

# Regio- and Stereoselective C(sp<sup>2</sup>)-H Acylation of Enamides with Aldehydes *via* Transition-Metal Free Photoredox Catalysis

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## General Information

All photoredox-catalyzed reactions in Table 1-3 were carried out in oven-dried Schlenk tubes under nitrogen atmosphere using anhydrous solvent purchased from Energy Chemical. All enamides or enecarbamates were prepared using existing methods.<sup>1-2</sup> Aldehydes, Na<sub>2</sub>-eosin Y, *tert*-butyl peroxybenzoate (TBPP) and anhydrous ethyl acetate were purchased from Energy Chemical. Melting points were recorded on an electrothermal digital melting point apparatus. <sup>1</sup>H, <sup>19</sup>F, <sup>13</sup>C NMR spectra were recorded in CDCl<sub>3</sub> or (CD<sub>3</sub>)<sub>2</sub>SO on Bruker Avance 400 MHz spectrometers. Data are reported in the following order: chemical shift ( $\delta$ ) in ppm; multiplicities are indicated s (singlet), d (doublet), t (triplet), dd (doublet of doublets), m (multiplet); coupling constants ( $J$ ) are in Hertz (Hz). NMR spectra were taken using TMS (<sup>1</sup>H,  $\delta$  = 0), CDCl<sub>3</sub> (<sup>1</sup>H,  $\delta$  = 7.26), and CDCl<sub>3</sub> (<sup>13</sup>C, CPD  $\delta$  = 77.16) as the internal standards, respectively. HRMS were obtained on an IonSpec FT-ICR mass spectrometer with ESI resource. Column chromatography was generally performed on silica gel (300-400 mesh) and reactions were monitored by thin layer chromatography (TLC) using UV light to visualize the course of the reactions.

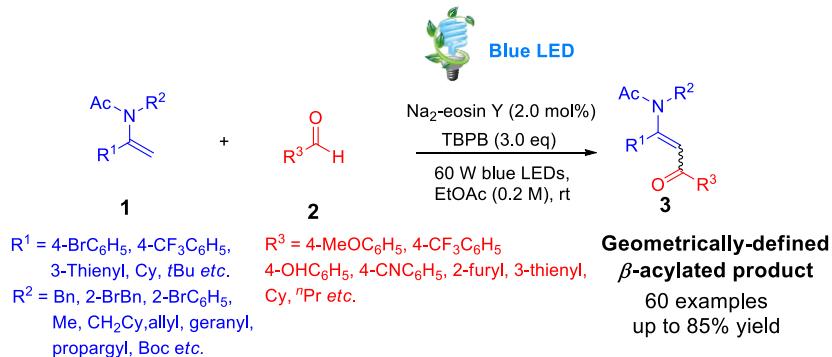
The photoredox-catalyzed transformations were carried out in a customized dark cassette equipped with three 60 W blue LEDs lamp from different directions for irradiation along with an electronic cooling fan for heat dissipation (Figure S1). A Heidolph magnetic hotplate stirrer was placed in the dark cassette for stirring. The reaction vessel was placed in the center of the stirrer so that the average distance from the lamp to the reaction medium was 10 cm.



**Figure S1** The customized dark cassette equipped with 60 W LEDs lamps

Abbreviations: Bn = benzyl, Ac = acetyl, EtOAc = Ethyl acetate, DMF = *N,N*-dimethylformamide, DCM = dichloromethane, Boc = *t*-butoxycarbonyl, TEMPO = 2,2,6,6-tetramethylpiperidinoxy, TBPB = *tert*-butyl peroxybenzoate, THF = Tetrahydrofuran.

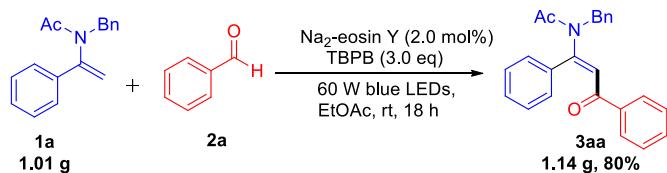
### General Procedures for the Synthesis of $\beta$ -acylated Enamides 3



Enamides **1** (0.3 mmol), aldehyde **2** (1.8 mmol, 6.0 eq), Na<sub>2</sub>-eosin Y (0.006 mmol, 2.0 mol%), and TBPB (0.9 mmol, 3.0 eq) were added sequentially into an oven-dried Schlenk tube under nitrogen, anhydrous ethyl acetate (1.5 mL) was then added and the tube was capped with a glass stopper and sealed with a parafilm. The resulting mixture was stirred under 60 W blue LEDs irradiation. Upon completion of the reaction as monitored by TLC, solvent was removed under vacuum and the residue was purified by flash column chromatography using petroleum ether/ethyl acetate (10:1~2:1 v/v) as eluent to afford pure products **3**.

### Synthetic Applications of Acylated Enamides

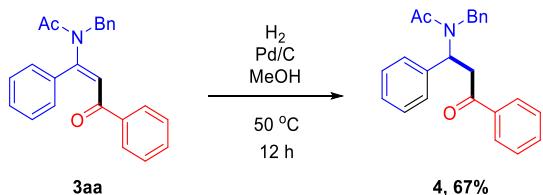
#### (a) Gram-Scale Synthesis of Acylated Enamide 3aa



To a 50 mL Schlenk tube equipped with a magnetic stir bar was charged with enamide **1a** (1.01 g, 4.0 mmol), benzaldehyde **2a** (2.5 mL, 24.0 mmol, 6.0 eq), Na<sub>2</sub>-eosin Y (56.0 mg, 0.08 mmol, 2.0 mol%), TBPB (2.4 mL, 12 mmol, 3.0 eq). The tube was sealed with a rubber stopper, evacuated and backfilled with nitrogen three times.

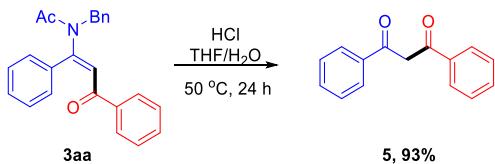
20.0 mL anhydrous ethyl acetate was then added via syringe with gentle stirring under N<sub>2</sub> atmosphere. The tube was sealed and stirred under 60 W blue LEDs irradiation for 12 h. Upon completion of the reaction as monitored by TLC, solvent was removed under vacuum and the residue was purified by flash column chromatography using petroleum ether/ethyl acetate (10:1 v/v) as eluent to afford pure products **3aa** in 80% yield (1.14 g).

**(b) Hydrogenation of Acylated Enamide **3aa****



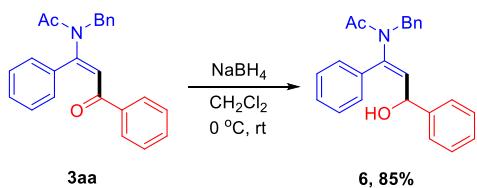
To a 10 mL round bottom flask (RBF) was added (*E*)-*N*-benzyl-*N*-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide **3aa** (177.7 mg, 0.5 mmol), palladium-charcoal (0.02 g) and methanol (2.0 mL). The tube was sealed with a rubber stopper, evacuated and backfilled with hydrogen gas filled in a hydrogen balloon (1.0 atm). The resulting mixture was stirred at 50 °C for 12 h. Upon completion of the reaction as monitored by TLC, the solvent was removed under vacuum. The residue was purified directly by column chromatography, eluting with petroleum ether/ethyl acetate (8:1 v/v). *N*-benzyl-*N*-(3-oxo-1,3-diphenylpropyl)acetamide **4** was obtained in 67% yield (119.8 mg) as white solid.

**(c) Hydrolysis of Acylated Enamide **3aa****



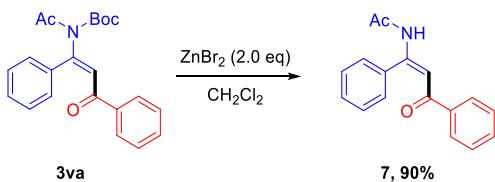
Acylated enamide **3aa** (106.6 mg, 0.3 mmol) was added into a 5 mL reaction tube. THF (1.0 mL) and concentrated hydrochloric acid (1.0 mL) were then added at 0 °C sequentially by syringe. The resulting mixture was stirred at 50 °C for 24 hours. Upon completion as monitored by TLC, the solvent was removed under vacuum. The residue was purified directly by column chromatography, eluting with petroleum ether/ethyl acetate (20:1 v/v) to afford **5** in 93% yield (62.6 mg) as colorless oil.

**(d) Reduction of Enamide **3aa** with NaBH<sub>4</sub>**



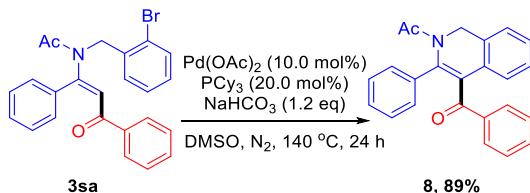
To a round-bottom flask equipped with a stir bar was charged with **3aa** (0.3 mmol, 106.6 mg), H<sub>2</sub>O (0.5 mL), MeOH (2.0 mL) and CH<sub>2</sub>Cl<sub>2</sub> (1.0 mL). The solution was cooled to 0 °C before NaBH<sub>4</sub> (0.013 g, 0.35 mmol) was added. The reaction was stirred at room temperature for 1 h. The reaction mixture was then diluted with CH<sub>2</sub>Cl<sub>2</sub> and washed with brine. The aqueous layer was extracted with CH<sub>2</sub>Cl<sub>2</sub> three times. The organic layer was combined and dried over Na<sub>2</sub>SO<sub>4</sub>, filtered, and concentrated in *vacuo*. The crude mixture was purified on silica gel (petroleum ether/ethyl acetate, 6:1 v/v) to afford a clear colorless oil **6** in 85% yield (91.1 mg).

**(e) Cleavage of N-Boc Protecting Group<sup>3</sup>**



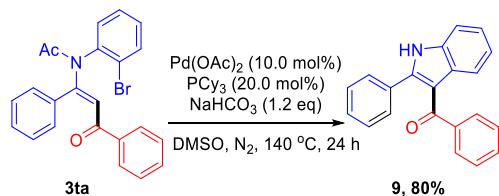
Acylated enamide **3va** (73.0 mg, 0.2 mmol) was added into a 5 mL reaction tube. Then, ZnBr<sub>2</sub> (90.1 mg, 0.4 mmol) and CH<sub>2</sub>Cl<sub>2</sub> (1.0 mL) were added sequentially. The resulting mixture was stirred at room temperature for 2 hours. Upon completion as monitored by TLC, the solvent was removed under vacuum. The residue was purified directly by flash column chromatography, eluting with ethyl acetate/petroleum ether (1:4 v/v). (*E*)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide **7** was obtained in 90% yield (47.8 mg) as colorless oil.

**(f) Preparation of Isoquinoline Derivative **8**<sup>4</sup>**



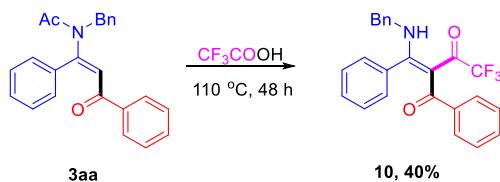
To a Schlenk tube equipped with a magnetic stir bar was added with enamide **3sa** (86.6 mg, 0.20 mmol), Pd(OAc)<sub>2</sub> (4.6 mg, 10.0 mol%), tricyclohexylphosphane (11.2 mg, 20.0 mol%) and NaHCO<sub>3</sub> (20.2 mg, 1.2 eq). The tube was sealed with a septum, evacuated and backfilled with nitrogen three times. DMSO (1.0 mL) was then added via syringe. The reaction mixture was stirred and heated at 140 °C under the atmosphere of N<sub>2</sub> for 24 h. The solution was diluted by ethyl acetate, washed by brine. The organic layer was concentrated in vacuum and the crude mixture was purified by flash column chromatography, eluting with petroleum ether/ethyl acetate (8:1 v/v) to furnish isoquinoline derivative Phenyl(3-phenyl-1,2-dihydroisoquinolin-4-yl) methanone **8** in 89% yield (62.9 mg) as a white solid.

### (g) Preparation of Indole Derivative **9**<sup>4</sup>



To a Schlenk tube equipped with a magnetic stir bar was charged with enamide **3ta** (41.9 mg, 0.1 mmol), Pd(OAc)<sub>2</sub> (2.3 mg, 10.0 mol%), tricyclohexylphosphane (5.6 mg, 20.0 mol%), and NaHCO<sub>3</sub> (10.1 mg, 1.2 equiv.). The tube was sealed with a septum, evacuated and backfilled with nitrogen three times. DMSO (1.0 mL) was then added via syringe. And the resulting mixture was stirred and heated at 140 °C under the atmosphere of N<sub>2</sub> for 24 h. The solution was diluted by ethyl acetate, washed by brine. The organic layer was concentrated in vacuum and the crude mixture was purified by flash column chromatography, eluting with petroleum ether /ethyl acetate (20:1 v/v) to furnish the indole derivative Phenyl(2-phenyl-1*H*-indol-3-yl) methanone **9** as a white solid in 80% yield (23.8 mg).

### (h) Synthesis of Tetra-substituted Enamide **10**



Acylated enamide **3aa** (0.3 mmol, 106.6 mg) was dissolved in dry benzene (2.0 mL) in a screw cap vial. 102.6 mg (0.9 mmol, 3.0 eq) of trifluoroacetic acid were added to the solution and the vial was heated at 110 °C. The solution was concentrated in vacuum and the product was isolated through flash column chromatography petroleum ether/ethyl acetate, eluting with petroleum ether /ethyl acetate (20:1 v/v) to furnish **10** in 40% yield (49.1 mg) as a white solid.

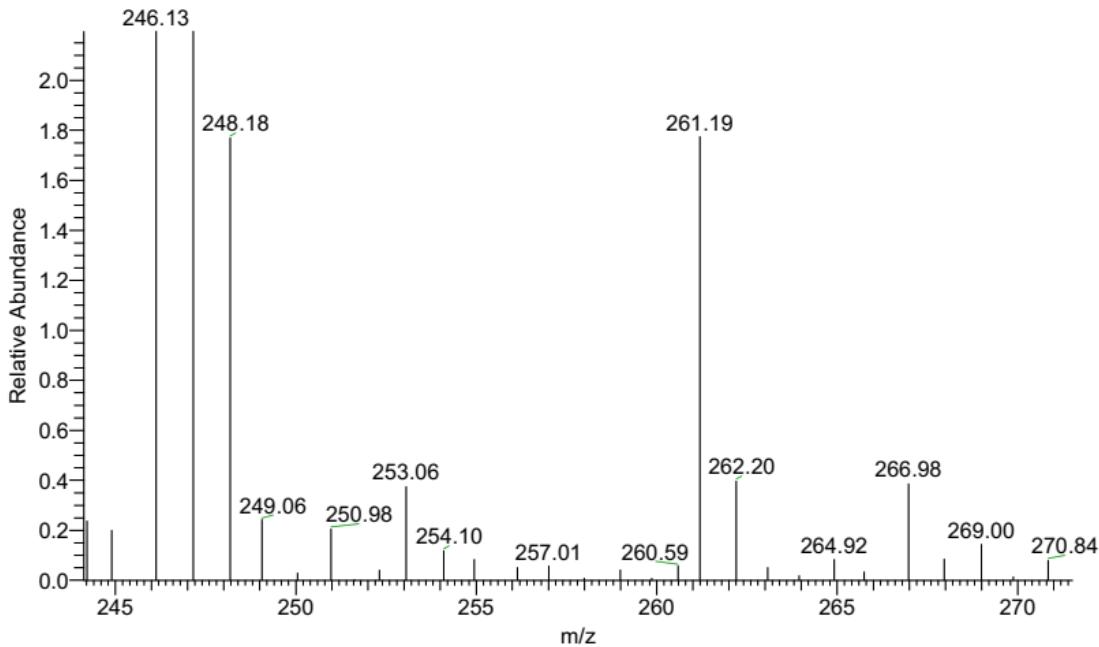
## Mechanistic Studies

### (a) Radical-trapping Experiments<sup>a</sup>

<b>1a</b>	<b>2a</b>		<b>3aa</b>	<b>11</b> detected by GC-MS
Entry	Additive (equiv.)		NMR yield of <b>3aa</b> <sup>b</sup> (%)	
1	----		87	
2	TEMPO (2.0)		trace	

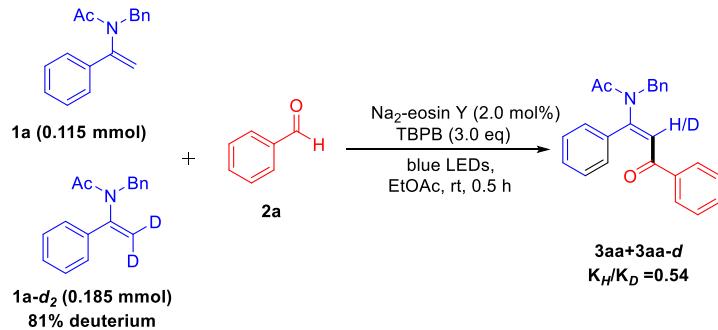
<sup>a</sup>Reaction conditions: enamide **1a** (0.3 mmol), benzaldehyde **2a** (1.8 mmol, 6.0 eq), Na<sub>2</sub>-eosin Y (0.006 mmol, 2.0 mol%), TBPB (0.9 mmol, 3.0 eq), and TEMPO in ethyl acetate (1.5 mL) at room temperature under blue LEDs for 18 h under nitrogen.

<sup>b</sup>Determined by NMR analysis of the crude reaction mixture by using mesitylene as an internal standard. The adduct **11** of TEMPO and acyl radical from benzaldehyde **2a** was detected by GC-MS: calcd for 261.17, found: 261.19.



**Figure S2** GC-MS spectrum for the radical-trapping experiment

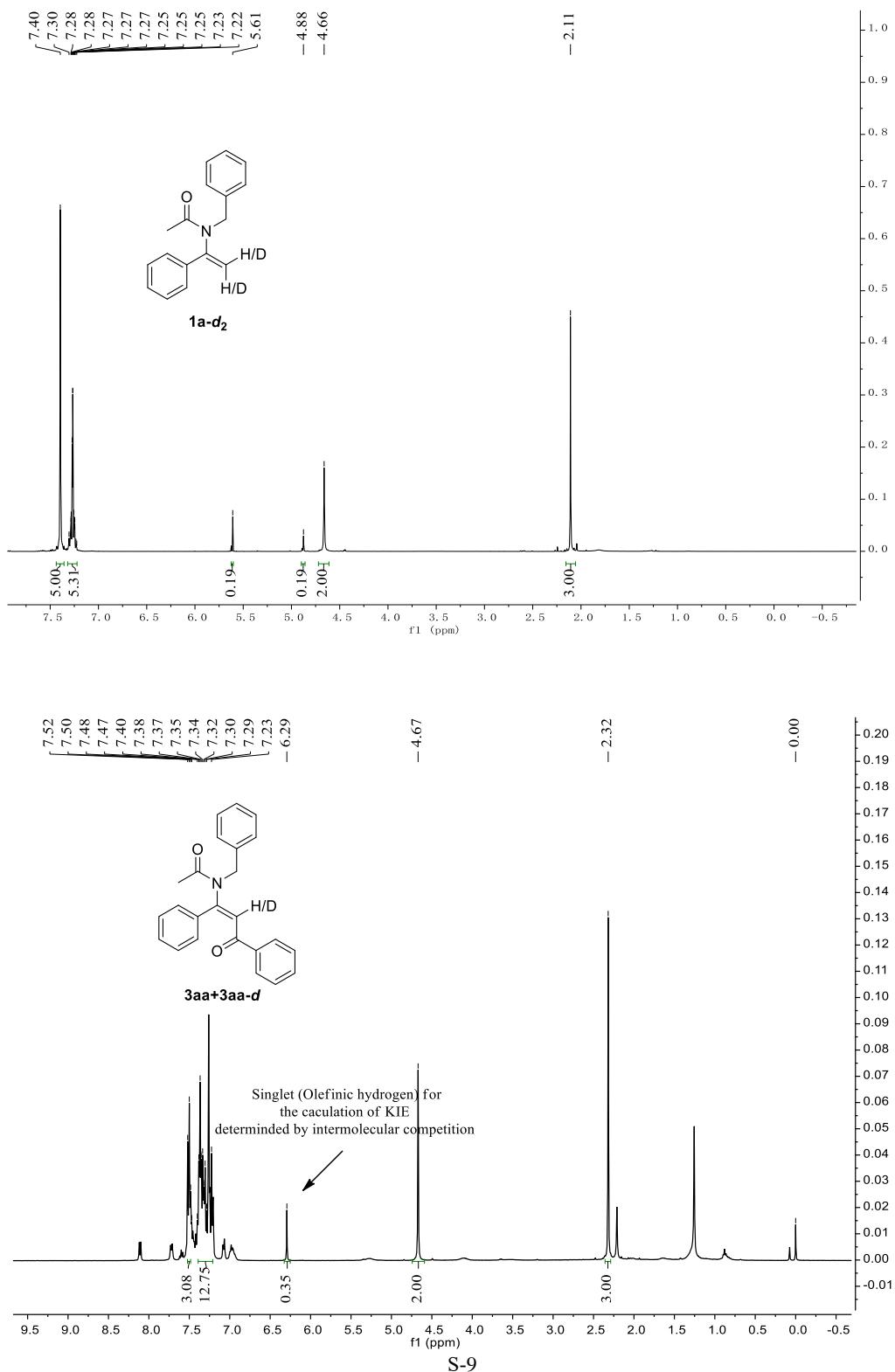
**(b) Determination of Kinetic Isotopic Effect (KIE) via Intermolecular Competition**



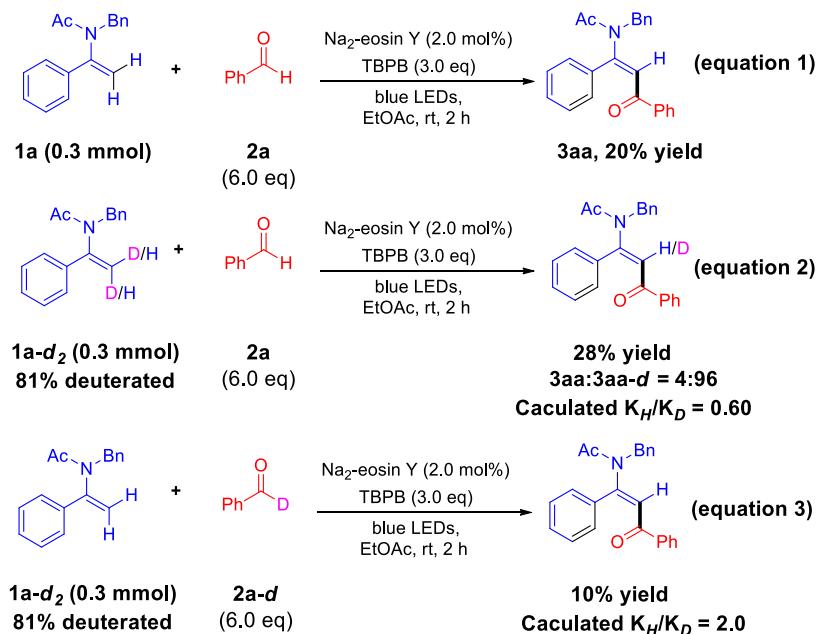
Enamide **1a-d<sub>2</sub>** was prepared according to the literatures<sup>1b,5</sup> as a light yellow oil with 81% deuterium. Enamide **1a** (28.9 mg, 0.115 mmol), **1a-d<sub>2</sub>** (46.9 mg, 0.185 mmol), benzaldehyde **2a** (185  $\mu$ L, 1.8 mmol, 6.0 eq), Na<sub>2</sub>-eosin Y (4.2 mg, 0.006 mmol, 2.0 mol%), TBPB (180  $\mu$ L, 0.9 mmol, 3.0 eq) in ethyl acetate (1.5 mL) at room temperature under blue LEDs for 0.5 h under nitrogen. The product was isolated through thin-layer chromatography (petroleum ether/ethyl acetate = 10/1 as developing solvent) to afford crude mixture (4% yield) as white solid. The KIE value ( $K_H/K_D = 0.54$ ) was determined from the <sup>1</sup>H NMR.

In consideration of the 81% deuterated ratio of **1a-d<sub>2</sub>**, 0.185 mmol of **1a-d<sub>2</sub>** (a H-D mixture containing 81% deuterated enamide and 19% undeuterated one) was added

along with 0.118 mmol of undeuterated enamide **1a** in the same reaction vessel, so that the real amount of pure deuterated enamide (and its undeuterated competitor) was calculated to be 0.2 mmol approximately. The ratio of deuterated enamide **3aa-d** vs **3aa** in the isolated mixture was 65:35 as determined by  $^1\text{H}$  NMR, thus giving a calculated  $K_{\text{H}}/K_{\text{D}} = 0.35/0.65 = 0.54$ .



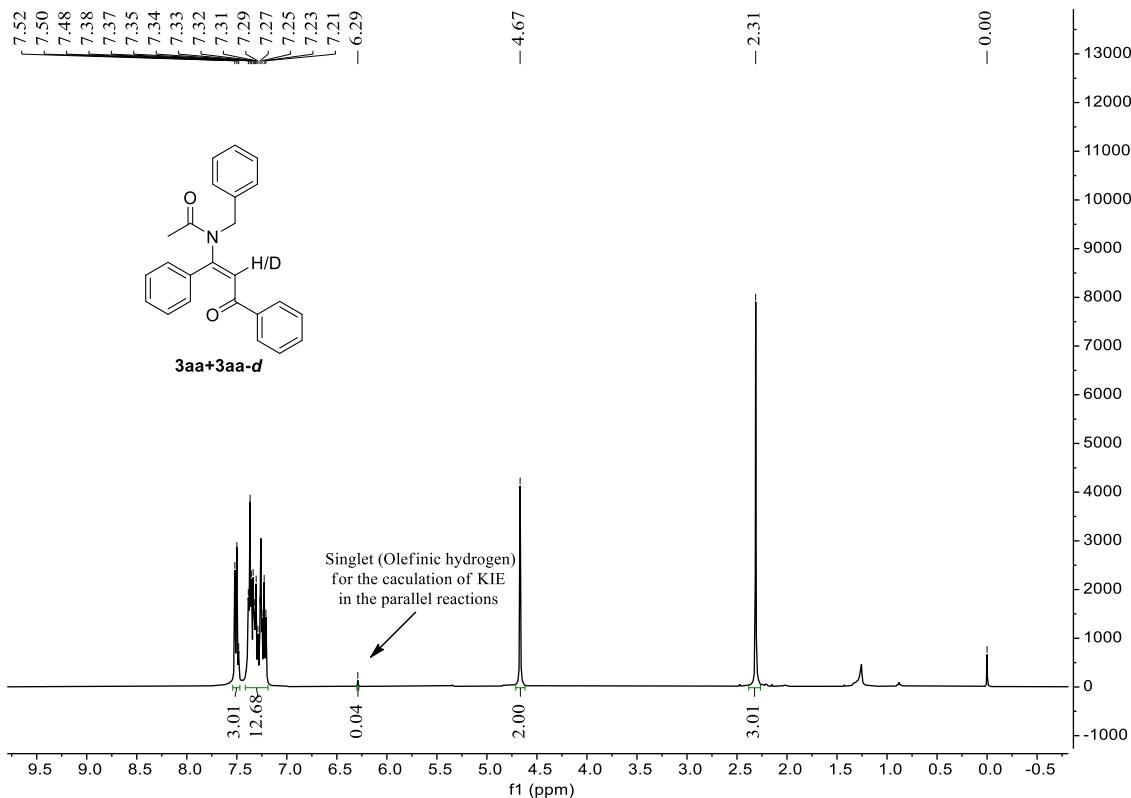
**(c) Determination of Kinetic Isotopic Effect (KIE) via Parallel Reactions**



**(i) KIE with respect to enamides:** Enamide **1a** (75.4 mg, 0.3 mmol) and **1a-d<sub>2</sub>** (76.0 mg, 0.3 mmol) were added into two different Schlenk tubes independently, to each reaction tube was added benzaldehyde **2a** (185  $\mu\text{L}$ , 1.8 mmol, 6.0 eq), Na<sub>2</sub>-eosin Y (4.2 mg, 0.006 mmol, 2.0 mol%), TBPB (180  $\mu\text{L}$ , 0.9 mmol, 3.0 eq) and ethyl acetate (1.5 mL) under N<sub>2</sub> atmosphere. The resulting mixtures were stirring for 2 hours under 60 W blue LEDs irradiation. The reactions were quenched simultaneously, isolated by flash column chromatography. The desired products **3aa** and **3aa+3aa-d** (**3aa:3aa-d** = 4:96 as determined by <sup>1</sup>H NMR analysis) were isolated in 20% yield (equation 1) and 28% yield (equation 2), respectively. In consideration of the 81% deuterated ratio of **1a-d<sub>2</sub>**, the calculated  $K_H/K_D = 0.2/(0.28*0.96/0.81) = 0.60$ .

**(ii) KIE with respect to benzaldehyde:** Enamide **1a** (75.4 mg, 0.3 mmol), Na<sub>2</sub>-eosin Y (4.2 mg, 0.006 mmol, 2.0 mol%), TBPB (180  $\mu\text{L}$ , 0.9 mmol, 3.0 eq) and ethyl acetate (1.5 mL) were added to two different Schleck tubes. To each tube was added benzaldehyde **2a** (191 mg, 1.8 mmol, 6.0 eq) or deuterated benzaldehyde **2a-d** (193 mg, 1.8 mmol, 6.0 eq), respectively. The reactions were performed under N<sub>2</sub> atmosphere and 60 W blue LEDs irradiation for 2 hours. The reactions were quenched

simultaneously, isolated by flash column chromatography. The desired products **3aa** were isolated in 20% yield (equation 1) and 10% yield (equation 3), respectively. Thus, the calculated  $K_H/K_D$  with respect to aldehyde was  $0.2/0.1 = 2.0$ .



#### (d) Quantum Yield Measurement

In order to determine whether a radical-chain reaction is involved, the quantum yield measurement was conducted, which gives the quantum yield ( $\Phi$ ) of the photoreaction of 0.28, implying that the reaction is highly possible to proceed in a photoredox catalytic pathway rather than a radical-chain mechanism.

The actinometry measurements were done as follows based on previous literature<sup>6</sup>:

**(i)** The actinometry measurements were determined by standard ferrioxalate actinometry. A solution of ferrioxalate was prepared by dissolving 73.7 mg of potassium ferrioxalate hydrate and 67.0  $\mu$ L of concentrated sulfuric acid in a 25.0 mL volumetric flask and filled to the mark with water (HPLC grade). A buffered solution of phenanthroline was prepared by dissolving 25.0 mg of phenanthroline, 5.2 g of sodium acetate and 0.56 mL of concentrated sulfuric acid in a 50.0 mL volumetric flask and

filled to the mark with water (HPLC grade). Both solutions were stored in the dark.

(ii) The actinometry solutions ( $V_1$ , 1.0 mL) were irradiated with 60 W blue LEDs for specified time intervals (30 s, 60 s, 90 s, 120 s, and 150 s). After irradiation, 40.0  $\mu$ L ( $V_2$ ) of the actionmeter solutions were removed and placed in 10.0 mL ( $V_3$ ) volumetric flasks. 1.5 mL of buffered solutions were added to these flasks and filled to the mark with water (HPLC grade). The UV-Vis spectra of actinometry samples were recorded for each time interval. The absorbance of the actinometry solutions were monitored at 510 nm. A non-irradiated sample was also prepared and the absorbance at 510 nm measured in cuvette ( $l = 1$  cm).  $\epsilon$  is the molar absorptivity at 510 nm (11,100 L mol<sup>-1</sup> cm<sup>-1</sup>). Based on the data, we got the graph (**Fig.1b**)

$$\text{mol Fe}^{2+} = \frac{V_1 \times V_3 \times \Delta A (510\text{nm})}{10^3 \times V_2 \times I \times \epsilon (510\text{nm})} = \frac{1 \text{ mL} \times 10 \text{ mL} \times \Delta A (510\text{nm})}{10^3 \times (40 \times 10^{-3} \text{ mL}) \times 1 \text{ cm} \times 11100} = \frac{\Delta A (510\text{nm})}{44400} = 2.2343 \times 10^{-8}$$

The quantum yield for  $\text{Fe}^{2+}$  ( $\phi_{\text{Fe}^{2+}} = 1.13$ ),  $F = \text{mol Fe}^{2+}/\Phi_{\text{Fe}^{2+}}$ . Then, the irradiated light intensity was estimated to  $1.98 \times 10^{-8}$  einstein S<sup>-1</sup> by using  $\text{K}_3[\text{Fe}(\text{C}_2\text{O}_4)_3]$  as an actinometer.

(iii) For five clean tubes, according to the general procedure, the 0.3 mmol scale model reaction solution was irradiated with 60 W blue LEDs for specified time intervals (30 min, 60 min, 90 min, 120 min and 150 min). The moles of products formed were determined by <sup>1</sup>H NMR yield with mesitylene as reference standard. The number of moles of products (y axis) per unit time is related to the number of photons (x axis, calculated from the light intensity) (**Fig.1c**). The slope gives the quantum yield ( $\Phi$ ) of the photoreaction, 0.28.

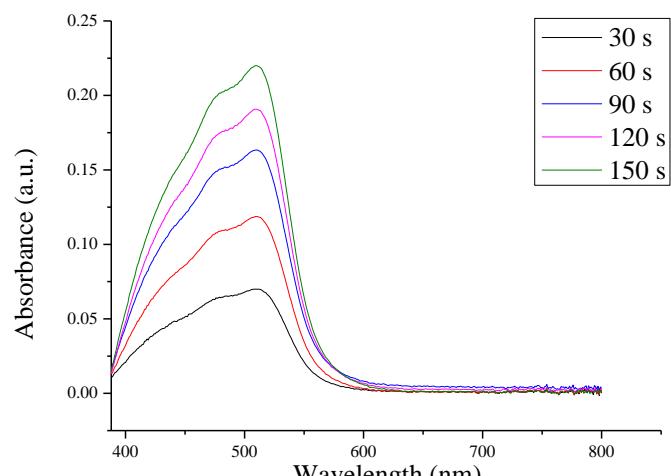


Figure a

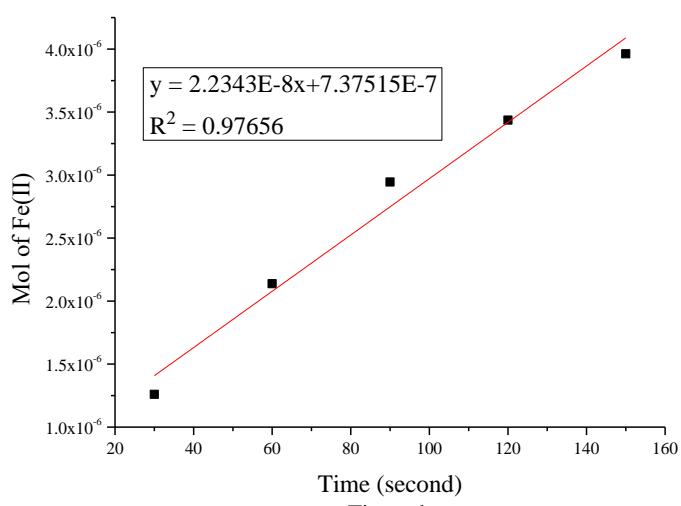


Figure b

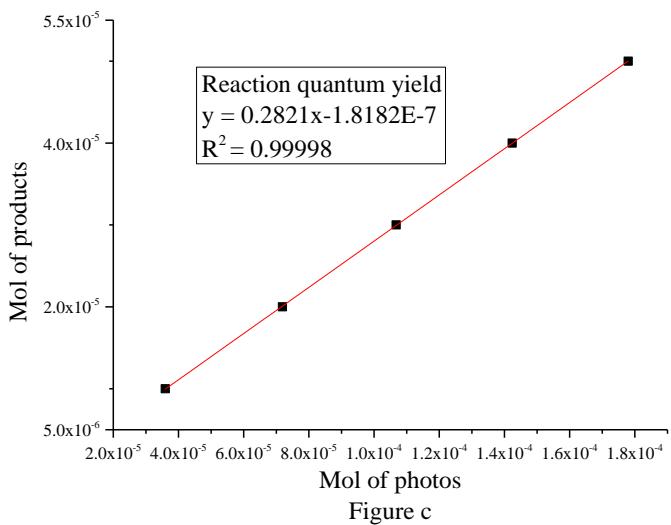


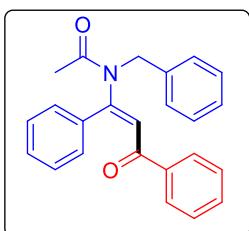
Figure c

**Figure S3.** The UV-Vis spectra and data of quantum yield measurement.

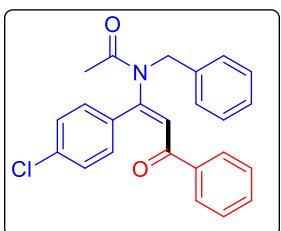
## References

1. (a) M.van den Berg, R. M. Haak, A. J. Minnaard, A. H. M. de Vries, J. G. de Vries, B. L. Feringa, *Adv. Synth. Catal.*, 2002, **344**, 1003; (b) S. Pankajakshan, Y.-H. Xu, J.-K. Cheng, M.-T. Low, T.-P. Loh, *Angew. Chem. Int. Ed.*, 2012, **51**, 5701; (c) For the synthesis of enamides **1u**, **1y-1z**, **1a'-1b'** and enecarbamate **1c'**, see: X. H. Chang, Z. L. Wang, M. Zhao, C. Yang, J. J. Li, W. W. Ma, Y.-H. Xu, *Org. Lett.*, 2020, **22**, 1326.
2. For the synthesis of *N*-2-bromophenyl protected enamide **1v**, two synthetic steps were involved. Firstly, (*Z*)-2-bromo-*N*-(1-phenylethylidene)aniline was synthesis through a palladium-catalyzed cross-coupling of 2-bromoaniline with 1-bromostyrene, see: (a) J. Barluenga, M. A. Fernandez, F. Aznar, C. Valdes, *Chem. Eur. J.*, 2004, **35**, 494. Secondly, enamide **1v** was synthesis *via* the reaction of (*Z*)-2-bromo-*N*-(1-phenylethylidene)aniline with benzoyl chloride, see: (b) H. Okamoto, S. Kato, M. Ogasawara, M. Konnai, T. Takematsu, *Agric. Biol. Chem.* 2014, **55**, 2733.
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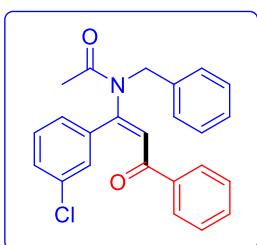
## Characterization Data for Products



**(E)-N-benzyl-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3aa):** 90.6 mg, 85% yield. White solid. m.p. = 121.2–122.0 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.53–7.47 (m, 3H), 7.41–7.18 (m, 12H), 6.29 (s, 1H), 4.67 (s, 2H), 2.31 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.8, 170.7, 149.9, 137.3, 137.2, 134.0, 133.4, 130.5, 129.2, 129.0, 128.9, 128.84, 128.81, 128.7, 127.8, 126.9, 49.4, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{21}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  378.1465, found: 378.1468.

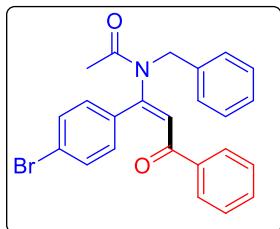


**(E)-N-benzyl-N-(1-(4-chlorophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3ba):** 88.9 mg, 76% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.56–7.48 (m, 3H), 7.40–7.33 (m, 5H), 7.31–7.21 (m, 4H), 7.17–7.12 (m, 2H), 6.33 (s, 1H), 4.66 (s, 2H), 2.30 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.4, 170.6, 148.8, 137.1, 137.0, 136.6, 133.7, 132.4, 130.3, 129.3, 129.1, 128.9, 128.78, 128.76, 127.9, 127.1, 49.4, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{21}\text{ClNO}_2^+ [\text{M}+\text{H}]^+$  390.1255, found: 390.1259.



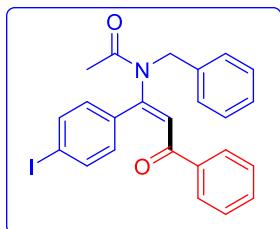
**(E)-N-benzyl-N-(1-(3-chlorophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3ca):** 94.7 mg, 81% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.55–7.48 (m,

3H), 7.41–7.30 (m, 6H), 7.27–7.17 (m, 4H), 7.07–7.05 (m, 1H), 6.35 (s, 1H), 4.66 (s, 2H), 2.31 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.3, 170.5, 148.4, 137.1, 137.0, 136.0, 135.0, 133.6, 130.4, 130.1, 129.1, 128.9, 128.75, 128.73, 128.68, 127.9, 127.6, 127.4, 49.5, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{20}\text{ClNNaO}_2^+ [\text{M}+\text{Na}]^+$  412.1075, found: 412.1079.



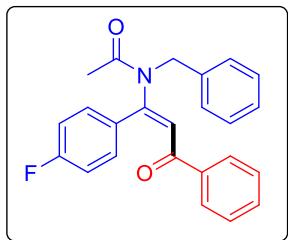
**(E)-N-benzyl-N-(1-(4-bromophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3da):**

101.6 mg, 78% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.56–7.48 (m, 3H), 7.47–7.42 (m, 2H), 7.40–7.33 (m, 5H), 7.25–7.21 (m, 2H), 7.10–7.06 (m, 2H), 6.34 (s, 1H), 4.65 (s, 2H), 2.30 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.4, 170.6, 148.9, 137.1, 137.0, 133.7, 132.9, 132.2, 130.5, 129.1, 128.9, 128.79, 128.76, 127.9, 127.2, 124.9, 49.4, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{21}\text{BrNO}_2^+ [\text{M}+\text{H}]^+$  434.0750, found: 434.0759.



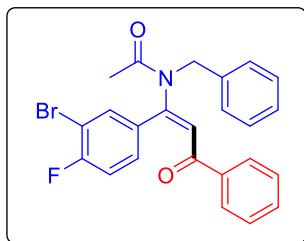
**(E)-N-benzyl-N-(1-(4-iodophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3ea):**

57.8 mg, 40% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.65 (d,  $J = 8.4$  Hz, 2H), 7.56–7.48 (m, 3H), 7.41–7.32 (m, 5H), 7.25–7.21 (m, 2H), 6.94 (d,  $J = 8.4$  Hz, 2H), 6.33 (s, 1H), 4.65 (s, 2H), 2.29 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.3, 170.6, 149.1, 138.2, 137.1, 137.0, 133.7, 133.6, 130.5, 129.2, 128.9, 128.80, 128.77, 127.9, 127.2, 97.0, 49.4, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{21}\text{INO}_2^+ [\text{M}+\text{H}]^+$  482.0611, found: 482.0619.



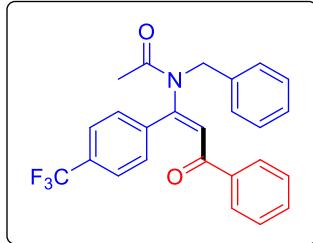
**(E)-N-benzyl-N-(1-(4-fluorophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide**

**(3fa):** 77.3 mg, 69% yield. Yellow solid. m.p. = 140.0–141.9 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.55–7.48 (m, 3H), 7.38–7.33 (m, 5H), 7.28–7.17 (m, 4H), 7.00 (t, *J* = 8.6 Hz, 2H), 6.31 (s, 1H), 4.66 (s, 2H), 2.30 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.5, 170.6, 163.9 (d, *J* = 249.9 Hz), 149.0, 137.2, 137.1, 133.6, 131.1 (d, *J* = 8.5 Hz), 130.0 (d, *J* = 3.2 Hz), 129.2, 128.9, 128.8, 127.9, 126.6, 116.1 (d, *J* = 21.9 Hz), 49.4, 22.9 ppm. <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -109.17 ppm. HRMS m/z: calcd for C<sub>24</sub>H<sub>21</sub>FNO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 374.1551, found: 374.1554.



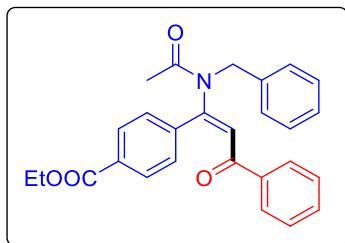
**(E)-N-benzyl-N-(1-(3-bromo-4-fluorophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3ga):** 112.6 mg, 83% Yield. colorless oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)

δ 7.56–7.49 (m, 3H), 7.43–7.35 (m, 6H), 7.25–7.20 (m, 2H), 7.14–7.07 (m, 1H), 7.03 (t, *J* = 8.2 Hz, 1H), 6.37 (s, 1H), 4.67 (s, 2H), 2.30 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.1, 170.5, 160.1 (d, *J* = 250.7 Hz), 147.8, 137.0, 136.8, 133.8 (d, *J* = 11.9 Hz), 131.6 (d, *J* = 3.9 Hz), 130.1 (d, *J* = 7.7 Hz), 129.0, 128.9, 128.8, 128.7, 128.0, 127.2, 116.9 (d, *J* = 22.8 Hz), 109.9 (d, *J* = 21.5 Hz), 49.6, 22.9 ppm. <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -103.43 ppm. HRMS m/z: calcd for C<sub>24</sub>H<sub>20</sub>BrFNO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 452.0656, found: 452.0662.



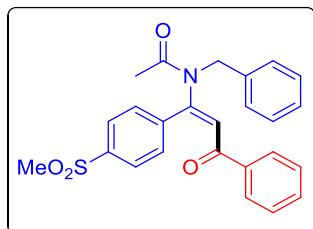
**(E)-N-benzyl-N-(3-oxo-3-phenyl-1-(4-(trifluoromethyl)phenyl)prop-1-en-1-yl)acetamide (3ha):**

105.4 mg, 83% yield. Yellow oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.62–7.48 (m, 5H), 7.42–7.30 (m, 7H), 7.25–7.20 (m, 2H), 6.44 (s, 1H), 4.65 (s, 2H), 2.33 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.1, 170.5, 148.3, 137.6, 136.9, 133.8, 132.0 (q, *J* = 32.6 Hz), 129.3, 129.1, 128.9, 128.8, 128.7, 128.4, 127.9, 125.9 (q, *J* = 3.6 Hz), 123.7 (q, *J* = 271.1 Hz), 49.3, 22.8 ppm. <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ –62.78 ppm. HRMS m/z: calcd for C<sub>25</sub>H<sub>21</sub>F<sub>3</sub>NO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 424.1519, found: 424.1516.



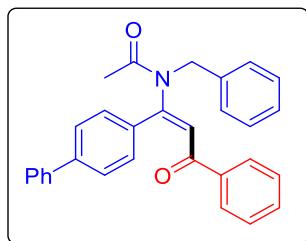
**Ethyl (E)-4-(1-(N-benzylacetamido)-3-oxo-3-phenylprop-1-en-1-yl)benzoate (3ia):**

93.6 mg, 73% yield. Yellow oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.01–7.96 (m, 2H), 7.52 (d, *J* = 7.5 Hz, 3H), 7.40–7.33 (m, 5H), 7.30–7.20 (m, 4H), 6.40 (s, 1H), 4.66 (s, 2H), 4.37 (q, *J* = 7.1 Hz, 2H), 2.32 (s, 3H), 1.38 (t, *J* = 7.1 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.3, 170.6, 165.9, 148.7, 138.4, 137.0, 133.7, 132.0, 130.1, 129.1, 128.9, 128.8, 128.6, 128.2, 128.1, 127.9, 127.3, 61.4, 49.4, 22.9, 14.4 ppm. HRMS m/z: calcd for C<sub>27</sub>H<sub>26</sub>NO<sub>4</sub><sup>+</sup> [M+H]<sup>+</sup> 428.1856, found: 428.1860.

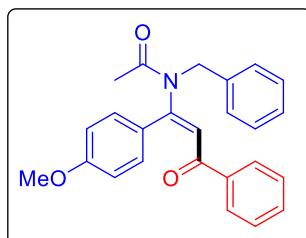


**(E)-N-benzyl-N-(1-(4-(methylsulfonyl)phenyl)-3-oxo-3-phenylprop-1-en-1-**

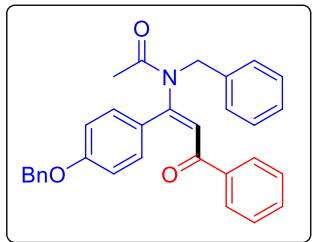
**yl)acetamide (3ja):** 101.4 mg, 78% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.89 (d,  $J = 8.4$  Hz, 2H), 7.60–7.48 (m, 3H), 7.43–7.35 (m, 7H), 7.25–7.18 (m, 2H), 6.50 (s, 1H), 4.65 (s, 2H), 3.06 (s, 3H), 2.33 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.0, 170.4, 147.9, 141.8, 139.7, 136.8, 136.7, 134.0, 129.9, 129.0, 128.9, 128.8, 128.3, 128.2, 128.03, 127.98, 49.5, 44.5, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{24}\text{NO}_4\text{S}^+$   $[\text{M}+\text{H}]^+$  434.1421, found: 434.1421.



**(E)-N-(1-([1,1'-biphenyl]-4-yl)-3-oxo-3-phenylprop-1-en-1-yl)-N-benzylacetamide (3ka):** 90.6 mg, 70% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.60–7.19 (m, 7H), 7.47–7.33 (m, 8H), 7.32–7.27 (m, 4H), 6.31 (s, 1H), 4.72 (s, 2H), 2.34 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.8, 170.7, 149.7, 143.3, 140.1, 137.3, 133.5, 132.7, 129.5, 129.3, 129.0, 128.9, 128.8, 128.7, 128.0, 127.8, 127.6, 127.2, 126.8, 49.5, 23.0 ppm. HRMS m/z: calcd for  $\text{C}_{30}\text{H}_{25}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  454.1778, found: 454.1780.

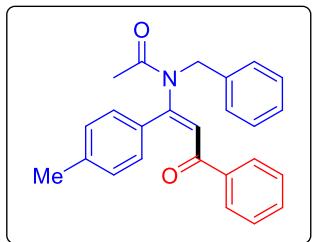


**(E)-N-benzyl-N-(1-(4-methoxyphenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3la):** 75.2 mg, 65% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.54–7.47 (m, 7.2 Hz, 3H), 7.40–7.30 (m, 5H), 7.28–7.23 (m, 2H), 7.19–7.15 (m, 2H), 6.82 (d,  $J = 8.8$  Hz, 2H), 6.21 (s, 1H), 4.68 (s, 2H), 3.80 (s, 3H), 2.28 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.9, 170.8, 161.4, 150.2, 137.5, 137.3, 133.3, 130.8, 129.3, 128.80, 128.75, 128.6, 127.7, 126.0, 125.1, 114.3, 55.5, 49.5, 23.0 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{23}\text{NNaO}_3^+ [\text{M}+\text{Na}]^+$  408.1570, found: 408.1575.

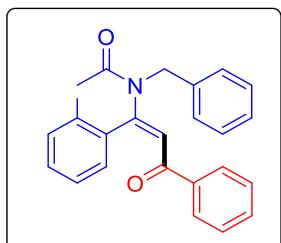


**(E)-N-benzyl-N-(1-(4-benzyloxy)phenyl)-3-oxo-3-phenylprop-1-en-1-yl acetamide (3ma):**

62.3 mg, 45% yield. Yellow oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.54–7.46 (m, 3H), 7.42–7.30 (m, 10H), 7.28–7.23 (m, 2H), 7.20–7.14 (m, 2H), 6.92–6.82 (m, 2H), 6.21 (s, 1H), 5.05 (s, 2H), 4.68 (s, 2H), 2.28 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.9, 170.8, 160.6, 150.1, 137.5, 137.3, 136.5, 133.3, 130.8, 129.3, 128.80, 128.76, 128.7, 128.3, 127.74, 127.65, 126.3, 125.2, 115.2, 70.2, 49.5, 23.0 ppm. HRMS m/z: calcd for C<sub>31</sub>H<sub>28</sub>NO<sub>3</sub><sup>+</sup> [M+H]<sup>+</sup> 462.2064, found: 462.2070.

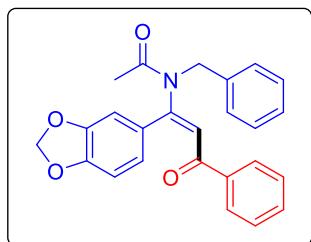


**(E)-N-benzyl-N-(3-oxo-3-phenyl-1-(p-tolyl)prop-1-en-1-yl)acetamide (3na):** 56.5 mg, 51% yield. colorless oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.55–7.47 (m, 3H), 7.41–7.30 (m, 5H), 7.29–7.21 (m, 2H), 7.11 (s, 4H), 6.24 (s, 1H), 4.67 (s, 2H), 2.34 (s, 3H), 2.30 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.8, 170.7, 150.2, 140.9, 137.43, 137.39, 133.36, 131.0, 129.7, 129.3, 129.0, 128.82, 128.81, 128.7, 127.7, 126.1, 49.4, 22.9, 21.5 ppm. HRMS m/z: calcd for C<sub>25</sub>H<sub>23</sub>NNaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 392.1621, found: 392.1625.

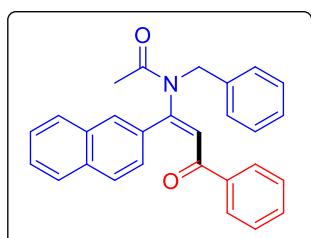


**(E)-N-benzyl-N-(3-oxo-3-phenyl-1-(o-tolyl)prop-1-en-1-yl)acetamide (3oa):** 67.6

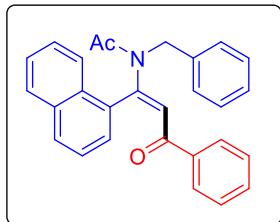
mg, 61% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.67 (d,  $J = 7.5$  Hz, 2H), 7.48 (t,  $J = 14.7$  Hz, 1H), 7.40–7.22 (m, 6H), 7.20–7.08 (m, 4H), 7.02 (d,  $J = 7.5$  Hz, 1H), 6.69 (s, 1H), 4.61 (s, 2H), 2.41 (s, 3H), 2.12 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  190.5, 171.1, 152.3, 137.9, 137.3, 137.1, 134.0, 133.0, 131.0, 130.0, 129.9, 128.7, 128.6, 128.4, 128.2, 127.5, 126.2, 123.9, 49.5, 23.4, 19.8 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{24}\text{NO}_2^+ [\text{M}+\text{H}]^+$  370.1802, found: 370.1809.



**(E)-N-(1-(benzo[d][1,3]dioxol-5-yl)-3-oxo-3-phenylprop-1-en-1-yl)-N-benzylacetamide (3pa):** 73.1 mg, 61% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.55–7.46 (m, 3H), 7.43–7.30 (m, 5H), 7.28–7.23 (m, 2H), 6.80–6.70 (m, 2H), 6.66 (d,  $J = 1.4$  Hz, 2H), 6.20 (s, 1H), 5.97 (s, 2H), 4.68 (s, 2H), 2.28 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.8, 170.7, 149.7, 148.2, 137.4, 137.3, 133.4, 129.2, 128.84, 128.76, 128.7, 127.9, 127.8, 125.7, 123.9, 109.0, 108.7, 101.8, 49.6, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{21}\text{NNaO}_4^+ [\text{M}+\text{Na}]^+$  422.1363, found: 422.1362.

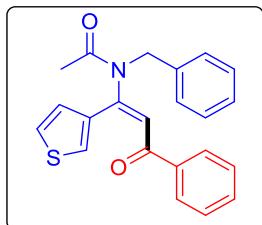


**(E)-N-benzyl-N-(1-(naphthalen-2-yl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3qa):** 80.3 mg, 66% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.83–7.69 (m, 4H), 7.58–7.45 (m, 5H), 7.41–7.31 (m, 5H), 7.29–7.22 (m, 3H), 6.39 (s, 1H), 4.73 (s, 2H), 2.36 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.7, 170.8, 150.1, 137.32, 137.27, 134.1, 133.4, 133.1, 131.4, 129.3, 129.1, 128.9, 128.8, 128.74, 128.70, 128.67, 127.9, 127.8, 127.6, 126.9, 126.8, 126.0, 49.6, 23.0 ppm. HRMS m/z: calcd for  $\text{C}_{28}\text{H}_{24}\text{NO}_2^+ [\text{M}+\text{H}]^+$  406.1802, found: 406.1806.



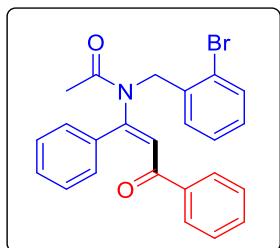
**(E)-N-benzyl-N-(1-(naphthalen-1-yl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3ra):**

**(3ra):** 66.9 mg, 55% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.80 (dd,  $J = 8.1, 4.0$  Hz, 2H), 7.74 (d,  $J = 8.4$  Hz, 1H), 7.54 (d,  $J = 7.8$  Hz, 2H), 7.44 (t,  $J = 7.5$  Hz, 1H), 7.38–7.12 (m, 11H), 6.85 (s, 1H), 4.59 (s, 2H), 2.48 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.0, 171.1, 150.5, 137.7, 137.3, 133.5, 132.7, 132.3, 131.4, 130.7, 128.8, 128.7, 128.34, 128.26, 128.22, 128.17, 127.6, 127.1, 126.3, 125.1, 125.0, 124.2, 50.0, 23.5 ppm. HRMS m/z: calcd for  $\text{C}_{28}\text{H}_{23}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  428.1621, found: 428.1625.



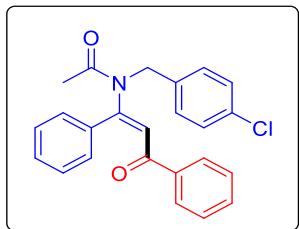
**(E)-N-benzyl-N-(3-oxo-3-phenyl-1-(thiophen-3-yl)prop-1-en-1-yl)acetamide (3sa):**

77.0 mg, 71% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.56–7.48 (m, 3H), 7.45–7.41 (m, 1H), 7.39–7.22 (m, 8H), 6.97 (d,  $J = 5.1$  Hz, 1H), 6.23 (s, 1H), 4.78 (s, 2H), 2.23 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.6, 170.4, 143.9, 137.3, 137.2, 135.7, 133.5, 129.3, 128.8, 128.72, 128.66, 128.5, 127.8, 127.6, 126.6, 125.7, 50.1, 22.7 ppm. HRMS m/z: calcd for  $\text{C}_{22}\text{H}_{20}\text{NO}_2\text{S}^+ [\text{M}+\text{H}]^+$  362.1209, found: 362.1213.



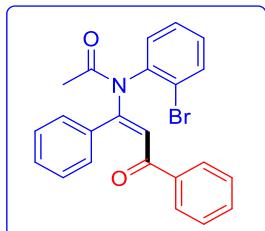
**(E)-N-(2-bromobenzyl)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl) acetamide (3ta)**

100.3 mg, 77% yield. White solid. m.p. = 128.3–129.4 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  7.63–7.56 (m, 3H), 7.50 (t,  $J$  = 7.4 Hz, 1H), 7.39–7.12 (m, 10H), 6.52 (s, 1H), 4.87 (s, 2H), 2.33 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  192.0, 170.8, 149.8, 137.2, 136.1, 133.8, 133.4, 133.1, 131.3, 130.4, 129.4, 129.1, 128.8, 128.7, 127.7, 126.5, 124.1, 49.8, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{21}\text{BrNO}_2^+ [\text{M}+\text{H}]^+$  434.0750, found: 434.0754.



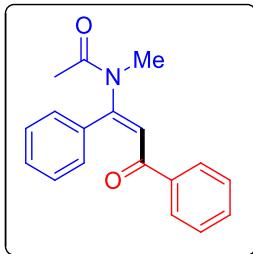
**(E)-N-(4-chlorobenzyl)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl) acetamide (3ua)**

83.0 mg, 71% yield. White solid. m.p. = 125.5–126.1 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  7.56–7.50 (m, 3H), 7.43–7.29 (m, 7H), 7.23–7.19 (m, 4H), 6.27 (s, 1H), 4.62 (s, 2H), 2.33 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.6, 170.7, 149.6, 137.1, 135.8, 133.8, 133.62, 133.61, 130.7, 130.6, 129.02, 128.98, 128.9, 128.8, 128.7, 127.0, 48.5, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{20}\text{ClNNaO}_2^+ [\text{M}+\text{Na}]^+$  412.1075, found: 412.1079.

