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Rhodium-Catalyzed Oxidative C2-Acylation of Indoles with Aryl and Alkyl Aldehydes

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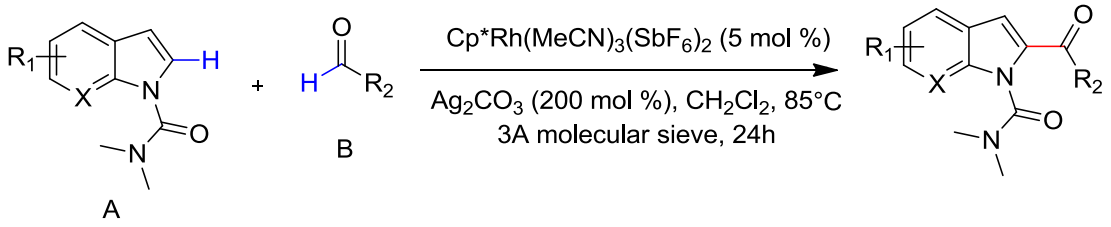
General methods:

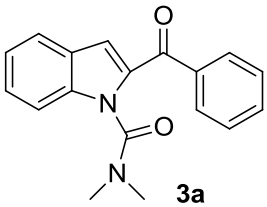
Mass spectra and high-resolution mass spectra were measured on a Finnigan MAT-95 mass spectrometer. ^{1}H and ^{13}C NMR spectra were determined on Bruker AM-300, Bruker AM-400 instruments using tetramethylsilane as internal reference. Data are presented as follows: chemical shift, multiplicity (s = singlet, br s = broad singlet, d = doublet, br d = broad doublet, t = triplet, m = multiplet), *J* = coupling constant in hertz (Hz). Silica gel 60H (200-300 mesh) manufactured by Qingdao Haiyang Chemical Group Co. (China) was used for general chromatography.

Experimental Procedures and Characterizations:



An oven-dried reaction vessel was charged with $Cp^*Rh(MeCN)_3(SbF_6)_2$ (8.4 mg, 5 mol%, 0.01 mmol), CH_2Cl_2 (2 mL), substrate A (0.2 mmol) , substrate B (0.4 mol), 3Å molecular sieves (50mg) and Ag_2CO_3 (0.4 mol). The vessel was sealed and heated at 85 ℃ (oil bath temperature) for 24 h. The resulting mixture was cooled to room temperature, filtered through a short silica gel pad and transferred to silica gel column directly to give product.

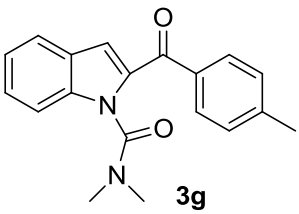
***N,N*-Dimethyl-2-Benzoyl-1H-indole-1-carboxamide (3a)**



3a

Yield: 93%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.09 (brs, 6H), 7.15 (s, 1H), 7.23 (td, J = 7.2, 2 Hz, 1H), 7.41-7.44 (m, 2H), 7.52 (t, J = 7.6 Hz, 2H), 7.61 (tt, J = 7.6, 1.6Hz, 1H), 7.70 (d, J = 8 Hz, 1H), 7.99 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.5, 111.4, 115.6, 122.1, 123.3, 126.7, 127.1, 128.4, 129.5, 132.7, 135.3, 137.3, 137.6, 153.6, 186.3; HRMS (EI) Calcd for $C_{18}H_{16}N_2O_2$ $[M]^+$ 292.1212, found 292.1208.

***N,N*-Dimethyl-2-(4-methylbenzoyl)-1H-indole-1-carboxamide (3g)**

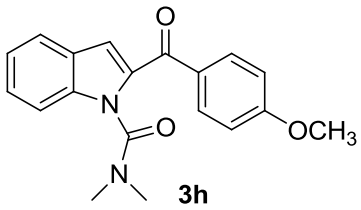


3g

Yield: 92%. ^{1}H NMR (400MHz, $CDCl_3$) δ 2.45 (s, 3H), 3.09 (s, 6H), 7.13 (s, 1H), 7.23 (td, J = 7.2, 2 Hz, 1H), 7.31 (m, 2H), 7.39-7.44 (m, 2H), 7.69 (d, J = 8Hz, 1H), 7.91 (d, J = 8Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 21.8, 37.5, 111.5, 115.3, 122.2, 123.3, 126.9, 127.1, 129.2, 129.9, 134.9, 135.7, 137.7, 143.7, 153.8, 186.2; HRMS

(EI) Calcd for $C_{19}H_{18}N_2O_2$ $[M]^+$ 306.1368, found 306.1375.

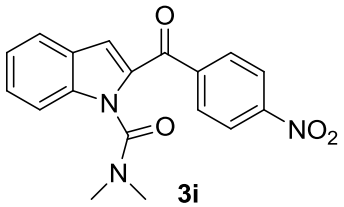
***N,N*-Dimethyl-2-(4-methoxybenzoyl)-1H-indole-1-carboxamide (3h)**



3h

Yield: 85%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.10 (brs, 6H), 3.90 (s, 3H), 7.01 (m, 2H), 7.11 (s, 1H), 7.23 (td, J = 7.2, 2 Hz, 1H), 7.38-7.44 (m, 2H), 7.70 (d, J = 8Hz, 1H), 8.02 (d, J = 8Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.7, 55.6, 111.5, 113.8, 114.8, 122.2, 123.3, 126.7, 130.2, 132.1, 135.8, 137.5, 153.9, 163.6, 185.2; HRMS (EI) Calcd for $C_{19}H_{18}N_2O_3$ $[M]^+$ 322.1317, found 322.1310.

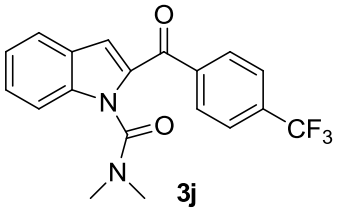
***N,N*-Dimethyl-2-(4-nitrobenzoyl)-1H-indole-1-carboxamide (3i)**



3i

Yield: 50%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.14 (brs, 6H), 7.14 (s, 1H), 7.27 (td, J = 7.2, 2 Hz, 1H), 7.39 (d, J = 8.4 Hz, 1H), 7.48 (td, J = 7.2, 2 Hz, 1H), 7.72 (d, J = 8.0 Hz, 1H), 8.14 (d, J = 8.4Hz, 2H), 8.37 (d, J = 8.4Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.3, 111.6, 116.8, 122.7, 123.7, 123.8, 126.8, 128.2, 130.6, 134.8, 138.3, 142.6, 150.2, 153.4, 184.5; HRMS (EI) Calcd for $C_{18}H_{15}N_3O_4$ $[M]^+$ 337.1063, found 337.1055.

***N,N*-Dimethyl-2-(4-trifluoromethylbenzoyl)-1H-indole-1-carboxamide (3j)**

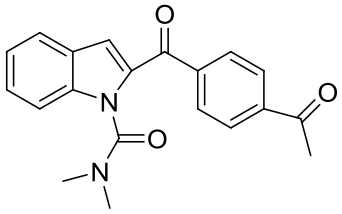


3j

Yield: 82%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.10 (brs, 6H), 7.14 (d, J = 0.8 Hz, 1H), 7.25 (td, J = 7.2, 2 Hz, 1H), 7.40 (m, 1H), 7.46 (m, 1H), 7.71 (d, J = 8.0 Hz, 1H), 7.79 (d, J = 8.0 Hz, 2H), 8.09 (d, J = 8.0 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 38.1,

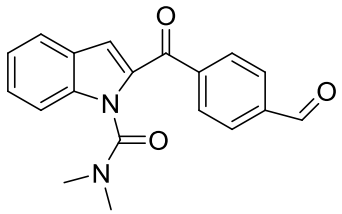
111.6, 116.5, 122.6, 123.6, 125.6, 126.8, 127.9, 130.1, 134.2, 135.1, 138.1, 140.6, 153.6, 185.3; HRMS (EI) Calcd for $C_{19}H_{15}F_3N_2O_2$ $[M]^+$ 360.1086, found 360.1090.

***N,N*-Dimethyl-2-(4-acetylbenzoyl)-1H-indole-1-carboxamide (3k)**



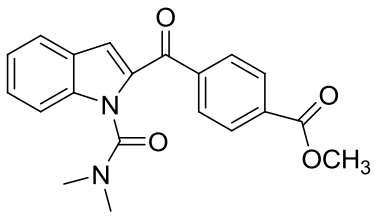
Yield: 60%. ^{1}H NMR (400MHz, $CDCl_3$) δ 2.68 (s, 3H), 3.12 (brs, 6H), 7.14 (s, 1H), 7.25 (m, 1H), 7.38-7.48 (m, 2H), 7.71 (d, J = 8 Hz, 1H), 8.04-8.11 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 27.07, 37.7, 111.6, 116.4, 122.5, 123.6, 126.8, 127.8, 129.9, 135.2, 138.1, 139.9, 141.2, 153.7, 185.7, 197.6; HRMS (EI) Calcd for $C_{20}H_{18}N_2O_3$ $[M]^+$ 334.1317, found 334.1308.

***N,N*-Dimethyl-2-(4-formylbenzoyl)-1H-indole-1-carboxamide (3l)**



Yield: 68%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.11 (brs, 6H), 7.15 (s, 1H), 7.25 (m, 1H), 7.38-7.49 (m, 2H), 7.72 (d, J = 8 Hz, 1H), 8.03 (d, J = 8.4 Hz, 2H), 8.13 (d, J = 8.4 Hz, 2H), 10.1 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.7, 111.6, 116.5, 122.6, 123.6, 126.9, 127.9, 129.7, 130.2, 135.1, 138.2, 138.9, 142.3, 153.6, 185.6, 191.7; HRMS (EI) Calcd for $C_{19}H_{16}N_2O_3$ $[M]^+$ 320.1161, found 320.1170.

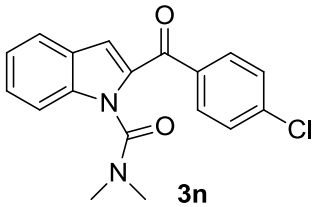
***N,N*-Dimethyl-2-(4-methoxycarbonylbenzoyl)-1H-indole-1-carboxamide (3m)**



Yield: 78%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.11 (brs, 6H), 3.96 (s, 3H), 7.14 (s, 1H), 7.23 (m, 1H), 7.38-7.47 (m, 2H), 7.71 (d, J = 8 Hz, 1H), 8.02 (d, J = 8.4 Hz, 2H), 8.17 (d, J = 8.4 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 38.0, 52.6, 111.6, 116.3, 122.5, 123.6, 126.8, 127.7, 129.5, 129.7, 133.6, 135.2, 138.1, 141.1, 153.6, 166.3, 185.7;

HRMS (EI) Calcd for $C_{20}H_{18}N_2O_4$ $[M]^+$ 350.1276, found 350.1266.

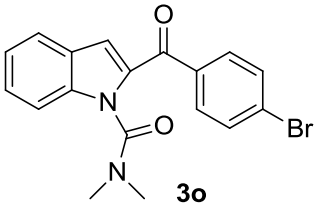
***N,N*-Dimethyl-2-(4-chlorobenzoyl)-1H-indole-1-carboxamide (3n)**



3n

Yield: 50%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.09 (brs, 6H), 7.12 (d, J = 0.4 Hz, 1H), 7.24 (td, J = 7.2, 2 Hz, 1H), 7.38-7.44 (m, 2H), 7.48-7.51 (m, 2H), 7.72 (d, J = 8Hz, 1H), 7.97 (d, J = 8Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.5, 111.6, 115.7, 122.4, 123.5, 126.8, 127.5, 128.9, 131.2, 135.2, 135.9, 137.9, 139.3, 153.7, 185.2; HRMS (EI) Calcd for $C_{18}H_{15}ClN_2O_2$ $[M]^+$ 326.0822, found 326.0812.

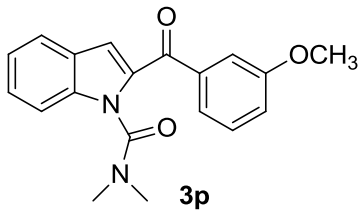
***N,N*-Dimethyl-2-(4-bromobenzoyl)-1H-indole-1-carboxamide (3o)**



3o

Yield: 60%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.09 (brs, 6H), 7.12 (s, 1H), 7.24 (t, J = 7.2Hz, 1H), 7.38-7.46 (m, 2H), 7.66 (d, J = 8Hz, 2H), 7.70 (d, J = 8Hz, 1H), 7.87 (d, J = 8Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.7, 111.6, 115.8, 122.4, 123.5, 126.8, 127.5, 127.9, 131.2, 131.8, 135.1, 136.2, 137.9, 153.6, 185.3; HRMS (EI) Calcd for $C_{18}H_{15}BrN_2O_2$ $[M]^+$ 370.0317, found 370.0312.

***N,N*-Dimethyl-2-(3-methoxybenzoyl)-1H-indole-1-carboxamide (3p)**

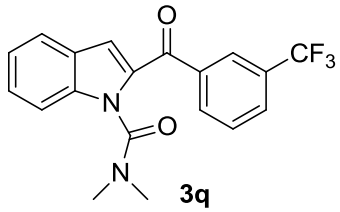


3p

Yield: 76%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.10 (brs, 6H), 3.87 (s, 3H), 7.15-7.17 (m, 2H), 7.23 (td, J = 7.2, 2 Hz, 1H), 7.39-7.43 (m, 3H), 7.50 (m, 1H), 7.60 (d, J = 6.4Hz, 1H), 7.70 (d, J = 8Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.6, 55.6, 111.6, 113.8, 115.8, 119.5, 122.3, 122.5, 123.4, 126.9, 127.3, 129.5, 135.5, 137.8, 138.8, 153.6,

159.7, 186.3; HRMS (EI) Calcd for $C_{19}H_{18}N_2O_3$ $[M]^+$ 322.1317, found 322.1308.

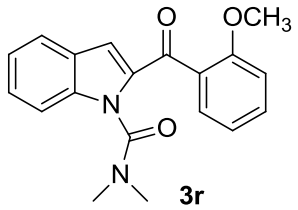
***N,N*-Dimethyl-2-(3-trifluoromethylbenzoyl)-1H-indole-1-carboxamide (3q)**



3q

Yield: 74%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.09 (brs, 6H), 7.14 (s, 1H), 7.25 (td, J = 7.2, 2 Hz, 1H), 7.40 (d, J = 8.4 Hz, 1H), 7.47 (m, 1H), 7.67 (t, J = 8.0 Hz, 1H), 7.73 (d, J = 8.0 Hz, 1H), 7.88 (d, J = 7.6 Hz, 1H), 8.18 (d, J = 8.0 Hz, 1H), 8.26 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 38.6, 111.6, 116.2, 122.6, 123.7, 126.5, 126.8, 127.8, 129.2, 129.3, 131.0, 131.4, 132.9, 134.9, 138.0, 138.1, 153.6, 185.0; HRMS (EI) Calcd for $C_{19}H_{15}F_3N_2O_2$ $[M]^+$ 360.1086, found 360.1092.

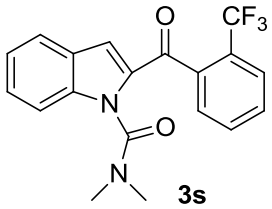
***N,N*-Dimethyl-2-(2-methoxybenzoyl)-1H-indole-1-carboxamide (3r)**



3r

Yield: 80%. ^{1}H NMR (400MHz, $CDCl_3$) δ 2.85 (brs, 3H), 3.26 (brs, 3H), 3.79 (s, 3H), 6.94 (s, 1H), 7.02 (m, 2H), 7.20 (td, J = 7.2, 2 Hz, 1H), 7.38-7.52 (m, 4H), 7.63 (d, J = 8.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.2, 38.1, 55.8, 111.6, 111.7, 115.8, 120.2, 122.1, 123.3, 126.8, 127.3, 128.1, 130.2, 132.4, 136.5, 138.1, 153.7, 157.7, 186.3; HRMS (EI) Calcd for $C_{19}H_{18}N_2O_3$ $[M]^+$ 322.1317, found 322.1307.

***N,N*-Dimethyl-2-(2-trifluoromethylbenzoyl)-1H-indole-1-carboxamide (3s)**

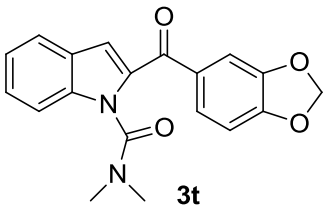


3s

Yield: 50%. ^{1}H NMR (400MHz, $CDCl_3$) δ 2.86 (s, 3H), 3.32 (s, 3H), 6.83 (s, 1H), 7.21 (td, J = 7.2, 2 Hz, 1H), 7.39-7.47 (m, 2H), 7.62-7.67 (m, 4H), 7.80 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.1, 38.0, 111.6, 117.3, 122.4, 123.6, 126.7, 126.9, 128.1,

129.3, 130.4, 131.4, 135.2, 137.4, 138.5, 153.5, 185.8; HRMS (EI) Calcd for $C_{19}H_{15}F_3N_2O_2$ $[M]^+$ 360.1086, found 360.1094.

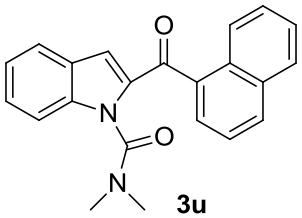
***N,N*-Dimethyl-2-(Benzo-[1,3]-dioxole-5-carbonyl)-1H-indole-1-carboxamide (3t)**



3t

Yield: 85%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.10 (brs, 6H), 6.08 (s, 2H), 6.91 (d, J = 8.4 Hz, 1H), 7.12 (s, 1H), 7.23 (t, J = 6.4 Hz, 1H), 7.37-7.42 (m, 2H), 7.48 (d, J = 1.6 Hz, 1H), 7.66 (dd, J = 8.0, 1.2 Hz, 1H), 7.70 (d, J = 8.0 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.8, 102.0, 108.0, 109.5, 111.5, 114.9, 122.2, 123.3, 126.4, 126.8, 127.0, 131.8, 135.7, 137.6, 148.1, 151.9, 153.8, 184.7; HRMS (EI) Calcd for $C_{19}H_{16}N_2O_4$ $[M]^+$ 336.1110, found 360.1118.

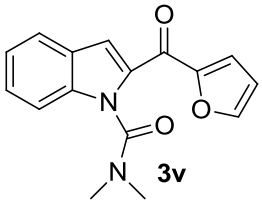
***N,N*-Dimethyl-2-(1-Naphthoyl)-1H-indole-1-carboxamide (3u)**



3u

Yield: 90%. ^{1}H NMR (400MHz, $CDCl_3$) δ 2.98 (brs, 3H), 3.29 (brs, 3H), 6.97 (s, 1H), 7.21 (m, 1H), 7.42-7.46 (m, 2H), 7.52-7.56 (m, 3H), 7.63 (d, J = 8.0Hz, 1H), 7.90-7.93 (m, 2H), 8.02 (d, J = 8.4Hz, 1H), 8.34 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.2, 38.0, 111.7, 116.7, 122.3, 123.5, 124.3, 125.6, 126.6, 126.8, 127.6, 128.4, 128.7, 131.0, 132.1, 133.8, 135.4, 137.1, 138.3, 153.8, 188.0; HRMS (EI) Calcd for $C_{22}H_{18}N_2O_2$ $[M]^+$ 342.1368, found 342.1359.

***N,N*-Dimethyl-2-(furan-2-carbonyl)-1H-indole-1-carboxamide (3v)**

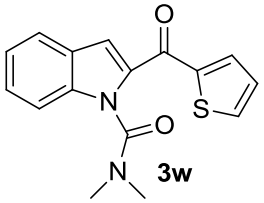


3v

Yield: 85%. ^{1}H NMR (400MHz, $CDCl_3$) δ 2.91 (brs, 3H), 3.27 (brs, 3H), 6.61 (dd, J =

3.2, 2.0Hz, 1H), 7.23 (td, *J* = 7.2, 2 Hz, 1H), 7.36-7.44 (m, 3H), 7.70 (m, 2H), 7.75 (d, *J* = 8.0Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.2, 38.1, 111.4, 112.5, 114.5, 119.4, 122.2, 123.5, 127.2, 127.3, 134.3, 137.6, 146.8, 152.4, 153.9, 172.3; HRMS (EI) Calcd for $C_{16}H_{14}N_2O_3$ $[M]^+$ 282.1004, found 282.1013.

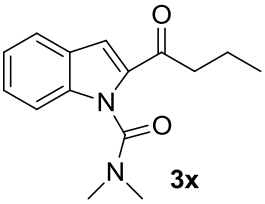
***N,N*-Dimethyl-2-(thiophene-2-carbonyl)-1H-indole-1-carboxamide (3w)**



3w

Yield: 73%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.10 (brs, 6H), 7.19-7.27 (m, 2H), 7.37-7.45 (m, 3H), 7.73 (m, 2H), 7.98 (dd, *J* = 4.0, 1.2Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.9, 111.5, 114.1, 122.3, 123.4, 127.0, 127.2, 128.2, 133.9, 134.0, 135.4, 137.6, 142.9, 153.7, 177.8; HRMS (EI) Calcd for $C_{16}H_{14}N_2O_2S$ $[M]^+$ 298.0776, found 298.0769.

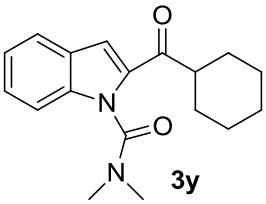
***N,N*-Dimethyl-2-butyryl-1H-indole-1-carboxamide (3x)**



3x

Yield: 70%. ^{1}H NMR (400MHz, $CDCl_3$) δ 1.01 (t, *J* = 7.6Hz, 3H), 1.80 (m, 2H), 2.75 (s, 3H), 2.93 (t, *J* = 7.2Hz, 2H), 3.27 (s, 3H), 7.23 (td, *J* = 7.2, 2 Hz, 1H), 7.31 (m, 2H), 7.41 (m, 1H), 7.70 (d, J = 8.4Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 14.0, 18.2, 36.9, 38.0, 40.8, 111.3, 112.2, 122.1, 123.3, 126.8, 127.2, 135.6, 137.6, 154.0, 192.7; HRMS (EI) Calcd for $C_{15}H_{18}N_2O_2$ $[M]^+$ 258.1368, found 258.1360.

***N,N*-Dimethyl-2-cyclohexanecarbonyl-1H-indole-1-carboxamide (3y)**

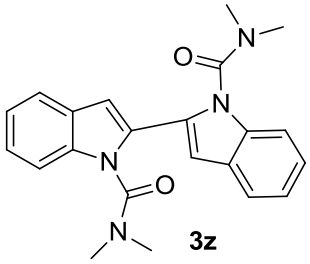


3y

Yield: 60%. ^{1}H NMR (400MHz, $CDCl_3$) δ 1.21-1.43 (m, 3H), 1.54-1.60 (m, 2H), 1.72-1.94 (m, 5H), 2.72 (s, 3H), 3.15 (tt, *J* = 15.2, 3.6 Hz, 1H), 3.26 (s, 3H), 7.20 (t, *J* = 7.6Hz, 1H), 7.31 (m, 2H), 7.40 (m, 1H), 7.70 (d, J = 8.4Hz, 1H); ^{13}C NMR (100

MHz, $CDCl_3$) δ 25.9, 29.7, 29.9, 36.9, 37.9, 47.0, 111.3, 111.8, 122.1, 123.2, 126.8, 127.1, 134.9, 137.7, 154.0, 196.2; HRMS (EI) Calcd for $C_{18}H_{22}N_2O_2$ $[M]^+$ 298.1681, found 298.1674.

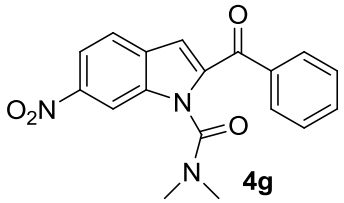
***N,N'*-Bis(*N,N*-dimethylcarbamoyl)-2,2'-biindolyl (3z)**



3z

Yield: 54%. ^{1}H NMR (400MHz, $CDCl_3$) δ 2.93 (brs, 6H), 3.19 (brs, 6H), 6.71 (s, 2H), 7.22 (t, J = 7.2Hz, 2H), 7.30 (t, J = 7.2Hz, 2H), 7.40 (d, J = 8.0Hz, 2H), 7.64 (d, J = 7.6, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.2, 38.4, 105.64, 111.38, 121.3, 121.9, 124.0, 128.4, 130.0, 136.5, 153.9; HRMS (EI) Calcd for $C_{22}H_{22}N_4O_2$ $[M]^+$ 374.1743, found 374.1736.

