Supplementary Information

Sequential annulation and isomerisation reaction of 3-acylmethylidene oxindoles with Huisgen zwitterions and synthesis of 5-(3-oxindolyl)oxazoles

Feixue Xue,[a] Chang-Jiang Yang,[*b] Tong Tang[a] and Zhengjie He[*a]

[a] *The State Key Laboratory of Elemento-Organic Chemistry, College of Chemistry, Nankai University, Tianjin 300071, China; Collaborative Innovation Center of Chemical Science and Engineering (Tianjin), Tianjin 300071, China*

[*]E-mail: *zhengjiehe@nankai.edu.cn*

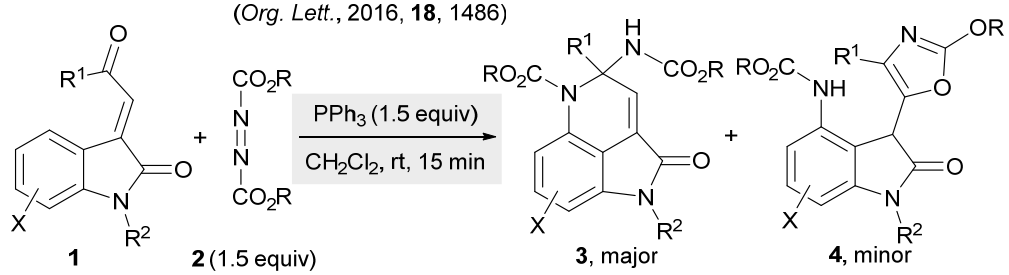
[b] *Department of Chemistry, School of Sciences, Great Bay University, Dongguan 523000, China; The Dongguan Key Laboratory for Data Science and Intelligent Medicine, Dongguan 523000, China*

[*]E-mail: yangcj@gbu.edu.cn

Table of Contents

Table S1. Reactivity comparisons of our original annulation reaction with this one-pot synthesis

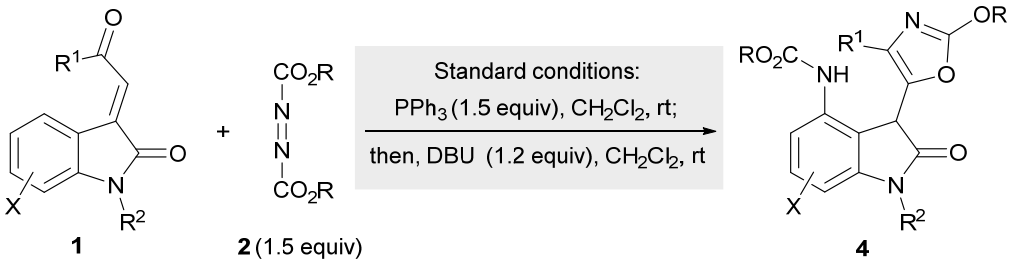
A. **Our previous work**: Annulation reaction of 3-acylmethylidene oxindoles with HZs (*Org. Lett.*, 2016, **18**, 1486)



1 **2** (1.5 equiv) **3**, major **4**, minor

Entry	X, R^1, R^2 in **1**	R in **2**	Yield of **3** (%)	Yield of **4** (%)
1	H, Ph, Bn (**1a**)	Et (**2a**)	**3a**, 84%	**4a**, 13%
2	H, 4-FC_6H_4, Bn (**1f**)	**2a**	**3f**, 63%	**4f**, 30%
3	**1a**	*i*Pr (**2b**)	**3B**, 83%	**4B**, 11%
4	**1a**	*t*Bu (**2c**)	**3C**, 96%	**4C**, trace
5	**1a**	Bn (**2d**)	**3D**, 94%	**4D**, trace

B. **This work**: One-pot annulation/isomerisation strategy to access 5-(3-oxindolyl)oxazoles

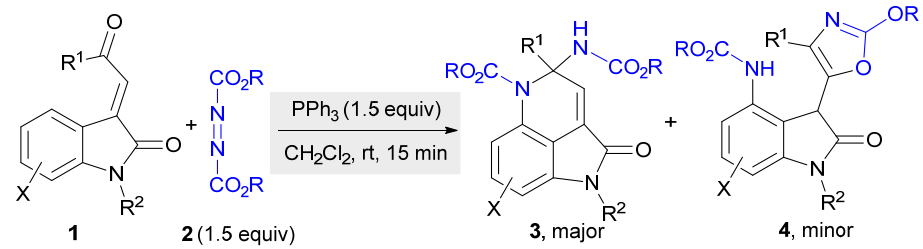


1 **2** (1.5 equiv) **4**

Entry	X, R^1, R^2 in **1**	R in **2**	Yield of **4** (%)
1	H, Ph, Bn (**1a**)	Et (**2a**)	**4a**, 86%
2	H, 4-FC_6H_4, Bn (**1f**)	**2a**	**4f**, 81%
3	**1a**	*i*Pr (**2b**)	**4B**, 67%
4	**1a**	*t*Bu (**2c**)	**4C**, 55%
5	**1a**	Bn (**2d**)	**4D**, 45%

Table S2. Extended scope for our original annulation reaction and this one-pot synthesis

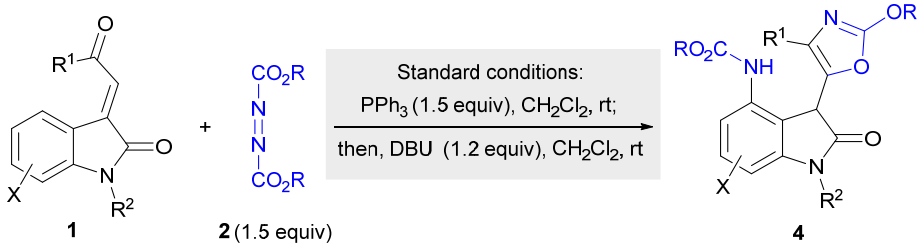
A. Extended scope for annulation reaction of 3-acylmethylidene oxindoles with HZs



1 **2** (1.5 equiv) **3**, major **4**, minor

Entry	X, R^1, R^2 in **1**	R in **2**	Yield of **3** (%)	Yield of **4** (%)
1	H, Me, Bn (**1B**)	Et (**2a**)	Unidentified complex mixture	
2	H, Ph, Boc (**1C**)	**2a**	**3b**, 78%	**4E**, trace
3	H, Ph, Ac (**1D**)	**2a**	**3c**, 79%	**4F**, trace

B. Extended scope for one-pot annulation/isomerisation strategy to access 5-(3-oxindolyl)oxazoles



1 **2** (1.5 equiv) **4**

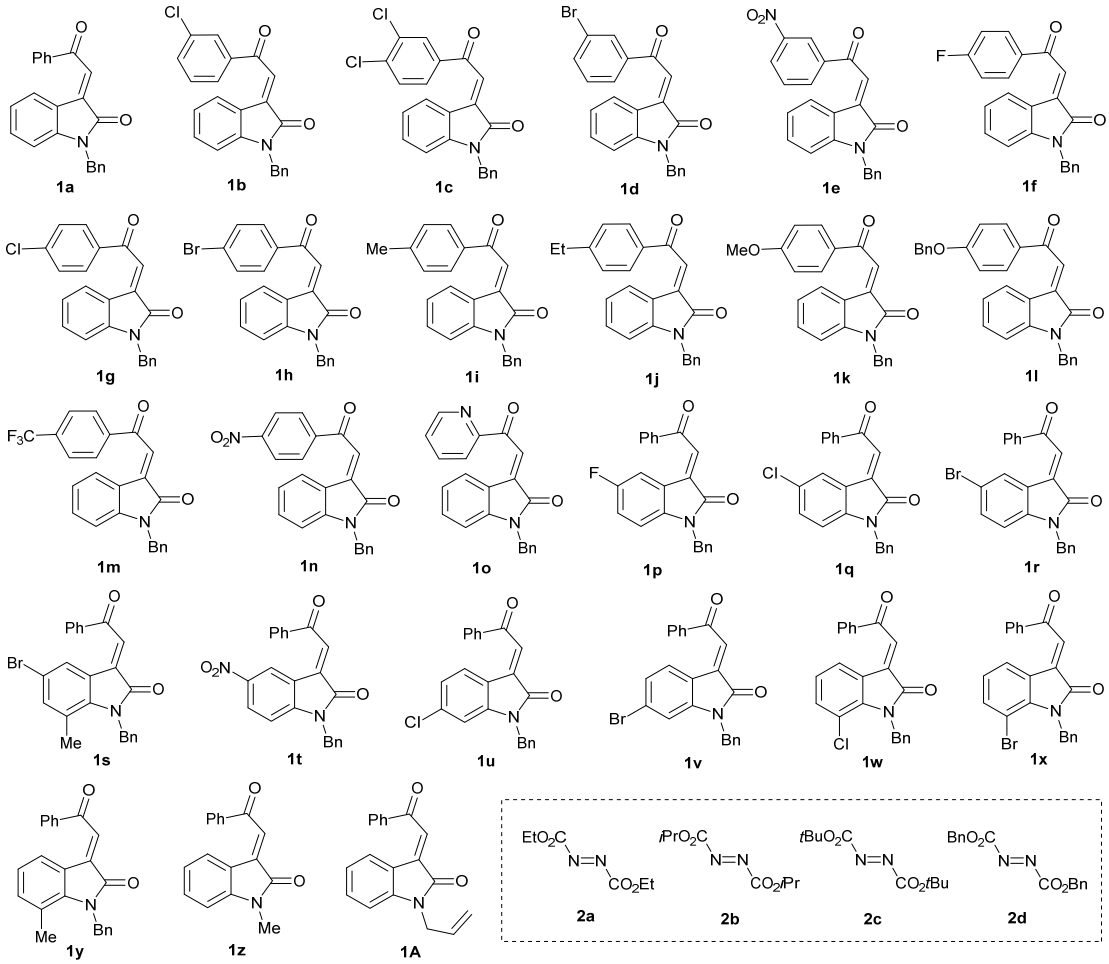
Entry	X, R^1, R^2 in **1**	R in **2**	Yield of **4** (%)
1	H, Me, Bn (**1B**)	Et (**2a**)	Complex
2	H, Ph, Boc (**1C**)	**2a**	Complex
3	H, Ph, Ac (**1D**)	**2a**	Complex

General information

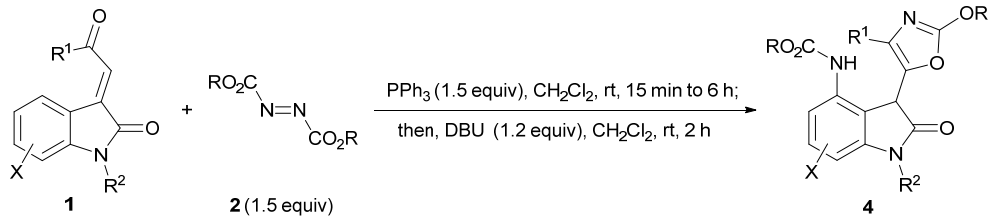
Unless otherwise noted, all reactions were carried out in a nitrogen atmosphere under anhydrous conditions. Solvents were purified prior to use according to conventional procedures. All reactions were monitored by analytical thin layer chromatography (TLC). Column chromatography was performed on silica gel (200−300 mesh) using a mixture of petroleum ether (60−90 °C)/ethyl acetate as the eluent. ^{1}H and ^{13}C NMR spectra were recorded in $CDCl_3$ using tetramethylsilane as the internal standard. Data for ^{1}H NMR are recorded as follows: chemical shift (δ, ppm), multiplicity (s, singlet; d, doublet; t, triplet; q, quarter; p, pentet, m, multiplet; br, broad), coupling constant (Hz), integration. Data for ^{13}C NMR were reported in terms of chemical shift (δ, ppm). HRMS spectra were acquired in the electrospray ionization (ESI) mode (positive ion) with the time-of-flight (TOF) mass analyzer used.

The structures and synthesis of substrates

3-Acylmethylidene oxindoles **1** were prepared from the corresponding isatins according to literature procedures,[1] which were storage stable in a sealed vial at room temperature for more than 12 months. Dialkyl azodicarboxylates **2** were purchased from commercial sources.

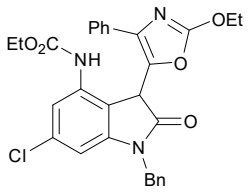


General procedure for the synthesis of products



Under a N_2 atmosphere, to a solution of 3-acylmethylidene oxindole **1** (0.50 mmol, 1.0 equiv) and dialkyl azodicarboxylate **2** (0.75 mmol, 1.5 equiv) in CH_2Cl_2 (5.0 mL) was added PPh_3 (197 mg, 0.75 mmol, 1.5 equiv). The reaction mixture was stirred at room temperature until substrate **1** was completely consumed (reaction time: from 15 min to 6 h, monitored by TLC). Then, 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU, 90 μL, 0.6 mmol, 1.2 equiv) was added into the mixture by means of a microsyringe. The resulting mixture was stirred at room temperature for 2 h. The solvent was then removed on a rotary evaporator under reduced pressure, and the residue was subjected to column chromatographic isolation on silica gel (gradient eluent: petroleum ether/ethyl acetate 10:1−5:1) to give the desired product **4**.

X-ray structure



4u

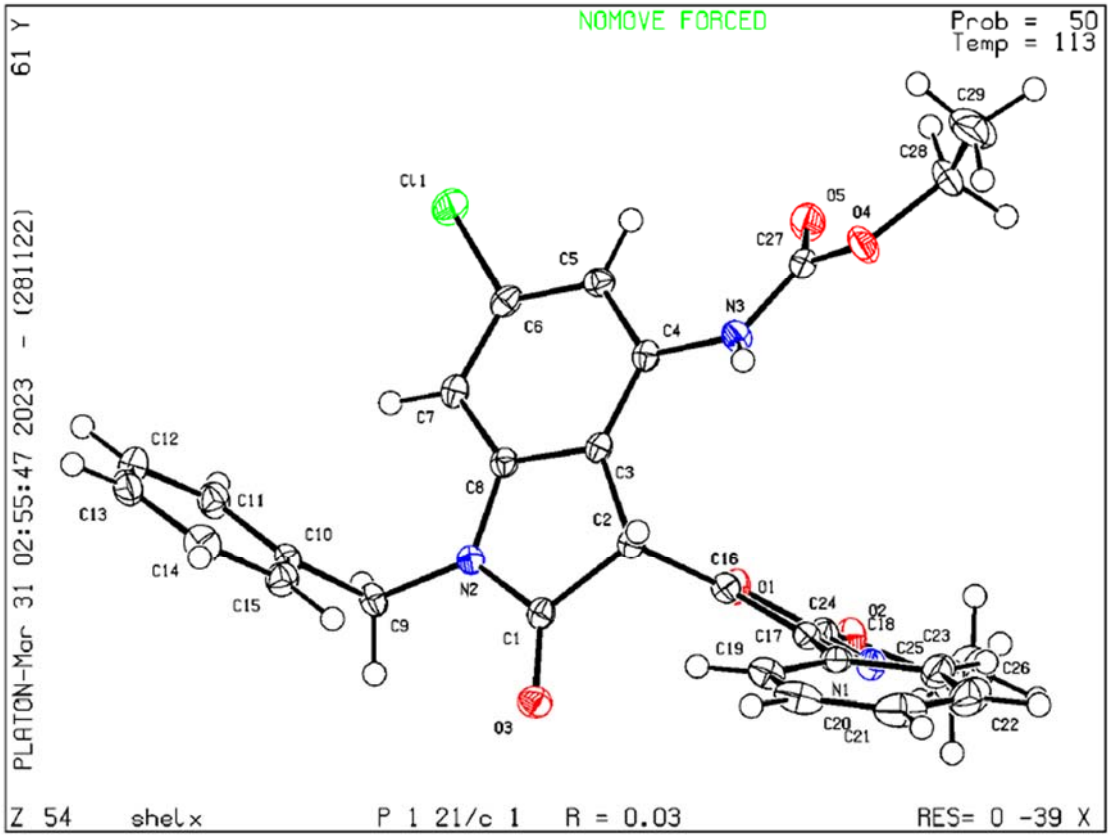
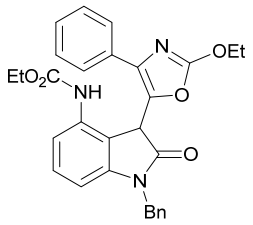


Figure S1. The X-ray structure of **4u** (CCDC 2067907, 50% probability ellipsoids).

Analytical data for products

Ethyl (1-Benzyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl)carbamate[2] (4a)



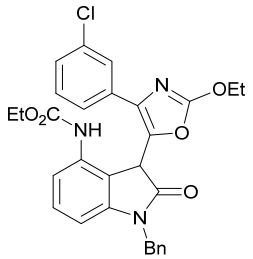
Following the general procedure, **4a** was collected from the reaction of substrates **1a** (170 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 214 mg, 86% yield, mp 152−153 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77 (d, *J* = 7.2 Hz, 2H), 7.45−7.36 (m, 3H), 7.36−7.27 (m, 6H), 7.16 (t, *J* = 8.1 Hz, 1H), 6.54 (d, *J* = 7.8 Hz, 1H), 6.22 (br s, 1H), 5.14 (s, 1H), 5.02−4.90 (m, 2H), 4.48 (q, *J* = 7.1 Hz, 2H), 3.98−3.81 (m, 2H), 1.42 (t, *J* = 7.1 Hz, 3H), 1.13 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.1, 161.0, 153.0, 143.7, 139.3, 135.3, 134.5, 133.3, 130.8, 129.7, 128.8, 128.7, 128.5, 127.7, 127.6, 127.2, 115.5, 114.8, 105.5, 67.6, 61.3, 44.3, 42.6, 14.3, 14.2.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{28}N_3O_5$ $[M + H]^+$ 498.2023, found 498.2020.

Ethyl (1-Benzyl-3-(4-(3-chlorophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4b)



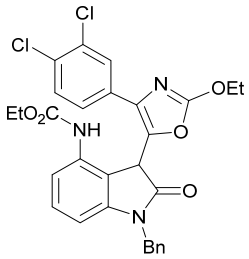
Following the general procedure, **4b** was collected from the reaction of substrates **1b** (187 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 191 mg, 72% yield, mp 69−70 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.73 (s, 1H), 7.70−7.64 (m, 1H), 7.38−7.27 (m, 7H), 7.22 (d, *J* = 8.3 Hz, 1H), 7.17 (t, *J* = 7.9 Hz, 1H), 6.56 (d, *J* = 7.7 Hz, 1H), 6.18 (br s, 1H), 5.15 (s, 1H), 5.02−4.90 (m, 2H), 4.48 (q, *J* = 7.1 Hz, 2H), 3.99−3.82 (m, 2H), 1.42 (t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.9, 161.0, 153.0, 143.9, 137.9, 135.3, 134.7, 134.4, 134.1, 132.8, 130.0, 129.8, 128.9, 128.6, 127.8, 127.6, 127.3, 125.7, 115.9, 115.3, 105.8, 67.8, 61.5, 44.4, 42.8, 14.4, 14.3.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}ClN_3O_5$ $[M + H]^+$ 532.1634, found 532.1629.

Ethyl (1-Benzyl-3-(4-(3,4-dichlorophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4c)



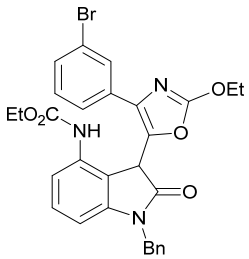
Following the general procedure, **4c** was collected from the reaction of substrates **1c** (204 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 209 mg, 74% yield, mp 140−142 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.83 (d, *J* = 1.9 Hz, 1H), 7.62 (dd, *J* = 8.3, 1.9 Hz, 1H), 7.44 (d, *J* = 8.3 Hz, 1H), 7.36−7.26 (m, 5H), 7.15 (t, *J* = 7.9 Hz, 1H), 7.10 (d, *J* = 8.1 Hz, 1H), 6.57 (d, *J* = 7.7 Hz, 1H), 6.30 (br s, 1H), 5.17 (s, 1H), 4.94 (s, 2H), 4.45 (q, *J* = 7.1 Hz, 2H), 3.97−3.79 (m, 2H), 1.40 (t, *J* = 7.1 Hz, 3H), 1.13 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.8, 160.8, 152.9, 143.8, 136.7, 135.1, 134.3, 134.1, 132.8, 132.3, 131.1, 130.5, 129.7, 129.1, 128.8, 127.7, 127.2, 126.5, 116.3, 115.7, 106.0, 67.8, 61.5, 44.3, 43.0, 14.2.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{26}Cl_2N_3O_5$ $[M + H]^+$ 566.1244, found 566.1248.

Ethyl (1-Benzyl-3-(4-(3-bromophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4d)



Following the general procedure, **4d** was collected from the reaction of substrates **1d** (209 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic

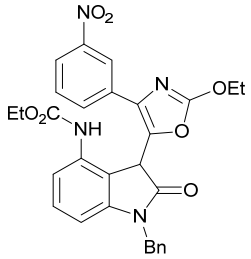
isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 244 mg, 78% yield, mp 65−67 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87 (t, *J* = 1.8 Hz, 1H), 7.72 (d, *J* = 7.8 Hz, 1H), 7.51–7.46 (m, 1H), 7.35–7.30 (m, 4H), 7.30–7.26 (m, 2H), 7.22–7.13 (m, 2H), 6.56 (dd, *J* = 7.2, 1.3 Hz, 1H), 6.23 (br s, 1H), 5.16 (s, 1H), 5.02–4.89 (m, 2H), 4.47 (q, *J* = 7.1 Hz, 2H), 3.99–3.82 (m, 2H), 1.41 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.9, 160.9, 152.9, 143.8, 137.6, 135.2, 134.2, 134.1, 133.0, 131.4, 130.3, 130.2, 129.7, 128.8, 127.7, 127.2, 126.0, 122.8, 115.9, 115.3, 105.8, 67.8, 61.5, 44.4, 42.8, 14.32, 14.27.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}BrN_3O_5$ $[M + H]^+$ 576.1129, found 576.1130.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(3-nitrophenyl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate (4e)



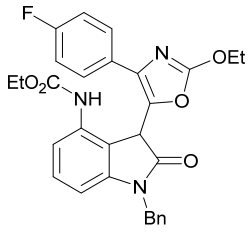
Following the general procedure, **4e** was collected from the reaction of substrates **1e** (192 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 189 mg, 70% yield, mp 64−65 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.52 (s, 1H), 8.18 (dd, *J* = 8.2, 1.3 Hz, 1H), 8.13 (d, *J* = 7.7 Hz, 1H), 7.55 (t, *J* = 8.0 Hz, 1H), 7.38–7.27 (m, 5H), 7.17 (t, *J* = 8.0 Hz, 1H), 7.06 (d, *J* = 8.2 Hz, 1H), 6.61 (d, *J* = 7.8 Hz, 1H), 6.25 (br s, 1H), 5.24 (s, 1H), 5.06–4.88 (m, 2H), 4.50 (q, *J* = 7.1 Hz, 2H), 3.95–3.85 (m, 1H), 3.84–3.73 (m, 1H), 1.44 (t, *J* = 7.1 Hz, 3H), 1.09 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.7, 161.0, 152.9, 148.4, 144.0, 136.7, 135.2, 134.9, 134.0, 133.1, 133.0, 129.8, 129.6, 128.9, 127.8, 127.3, 122.9, 122.2, 116.5, 115.7, 106.2, 68.0, 61.5, 44.4, 43.2, 14.3, 14.2.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}N_4O_7$ $[M + H]^+$ 543.1874, found 543.1876.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-fluorophenyl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate[2] (4f)



Following the general procedure, **4f** was collected from the reaction of substrates **1f** (179 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 208 mg, 81% yield, mp 87−88 °C.

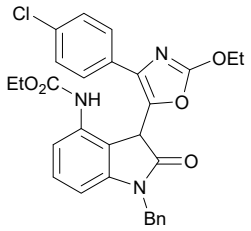
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78−7.71 (m, 2H), 7.37−7.28 (m, 5H), 7.25 (d, *J* = 7.9 Hz, 1H), 7.17 (t, *J* = 8.0 Hz, 1H), 7.12−7.07 (m, 2H), 6.56 (d, *J* = 7.9 Hz, 1H), 6.18 (br s, 1H), 5.10 (s, 1H), 5.00−4.90 (m, 2H), 4.47 (q, *J* = 7.1 Hz, 2H), 3.98−3.84 (m, 2H), 1.42 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.1, 162.9 (d, *J* = 248.2 Hz), 161.0, 153.0, 143.8, 138.3, 135.5, 135.3, 134.4, 133.3, 129.8, 129.5 (d, *J* = 8.2 Hz), 128.9, 127.8, 127.3, 127.1 (d, *J* = 3.3 Hz), 122.4, 115.7 (d, *J* = 21.7 Hz), 105.7, 67.8, 61.4, 44.4, 42.7, 14.3.

^{19}F NMR (376 MHz, $CDCl_3$): δ −112.83.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}FN_3O_5$ $[M+H]^+$ 516.1929, found 516.1938.

Ethyl (1-Benzyl-3-(4-(4-chlorophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4g)



Following the general procedure, **4g** was collected from the reaction of substrates **1g** (187 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 199 mg, 75% yield, mp 72−75 °C.

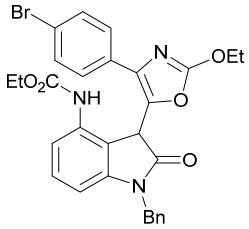
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.5 Hz, 2H), 7.38 (d, *J* = 8.5 Hz, 2H), 7.35−7.27 (m, 5H), 7.21 (d, *J* = 8.1 Hz, 1H), 7.17 (t, *J* = 7.9 Hz, 1H), 6.56 (d, *J* = 7.9 Hz, 1H), 6.17 (br s, 1H), 5.13 (s, 1H), 4.95 (s, 2H), 4.47 (q, *J* = 7.1 Hz, 2H), 3.98−3.80 (m, 2H), 1.41 (t, *J* = 7.1 Hz, 3H), 1.14 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.0, 160.9, 152.9, 143.8, 138.1, 135.2, 134.4, 133.7, 129.8, 129.5, 128.9, 128.9, 128.8, 127.8, 127.3, 124.0, 115.9, 115.2, 105.7, 67.8, 61.4,

44.4, 42.8, 14.3.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}ClN_3O_5$ $[M + H]^+$ 532.1634, found 532.1626.

Ethyl (1-Benzyl-3-(4-(4-bromophenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl) carbamate (4h)



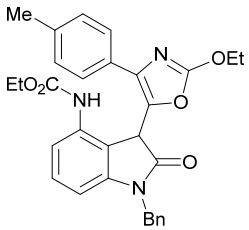
Following the general procedure, **4h** was collected from the reaction of substrates **1h** (209 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 221 mg, 77% yield, mp 85−86 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.65 (d, *J* = 8.5 Hz, 2H), 7.53 (d, *J* = 8.5 Hz, 2H), 7.35−7.27 (m, 5H), 7.21 (d, *J* = 8.1 Hz, 1H), 7.17 (t, *J* = 7.9 Hz, 1H), 6.56 (d, *J* = 8.3 Hz, 1H), 6.18 (br s, 1H), 5.13 (s, 1H), 4.95 (s, 2H), 4.46 (q, *J* = 7.1 Hz, 2H), 3.97−3.81 (m, 2H), 1.41 (t, *J* = 7.1 Hz, 3H), 1.14 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.9, 160.9, 152.9, 143.8, 138.1, 135.2, 134.3, 133.7, 131.8, 129.9, 129.8, 129.0, 128.8, 127.8, 127.2, 122.6, 115.9, 115.3, 105.8, 67.8, 61.4, 44.4, 42.8, 14.3.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}BrN_3O_5$ $[M + H]^+$ 576.1129, found 576.1126.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(p-tolyl)oxazol-5-yl)-2-oxindolin-4-yl)carbamate (4i)



Following the general procedure, **4i** was collected from the reaction of substrates **1i** (177 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 1 h and step 2 for 2 h), 176 mg, 69% yield, mp 67−69 °C.

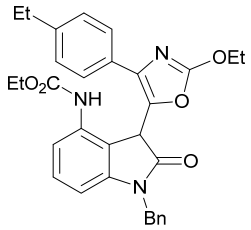
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.66 (d, *J* = 8.0 Hz, 2H), 7.40–7.28 (m, 6H), 7.23 (d, *J* = 8.0 Hz, 2H), 7.15 (d, *J* = 8.1 Hz, 1H), 6.53 (d, *J* = 7.8 Hz, 1H), 6.21 (br s, 1H), 5.10 (s, 1H), 5.02–4.90 (m, 2H), 4.47 (q, *J* = 7.1 Hz, 2H), 3.99–3.87 (m, 2H), 2.39 (s, 3H),

1.41 (t, J = 7.1 Hz, 3H), 1.15 (t, J = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.1, 161.0, 153.0, 143.7, 139.4, 138.4, 135.4, 134.6, 132.9, 129.7, 129.4, 129.0, 128.8, 127.9, 127.7, 127.5, 127.2, 123.6, 115.2, 105.4, 67.6, 61.3, 44.4, 42.5, 21.3, 14.31, 14.27.

HRMS (ESI) *m/z* calcd for $C_{30}H_{30}N_3O_5$ $[M + H]^+$ 512.2180, found 512.2185.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-ethylphenyl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate (4j)



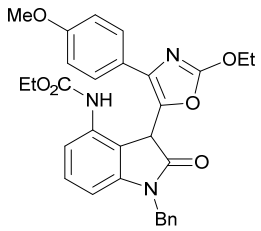
Following the general procedure, **4j** was collected from the reaction of substrates **1j** (184 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 30 min and step 2 for 2 h), 165 mg, 63% yield, mp 132−134 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 (d, J = 8.1 Hz, 2H), 7.38−7.25 (m, 8H), 7.16 (t, J = 8.1 Hz, 1H), 6.53 (d, J = 7.8 Hz, 1H), 6.22 (br s, 1H), 5.11 (s, 1H), 5.02–4.90 (m, 2H), 4.47 (q, J = 7.1 Hz, 2H), 3.99−3.84 (m, 2H), 2.68 (q, J = 7.6 Hz, 2H), 1.41 (t, J = 7.1 Hz, 3H), 1.25 (t, J = 7.6 Hz, 3H), 1.14 (t, J = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.1, 161.0, 153.0, 144.8, 143.7, 139.4, 135.4, 134.6, 133.1, 132.9, 129.7, 128.8, 128.2, 128.1, 127.7, 127.6, 127.2, 115.3, 105.4, 67.6, 61.3, 44.4, 42.5, 28.7, 15.6, 14.30, 14.28.

HRMS (ESI) *m/z* calcd for $C_{31}H_{32}N_3O_5$ $[M + H]^+$ 526.2336, found 526.2344.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-methoxyphenyl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate (4k)



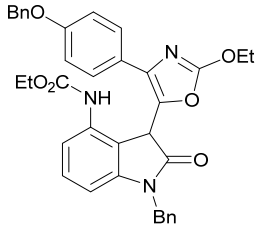
Following the general procedure, **4k** was collected from the reaction of substrates **1k** (185 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 6 h and step 2 for 2 h), 161 mg, 61% yield, mp 71−72 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.70 (d, *J* = 7.6 Hz, 2H), 7.38–7.27 (m, 6H), 7.17 (t, *J* = 8.2 Hz, 1H), 6.95 (d, *J* = 7.6 Hz, 2H), 6.53 (d, *J* = 7.9 Hz, 1H), 6.21 (br s, 1H), 5.08 (s, 1H), 5.01–4.91 (m, 2H), 4.48 (q, *J* = 7.1 Hz, 2H), 4.02–3.89 (m, 2H), 3.84 (s, 3H), 1.41 (t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.2, 160.9, 159.8, 153.0, 143.6, 139.0, 135.3, 134.5, 132.4, 129.6, 129.0, 128.8, 127.7, 127.2, 123.3, 115.3, 114.6, 114.1, 105.4, 67.6, 61.3, 55.3, 44.3, 42.5, 14.4, 14.3.

HRMS (ESI) *m*/*z* calcd for $C_{30}H_{30}N_3O_6$ $[M + H]^+$ 528.2129, found 528.2129.

Ethyl (1-Benzyl-3-(4-(4-(benzyloxy)phenyl)-2-ethoxyoxazol-5-yl)-2-oxindolin-4-yl)carbamate (4l)



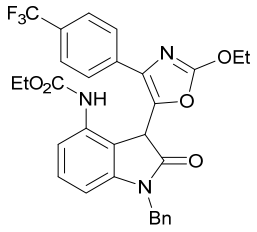
Following the general procedure, **4l** was collected from the reaction of substrates **1l** (228 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 6 h and step 2 for 2 h), 169 mg, 56% yield, mp 53−55 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 (d, *J* = 8.7 Hz, 2H), 7.44 (d, *J* = 7.2 Hz, 2H), 7.39 (t, *J* = 7.3 Hz, 2H), 7.37–7.27 (m, 7H), 7.16 (t, *J* = 8.1 Hz, 1H), 7.02 (d, *J* = 8.7 Hz, 2H), 6.53 (d, *J* = 7.8 Hz, 1H), 6.20 (br s, 1H), 5.10 (s, 2H), 5.08 (s, 1H), 5.01–4.89 (m, 2H), 4.47 (q, *J* = 7.1 Hz, 2H), 4.01–3.86 (m, 2H), 1.41 (t, *J* = 7.1 Hz, 3H), 1.14 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.2, 160.9, 159.1, 153.0, 143.7, 139.1, 136.8, 135.4, 134.6, 132.5, 129.7, 129.0, 128.8, 128.6, 128.0, 127.7, 127.5, 127.2, 123.6, 115.3, 115.1, 105.4, 70.0, 67.6, 61.4, 44.4, 42.5, 14.3.