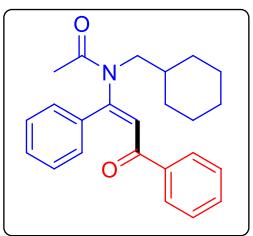


**(E)-N-(2-bromophenyl)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3va)**

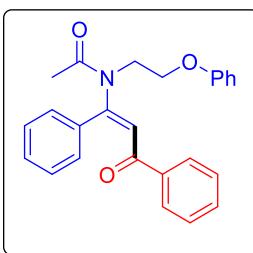
82.0 mg, 65% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.83 (d,  $J$  = 7.5 Hz, 2H), 7.67 (d,  $J$  = 8.0 Hz, 1H), 7.47–7.29 (m, 8H), 7.21 (d,  $J$  = 6.8 Hz, 3H), 6.65 (s, 1H), 2.05 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  192.3, 171.0, 151.4, 140.9, 138.1, 135.4, 134.3, 132.9, 130.8, 130.1, 129.5, 129.1, 128.8, 128.5, 128.3, 124.0, 122.1, 24.5 ppm. HRMS m/z: calcd for  $\text{C}_{23}\text{H}_{19}\text{BrNO}_2^+ [\text{M}+\text{H}]^+$  420.0594, found: 420.0596.



**(E)-N-methyl-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3wa):** 62.0 mg, 74% yield. Colorless oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.91–7.85 (m, 2H), 7.55–7.48 (m, 1H), 7.40 (t, *J* = 7.6 Hz, 2H), 7.36–7.24 (m, 5H), 6.65 (s, 1H), 3.12 (s, 2H), 2.21 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 192.1, 171.2, 153.3, 137.5, 134.6, 133.3, 130.5, 129.0, 128.83, 128.81, 128.7, 122.7, 36.2, 23.0 ppm. HRMS m/z: calcd for C<sub>18</sub>H<sub>18</sub>NO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 280.1332, found: 280.1336.

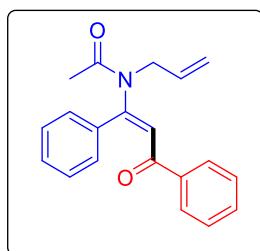


**(E)-N-(cyclohexylmethyl)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3xa):** 86.8 mg, 80% yield. colorless oil. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 7.90–7.85 (m, 2H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.42 (t, *J* = 7.7 Hz, 2H), 7.35–7.22 (m, 5H), 6.66 (s, 1H), 3.26–3.22 (m, 2H), 2.34 (s, 3H), 1.79–1.64 (m, 7H), 1.21 (dd, *J* = 24.4, 10.9 Hz, 3H), 0.98–0.94 (m, 1H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.8, 170.9, 152.4, 137.7, 134.2, 133.3, 130.3, 129.1, 128.76, 128.75, 128.7, 124.7, 52.0, 37.3, 31.0, 26.5, 26.0, 23.1 ppm. HRMS m/z: calcd for C<sub>24</sub>H<sub>28</sub>NO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 362.2115, found: 362.2119.

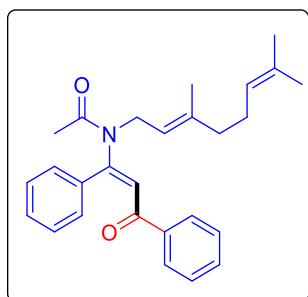


**(E)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)-N-(2-phenoxyethyl)acetamide (3ya):**

77.5 mg, 67% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.84–7.76 (m, 2H), 7.53–7.45 (m, 1H), 7.36 – 7.27 (m, 9H), 6.98 (t,  $J$  = 7.3 Hz, 1H), 6.94–6.89 (m, 2H), 6.76 (s, 1H), 4.24 (t,  $J$  = 5.0 Hz, 2H), 3.89 (t,  $J$  = 5.0 Hz, 2H), 2.24 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  191.8, 171.4, 158.5, 152.3, 137.4, 134.4, 133.3, 130.4, 129.7, 129.1, 128.82, 128.78, 128.6, 124.5, 121.1, 114.4, 66.1, 46.9, 23.2 ppm. HRMS m/z: calcd for C<sub>25</sub>H<sub>23</sub>NNaO<sub>3</sub><sup>+</sup> [M+Na]<sup>+</sup> 408.1570, found: 408.1575.

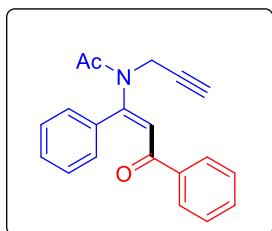


**(E)-N-allyl-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3za):** 66.0 mg, 72% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.88 (d,  $J$  = 7.9 Hz, 2H), 7.52 (t,  $J$  = 6.9 Hz, 1H), 7.41 (t,  $J$  = 7.7 Hz, 2H), 7.36–7.24 (m, 5H), 6.64 (s, 1H), 5.92 (ddt,  $J$  = 16.9, 10.2, 6.3 Hz, 1H), 5.24 (d,  $J$  = 10.1 Hz, 1H), 5.12 (d,  $J$  = 17.1 Hz, 1H), 4.12 (d,  $J$  = 6.3 Hz, 2H), 2.23 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  192.0, 170.7, 151.5, 137.4, 134.6, 133.3, 132.9, 130.4, 129.1, 128.8, 128.74, 128.69, 124.4, 118.6, 50.0, 23.2 ppm. HRMS m/z: calcd for C<sub>20</sub>H<sub>19</sub>NNaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 328.1308, found: 328.1312.



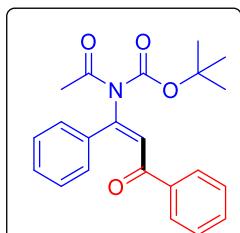
**N-((E)-3,7-dimethylocta-2,6-dien-1-yl)-N-((E)-3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3a'a):** 55.4 mg, 46% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.89–7.85 (m, 2H), 7.56–7.47 (m, 1H), 7.40 (t,  $J$  = 7.6 Hz, 2H), 7.36–7.22 (m, 5H), 6.60 (s, 1H), 5.31 (t,  $J$  = 7.6 Hz, 1H), 5.09 (t,  $J$  = 7.4 Hz, 1H), 4.15 (d,  $J$  = 7.1 Hz, 2H),

2.22 (s, 3H), 2.14–1.99 (m, 4H), 1.67 (s, 3H), 1.60 (s, 3H), 1.45 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  192.1, 170.7, 151.6, 140.3, 137.5, 134.6, 133.4, 132.0, 130.4, 129.2, 128.8, 128.71, 128.66, 124.5, 123.9, 119.1, 45.0, 39.8, 26.6, 25.8, 23.2, 17.9, 16.3 ppm. HRMS m/z: calcd for  $\text{C}_{27}\text{H}_{31}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  424.2247, found: 424.2252.

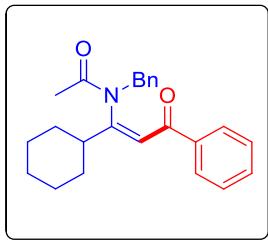


**(E)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)-N-(prop-2-yn-1-yl)acetamide (3b'a):**

54.6 mg, 60% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.95 (d, *J* = 8.2 Hz, 2H), 7.57–7.50 (m, 1H), 7.47–7.24 (m, 7H), 6.79 (s, 1H), 4.37 (s, 2H), 2.35 (t, *J* = 2.4 Hz, 1H), 2.19 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  192.1, 170.5, 150.4, 137.3, 134.1, 133.5, 130.6, 129.1, 128.9, 128.8, 128.7, 124.9, 78.8, 72.6, 36.8, 23.0 ppm. HRMS m/z: calcd for  $\text{C}_{20}\text{H}_{17}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  326.1151, found: 326.1157.

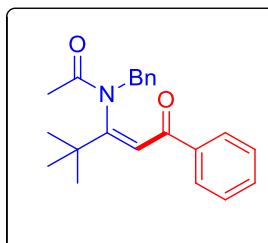


**Tert-butyl (E)-acetyl(3-oxo-1,3-diphenylprop-1-en-1-yl)carbamate (3c'a):** 44.9 mg, 41% yield. White solid. m.p. = 144.3–145.4 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.00–7.90 (m, 2H), 7.42–7.35 (m, 1H), 7.31–7.21 (m, 4H), 7.17–7.11 (m, 3H), 6.45 (s, 1H), 2.67 (s, 3H), 1.27 (s, 9H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  194.0, 173.6, 152.0, 145.1, 136.9, 136.1, 133.2, 129.7, 129.4, 128.7, 128.4, 128.1, 127.6, 84.1, 27.7, 26.5 ppm. HRMS m/z: calcd for  $\text{C}_{22}\text{H}_{23}\text{NNaO}_4^+ [\text{M}+\text{Na}]^+$  388.1519, found: 388.1519.



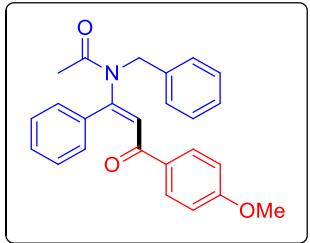
**(Z)-N-benzyl-N-(1-cyclohexyl-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3d'a):**

33.6 mg, 31% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.69 (d,  $J = 6.2$  Hz, 2H), 7.51 (t,  $J = 7.3$  Hz, 1H), 7.39 (t,  $J = 7.5$  Hz, 2H), 7.24–6.97 (m, 5H), 6.70 (s, 1H), 4.90 (d,  $J = 15.0$  Hz, 1H), 4.59 (d,  $J = 14.7$  Hz, 1H), 2.13 (s, 3H), 2.02–1.66 (m, 5H), 1.37–1.09 (m, 6H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  188.3, 172.3, 158.2, 138.1, 136.1, 131.7, 130.1, 128.5, 128.24, 128.18, 126.8, 118.9, 48.7, 43.3, 32.6, 31.2, 26.7, 26.3, 26.0, 22.6 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{27}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  384.1934, found: 384.1938.



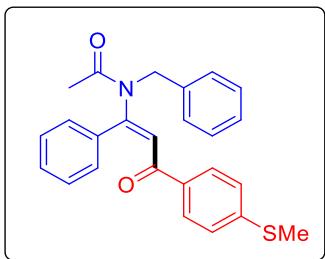
**(Z)-N-benzyl-N-(4,4-dimethyl-1-oxo-1-phenylpent-2-en-3-yl) acetamide (3e'a):**

40.3 mg, 40% yield. White solid. m.p. = 113.4–114.1 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.56 (dd,  $J = 8.4, 1.3$  Hz, 2H), 7.51 – 7.45 (m, 1H), 7.39–7.28 (m, 2H), 7.16 (d,  $J = 7.1$  Hz, 2H), 6.96–6.89 (m, 3H), 6.85–6.74 (m, 1H), 5.18 (d,  $J = 14.8$  Hz, 1H), 4.21 (d,  $J = 14.7$  Hz, 1H), 2.19 (s, 3H), 1.33 (s, 9H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  189.10, 171.1, 160.5, 137.3, 136.4, 132.9, 129.6, 128.30, 128.28, 128.1, 127.2, 124.1, 51.5, 38.6, 31.2, 23.2 ppm. HRMS m/z: calcd for  $\text{C}_{22}\text{H}_{25}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  358.1778, found: 358.1782.



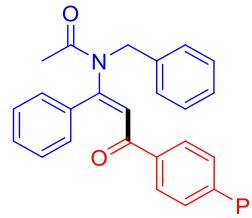
**(E)-N-benzyl-N-(3-(4-methoxyphenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

**(3ab):** 86.7 mg, 75% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.49 (d,  $J = 8.8$  Hz, 2H), 7.41–7.17 (m, 10H), 6.81 (d,  $J = 8.8$  Hz, 2H), 6.25 (s, 1H), 4.66 (s, 2H), 3.85 (s, 3H), 2.32 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  190.4, 170.7, 163.9, 148.6, 137.3, 134.0, 131.2, 130.29, 130.25, 129.3, 128.91, 128.89, 128.8, 127.7, 127.5, 113.9, 55.6, 49.2, 22.8 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{23}\text{NNaO}_3^+ [\text{M}+\text{Na}]^+$  408.1570, found: 408.1572.



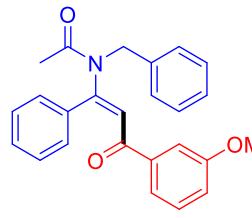
**(E)-N-benzyl-N-(3-(4-(methylthio)phenyl)-3-oxo-1-phenylprop-1-en-1-yl)**

**acetamide (3ac):** 90.3 mg, 75% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.43–7.35 (m, 6H), 7.34–7.28 (m, 2H), 7.25–7.18 (m, 4H), 7.16–7.11 (m, 2H), 6.25 (s, 1H), 4.66 (s, 2H), 2.50 (s, 3H), 2.31 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  190.8, 170.7, 149.3, 146.6, 137.3, 134.0, 133.5, 130.4, 129.3, 129.2, 129.0, 128.8, 127.8, 127.0, 125.0, 49.3, 22.9, 14.8 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{23}\text{NNaO}_2\text{S}^+ [\text{M}+\text{Na}]^+$  424.1342, found: 424.1343.



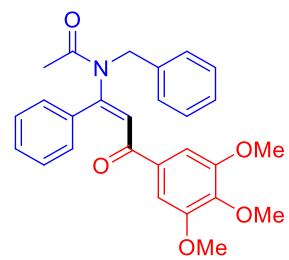
**(E)-N-(3-([1,1'-biphenyl]-4-yl)-3-oxo-1-phenylprop-1-en-1-yl)-N-benzylacetamide**

**(3ad):** 90.6 mg, 70% yield. White solid. m.p. = 154.9–155.8 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.61–7.52 (m, 6H), 7.46 (t,  $J$  = 7.2 Hz, 2H), 7.42–7.21 (m, 11H), 6.32 (s, 1H), 4.68 (s, 2H), 2.33 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.3, 170.6, 149.7, 146.1, 139.8, 137.3, 135.9, 133.9, 130.4, 129.4, 129.3, 129.1, 129.0, 128.9, 128.8, 128.5, 127.8, 127.4, 127.3, 127.0, 49.3, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{30}\text{H}_{26}\text{NO}_2^+ [\text{M}+\text{H}]^+$  432.1958, found: 432.1963.



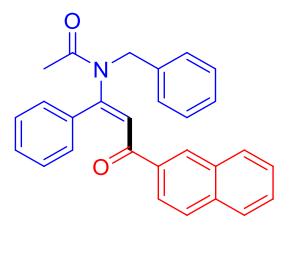
**(E)-N-benzyl-N-(3-(3-methoxyphenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

**(3ae):** 85.6 mg, 74% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.37–7.30 (m, 6H), 7.27–7.20 (m, 6H), 7.07–6.99 (m, 2H), 6.31 (s, 1H), 4.66 (s, 2H), 3.77 (s, 3H), 2.31 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.4, 170.7, 159.9, 150.2, 138.6, 137.2, 134.0, 130.5, 129.7, 129.1, 129.0, 128.9, 128.8, 127.8, 126.6, 121.7, 120.4, 112.3, 55.5, 49.4, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{24}\text{NO}_3^+ [\text{M}+\text{H}]^+$  386.1751, found: 386.1758.



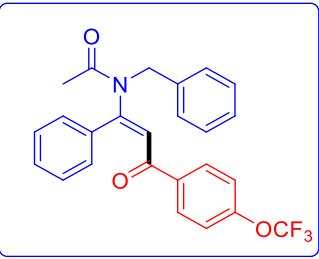
**(E)-N-benzyl-N-(3-oxo-1-phenyl-3-(3,4,5-trimethoxyphenyl)prop-1-en-1-yl)acetamide (3af)**

**acetamide (3af):** 100.2 mg, 75% yield. White solid. m.p. = 161.1–162.0 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.43–7.22 (m, 10H), 6.91 (s, 2H), 6.36 (s, 1H), 4.66 (s, 2H), 3.87 (s, 3H), 3.75 (s, 6H), 2.32 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 190.5, 170.8, 153.1, 150.6, 142.8, 137.3, 134.1, 132.4, 130.5, 129.0, 128.93, 128.92, 128.7, 127.7, 125.7, 106.1, 61.0, 56.3, 49.5, 22.9 ppm. HRMS m/z: calcd for C<sub>27</sub>H<sub>28</sub>NO<sub>5</sub><sup>+</sup> [M+H]<sup>+</sup> 446.1962, found: 446.1967.



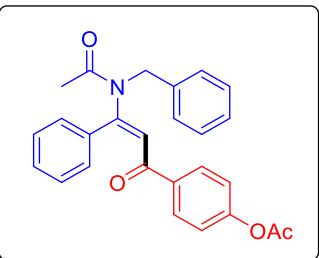
**(E)-N-benzyl-N-(3-(naphthalen-2-yl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide (3ag)**

**(3ag):** 86.4 mg, 71% yield. colorless oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.91 (s, 1H), 7.87–7.78 (m, 3H), 7.74–7.72 (m, 1H), 7.64–7.52 (m, 2H), 7.48–7.43 (m, 3H), 7.37–7.23 (m, 7H), 6.44 (s, 1H), 4.70 (s, 2H), 2.35 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.7, 170.7, 149.8, 137.4, 135.8, 134.7, 134.0, 132.5, 131.0, 130.5, 129.6, 129.4, 129.02, 128.98, 128.93, 128.86, 128.7, 128.0, 127.1, 127.0, 124.0, 49.3, 23.0 ppm. HRMS m/z: calcd for C<sub>28</sub>H<sub>24</sub>NO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 406.1802, found: 406.1805.

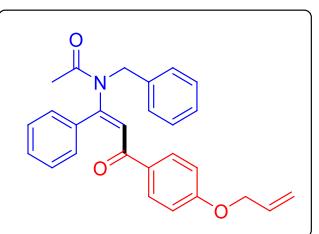


**(E)-N-benzyl-N-(3-oxo-1-phenyl-3-(4-(trifluoromethoxy)phenyl)prop-1-en-1-yl)acetamide (3ah):**

96.2 mg, 73% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.52 (d,  $J = 8.8$  Hz, 2H), 7.41–7.24 (m, 8H), 7.21–7.16 (m, 2H), 7.13 (d,  $J = 8.1$  Hz, 2H), 6.25 (s, 1H), 4.69 (s, 2H), 2.30 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  190.4, 170.6, 152.7 (q,  $J = 1.2$  Hz), 150.7, 137.2, 135.5, 133.9, 130.8, 130.7, 129.2, 129.04, 128.99, 128.9, 127.8, 126.0, 120.4, 120.3 (q,  $J = 258.9$  Hz), 49.5, 23.0 ppm.  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -57.48 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{21}\text{F}_3\text{NO}_3^+ [\text{M}+\text{H}]^+$  440.1468, found: 440.1468.

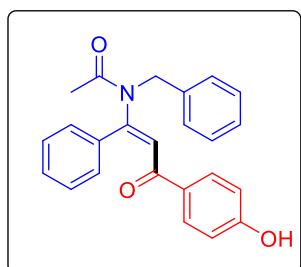


**(E)-4-(3-(N-benzylacetamido)-3-phenylacryloyl)phenyl acetate (3ai):** 74.4 mg, 60% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz, Chloroform- $d$ )  $\delta$  7.52 (d,  $J = 6.8$  Hz, 2H), 7.42–7.17 (m, 10H), 7.07 (d,  $J = 6.8$  Hz, 2H), 6.25 (s, 1H), 4.67 (s, 2H), 2.32 (d,  $J = 4.0$  Hz, 6H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  190.5, 170.6, 168.9, 154.6, 149.9, 137.3, 134.8, 133.8, 130.5, 130.4, 129.2, 129.0, 128.9, 127.8, 126.7, 121.9, 49.3, 22.9, 21.2 ppm. HRMS m/z: calcd for  $\text{C}_{26}\text{H}_{24}\text{NO}_4^+ [\text{M}+\text{H}]^+$  414.1700, found: 414.1700.



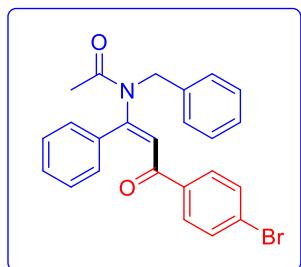
**(E)-N-(3-(4-(allyloxy)phenyl)-3-oxo-1-phenylprop-1-en-1-yl)-N-benzylacetamide**

**(3aj):** 70.4 mg, 57% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.47 (d,  $J = 8.9$  Hz, 2H), 7.41–7.18 (m, 10H), 6.82 (d,  $J = 8.9$  Hz, 2H), 6.25 (s, 1H), 6.13–5.97 (m, 1H), 5.49–5.28 (m, 2H), 4.66 (s, 2H), 4.58 (dt,  $J = 5.3, 1.6$  Hz, 2H), 2.31 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  190.4, 170.7, 162.9, 148.7, 137.4, 134.0, 132.5, 131.2, 130.4, 130.3, 129.3, 128.91, 128.90, 128.8, 127.7, 127.5, 118.4, 114.6, 69.0, 49.3, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{27}\text{H}_{26}\text{NO}_3^+ [\text{M}+\text{H}]^+$  412.1907, found: 412.1911.



**(E)-N-benzyl-N-(3-(4-hydroxyphenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

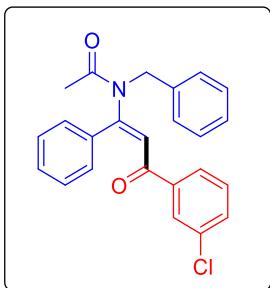
**(3ak):** 50.1 mg, 45% yield. White solid. m.p. = 115.1–115.7 °C.  $^1\text{H}$  NMR (400 MHz,  $(\text{CD}_3)_2\text{SO}$ )  $\delta$  7.41–7.31 (m, 8H), 7.20 (d,  $J = 6.5$  Hz, 2H), 7.11 (d,  $J = 8.0$  Hz, 2H), 6.73 (d,  $J = 8.7$  Hz, 2H), 6.64 (s, 1H), 4.52 (s, 2H), 2.24 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $(\text{CD}_3)_2\text{SO}$ )  $\delta$  190.0, 169.9, 162.3, 146.6, 137.2, 134.4, 131.1, 129.6, 128.6, 128.52, 128.47, 128.44, 128.38, 128.1, 127.3, 115.3, 48.4, 22.5 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{21}\text{NNaO}_3^+ [\text{M}+\text{Na}]^+$  394.1414, found: 394.1416.



**(E)-N-benzyl-N-(3-(4-bromophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

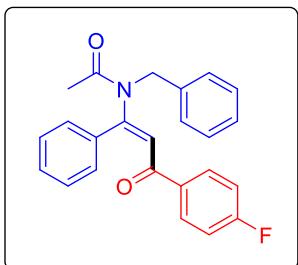
**(3al):** 93.8 mg, 72% yield. White solid. m.p. = 105.1–106.1 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.47–7.42 (m, 2H), 7.40–7.34 (m, 4H), 7.34–7.27 (m, 4H), 7.27–7.22 (m, 2H), 7.20–7.16 (m, 2H), 6.22 (s, 1H), 4.67 (s, 2H), 2.29 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  190.8, 170.6, 150.2, 137.2, 136.0, 133.8, 131.9, 130.6, 130.3, 129.2, 128.98,

128.96, 128.9, 128.6, 127.8, 126.2, 49.4, 23.0 ppm. HRMS m/z: calcd for C<sub>24</sub>H<sub>20</sub>BrNNaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 456.0570, found: 456.0571.



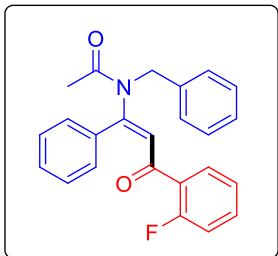
**(E)-N-benzyl-N-(3-(3-chlorophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

**(3am):** 81.9 mg, 70% yield. colorless oil. <sup>1</sup>H NMR (400 MHz, Chloroform-d) δ 7.49 (t, *J* = 1.8 Hz, 1H), 7.47–7.43 (m, 1H), 7.40–7.23 (m, 10H), 7.21–7.17 (m, 2H), 6.24 (s, 1H), 4.68 (s, 2H), 2.29 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 190.6, 170.6, 151.1, 138.9, 137.0, 135.0, 133.9, 133.3, 130.7, 130.0, 129.2, 129.0, 128.99, 128.9, 128.8, 128.1, 126.8, 125.8, 49.6, 23.0 ppm. HRMS m/z: calcd for C<sub>24</sub>H<sub>21</sub>ClNO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 390.1255, found: 390.1251.



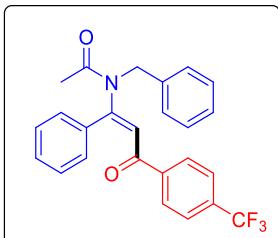
**(E)-N-benzyl-N-(3-(4-fluorophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

**(3an):** 89.6 mg, 80% yield. Yellow oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.54–7.47 (m, 2H), 7.41–7.17 (m, 10H), 6.98 (t, *J* = 8.6 Hz, 2H), 6.24 (s, 1H), 4.68 (s, 2H), 2.30 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 190.4, 170.6, 165.9 (d, *J* = 254.3 Hz), 149.9, 137.3, 133.9, 133.6 (d, *J* = 2.7 Hz), 131.5 (d, *J* = 9.3 Hz), 130.5, 129.2, 129.0, 128.9, 127.8, 126.5, 115.8 (d, *J* = 21.8 Hz), 49.4, 22.9 ppm. <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -104.27 ppm. HRMS m/z: calcd for C<sub>24</sub>H<sub>20</sub>FNNaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 396.1370, found: 396.1375.



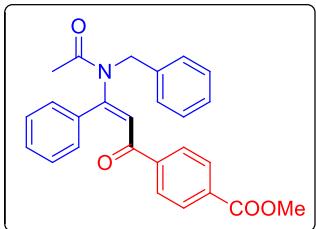
**(E)-N-benzyl-N-(3-(2-fluorophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

**(3ao):** 78.4 mg, 70% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.50 (t,  $J = 7.5$  Hz, 1H), 7.38–7.19 (m, 11H), 7.08 (t,  $J = 7.5$  Hz, 1H), 6.90 (t,  $J = 9.6$  Hz, 1H), 6.36 (s, 1H), 4.63 (s, 2H), 2.31 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  189.2, 171.0, 160.9 (d,  $J = 253.2$  Hz), 152.6, 137.0, 134.3 (d,  $J = 8.8$  Hz), 134.1, 131.0 (d,  $J = 1.4$  Hz), 130.5, 129.5, 128.7, 128.63, 128.60, 127.6, 127.0, 126.9 (d,  $J = 3.6$  Hz), 124.4 (d,  $J = 3.3$  Hz), 116.4 (d,  $J = 22.7$  Hz), 50.2, 23.0 ppm.  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -110.34 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{20}\text{FNNaO}_2^+ [\text{M}+\text{Na}]^+$  396.1370, found: 396.1375.

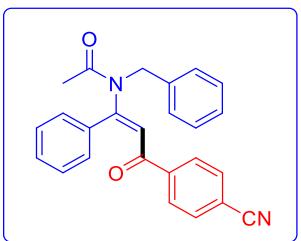


**(E)-N-benzyl-N-(3-oxo-1-phenyl-3-(4-(trifluoromethyl)phenyl)prop-1-en-1-yl)acetamide (3ap):**

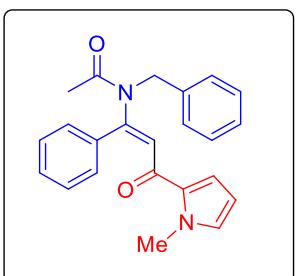
69.9 mg, 55% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.56 (s, 4H), 7.42–7.23 (m, 8H), 7.21–7.16 (m, 2H), 6.27 (s, 1H), 4.70 (s, 2H), 2.29 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  190.9, 170.7, 151.4, 140.0, 137.2, 134.5 (t,  $J = 32.4$  Hz), 133.9, 130.8, 129.2, 129.09, 129.07, 129.0, 128.9, 127.9, 125.7 (t,  $J = 3.4$  Hz), 125.6, 123.6 (t,  $J = 270.7$  Hz), 49.7, 23.1 ppm.  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -63.04 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{21}\text{F}_3\text{NO}_2^+ [\text{M}+\text{H}]^+$  424.1519, found: 424.1517.



**methyl (E)-4-(3-(N-benzylacetamido)-3-phenylacryloyl)benzoate (3aq):** 43.4 mg, 35% yield. Colorless oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.96 (d, *J* = 8.4 Hz, 2H), 7.52 (d, *J* = 8.4 Hz, 2H), 7.42–7.23 (m, 8H), 7.22–7.16 (m, 2H), 6.28 (s, 1H), 4.70 (s, 2H), 3.94 (s, 3H), 2.29 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 191.4, 170.7, 166.3, 151.0, 140.6, 137.1, 134.0, 130.7, 129.8, 129.2, 129.1, 129.0, 128.9, 128.7, 127.9, 126.0, 52.6, 49.7, 23.1 ppm. HRMS m/z: calcd for C<sub>26</sub>H<sub>24</sub>NO<sub>4</sub><sup>+</sup> [M+H]<sup>+</sup> 414.1700, found: 414.1707.

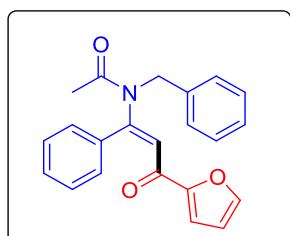


**(E)-N-benzyl-N-(3-(4-cyanophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide (3ar):** 45.7 mg, 40% yield. Yellow oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.57 (d, *J* = 8.2 Hz, 2H), 7.51 (d, *J* = 8.3 Hz, 2H), 7.41–7.33 (m, 4H), 7.32–7.22 (m, 4H), 7.16 (d, *J* = 7.4 Hz, 2H), 6.27 (s, 1H), 4.72 (s, 2H), 2.27 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 190.7, 170.7, 152.0, 140.5, 137.1, 134.0, 132.4, 130.9, 129.13, 129.07, 129.0, 128.9, 127.9, 124.8, 117.9, 116.2, 50.0, 23.2 ppm. HRMS m/z: calcd for C<sub>25</sub>H<sub>21</sub>N<sub>2</sub>O<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 381.1598, found: 381.1601.

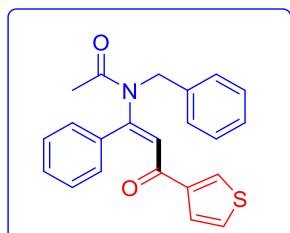


**(E)-N-benzyl-N-(3-(1-methyl-1H-pyrrol-2-yl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide (3as):** 86.0 mg, 80% yield. Yellow oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.42–

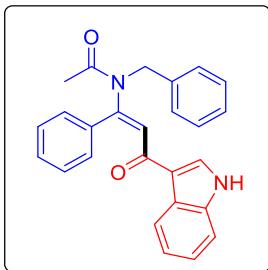
7.29 (m, 8H), 7.24 (dd,  $J = 7.7$ , 1.7 Hz, 2H), 6.79 (t,  $J = 2.0$  Hz, 1H), 6.38 (dd,  $J = 4.1$ , 1.7 Hz, 1H), 6.26 (s, 1H), 6.05 (dd,  $J = 4.1$ , 2.5 Hz, 1H), 4.59 (s, 2H), 3.85 (s, 3H), 2.30 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  180.5, 170.8, 148.4, 137.2, 134.2, 131.8, 131.5, 130.1, 129.13, 129.11, 128.71, 128.68, 127.7, 127.3, 120.2, 108.5, 49.3, 37.6, 22.8 ppm. HRMS m/z: calcd for  $\text{C}_{23}\text{H}_{23}\text{N}_2\text{O}_2^+ [\text{M}+\text{H}]^+$  359.1754, found: 359.1758.



**(E)-N-benzyl-N-(3-(furan-2-yl)-3-oxo-1-phenylprop-1-en-1-yl) acetamide (3at):**  
 64.2 mg, 62% yield. White solid. m.p. = 116.9–117.3 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.48 (d,  $J = 1.0$  Hz, 1H), 7.43–7.28 (m, 8H), 7.22 (dd,  $J = 7.7$ , 1.6 Hz, 2H), 6.88 (d,  $J = 3.6$  Hz, 1H), 6.44 (q,  $J = 1.6$  Hz, 1H), 6.36 (s, 1H), 4.63 (s, 2H), 2.29 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  178.4, 170.9, 153.3, 152.5, 146.7, 137.1, 134.1, 130.7, 129.5, 129.0, 128.74, 128.69, 127.7, 122.9, 118.1, 112.6, 50.1, 23.1 ppm. HRMS m/z: calcd for  $\text{C}_{22}\text{H}_{19}\text{NNaO}_3^+ [\text{M}+\text{Na}]^+$  368.1257, found: 368.1260.

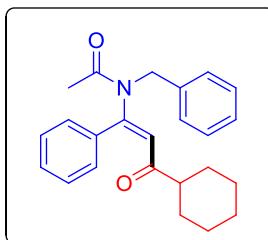


**(E)-N-benzyl-N-(3-oxo-1-phenyl-3-(thiophen-2-yl) prop-1-en-1-yl)acetamide (3au):**  
 75.9 mg, 70% yield. White solid. m.p. = 140.9–141.3 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.50 (dd,  $J = 2.9$ , 1.2 Hz, 1H), 7.41–7.31 (m, 6H), 7.30–7.20 (m, 6H), 6.26 (s, 1H), 4.65 (s, 2H), 2.29 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  185.0, 170.6, 150.1, 142.7, 137.2, 133.9, 133.2, 130.5, 129.14, 129.11, 128.9, 128.8, 127.8, 127.0, 126.6, 126.3, 49.5, 22.9 ppm. HRMS m/z: calcd for  $\text{C}_{22}\text{H}_{19}\text{NNaO}_2\text{S}^+ [\text{M}+\text{Na}]^+$  384.1029, found: 384.1032.



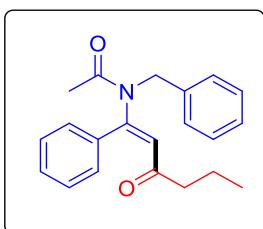
**(E)-N-(3-(2H-1λ⁴-indol-3-yl)-3-oxo-1-phenylprop-1-en-1-yl)-N-benzylacetamide (3av):**

**(3av):** 63.9 mg, 54% yield. White solid. m.p. = 135.1–136.0 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 9.44 (s, 1H), 8.11 (d, *J* = 7.5 Hz, 1H), 7.39–7.13 (m, 15H), 6.32 (s, 1H), 4.65 (s, 2H), 2.32 (s, 3H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 186.2, 171.0, 147.5, 137.4, 136.6, 134.1, 132.8, 130.2, 129.3, 129.0, 128.9, 128.8, 128.2, 127.8, 125.4, 124.0, 123.0, 122.2, 118.6, 111.8, 49.4, 22.9 ppm. HRMS m/z: calcd for C<sub>26</sub>H<sub>22</sub>N<sub>2</sub>NaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 417.1573, found: 417.1576.



**(E)-N-benzyl-N-(3-cyclohexyl-3-oxo-1-phenylprop-1-en-1-yl) acetamide (3aw):**

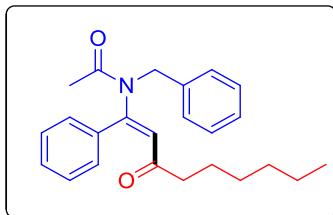
51.0 mg, 47% yield. colorless oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.46–7.37 (m, 3H), 7.32–7.22 (m, 5H), 7.17 (d, *J* = 6.2 Hz, 2H), 5.87 (s, 1H), 4.56 (s, 2H), 2.23 (s, 3H), 2.10 (tt, *J* = 10.8, 6.0 Hz, 1H), 1.73–1.56 (m, 5H), 1.21–1.03 (m, 5H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 203.9, 170.6, 150.4, 137.0, 134.3, 130.6, 129.2, 129.0, 128.8, 128.6, 127.7, 126.7, 51.2, 49.7, 28.5, 25.8, 25.7, 23.0 ppm. HRMS m/z: calcd for C<sub>24</sub>H<sub>28</sub>NO<sub>2</sub><sup>+</sup> [M+H]<sup>+</sup> 362.2115, found: 362.2119.



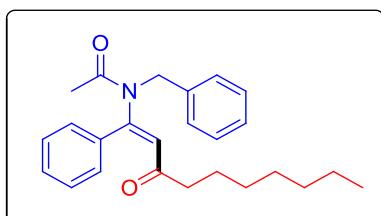
**(E)-N-benzyl-N-(3-oxo-1-phenylhex-1-en-1-yl)acetamide (3ax):** 49.2 mg, 51% yield.

Colorless oil. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.49–7.37 (m, 3H), 7.33–7.23 (m, 5H),

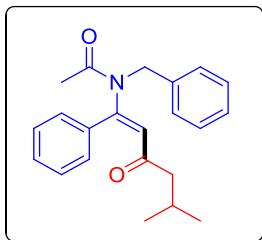
7.19–7.14 (m, 2H), 5.86 (s, 1H), 4.58 (s, 2H), 2.22 (s, 3H), 2.17 (t,  $J = 7.3$  Hz, 2H), 1.46 (q,  $J = 7.2$  Hz, 2H), 0.78 (t,  $J = 7.4$  Hz, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  201.4, 170.6, 150.4, 137.0, 134.4, 130.8, 129.3, 128.9, 128.8, 128.6, 127.7, 127.2, 50.0, 45.4, 23.0, 17.8, 13.7 ppm. HRMS m/z: calcd for  $\text{C}_{21}\text{H}_{24}\text{NO}_2^+ [\text{M}+\text{H}]^+$  322.1802, found: 322.1808.



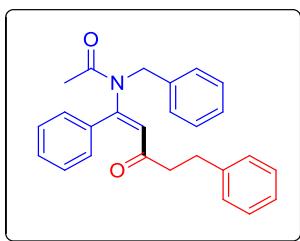
**(E)-N-benzyl-N-(3-oxo-1-phenylnon-1-en-1-yl)acetamide (3ay):** 60.0 mg, 55% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.50–7.37 (m, 3H), 7.33–7.22 (m, 5H), 7.17 (d,  $J = 7.8$  Hz, 2H), 5.86 (s, 1H), 4.57 (s, 2H), 2.23 (s, 3H), 2.18 (t,  $J = 8.0$  Hz, 2H), 1.46–1.10 (m, 8H), 0.84 (t,  $J = 7.1$  Hz, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  201.6, 170.6, 150.4, 136.9, 134.4, 130.8, 129.3, 128.9, 128.8, 128.6, 127.7, 127.2, 50.0, 43.6, 31.6, 28.8, 24.4, 23.0, 22.5, 14.1 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{29}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  386.2091, found: 386.2097.



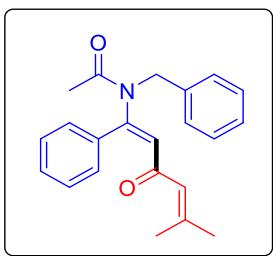
**(E)-N-benzyl-N-(3-oxo-1-phenyldec-1-en-1-yl)acetamide (3az):** 68.0 mg, 60% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.50–7.37 (m, 3H), 7.33–7.22 (m, 5H), 7.16 (dd,  $J = 7.7, 1.6$  Hz, 2H), 5.86 (s, 1H), 4.57 (s, 2H), 2.23 (s, 3H), 2.18 (t,  $J = 8.0$  Hz, 2H), 1.47–1.07 (m, 10H), 0.85 (t,  $J = 7.1$  Hz, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  201.6, 170.6, 150.3, 136.9, 134.3, 130.8, 129.3, 128.9, 128.8, 128.6, 127.7, 127.2, 49.9, 43.5, 31.7, 29.1, 29.0, 24.4, 23.0, 22.7, 14.2 ppm. HRMS m/z: calcd for  $\text{C}_{25}\text{H}_{31}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  400.2247, found: 400.2253.



**(E)-N-benzyl-N-(5-methyl-3-oxo-1-phenylhex-1-en-1-yl)acetamide (3aa')**: 68.4 mg, 68% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.51–7.37 (m, 3H), 7.33–7.23 (m, 5H), 7.16 (dd,  $J$  = 7.7, 1.6 Hz, 2H), 5.85 (s, 1H), 4.57 (s, 2H), 2.23 (s, 3H), 2.08 (d,  $J$  = 7.1 Hz, 2H), 1.95 (dp,  $J$  = 13.8, 6.6 Hz, 1H), 0.78 (d,  $J$  = 6.6 Hz, 6H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  201.1, 170.6, 150.4, 136.9, 134.3, 130.8, 129.4, 128.9, 128.8, 128.6, 127.7, 127.4, 52.5, 50.0, 25.3, 23.0, 22.6 ppm. HRMS m/z: calcd for  $\text{C}_{22}\text{H}_{25}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  358.1778, found: 358.1782.

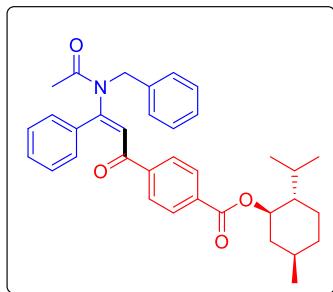


**(E)-N-benzyl-N-(3-oxo-1,5-diphenylpent-1-en-1-yl)acetamide (3ab')**: 64.4 mg, 56% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.49–7.43 (m, 1H), 7.41–7.35 (m, 2H), 7.32–7.10 (m, 10H), 7.02–6.96 (m, 2H), 5.83 (s, 1H), 4.55 (s, 2H), 2.76 (t,  $J$  = 7.6 Hz, 2H), 2.50 (t,  $J$  = 7.6 Hz, 2H), 2.13 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  200.6, 170.7, 150.9, 140.6, 136.9, 134.3, 130.9, 129.3, 129.0, 128.74, 128.66, 128.6, 128.4, 127.7, 127.1, 126.3, 50.1, 45.0, 30.5, 23.0 ppm. HRMS m/z: calcd for  $\text{C}_{26}\text{H}_{25}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  406.1778, found: 406.1782.

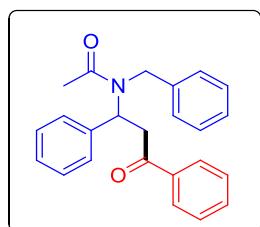


**(E)-N-benzyl-N-(5-methyl-3-oxo-1-phenylhexa-1,4-dien-1-yl)acetamide (3ac')**: 40.0 mg, 40% yield. Colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.46–7.34 (m, 3H),

7.32–7.24 (m, 5H), 7.20–7.15 (m, 2H), 5.89 (s, 1H), 5.66 (s, 1H), 4.58 (s, 2H), 2.23 (s, 3H), 2.03 (s, 3H), 1.67 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.0, 170.8, 156.5, 149.8, 137.1, 134.6, 130.6, 129.7, 129.5, 128.8, 128.7, 128.6, 127.6, 125.2, 50.0, 27.8, 23.0, 21.0 ppm. HRMS m/z: calcd for  $\text{C}_{22}\text{H}_{23}\text{NNaO}_2^+ [\text{M}+\text{Na}]^+$  356.1621, found: 356.1624.

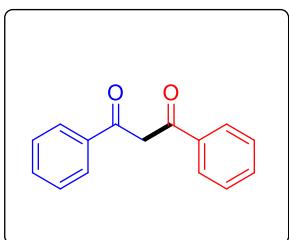


**(1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl 4-((*E*)-3-(*N*-benzylacetamido)-3-phenylacryloyl)benzoate (3ad')**: 75.8 mg, 47% yield. Yellow oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.97 (d,  $J = 8.5$  Hz, 2H), 7.54 (d,  $J = 8.5$  Hz, 2H), 7.43–7.16 (m, 10H), 6.29 (s, 1H), 4.94 (td,  $J = 10.9, 4.4$  Hz, 1H), 4.69 (s, 2H), 2.30 (s, 3H), 2.11 (d,  $J = 11.9$  Hz, 1H), 1.91 (pd,  $J = 6.9, 2.6$  Hz, 1H), 1.74 (d,  $J = 11.7$  Hz, 2H), 1.64–1.51 (m, 2H), 1.12 (q,  $J = 12.1$  Hz, 2H), 0.97–0.90 (m, 7H), 0.80 (d,  $J = 6.9$  Hz, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.3, 170.6, 165.2, 150.9, 140.4, 137.1, 134.7, 133.9, 130.6, 129.8, 129.1, 129.04, 128.97, 128.9, 128.6, 127.9, 126.1, 75.7, 49.6, 47.3, 41.0, 34.4, 31.6, 26.7, 23.8, 23.0, 22.2, 20.8, 16.7 ppm. HRMS m/z: calcd for  $\text{C}_{35}\text{H}_{40}\text{NO}_4^+ [\text{M}+\text{H}]^+$  538.2952, found: 538.2593.

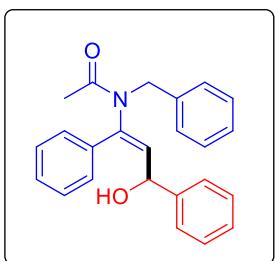


***N*-(3-oxo-1,3-diphenylpropyl)-*N*-phenylacetamide (4)** 119.7 mg, 67% yield. White solid. m.p. = 116.8–117.8 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) for three conformers:  $\delta$  7.49–6.48 (m, 16H), 6.37, 6.17 and 6.00 ( $3\times\text{d}$ ,  $J = 9.6$  Hz, 1H), 5.73, 5.38, 5.01, 4.67 and 4.94–4.84 ( $2\times\text{d}$ ,  $J_1 = 14.0$  Hz,  $2\times\text{d}$ ,  $J_2 = 10.0$  Hz, m, 2H), 4.13, 3.74 and 3.64 ( $3\times\text{d}$ ,  $J =$

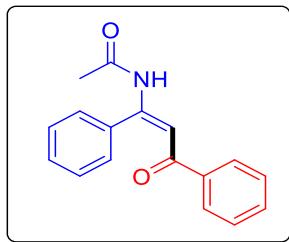
14.4 Hz, 1H), 2.61, 2.37 and 1.84 (3×s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  175.3, 172.2, 171.1, 140.4, 138.6, 137.7, 137.5, 137.3, 135.7, 135.0, 130.9, 130.3, 130.0, 129.4, 129.3, 129.1, 129.02, 128.98, 128.83, 128.79, 128.7, 128.6, 128.54, 128.51, 128.4, 128.2, 128.0, 127.8, 127.7, 127.6, 127.2, 127.0, 126.9, 126.4, 126.2, 126.1, 126.0, 70.1, 69.2, 52.7, 49.9, 49.1, 22.7, 22.0, 21.8 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{24}\text{NO}_2^+ [\text{M}+\text{H}]^+$  358.1802, found: 358.1797.



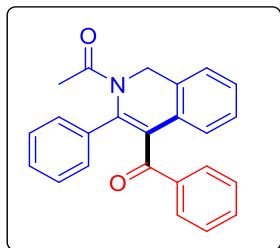
**1,3-diphenylpropane-1,3-dione (5)** 62.6 mg, 93% yield. White solid. m.p. = 77.3–78.0 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.05–7.94 (m, 4H), 7.59–7.45 (m, 6H), 6.86 (s, 1H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  185.9, 135.7, 132.6, 128.8, 127.3, 93.3 ppm. HRMS m/z: calcd for  $\text{C}_{15}\text{H}_{13}\text{O}_2^+ [\text{M}+\text{H}]^+$  225.0910, found: 225.0908.



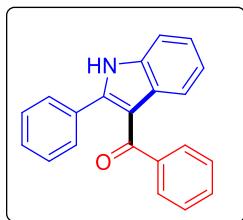
**(E)-N-benzyl-N-(3-hydroxy-1,3-diphenylprop-1-en-1-yl) acetamide (6)** 91.1mg, 85% yield. colorless oil.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.41–7.33 (m, 3H), 7.27–7.19 (m, 8H), 7.11 (dd,  $J$  = 6.5, 2.9 Hz, 2H), 7.01 (dd,  $J$  = 6.6, 2.8 Hz, 2H), 5.49 (d,  $J$  = 9.7 Hz, 1H), 5.30 (d,  $J$  = 8.4 Hz, 1H), 4.76 (d,  $J$  = 14.3 Hz, 1H), 4.17 (d,  $J$  = 14.4 Hz, 1H), 2.95 (s, 1H), 2.10 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.9, 142.5, 140.0, 137.3, 134.3, 132.9, 129.4, 129.2, 128.84, 128.76, 128.7, 128.5, 127.9, 127.4, 126.2, 70.4, 48.9, 22.4 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{24}\text{NO}_2^+ [\text{M}+\text{H}]^+$  358.1802, found: 358.1793.



**(E)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (7)** 47.8 mg, 90% yield. White solid. m.p. = 77.3–78.9 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  12.27 (s, 1H), 7.96 (d,  $J$  = 7.5 Hz, 2H), 7.59–7.52 (m, 1H), 7.51–7.35 (m, 7H), 6.32 (s, 1H), 2.23 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  191.8, 169.0, 156.3, 138.7, 136.3, 132.9, 129.9, 128.8, 128.2, 127.9, 127.5, 104.9, 25.2 ppm. HRMS m/z: calcd for  $\text{C}_{17}\text{H}_{15}\text{NaNO}_2^+$  [M+Na]<sup>+</sup> 288.0995, found: 288.0997.

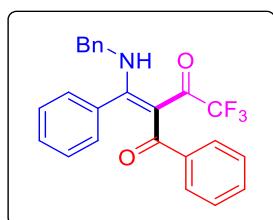


**1-(4-benzoyl-3-phenylisoquinolin-2(1H)-yl)ethan-1-one (8)** 62.9 mg, 89% yield. White solid. m.p. = 166.8–168.4 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  7.76 (d,  $J$  = 8.3, 1.3 Hz, 2H), 7.49 (d,  $J$  = 7.5, 1.3 Hz, 1H), 7.42–7.12 (m, 11H), 5.25 (s, 2H), 1.57 (s, 3H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  196.1, 171.5, 138.6, 136.8, 136.5, 133.5, 132.1, 130.1, 129.5, 129.4, 129.3, 128.9, 128.5, 128.4, 128.2, 128.1, 125.9, 124.0, 46.3, 24.5 ppm. HRMS m/z: calcd for  $\text{C}_{24}\text{H}_{20}\text{NO}^+$  [M+H]<sup>+</sup> 354.1489, found: 354.1486.



**Phenyl(2-phenyl-1,4-dihydroquinolin-3-yl)methanone (9)** 23.8 mg, 80% yield. White solid. m.p. = 231.1–232.3 °C.  $^1\text{H}$  NMR (400 MHz,  $(\text{CD}_3)_2\text{SO}$ )  $\delta$  12.20 (s, 1H), 7.74 (d,  $J$  = 7.9 Hz, 1H), 7.56–7.48 (m, 3H), 7.41–7.31 (m, 3H), 7.29–7.13 (m, 7H) ppm.  $^{13}\text{C}$  NMR (100 MHz,  $(\text{CD}_3)_2\text{SO}$ )  $\delta$  192.2, 144.1, 139.8, 135.9, 131.6, 131.4, 129.6,

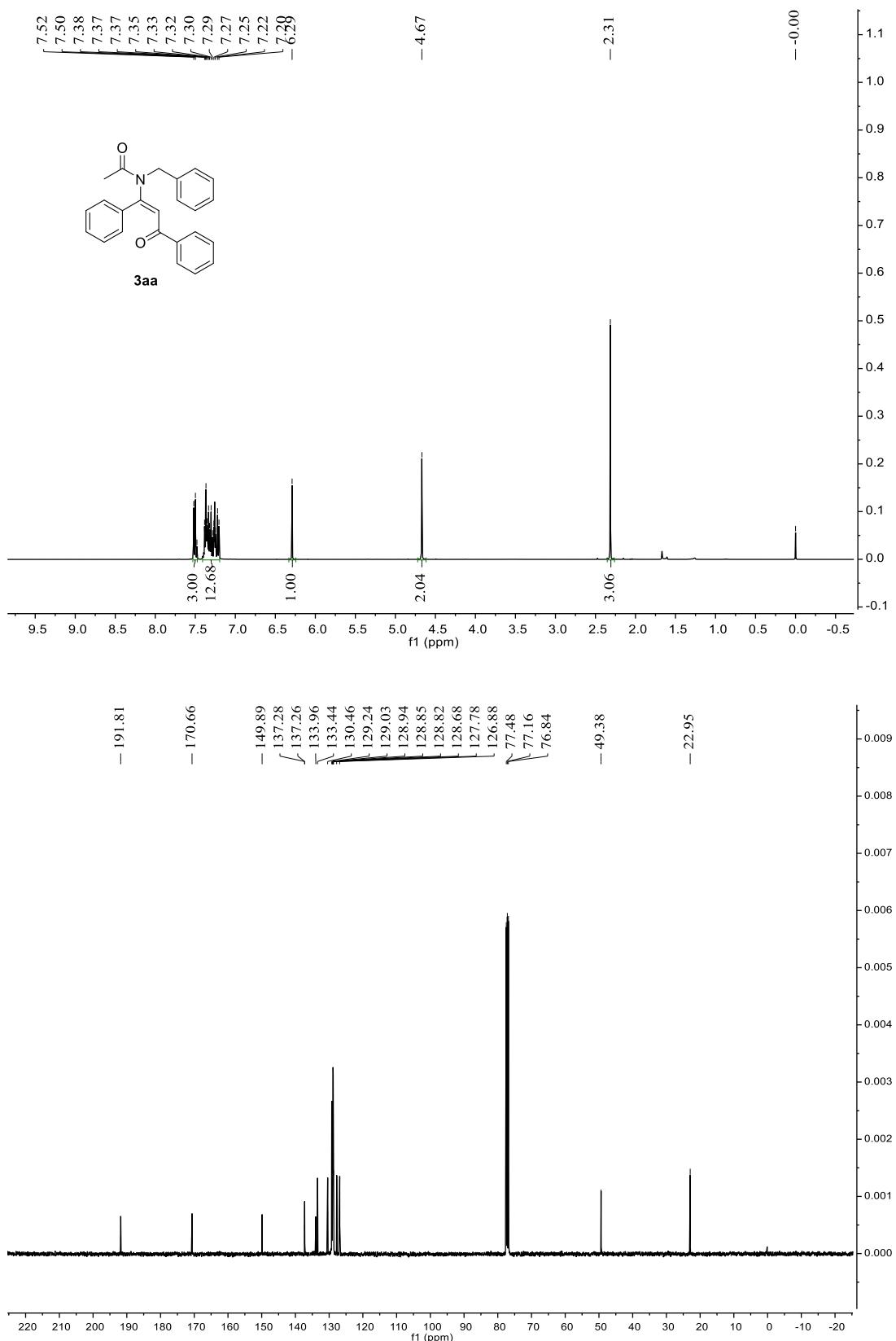
129.1, 128.5, 128.2, 128.1, 127.8, 122.9, 121.4, 120.6, 112.2, 111.9 ppm. HRMS m/z: calcd for C<sub>21</sub>H<sub>16</sub>NO<sup>+</sup> [M+H]<sup>+</sup> 298.1226, found: 298.1221.



**(Z)-4,4,4-trifluoro-1-phenyl-2-(phenyl(phenylamino)methylene)butane-1,3-dione (10)** 49.1 mg, 40% yield. White solid. m.p. = 138.2–139.3 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 12.34 (s, 1H), 7.55–7.45 (m, 2H), 7.35–7.27 (m, 4H), 7.19–7.09 (m, 7H), 7.04–7.94 (m, 2H), 4.32 (d, *J* = 6.2 Hz, 2H) ppm. <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 194.6, 175.8 (q, *J* = 34.3 Hz), 170.3, 139.9, 136.0, 132.4, 131.0, 130.2, 129.1, 129.0, 128.5, 128.3, 128.2, 127.9, 127.2, 117.5 (q, *J* = 287.5 Hz), 107.3, 49.1 ppm. <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -70.71 ppm. HRMS m/z: calcd for C<sub>24</sub>H<sub>18</sub>F<sub>3</sub>NNaO<sub>2</sub><sup>+</sup> [M+Na]<sup>+</sup> 432.1182, found: 432.1181.