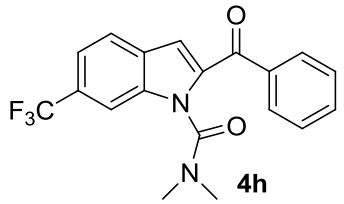
6-nitro-*N,N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4g)



4g

Yield: 45%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.13 (brs, 6H), 7.20 (d, J = 0.8Hz, 1H), 7.55 (t, J = 8.0Hz, 2H), 7.66 (m, 1H), 7.82 (d, J = 8.4 Hz, 1H), 8.01 (m, 2H), 8.12 (dd, J = 8.8, 2Hz, 1H), 8.35 (d, J = 1.6Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 38.0, 108.4, 113.9, 117.3, 123.8, 128.8, 129.9, 131.3, 133.7, 136.1, 136.7, 139.7, 146.6, 152.5, 186.3; HRMS (EI) Calcd for $C_{18}H_{15}N_3O_4$ $[M]^+$ 337.1063, found 337.1068.

6-trifluoromethyl-*N,N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4h)

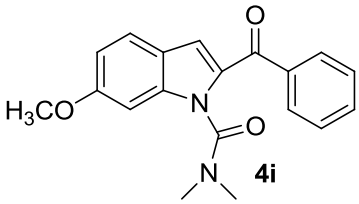


4h

Yield: 70%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.09 (brs, 6H), 7.18 (s, 1H), 7.46-7.56 (m, 3H), 7.62 (m, 1H), 7.70 (s, 1H), 7.81 (d, J = 11.2 Hz, 1H), 8.00 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.6, 109.3, 109.4, 114.5, 118.8, 118.9, 123.1, 124.0, 125.8,

128.7, 129.1, 129.8, 133.3, 136.5, 137.0, 137.5, 153.0, 186.4; HRMS (EI) Calcd for $C_{19}H_{15}F_3N_2O_2$ $[M]^+$ 360.1086, found 360.1076.

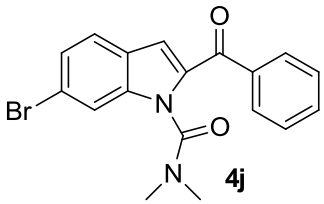
6-methoxy-*N*,*N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4i)



4i

Yield: 87%. ^{1}H NMR (400MHz, $CDCl_3$) δ 2.87 (brs, 3H), 3.27 (brs, 3H), 3.88 (s, 3H), 6.82 (d, J = 2Hz, 1H), 6.88 (dd, J = 8.8, 2.4Hz, 1H), 7.09 (s, 1H), 7.48-7.61 (m, 4H), 7.95 (d, J = 6.8 Hz, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.7, 55.8, 93.5, 113.7, 116.5, 121.0, 124.3, 128.5, 129.5, 132.5, 134.6, 137.9, 139.4, 153.9, 160.5, 185.8; HRMS (EI) Calcd for $C_{19}H_{18}N_2O_3$ $[M]^+$ 322.1317, found 322.1317.

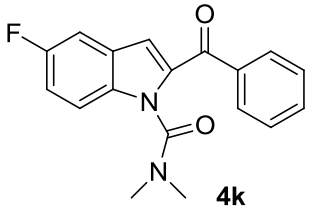
6-bromo-*N*,*N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4j)



4j

Yield: 70%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.10 (brs, 6H), 7.10 (d, J = 0.8Hz, 1H), 7.35 (dd, J = 8.6, 1.6 Hz, 1H), 7.50-7.65 (m, 5H), 7.98 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.6, 114.6, 115.3, 121.2, 124.6, 125.6, 125.9, 128.6, 129.7, 133.1 135.9, 137.3, 138.3, 153.2, 186.3; HRMS (EI) Calcd for $C_{18}H_{15}BrN_2O_2$ $[M]^+$ 370.0317, found 370.0311.

5-fluoro-*N*,*N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4k)

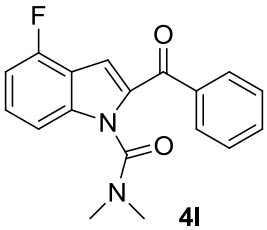


4k

Yield: 55%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.09 (brs, 6H), 6.89 (dd, J = 10, 8.0Hz, 1H), 7.20 (m, 2H), 7.36 (m, 1H), 7.53 (m, 2H), 7.65 (m, 1H), 7.99 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.7, 106.7, 106.9, 107.6, 107,7, 111.1, 116.5, 116.7, 128.0, 128.1, 128.6, 129.7, 133.1, 135.4, 137.1, 139.5, 139.6, 153.3, 156.2, 158.7, 186.3;

HRMS (EI) Calcd for $C_{18}H_{15}FN_2O_2$ $[M]^+$ 310.1118, found 310.1110.

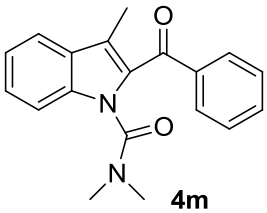
4-fluoro-*N*,*N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4l)



4l

Yield: 78%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.09 (brs, 6H), 7.09 (s, 1H), 7.19 (td, J = 8.8, 2.4 Hz, 1H), 7.32-7.38 (m, 2H), 7.52 (m, 2H), 7.65 (tt, J = 7.6, 1.6Hz, 1H), 7.99 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.7, 107.7, 107.9, 112.7, 112.8, 115.0, 115.1, 116.1, 116.3, 127.1, 127.2, 128.6, 129.7, 133.0, 134.4, 136.7, 137.3, 153.5, 157.9, 159.8, 186.4; HRMS (EI) Calcd for $C_{18}H_{15}FN_2O_2$ $[M]^+$ 310.1118, found 310.1110.

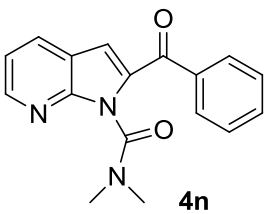
***N*,*N*-Dimethyl-3-methyl-2-benzoyl-1H-indole-1-carboxamide (4m)**



4m

Yield: 47% ^{1}H NMR (400MHz, $CDCl_3$) δ 2.22 (s, 3H), 3.02 (s, 6H), 7.25 (m, 1H), 7.36 (m, 1H), 7.41-7.52 (m, 3H), 7.57 (t, J = 7.2 Hz, 1H), 7.66 (d, J = 8.0Hz, 1H), 7.86 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 11.0, 37.8, 111.8, 121.2, 121.8, 122.4, 126.9, 128.6, 128.9, 129.5, 133.0, 133.9, 136.6, 138.7, 154.1, 189.1; HRMS (EI) Calcd for $C_{19}H_{18}N_2O_2$ $[M]^+$ 306.1368, found 306.1360.

***N*,*N*-Dimethyl-2-benzoyl-1H-pyrrolo[2,3-*b*]pyridine-1-carboxamide (4n)**

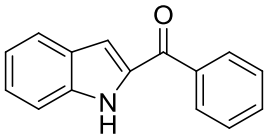


4n

Yield: 45%. ^{1}H NMR (400MHz, $CDCl_3$) δ 3.05 (s, 3H), 3.33 (s, 3H), 7.08 (s, 1H), 7.21 (dd, J = 5.2, 4.8Hz, 1H), 7.52 (m, 2H), 7.64 (m, 1H), 8.01 (m, 3H), 8.56 (dd, J = 4.4, 1.6Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 37.2, 38.5, 113.3, 118.4, 119.4, 128.6, 129.9, 131.8, 133.1, 135.8, 137.2, 148.4, 149.0, 152.7, 186.7; HRMS (EI) Calcd for

$C_{17}H_{15}N_3O_2$ $[M]^+$ 293.1164, found 293.1158.

Indol-2-yl phenyl ketone (3a-1)

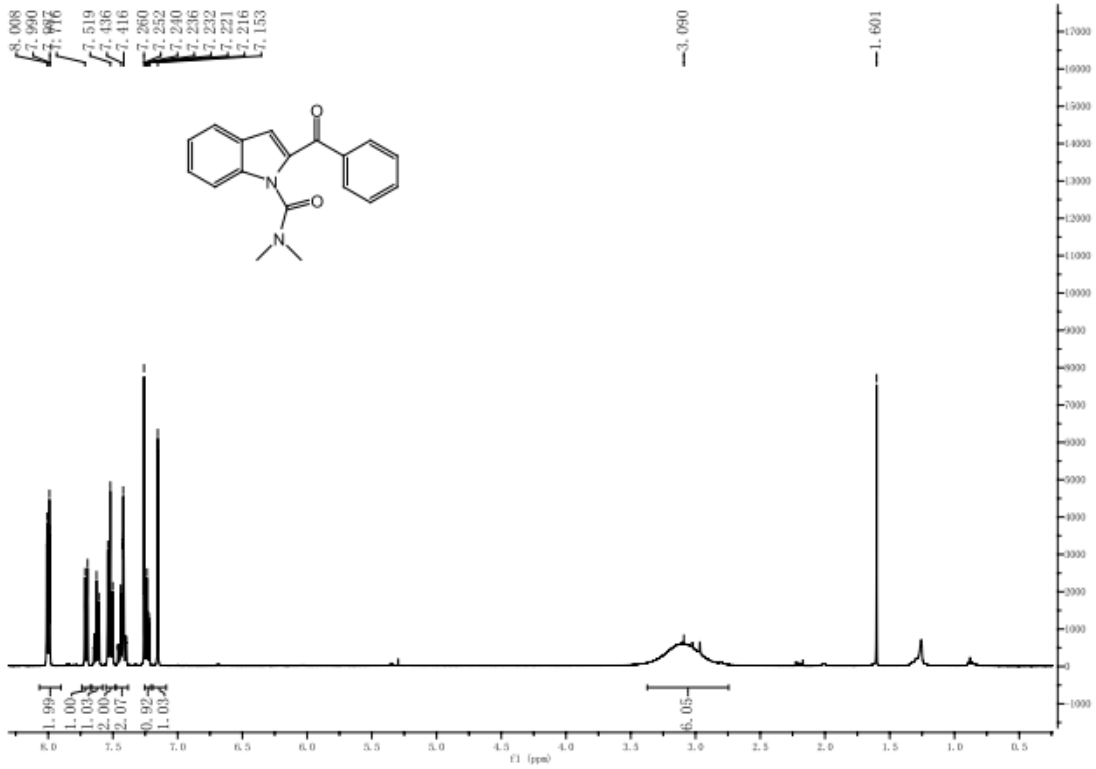


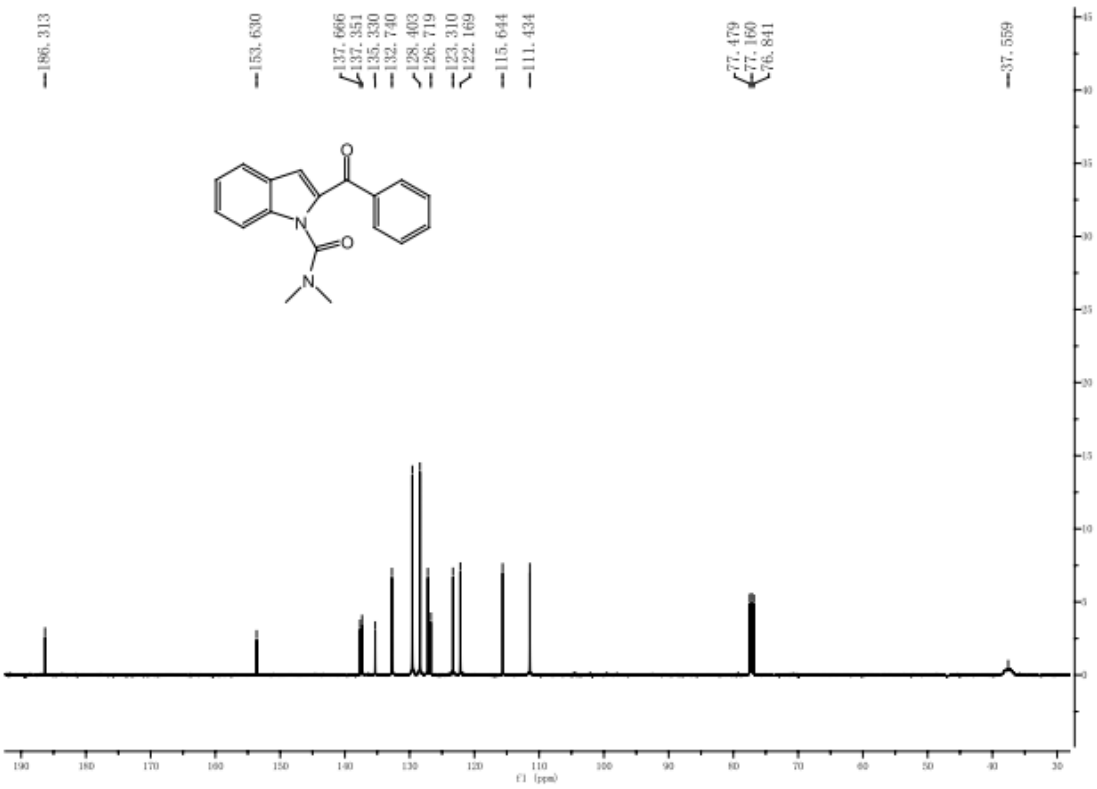
3a-1

Yield: 98%. ^{1}H NMR (400MHz, $CDCl_3$) δ 7.22 (m, 2H), 7.41 (m, 1H), 7.55 (m, 3H), 7.66 (tt, J = 7.2, 1.4 Hz, 1 H), 7.76 (td, J = 8.2, 1.1Hz, 1H), 8.05 (m, 2H), 9.80 (s, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 112.4, 113.1, 121.1, 123.3, 126.6, 127.7, 128.5, 129.3, 132.5, 134.4, 137.8, 138.1, 187.5; HRMS (EI) Calcd for $C_{15}H_{11}NO$ $[M]^+$ 221.0841, found 221.0833.

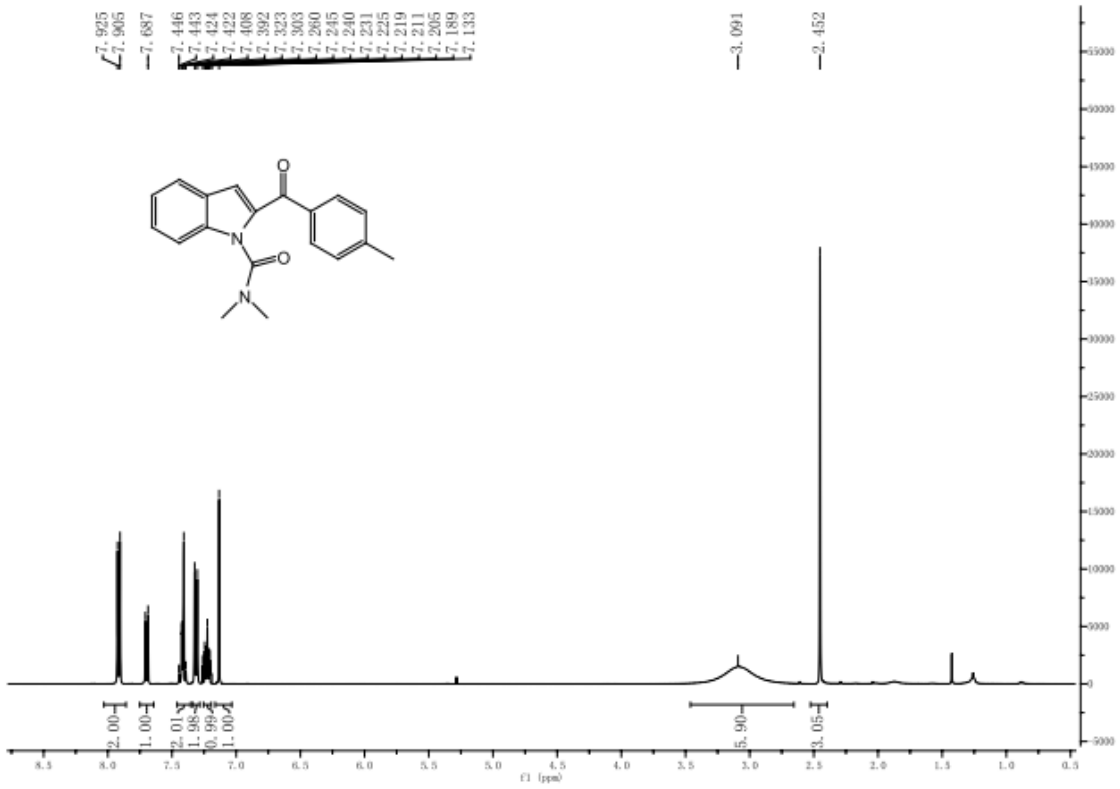
^{1}H and ^{13}C NMR Spectra of Compounds:

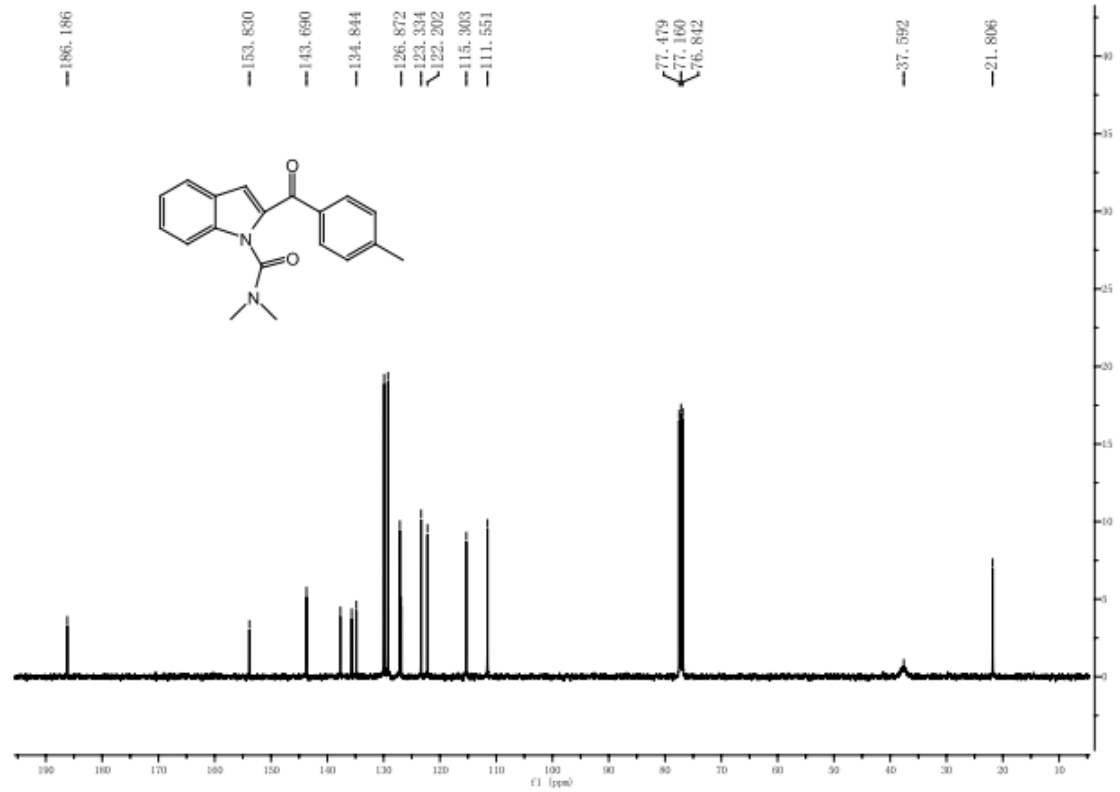
N,N-Dimethyl-2-Benzoyl-1H-indole-1-carboxamide (3a)



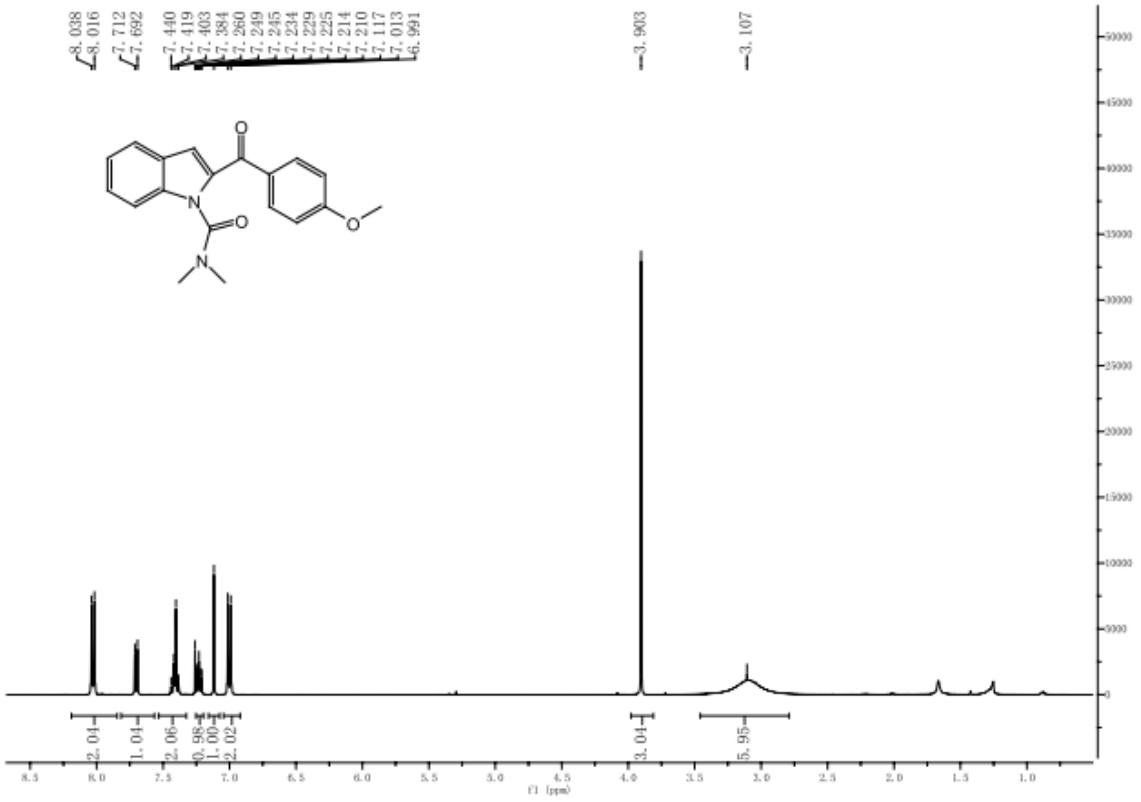


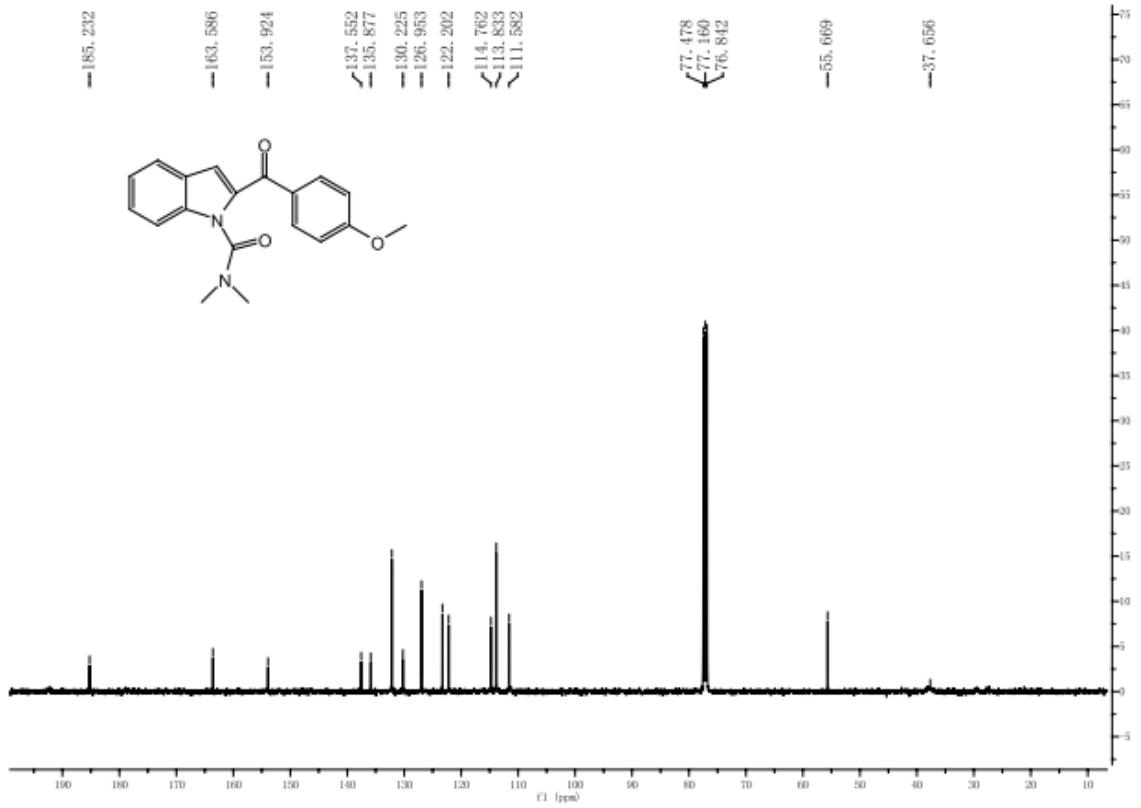
N,N-Dimethyl-2-(4-methylbenzoyl)-1H-indole-1-carboxamide (3g)



