Electronic Supplementary Material (ESI) for ChemComm.

A Domino Desulfitative Coupling/Acylation/Hydration/Michael Addition Process for the Synthesis of Polysubstituted Tetrahydro-*4H*-pyrido[1,2-a]pyrimidines

SUPPORTING INFORMATION

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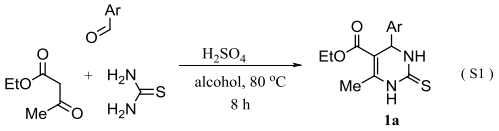
1. General

^{1}H NMR and ^{13}C NMR data analyses were performed with a Varian Mercury plus-400 instrument unless otherwise specified. $CDCl_3$ as solvent and tetramethylsilane (TMS) as the internal standard were employed. Chemical shifts were reported in units (ppm) by assigning TMS resonance in the ^{1}H NMR spectrum as 0.00 ppm. The data of ^{1}H NMR was reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet and br = broad), coupling constant (*J* values) in Hz and integration. Chemical shift for ^{13}C NMR spectra were recorded in ppm from TMS using the central peak of $CDCl_3$ (77.0ppm) as the internal standard. Flash chromatography was performed using 200-300 mesh silica gel with the indicated solvent system according to standard techniques. Analytical thin-layer chromatography (TLC) was performed on pre-coated, glass-backed silica gel plates. Melting points were measured with an XT-4 apparatus. High-resolution mass spectra (HRMS) (ESI) were obtained with a Bruker Daltonics APEX II 47e and Orbitrap Elite mass spectrometer. Mass-spectra (EI) were recorded on a TRACE DSQ instrument. Column chromatography was generally performed on silica gel (200–300 mesh) and TLC analyses were conducted on silica gel GF254 plates. Palladium (II) acetate, alkynes, carboxylic acids, Cu_2O, P ligands and solvents were all purchased from J&K Scientific Ltd. All reagents were directly used from purchased without any further purification unless otherwise specified.

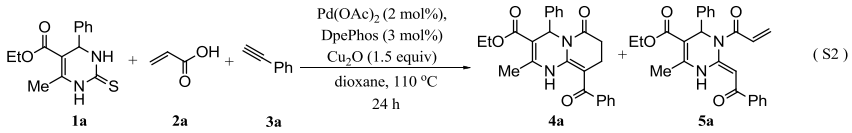
2. Experimental details and characterization data for all compounds

2.1 General procedures for preparation of substrates 1 (eq S1). The substrates **1** were synthesized by the Biginelli reaction of aromatic aldehyde, thiourea and acetacetic ester under the catalysis of acid. Substrates were added in equivalent with EtOH as solvent and drops of H_2SO_4 as catalyst. The mixture was stirred in reflux for 8 h till large amount of sediment emerged and increased no more. Then the mixture was filtrated under vacuum and the filter cake was washed by hot water for several times. Then substrate **1** was prepared with further purification unnecessary.



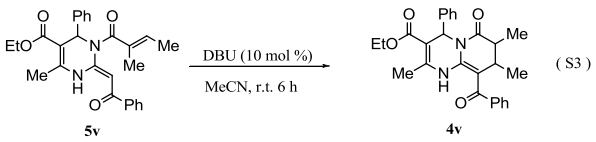
(S1)

2.2 General procedures for the domino process (eq S2). Under argon atmosphere, **1a** (0.25 mmol, 69 mg), $Pd(OAc)_2$ (5 μmol, 1.2 mg), Cu_2O (0.188 mmol, 25 mg), DPE-Phos (7 μmol, 3.8 mg) were added into a Schlenk tube dried by Hot-gun. The tube was stopped and degassed with argon for three times. Then **2a** (0.375 mmol, 27 mg), **3a** (0.375 mmol, 38 mg) and dioxane (2 mL) were added by syringe. The mixture was stirred under argon atmosphere at 110 ℃ for 24 h. Then the mixture was cooled down to room temperature with 2 mL saturated solution of NH_4Cl added to quench the reaction and 1 mL dilute solution of NaOH to neutralize the excess **2a**. Then, the organic components were extracted by acetic ether and evaporated in vacuum and further purified by column chromatography on silica gel with petroleum ether/ethanol (60:1) to give the product **4a** (78 mg, 75%) as yellow solids and **5a** (4 mg, 4%).



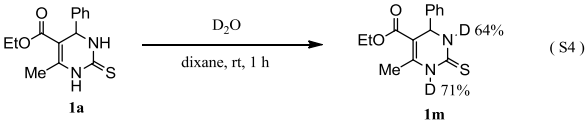
(S2)

2.3 General procedures for the Michael addition process (eq S3). **5v** (0.215 mmol, 95 mg) that prepared through the process above was added into test tube, and then DBU (0.022 mmol, 3.5 mg), 2 mL MeCN was added. The mixture was stirred in room temperature until **5v** disappeared detected by TLC (normally for 6 hours or less). Then the mixture was evaporated in vacuum and further purified by column chromatography on silica gel with petroleum ether/ethanol (60:1) to give the product **4v** (93 mg, 98%) as yellow solid. **5w, 5x**, **5y** were disposed under the same process, and we obtained **4w**, **4x**, **4y** in yield of 98%, 96%, 95%, respectively.

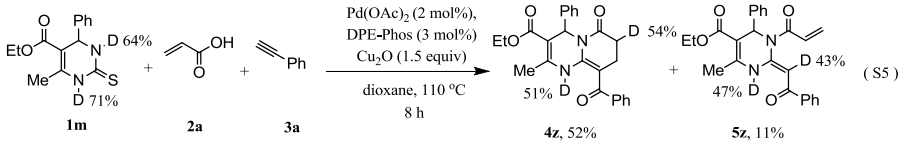


5v 4v (S3)

2.4 Procedures for the deuterium labeling experiments. **1a** (1.0 mmol, 276 mg) was added into a test tube where there are 0.35 mL D_2O and 1 mL dioxane. The mixture was stirred at room temperature for 1h. Then the mixture was evaporated in vacuum, giving the product **1m** as white solid (eq S4), ^{1}H NMR (400 MHz, DMSO-d_6): δ = 1.10 (t, *J* = 6.8 Hz, 3H), 2.31 (s, 3H), 4.01 (q, *J* = 6.8 Hz, 2H), 5.20 (s, 1H), 7.23-7.37 (m, 5H), 9.66 (d, *J* = 3.2 Hz, 0.37H), 10.32 (s, 0.39) ppm. ^{13}C NMR (100 MHz, DMSO-d_6): δ = 14.48, 17.59, 17.65, 54.48, 54.59, 60.13, 66.86, 101.31, 126.88, 128.19, 129.04, 143.93, 145.33, 165.65, 174.58 ppm.



1a 1m (S4)

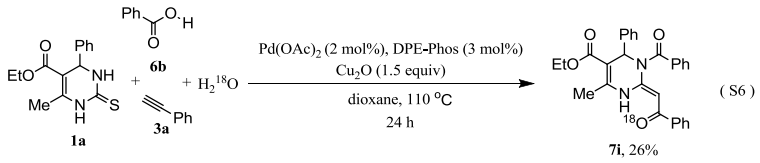


1m 2a 3a 4z, 52% 5z, 11% (S5)

Under argon atmosphere, **1m** (0.25 mmol, 69 mg), $Pd(OAc)_2$ (5 μmol, 1.2 mg), Cu_2O (0.188 mmol, 25 mg), DPE-Phos (7 μmol, 3.8 mg) were added into a Schlenk tube dried by Hot-gun. The tube was stopped and degassed with argon for three times. Then **2a** (0.375 mmol, 27 mg), **3a** (0.375 mmol, 38 mg) and dioxane (2 mL) were added by syringe. The mixture was stirred under argon atmosphere at 110 ℃ for 8 h. Then the mixture was cooled down to room temperature with 2 mL saturated solution of NH_4Cl added to quench the reaction and 1mL dilute solution of NaOH to neutralize the excess **2a**. Then, the organic components were extracted by acetic ether and evaporated in vacuum and further purified by column chromatography on silica gel with petroleum ether/ethanol (60:1) to give the product **4z** (11 mg, 11%) and **5z** (54 mg, 52%) as yellow solids (eq S5).

For **4z**, ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (t, *J* = 6.8 Hz, 3H), 2.55 (s, 3H), 2.58-2.62 (m, 4H), 4.16 (q, *J* = 6.8 Hz, 2H), 6.68 (s, 1H), 7.21-7.47 (m, 10H), 13.25 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.12, 18.73, 21.44, 33.32, 49.73, 60.24, 91.46, 104.29, 126.78, 127.13, 127.97, 128.08, 128.58, 129.96, 140.45, 140.52, 143.65, 149.52, 164.94, 168.67, 193.83 ppm. HRMS (ESI): found 419.1901, calcd. For $C_{25}H_{23}D_2N_2O_4$ ($[M+H]^+$): 419.1934; found 420.1976, calcd. For $C_{25}H_{24}D_2N_2O_4$ ($[M+2H]^+$): 420.2007.

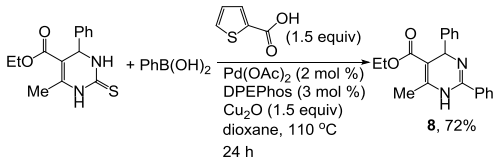
For **5z**, ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.24 (t, *J* = 7.2 Hz, 3H), 2.58 (s, 3H), 4.17 (q, *J* = 7.2 Hz, 2H), 5.80 (s, 1H), 5.86 (d, *J* = 10.0 Hz, 1H), 6.59 (s, 1H), 6.68 (s, 0.47H), 6.86 (dd, *J* = 16.8, 10.4 Hz, 1H), 7.26-7.50 (m, 8H), 7.79 (d, *J* = 7.6 Hz, 2H), 12.61 (s, 0.53H) ppm. HRMS (ESI): found 419.1867, calcd. For $C_{25}H_{23}D_2N_2O_4$ ($[M+H]^+$): 419.1934.



(S6)

2.5 Procedures for the ^{18}O labeling experiments. Under argon atmosphere, **1a** (0.25 mmol, 69 mg), **6b** (0.375 mmol, 46 mg), $Pd(OAc)_2$ (5 μmol, 1.2 mg), Cu_2O (0.188 mmol, 25 mg), DPE-Phos (7 μmol, 3.8 mg) were added into a Schlenk tube dried by Hot-gun. The tube was stopped and degassed with argon for three times. Then **3a** (0.375 mmol, 38 mg), $H_2{}^{18}O$ (0.5 mmol, 10 μL) and dioxane (1 mL) were added by syringe. The mixture was stirred under argon atmosphere at 110 ℃ for 24 h. Then the mixture was cooled down to room temperature with 1mL saturated solution of NH_4Cl added to quench the reaction and 1mL dilute solution of NaOH to neutralize the excess **6b**. Then, the organic components were extracted by acetic ether and evaporated in vacuum and further purified by column chromatography on silica gel with petroleum ether/ethanol (60:1) to give the product **7i** (31 mg, 26%) as yellow solid (eq S6), m.p. = 192~193 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): = 1.45 (t, *J* = 6.8 Hz, 3H), 2.84 (s, 3H), 4.39 (q, *J* = 6.8 Hz, 2H), 5.49 (s, 1H), 6.73 (s, 1H), 7.40-7.66 (m, 13H), 7.84 (d, *J* = 7.2 Hz, 2H), 12.81 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.17, 18.72, 53.96, 60.35, 93.53, 106.77, 126.87, 127.14, 128.02, 128.10, 128.39, 128.55, 131.62, 135.02, 138.86, 139.14, 144.28, 150.86, 165.05, 169.71, 188.74 ppm.

2.6 The reaction between 1a and phenylboronic acid directly using 2-thiophenecarboxylic acid and Cu_2O (eq S7).



Under argon atmosphere, **1a** (0.25 mmol, 69 mg), 2-thiophenecarboxylic acid (0.375 mmol, 48 mg), phenylo boric acid (0.375 mmol, 46 mg), $Pd(OAc)_2$ (5μmol, 1.2 mg), Cu_2O (0.188 mmol, 25 mg), DPE-Phos (7 μmol, 3.8 mg) were added into a Schlenk tube dried by Hot-gun. The tube was stopped and degassed with argon for three times. Then dioxane (1 mL) was added by syringe. The mixture was stirred under argon atmosphere at 110 ℃ for 24 h. Then the mixture was cooled down to room temperature with 1 mL saturated solution of NH_4Cl added to quench the reaction and 1 mL dilute solution of NaOH to neutralize the excess acids. Then, the organic components were extracted by acetic ether and evaporated in vacuum and further purified by column chromatography on silica gel with petroleum ether/ethanol (40:1) to give the product **8** (57.6 mg, 72%) as yellow semi-solid. NMR spectral data of the compound are in accordance with the previous report (C. O. Kappe, *J. Org. Chem.* **2007**, *72*, 4440). For **8**, ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.16 (t, *J* = 5.6 Hz, 3H), 2.41 (s, 3H), 4.05-4.06

(m, 2H), 5.68 (s, 1H), 7.18-7.26 (m, 3H), 7.33 (d, *J* = 6.8 Hz, 2H), 7.41 (d, *J* = 7.2 Hz, 2H), 7.52-7.57 (m, 3H), 7.63 (d, *J* = 7.2 Hz, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.10, 29.49, 56.96, 59.44, 101.16, 126.93, 126.97, 128.12, 128.28, 128.40, 130.54, 131.71, 131.81, 132.49, 133.56, 145.27, 166.76 ppm. MS (EI) *m/z* 320 (18%), 291 (44%), 277 (100 %), 243 (92%), 215 (40%), 199 (18%).

2.7 X-ray structures of compound 4b.

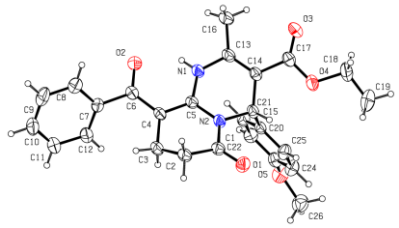
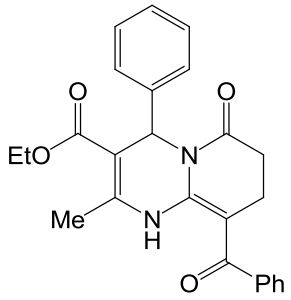
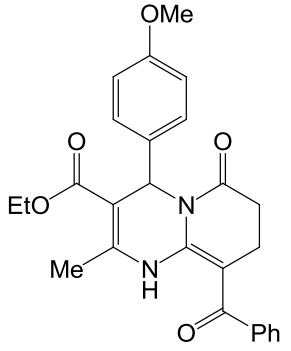


Fig. 1 X-ray structures of compound **4b**.

2.7 Characterization Data for the Isolated Products

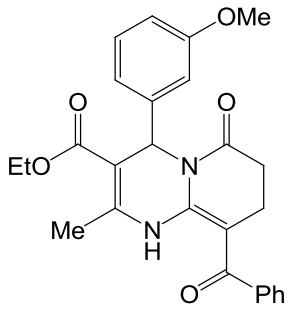


Ethyl 9-benzoyl-2-methyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4a) Yellow solid (78 mg, 75%), m.p. 222~223 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.25 (t, *J* = 7.2 Hz, 3H), 2.57 (s, 3H), 2.62 (d, 8.0 Hz, 4H), 4.17 (q, *J* = 7.2 Hz, 2H), 6.71 (s, 1H), 7.29-7.36 (m, 3H), 7.41-7.45 (m, 7H), 13.27 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.24, 18.86, 21.55, 33.42, 49.82, 60.36, 91.57, 104.36, 126.90, 127.25, 128.09, 128.20, 128.70, 130.08, 140.55, 140.62, 143.79, 149.62, 165.05, 168.80, 193.93 ppm. HRMS (ESI): found 417.1801, calcd. For $C_{25}H_{25}N_2O_4$ ($[M+H]^+$): 417.1809.



Ethyl

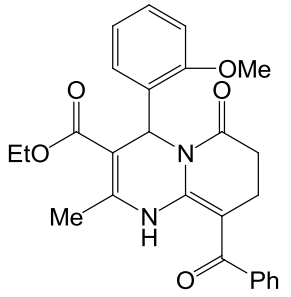
9-benzoyl-4-(4-methoxyphenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4b) Yellow solid (81 mg, 73%), m.p. = 153~154 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (t, *J* = 7.2 Hz, 3H), 2.55 (s, 3H), 2.59 (d, *J* = 6.8 Hz, 4H), 3.78 (s, 3H), 4.15 (q, *J* = 7.2 Hz, 2H), 6.63 (s, 1H), 6.84 (d, *J* = 8.4 Hz, 1H), 7.33 (d, *J* = 8.4 Hz, 2H), 7.36-7.42 (m, 5H), 13.26 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.13, 18.68, 21.45, 33.28, 49.25, 55.10, 60.19, 91.38, 104.51, 113.88, 126.77, 128.06, 128.48, 129.91, 132.68, 140.56, 143.36, 149.54, 159.24, 164.95, 168.67, 193.68 ppm. HRMS (ESI): found 447.1906, calcd. For $C_{26}H_{27}N_2O_5$ ($[M+H]^+$): 447.1914.



Ethyl

9-benzoyl-4-(3-methoxyphenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4c)

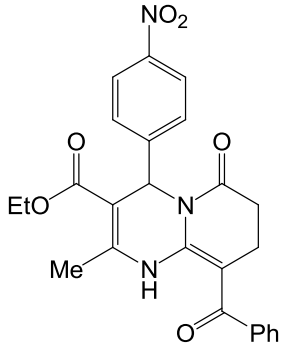
Yellow solid (80 mg, 73%), m.p. = 159~160 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.24 (t, *J* = 7.2 Hz, 3H), 2.54 (s, 3H), 2.57-2.62 (m, 4H), 3.78 (s, 3H), 4.16 (q, *J* = 7.2 Hz, 2H), 6.68 (s, 1H), 6.82 (d, *J* = 8.0 Hz, 1H), 6.96-6.99 (m, 2H), 7.22-7.27 (m, 1H), 7.40 (d, *J* = 3.6 Hz, 5), 13.23 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.14, 18.73, 21.43, 33.31, 49.50, 55.07, 60.23, 91.45, 104.11, 113.01, 113.24, 119.24, 126.77, 128.06, 129.59, 129.94, 140.49, 141.87, 143.75, 149.48, 159.60, 164.92, 168.64, 193.76 ppm. HRMS (ESI): found 447.1909, calcd. For $C_{26}H_{27}N_2O_5$ ($[M+H]^+$): 447.1914.



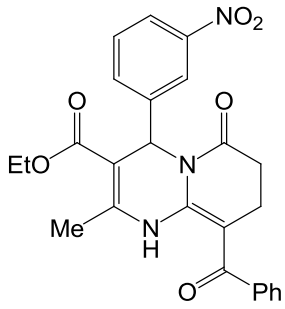
Ethyl

9-benzoyl-4-(2-methoxyphenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4d)

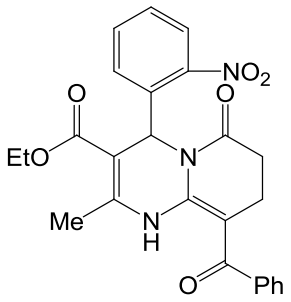
Yellow solid (82 mg, 74%), m.p. = 189~190 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (t, *J* = 7.2 Hz, 3H), 2.47 (d, *J* = 0.4 Hz, 3H), 2.51-2.56 (m, 4H), 3.75 (s, 3H), 4.10 (q, *J* = 7.2 Hz, 2H), 6.59 (s, 1H), 6.86-6.92 (m, 2H), 7.21-7.53 (m, 7H), 13.41 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.11, 18.72, 21.49, 33.23, 49.81, 54.82, 59.99, 90.37, 111.05, 119.96, 126.76, 128.08, 129.20, 131.63, 132.38, 140.85, 142.42, 150.57, 157.85, 165.25, 168.83, 193.30 ppm. HRMS (ESI): found 447.1911, calcd. For $C_{26}H_{27}N_2O_5$ ($[M+H]^+$): 447.1914.



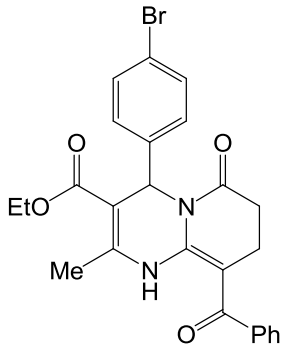
Ethyl 9-benzoyl-2-methyl-4-(4-nitrophenyl)-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4e) Red solid (67 mg, 58%), m.p. = 201~202 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.21 (t, *J* = 7.2 Hz, 3H), 2.54 (s, 3H), 2.59 (m, 4H), 4.13 (q, *J* = 7.2 Hz, 2H), 6.68 (s, 1H), 7.39 (q, *J* = 4.4 Hz, 5H), 7.56 (d, *J* = 8.4 Hz, 2H), 8.15 (d, J = 8.8 Hz, 2H), 13.22 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.14, 18.90, 21.44, 33.07, 49.37, 60.50, 91.82, 102.91, 123.92, 126.78, 128.15, 128.27, 130.24, 140.20, 144.51, 147.45, 147.52, 148.58, 164.59, 168.59, 194.40 ppm. HRMS (ESI): found 462.1667 calcd. For $C_{25}H_{24}N_3O_6$ ($[M+H]^+$): 462.1660.



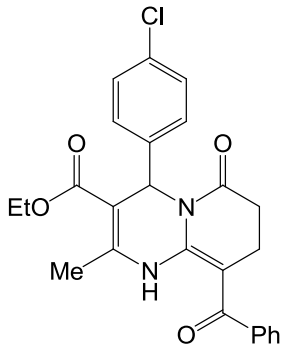
Ethyl 9-benzoyl-2-methyl-4-(3-nitrophenyl)-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4f) Red solid (79 mg, 69%), m.p. = 178~179 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.26 (t, *J* = 6.8 Hz, 3H), 2.58 (s, 3H), 2.63-2.66 (m, 4H), 4.11-4.24 (m, 2H), 6.75 (s, 1H), 7.38-7.46 (m, 5H), 7.53 (t, *J* = 8.0 Hz, 1H), 7.76-7.78 (m, 1H), 8.14-8.16 (m, 1H), 8.25(m, 1H), 13.24 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.07, 18.85, 21.39, 32.98, 49.13, 60.45, 91.69, 102.86, 122.15, 122.93, 126.72, 128.07, 129.70, 130.15, 133.23, 140.13, 142.55, 144.60, 148.23, 148.54, 164.56, 168.57, 194.31 ppm. HRMS (ESI): found 462.1669 calcd. For $C_{25}H_{24}N_3O_6$ ($[M+H]^+$): 462.1660.



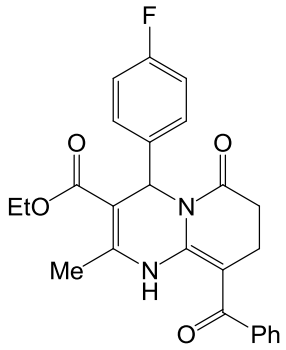
Ethyl 9-benzoyl-2-methyl-4-(2-nitrophenyl)-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4g) Red solid (91 mg, 79%), m.p. = 190~191 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.19 (t, *J* = 6.8 Hz, 3H), 2.53 (d, J = 5.2 Hz, 4H), 2.57 (s, 3H), 4.04-4.22 (m, 2H), 7.21 (s, 1H), 7.41-7.46 (m, 6H), 7.52-7.60 (m, 2H), 7.82 (d, *J* = 8.0 Hz, 1H), 13.41 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.94, 18.81, 21.36, 32.79, 45.98, 60.39, 91.77, 103.22, 124.68, 126.72, 128.08, 128.95, 129.06, 130.09, 132.94, 134.73, 140.31, 143.71, 148.56, 148.94, 164.57, 168.65, 194.31 ppm. HRMS (ESI): found 462.1671 calcd. For $C_{25}H_{24}N_3O_6$ ([M+H]$^+$): 462.1660.



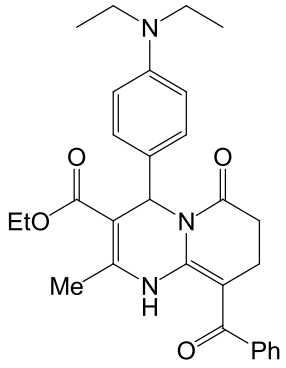
Ethyl 9-benzoyl-4-(4-bromophenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4h) Yellow solid (104 mg, 84%), m.p. = 200~201 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (t, *J* = 7.2 Hz, 3H), 2.55 (s, 3H), 2.57-2.61 (m, 4H), 4.12-4.17 (m, 2H), 6.62 (s, 1H), 7.28 (d, *J* = 8.4 Hz, 2H), 7.37-7.46 (m, 7H), 13.23 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.12, 18.74, 21.42, 33.17, 49.27, 60.31, 91.57, 103.66, 122.01, 126.76, 128.09, 129.01, 130.04, 131.73, 139.48, 140.37, 143.89, 149.06, 164.73, 169.59, 194.00 ppm. HRMS (ESI): found 495.0916, calcd. For $C_{25}H_{24}BrN_2O_4$ ([M+H]$^+$): 495.0914.



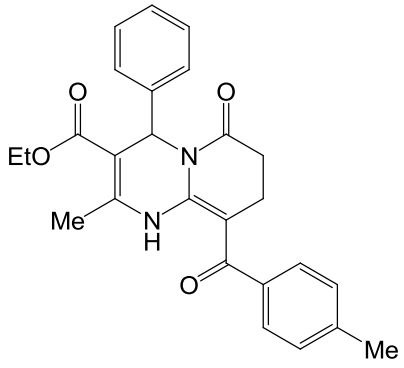
Ethyl 9-benzoyl-4-(4-chlorophenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4i) Yellow solid (89 mg, 79%), m.p. = 228~229 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.22 (t, *J* = 7.2 Hz, 3H), 2.55 (s, 3H), 2.56-2.60 (m, 4H), 4.15 (q, *J* = 7.2 Hz, 2H), 6.64 (s, 1H), 7.28 (d, *J* = 8.4 Hz, 2H), 7.34-7.41 (m, 7H), 13.25 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.06, 18.68, 21.35, 33.09, 49.11, 60.23, 91.49, 103.63, 126.71, 128.01, 128.62, 128.69, 129.98, 133.72, 138.90, 140.29, 143.82, 149.01, 164.67, 168.54, 193.89 ppm. HRMS (ESI): found 451.1429, calcd. For $C_{25}H_{24}ClN_2O_4$ ($[M+H]^+$): 451.1419.



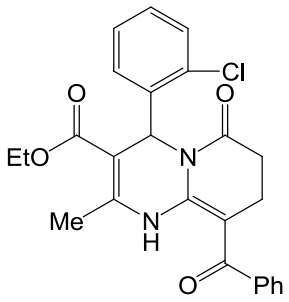
Ethyl 9-benzoyl-4-(4-fluorophenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4j) Yellow solid (51 mg, 47%), m.p. = 212~213 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.25 (t, *J* = 9.6 Hz, 3H), 2.58 (s, 3H), 2.60-2.64 (m, 4H), 4.18 (q, *J* = 9.6 Hz, 2H), 6.67 (s, 1H), 7.03 (t, *J* = 11.6 Hz, 2H), 7.39-7.44 (m, 7H), 13.28 ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.15 18.77, 21.48, 33.26, 49.21, 60.32, 91.55, 104.15, 115.50 (d, *J* = 21 Hz), 126.81, 128.14, 129.05, 129.14, 130.07, 136.40, 140.48, 143.71, 149.25, 164.85, 168.68, 194.00 ppm. HRMS (ESI): found 435.1721, calcd. For $C_{25}H_{24}FN_2O_4$ ($[M+H]^+$): 435.1715.



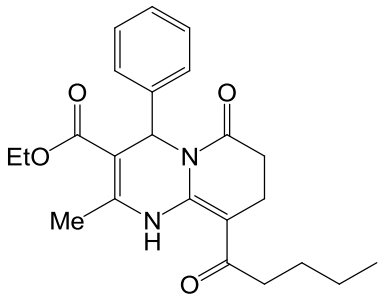
Ethyl 9-benzoyl-4-(4-(diethylamino)phenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4k) Yellow solid (89 mg, 73%), m.p. = 166~167 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.14 (t, *J* = 7.2 Hz, 6H), 1.25 (t, *J* = 7.2 Hz, 3H), 2.53 (s, 4H), 2.59-2.65 (m, 3H), 3.30-3.35 (q, 4H), 4.15 (q, *J* = 7.2 Hz, 2H), 6.57-6.59 (m, 3H), 7.22 (d, *J* = 8.4 Hz, 2H), 7.40 (d, *J* = 4.0 Hz, 7H), 13.29 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 12.55, 14.18, 18.69, 21.50, 33.41, 44.16, 49.37, 60.15, 91.20, 105.06, 111.25, 126.81, 126.96, 128.05, 128.36, 129.80, 140.76, 142.88, 147.44, 150.00, 165.16, 168.74, 193.38 ppm. HRMS (ESI): found 488.2551, calcd. For $C_{29}H_{34}N_3O_4$ ($[M+H]^+$): 488.2544.



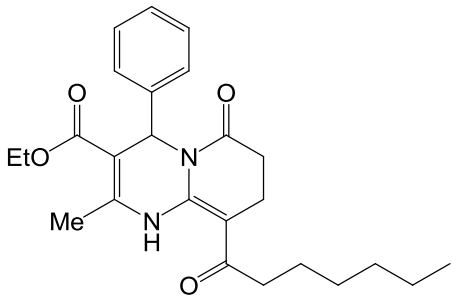
Ethyl 2-methyl-9-(4-methylbenzoyl)-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4l) Yellow solid (82 mg, 76%), m.p. = 157 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (t, *J* = 7.2 Hz, 3H), 2.37 (s, 3H), 2.59 (s, 3H), 2.60-2.65 (m, 4H), 4.14 (q, *J* = 7.2 Hz, 2H), 6.68 (s, 1H), 7.19 (d, *J* = 7.6 Hz, 2H), 7.27-7.34 (m, 5H), 7.39 (d, *J* = 7.2 Hz, 2H), 13.25 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.13, 18.73, 21.33, 21.60, 33.34, 49.69, 60.20, 91.64, 104.07, 126.98, 127.14, 127.93, 128.57, 128.70, 137.72, 140.33, 140.52, 143.76, 149.29, 164.99, 168.70, 193.87 ppm. HRMS (ESI): found 431.1972, calcd. For $C_{26}H_{27}N_2O_4$ ($[M+H]^+$): 431.1965.



Ethyl 9-benzoyl-4-(2-chlorophenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4m) Yellow solid (99 mg, 88%), m.p. = 209~210 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.22 (t, *J* = 6.8 Hz, 3H), 2.53 (s, 3H), 2.54-2.57 (m, 4H), 4.12 (q, *J* = 6.8 Hz, 2H), 6.86 (s, 1H), 7.19-7.24 (m, 2H), 7.34-7.50 (m, 7H), 13.39 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.22, 18.84, 21.47, 33.34, 49.29, 60.33, 91.78, 103.72, 126.92, 127.10, 128.18, 129.31, 130.10, 130.31, 130.53, 133.21, 138.78, 140.59, 143.03, 149.52, 164.91, 168.86, 194.07 ppm. HRMS (ESI): found 451.1422, calcd. For $C_{25}H_{24}ClN_2O_4$ ($[M+H]^+$): 451.1419.

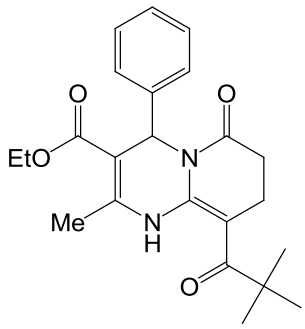


Ethyl 2-methyl-6-oxo-9-pentanoyl-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4n) Yellow solid (60 mg, 61%), m.p. = 114~115 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.93 (t, *J* = 7.2 Hz, 3H), 1.22 (t, *J* = 7.2 Hz, 3H), 1.32-1.41 (m, 2H), 1.56-1.63 (m, 2H), 2.37-2.45 (m, 1H), 2.48 (s, 3H), 2.50-2.72 (m, 5H), 4.13 (q, *J* = 6.8 Hz, 2H), 6.60 (s, 1H), 7.23-7.29 (m, 3H), 7.33-7.34 (m, 2H), 12.95 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.84, 14.12, 18.75, 19.25, 22.44, 26.77, 32.89, 39.55, 49.74, 60.07, 91.17, 103.57, 127.04, 127.76, 128.44, 140.69, 143.97, 147.18, 165.03, 168.48, 198.88 ppm. HRMS (ESI): found 397.2128, calcd. For $C_{23}H_{29}N_2O_4$ ($[M+H]^+$): 397.2122.



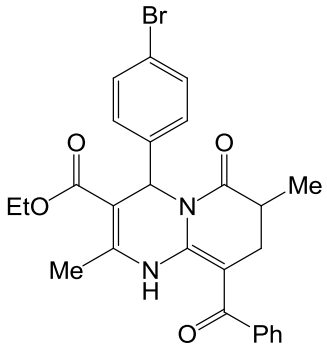
Ethyl 9-heptanoyl-2-methyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4o)

Yellow oil (35 mg, 31%). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.89 (s, 3H), 1.22 (t, *J* = 6.8 Hz, 3H), 1.31 (s, 6H), 1.60 (s, 2H), 2.38-2.44 (m, 2H), 2.48 (s, 3H), 2.52-2.73 (m, 4H), 4.13 (q, *J* = 6.8 Hz, 2H), 6.60 (s, 1H), 7.26-7.28 (m, 3H), 7.33-7.34 (m, 2H), 12.95 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.92, 14.13, 18.77, 19.28, 22.42, 24.63, 29.02, 31.59, 32.92, 39.89, 49.76, 60.10, 91.20, 103.58, 127.05, 127.78, 128.45, 140.70, 143.98, 147.19, 165.06, 168.52, 198.94 ppm. HRMS (ESI): found 425.2437, calcd. For $C_{25}H_{33}N_2O_4$ ($[M+H]^+$): 425.2435.



Ethyl 2-methyl-6-oxo-4-phenyl-9-pivaloyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4p)

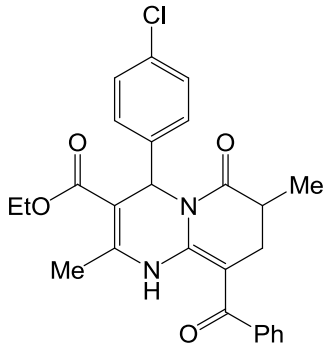
Yellow solid (19 mg, 19%); m.p. = 102~104 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.22 (t, *J* = 7.2 Hz, 3H), 1.24 (s, 9H), 2.49 (s, 3H), 2.52 (s, 1H), 2.56-2.62 (m, 1H), 2.69 (d, *J* = 14.8 Hz, 1H), 2.95 (d, *J* = 12.8 Hz, 1H), 4.13 (d, *J* = 6.8 Hz, 2H), 6.71 (s, 1H), 7.24-7.34 (m, 5H), 13.32 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.14, 18.78, 20.65, 27.42, 33.16, 43.26, 49.28, 60.08, 91.62, 103.66, 127.02, 127.75, 128.48, 140.57, 144.18, 148.91, 165.12, 168.81, 204.00 ppm. HRMS (ESI): found 397.2131, calcd. For $C_{23}H_{29}N_2O_4$ ($[M+H]^+$): 397.2122.



Ethyl

9-benzoyl-4-(4-bromophenyl)-2,7-dimethyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4q)

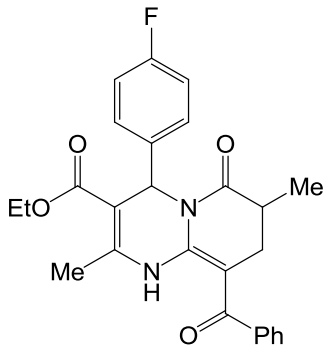
Yellow solid (94 mg, 74%), m.p. = 192~193 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.16-1.26 (m, 6H), 2.35 (d, *J* = 15.6 Hz, 1H), 2.55 (s, 3H), 2.73 (d, *J* = 6.0 Hz, 1H), 2.79 (dd, *J* = 15.2, 4.8 Hz, 1H), 4.15 (q, *J* = 6.8 Hz, 2H), 6.55 (d, *J* = 14.8 Hz, 1H), 7.28 (d, *J* = 8.4 Hz, 2H), 7.41-7.45 (m, 7H), 13.25 (d, J = 28.4 Hz, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.16, 15.33, 18.89, 28.16, 36.93, 49.73, 60.37, 88.74, 103.77, 122.04, 126.74, 126.89, 128.16, 129.09, 129.93, 131.74, 139.67, 143.89, 148.32, 164.79, 171.96, 194.96 ppm. HRMS (ESI): found 509.1073, calcd. For $C_{26}H_{26}BrN_2O_4$ ($[M+H]^+$): 509.1070.



Ethyl

9-benzoyl-4-(4-chlorophenyl)-2,7-dimethyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4r)

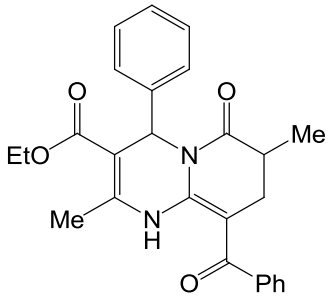
Yellow solid (85 mg, 73%), m.p. = 170~171 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.15-1.25 (m, 6H), 2.35 (d, *J* = 15.2 Hz, 1H), 2.56 (s, 1H), 2.72-2.81 (m, 2H), 4.15 (q, *J* = 6.8 Hz, 2H), 6.58 (d, *J* = 14.4 Hz, 2H), 7.27-7.43 (m, 7H), 13.26 (d, *J* = 15.2 Hz, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.12, 15.29, 18.85, 28.10, 36.88, 49.59, 60.31, 88.67, 91.78, 103.78, 126.70, 128.11, 128.72, 129.87, 133.77, 139.10, 140.51, 143.83, 148.28, 148.88, 164.76, 171.91, 194.87 ppm. HRMS (ESI): found 465.1579, calcd. For $C_{26}H_{26}ClN_2O_4$ ($[M+H]^+$): 465.1576.