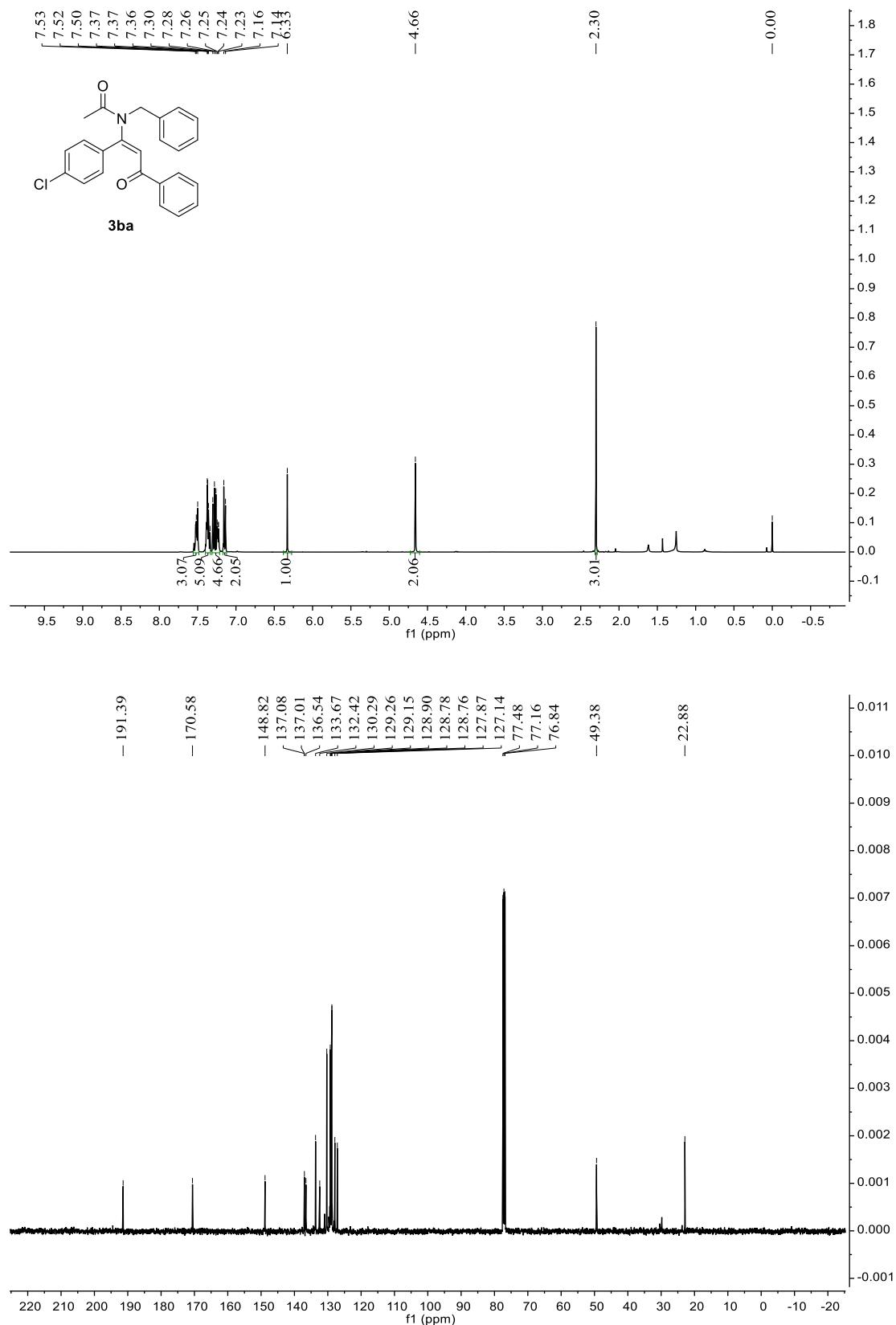
**Copies of  $^1\text{H}$  NMR,  $^{13}\text{C}$  NMR, and  $^{19}\text{F}$  NMR Spectra**

**(E)-N-benzyl-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3aa)**



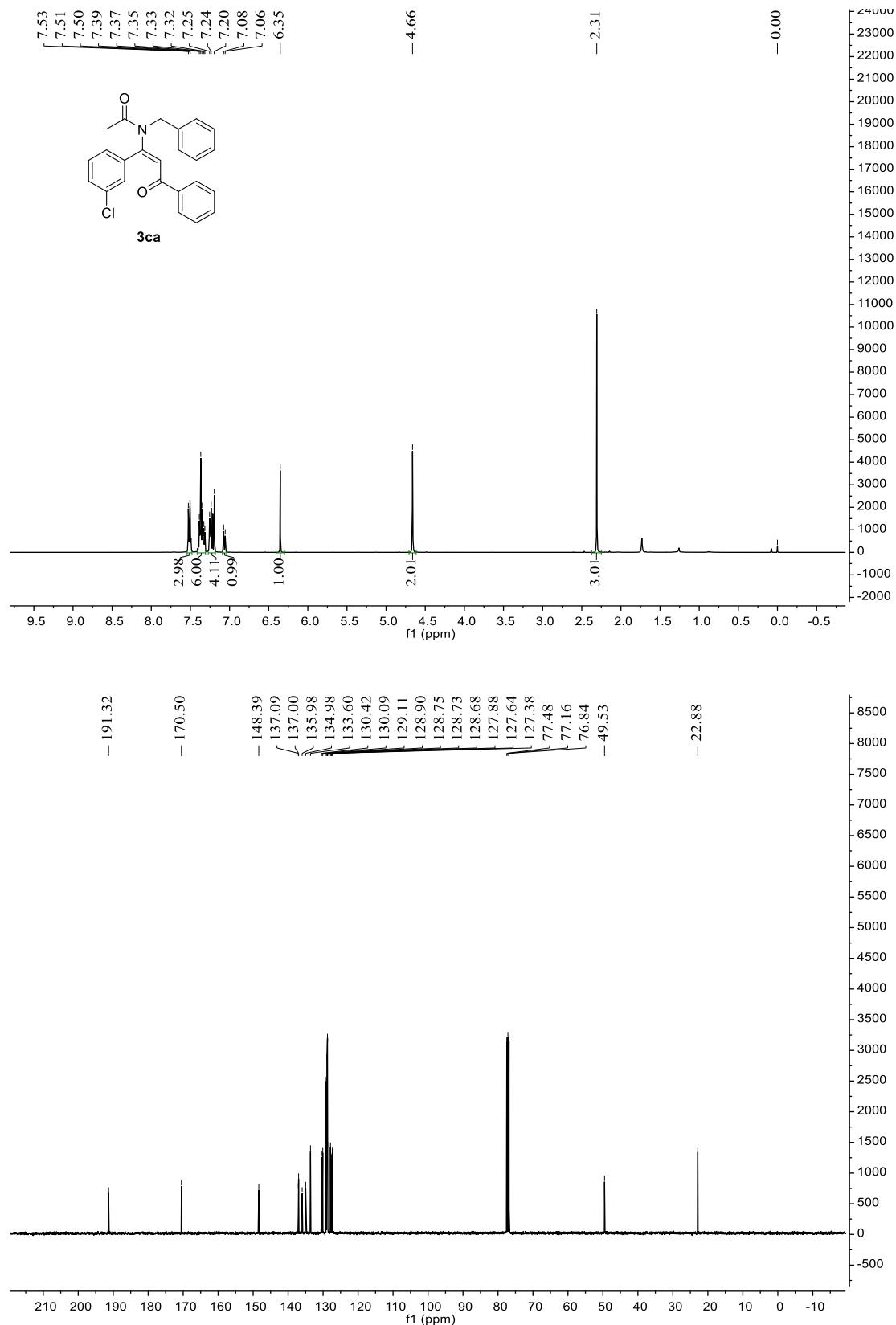
**(E)-N-benzyl-N-(1-(4-chlorophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide**

**(3ba)**



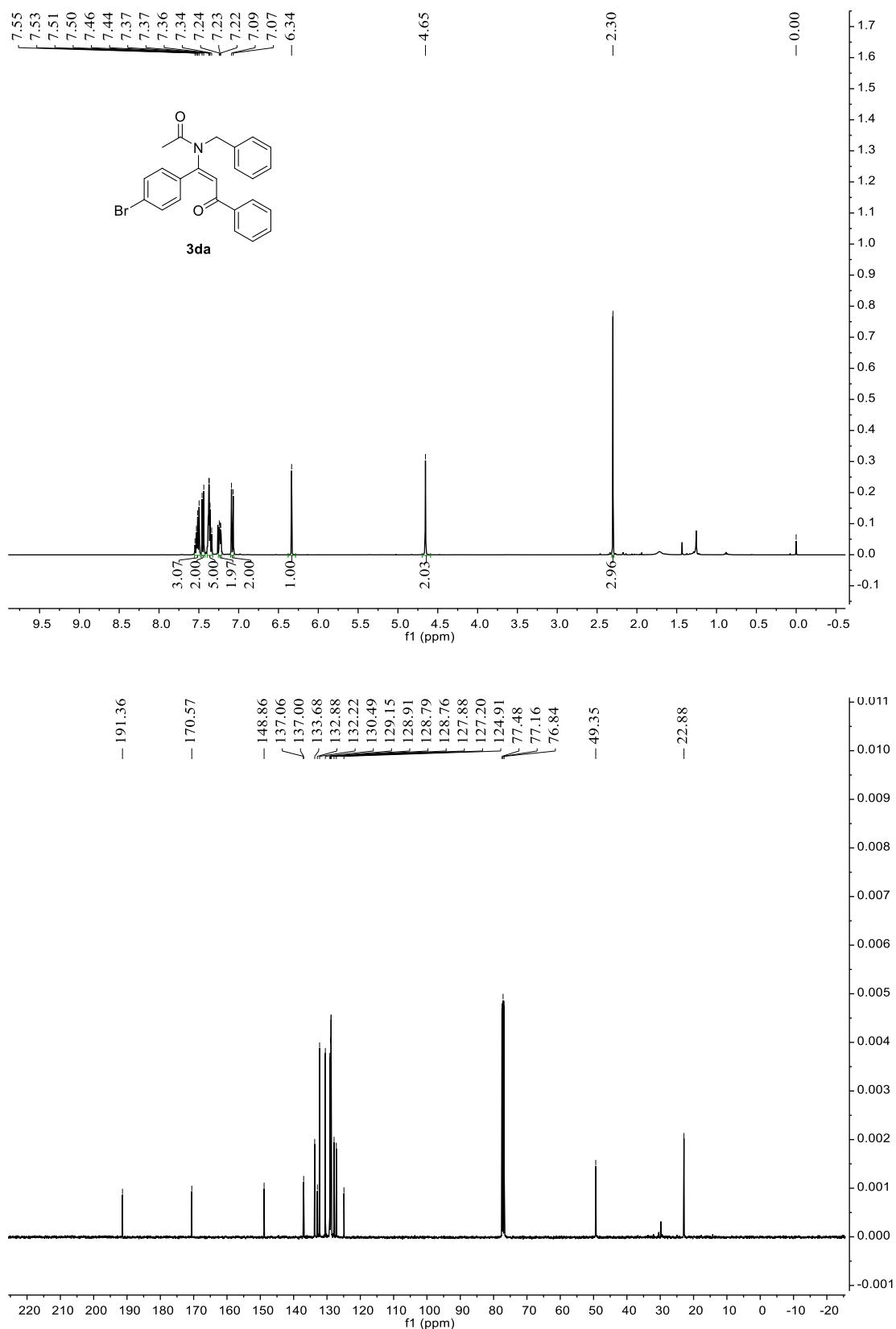
**(E)-N-benzyl-N-(1-(3-chlorophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide**

**(3ca)**

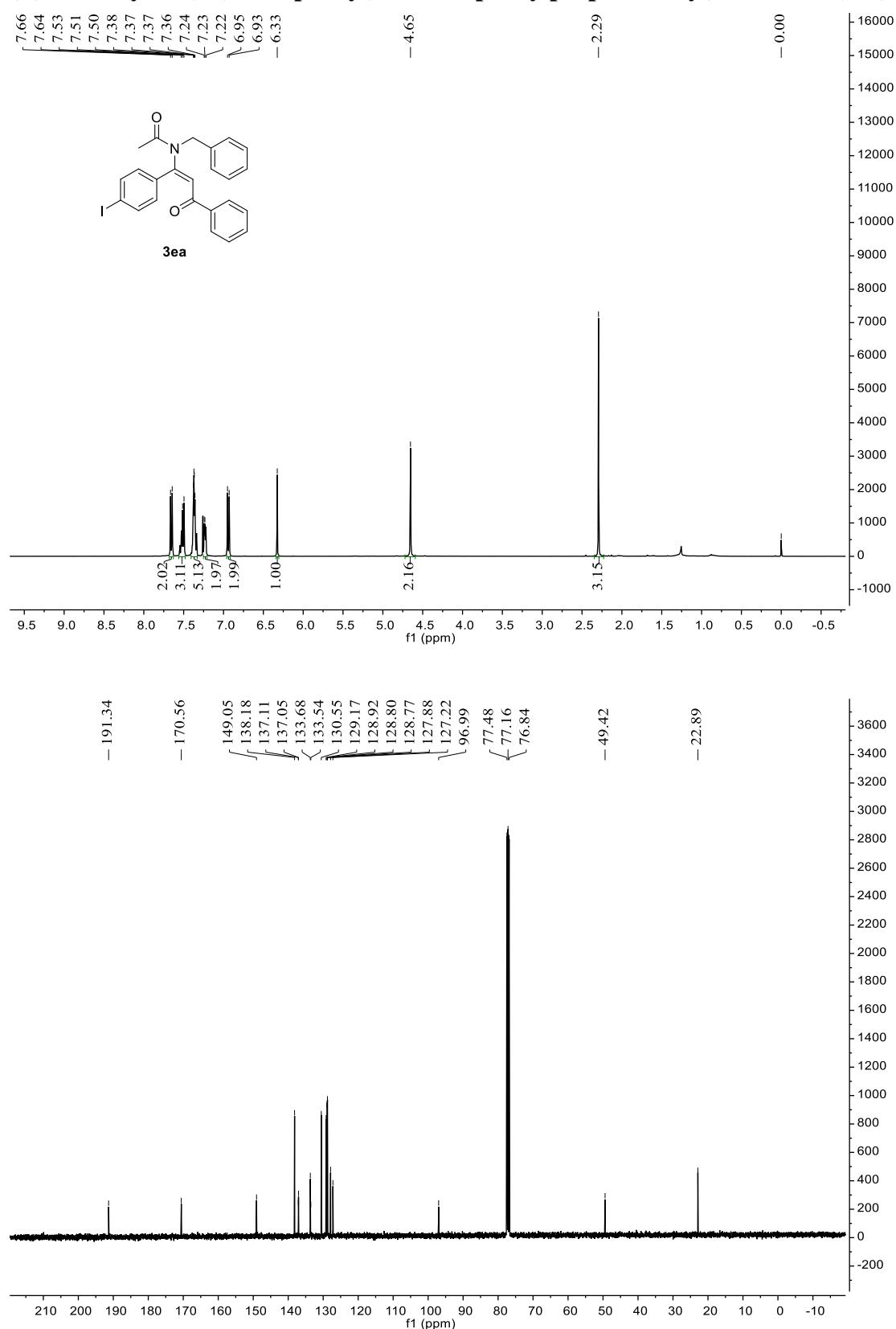


**(E)-N-benzyl-N-(1-(4-bromophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide**

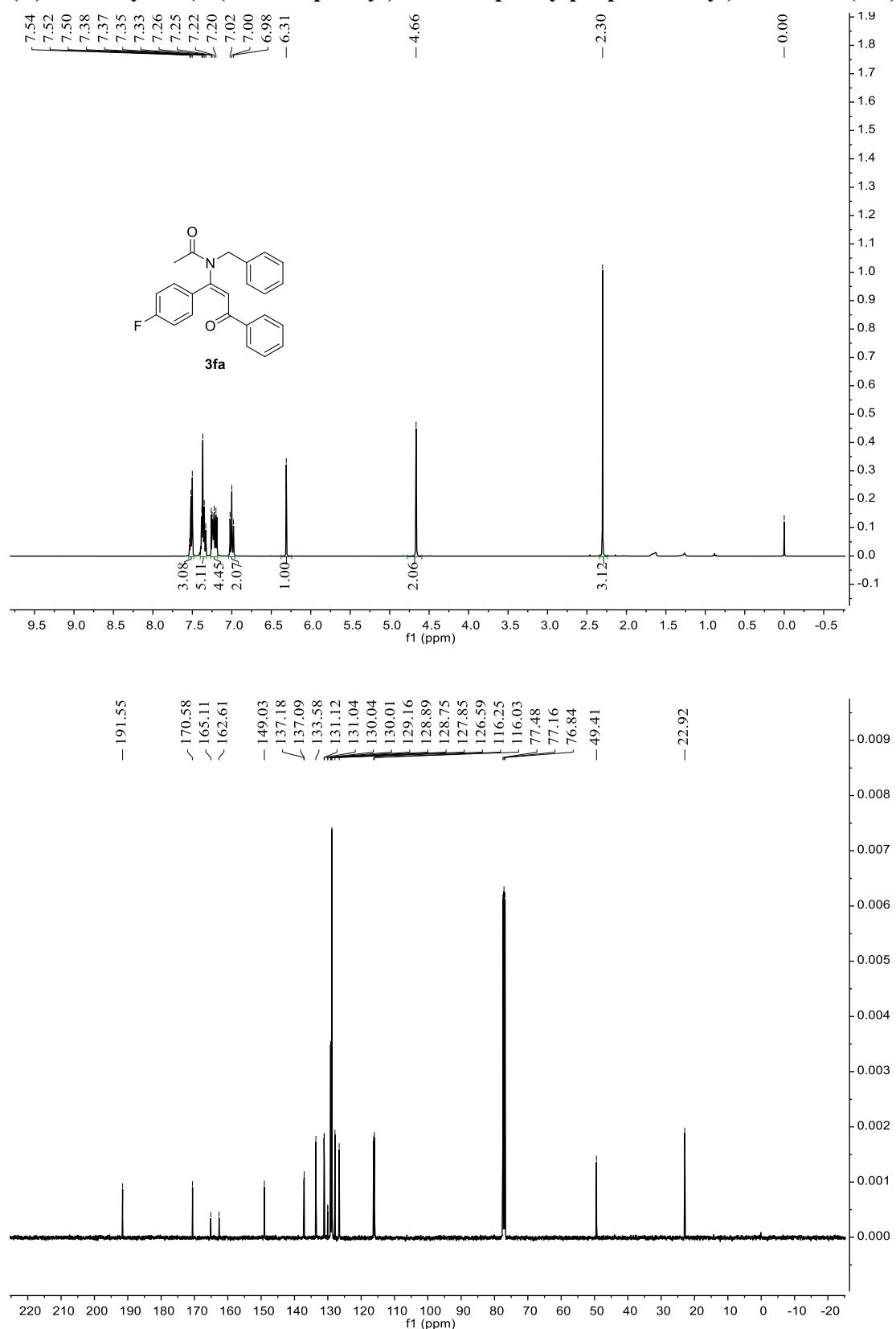
**(3da)**

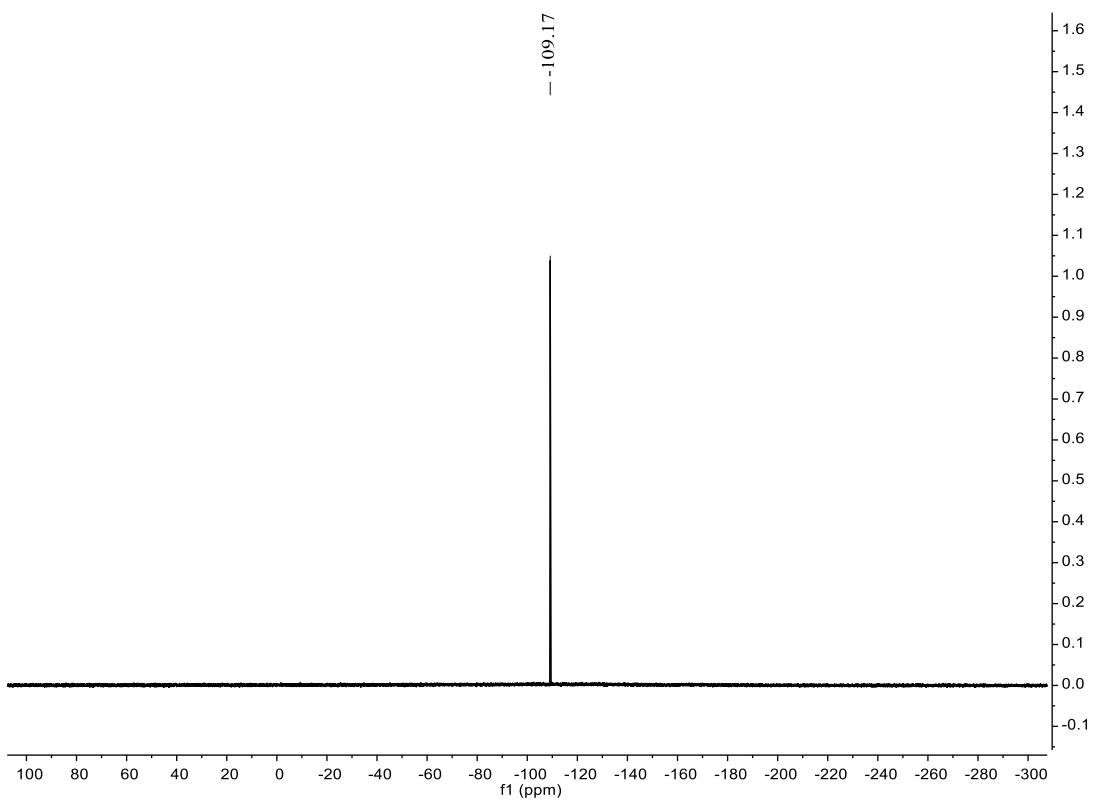


**(E)-N-benzyl-N-(1-(4-iodophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3ea)**

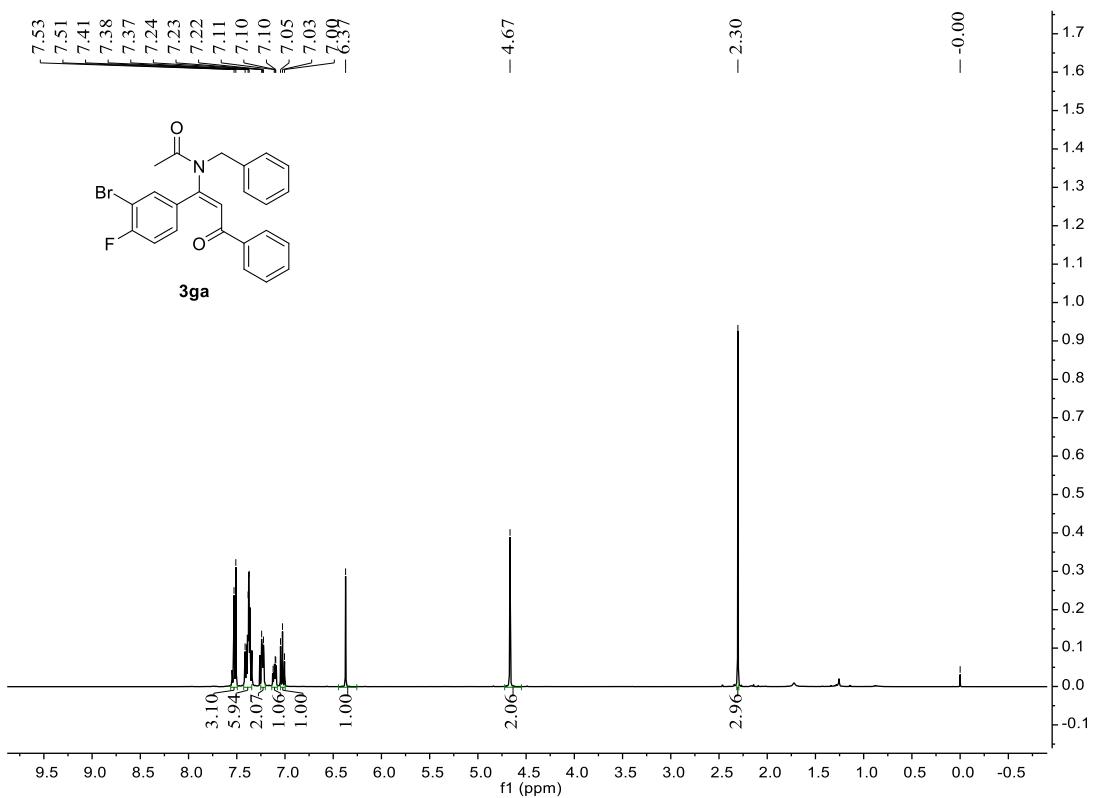


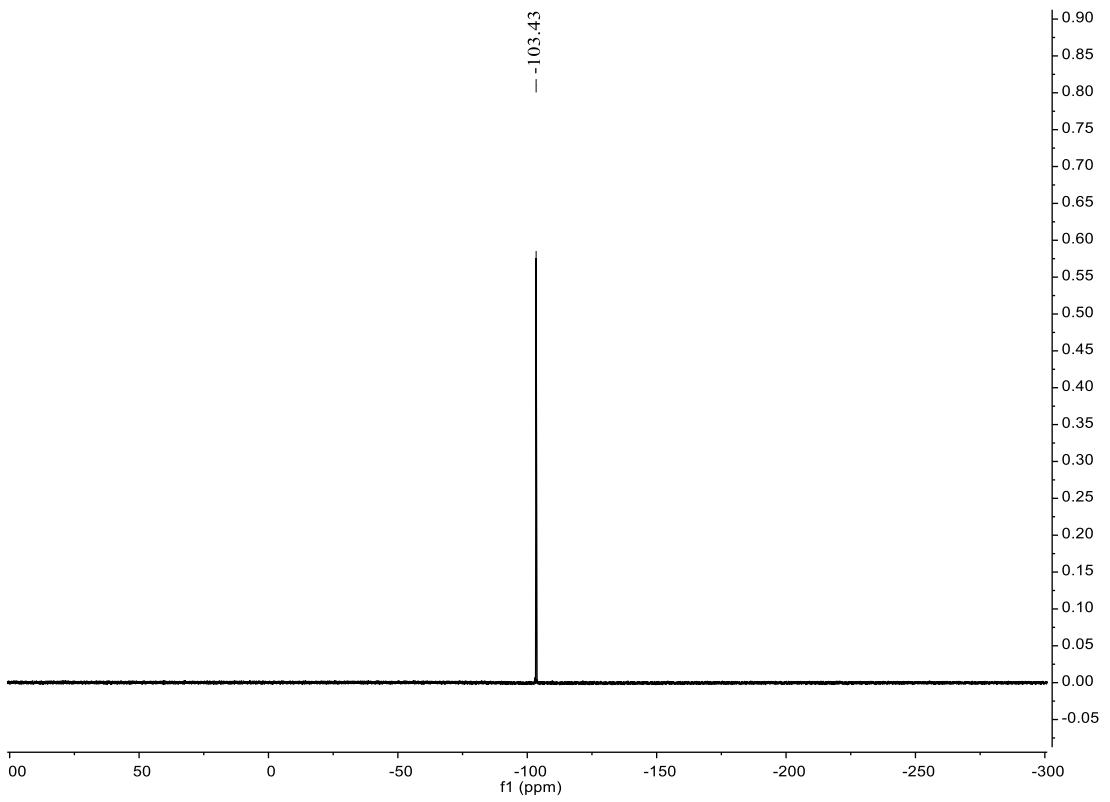
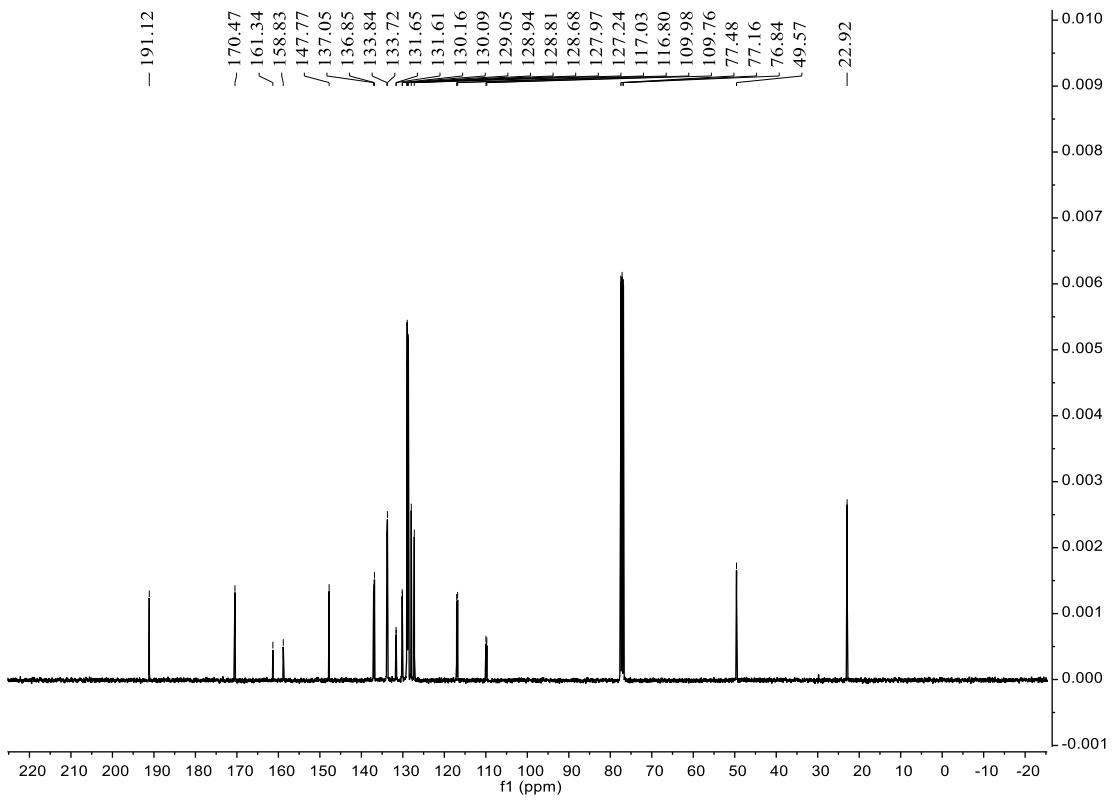
**(E)-N-benzyl-N-(1-(4-fluorophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide(3fa)**



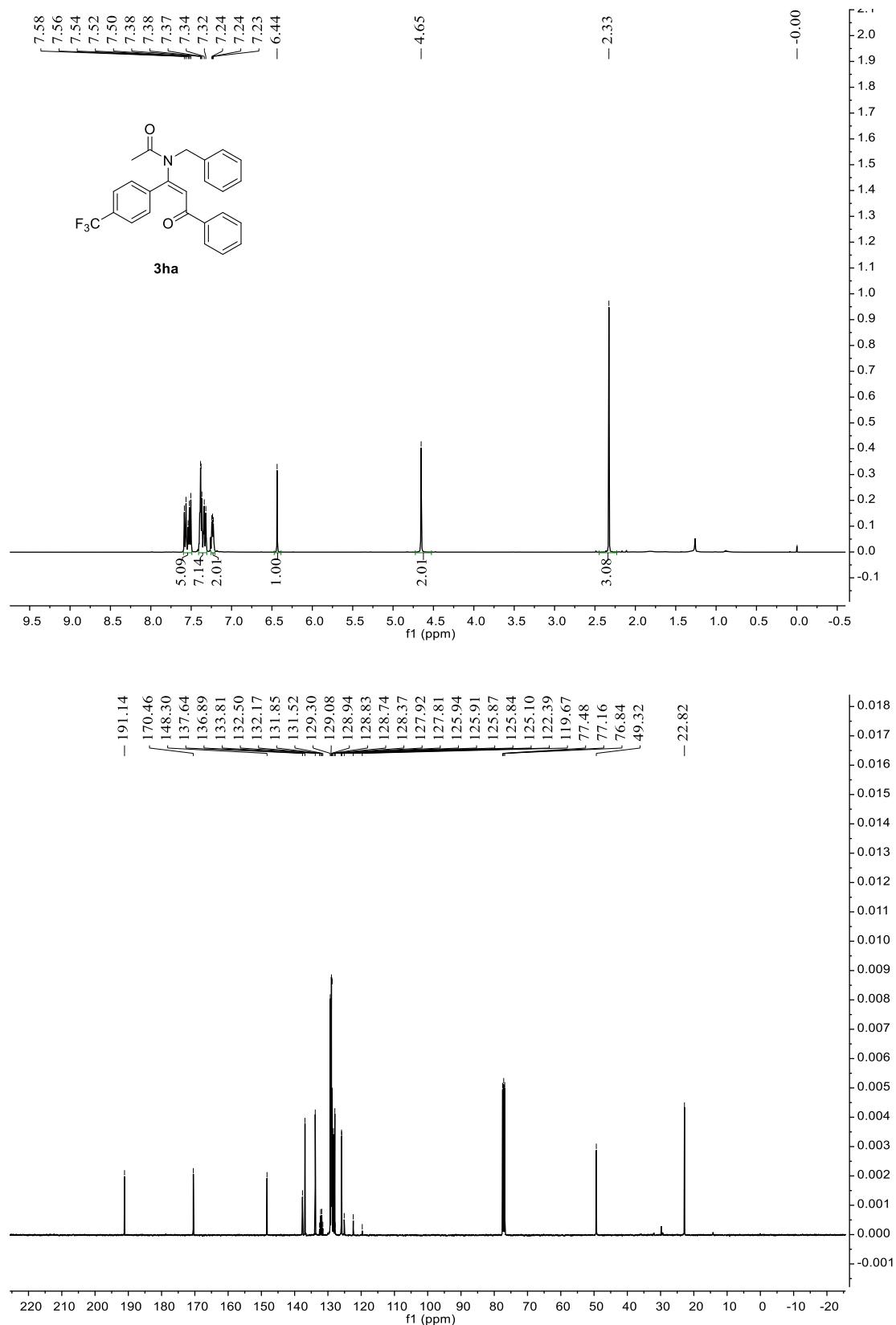


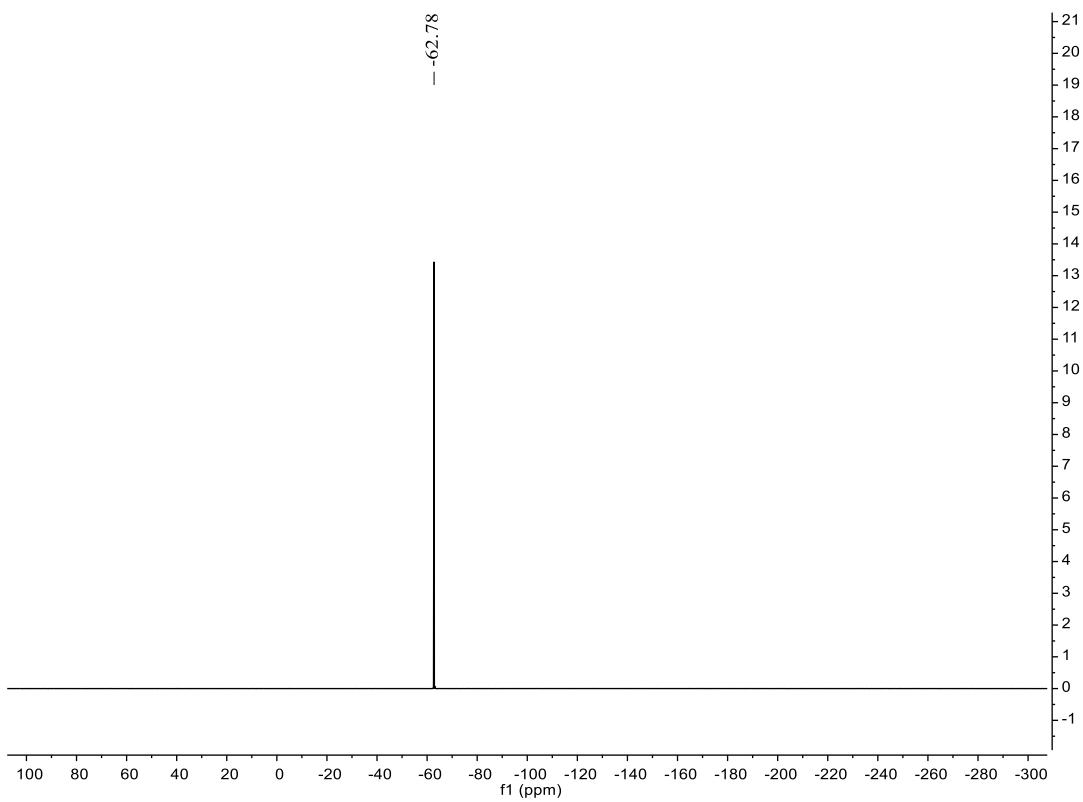
**(E)-N-benzyl-N-(1-(3-bromo-4-fluorophenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3ga)**



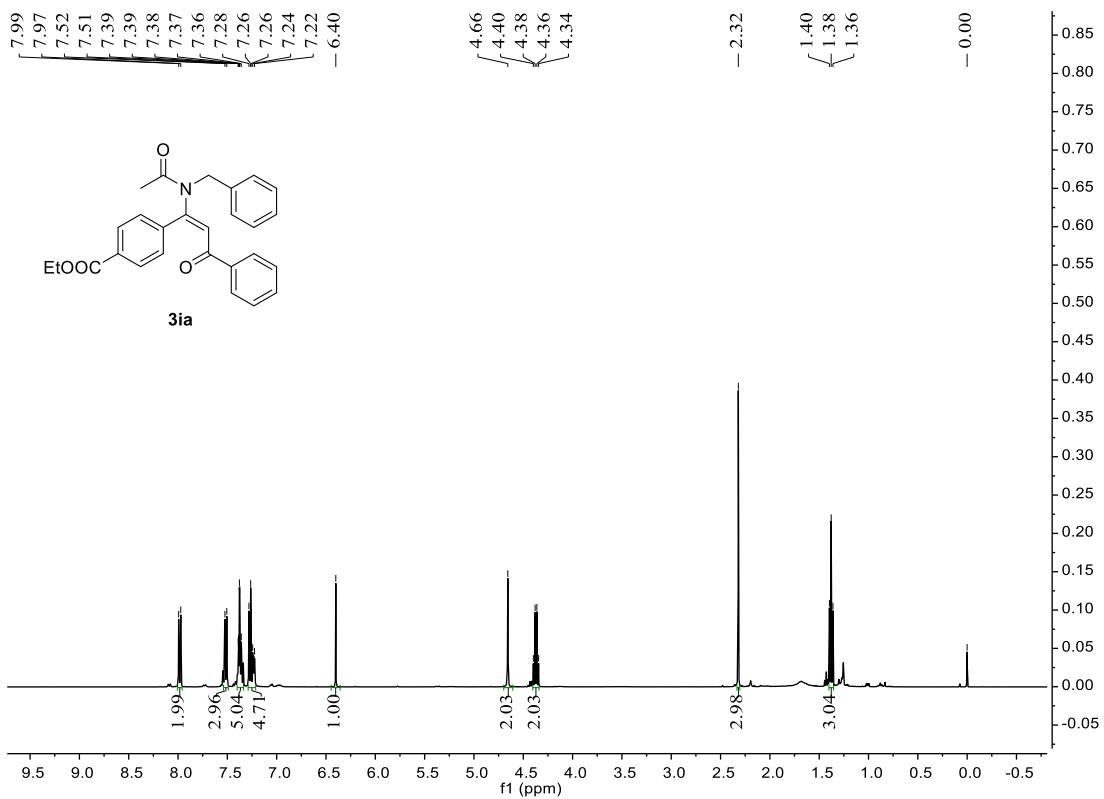


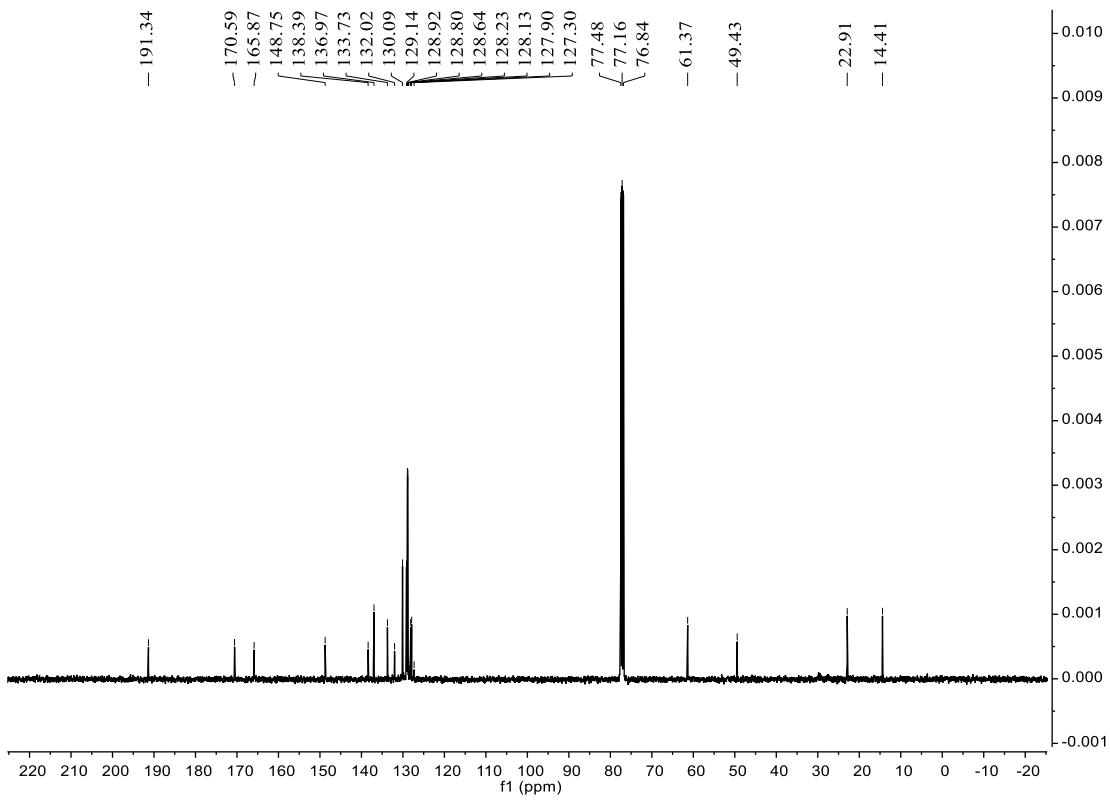
**(E)-N-benzyl-N-(3-oxo-3-phenyl-1-(4-(trifluoromethyl)phenyl)prop-1-en-1-yl)acetamide (3ha)**



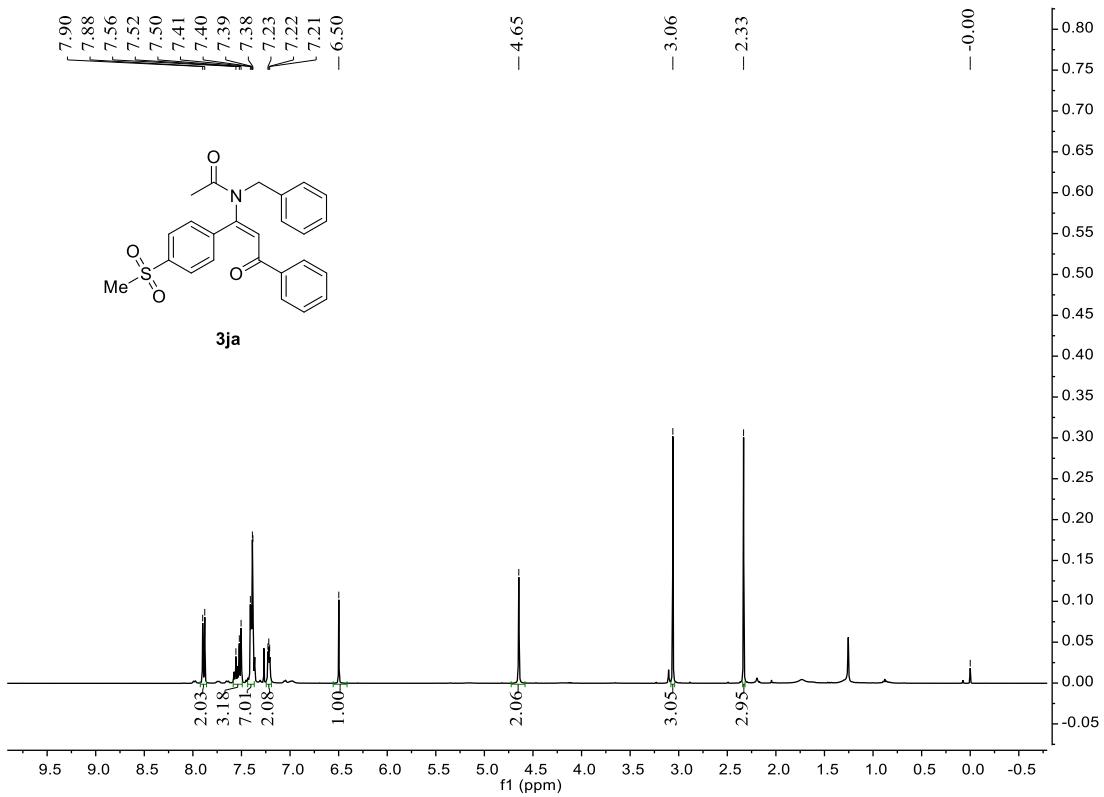


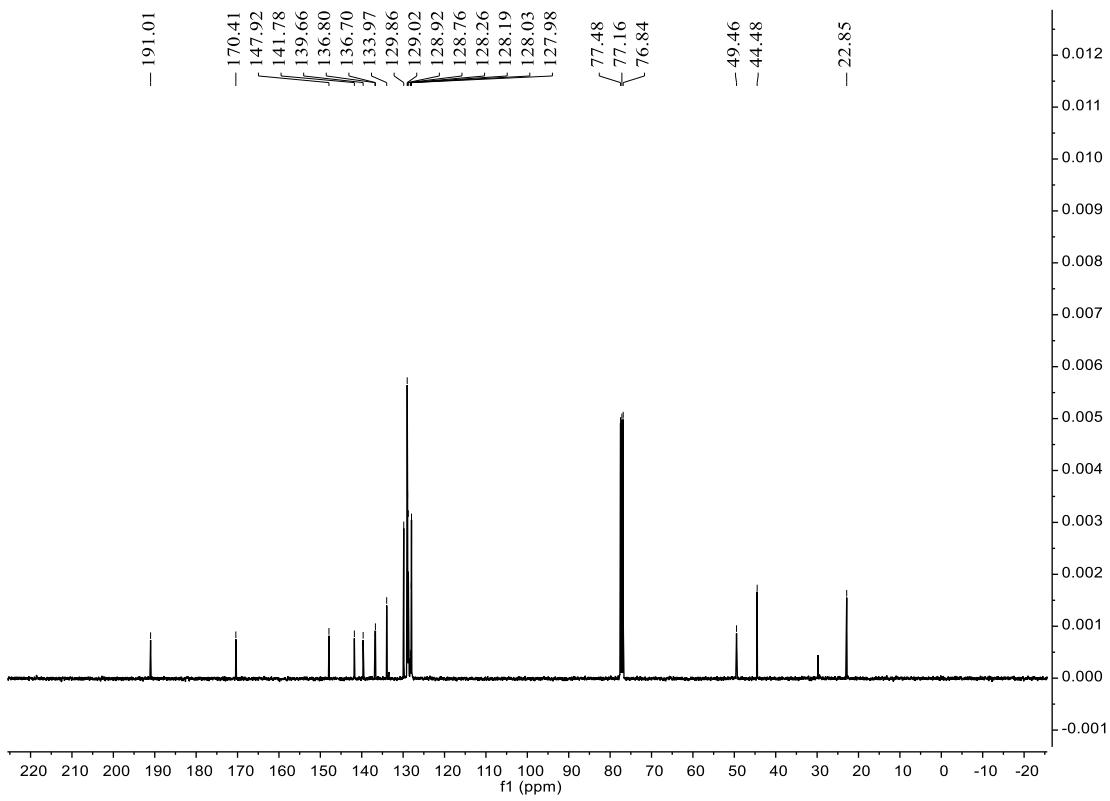
### Ethyl (E)-4-(1-(N-benzylacetamido)-3-oxo-3-phenylprop-1-en-1-yl)benzoate (3ia)



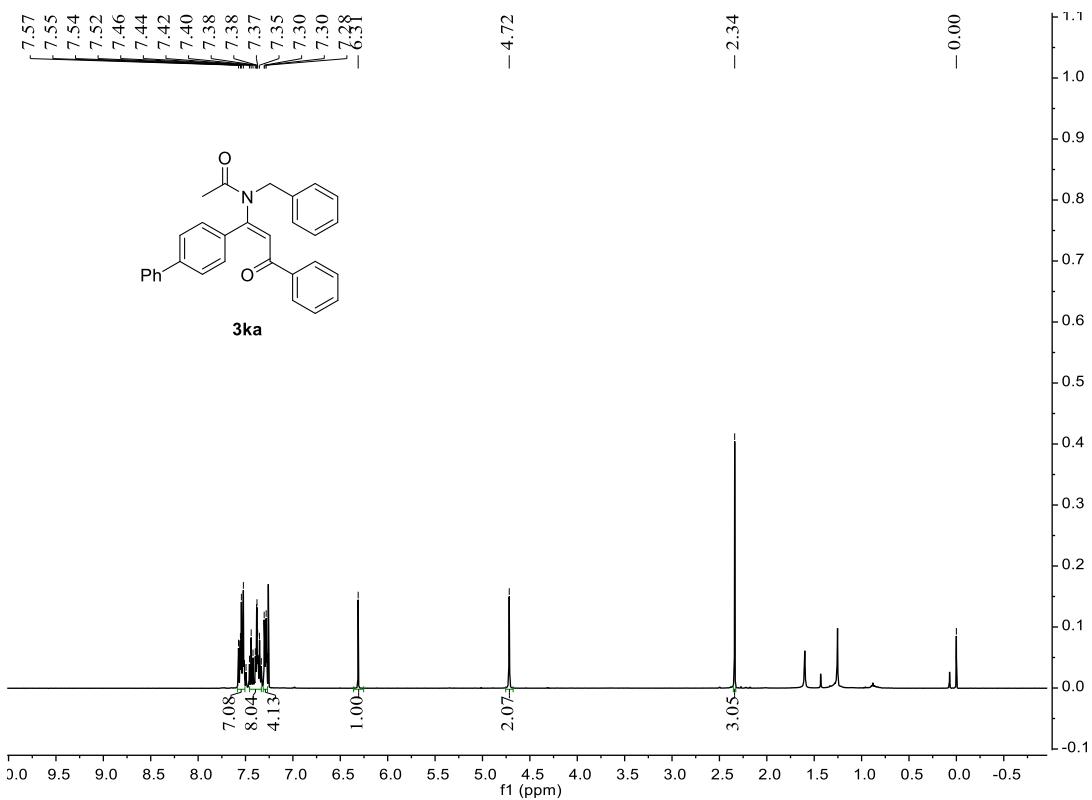


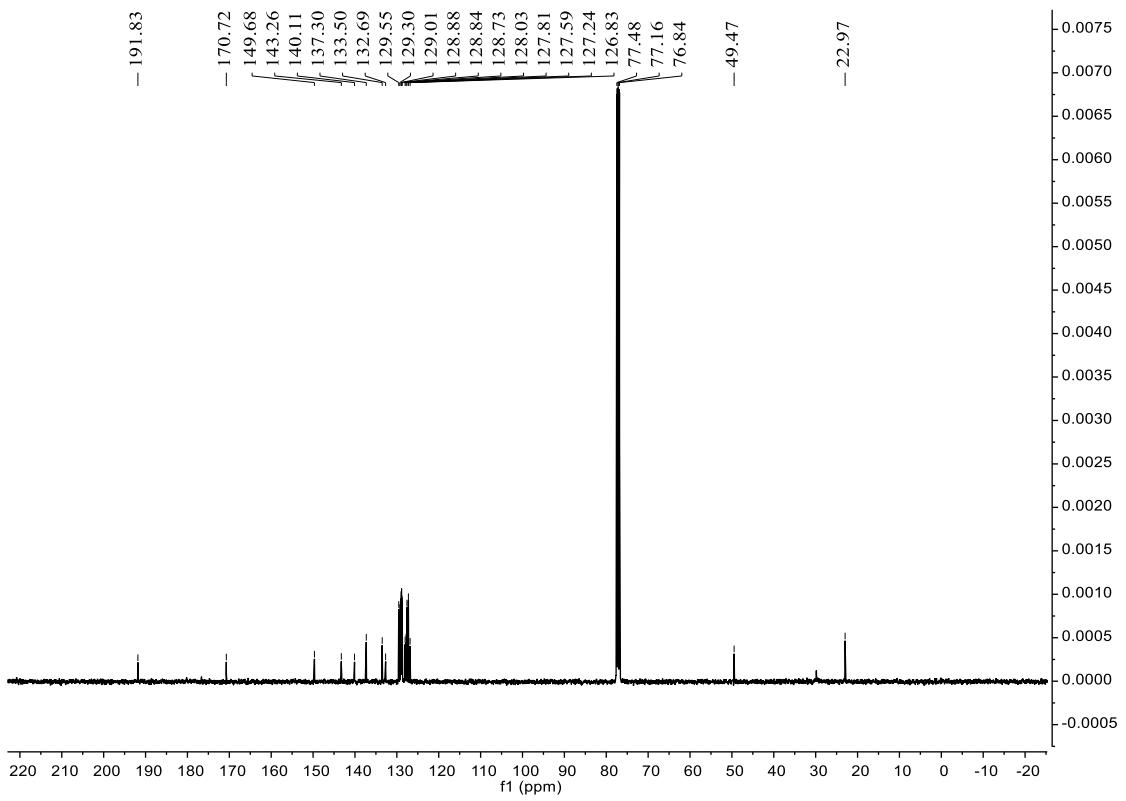
**(E)-N-benzyl-N-(1-(4-(methylsulfonyl)phenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3ja)**





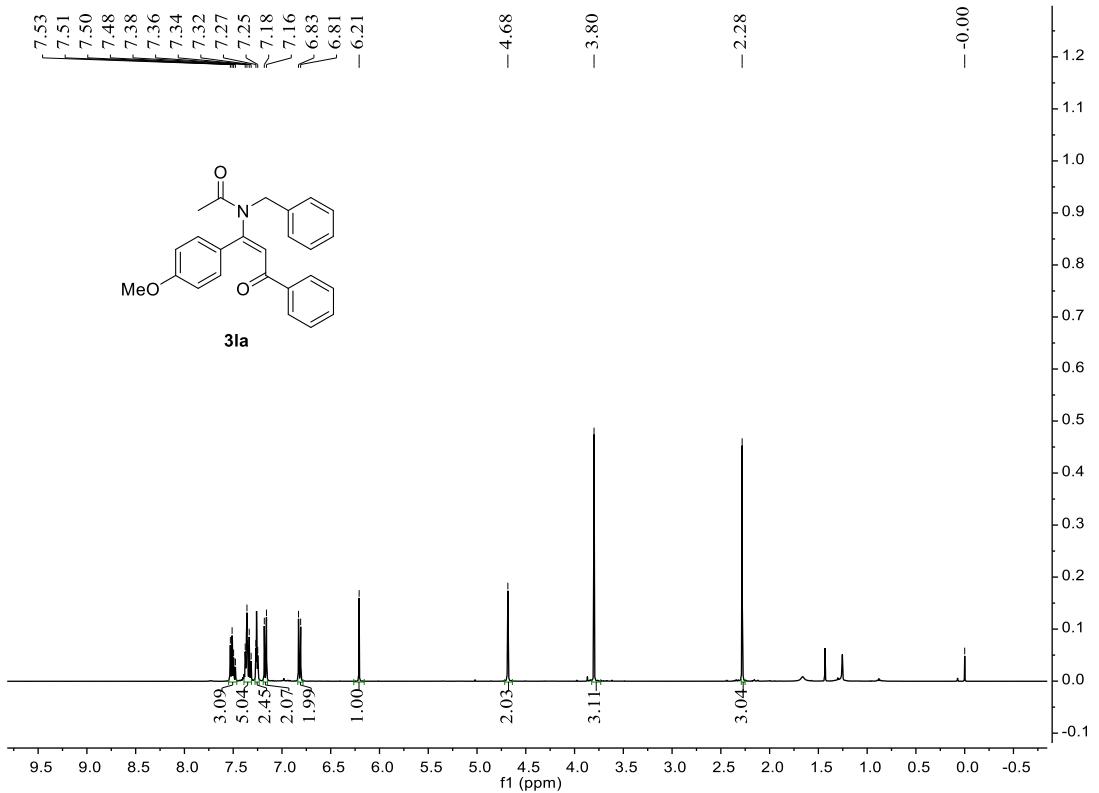
**(E)-N-(1-([1,1'-biphenyl]-4-yl)-3-oxo-3-phenylprop-1-en-1-yl)-N-benzylacetamide  
(3ka)**

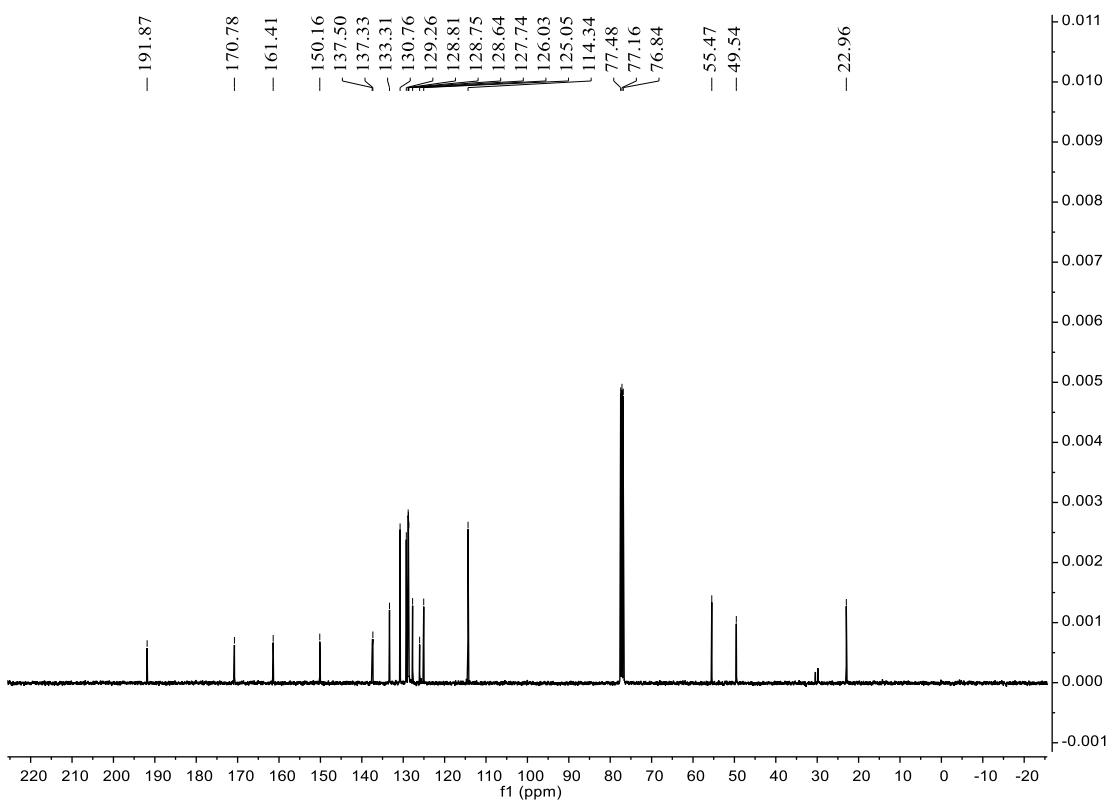




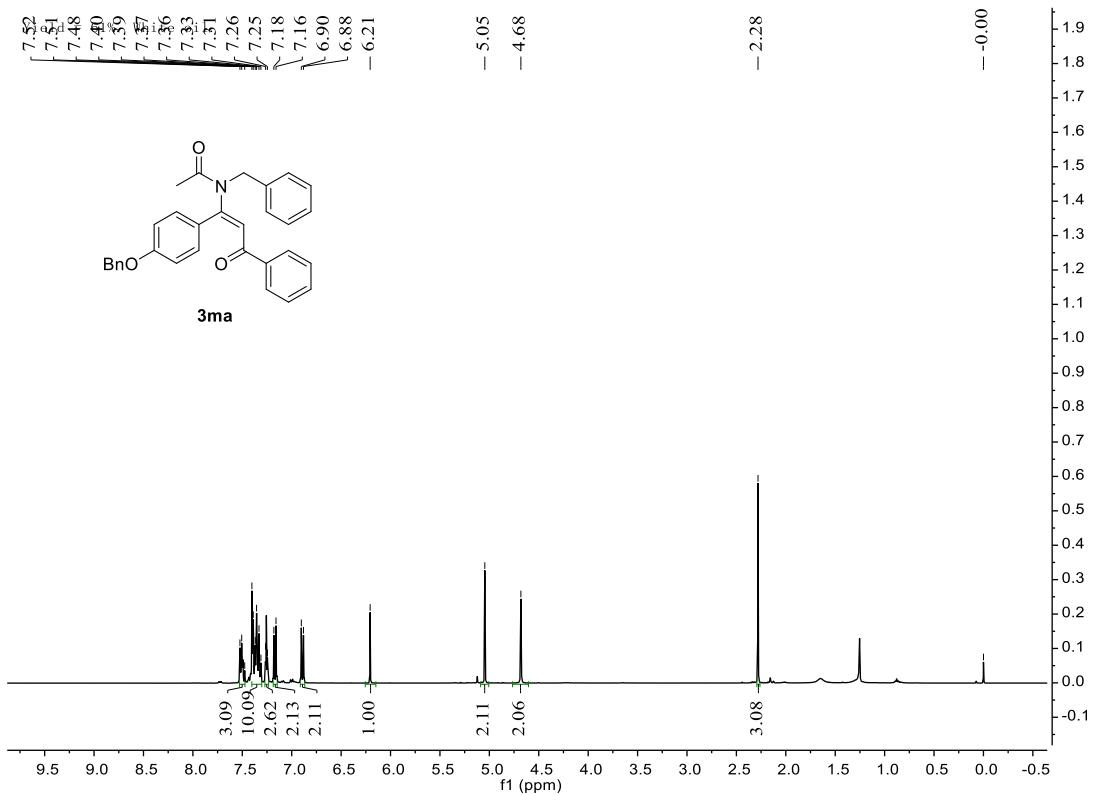
**(E)-N-benzyl-N-(1-(4-methoxyphenyl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide**