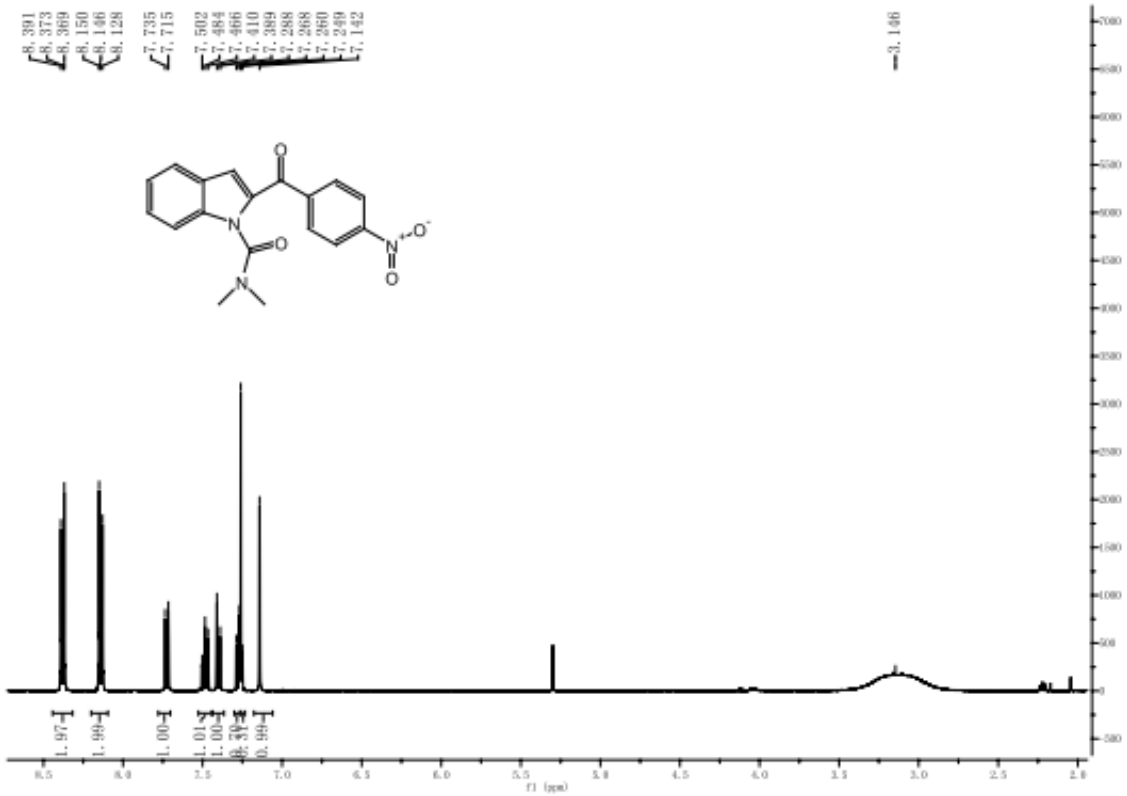


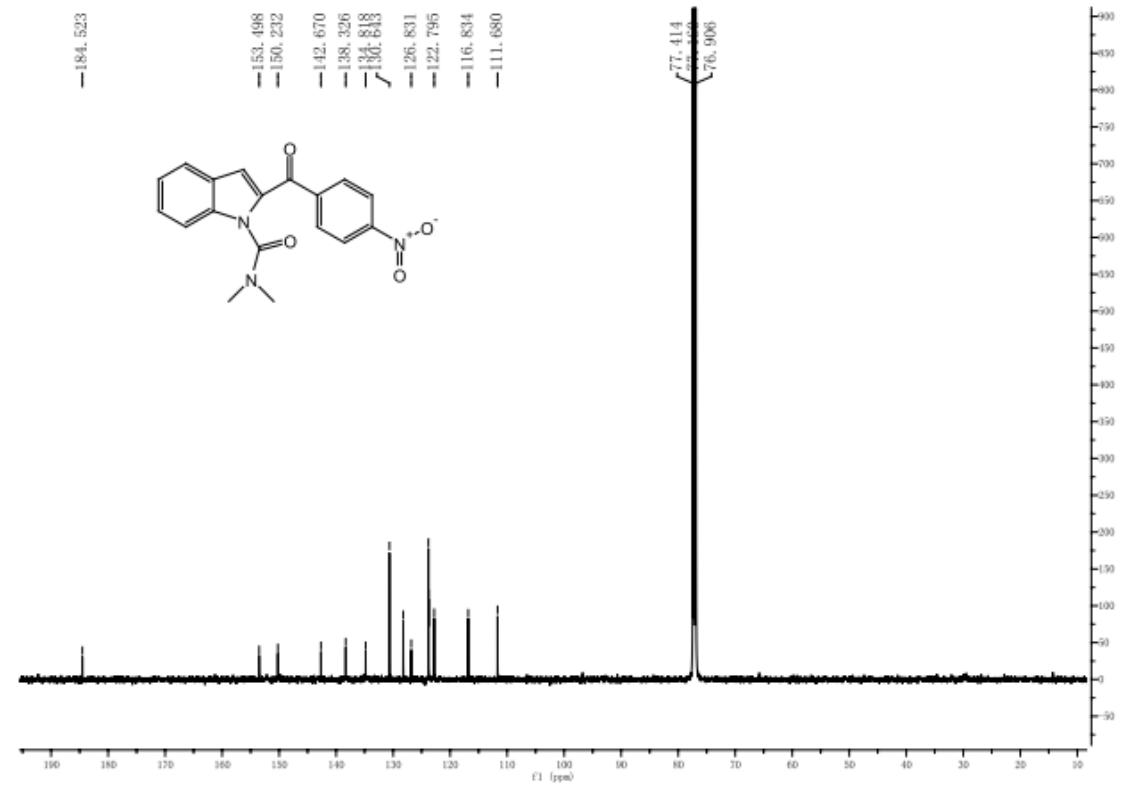
N,N-Dimethyl-2-(4-methoxybenzoyl)-1H-indole-1-carboxamide (3h)



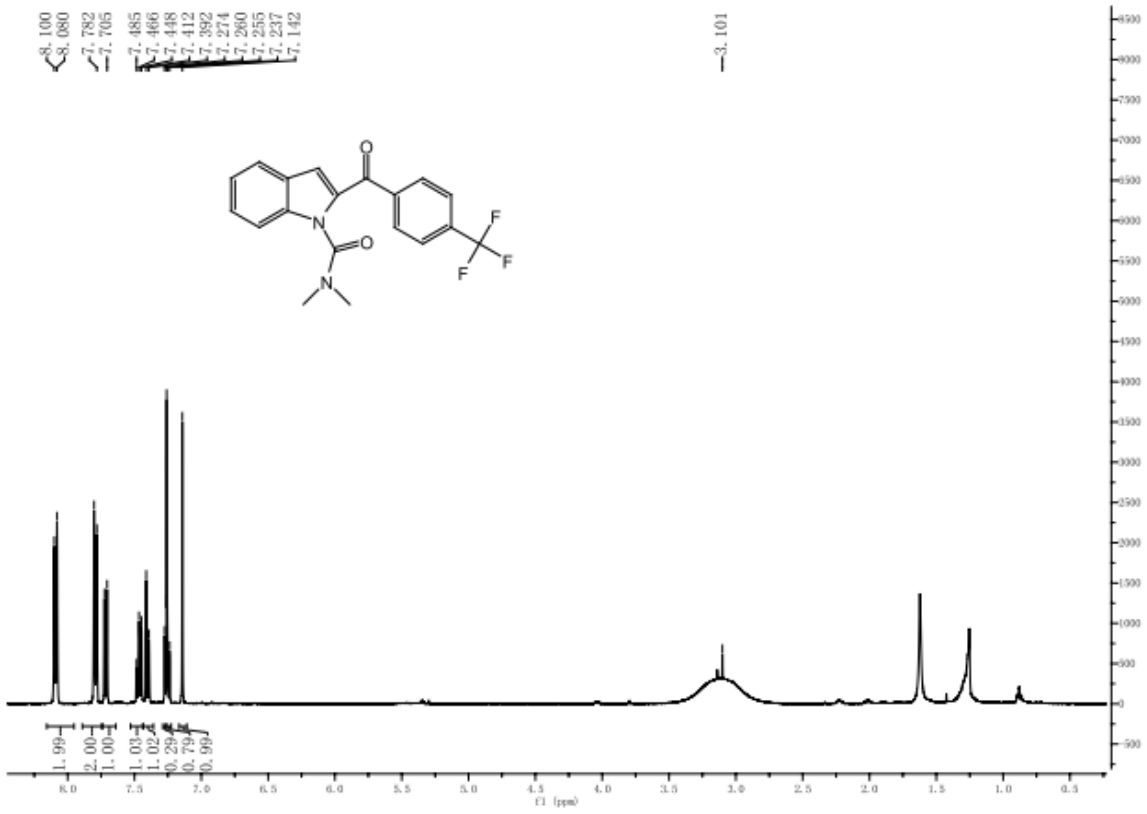


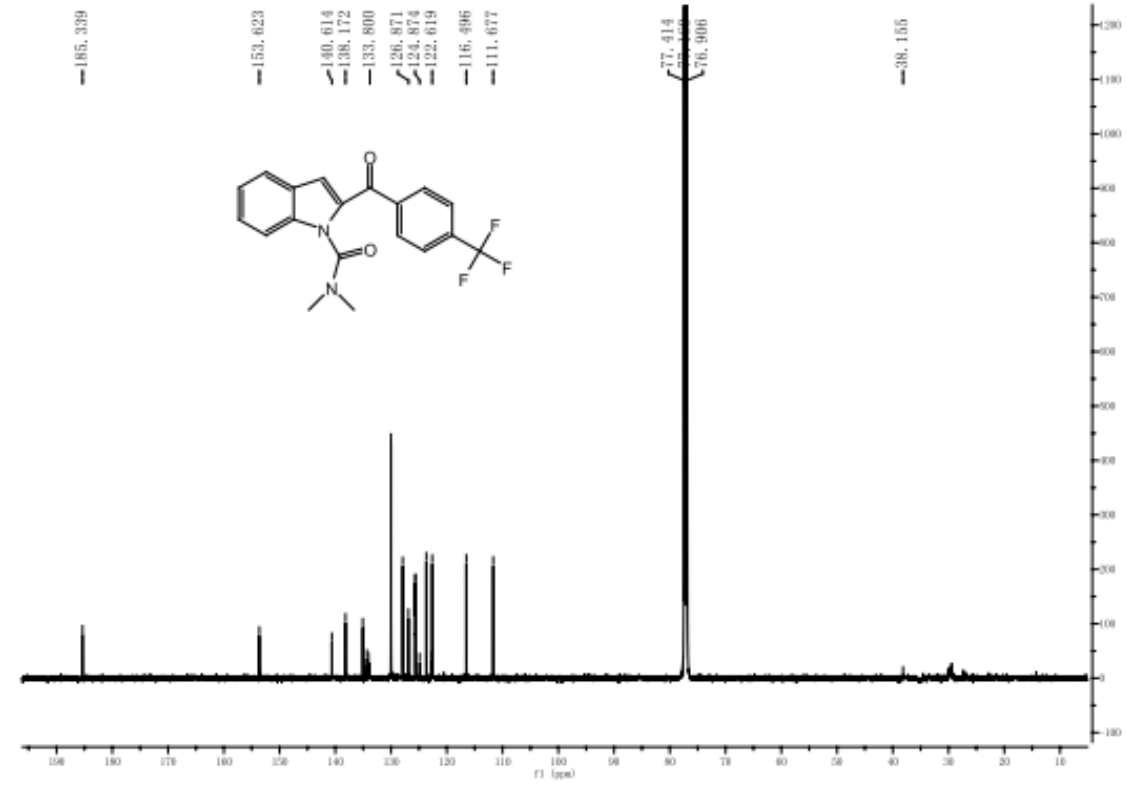
***N,N*-Dimethyl-2-(4-nitrobenzoyl)-1H-indole-1-carboxamide (3i)**



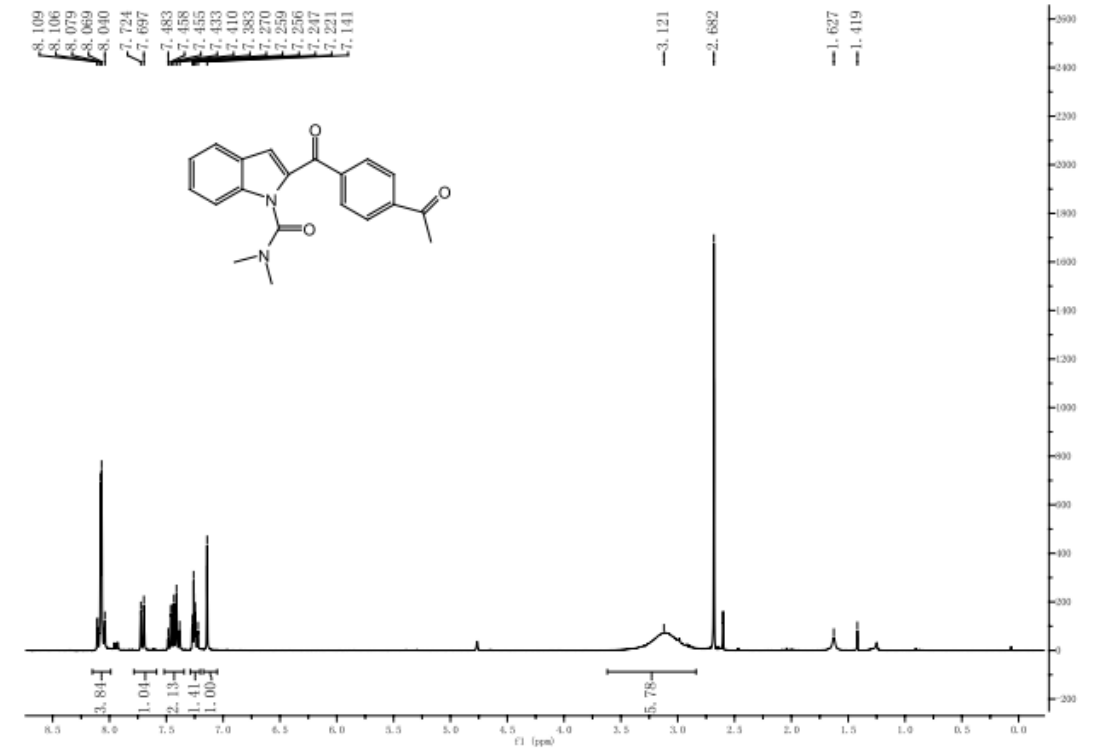


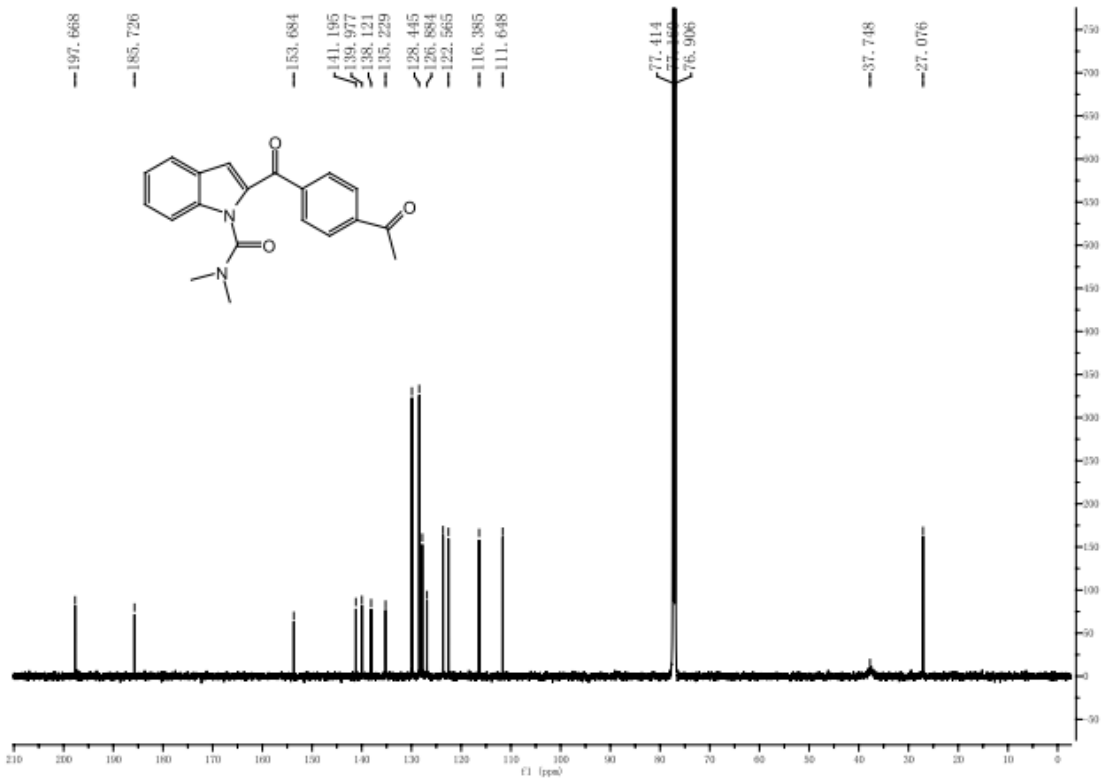
_N,N_-Dimethyl-2-(4-trifluoromethylbenzoyl)-1H-indole-1-carboxamide (3j)



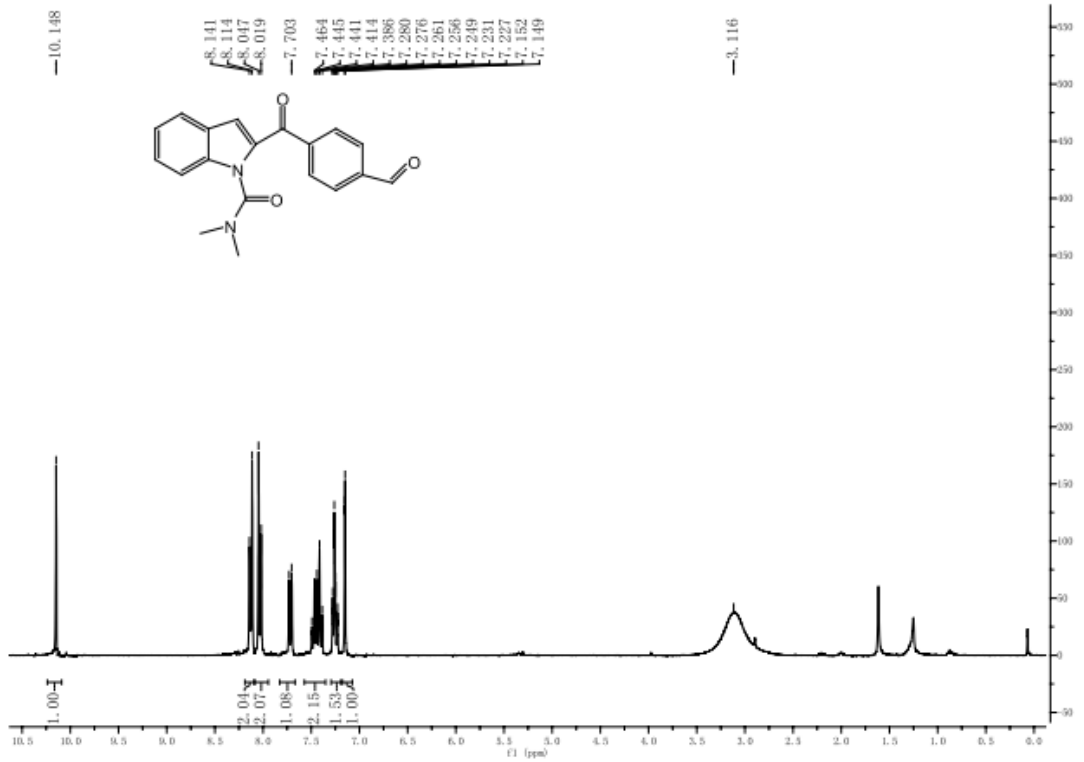


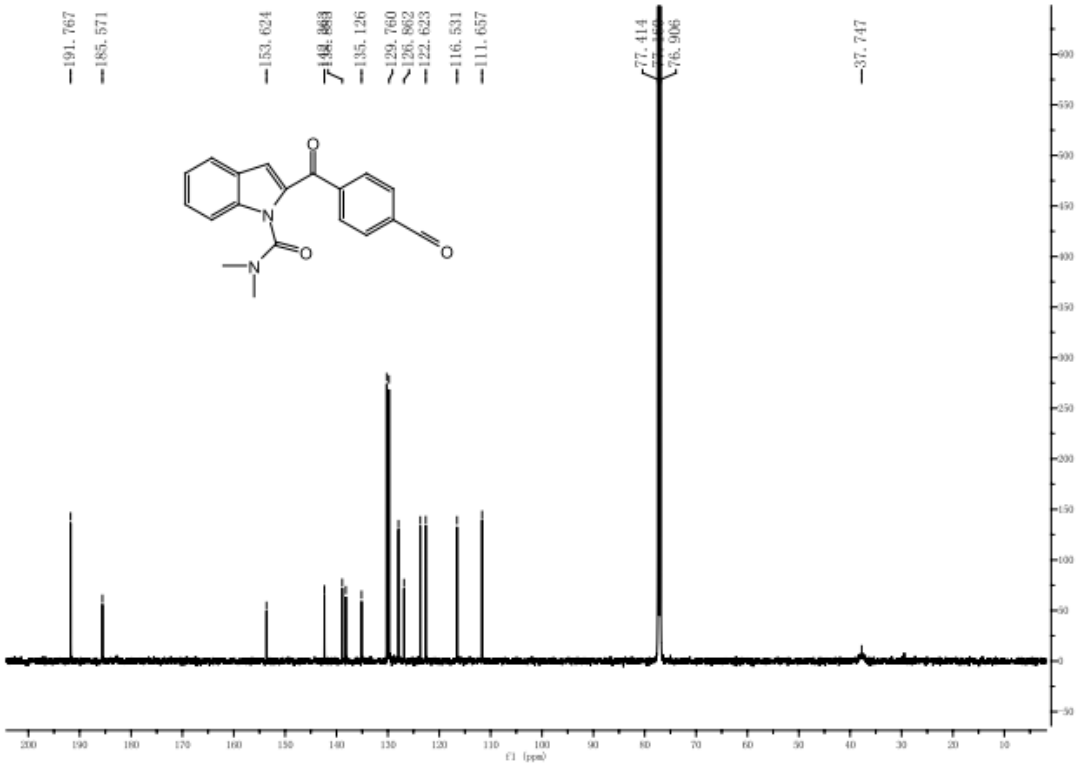
N,N-Dimethyl-2-(4-acetylbenzoyl)-1H-indole-1-carboxamide (3k)