Ethyl

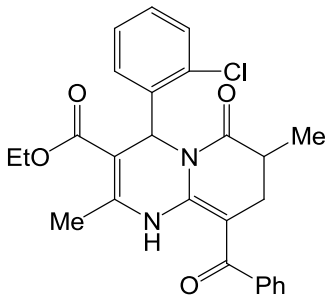
9-benzoyl-4-(4-fluorophenyl)-2,7-dimethyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4s)

Yellow solid (55 mg, 49%), m.p. = 165~167 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.16-1.25 (m, 6H), 2.33-2.42 (m, 1H), 2.56 (d, *J* = 2.8 Hz, 3H), 2.73-2.81 (m, 2H), 4.15 (q, *J* = 6.8 Hz, 2H), 6.60 (d, *J* = 15.2 Hz, 1H), 6.99 (t, *J* = 8.4 Hz, 2H), 7.38-7.43 (m, 7H), 13.27 (d, *J* = 30.0 Hz, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.10, 15.00 (d, *J* = 57 Hz), 18.75 (d, *J* = 12 Hz), 28.93 (d, *J* = 170 Hz), 37.05 (d, *J* = 34 Hz), 49.59, (d, *J* = 16 Hz), 60.26, 88.59, 91.72, 104.10, 115.41 (d, *J* = 21 Hz), 126.77 (d, *J* = 15 Hz), 128.08, 129.07 (d, *J* = 7 Hz), 129.93 (d, *J* = 21 Hz), 136.46, 140.47 (d, *J* = 15 Hz), 143.62 (d, *J* = 5 Hz), 148.67 (d, *J* = 61 Hz), 164.80, 171.75 (d, *J* = 36 Hz), 194.23 (d, *J* = 112 Hz) ppm. HRMS (ESI): found 449.1879, calcd. For $C_{26}H_{26}FN_2O_4$ ($[M+H]^+$): 449.1871.



Ethyl 9-benzoyl-2,7-dimethyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4t)

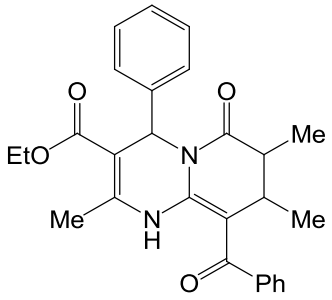
Yellow solid (77 mg, 72%), m.p. = 180~181 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.16-1.25 (m, 6H), 2.32-2.43 (m, 1H), 2.55 (s, 3H), 2.73-2.82 (m, 2H), 4.15 (q, *J* = 6.8 Hz, 2H), 6.63 (d, *J* = 16.4 Hz, 1H), 7.26-7.31 (m, 3H), 7.40 (s, 7H), 13.30 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.12, 15.32, 18.82, 28.12, 37.00, 50.11, 60.24, 88.55, 104.33, 126.72, 126.87, 127.16, 127.94, 128.09, 128.54, 129.78, 140.61, 140.68, 143.62, 148.72, 164.93, 171.97, 194.70 ppm. HRMS (ESI): found 431.1972, calcd. For $C_{26}H_{27}N_2O_4$ ($[M+H]^+$): 431.1965.



Ethyl

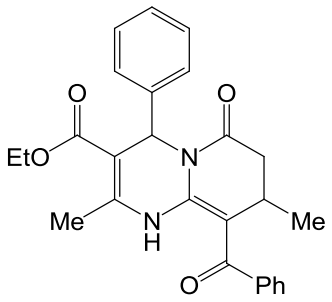
9-benzoyl-4-(2-chlorophenyl)-2,7-dimethyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4u)

Yellow solid (93 mg, 80%), m.p. = 192~193 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.12-1.25 (m, 6H), 2.33 (dd, *J* = 15.6, 2.8 Hz, 1H), 2.53 (s, 3H), 2.67 (d, *J* = 4.8 Hz, 1H), 2.76 (dd, *J* = 15.6, 5.4 Hz, 1H), 4.11-4.14 (m, 2H), 6.81 (d, *J* = 19.2 Hz, 1H), 7.21 (s, 2H), 7.33-7.50 (m, 7H), 13.47 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.11, 15.30, 18.82, 28.10, 36.81, 49.65, 60.19, 88.70, 103.56, 126.70, 126.88, 126.98, 128.07, 129.13, 129.78, 130.01, 130.13, 130.33, 133.12, 138.87, 138.91, 140.64, 142.86, 148.62, 164.78, 172.03, 194.78 ppm. HRMS (ESI): found 465.1581, calcd. For $C_{26}H_{26}ClN_2O_4$ ($[M+H]^+$): 465.1576.



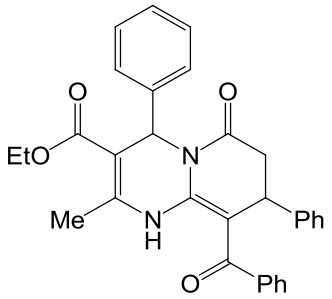
Ethyl 9-benzoyl-2,7,8-trimethyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4v)

Yellow solid (93 mg, 98% yield from **5v**); m.p. = 189~190 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.55 (dd, *J* = 42.8, 6.8 Hz, 3H), 1.09-1.28 (m, 6H), 2.55 (s, 3H), 2.59-2.62 (m, 1H), 2.85-2.88 (m, 1H), 4.13 (q, *J* = 6.8 Hz, 2H), 6.61 (s, 1H), 7.25-7.40 (m, 10H), 13.24 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 12.66, 14.12, 14.64, 18.78, 31.96, 41.67, 50.53, 60.22, 94.87, 99.20, 104.79, 127.39, 128.02, 128.20, 128.48, 129.30, 140.08, 141.19, 143.59, 148.57, 164.86, 171.48, 194.20 ppm. HRMS (ESI): found 445.2129, calcd. For $C_{27}H_{29}N_2O_4$ ($[M+H]^+$): 445.2122.



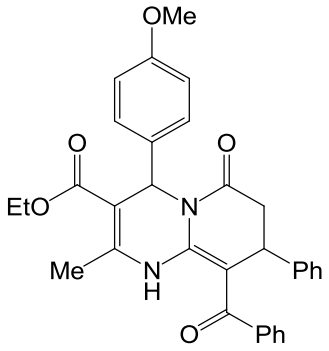
Ethyl 9-benzoyl-2,8-dimethyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4w)

Yellow solid (92 mg, 98% yield from **5w**); m.p. = 198~199 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.67 (d, *J* = 4.8 Hz, 3H), 1.21-1.24 (m, 3H), 2.43-2.47 (m, 1H), 2.56 (s, 3H), 2.82 (d, *J* = 10.4 Hz, 2H), 4.14 (q, *J* = 7.2 Hz, 2H), 6.66 (s, 1H), 7.27-7.43 (m, 10H), 13.26 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.08, 18.75, 20.09, 26.12, 40.16, 49.95, 60.20, 97.32, 104.66, 125.83, 127.31, 128.04, 128.15, 128.47, 129.26, 139.88, 141.07, 143.62, 148.70, 164.79, 168.20, 194.44 ppm. HRMS (ESI): found 431.1972, calcd. For $C_{26}H_{27}N_2O_4$ ($[M+H]^+$): 431.1965.

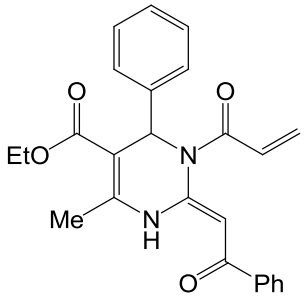


Ethyl 9-benzoyl-2-methyl-6-oxo-4,8-diphenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4x)

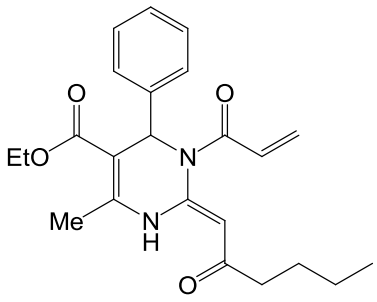
Yellow solid (81 mg, 96% yield from **5x**); m.p. = 177~178 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.18 (t, *J* = 7.2 Hz, 3H), 2.66 (s, 3H), 2.76 (d, *J* = 15.6 Hz, 3H), 3.04 (dd, *J* = 15.6, 6.4 Hz, 1H), 3.87 (d, *J* = 5.2 Hz, 1H), 4.12 (q, *J* = 7.2 Hz, 2H), 6.56 (d, *J* = 3.6 Hz, 2H), 6.66 (s, 1H), 6.86 (t, *J* = 7.6 Hz, 2H), 7.01-7.07 (m, 3H), 7.20 (t, *J* = 7.6 Hz, 2H), 7.30-7.34 (m, 6H), 13.61 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.10, 18.70, 37.21, 41.36, 49.67, 60.31, 94.00, 104.69, 126.17, 126.64, 127.87, 127.95, 128.28, 128.60, 128.64, 129.64, 138.79, 140.68, 140.99, 144.14, 150.07, 164.82, 167.30, 194.83 ppm. HRMS (ESI): found 493.2132, calcd. For $C_{31}H_{29}N_2O_4$ ($[M+H]^+$): 493.2122.



Ethyl 9-benzoyl-4-(4-methoxyphenyl)-2-methyl-6-oxo-8-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4y) Yellow solid (72 mg, 95% yield from **5y**); m.p. = 192~193 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.19 (t, *J* = 7.2 Hz, 3H), 2.65 (s, 3H), 2.75 (d, *J* = 15.6 Hz, 1H), 3.03 (dd, *J* = 15.6, 6.8 Hz, 1H), 3.83 (s, 3H), 3.86 (d, *J* = 6.0 Hz, 1H), 4.13 (q, *J* = 7.2 Hz, 2H), 6.57 (d, *J* = 7.6 Hz, 2H), 6.62 (s, 1H), 6.82 (d, *J* = 8.0 Hz, 2H), 6.89 (t, *J* = 7.2 Hz, 2H), 7.03-7.07 (m, 3H), 7.20 (t, *J* = 7.2 Hz, 2H), 7.26-7.31 (m, 3H), 13.61 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.11, 18.66, 37.23, 41.33, 49.13, 55.25, 60.27, 93.94, 104.90, 113.89, 126.14, 126.57, 126.65, 127.84, 128.52, 129.19, 129.58, 131.15, 140.69, 141.13, 143.85, 150.10, 159.44, 164.84, 167.27, 194,67 ppm. HRMS (ESI): found 523.2235, calcd. For $C_{32}H_{31}N_2O_5$ ($[M+H]^+$): 523.2227.

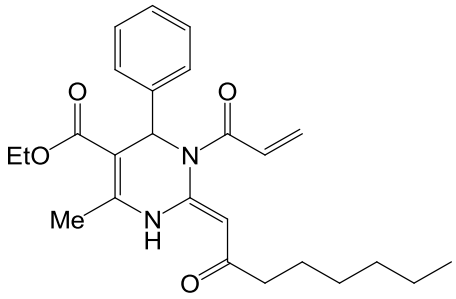


(*E*)-Ethyl 3-acryloyl-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5a) Yellow solid; m.p. = 171~172 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (t, *J* = 7.2 Hz, 3H), 2.58 (s, 3H), 4.17 (q, *J* = 7.2 Hz, 2H), 5.80 (s, 1H), 5.85 (d, *J* = 10.4 Hz, 1H), 6.56 (dd, *J* = 16.8, 0.8 Hz, 1H), 6.60, (s, 1H), 6.86 (dd, *J* = 16.8, 10.4 Hz, 1H), 7.22-7.31 (m, 3H), 7.35-7.51 (m, 5H), 7.78 (d, *J* = 7.6 Hz, 2H), 12.62 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.24, 18.86, 21.55, 33.42, 49.82, 60.36, 91.57, 104,36, 126.90, 127.25, 128.09, 128.19, 128.70, 130.08, 140.55, 140.61, 143.78, 149.61, 165.05, 168.80, 193.93 ppm. HRMS (ESI): found 417.1811, calcd. For $C_{25}H_{25}N_2O_4$ ($[M+H]^+$): 417.1809.



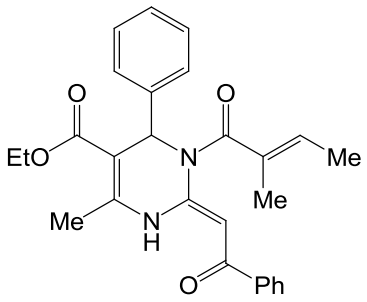
(*E*)-Ethyl 3-acryloyl-6-methyl-2-(2-oxohexylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5n)

Yellow oil (8 mg, 8%). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.90 (s, 3H), 1.22 (s, 3H), 1.31 (dd, *J* = 13.3, 6.4 Hz, 4H), 2.32 (s, 2H), 2.51 (s, 3H), 4.15 (d, *J* = 6.8 Hz, 2H), 5.10 (s, 1H), 5.79-5.86 (m, 1H), 6.40-6.48 (m, 1H), 6.54 (s, 1H), 6.72-6.79 (m, 1H), 7.30 (s, 5H), 12.11 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.68, 14.05, 18.41, 22.20, 27.25, 42.60, 52.32, 60.16, 94.10, 105.90, 126.99, 127.80, 128.38, 129.32, 129.56, 138.99, 144.05, 147.91, 164.86, 165.17, 199.99 ppm. HRMS (ESI): found 397.2130, calcd. For $C_{23}H_{29}N_2O_4$ ($[M+H]^+$): 397.2122.



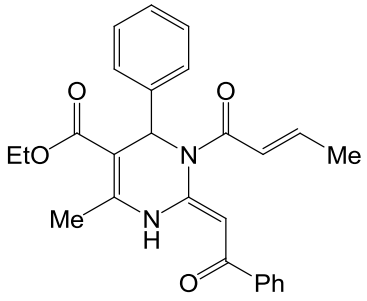
(*E*)-Ethyl 3-acryloyl-6-methyl-2-(2-oxooctylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5o)

Yellow oil (23 mg, 21%). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.79 (t, *J* = 4.0 Hz, 3H), 1.13 (q, *J* = 4.0 Hz, 3H), 1.18 (s, 6H), 1.47-1.50 (m, 2H), 2.17-2.31 (m, 2H), 2.43 (s, 3H), 4.07 (q, *J* = 4.0 Hz, 2H), 5.01 (s, 1H), 5.72 (d, *J* = 10.4 Hz, 1H), 6.42 (d, *J* = 16.8 Hz, 1H), 6.47 (s, 1H), 6.68 (dd, *J* = 16.4, 10.0 Hz, 1H), 7.17-7.22 (m, 5H), 12.04 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.96, 14.12, 18.49, 22.41, 25.20, 28.84, 29.60, 31.51, 42.96, 52.34, 60.22, 94.10, 105.89, 127.06, 127.89, 128.45, 129.44, 129.57, 139.01, 144.17, 147.94, 164.94, 165.25, 200.09 ppm. HRMS (ESI): found 425.2438, calcd. For $C_{25}H_{33}N_2O_4$ ($[M+H]^+$): 425.2435.



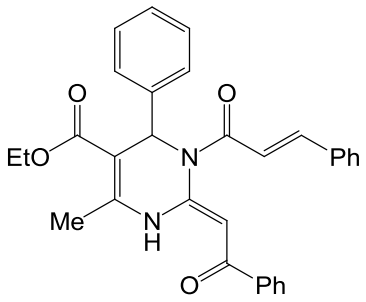
(*E*)-Ethyl

6-methyl-3-((E)-2-methylbut-2-enoyl)-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5v) Yellow solid (95 mg, 86%), m.p. = 127~128 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.24 (t, *J* = 7.2 Hz, 3H), 1.75 (d, *J* = 6.8 Hz, 3H), 1.91 (s, 3H), 2.59 (s, 3H), 4.18 (q, *J* = 7.2 Hz, 2H), 5.87 (s, 1H), 6.31 (d, *J* = 6.8 Hz, 1H), 6.34 (s, 1H), 7.21-7.49 (m, 8H), 7.74 (d, *J* = 7.6 Hz, 2H), 12.71 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.81, 13.96, 14.15, 18.61, 53.77, 60.31, 90.15, 106.53, 126.94, 126.98, 127.87, 128.39, 128.44, 131.76, 133.01, 134.14, 138.97, 139.31, 144.11, 151.08, 165.08, 171.86, 188.51 ppm. HRMS (ESI): found 445.2129, calcd. For $C_{27}H_{29}N_2O_4$ ($[M+H]^+$): 445.2122.



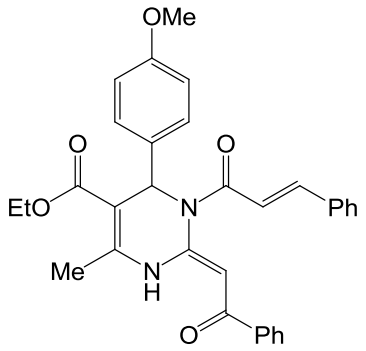
(*E*)-Ethyl

3-((E)-but-2-enoyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5w) Yellow solid (95 mg, 88%), m.p. = 102~103 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.27 (t, *J* = 7.2 Hz, 3H), 1.95 (t, *J* = 6.0 Hz, 3H), 2.57 (s, 3H), 4.16 (q, *J* = 7.2 Hz, 2H), 5.86 (s, 1H), 6.58 (s, 1H), 6.62 (d, *J* = 1.2 Hz, 1H), 7.09-7.18 (m, 1H), 7.22-7.29 (m, 3H), 7.34-7.48 (m, 5H), 12.67 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.15, 18.13, 18.50, 26.83, 52.44, 60.29, 90.90, 106.71, 124.42, 127.03, 127.07, 127.87, 128.44, 128.48, 131.88, 138.91, 139.21, 144.00, 144.06, 150.22, 164.99, 165.71, 188.60 ppm. HRMS (ESI): found 431.1974, calcd. For $C_{26}H_{27}N_2O_4$ ($[M+H]^+$): 431.1965.



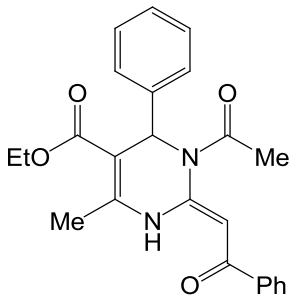
(*E*)-Ethyl

3-cinnamoyl-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5x) Yellow solid (85 mg, 69%), m.p. = 137~138 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.24 (t, *J* = 7.2 Hz, 3H), 2.60 (s, 3H), 4.16-4.21 (m, 2H), 5.93 (s, 1H), 6.67 (s, 1H), 7.21-7.43 (m ,12H), 7.52-7.54 (m, 2H), 7.74 (d, *J* = 7.6 Hz, 2H), 7.84 (d, *J* = 15.2 Hz, 1H), 12.71 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.26, 18.63, 52.73, 60.43, 90.90, 106.95, 119.93, 127.18, 128.05, 128.12, 128.50, 128.62, 129.02, 130.48, 132.02, 134.49, 138.82, 139.23, 143.90, 144.11, 150.32, 165.04, 166.06, 188.81 ppm. HRMS (ESI): found 493.2127, calcd. For $C_{31}H_{29}N_2O_4$ ($[M+H]^+$): 493.2122.

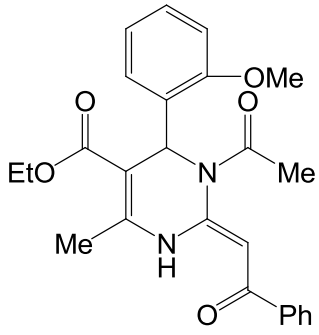


(*E*)-Ethyl

3-cinnamoyl-4-(4-methoxyphenyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5y) Yellow solid (76 mg, 58%), m.p. = 137~138 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.24 (t, *J* = 7.2 Hz, 3H), 2.60 (s, 3H), 3.73 (s, 3H), 4.17 (q, *J* = 7.2 Hz, 2H), 5.91 (s, 1H), 6.06 (s, 1H), 6.81 (s, 1H), 6.83 (s, 1H), 7.19-7.40 (m ,9H), 7.51-7.53 (m, 2H), 7.74 (d, *J* = 7.2 Hz, 2H), 7.83 (d, *J* = 15.6 Hz, 1H), 12.72 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.15, 18.48, 52.24, 55.05, 60.27, 90.75, 107.05, 113.87, 119.92, 127.04, 127.99, 128.09, 128.37, 128.44, 128.89, 130.32, 131.23, 131.87, 134.40, 138.73, 143.64, 150.25, 159.23, 164.92, 165.90 ppm. HRMS (ESI): found 523.2231, calcd. For $C_{32}H_{31}N_2O_5$ ($[M+H]^+$): 523.2227.

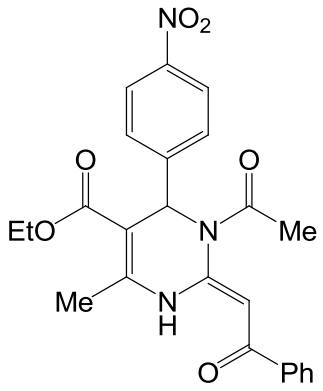


(*E*)-Ethyl 3-acetyl-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7a) Yellow solid (90 mg, 89%); m.p. = 196~197 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.26 (t, *J* = 7.2 Hz, 3H), 2.55 (s, 3H), 2.58 (s, 3H), 4.20 (q, *J* = 7.2 Hz, 2H), 6.00 (s, 1H), 6.70 (s, 1H), 7.25-7.32 (m, 5H), 7.42-7.51 (m, 3H), 7.84 (d, *J* = 7.2 Hz, 2H), 12.78 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.26, 18.64, 24.61 52.15, 60.44, 90.88, 106.68, 126.92, 127.24, 128.04, 128.56, 128.67, 132.06, 138.95, 139.01, 144.28, 150.48, 165.17, 169.58, 189.25 ppm. HRMS (ESI): found 405.1802, calcd. For $C_{24}H_{25}N_2O_4$ ([M+H]$^+$): 405.1809.



(*E*)-Ethyl

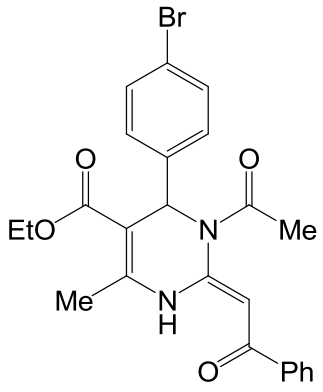
3-acetyl-4-(2-methoxyphenyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7b) Yellow solid (51 mg, 49%); m.p. = 165~166 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (t, *J* = 7.2 Hz, 3H), 2.53 (s, 3H), 2.54 (s, 3H), 3.79 (s, 3H), 4.15 (q, *J* = 7.2 Hz, 2H), 6.24 (s, 1H), 6.66 (s, 1H), 6.84-6.87 (m, 2H), 7.17-7.28 (m, 2H), 7.38-7.42 (m, 3H), 7.84 (d, *J* = 7.2 Hz, 2H), 12.86 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.17, 18.55, 24.15, 50.39, 55.11, 60.17, 91.27, 105.29, 111.00, 120.39, 125.89, 127.19, 128.35, 128.92, 129.58, 131.71, 139.17, 144.34, 150.28, 157.41, 165.15, 169.64, 189.58 ppm. HRMS (ESI): found 435.1913, calcd. For $C_{25}H_{27}N_2O_5$ ([M+H]$^+$): 435.1914.



(*E*)-Ethyl

3-acetyl-6-methyl-4-(4-nitrophenyl)-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7c)

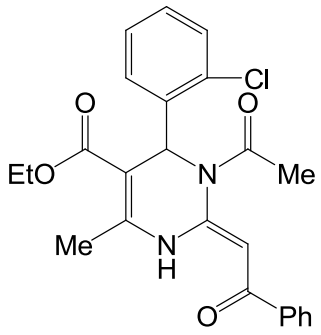
Yellow solid (82 mg, 73%); m.p. = 178~179 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (t, *J* = 7.2 Hz, 3H), 2.53 (s, 3H), 2.56 (s, 3H), 4.18 (q, *J* = 7.2 Hz, 2H), 5.90 (s, 1H), 6.65 (s, 1H), 6.96 (t, *J* = 8.8 Hz, 2H), 7.26-7.50 (m, 5H), 7.81-7.83 (m, 2H), 12.74 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.15, 18.53, 24.48, 51.38, 60.38, 90.83, 106.46, 115.36, 115.57, 127.14, 128.71, 128.80, 132.06, 138.75, 144.22, 150.17, 164.93, 169.41, 189.18 ppm. HRMS (ESI): found 450.1666, calcd. For $C_{24}H_{24}N_3O_6$ ($[M+H]^+$): 450.1660.



(*E*)-Ethyl

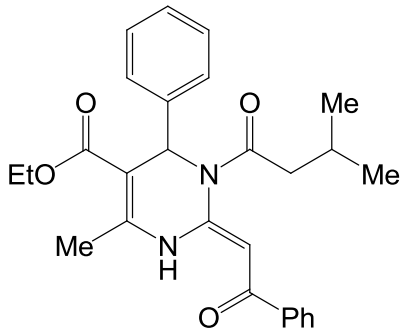
3-acetyl-4-(4-bromophenyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7d)

Yellow solid (110 mg, 91%); m.p. = 152~153 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.26 (t, *J* = 7.2 Hz, 3H), 2.57 (s, 3H), 2.64 (t, *J* = 7.2 Hz, 3H), 4.18 (q, *J* = 7.2 Hz, 2H), 6.74 (s, 1H), 7.41-7.45 (m, 5H), 7.53 (t, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 7.6 Hz, 1H), 8.16 (d, *J* = 8.0 Hz, 1H), 8.25 (s, 1H), 13.23 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.16, 21.49, 33.09, 49.23, 60.57, 91.78, 102.98, 122.24, 123.04, 126.80, 128.18, 129.76, 130.26, 133.31, 140.20, 142.62, 144.69, 148.63, 164.65, 168.65, 194.45 ppm. HRMS (ESI): found 483.0918, calcd. For $C_{24}H_{24}BrN_2O_4$ ($[M+H]^+$): 483.0914.



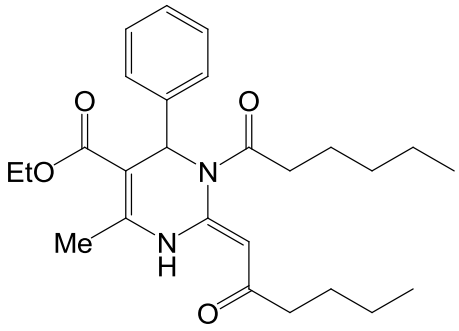
(*E*)-Ethyl

3-acetyl-4-(2-chlorophenyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7e) Yellow solid (59 mg, 54%); m.p. = 222~223 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.23 (s, 3H), 2.48 (d, *J* = 1.2 Hz, 3H), 2.58 (s, 3H), 4.15 (q, *J* = 7.2 Hz, 2H), 5.98 (d, *J* = 1.2 Hz, 1H), 7.04 (s, 1H), 7.18 (s, 3H), 7.37-7.50 (m, 4H), 7.83 (d, *J* = 6.0 Hz, 2H), 12.59 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.12, 18.45, 24.07, 49.73, 60.35, 92.95, 107.00, 127.04, 127.24, 128.49, 128.85, 129.51, 130.40, 132.15, 135.66, 138.61, 144.52, 150.02, 164.73, 168.53, 189.49 ppm. HRMS (ESI): found 439.1433, calcd. For $C_{24}H_{24}ClN_2O_4$ ([M+H]$^+$): 439.1425.

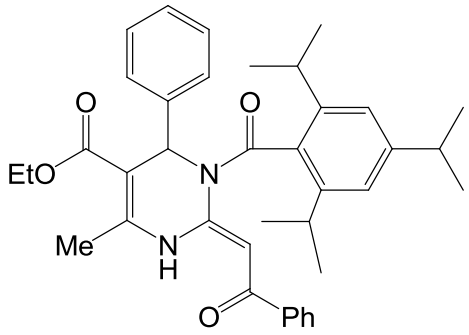


(*E*)-Ethyl

6-methyl-3-(3-methylbutanoyl)-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7f) Yellow solid (38 mg, 34%); m.p. = 108~109 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.94 (d, *J* = 6.4 Hz, 3H), 1.03 (d, *J* = 6.8 Hz, 3H), 1.24 (t, *J* = 6.8 Hz, 3H), 2.28 (dt, *J* = 13.4, 6.8 Hz, 1H), 2.55 (s, 3H), 2.70 (d, *J* = 7.2 Hz, 2H), 4.19 (q, *J* = 6.8 Hz, 2H), 6.03 (s, 1H), 6.62 (s, 1H), 7.24-7.54 (m, 8H), 7.83 (d, *J* = 8.0 Hz, 2H), 12.79 ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.19, 18.56, 22.07, 22.85, 26.69, 44.82, 52.28, 60.39, 90.98, 106.69, 126.79, 127.20, 128.38, 128.50, 128.60, 132.45, 139.08, 144.35, 150.46, 165.19, 172.53, 189.17 ppm. HRMS (ESI): found 447.2284, calcd. For $C_{27}H_{31}N_2O_4$ ([M+H]$^+$): 447.2278.

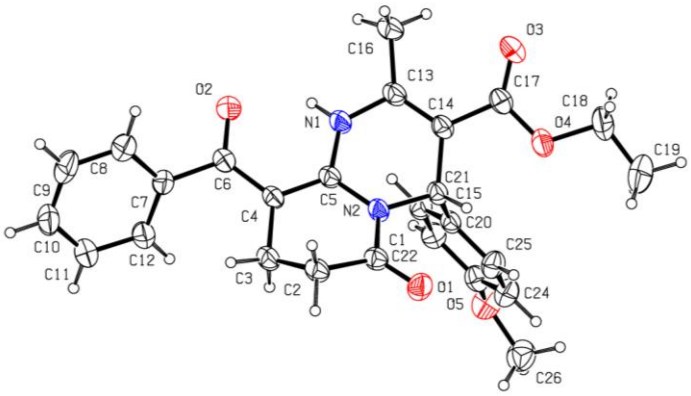


(*E*)-Ethyl 3-hexanoyl-6-methyl-2-(2-oxohexylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7g) Yellow oil (68 mg, 62%). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.89 (s, 6H), 1.22 (t, *J* = 6.8 Hz, 3H), 1.32 (s, 6H), 1.55-1.58 (m, 2H), 1.72-1.74 (m, 2H), 2.35 (td, *J* = 15.1, 7.2 Hz, 2H), 2.48 (s, 3H), 2.71 (s, 2H), 4.16 (q, *J* = 6.8 Hz, 2H), 5.31 (s, 1H), 6.59 (s, 1H), 7.25 (s, 5H), 12.27 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 13.67, 14.01, 18.34, 22.17, 25.45, 27.37, 31.14, 35.83, 42.63, 51.76, 60.05, 93.54, 105.76, 126.65, 127.63, 128.32, 139.04, 144.30, 148.47, 165.01, 172.69, 200.05 ppm. HRMS (ESI): found 469.3055, calcd. For $C_{28}H_{41}N_2O_4$ ($[M+H]^+$): 469.3061.



(*E*)-Ethyl 6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-3-(2,4,6-triisopropylbenzoyl)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7h) Yellow solid (124 mg, 84%); m.p. = 138~139 ℃. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 0.97 (d, *J* = 6.8 Hz, 3H), 1.06 (d, *J* = 6.8 Hz, 3H), 1.10 (d, *J* = 7.2 Hz, 3H), 1.13-1.20 (m, 9H), 1.27 (d, *J* = 6.8 Hz, 3H), 2.52 (s, 3H), 2.78-2.86 (m ,2H), 2.95-2.98 (m, 1H), 4.14 (q, *J* = 6.8 Hz, 2H), 5.15 (s, 1H), 6.85 (s, 1H), 6.95 (s, 1H), 7.03-7.28 (m, 11H), 12.78 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.08, 18.23, 22.73, 22.87, 23.72, 23.83, 24.08, 25.36, 25.42, 30.89, 31.34, 31.61, 34.29, 50.19, 60.29, 92.17, 105.89, 120.69, 121.38, 121.66, 126.15, 126.72, 126.93, 127.47, 127.86, 127.93, 128.53, 131.53, 131.73, 138.80, 139.14, 143.87, 144.53, 145.49, 149.92, 150.57, 165.14, 168.97, 189.38 ppm. HRMS (ESI): found 593.3370, calcd. For $C_{38}H_{45}N_2O_4$ ($[M+H]^+$): 593.3374.

X-ray crystal structure analysis of compound **4b**



Bond precision: C-C = 0.0045 A; Wavelength=0.71070

Cell: a=8.2925(4), b=16.1905(12), c=18.3113(17)

alpha=102.701(7), beta=100.959(6), gamma=97.914(5)

Temperature: 297 K

	Calculated	Reported
Volume	2312.9(3)	2312.9(3)
Space group	P -1	P -1
Hall group	-P 1	-P 1
Moiety formula	$C_{26}H_{26}N_2O_5$	$C_{26}H_{26}N_2O_5$
Sum formula	$C_{26}H_{26}N_2O_5$	$C_{26}H_{26}N_2O_5$
Mr	446.49	446.49
Dx,g cm^{-3}	1.282	1.282
Z	4	4
Mu (mm-1)	0.089	0.089
F000	944.0	944.0
F000’	944.46	
h,k,lmax	10,19,22	10,19,22
Nref	9113	9099
Tmin,Tmax	0.972,0.986	0.925,1.000
Tmin’	0.972	

Correction method= MULTI-SCAN

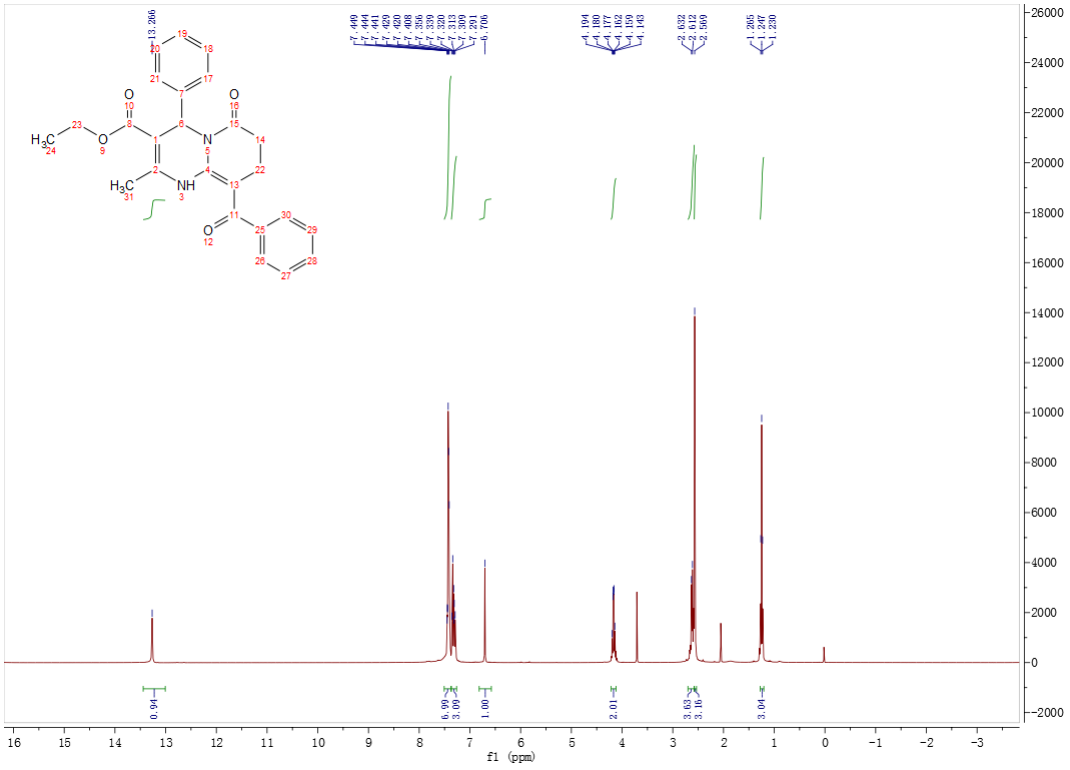
Data completeness= 0.998 Theta(max)= 26.020

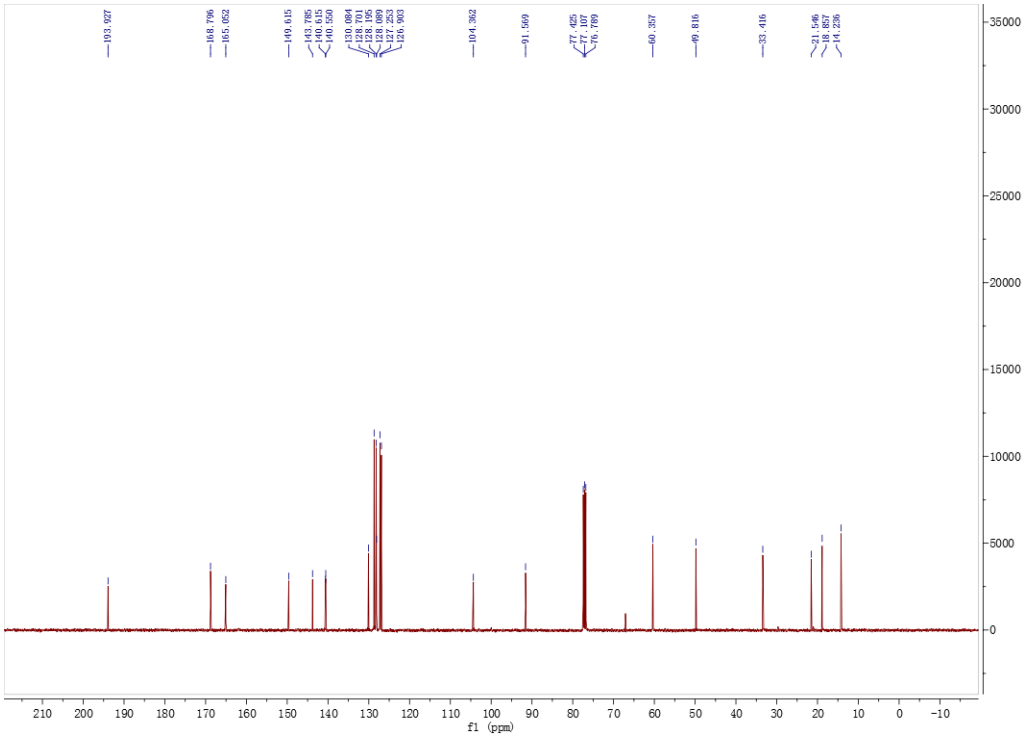
R(reflections)= 0.0614(5373) wR2(reflections)= 0.1606(9099)