HRMS (ESI) *m*/*z* calcd for $C_{36}H_{34}N_3O_6$ $[M + H]^+$ 604.2442, found 604.2438.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-(trifluoromethyl)phenyl)oxazol-5-yl)-2-oxindolin-4-yl)carbamate (4m)



Following the general procedure, **4m** was collected from the reaction of substrates **1m** (204 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a white solid (reaction times: step 1 for 15 min and step 2 for 2 h), 175 mg, 62% yield, mp 84−86 °C.

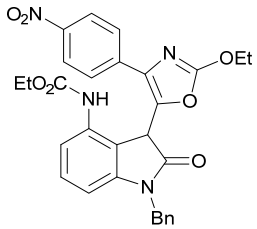
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.91 (d, *J* = 8.1 Hz, 2H), 7.66 (d, *J* = 8.1 Hz, 2H), 7.39−7.27 (m, 5H), 7.21−7.10 (m, 2H), 6.58 (d, *J* = 7.5 Hz, 1H), 6.19 (br s, 1H), 5.22 (s, 1H), 4.96 (s, 2H), 4.48 (q, *J* = 7.1 Hz, 2H), 3.93−3.83 (m, 1H), 3.82−3.71 (m, 1H), 1.42 (t, *J* = 7.1 Hz, 3H), 1.09 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.9, 161.0, 152.9, 143.9, 137.7, 135.2, 134.6, 134.1, 130.2 (q, *J* = 32.5 Hz), 129.8, 128.9, 127.8, 127.7, 127.3, 125.6 (q, *J* = 3.6 Hz), 125.4, 121.4 (q, *J* = 271.7 Hz), 116.2, 115.7, 106.0, 67.8, 61.4, 44.4, 43.0, 14.3, 14.2.

^{19}F NMR (376 MHz, $CDCl_3$): δ −62.60.

HRMS (ESI) *m*/*z* calcd for $C_{30}H_{27}F_3N_3O_5$ $[M+H]^+$ 566.1897, found 566.1895.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(4-nitrophenyl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate (4n)



Following the general procedure, **4n** was collected from the reaction of substrates **1n** (192 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 176 mg, 65% yield, mp 81−82 °C.

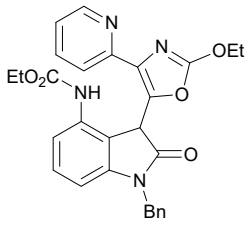
^{1}H NMR (400 MHz, $CDCl_3$): δ 8.23 (d, *J* = 8.8 Hz, 2H), 7.96 (d, *J* = 8.8 Hz, 2H), 7.40–7.27 (m, 5H), 7.18 (t, *J* = 8.1 Hz, 1H), 7.02 (d, *J* = 8.2 Hz, 1H), 6.62 (d, *J* = 7.8 Hz, 1H), 6.28 (br s, 1H), 5.31 (s, 1H), 5.02–4.90 (m, 2H), 4.48 (q, *J* = 7.1 Hz, 2H), 3.92–3.82 (m, 1H), 3.80–3.69 (m, 1H), 1.43 (t, *J* = 7.1 Hz, 3H), 1.08 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.8, 160.9, 152.9, 147.3, 144.0, 137.6, 136.9, 135.8, 135.1, 133.9, 129.8, 128.9, 127.8, 127.3, 123.8, 116.7, 116.2, 106.2, 67.9, 61.4, 44.4,

43.4, 14.2.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}N_4O_7$ $[M + H]^+$ 543.1874, found 543.1874.

Ethyl (1-Benzyl-3-(2-ethoxy-4-(pyridin-2-yl)oxazol-5-yl)-2-oxindolin-4-yl) carbamate (4o)



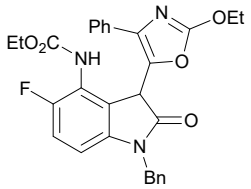
Following the general procedure, **4o** was collected from the reaction of substrates **1o** (170 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 15 min and step 2 for 2 h), 197 mg, 79% yield, mp 194−195 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 9.86 (br s, 1H), 8.61 (d, *J* = 4.4 Hz, 1H), 7.94 (d, *J* = 7.9 Hz, 1H), 7.91–7.81 (m, 2H), 7.40–7.27 (m, 6H), 7.18 (t, *J* = 8.2 Hz, 1H), 6.45 (d, *J* = 7.7 Hz, 1H), 5.65 (s, 1H), 5.05 (d, *J* = 15.8 Hz, 1H), 4.91 (d, *J* = 15.8 Hz, 1H), 4.53–4.41 (m, 2H), 4.06–3.95 (m, 1H), 3.92–3.81 (m, 1H), 1.43 (t, *J* = 7.1 Hz, 3H), 0.97 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.7, 160.8, 154.1, 151.2, 148.8, 143.7, 137.6, 137.0, 136.5, 136.1, 135.5, 129.7, 128.8, 127.6, 127.1, 122.3, 121.8, 113.4, 112.7, 104.0, 67.7, 60.5, 44.1, 43.3, 14.3, 14.1.

HRMS (ESI) *m*/*z* calcd for $C_{28}H_{27}N_4O_5$ $[M + H]^+$ 499.1976, found 499.1981.

Ethyl (1-Benzyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-5-fluoro-2-oxindolin-4-yl) carbamate (4p)



Following the general procedure, **4p** was collected from the reaction of substrates **1p** (179 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a white solid (reaction times: step 1 for 30 min and step 2 for 2 h), 193 mg, 75% yield, mp 165−167 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78 (d, *J* = 7.6 Hz, 2H), 7.45−7.27 (m, 8H), 6.98−6.86 (m, 1H), 6.49 (dd, *J* = 8.5, 3.4 Hz, 1H), 6.25 (br s, 1H), 5.51 (s, 1H), 4.95 (q, *J* = 15.8 Hz, 2H), 4.46 (q, *J* = 7.1 Hz, 2H), 3.77−3.59 (m, 1H), 3.56−3.42 (m, 1H), 1.40 (t, *J* =

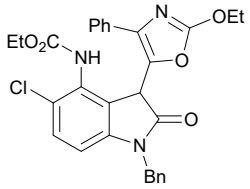
7.1 Hz, 3H), 1.03 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.7, 160.5, 152.6, 152.0 (d, *J* = 240.6 Hz), 139.7, 138.9, 135.1 (d, *J* = 2.7 Hz), 133.1, 131.3, 128.9 (d, *J* = 7.0 Hz), 128.4, 128.1, 127.8, 127.3, 127.2, 122.6 (d, *J* = 15.6 Hz), 121.5, 114.9 (d, *J* = 22.0 Hz), 106.3 (d, *J* = 7.4 Hz), 67.5, 61.8, 44.6, 44.3, 14.3, 14.1.

^{19}F NMR (376 MHz, $CDCl_3$): δ −134.56.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}FN_3O_5$ $[M + H]^+$ 516.1929, found 516.1927.

Ethyl (1-Benzyl-5-chloro-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4q)



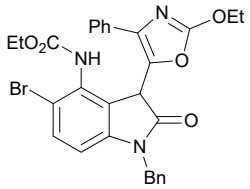
Following the general procedure, **4q** was collected from the reaction of substrates **1q** (187 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as an orange solid (reaction times: step 1 for 30 min and step 2 for 2 h), 220 mg, 83% yield, mp 137−138 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.79 (d, *J* = 7.5 Hz, 2H), 7.40 (t, *J* = 7.4 Hz, 2H), 7.37−7.27 (m, 6H), 7.22 (d, *J* = 8.3 Hz, 1H), 6.54 (d, *J* = 8.3 Hz, 1H), 6.41 (br s, 1H), 5.58 (s, 1H), 4.96 (q, *J* = 15.8 Hz, 2H), 4.46 (q, *J* = 6.9 Hz, 2H), 3.78−3.59 (m, 1H), 3.47−3.31 (m, 1H), 1.41 (t, *J* = 6.9 Hz, 3H), 1.04 (t, *J* = 6.9 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.7, 160.5, 152.4, 143.0, 139.0, 135.0, 132.9, 131.3, 130.7, 129.2, 128.9, 128.4, 128.2, 127.8, 127.3, 127.2, 121.9, 121.5, 107.3, 67.5, 61.8, 44.6, 44.3, 14.3, 14.1.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}ClN_3O_5$ $[M + H]^+$ 532.1634, found 532.1630.

Ethyl (1-Benzyl-5-bromo-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4r)



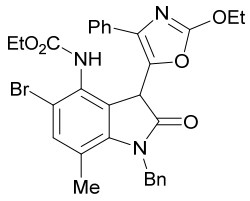
Following the general procedure, **4r** was collected from the reaction of substrates **1r** (209 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as an orange solid (reaction times: step 1 for 30 min and step 2 for 2 h), 236 mg, 82% yield, mp 138−140 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.79 (d, *J* = 7.5 Hz, 2H), 7.44−7.31 (m, 8H), 7.29 (d, *J* = 8.3 Hz, 1H), 6.50 (d, *J* = 8.3 Hz, 1H), 6.38 (br s, 1H), 5.59 (s, 1H), 4.95 (q, *J* = 15.8 Hz, 2H), 4.46 (q, *J* = 7.1 Hz, 2H), 3.78−3.59 (m, 1H), 3.45−3.29 (m, 1H), 1.41 (t, *J* = 7.1 Hz, 3H), 1.04 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.5, 160.5, 152.4, 143.7, 138.9, 135.0, 132.9, 132.3, 131.8, 131.3, 128.9, 128.4, 128.1, 127.8, 127.2, 127.2, 121.7, 111.8, 107.9, 67.4, 61.7, 44.6, 44.2, 14.3, 14.0.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}BrN_3O_5$ $[M + H]^+$ 576.1129, found 576.1123.

Ethyl (1-Benzyl-5-bromo-3-(2-ethoxy-4-phenyloxazol-5-yl)-7-methyl-2-oxindolin-4-yl)carbamate (4s)



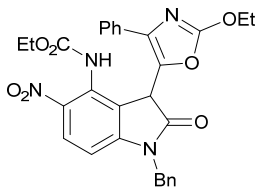
Following the general procedure, **4s** was collected from the reaction of substrates **1s** (216 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a white solid (reaction times: step 1 for 30 min and step 2 for 2 h), 251 mg, 85% yield, mp 185−186 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82 (d, *J* = 7.1 Hz, 2H), 7.49−7.26 (m, 6H), 7.22−7.13 (m, 3H), 6.28 (br s, 1H), 5.59 (s, 1H), 5.30−5.13 (m, 2H), 4.46 (q, *J* = 6.8 Hz, 2H), 3.76−3.57 (m, 1H), 3.38−3.19 (m, 1H), 2.23 (s, 3H), 1.41 (t, *J* = 6.8 Hz, 3H), 1.03 (t, *J* = 6.8 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 174.5, 160.4, 152.5, 141.5, 138.8, 136.9, 135.7, 133.2, 131.3, 129.9, 128.9, 128.4, 128.1, 127.3, 127.2, 125.5, 123.1, 119.4, 112.2, 67.5, 61.6, 45.3, 43.9, 18.2, 14.3, 14.0.

HRMS (ESI) *m*/*z* calcd for $C_{30}H_{29}BrN_3O_5$ $[M + H]^+$ 590.1285, found 590.1281.

Ethyl (1-Benzyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-5-nitro-2-oxindolin-4-yl) carbamate (4t)



Following the general procedure, **4t** was collected from the reaction of substrates **1t** (192 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a slightly yellow solid (reaction times: step 1 for 30 min and step 2 for 2 h),

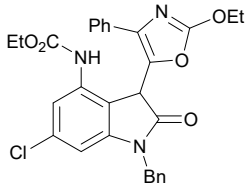
220 mg, 81% yield, mp 164−165 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.59 (br s, 1H), 8.10 (d, *J* = 8.8 Hz, 1H), 7.79 (d, *J* = 7.4 Hz, 2H), 7.47−7.29 (m, 8H), 6.65 (d, *J* = 8.8 Hz, 1H), 5.69 (s, 1H), 5.12−4.92 (m, 2H), 4.55−4.42 (m, 2H), 3.69−3.56 (m, 1H), 3.33−3.21 (m, 1H), 1.42 (t, *J* = 7.1 Hz, 3H), 1.04 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 174.2, 160.6, 152.0, 149.6, 139.5, 136.9, 134.4, 131.7, 131.1, 130.3, 129.1, 128.5, 128.4, 128.2, 127.3, 127.2, 127.1, 120.5, 105.5, 67.7, 62.2, 44.8, 44.5, 14.3, 14.0.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}N_4O_7$ $[M + H]^+$ 543.1874, found 543.1875.

Ethyl (1-Benzyl-6-chloro-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4u)



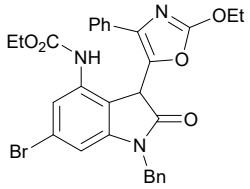
Following the general procedure, **4u** was collected from the reaction of substrates **1u** (187 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 30 min and step 2 for 2 h), 231 mg, 87% yield, mp 131−133 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.80−7.71 (m, 2H), 7.50−7.28 (m, 9H), 6.53 (d, *J* = 1.5 Hz, 1H), 6.20 (br s, 1H), 5.07 (s, 1H), 4.98−4.86 (m, 2H), 4.49 (q, *J* = 7.1 Hz, 2H), 4.02−3.85 (m, 2H), 1.42 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.9, 161.1, 152.6, 144.5, 139.6, 135.4, 135.2, 134.8, 132.6, 130.6, 129.0, 128.8, 128.7, 127.9, 127.6, 127.2, 115.0, 112.2, 105.9, 67.8, 61.6, 44.5, 42.1, 14.3, 14.2.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}ClN_3O_5$ $[M + H]^+$ 532.1634, found 532.1629.

Ethyl (1-Benzyl-6-bromo-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4v)



Following the general procedure, **4v** was collected from the reaction of substrates **1v** (209 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as an orange solid (reaction times: step 1 for 30 min and step 2 for 2 h), 253

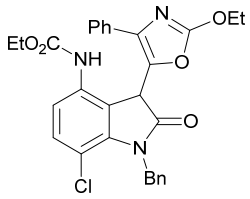
mg, 88% yield, mp 158−159 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.75 (d, *J* = 7.2 Hz, 2H), 7.59 (s, 1H), 7.48−7.28 (m, 8H), 6.68 (s, 1H), 6.19 (br s, 1H), 5.04 (s, 1H), 4.98−4.85 (m, 2H), 4.48 (q, *J* = 7.0 Hz, 2H), 4.01−3.83 (m, 2H), 1.42 (t, *J* = 7.0 Hz, 3H), 1.15 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.8, 161.1, 152.6, 144.6, 139.6, 135.4, 134.8, 132.5, 130.6, 129.0, 128.8, 128.7, 127.9, 127.6, 127.2, 123.1, 117.9, 112.9, 108.7, 67.8, 61.6, 44.5, 42.2, 14.3, 14.2.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}BrN_3O_5$ $[M + H]^+$ 576.1129, found 576.1127.

Ethyl (1-Benzyl-7-chloro-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4w)



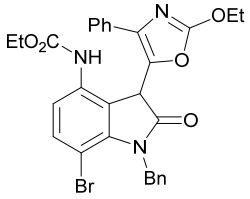
Following the general procedure, **4w** was collected from the reaction of substrates **1w** (187 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 30 min and step 2 for 2 h), 228 mg, 86% yield, mp 118−119 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78 (d, *J* = 7.2 Hz, 2H), 7.45 (t, *J* = 7.3 Hz, 2H), 7.40 (d, *J* = 7.2 Hz, 1H), 7.38–7.31 (m, 3H), 7.29–7.24 (m, 3H), 7.15 (d, *J* = 8.9 Hz, 1H), 6.19 (br s, 1H), 5.49–5.32 (m, 2H), 5.11 (s, 1H), 4.49 (q, *J* = 7.1 Hz, 2H), 3.99–3.83 (m, 2H), 1.43 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.5, 161.1, 152.8, 139.7, 139.3, 136.9, 133.3, 132.6, 132.0, 130.5, 128.8, 128.7, 128.6, 127.6, 127.2, 126.4, 117.0, 116.5, 110.9, 67.8, 61.5, 45.3, 42.2, 14.3, 14.2.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}ClN_3O_5$ $[M + H]^+$ 532.1634, found 532.1636.

Ethyl (1-Benzyl-7-bromo-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4x)



Following the general procedure, **4x** was collected from the reaction of substrates **1x** (209 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a yellow solid (reaction times: step 1 for 30 min and step 2 for 2 h), 242 mg,

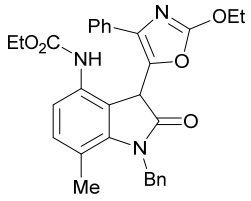
84% yield, mp 82−83 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78 (d, *J* = 6.9 Hz, 2H), 7.48–7.42 (m, 2H), 7.41–7.36 (m, 1H), 7.34–7.29 (m, 4H), 7.27–7.22 (m, 3H), 6.23 (br s, 1H), 5.56–5.35 (m, 2H), 5.11 (s, 1H), 4.48 (q, *J* = 7.1 Hz, 2H), 4.00–3.82 (m, 2H), 1.42 (t, *J* = 7.1 Hz, 3H), 1.14 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.7, 161.1, 152.8, 140.7, 139.8, 136.8, 135.4, 134.0, 132.6, 130.5, 128.9, 128.8, 128.6, 127.7, 127.2, 126.2, 117.2, 116.8, 97.4, 67.8, 61.5, 45.0, 42.1, 14.3, 14.2.

HRMS (ESI) *m*/*z* calcd for $C_{29}H_{27}BrN_3O_5$ $[M + H]^+$ 576.1129, found 576.1126.

Ethyl (1-Benzyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-7-methyl-2-oxindolin-4-yl) carbamate (4y)



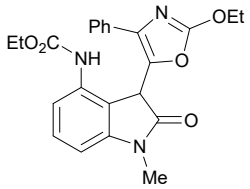
Following the general procedure, **4y** was collected from the reaction of substrates **1y** (177 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 30 min and step 2 for 2 h), 217 mg, 85% yield, mp 59−60 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.81 (d, *J* = 7.1 Hz, 2H), 7.45 (t, *J* = 7.3 Hz, 2H), 7.39 (d, *J* = 7.2 Hz, 1H), 7.34 (t, *J* = 7.3 Hz, 2H), 7.26–7.17 (m, 4H), 6.95 (d, *J* = 8.4 Hz, 1H), 6.16 (br s, 1H), 5.32–5.17 (m, 2H), 5.13 (s, 1H), 4.48 (q, *J* = 7.1 Hz, 2H), 3.98–3.80 (m, 2H), 2.25 (s, 3H), 1.42 (t, *J* = 7.1 Hz, 3H), 1.14 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 174.0, 161.0, 153.2, 141.4, 139.3, 137.1, 133.5, 132.6, 131.8, 130.8, 128.9, 128.8, 128.6, 127.7, 127.3, 125.6, 120.7, 116.1, 115.9, 67.7, 61.3, 45.4, 42.1, 18.4, 14.32, 14.29.

HRMS (ESI) *m*/*z* calcd for $C_{30}H_{30}N_3O_5$ $[M + H]^+$ 512.2180, found 512.2186.

Ethyl (3-(2-Ethoxy-4-phenyloxazol-5-yl)-1-methyl-2-oxindolin-4-yl)carbamate (4z)



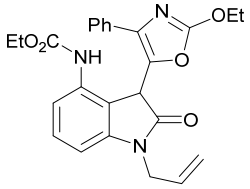
Following the general procedure, **4z** was collected from the reaction of substrates **1z** (132 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 151 mg, 72% yield, mp 81−82 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.75 (d, *J* = 7.6 Hz, 2H), 7.47–7.34 (m, 4H), 7.30 (d, *J* = 7.9 Hz, 1H), 6.65 (d, *J* = 7.7 Hz, 1H), 6.23 (br s, 1H), 5.02 (s, 1H), 4.47 (q, *J* = 7.1 Hz, 2H), 4.00–3.85 (m, 2H), 3.26 (s, 3H), 1.40 (t, *J* = 7.1 Hz, 3H), 1.14 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.8, 160.9, 153.0, 146.7, 144.6, 139.2, 134.5, 133.4, 130.9, 129.8, 128.7, 128.5, 127.6, 115.3, 104.4, 67.7, 61.3, 42.5, 26.8, 14.3.

HRMS (ESI) *m*/*z* calcd for $C_{23}H_{24}N_3O_5$ $[M + H]^+$ 422.1710, found 422.1713.

Ethyl (1-Allyl-3-(2-ethoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl)carbamate (4A)



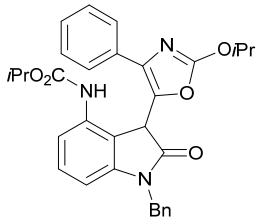
Following the general procedure, **4A** was collected from the reaction of substrates **1A** (145 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as an orange solid (reaction times: step 1 for 15 min and step 2 for 2 h), 179 mg, 80% yield, mp 105−106 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.76 (d, *J* = 7.4 Hz, 2H), 7.49−7.32 (m, 4H), 7.27−7.22 (m, 1H), 6.65 (d, *J* = 7.8 Hz, 1H), 6.19 (br s, 1H), 5.92−5.80 (m, 1H), 5.33−5.22 (m, 2H), 5.07 (s, 1H), 4.47 (q, *J* = 7.1 Hz, 2H), 4.42−4.34 (m, 2H), 3.99−3.84 (m, 2H), 1.41 (t, *J* = 7.1 Hz, 3H), 1.15 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 172.7, 161.0, 153.0, 143.8, 139.3, 134.6, 133.3, 131.0, 130.9, 129.7, 128.8, 128.8, 128.6, 127.7, 117.8, 115.4, 105.3, 68.0, 67.7,61.4, 42.9, 25.6, 14.3.

HRMS (ESI) *m*/*z* calcd for $C_{25}H_{26}N_3O_5$ $[M + H]^+$ 448.1867, found 448.1873.

***iso*Propyl (1-Benzyl-3-(2-*iso*propoxy-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate[2] (4B)**



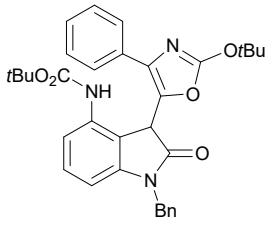
Following the general procedure, **4B** was collected from the reaction of substrates **1a** (170 mg, 0.50 mmol) and **2b** (152 mg, 0.75 mmol) after column chromatographic isolation as a red solid (reaction times: step 1 for 15 min and step 2 for 2 h), 176 mg, 67% yield, mp 81−82 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.81−7.73 (m, 2H), 7.45−7.31 (m, 8H), 7.30−7.26 (m, 1H), 7.15 (t, *J* = 8.1 Hz, 1H), 6.51 (d, *J* = 7.8 Hz, 1H), 6.19 (br s, 1H), 5.18−5.08 (m, 2H), 5.03−4.87 (m, 2H), 4.77−4.68 (m, 1H), 1.42 (d, *J* = 6.2 Hz, 3H), 1.39 (d, *J* = 6.2 Hz, 3H), 1.17 (d, *J* = 6.2 Hz, 3H), 1.10 (d, *J* = 6.2 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.0, 160.6, 152.6, 143.6, 139.2, 135.3, 134.7, 133.0, 130.9, 129.6, 128.8, 128.7, 128.5, 127.7, 127.6, 127.2, 115.1, 114.2, 105.2, 76.0, 68.9, 44.4, 42.5, 21.9, 21.8, 21.71, 21.69.

HRMS (ESI) *m*/*z* calcd for $C_{31}H_{32}N_3O_5$ $[M + H]^+$ 526.2336, found 526.2336.

***tert*-Butyl (1-Benzyl-3-(2-(*tert*-butoxy)-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4C)**



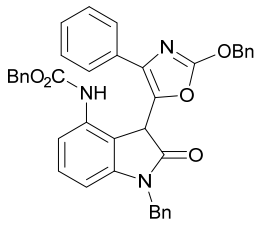
Following the general procedure, **4C** was collected from the reaction of substrates **1a** (170 mg, 0.50 mmol) and **2c** (173 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 152 mg, 55% yield, mp 151−153 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.79 (d, *J* = 6.9 Hz, 1H), 7.48–7.36 (m, 4H), 7.35–7.30 (m, 4H), 7.29–7.27 (m, 1H), 7.15 (t, *J* = 8.1 Hz, 1H), 6.50 (d, *J* = 7.8 Hz, 1H), 6.10 (br s, 1H), 5.08 (s, 1H), 5.01–4.88 (m, 2H), 1.57 (s, 9H), 1.35 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.0, 159.2, 152.1, 143.5, 139.2, 135.4, 135.1, 132.7, 131.1, 129.6, 128.8, 128.6, 128.4, 127.7, 127.6, 127.2, 114.6, 113.4, 104.8, 85.3, 80.7, 44.3, 42.4, 28.0, 27.7.

HRMS (ESI) *m*/*z* calcd for $C_{33}H_{35}N_3NaO_5$ $[M + Na]^+$ 576.2469, found 576.2465.

Benzyl (1-Benzyl-3-(2-(benzyloxy)-4-phenyloxazol-5-yl)-2-oxindolin-4-yl) carbamate (4D)



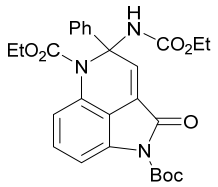
Following the general procedure, **4D** was collected from the reaction of substrates **1a** (170 mg, 0.50 mmol) and **2d** (224 mg, 0.75 mmol) after column chromatographic isolation as a brown solid (reaction times: step 1 for 15 min and step 2 for 2 h), 139 mg, 45% yield, mp 72−73 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.83–7.73 (m, 2H), 7.42–7.38 (m, 2H), 7.38–7.28 (m, 14H), 7.27–7.19 (m, 3H), 7.14 (t, *J* = 8.1 Hz, 1H), 6.53 (d, *J* = 7.8 Hz, 1H), 6.30 (br s, 1H), 5.45–5.35 (m, 2H), 5.18 (s, 1H), 5.00–4.88 (m, 2H), 4.88–4.75 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 173.0, 160.8, 152.6, 143.7, 139.3, 135.6, 135.3, 134.5, 134.2, 133.7, 130.7, 129.7, 128.8, 128.7, 128.6, 128.4, 128.3, 128.2, 128.1, 127.7, 127.6, 127.2, 115.5, 115.0, 105.6, 73.1, 67.0, 44.3, 42.7.

HRMS (ESI) *m*/*z* calcd for $C_{39}H_{32}N_3O_5$ $[M + H]^+$ 622.2336, found 622.2332.

1-(*tert*-Butyl) 5-Ethyl 4-((ethoxycarbonyl)amino)-2-oxo-4-phenyl-2,4-dihydro pyrrolo[4,3,2-*de*]quinoline-1,5-dicarboxylate (3b)



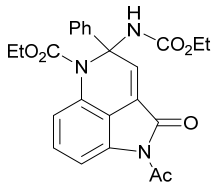
Following the general procedure reported in our previous work,[2] **3b** was collected from the reaction of substrates **1C** (175 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a slightly yellow solid (reaction time: 15 min), 198 mg, 78% yield, mp 84−85 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78 (d, *J* = 8.4 Hz, 1H), 7.41 – 7.26 (m, 7H), 6.65 (br s, 1H), 6.44 (s, 1H), 4.18 – 3.96 (m, 4H), 1.63 (s, 9H), 1.14 (t, *J* = 7.1 Hz, 3H), 0.98 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 163.2, 155.5, 154.3, 149.0, 143.5, 136.5, 133.3, 130.9, 130.1, 129.4, 128.3, 123.5, 120.2, 114.3, 110.2, 109.8, 84.0, 77.5, 62.5, 61.5, 28.0, 14.1, 13.7.

HRMS (ESI) *m*/*z* calcd for $C_{27}H_{30}N_3O_7$ $[M + H]^+$ 508.2078, found 508.2073.

Ethyl 1-Acetyl-4-((ethoxycarbonyl)amino)-2-oxo-4-phenyl-2,4-dihydropyrrolo [4,3,2-*de*]quinoline-5(1*H*)-carboxylate (3c)



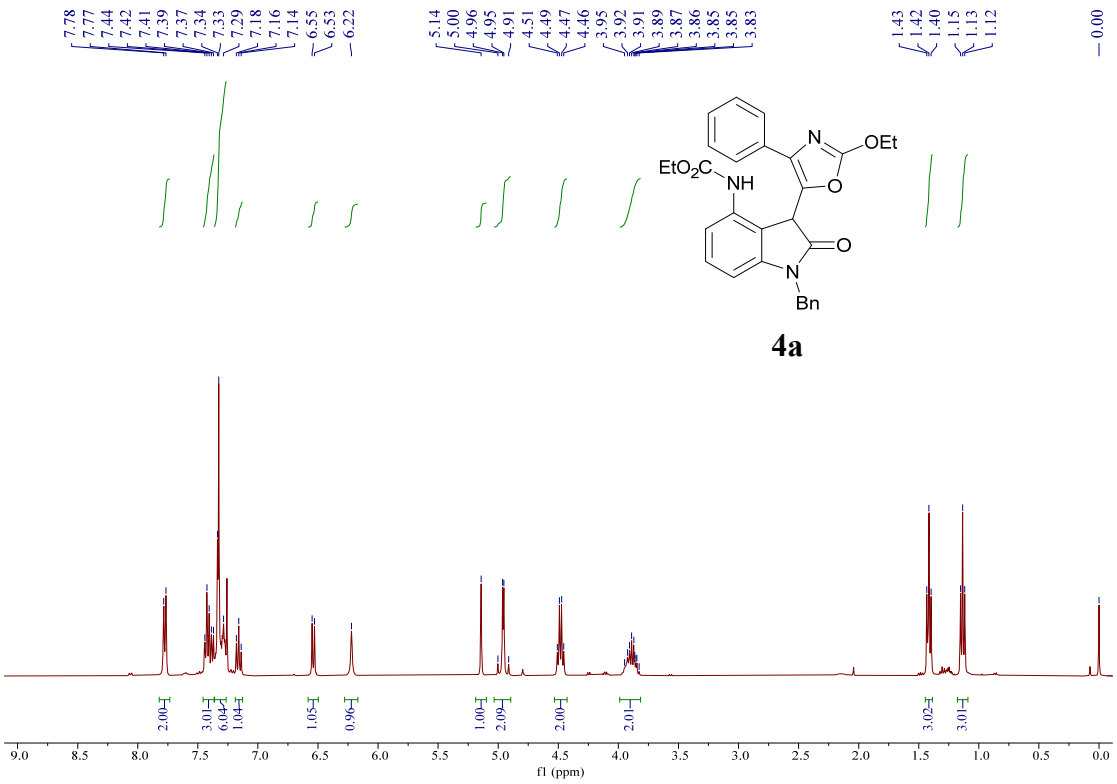
Following the general procedure reported in our previous work,[2] **3c** was collected from the reaction of substrates **1D** (146 mg, 0.50 mmol) and **2a** (131 mg, 0.75 mmol) after column chromatographic isolation as a slightly yellow solid (reaction time: 15 min), 178 mg, 79% yield, mp 176−178 °C.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.81 (d, *J* = 8.6 Hz, 1H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.44 – 7.36 (m, 4H), 7.35 – 7.28 (m, 2H), 6.71 (br s, 1H), 6.49 (s, 1H), 4.18 – 3.98 (m, 4H), 2.64 (s, 3H), 1.16 (t, *J* = 7.1 Hz, 3H), 1.01 (t, *J* = 7.1 Hz, 3H).

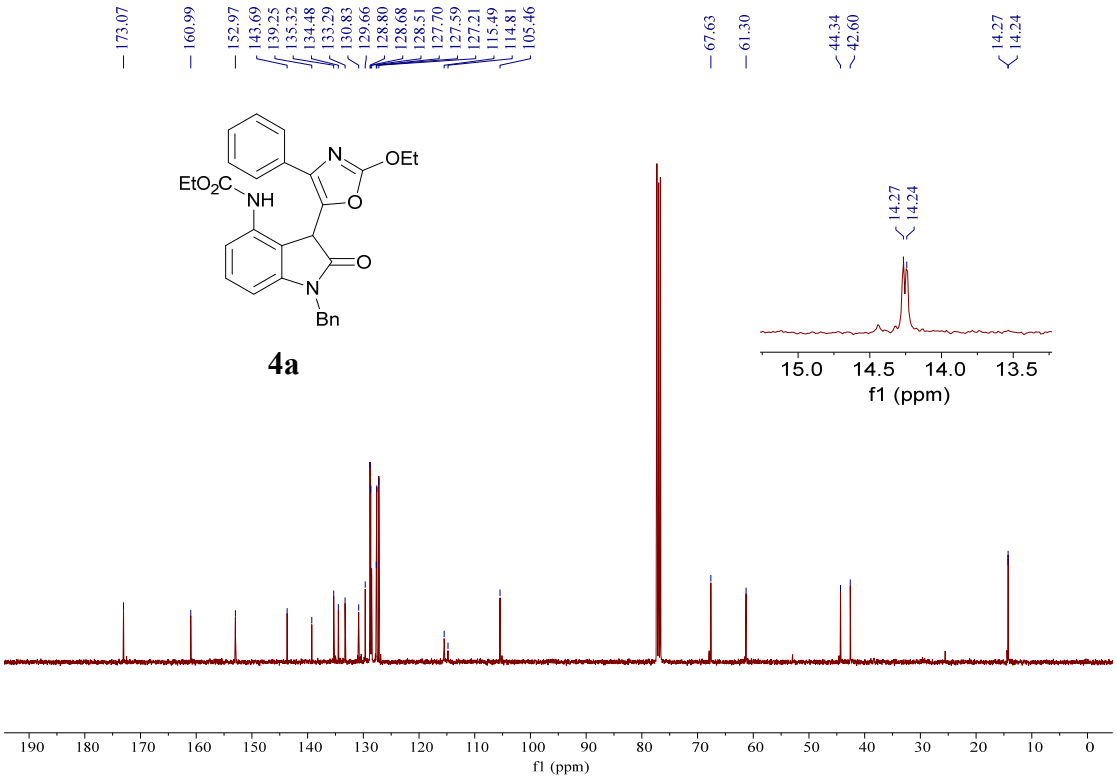
^{13}C NMR (100 MHz, $CDCl_3$): δ 170.4, 165.0, 155.6, 154.3, 143.4, 136.7, 133.1, 131.2, 130.7, 129.5, 128.4, 123.6, 120.2, 115.1, 111.4, 110.7, 77.6, 62.6, 61.5, 26.0, 14.1, 13.7.