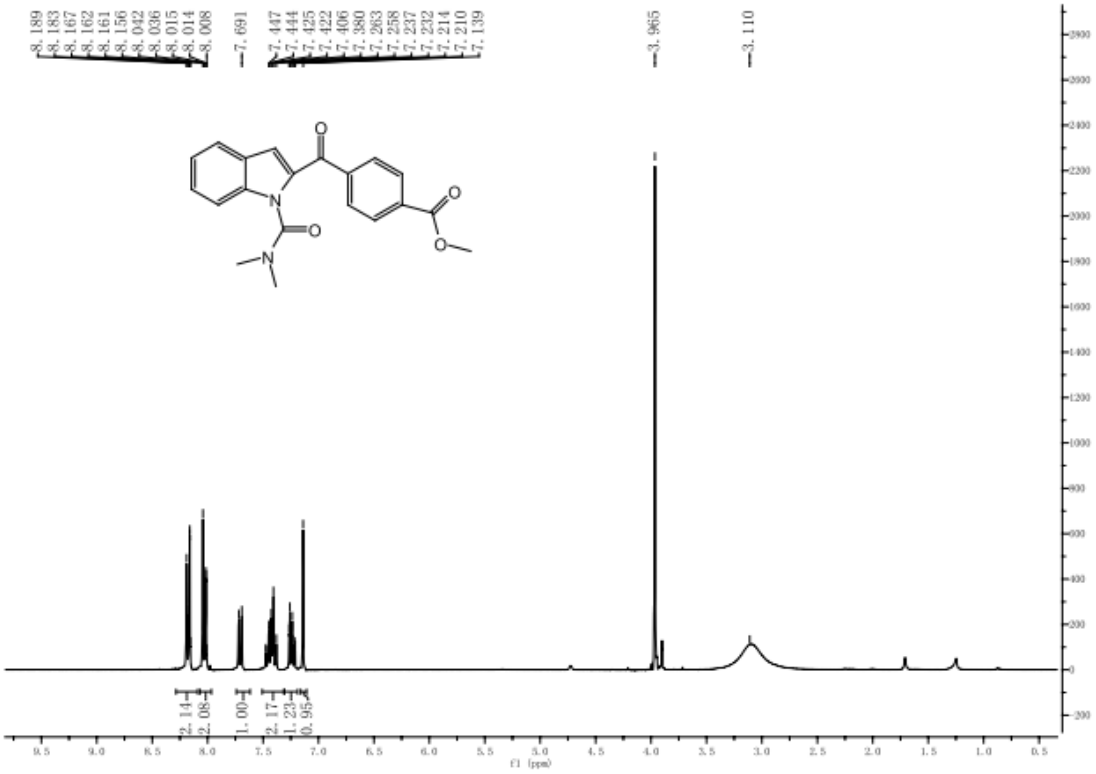


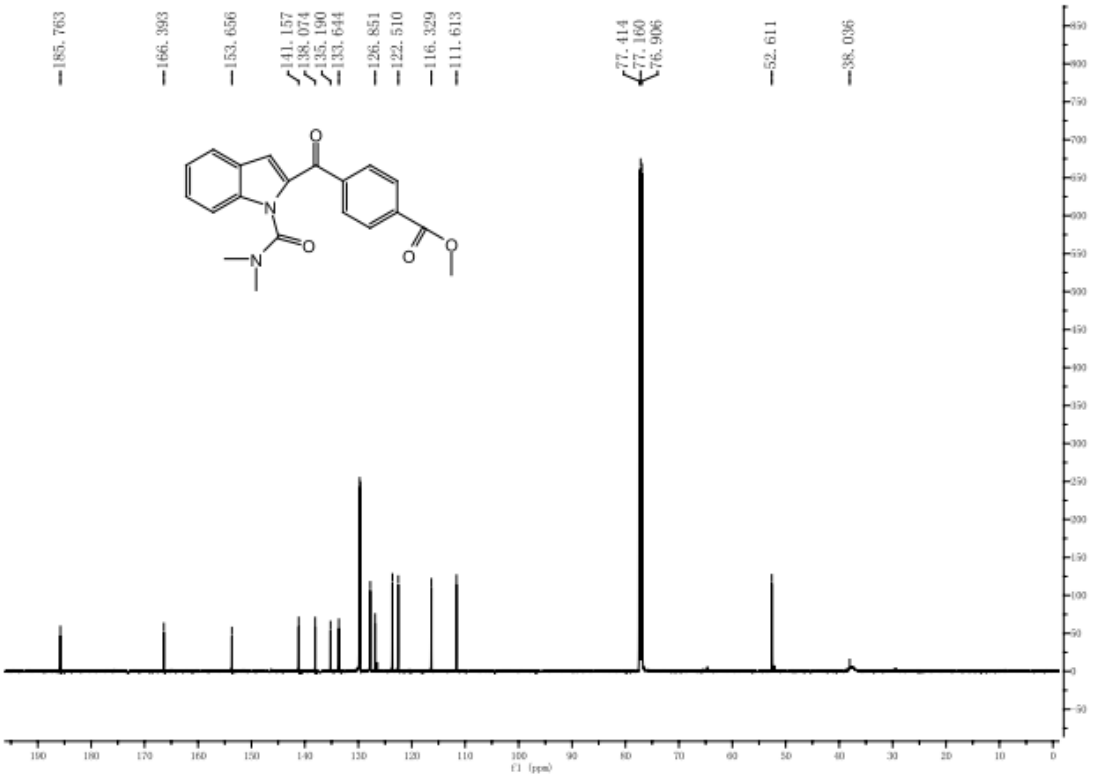
N,*N*-Dimethyl-2-(4-formylbenzoyl)-1H-indole-1-carboxamide (3l)



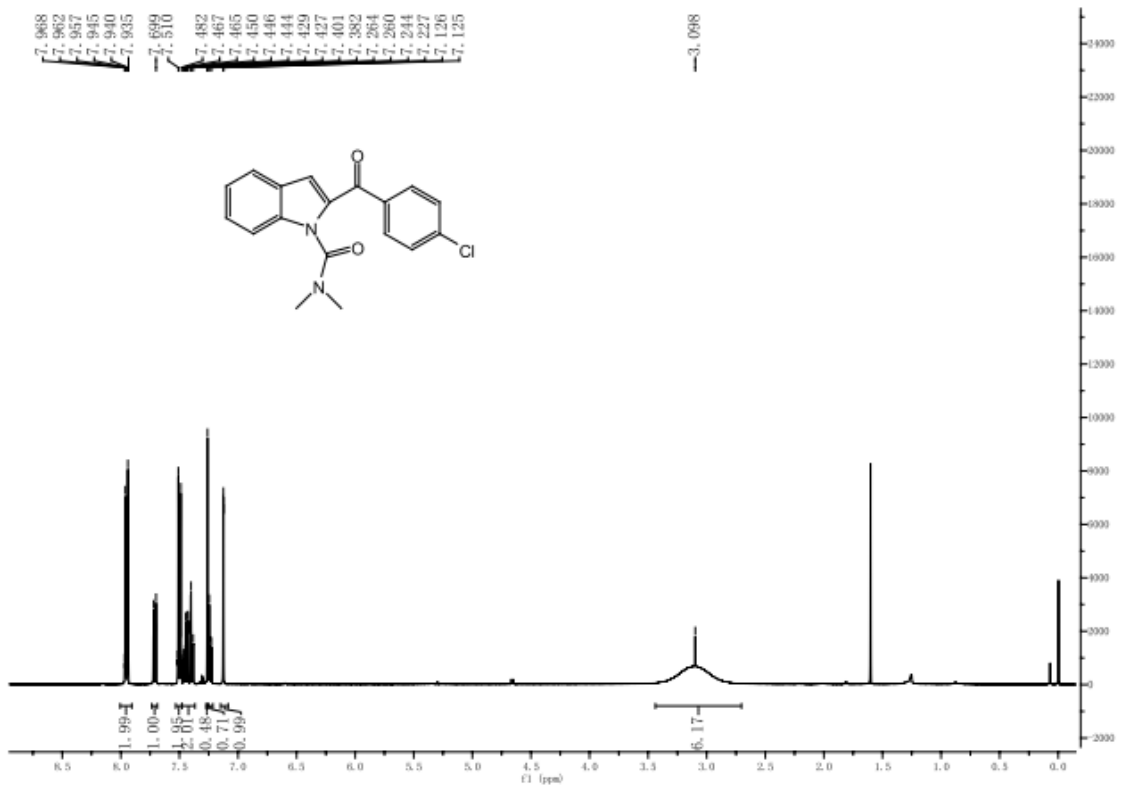


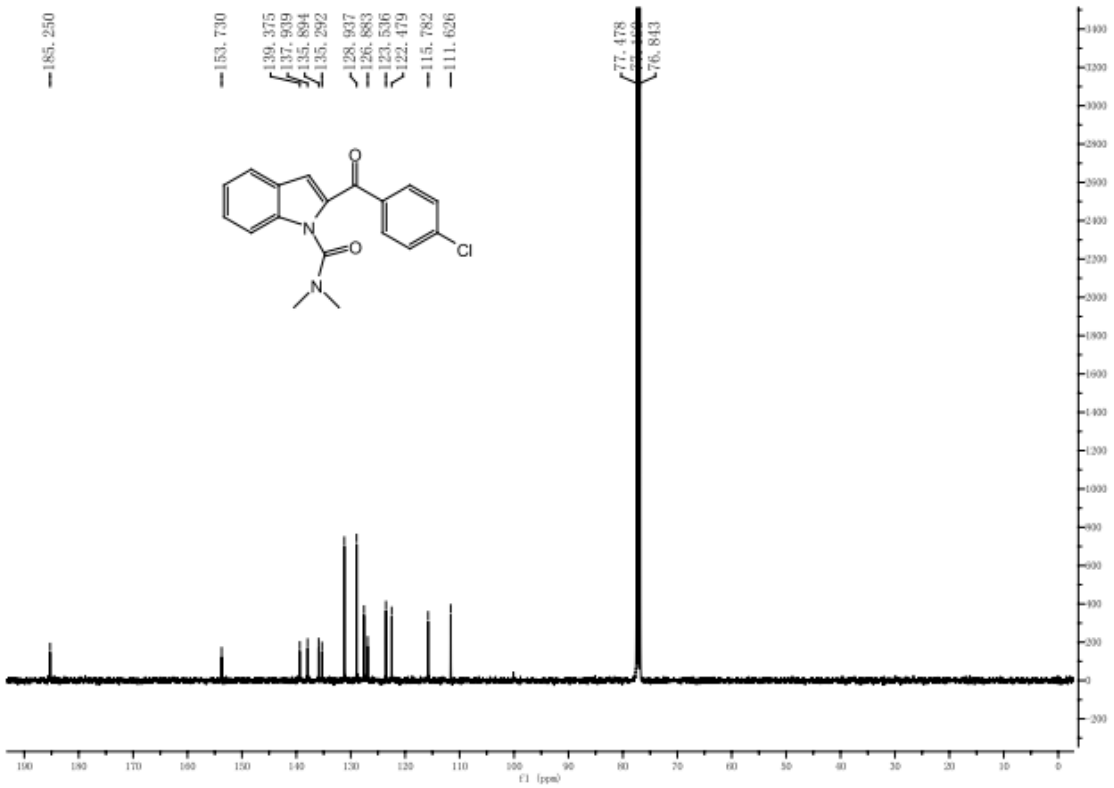
N,N-Dimethyl-2-(4-methoxycarbonylbenzoyl)-1H-indole-1-carboxamide (3m)



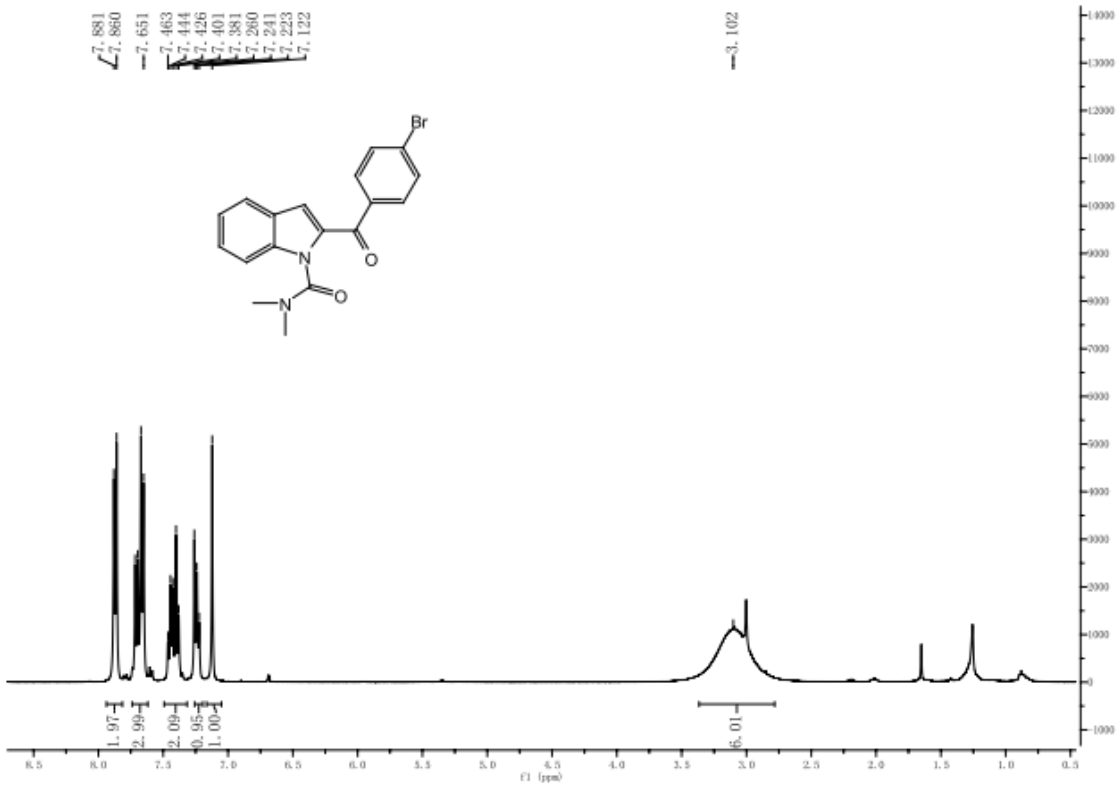


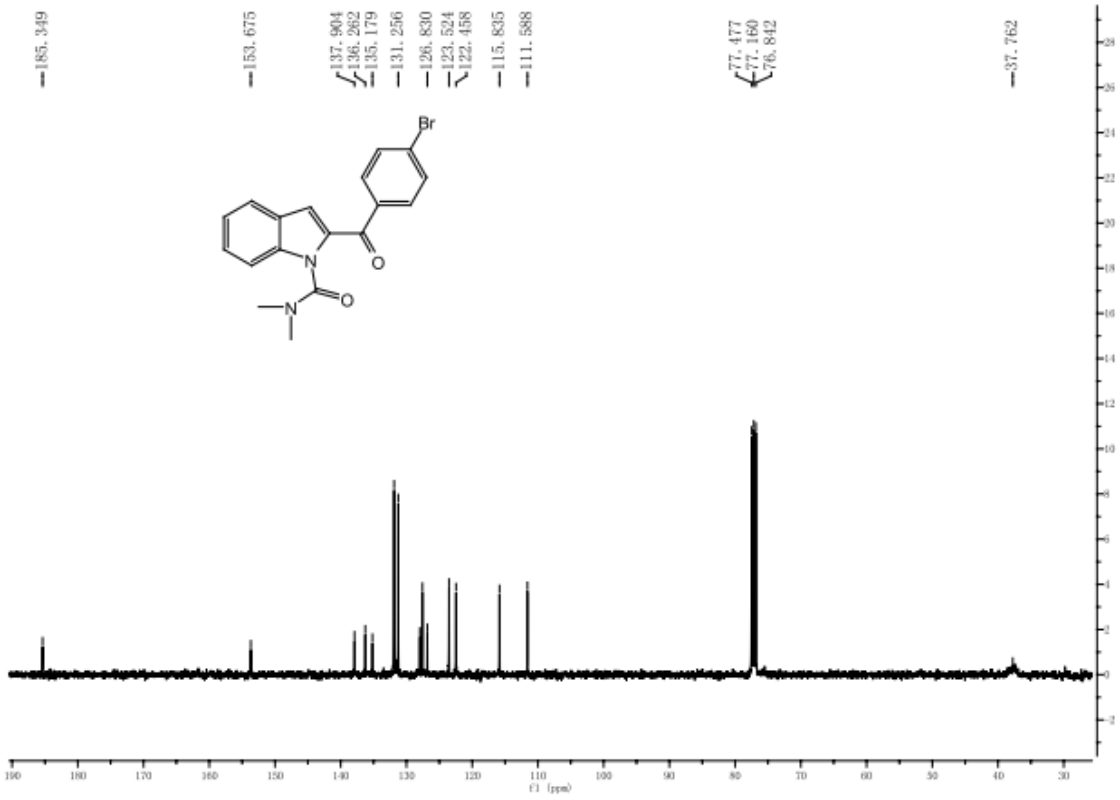
N,N-Dimethyl-2-(4-chlorobenzoyl)-1H-indole-1-carboxamide (3n)



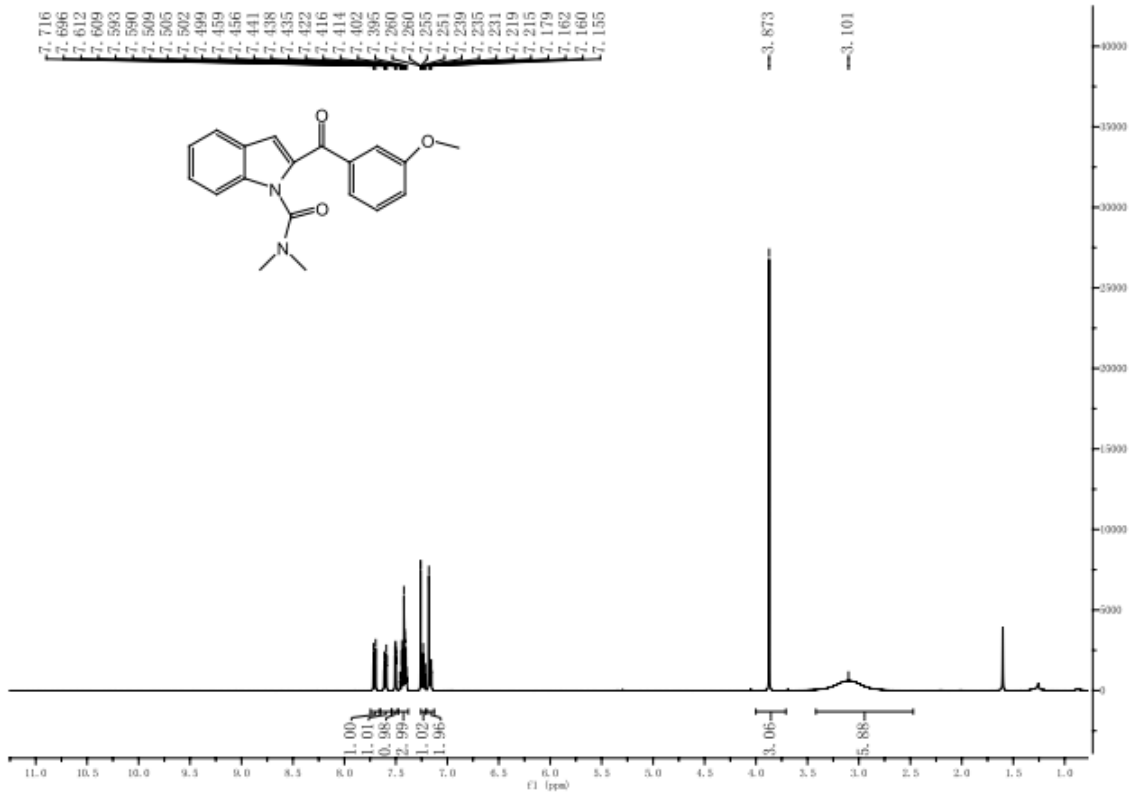


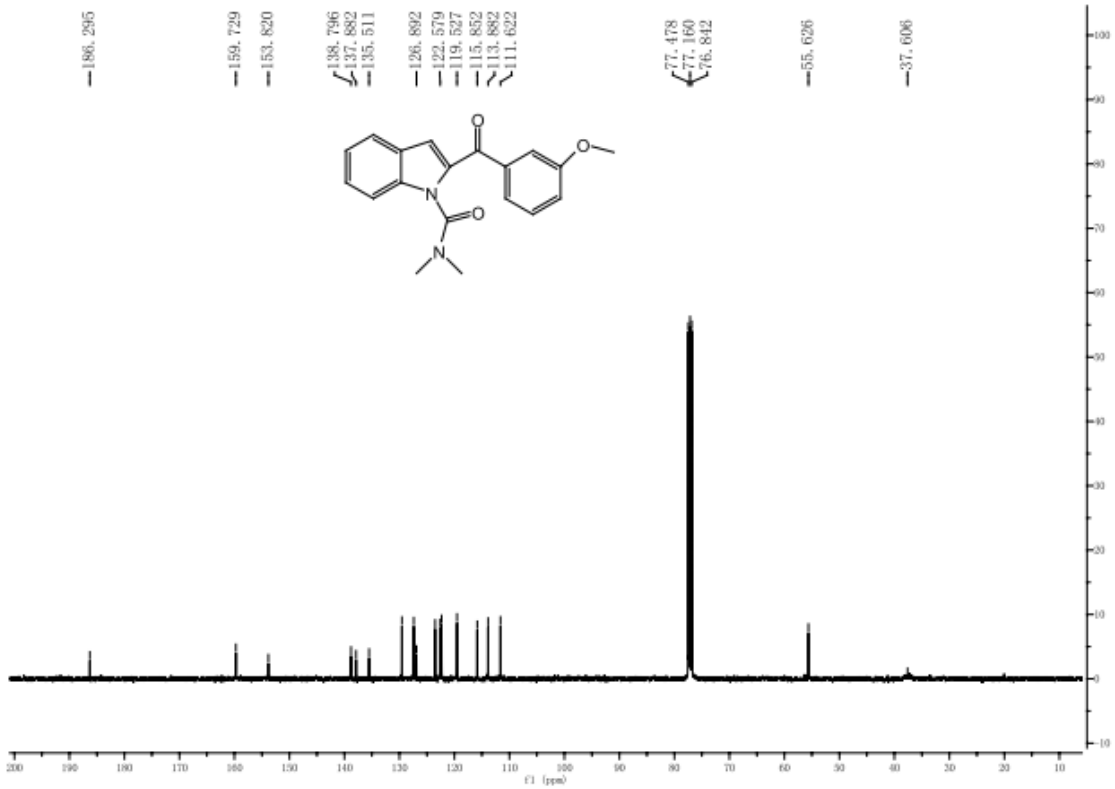
N,N-Dimethyl-2-(4-bromobenzoyl)-1H-indole-1-carboxamide (3o)



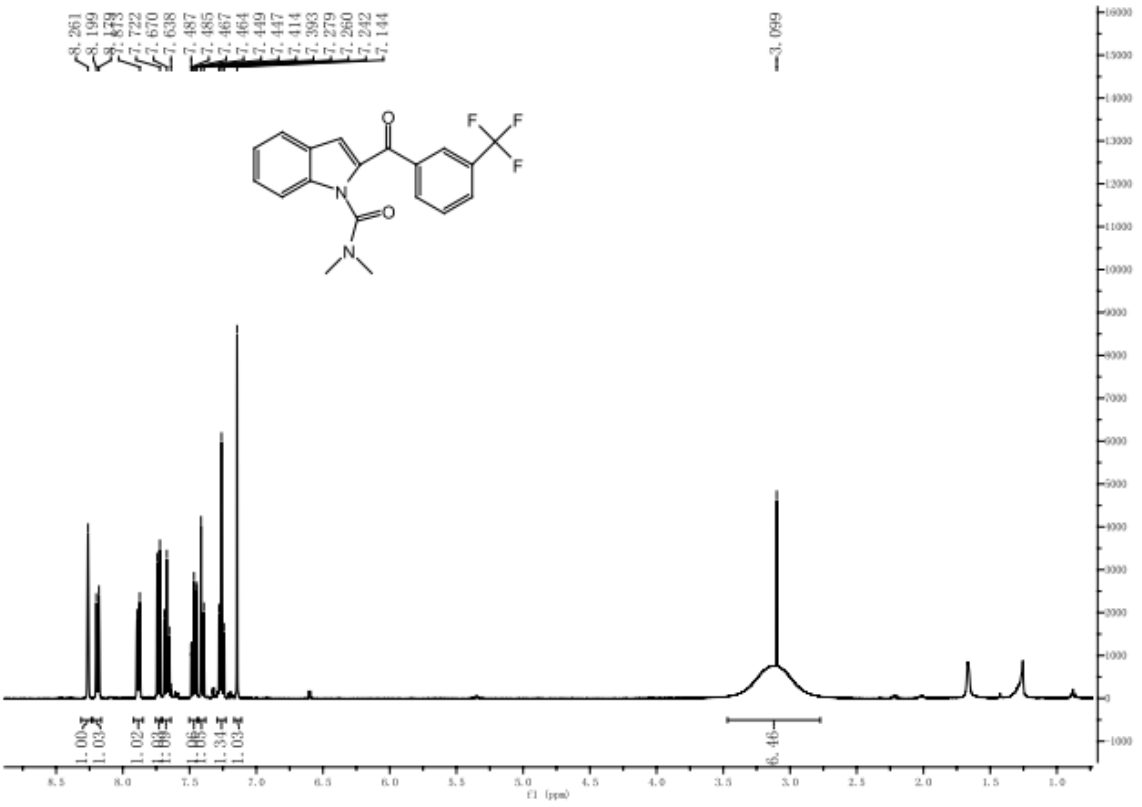


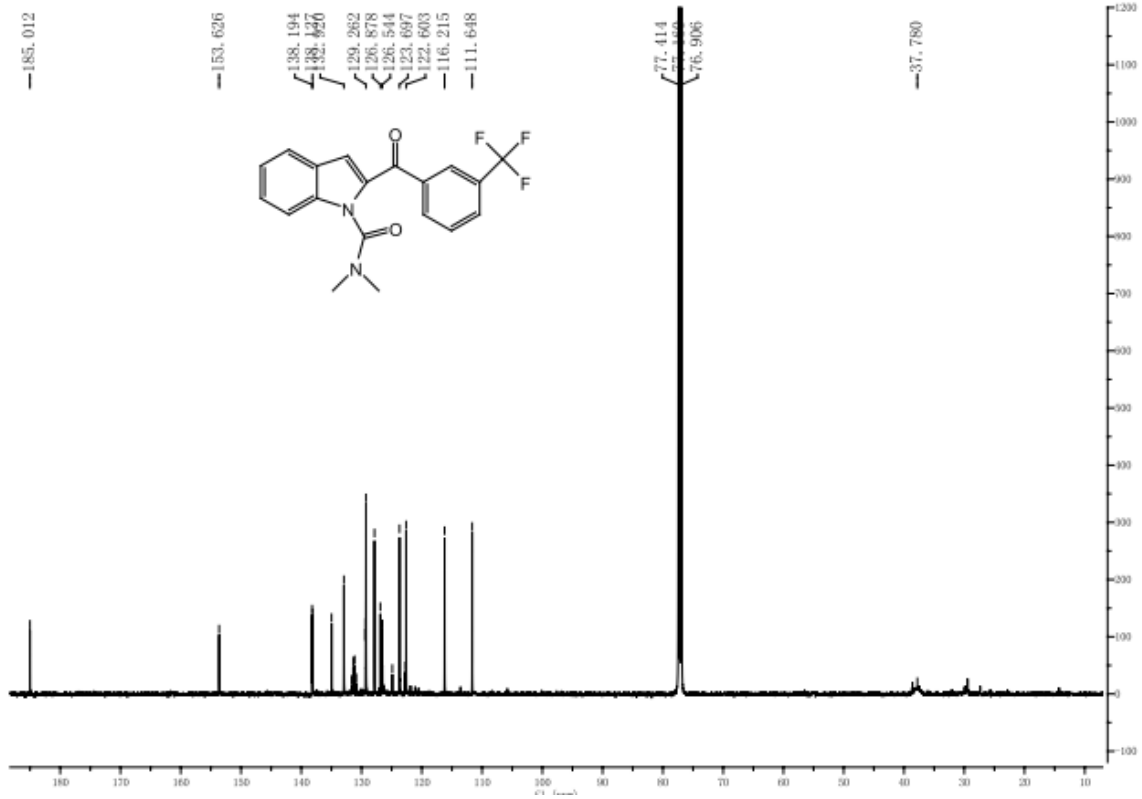
***N,N*-Dimethyl-2-(3-methoxybenzoyl)-1H-indole-1-carboxamide (3p)**



