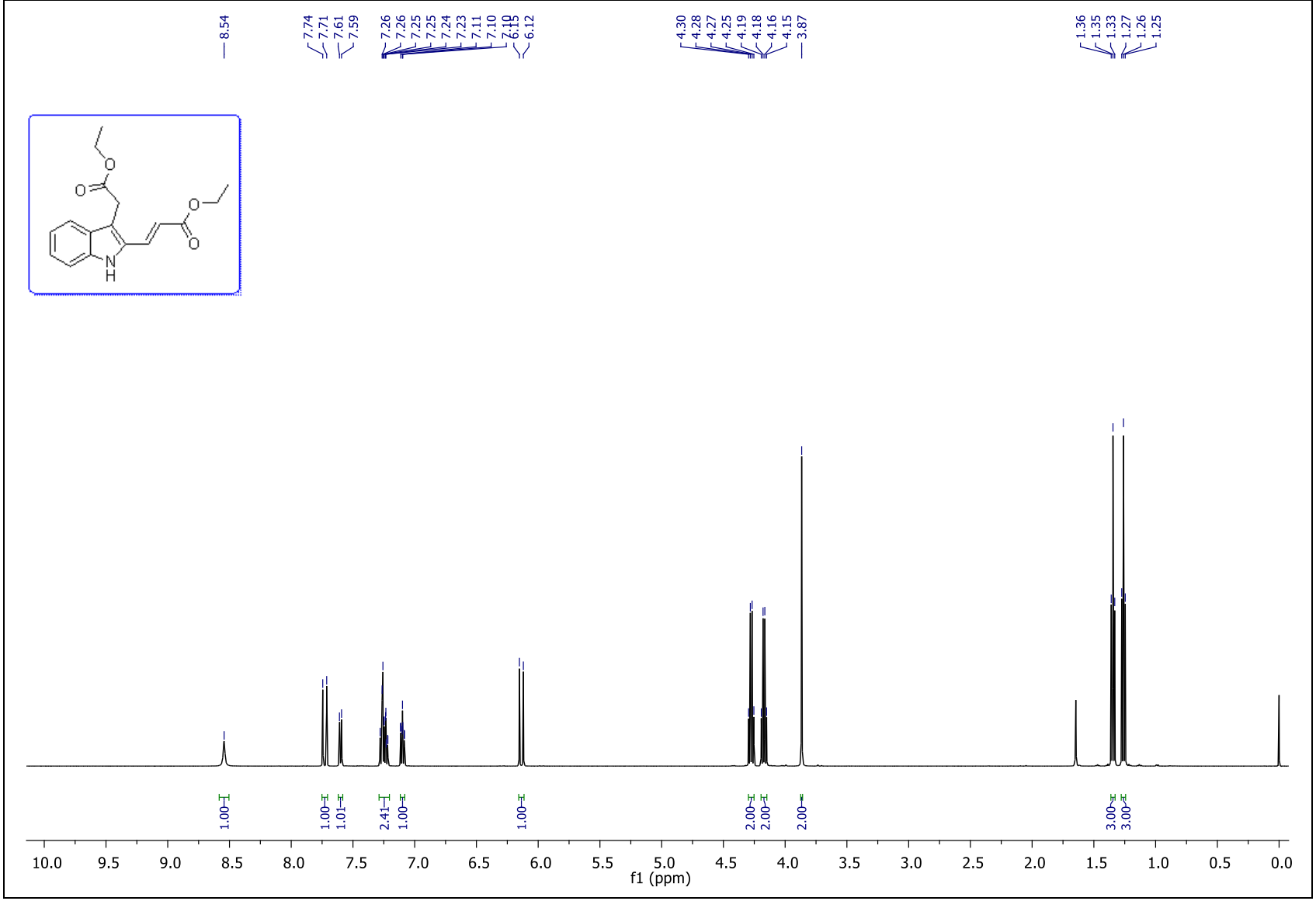
^{1}H NMR of 5a (400 MHz, $CDCl_3$)



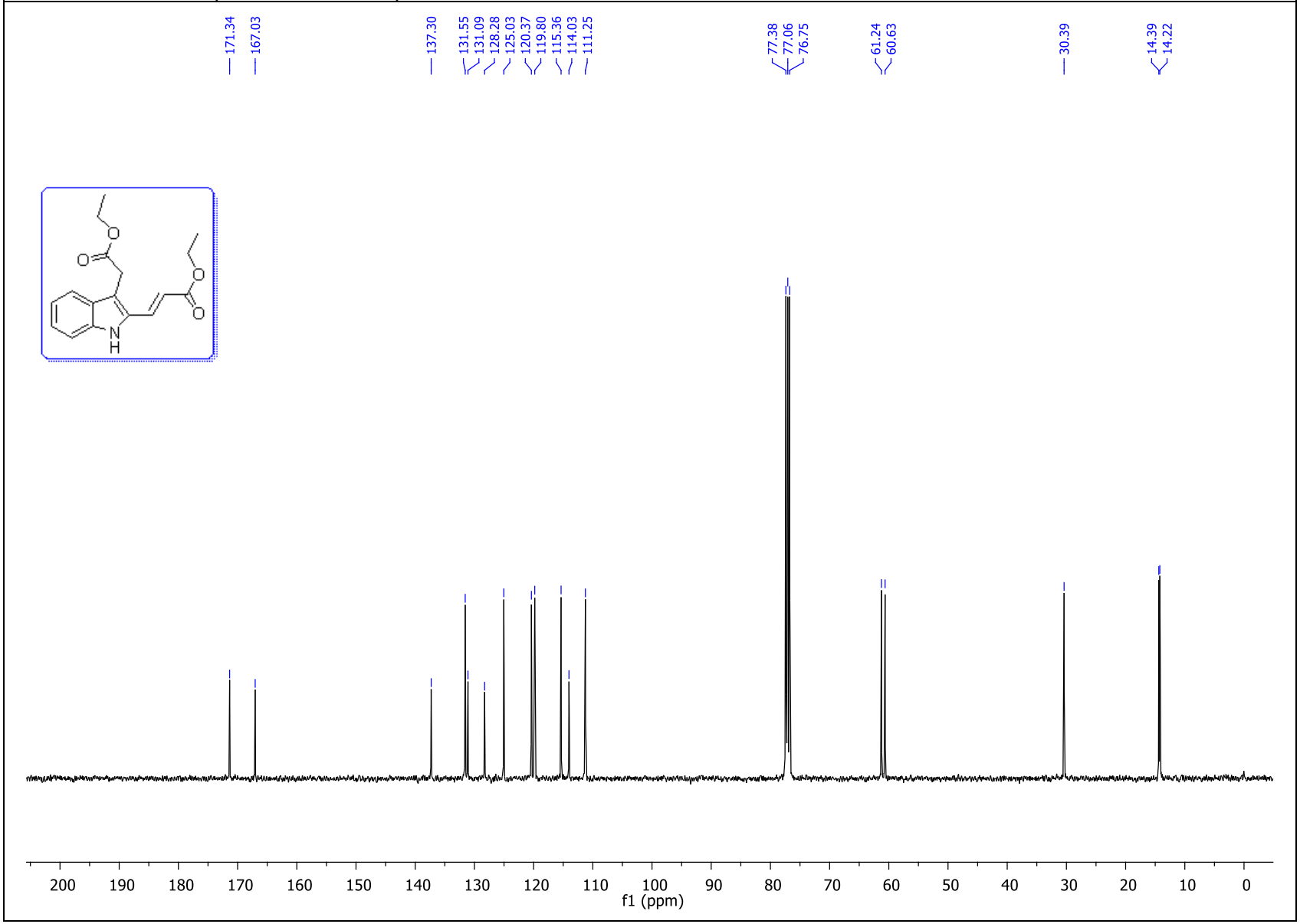
$^{13}C\{H\}$NMR of 5a (126 MHz, $CDCl_3$)



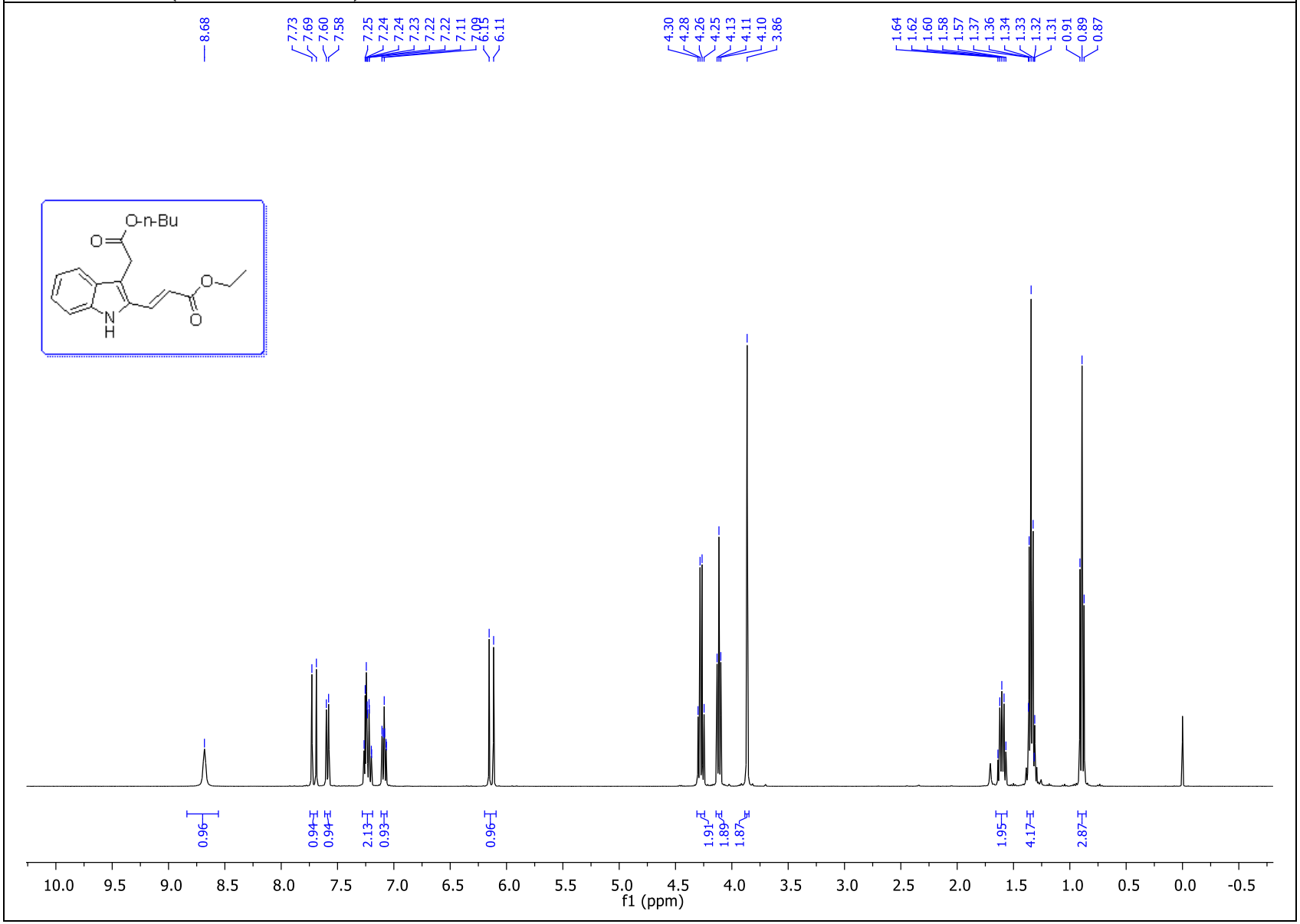
^{1}H NMR of 5b (400 MHz, $CDCl_3$)



$^{13}C\{H\}$NMR of 5b (101 MHz, $CDCl_3$)



^{1}H NMR of 5c (400 MHz, $CDCl_3$)



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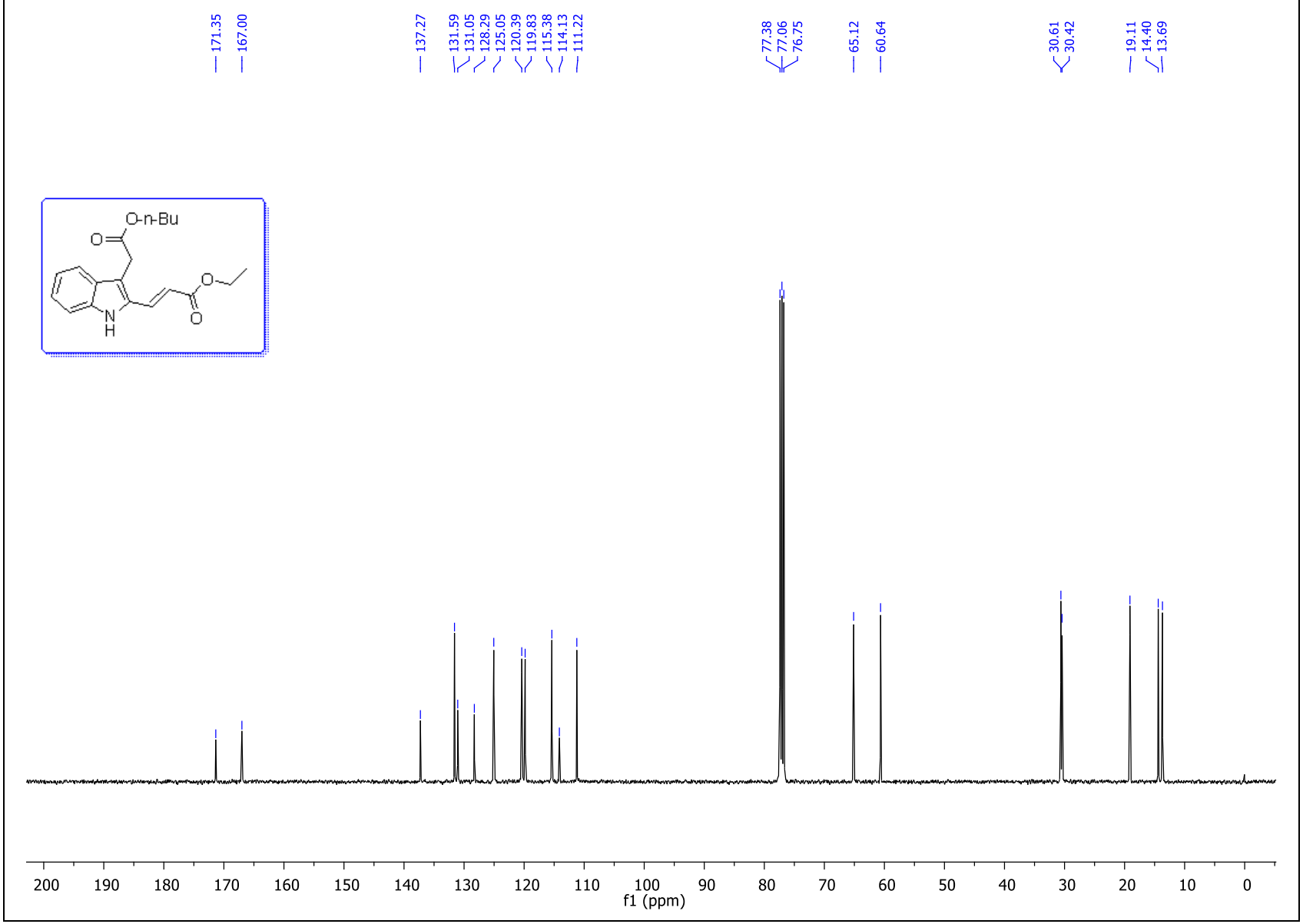

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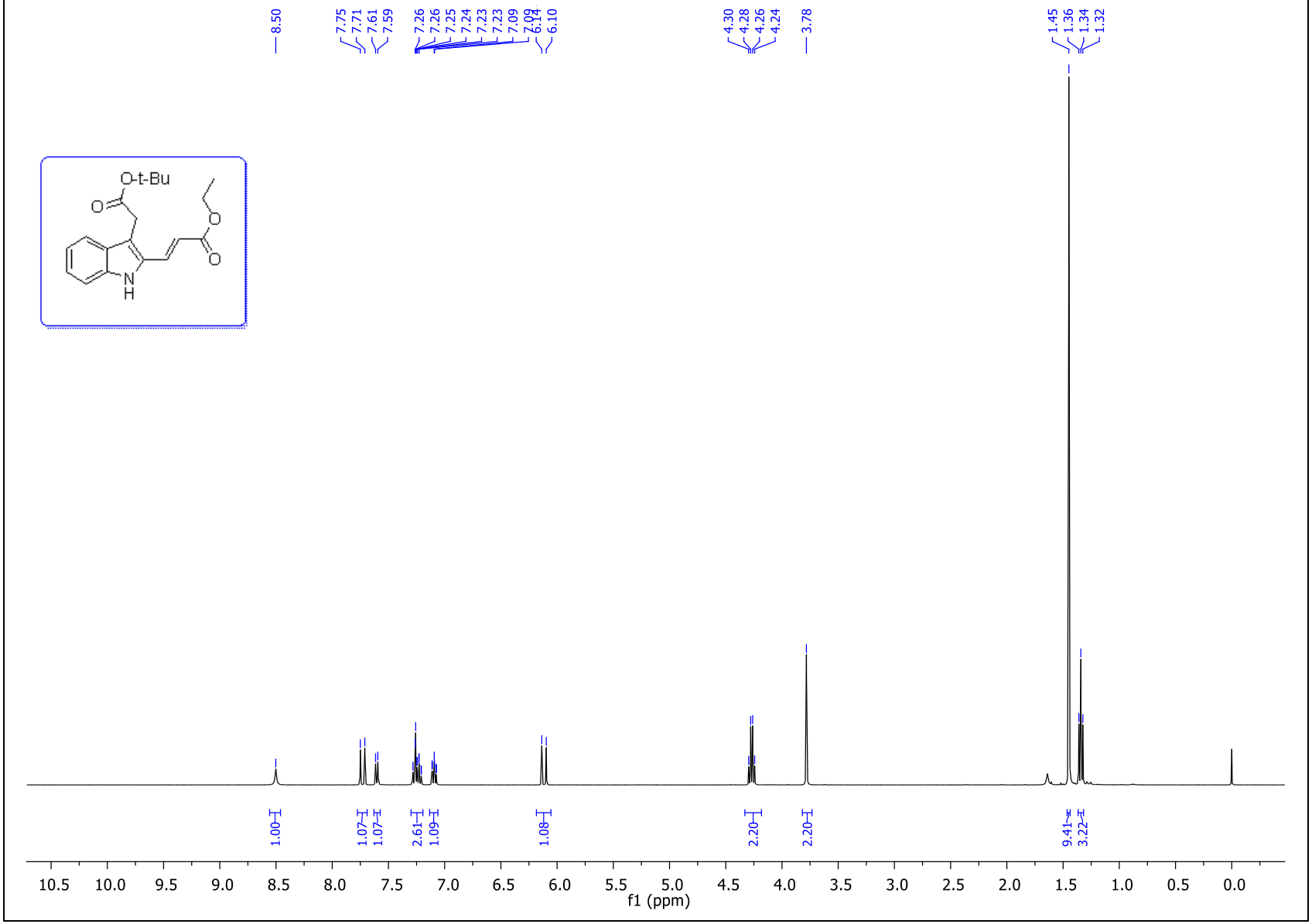
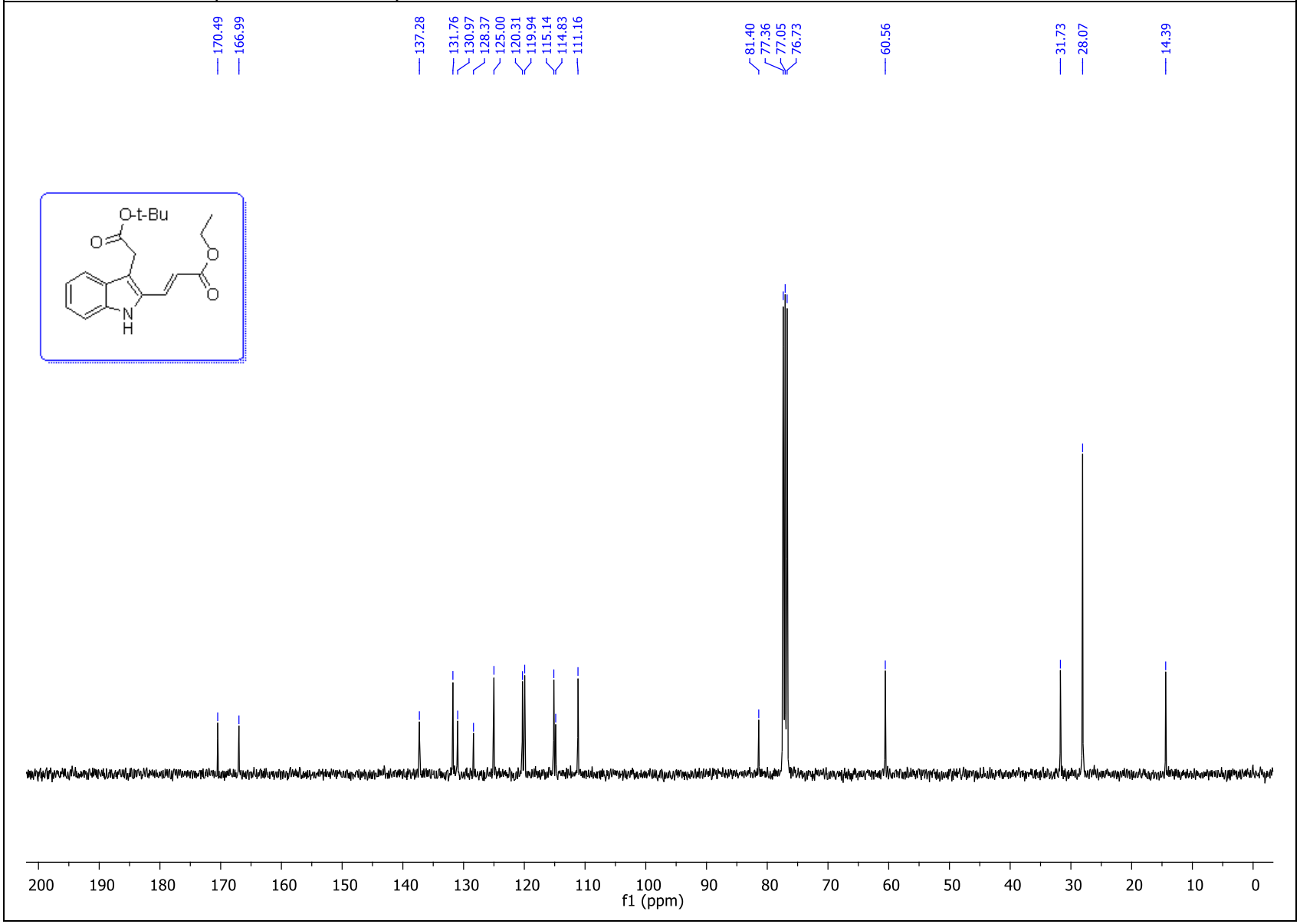
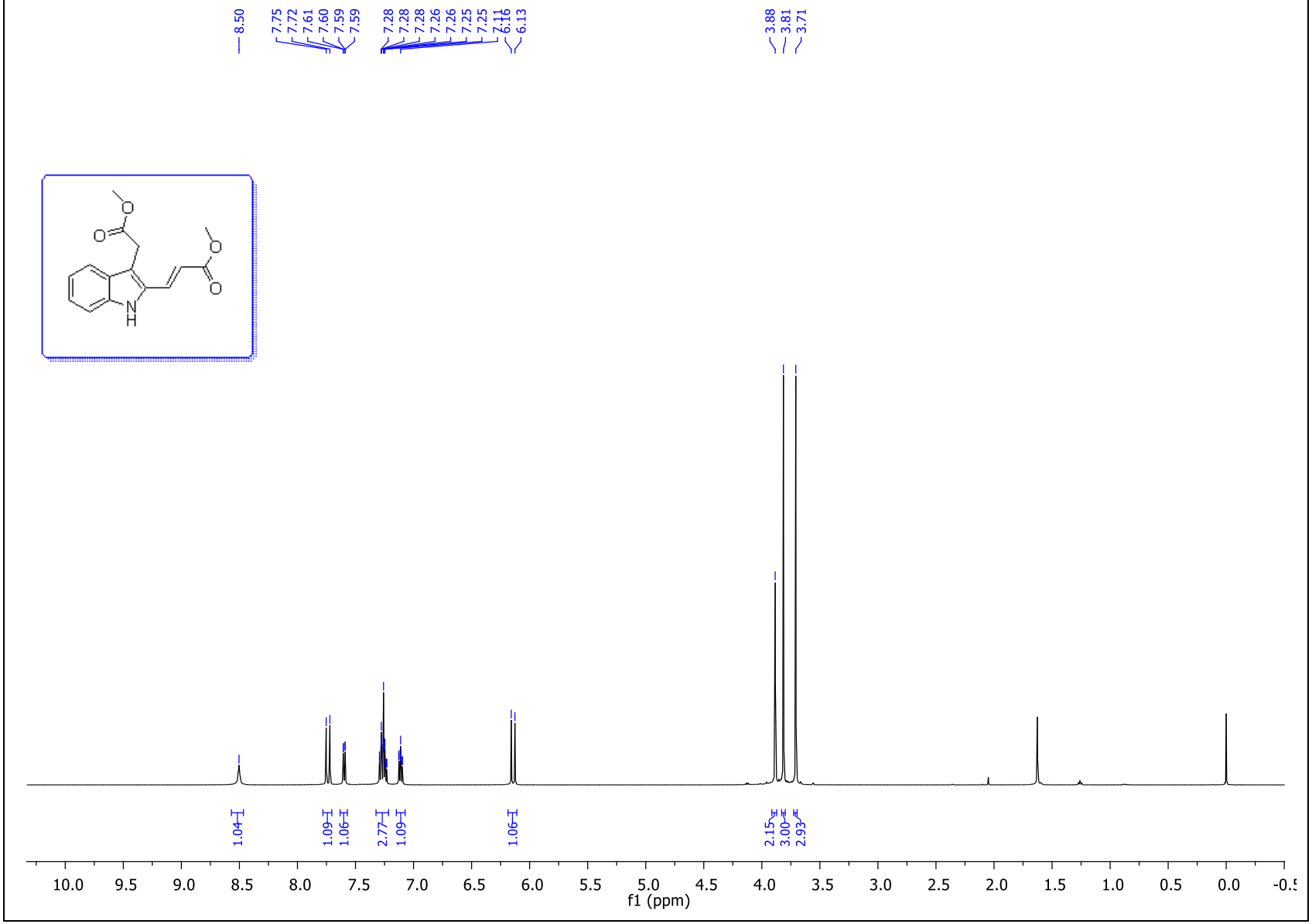