HRMS (ESI) *m*/*z* calcd for $C_{24}H_{24}N_3O_6$ $[M + H]^+$ 450.1660, found 450.1665.

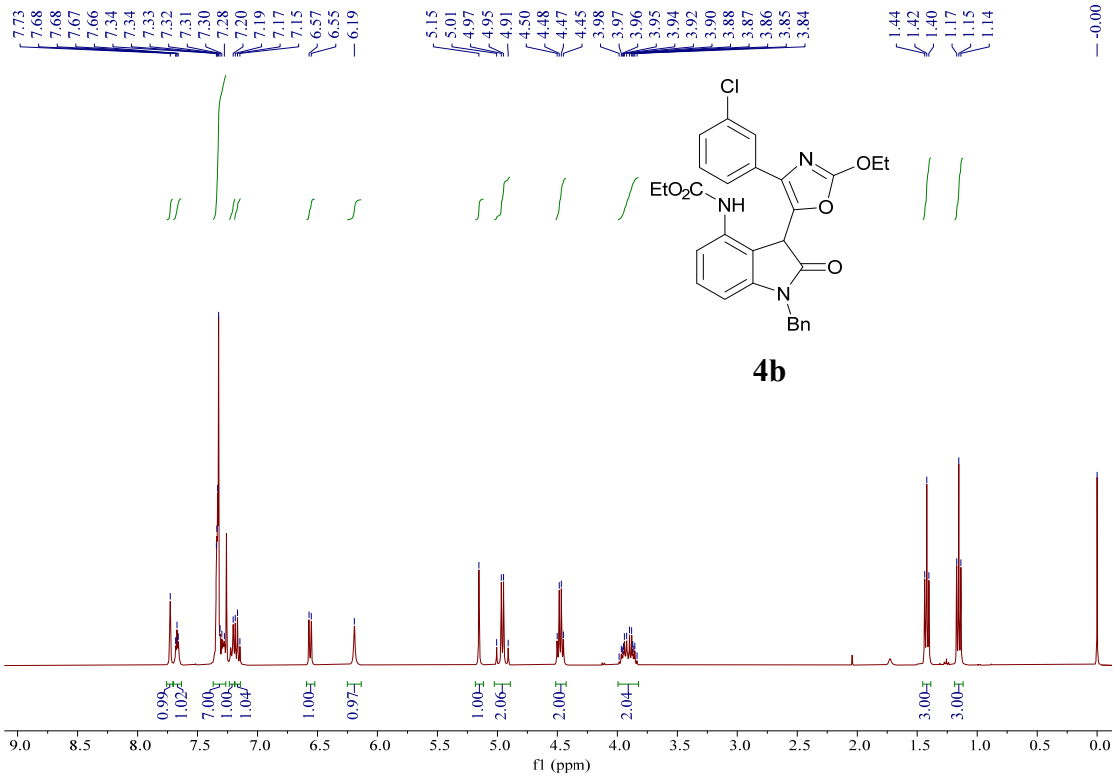
NMR spectra



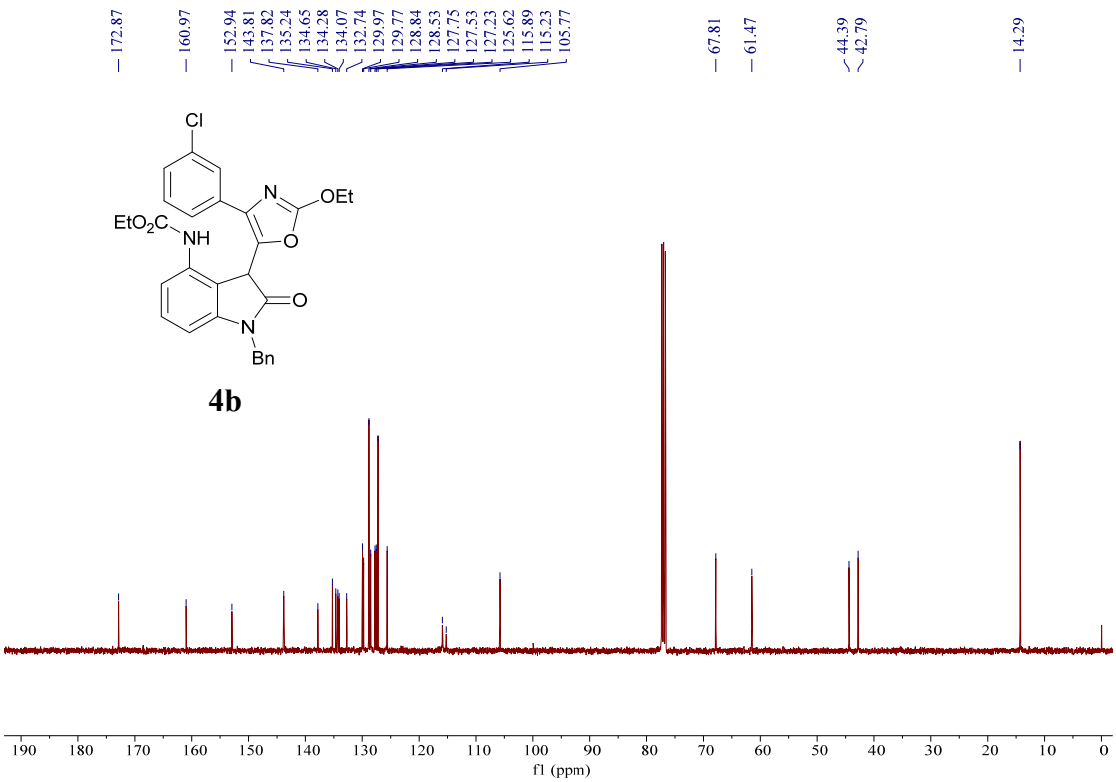
4a



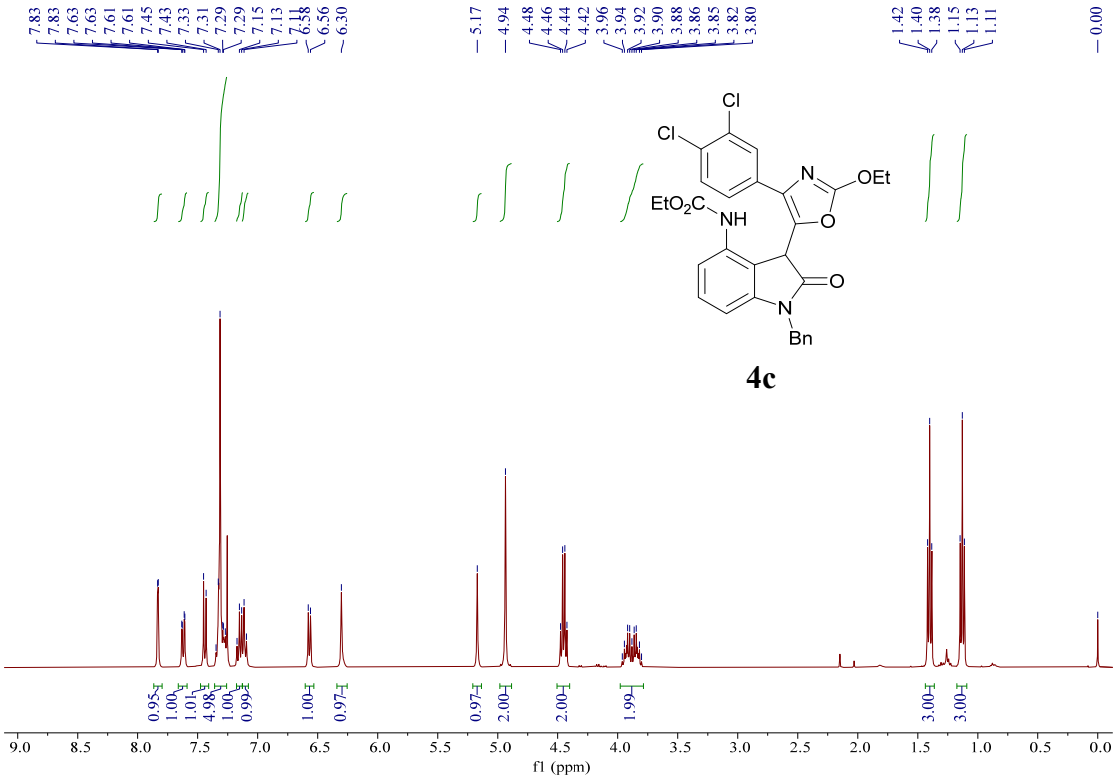
4a


7.73
7.68
7.68
7.67
7.66
7.34
7.34
7.33
7.32
7.31
7.30
7.28
7.20
7.19
7.17
7.15
6.57
6.55
6.19
5.15
5.01
4.97
4.95
4.91
4.50
4.48
4.47
4.45
3.98
3.97
3.96
3.95
3.94
3.92
3.90
3.88
3.87
3.86
3.85
3.84
1.44
1.42
1.40
1.17
1.15
1.14
-0.00
Cl
N
OEt
EtO2C
NH
O
O
N
Bn
0.99
1.02
7.00
1.00
1.04
1.00
0.97
1.00
2.06
2.00
2.04
3.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

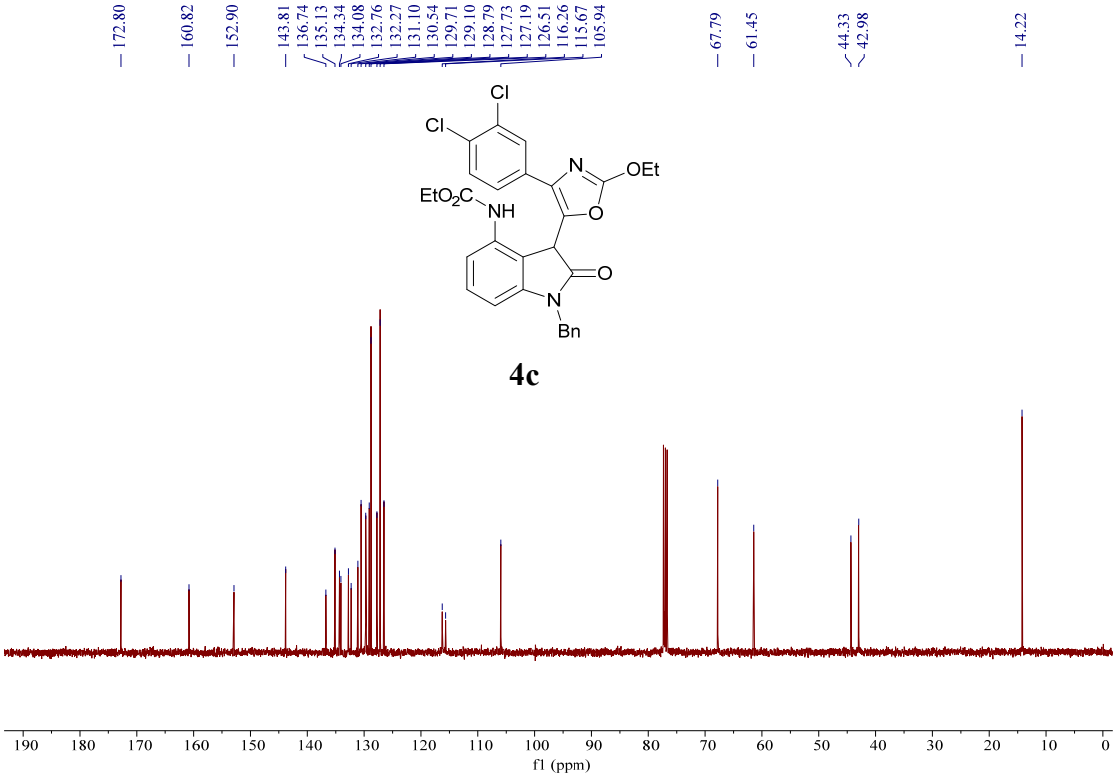
4b


172.87
160.97
152.94
143.81
137.82
135.24
134.65
134.28
134.07
132.74
129.97
129.77
128.84
128.53
127.75
127.53
127.23
125.62
115.89
115.23
105.77
67.81
61.47
44.39
42.79
14.29
Cl
N
OEt
EtO2C
NH
O
O
N
Bn
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

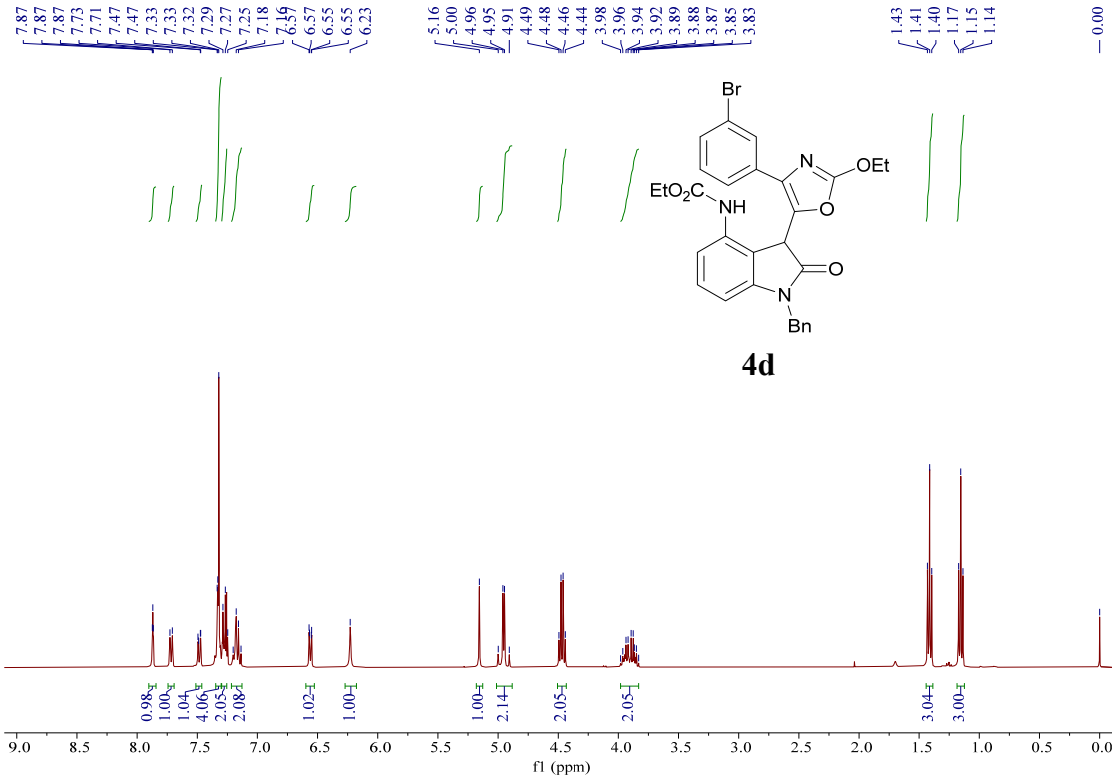
4b


7.83
7.83
7.63
7.63
7.61
7.61
7.45
7.43
7.33
7.31
7.29
7.29
7.15
7.13
7.11
6.58
6.56
6.30
5.17
4.94
4.48
4.46
4.44
4.42
3.96
3.94
3.92
3.90
3.88
3.86
3.85
3.82
3.80
1.42
1.40
1.38
1.15
1.13
1.11
0.00
Cl
Cl
N
OEt
EtO2C
NH
O
O
N
Bn
0.95
1.00
1.01
4.98
1.00
0.99
1.00
0.97
0.97
2.00
2.00
1.99
3.00
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

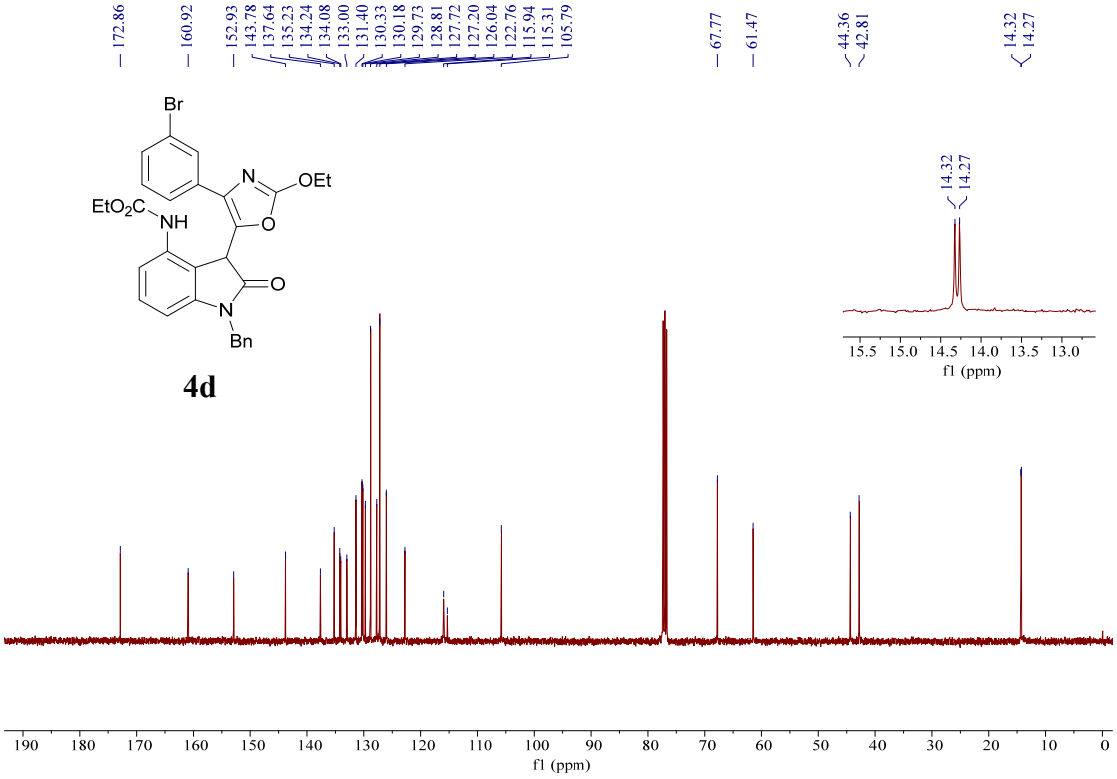
4c


172.80
160.82
152.90
143.81
136.74
135.13
134.34
134.08
132.76
132.27
131.10
130.54
129.71
129.10
128.79
127.73
127.19
126.51
116.26
115.67
105.94
67.79
61.45
44.33
42.98
14.22
Cl
Cl
N
OEt
EtO2C
NH
O
O
N
Bn
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

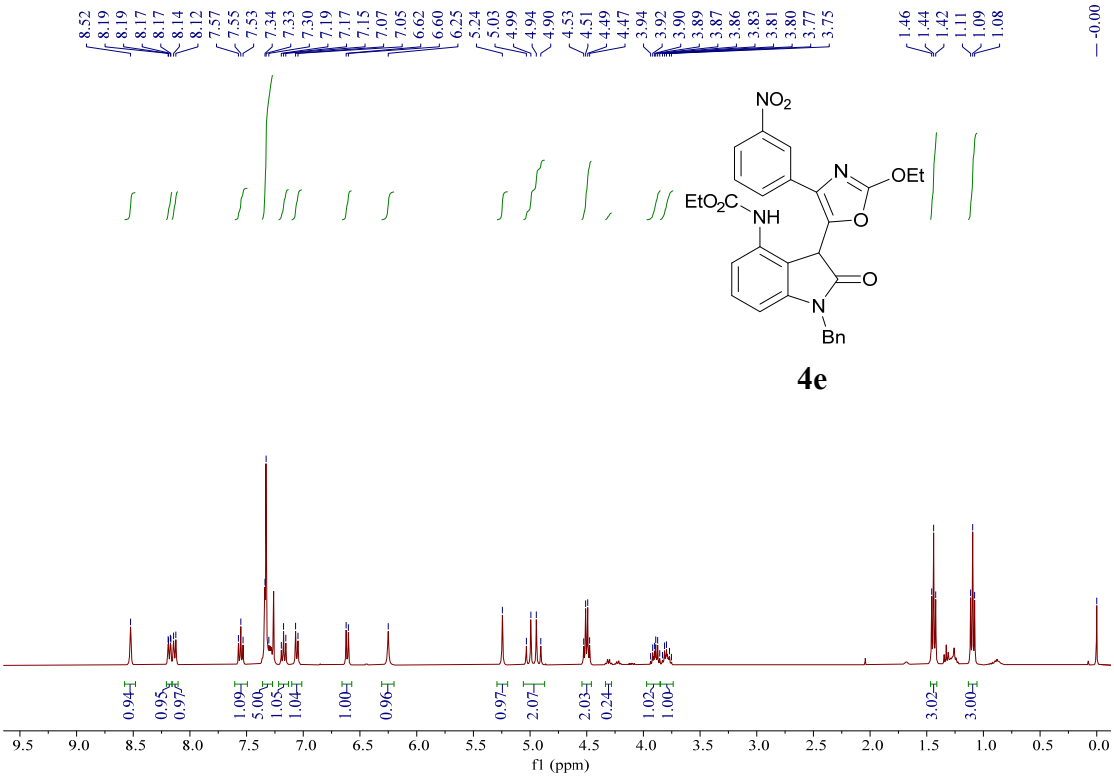
4c


7.87
7.87
7.87
7.73
7.71
7.47
7.47
7.33
7.33
7.32
7.29
7.27
7.25
7.18
7.16
6.57
6.55
6.55
6.23
5.16
5.00
4.96
4.95
4.91
4.49
4.48
4.46
4.44
3.98
3.96
3.94
3.92
3.89
3.88
3.87
3.85
3.83
1.43
1.41
1.40
1.17
1.15
1.14
0.00
Br
N
OEt
EtO2C
NH
O
O
N
Bn
0.98
1.00
1.04
4.06
2.05
2.08
1.02
1.00
1.00
2.14
2.05
2.05
3.04
3.00
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

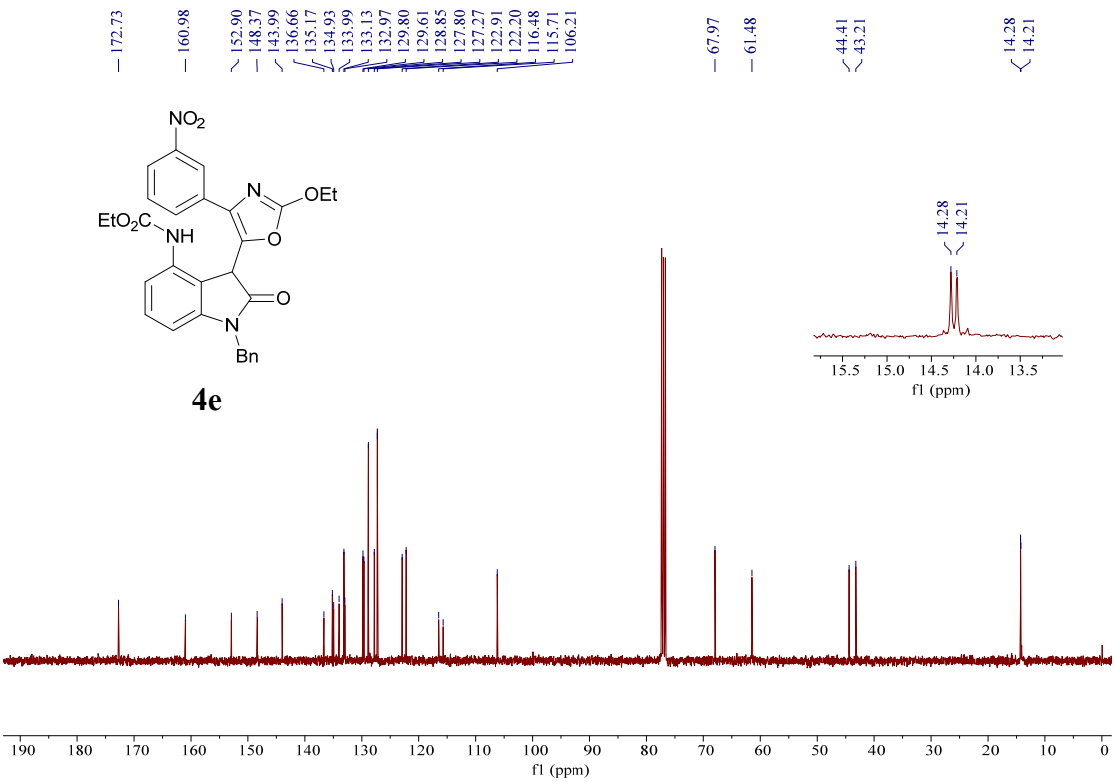
4d


172.86
160.92
152.93
143.78
137.64
135.23
134.24
134.08
133.00
131.40
130.33
130.18
129.73
128.81
127.72
127.20
126.04
122.76
115.94
115.31
105.79
67.77
61.47
44.36
42.81
14.32
14.27
Br
N
OEt
EtO2C
NH
O
O
N
Bn
14.32
14.27
15.5 15.0 14.5 14.0 13.5 13.0
f1 (ppm)
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

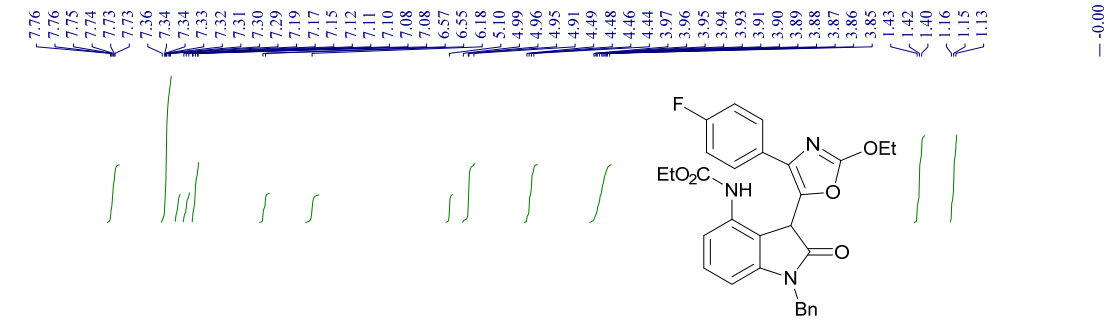
4d


8.52 8.19 8.19 8.17 8.17 8.14 8.12 7.57 7.55 7.53 7.34 7.33 7.30 7.19 7.17 7.15 7.07 7.05 6.62 6.60 6.25 5.24 5.03 4.99 4.94 4.90 4.53 4.51 4.49 4.47 3.94 3.92 3.90 3.89 3.87 3.86 3.83 3.81 3.80 3.77 3.75 1.46 1.44 1.42 1.11 1.09 1.08 -0.00
NO2
N
OEt
EtO2C
NH
O
O
N
Bn
0.94 0.95 0.97 1.09 5.00 1.05 1.04 1.00 0.96 0.97 2.07 2.03 0.24 1.02 1.00 3.02 3.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

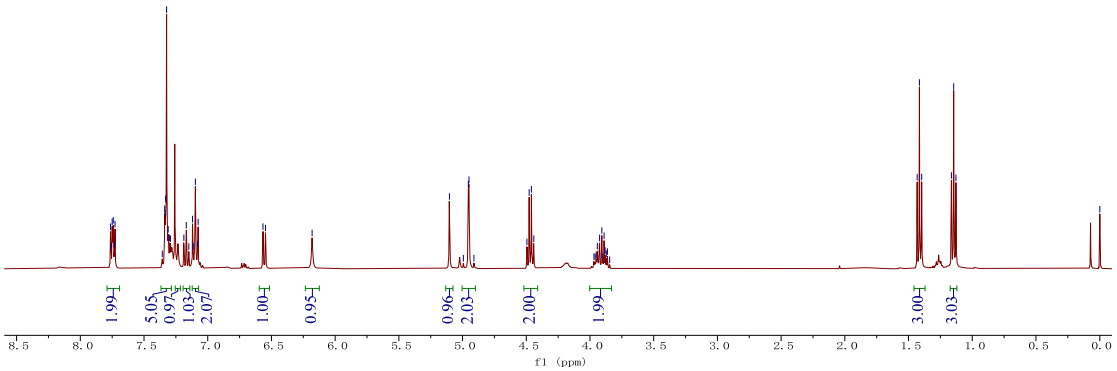
4e

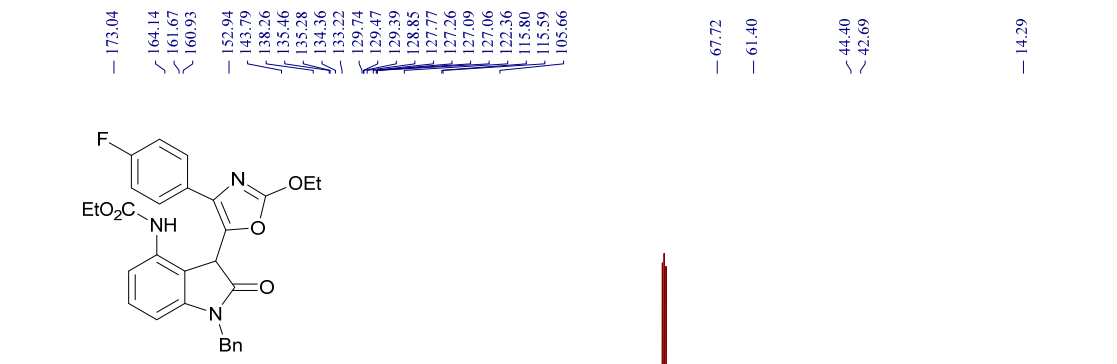

172.73 160.98 152.90 148.37 143.99 136.66 135.17 134.93 133.99 133.13 132.97 129.80 129.61 128.85 127.80 127.27 122.91 122.20 116.48 115.71 106.21 67.97 61.48 44.41 43.21 14.28 14.21
NO2
N
OEt
EtO2C
NH
O
O
N
Bn
14.28
14.21
15.5 15.0 14.5 14.0 13.5
f1 (ppm)
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

4e

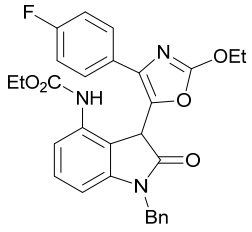

7.76
7.76
7.75
7.74
7.73
7.73
7.36
7.34
7.34
7.33
7.32
7.31
7.30
7.29
7.19
7.17
7.15
7.12
7.11
7.10
7.08
7.08
6.57
6.55
6.18
5.10
4.99
4.96
4.95
4.91
4.49
4.48
4.46
4.44
3.97
3.96
3.95
3.94
3.93
3.91
3.90
3.89
3.88
3.87
3.86
3.85
1.43
1.42
1.40
1.16
1.15
1.13
-0.00
F
N
OEt
EtO2C
NH
O
O
N
Bn

4f


1.99
5.05
0.97
1.03
2.07
1.00
0.95
0.96
2.03
2.00
1.99
3.00
3.03
f1 (ppm)

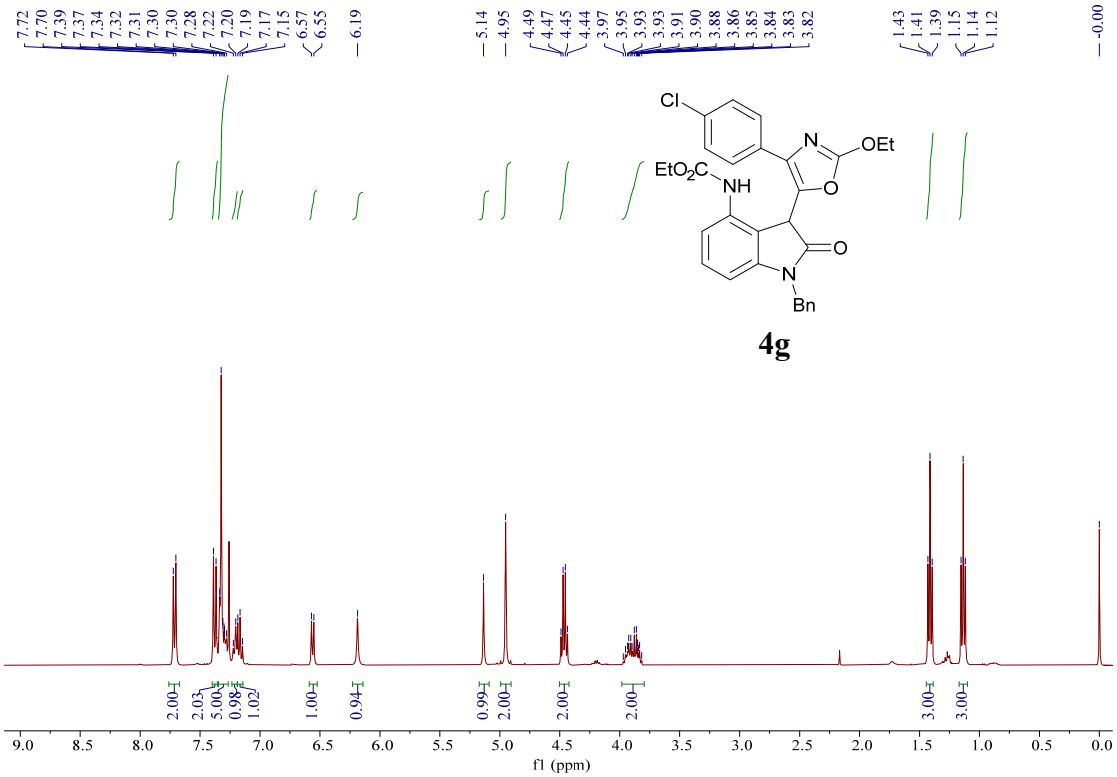

173.04
164.14
161.67
160.93
152.94
143.79
138.26
135.46
135.28
134.36
133.22
129.74
129.47
129.39
128.85
127.77
127.26
127.09
127.06
122.36
115.80
115.59
105.66
67.72
61.40
44.40
42.69
14.29
F
N
OEt
EtO2C
NH
O
O
N
Bn
f1 (ppm)