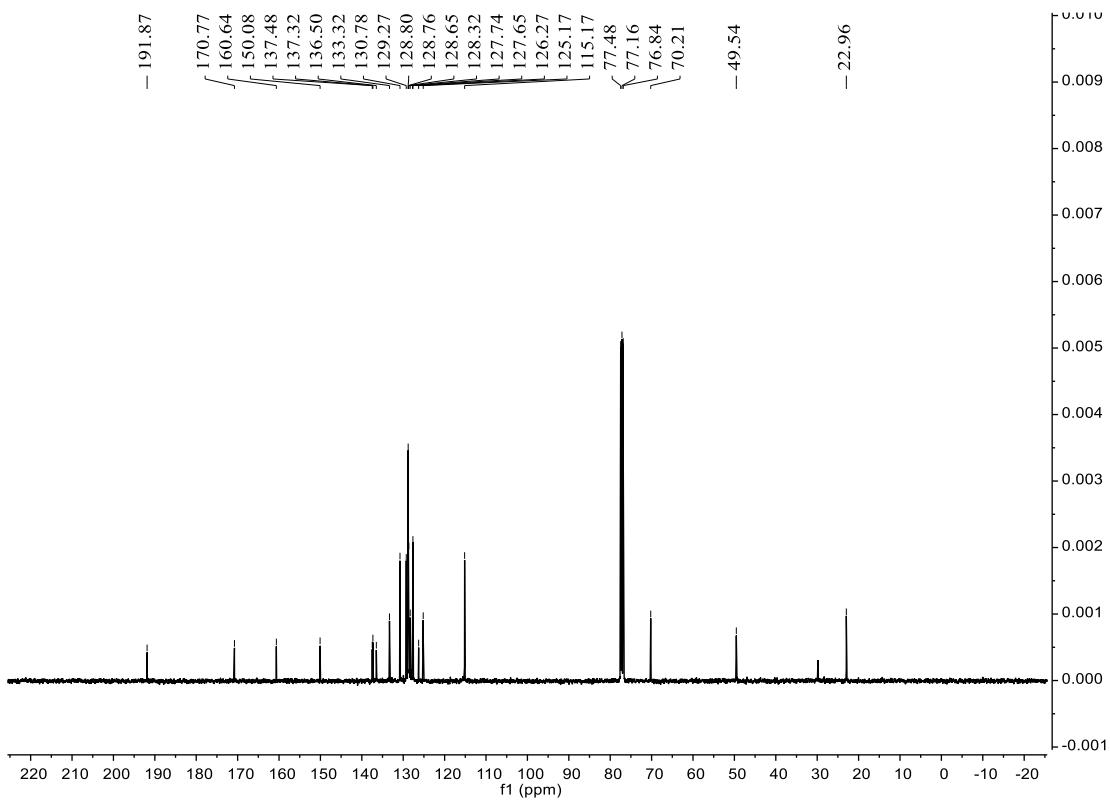
**(3la)**



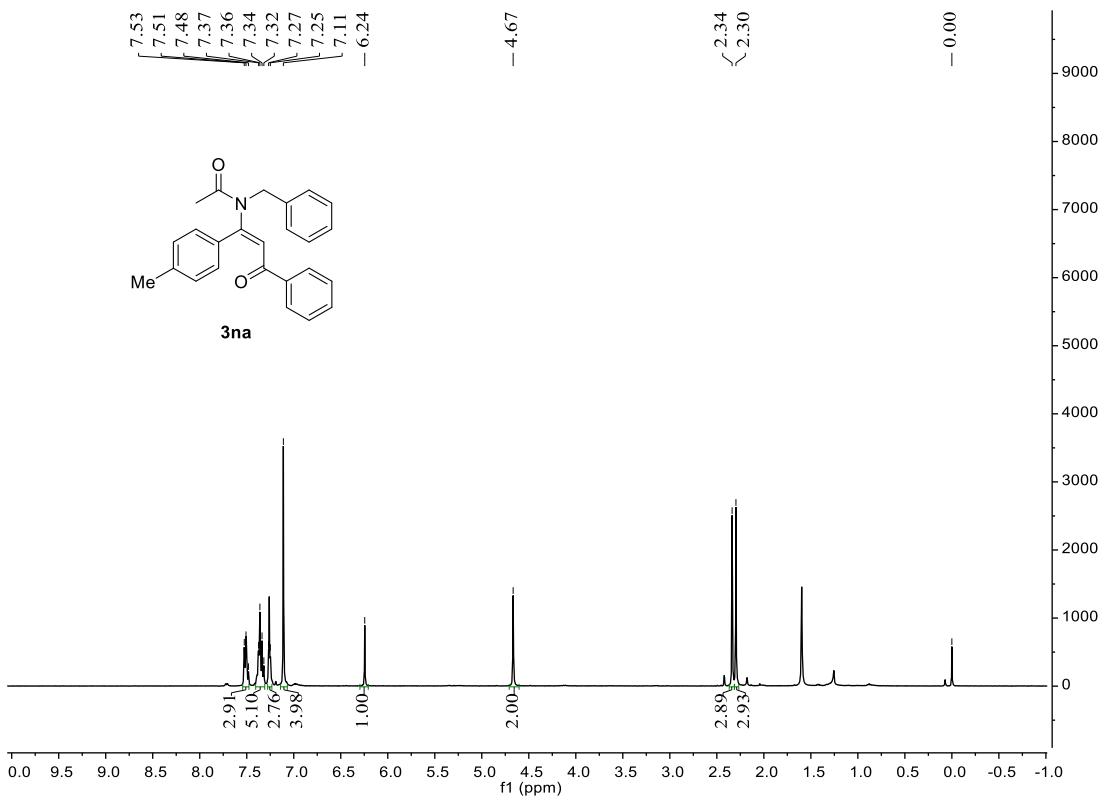


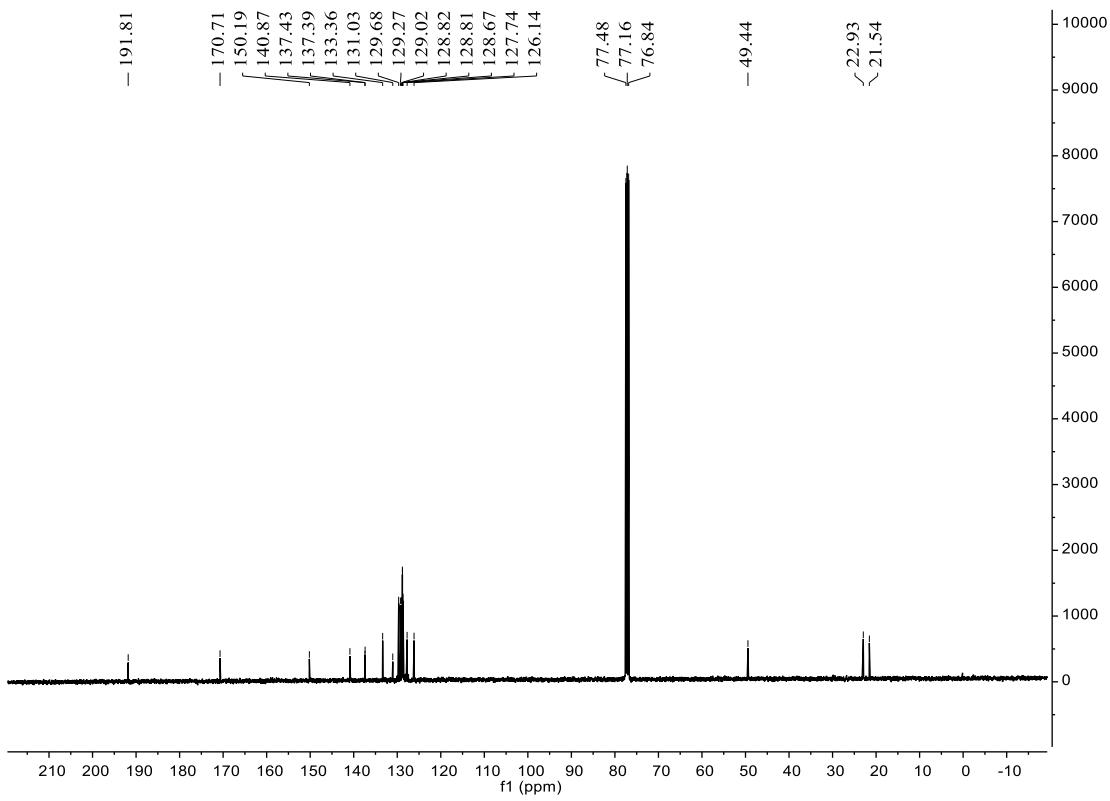
**(E)-N-benzyl-N-(1-(4-(benzyloxy)phenyl)-3-oxo-3-phenylprop-1-en-1-yl) acetamide (3ma)**



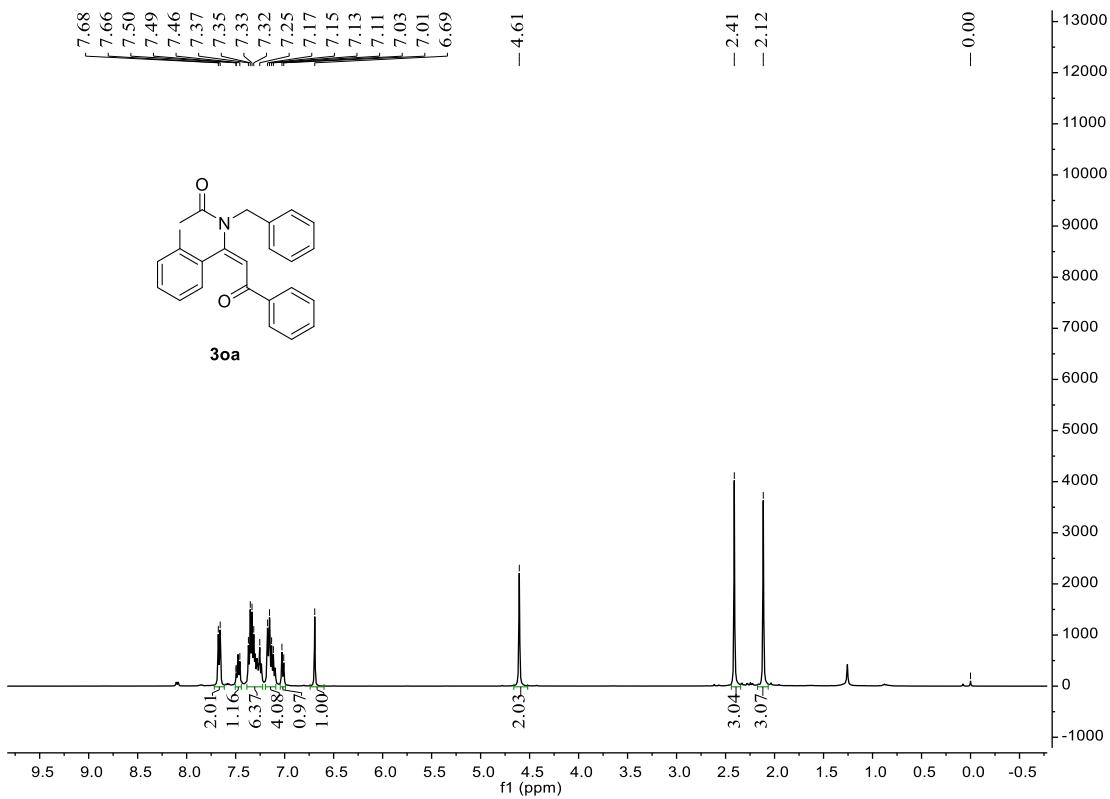


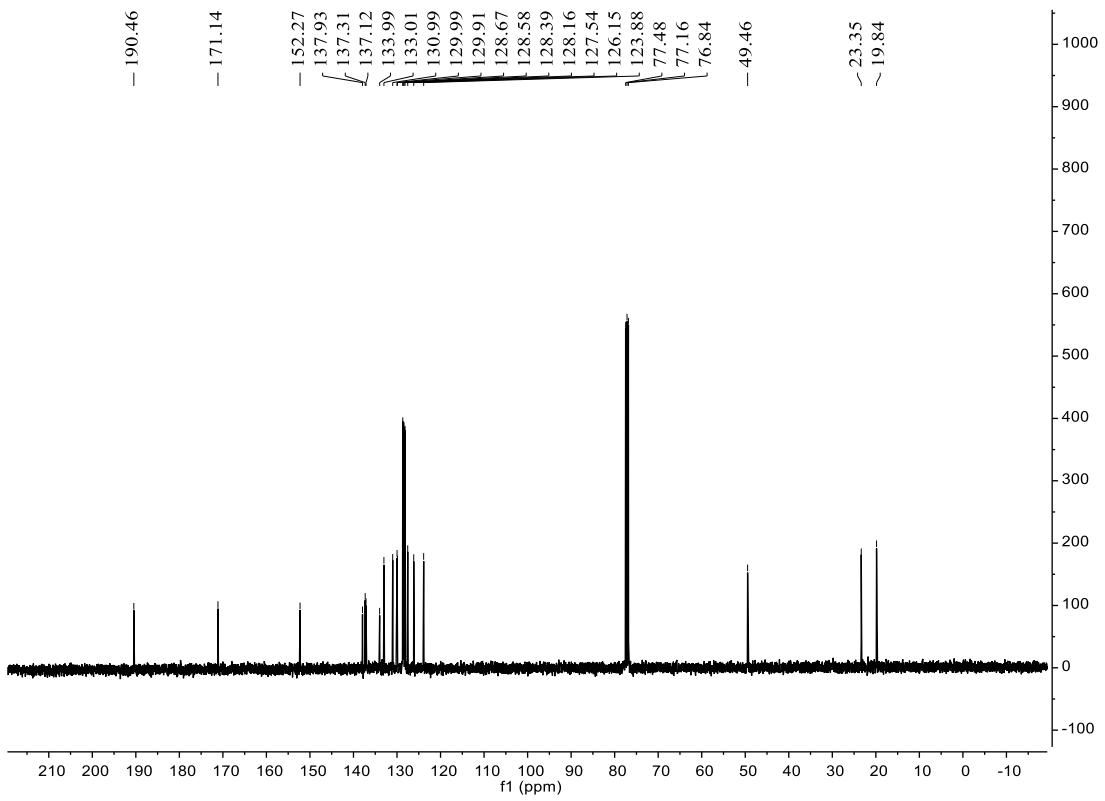
**(E)-N-benzyl-N-(3-oxo-3-phenyl-1-(p-tolyl)prop-1-en-1-yl)acetamide (3na)**



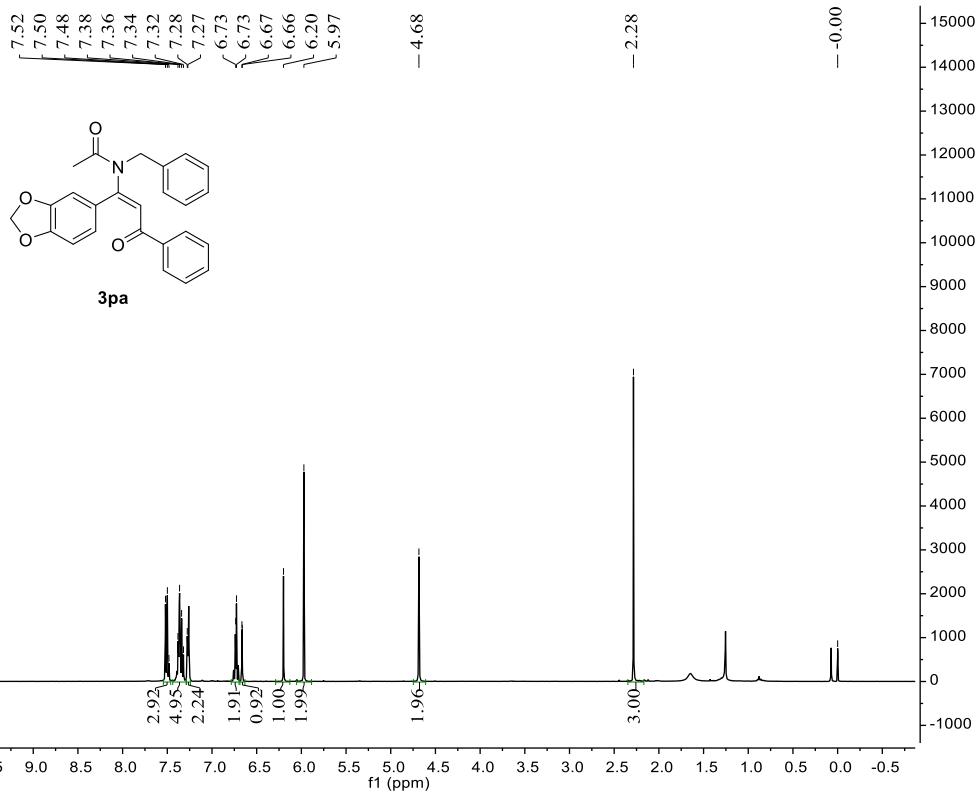


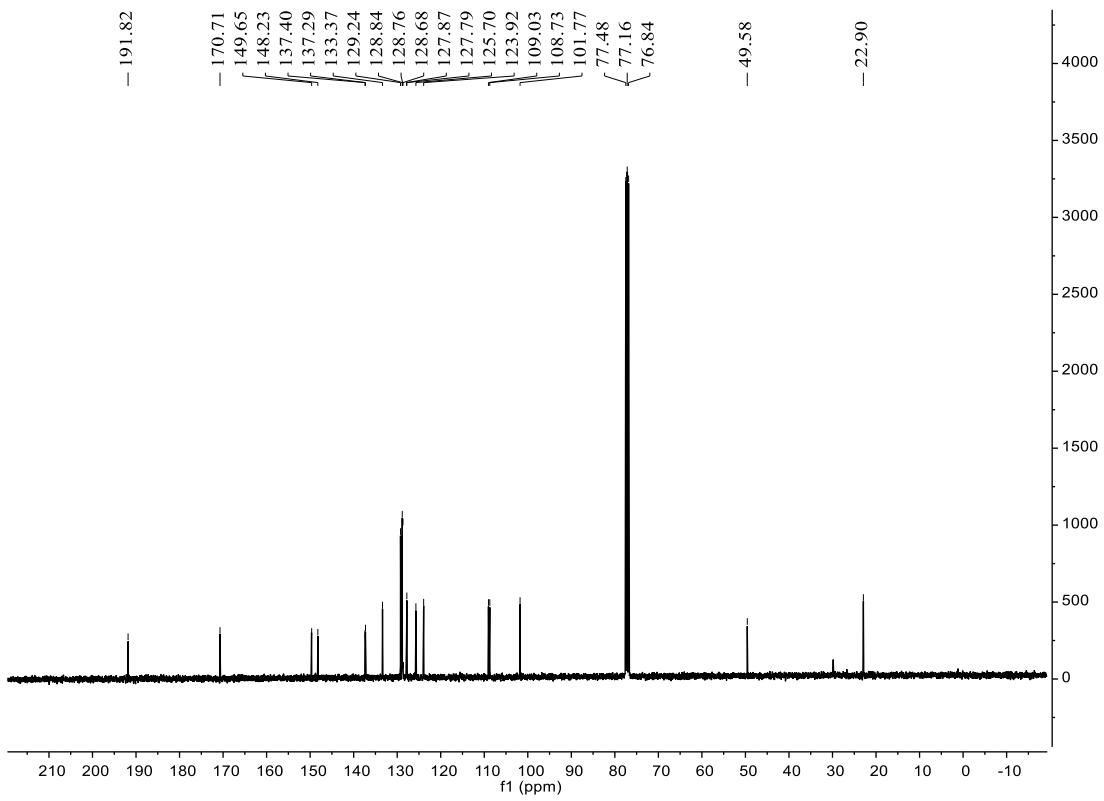
**(E)-N-benzyl-N-(3-oxo-3-phenyl-1-(o-tolyl)prop-1-en-1-yl)acetamide (3oa)**



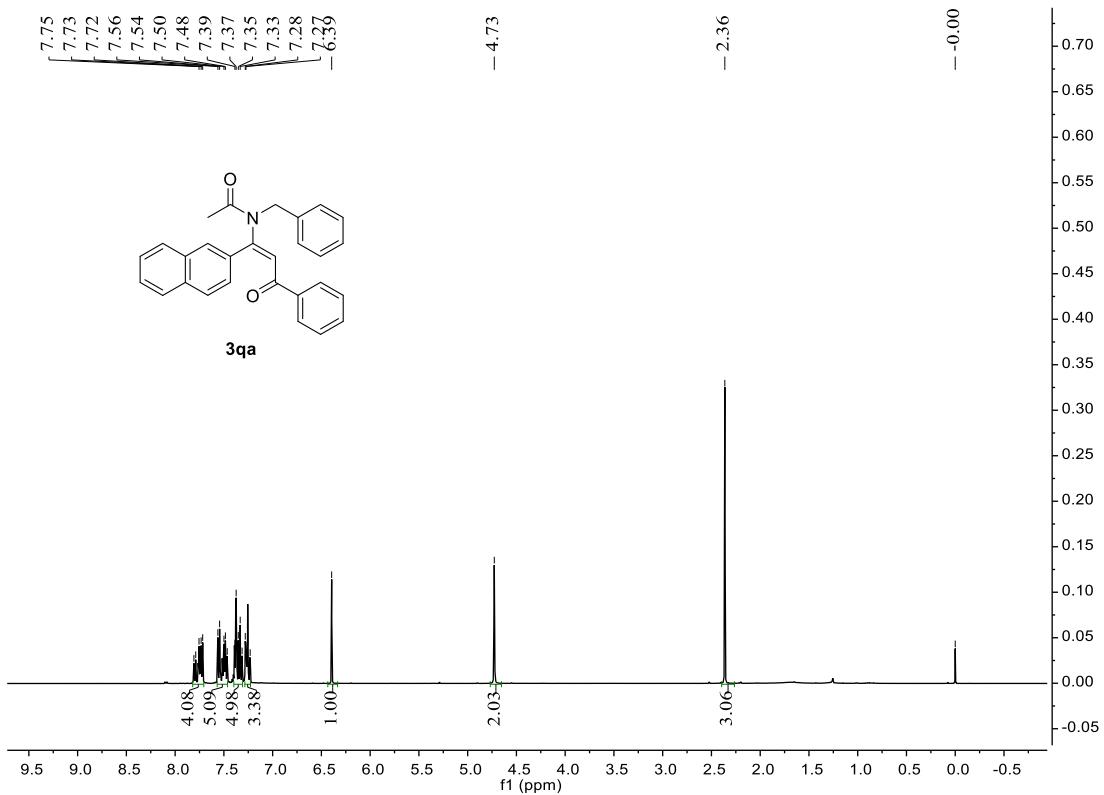


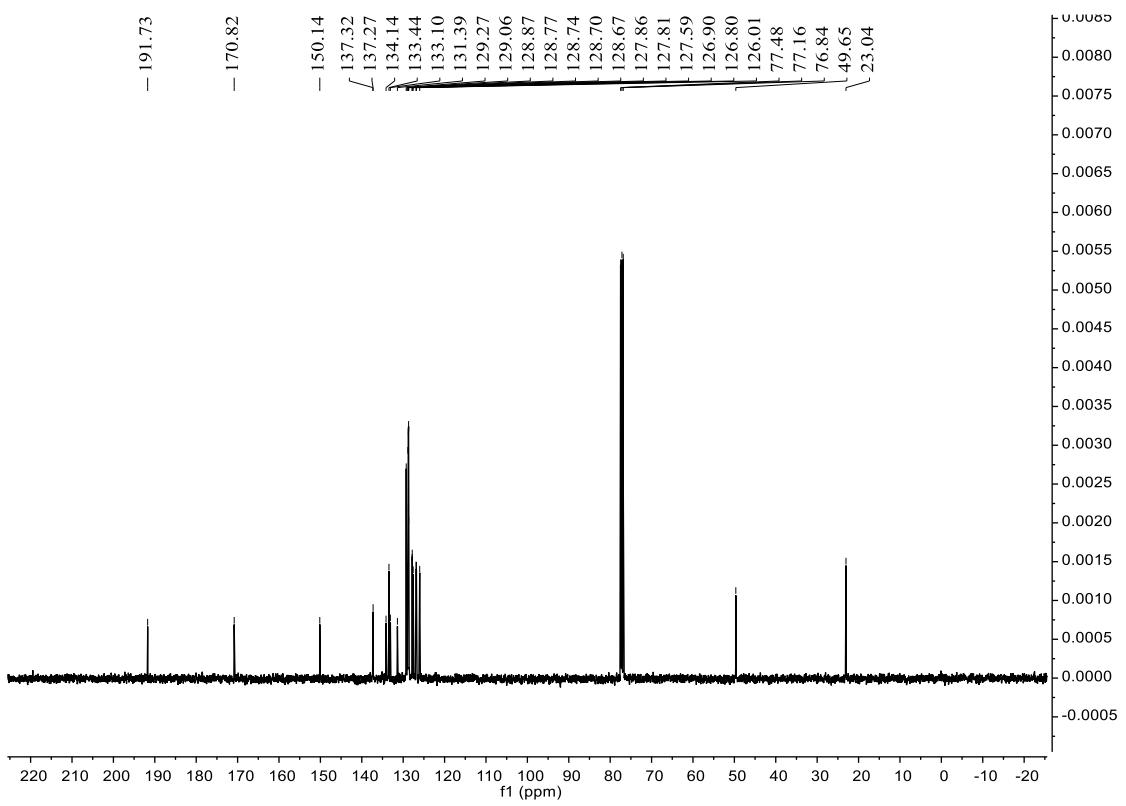
**(E)-N-(1-(benzo[d][1,3]dioxol-5-yl)-3-oxo-3-phenylprop-1-en-1-yl)-N-benzylacetamide (3pa)**



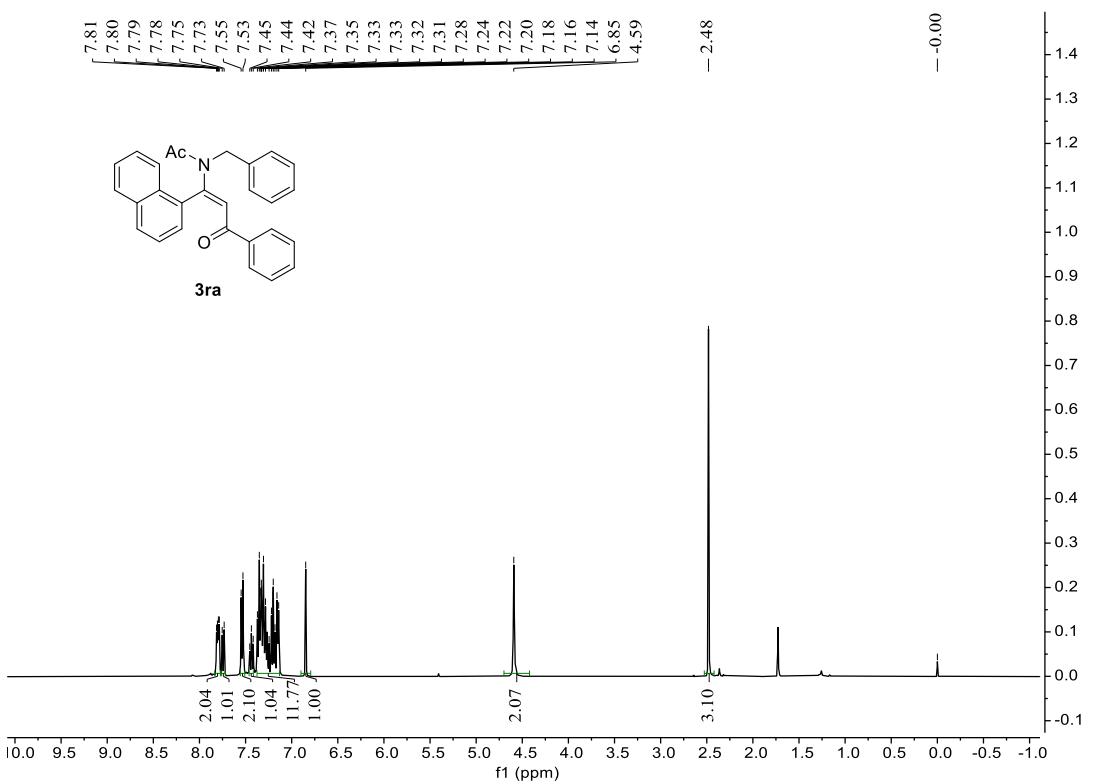


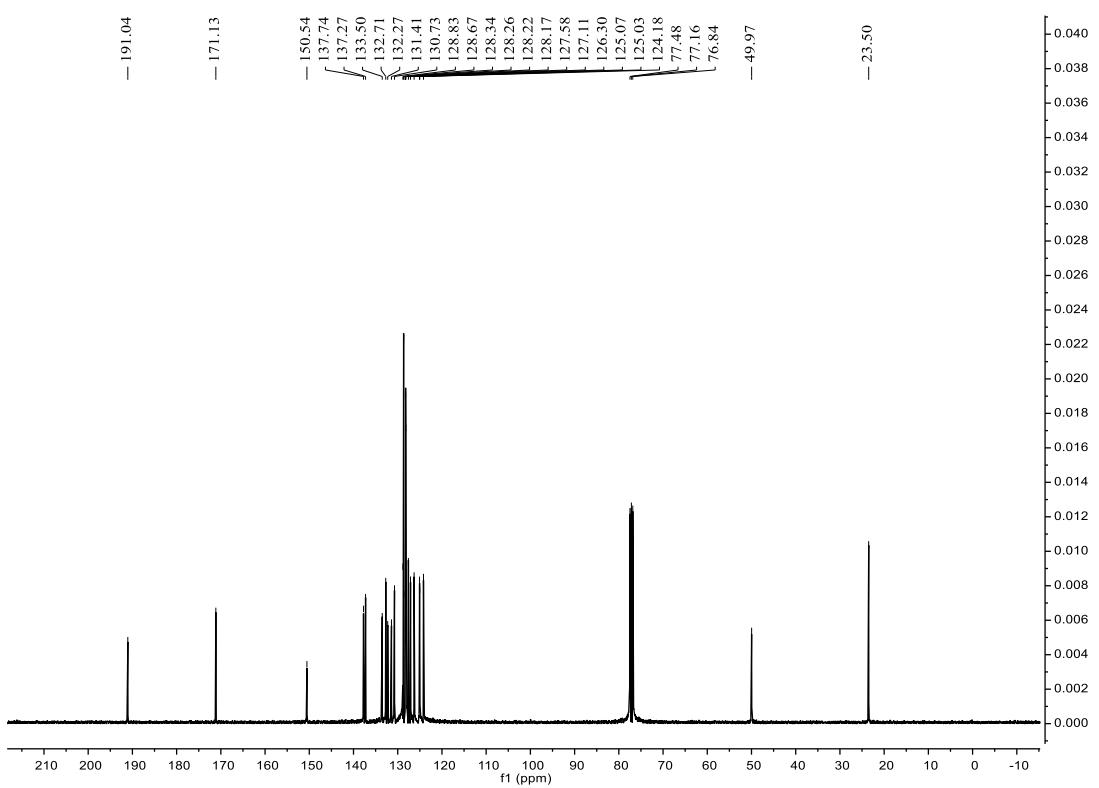
**(E)-N-benzyl-N-(1-(naphthalen-2-yl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide  
(3qa)**



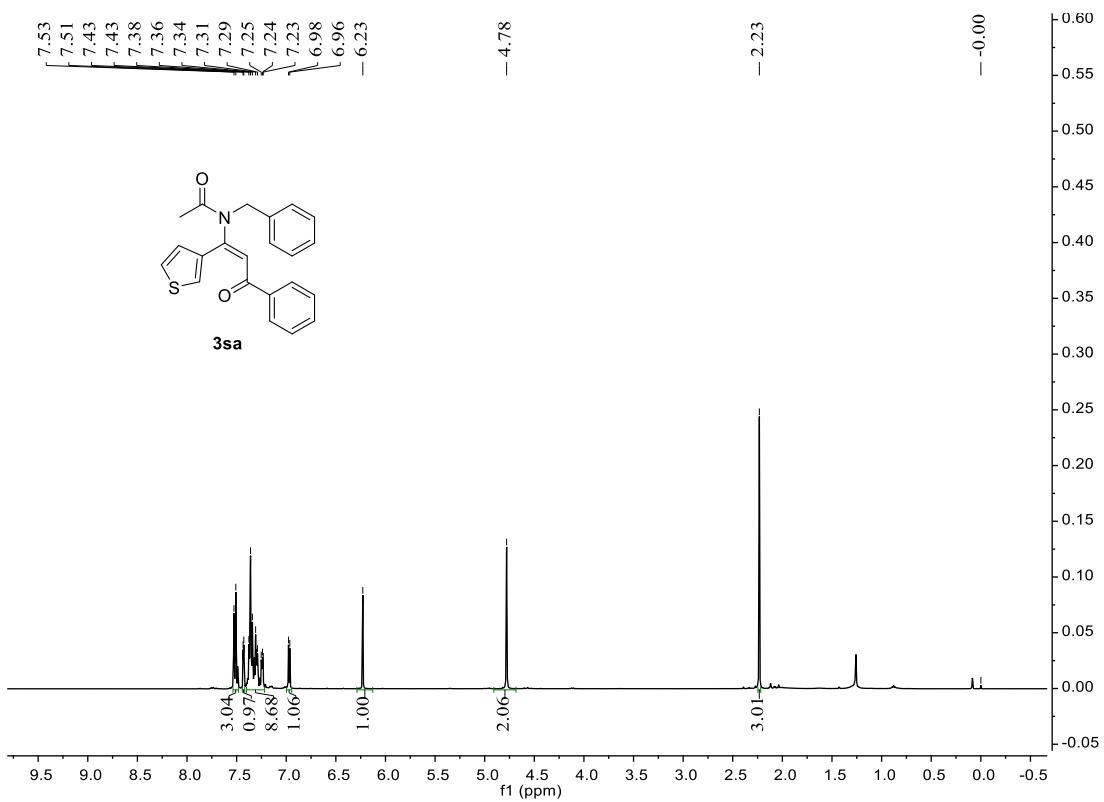


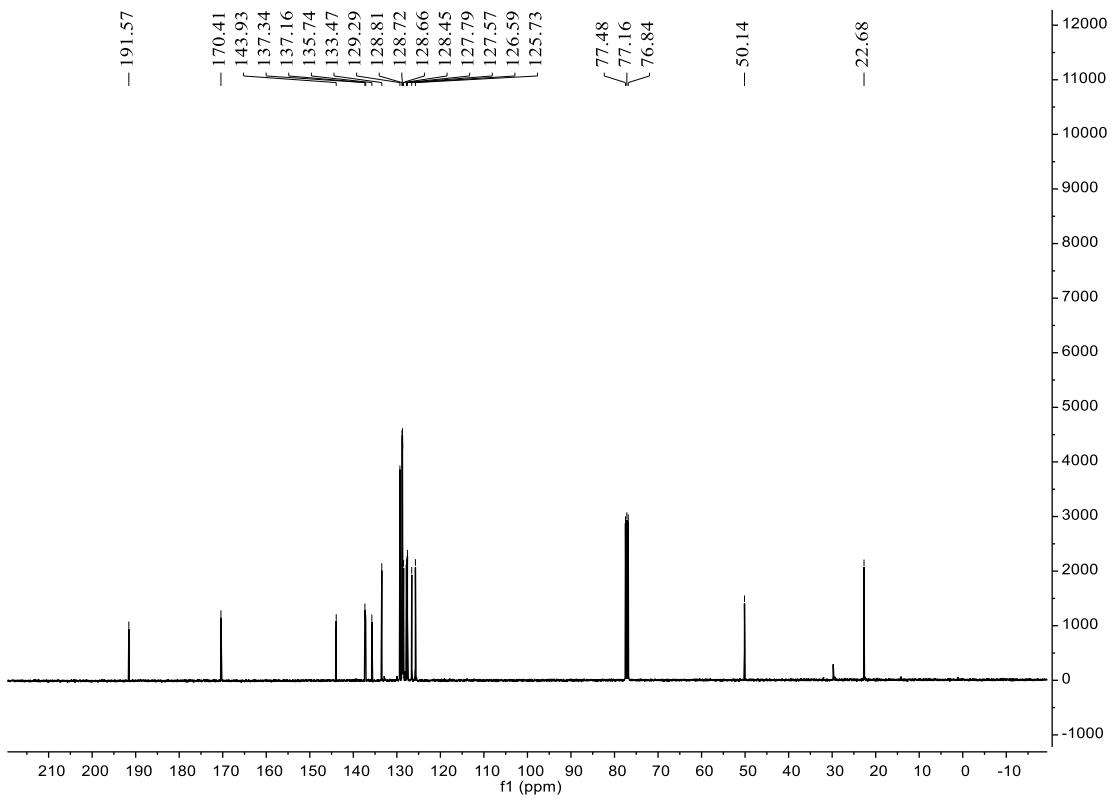
**(E)-N-benzyl-N-(1-(naphthalen-1-yl)-3-oxo-3-phenylprop-1-en-1-yl)acetamide  
(3ra)**



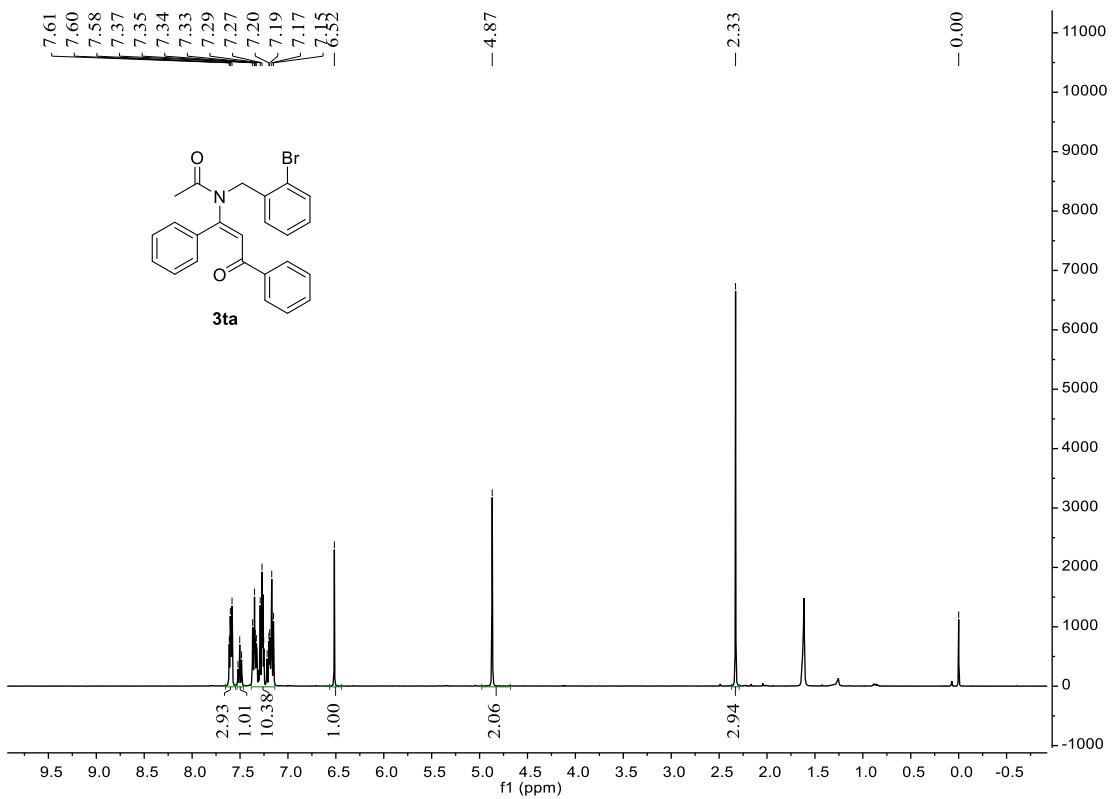


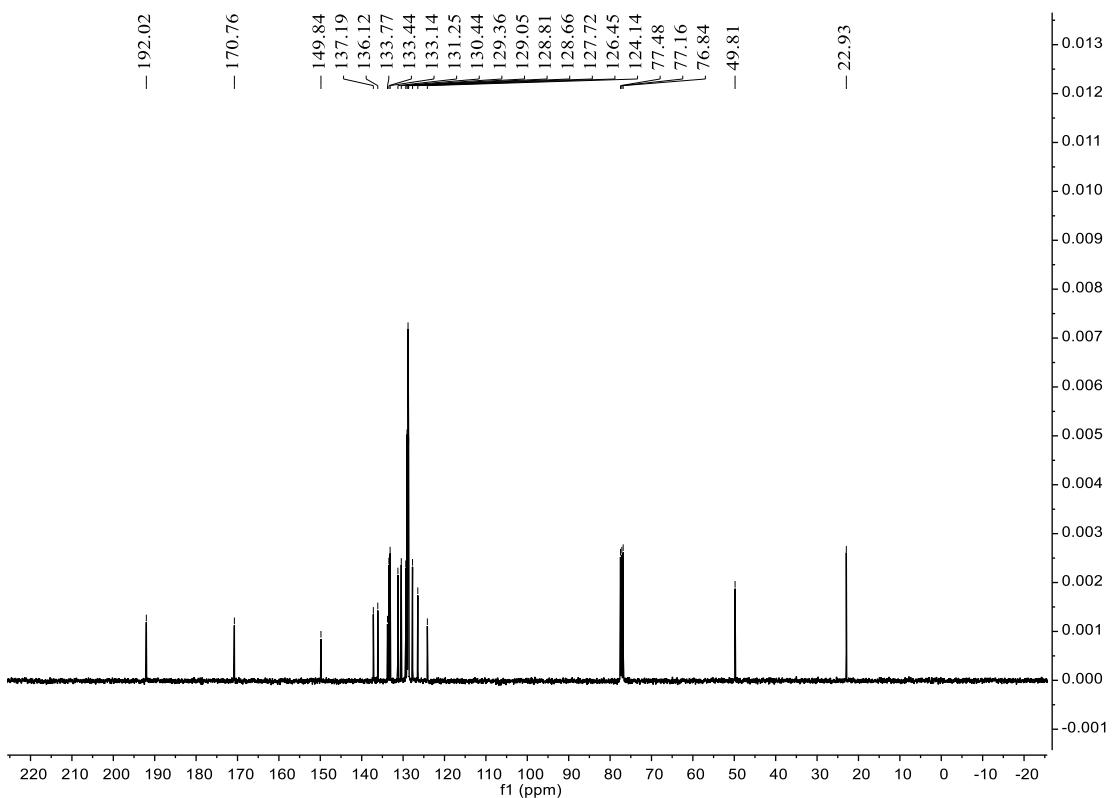
**(E)-N-benzyl-N-(3-oxo-3-phenyl-1-(thiophen-3-yl)prop-1-en-1-yl)acetamide (3sa)**



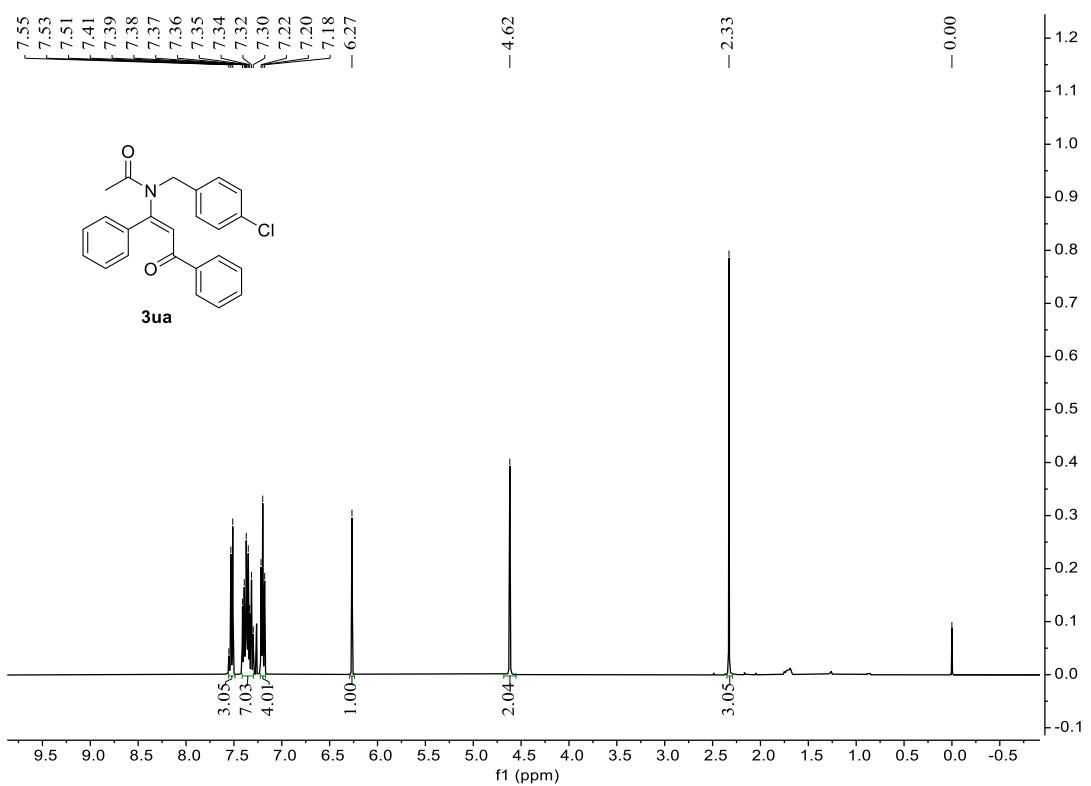


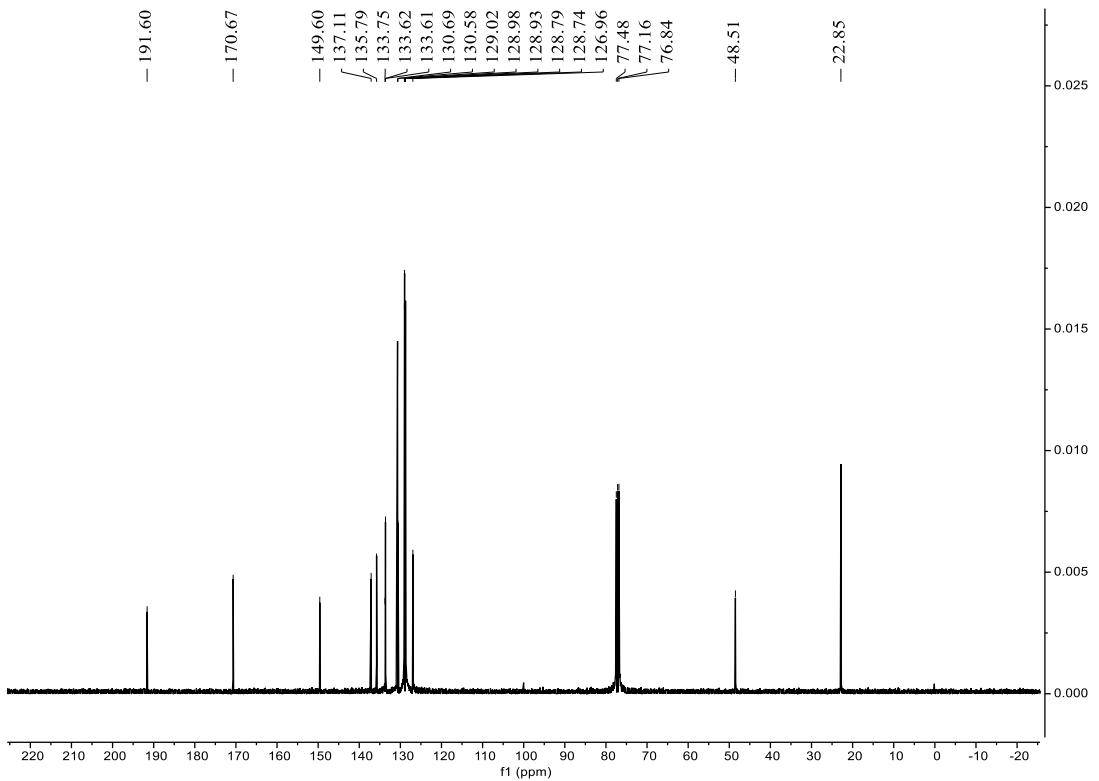
**(E)-N-(2-bromobenzyl)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl) acetamide (3ta)**



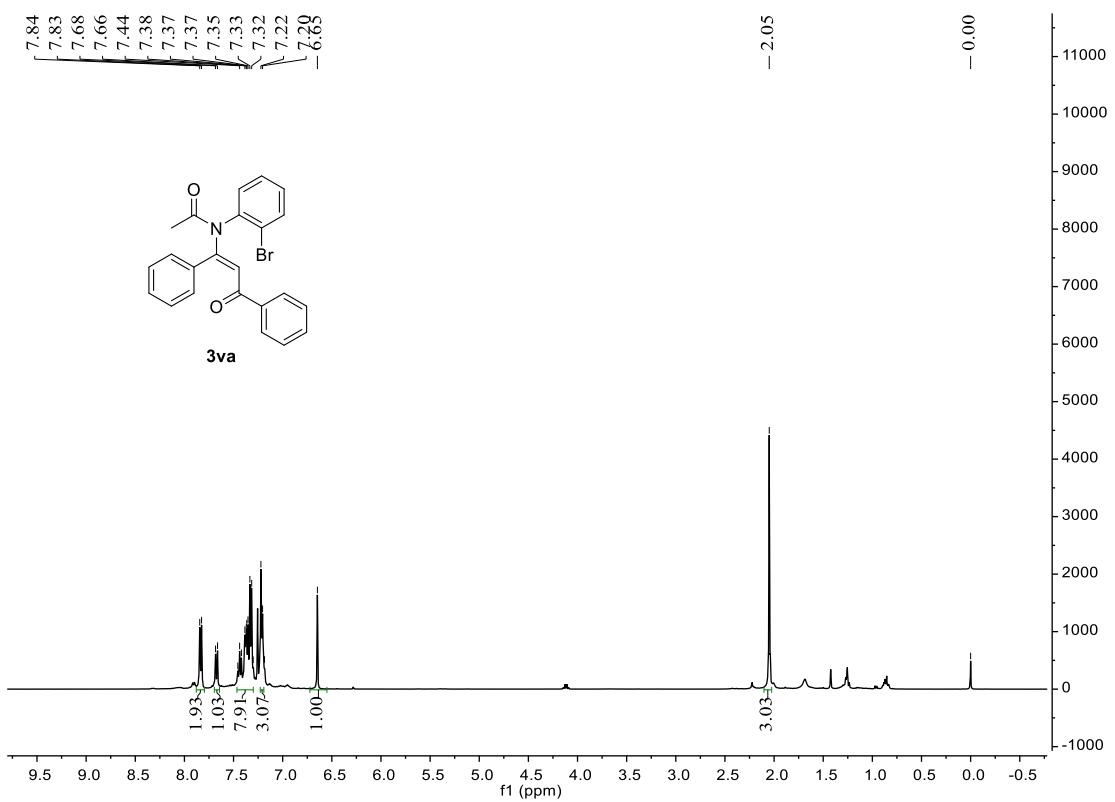


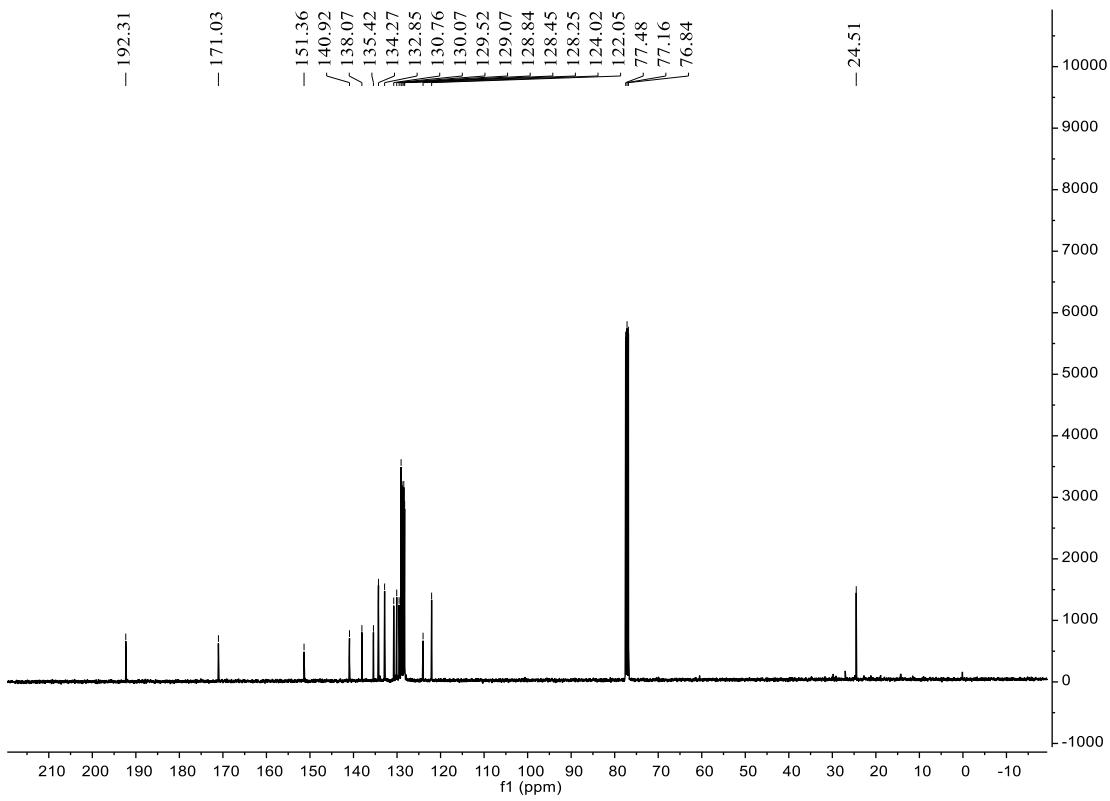
**(E)-N-(4-chlorobenzyl)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3ua)**



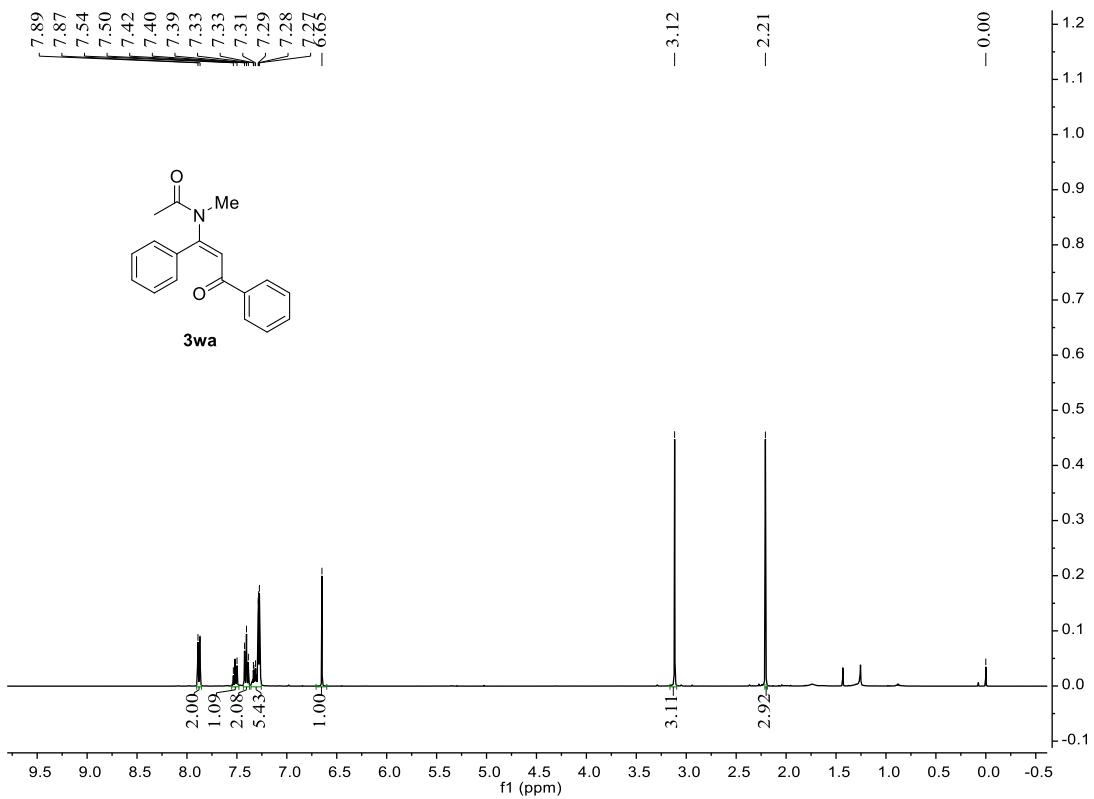


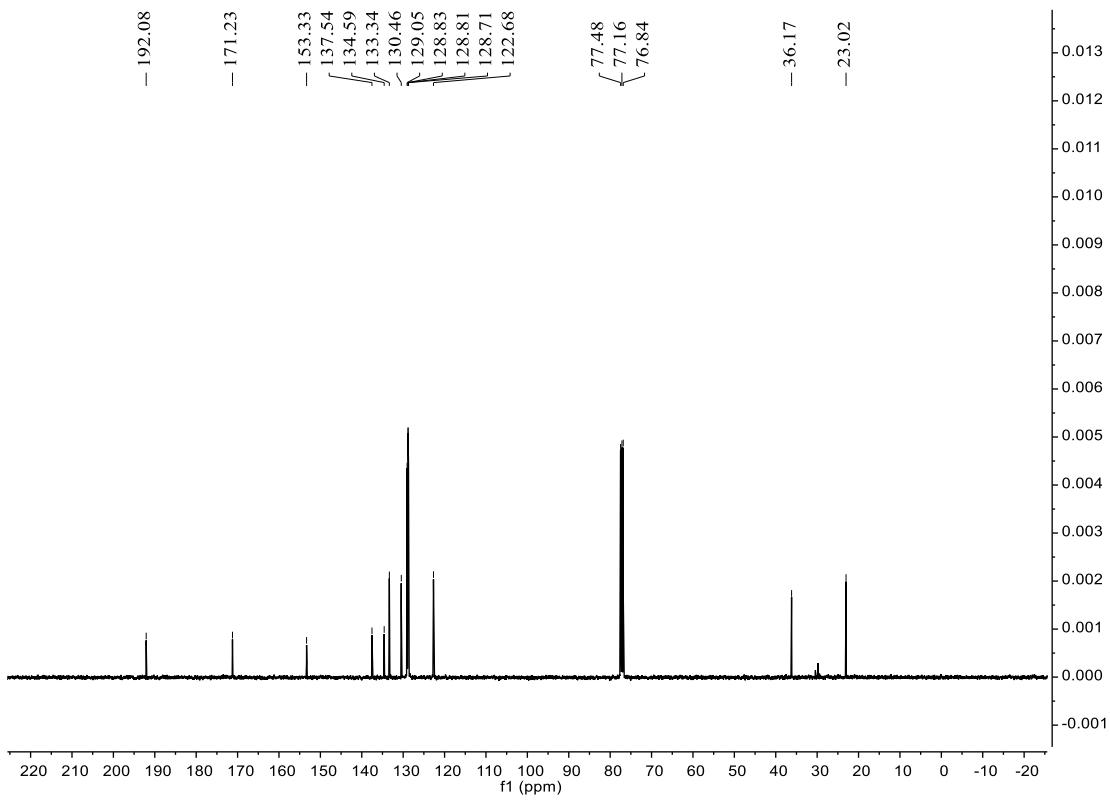
**(E)-N-(2-bromophenyl)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3va)**