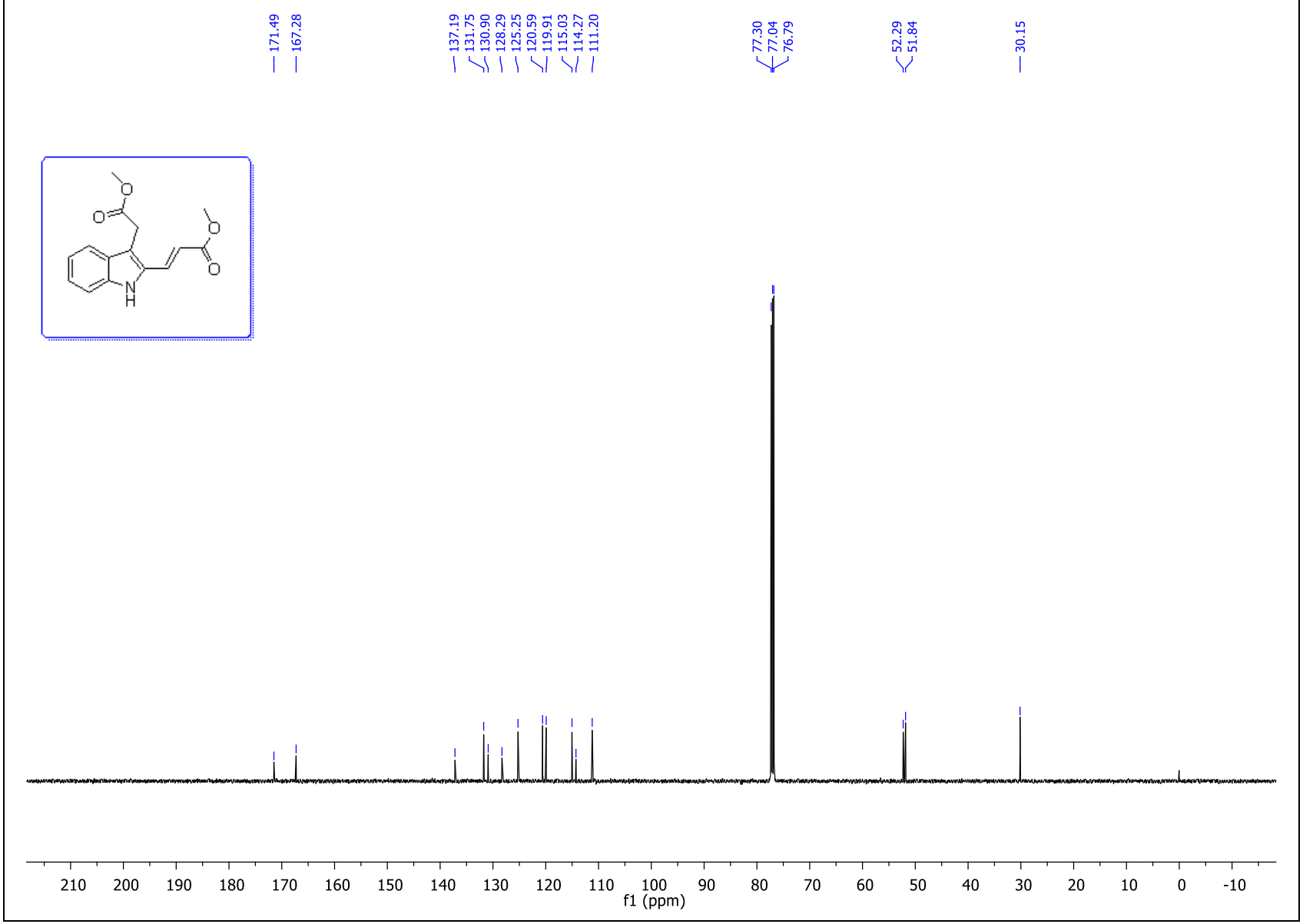
$^{13}C\{H\}$NMR of 5d (101 MHz, $CDCl_3$)



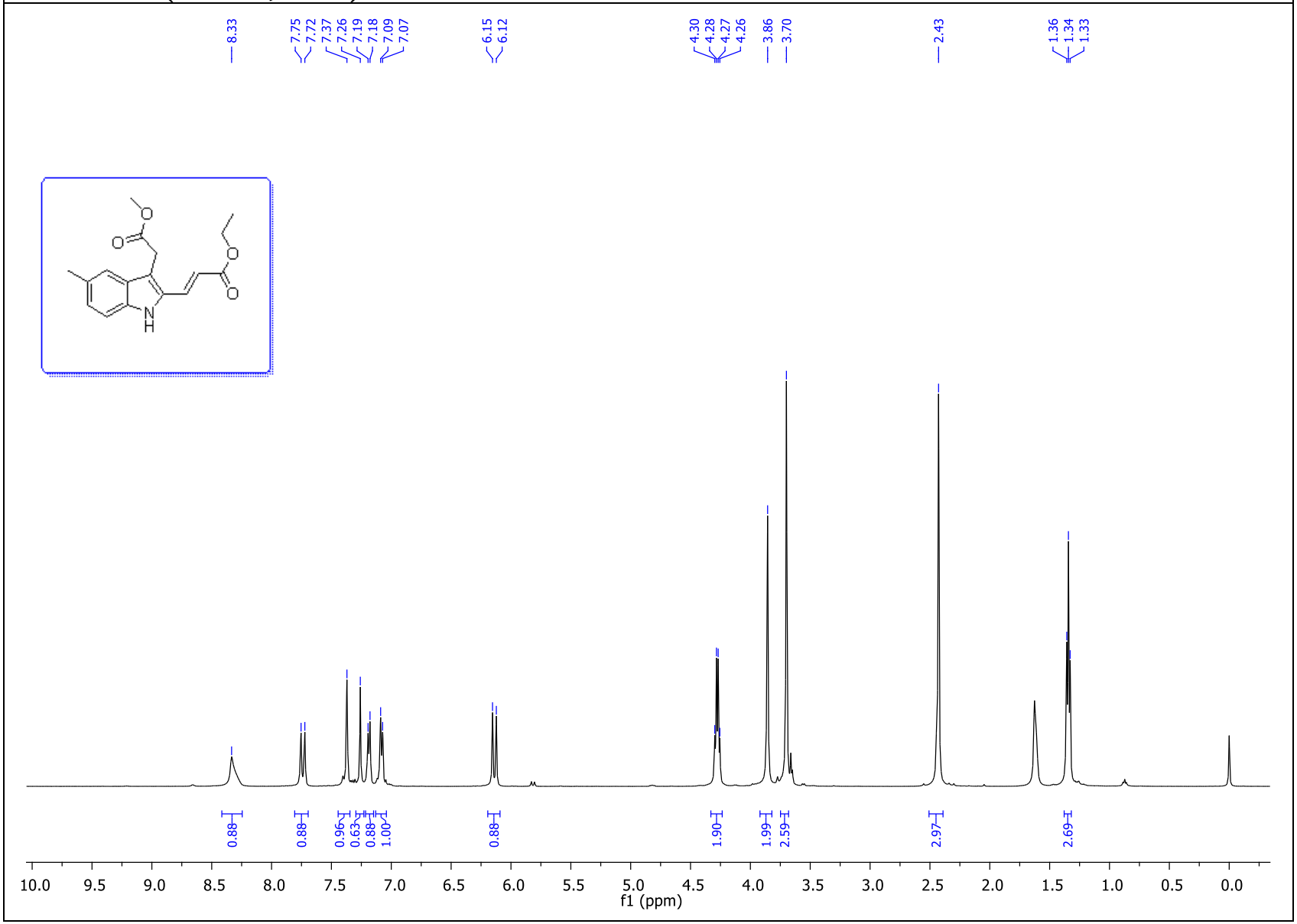
^{1}H NMR of 5e (500 MHz, $CDCl_3$)



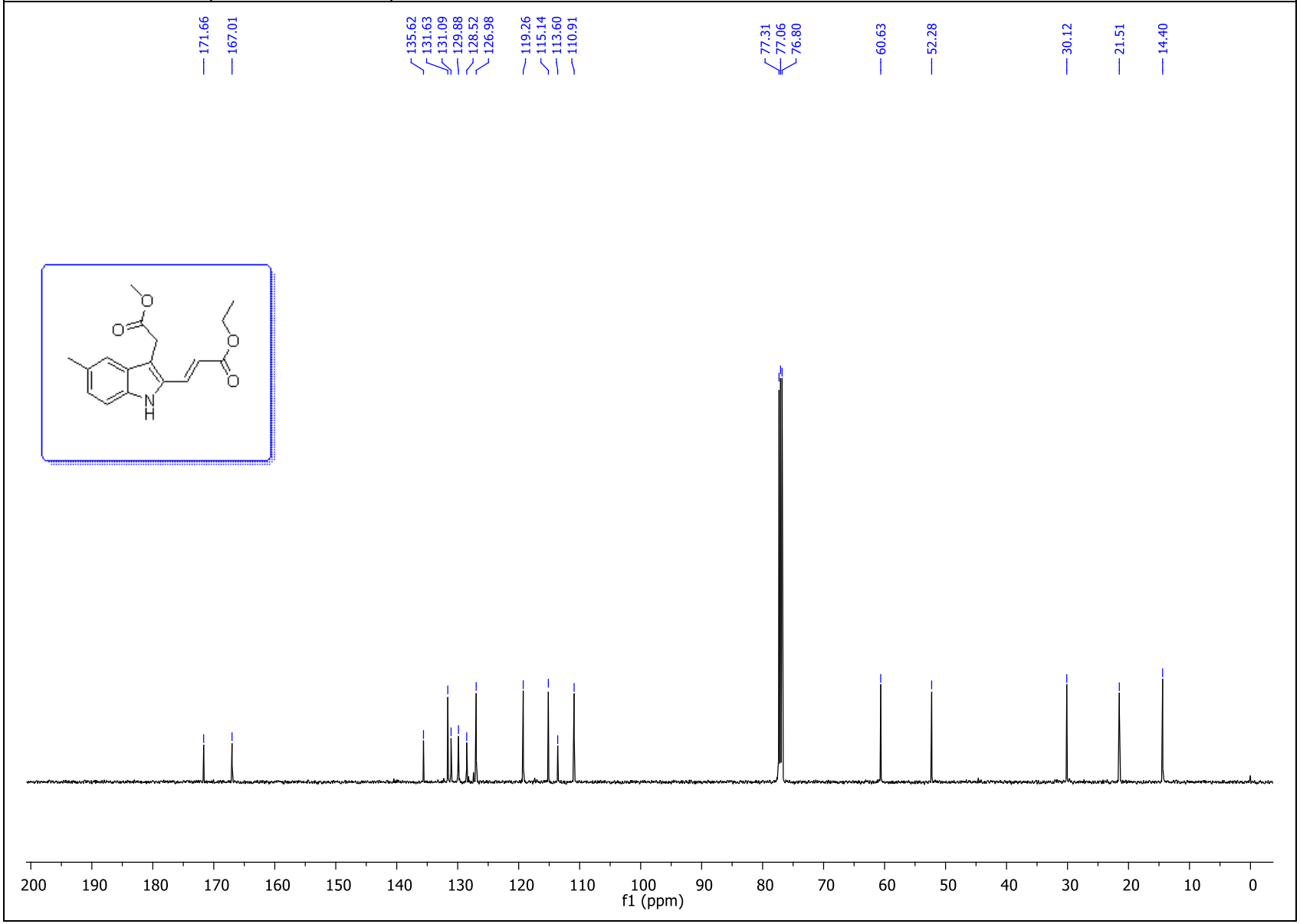
$^{13}C\{H\}$NMR of 5e (126 MHz, $CDCl_3$)



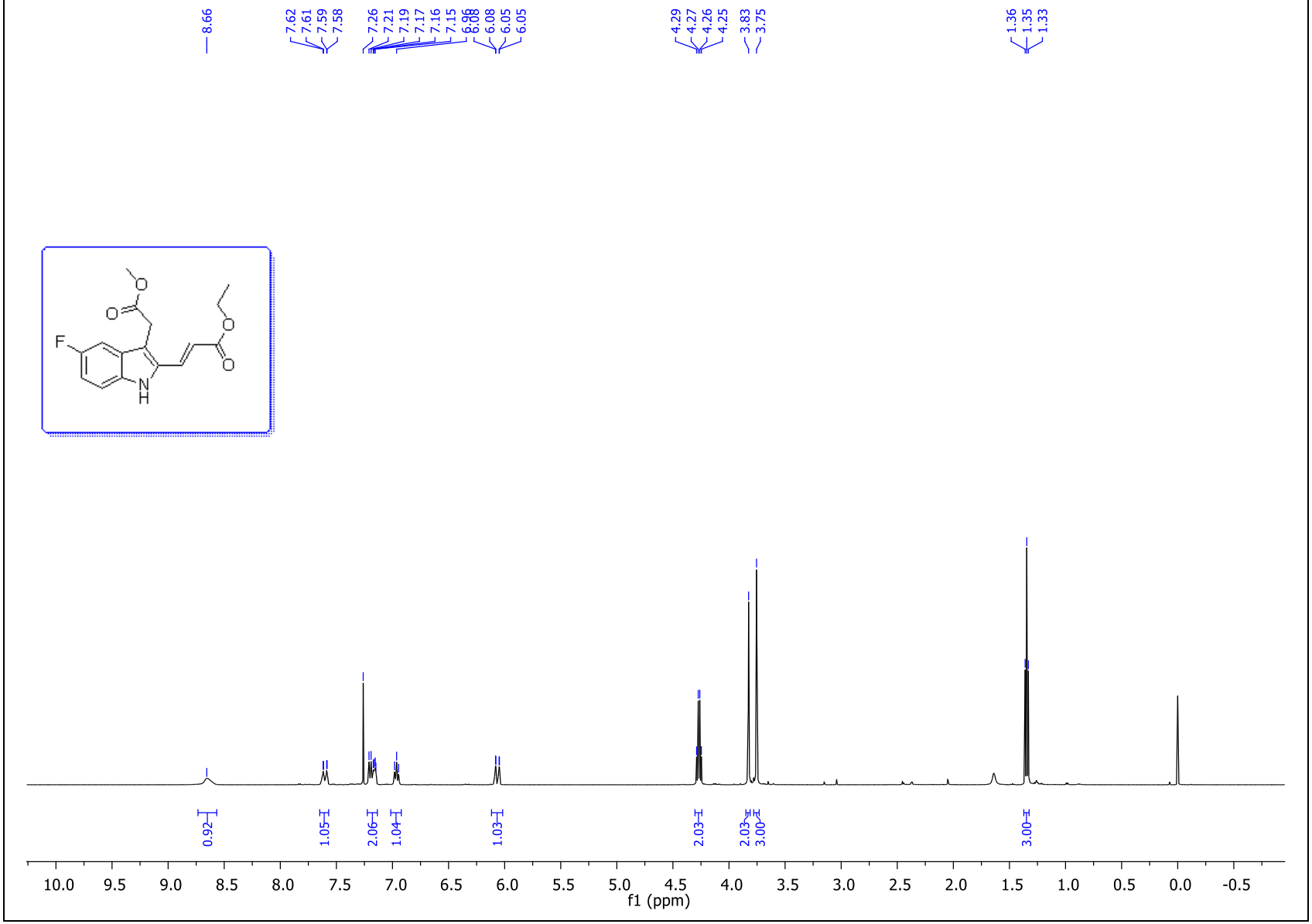
^{1}H NMR of 5f (500 MHz, $CDCl_3$)



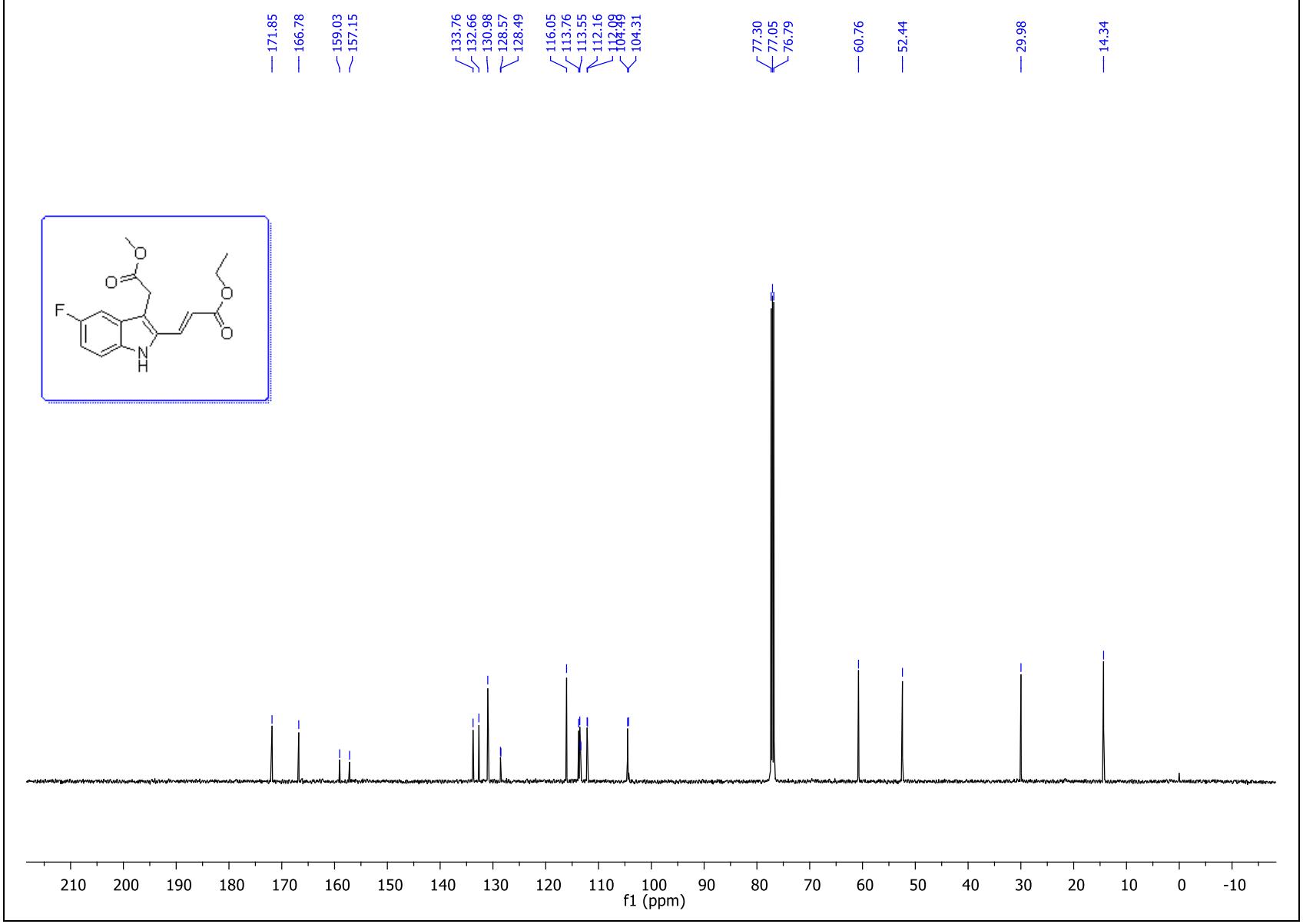
$^{13}C\{H\}$NMR of 5f (126 MHz, $CDCl_3$)



