

Supporting Information

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I. General remarks

NMR spectra were obtained on an Agilent 400-MR DD2 spectrometer. The ^1H NMR (400 MHz) chemical shifts were measured relative to CDCl_3 and $\text{DMSO-}d_6$ as the internal reference (CDCl_3 : $\delta = 7.26$ ppm; $\text{DMSO-}d_6$: $\delta = 2.50$ ppm). The ^{13}C NMR (100 MHz) chemical shifts were given using CDCl_3 or $\text{DMSO-}d_6$ as the internal standard (CDCl_3 : $\delta = 77.16$ ppm; $\text{DMSO-}d_6$: $\delta = 39.52$ ppm). High-resolution mass spectra (HRMS) were obtained with a Shimadzu LCMS-IT-TOF (ESI).

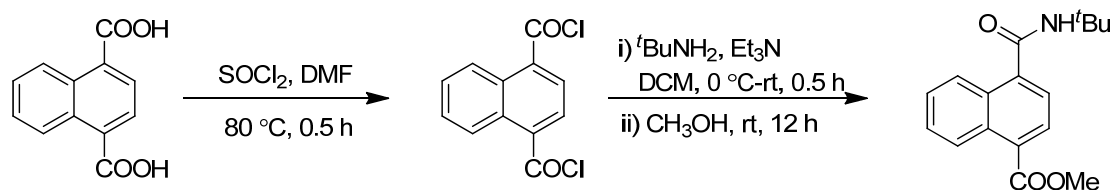
Unless otherwise noted, all reagents were obtained from commercial suppliers and used without further purification. $\text{Cu}(\text{OTf})_2$ were purchased from Shanxi Kaida Chemical Engineering (China) Co., Ltd. Aryl iodides were purchased from Energy Chemical (China) Co., Ltd. Arylboronic acids and 1-(naphthalen-1-yl)ethanone (**1s**) were purchased from Energy Chemical (China) CO., Ltd. Solvents were dried with an innovative technology solvent purification system (model no.: PS-MD-5). All syntheses and manipulations were carried out under an air atmosphere unless specially noted.

Naphthamide derivatives **1a-1d**, **1f-1j**, **1q** and **1r** were synthesized according to literature procedures.^[1] All diaryliodonium salts **2a-2r** were synthesized according to literature procedures.^[2-5]

II. General procedure for the synthesis of starting materials

General procedure A:

Preparation of methyl 4-(*tert*-butylcarbamoyl)-1-naphthoate (**1e**)

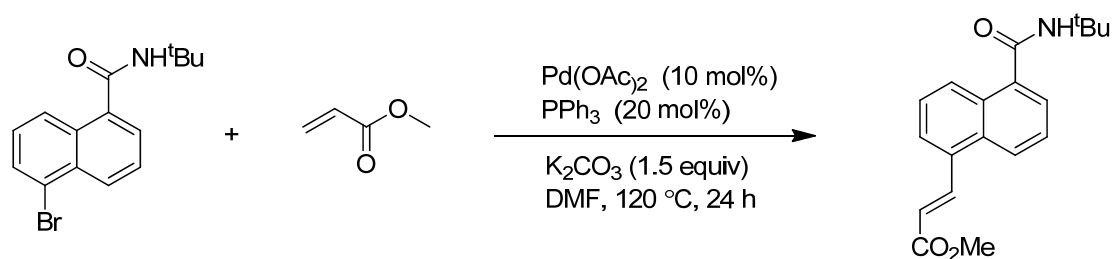


A 25 mL round bottom flask was charged with a magnetic stir bar, corresponding naphthalene-1,4-dicarboxylic acid (5 mmol), DMF (*N,N*-dimethylformamide, 2 drops) and SOCl_2 (5.0 mL). Then the reaction solution was stirred at $80\text{ }^\circ\text{C}$ to become clear for 0.5 h. The mixture was concentrated in vacuo (Bright yellow solid powder). Evaporation residue was dissolved in CH_2Cl_2 (DCM, 10mL). The mixture of

tert-butylamine (1.1 equiv) and Et₃N (3 equiv) was added drop by drop at 0 °C. The reaction mixture was then stirred at room temperature for 0.5 h. Then 2 mL CH₃OH was added to the mixture. After addition, the solution was stirred at room temperature for 12 h. Then the reaction mixture was quenched with water and extracted with DCM. The organic layer was dried over Mg₂SO₄ and concentrated in vacuo. The residue was purified by column chromatography on silica gel (PE (petroleum ether)/EA (ethyl acetate) = 8/1, v/v) to yield **1e** (641.2 mg, 45%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.54 (s, 9H), 4.01 (s, 3H), 5.82 (bs, 1H), 7.52 (d, *J* = 7.6 Hz, 1H), 7.57-7.66 (m, 2H), 8.09 (d, *J* = 7.2 Hz, 1H), 8.21-8.24 (m, 1H), 8.88 (dd, *J* = 8.0, 1.3 Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.0, 52.51, 52.53, 122.9, 125.8, 126.1, 127.3, 128.2, 128.8, 129.1, 130.5, 131.6, 140.6, 167.7, 168.7 ppm. HRMS (ESI): calcd for C₁₇H₁₉NNaO₃ [M+Na]⁺ 308.1257, found 308.1263.