4f

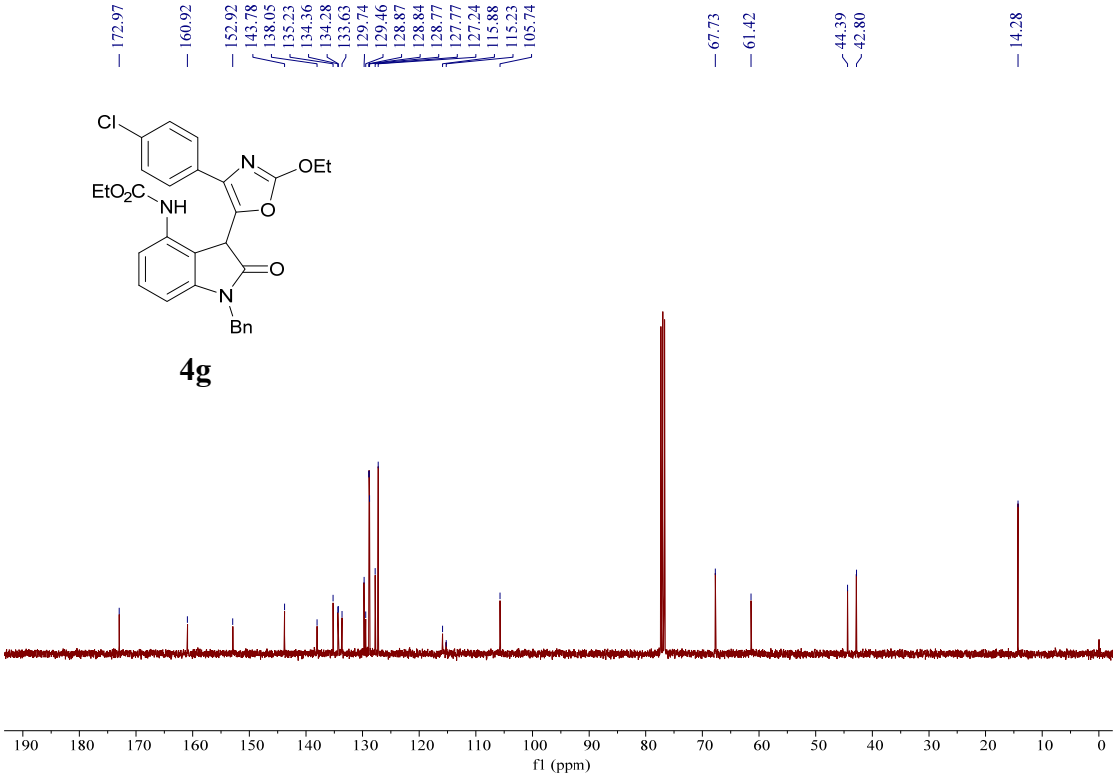

F
N
OEt
EtO2C
NH
O
O
N
Bn

4f

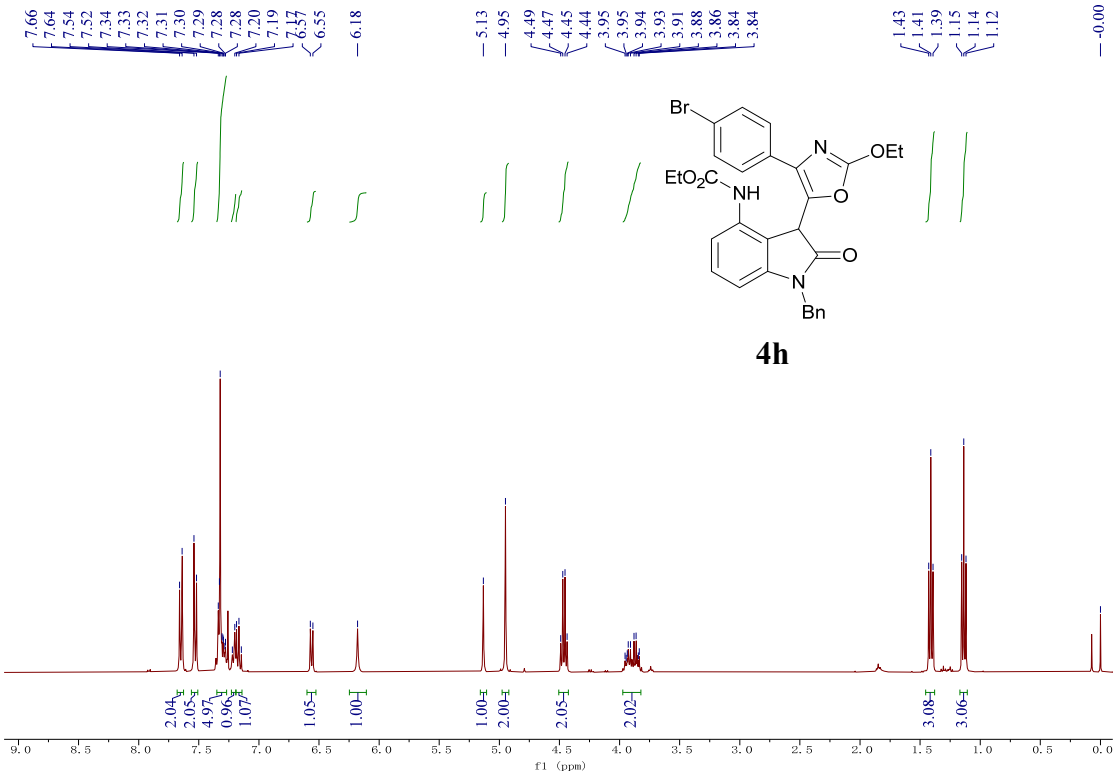
-112.83
−60
−65
−70
−75
−80
−85
−90
−95
−100
−105
−110
−115
−120
−125
−130
−135
−140
−145
−150
−155
−160
f1 (ppm)


7.72
7.70
7.39
7.37
7.34
7.32
7.31
7.30
7.30
7.28
7.22
7.20
7.19
7.17
7.15
6.57
6.55
6.19
5.14
4.95
4.49
4.47
4.45
4.44
3.97
3.95
3.93
3.93
3.91
3.90
3.88
3.86
3.85
3.84
3.83
3.82
1.43
1.41
1.39
1.15
1.14
1.12
0.00
Cl
N
OEt
EtO2C
NH
O
O
N
Bn
2.00
2.03
5.00
0.98
1.02
1.00
0.94
0.99
2.00
2.00
2.00
3.00
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

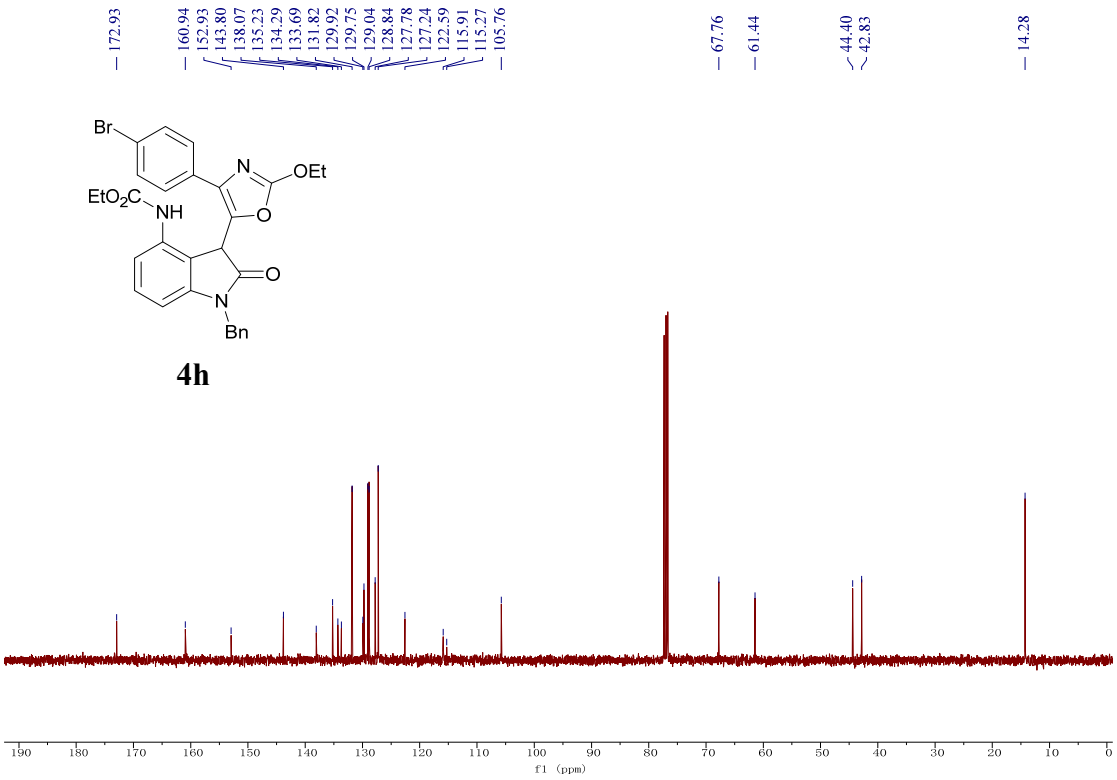
4g


172.97
160.92
152.92
143.78
138.05
135.23
134.36
134.28
133.63
129.74
129.46
128.87
128.84
128.77
127.77
127.24
115.88
115.23
105.74
67.73
61.42
44.39
42.80
14.28
Cl
N
OEt
EtO2C
NH
O
O
N
Bn
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

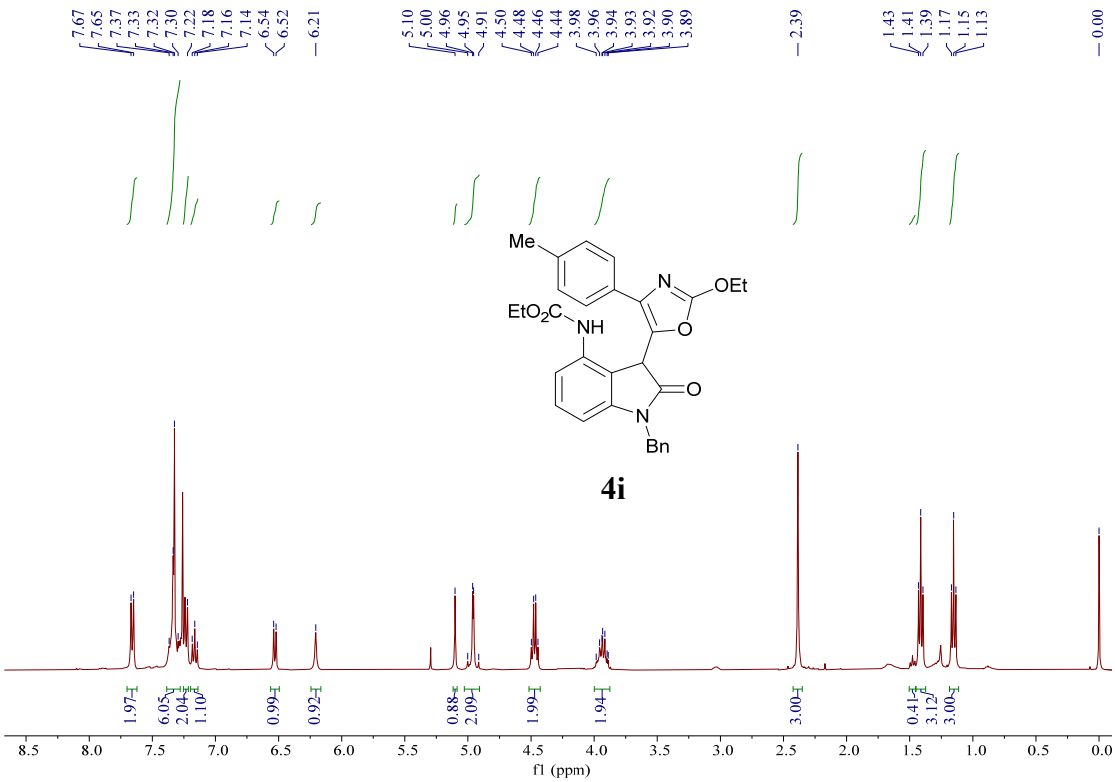
4g


7.66
7.64
7.54
7.52
7.34
7.33
7.32
7.31
7.30
7.29
7.28
7.28
7.20
7.19
7.17
6.57
6.55
6.18
5.13
4.95
4.49
4.47
4.45
4.44
3.95
3.95
3.94
3.93
3.91
3.88
3.86
3.84
3.84
1.43
1.41
1.39
1.15
1.14
1.12
-0.00
Br
N
OEt
EtO2C
NH
O
O
N
Bn
2.04
2.05
4.97
0.96
1.07
1.05
1.00
1.00
2.00
2.05
2.02
3.08
3.06
f1 (ppm)

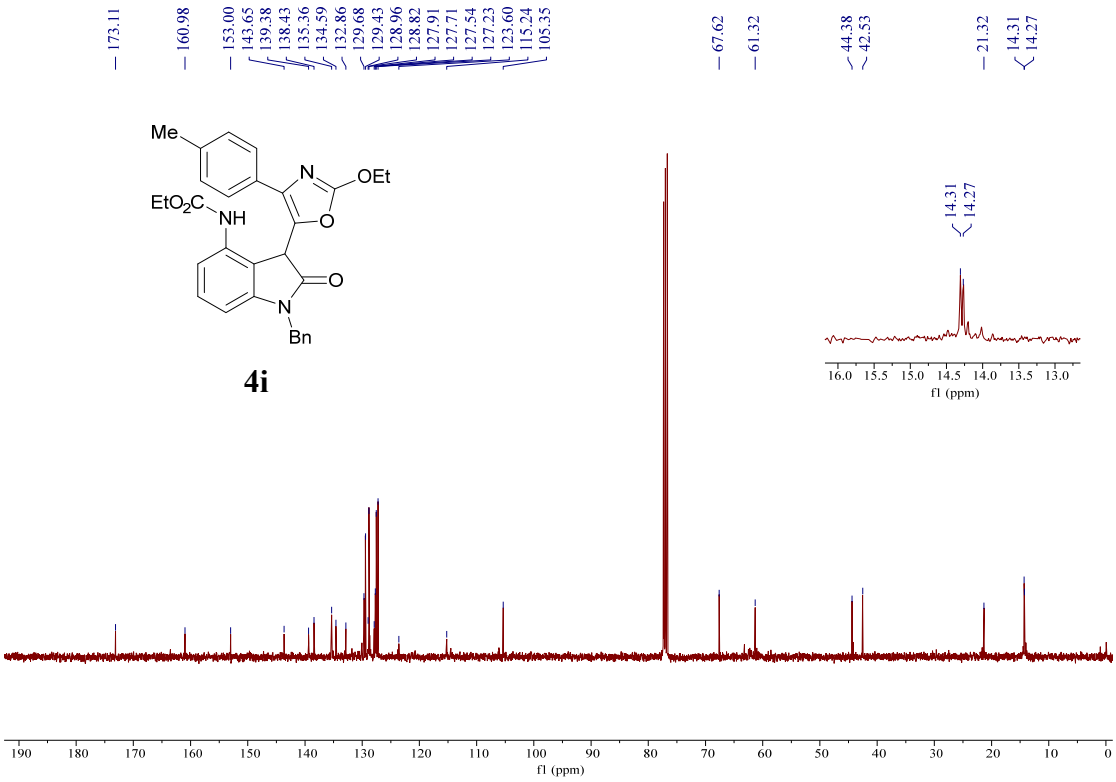
4h


172.93
160.94
152.93
143.80
138.07
135.23
134.29
133.69
131.82
129.92
129.75
129.04
128.84
127.78
127.24
122.59
115.91
115.27
105.76
67.76
61.44
44.40
42.83
14.28
Br
N
OEt
EtO2C
NH
O
O
N
Bn
f1 (ppm)

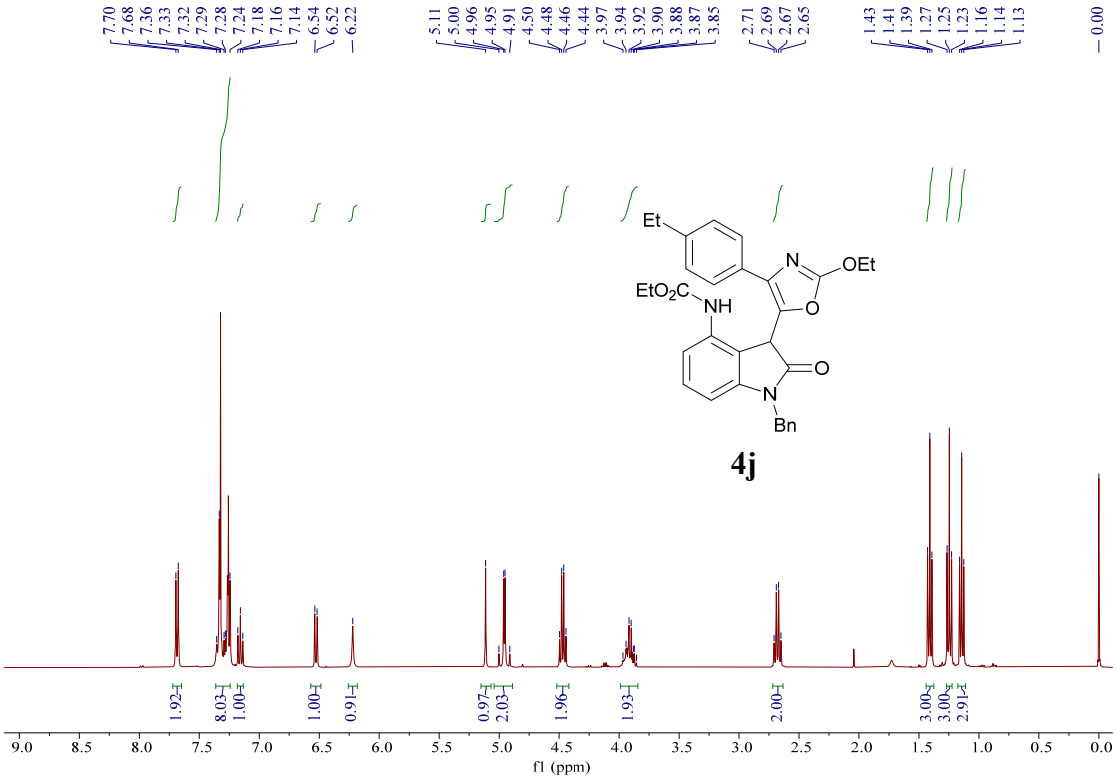
4h

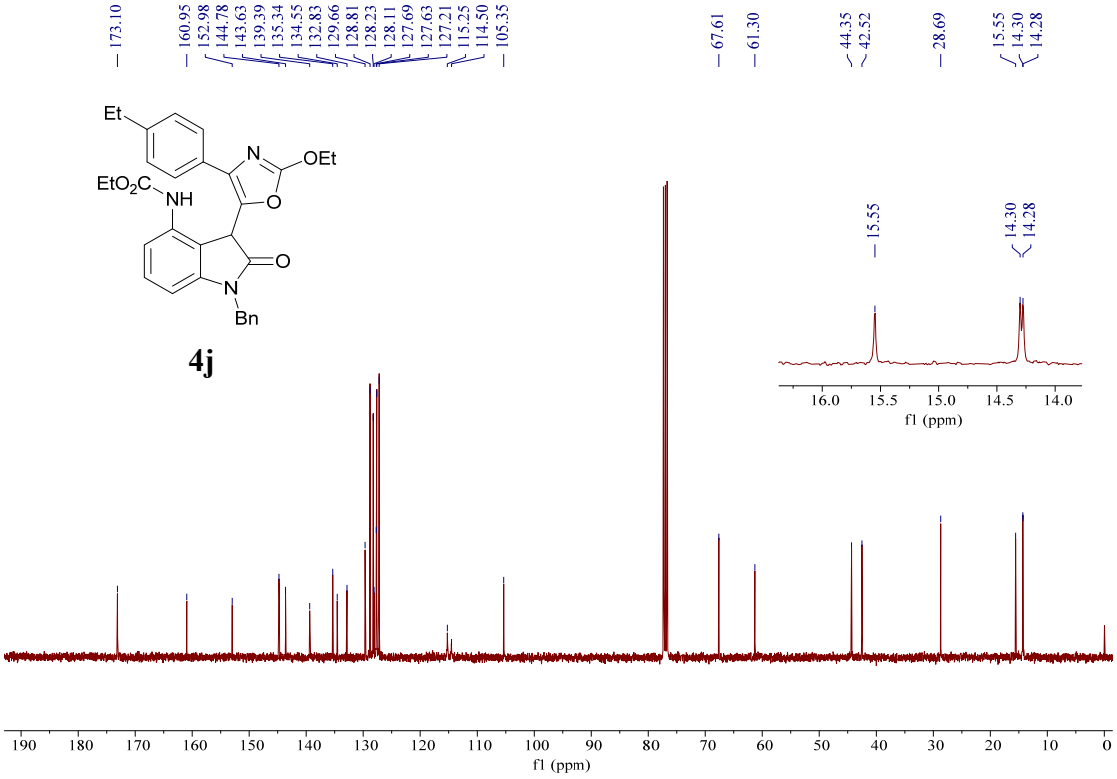

7.67
7.65
7.37
7.33
7.32
7.30
7.22
7.18
7.16
7.14
6.54
6.52
6.21
5.10
5.00
4.96
4.95
4.91
4.50
4.48
4.46
4.44
3.98
3.96
3.94
3.93
3.92
3.90
3.89
2.39
1.43
1.41
1.39
1.17
1.15
1.13
0.00
Me
N
OEt
EtO2C
NH
O
O
N
Bn
1.97
6.05
2.04
1.10
0.99
0.92
0.88
2.09
1.99
1.94
3.00
0.41
3.12
3.00
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

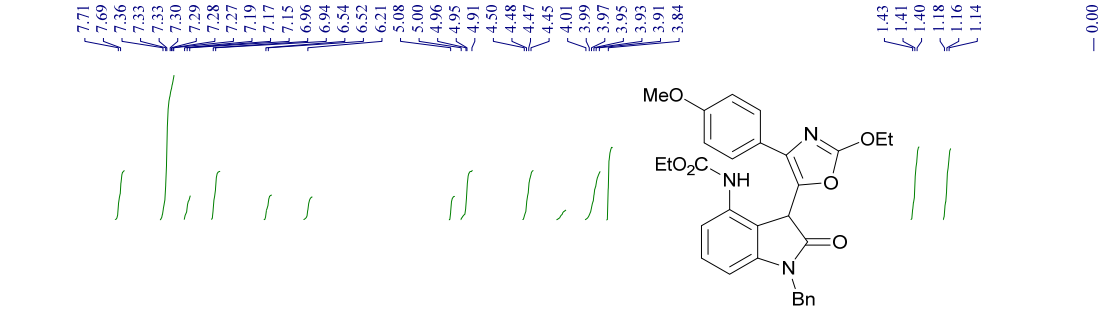
4i


173.11
160.98
153.00
143.65
139.38
138.43
135.36
134.59
132.86
129.68
129.43
128.96
128.82
127.91
127.71
127.54
127.23
123.60
115.24
105.35
67.62
61.32
44.38
42.53
21.32
14.31
14.27
Me
N
OEt
EtO2C
NH
O
O
N
Bn
14.31
14.27
16.0 15.5 15.0 14.5 14.0 13.5 13.0
f1 (ppm)
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

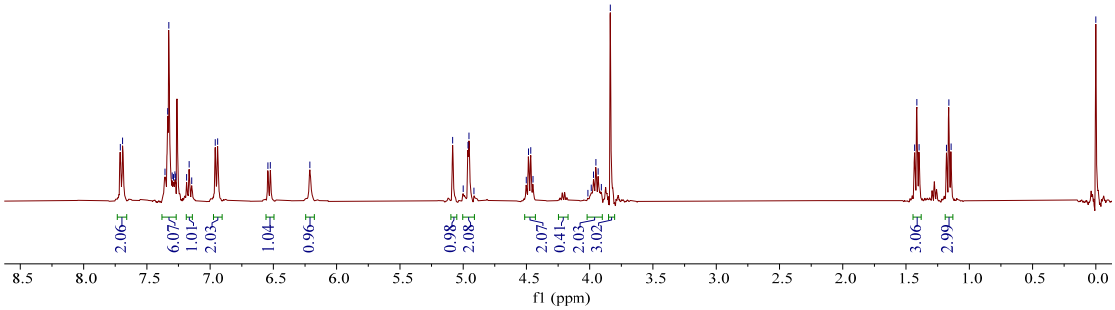
4i

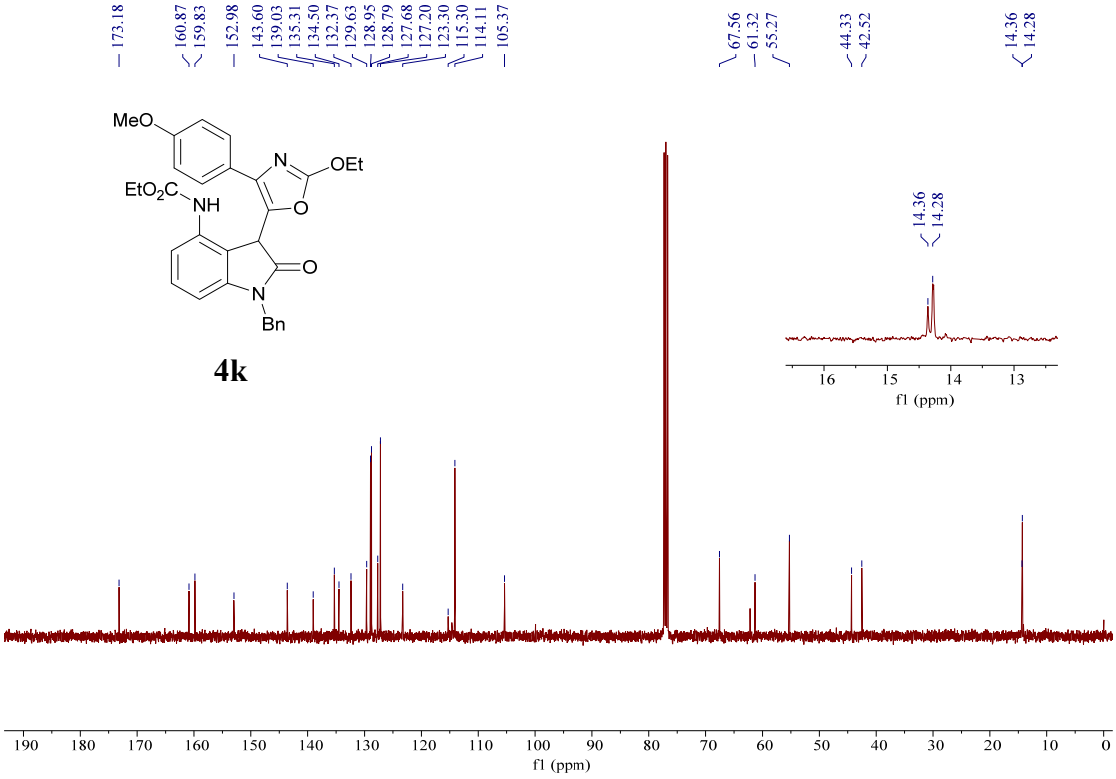

7.70
7.68
7.36
7.33
7.32
7.29
7.28
7.24
7.18
7.16
7.14
6.54
6.52
6.22
5.11
5.00
4.96
4.95
4.91
4.50
4.48
4.46
4.44
3.97
3.94
3.92
3.90
3.88
3.87
3.85
2.71
2.69
2.67
2.65
1.43
1.41
1.39
1.27
1.25
1.23
1.16
1.14
1.13
0.00
Et
EtO2C
NH
N
OEt
O
O
N
Bn
4j
1.92
8.03
1.00
1.00
0.91
0.97
2.03
1.96
1.93
2.00
3.00
3.00
2.91
f1 (ppm)


173.10
160.95
152.98
144.78
143.63
139.39
135.34
134.55
132.83
129.66
128.81
128.23
128.11
127.69
127.63
127.21
115.25
114.50
105.35
67.61
61.30
44.35
42.52
28.69
15.55
14.30
14.28
Et
EtO2C
NH
N
OEt
O
O
N
Bn
4j
f1 (ppm)

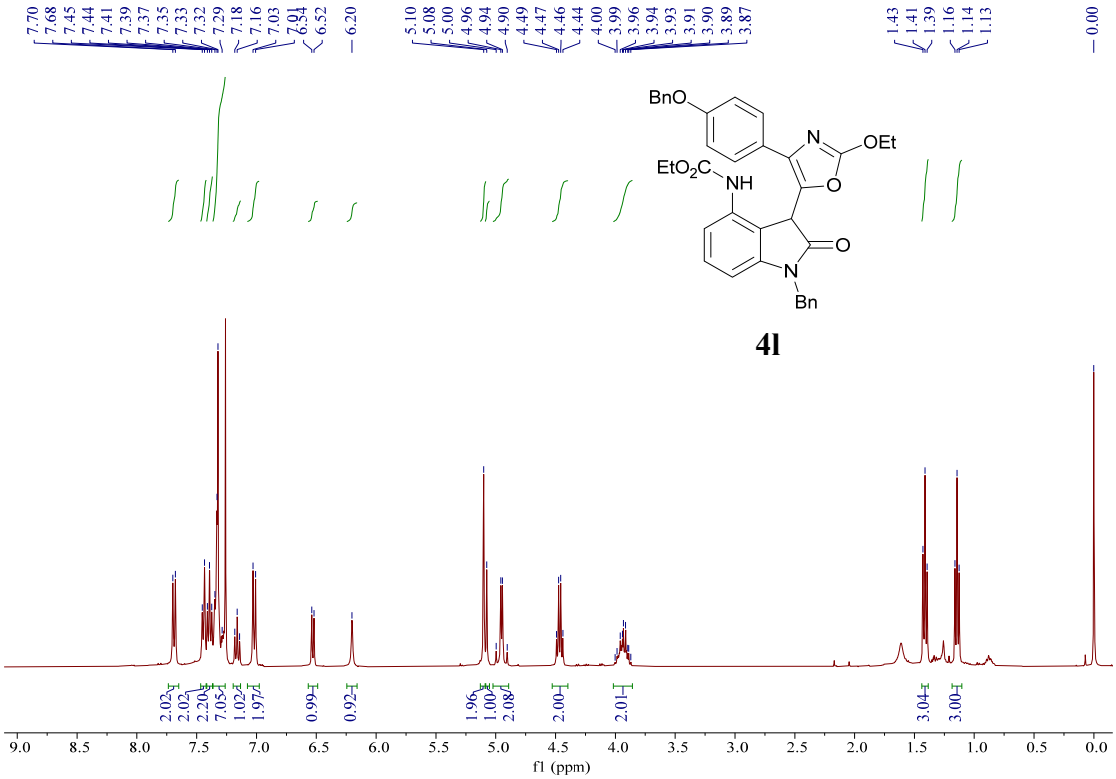

7.71
7.69
7.36
7.33
7.30
7.29
7.28
7.27
7.19
7.17
7.15
6.96
6.94
6.54
6.52
6.21
5.08
5.00
4.96
4.95
4.91
4.50
4.48
4.47
4.45
4.01
3.99
3.97
3.95
3.93
3.91
3.84
1.43
1.41
1.40
1.18
1.16
1.14
0.00
MeO
N
OEt
EtO2C
NH
O
O
N
Bn