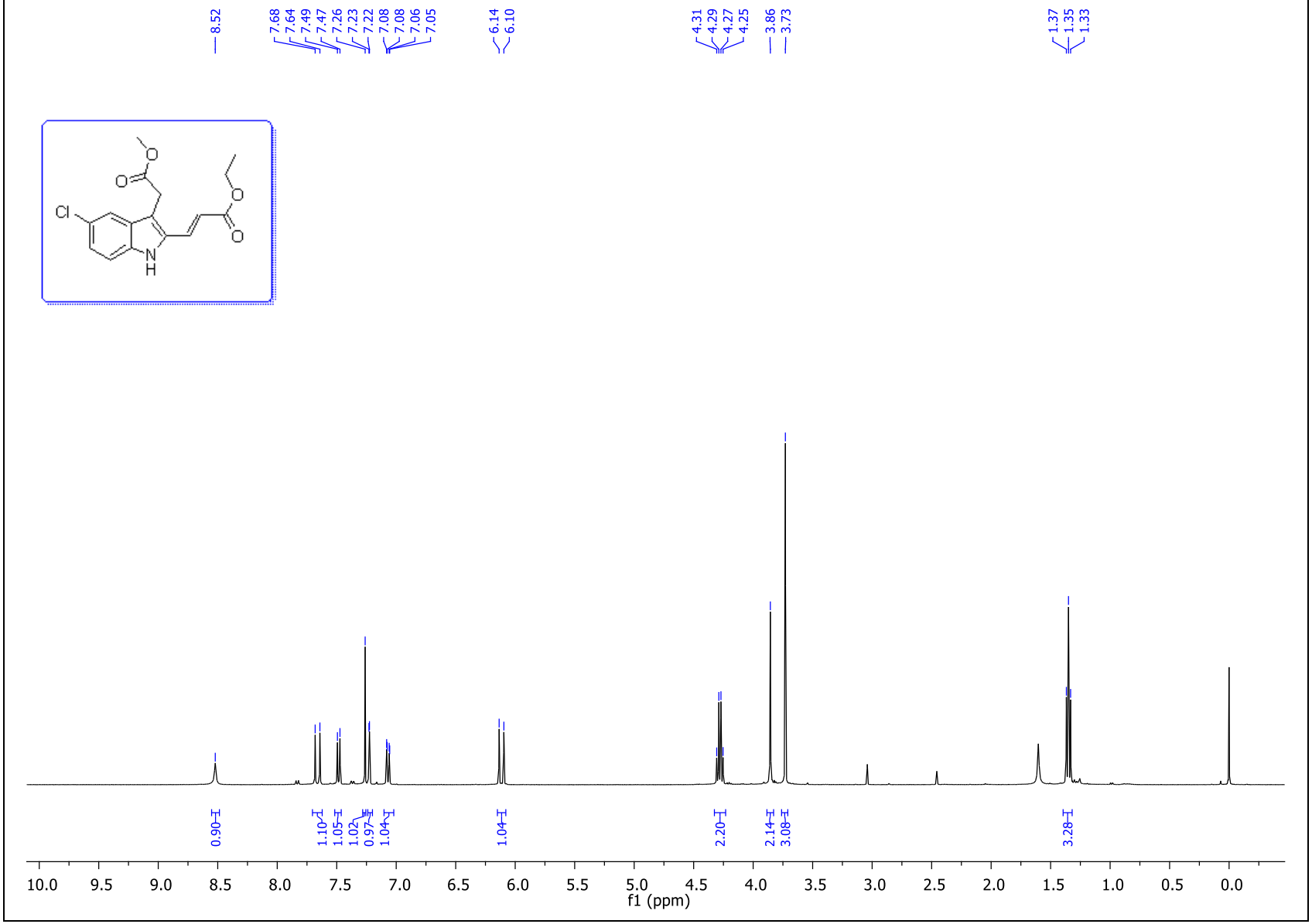
^{1}H NMR of 5g (500 MHz, $CDCl_3$)



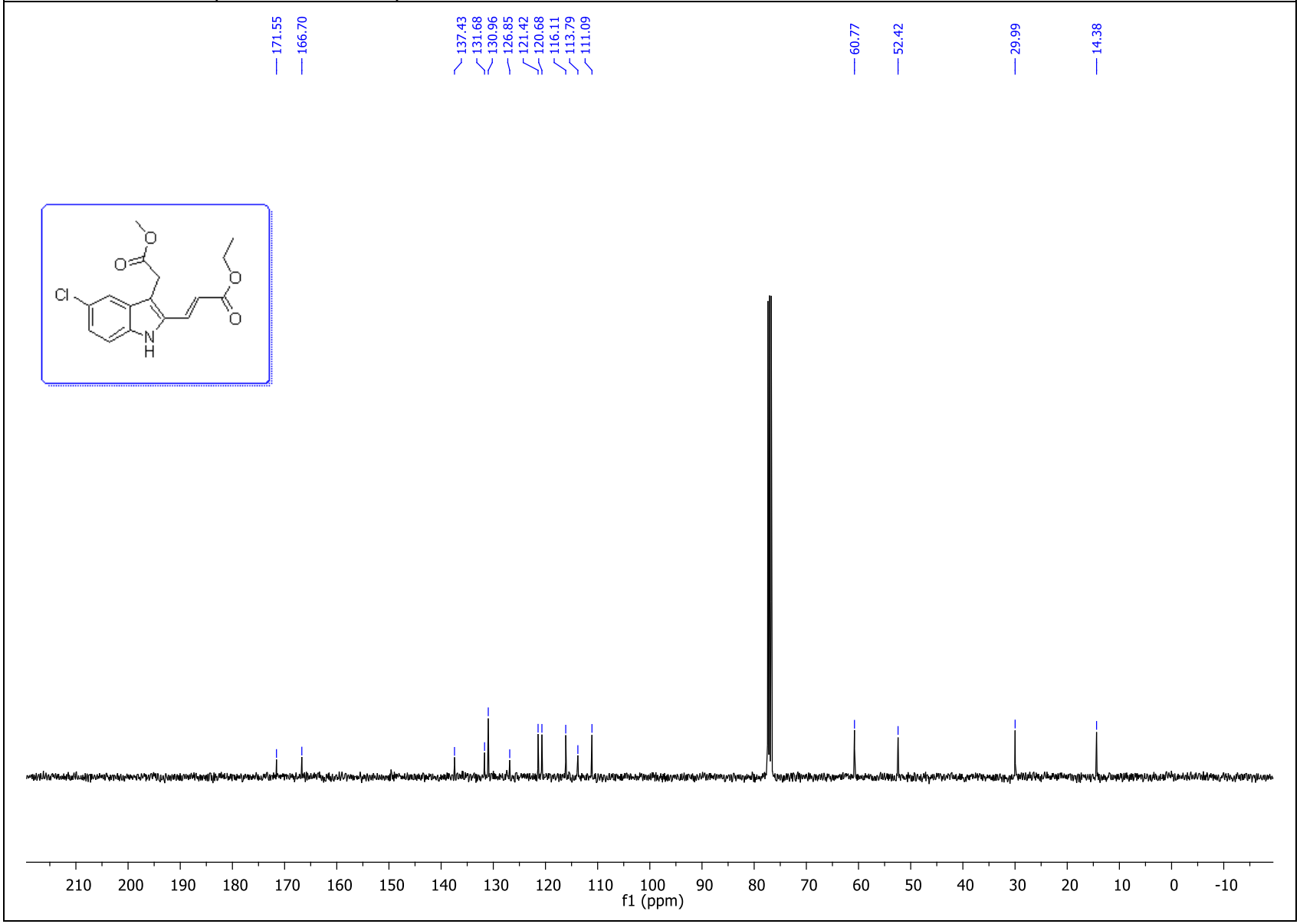
$^{13}C\{H\}$NMR of 5g (126 MHz, $CDCl_3$)



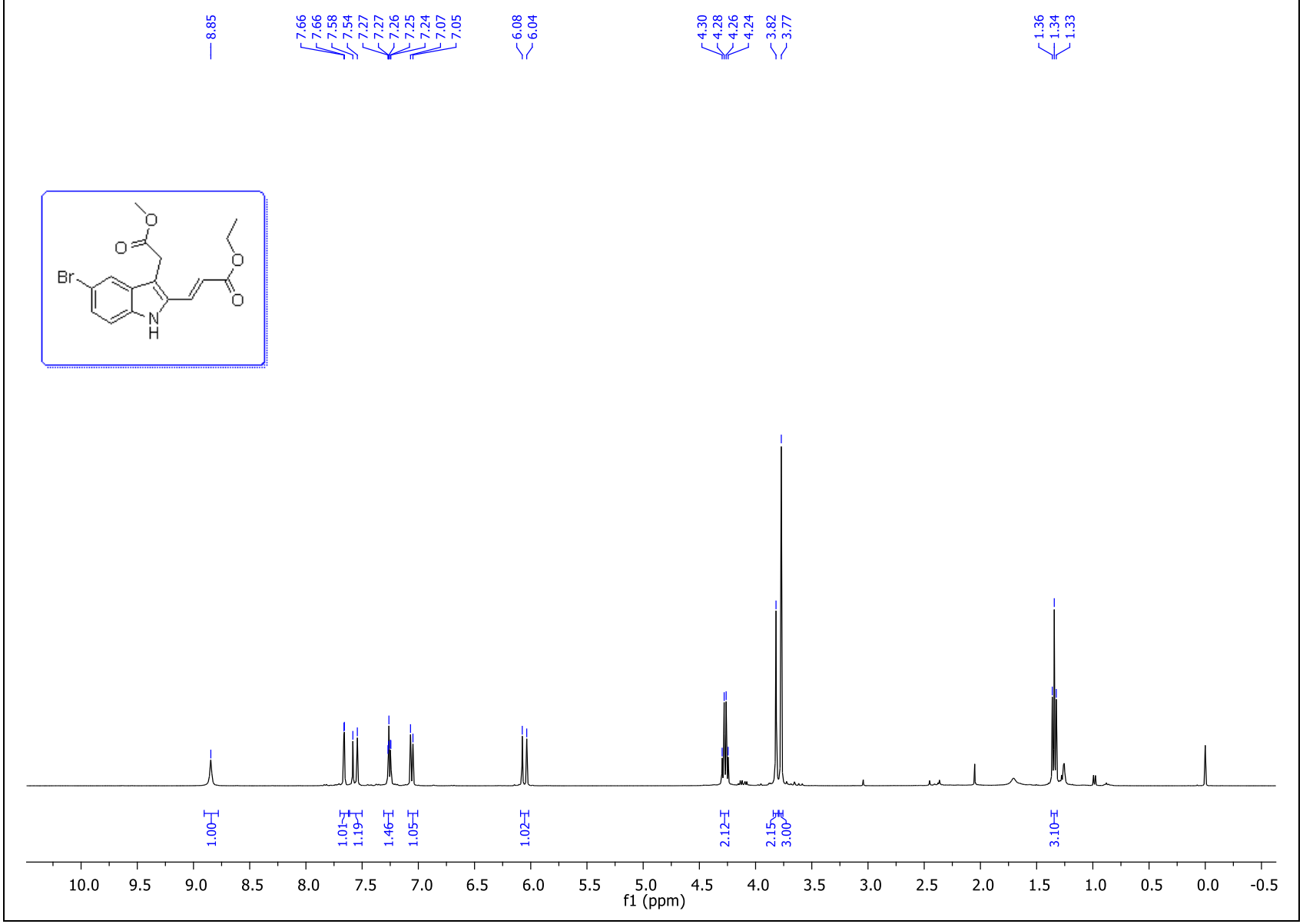
^{1}H NMR of 5h (400 MHz, $CDCl_3$)



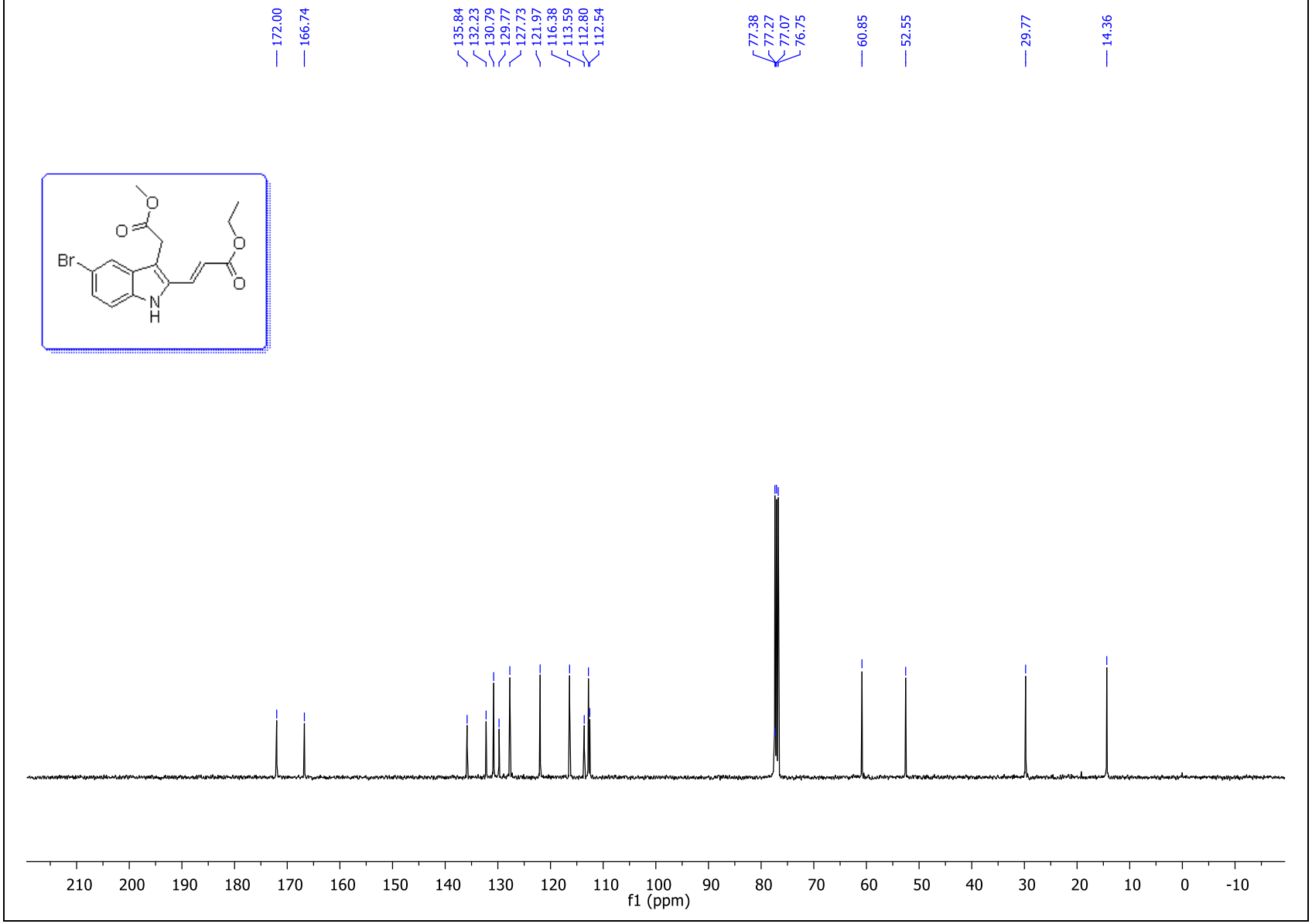
$^{13}C\{H\}$NMR of 5h (101 MHz, $CDCl_3$)



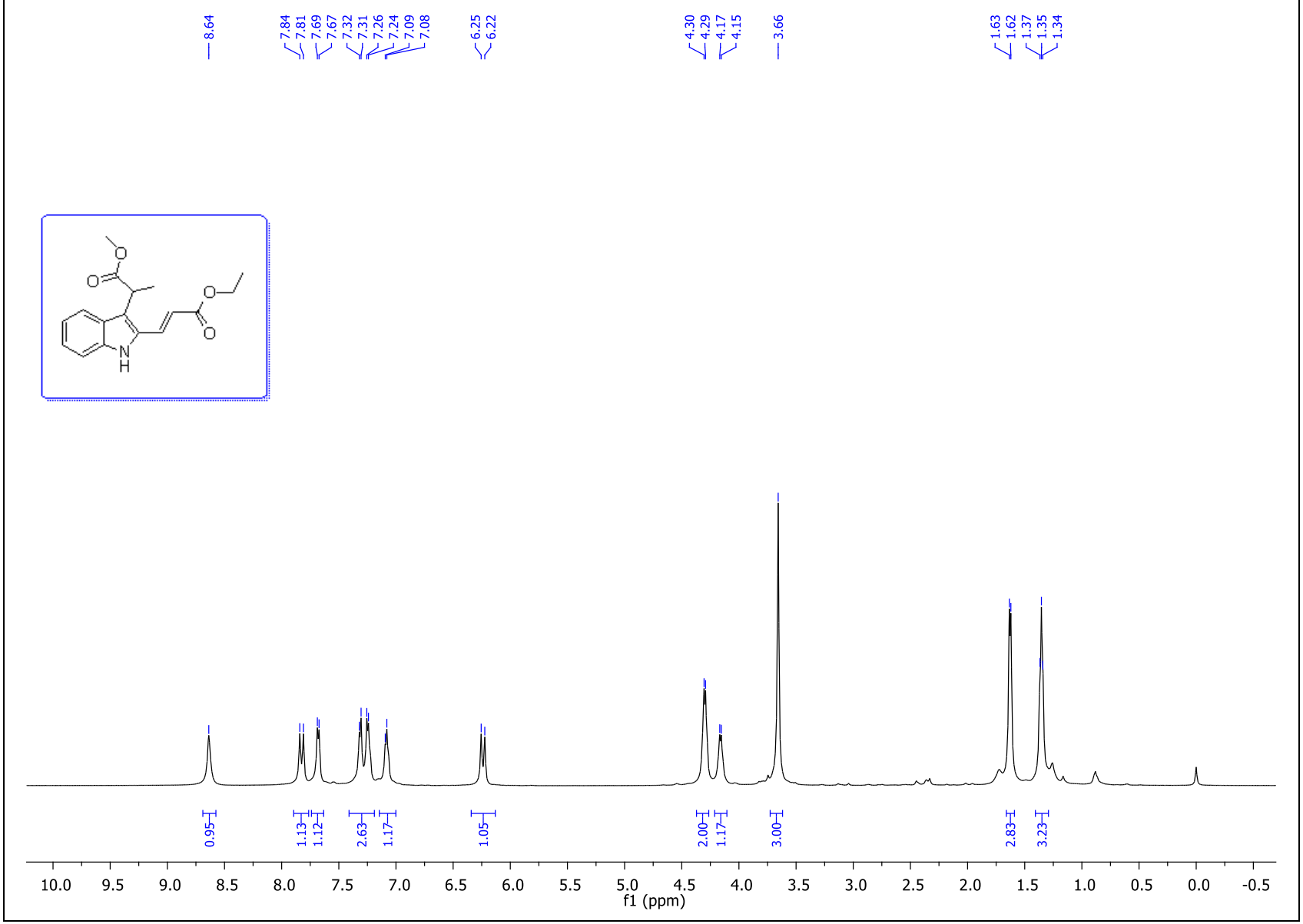
^{1}H NMR of 5i (400 MHz, $CDCl_3$)



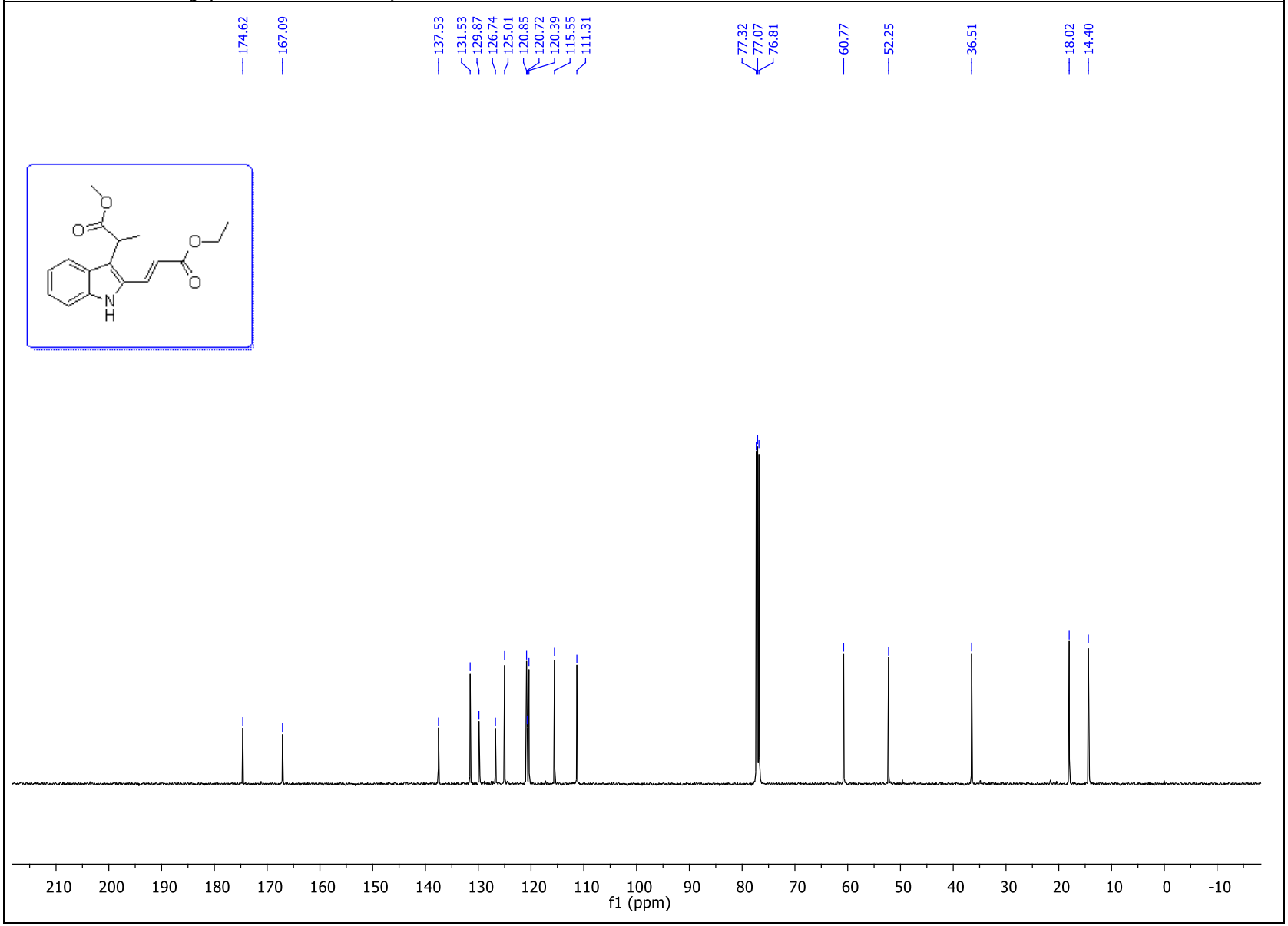
$^{13}C\{H\}$NMR of 5i (101 MHz, $CDCl_3$)