S = 1.047 Npar= 601

3. Copies of the NMR Spectra for New Products.

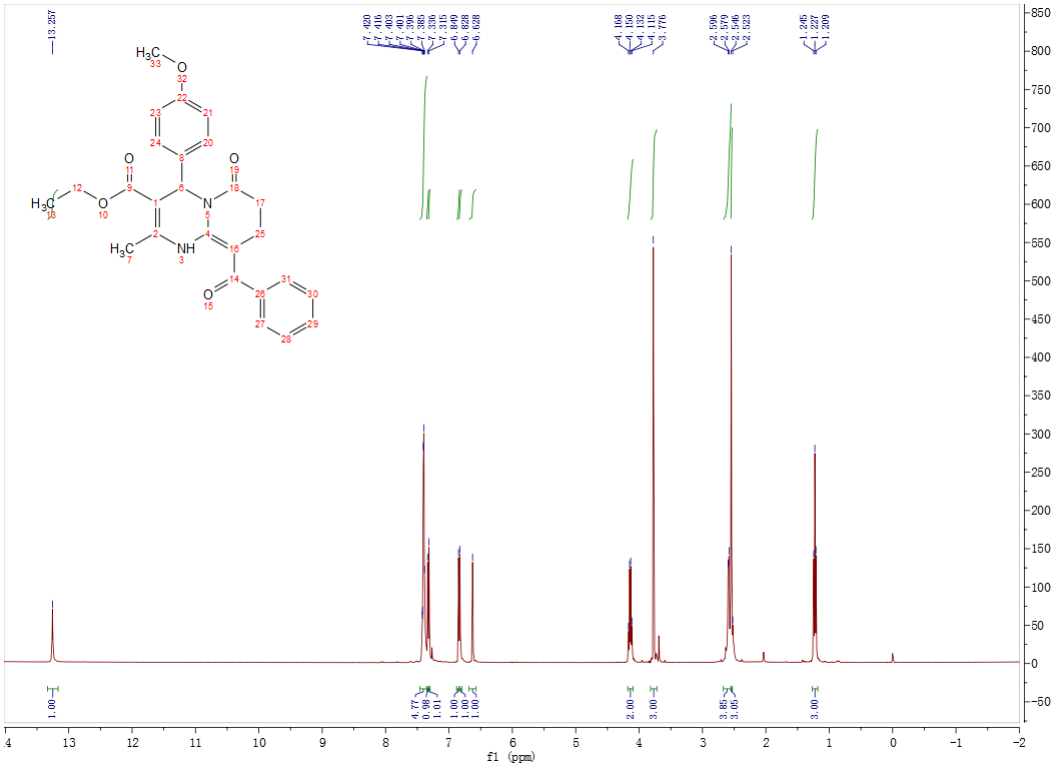
Ethyl 9-benzoyl-2-methyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4a)

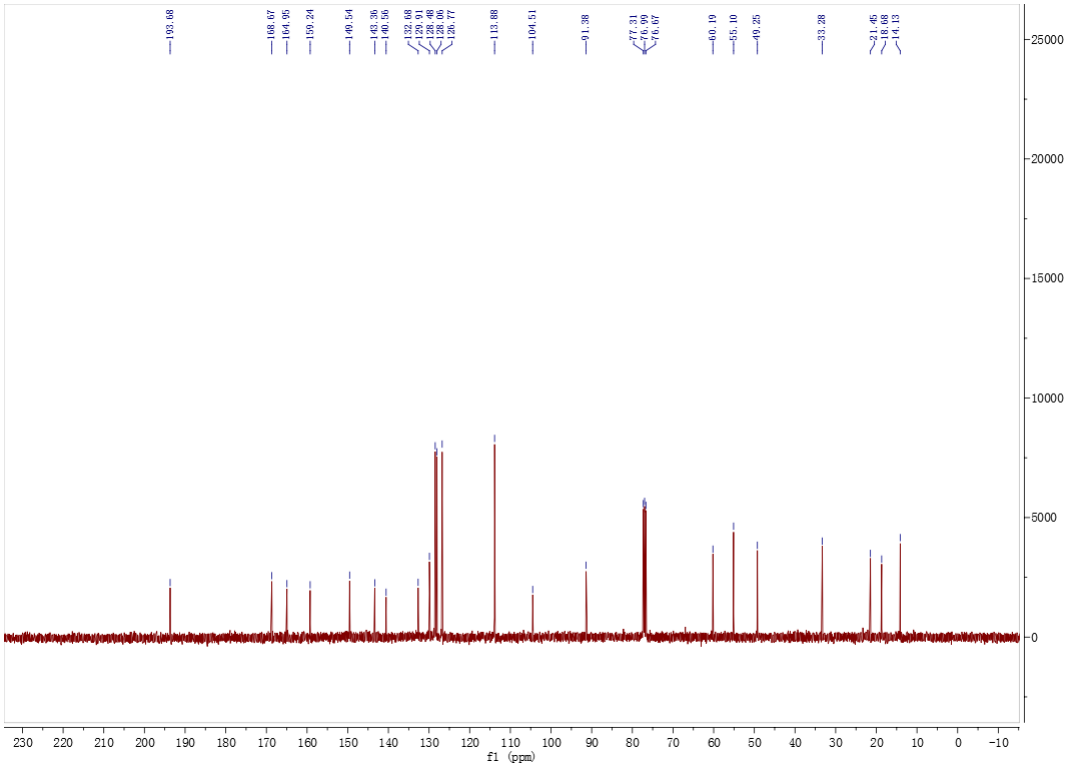




Ethyl

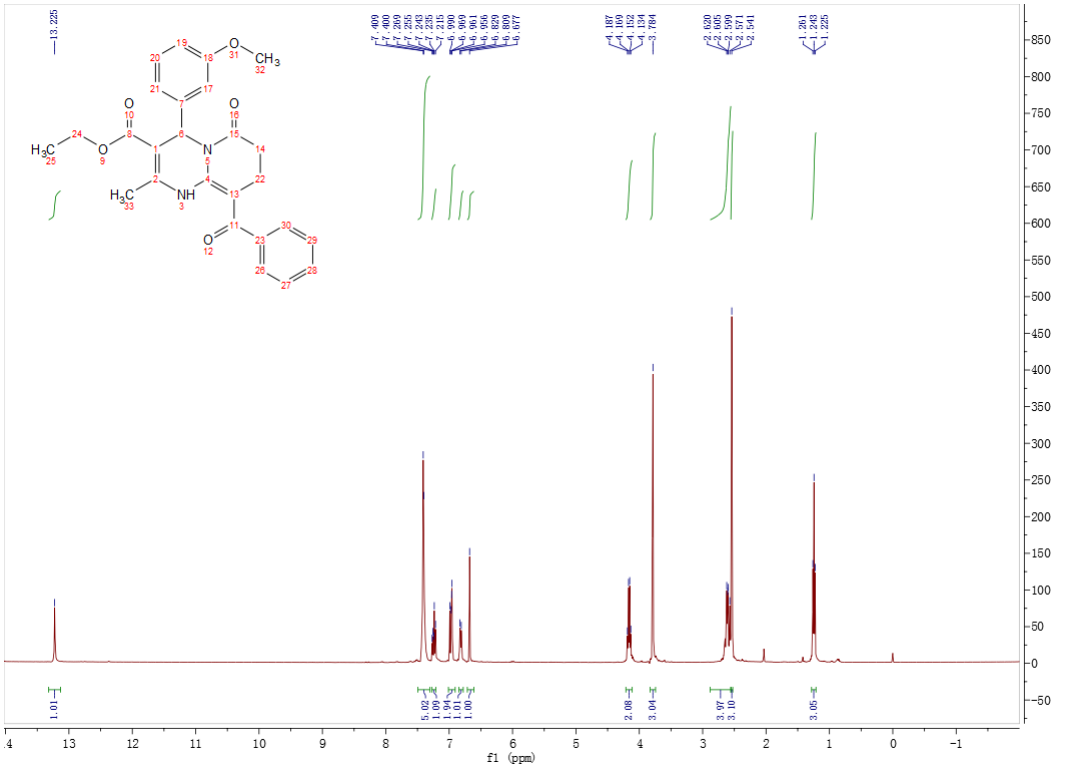
9-benzoyl-4-(4-methoxyphenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxyl ate (4b)

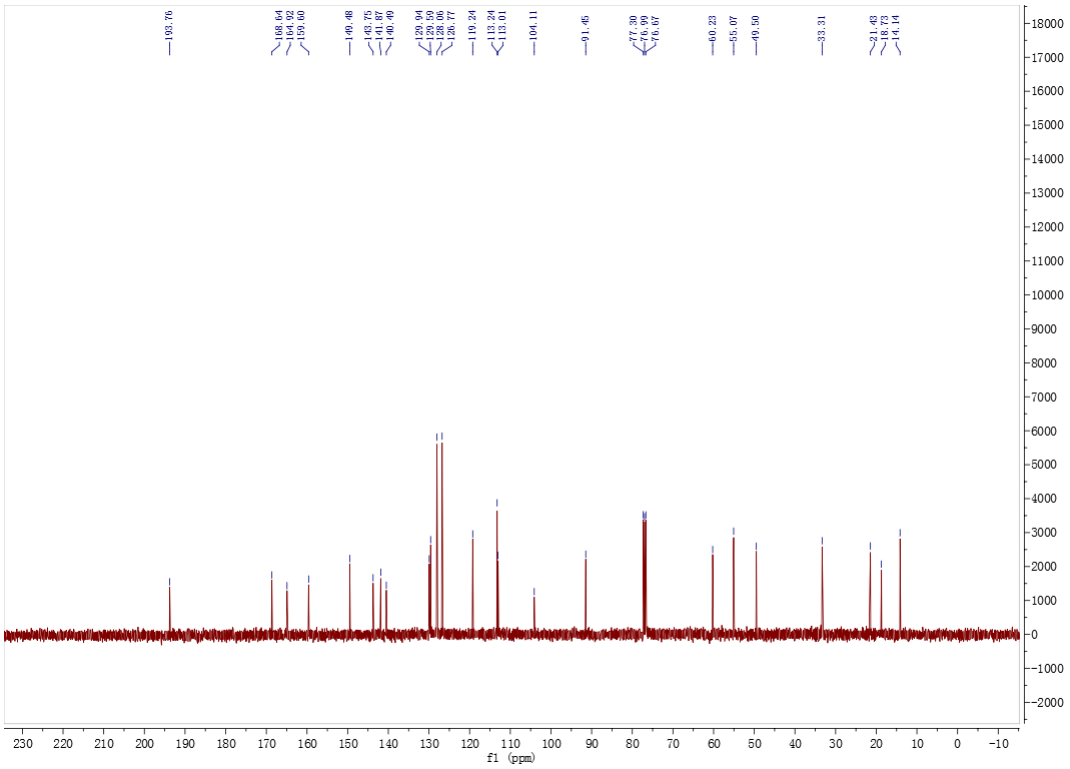




Ethyl

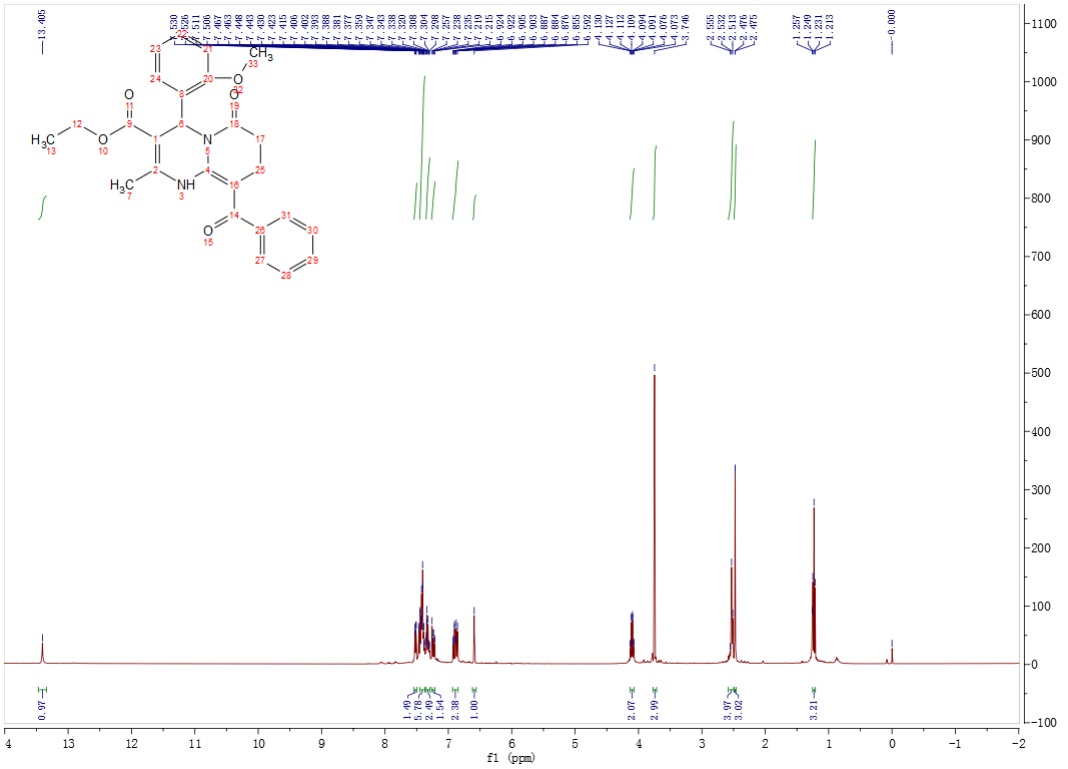
9-benzoyl-4-(3-methoxyphenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxyl ate (4c)

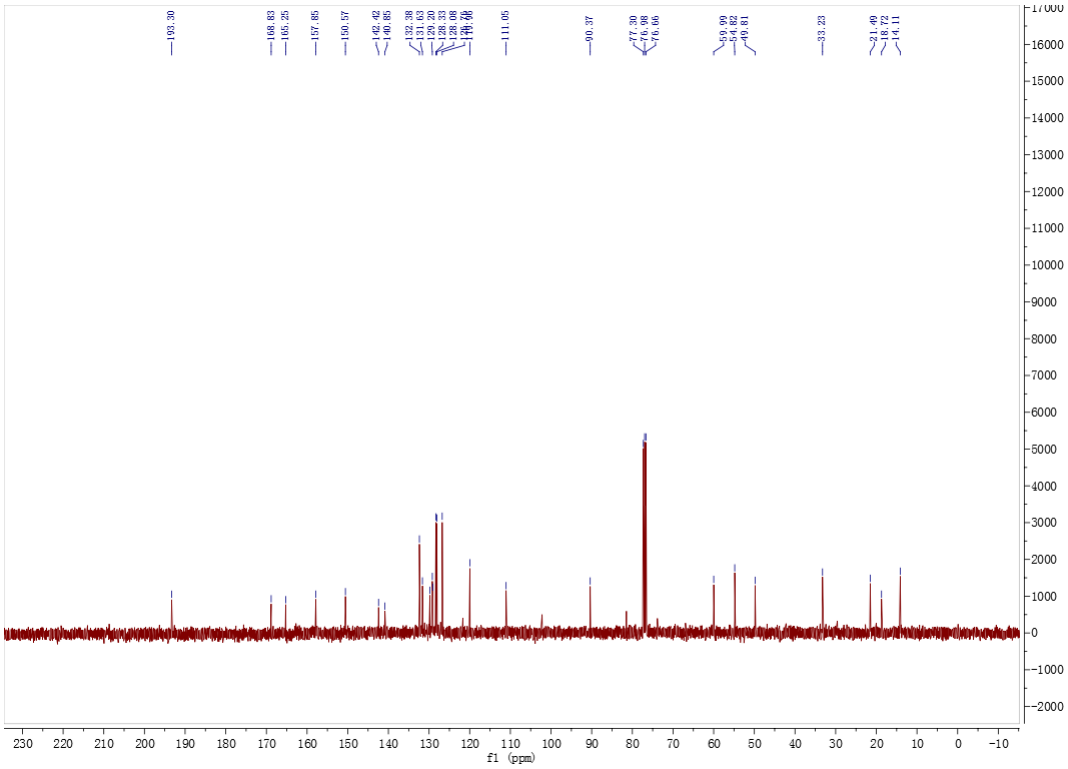




Ethyl

9-benzoyl-4-(2-methoxyphenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxyl ate (4d)

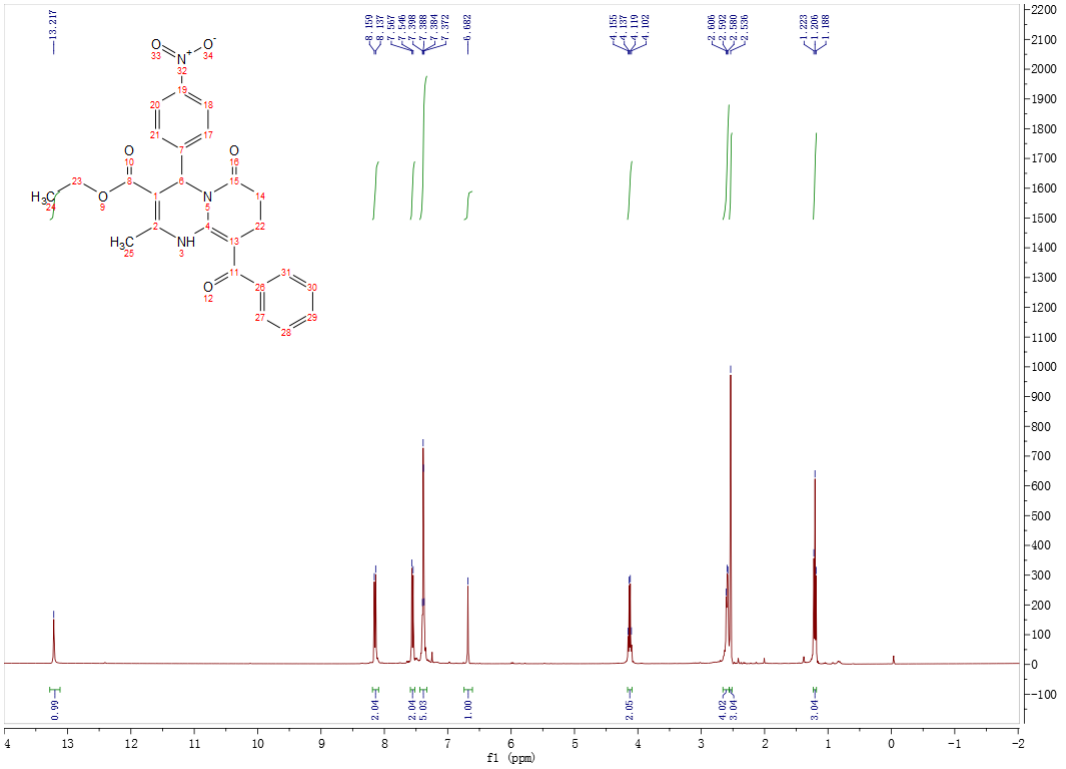


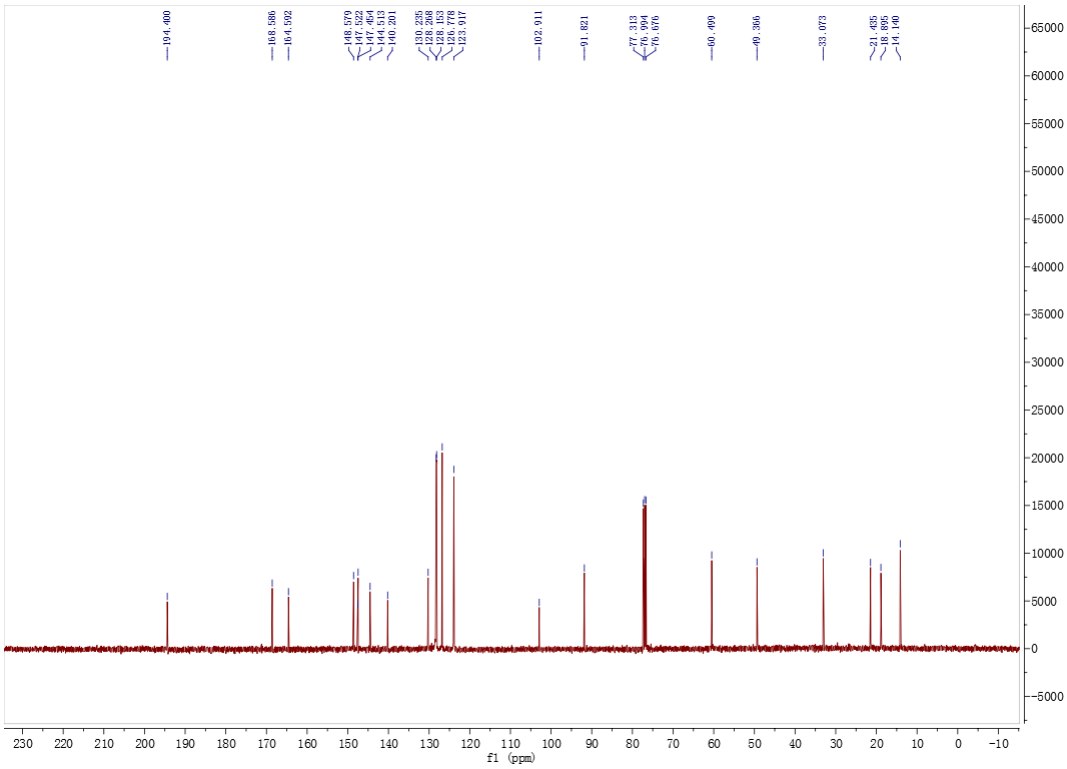


Ethyl

9-benzoyl-2-methyl-4-(4-nitrophenyl)-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate

(4e)

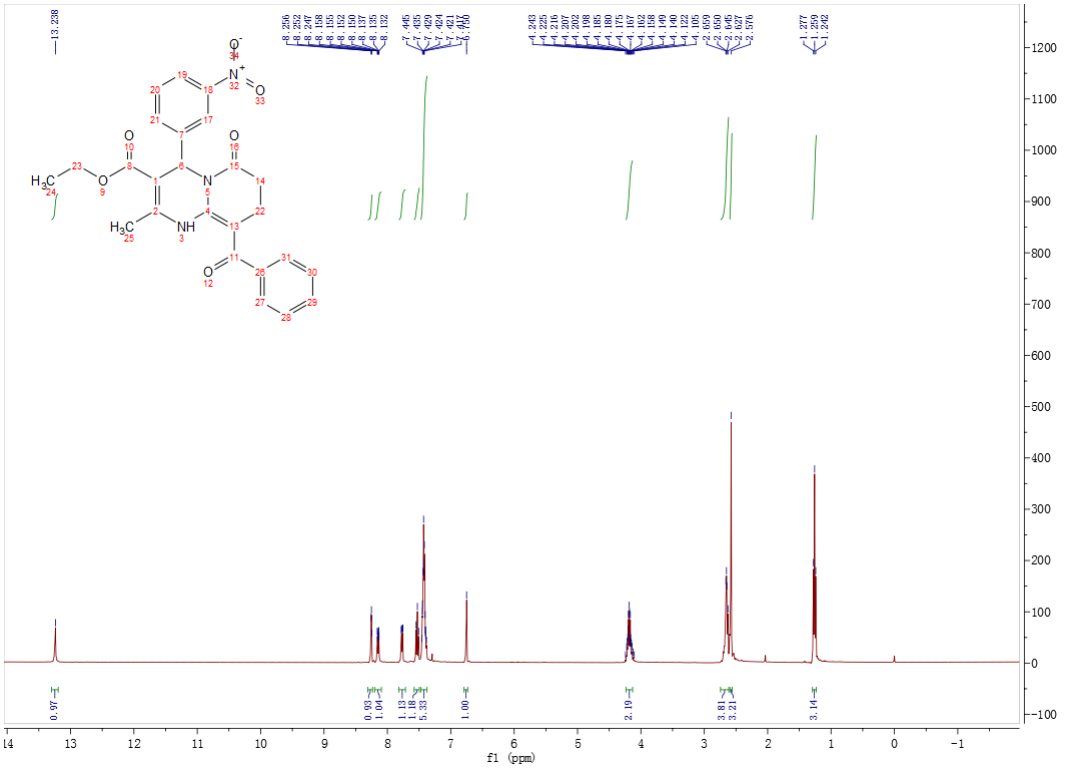


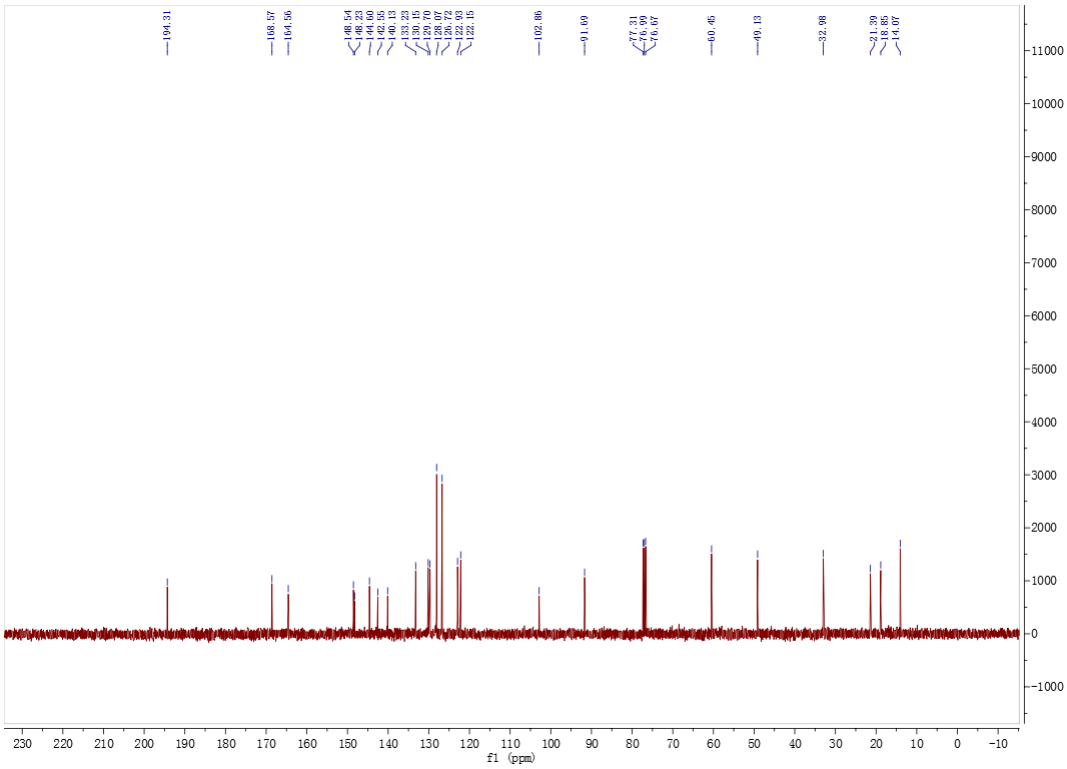


Ethyl

9-benzoyl-2-methyl-4-(3-nitrophenyl)-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate

(4f)

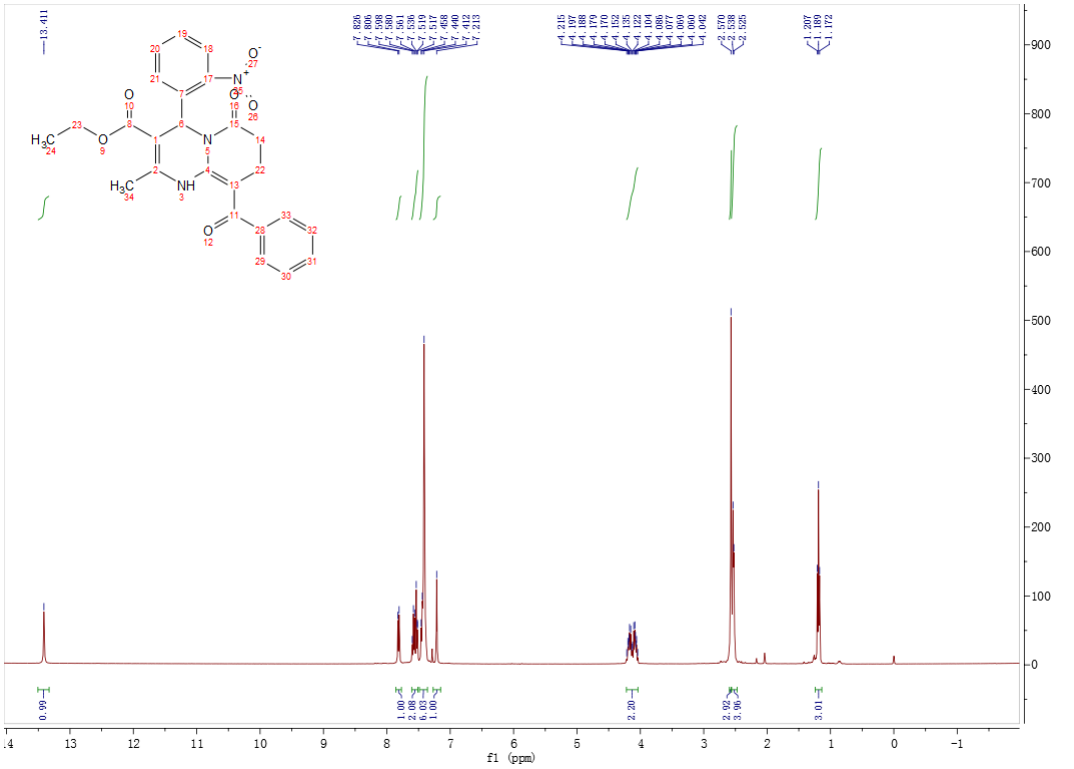


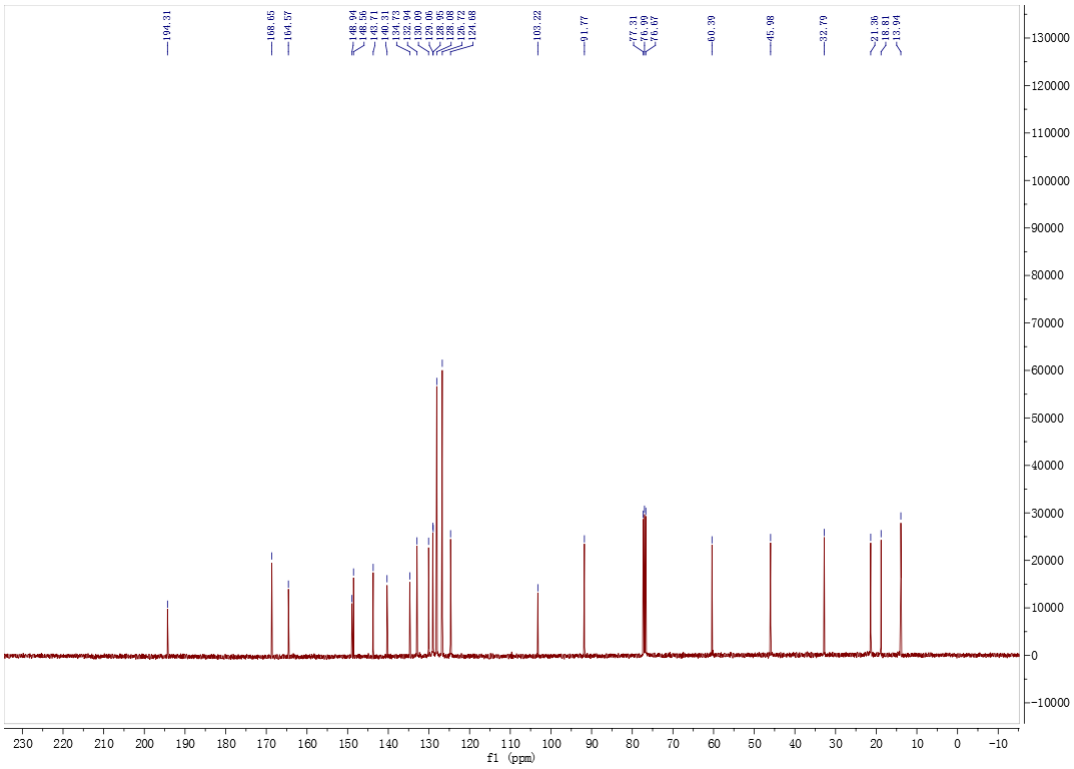


Ethyl

9-benzoyl-2-methyl-4-(2-nitrophenyl)-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate

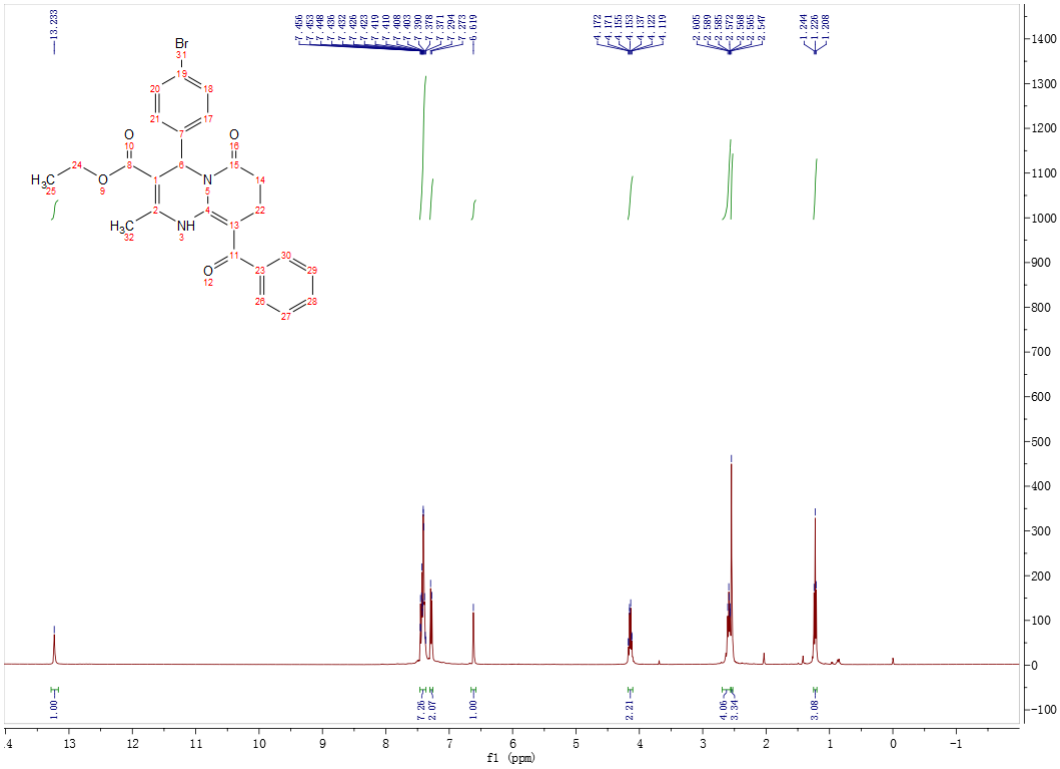
(4g)

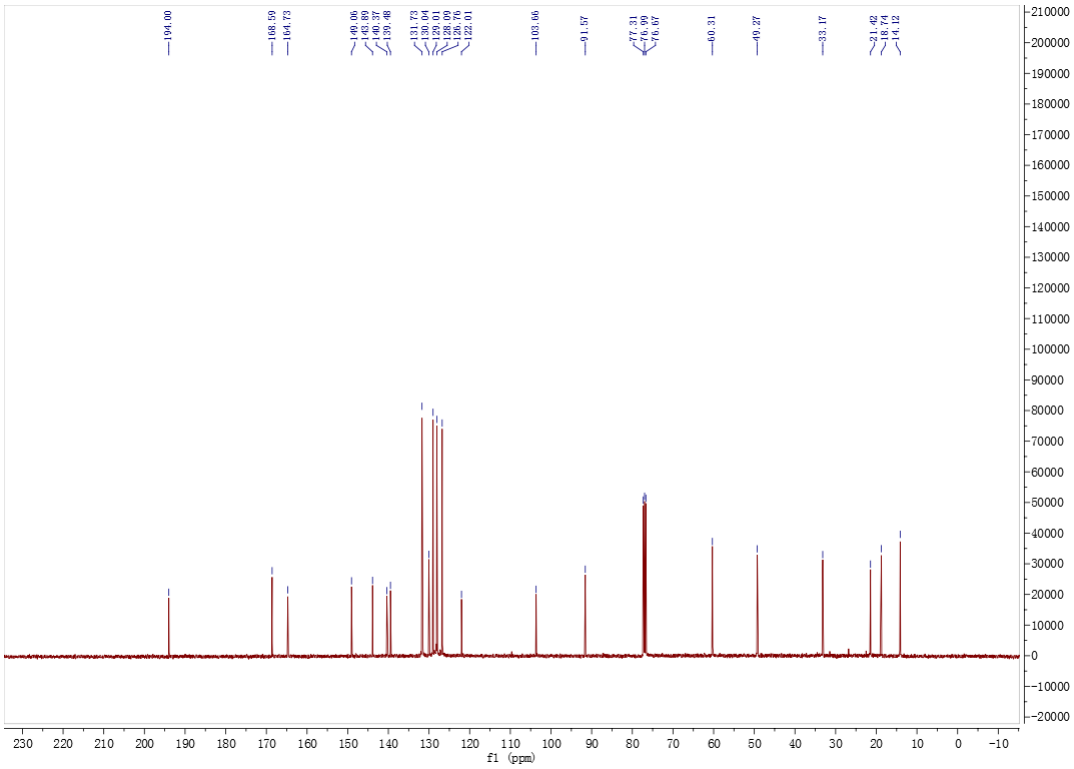




Ethyl

9-benzoyl-4-(4-bromophenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4h)