4k

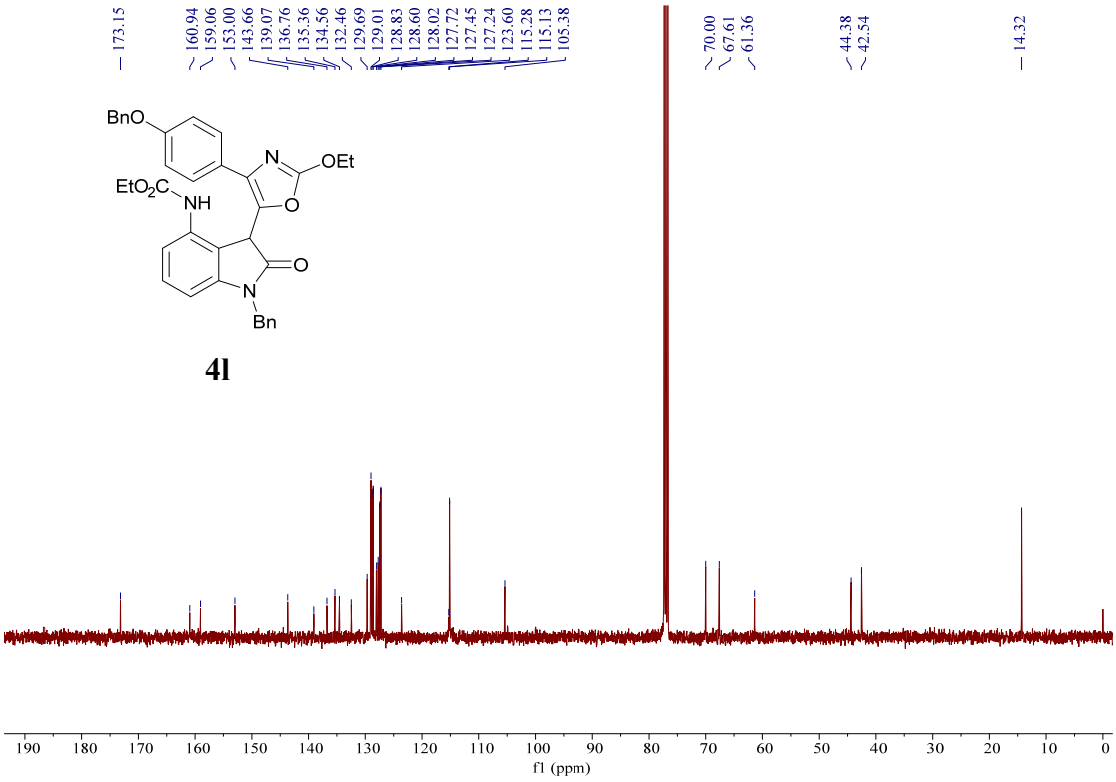

2.06
6.07
1.01
2.03
1.04
0.96
0.98
2.08
2.07
0.41
2.03
3.02
3.06
2.99
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


173.18
160.87
159.83
152.98
143.60
139.03
135.31
134.50
132.37
129.63
128.95
128.79
127.68
127.20
123.30
115.30
114.11
105.37
67.56
61.32
55.27
44.33
42.52
14.36
14.28
MeO
N
OEt
EtO2C
NH
O
O
N
Bn
14.36
14.28
16
15
14
13
f1 (ppm)
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

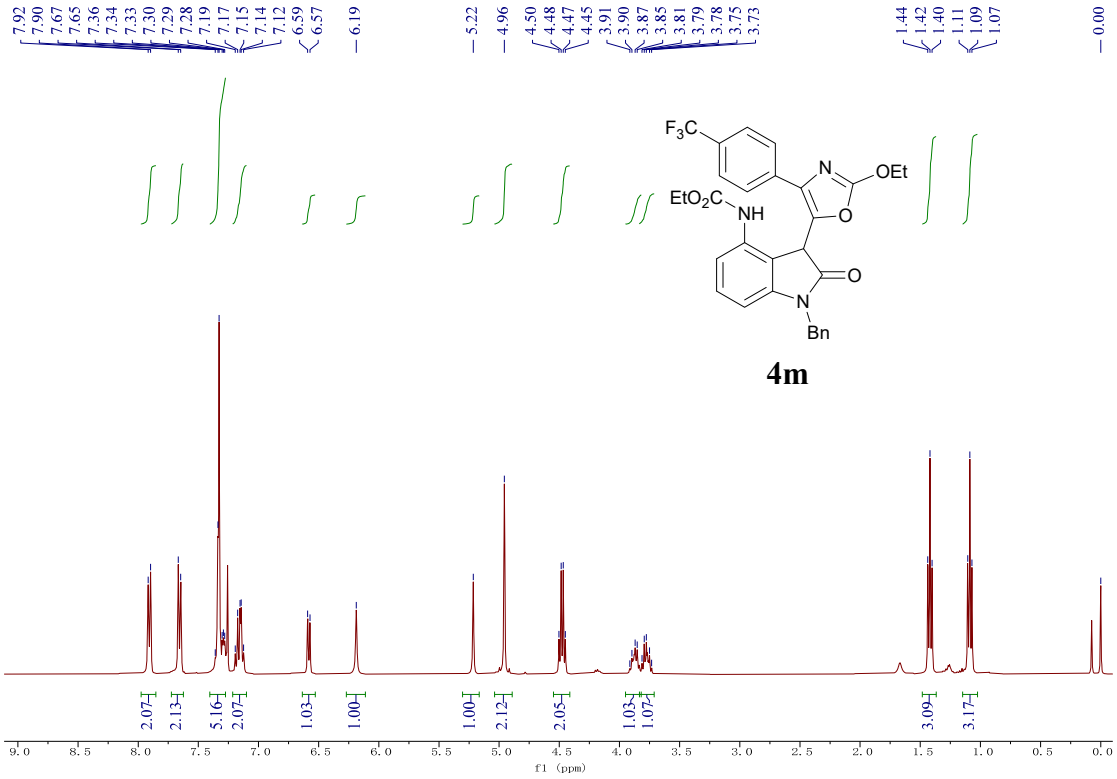
4k


7.70
7.68
7.45
7.44
7.41
7.39
7.37
7.35
7.33
7.32
7.29
7.18
7.16
7.03
6.54
6.52
6.20
5.10
5.08
5.00
4.96
4.94
4.90
4.49
4.47
4.46
4.44
4.00
3.99
3.96
3.94
3.93
3.91
3.90
3.89
3.87
1.43
1.41
1.39
1.16
1.14
1.13
0.00
BnO
N
OEt
EtO2C
NH
O
O
N
Bn
2.02
2.02
2.20
7.05
1.02
1.97
0.99
0.92
1.96
1.00
2.08
2.00
2.01
3.04
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

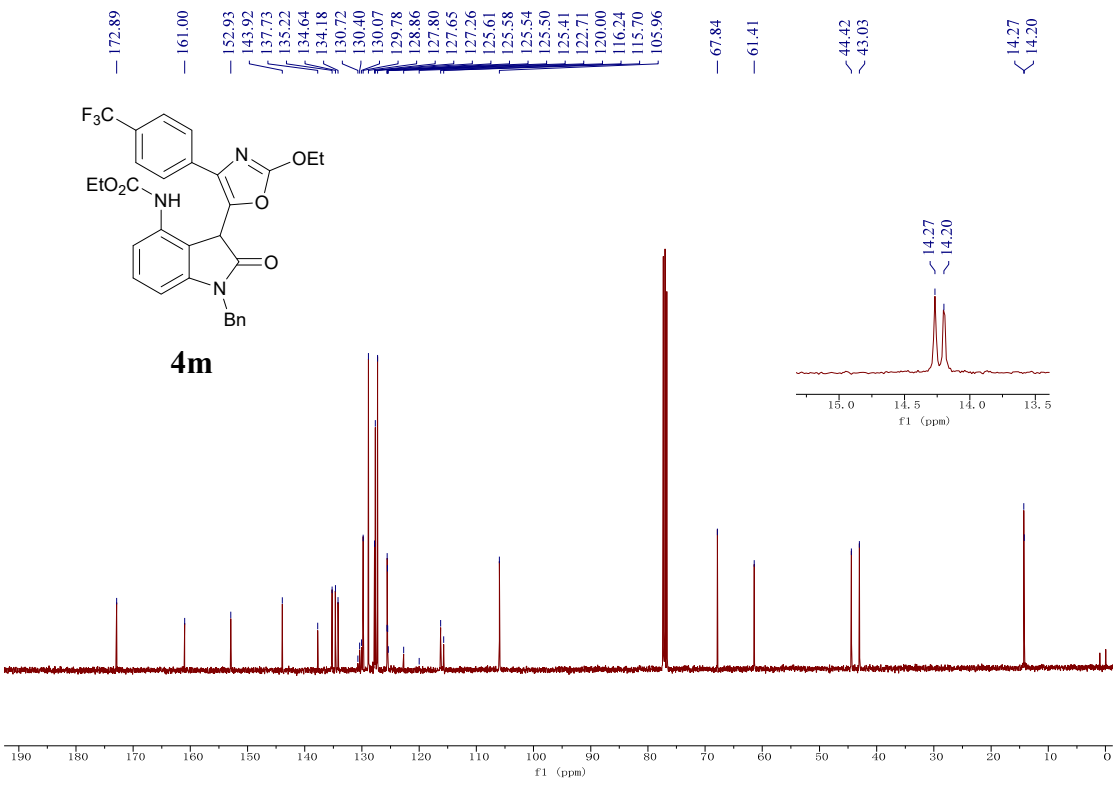
4l


173.15
160.94
159.06
153.00
143.66
139.07
136.76
135.36
134.56
132.46
129.69
129.01
128.83
128.60
128.02
127.72
127.45
127.24
123.60
115.28
115.13
105.38
70.00
67.61
61.36
44.38
42.54
14.32
BnO
N
OEt
EtO2C
NH
O
O
N
Bn
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

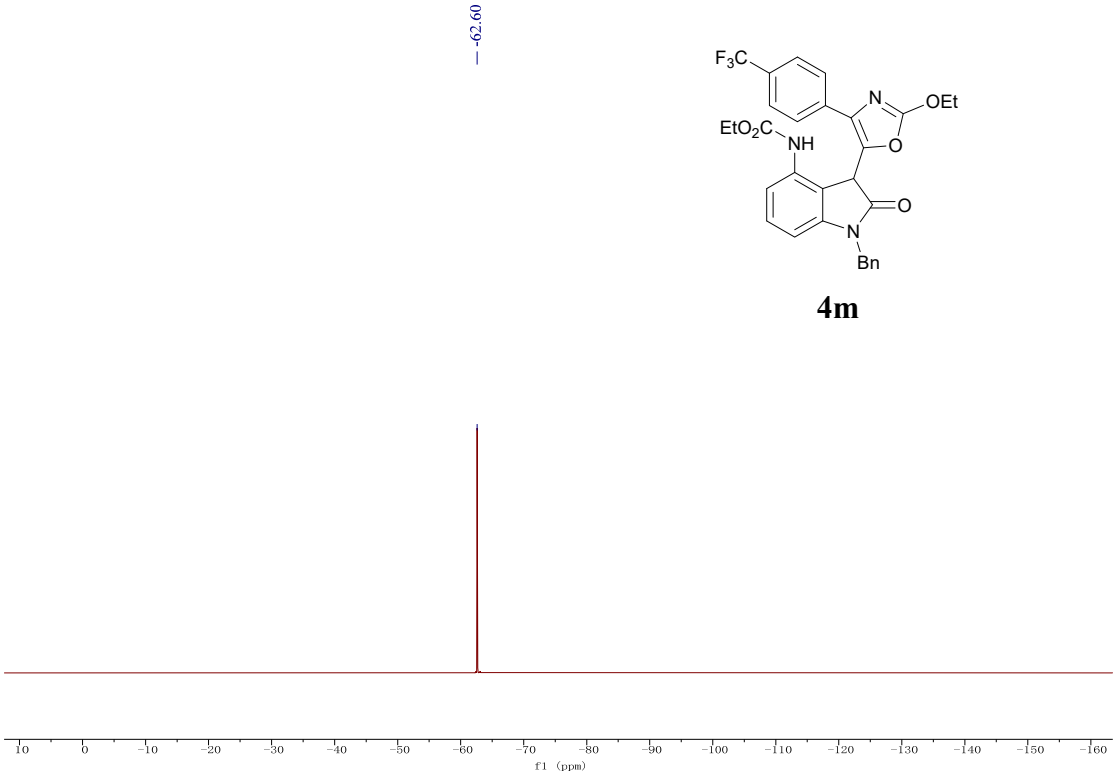
4l


7.92
7.90
7.67
7.65
7.36
7.34
7.33
7.30
7.29
7.28
7.19
7.17
7.15
7.14
7.12
6.59
6.57
6.19
5.22
4.96
4.50
4.48
4.47
4.45
3.91
3.90
3.87
3.85
3.81
3.79
3.78
3.75
3.73
1.44
1.42
1.40
1.11
1.09
1.07
0.00
F3C
EtO2C
NH
N
OEt
O
O
N
Bn
2.07
2.13
5.16
2.07
1.03
1.00
1.00
2.12
2.05
1.03
1.07
3.09
3.17
f1 (ppm)

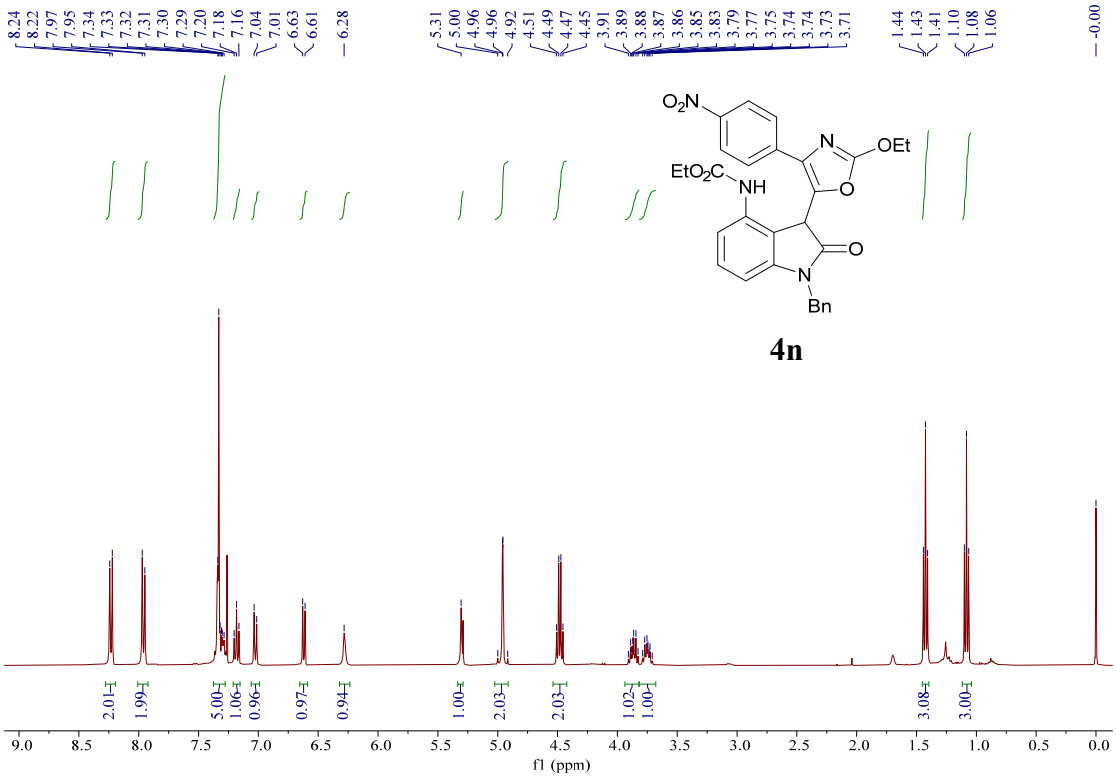
4m


172.89
161.00
152.93
143.92
137.73
135.22
134.64
134.18
130.72
130.40
130.07
129.78
128.86
127.80
127.65
127.26
125.61
125.58
125.54
125.50
125.41
122.71
120.00
116.24
115.70
105.96
67.84
61.41
44.42
43.03
14.27
14.20
F3C
EtO2C
NH
N
OEt
O
O
N
Bn
f1 (ppm)

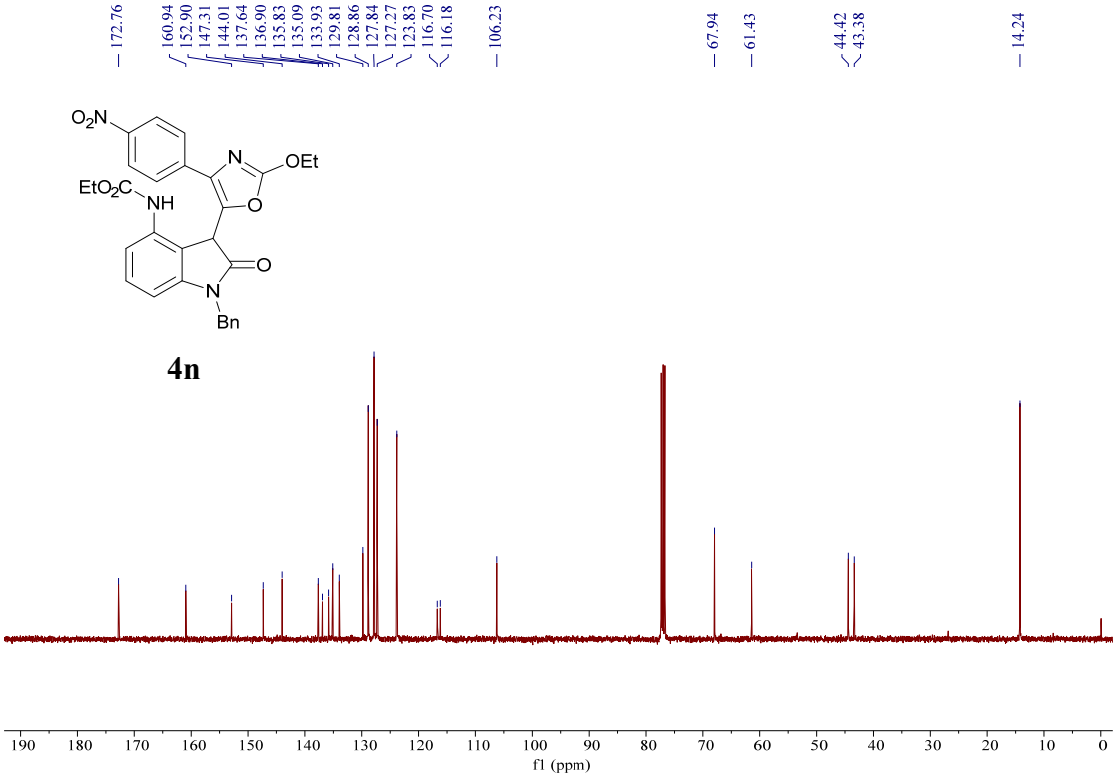
4m


-62.60
F3C
N
OEt
EtO2C
NH
O
O
N
Bn
10
0
−10
−20
−30
−40
−50
−60
−70
−80
−90
−100
−110
−120
−130
−140
−150
−160
f1 (ppm)

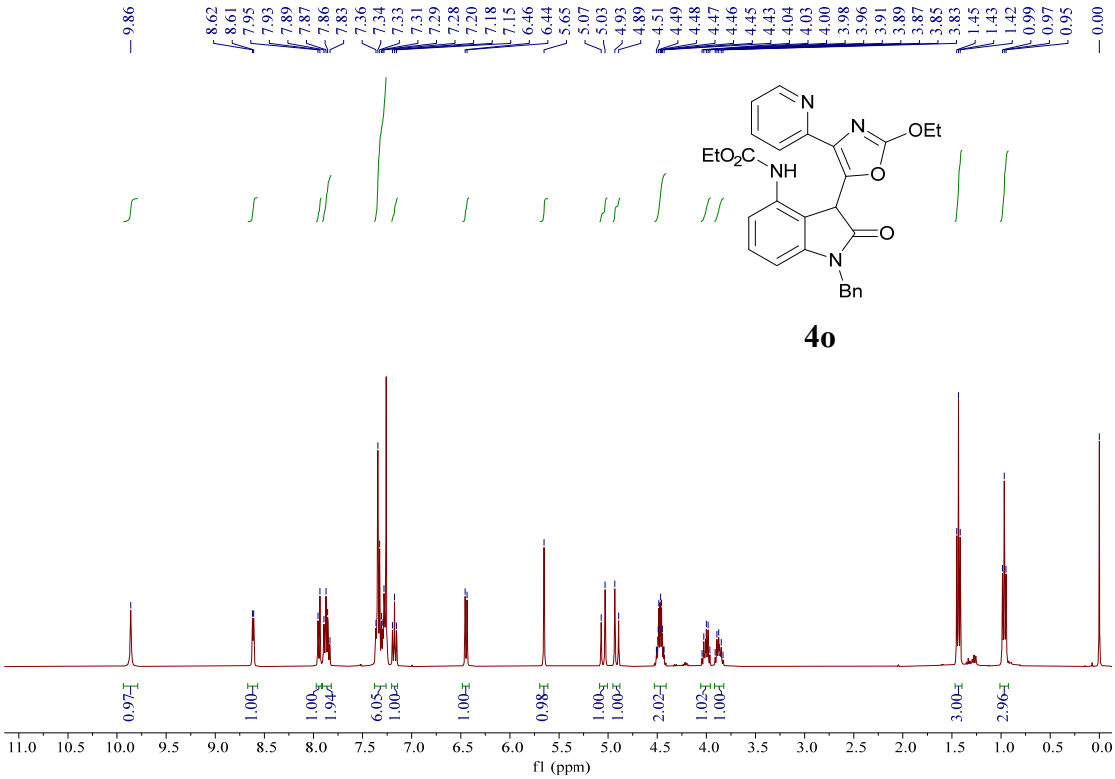
4m


8.24
8.22
7.97
7.95
7.34
7.33
7.32
7.31
7.30
7.29
7.20
7.18
7.16
7.04
7.01
6.63
6.61
6.28
5.31
5.00
4.96
4.96
4.92
4.51
4.49
4.47
4.45
3.91
3.89
3.88
3.87
3.86
3.85
3.83
3.79
3.77
3.75
3.74
3.74
3.73
3.71
1.44
1.43
1.41
1.10
1.08
1.06
0.00
O2N
N
OEt
EtO2C
NH
O
O
N
Bn
2.01
1.99
5.00
1.06
0.96
0.97
0.94
1.00
2.03
2.03
1.02
1.00
3.08
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

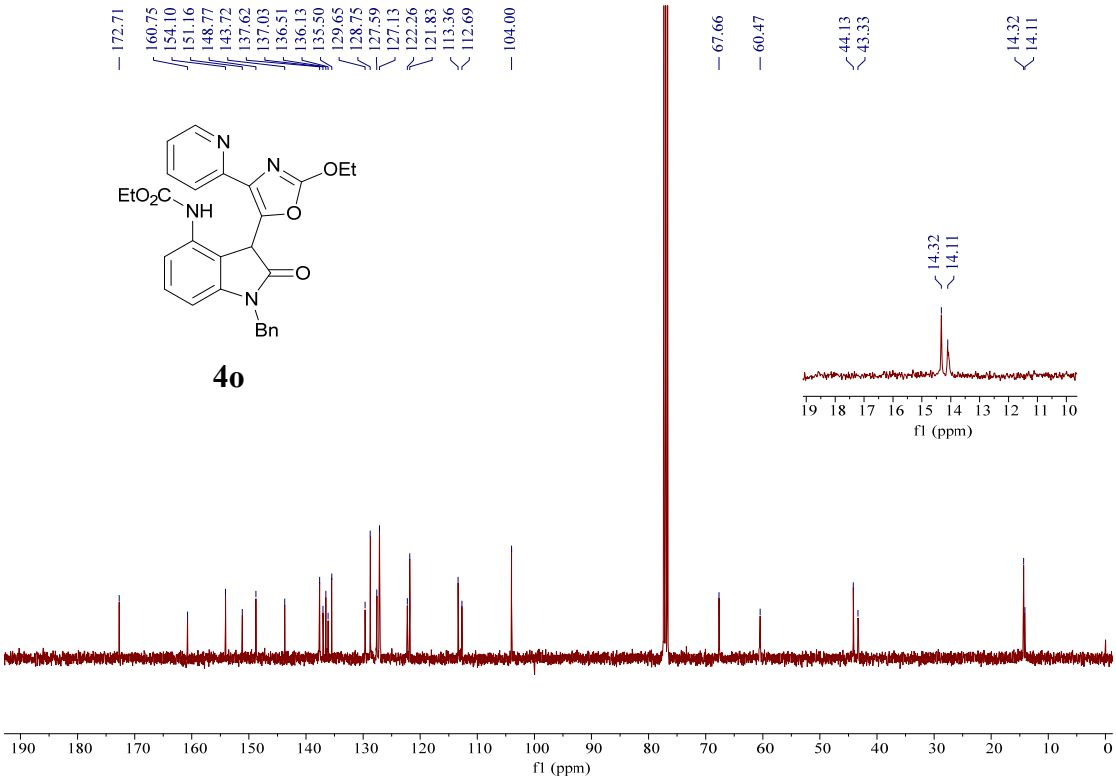
4n


172.76
160.94
152.90
147.31
144.01
137.64
136.90
135.83
135.09
133.93
129.81
128.86
127.84
127.27
123.83
116.70
116.18
106.23
67.94
61.43
44.42
43.38
14.24
O2N
N
OEt
EtO2C
NH
O
O
N
Bn
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

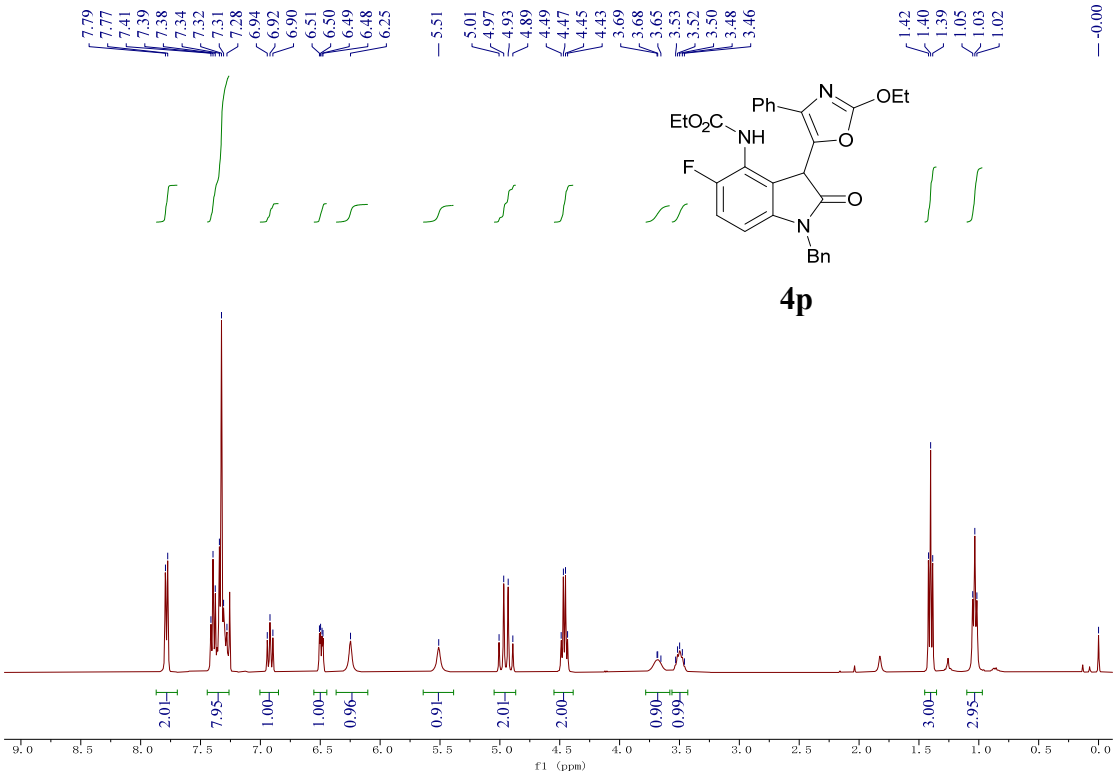
4n


9.86
8.62
8.61
7.95
7.93
7.89
7.87
7.86
7.83
7.36
7.34
7.33
7.31
7.29
7.28
7.20
7.18
7.15
6.46
6.44
5.65
5.07
5.03
4.93
4.89
4.51
4.49
4.48
4.47
4.46
4.45
4.43
4.04
4.03
4.00
3.98
3.96
3.91
3.89
3.87
3.85
3.83
1.45
1.43
1.42
0.99
0.97
0.95
0.00
N
N
OEt
EtO2C
NH
O
O
N
Bn
0.97
1.00
1.00
1.94
6.05
1.00
1.00
0.98
1.00
1.00
2.02
1.02
1.00
3.00
2.96
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

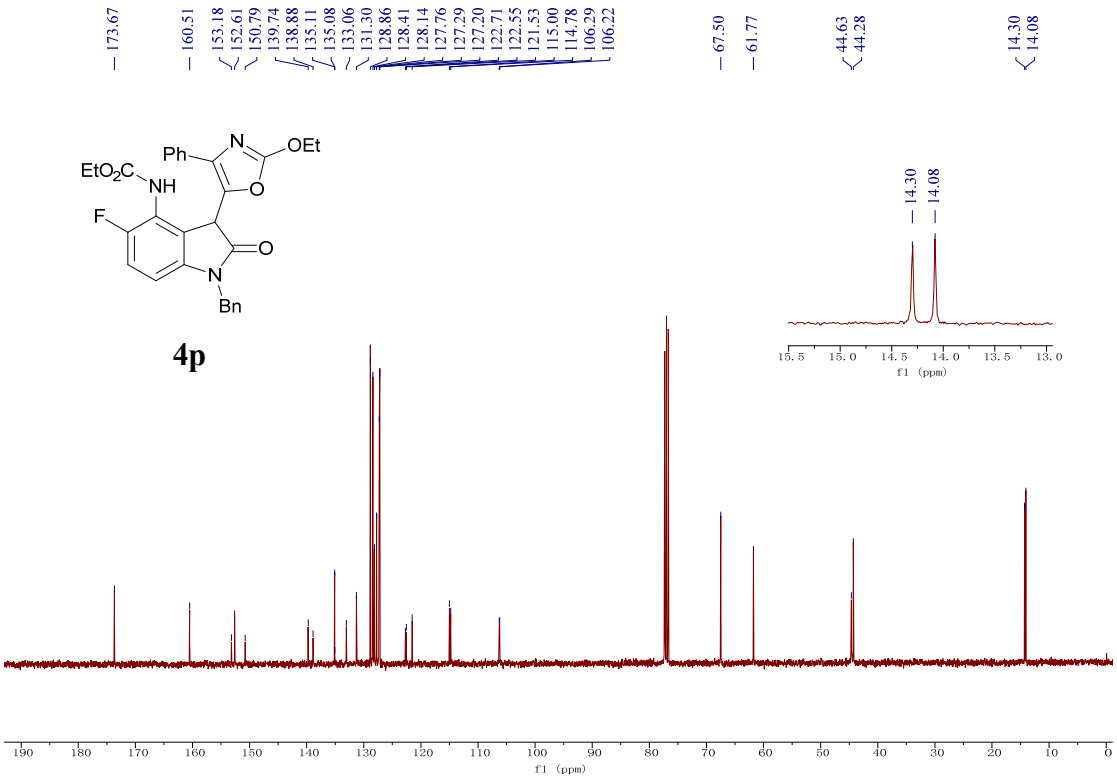
4o


172.71
160.75
154.10
151.16
148.77
143.72
137.62
137.03
136.51
136.13
135.50
129.65
128.75
127.59
127.13
122.26
121.83
113.36
112.69
104.00
67.66
60.47
44.13
43.33
14.32
14.11
N
N
OEt
EtO2C
NH
O
O
N
Bn
14.32
14.11
19 18 17 16 15 14 13 12 11 10
f1 (ppm)
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

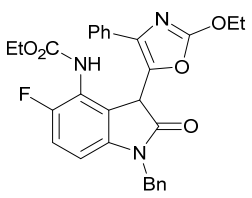
4o


7.79
7.77
7.41
7.39
7.38
7.34
7.32
7.31
7.28
6.94
6.92
6.90
6.51
6.50
6.49
6.48
6.25
5.51
5.01
4.97
4.93
4.89
4.49
4.47
4.45
4.43
3.69
3.68
3.65
3.53
3.52
3.50
3.48
3.46
1.42
1.40
1.39
1.05
1.03
1.02
0.00
Ph
N
OEt
EtO2C
NH
O
F
O
N
Bn
2.01
7.95
1.00
1.00
0.96
0.91
2.01
2.00
0.90
0.99
3.00
2.95
f1 (ppm)