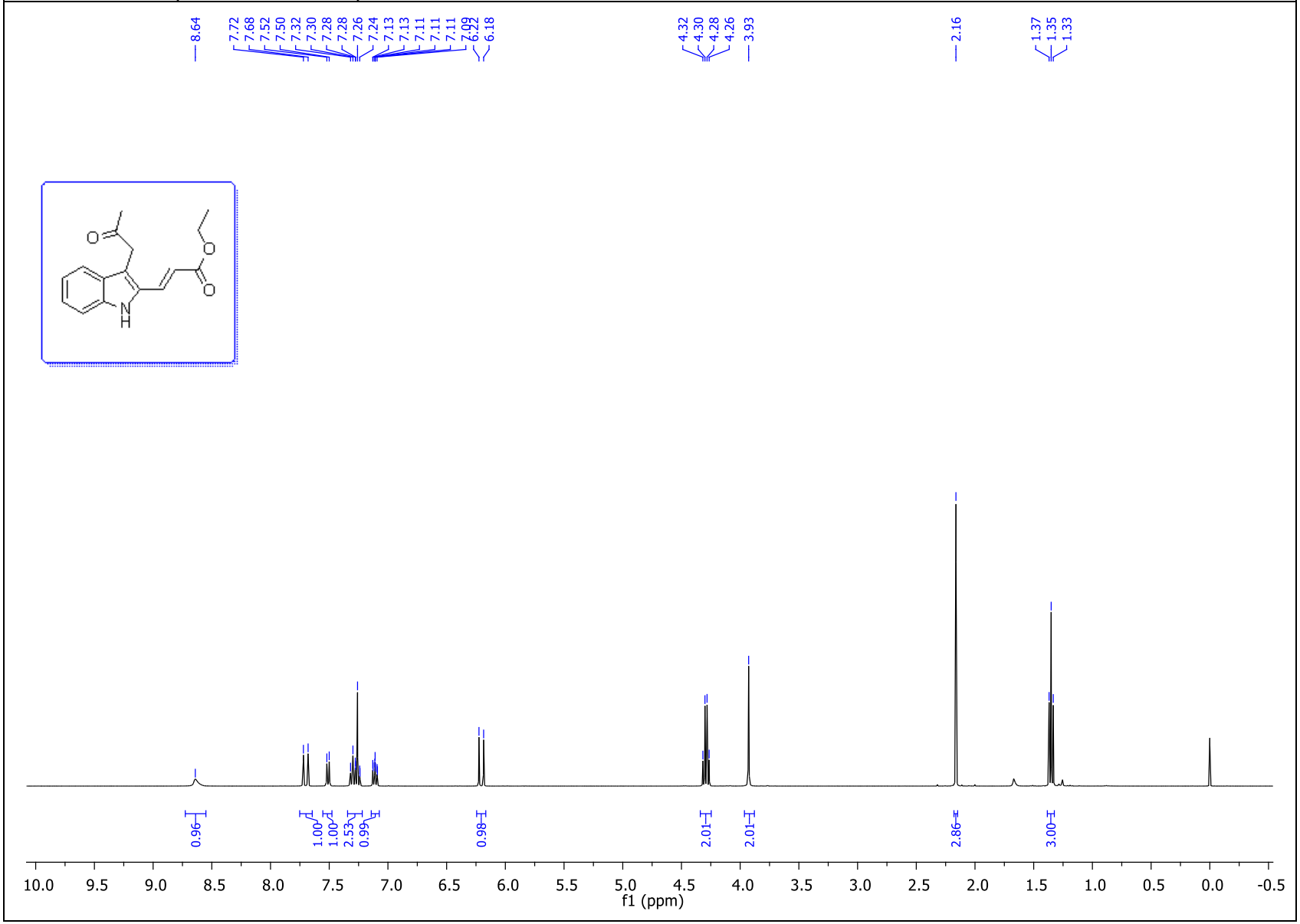
^{1}H NMR of 5j (500 MHz, $CDCl_3$)



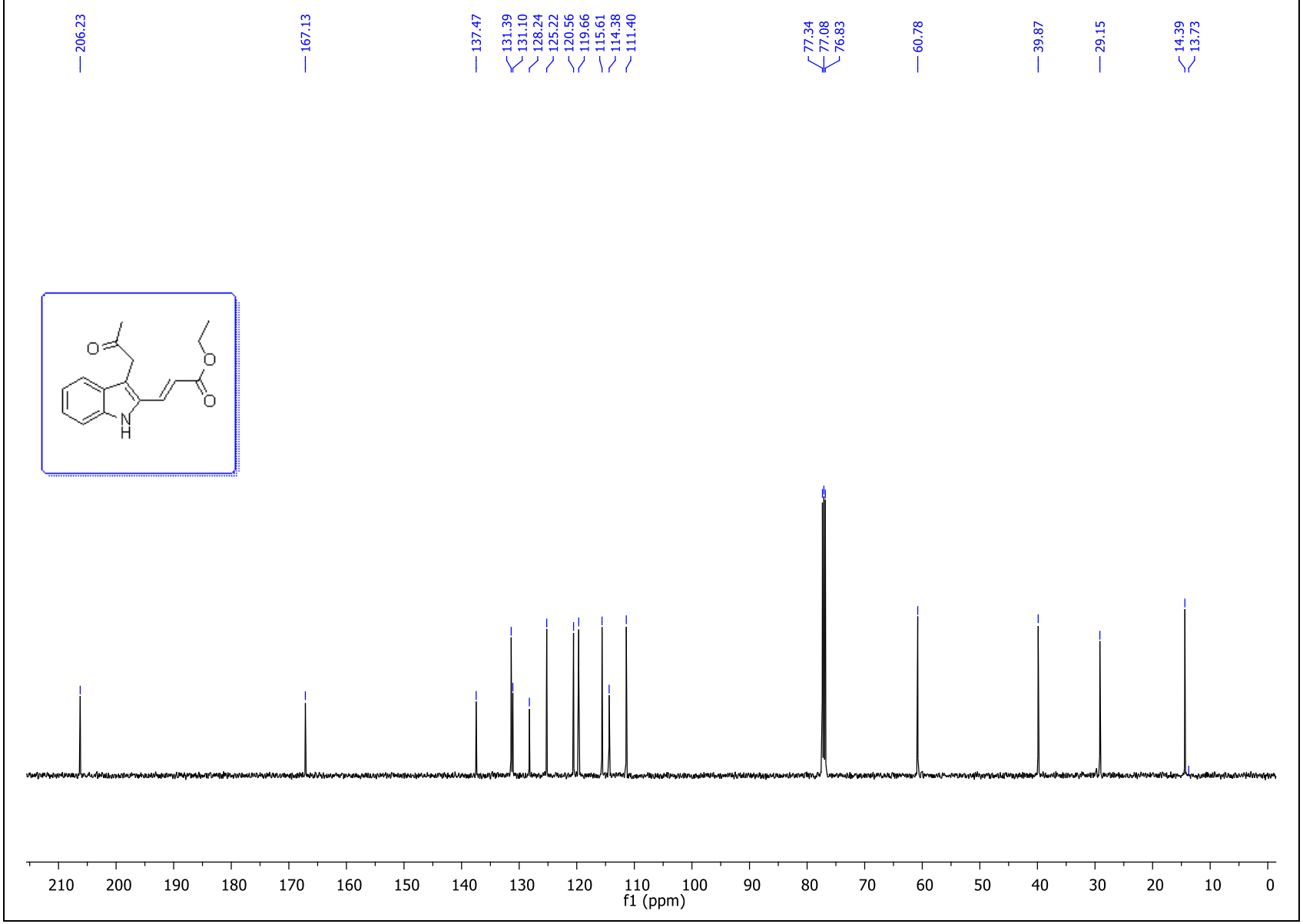
$^{13}C\{H\}$NMR of 5j (126 MHz, $CDCl_3$)



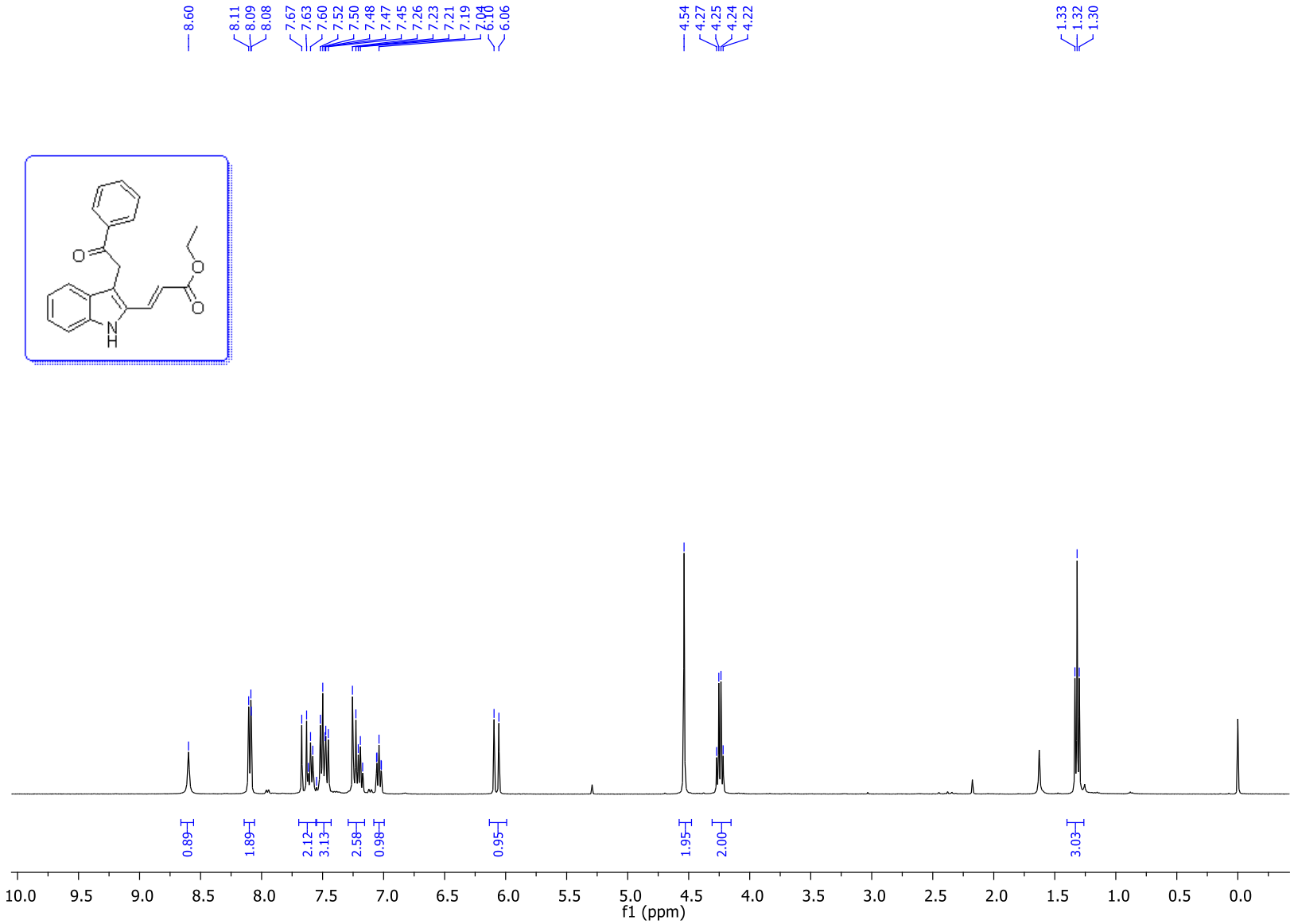
^{1}H NMR of 5k (400 MHz, $CDCl_3$)



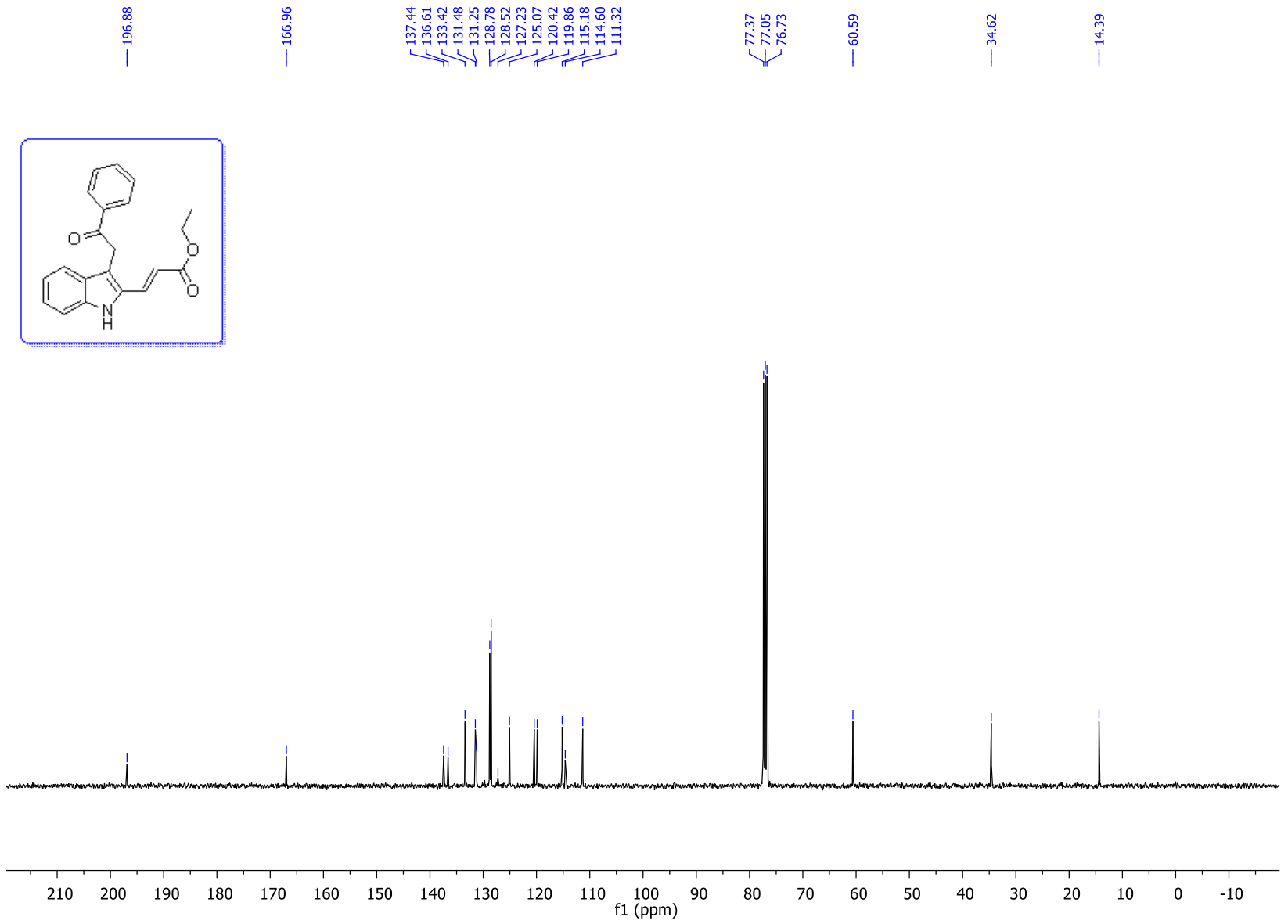
^{13}C NMR of 5k (126 MHz, $CDCl_3$)



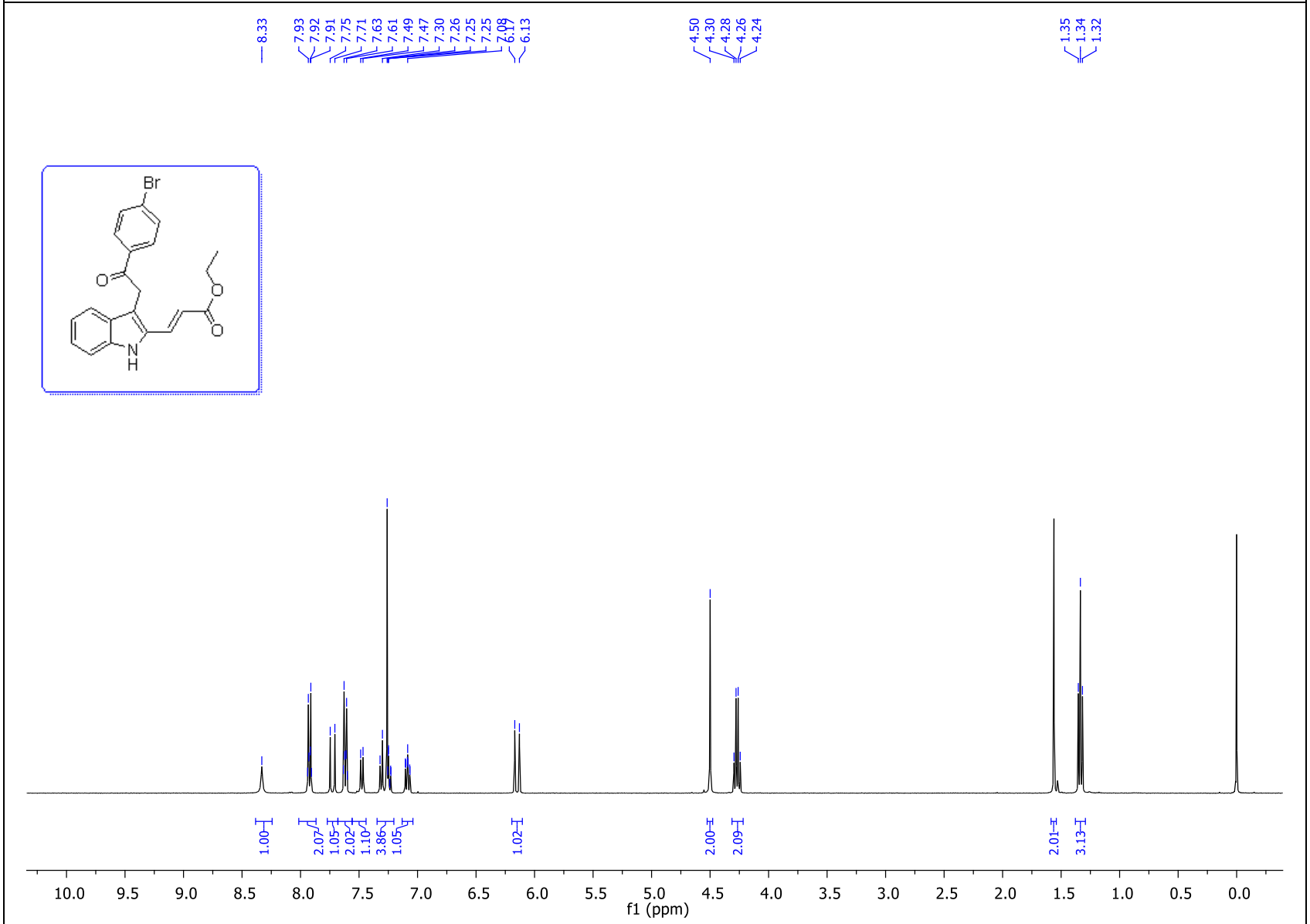
^{1}H NMR of 5l (400 MHz, $CDCl_3$)



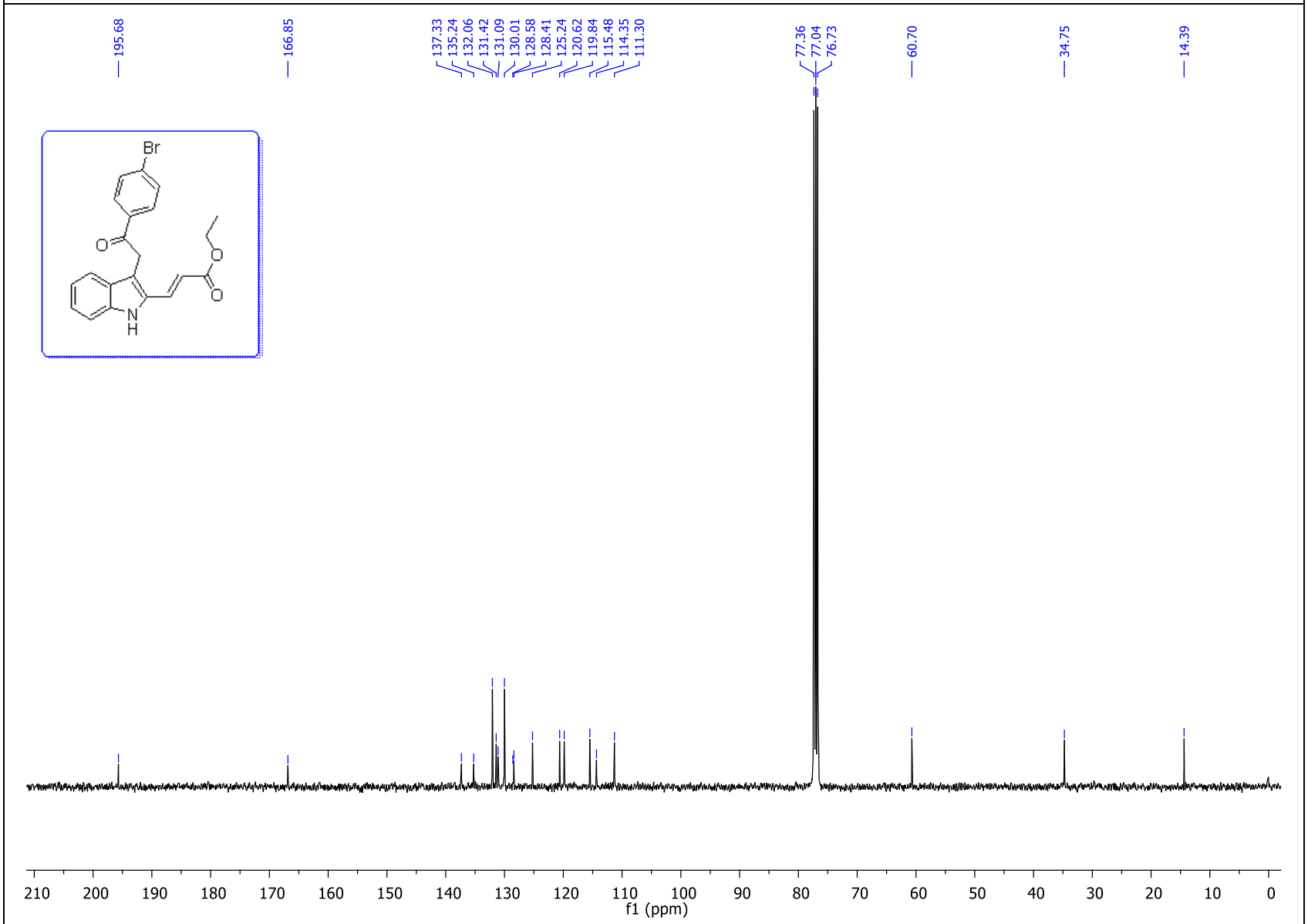
$^{13}C\{H\}$NMR of 5l (101 MHz, $CDCl_3$)