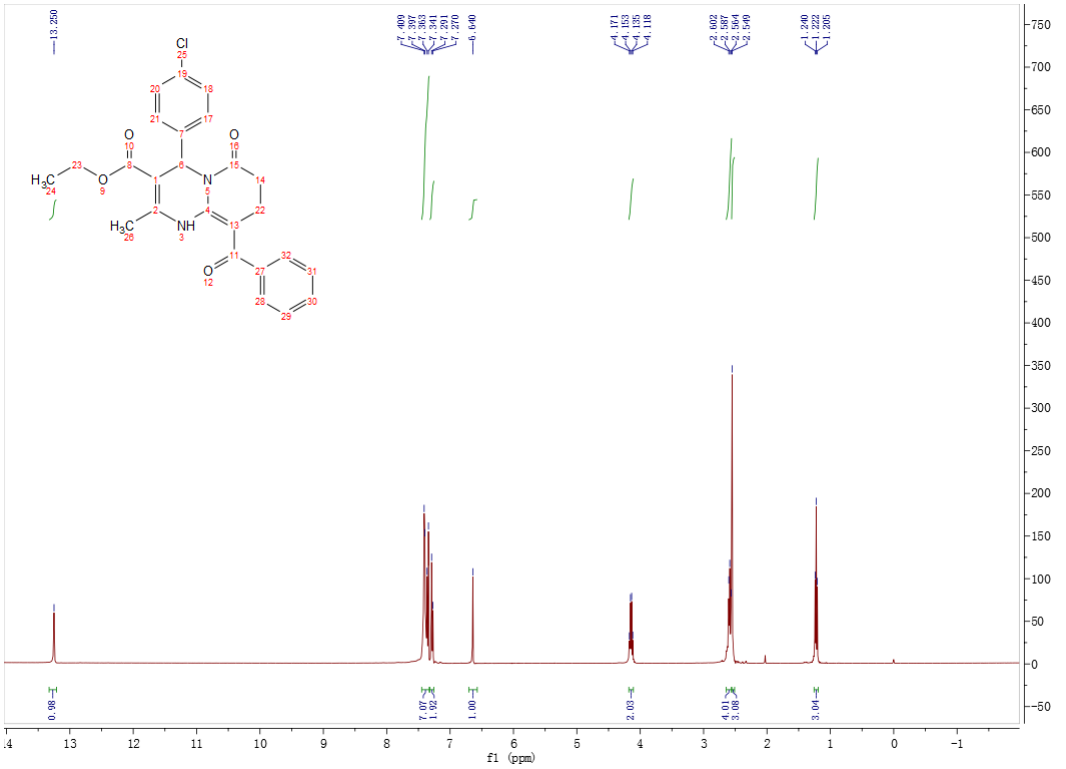


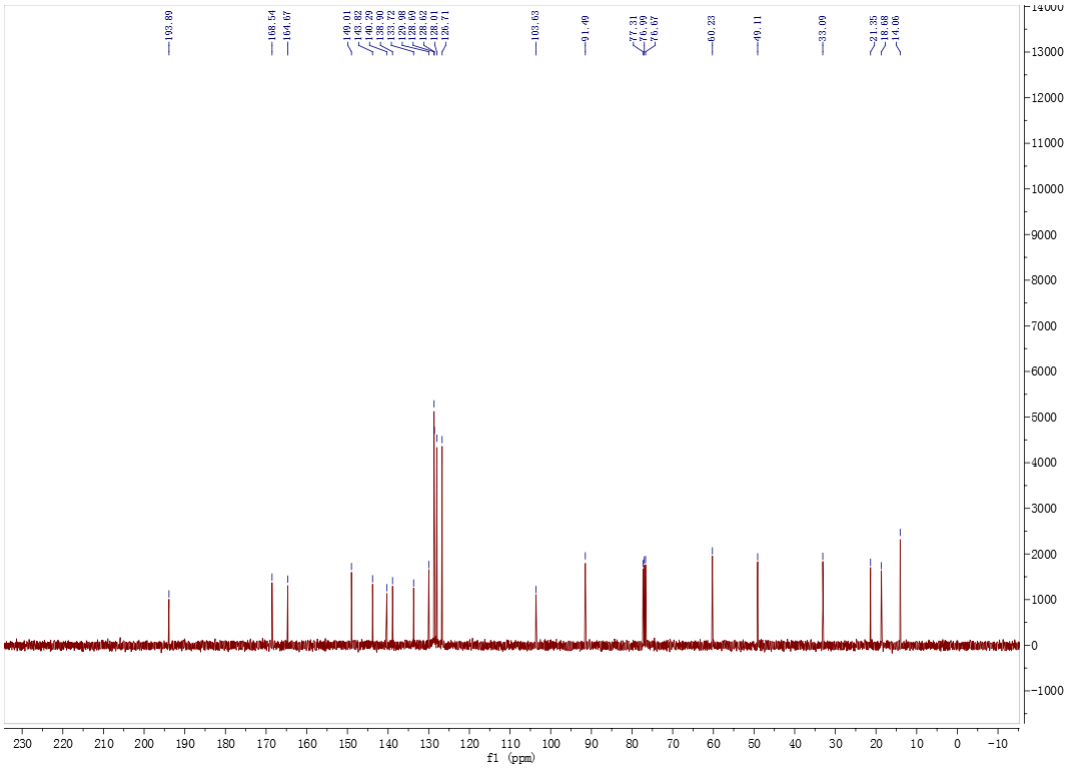


Ethyl

9-benzoyl-4-(4-chlorophenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate

(4i)

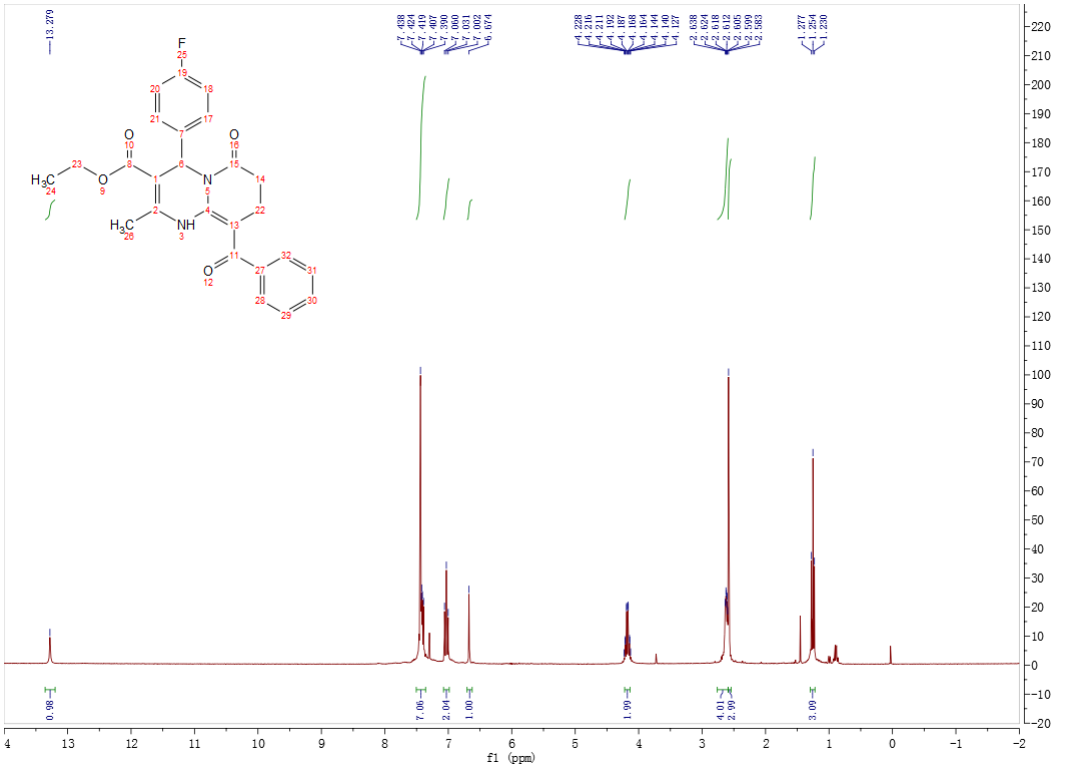


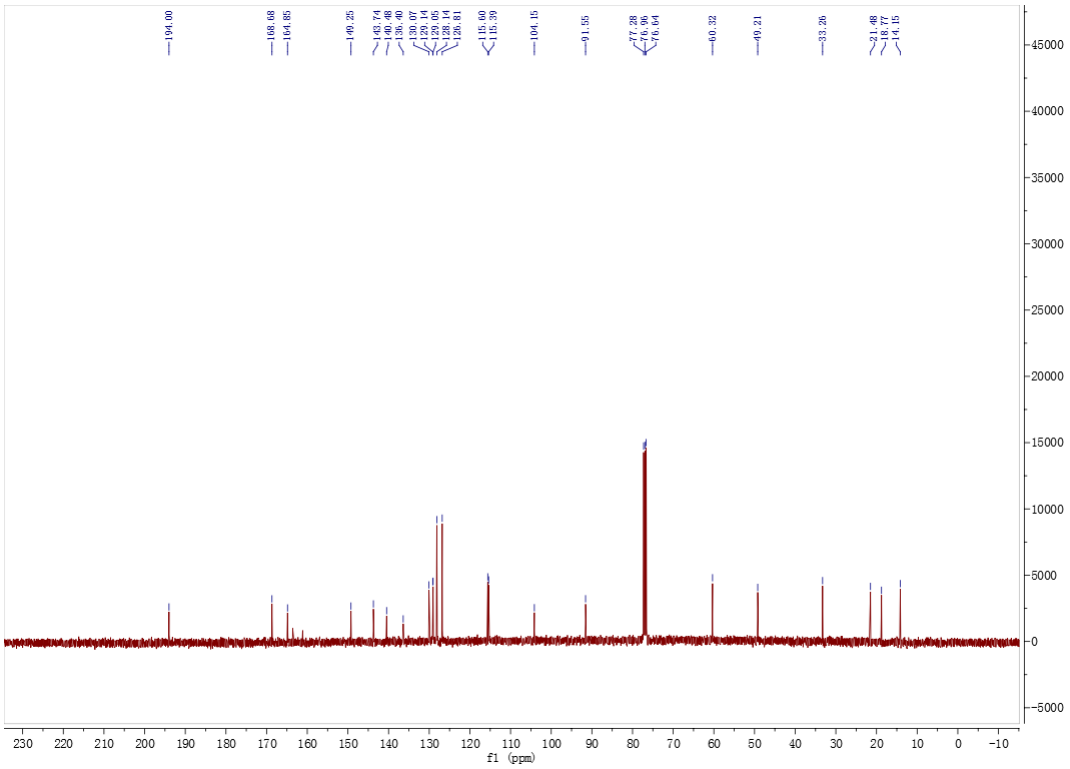


Ethyl

9-benzoyl-4-(4-fluorophenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate

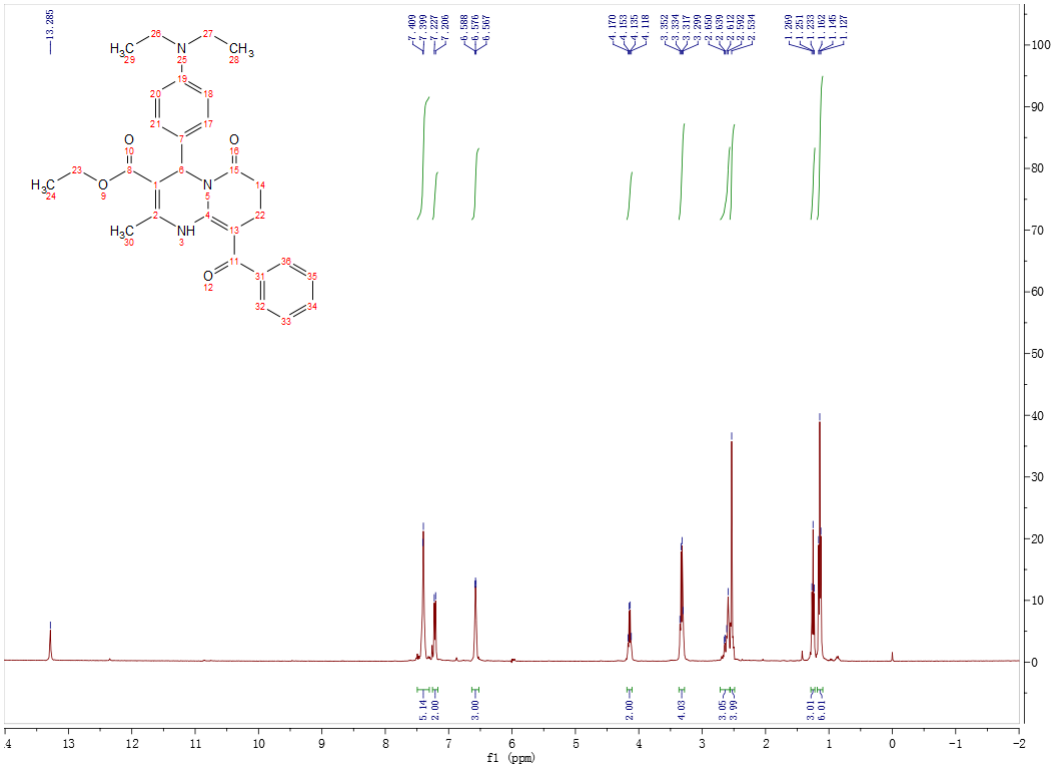
(4j)

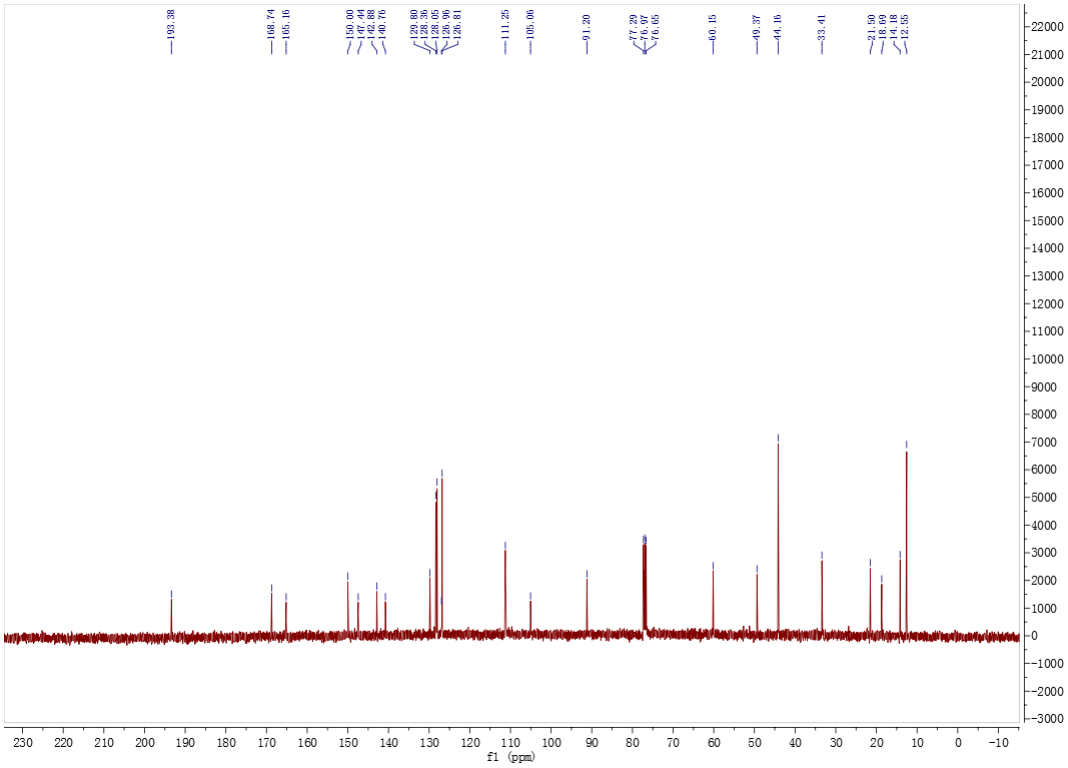




Ethyl

9-benzoyl-4-(4-(diethylamino)phenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4k)

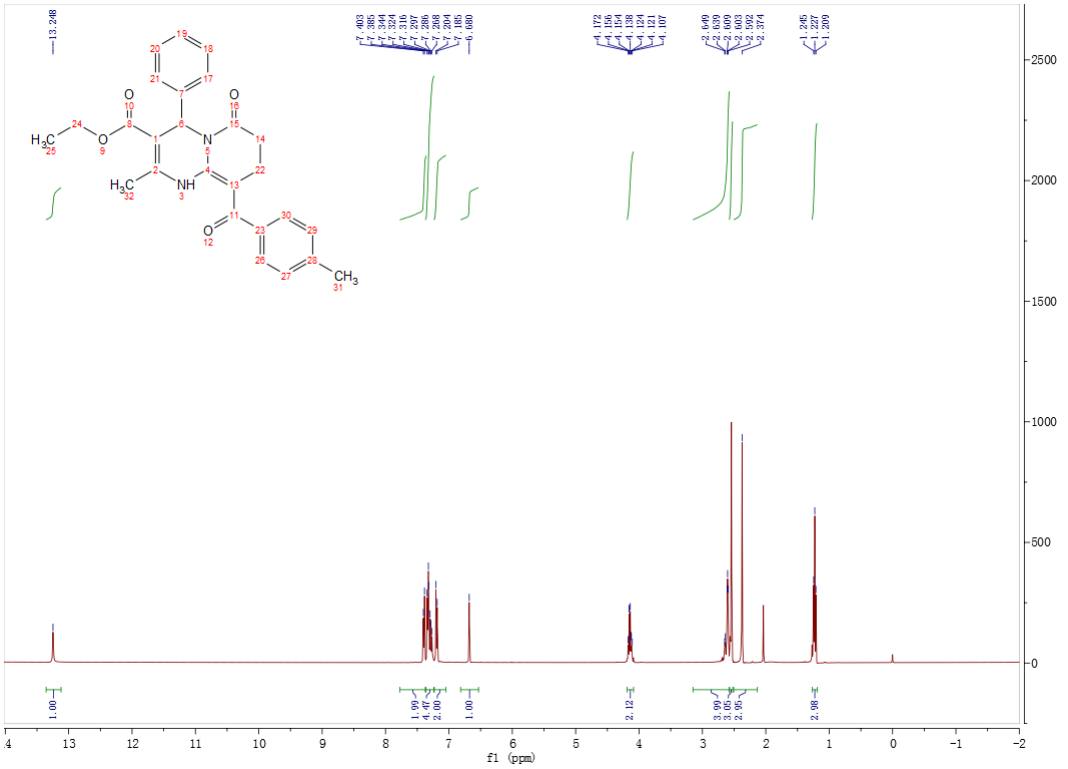


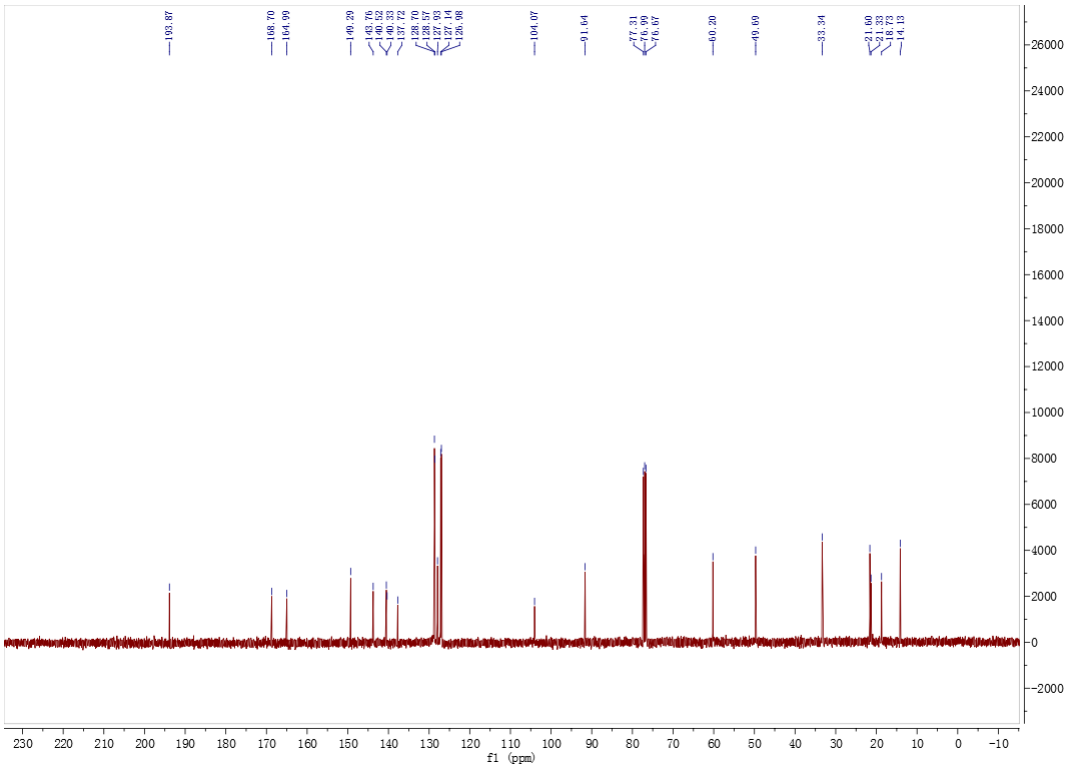


Ethyl

2-methyl-9-(4-methylbenzoyl)-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylat

e (4l)

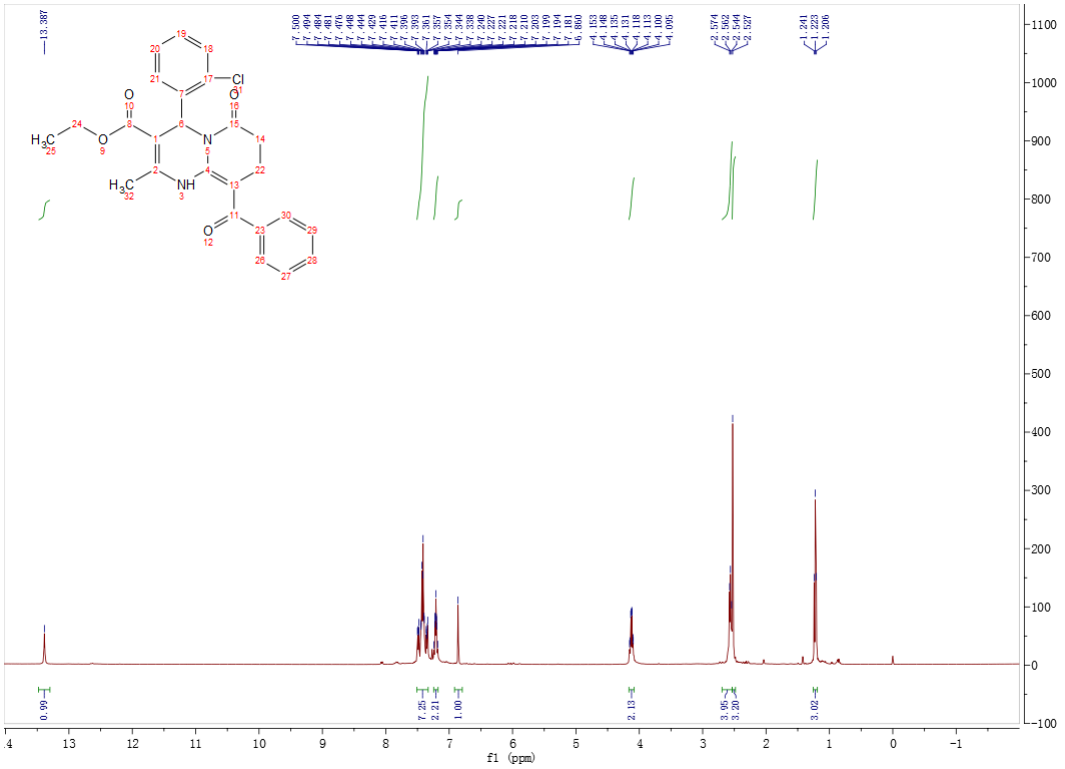


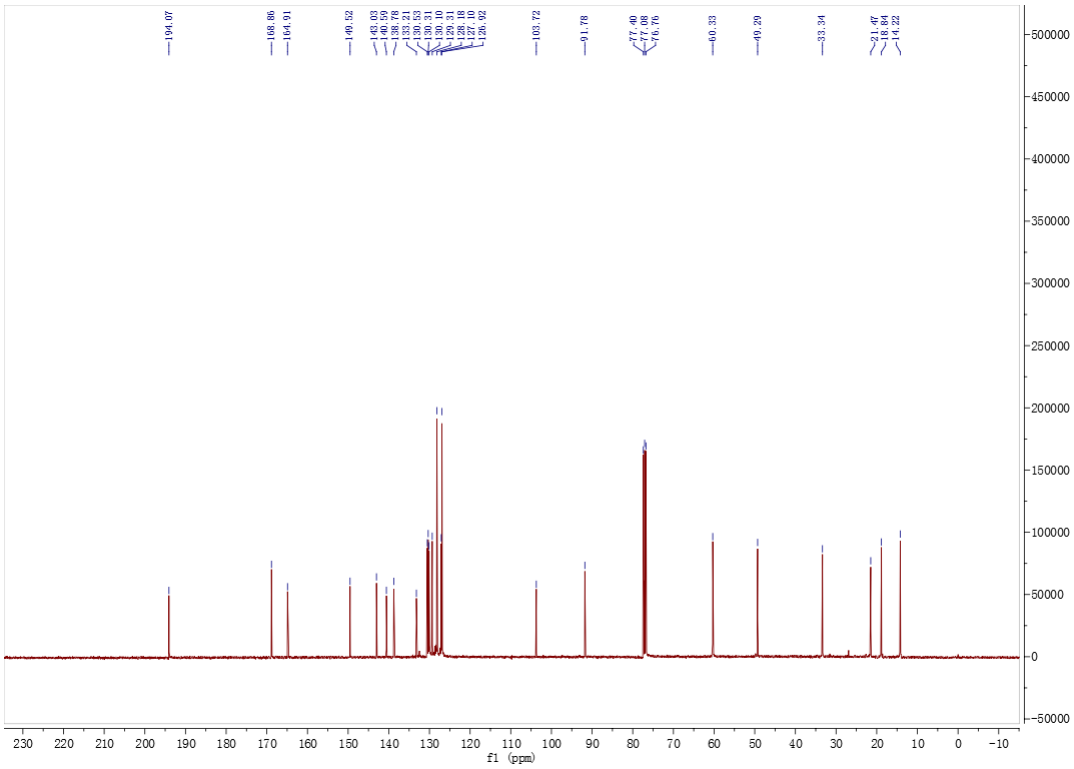


Ethyl

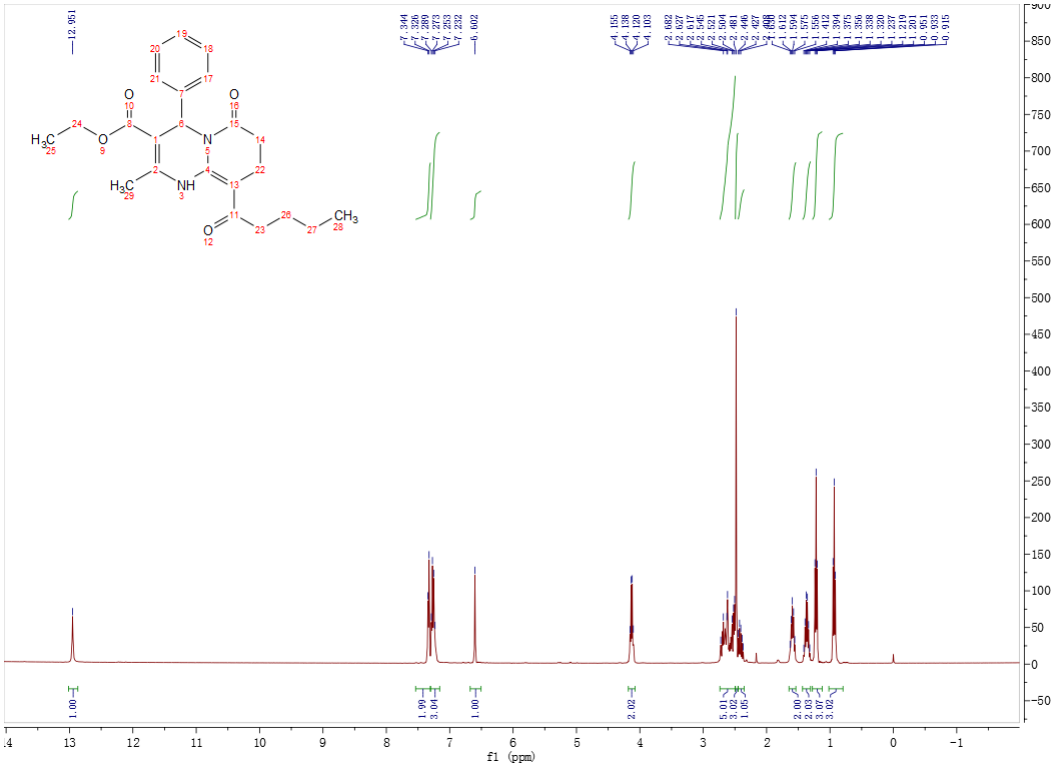
9-benzoyl-4-(2-chlorophenyl)-2-methyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate

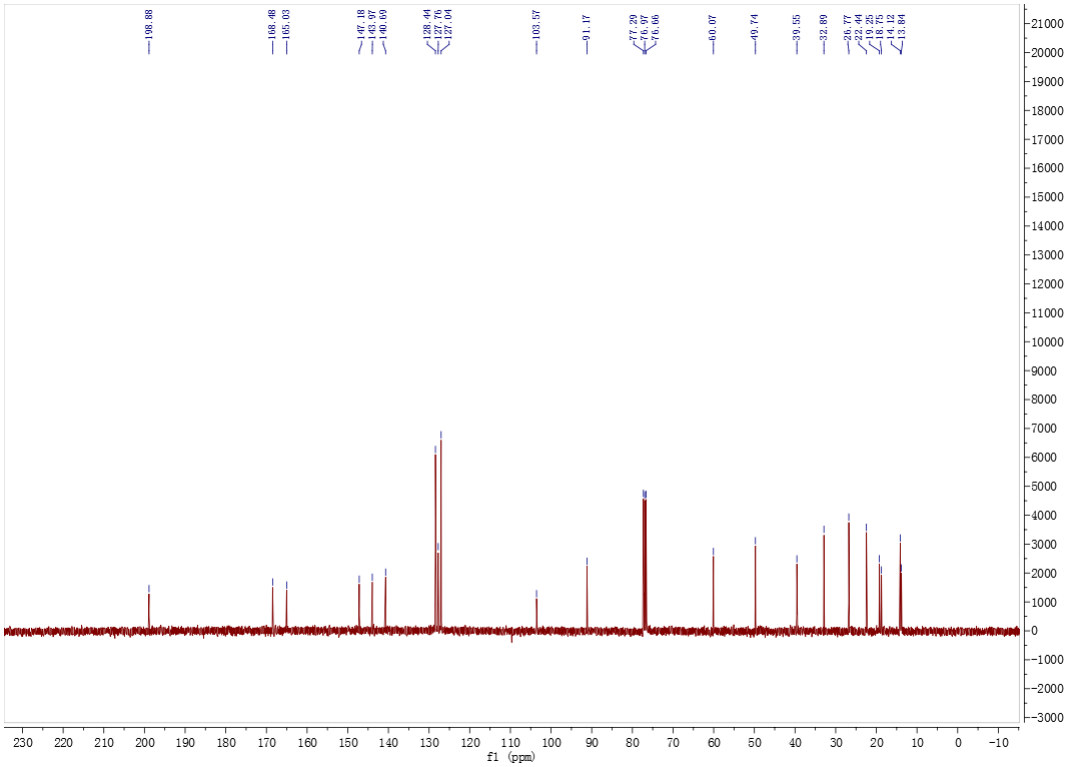
(4m)



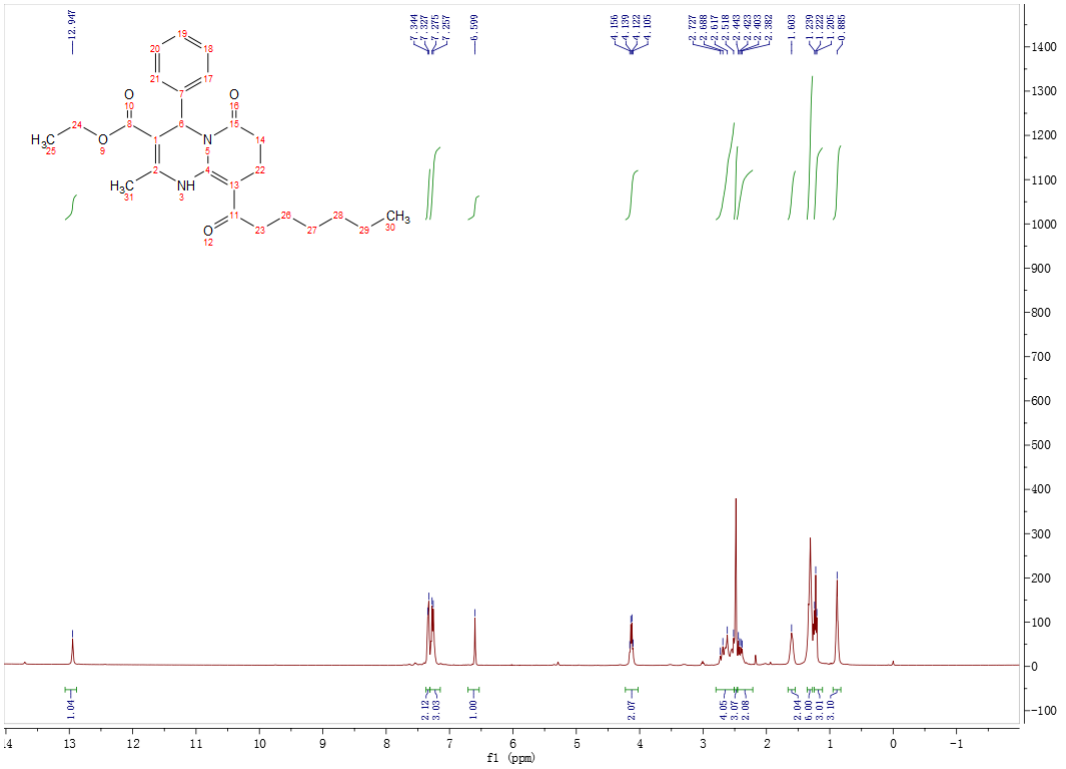


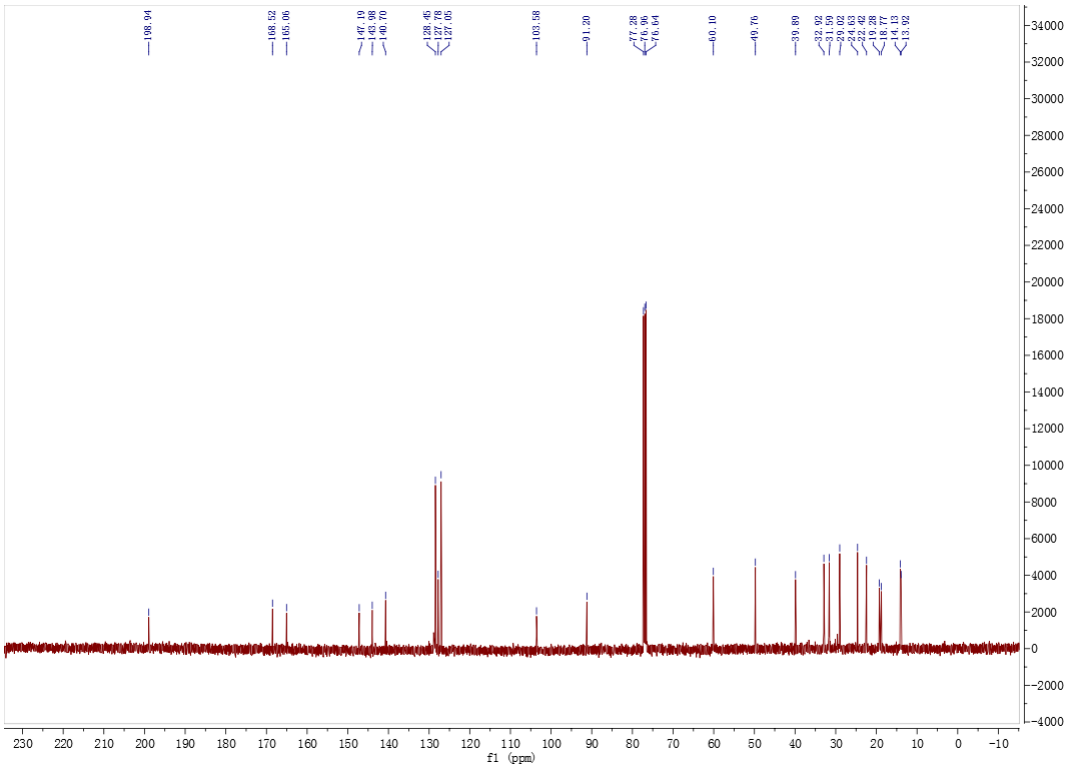
Ethyl 2-methyl-6-oxo-9-pentanoyl-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4n)