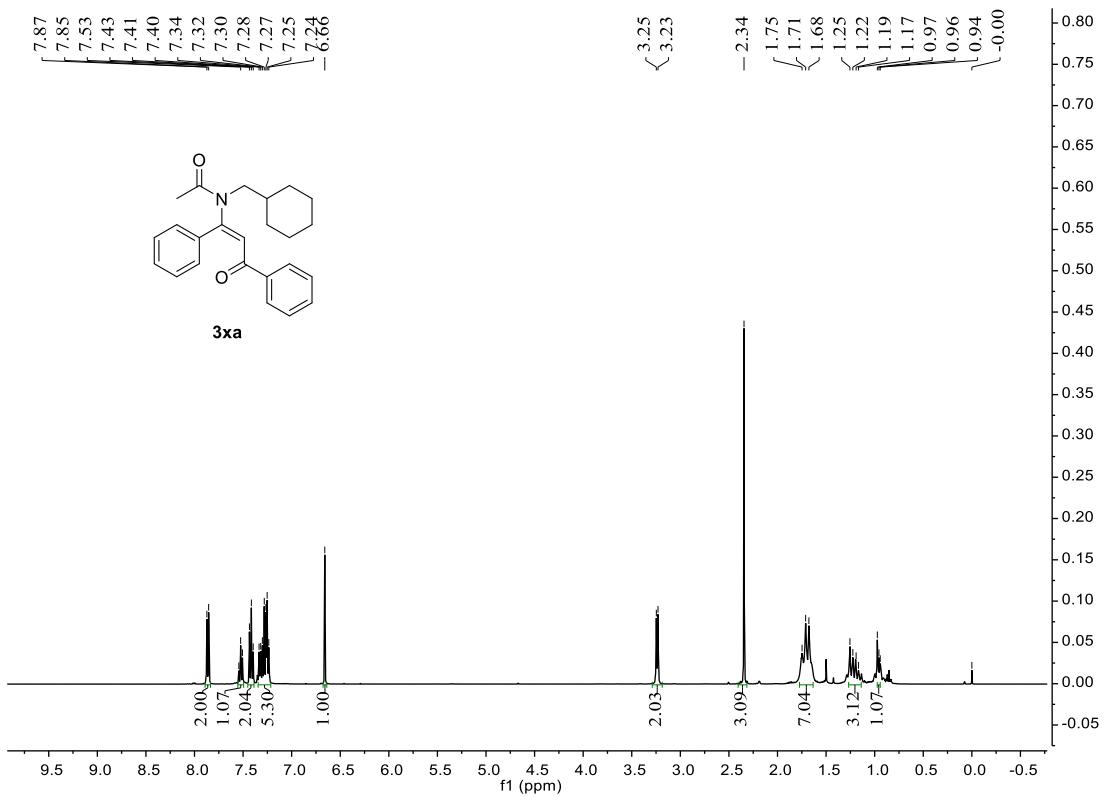


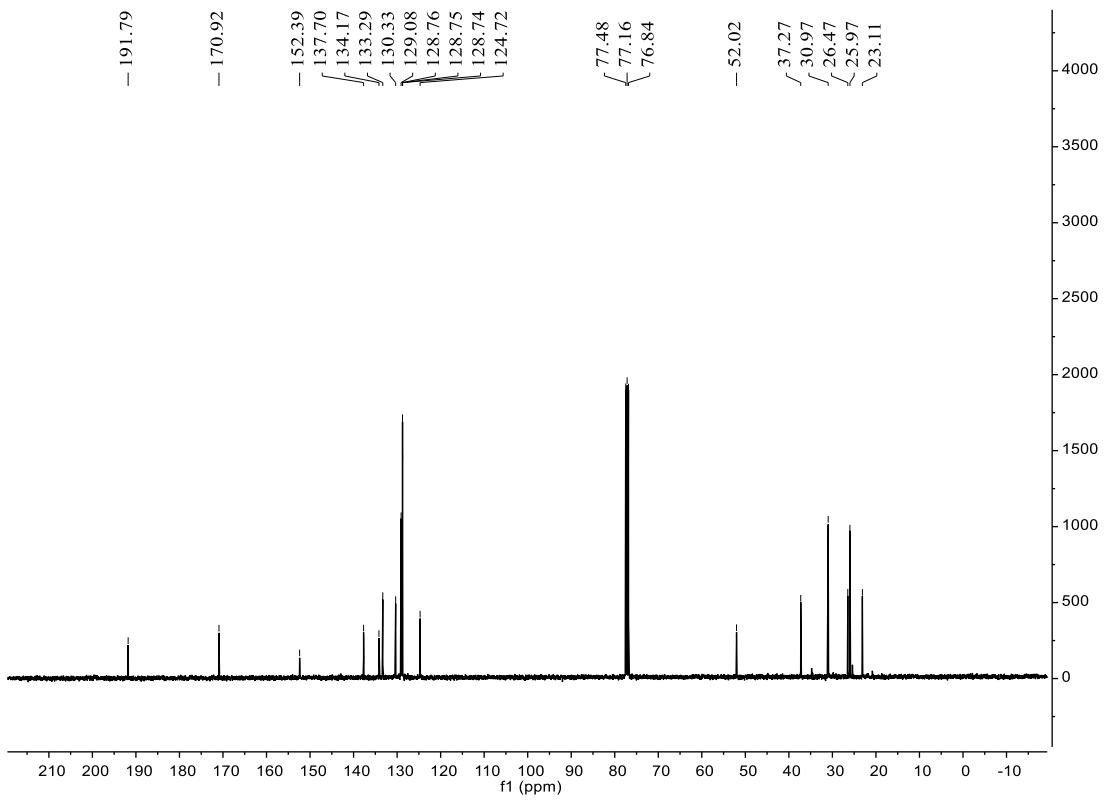
**(E)-N-methyl-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3wa)**



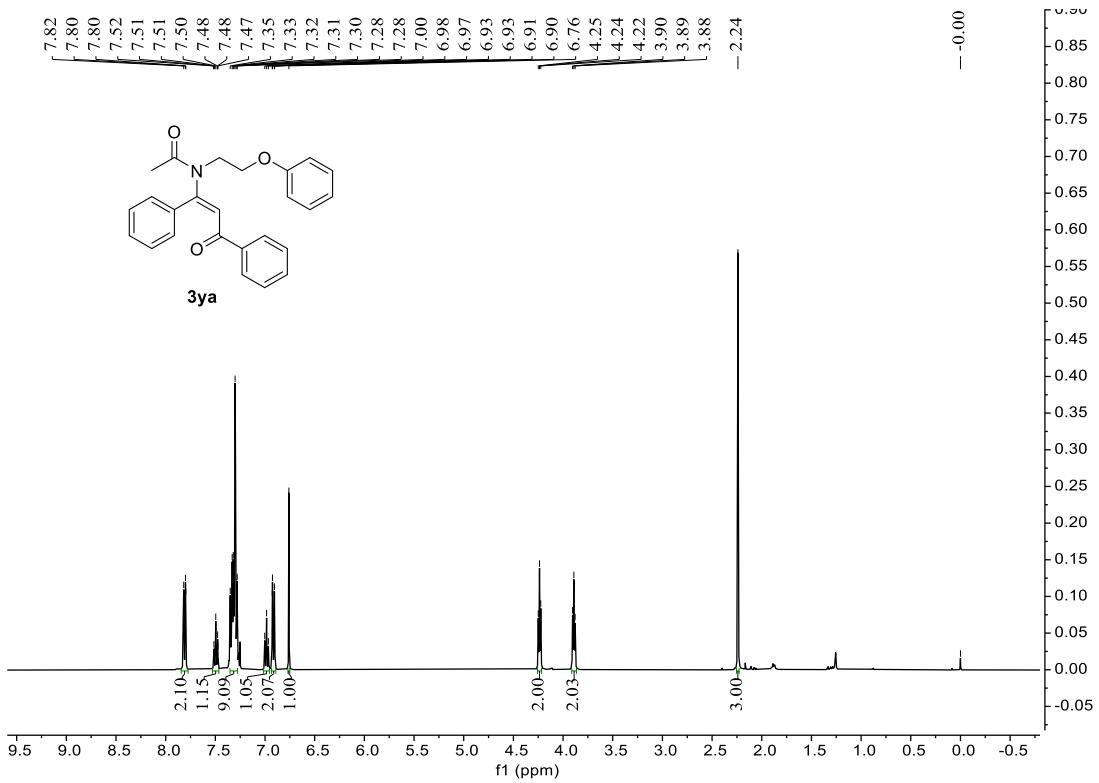


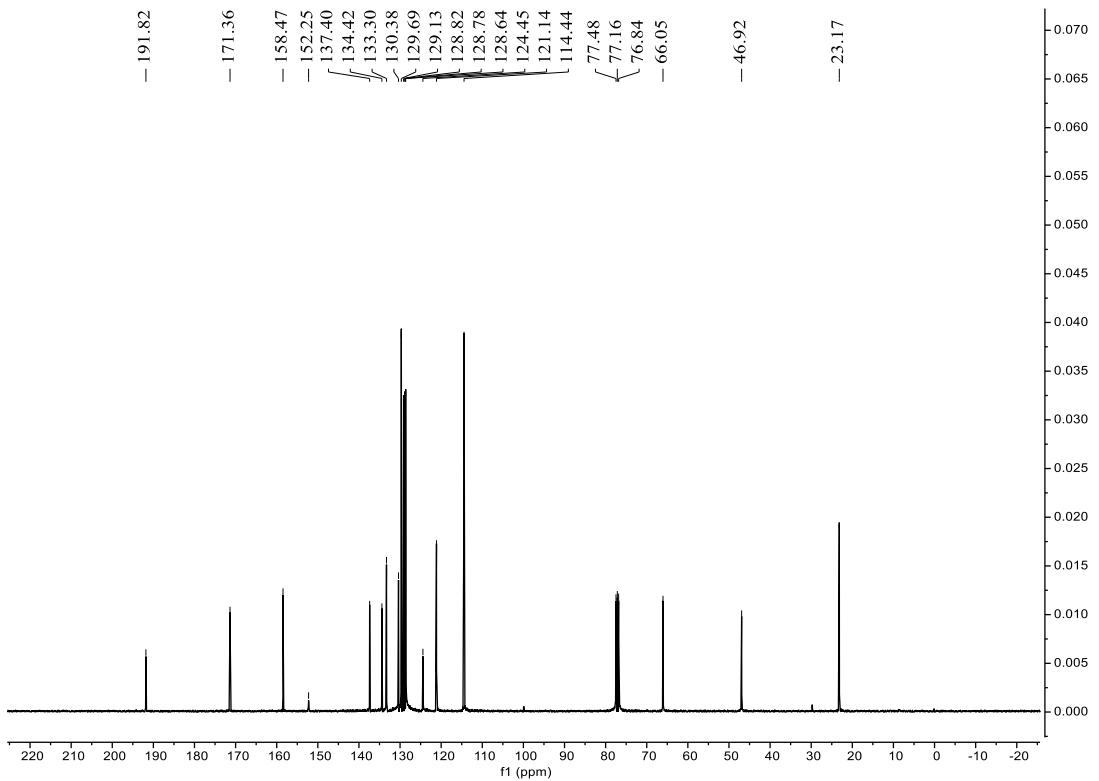
**(E)-N-(cyclohexylmethyl)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3xa)**



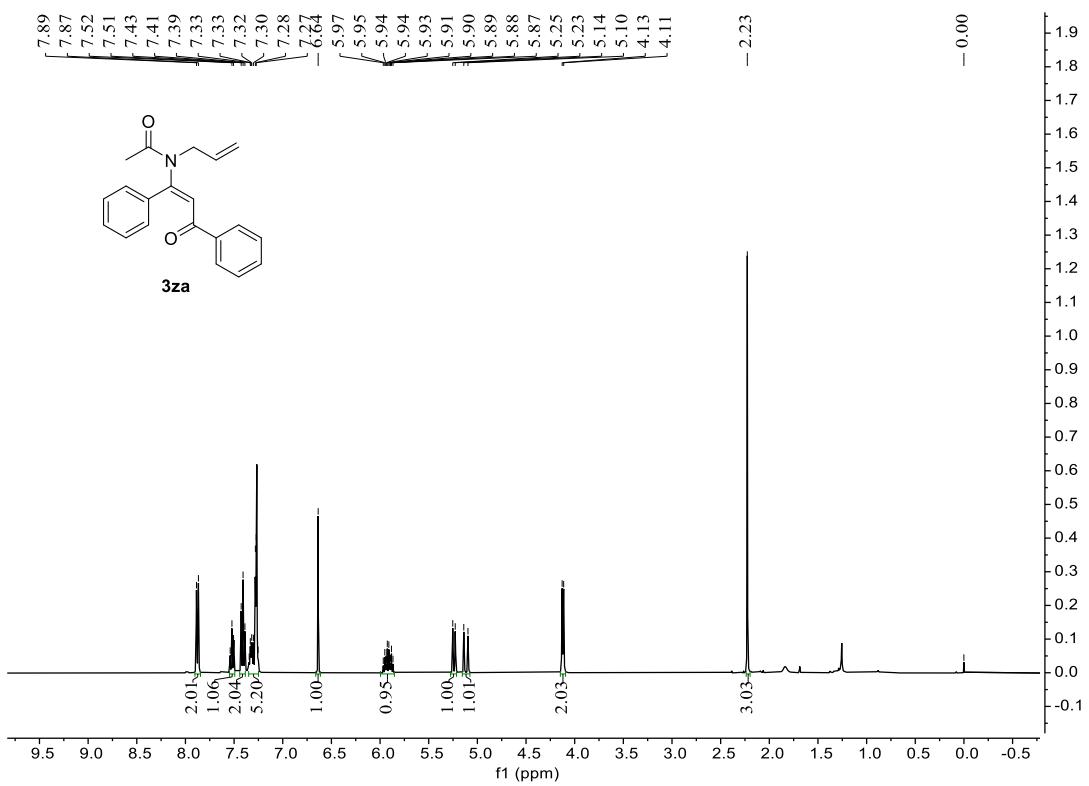


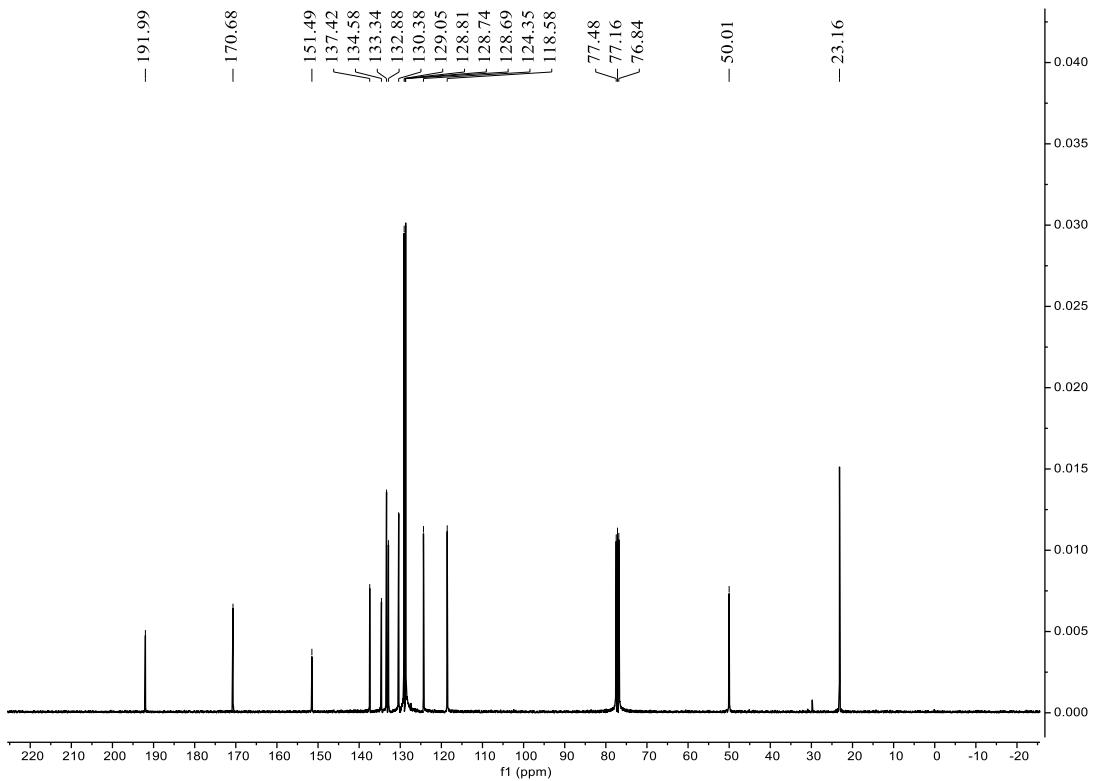
**(E)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)-N-(2-phenoxyethyl)acetamide (3ya)**



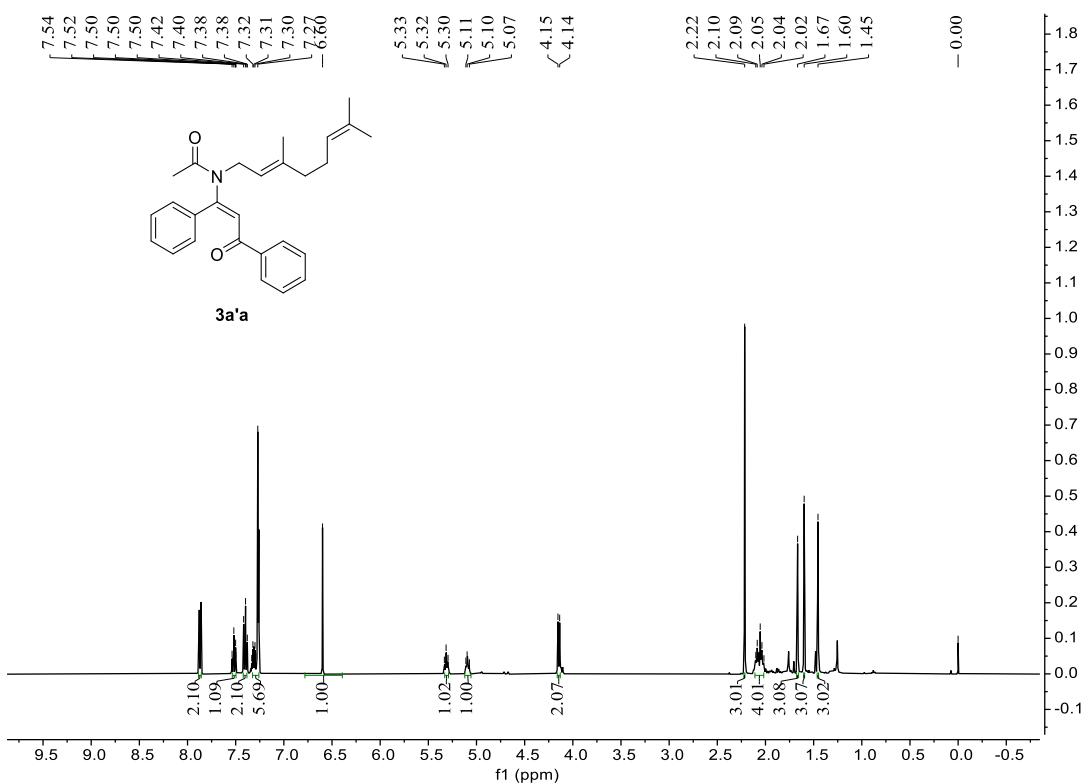


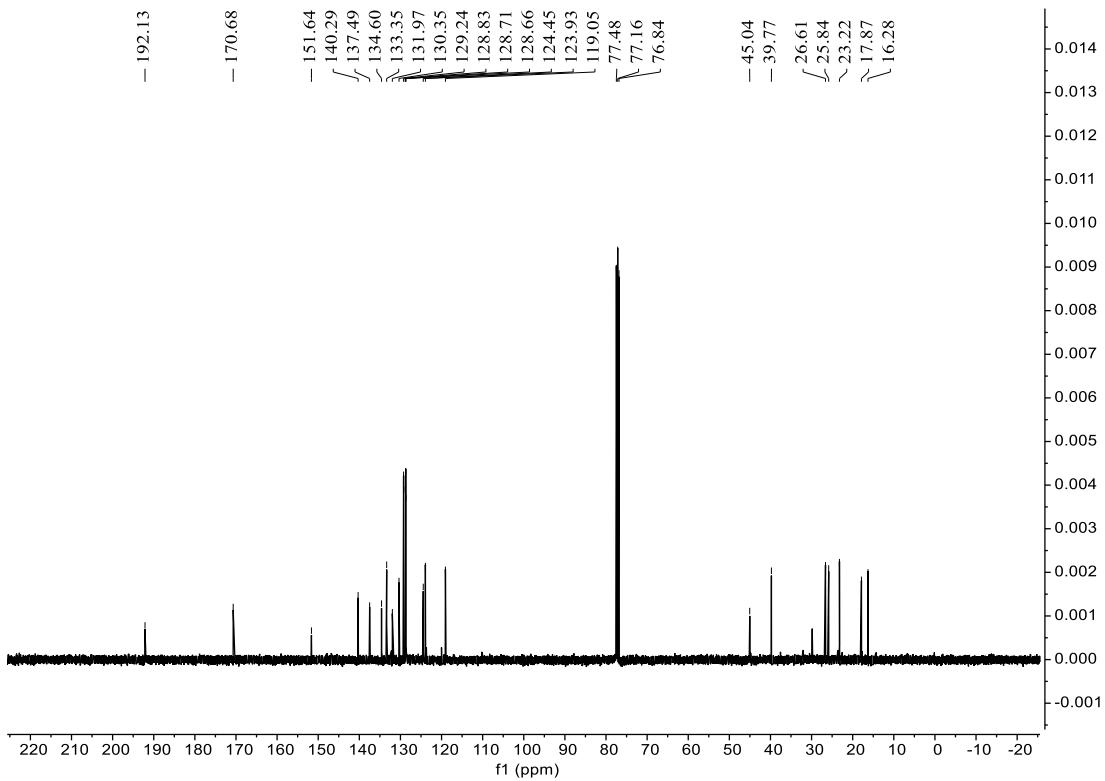
**(E)-N-allyl-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3za)**



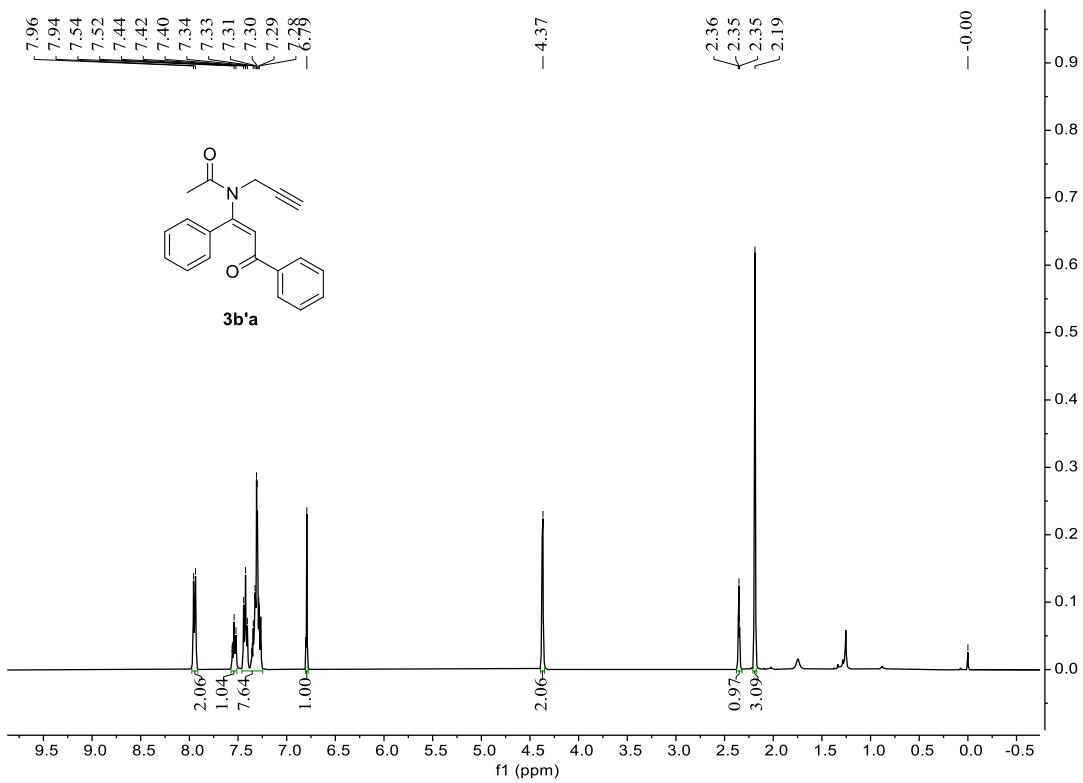


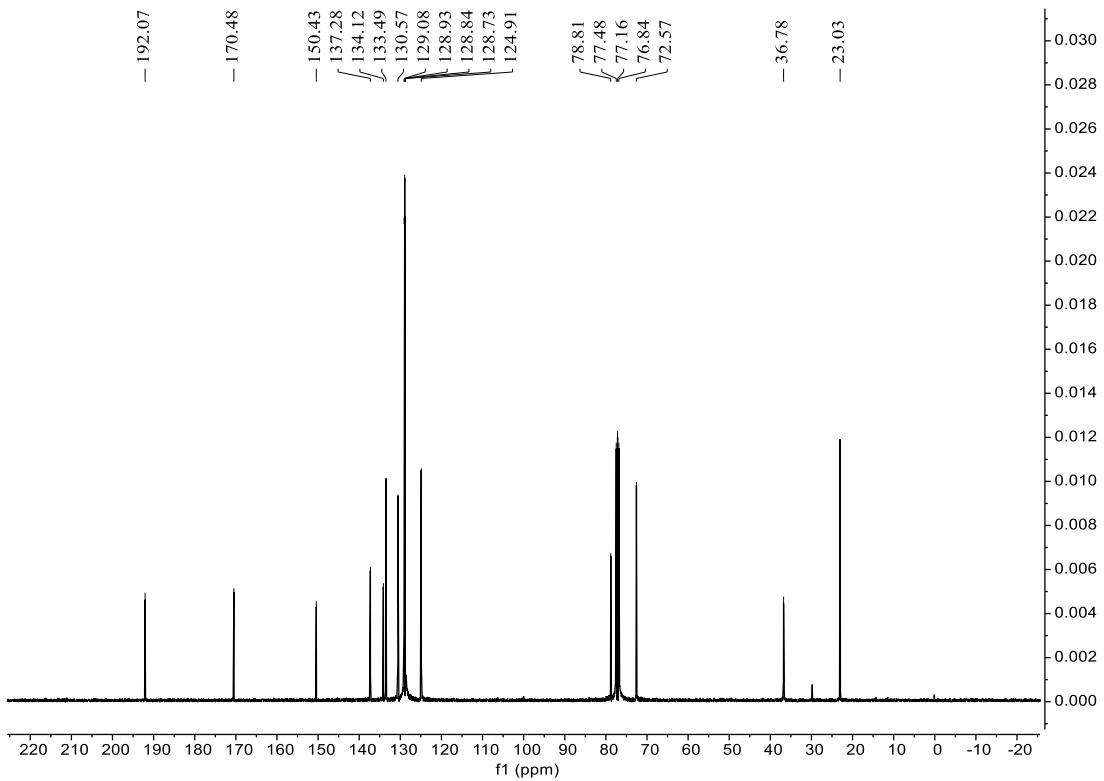
***N-((E)-3,7-dimethylocta-2,6-dien-1-yl)-N-((E)-3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (3a'a)***



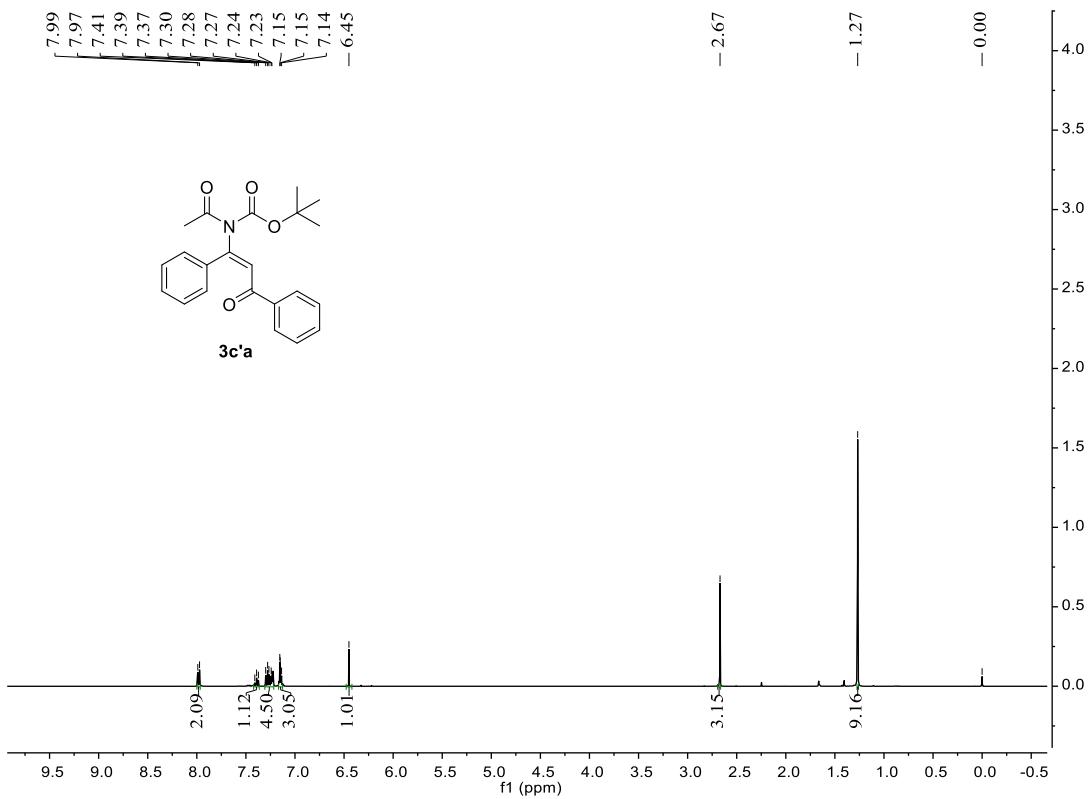


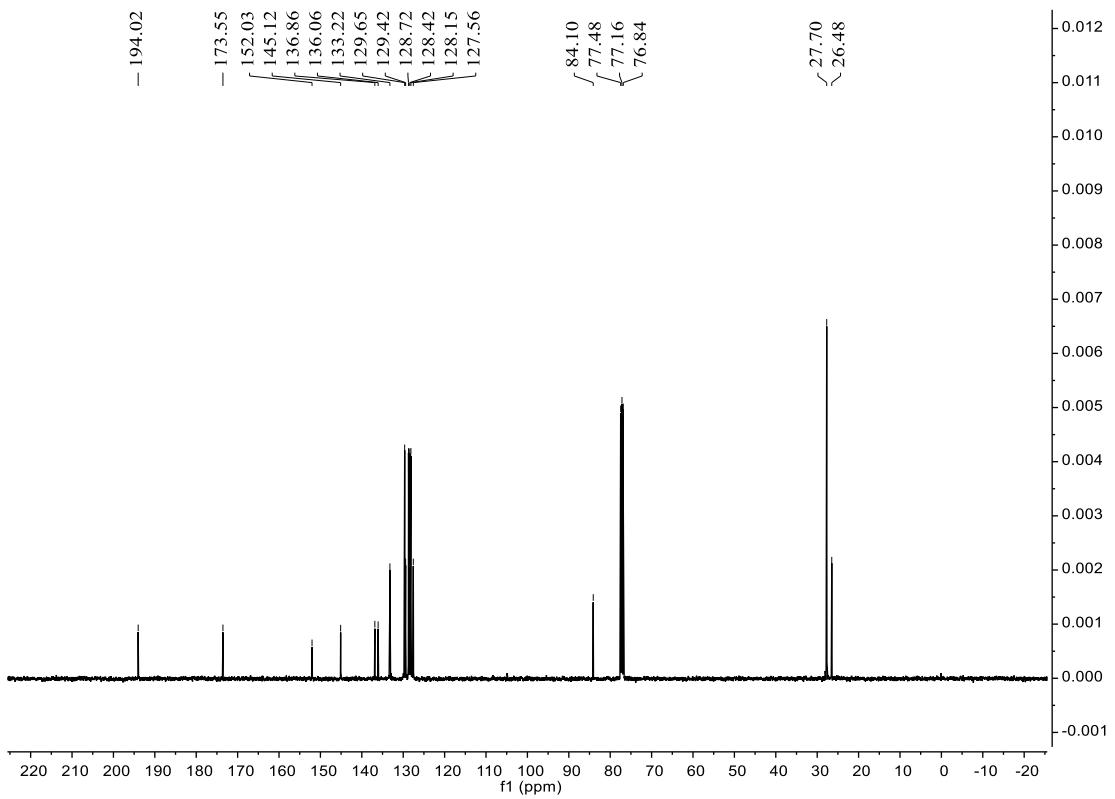
**(E)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)-N-(prop-2-yn-1-yl)acetamide (3b'a)**



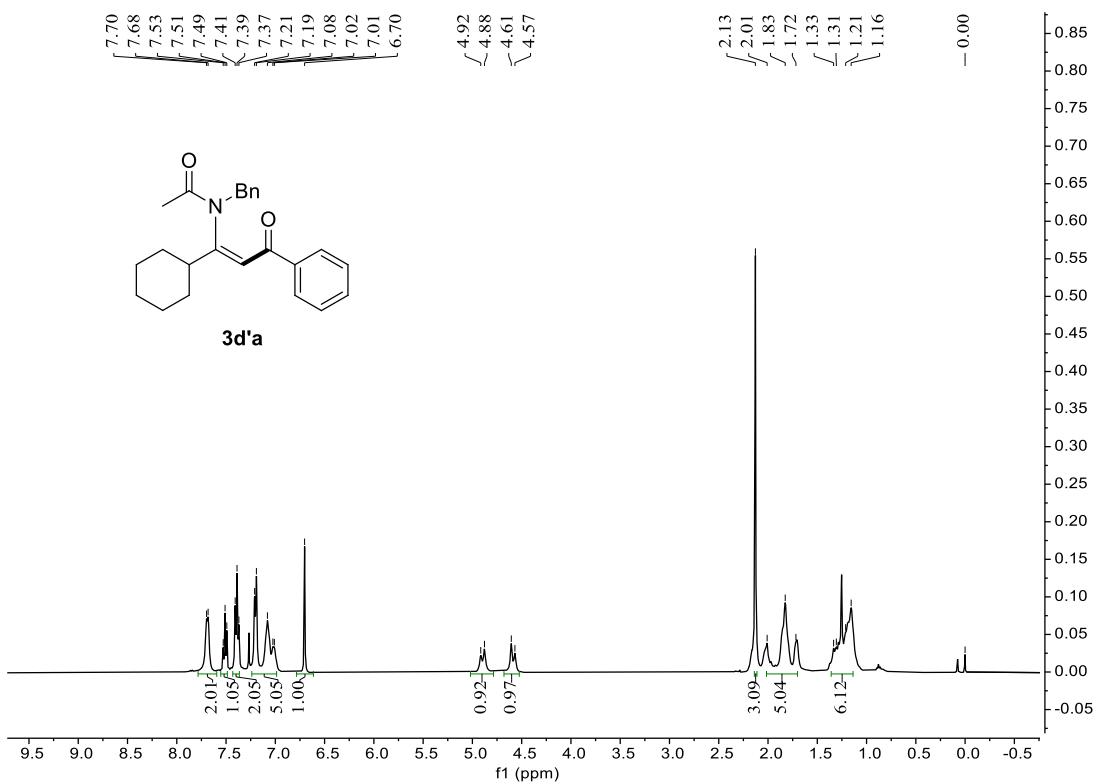


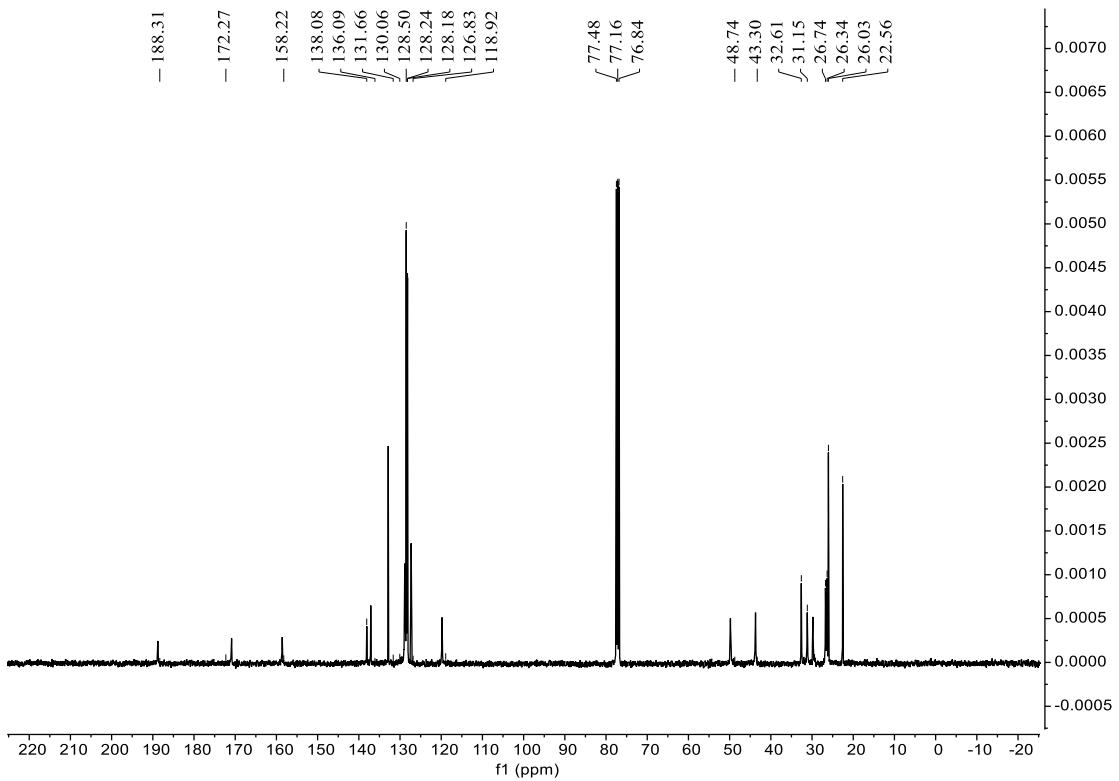
**Tert-butyl (E)-acetyl(3-oxo-1,3-diphenylprop-1-en-1-yl)carbamate (3c'a)**



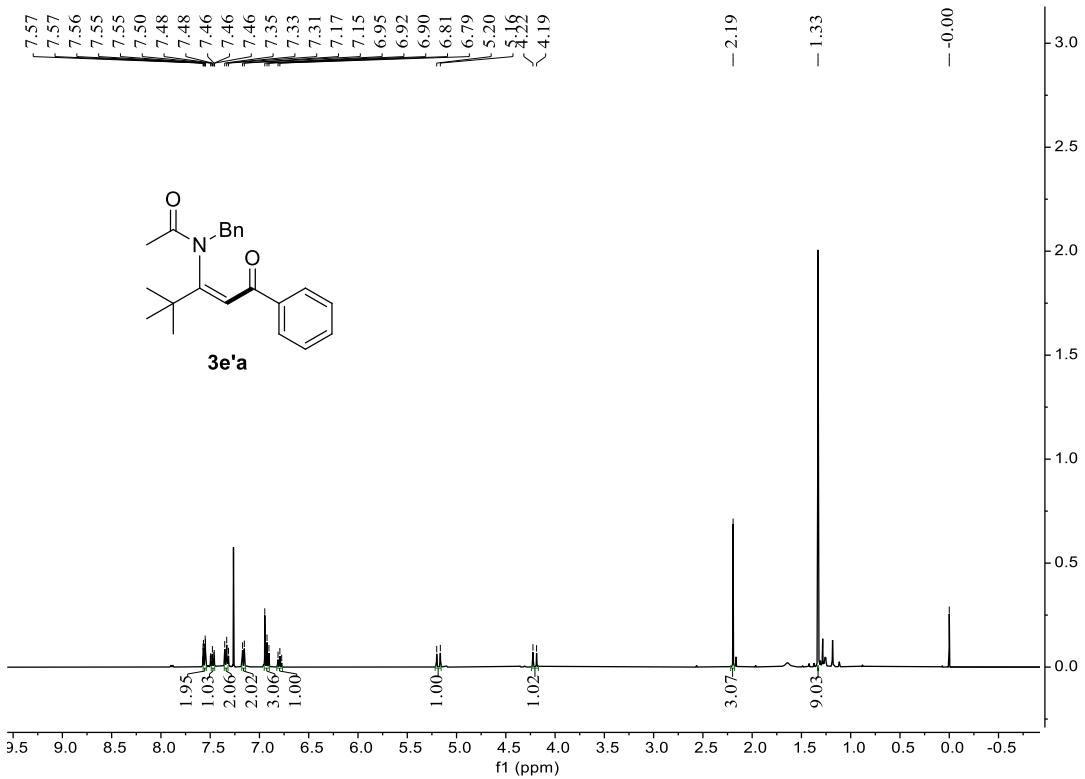


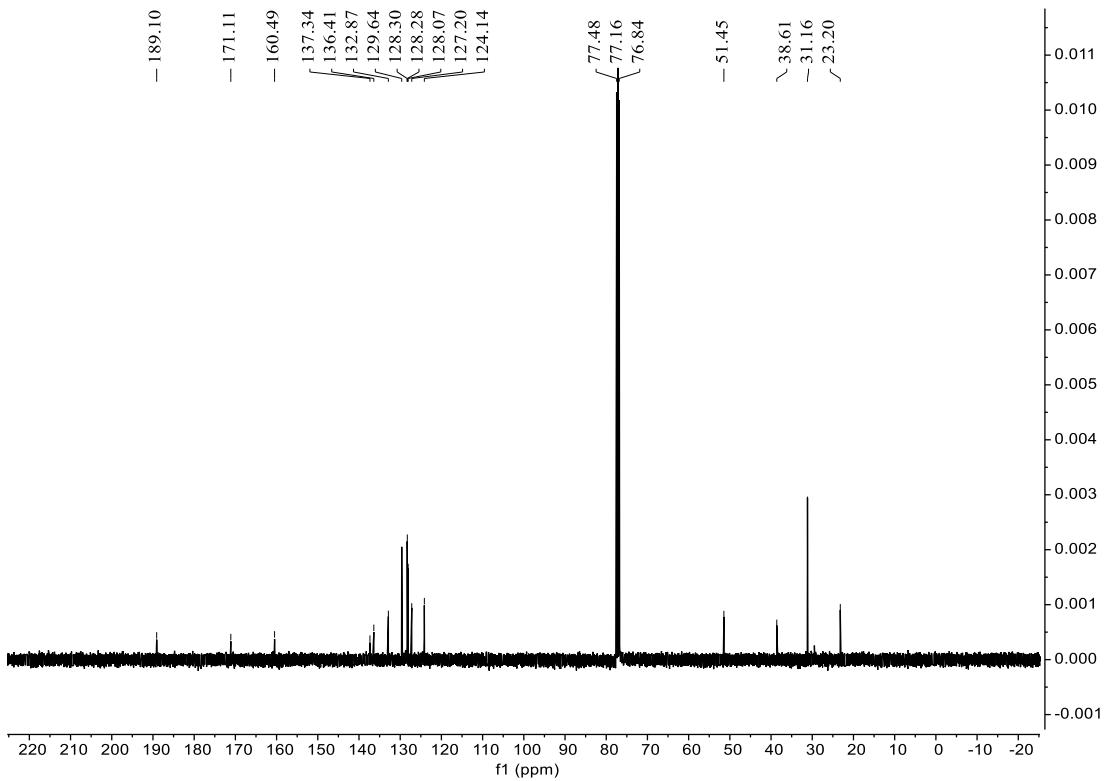
**(Z)-N-benzyl-N-(1-cyclohexyl-3-oxo-3-phenylprop-1-en-1-yl)acetamide (3d'a)**



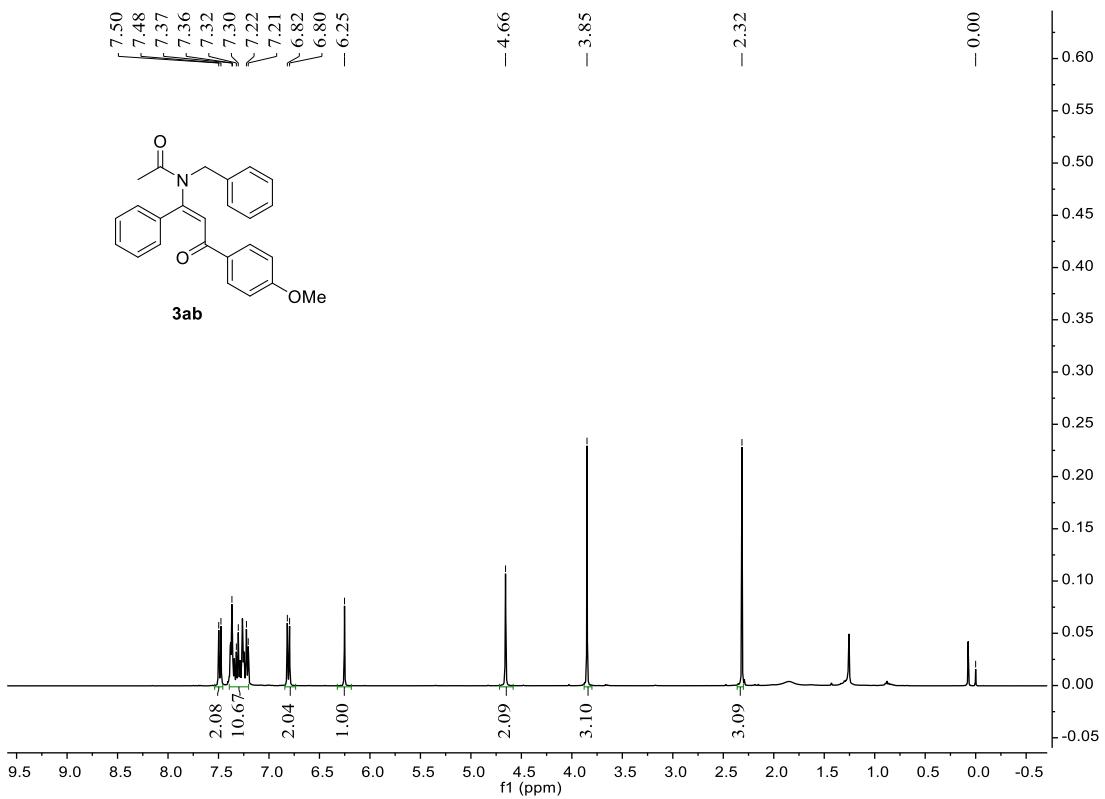


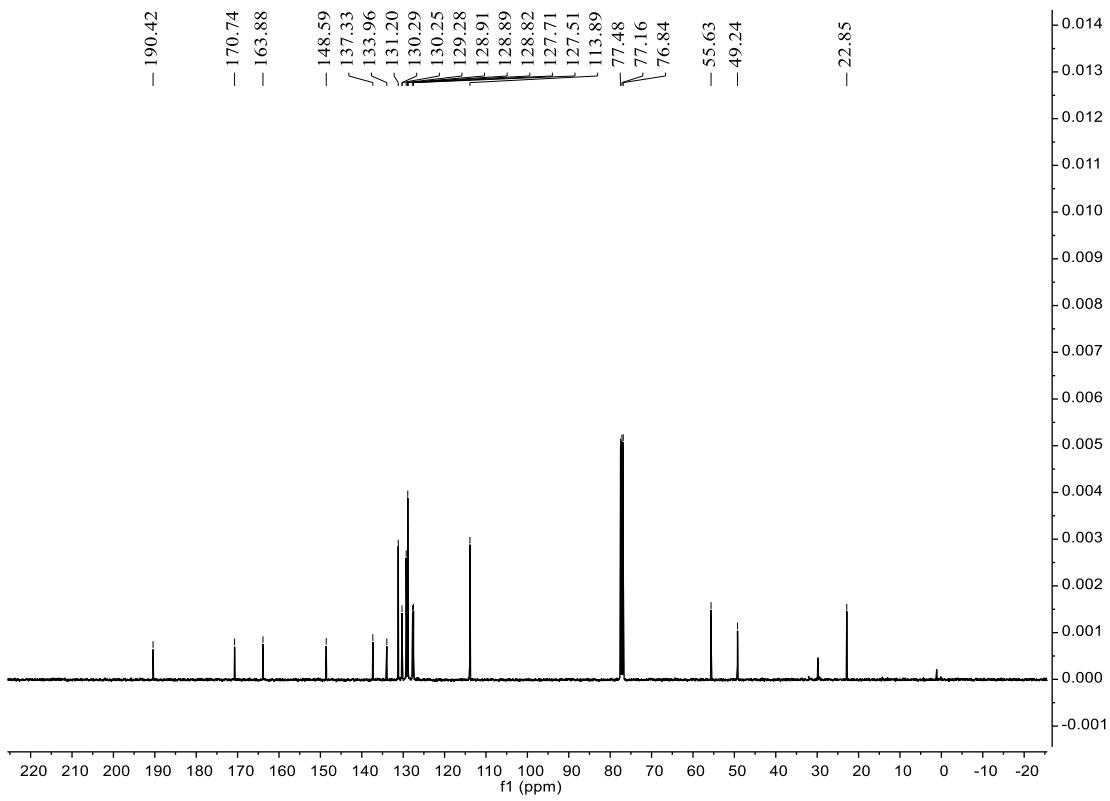
**(Z)-N-benzyl-N-(4,4-dimethyl-1-oxo-1-phenylpent-2-en-3-yl)acetamide (3e'a)**



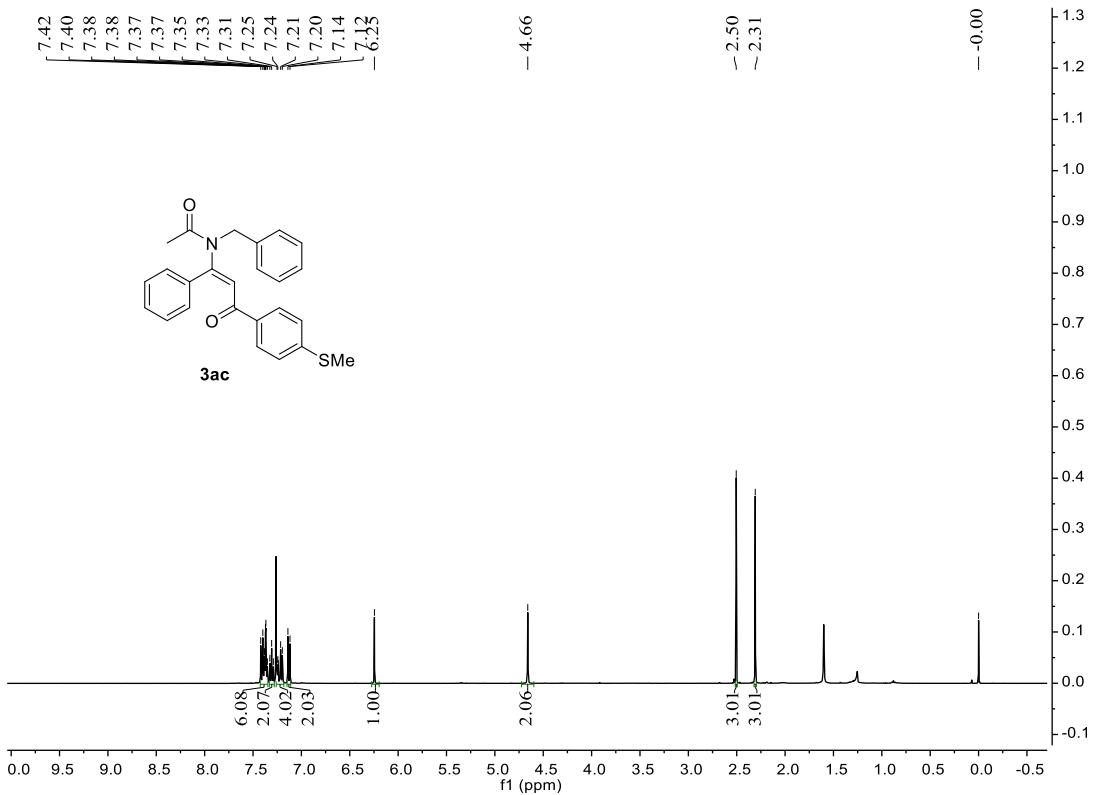


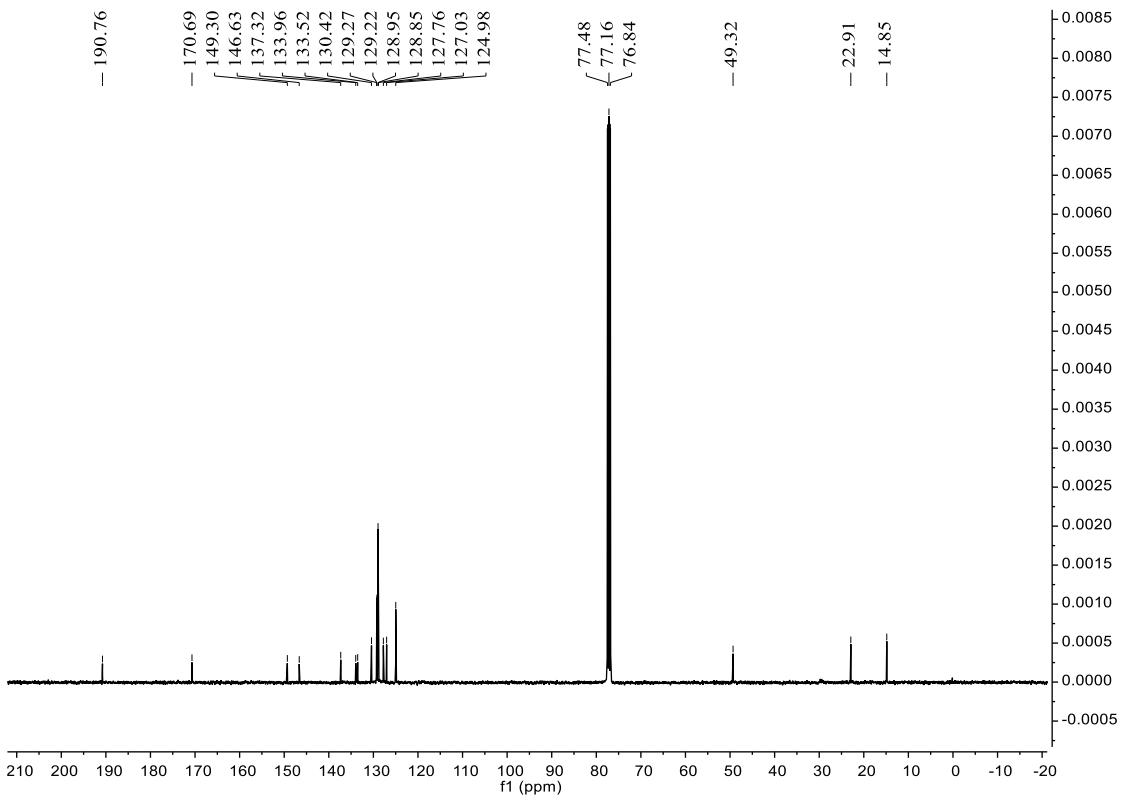
**(E)-N-benzyl-N-(3-(4-methoxyphenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide  
(3ab)**



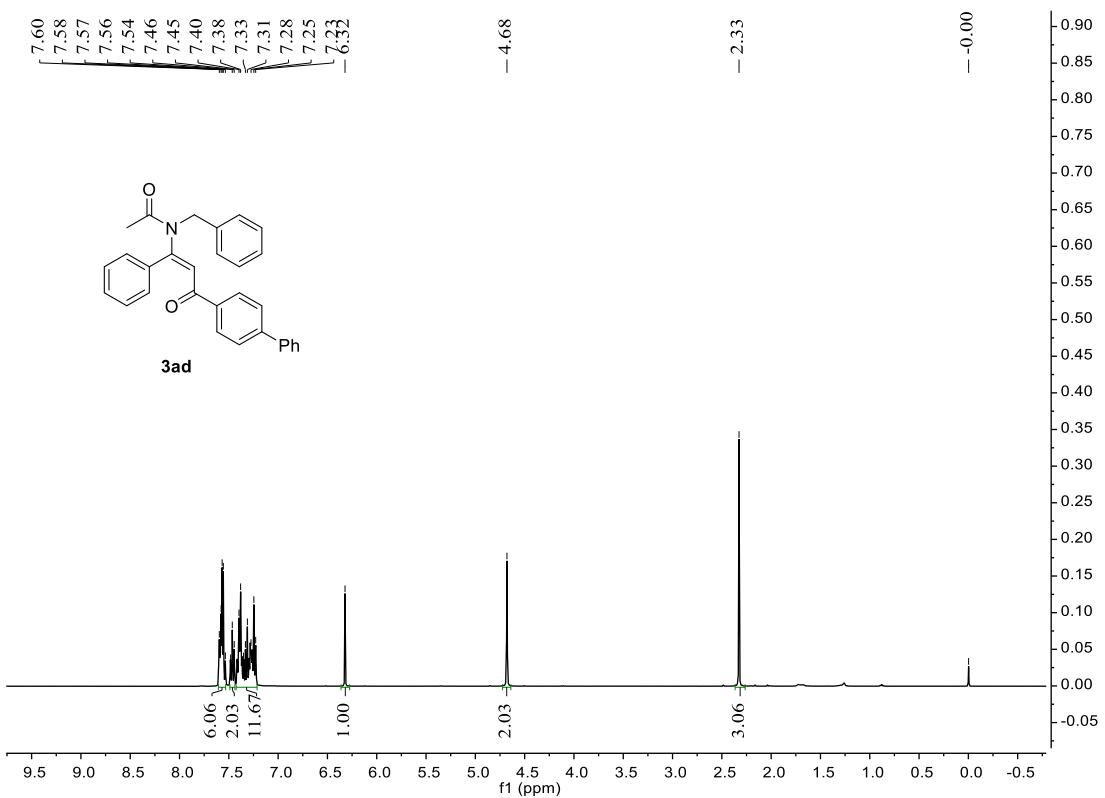


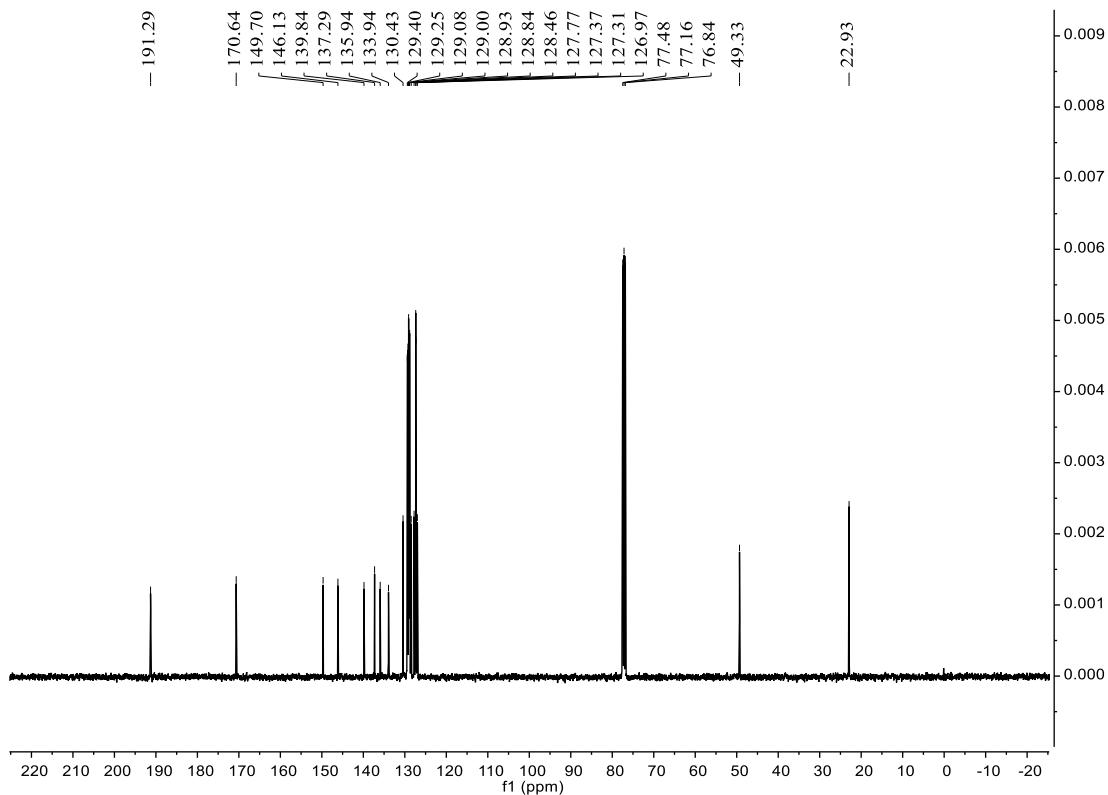
**(E)-N-benzyl-N-(3-(4-(methylthio)phenyl)-3-oxo-1-phenylprop-1-en-1-yl) acetamide (3ac)**