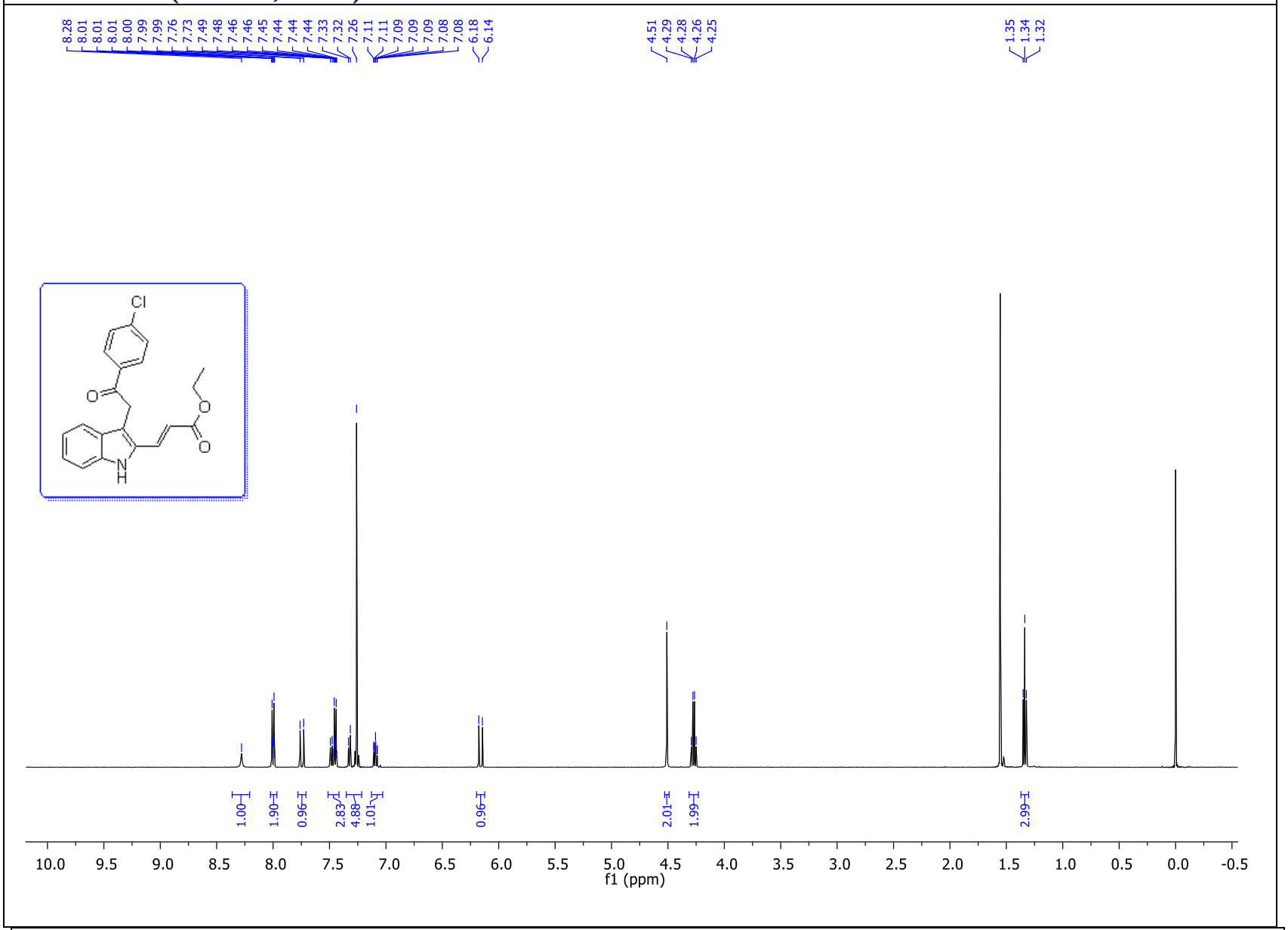
^{1}H-NMR of 5m (400 MHz, $CDCl_3$)



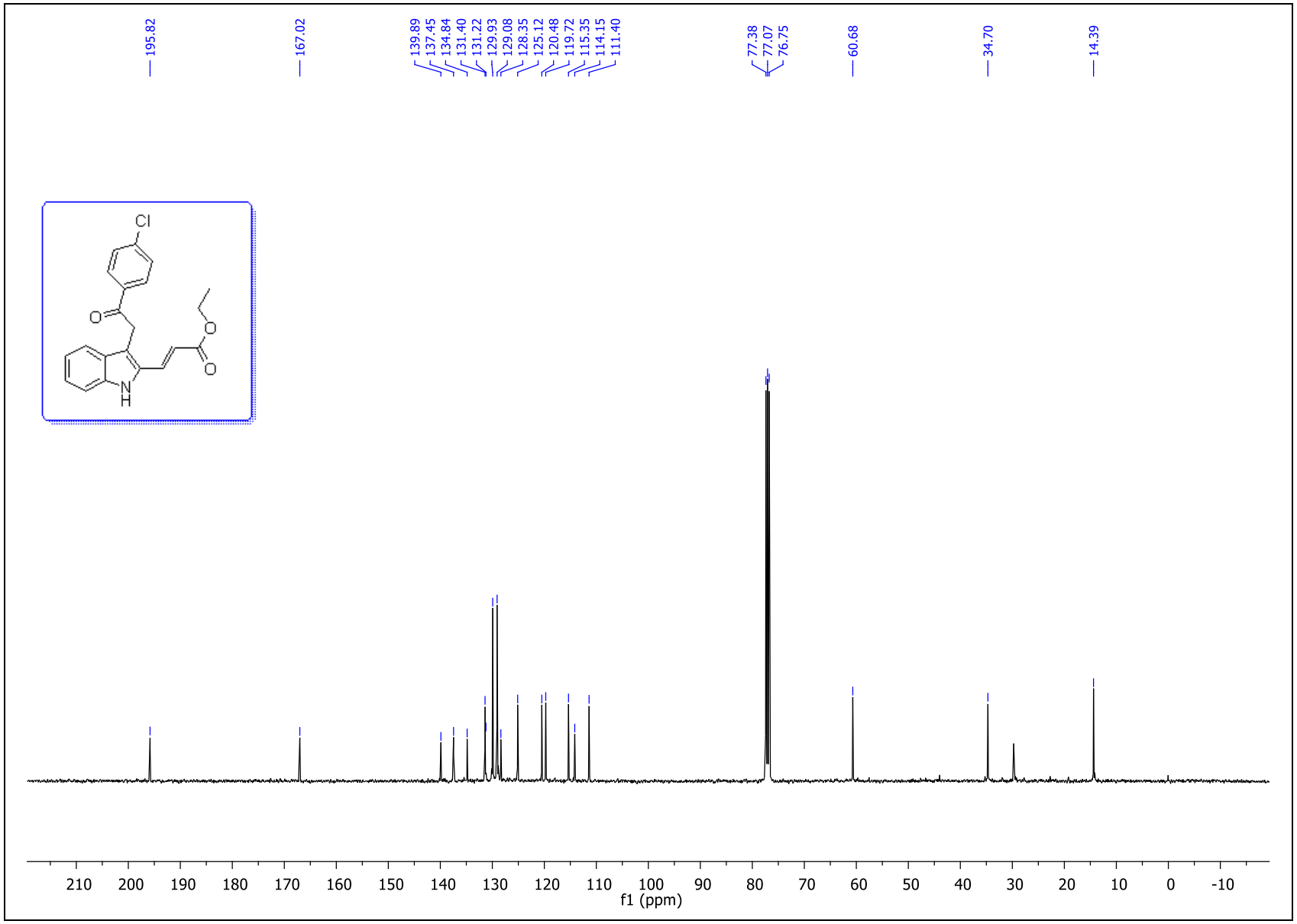
$^{13}C\{H\}$NMR of 5m (101 MHz, $CDCl_3$)



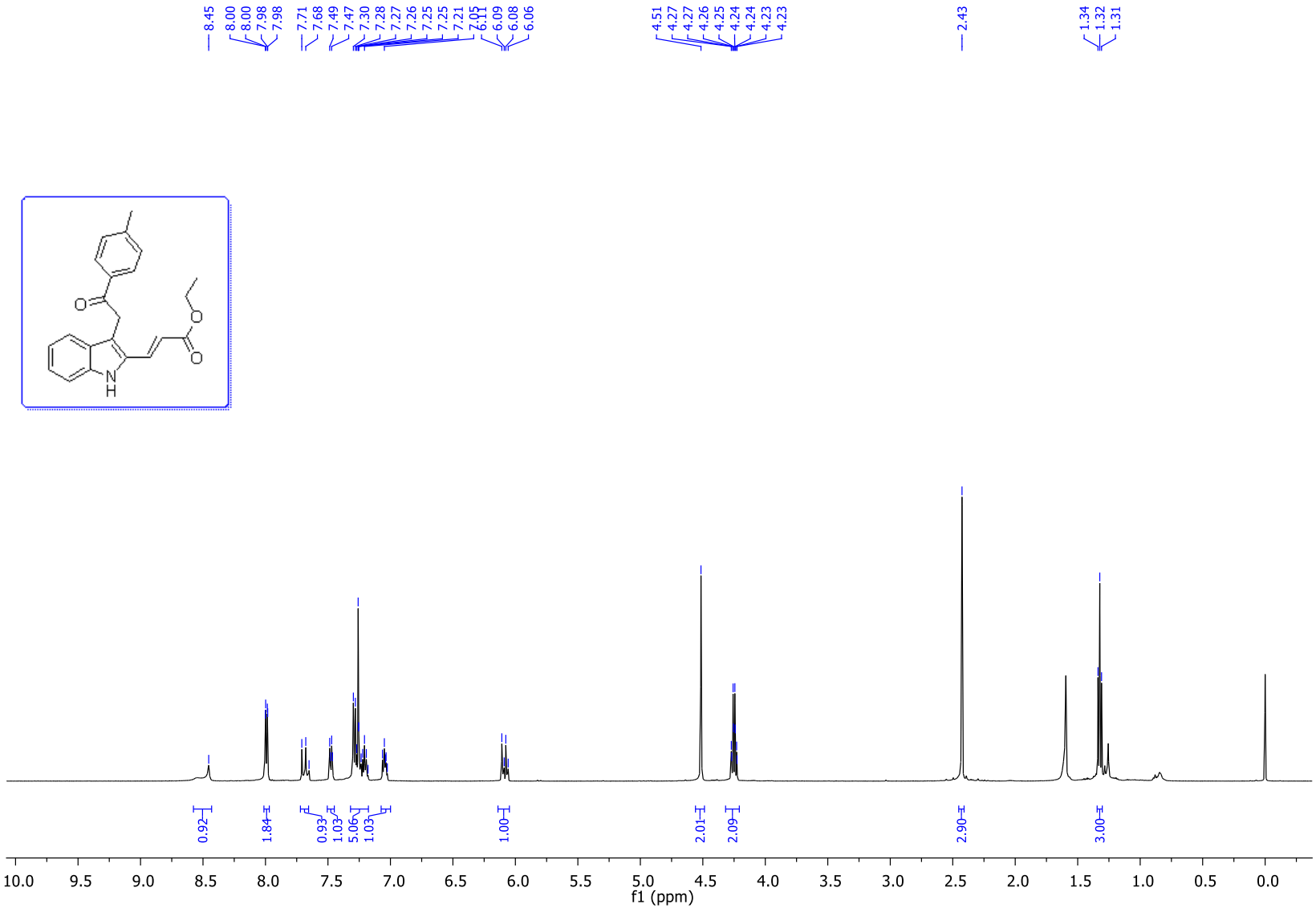
^{1}H-NMR of 5n (500 MHz, $CDCl_3$)



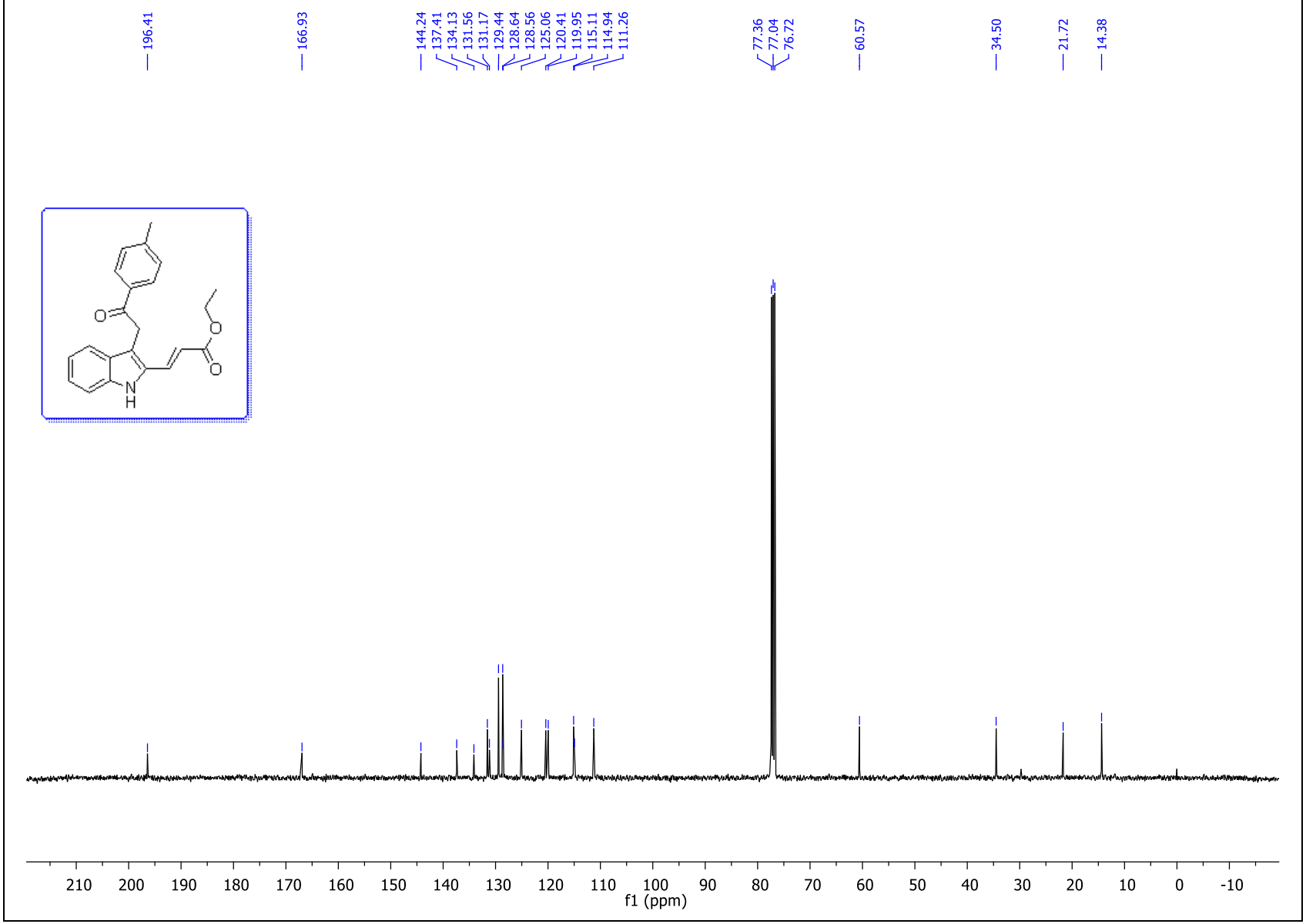
^{13}C{H}NMR of 5n (101 MHz, $CDCl_3$)


195.82
167.02
139.89
137.45
134.84
131.40
131.22
129.93
129.08
128.35
125.12
120.48
119.72
115.35
114.15
111.40
77.38
77.07
76.75
60.68
34.70
14.39
Cl
O
O
O
N
H
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

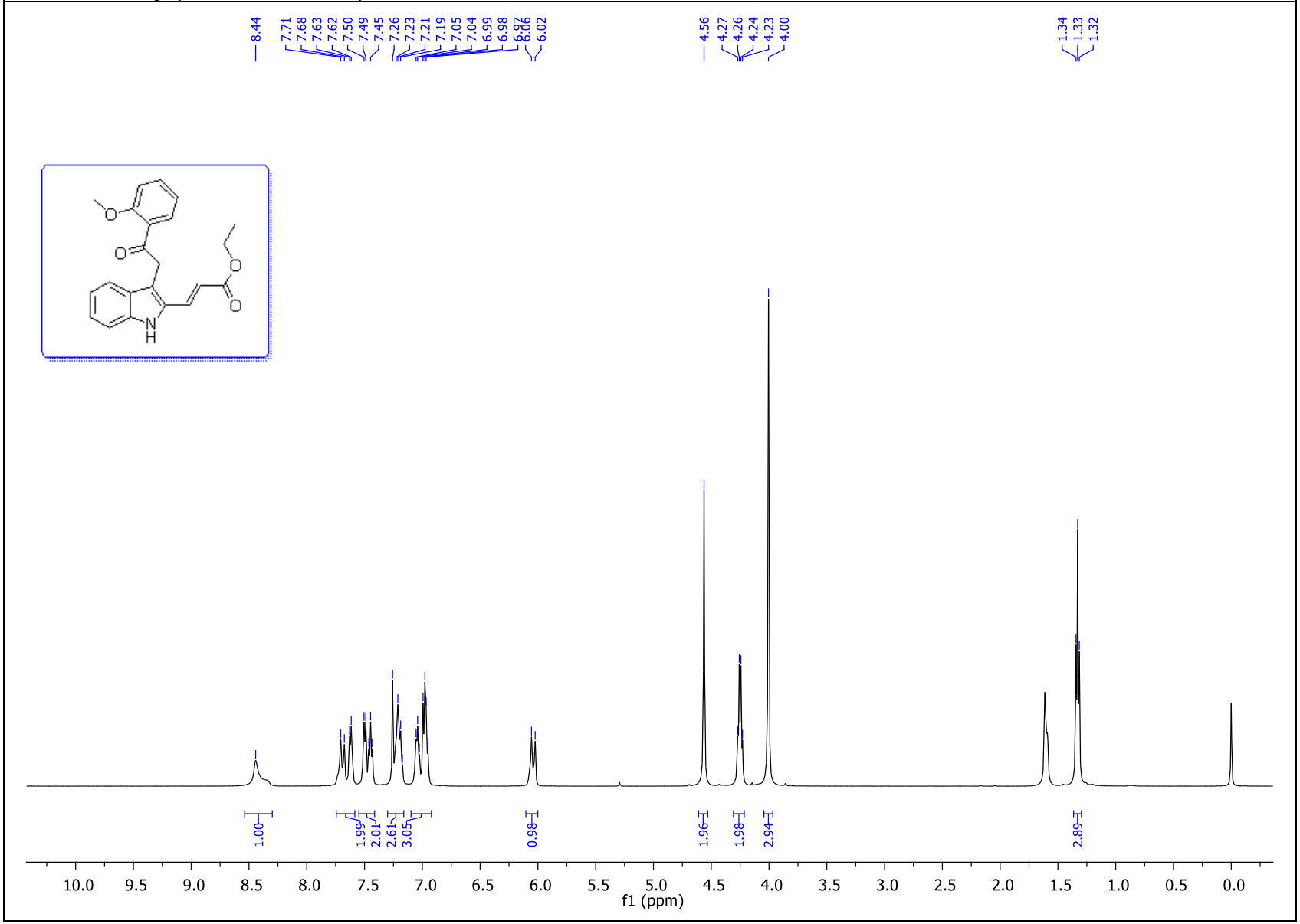
^{1}H- NMR of 5o (500 MHz, $CDCl_3$)