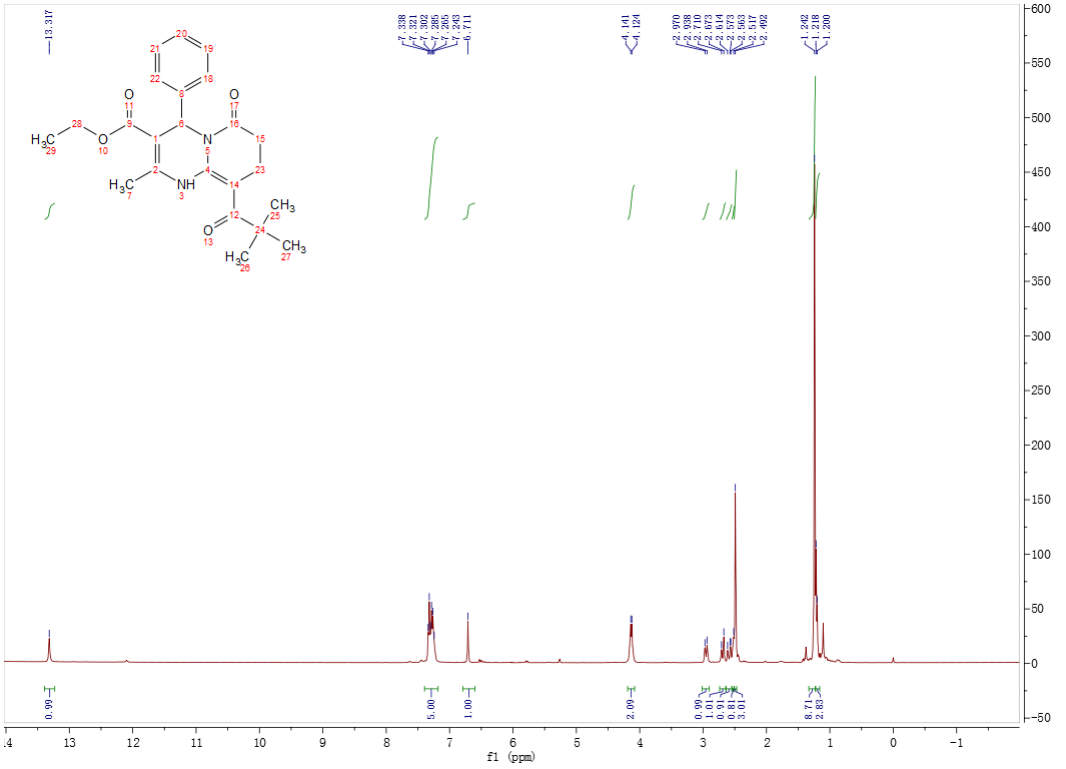


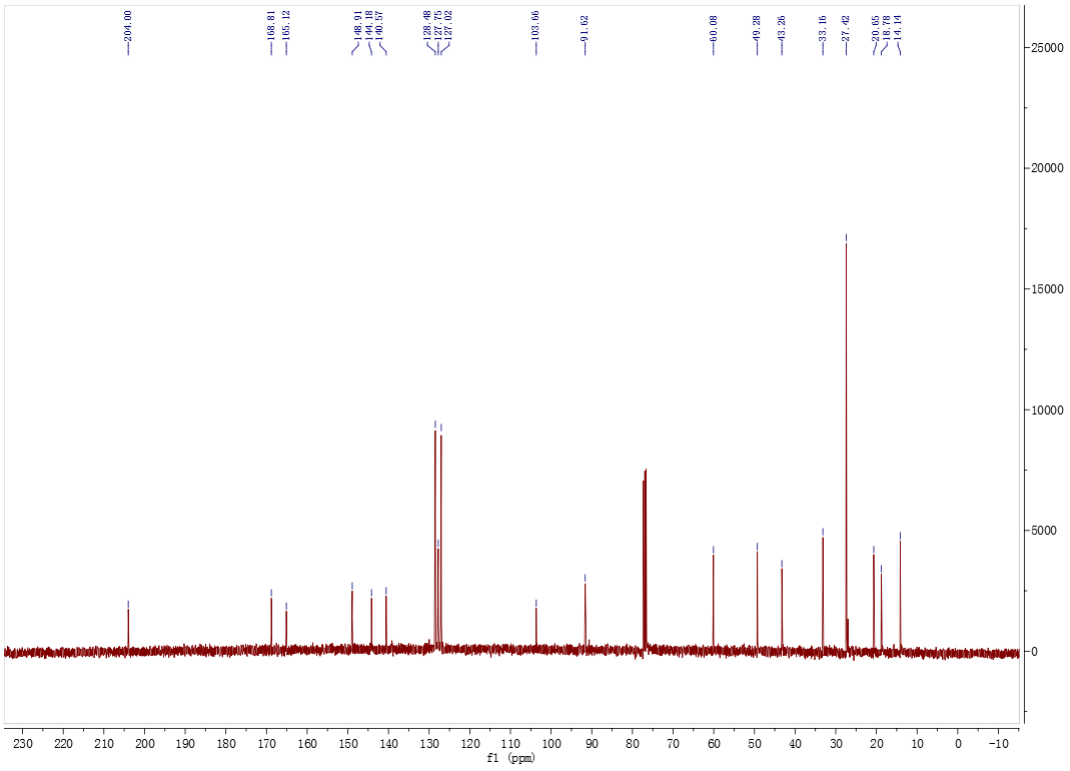
Ethyl 9-heptanoyl-2-methyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4o)





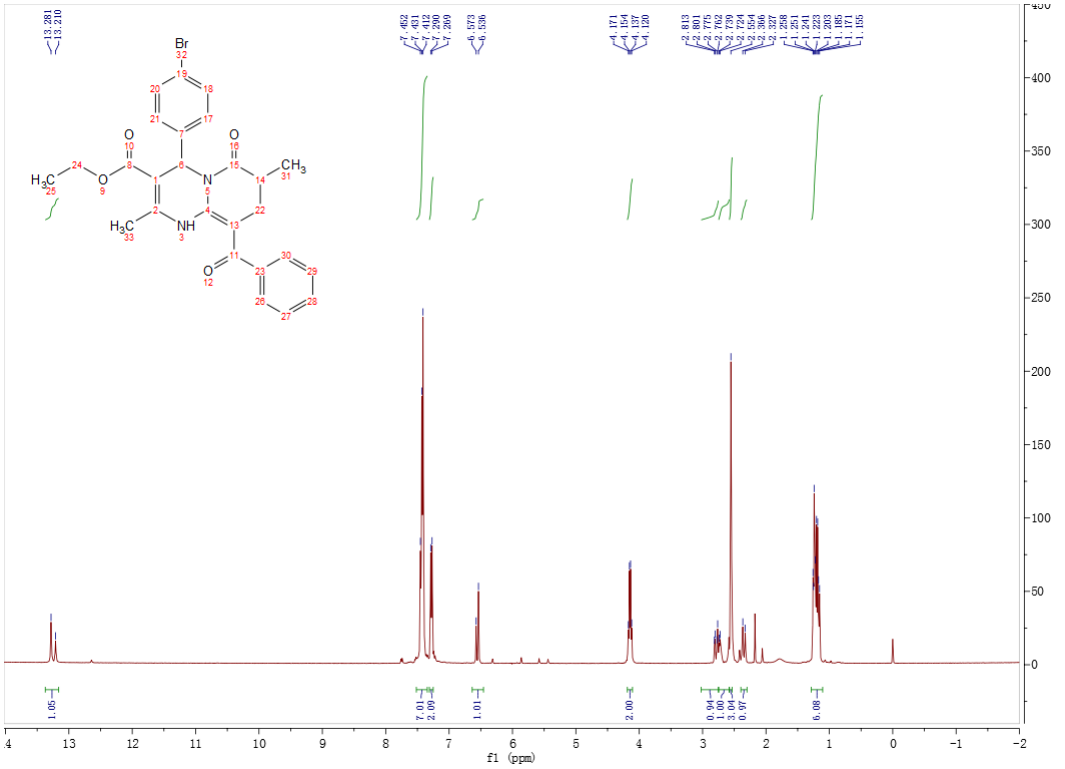
Ethyl 2-methyl-6-oxo-4-phenyl-9-pivaloyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4p)

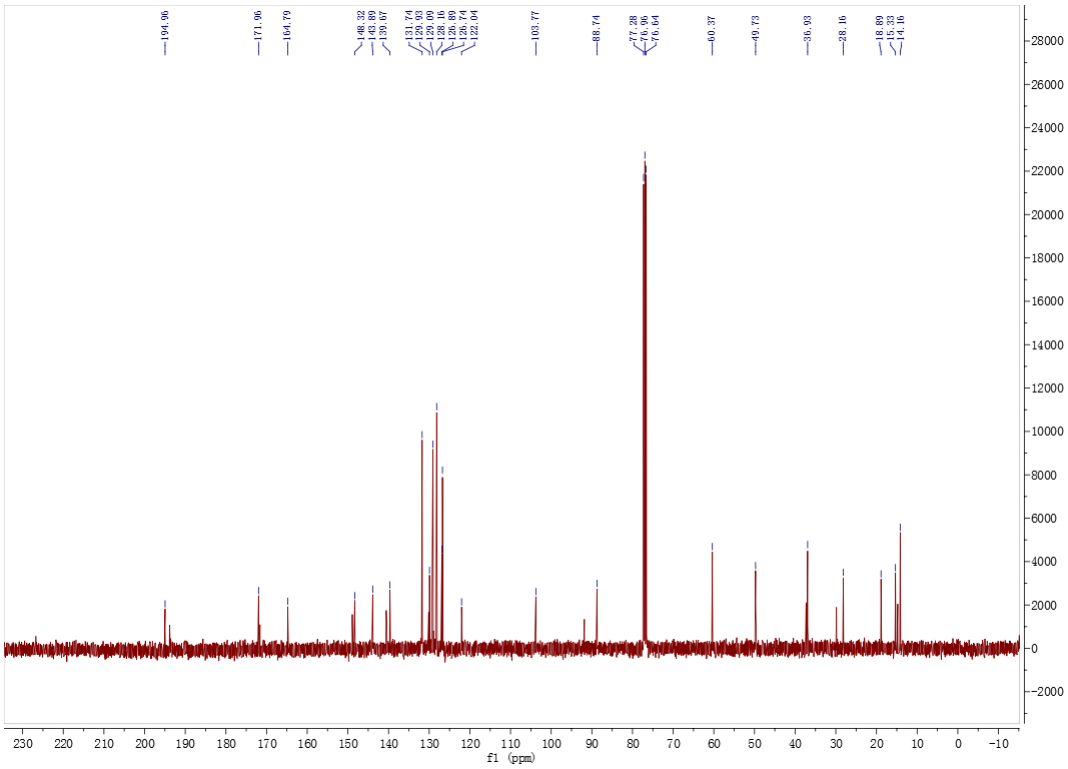




Ethyl

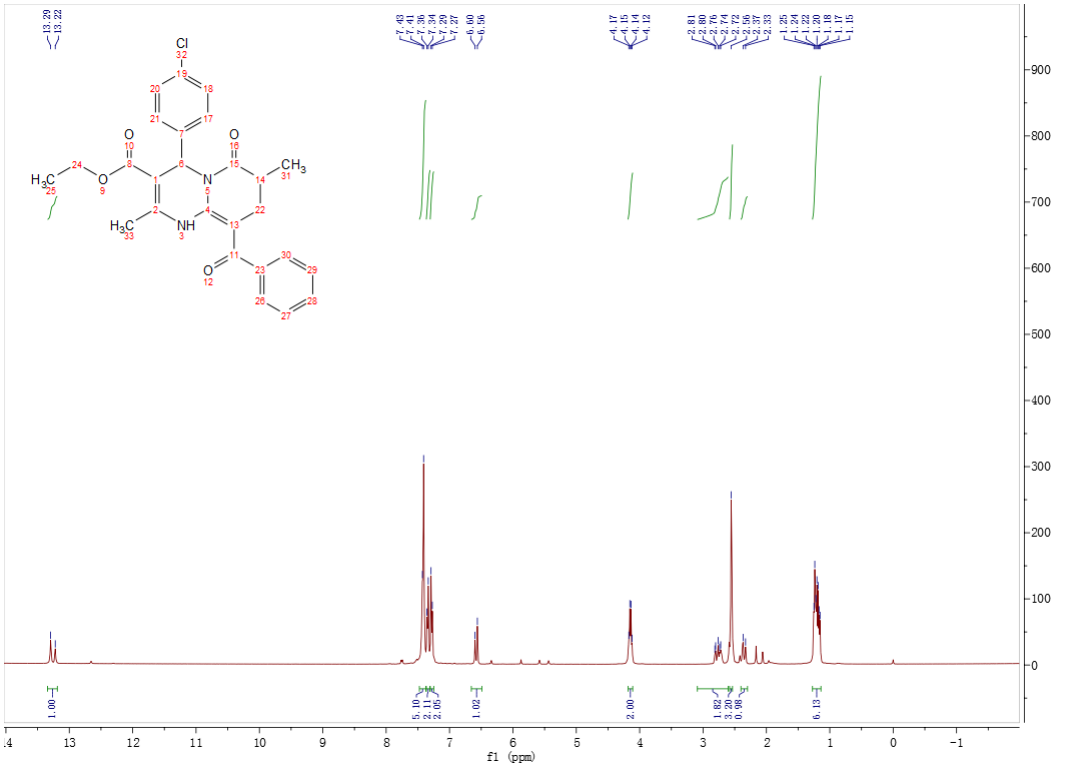
9-benzoyl-4-(4-bromophenyl)-2,7-dimethyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4q)

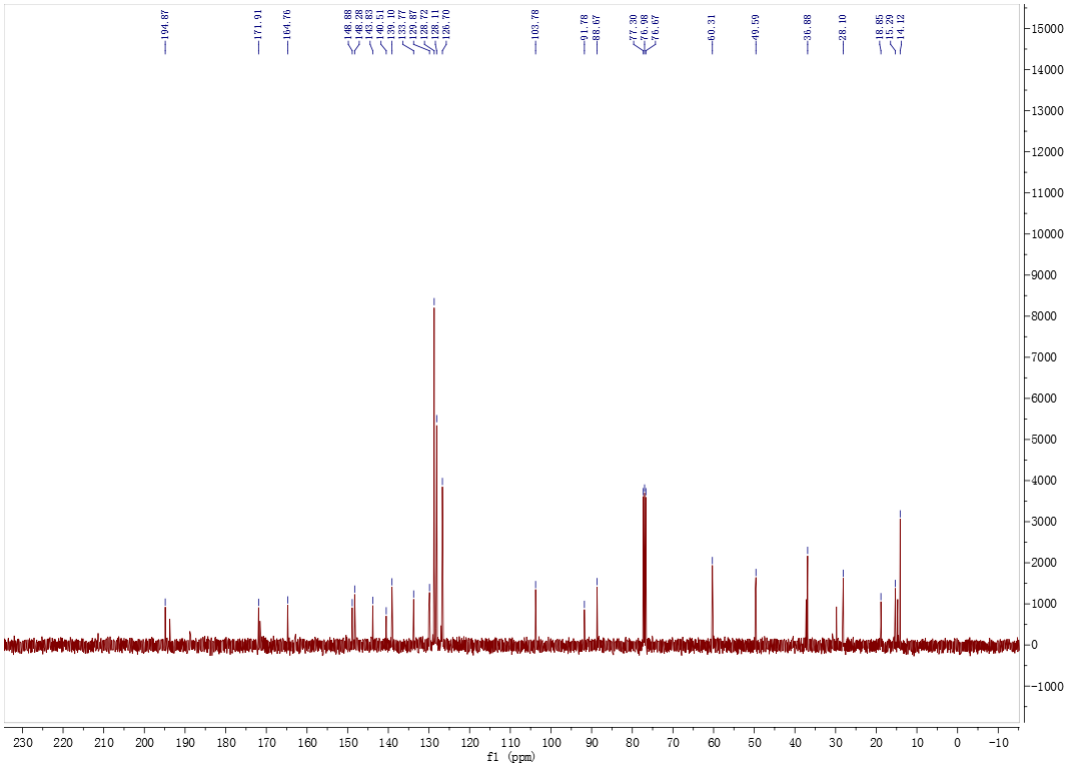




Ethyl

9-benzoyl-4-(4-chlorophenyl)-2,7-dimethyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4r)

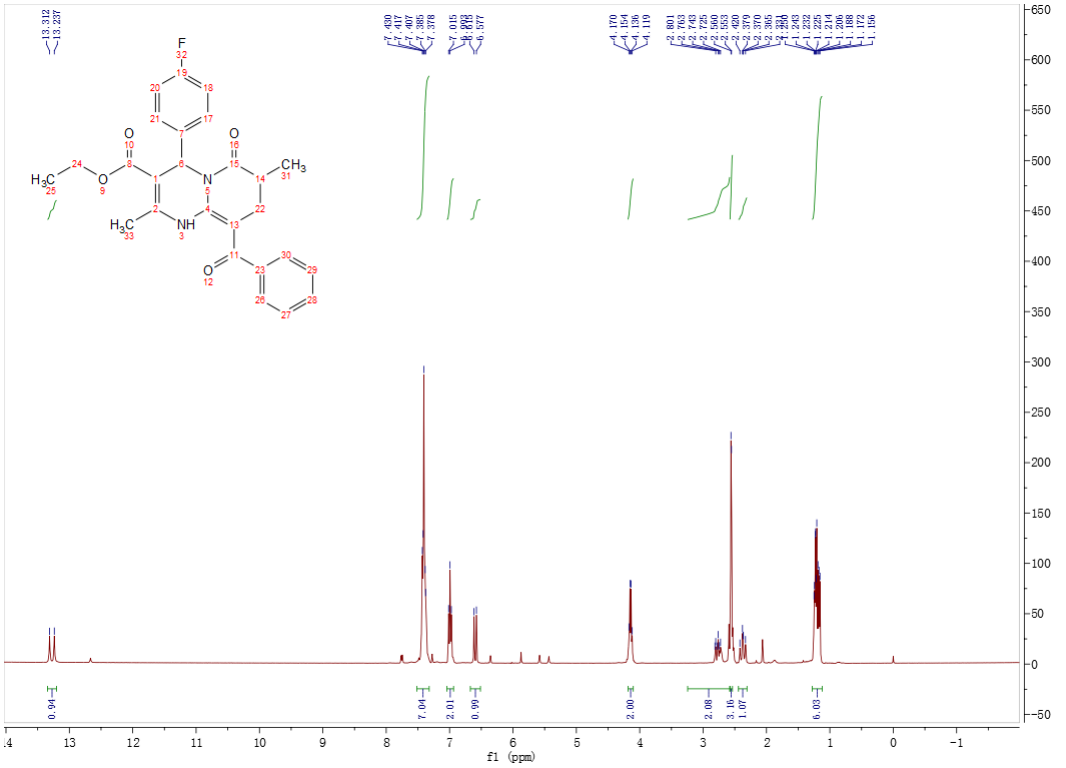


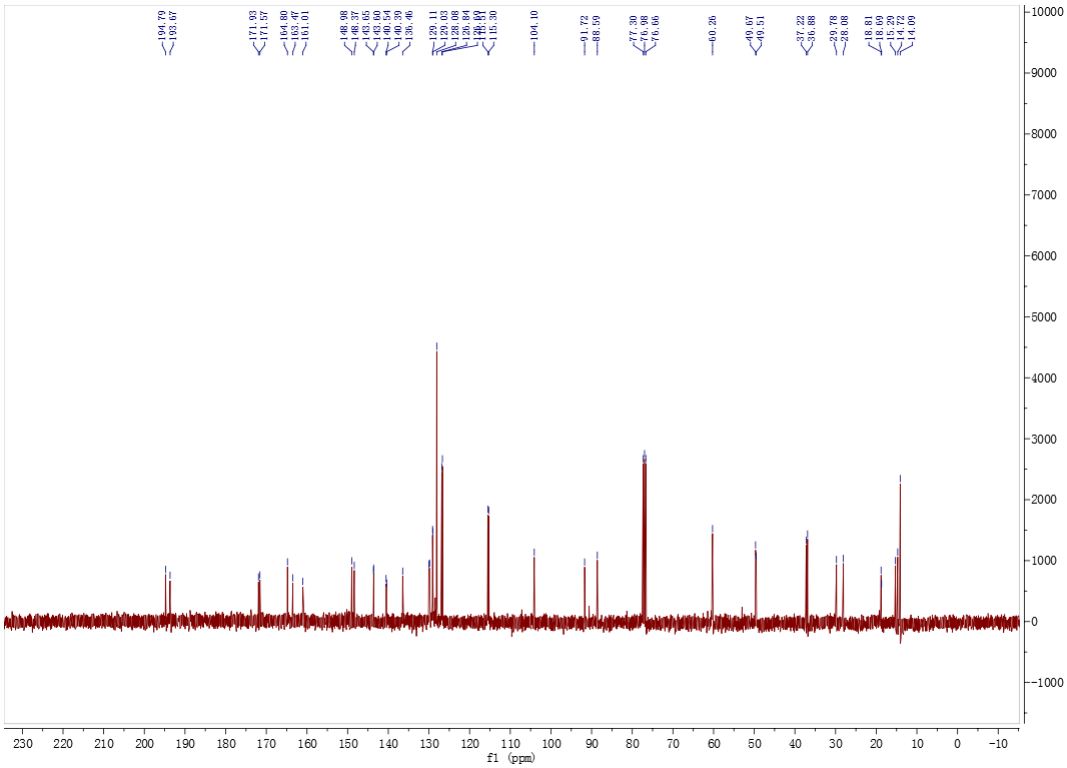


Ethyl

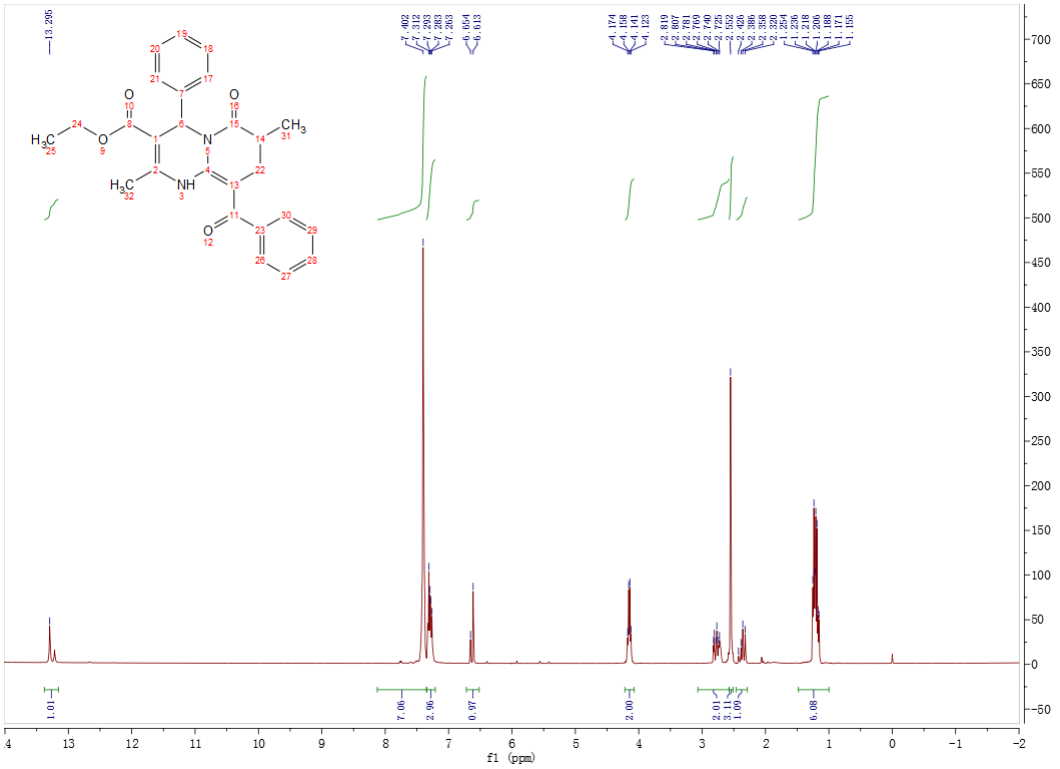
9-benzoyl-4-(4-fluorophenyl)-2,7-dimethyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxy

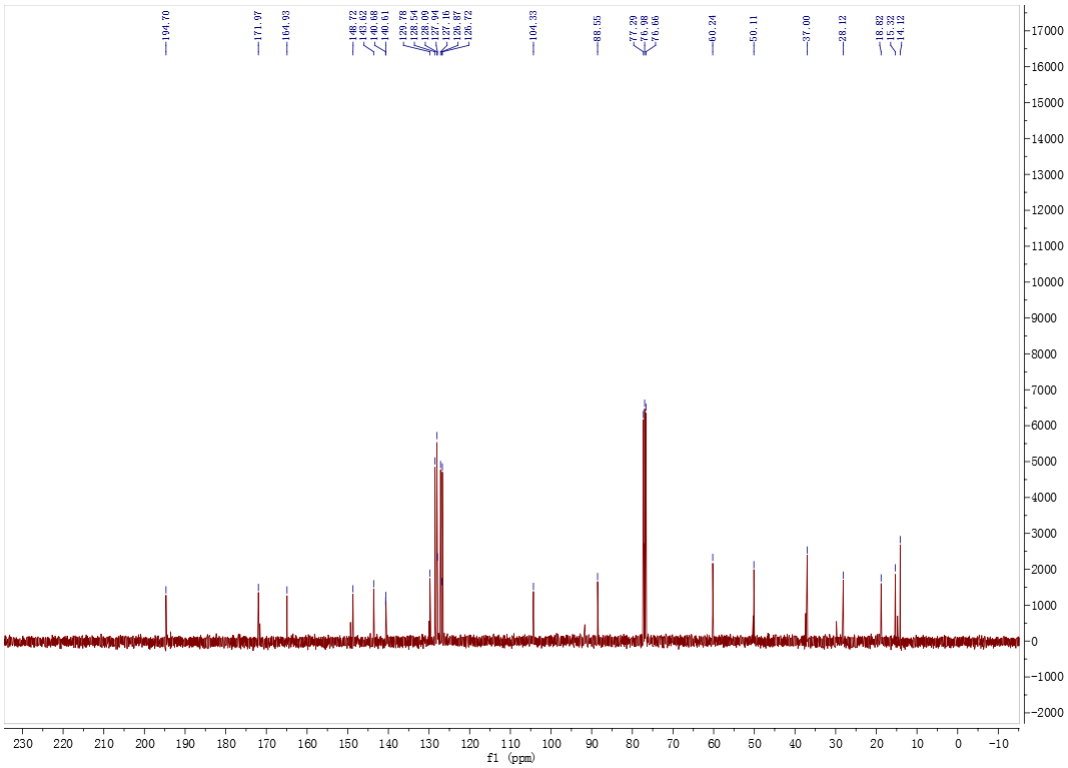
late (4s)





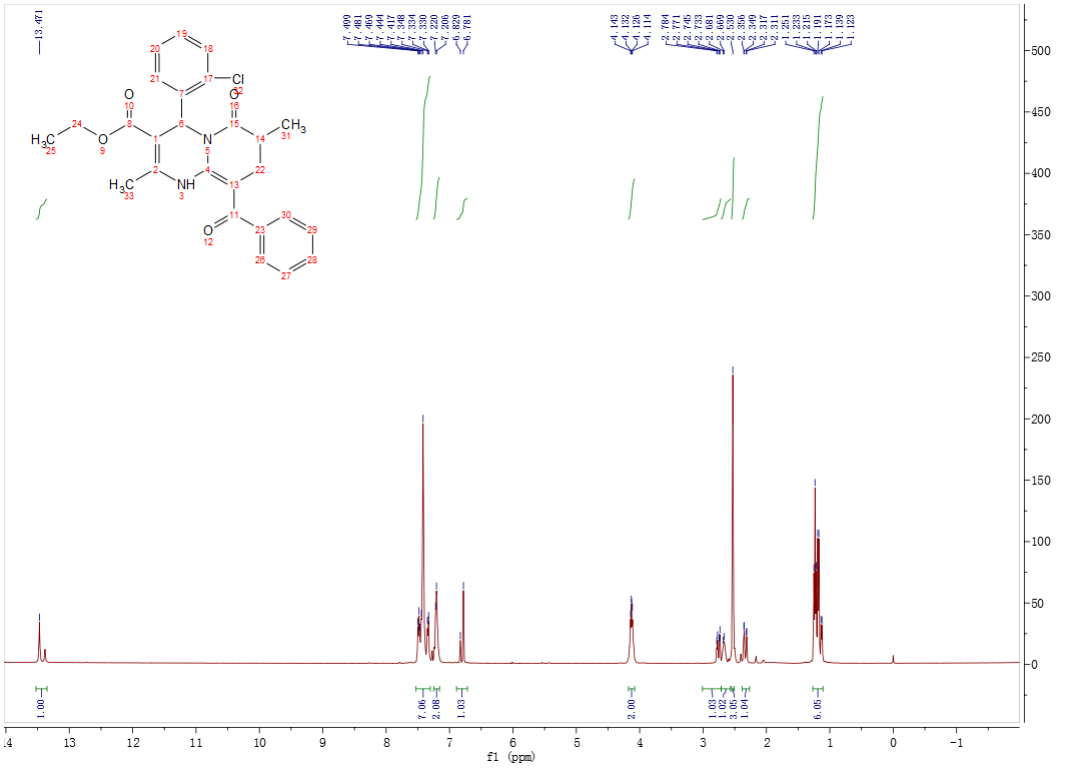
Ethyl 9-benzoyl-2,7-dimethyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4t)

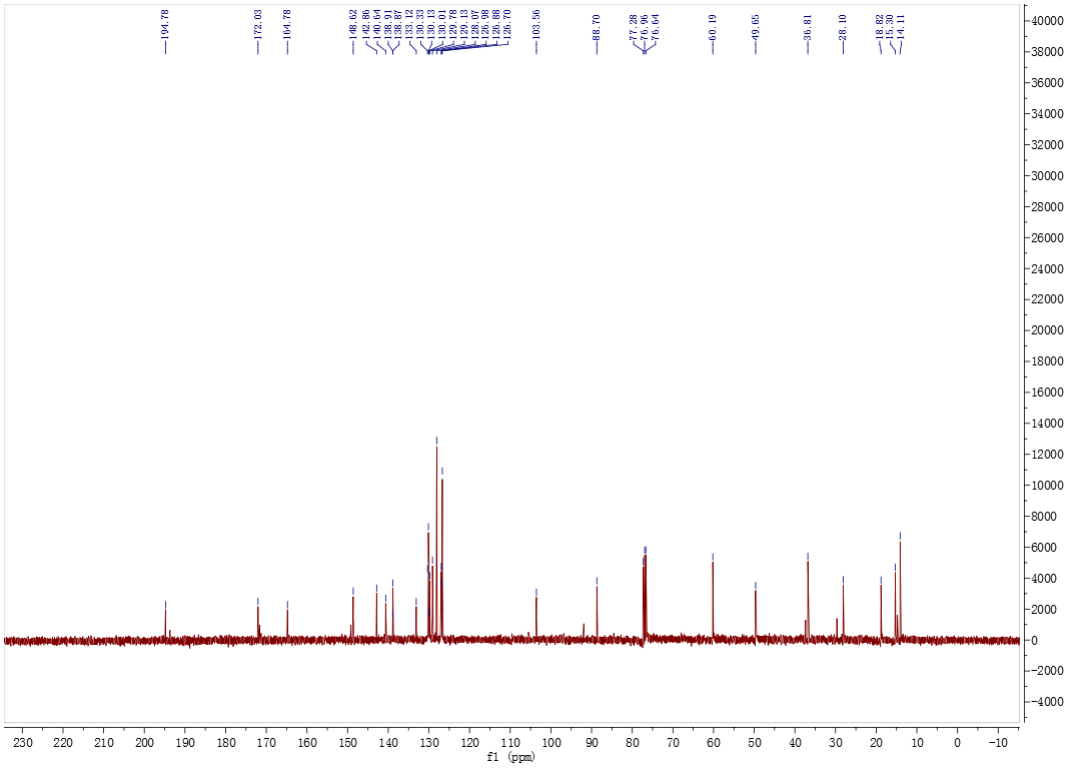




Ethyl

9-benzoyl-4-(2-chlorophenyl)-2,7-dimethyl-6-oxo-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4u)

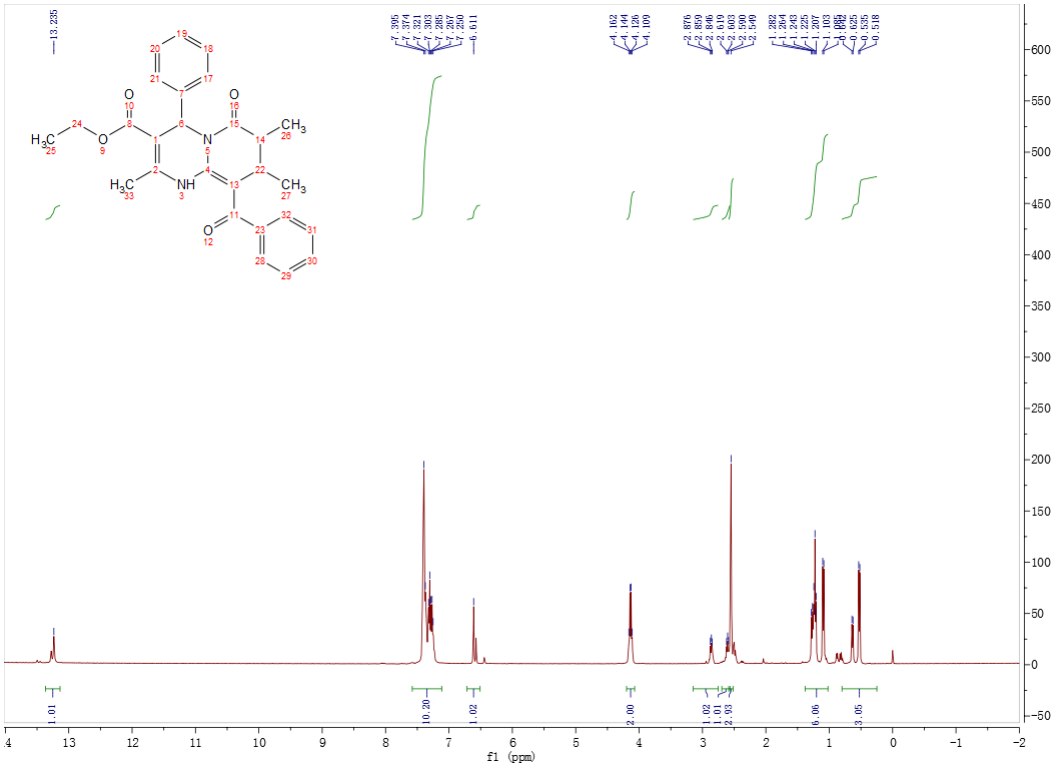


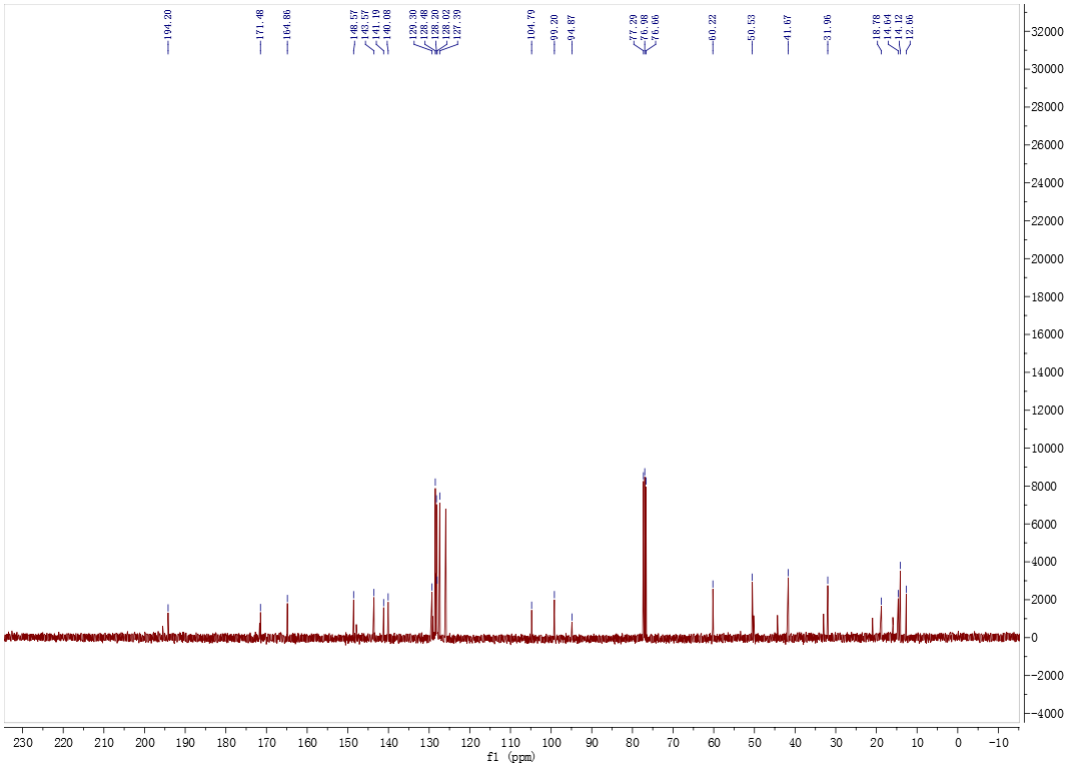


Ethyl

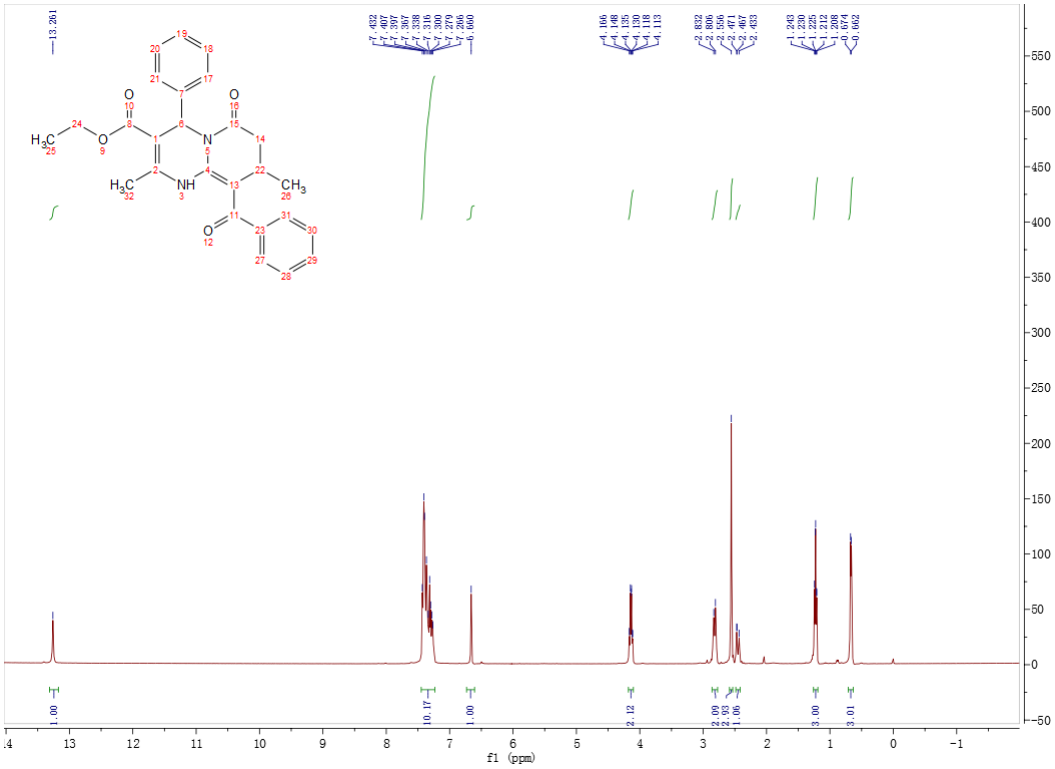
9-benzoyl-2,7,8-trimethyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate