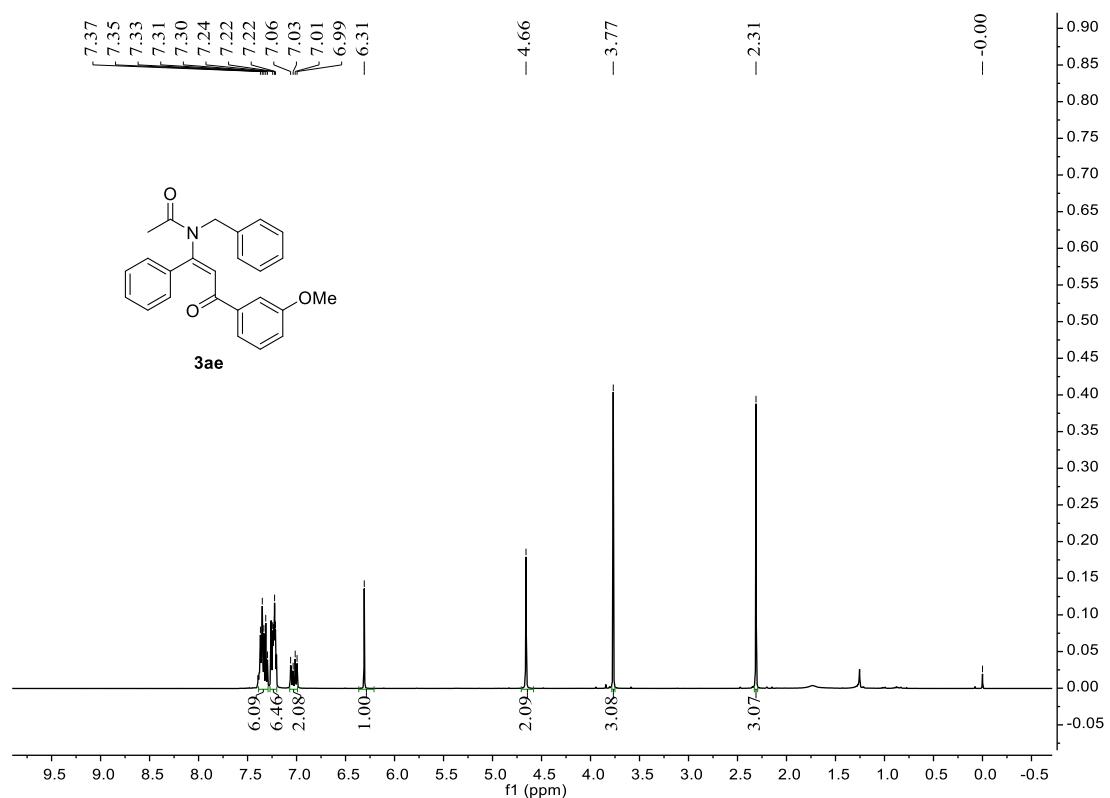


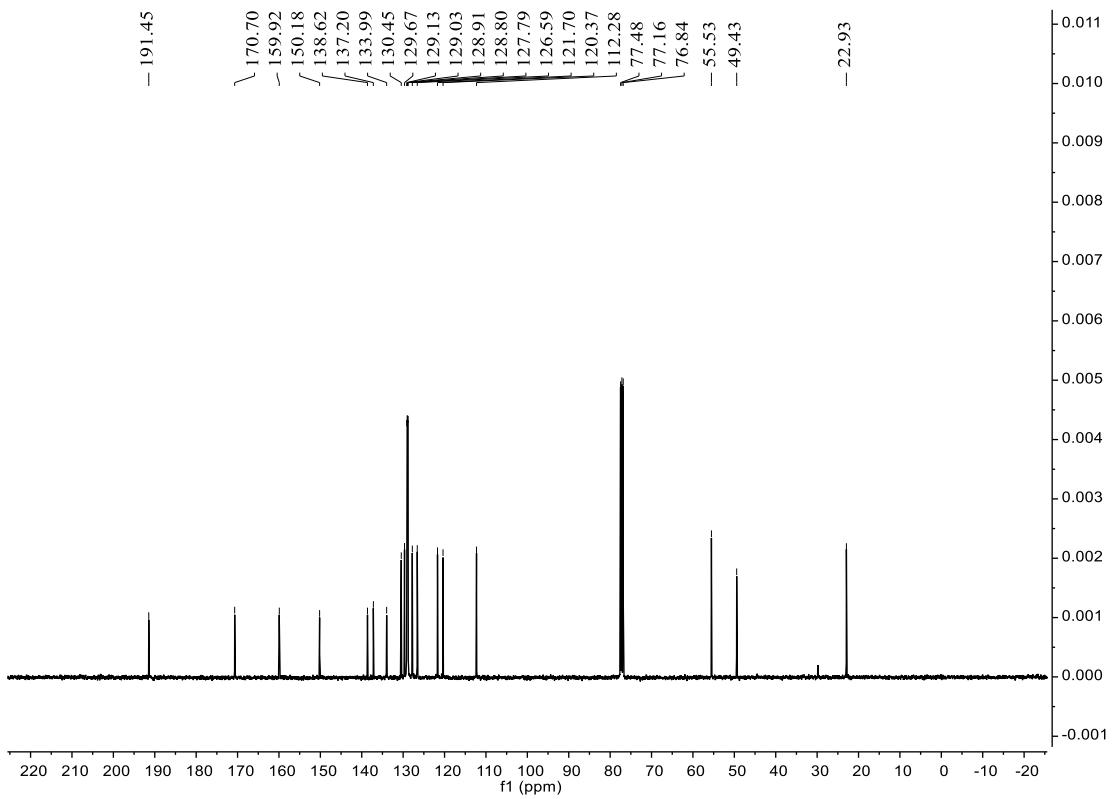
**(E)-N-(3-([1,1'-biphenyl]-4-yl)-3-oxo-1-phenylprop-1-en-1-yl)-N-benzylacetamide  
(3ad)**



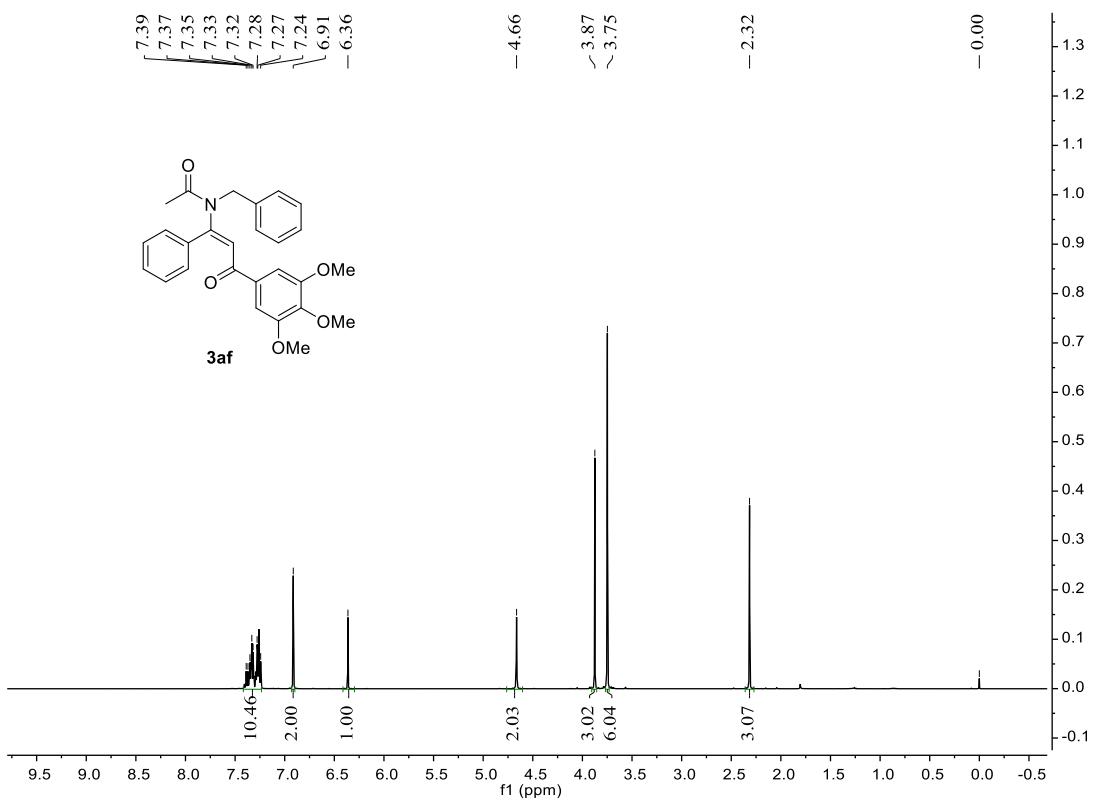


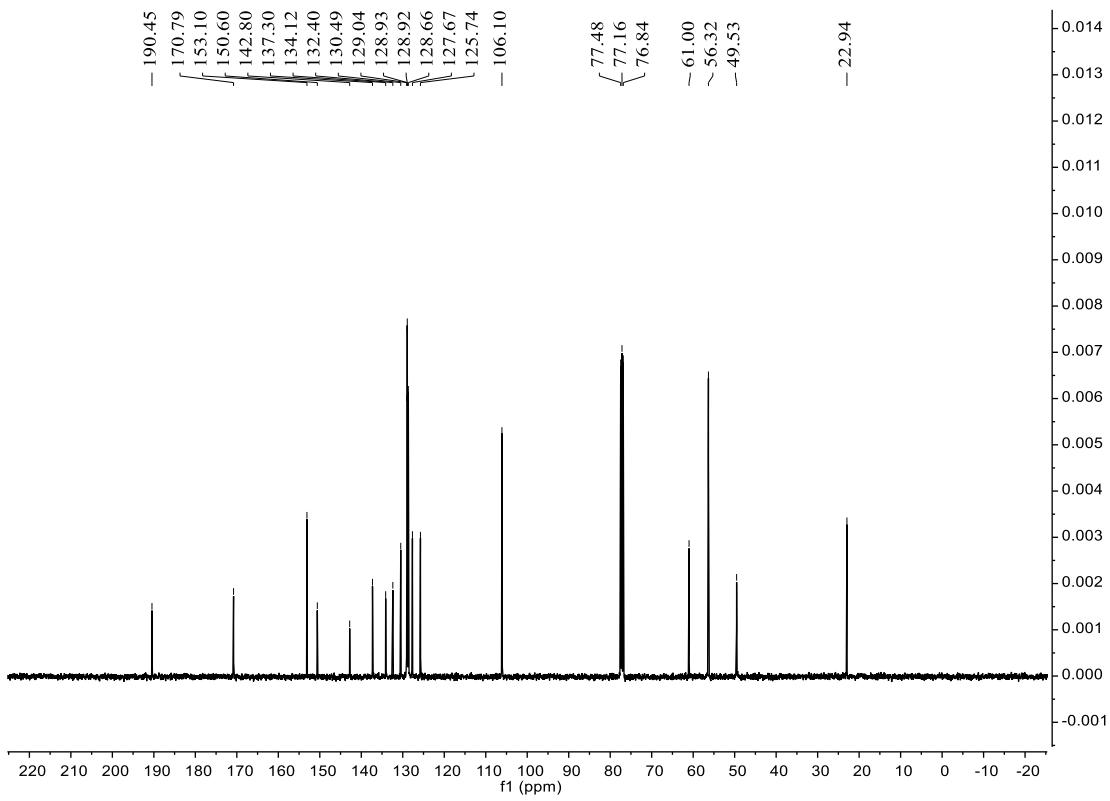
**(E)-N-benzyl-N-(3-(3-methoxyphenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide  
(3ae)**



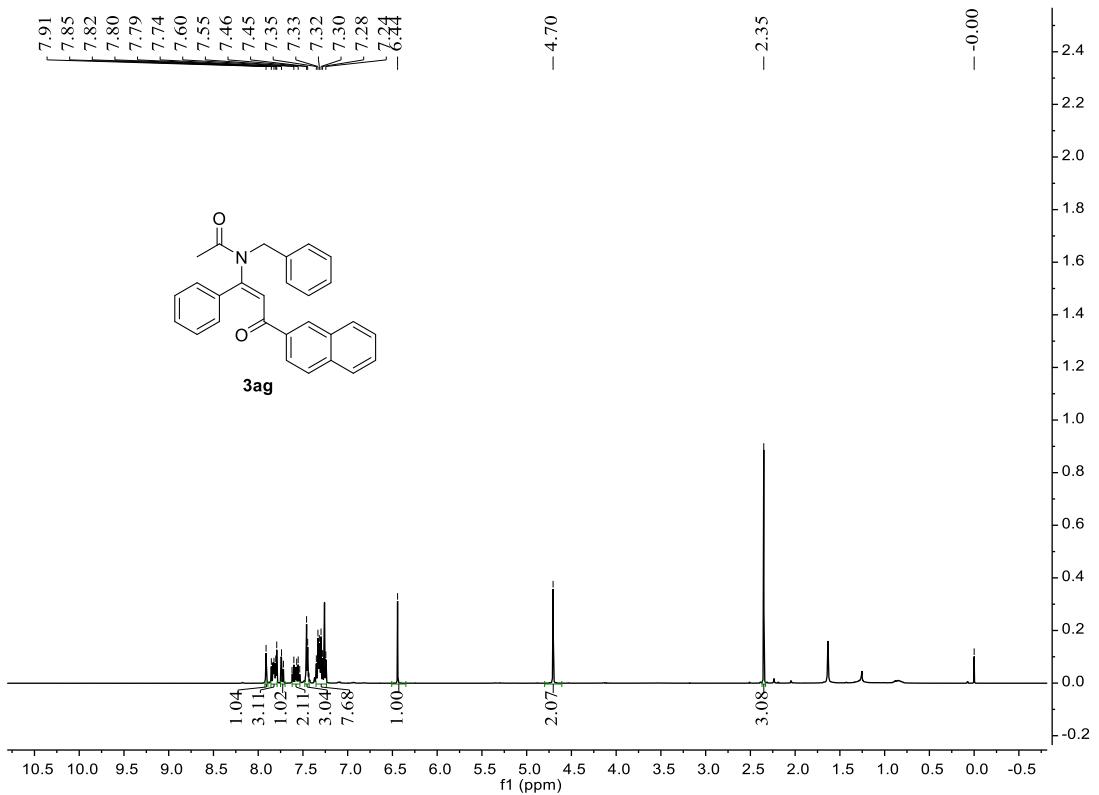


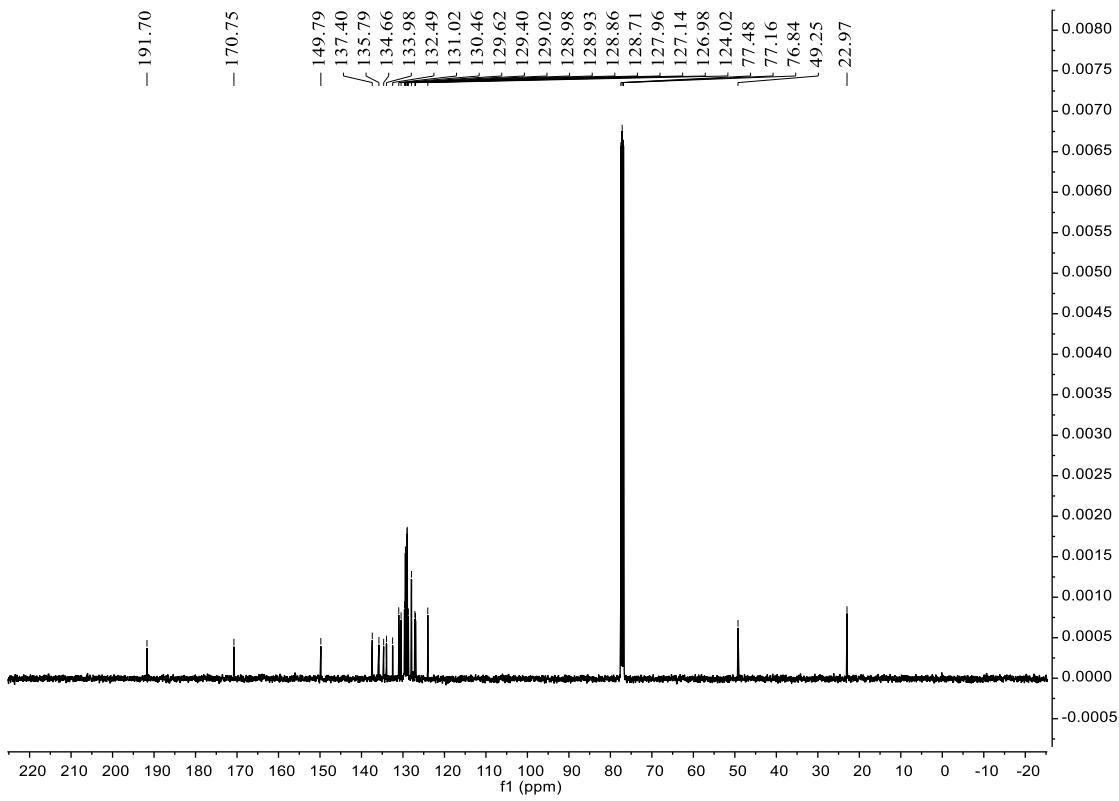
**(E)-N-benzyl-N-(3-oxo-1-phenyl-3-(3,4,5-trimethoxyphenyl)prop-1-en-1-yl)acetamide (3af)**



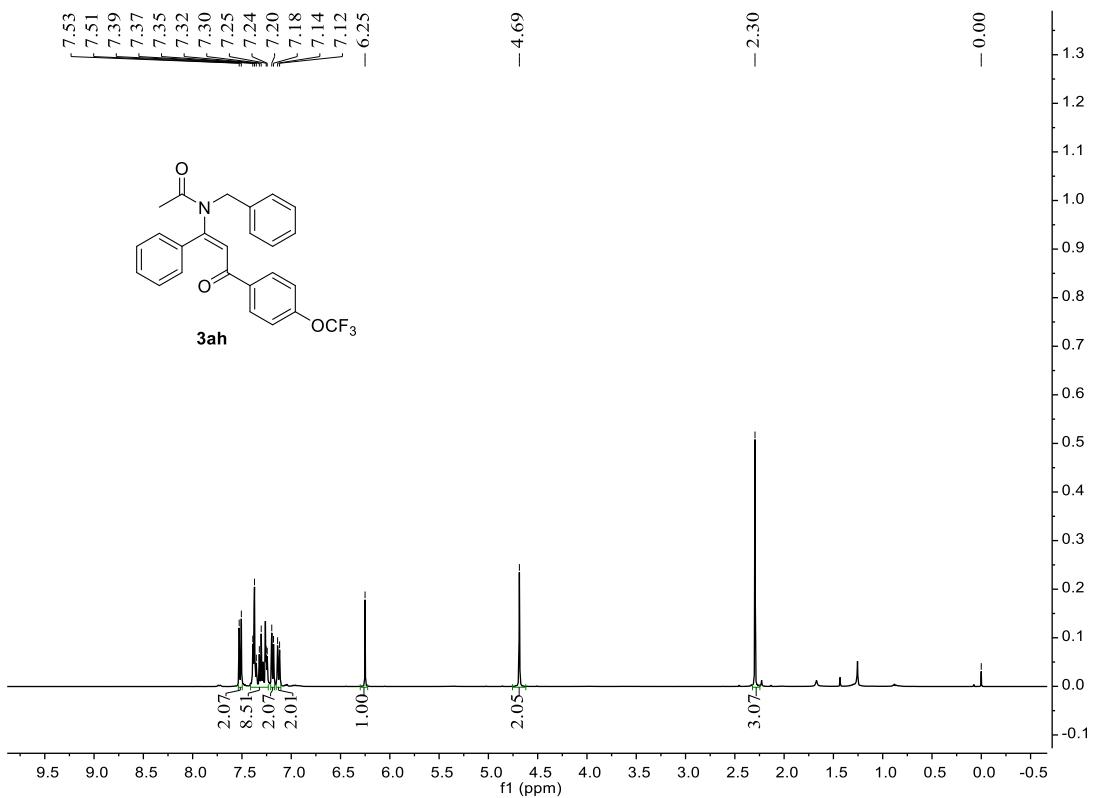


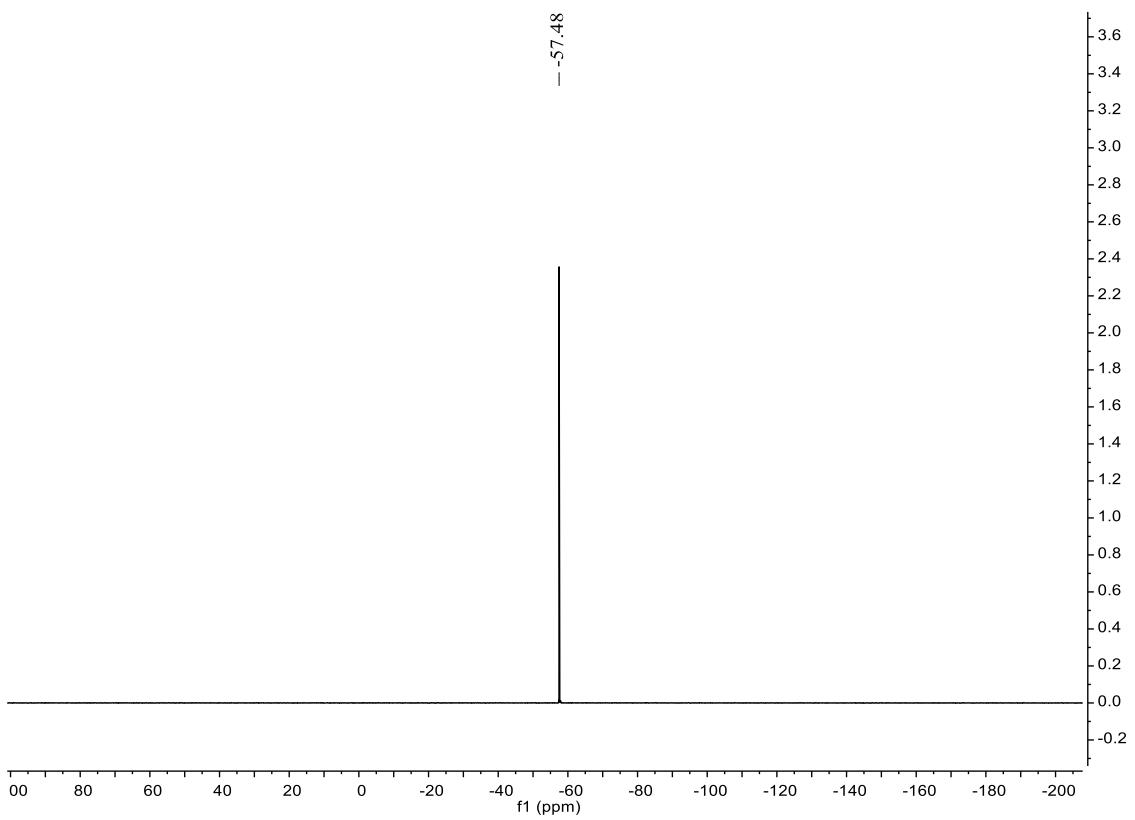
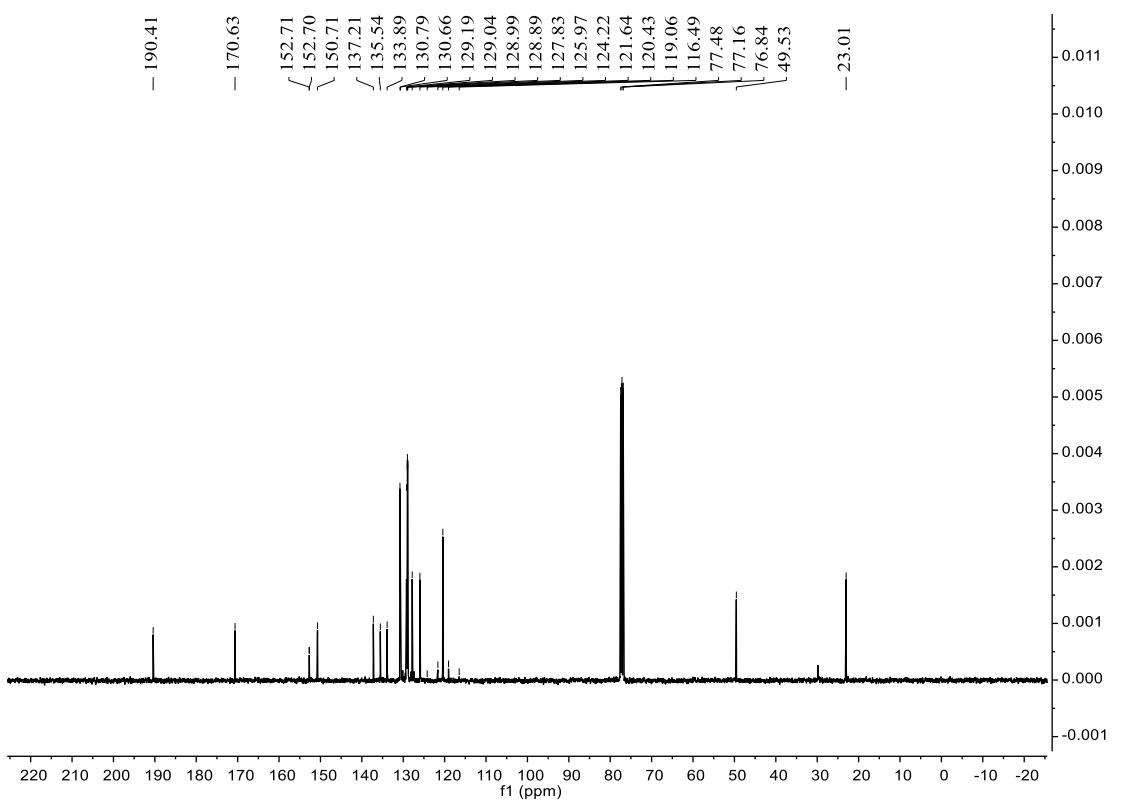
**(E)-N-benzyl-N-(3-(naphthalen-2-yl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide  
(3ag)**



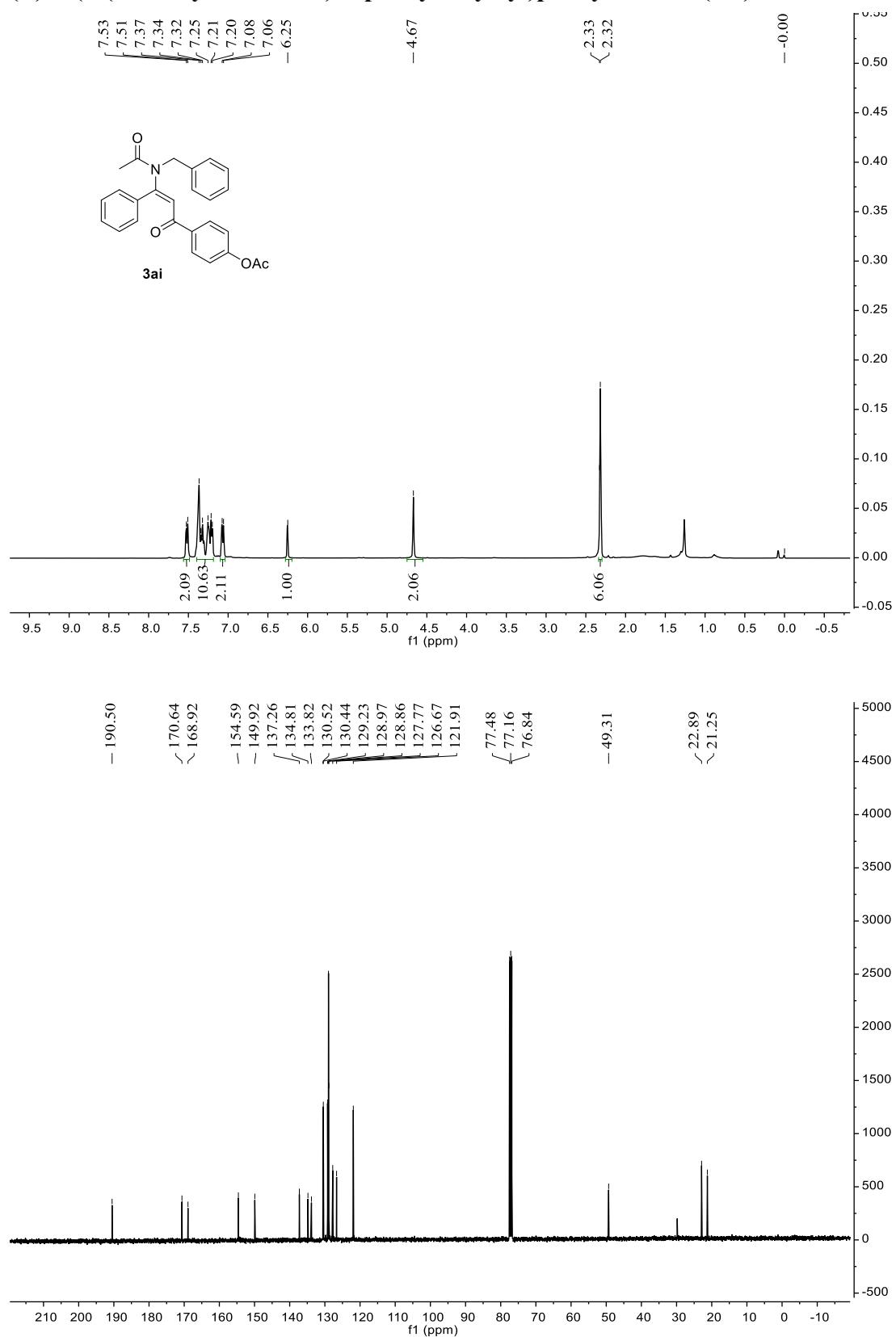


**(E)-N-benzyl-N-(3-oxo-1-phenyl-3-(4-(trifluoromethoxy)phenyl)prop-1-en-1-yl)acetamide (3ah)**



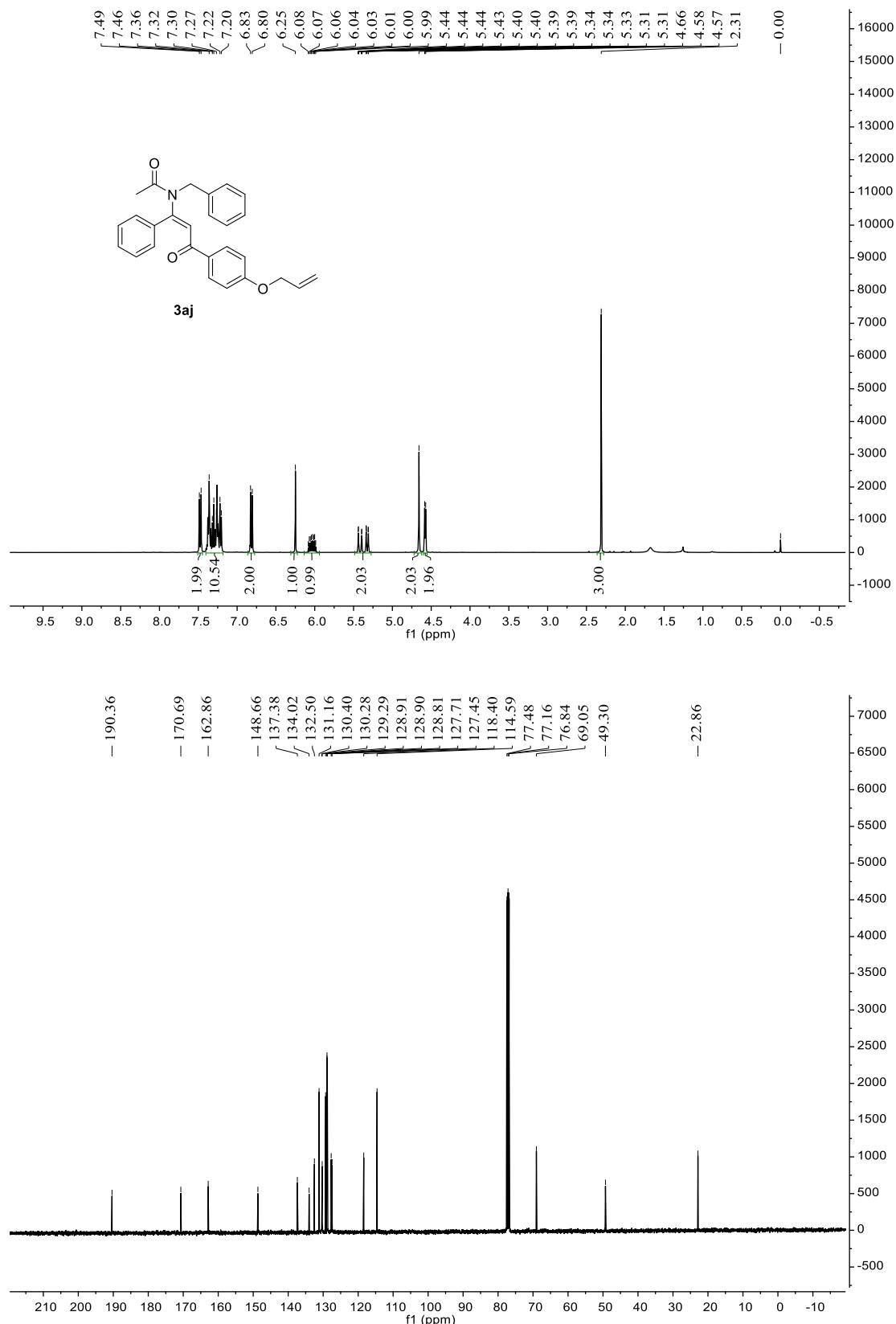


**(E)-4-(3-(N-benzylacetamido)-3-phenylacryloyl)phenyl acetate (3ai)**



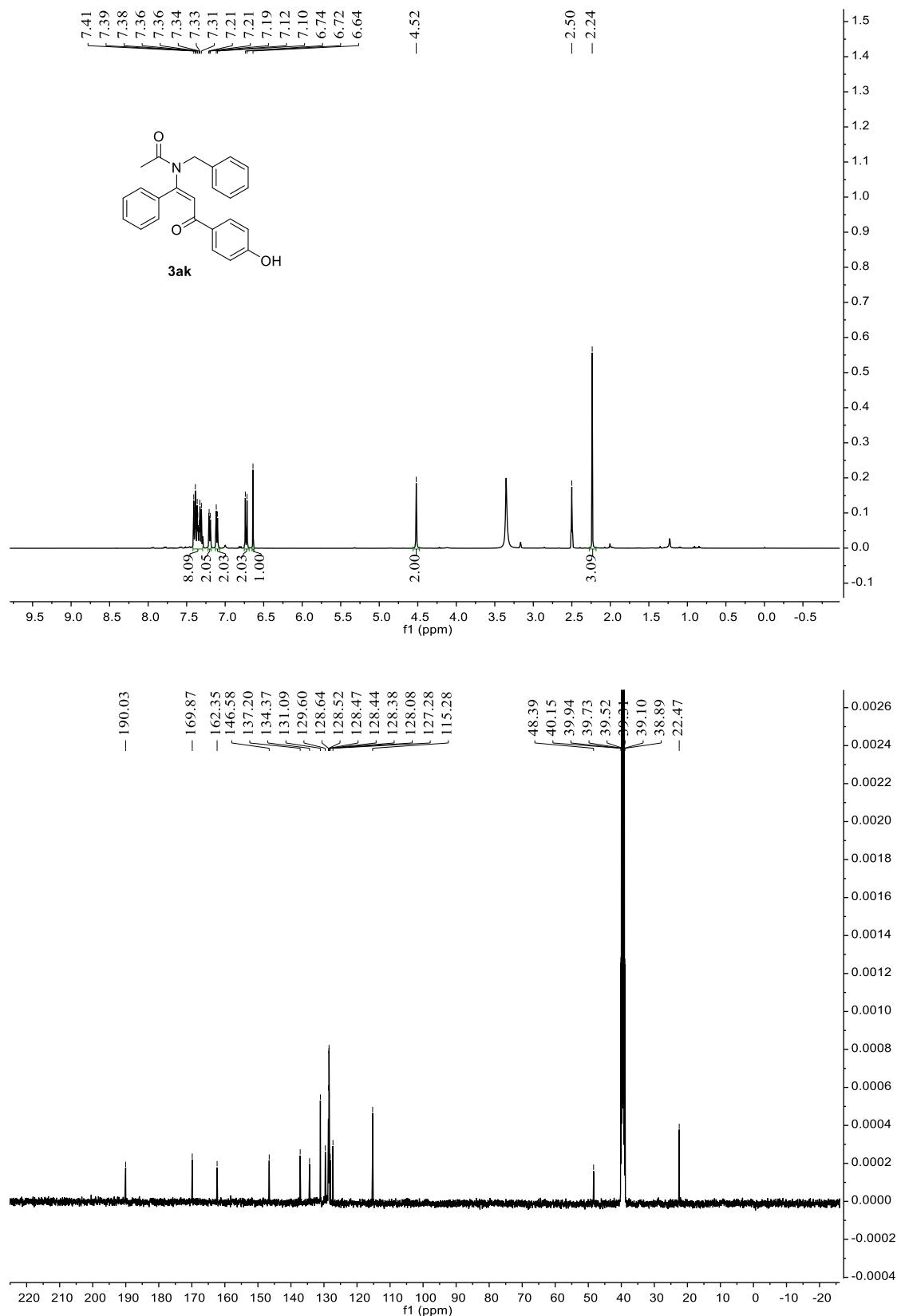
**(E)-N-(3-(4-(allyloxy)phenyl)-3-oxo-1-phenylprop-1-en-1-yl)-N-benzylacetamide**

**(3aj)**

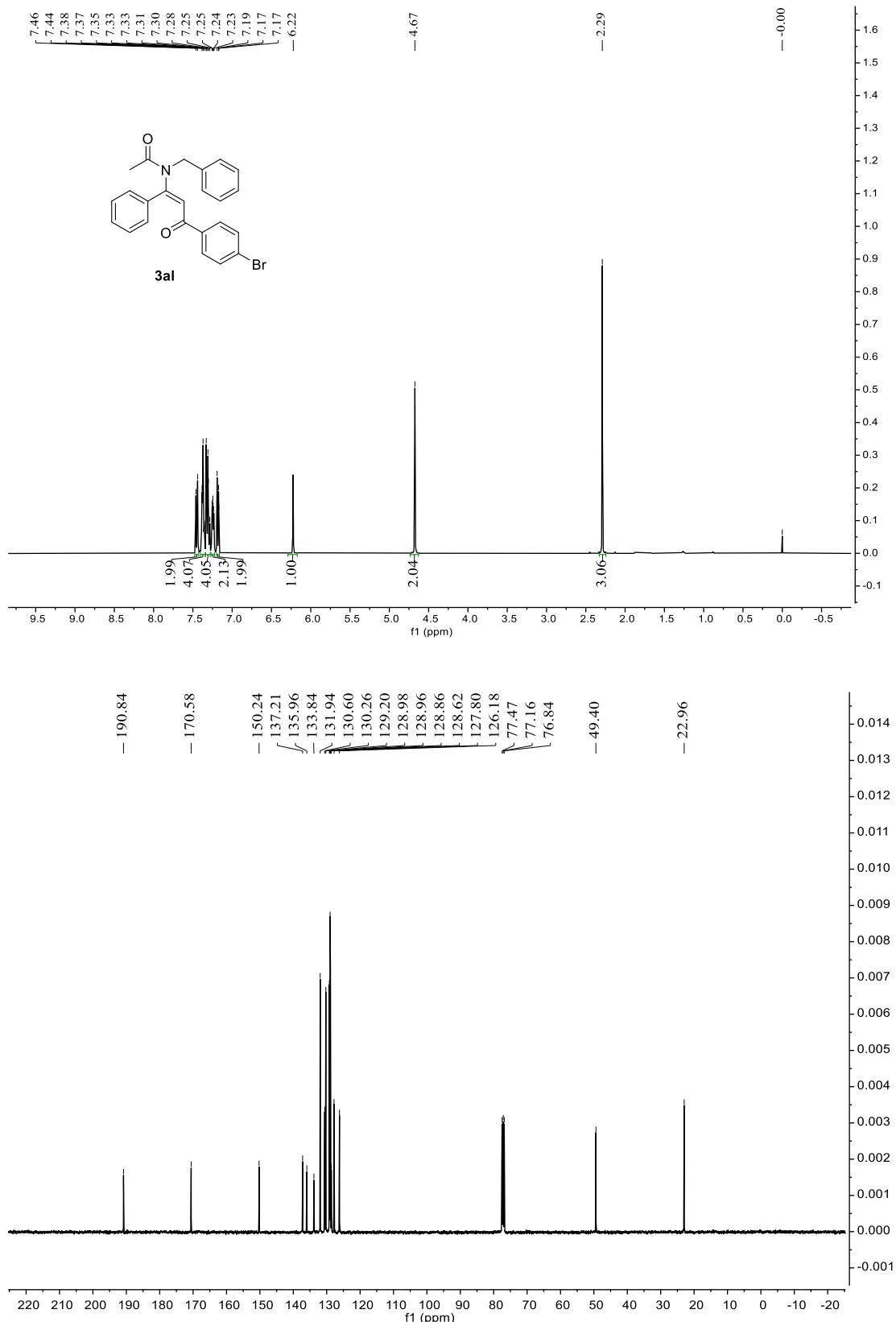


**(E)-N-benzyl-N-(3-(4-hydroxyphenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

**(3ak)**

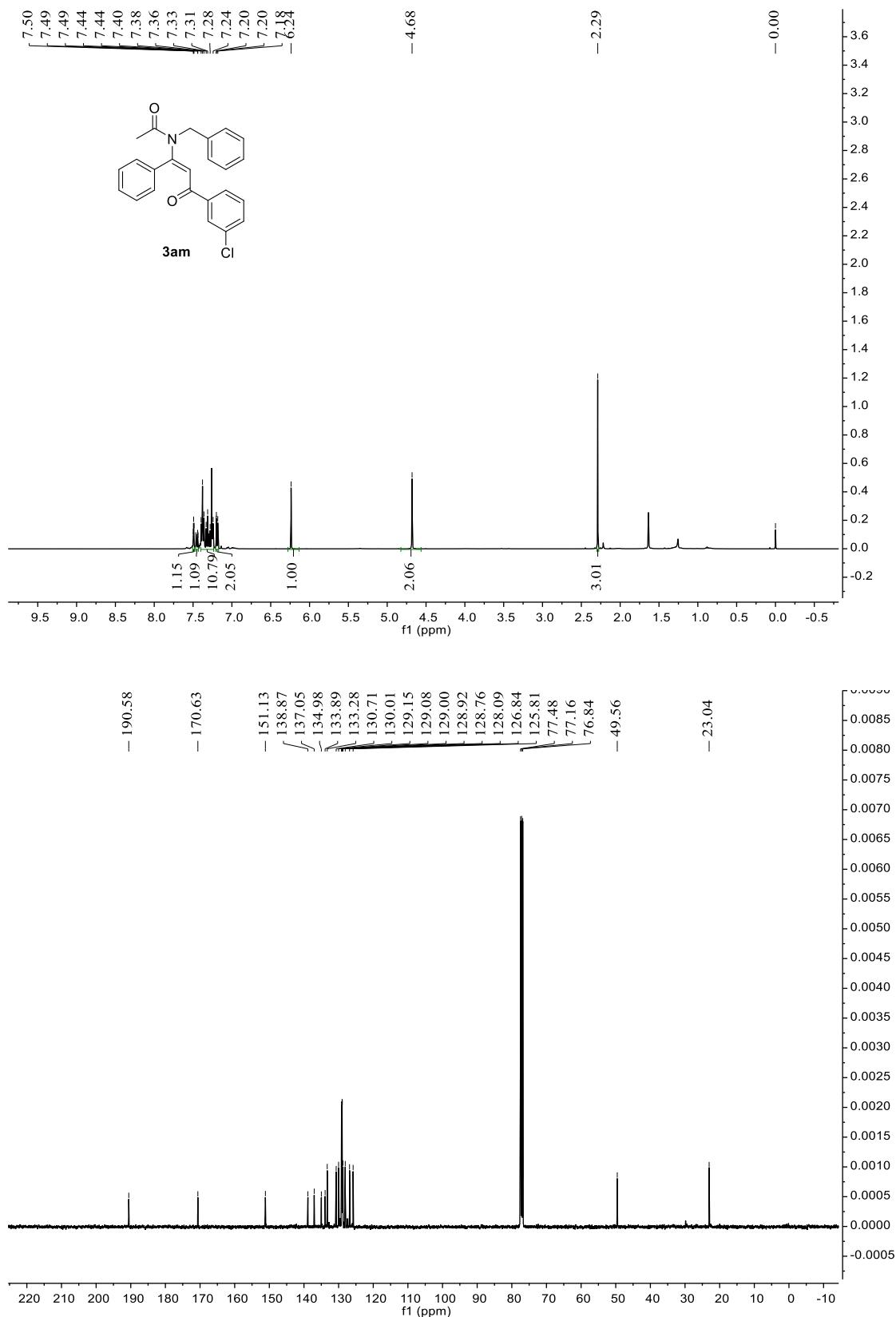


**(E)-N-benzyl-N-(3-(4-bromophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide (3al)**



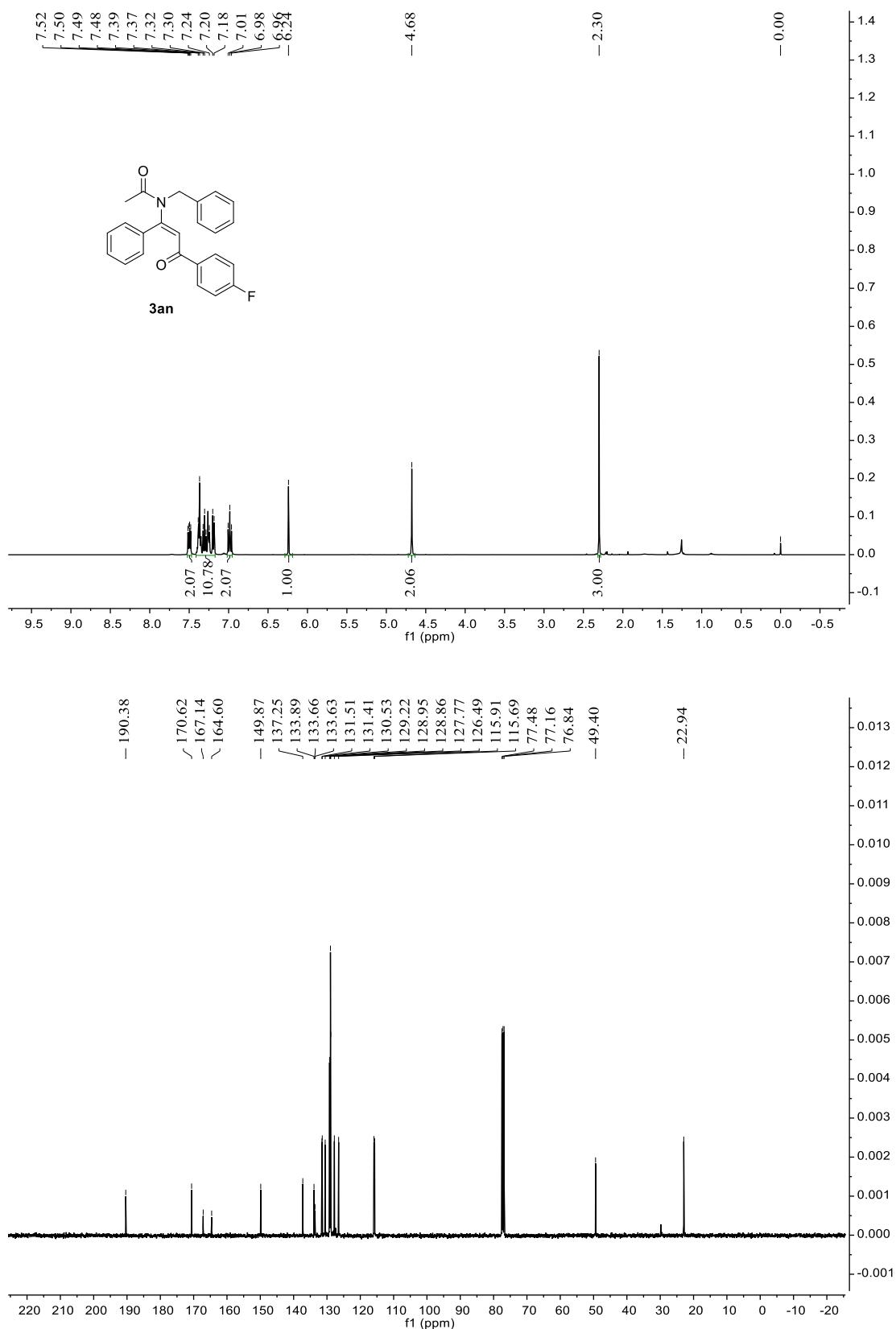
**(E)-N-benzyl-N-(3-(3-chlorophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

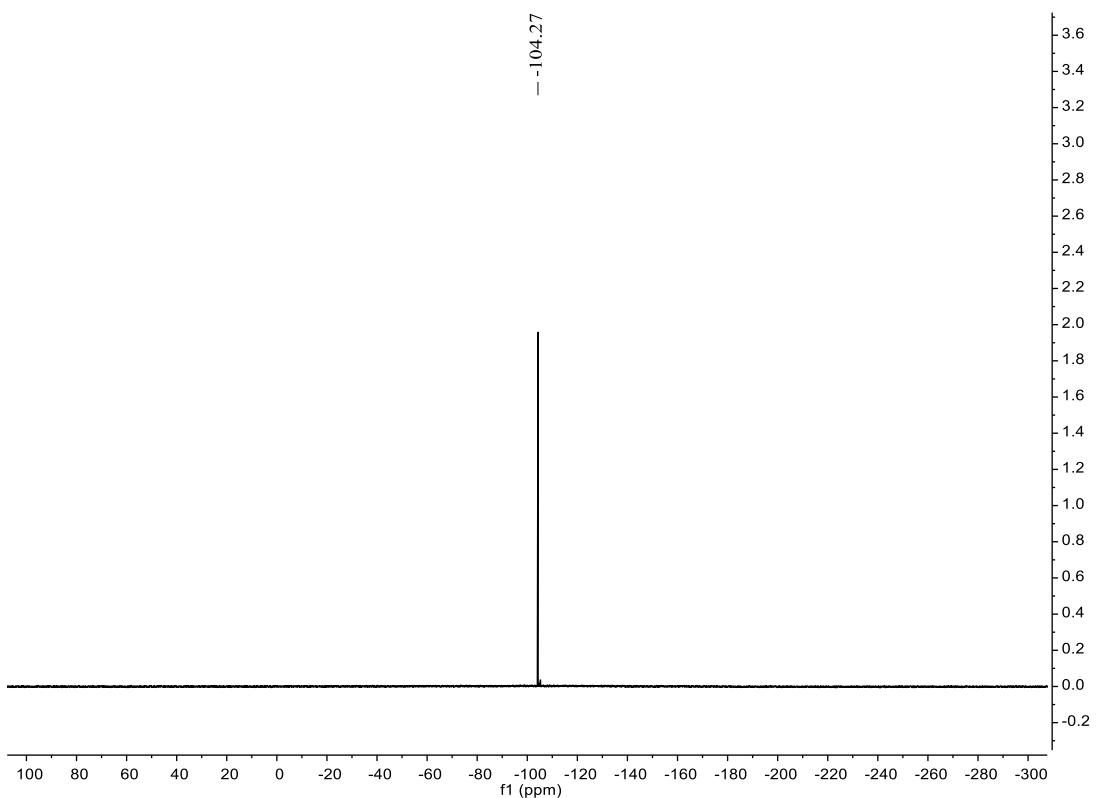
**(3am)**



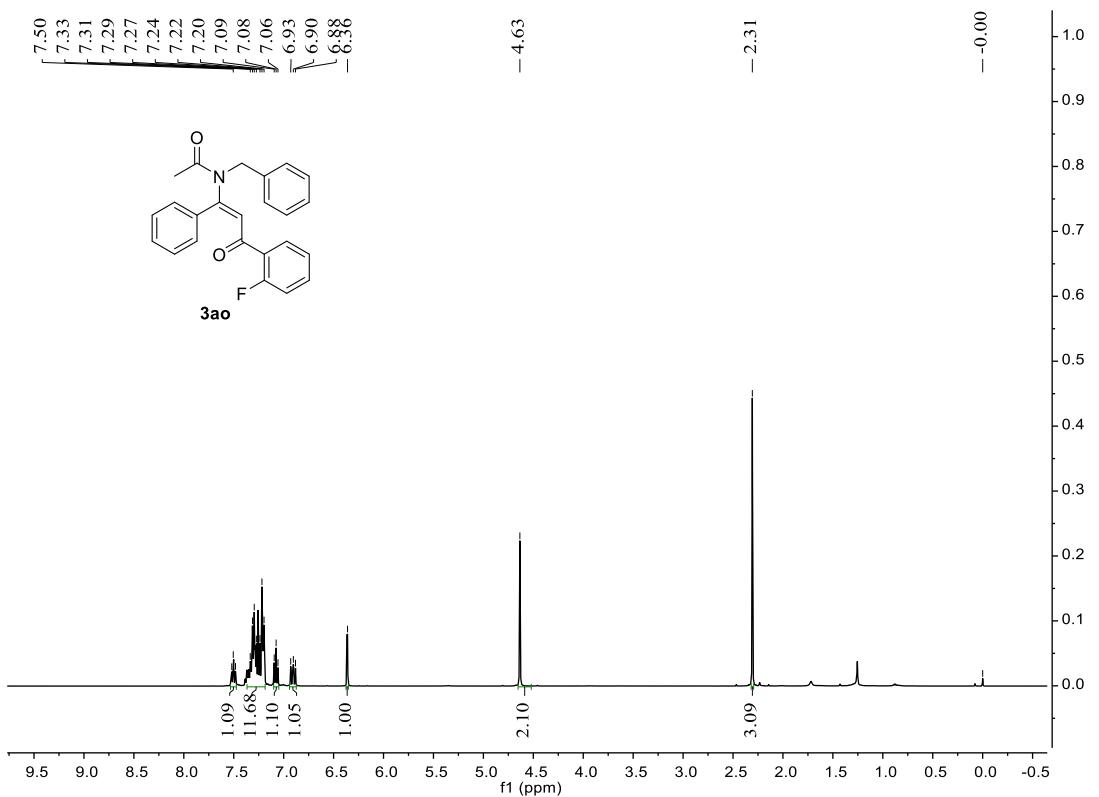
**(E)-N-benzyl-N-(3-(4-fluorophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide**

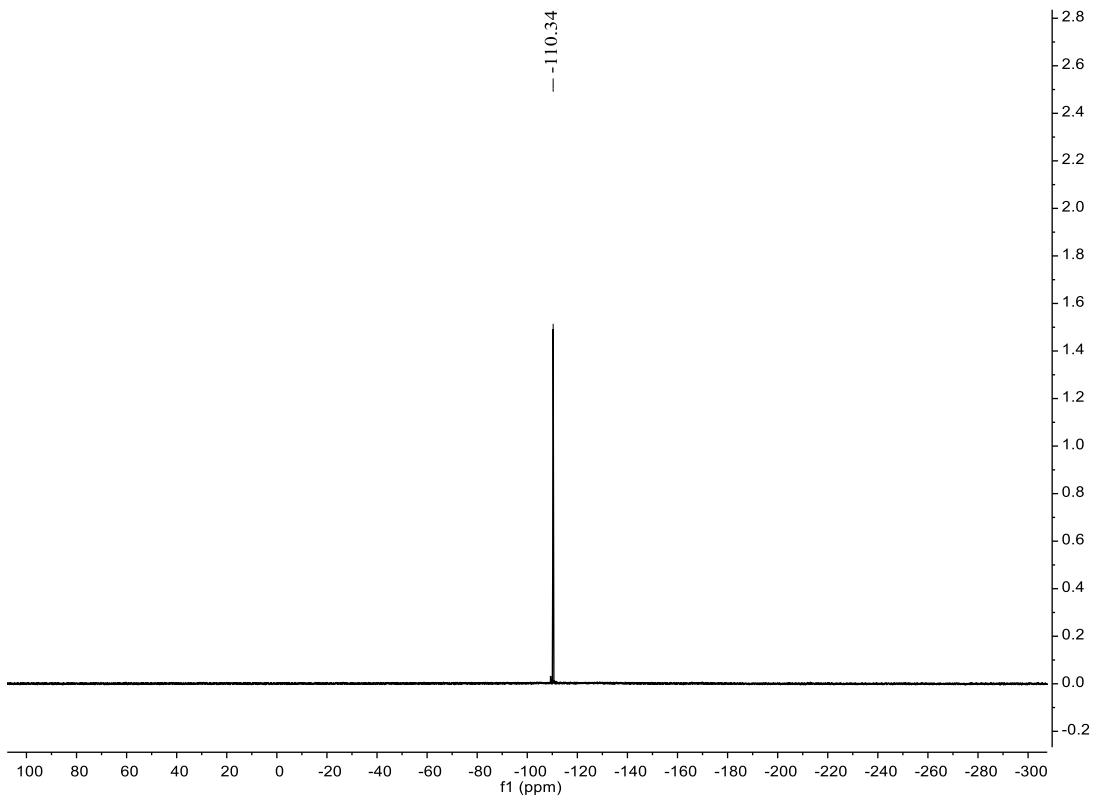
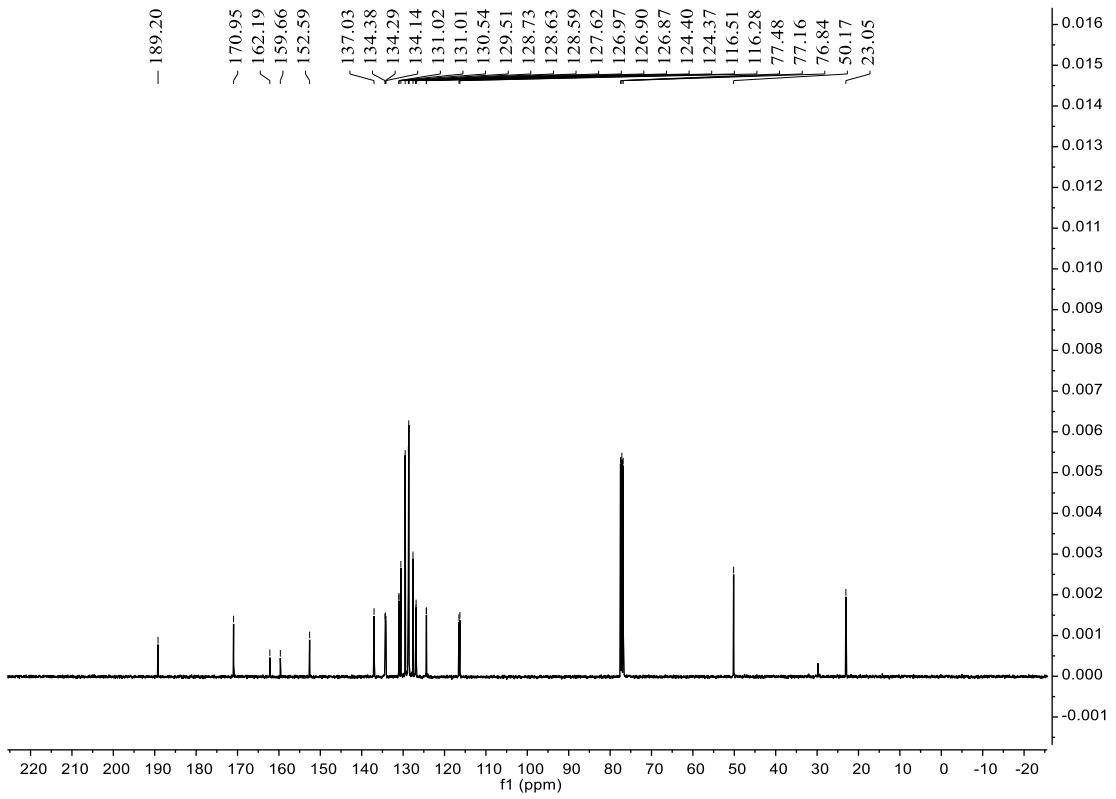
**(3an)**