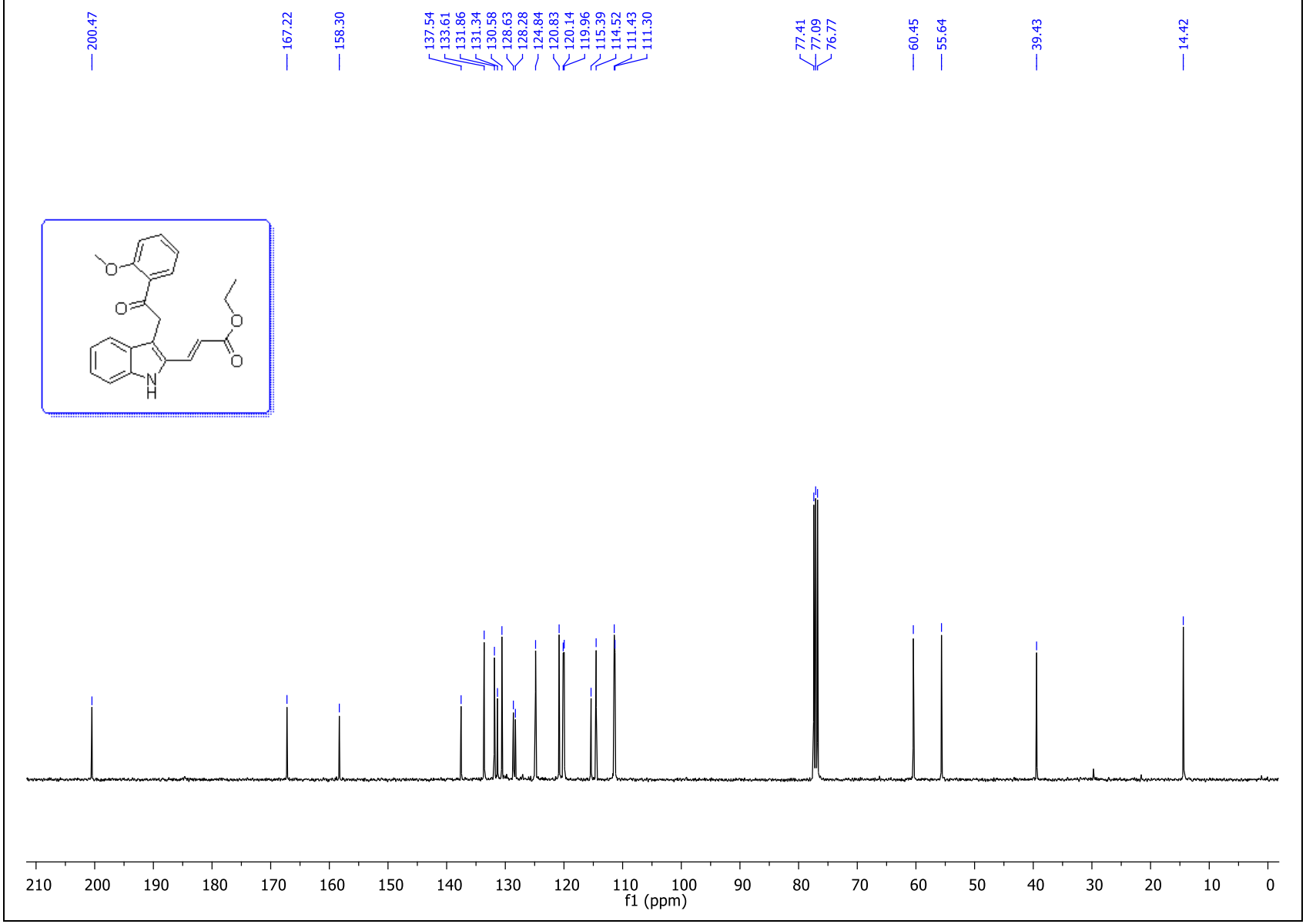
$^{13}C\{H\}$NMR of 5o (101 MHz, $CDCl_3$)



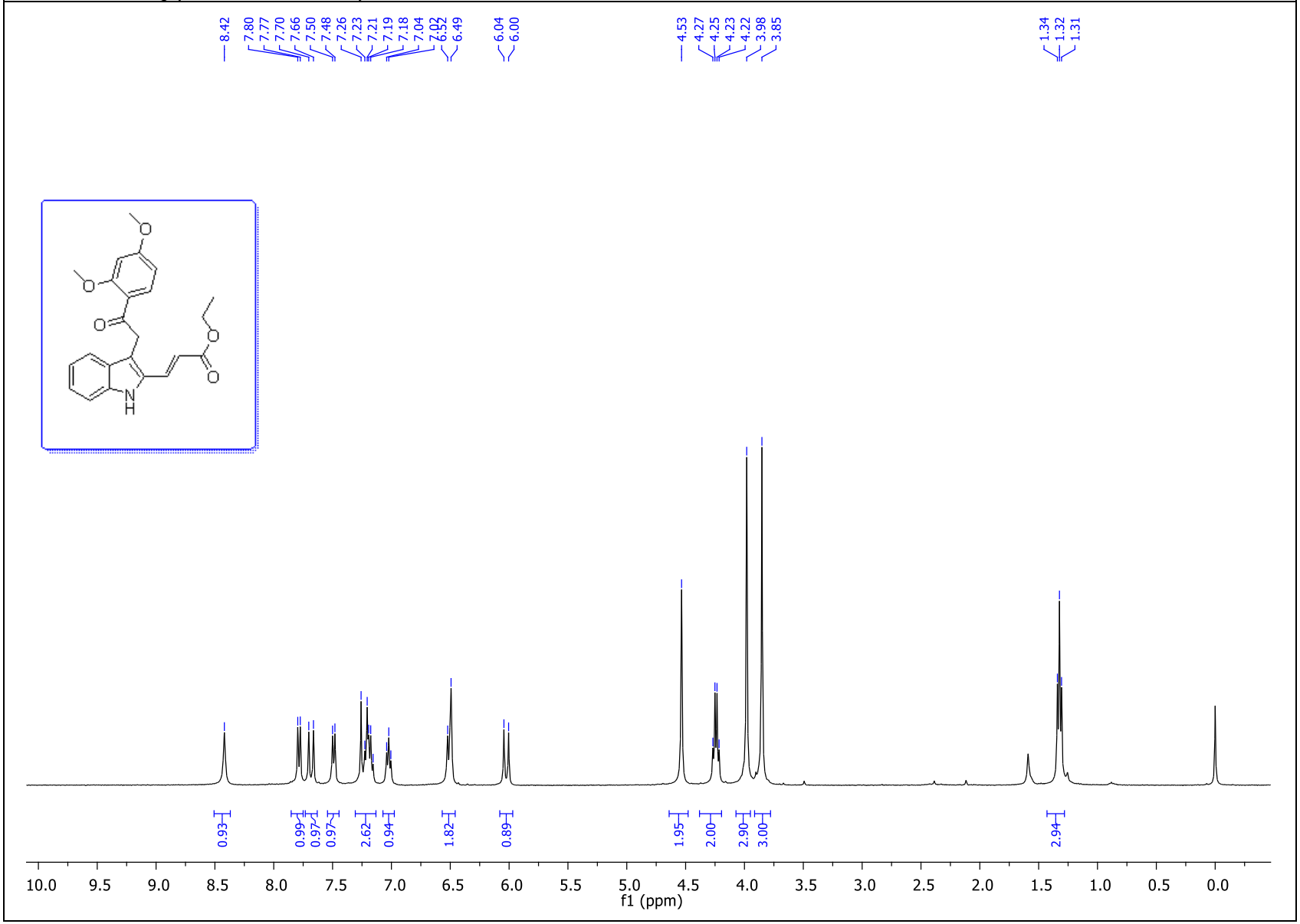
^{1}H-NMR of 5p (400 MHz, $CDCl_3$)



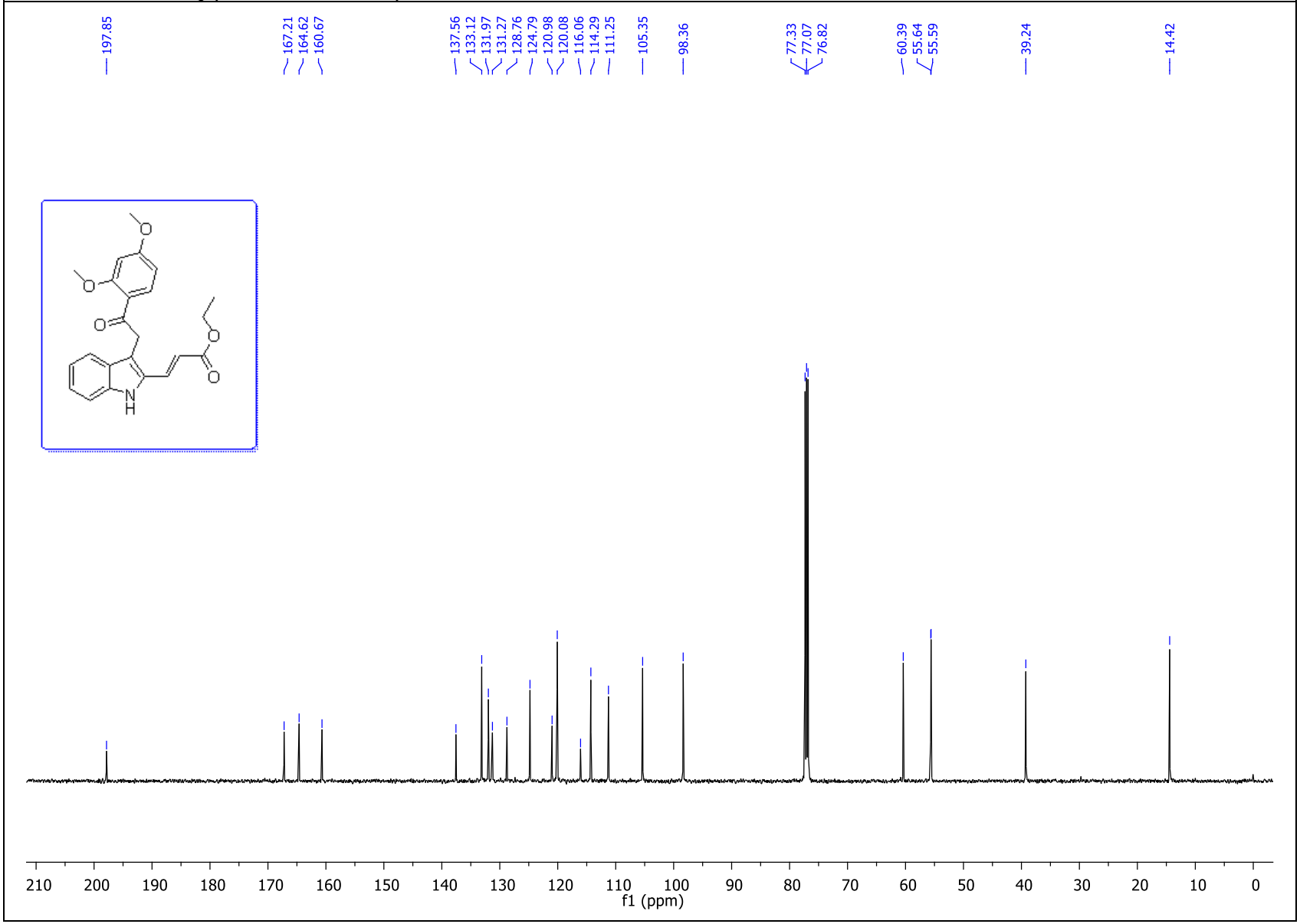
$^{13}C\{H\}$NMR of 5p (101 MHz, $CDCl_3$)



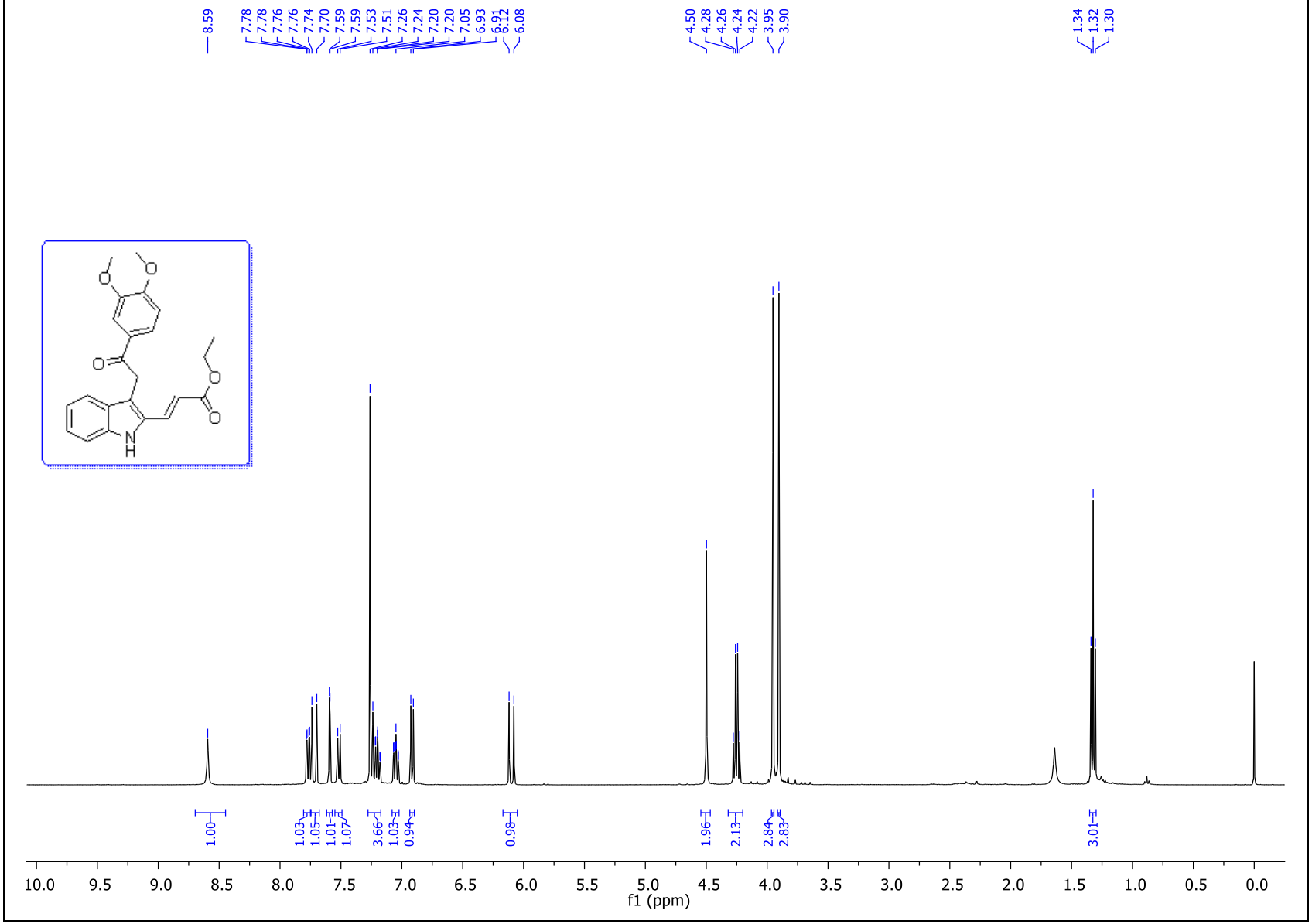
^{1}H-NMR of 5q (400 MHz, $CDCl_3$)



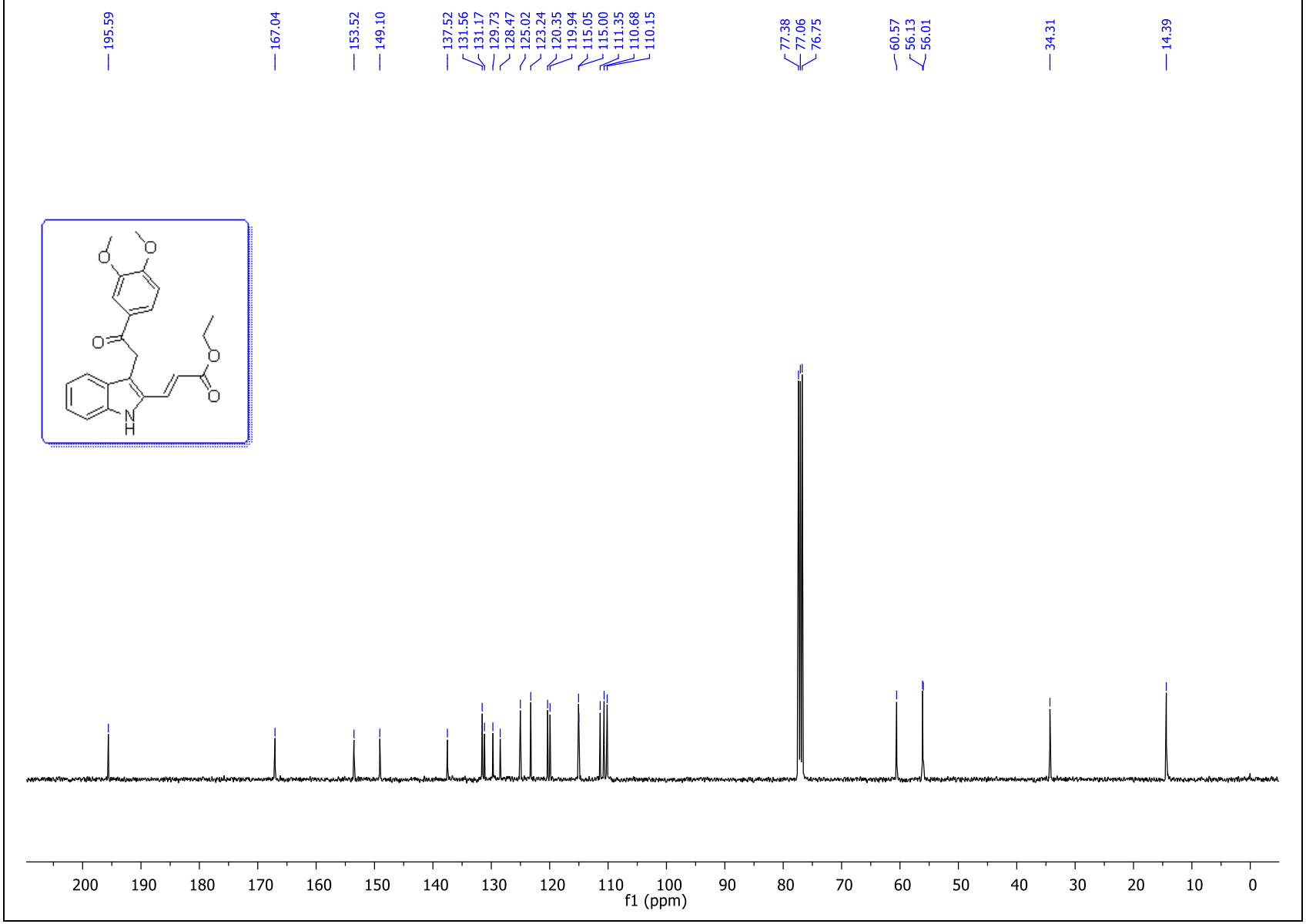
$^{13}C\{H\}$NMR of 5q (126 MHz, $CDCl_3$)



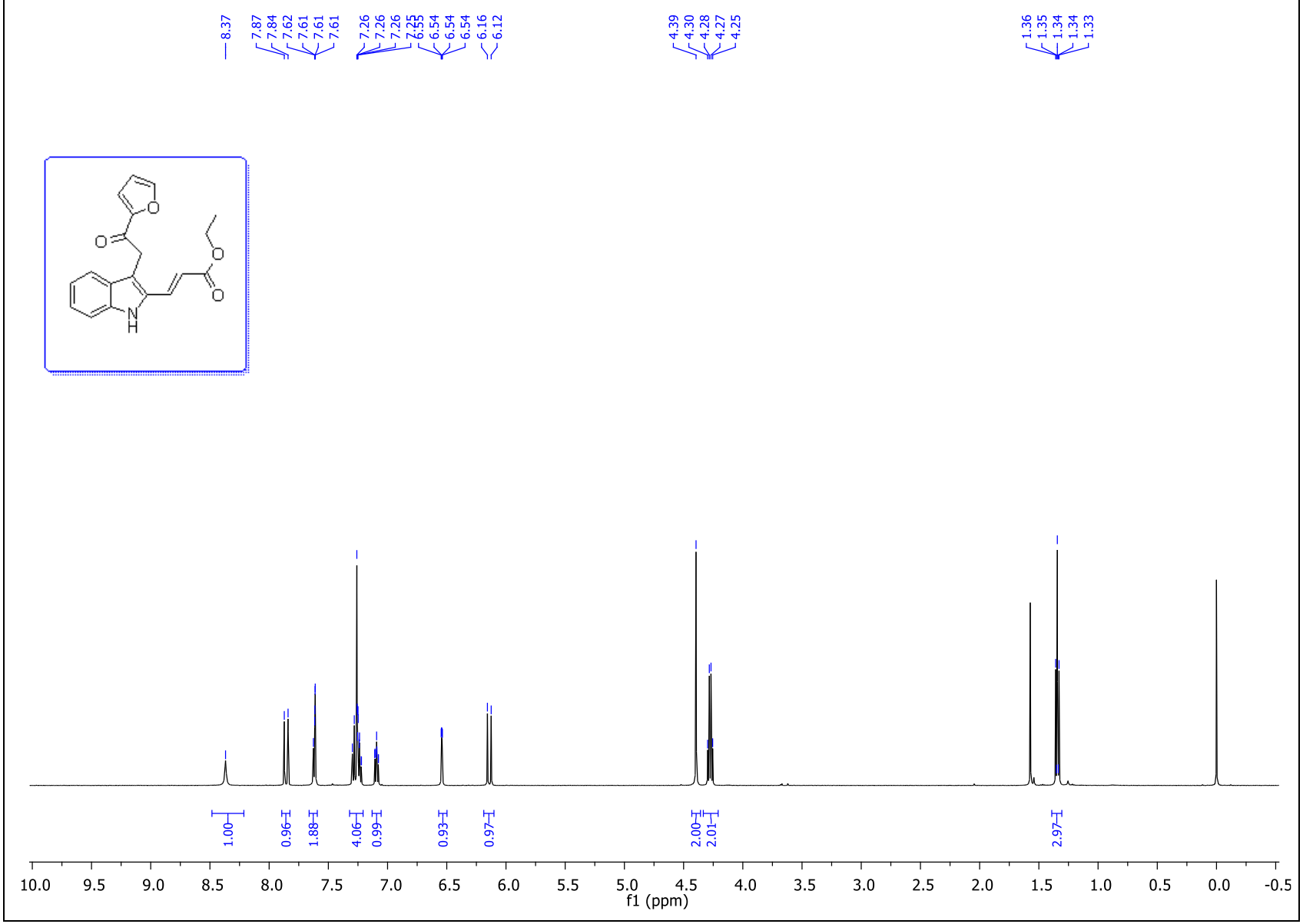
^{1}H NMR of 5r (400 MHz, $CDCl_3$)