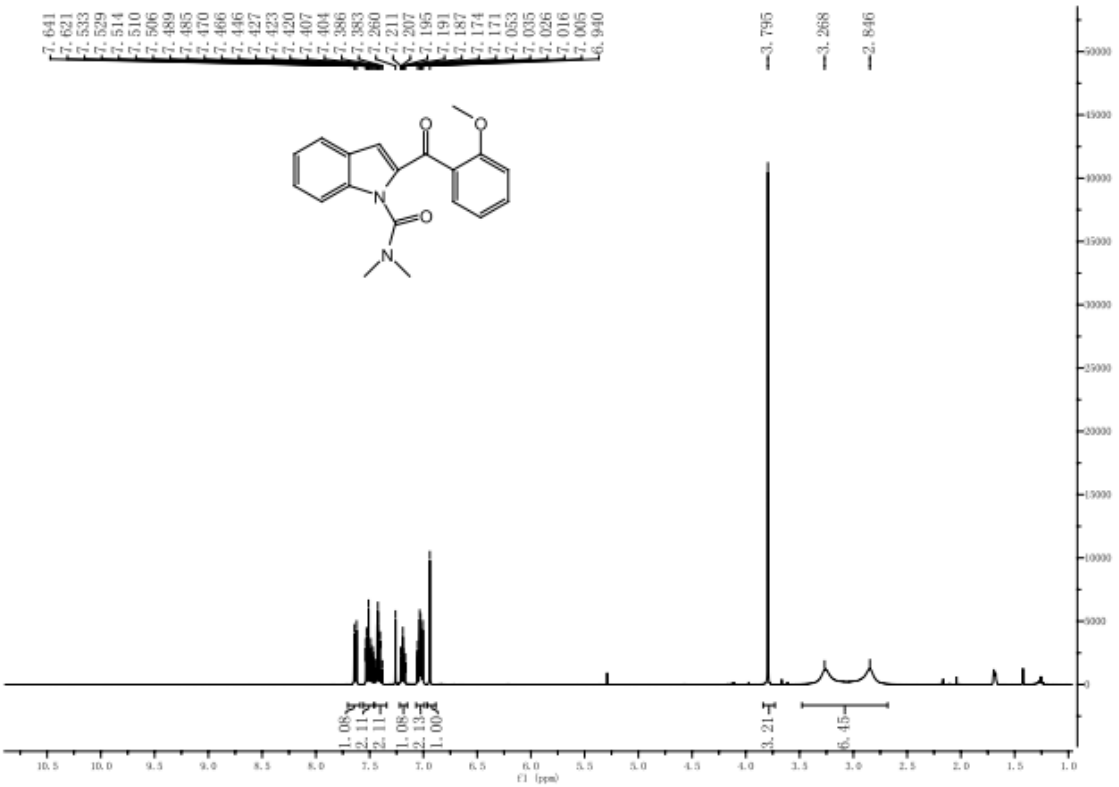


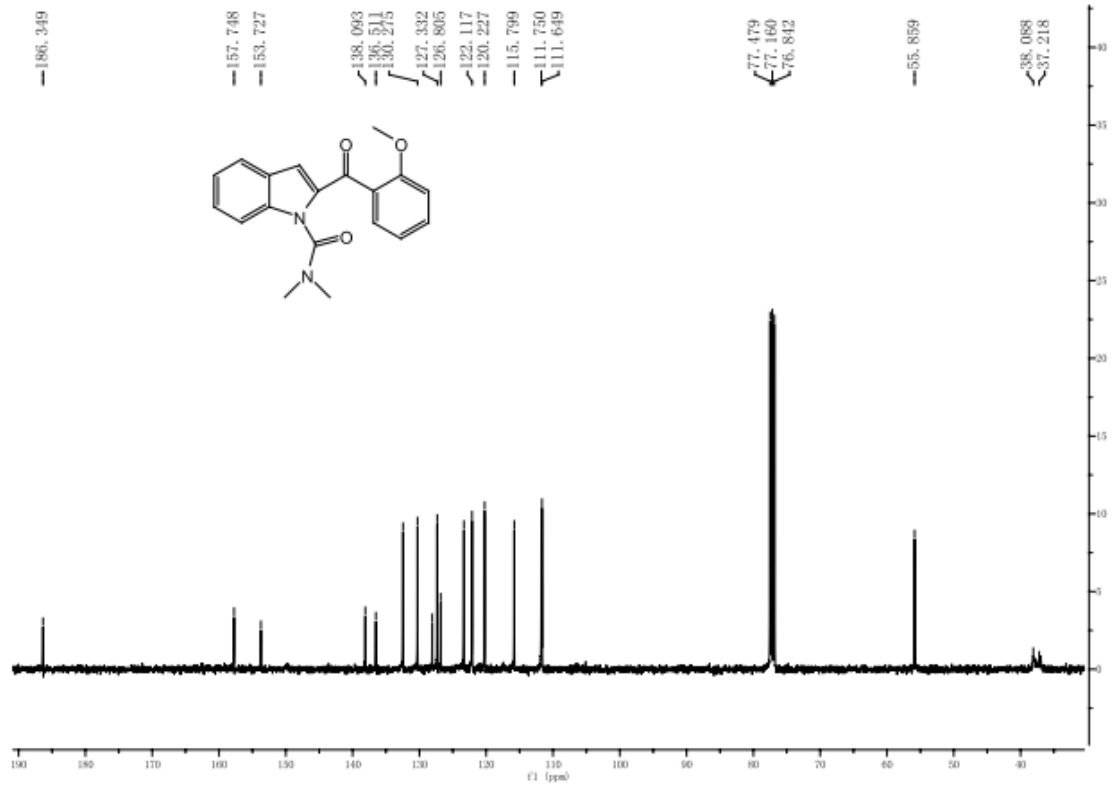
N,N-Dimethyl-2-(3-trifluoromethylbenzoyl)-1H-indole-1-carboxamide (3q)



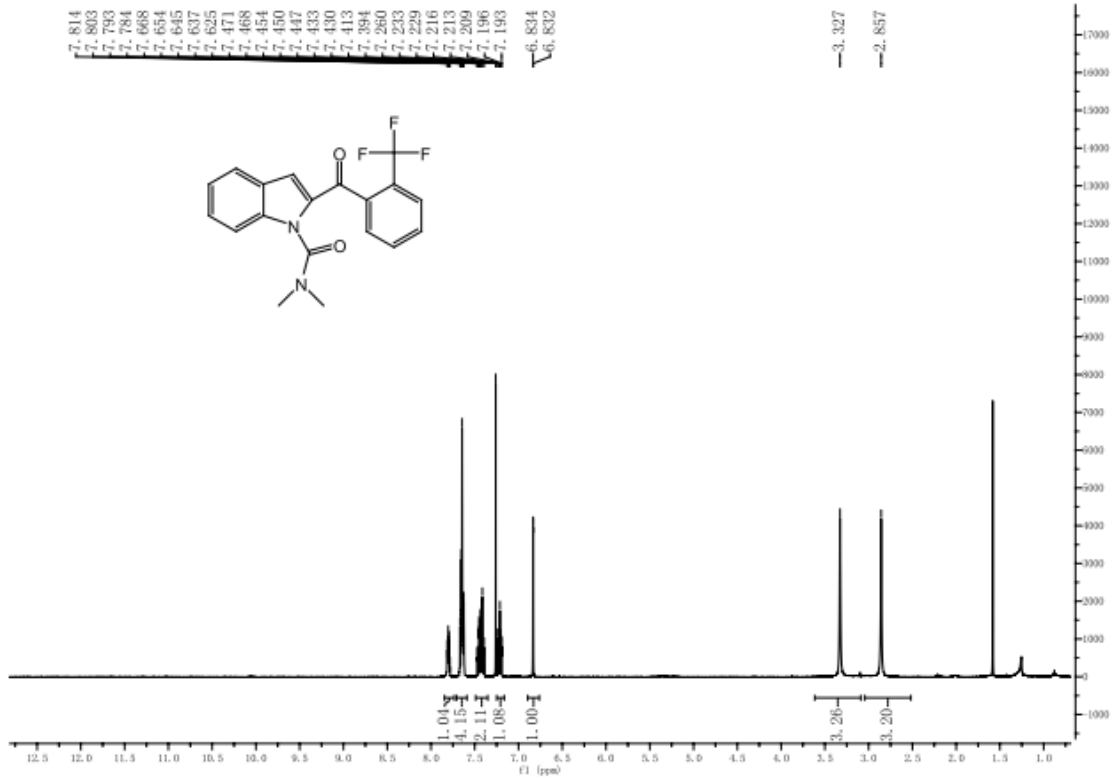


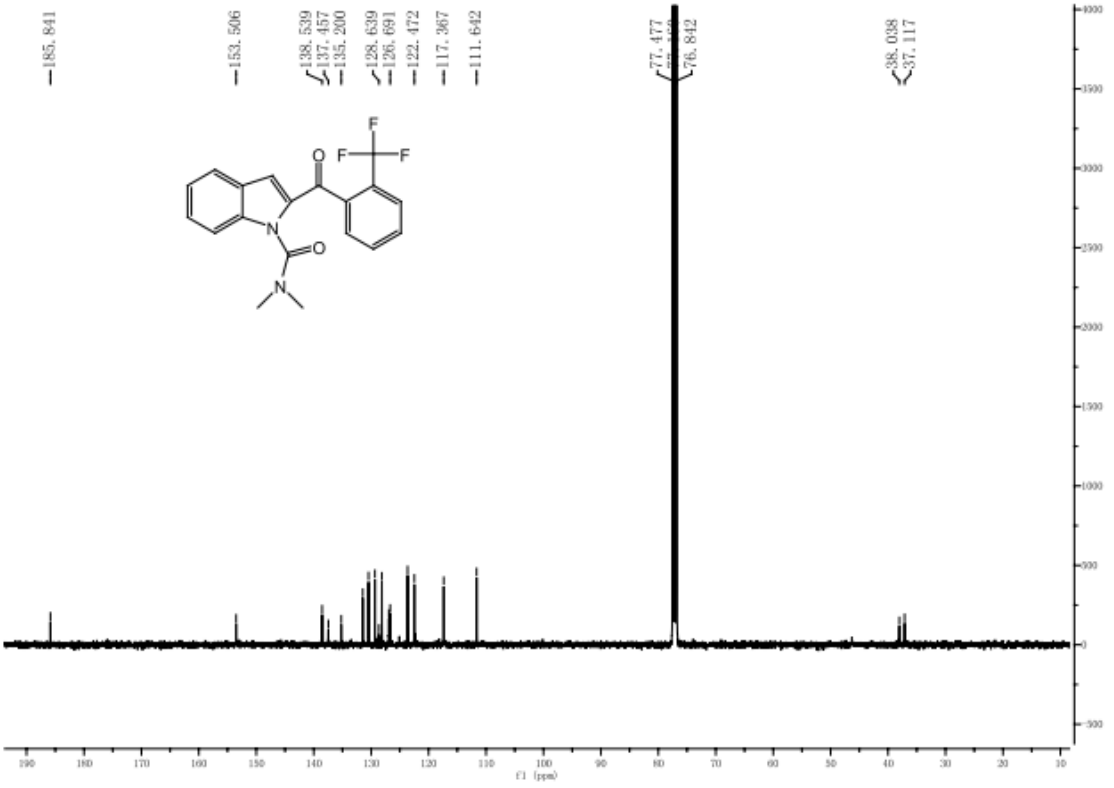
_N,N_-Dimethyl-2-(2-methoxybenzoyl)-1H-indole-1-carboxamide (3r)



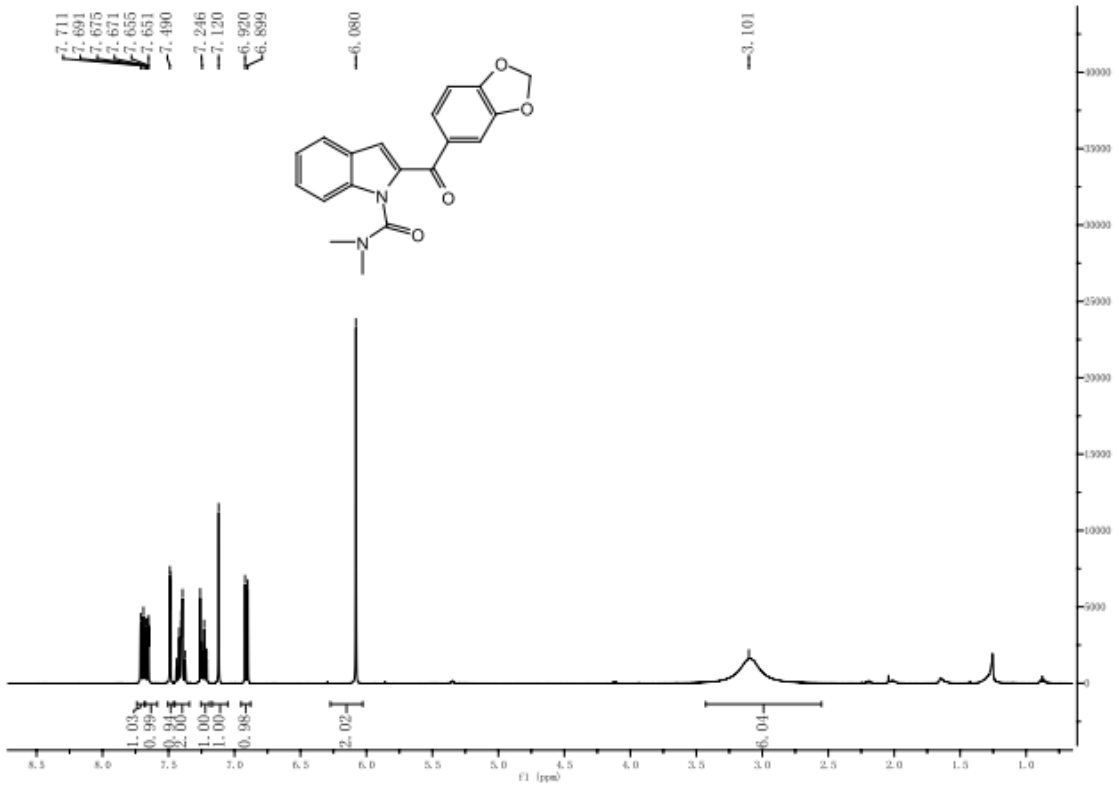


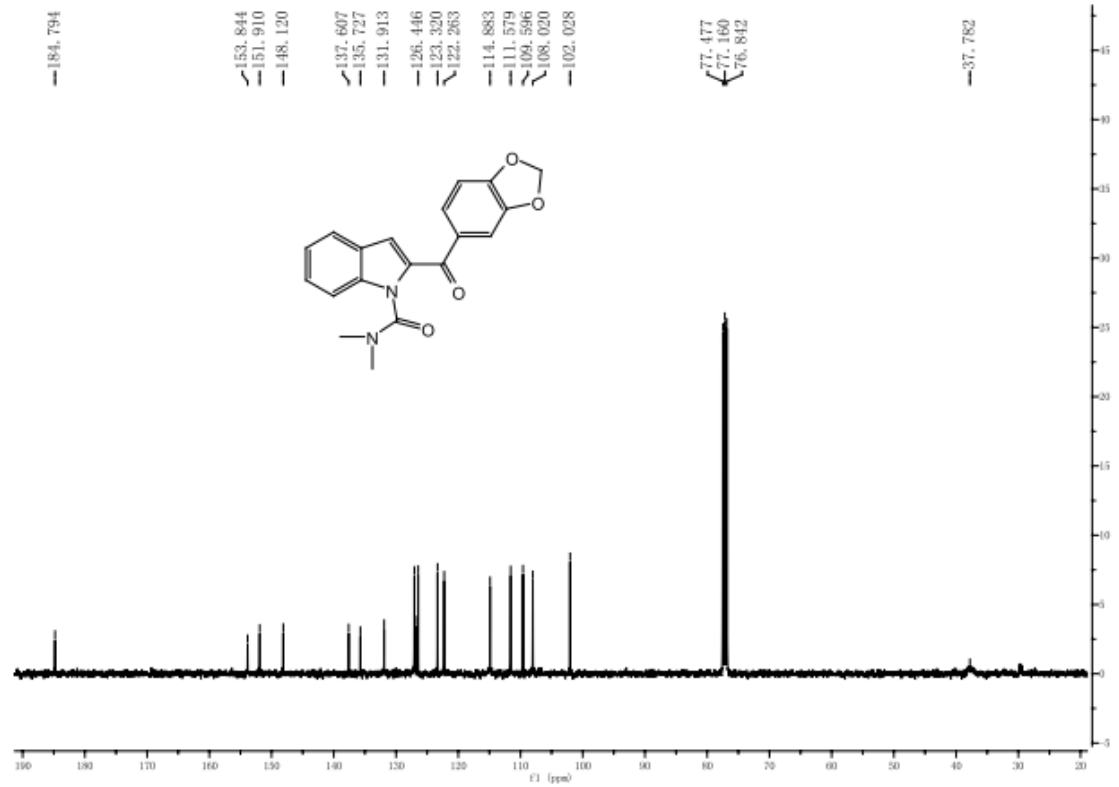
N,N-Dimethyl-2-(2-trifluoromethylbenzoyl)-1H-indole-1-carboxamide (3s)



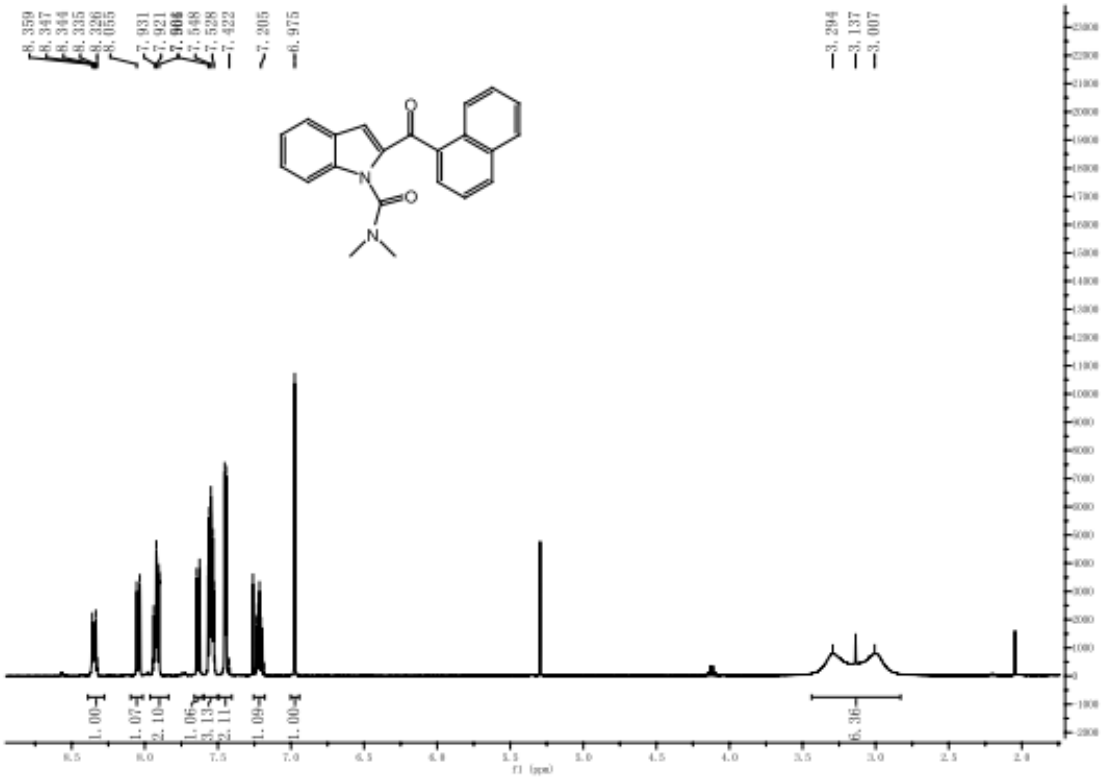


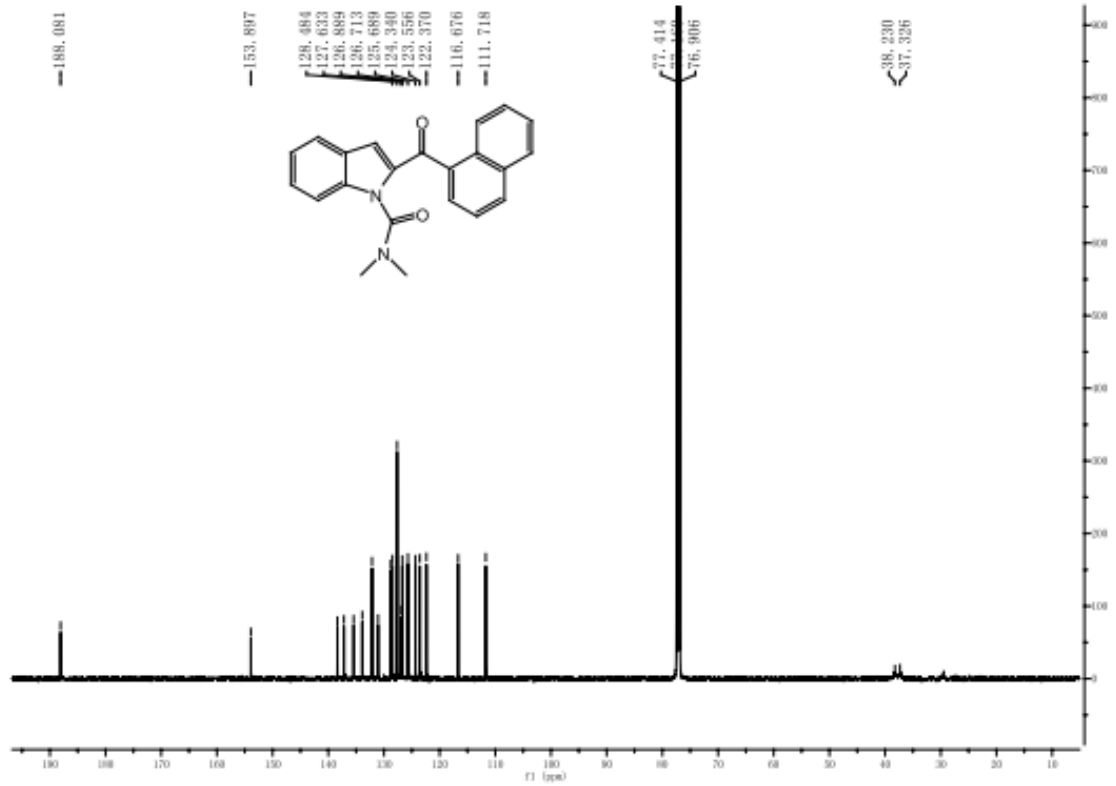
***N,N*-Dimethyl-2-(Benzo-[1,3]-dioxole-5-carbonyl)-1H-indole-1-carboxamide (3t)**