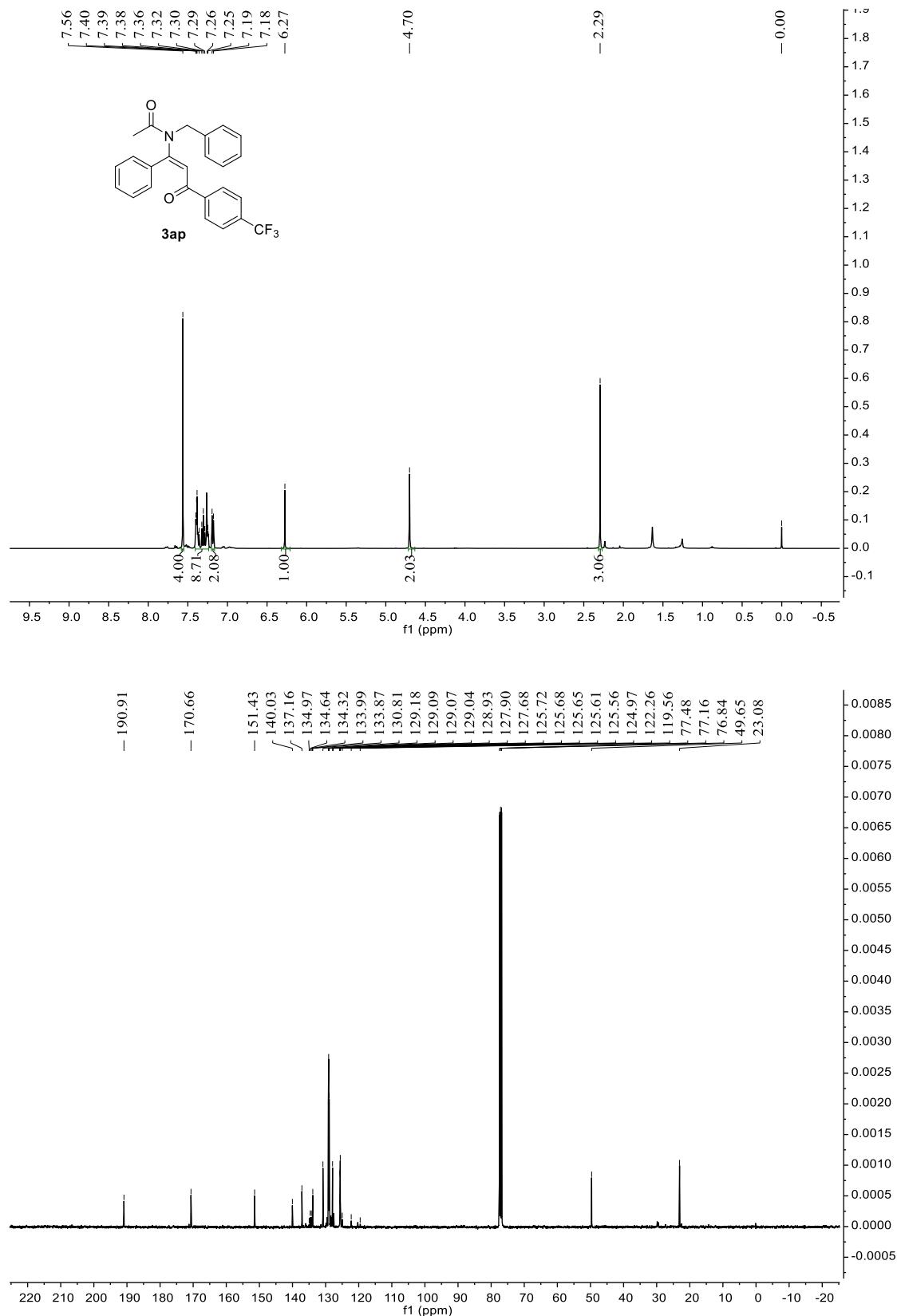


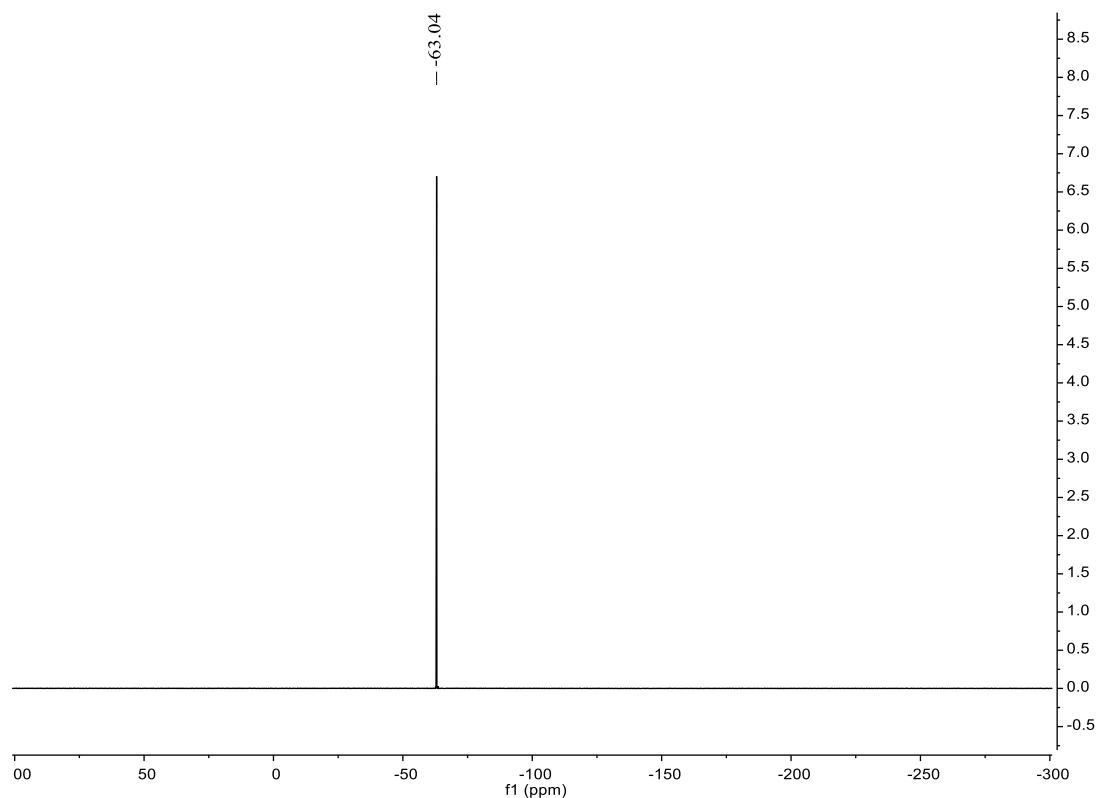
**(E)-N-benzyl-N-(3-(2-fluorophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide (3ao)**



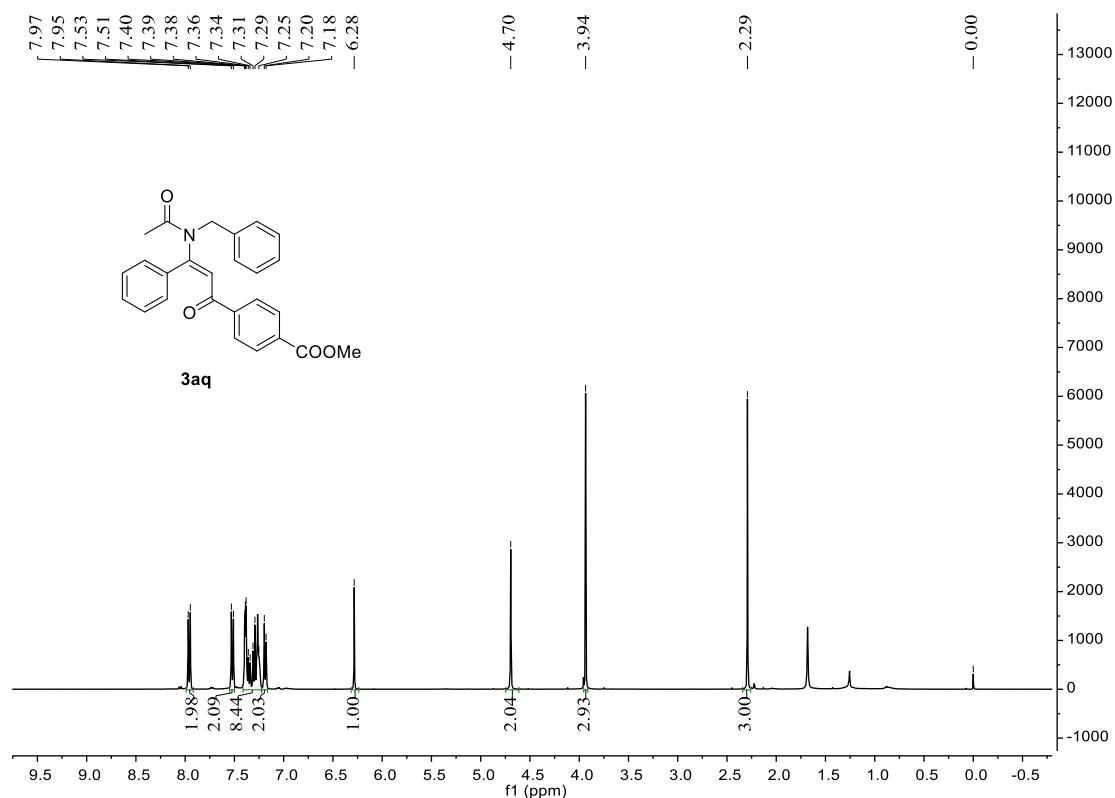


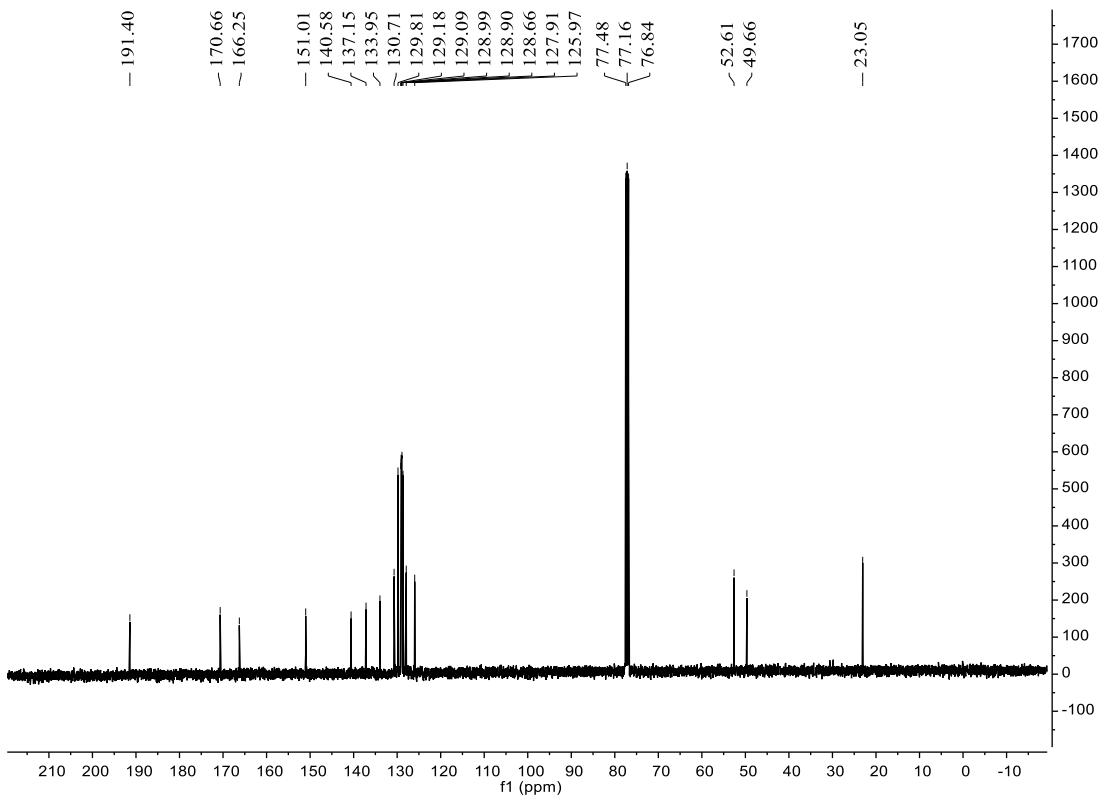
**(E)-N-benzyl-N-(3-oxo-1-phenyl-3-(4-(trifluoromethyl)phenyl)prop-1-en-1-yl)acetamide (3ap)**



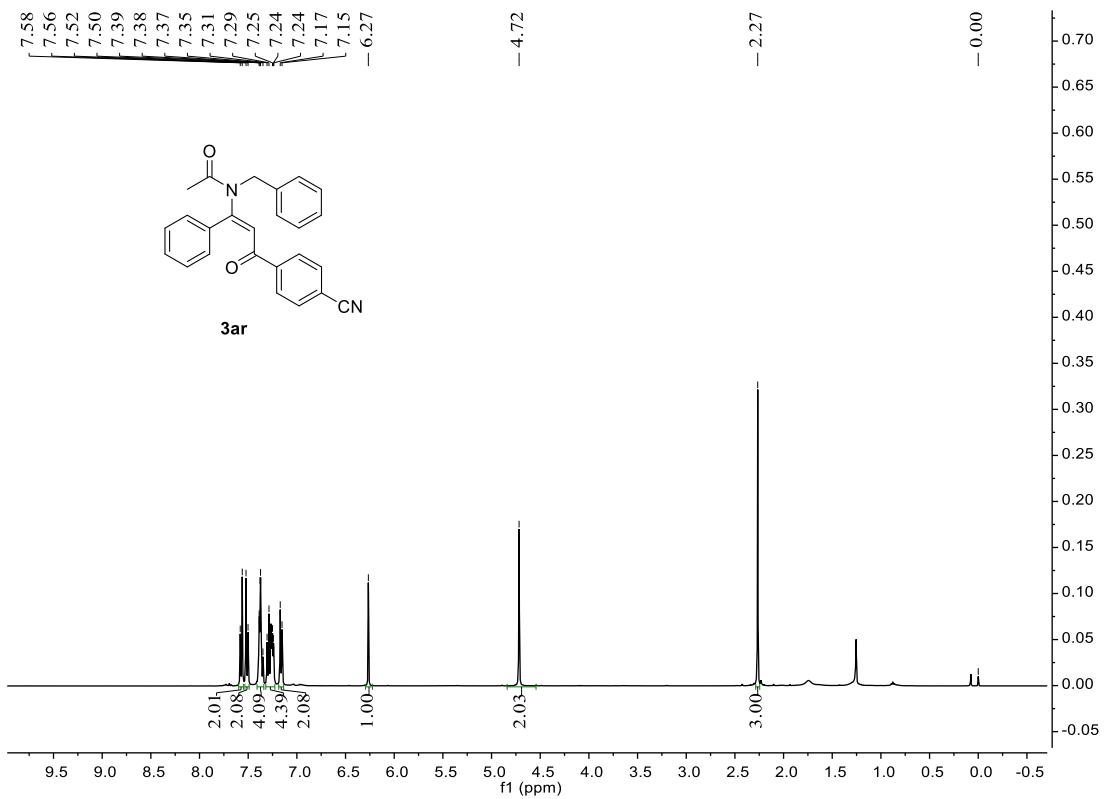


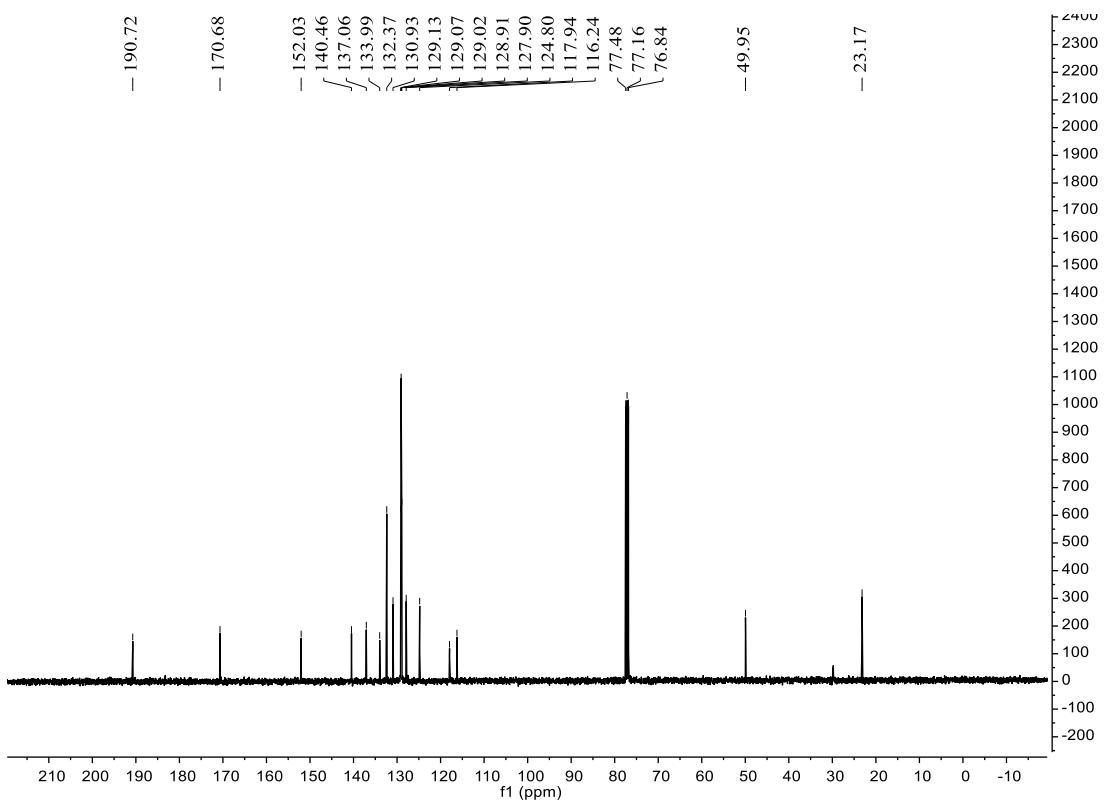
**Methyl (E)-4-(3-(*N*-benzylacetamido)-3-phenylacryloyl)benzoate (3aq)**



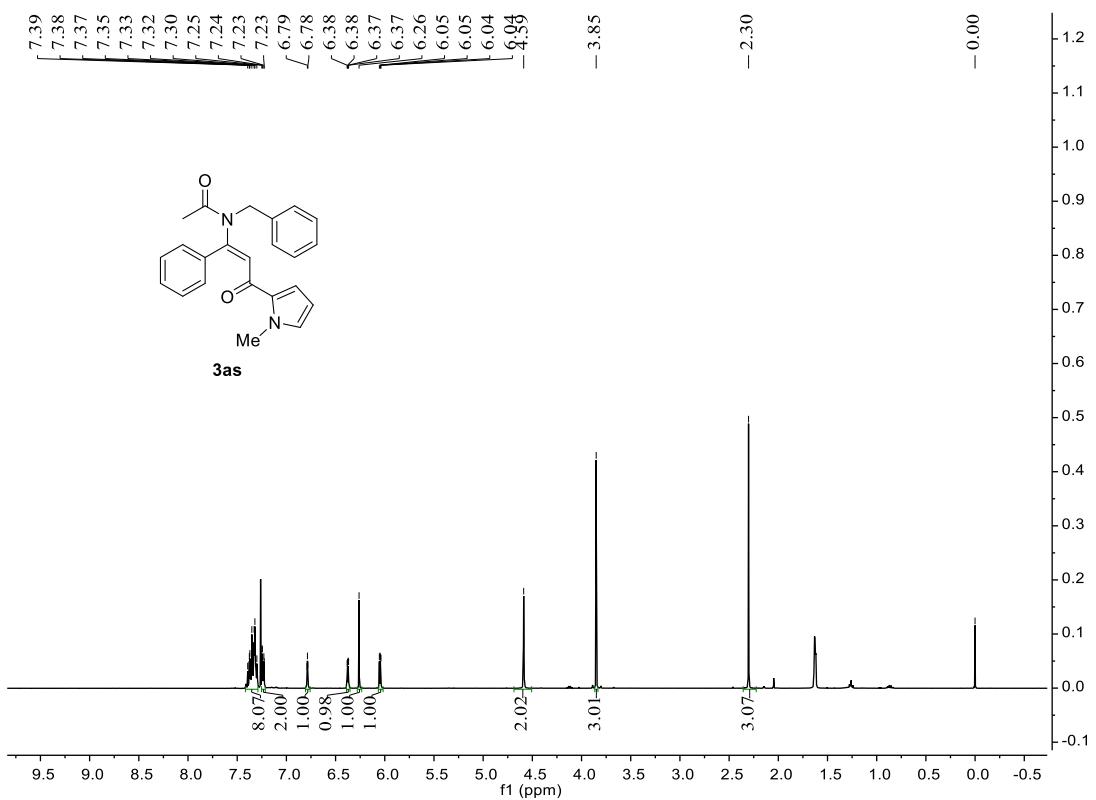


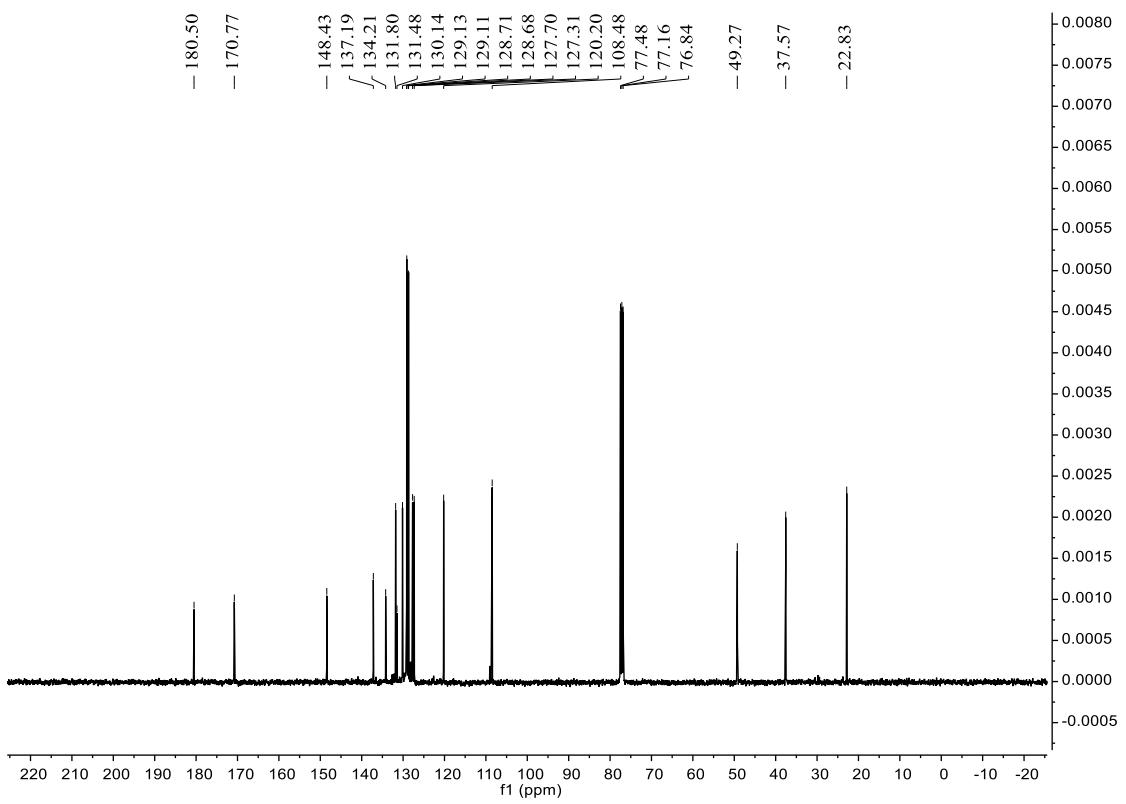
**(E)-N-benzyl-N-(3-(4-cyanophenyl)-3-oxo-1-phenylprop-1-en-1-yl)acetamide (3ar)**



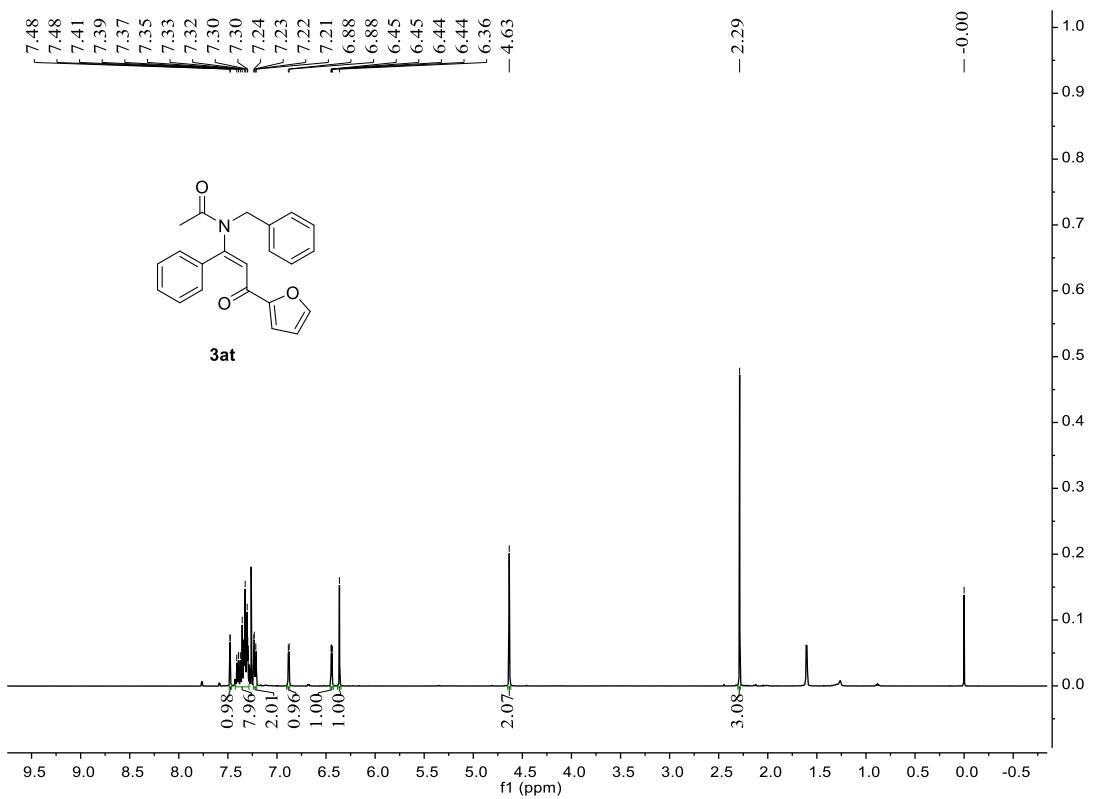


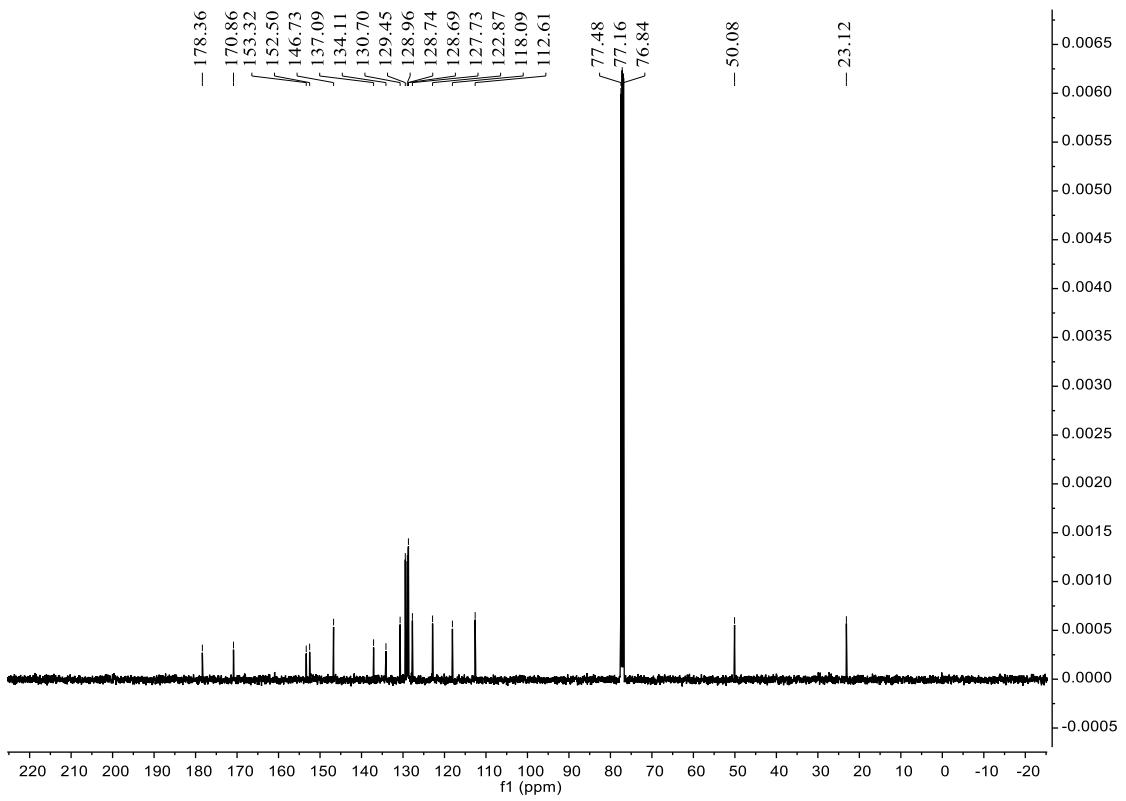
**(E)-N-benzyl-N-(3-(1-methyl-1*H*-pyrrol-2-yl)-3-oxo-1-phenylprop-1-en-1-yl) acetamide (3as)**



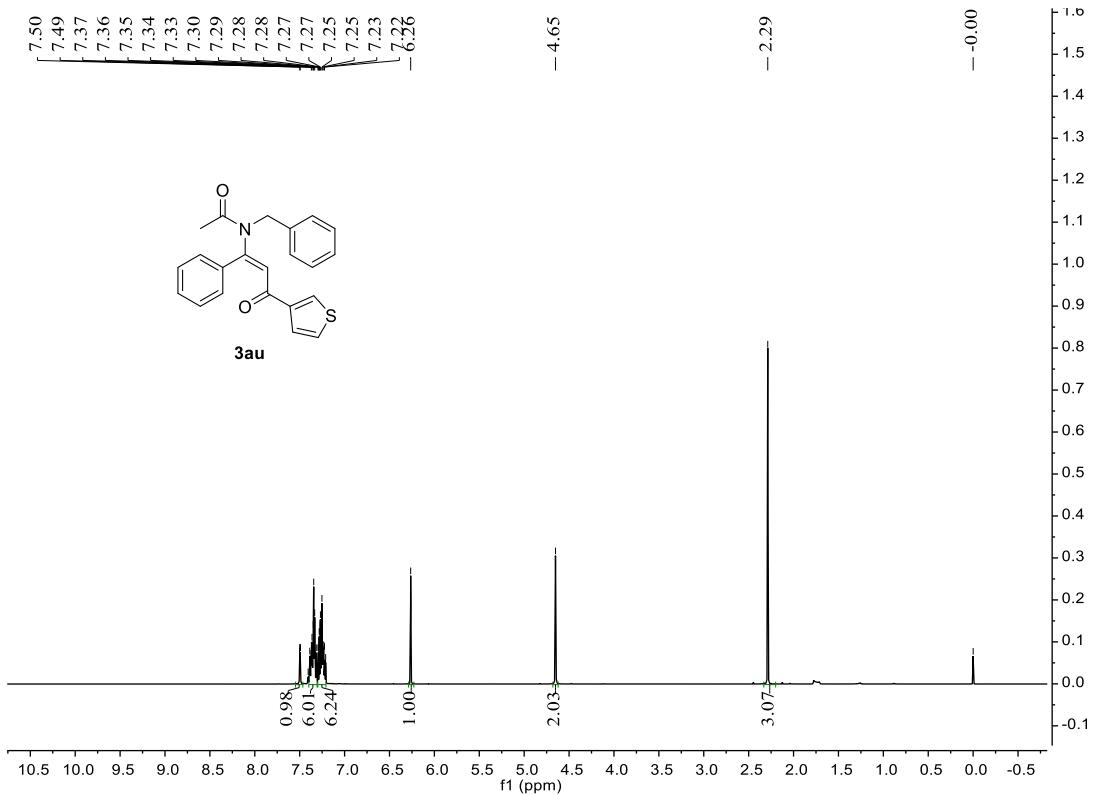


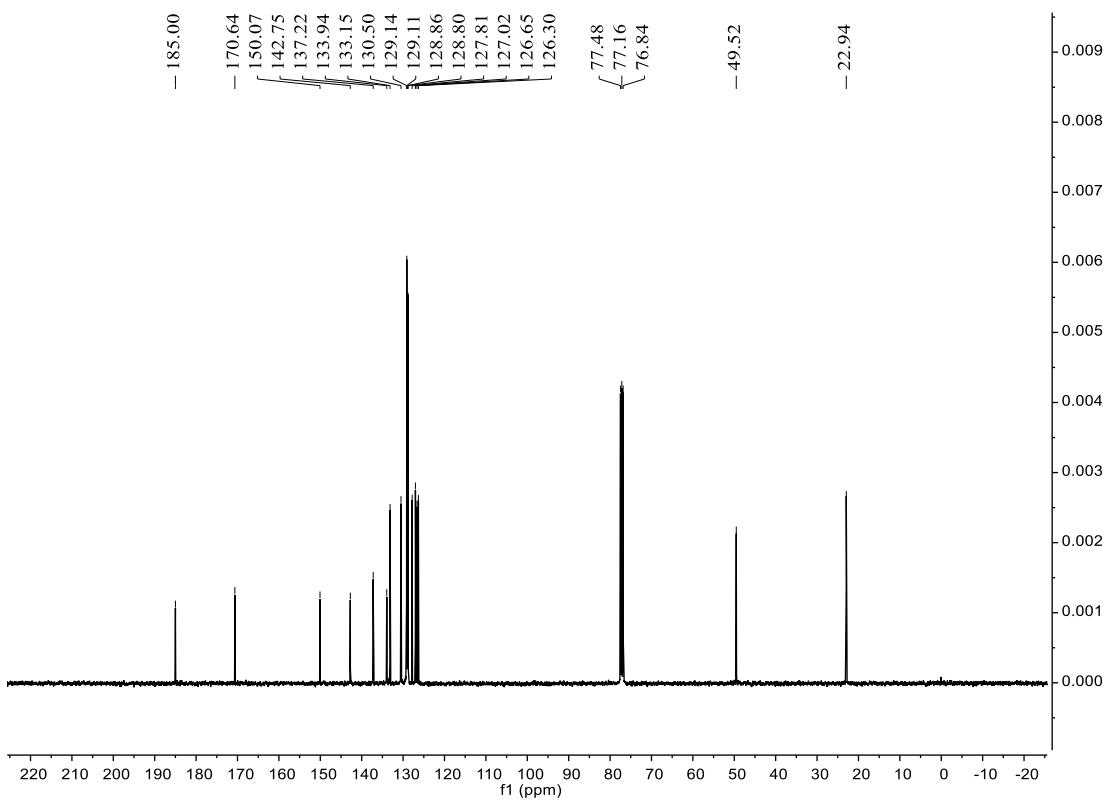
**(E)-N-benzyl-N-(3-(furan-2-yl)-3-oxo-1-phenylprop-1-en-1-yl) acetamide (3at)**



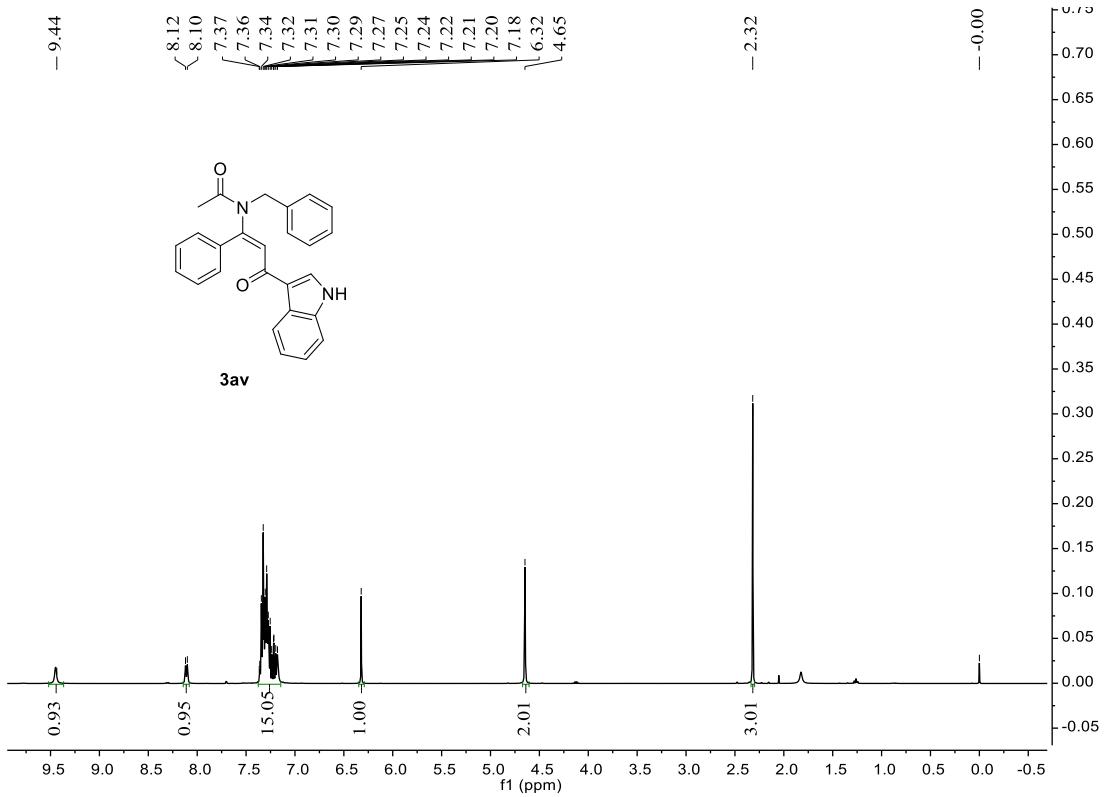


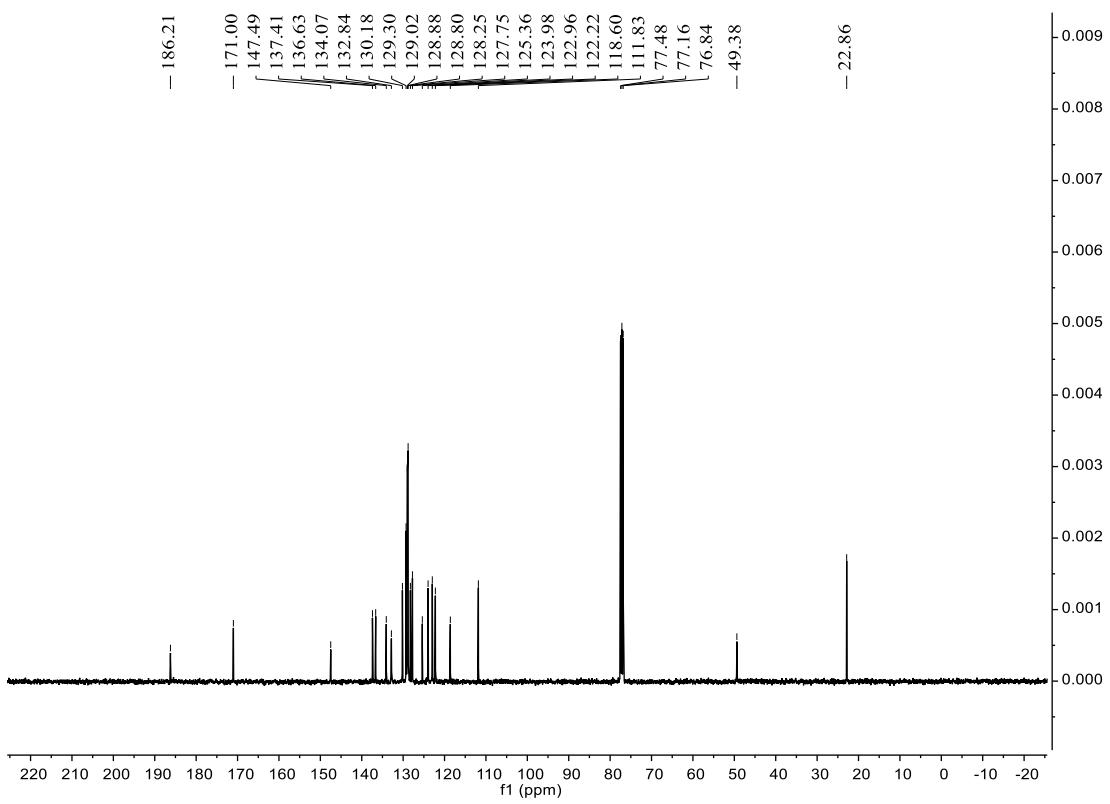
**(E)-N-benzyl-N-(3-oxo-1-phenyl-3-(thiophen-2-yl) prop-1-en-1-yl)acetamide (3au)**



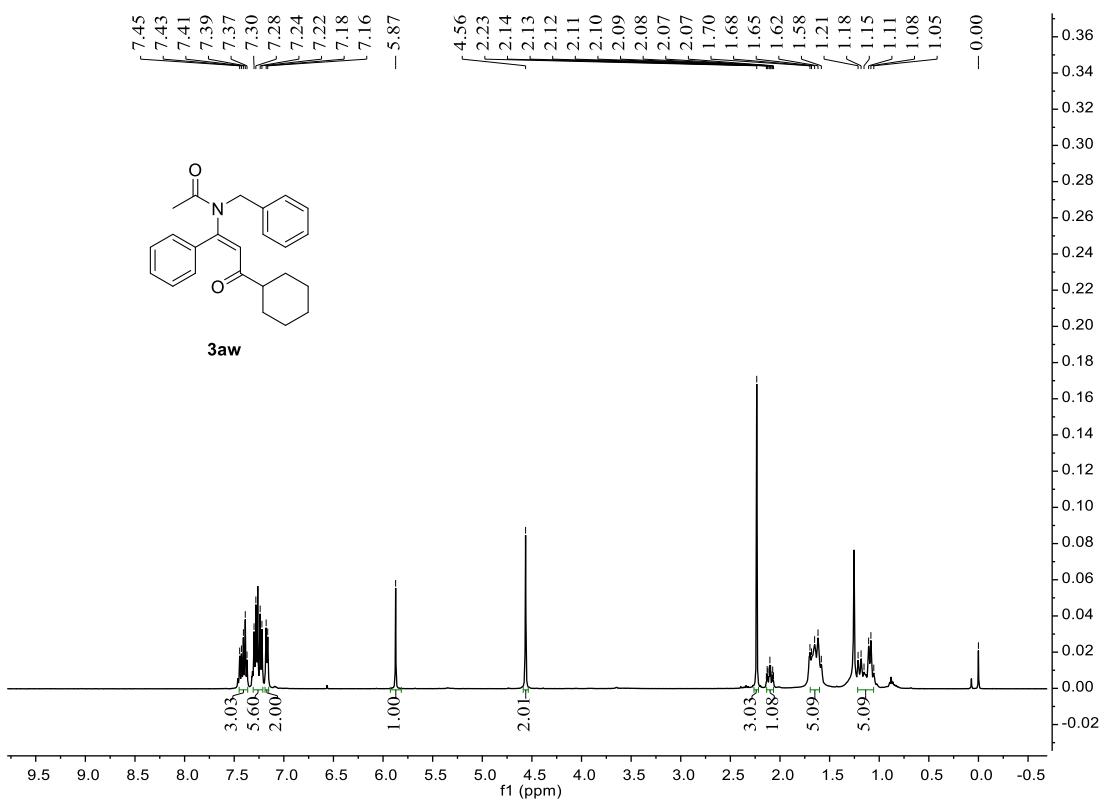


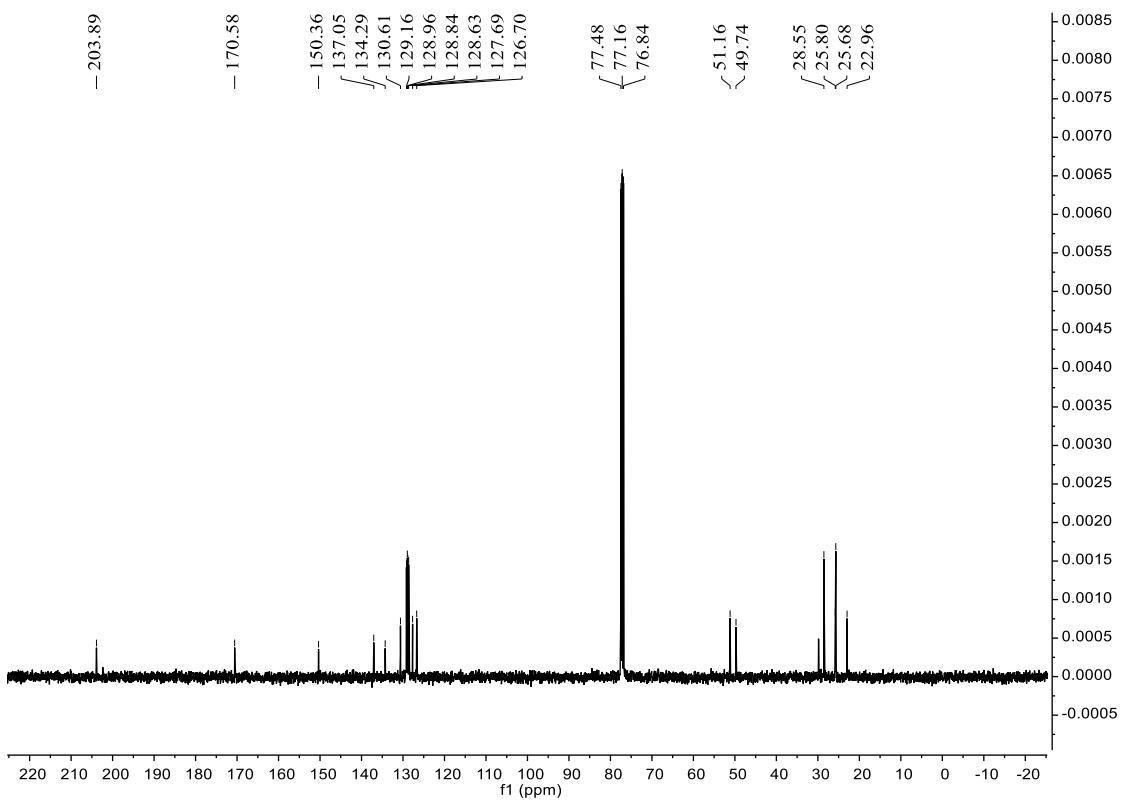
**(E)-N-(3-(2H-1λ<sup>4</sup>-indol-3-yl)-3-oxo-1-phenylprop-1-en-1-yl)-N-benzylacetamide  
(3av)**



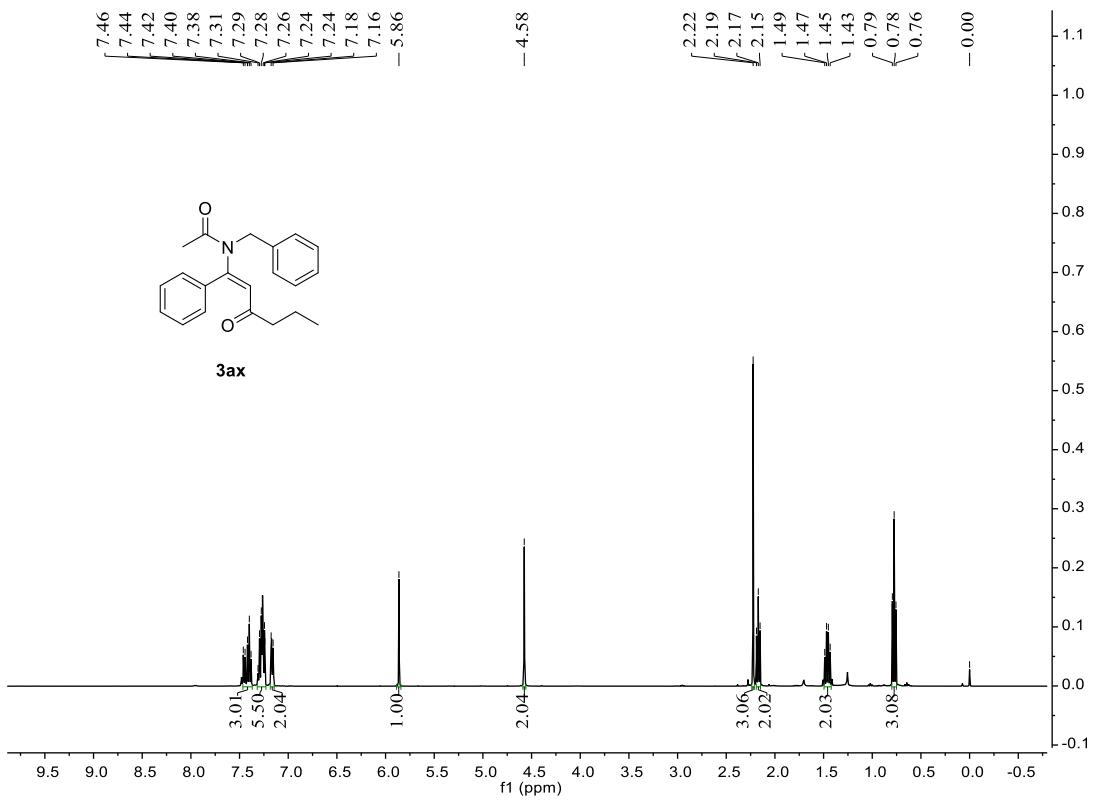


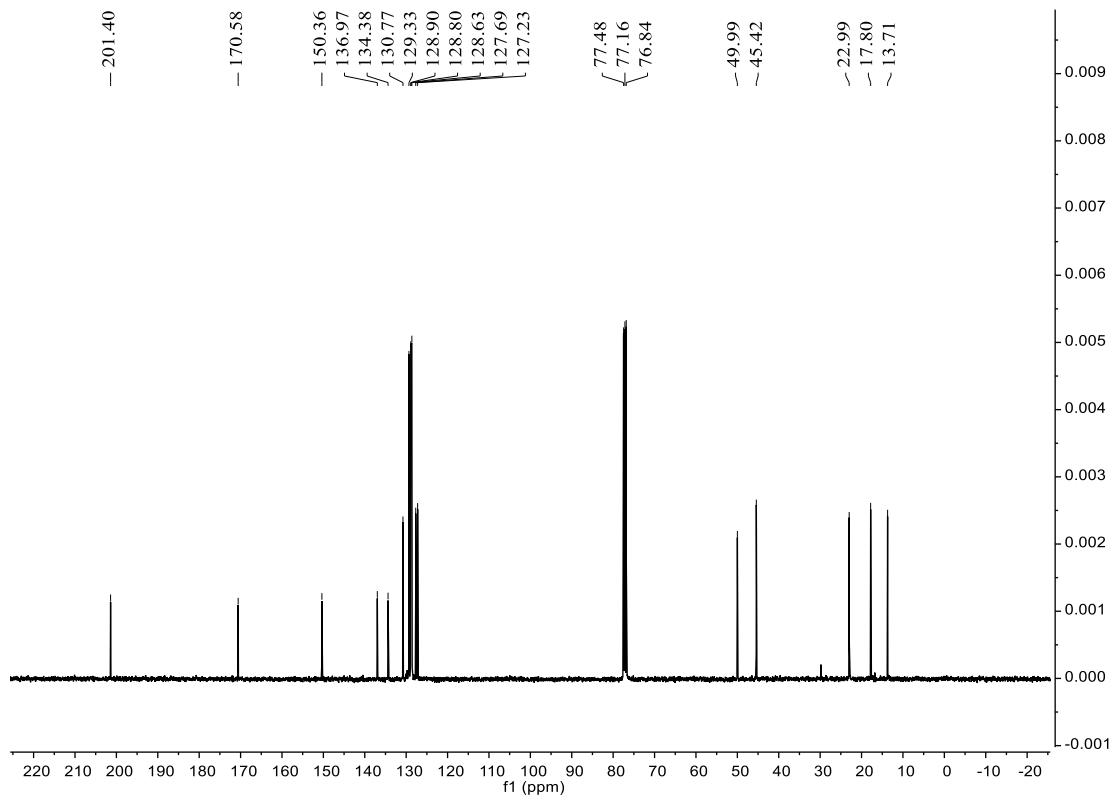
**(E)-N-benzyl-N-(3-cyclohexyl-3-oxo-1-phenylprop-1-en-1-yl) acetamide (3aw)**



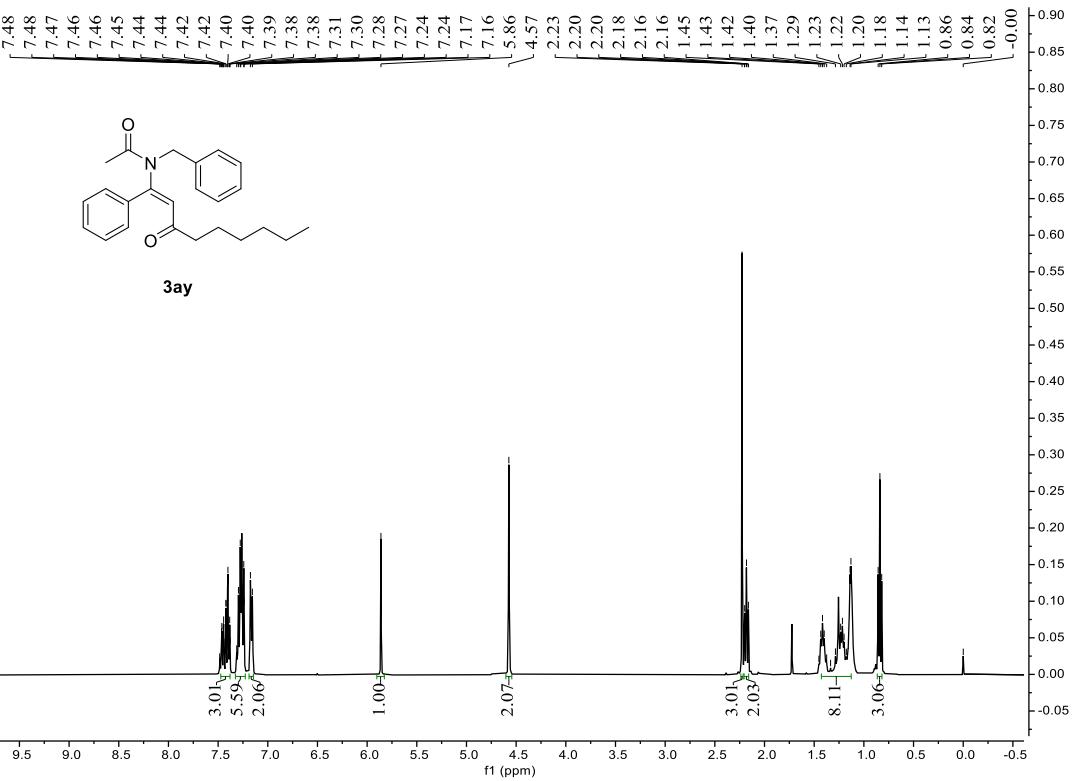


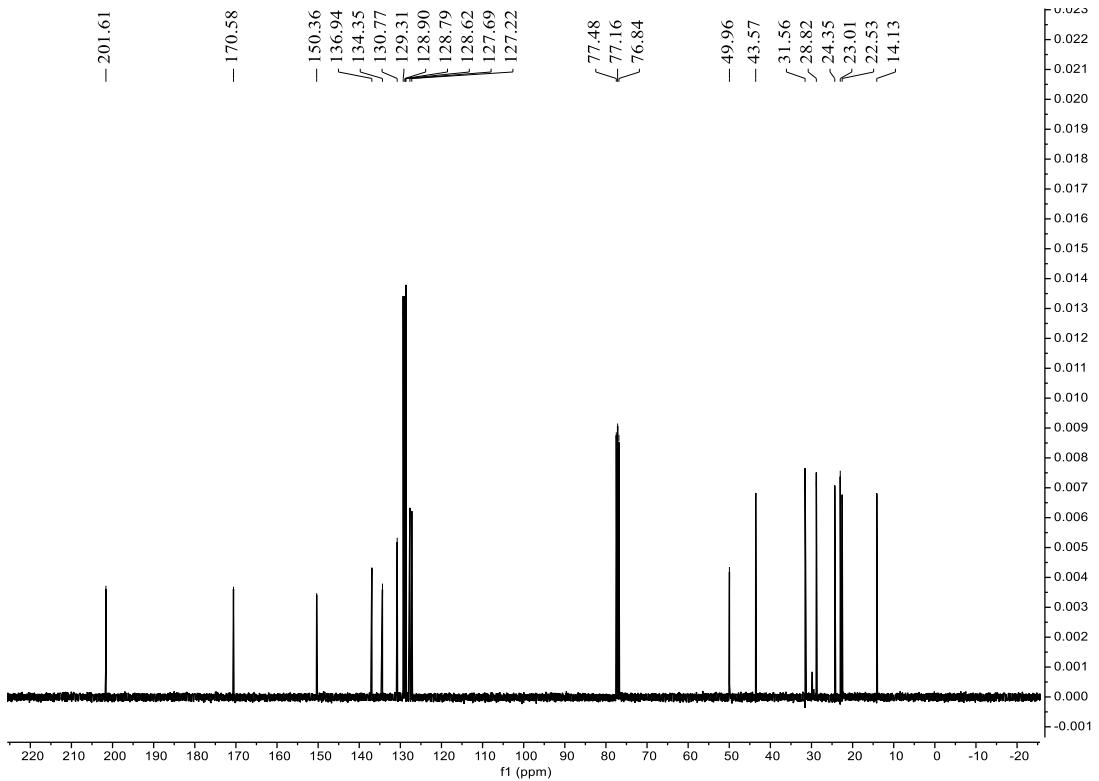
**(E)-N-benzyl-N-(3-oxo-1-phenylhex-1-en-1-yl)acetamide (3ax)**