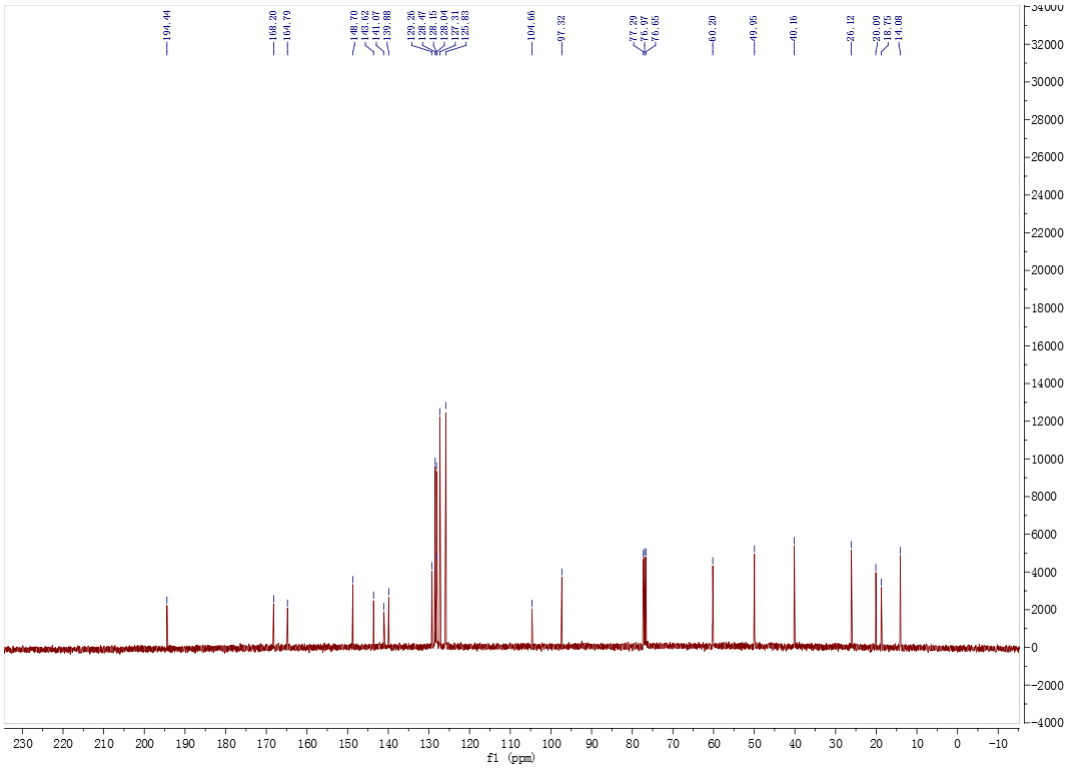
(4v)



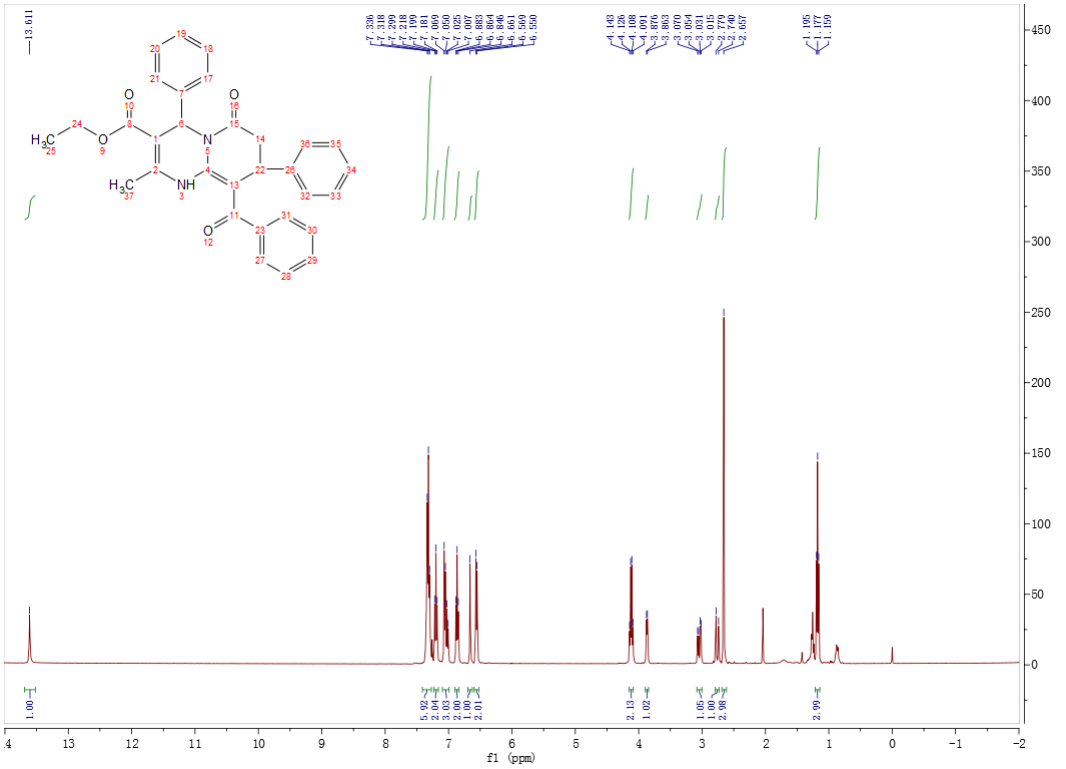


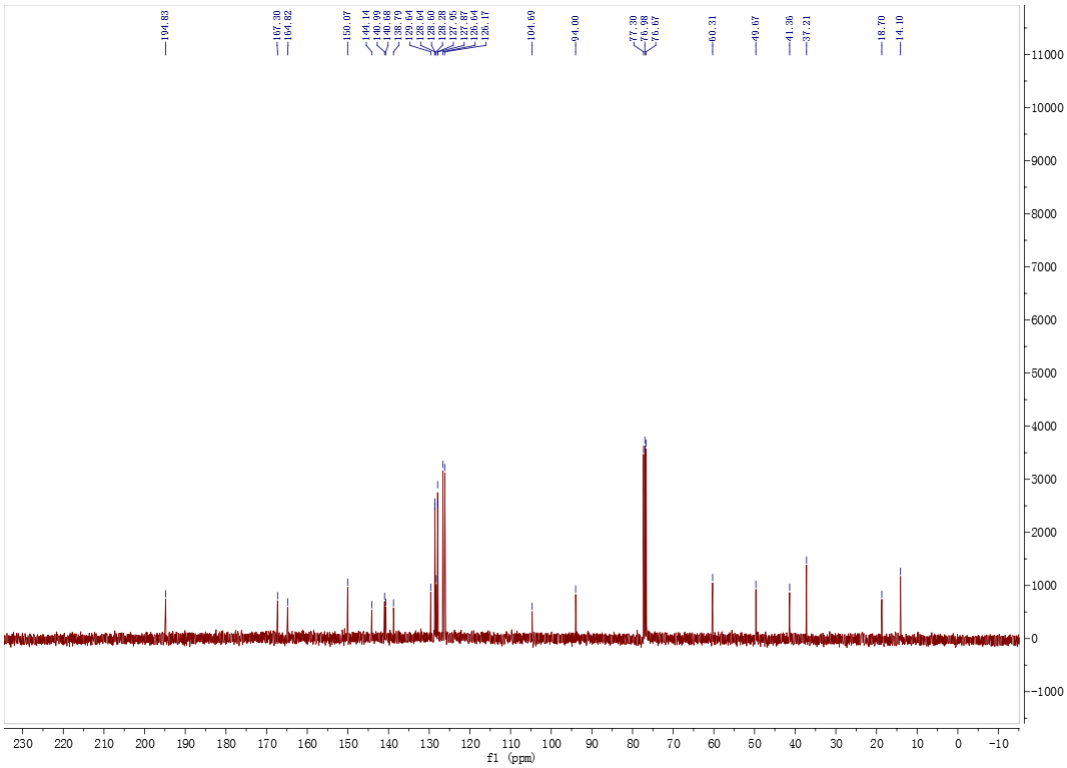
Ethyl 9-benzoyl-2,8-dimethyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4w)





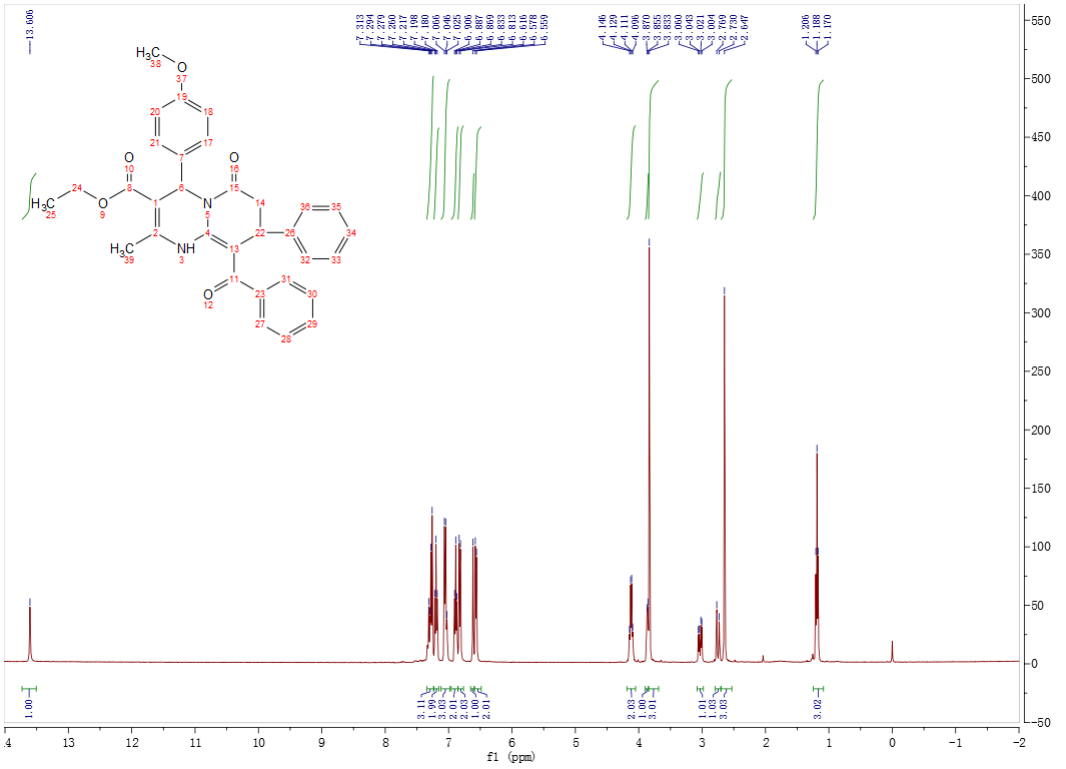
Ethyl 9-benzoyl-2-methyl-6-oxo-4,8-diphenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4x)

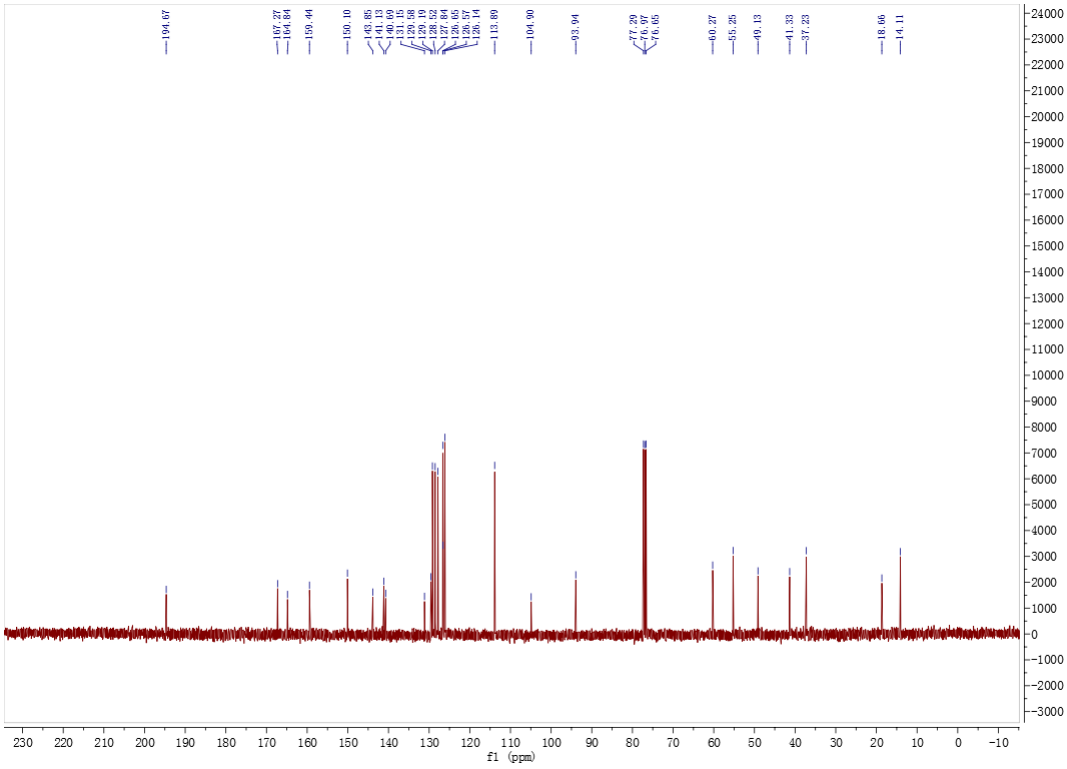




Ethyl

9-benzoyl-4-(4-methoxyphenyl)-2-methyl-6-oxo-8-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4y)

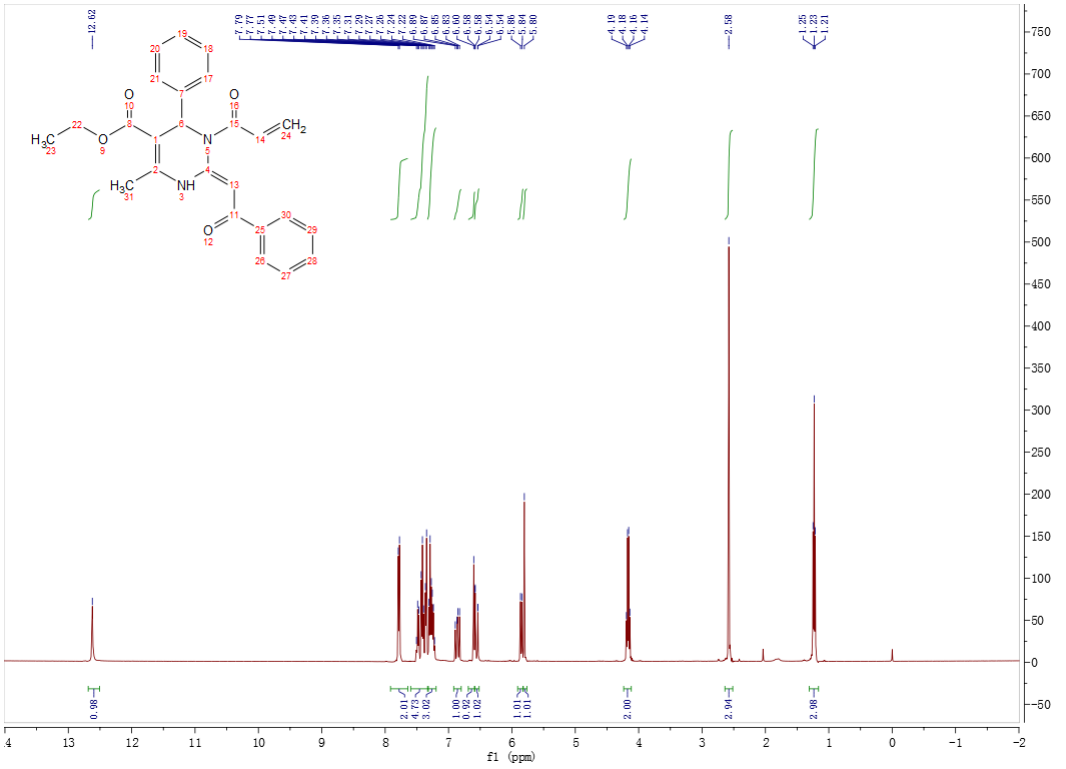


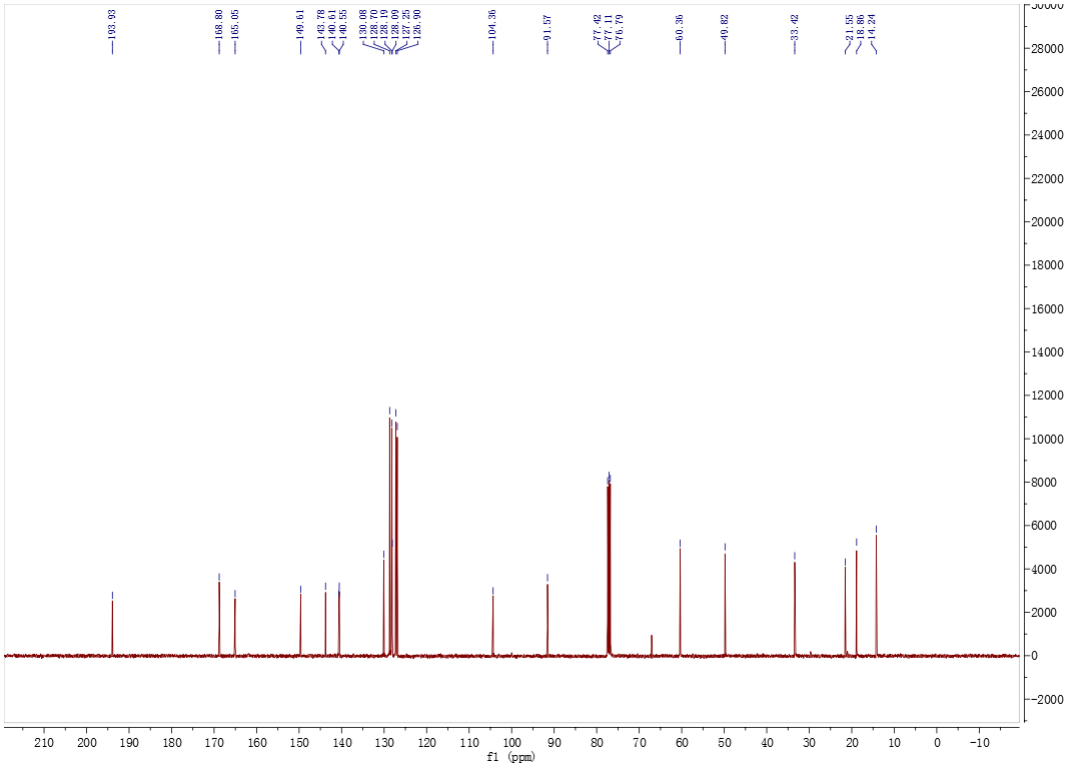


(*E*)-Ethyl

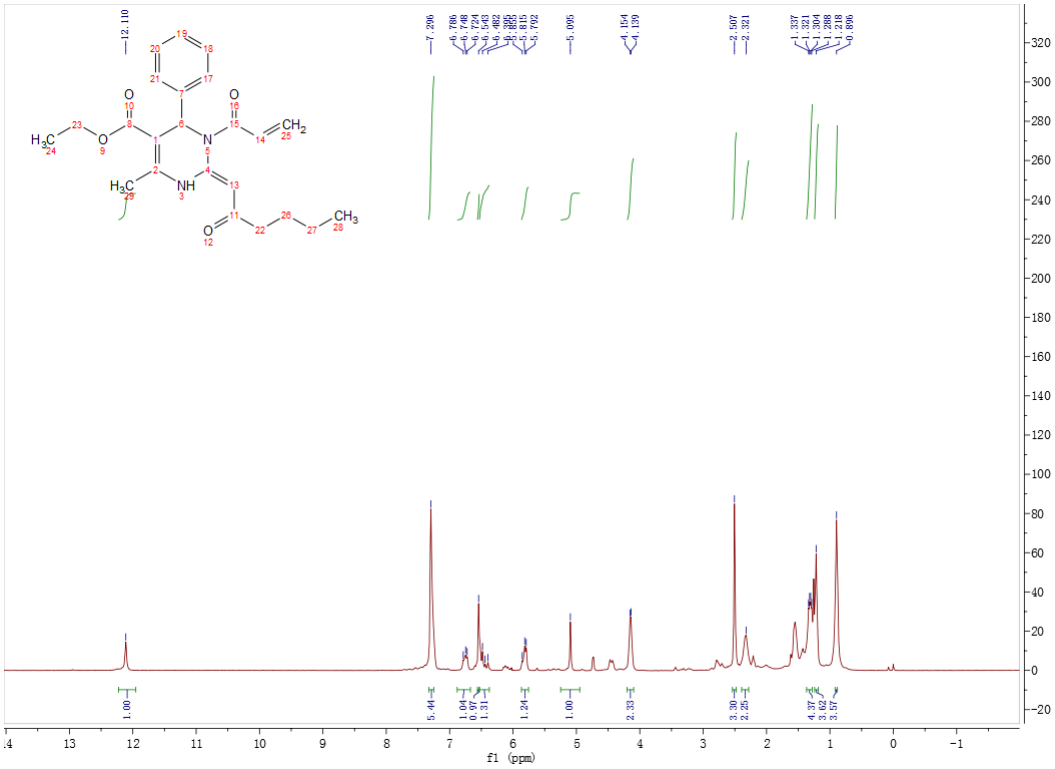
3-acryloyl-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate

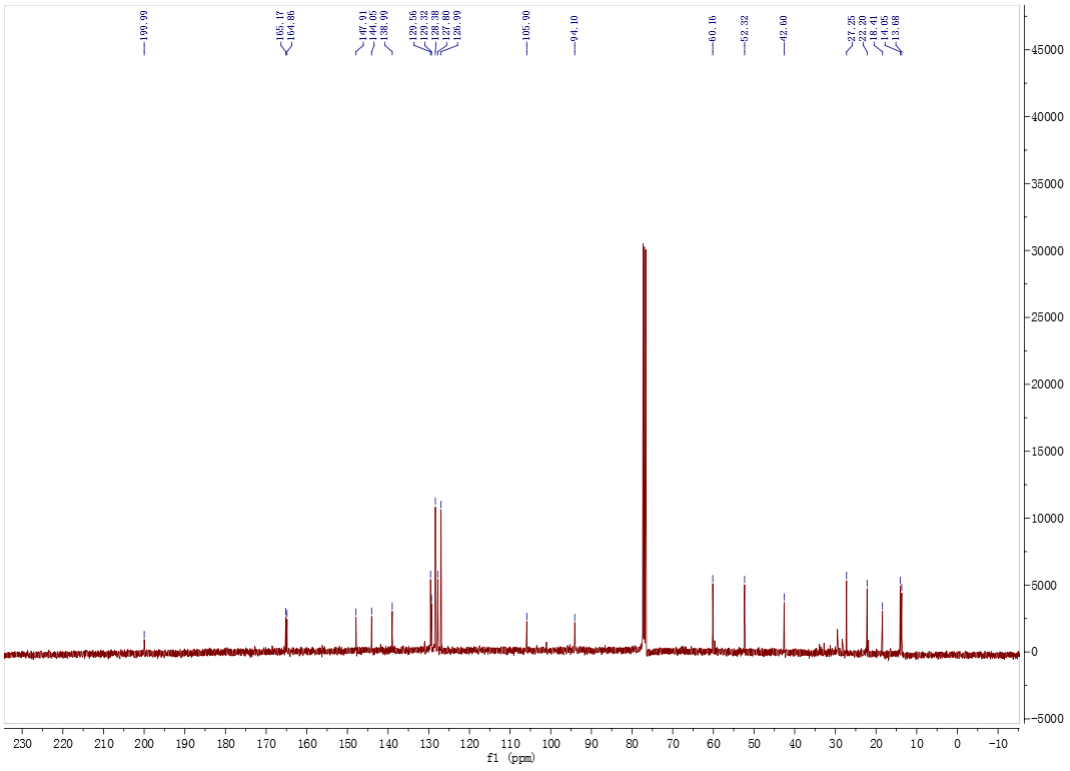
(5a)



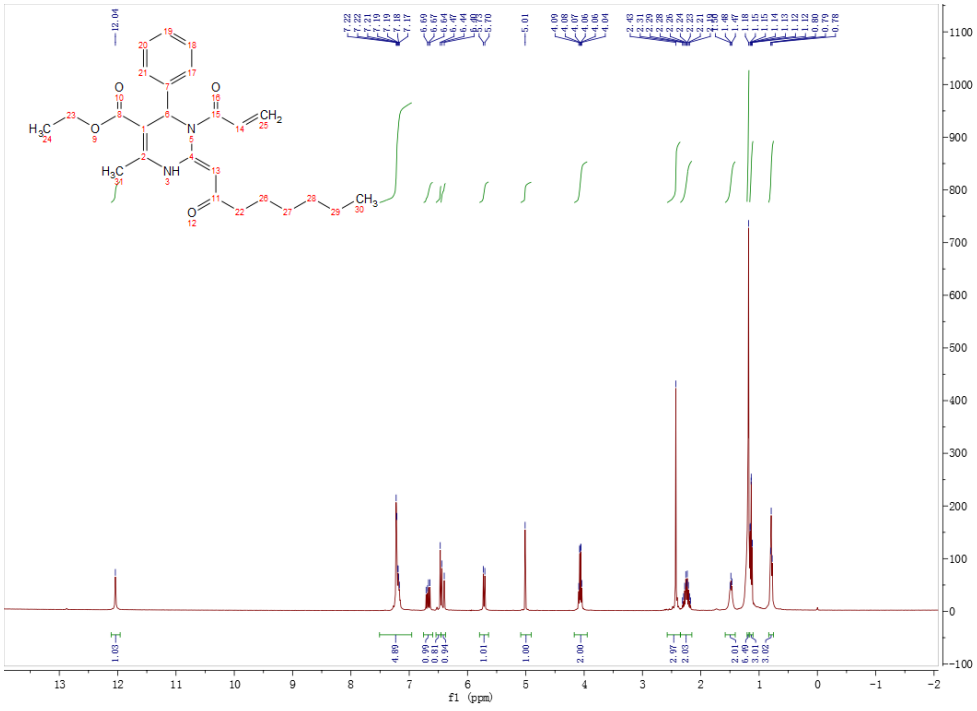


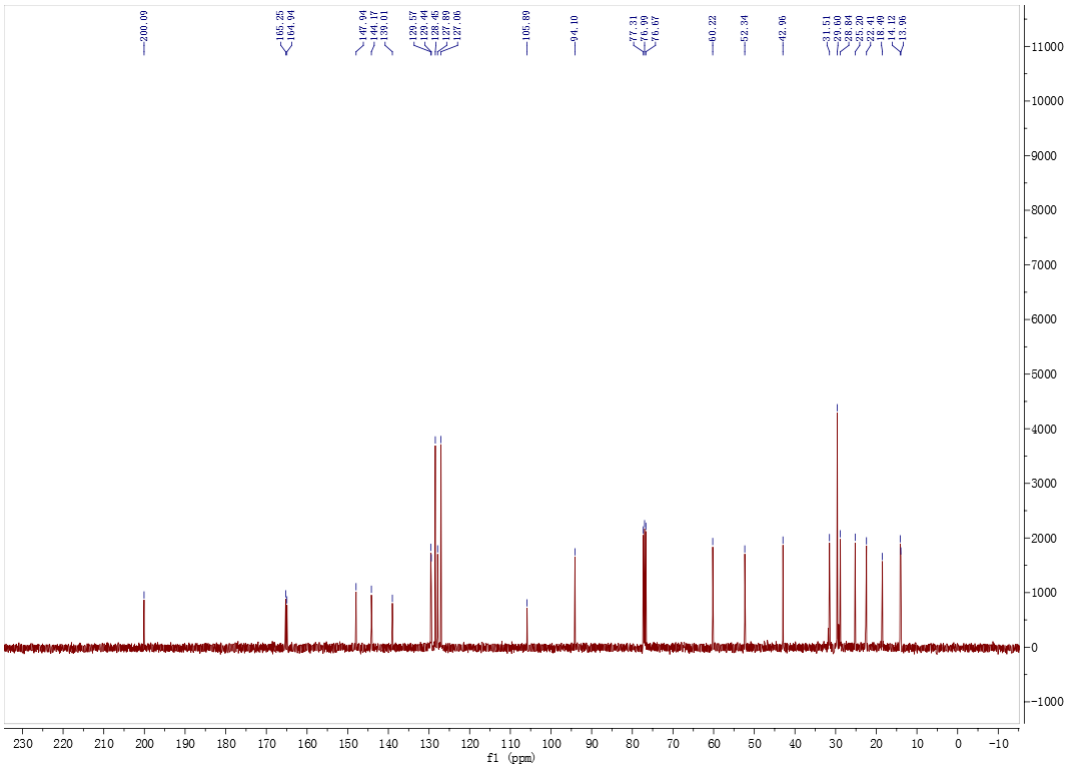
(*E*)-Ethyl 3-acryloyl-6-methyl-2-(2-oxohexylidene)-4-phenyl-1,2,3,4-tetrahydro-pyrimidine-5-carboxylate (5n)





(*E*)-Ethyl 3-acryloyl-6-methyl-2-(2-oxooctylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5o)

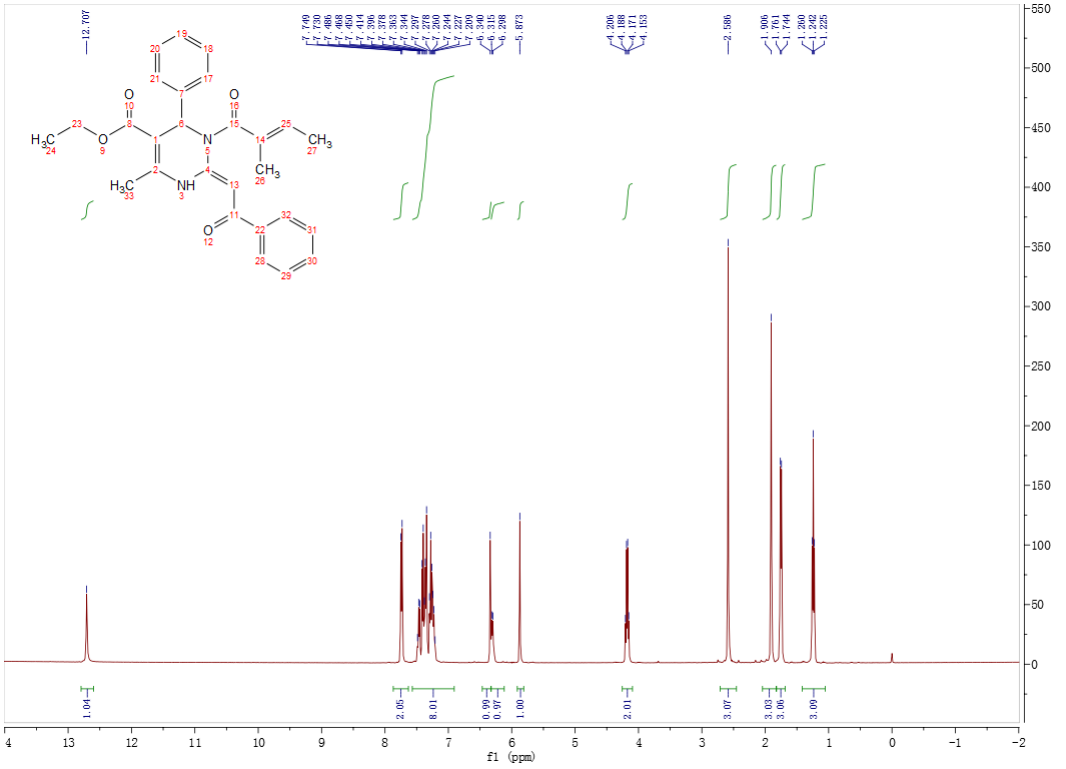


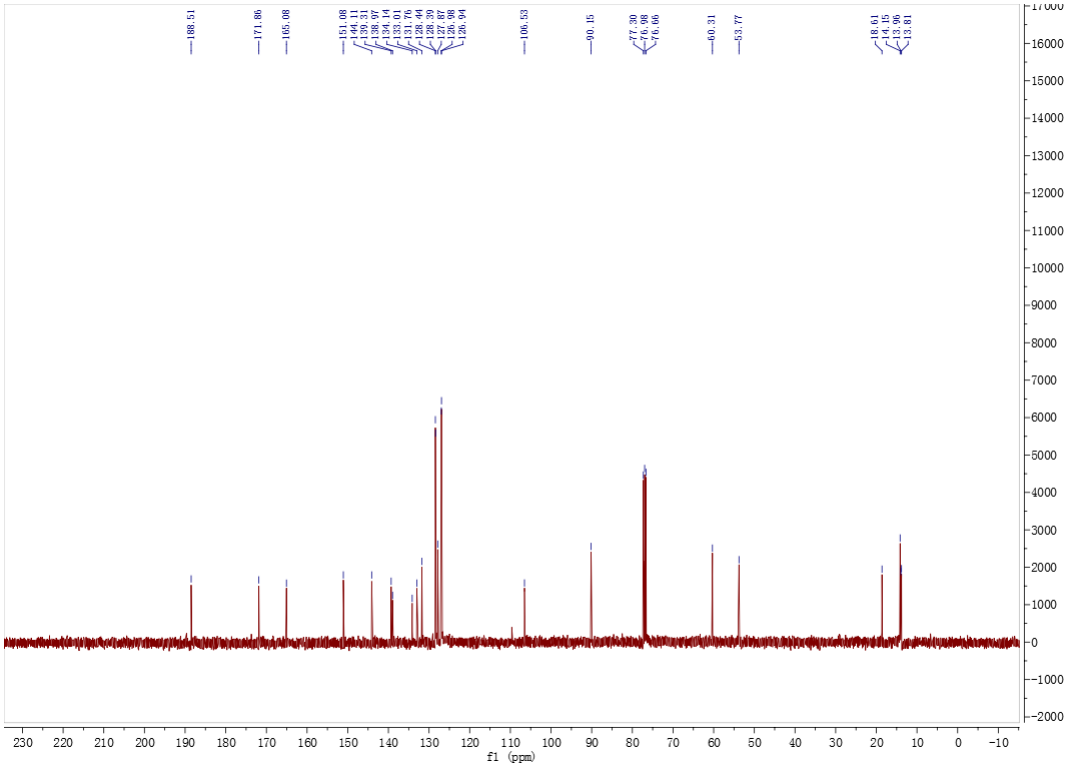


(*E*)-Ethyl

6-methyl-3-((E)-2-methylbut-2-enoyl)-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine

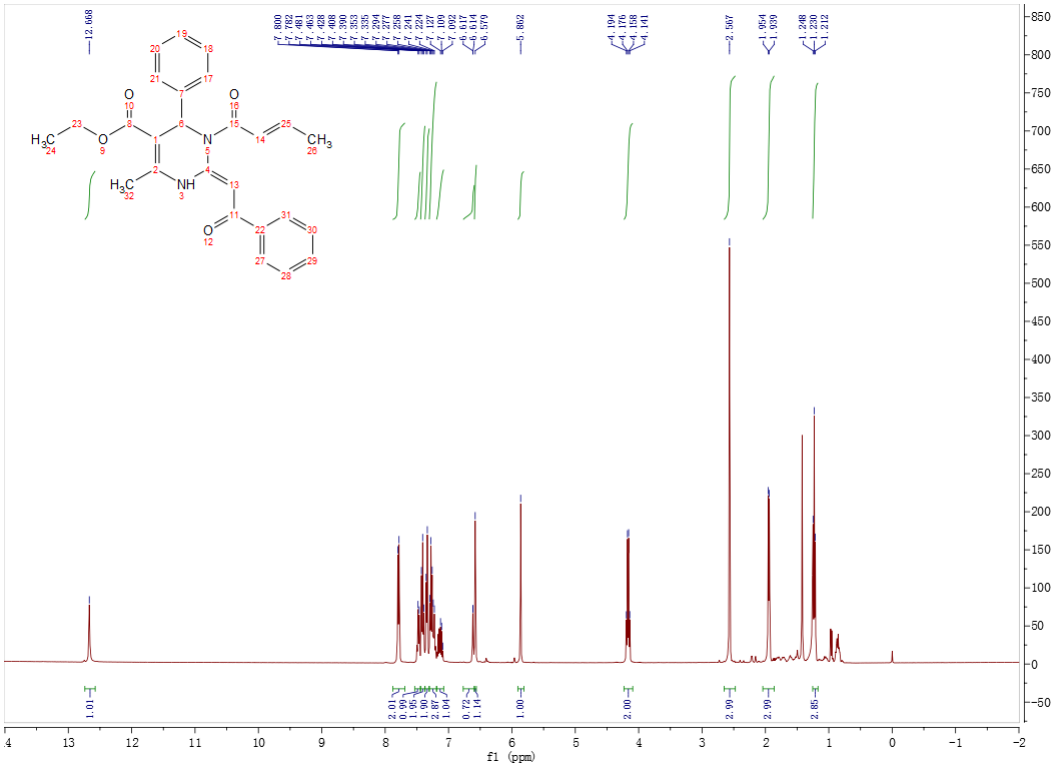
-5-carboxylate (5v)