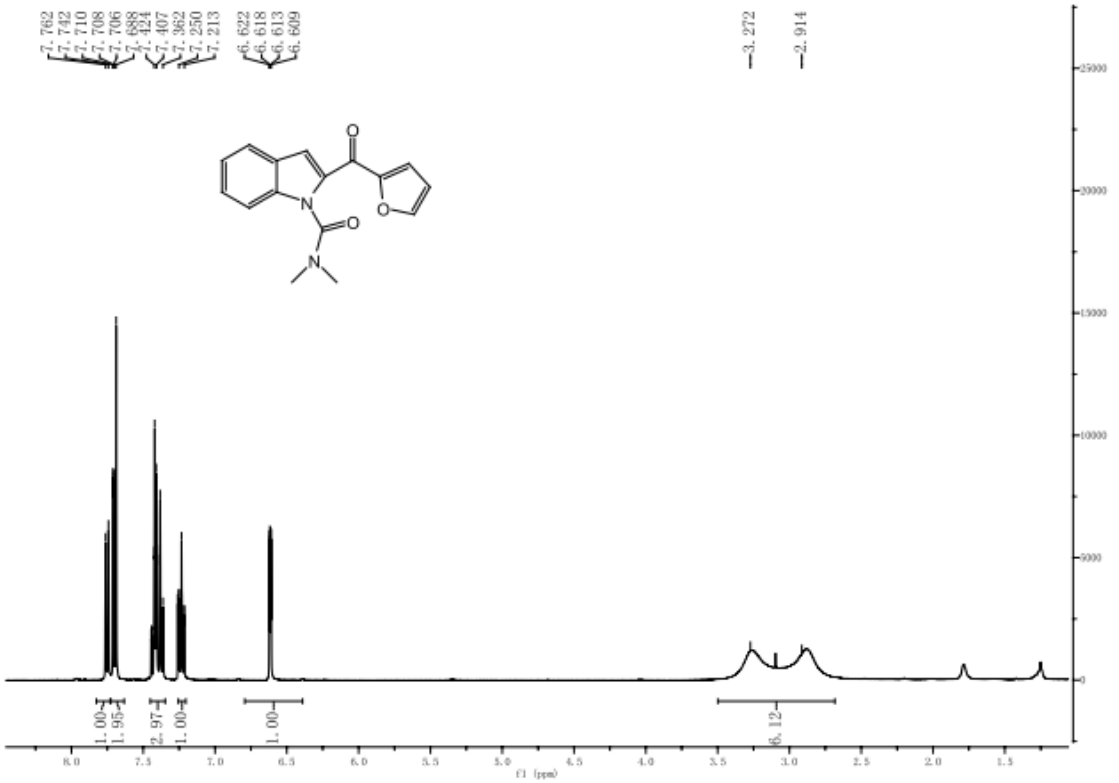


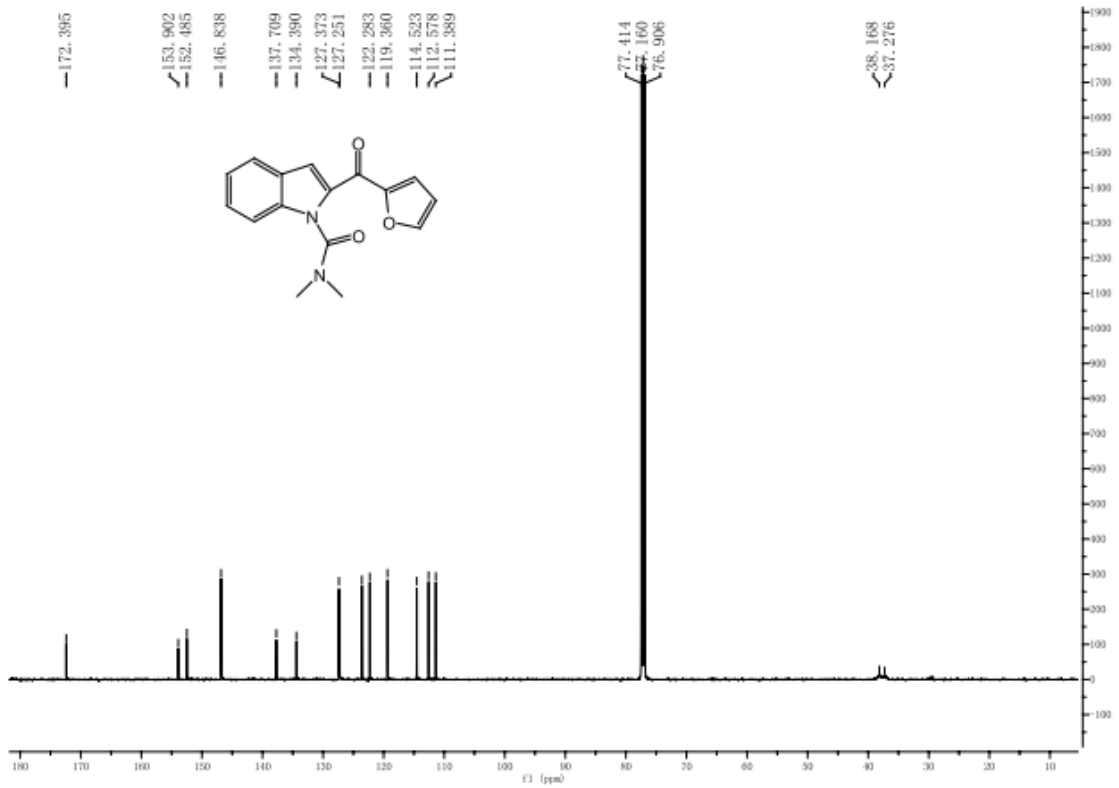
***N,N*-Dimethyl-2-(1-Naphthoyl)-1H-indole-1-carboxamide (3u)**



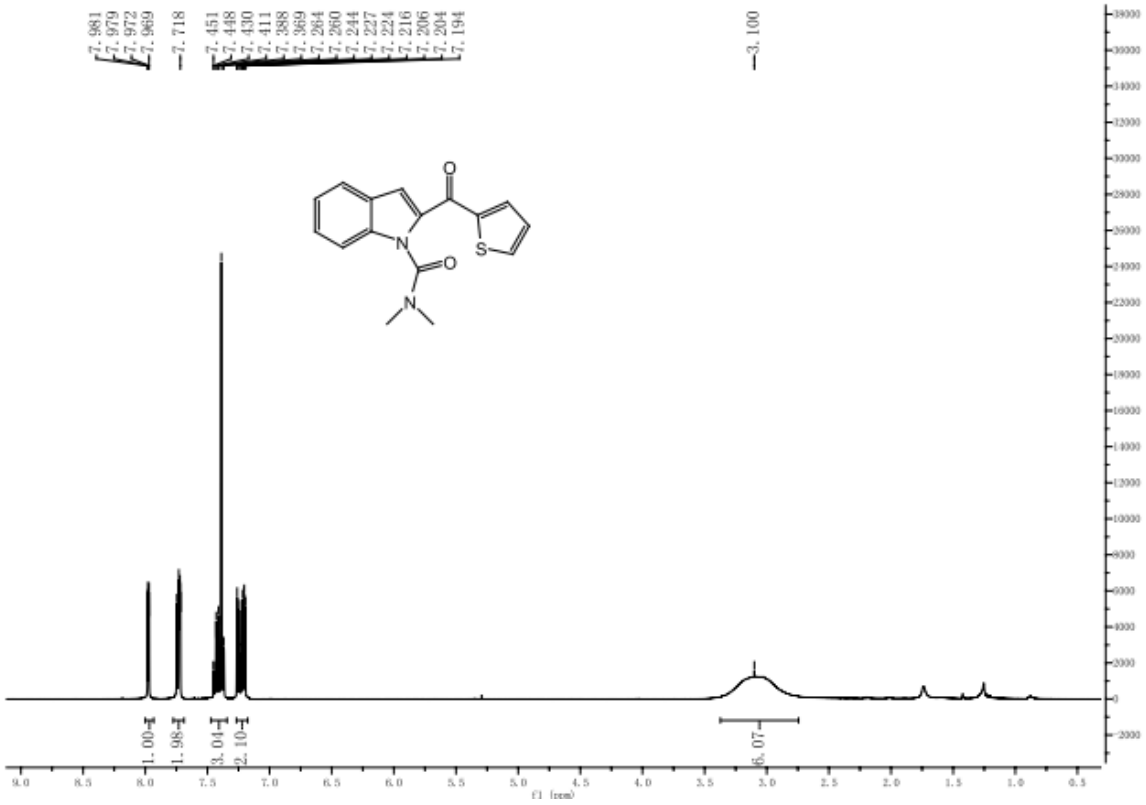


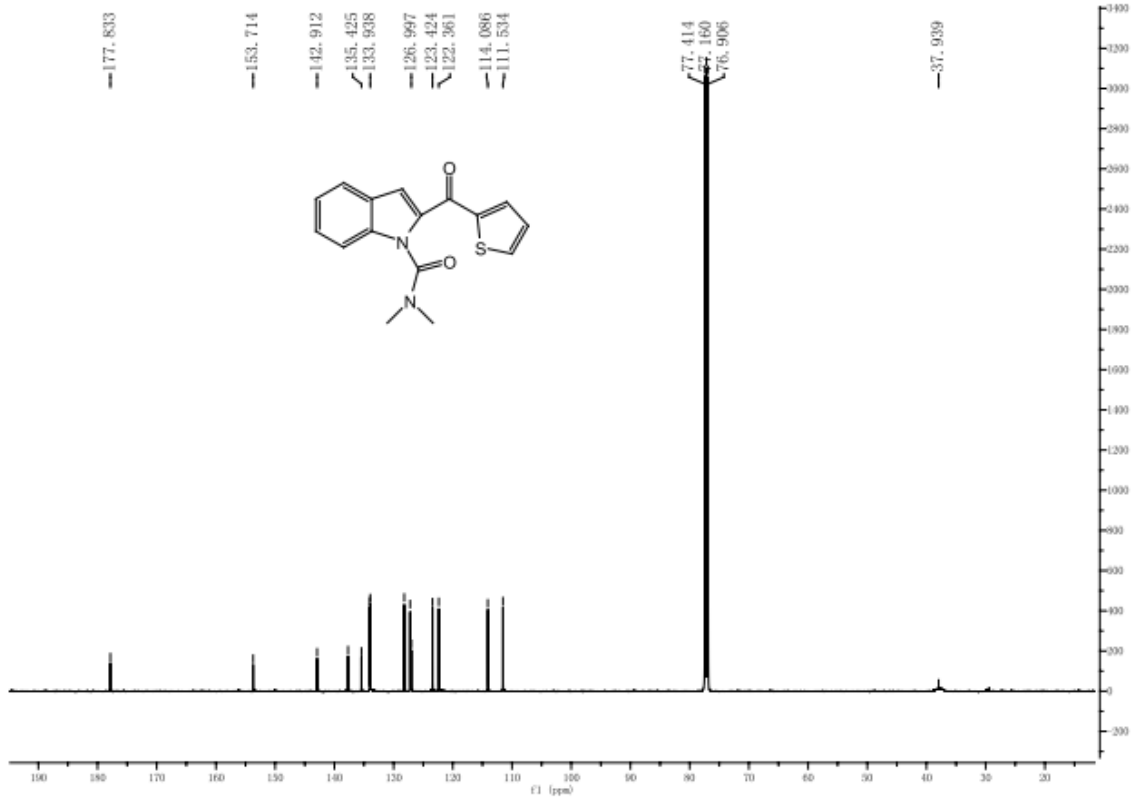
N,N-Dimethyl-2-(furan-2-carbonyl)-1H-indole-1-carboxamide (3v)



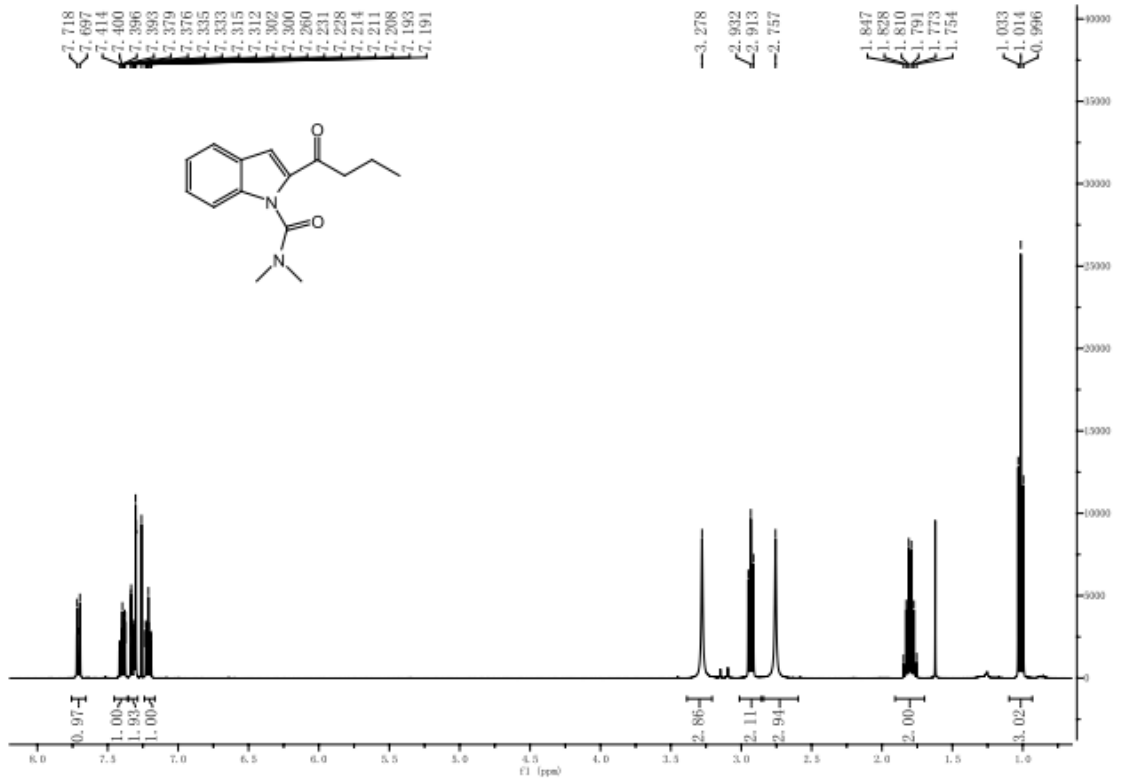


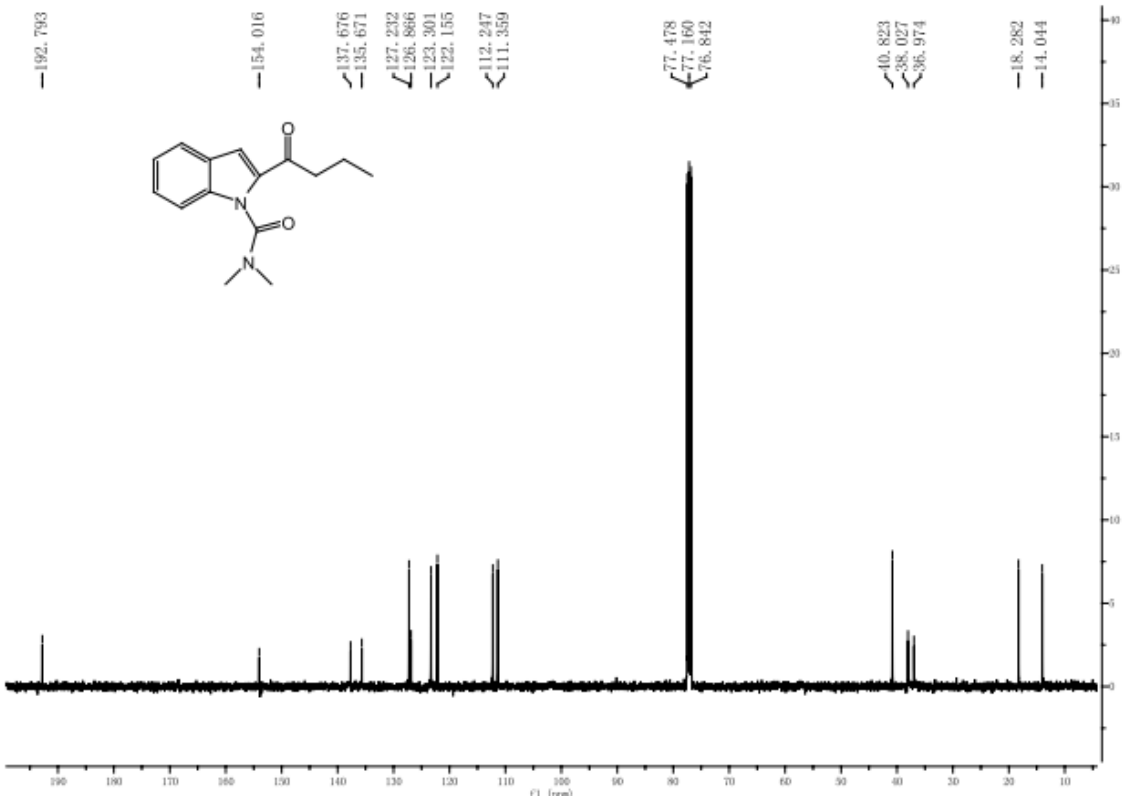
N,N-Dimethyl-2-(thiophene-2-carbonyl)-1H-indole-1-carboxamide (3w)



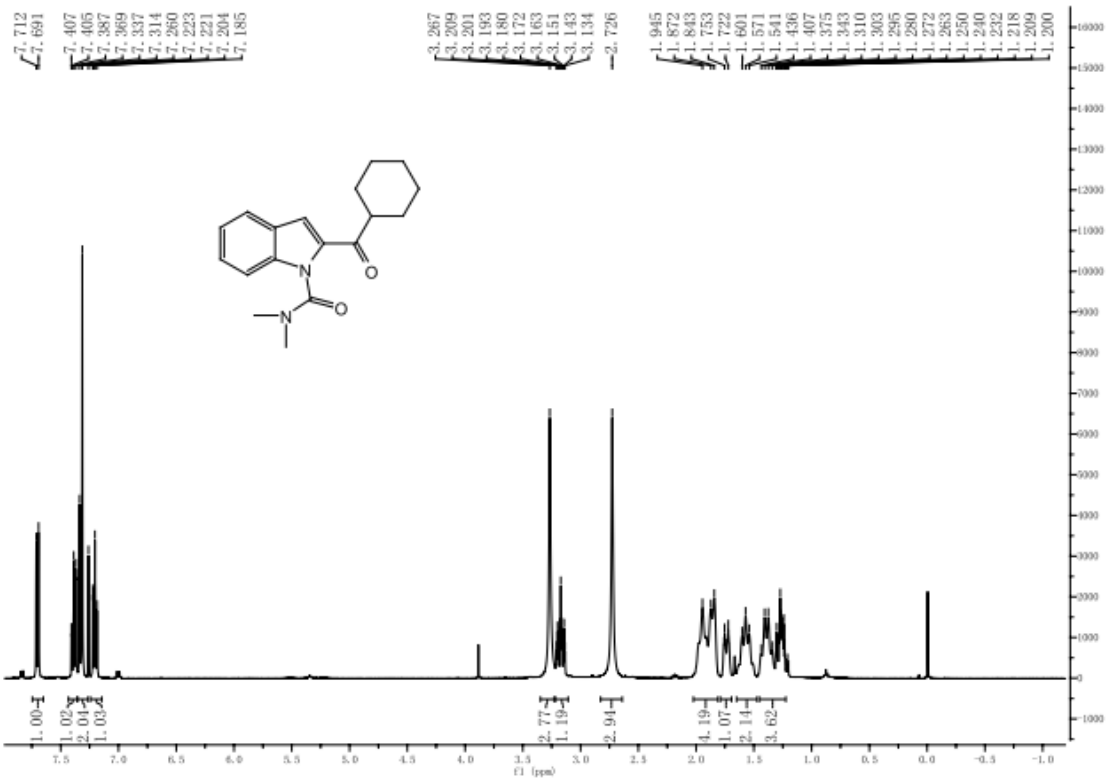


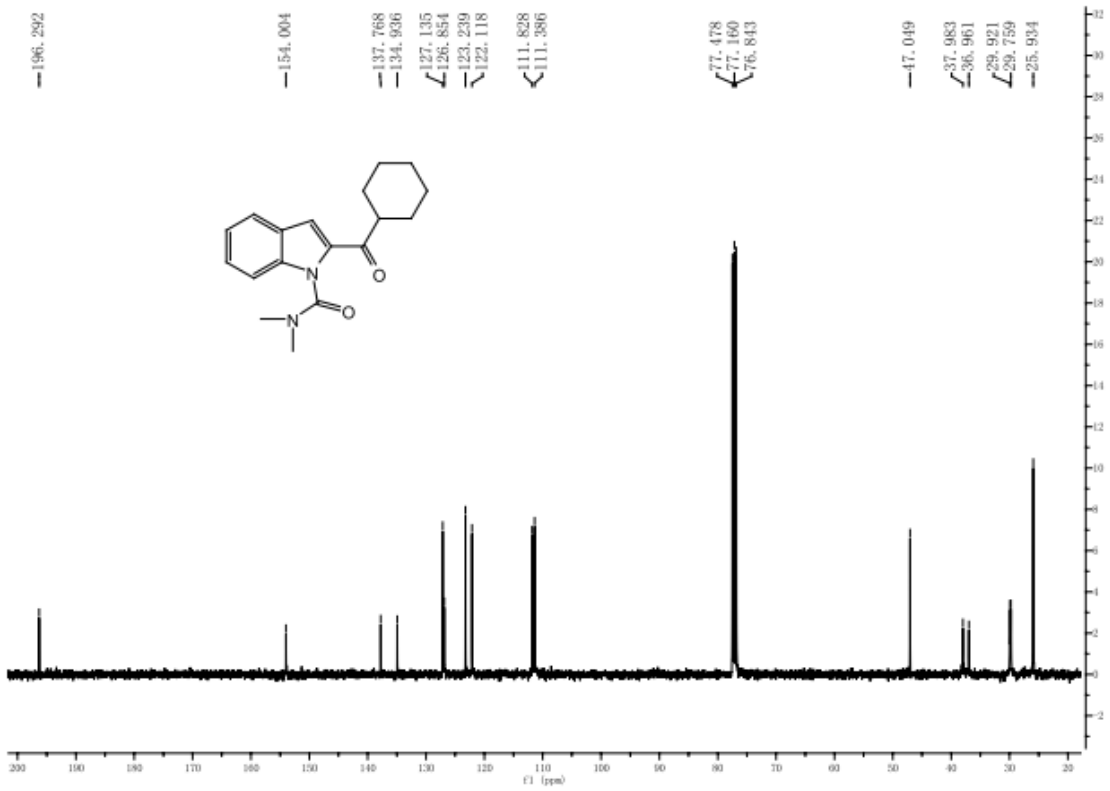
N,N-Dimethyl-2-butyryl-1H-indole-1-carboxamide (3x)