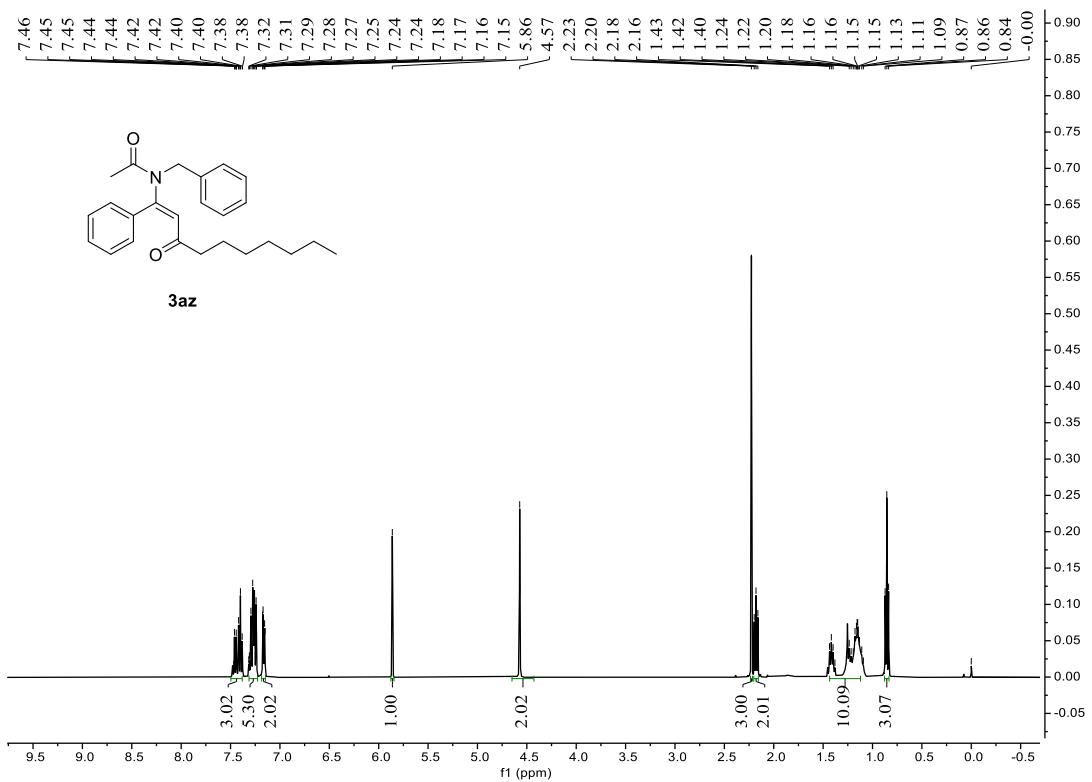


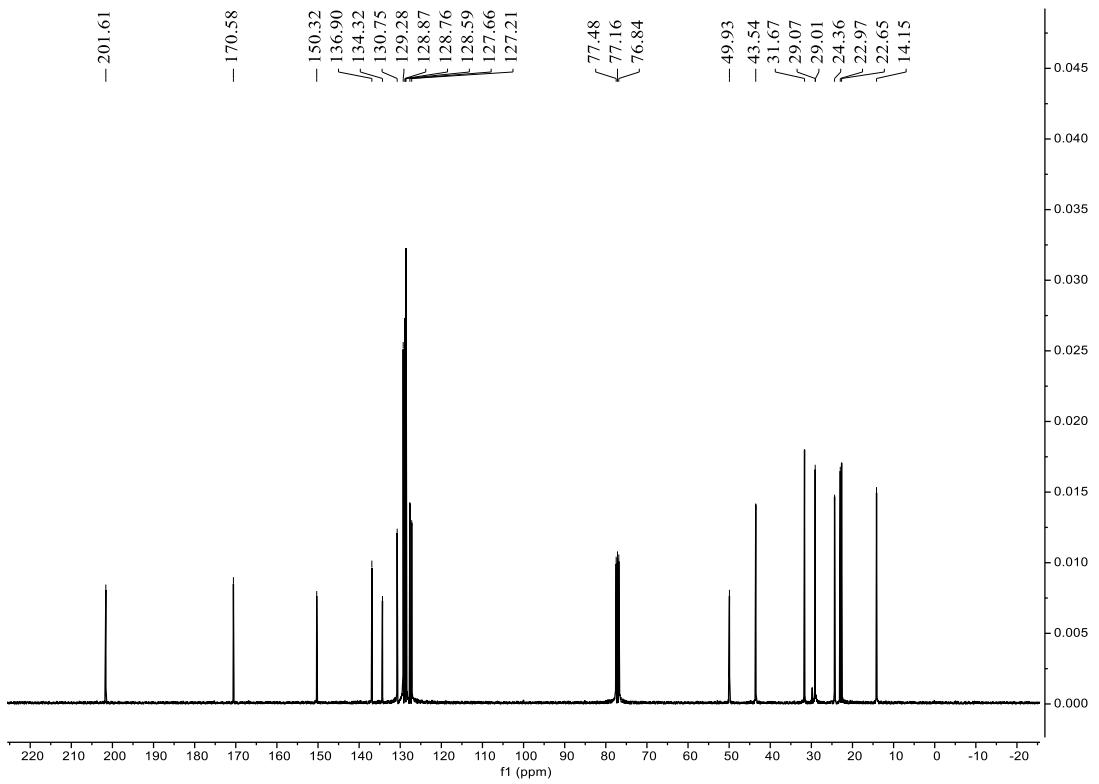
**(E)-N-benzyl-N-(3-oxo-1-phenylnon-1-en-1-yl)acetamide (3ay)**



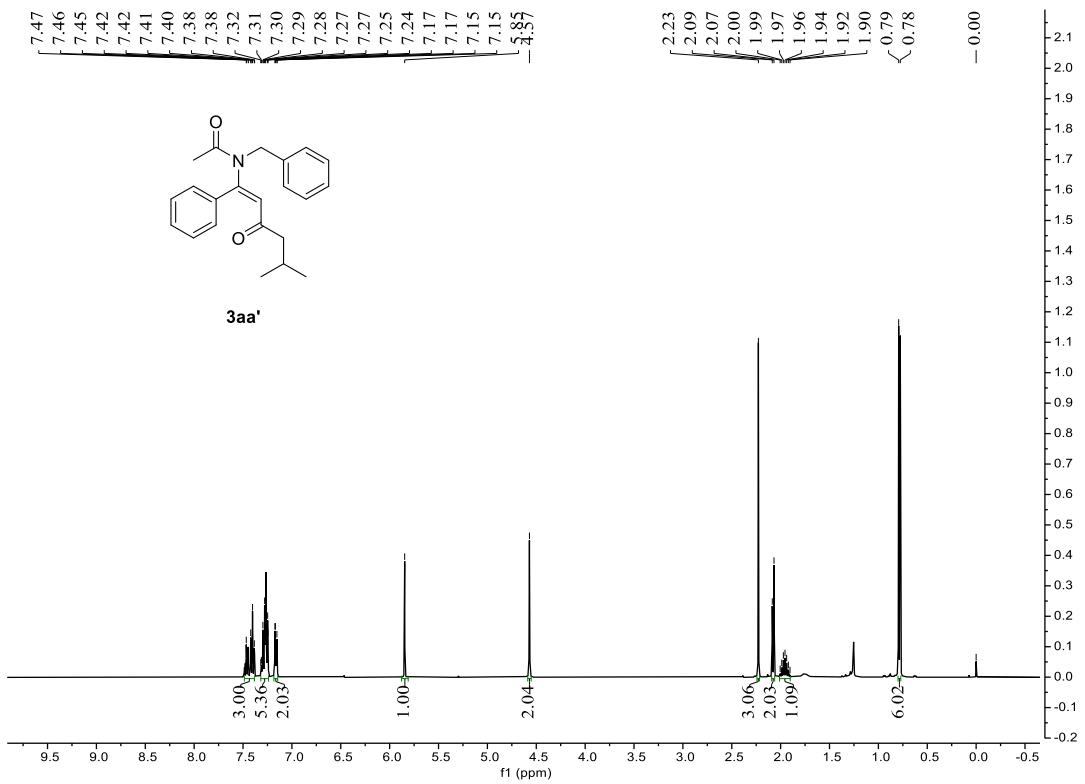


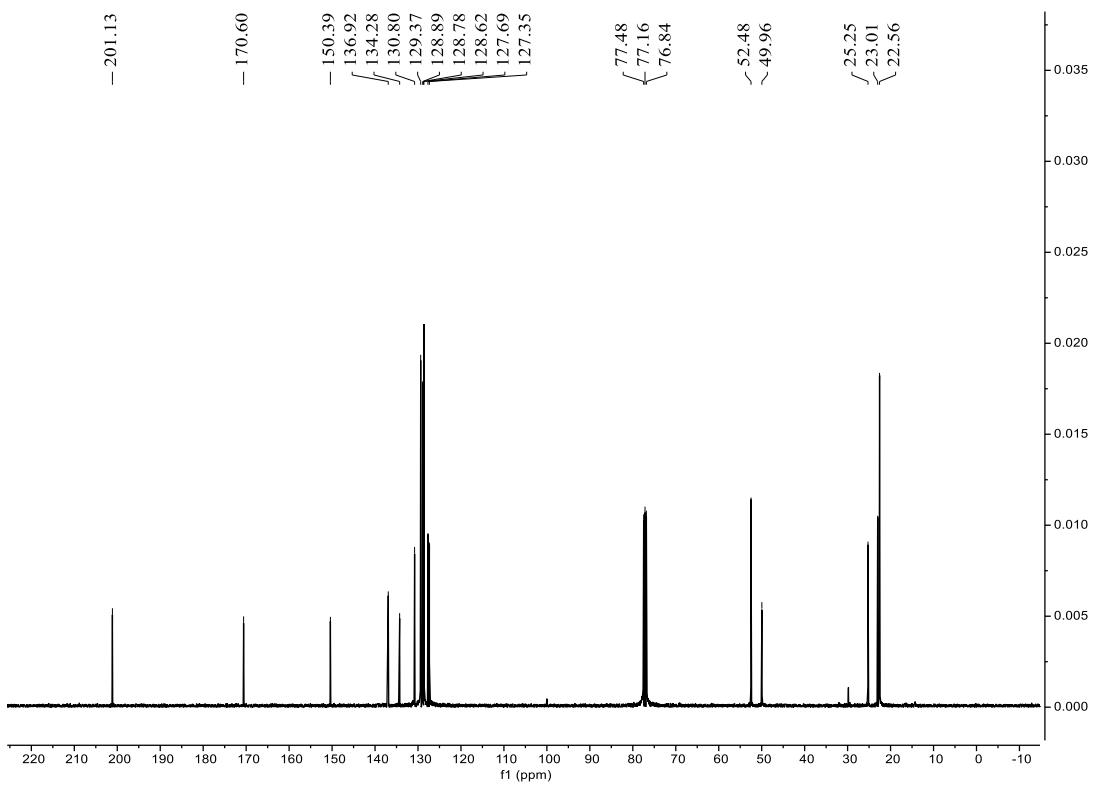
**(E)-N-benzyl-N-(3-oxo-1-phenyldec-1-en-1-yl)acetamide (3az)**



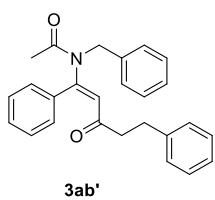


**(E)-N-benzyl-N-(5-methyl-3-oxo-1-phenylhex-1-en-1-yl)acetamide (3aa')**

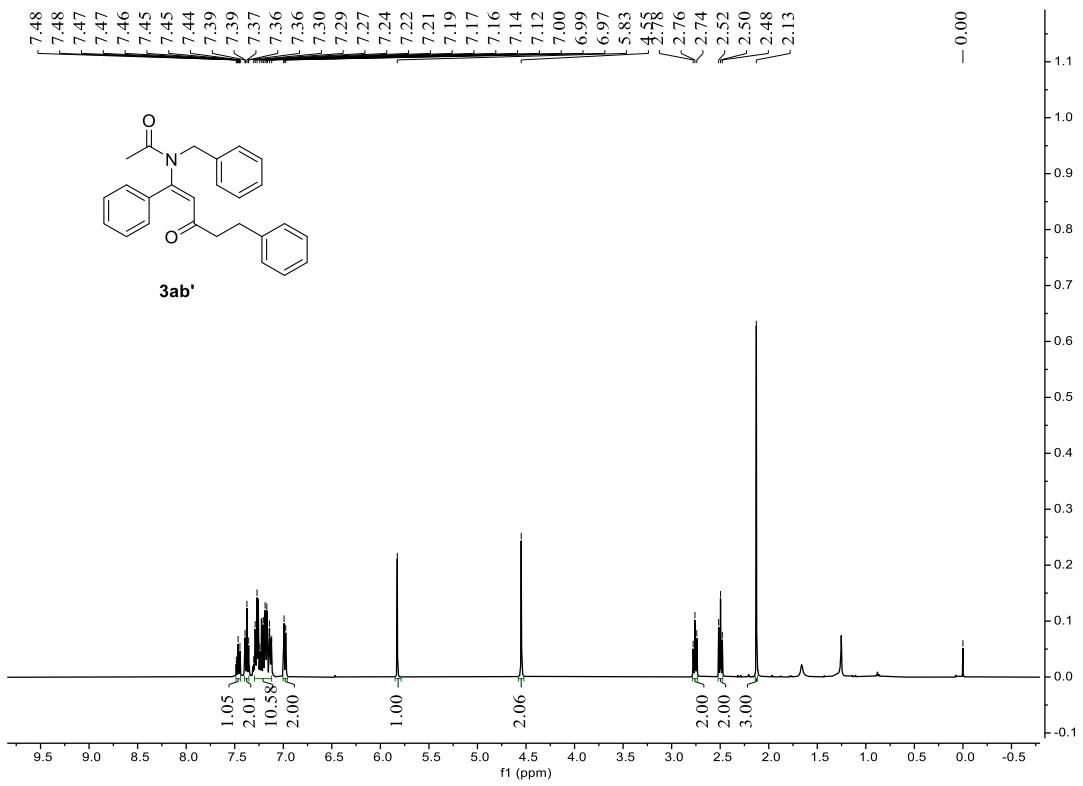


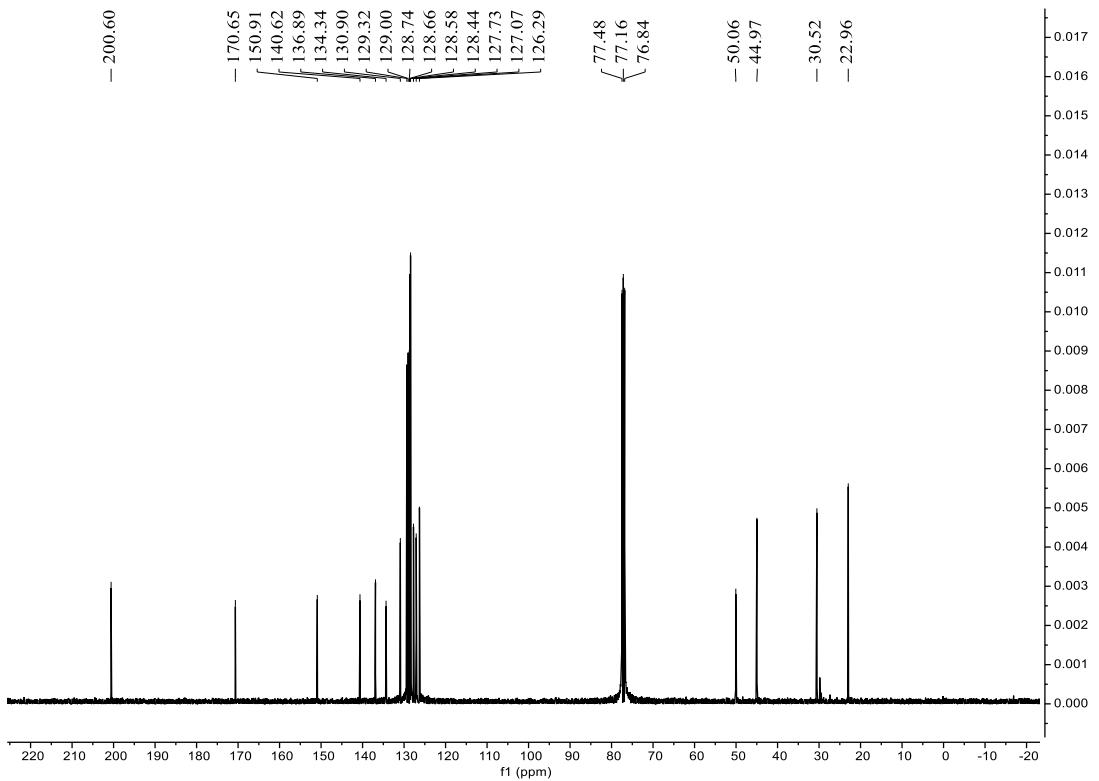


**(E)-N-benzyl-N-(3-oxo-1,5-diphenylpent-1-en-1-yl)acetamide (3ab')**

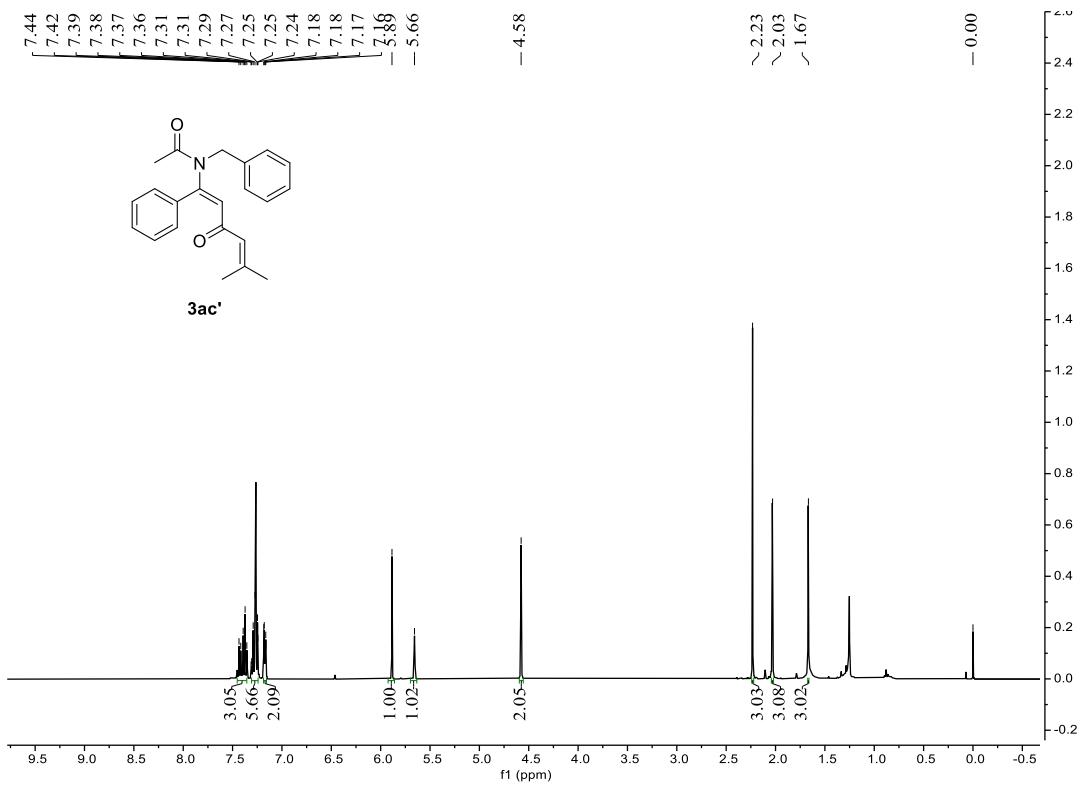


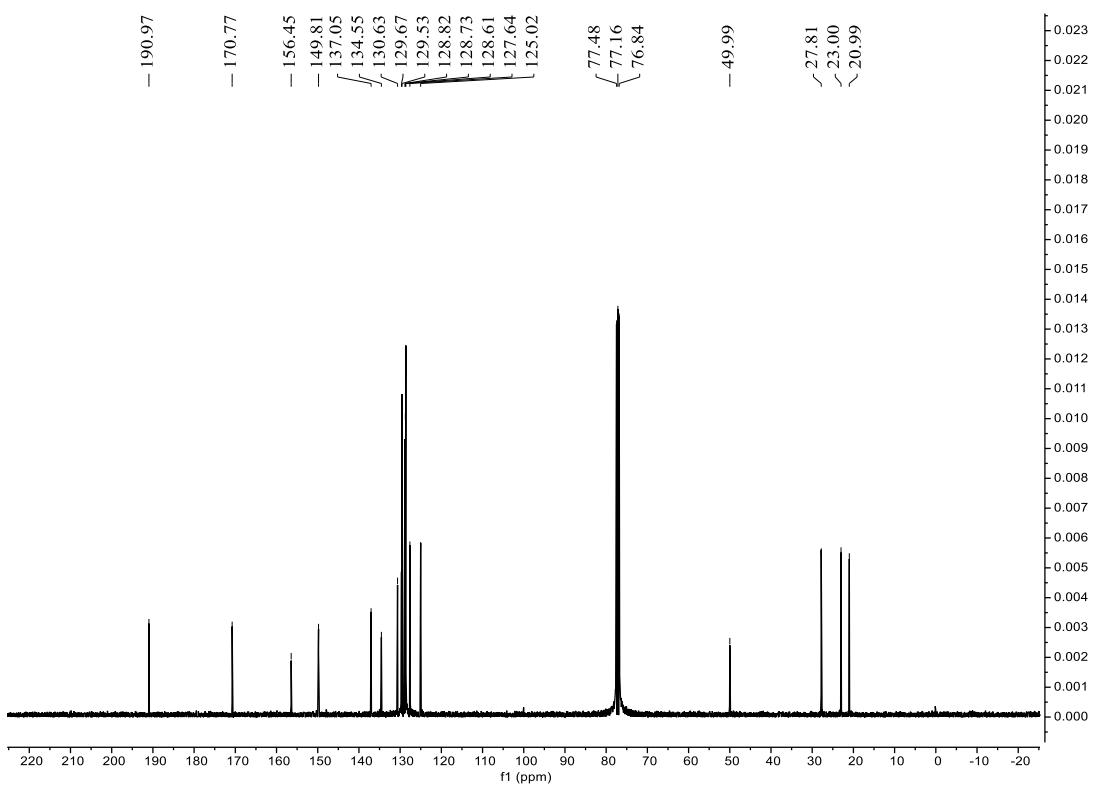
$$3ab'$$



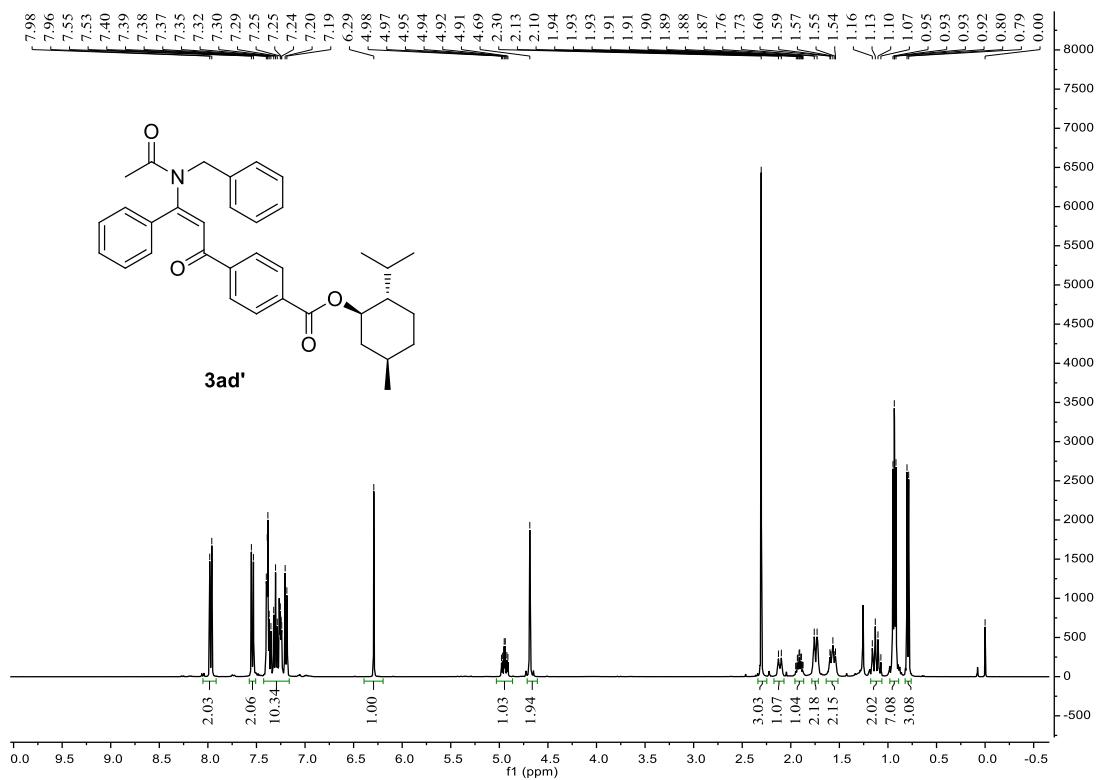


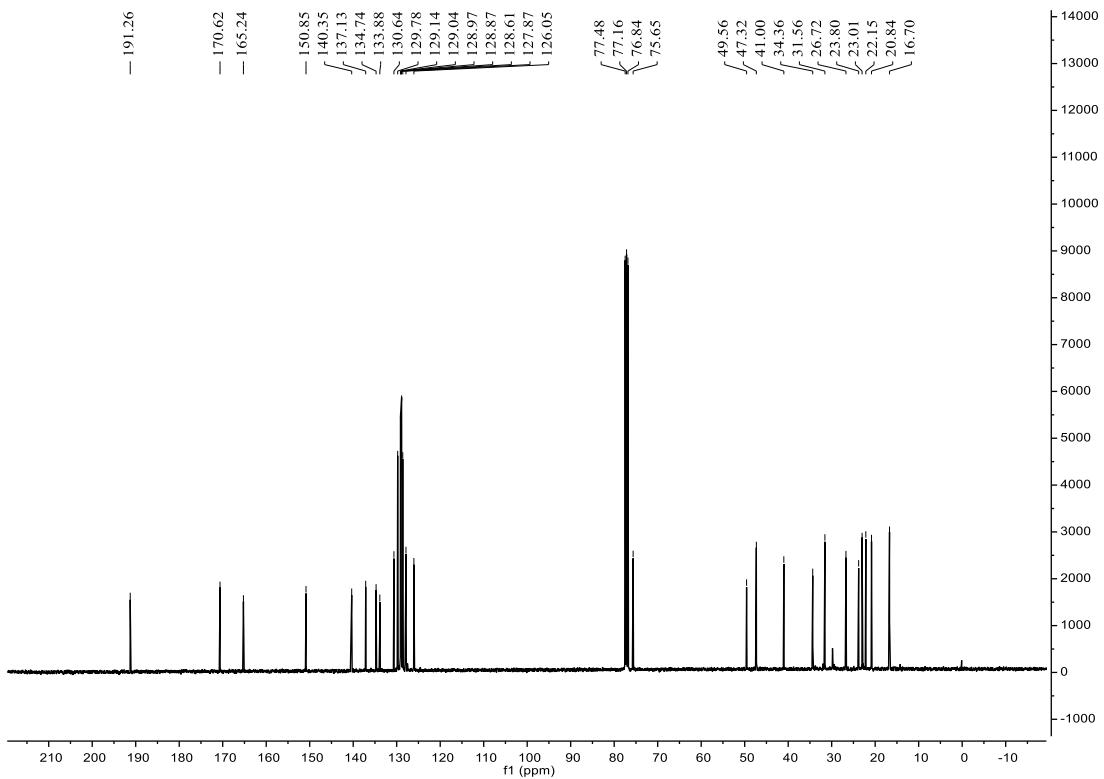
**(E)-N-benzyl-N-(5-methyl-3-oxo-1-phenylhexa-1,4-dien-1-yl)acetamide (3ac')**



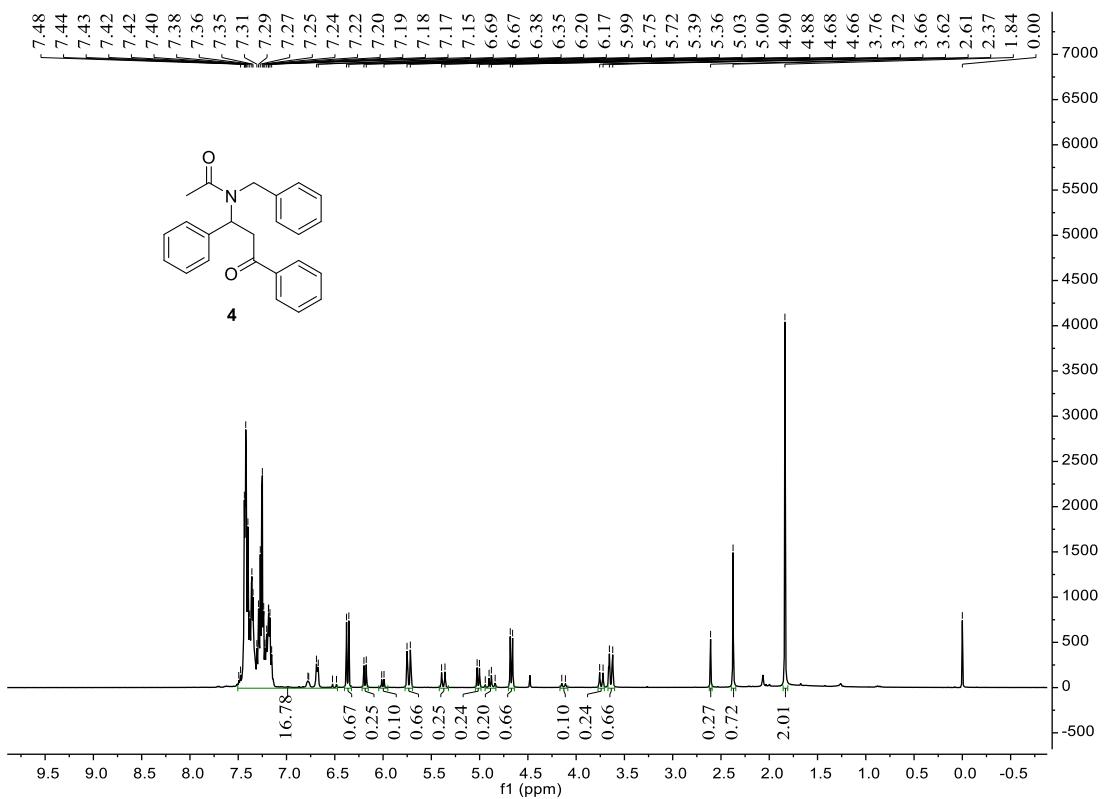


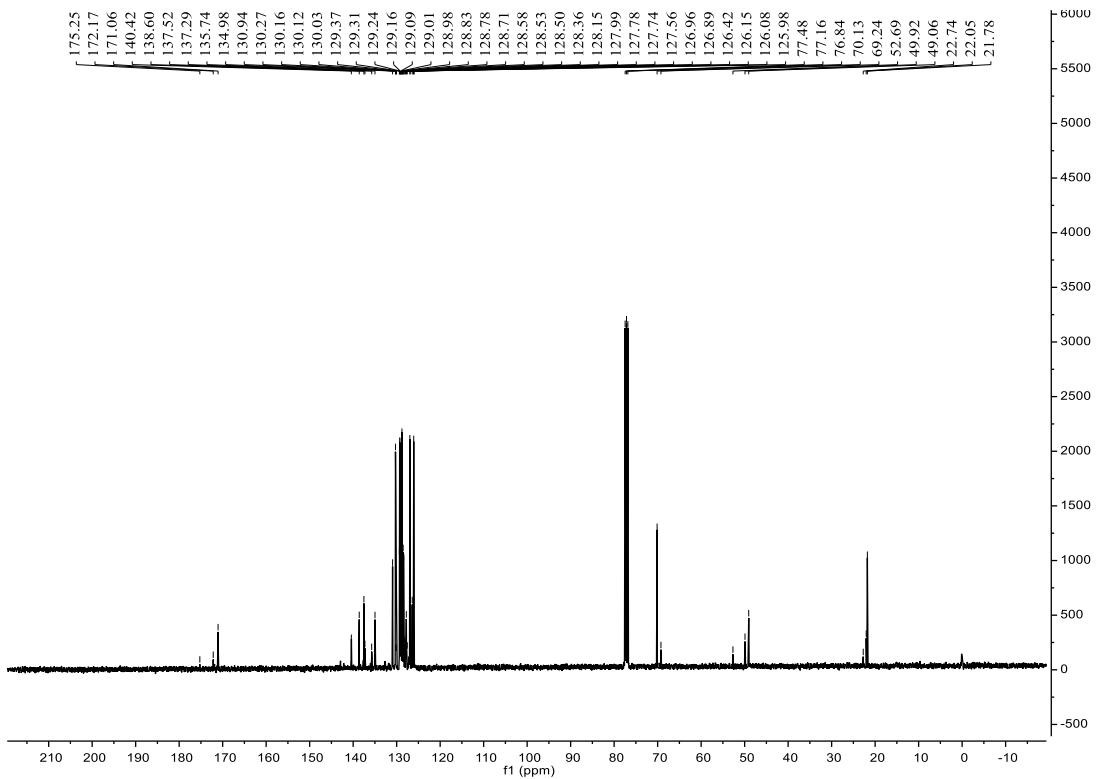
**(1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl 4-((*E*)-3-(N-benzylacetamido)-3-phenylacryloyl)benzoate (3ad')**



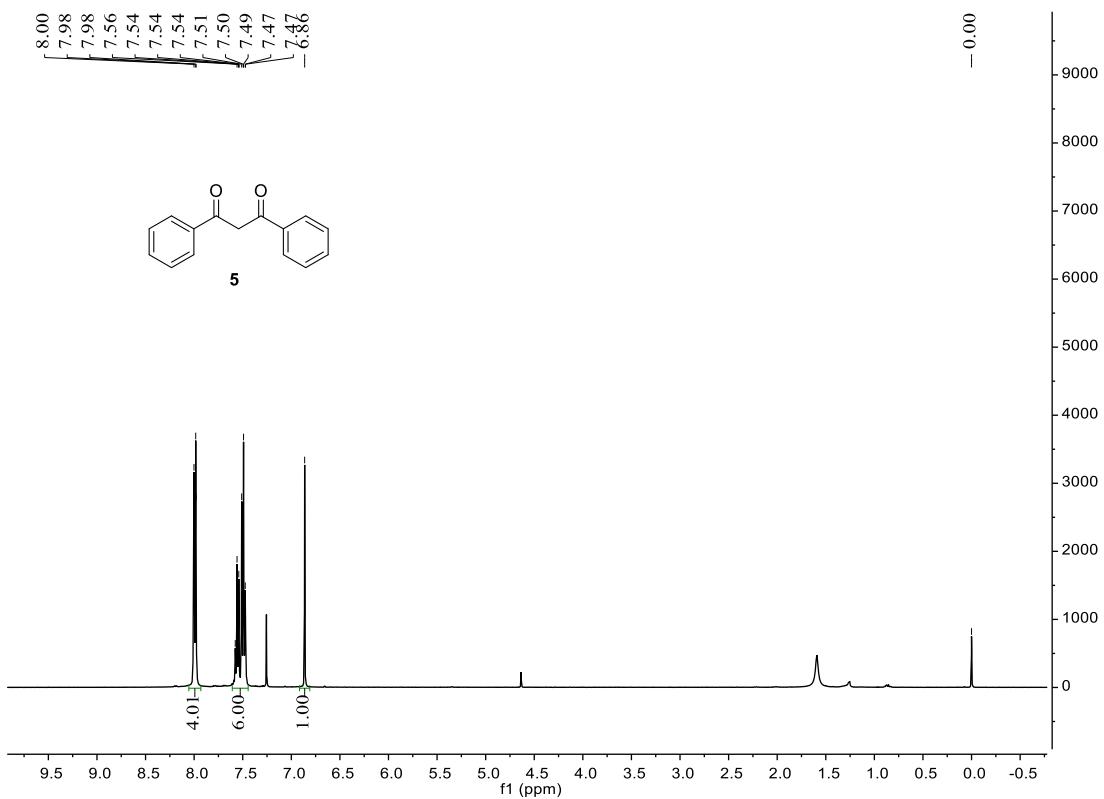


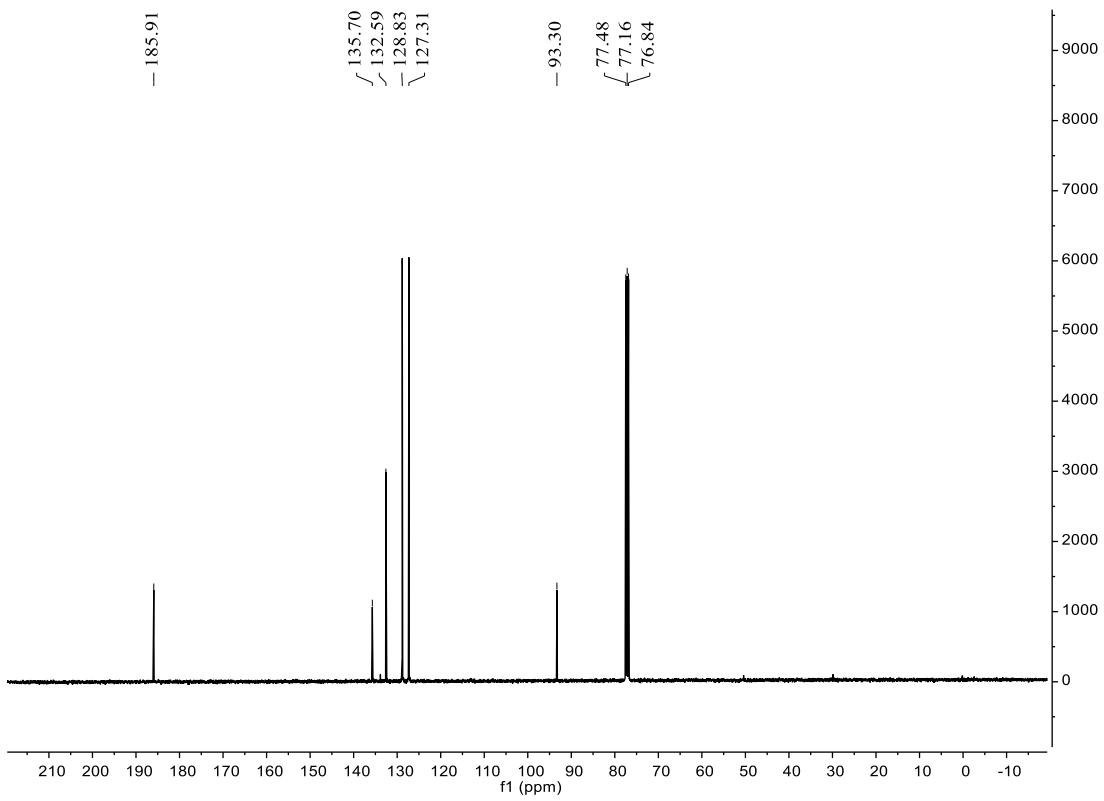
**N-(3-oxo-1,3-diphenylpropyl)-N-phenylacetamide (4)**



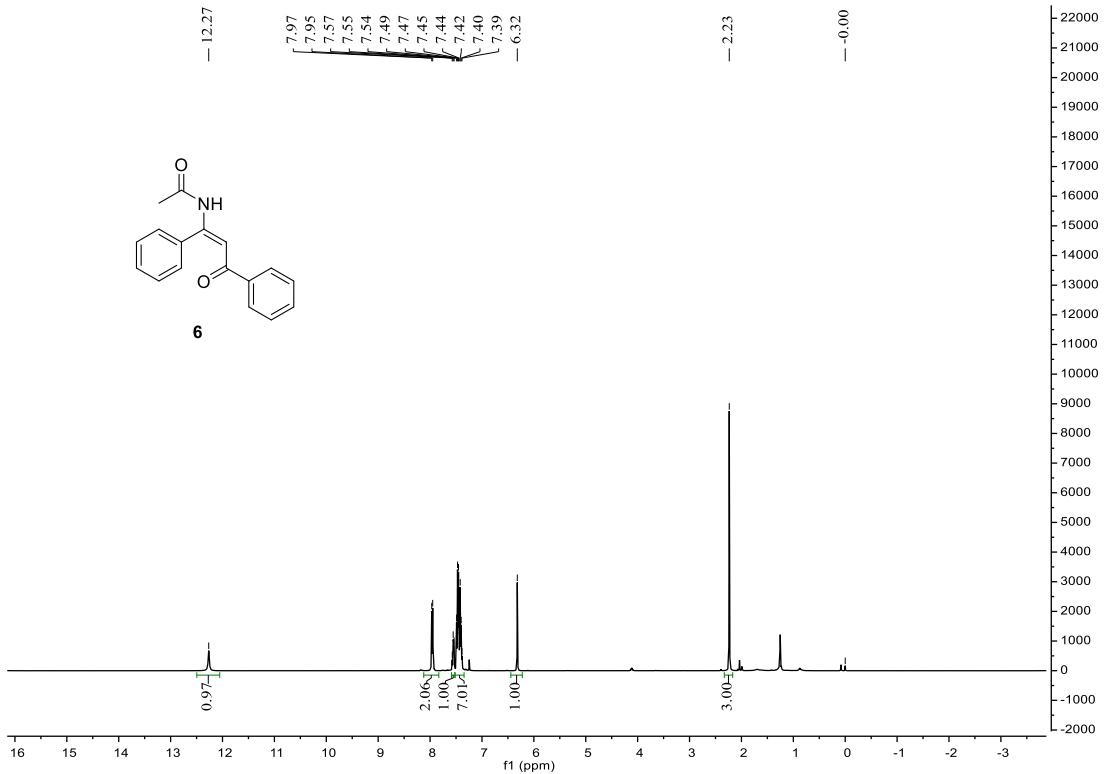


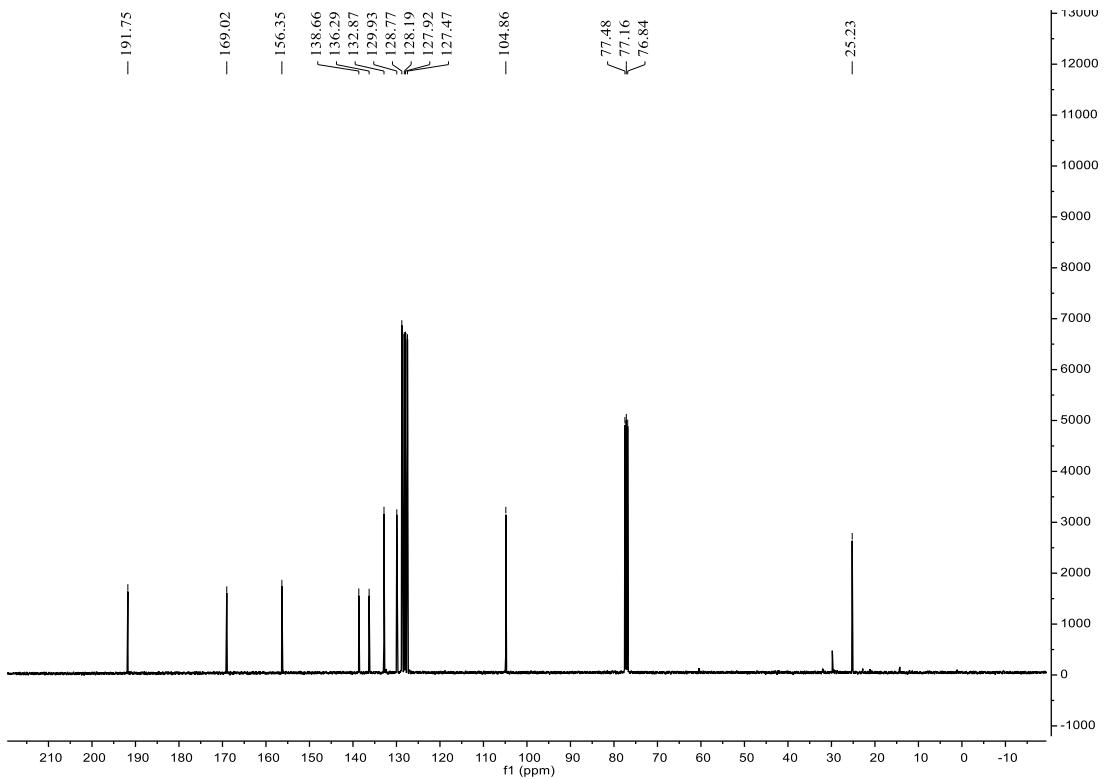
**1,3-diphenylpropane-1,3-dione (5)**



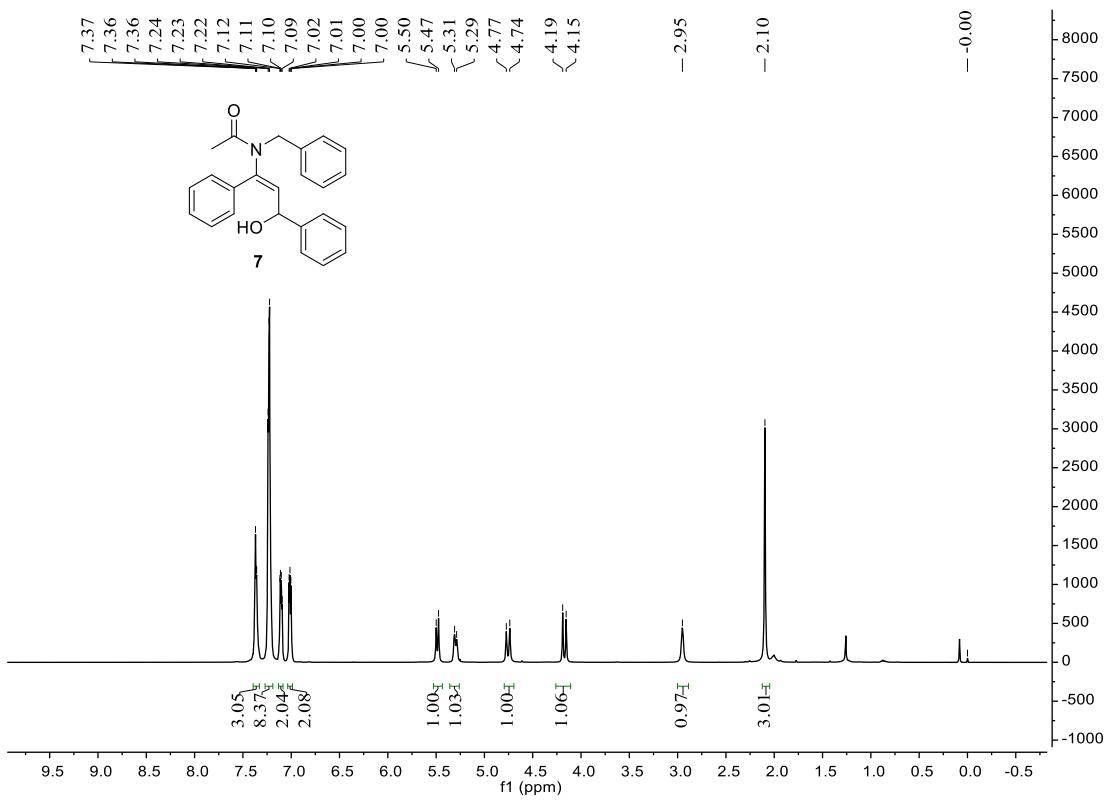


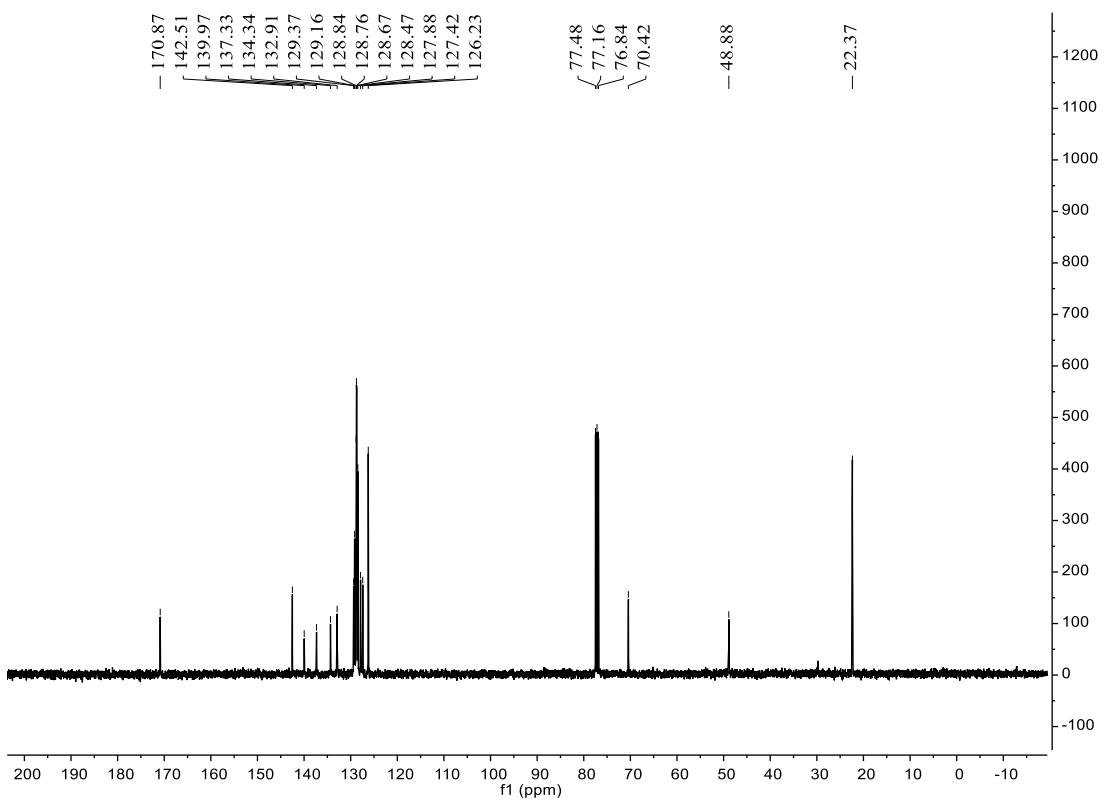
**(E)-N-(3-oxo-1,3-diphenylprop-1-en-1-yl)acetamide (6)**



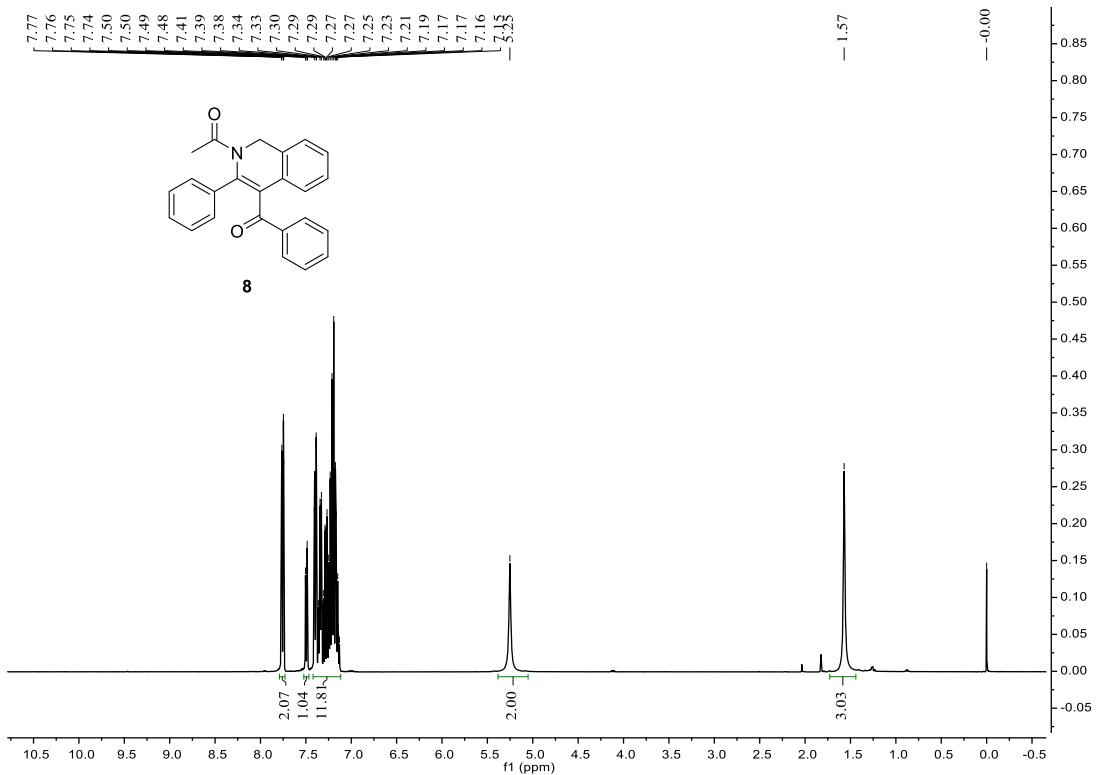


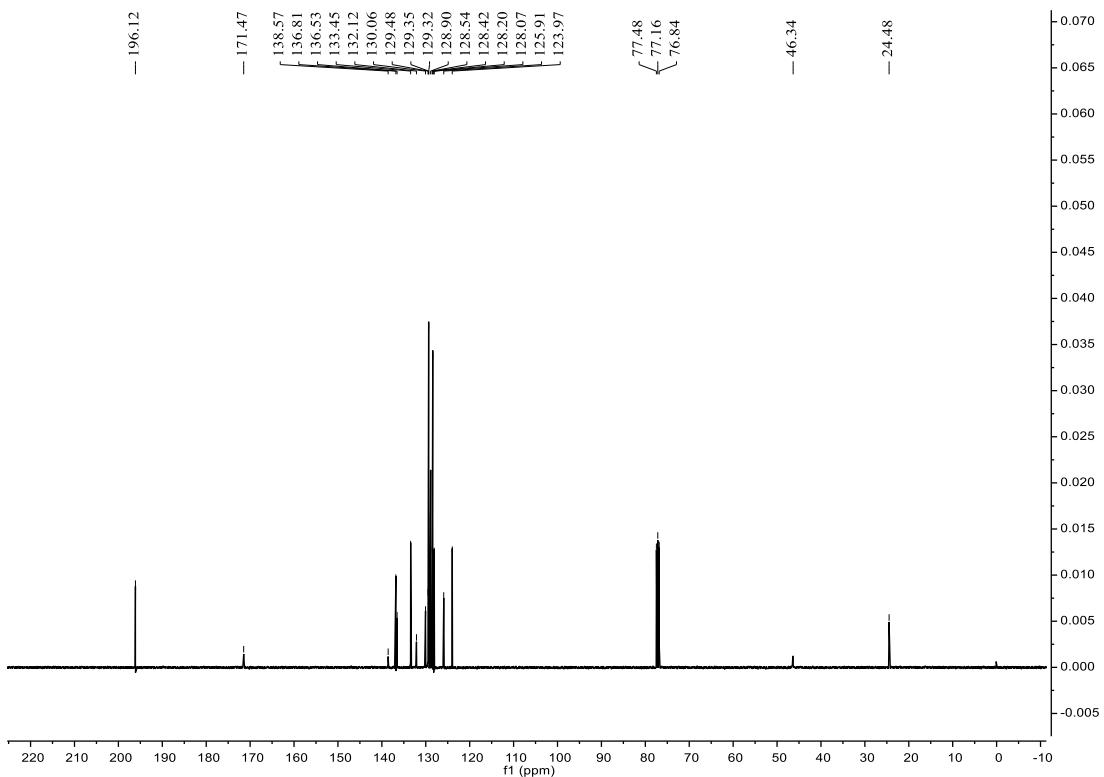
**(E)-N-benzyl-N-(3-hydroxy-1,3-diphenylprop-1-en-1-yl) acetamide (7)**



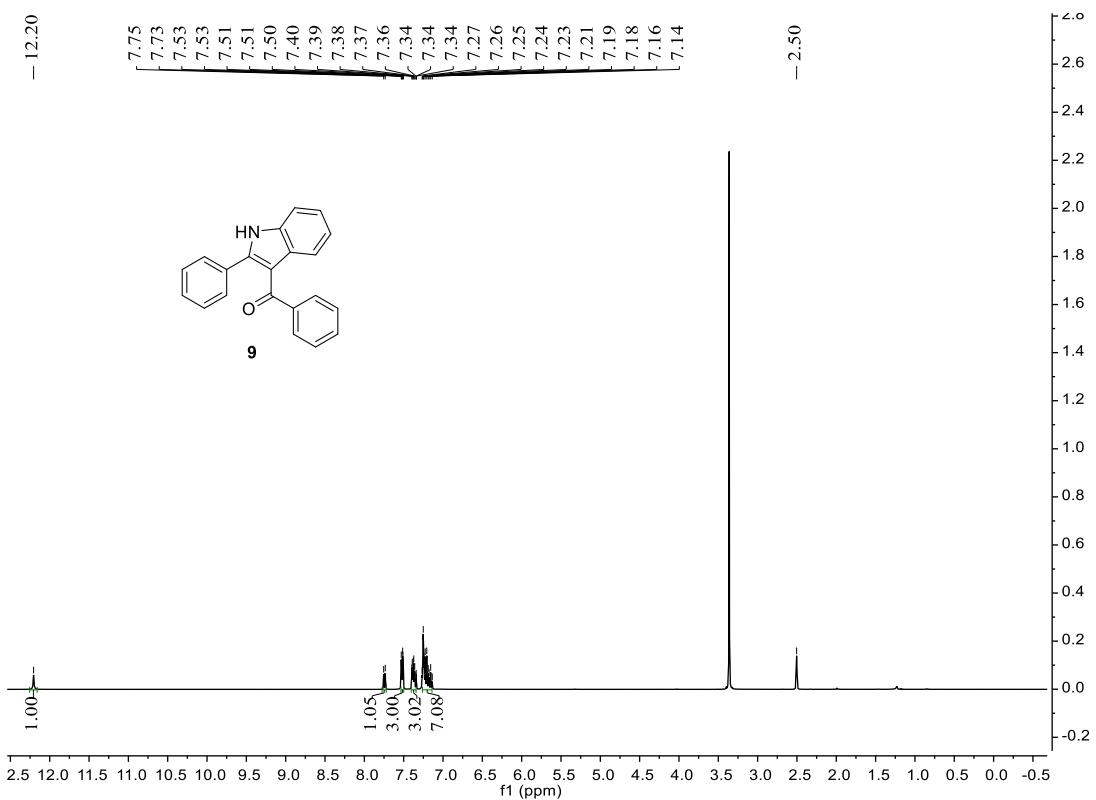


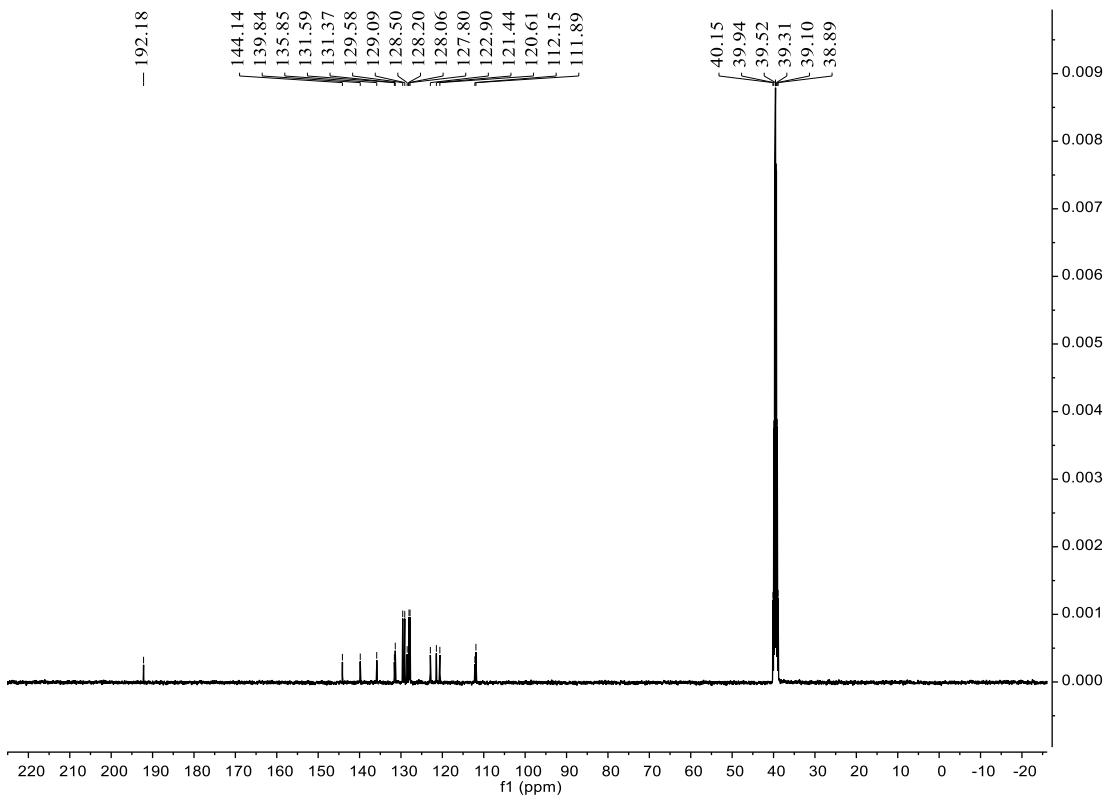
**1-(4-benzoyl-3-phenylisoquinolin-2(1*H*)-yl)ethan-1-one (8)**





### Phenyl(2-phenyl-1,4-dihydroquinolin-3-yl)methanone (9)





**(Z)-4,4,4-trifluoro-1-phenyl-2-(phenyl(phenylamino)methylene)butane-1,3-dione  
(10)**