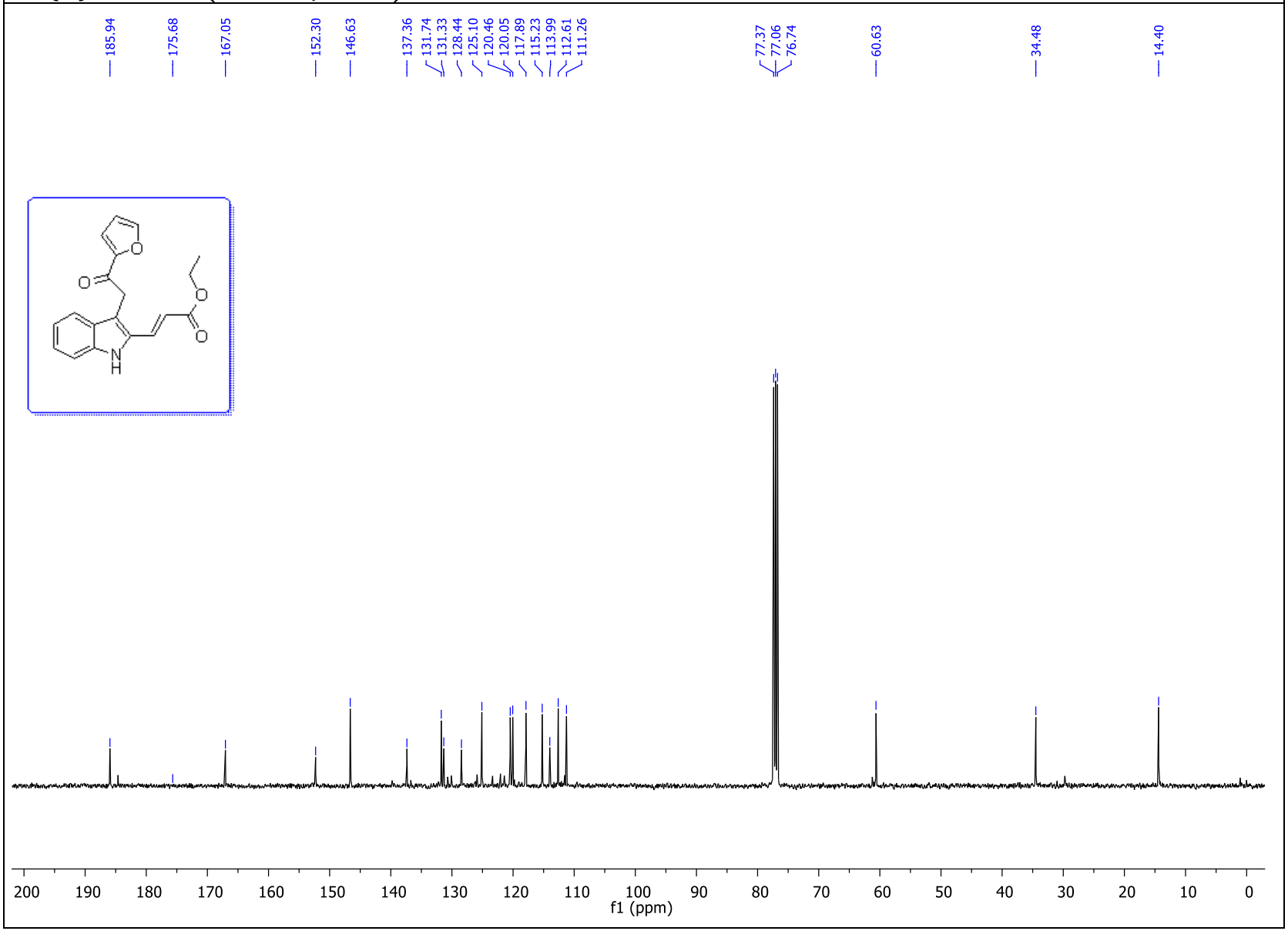
$^{13}C\{H\}$NMR of 5r (101 MHz, $CDCl_3$)



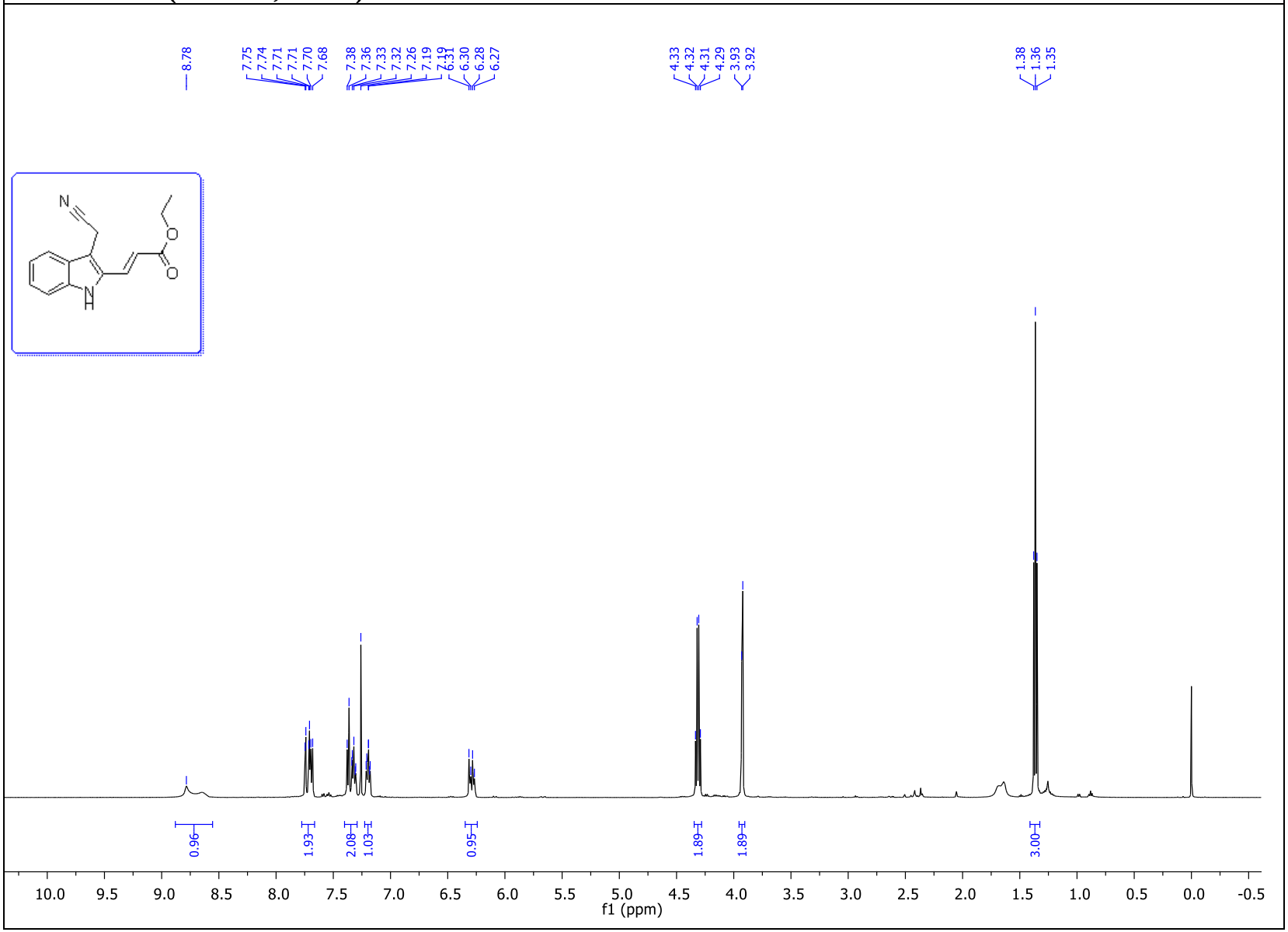
^{1}H NMR of 5s (500 MHz, $CDCl_3$)



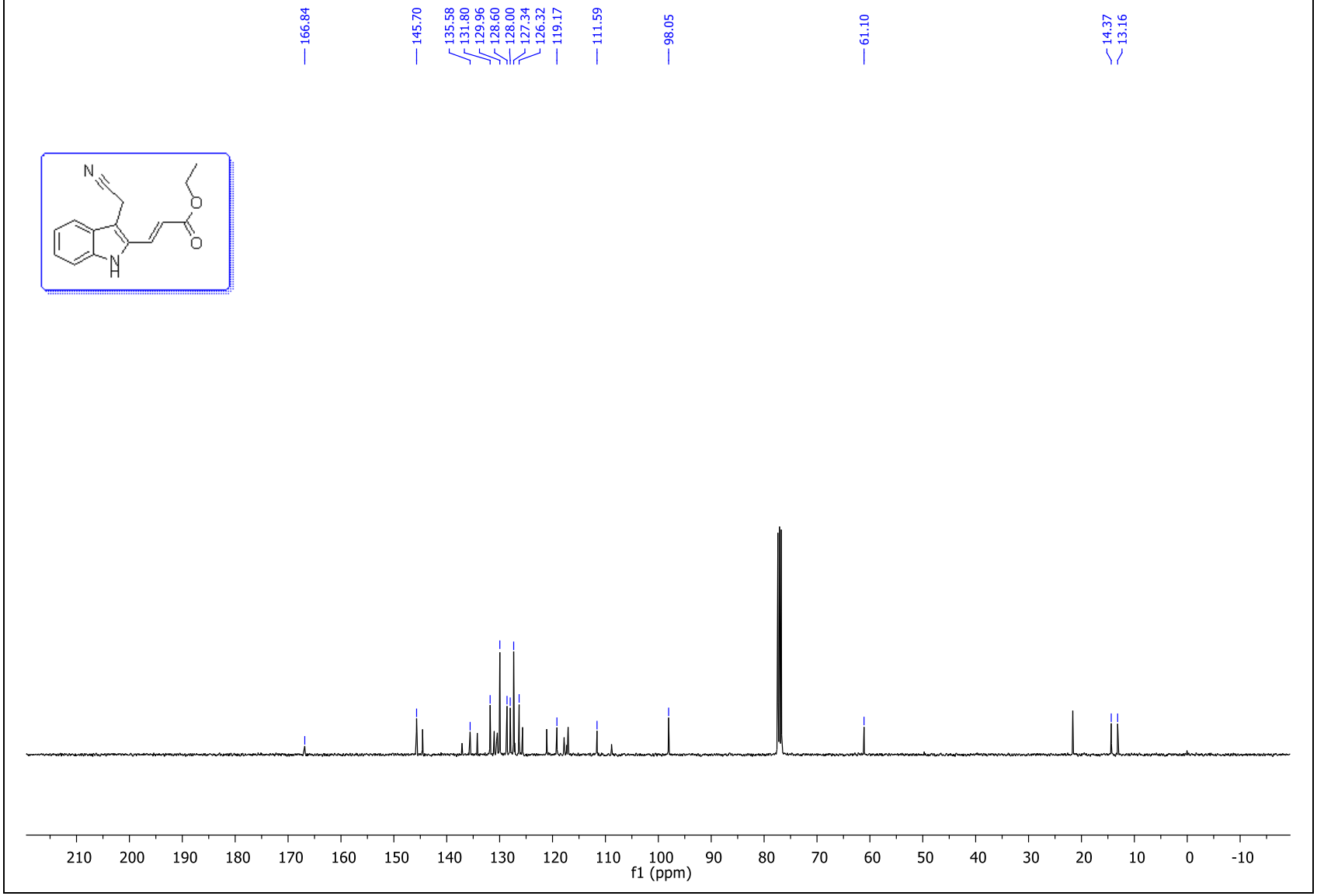
$^{13}C\{H\}$NMRo f 5s (101 MHz, $CDCl_3$)



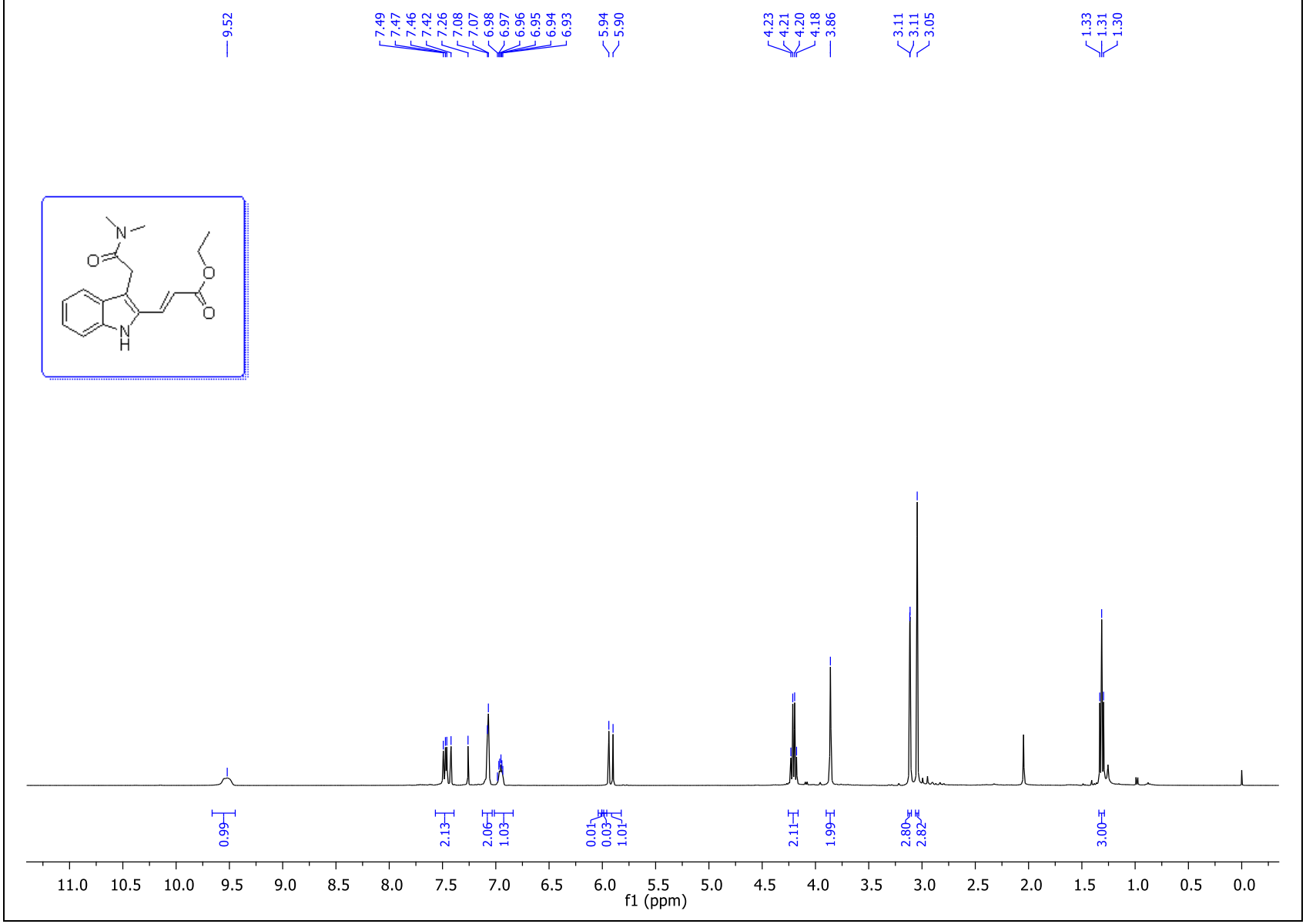
^{1}H NMR of 5t (500 MHz, $CDCl_3$)



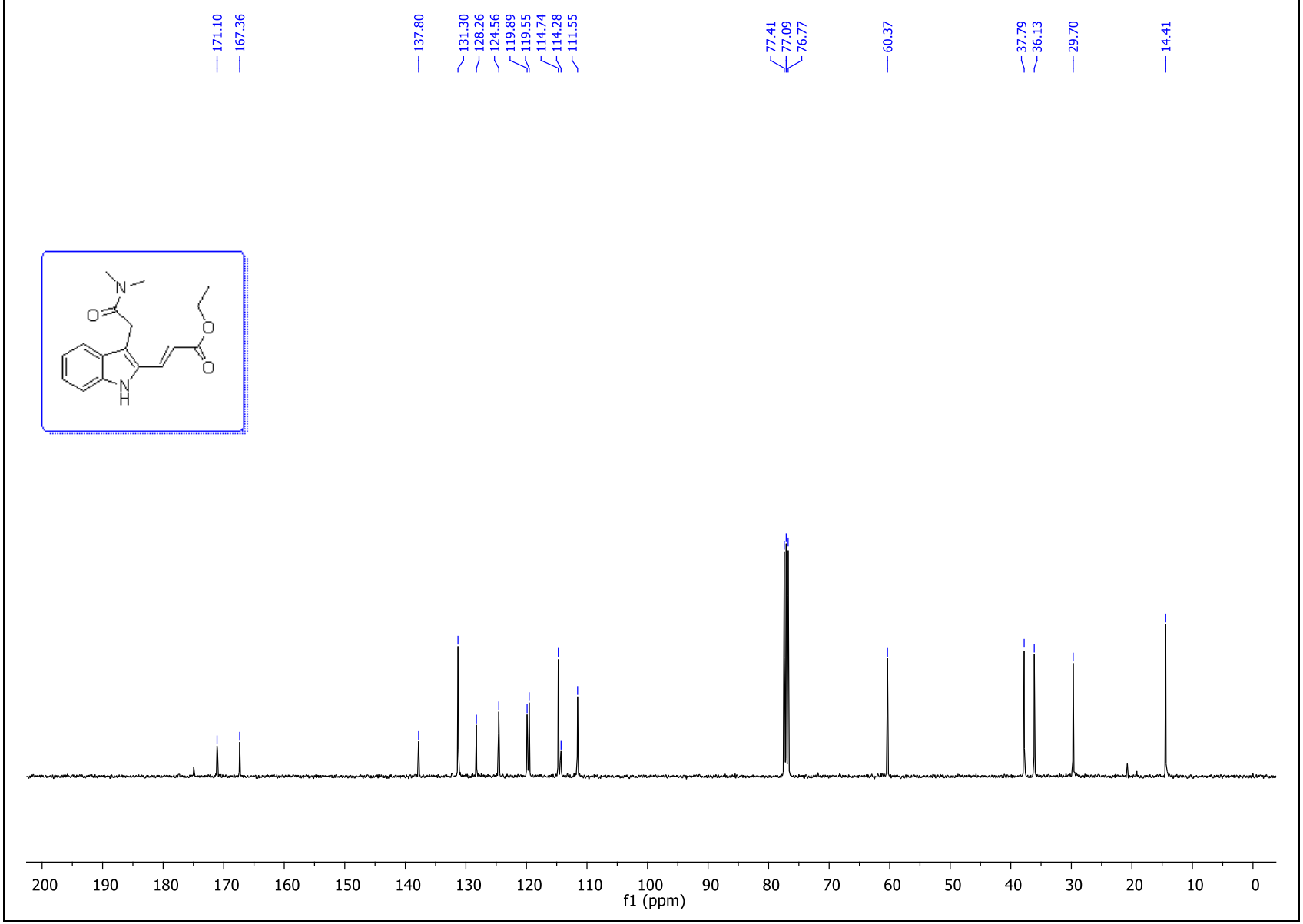
$^{13}C\{H\}$NMR of 5t (101 MHz, $CDCl_3$)



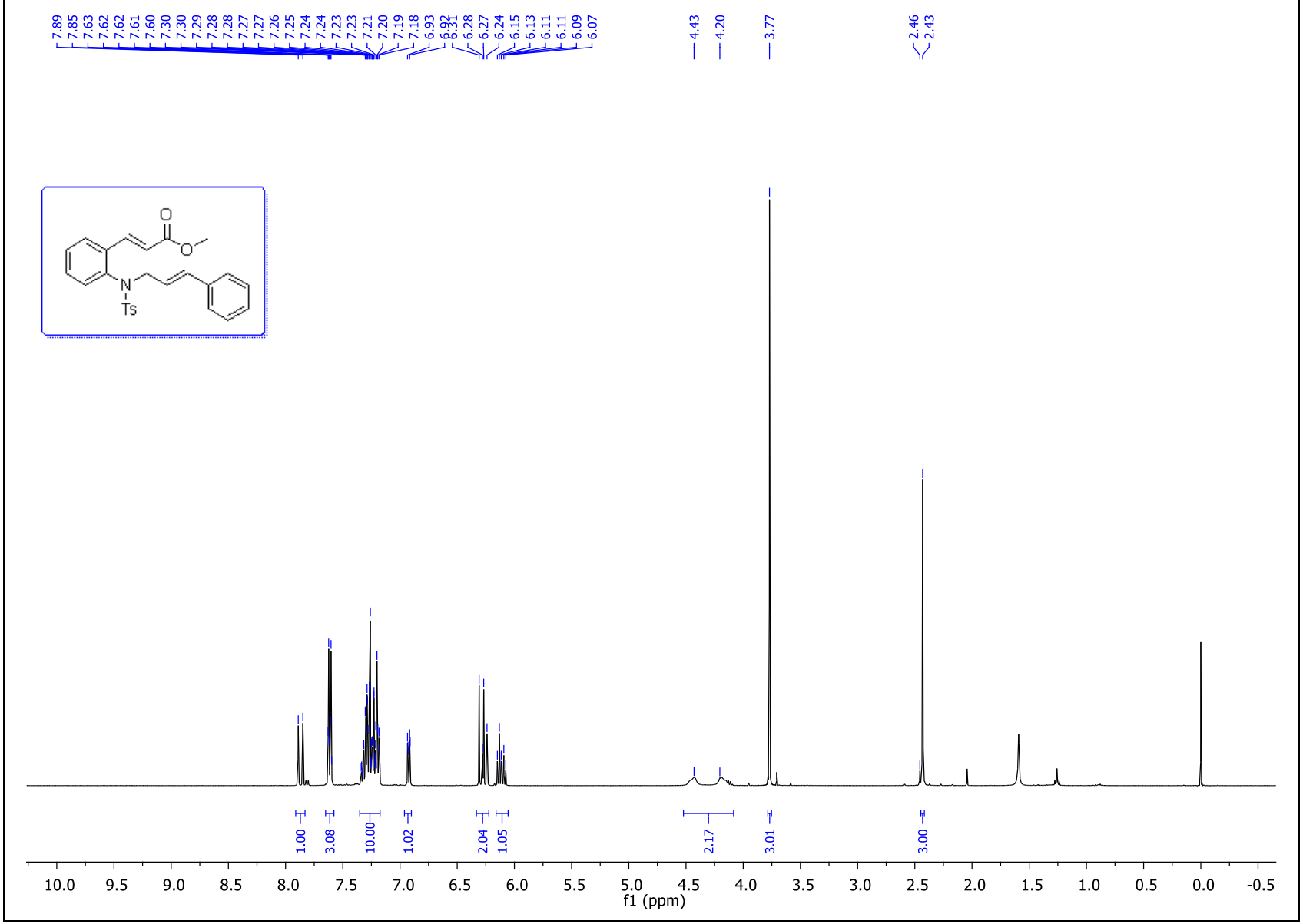
^{1}H NMR of 5u (400 MHz, $CDCl_3$)