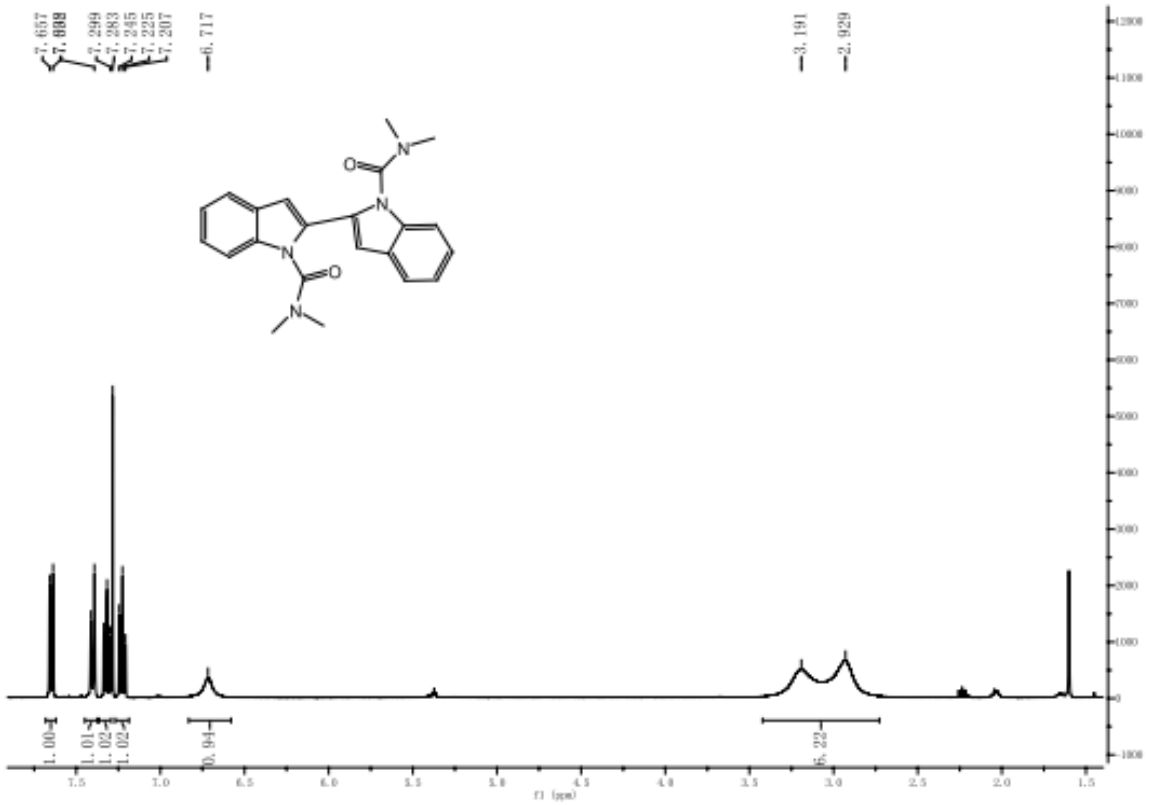


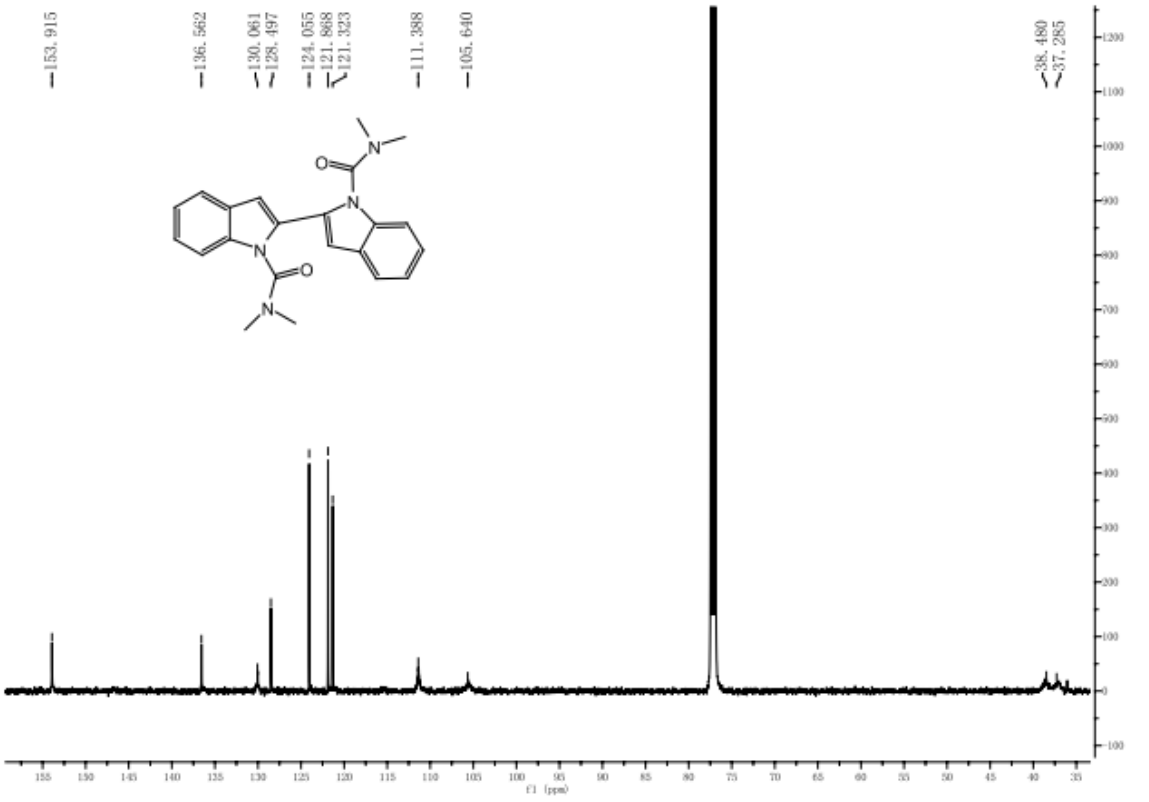
N,N-Dimethyl-2-cyclohexanecarbonyl-1H-indole-1-carboxamide (3y)



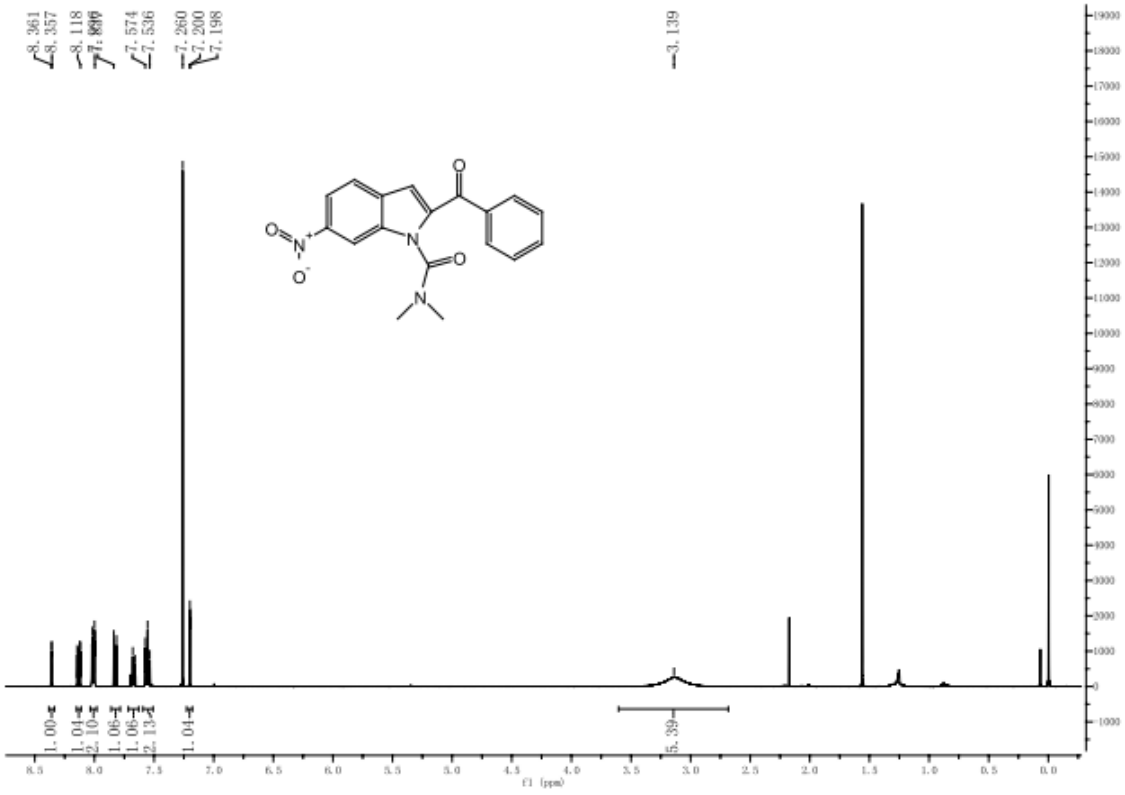


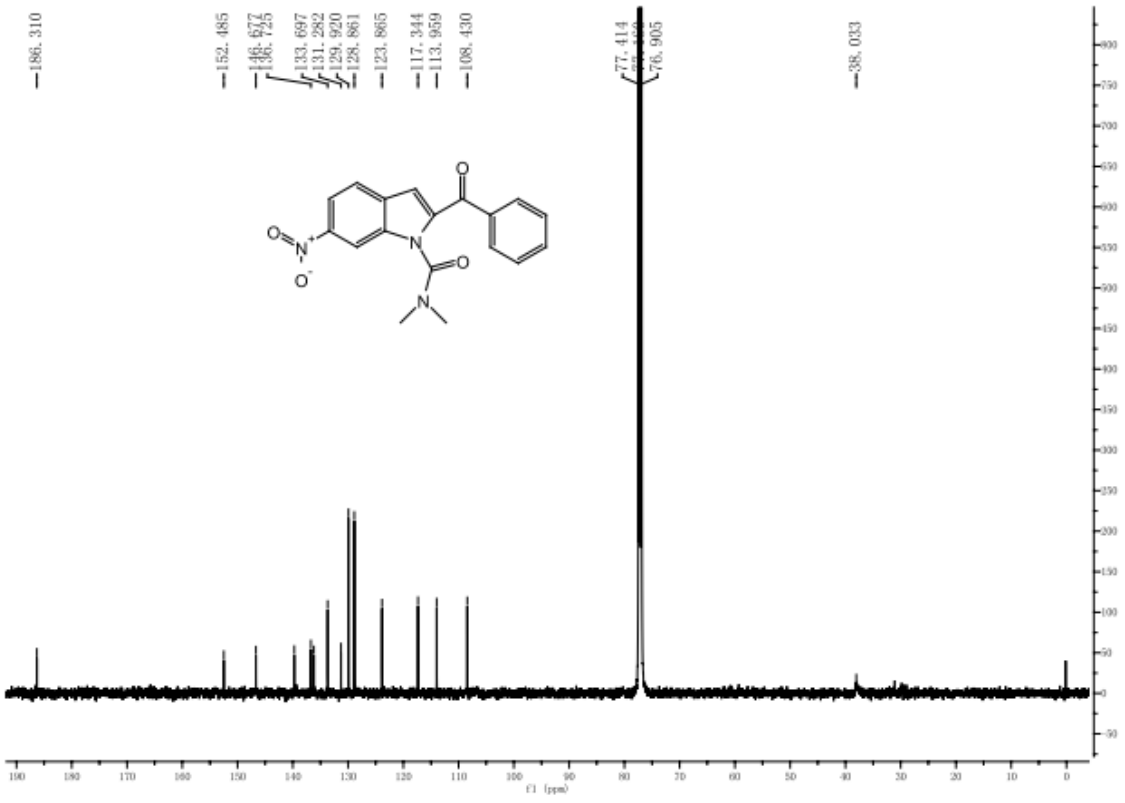
N,N'-Bis(*N,N*-dimethylcarbamoyl)-2,2'-biindolyl (3z)



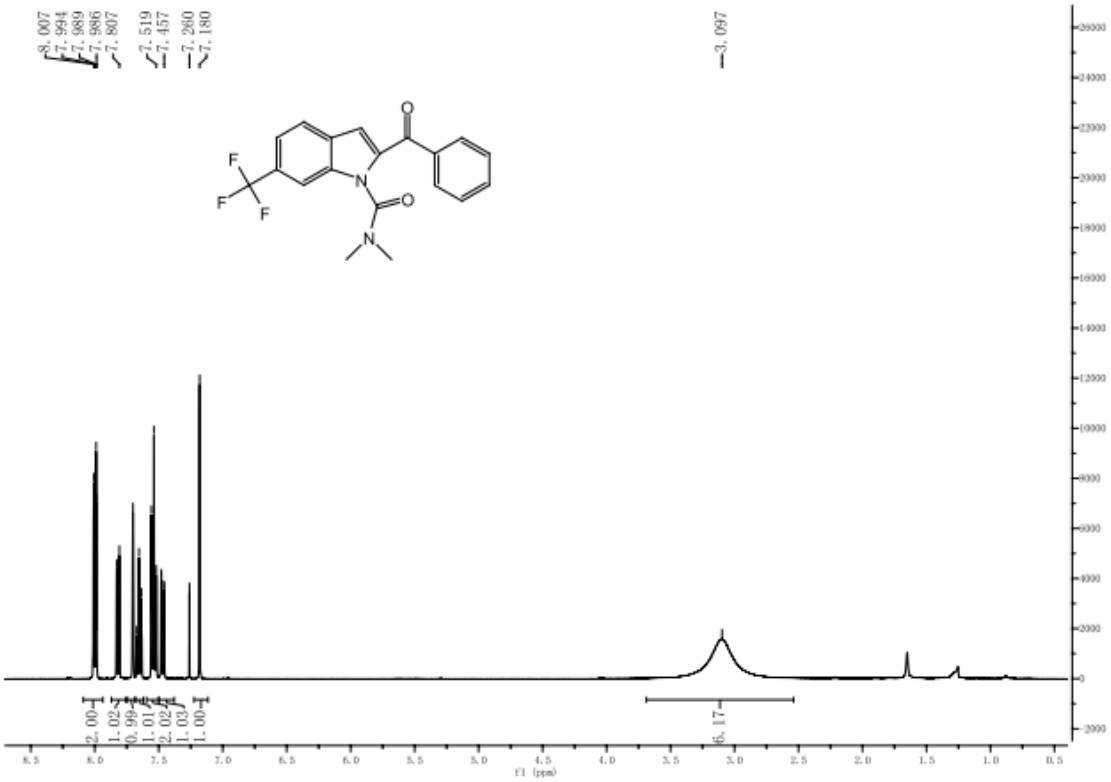


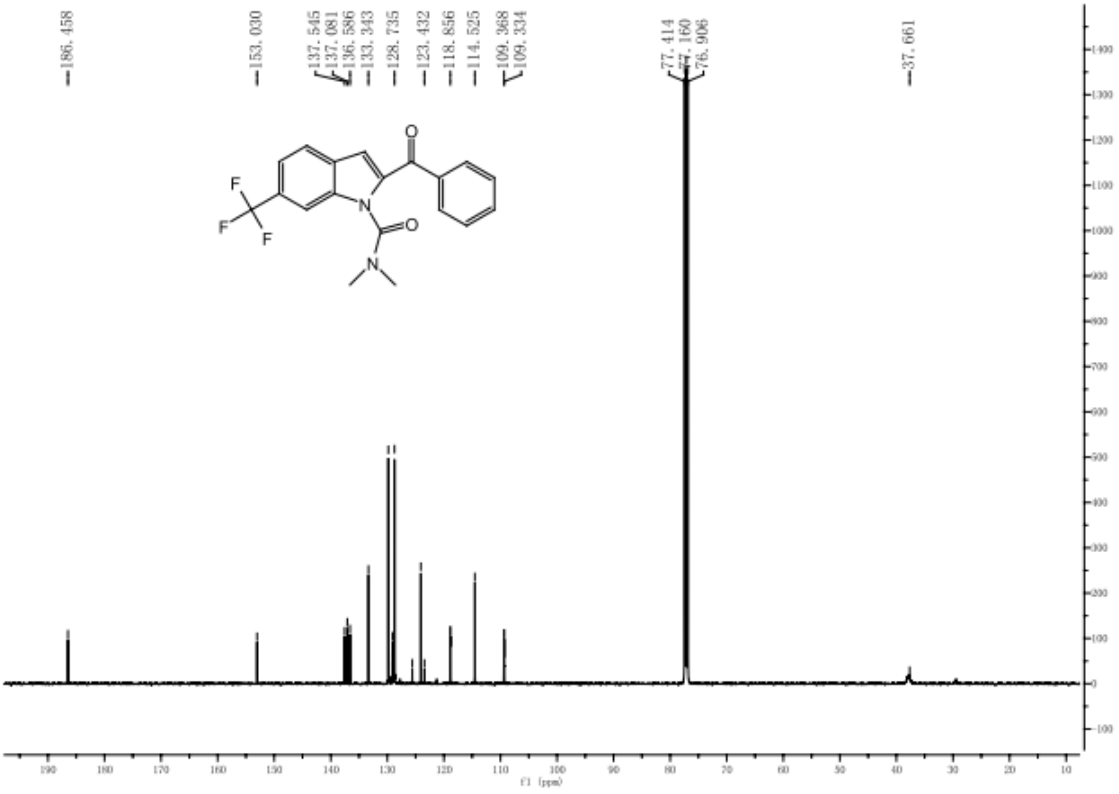
6-nitro-*N,N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4g)



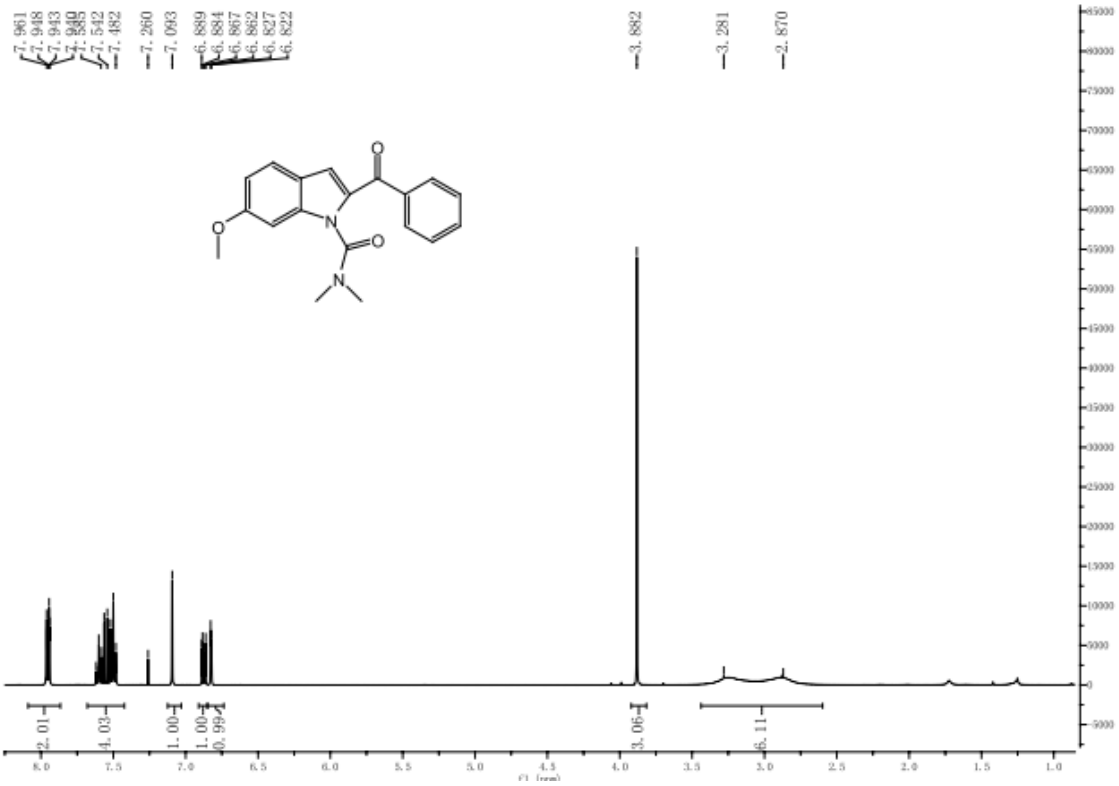


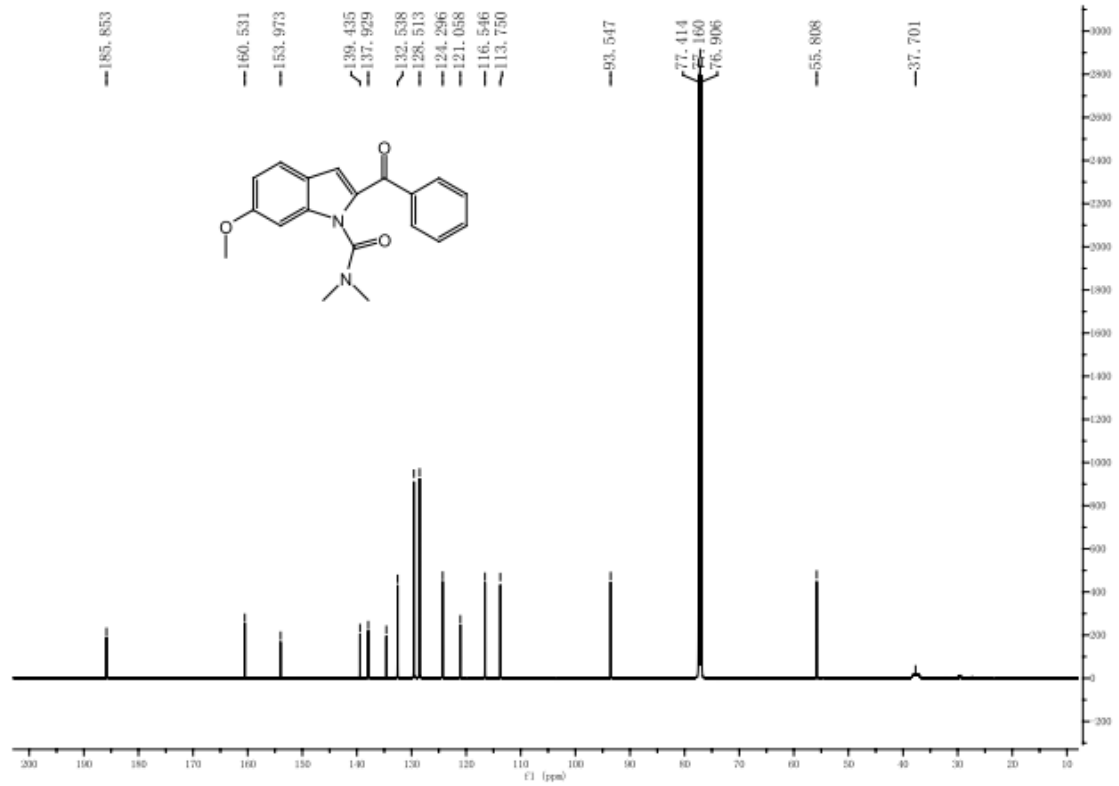
6-trifluoromethyl-*N*,*N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4h)



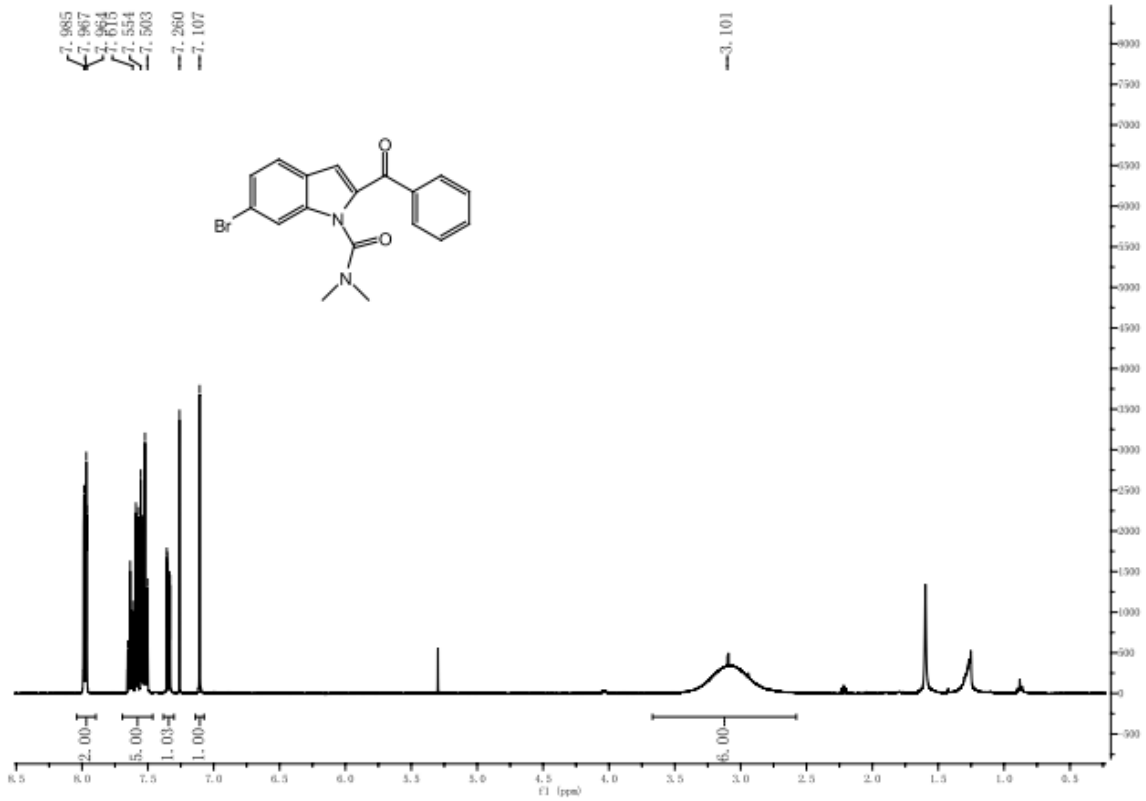


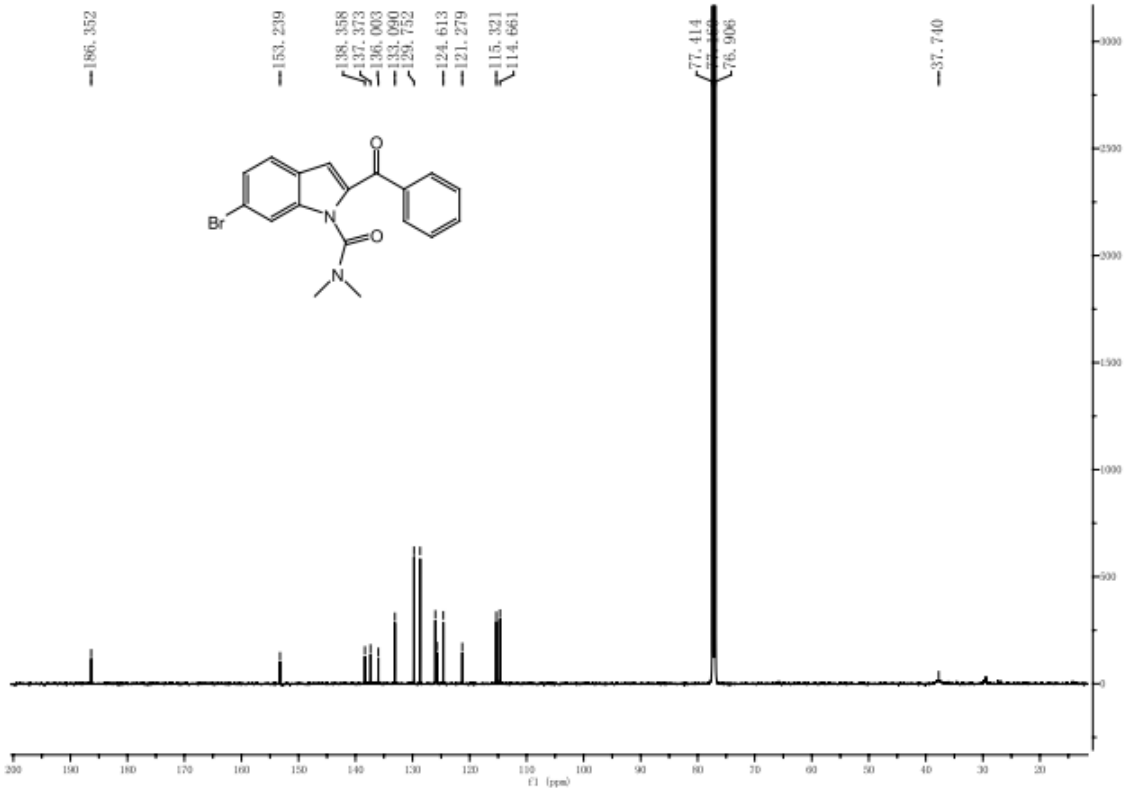
6-methoxy-*N*,*N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4i)