4p

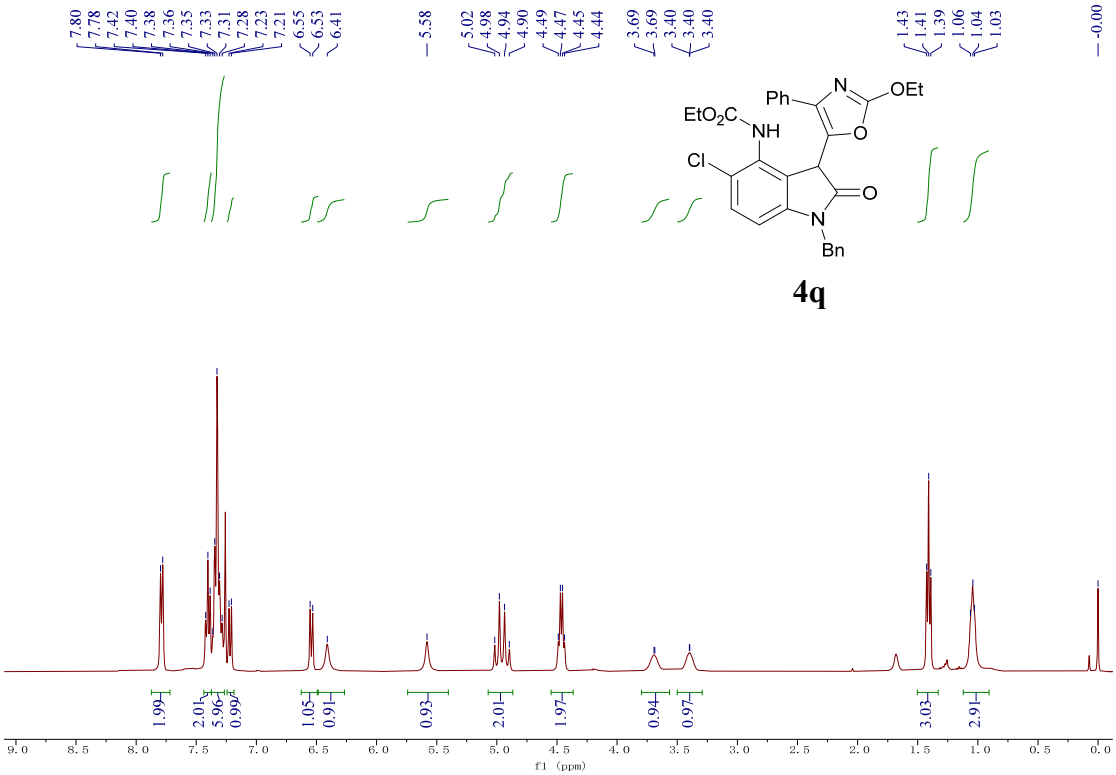

173.67
160.51
153.18
152.61
150.79
139.74
138.88
135.11
135.08
133.06
131.30
128.86
128.41
128.14
127.76
127.29
127.20
122.71
122.55
121.53
115.00
114.78
106.29
106.22
67.50
61.77
44.63
44.28
14.30
14.08
Ph
N
OEt
EtO2C
NH
O
F
O
N
Bn
f1 (ppm)

4p

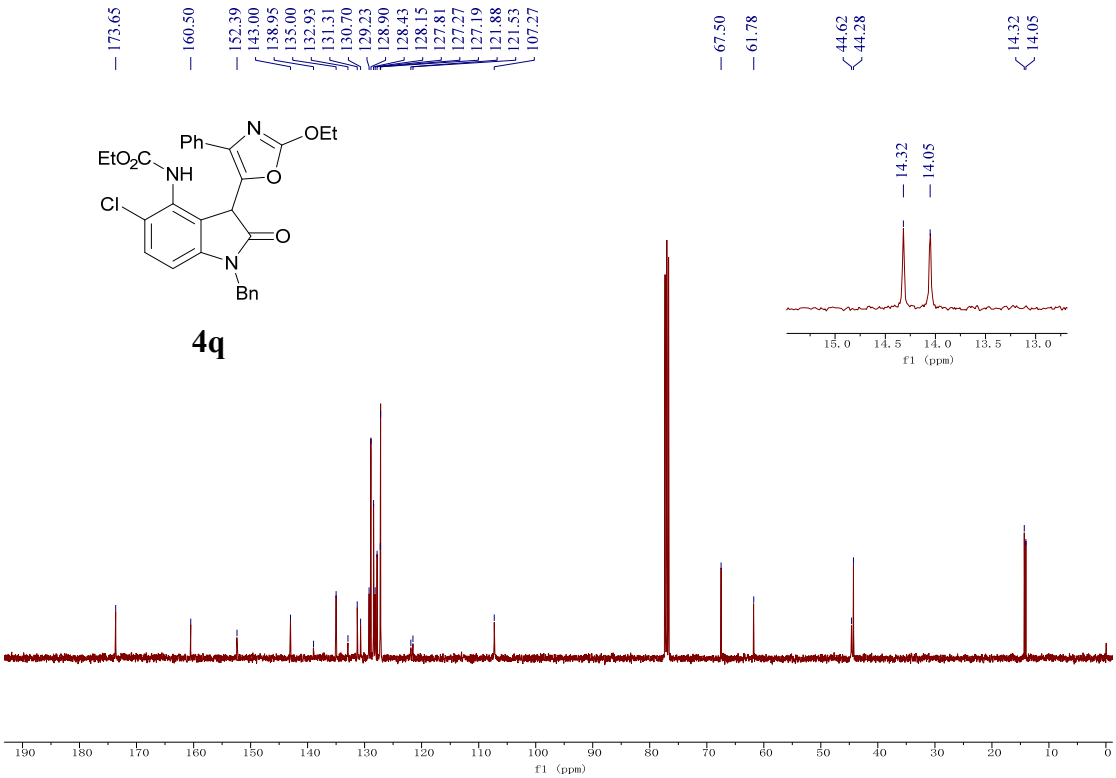

Ph
N
OEt
EtO2C
NH
O
F
O
N
Bn

4p

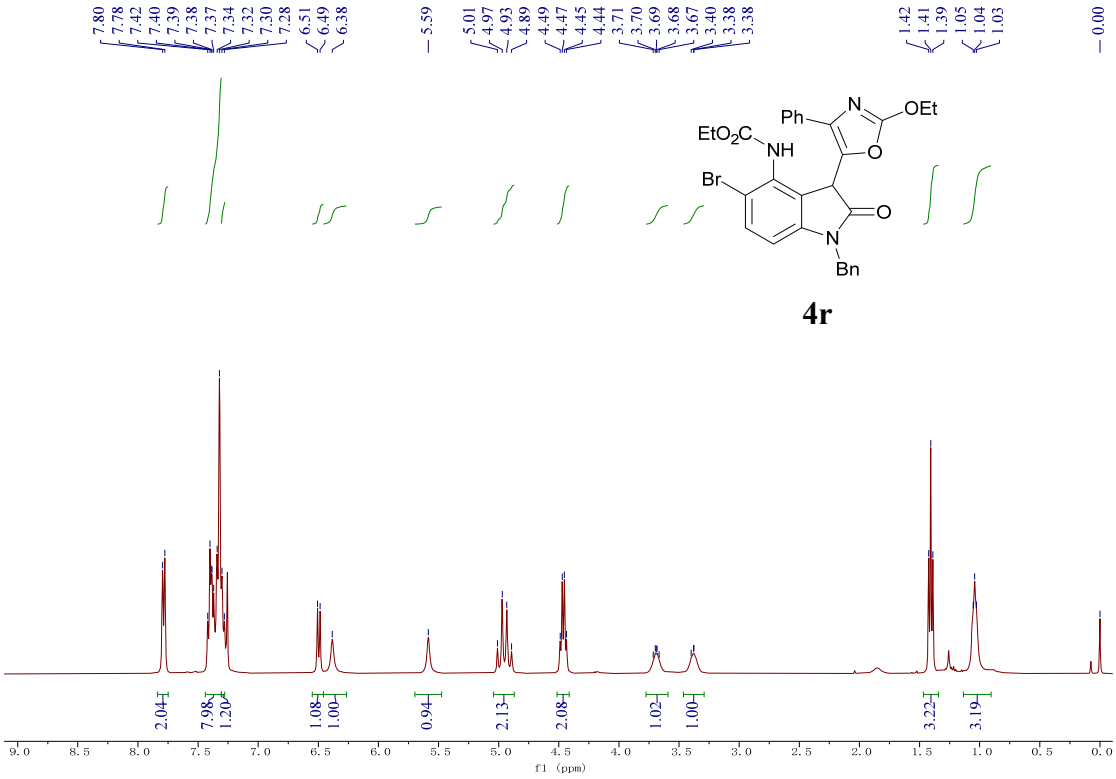
-134.56
−80
−85
−90
−95
−100
−105
−110
−115
−120
−125
−130
−135
−140
−145
−150
−155
−160
−165
−170
f1 (ppm)


7.80
7.78
7.42
7.40
7.38
7.36
7.35
7.33
7.31
7.28
7.23
7.21
6.55
6.53
6.41
5.58
5.02
4.98
4.94
4.90
4.49
4.47
4.45
4.44
3.69
3.69
3.40
3.40
3.40
1.43
1.41
1.39
1.06
1.04
1.03
-0.00
Ph
N
OEt
EtO2C
NH
O
Cl
O
N
Bn
1.99
2.01
5.96
0.99
1.05
0.91
0.93
2.01
1.97
0.94
0.97
3.03
2.91
f1 (ppm)

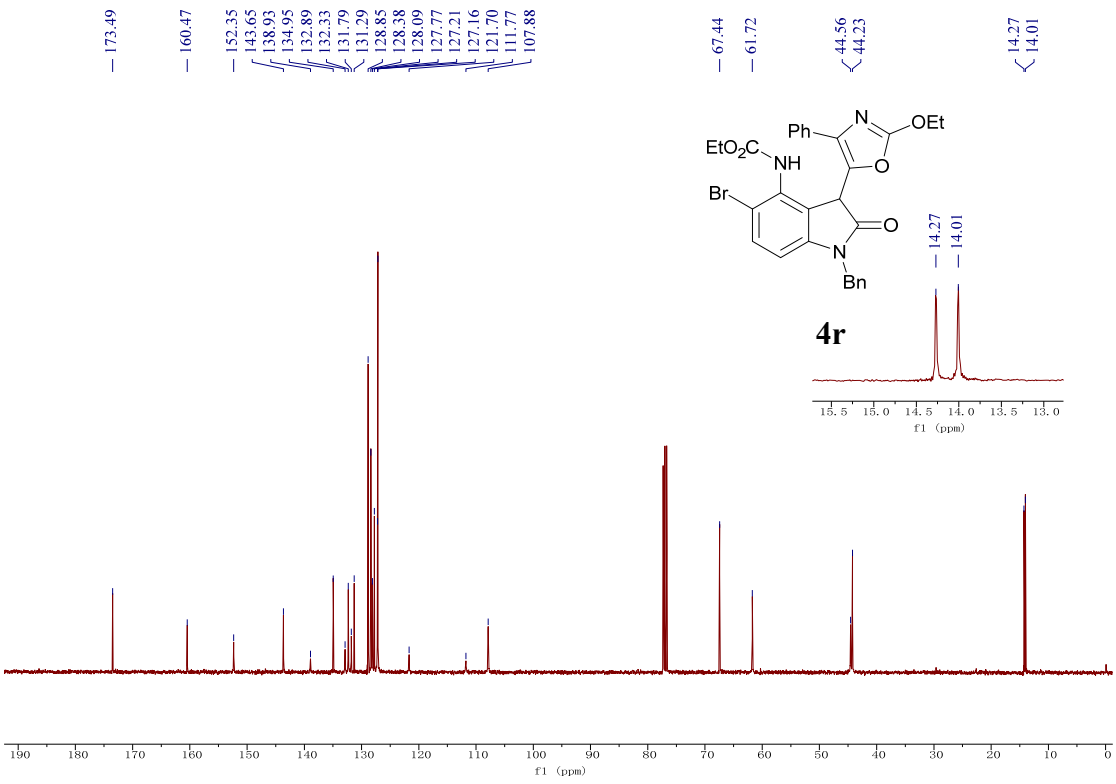
4q


173.65
160.50
152.39
143.00
138.95
135.00
132.93
131.31
130.70
129.23
128.90
128.43
128.15
127.81
127.27
127.19
121.88
121.53
107.27
67.50
61.78
44.62
44.28
14.32
14.05
Ph
N
OEt
EtO2C
NH
O
Cl
O
N
Bn
f1 (ppm)
f1 (ppm)

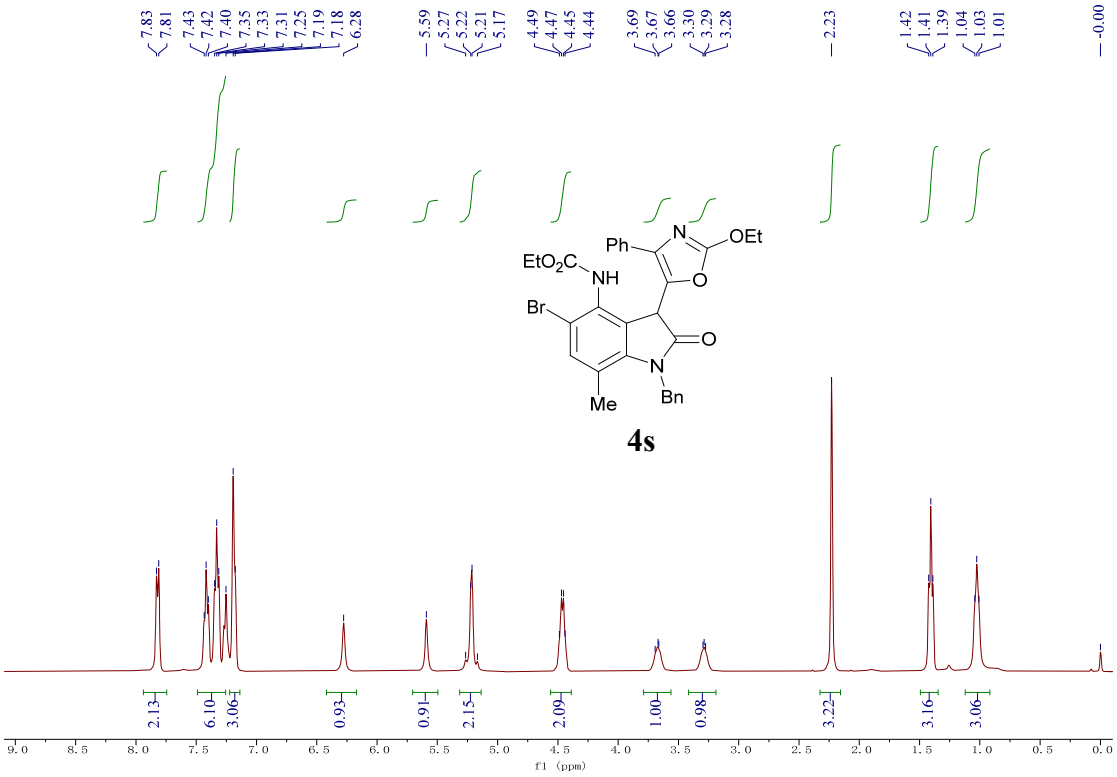
4q


7.80
7.78
7.42
7.40
7.39
7.38
7.37
7.34
7.32
7.30
7.28
6.51
6.49
6.38
5.59
5.01
4.97
4.93
4.89
4.49
4.47
4.45
4.44
3.71
3.70
3.69
3.68
3.67
3.40
3.38
3.38
1.42
1.41
1.39
1.05
1.04
1.03
0.00
Ph
N
OEt
EtO2C
NH
O
Br
O
N
Bn
2.04
7.98
1.20
1.08
1.00
0.94
2.13
2.08
1.02
1.00
3.22
3.19
f1 (ppm)

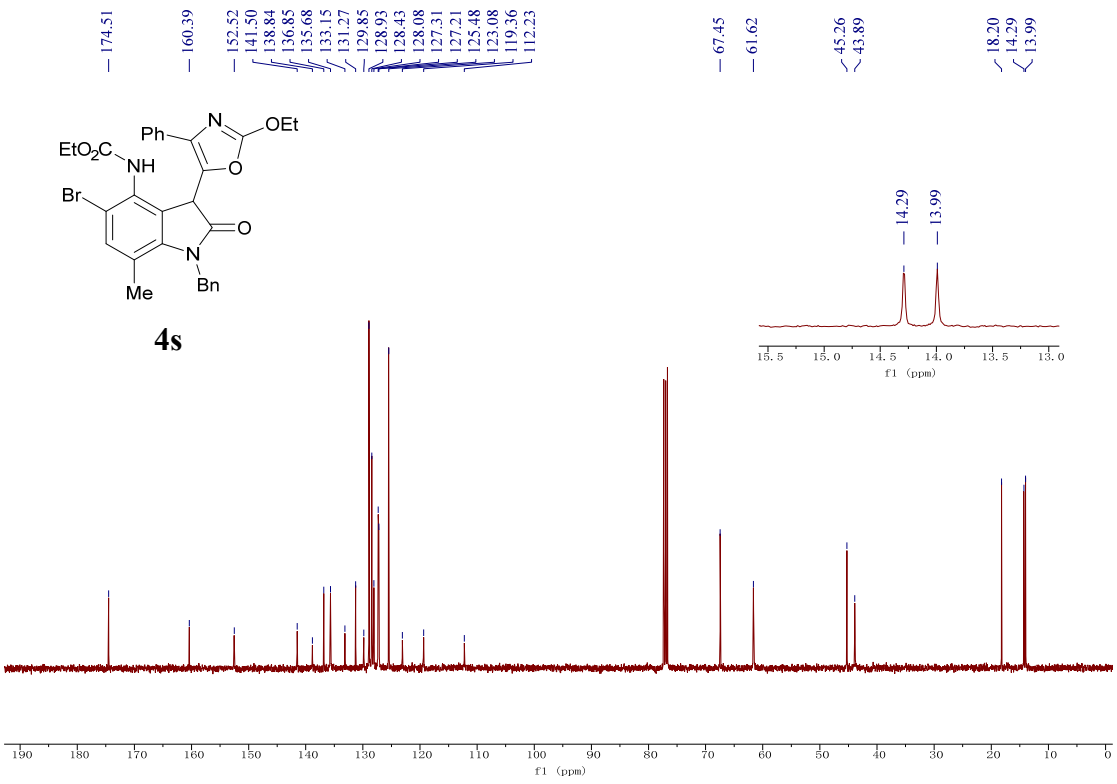
4r


173.49
160.47
152.35
143.65
138.93
134.95
132.89
132.33
131.79
131.29
128.85
128.38
128.09
127.77
127.21
127.16
121.70
111.77
107.88
67.44
61.72
44.56
44.23
14.27
14.01
Ph
N
OEt
EtO2C
NH
O
Br
O
N
Bn
f1 (ppm)

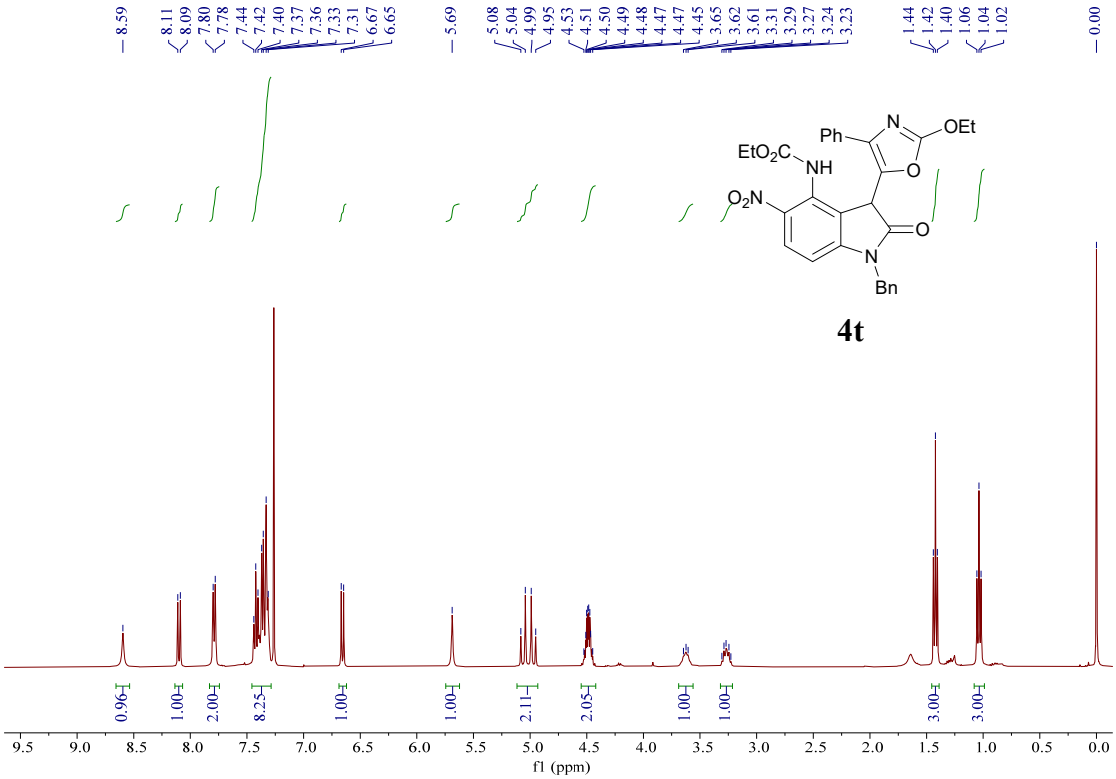
4r


7.83
7.81
7.43
7.42
7.40
7.35
7.33
7.31
7.25
7.19
7.18
6.28
5.59
5.27
5.22
5.21
5.17
4.49
4.47
4.45
4.44
3.69
3.67
3.66
3.30
3.29
3.28
2.23
1.42
1.41
1.39
1.04
1.03
1.01
-0.00
Ph
N
OEt
EtO2C
NH
O
Br
O
N
Me
Bn
2.13
6.10
3.06
0.93
0.91
2.15
2.09
1.00
0.98
3.22
3.16
3.06
f1 (ppm)

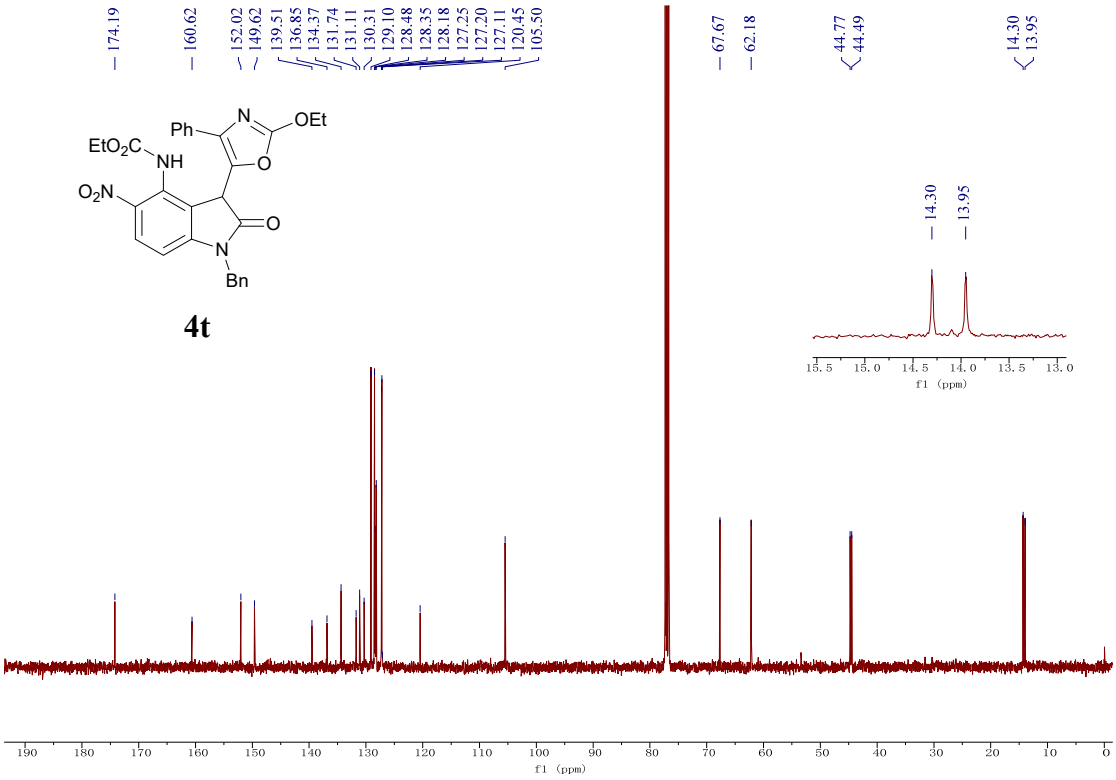
4s


174.51
160.39
152.52
141.50
138.84
136.85
135.68
133.15
131.27
129.85
128.93
128.43
128.08
127.31
127.21
125.48
123.08
119.36
112.23
67.45
61.62
45.26
43.89
18.20
14.29
13.99
Ph
N
OEt
EtO2C
NH
O
Br
O
N
Me
Bn
f1 (ppm)

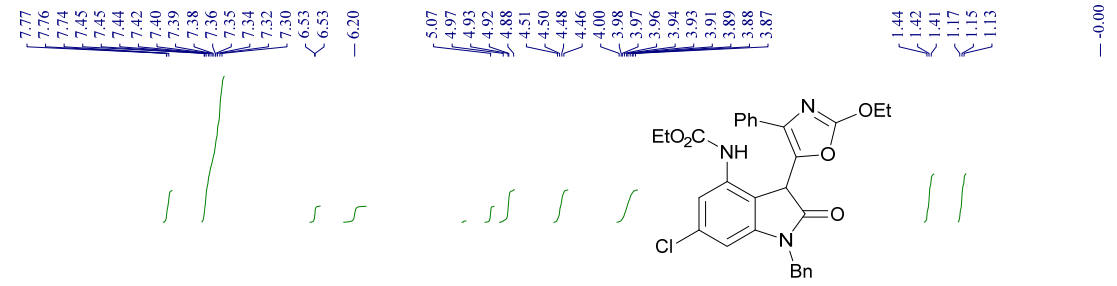
4s


8.59
8.11
8.09
7.80
7.78
7.44
7.42
7.40
7.37
7.36
7.33
7.31
6.67
6.65
5.69
5.08
5.04
4.99
4.95
4.53
4.51
4.50
4.49
4.48
4.47
4.47
4.45
3.65
3.62
3.61
3.31
3.29
3.27
3.24
3.23
1.44
1.42
1.40
1.06
1.04
1.02
0.00
Ph
N
OEt
EtO2C
NH
O
O2N
O
N
Bn
0.96
1.00
2.00
8.25
1.00
1.00
2.11
2.05
1.00
1.00
3.00
3.00
f1 (ppm)

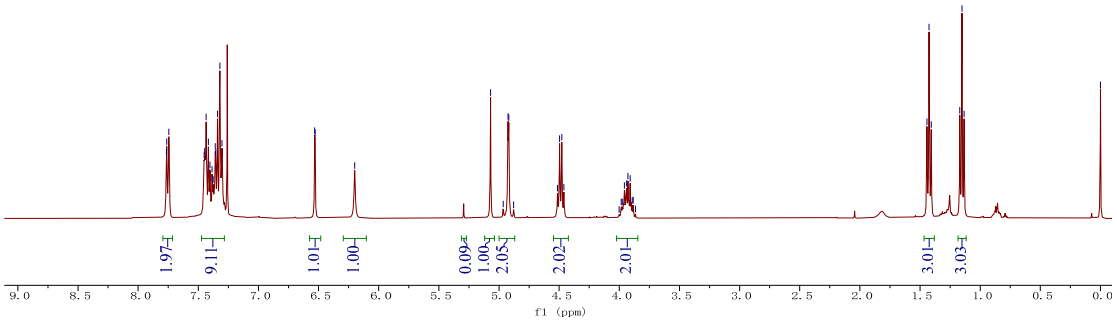
4t

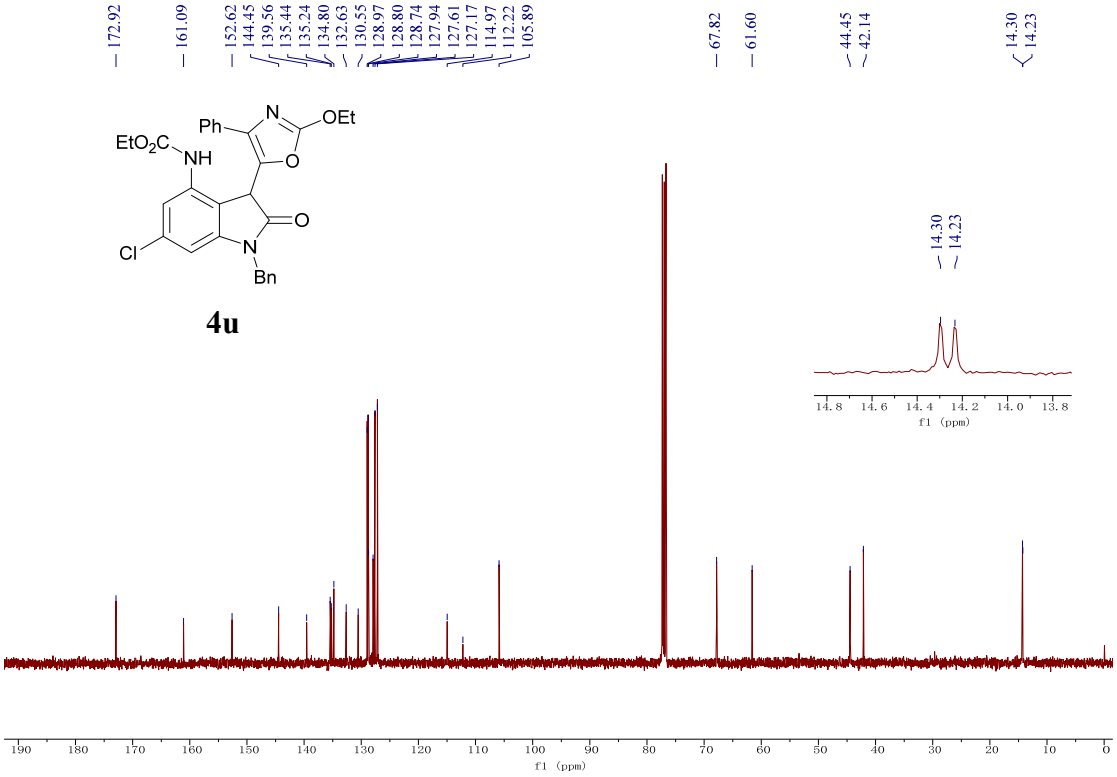

174.19
160.62
152.02
149.62
139.51
136.85
134.37
131.74
131.11
130.31
129.10
128.48
128.35
128.18
127.25
127.20
127.11
120.45
105.50
67.67
62.18
44.77
44.49
14.30
13.95
Ph
N
OEt
EtO2C
NH
O
O2N
O
N
Bn
f1 (ppm)

4t

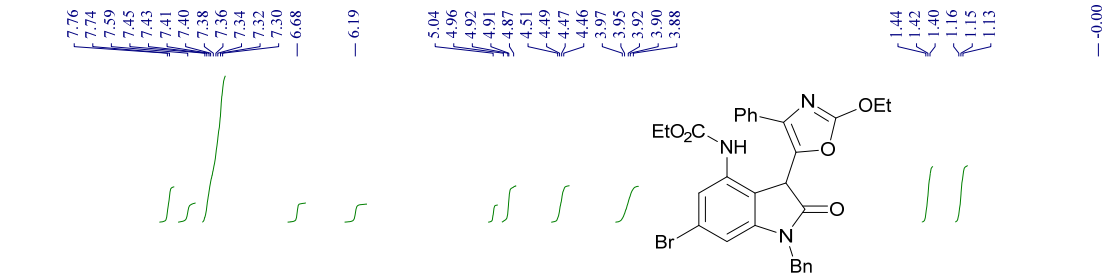

7.77
7.76
7.74
7.45
7.45
7.44
7.42
7.40
7.39
7.38
7.36
7.35
7.34
7.32
7.30
6.53
6.53
6.20
5.07
4.97
4.93
4.92
4.88
4.51
4.50
4.48
4.46
4.00
3.98
3.97
3.96
3.94
3.93
3.91
3.89
3.88
3.87
1.44
1.42
1.41
1.17
1.15
1.13
0.00
Ph
N
OEt
EtO2C
NH
O
O
Cl
N
Bn

4u

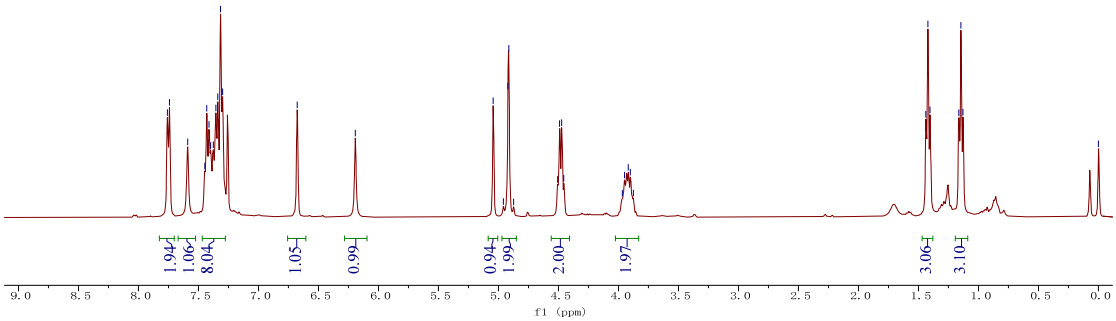

1.97
9.11
1.01
1.00
0.09
1.00
2.05
2.02
2.01
3.01
3.03
f1 (ppm)