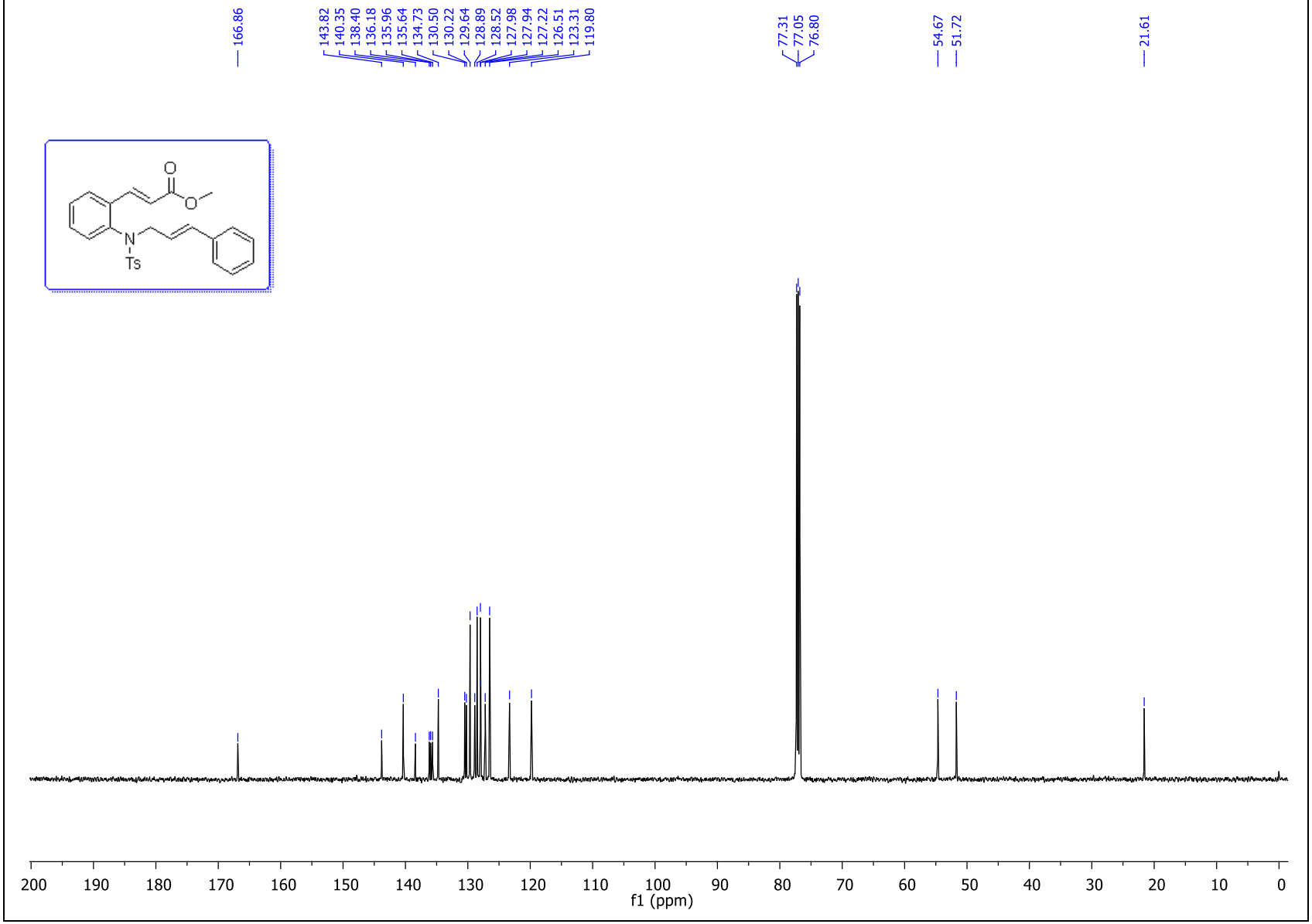
$^{13}C\{H\}$NMR of 5u (101 MHz, $CDCl_3$)



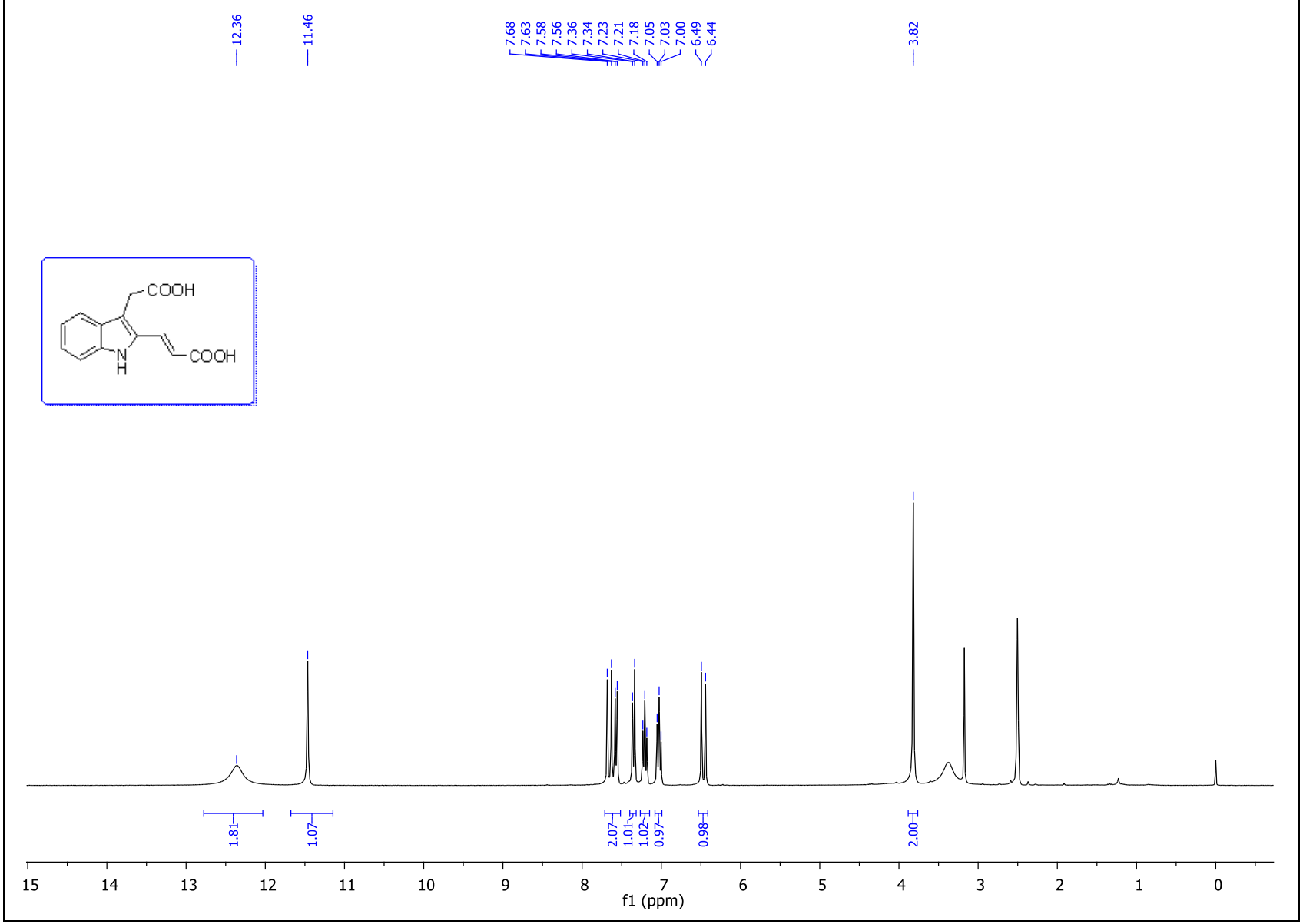
^{1}H NMR of 3a' (400 MHz, $CDCl_3$)



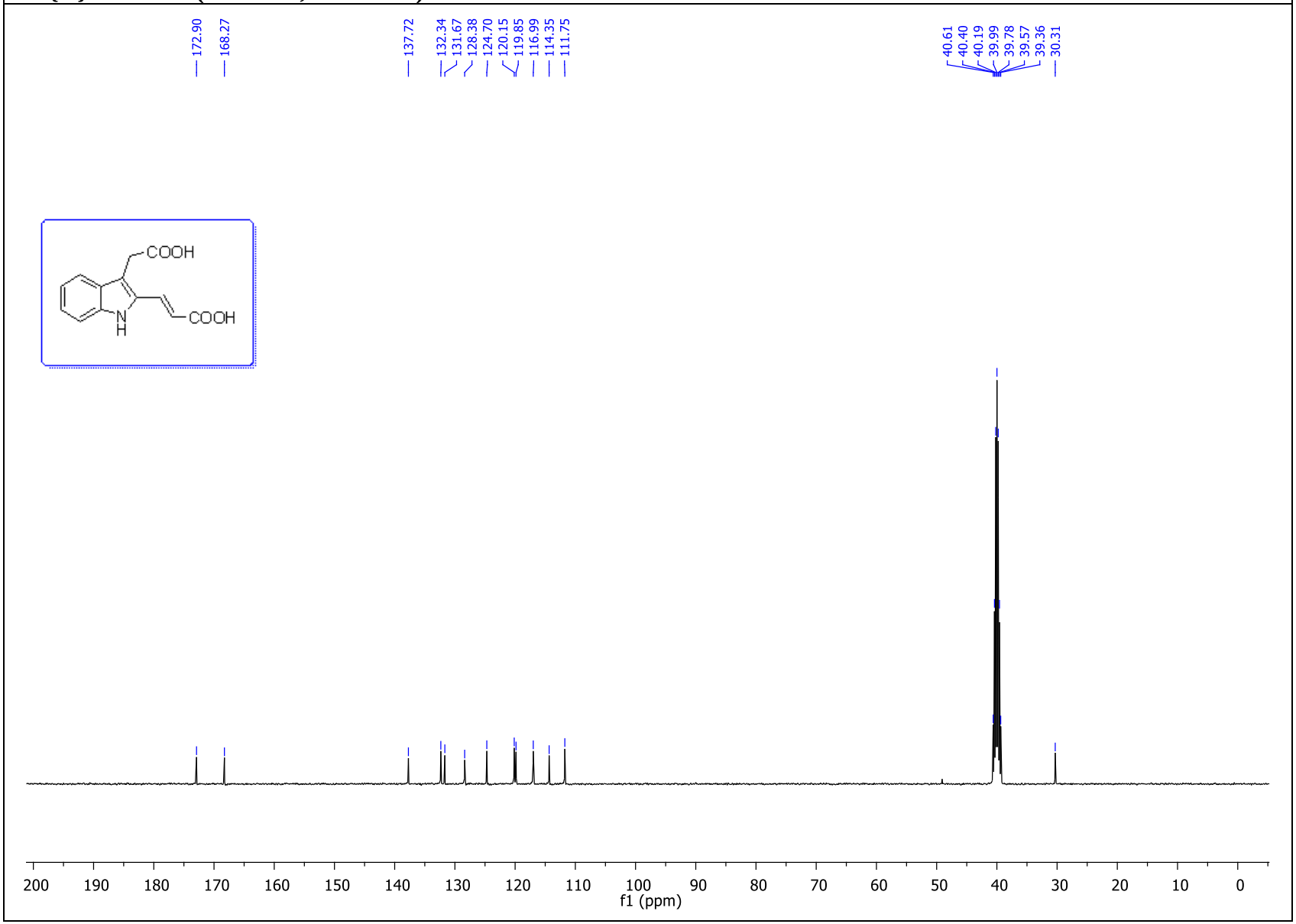
$^{13}C\{H\}$NMR of 3a' (126 MHz, $CDCl_3$)



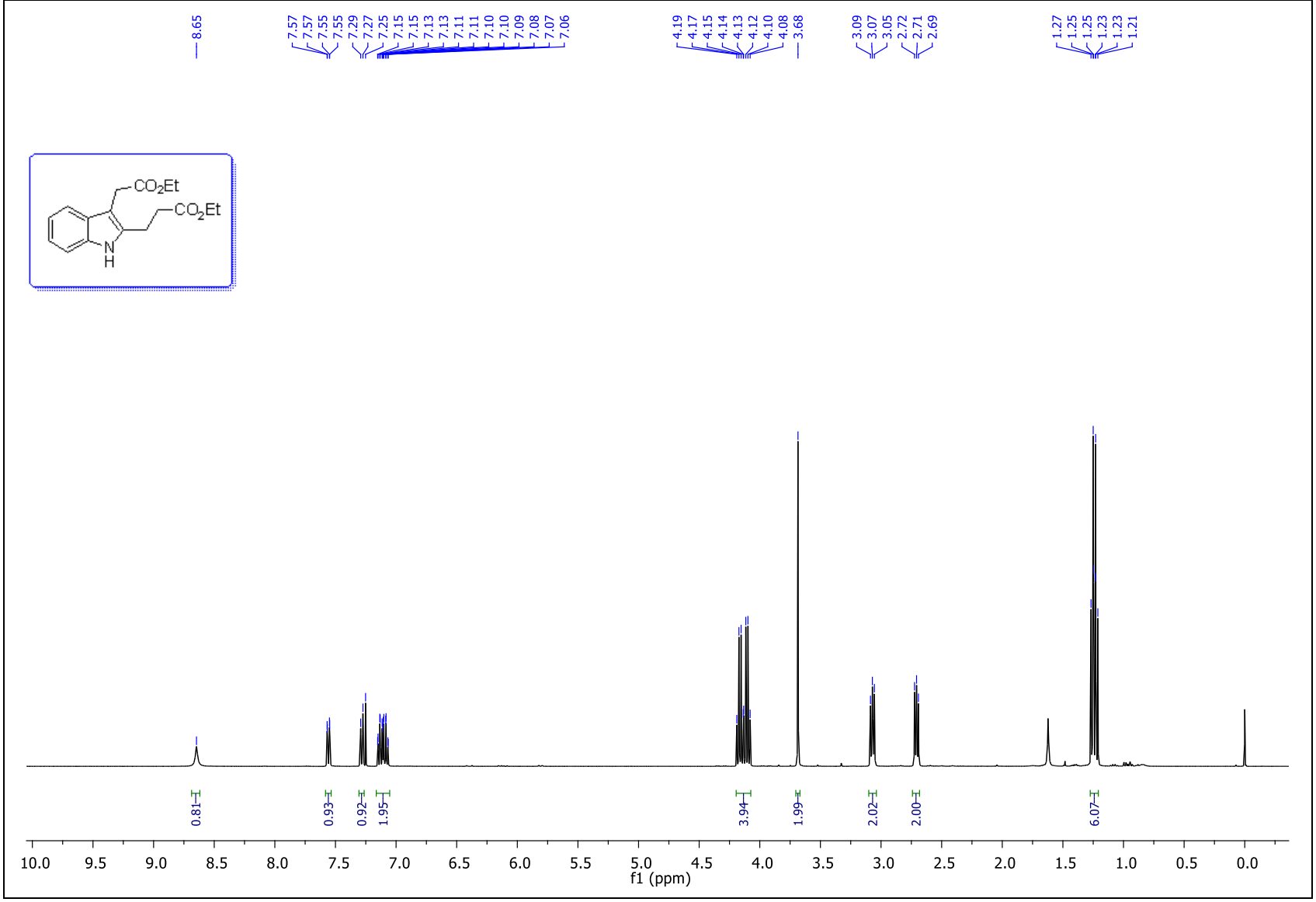
^{1}H NMR of 6 (400 MHz, DMSO-d$_6$)



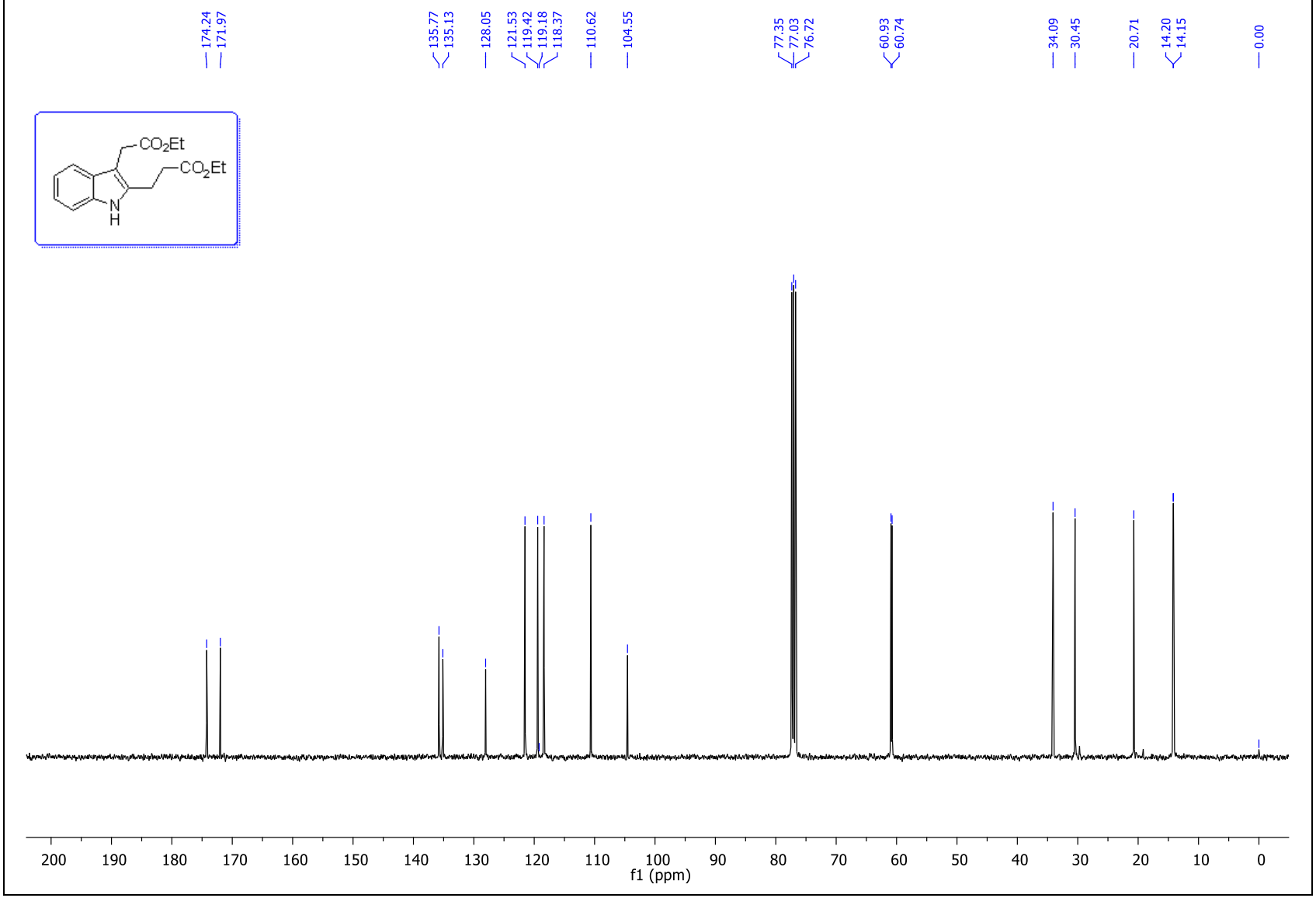
^{13}C{H}NMR of 6 (101 MHz, DMSO-d_6)



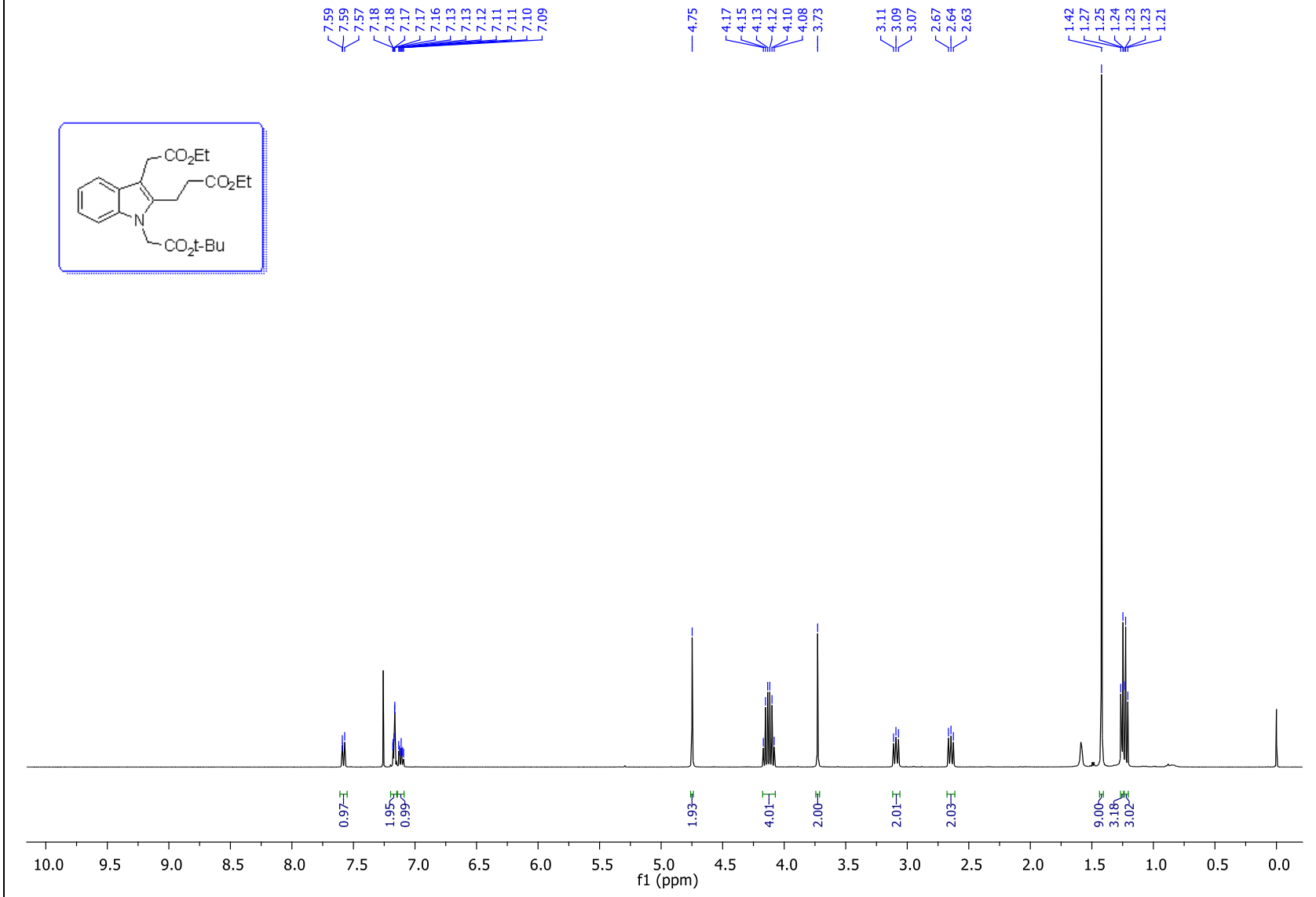
^{1}H NMR of 7 (400 MHz, $CDCl_3$)



$^{13}C\{H\}$NMR of 7 (101 MHz, $CDCl_3$)



^{1}H NMR of 8 (400 MHz, $CDCl_3$)



$^{13}C\{H\}$NMR of 8 (125 MHz, $CDCl_3$)