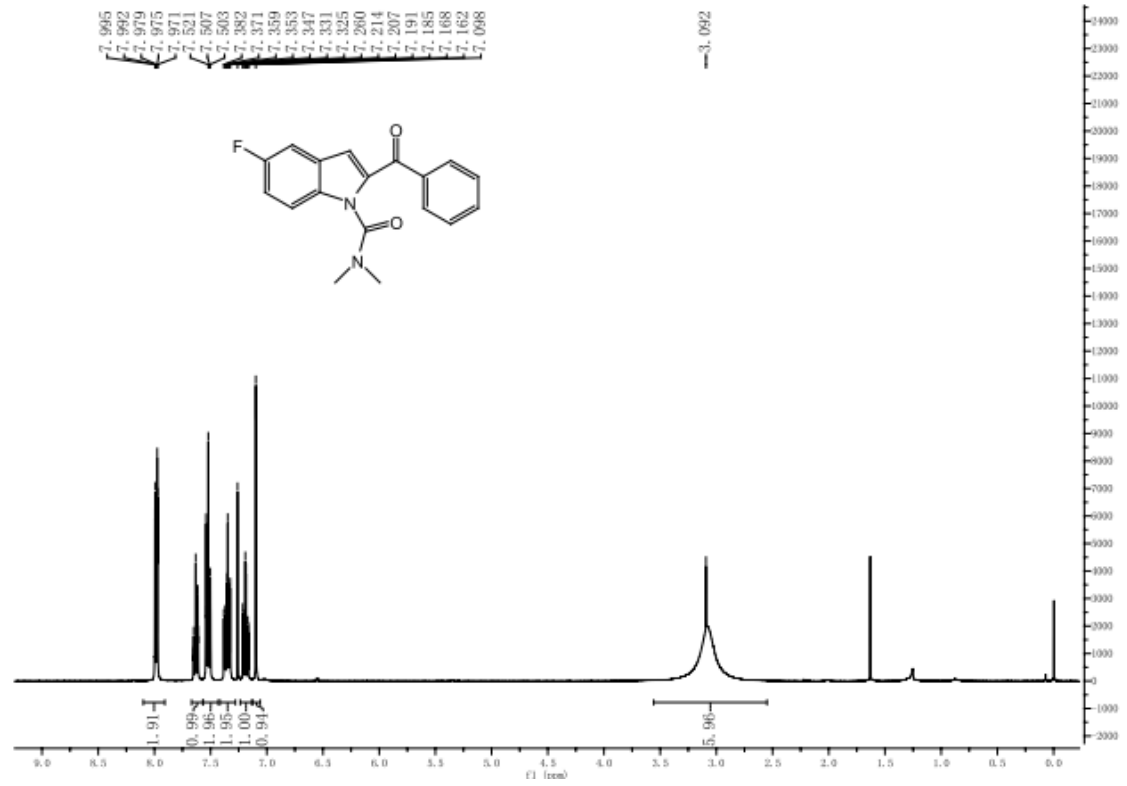


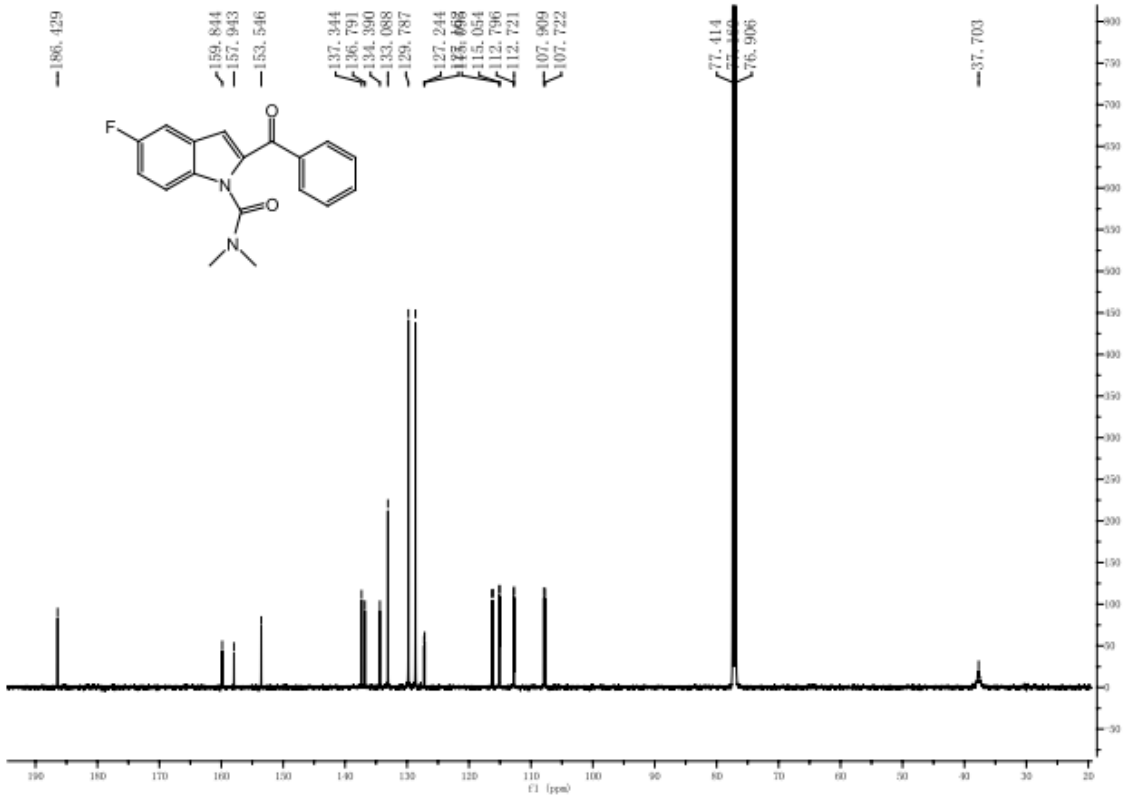
6-bromo-*N*,*N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4j)



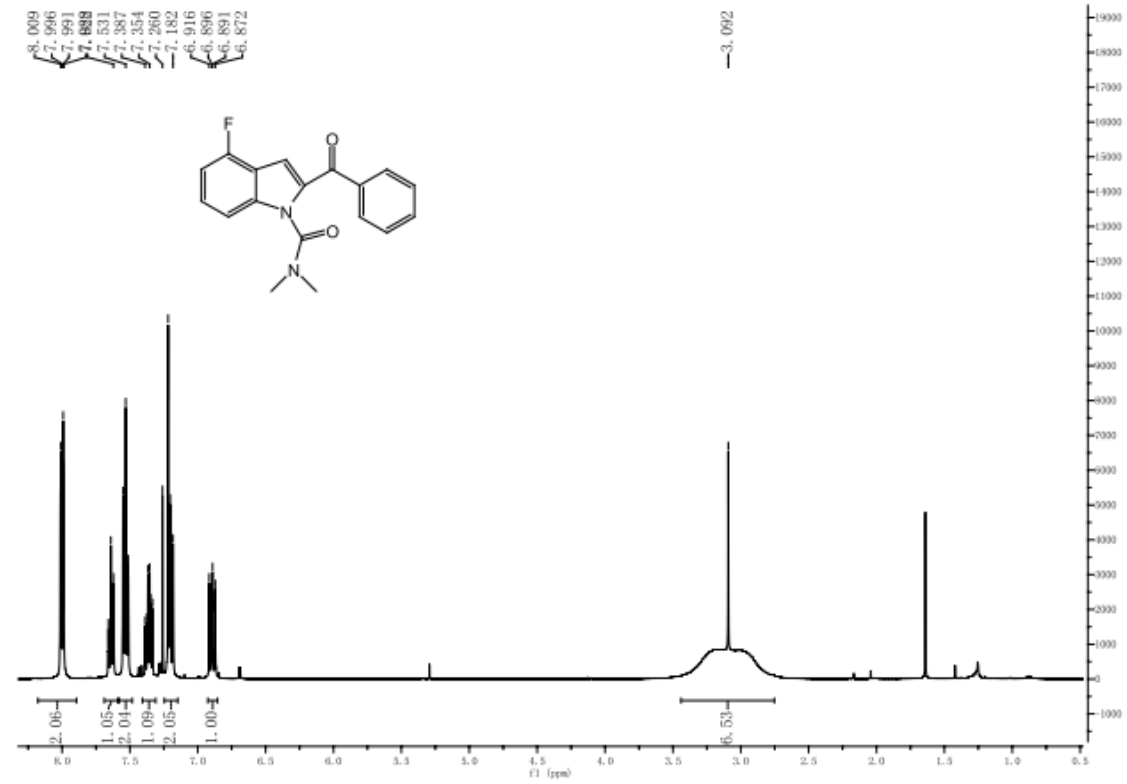


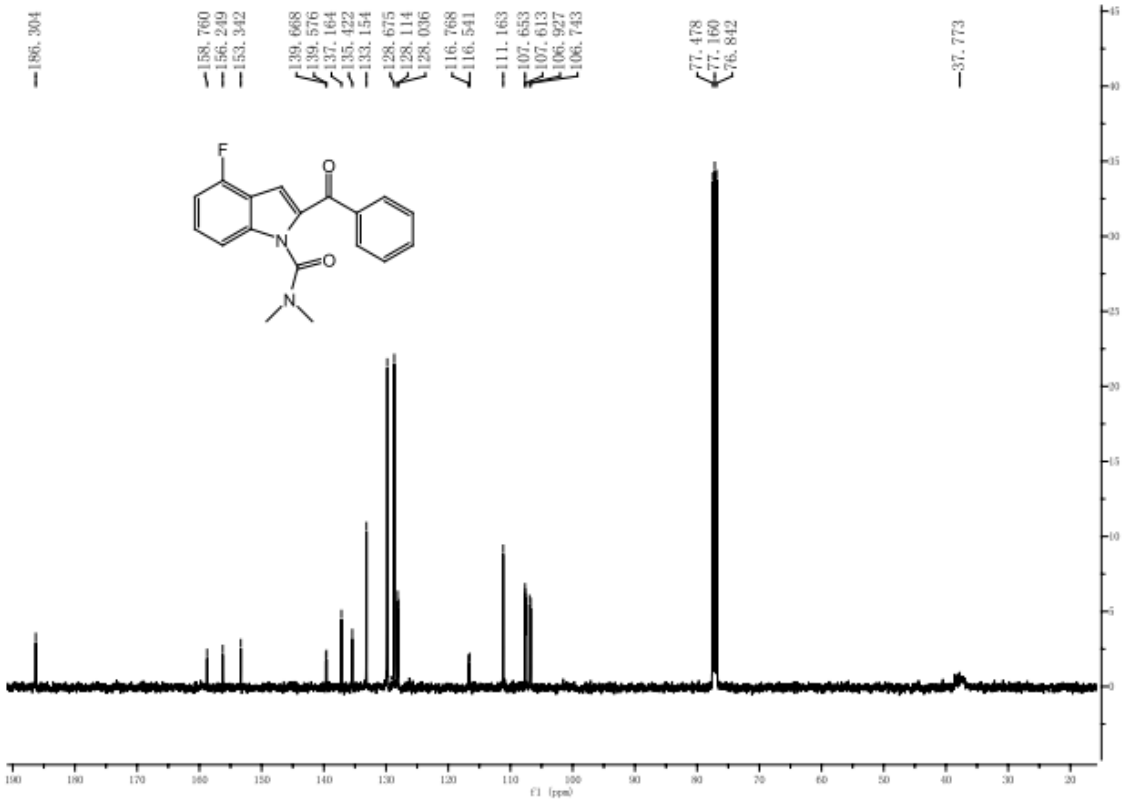
5-fluoro-*N*,*N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4k)



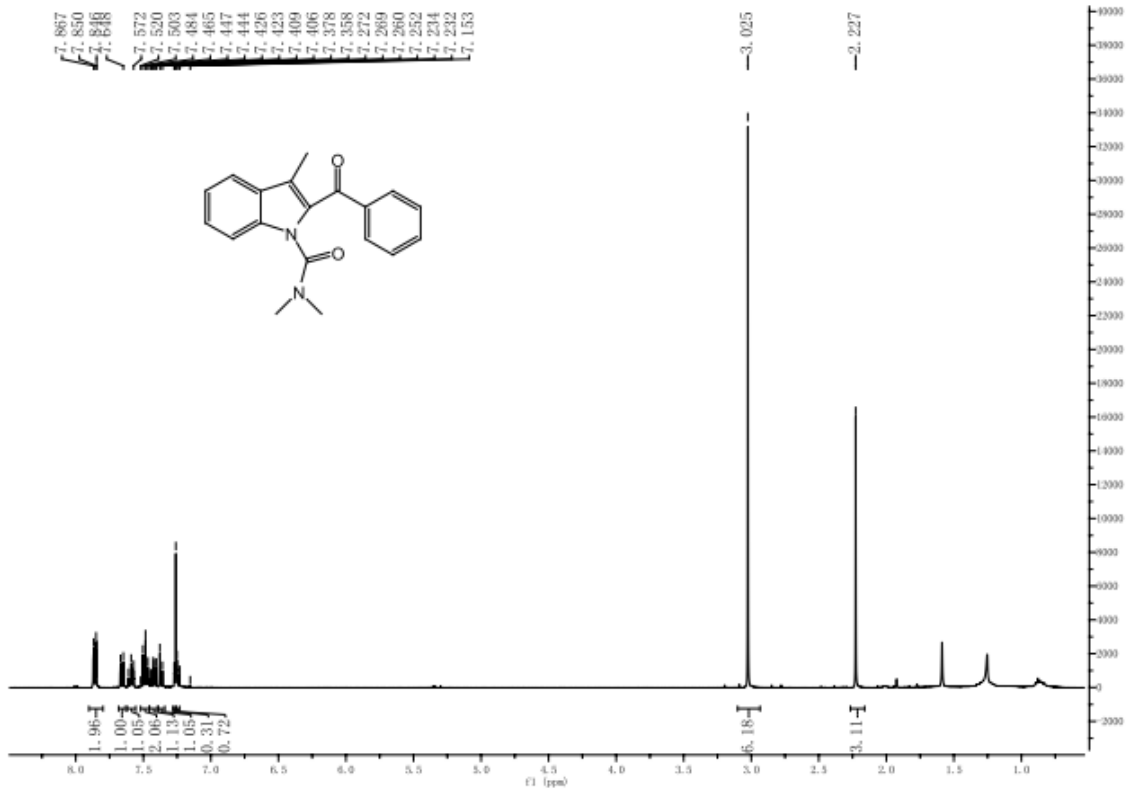


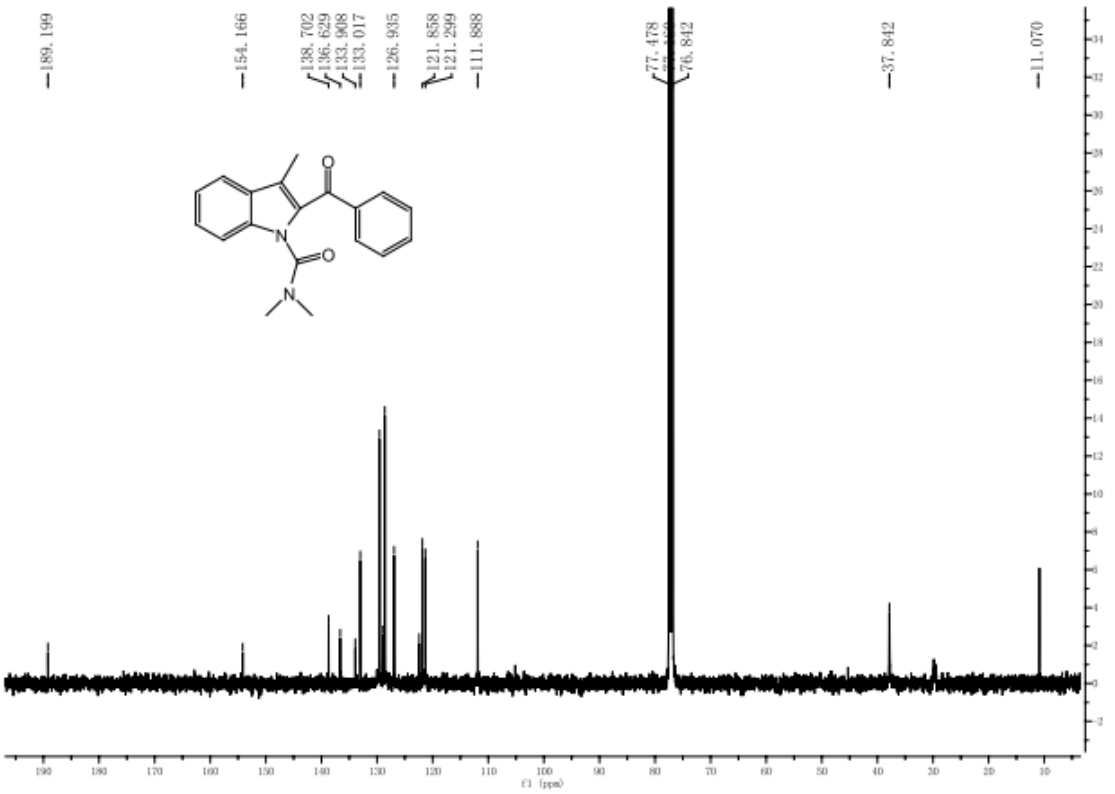
4-fluoro-*N,N*-Dimethyl-2-benzoyl-1H-indole-1-carboxamide (4l)



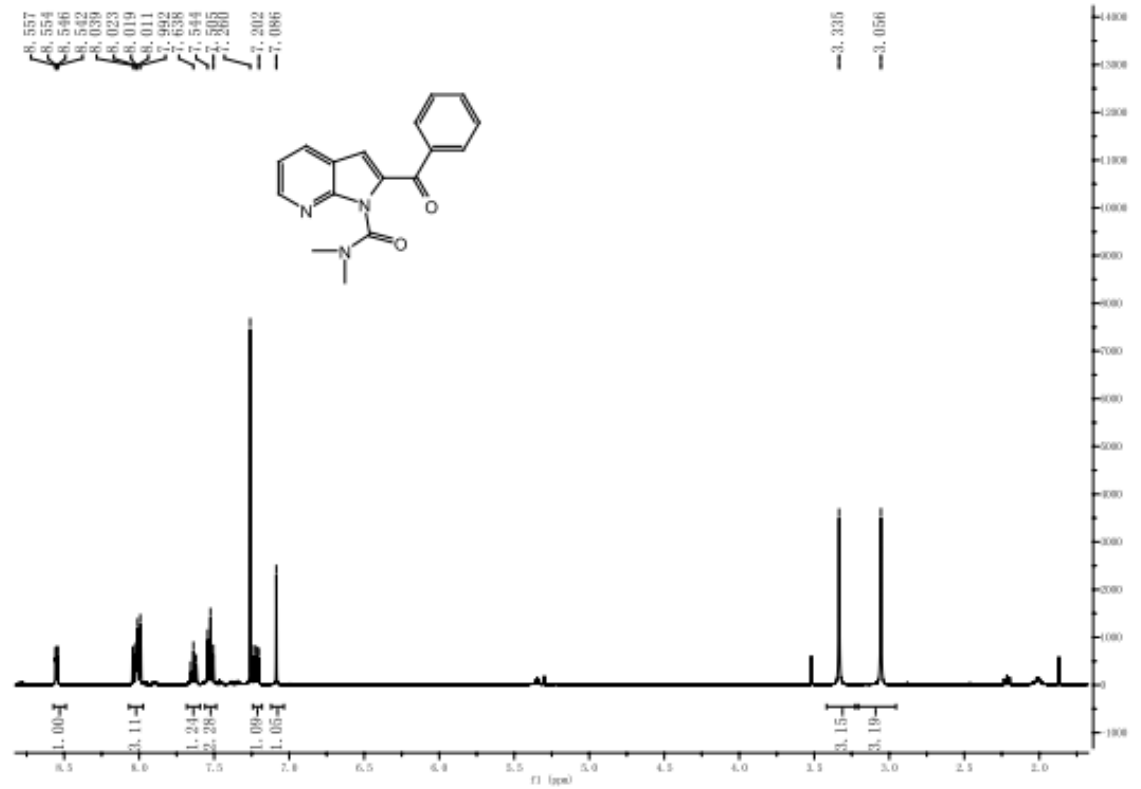


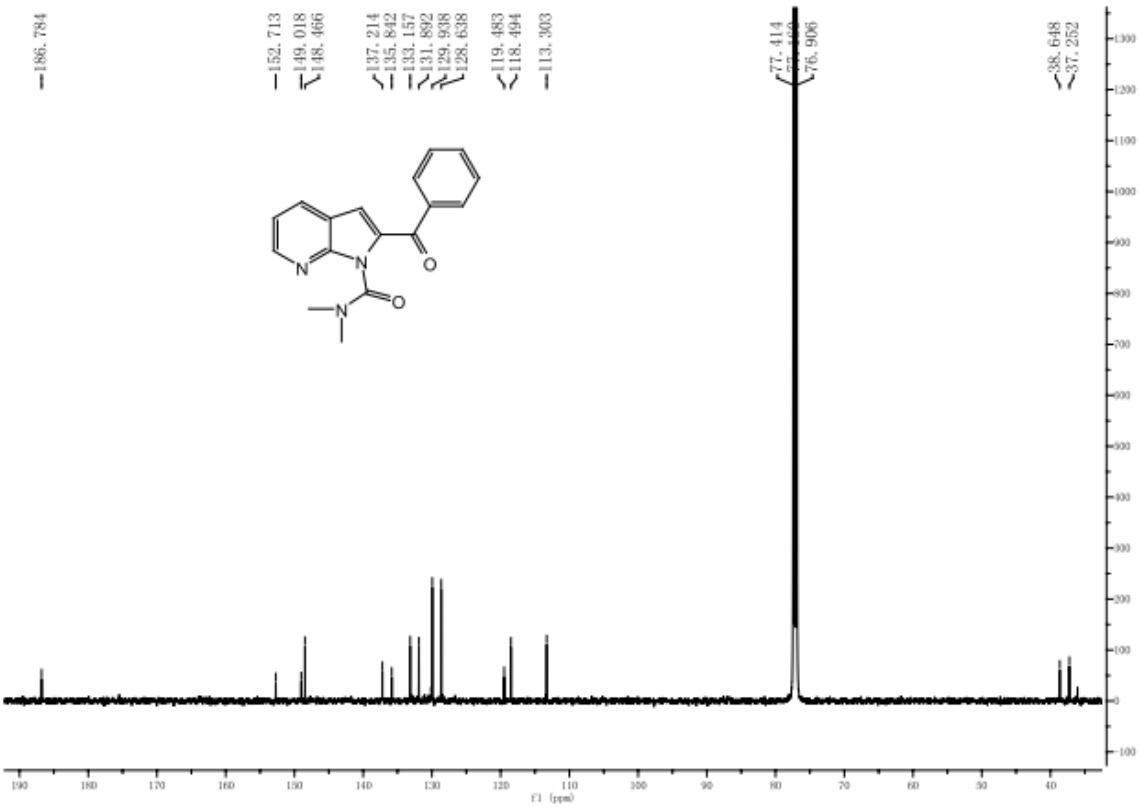
***N,N*-Dimethyl-3-methyl-2-benzoyl-1H-indole-1-carboxamide (4m)**





***N,N*-Dimethyl-2-benzoyl-1H-pyrrolo[2,3-*b*]pyridine-1-carboxamide (4n)**





Indol-2-yl phenyl ketone (3a-1)