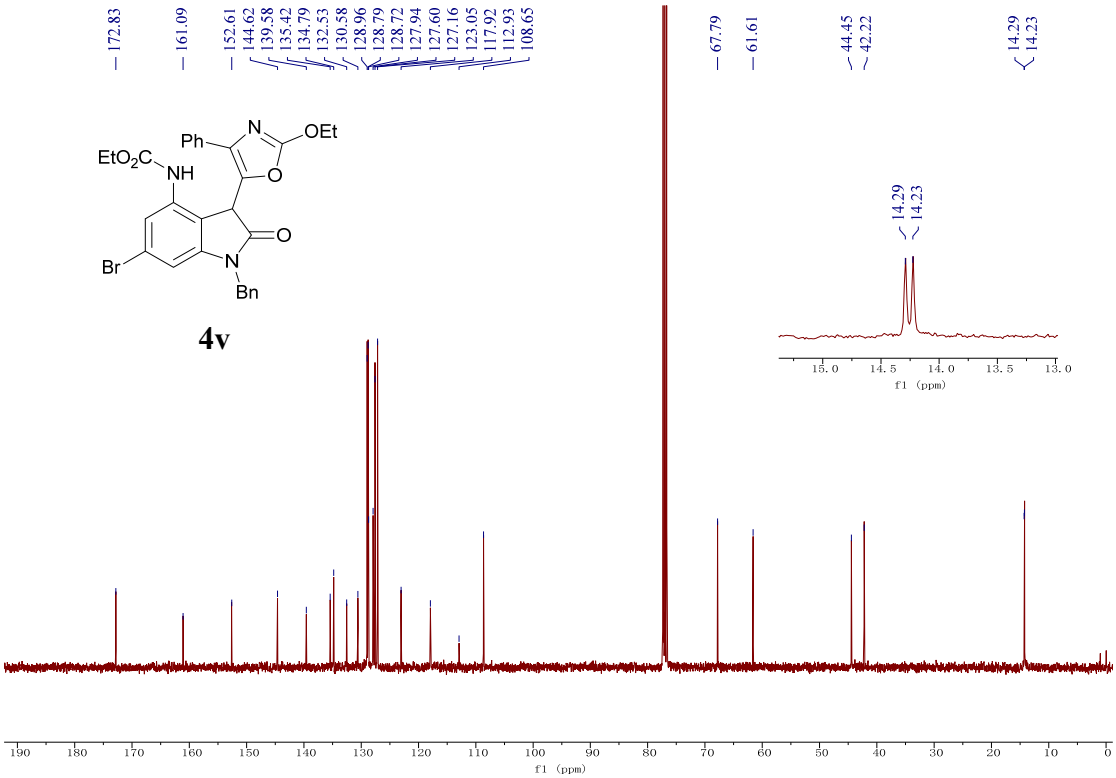

172.92
161.09
152.62
144.45
139.56
135.44
135.24
134.80
132.63
130.55
128.97
128.80
128.74
127.94
127.61
127.17
114.97
112.22
105.89
67.82
61.60
44.45
42.14
14.30
14.23
Ph
N
OEt
EtO2C
NH
O
O
Cl
N
Bn
f1 (ppm)

4u

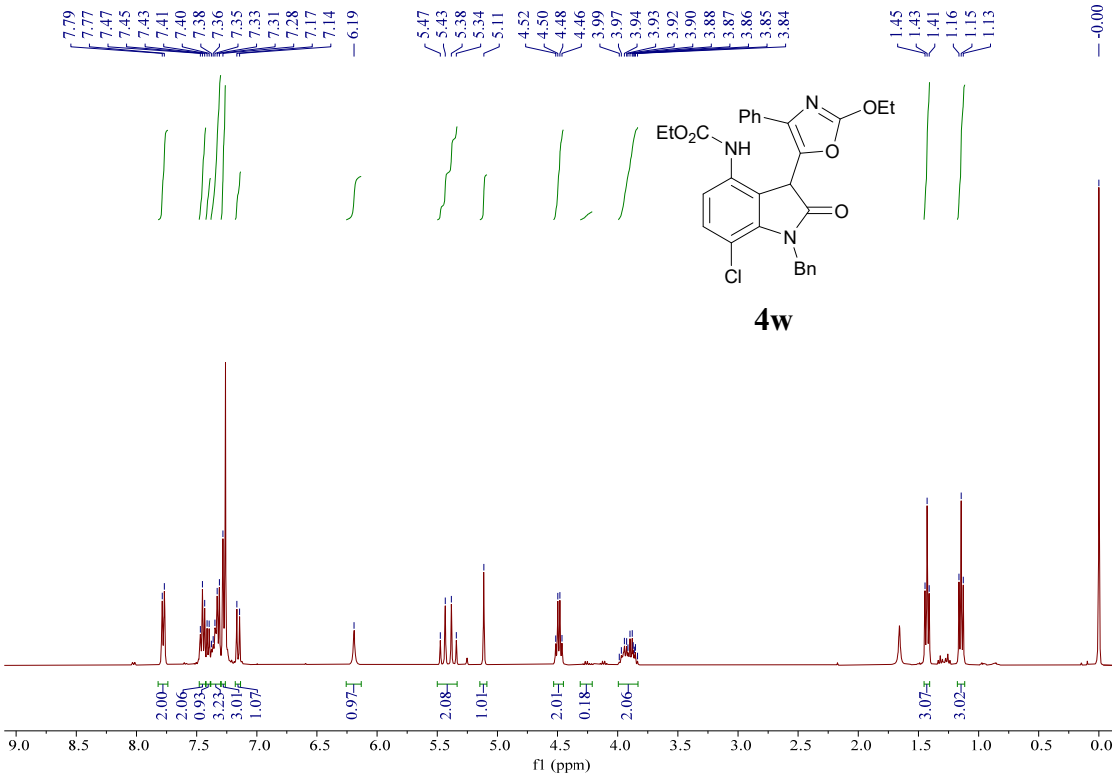

7.76
7.74
7.59
7.45
7.43
7.41
7.40
7.38
7.36
7.34
7.32
7.30
6.68
6.19
5.04
4.96
4.92
4.91
4.87
4.51
4.49
4.47
4.46
3.97
3.95
3.92
3.90
3.88
1.44
1.42
1.40
1.16
1.15
1.13
-0.00
Ph
N
OEt
EtO2C
NH
O
O
Br
N
Bn

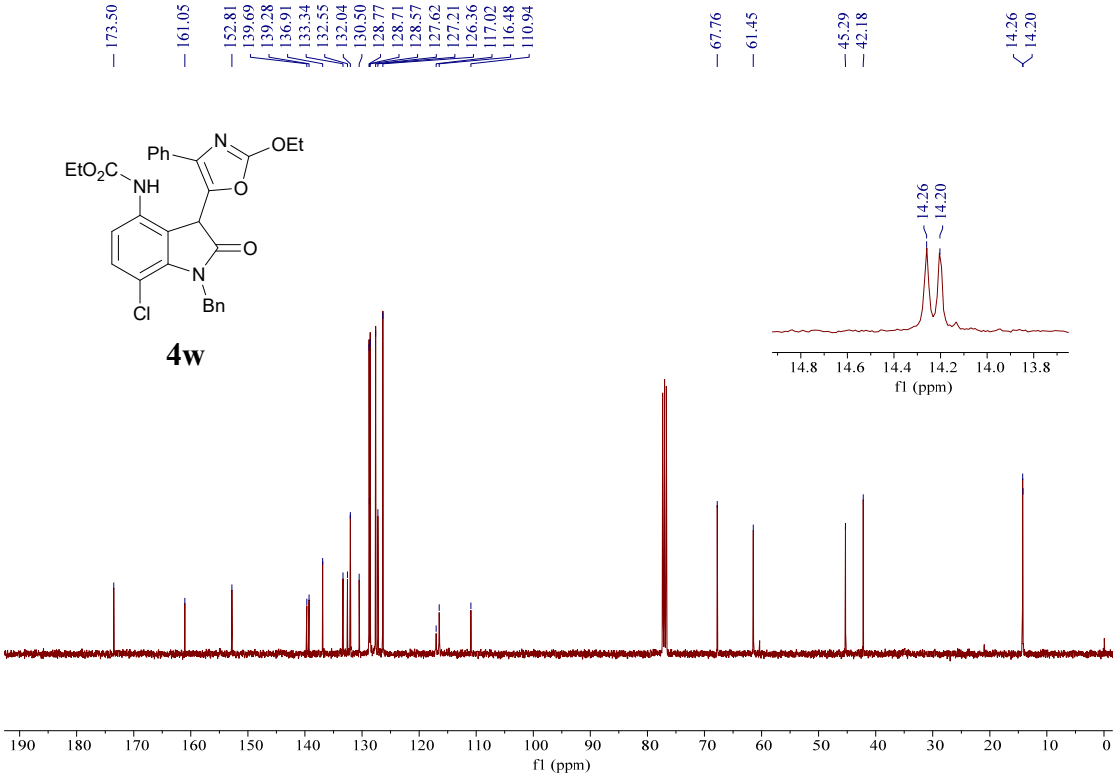
4v

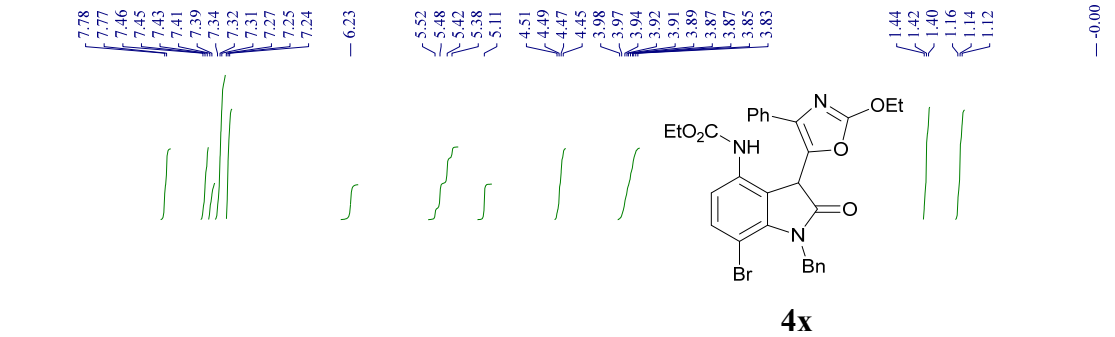

1.94
1.06
8.04
1.05
0.99
0.94
1.99
2.00
1.97
3.06
3.10
f1 (ppm)


172.83
161.09
152.61
144.62
139.58
135.42
134.79
132.53
130.58
128.96
128.79
128.72
127.94
127.60
127.16
123.05
117.92
112.93
108.65
67.79
61.61
44.45
42.22
14.29
14.23
Ph
N
OEt
EtO2C
NH
O
O
Br
N
Bn
f1 (ppm)

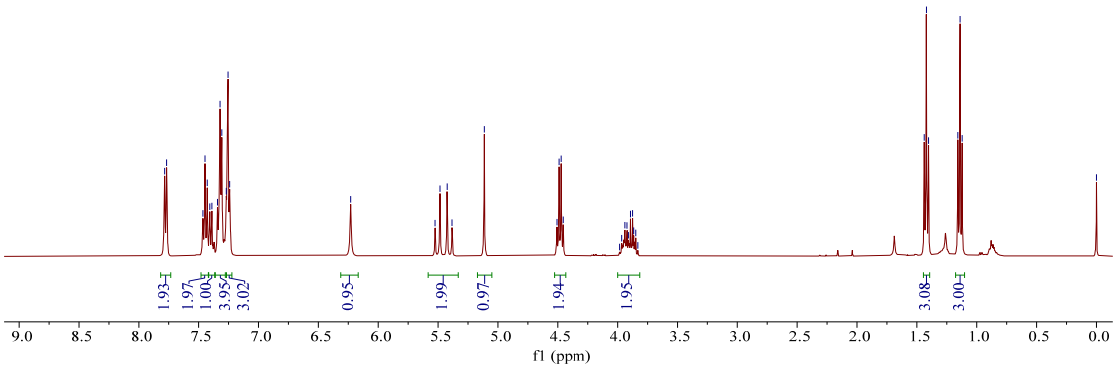
4v

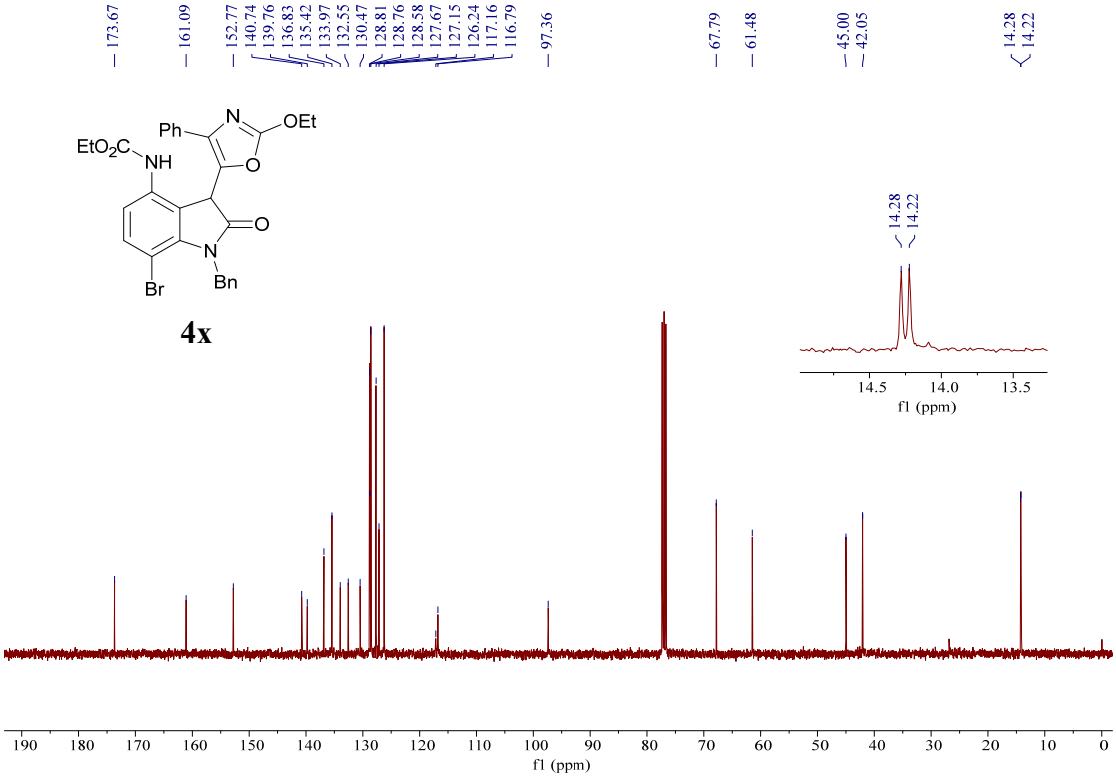

7.79
7.77
7.47
7.45
7.43
7.41
7.40
7.38
7.36
7.35
7.33
7.31
7.28
7.17
7.14
6.19
5.47
5.43
5.38
5.34
5.11
4.52
4.50
4.48
4.46
3.99
3.97
3.94
3.93
3.92
3.90
3.88
3.87
3.86
3.85
3.84
1.45
1.43
1.41
1.16
1.15
1.13
0.00
Ph
N
OEt
EtO2C
NH
O
O
N
Bn
Cl
4w
2.00
2.06
0.93
3.23
3.01
1.07
0.97
2.08
1.01
2.01
0.18
2.06
3.07
3.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


173.50
161.05
152.81
139.69
139.28
136.91
133.34
132.55
132.04
130.50
128.77
128.71
128.57
127.62
127.21
126.36
117.02
116.48
110.94
67.76
61.45
45.29
42.18
14.26
14.20
Ph
N
OEt
EtO2C
NH
O
O
N
Bn
Cl
4w
14.8 14.6 14.4 14.2 14.0 13.8
f1 (ppm)
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

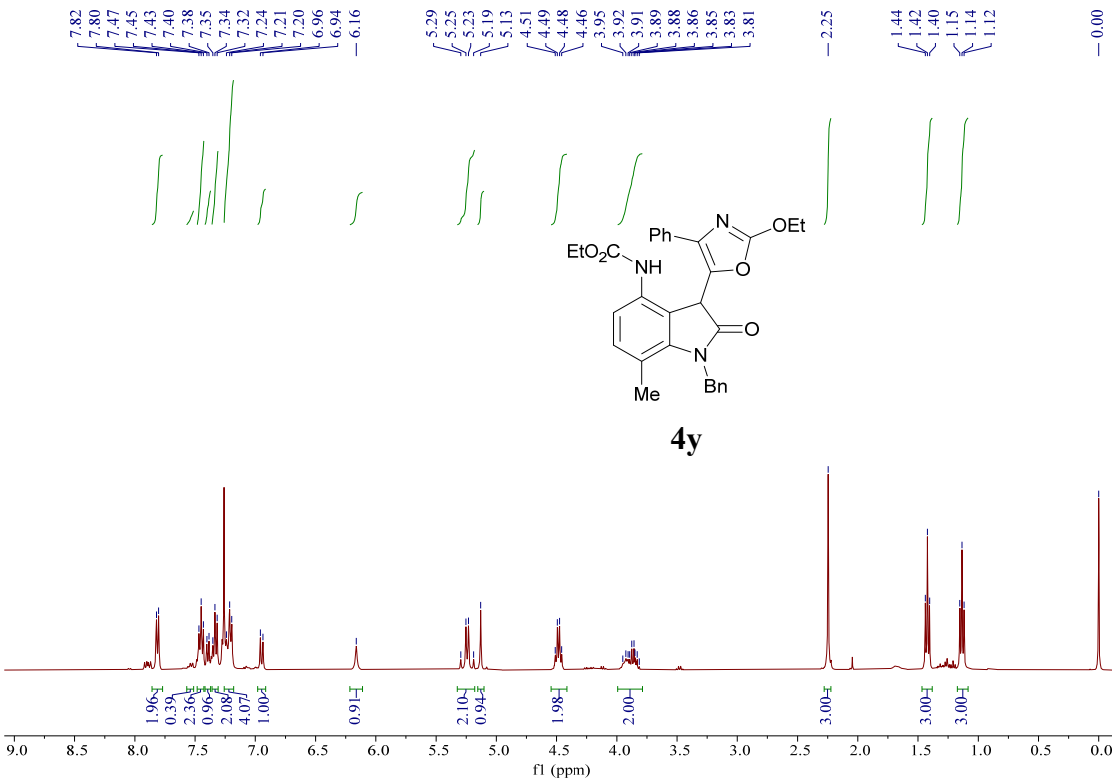

7.78
7.77
7.46
7.45
7.43
7.41
7.39
7.34
7.32
7.31
7.27
7.25
7.24
6.23
5.52
5.48
5.42
5.38
5.11
4.51
4.49
4.47
4.45
3.98
3.97
3.94
3.92
3.91
3.89
3.87
3.87
3.85
3.83
1.44
1.42
1.40
1.16
1.14
1.12
0.00
Ph
N
OEt
EtO2C
NH
O
O
N
Bn
Br

4x

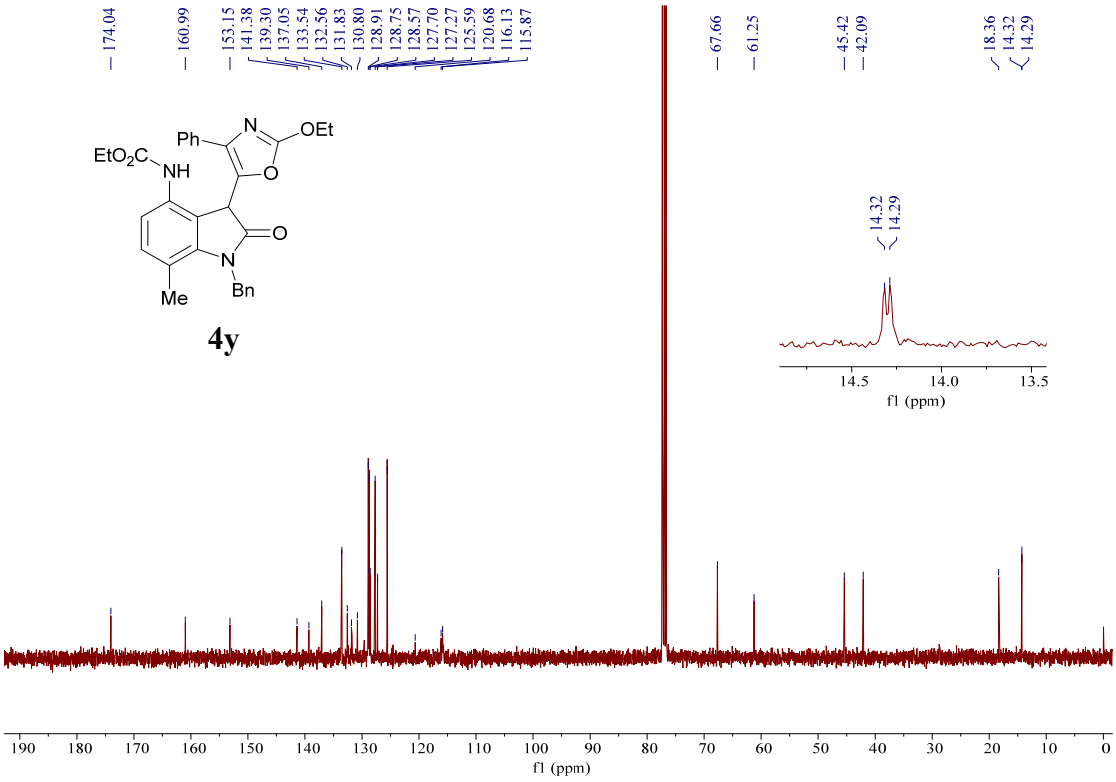

1.93
1.97
1.00
3.95
3.02
0.95
1.99
0.97
1.94
1.95
3.08
3.00
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


173.67
161.09
152.77
140.74
139.76
136.83
135.42
133.97
132.55
130.47
128.81
128.76
128.58
127.67
127.15
126.24
117.16
116.79
97.36
67.79
61.48
45.00
42.05
14.28
14.22
Ph
N
OEt
EtO2C
NH
O
O
N
Bn
Br
14.28
14.22
14.5
14.0
13.5
f1 (ppm)
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

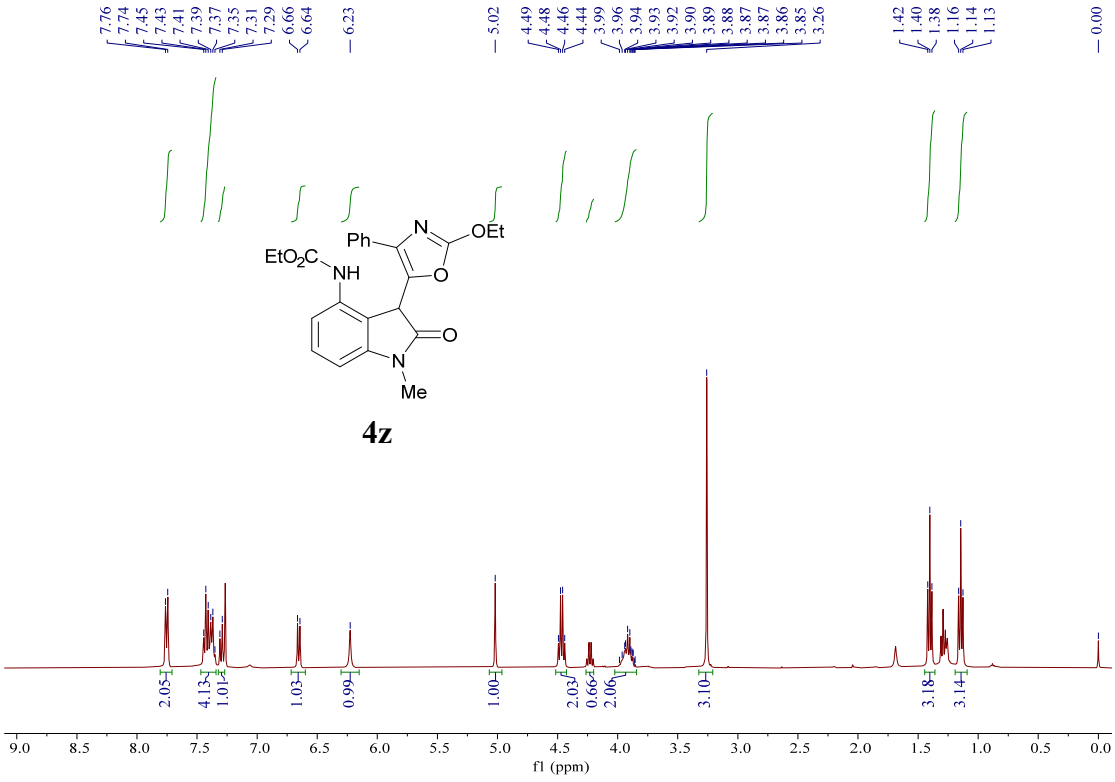
4x


7.82
7.80
7.47
7.45
7.43
7.40
7.38
7.35
7.34
7.32
7.24
7.21
7.20
6.96
6.94
6.16
5.29
5.25
5.23
5.19
5.13
4.51
4.49
4.48
4.46
3.95
3.92
3.91
3.89
3.88
3.86
3.85
3.83
3.81
2.25
1.44
1.42
1.40
1.15
1.14
1.12
0.00
Ph
N
OEt
EtO2C
NH
O
O
N
Bn
Me
1.96
0.39
2.36
0.96
2.08
4.07
1.00
0.91
2.10
0.94
1.98
2.00
3.00
3.00
3.00
f1 (ppm)

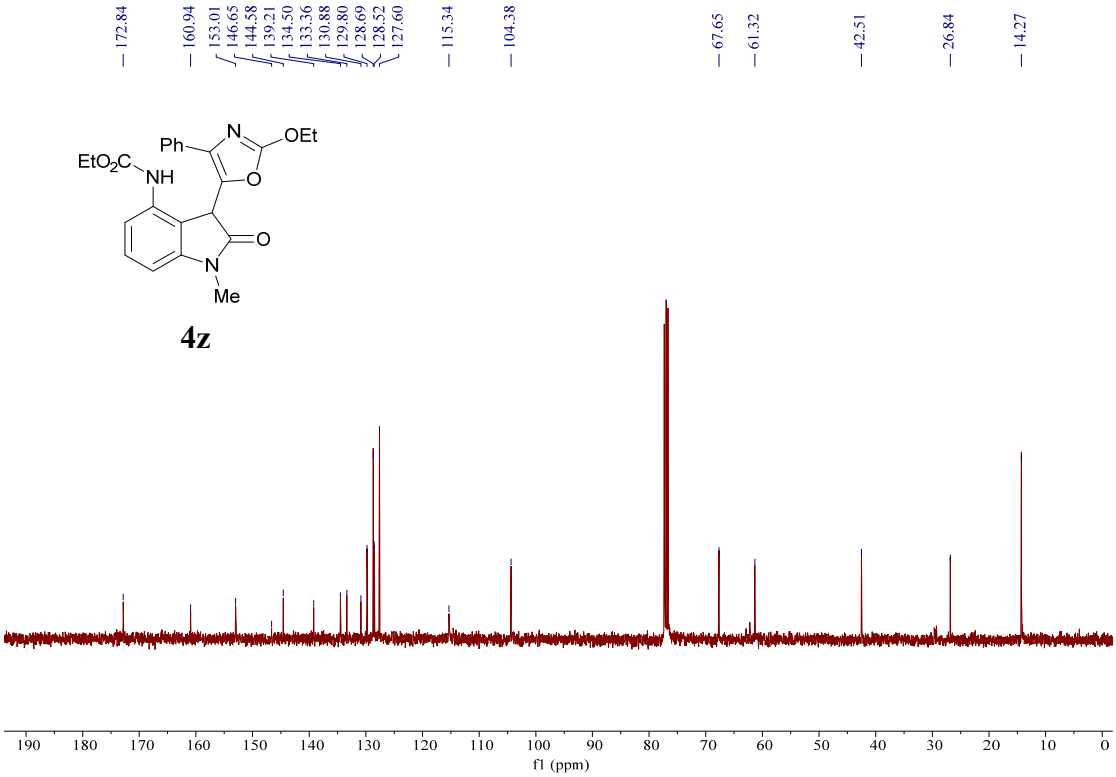
4y


174.04
160.99
153.15
141.38
139.30
137.05
133.54
132.56
131.83
130.80
128.91
128.75
128.57
127.70
127.27
125.59
120.68
116.13
115.87
67.66
61.25
45.42
42.09
18.36
14.32
14.29
Ph
N
OEt
EtO2C
NH
O
O
N
Bn
Me
14.32
14.29
f1 (ppm)
f1 (ppm)

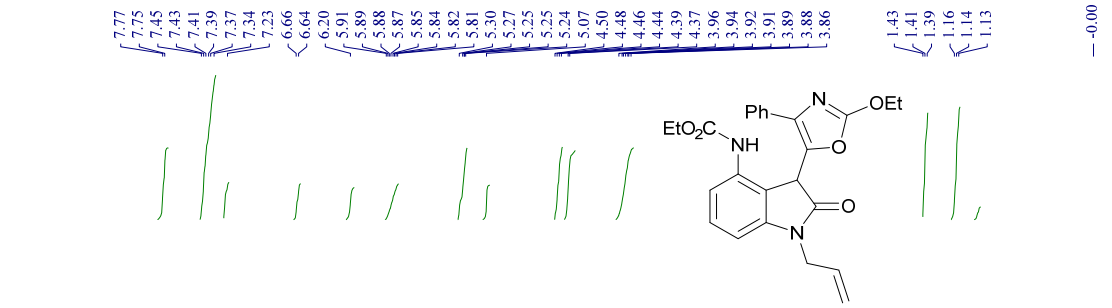
4y


7.76
7.74
7.45
7.43
7.41
7.39
7.37
7.35
7.31
7.29
6.66
6.64
6.23
5.02
4.49
4.48
4.46
4.44
3.99
3.96
3.94
3.93
3.92
3.90
3.89
3.88
3.87
3.87
3.86
3.85
3.26
1.42
1.40
1.38
1.16
1.14
1.13
0.00
Ph
N
OEt
EtO2C
NH
O
O
N
Me
2.05
4.13
1.01
1.03
0.99
1.00
2.03
0.66
2.06
3.10
3.18
3.14
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

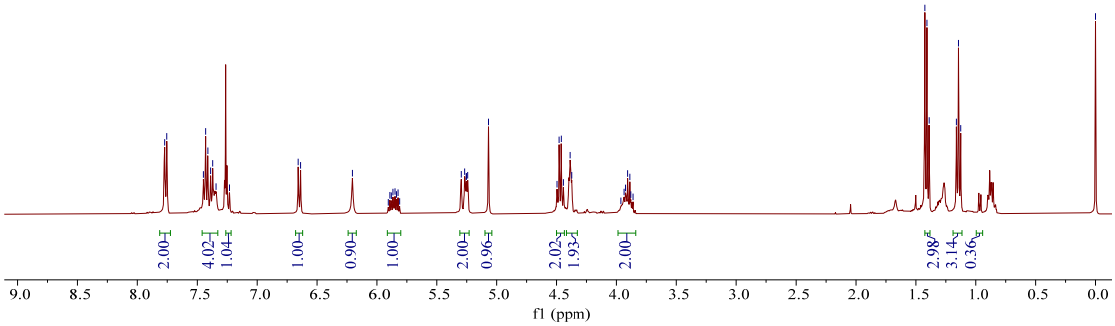
4z

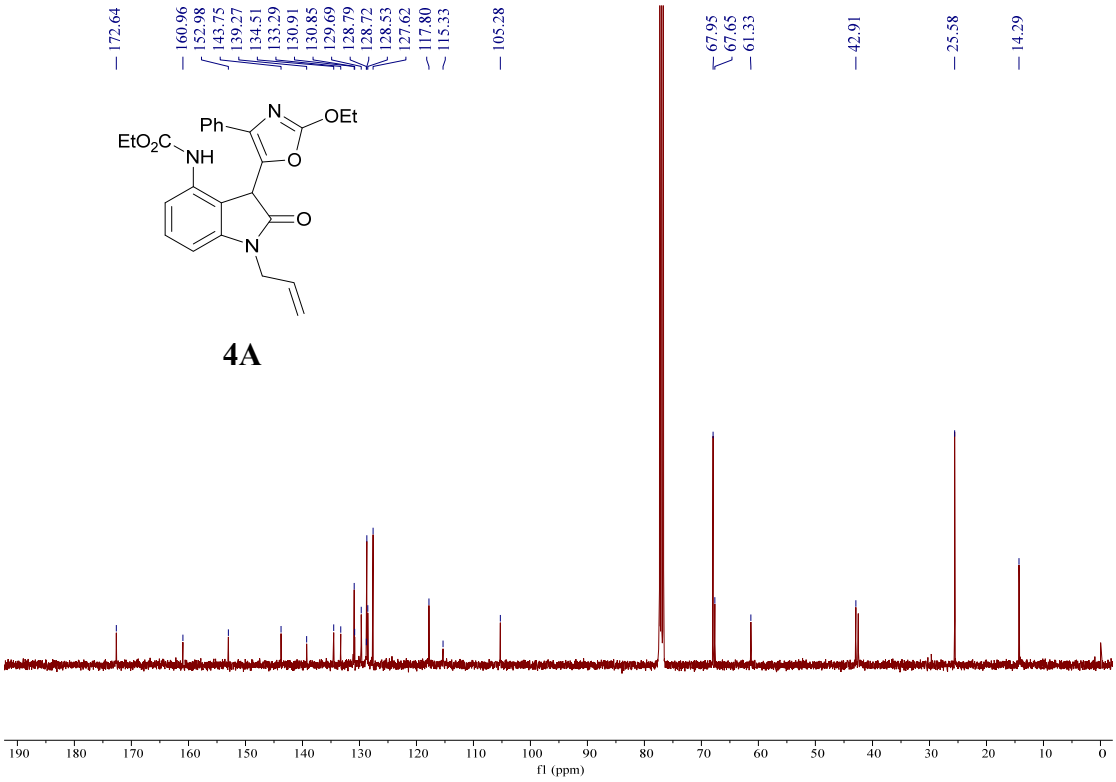

172.84
160.94
153.01
146.65
144.58
139.21
134.50
133.36
130.88
129.80
128.69
128.52
127.60
115.34
104.38
67.65
61.32
42.51
26.84
14.27
Ph
N
OEt
EtO2C
NH
O
O
N
Me
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