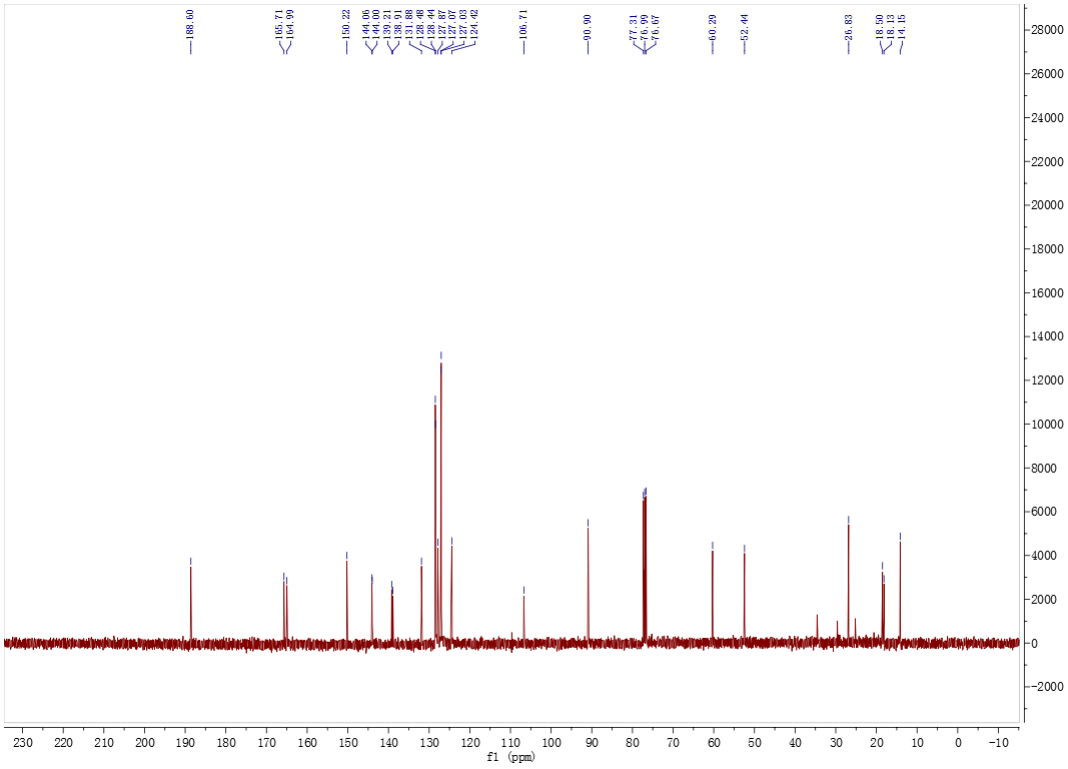




(*E*)-Ethyl

3-((E)-but-2-enoyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5w)

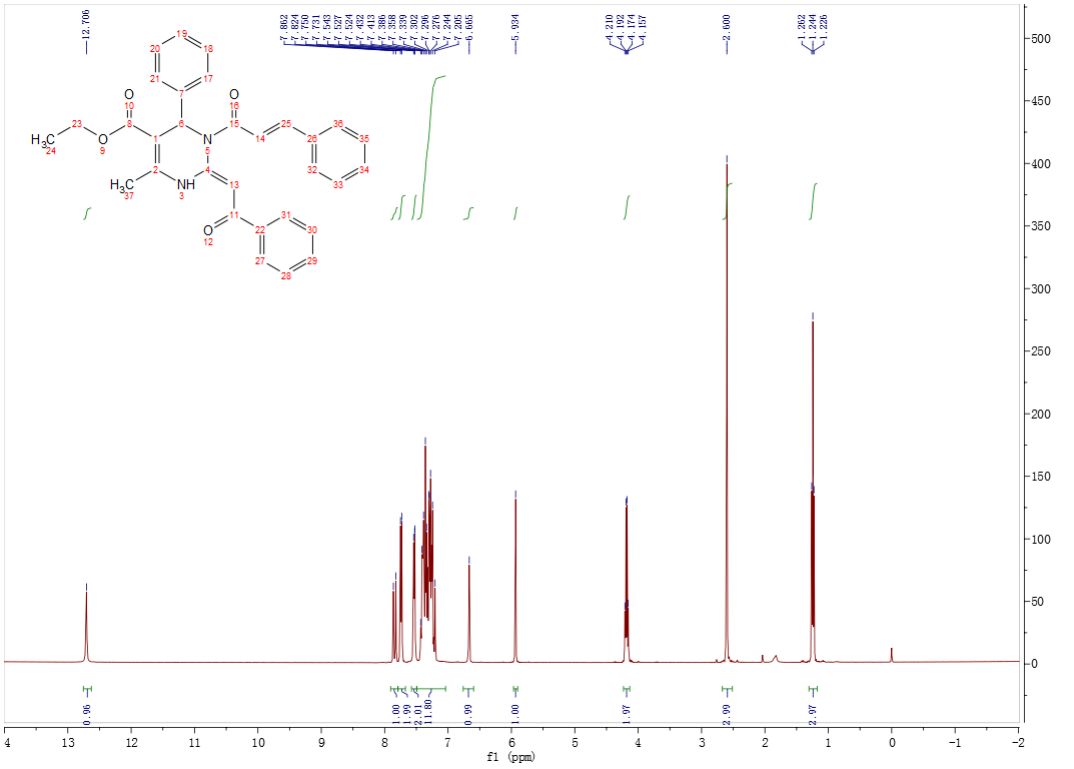


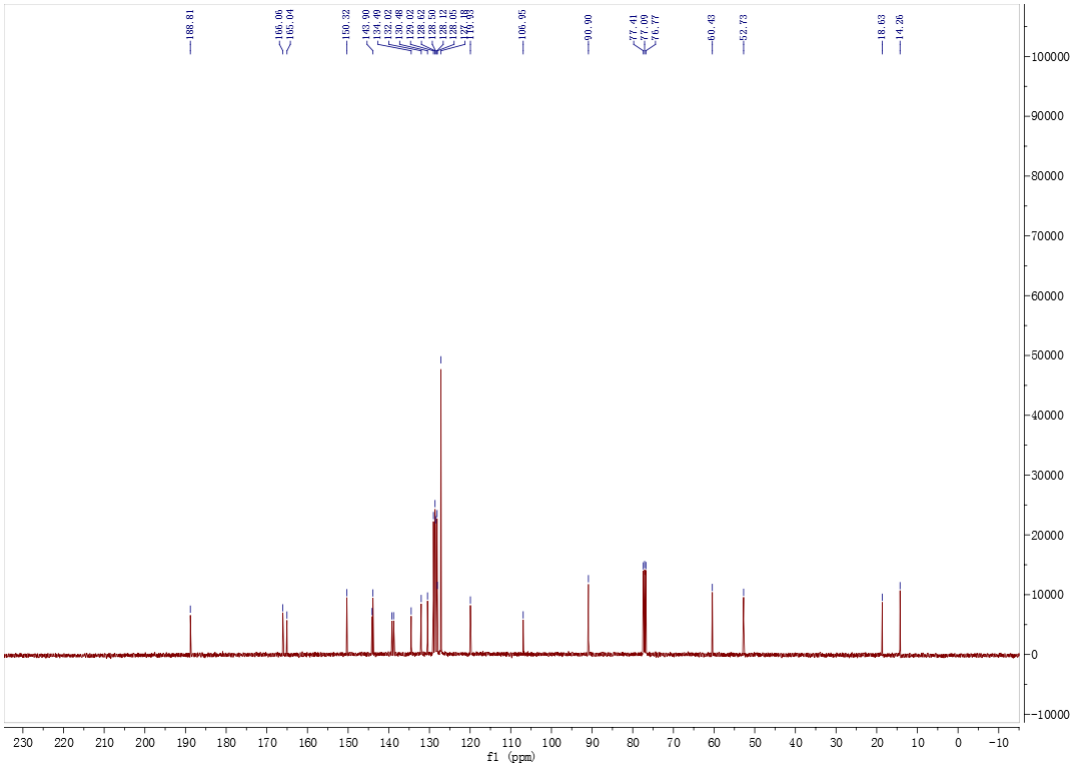


(*E*)-Ethyl

3-cinnamoyl-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate

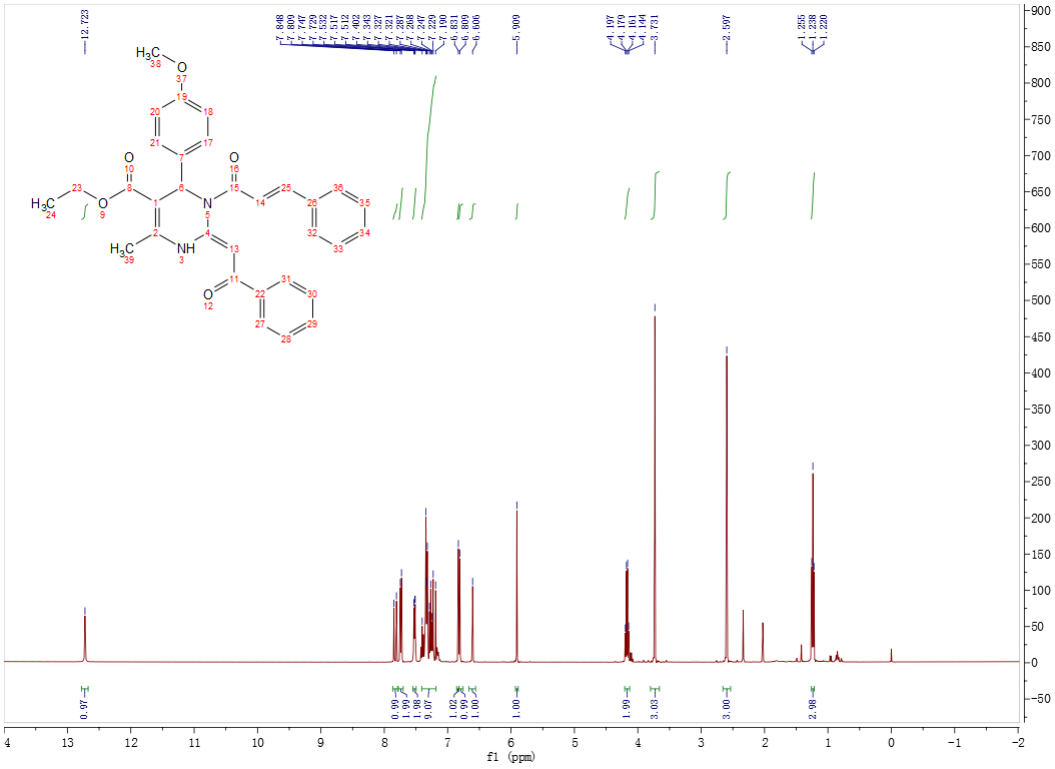
(5x)

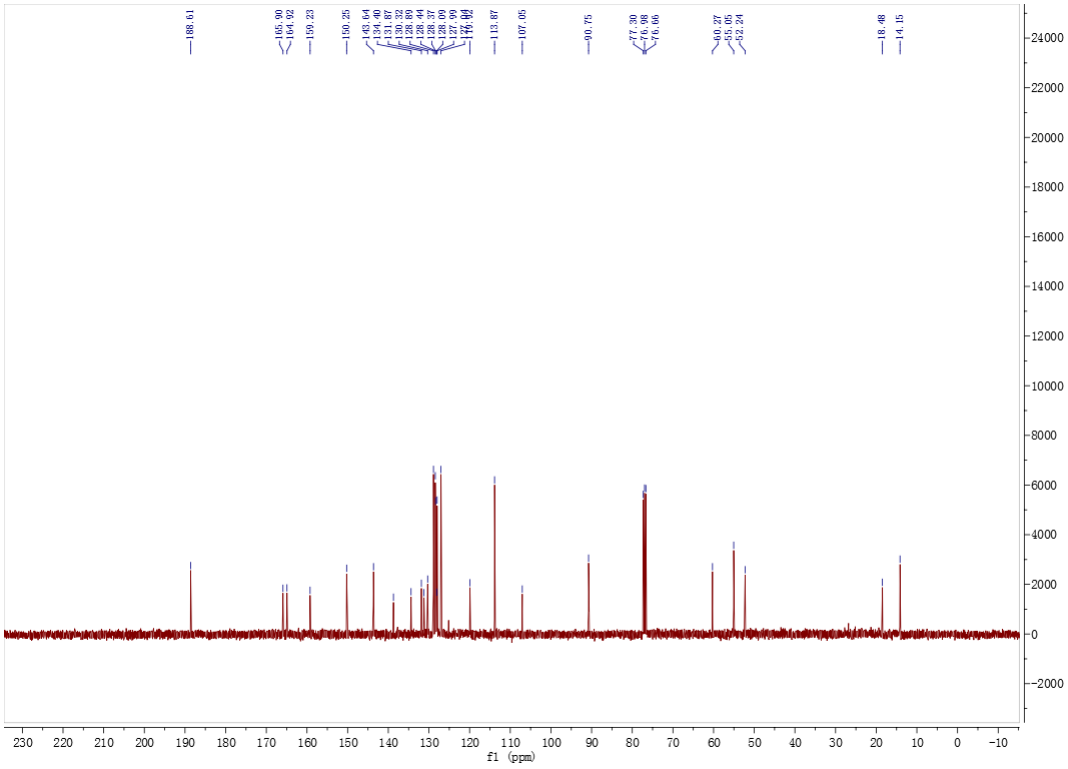




(*E*)-Ethyl

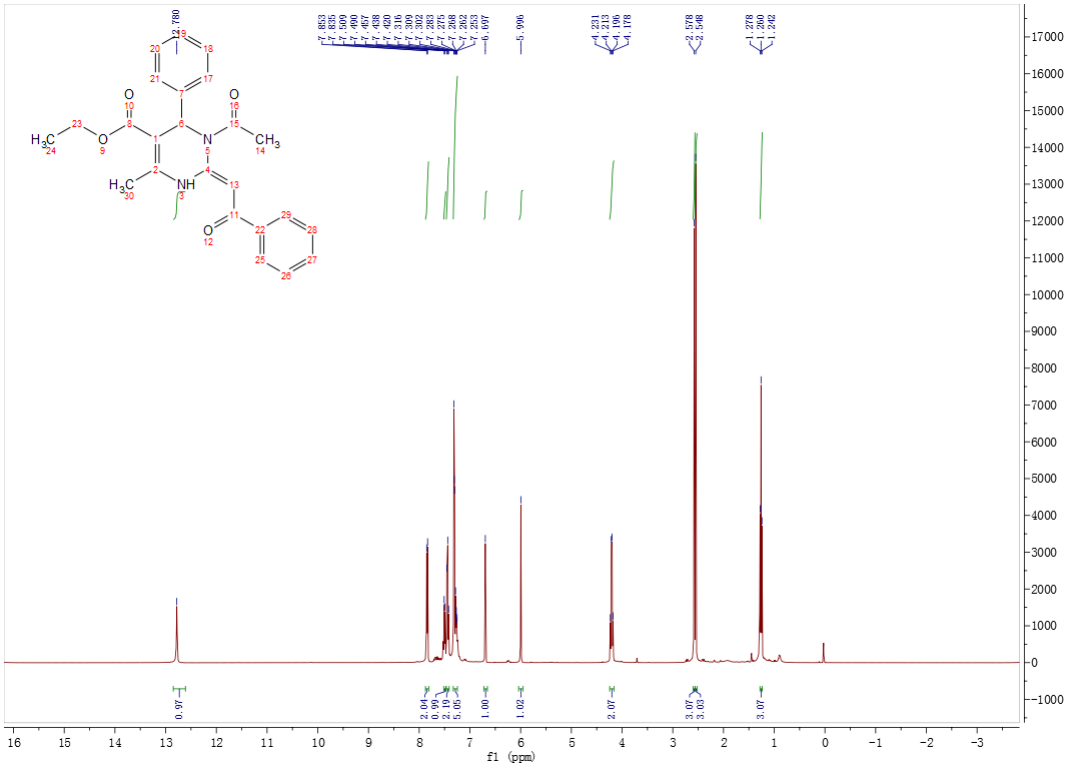
3-cinnamoyl-4-(4-methoxyphenyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (5y)

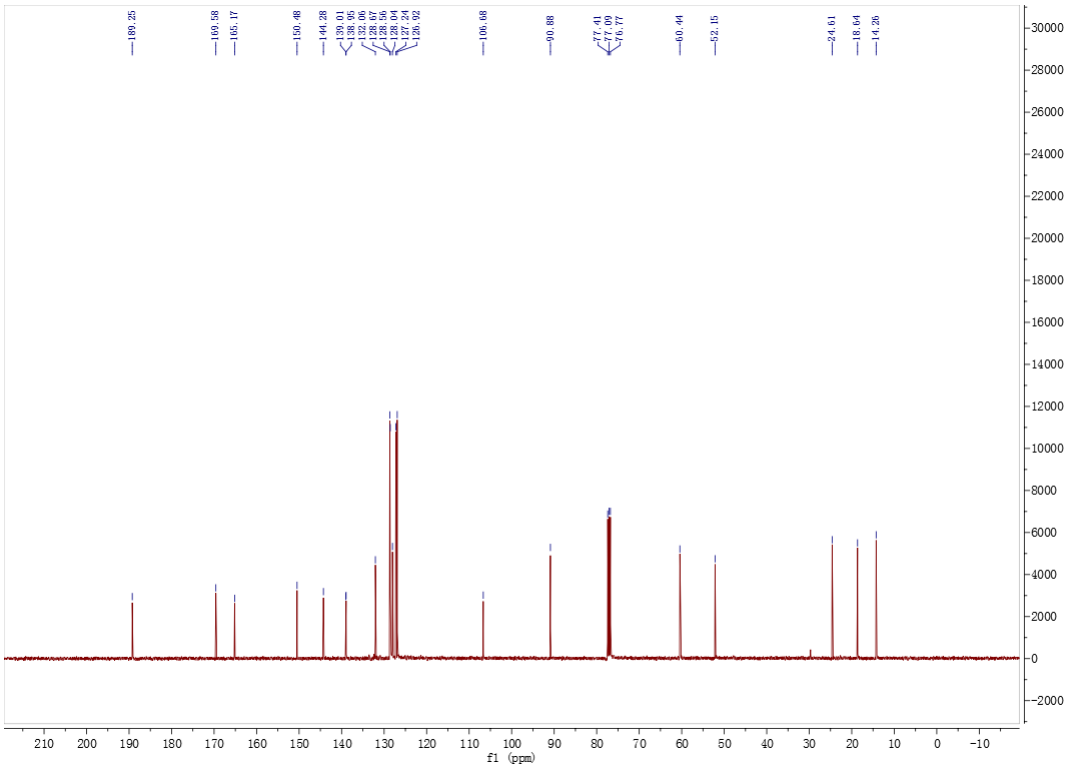




(*E*)-Ethyl

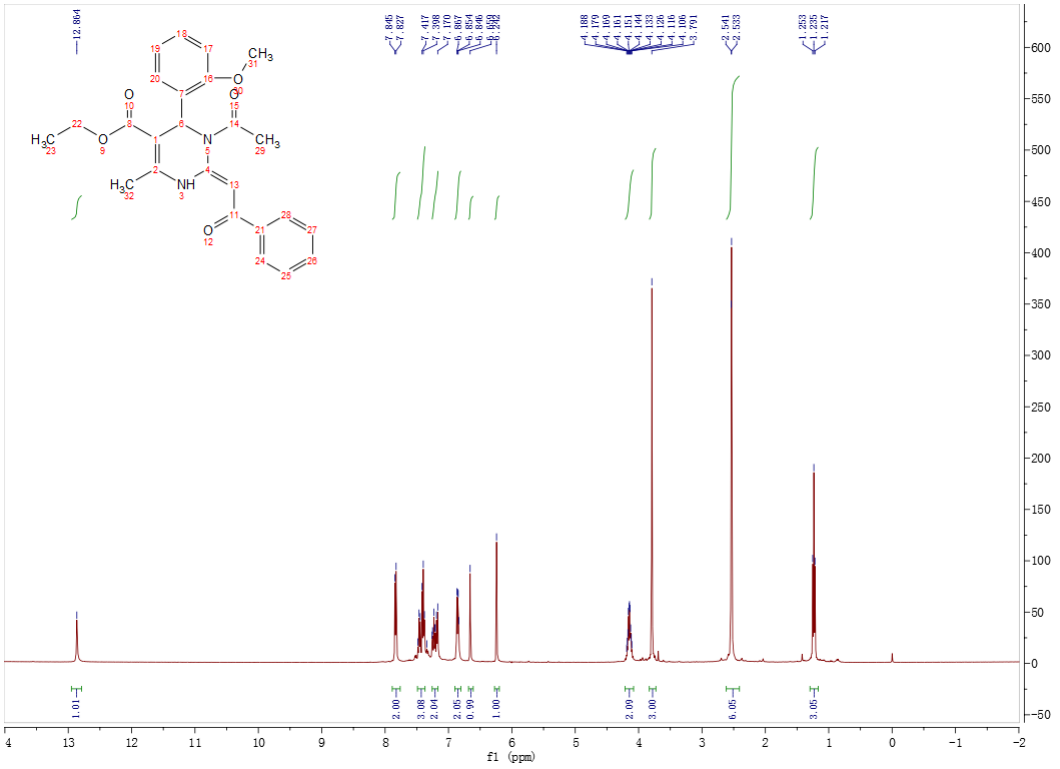
3-acetyl-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7a)

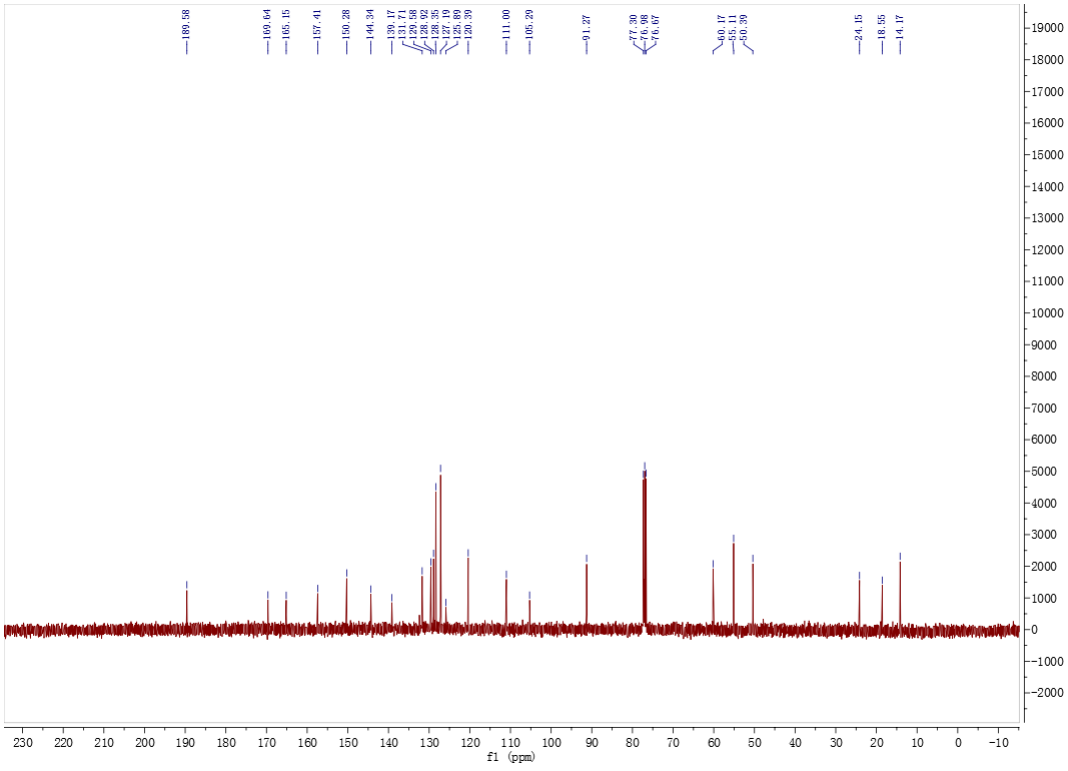




(*E*)-Ethyl

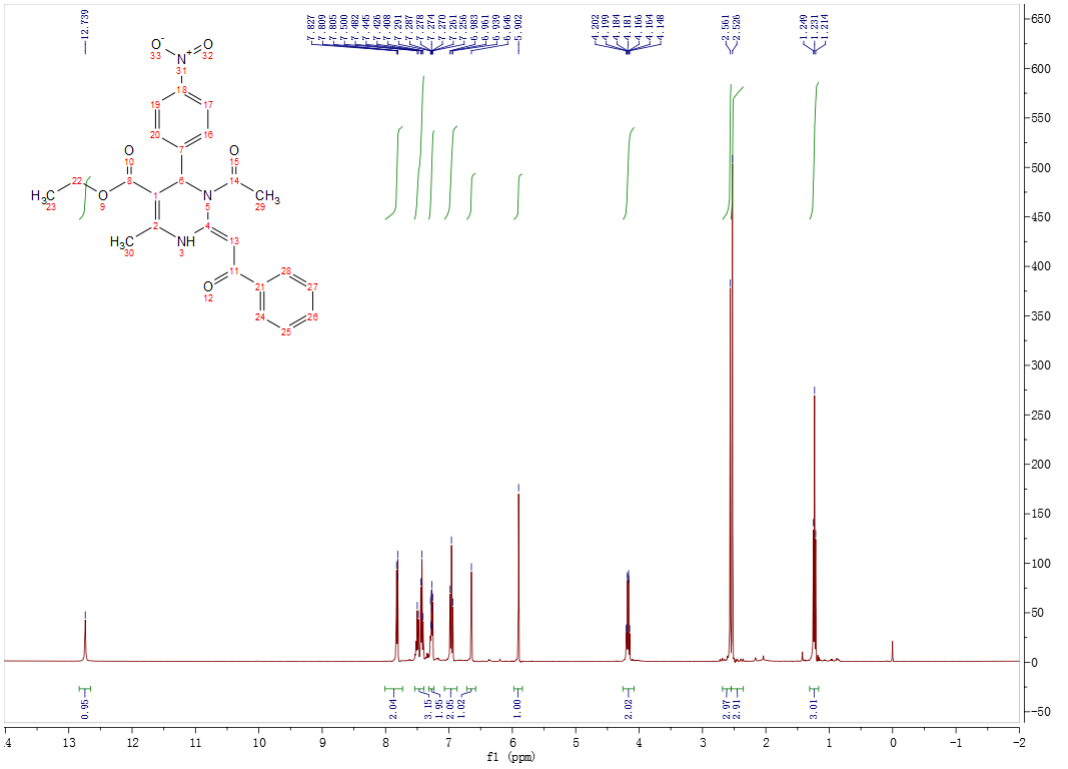
3-acetyl-4-(2-methoxyphenyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7b)

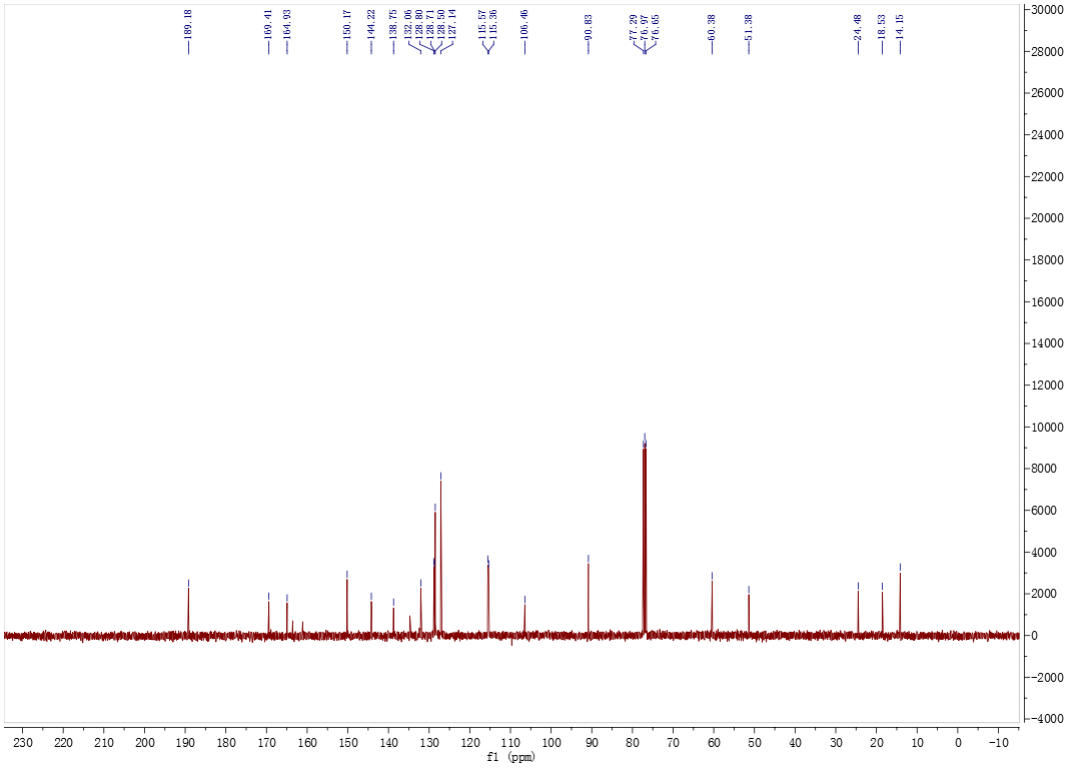




(*E*)-Ethyl

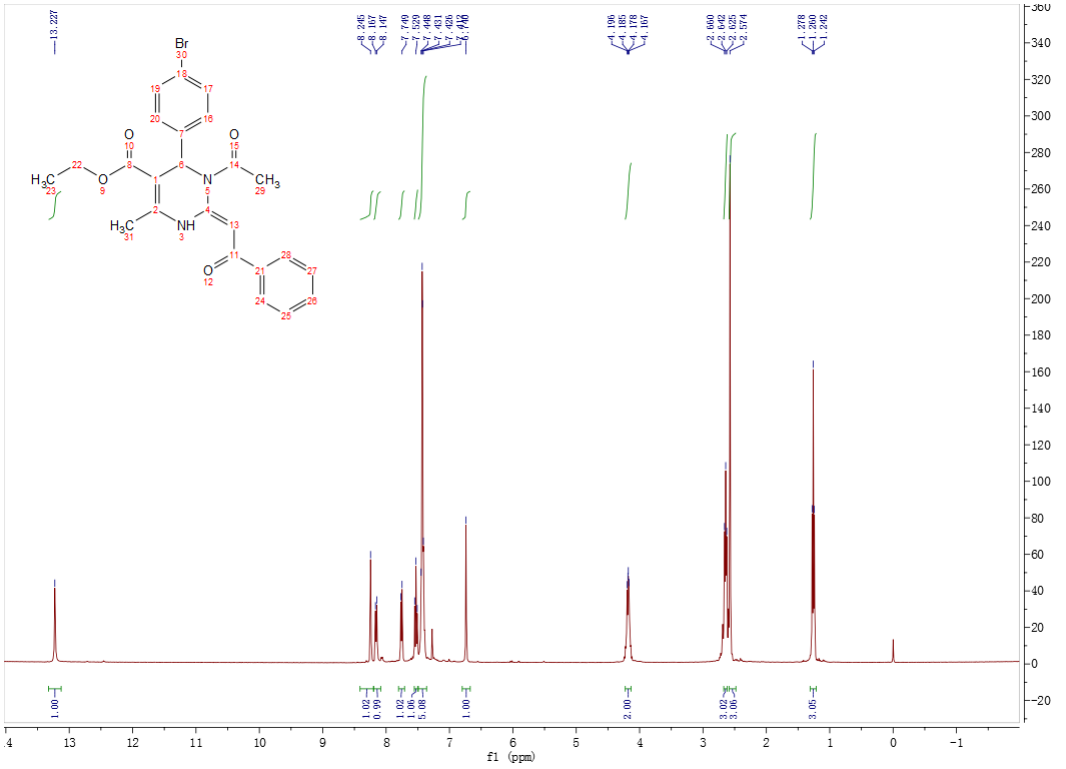
3-acetyl-6-methyl-4-(4-nitrophenyl)-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7c)

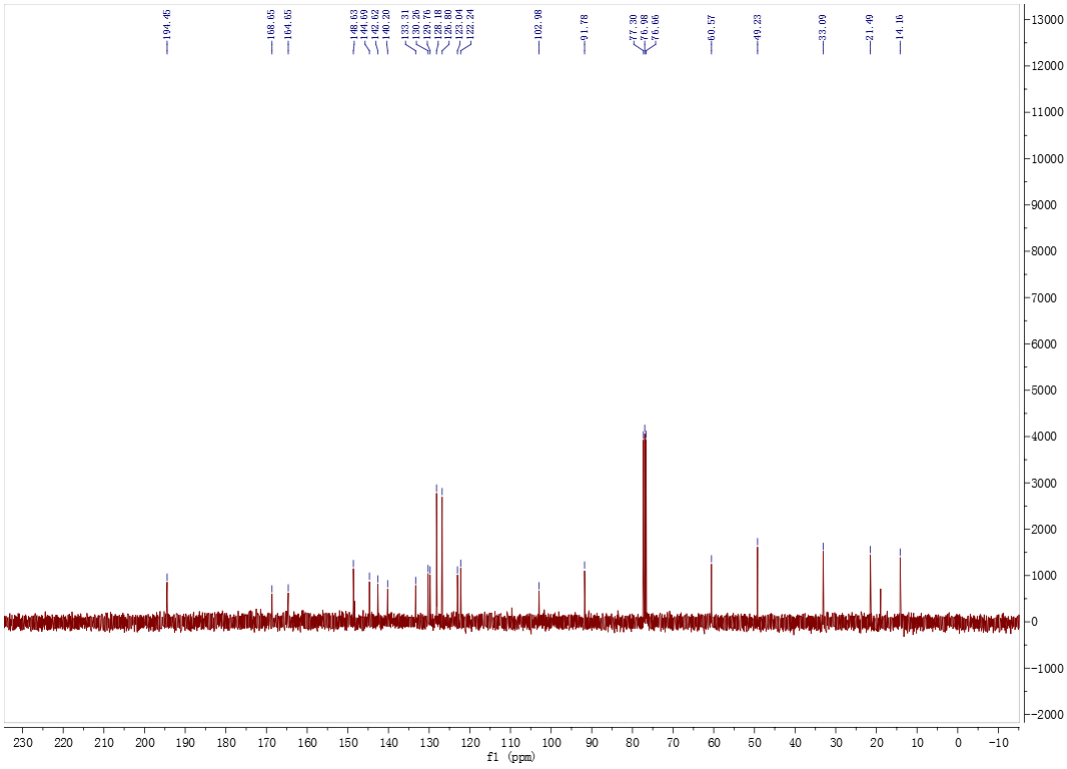




(*E*)-ethyl

3-acetyl-4-(4-bromophenyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7d)

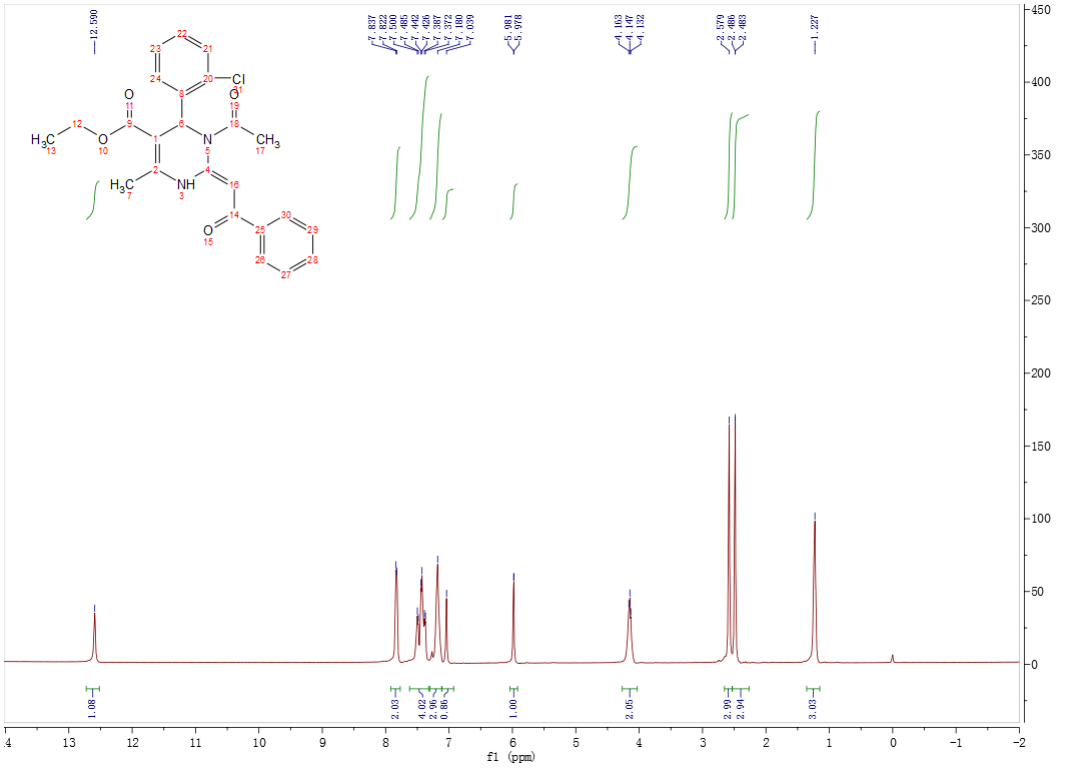


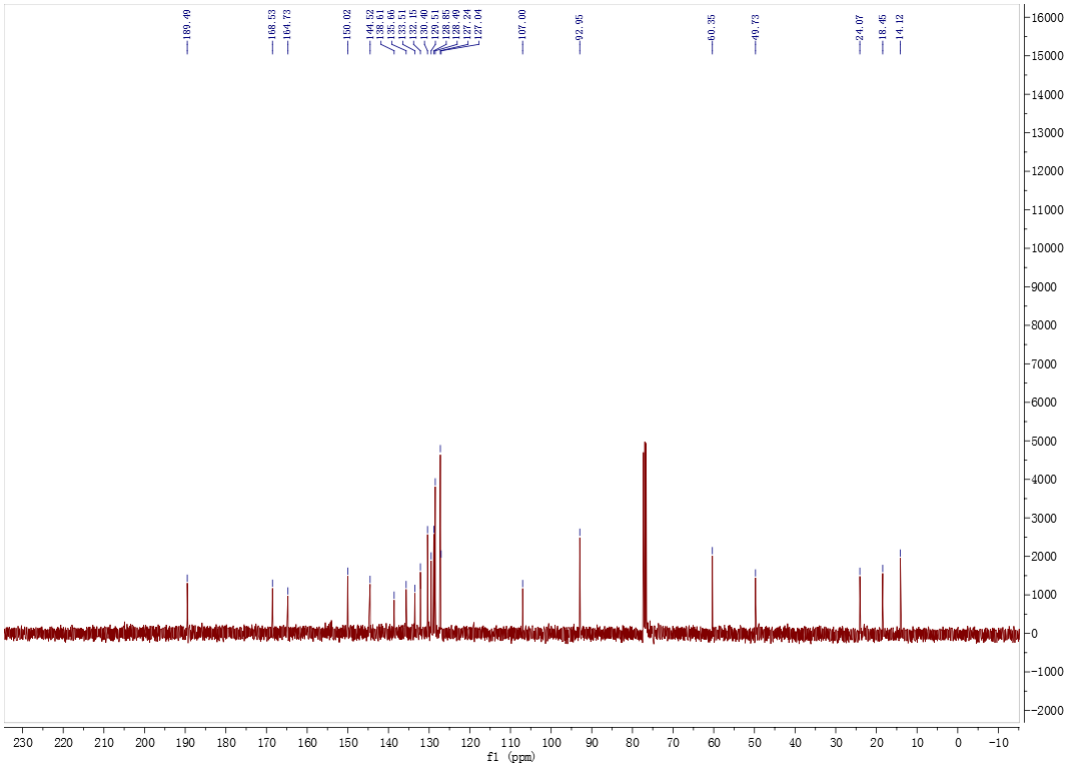


(E)-Ethyl

3-acetyl-4-(2-chlorophenyl)-6-methyl-2-(2-oxo-2-phenylethylidene)-1,2,3,4-tetrahydropyrimidine-5-carbox