4z

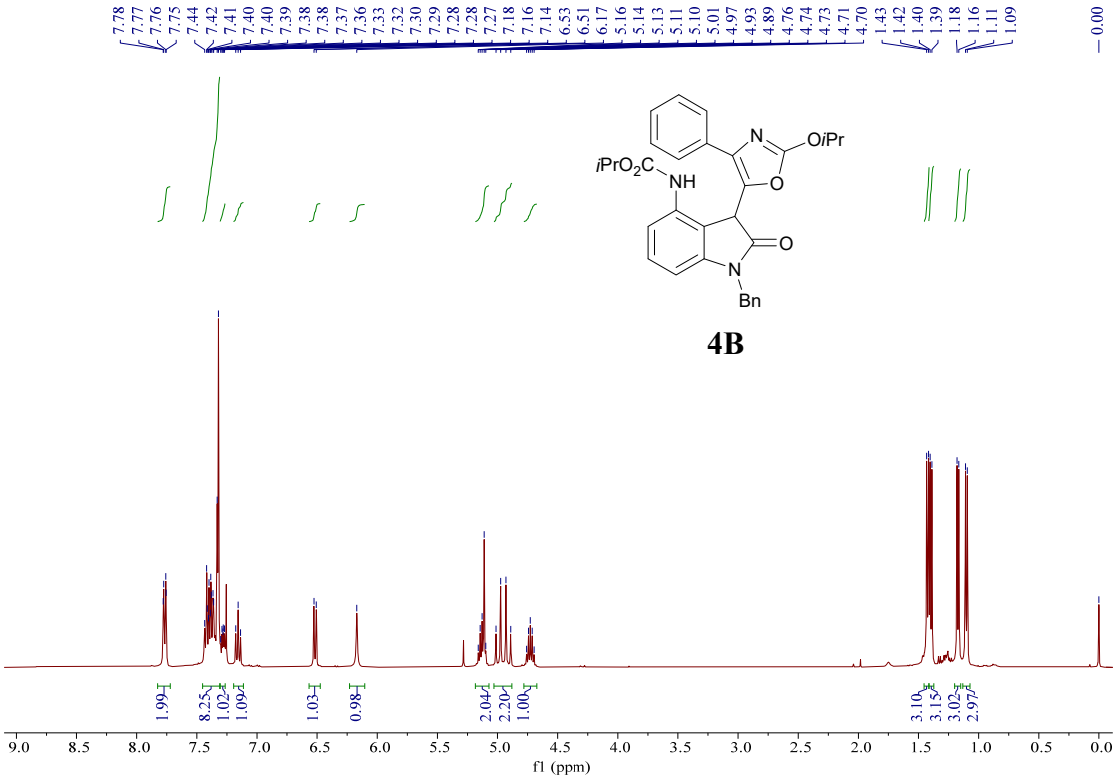

7.77
7.75
7.45
7.43
7.41
7.39
7.37
7.34
7.23
6.66
6.64
6.20
5.91
5.89
5.88
5.87
5.85
5.84
5.82
5.81
5.30
5.27
5.25
5.25
5.24
5.07
4.50
4.48
4.46
4.44
4.39
4.37
3.96
3.94
3.92
3.91
3.89
3.88
3.86
1.43
1.41
1.39
1.16
1.14
1.13
0.00
Ph
N
OEt
EtO2C
NH
O
O
N

4A

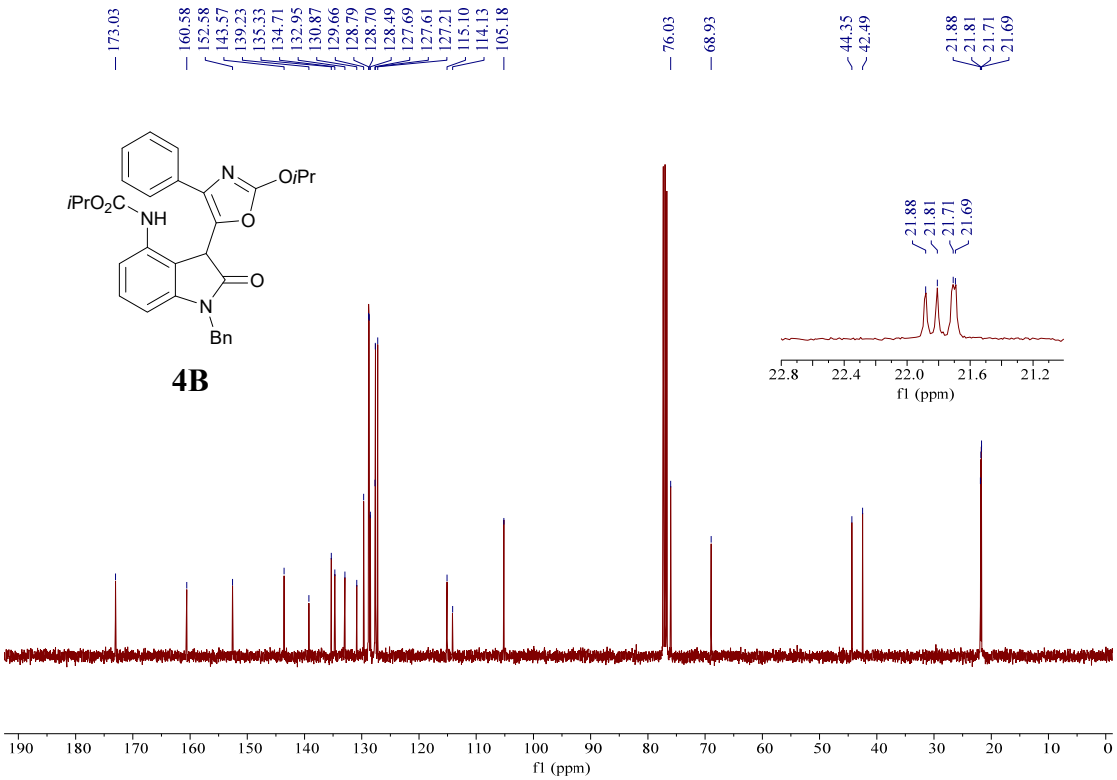

2.00
4.02
1.04
1.00
0.90
1.00
2.00
0.96
2.02
1.93
2.00
2.98
3.14
0.36
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


172.64
160.96
152.98
143.75
139.27
134.51
133.29
130.91
130.85
129.69
128.79
128.72
128.53
127.62
117.80
115.33
105.28
67.95
67.65
61.33
42.91
25.58
14.29
Ph
N
OEt
EtO2C
NH
O
O
N
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

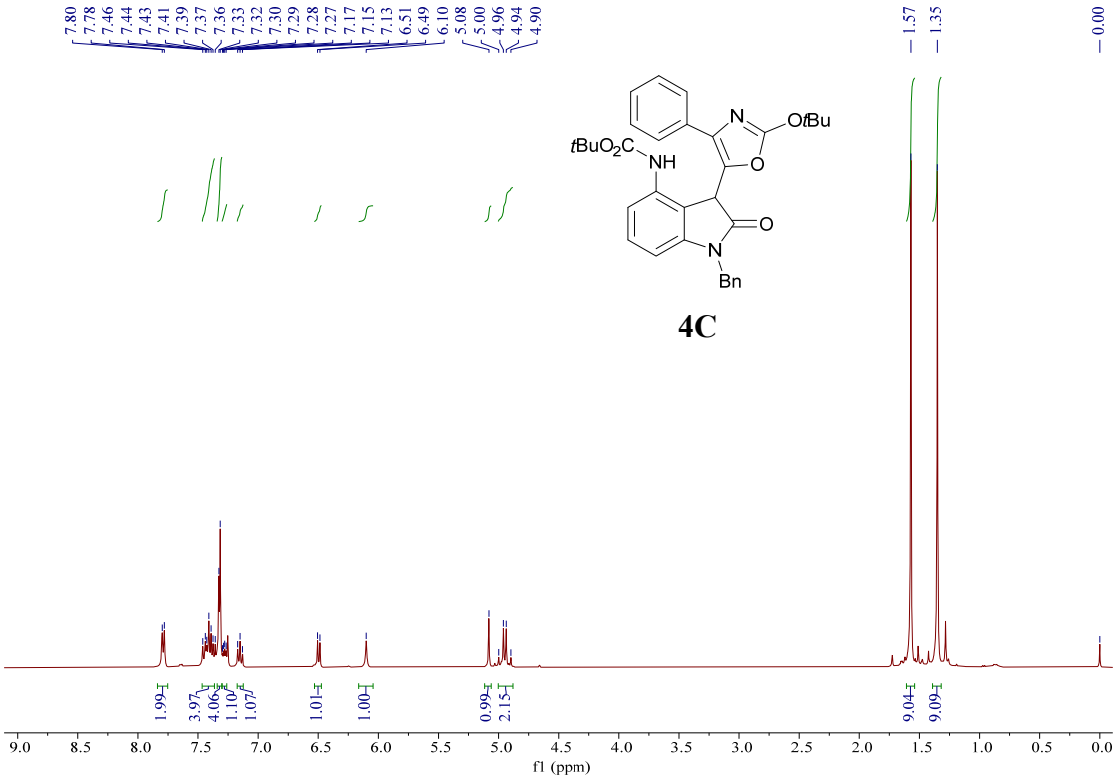
4A


7.78 7.77 7.76 7.75 7.44 7.42 7.41 7.40 7.40 7.39 7.38 7.38 7.37 7.36 7.33 7.32 7.30 7.29 7.28 7.28 7.27 7.18 7.16 7.14 6.53 6.51 6.17 5.16 5.14 5.13 5.11 5.10 5.01 4.97 4.93 4.89 4.76 4.74 4.73 4.71 4.70 1.43 1.42 1.40 1.39 1.18 1.16 1.11 1.09 0.00
iPrO2C NH N OiPr O O N Bn
1.99 8.25 1.02 1.09 1.03 0.98 2.04 2.20 1.00 3.10 3.15 3.02 2.97
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

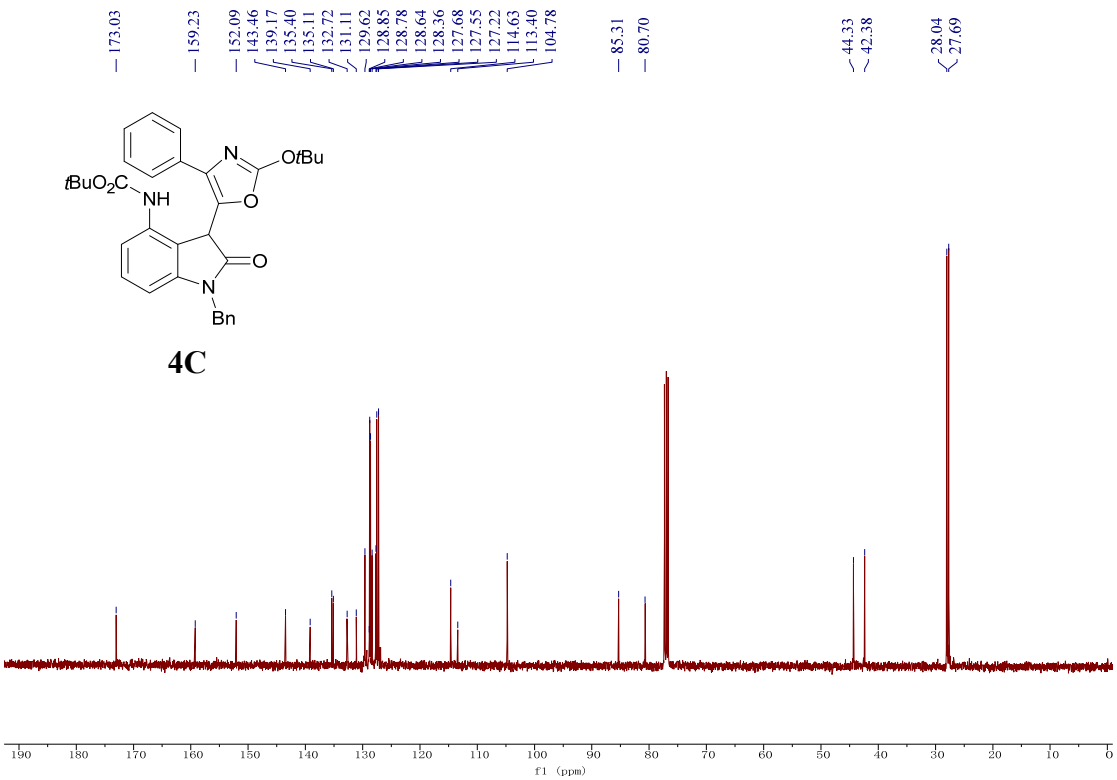
4B


173.03 160.58 152.58 143.57 139.23 135.33 134.71 132.95 130.87 129.66 128.79 128.70 128.49 127.69 127.61 127.21 115.10 114.13 105.18 76.03 68.93 44.35 42.49 21.88 21.81 21.71 21.69
iPrO2C NH N OiPr O O N Bn
21.88 21.81 21.71 21.69
22.8 22.4 22.0 21.6 21.2
f1 (ppm)
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

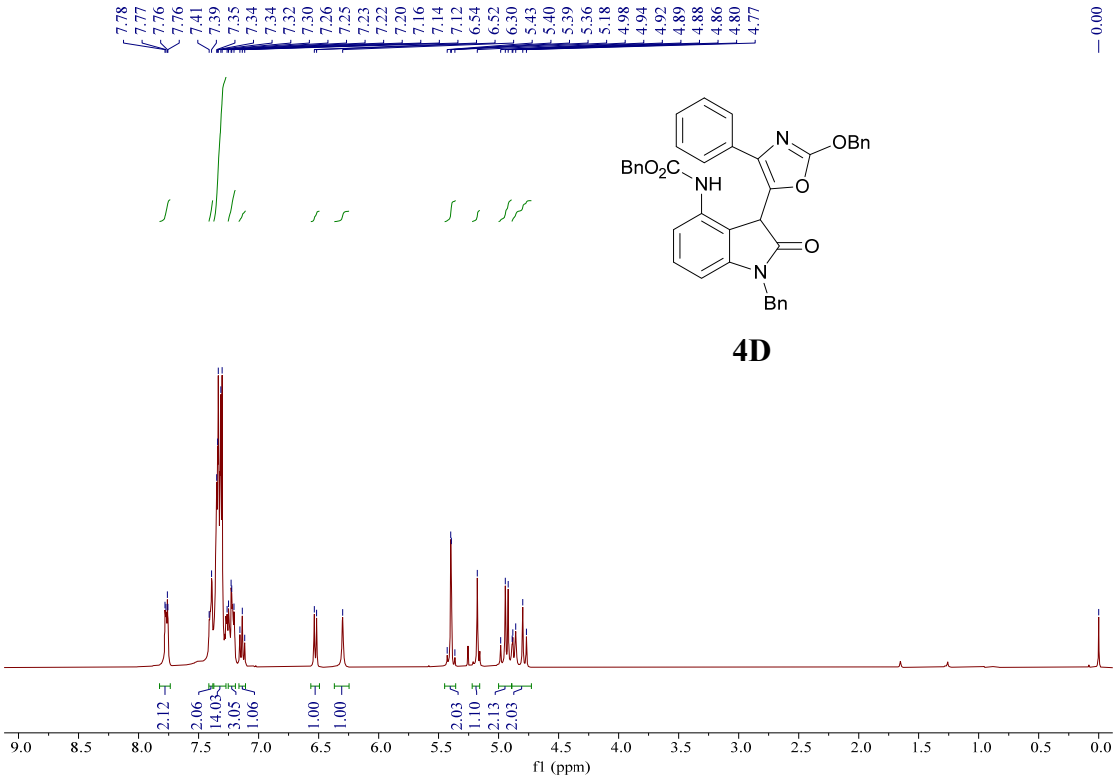
4B


7.80
7.78
7.46
7.44
7.43
7.41
7.39
7.37
7.36
7.33
7.32
7.30
7.29
7.28
7.27
7.17
7.15
7.13
6.51
6.49
6.10
5.08
5.00
4.96
4.94
4.90
1.57
1.35
0.00
OtBu
tBuO2C
NH
O
N
Bn
1.99
3.97
4.06
1.10
1.07
1.01
1.00
0.99
2.15
9.04
9.09
f1 (ppm)

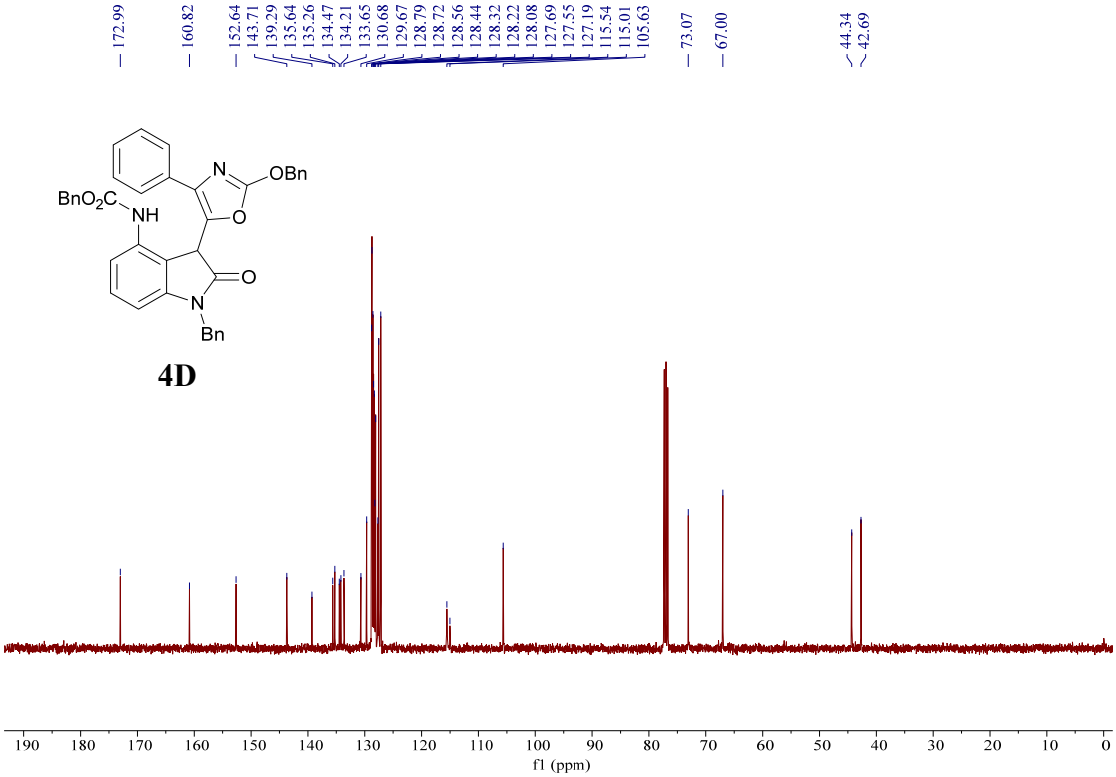
4C


173.03
159.23
152.09
143.46
139.17
135.40
135.11
132.72
131.11
129.62
128.85
128.78
128.64
128.36
127.68
127.55
127.22
114.63
113.40
104.78
85.31
80.70
44.33
42.38
28.04
27.69
OtBu
tBuO2C
NH
O
N
Bn
f1 (ppm)

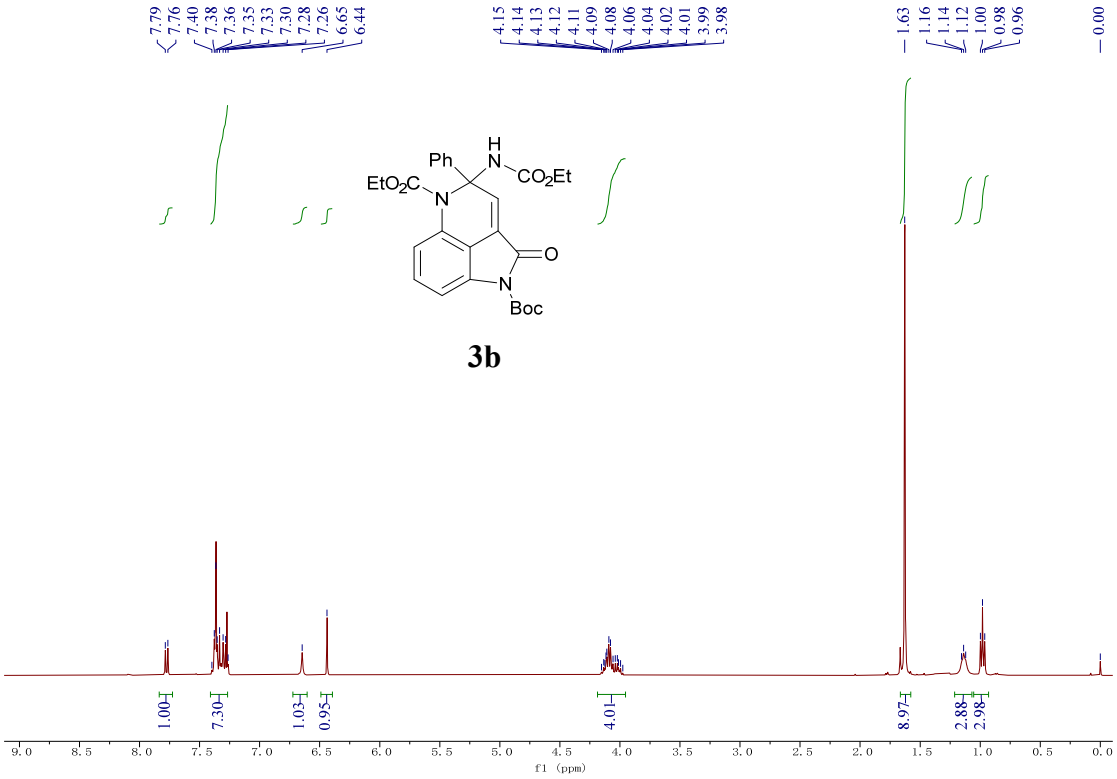
4C


7.78
7.77
7.76
7.76
7.41
7.39
7.35
7.34
7.34
7.32
7.30
7.26
7.25
7.23
7.22
7.20
7.16
7.14
7.12
6.54
6.52
6.30
5.43
5.40
5.39
5.36
5.18
4.98
4.94
4.92
4.89
4.88
4.86
4.80
4.77
0.00
BnO2C
NH
N
OBn
O
O
N
Bn
2.12
2.06
14.03
3.05
1.06
1.00
1.00
2.03
1.10
2.13
2.03
f1 (ppm)

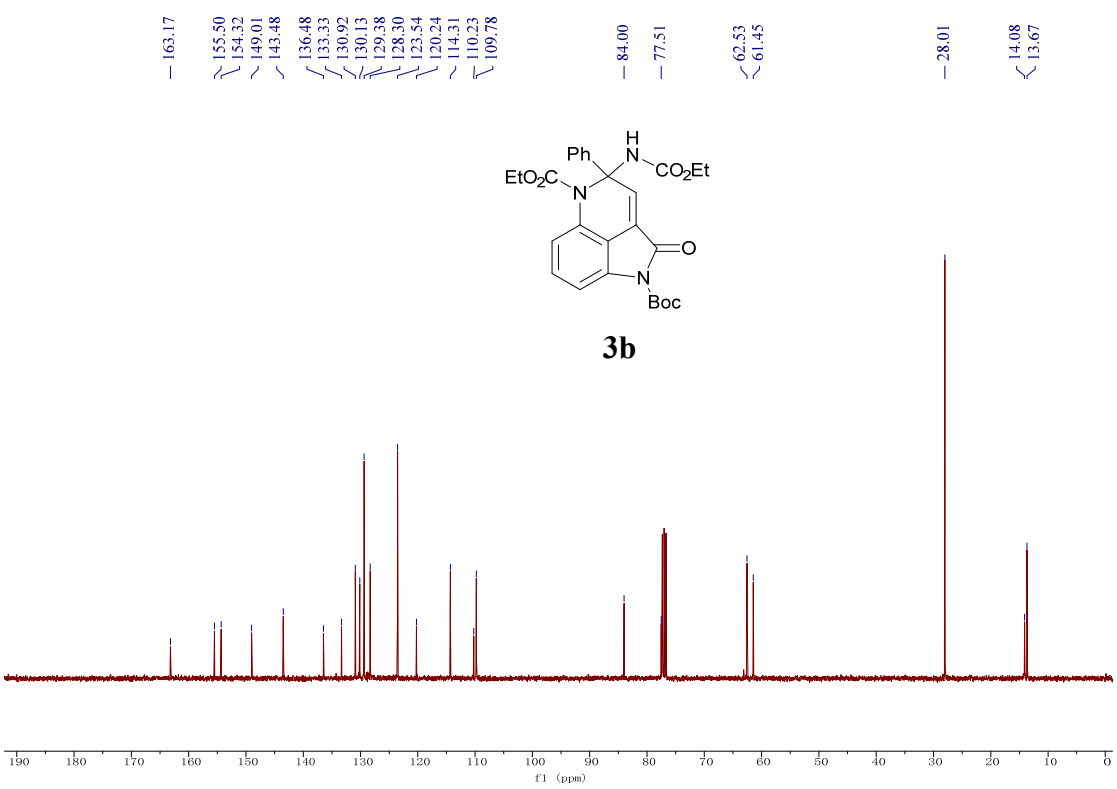
4D


172.99
160.82
152.64
143.71
139.29
135.64
135.26
134.47
134.21
133.65
130.68
129.67
128.79
128.72
128.56
128.44
128.32
128.22
128.08
127.69
127.55
127.19
115.54
115.01
105.63
73.07
67.00
44.34
42.69
BnO2C
NH
N
OBn
O
O
N
Bn
f1 (ppm)

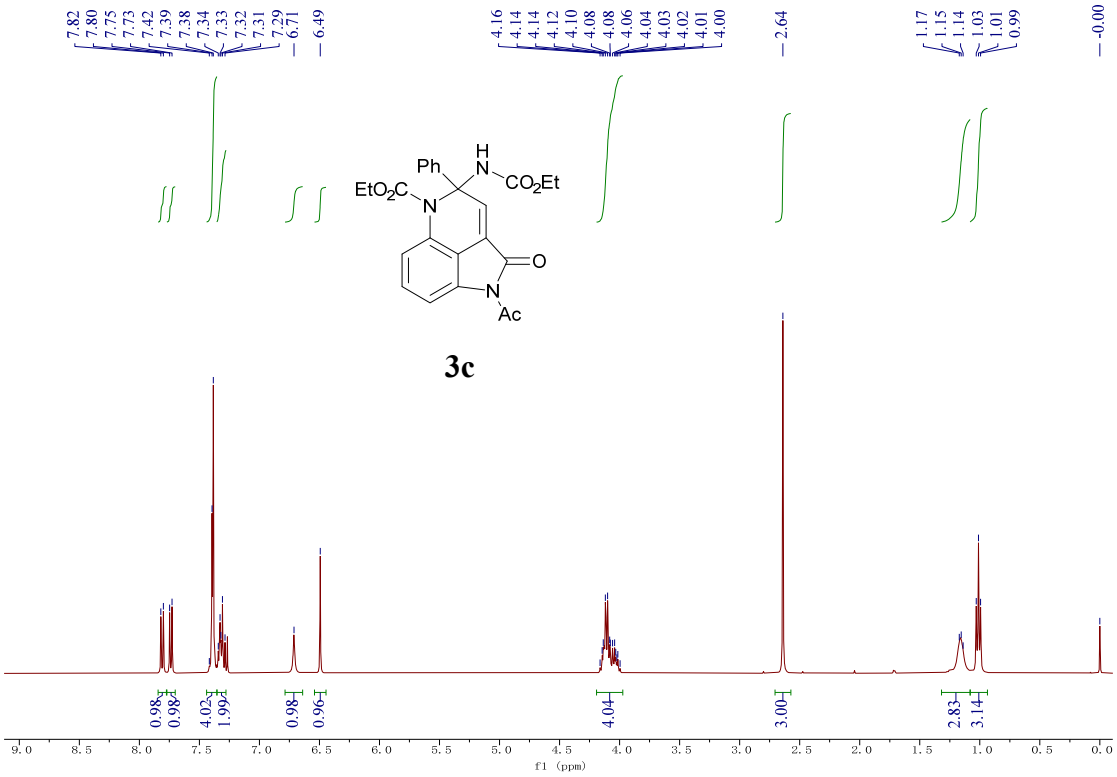
4D


7.79
7.76
7.40
7.38
7.36
7.35
7.33
7.30
7.28
7.26
6.65
6.44
4.15
4.14
4.13
4.12
4.11
4.09
4.08
4.06
4.04
4.02
4.01
3.99
3.98
1.63
1.16
1.14
1.12
1.00
0.98
0.96
0.00
Ph
H
N
CO2Et
EtO2C
N
O
N
Boc
1.00
7.30
1.03
0.95
4.01
8.97
2.88
2.98
f1 (ppm)

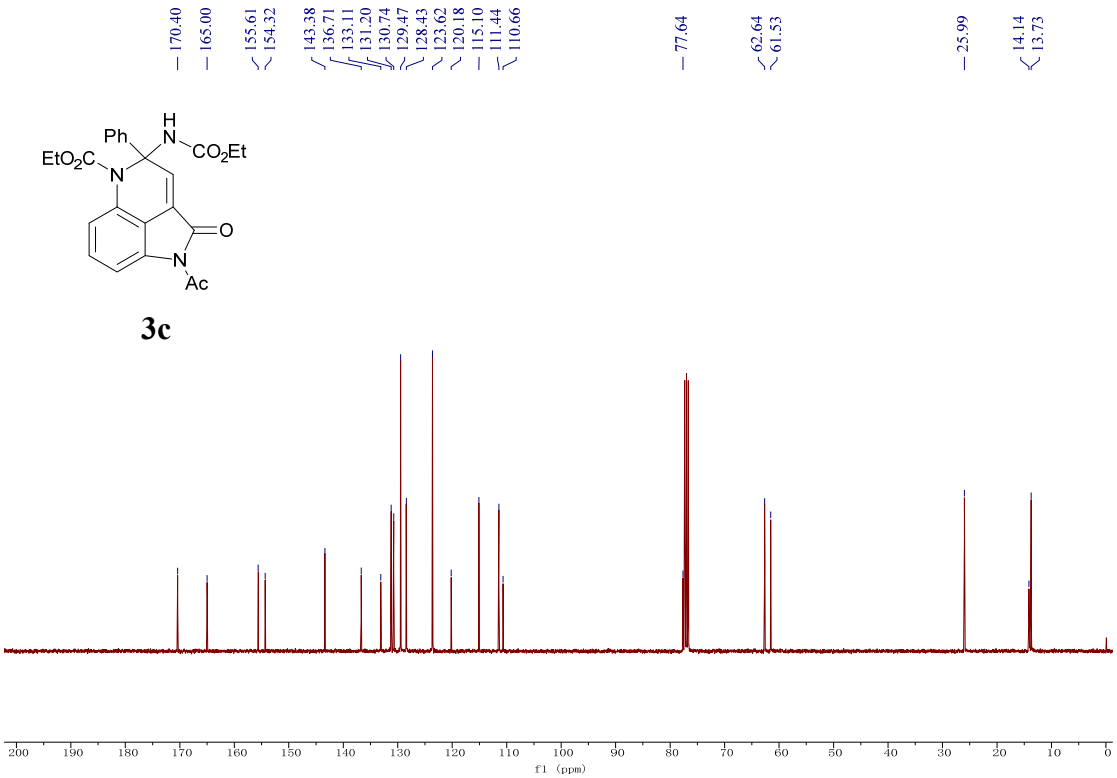
3b


163.17
155.50
154.32
149.01
143.48
136.48
133.33
130.92
130.13
129.38
128.30
123.54
120.24
114.31
110.23
109.78
84.00
77.51
62.53
61.45
28.01
14.08
13.67
Ph
H
N
CO2Et
EtO2C
N
O
N
Boc
f1 (ppm)

3b


7.82
7.80
7.75
7.73
7.42
7.39
7.38
7.34
7.33
7.32
7.31
7.29
6.71
6.49
4.16
4.14
4.14
4.12
4.10
4.08
4.08
4.06
4.04
4.03
4.02
4.01
4.00
2.64
1.17
1.15
1.14
1.03
1.01
0.99
-0.00
Ph
H
N
CO2Et
EtO2C
N
O
N
Ac
0.98
0.98
4.02
1.99
0.98
0.96
4.04
3.00
2.83
3.14
f1 (ppm)

3c


170.40
165.00
155.61
154.32
143.38
136.71
133.11
131.20
130.74
129.47
128.43
123.62
120.18
115.10
111.44
110.66
77.64
62.64
61.53
25.99
14.14
13.73
Ph
H
N
CO2Et
EtO2C
N
O
N
Ac
f1 (ppm)

3c

References

1 (a) S.-H. Cao, X.-C. Zhang, Y. Wei and M. Shi, *Eur. J. Org. Chem.*, 2011, 2668−2672; (b) E. M. Beccalli, A. Marchesini and T. Pilati, *Tetrahedron*, 1993, **49**, 4741−4758; (c) B. Tan, N. Candeias and C. Barbas, *Nat. Chem.*, 2011, **3**, 473−477.

2 C. Yang, X. Chen, T. Tang and Z. He, *Org. Lett.*, 2016, **18**, 1468−1489.