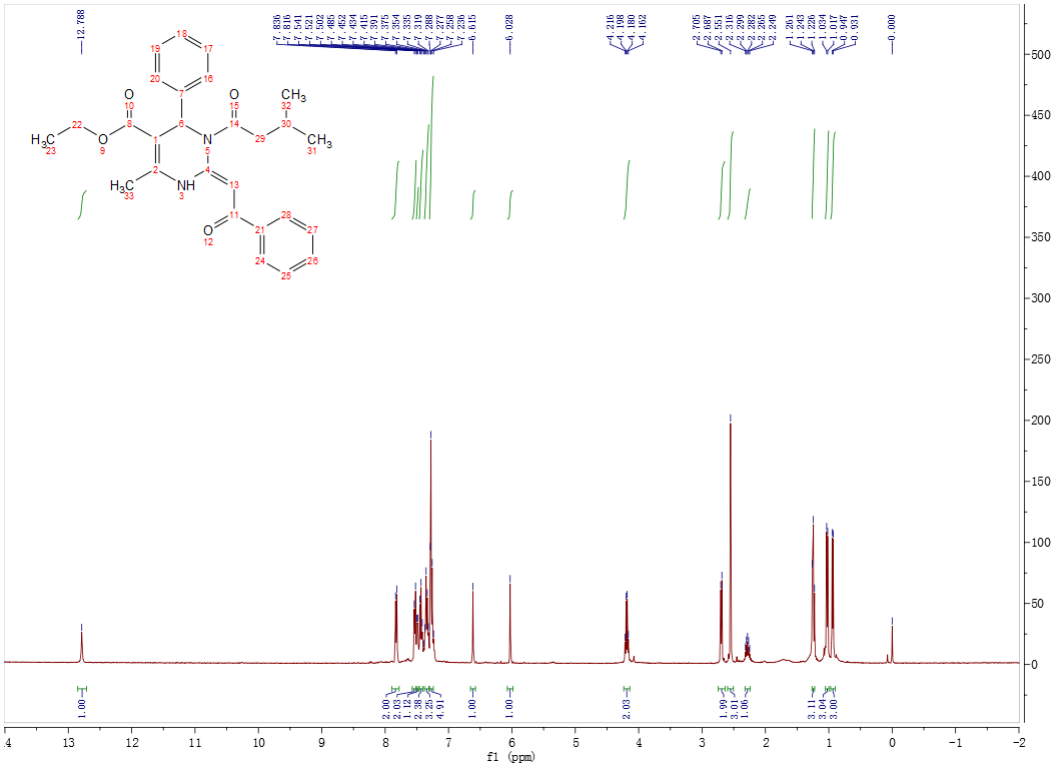
ylate (7e)

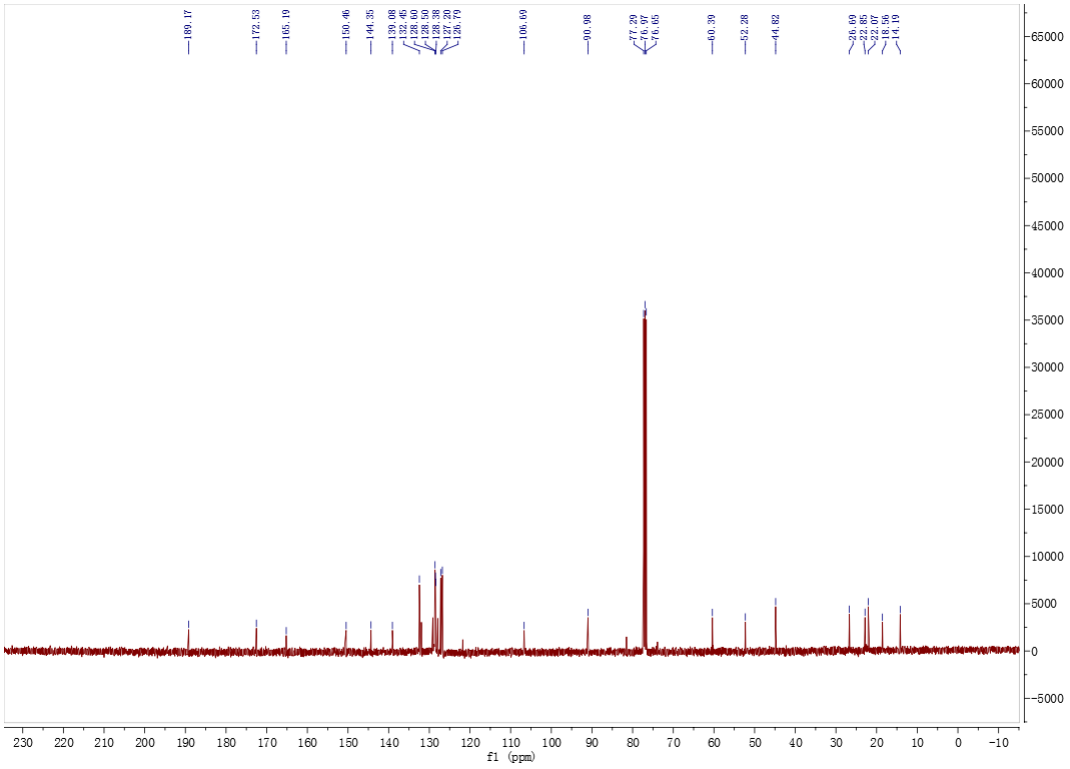




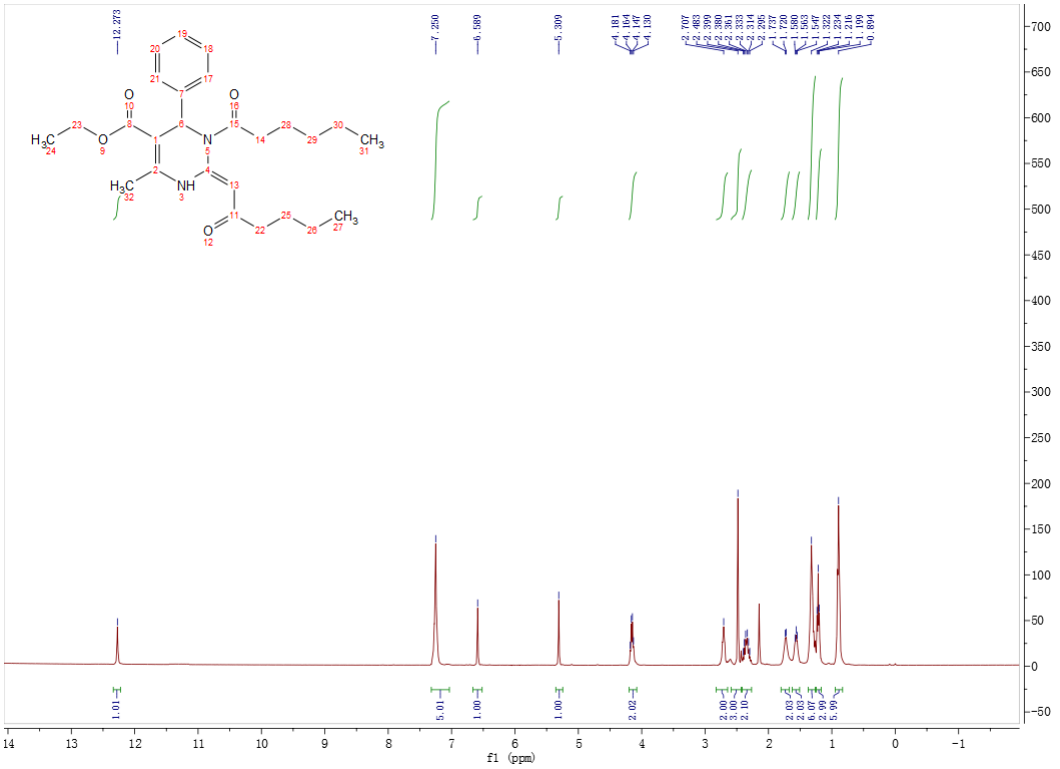
(*E*)-Ethyl

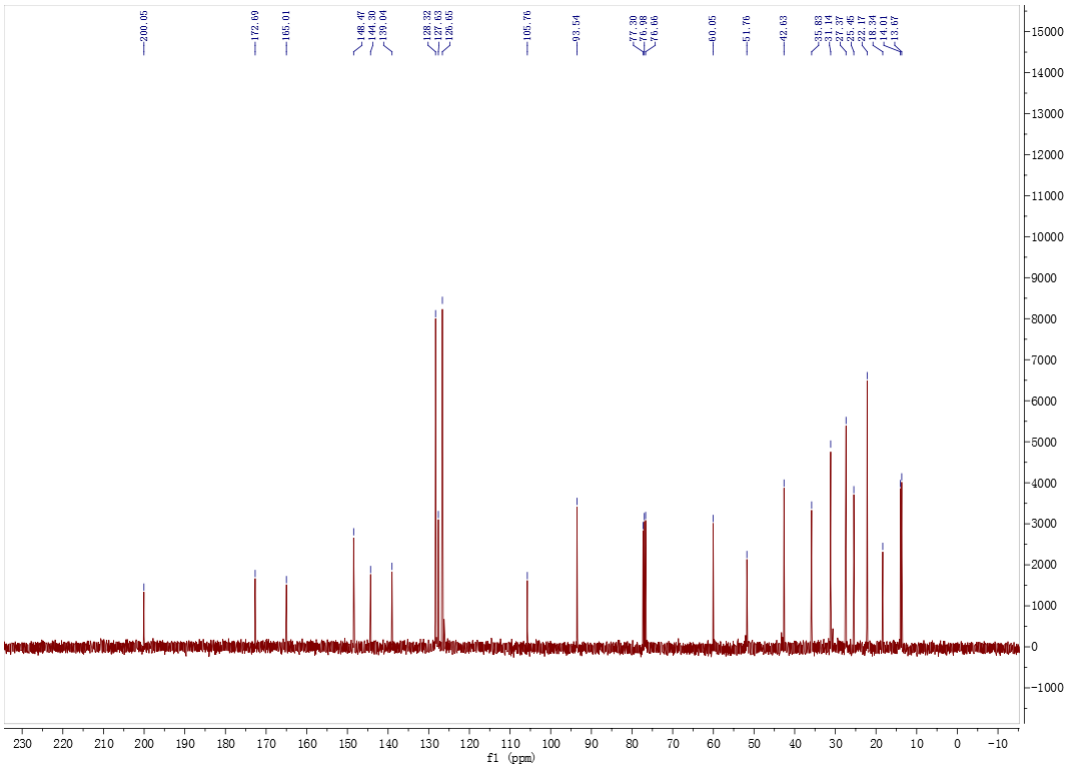
6-methyl-3-(3-methylbutanoyl)-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7f)





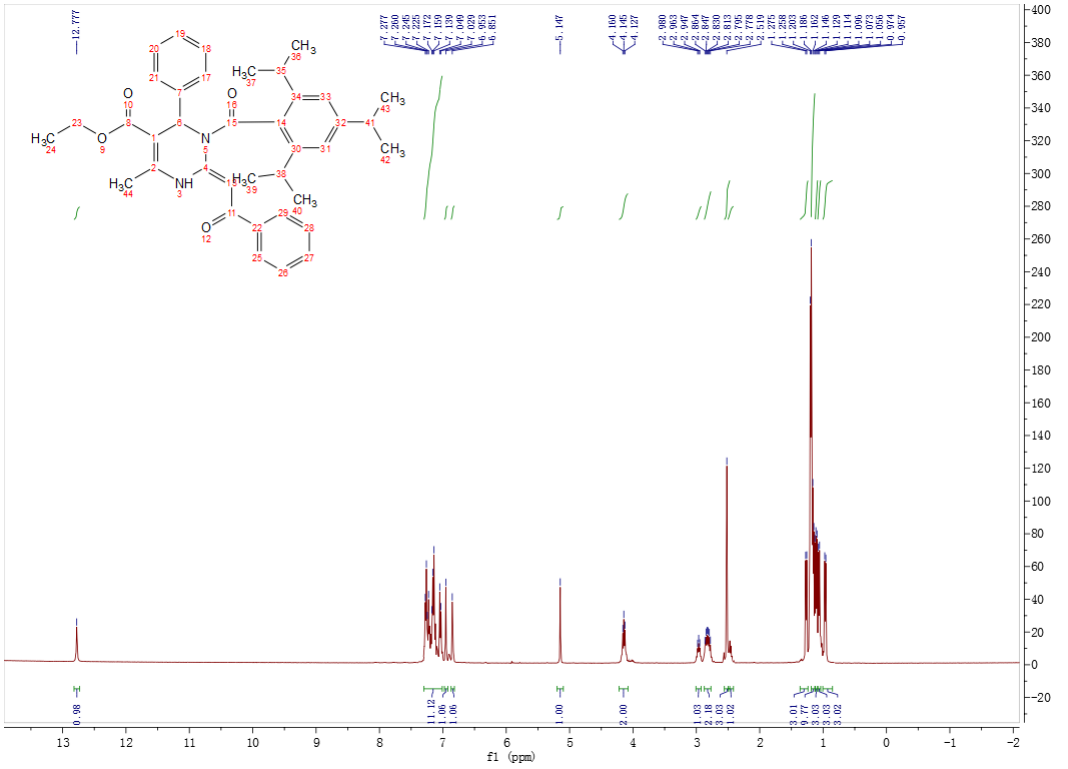
(*E*)-Ethyl 3-hexanoyl-6-methyl-2-(2-oxohexylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7g)

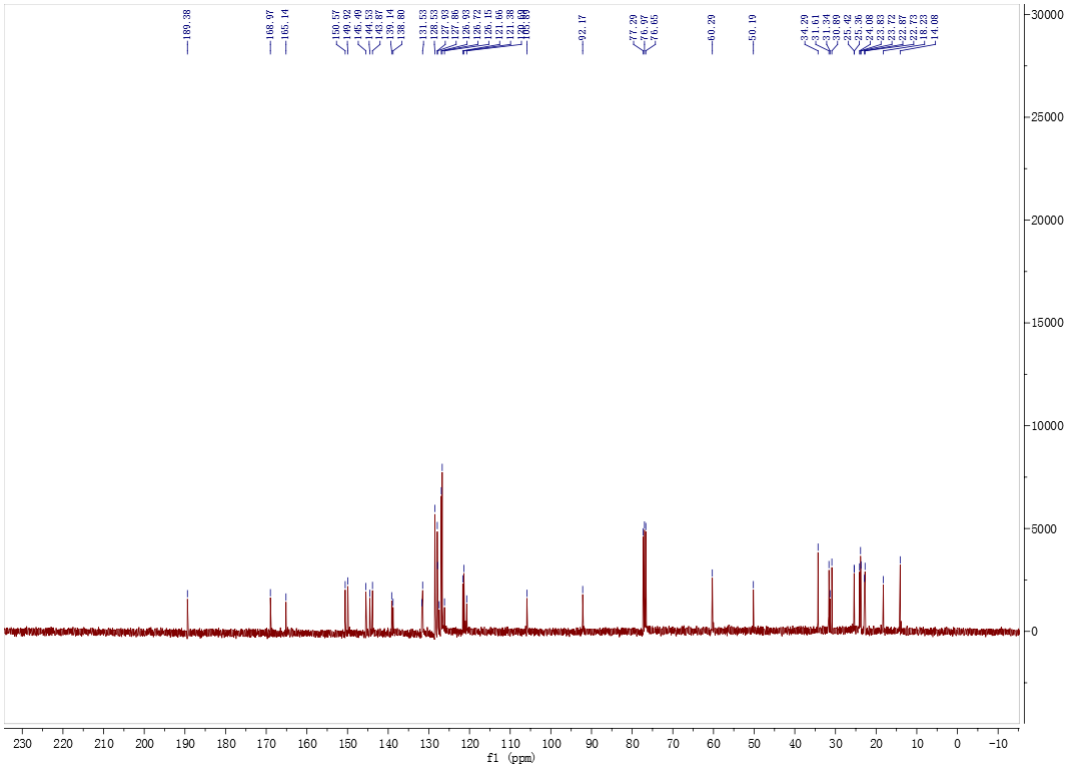




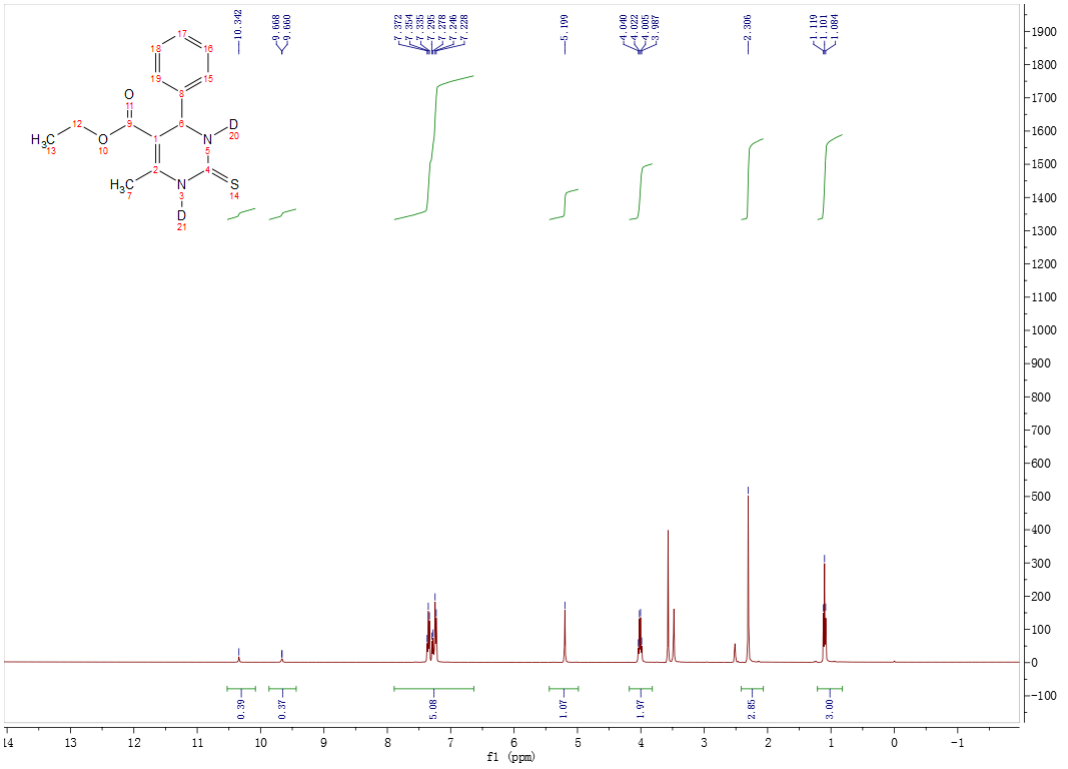
(*E*)-Ethyl

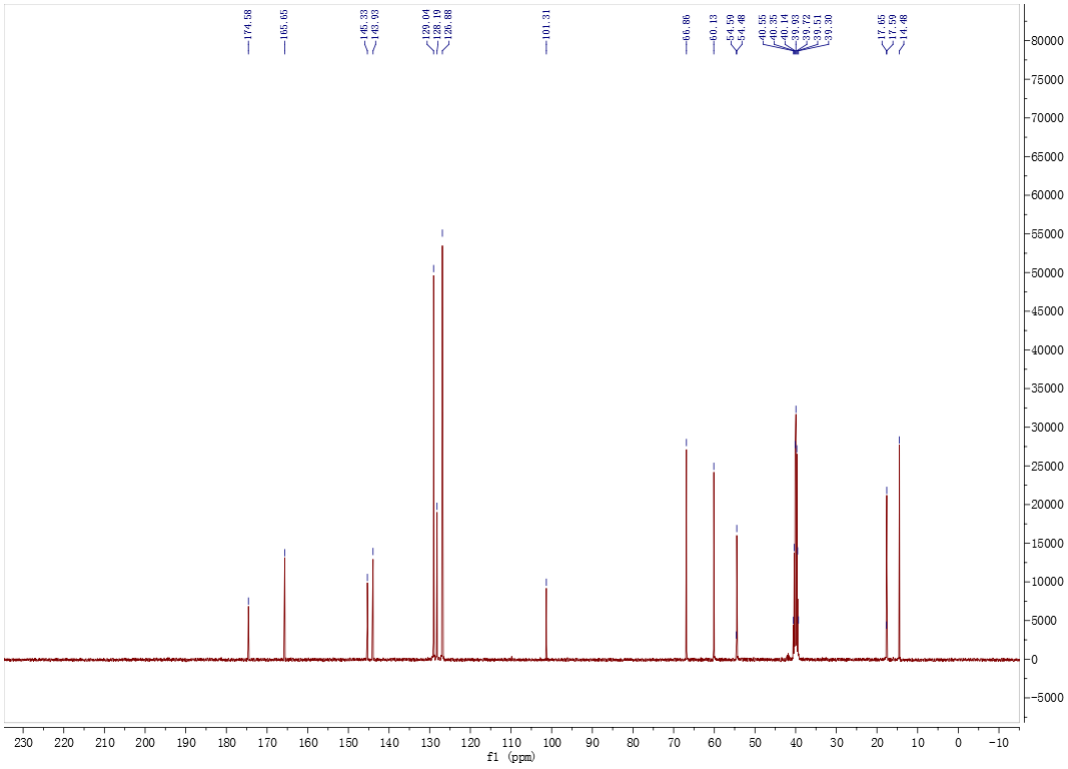
6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-3-(2,4,6-triisopropylbenzoyl)-1,2,3,4-tetrahydropyrimidine-5-carboxylate (7h)



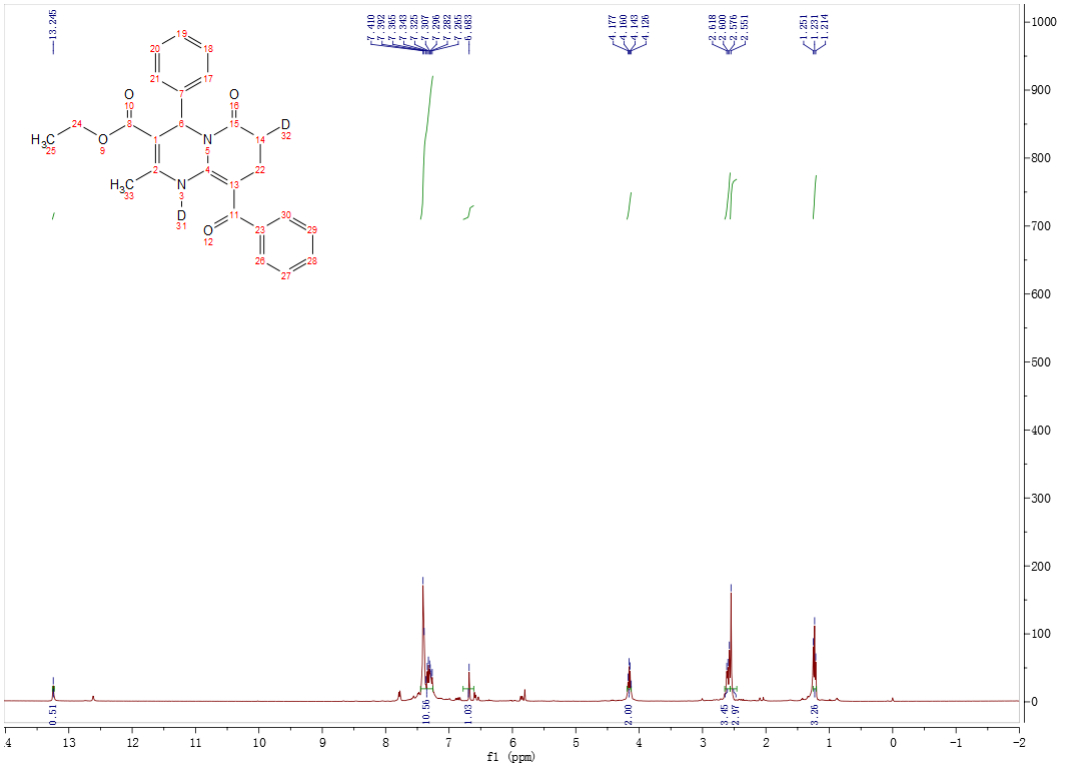


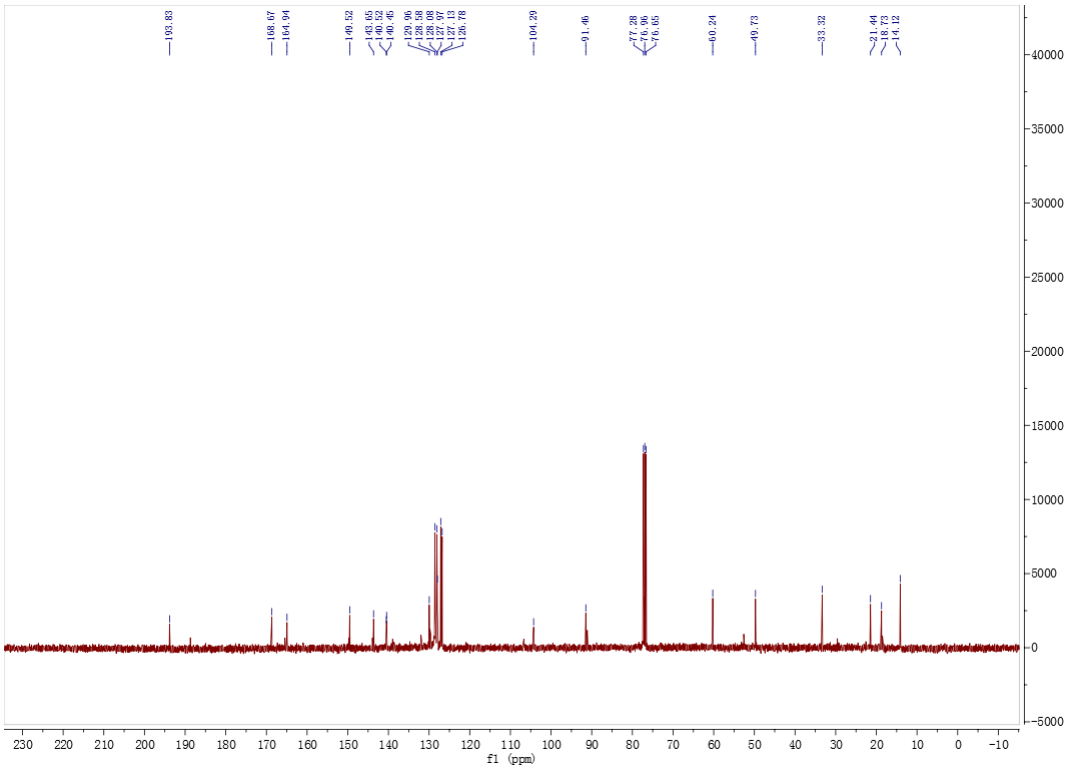
DHPM-d (1m)


10.342
9.668
9.660
7.372
7.354
7.335
7.278
7.246
7.228
5.199
4.040
4.022
4.005
3.987
2.306
1.119
1.101
1.084
0.39
0.37
5.08
1.07
1.97
2.85
3.00
f1 (ppm)


174.58
165.65
145.33
145.93
129.04
128.19
126.88
101.31
66.86
60.13
54.59
54.48
40.55
40.35
40.14
39.93
39.72
39.51
39.30
17.65
17.59
14.48
f1 (ppm)

Ethyl 9-benzoyl-2-methyl-6-oxo-4-phenyl-4,6,7,8-tetrahydro-1H-pyrido[1,2-a]pyrimidine-3-carboxylate (4z)

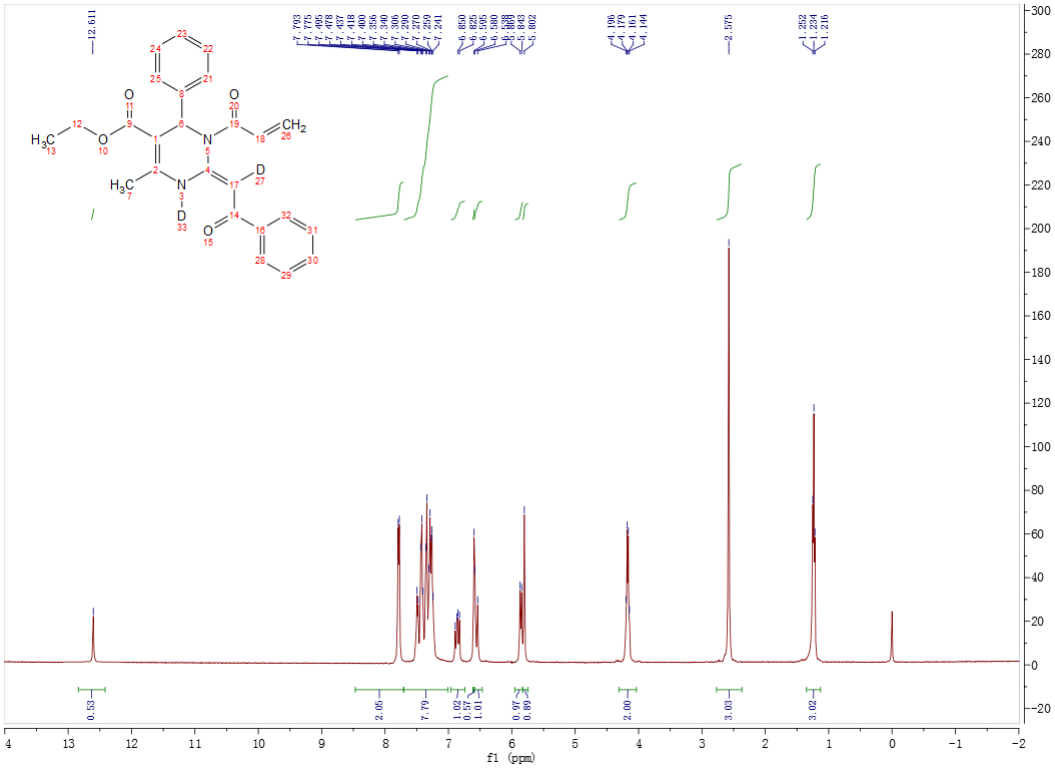




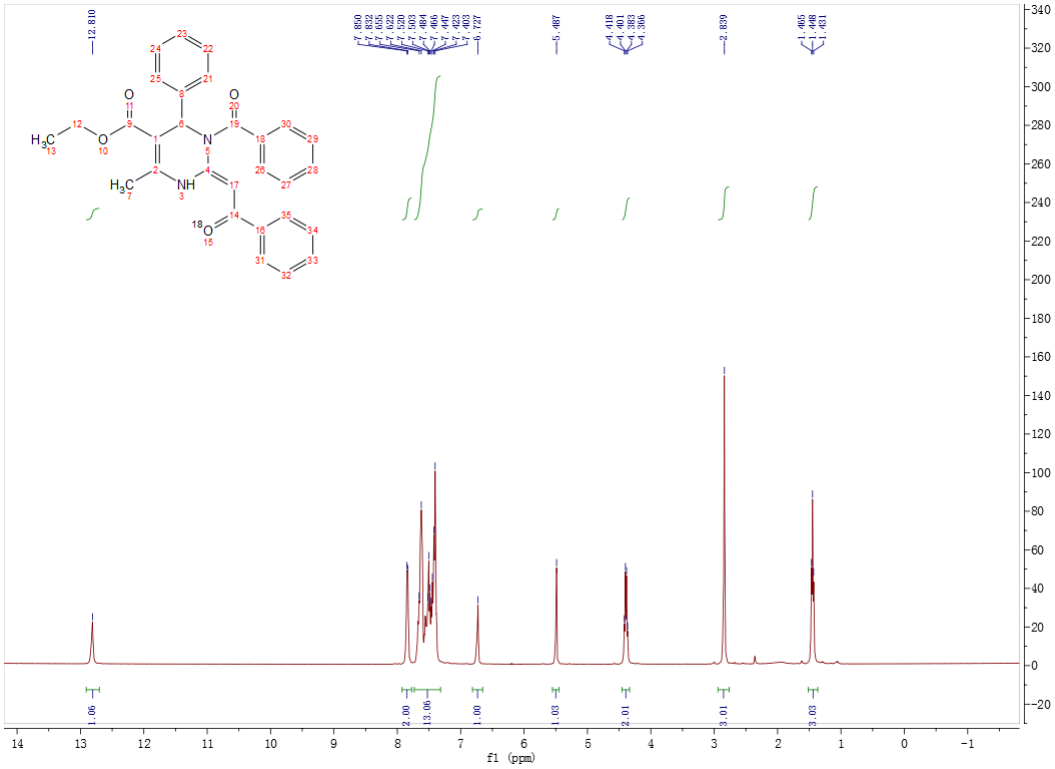
(*E*)-Ethyl

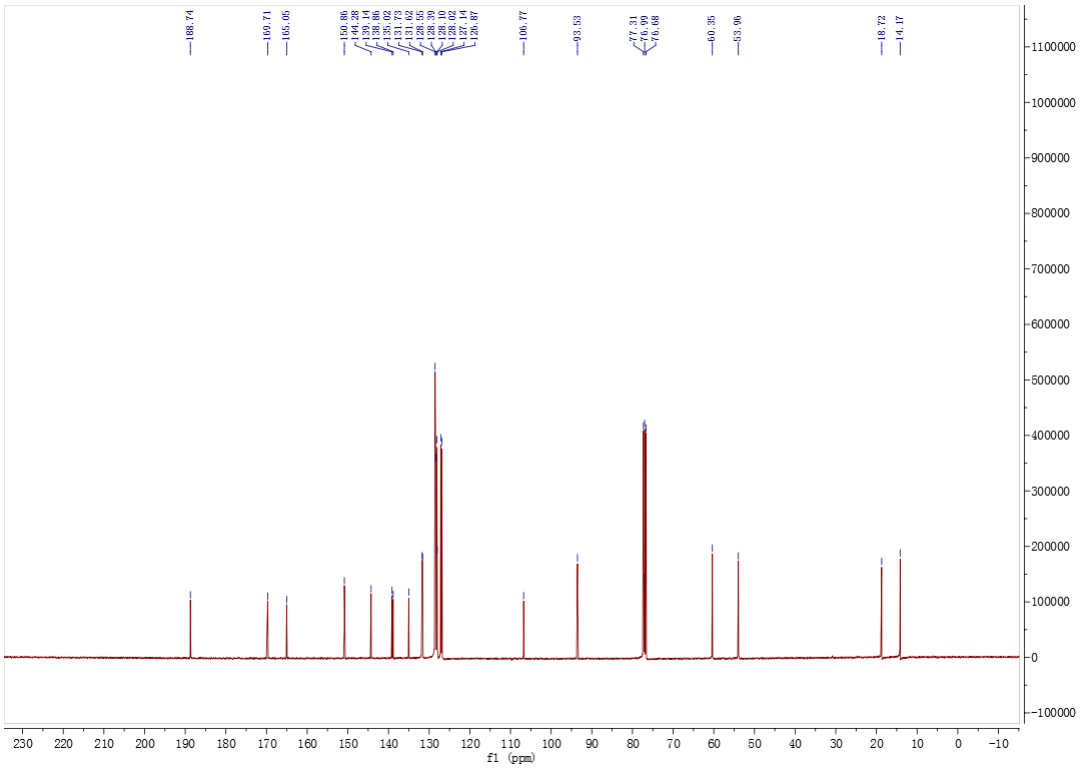
3-acryloyl-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate

(5z)



(*E*)-Ethyl
3-benzoyl-6-methyl-2-(2-oxo-2-phenylethylidene)-4-phenyl-1,2,3,4-tetrahydropyrimidine-5-carboxylate
(7i)





Ethyl 6-methyl-2,4-diphenyl-1,4-dihydropyrimidine-5-carboxylate (8)