General procedure B:

Preparation of (*E*)-methyl 3-(5-(*tert*-butylcarbamoyl)naphthalen-1-yl)acrylate (**1l**)

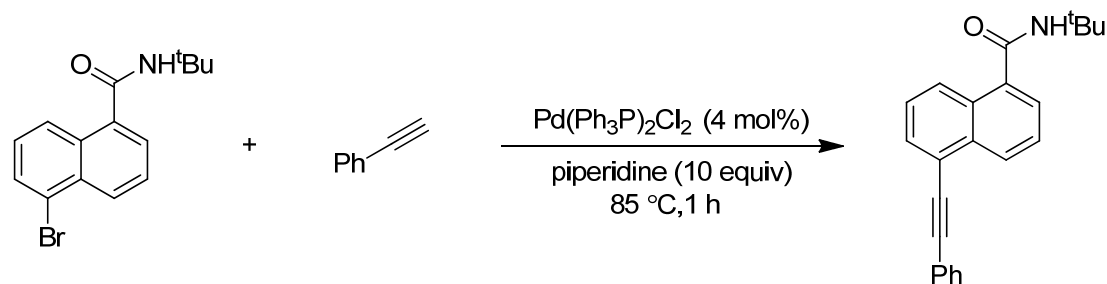


A Schlenk tube with a magnetic stir bar was charged with corresponding 5-bromo-*N*-(*tert*-butyl)-1-naphthamide (0.6 mmol), methyl acrylate (0.9 mmol, 1.5 equiv), Pd(OAc)₂ (0.06 mmol, 10 mol%), PPh₃ (0.12 mmol, 20 mol%), K₂CO₃ (0.9 mmol, 1.5 equiv) and DMF (3.6 mL) under a N₂ atmosphere. Then the reaction solution was stirred at 120 °C for 24 h, and the reaction mixture was cooled to room temperature, and diluted with 3 mL of DCM. The mixture was filtered through a celite pad and washed with 10-20 mL of DCM. The filtrate was concentrated and the residue was purified by column chromatography on silica gel (PE/EA = 5/1, v/v) yield **1l** (140.6 mg, 81%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.54 (s, 9H), 3.85 (s, 3H), 5.82 (bs, 1H), 6.51 (d, *J* = 16.0 Hz, 1H), 7.50-7.59 (m, 3H), 7.76 (d, *J* = 7.2 Hz, 1H), 8.23 (d, *J* = 8.4 Hz, 1H), 8.31 (d, *J* = 8.8 Hz, 1H), 8.50 (d, *J* = 15.6 Hz,

1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 29.0, 52.0, 52.3, 121.1, 124.8, 125.4, 125.6, 125.9, 126.6, 127.9, 130.4, 131.7, 132.2, 136.8, 141.9, 167.3, 169.1$ ppm. HRMS (ESI): calcd for $\text{C}_{19}\text{H}_{22}\text{NO}_3$ $[\text{M}+\text{Na}]^+$ 312.1594, found 312.1598.

General procedure C:

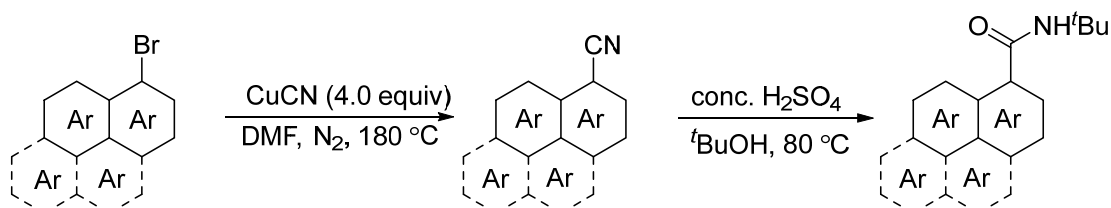
Preparation of *N*-(*tert*-butyl)-5-(phenylethynyl)-1-naphthamide (**1m**)



A Schlenk tube with a magnetic stir bar was charged with corresponding 5-bromo-*N*-(*tert*-butyl)-1-naphthamide (2 mmol), $\text{Pd}(\text{PPh}_3)_2\text{Cl}_2$ (0.08 mmol, 10 mol%), and piperidine (2 mL, 20 equiv) under a N_2 atmosphere. Then the reaction solution was stirred at 85 °C for 1 h, and the reaction mixture was cooled to room temperature, and diluted with 3 mL of DCM. The mixture was extracted with DCM (10 mL) and 1 M aqueous HCl (10 mL) for two times, saturated aqueous NaCl for two times and dried over anhydrous MgSO_4 . The filtrate was concentrated and the residue was purified by column chromatography on silica gel (PE/EA = 10/1, v/v) yield **1m** (516.7 mg, 79%) as a white solid. ^1H NMR (400 MHz, CDCl_3): $\delta = 1.55$ (s, 9H), 5.83 (bs, 1H), 7.36-7.43 (m, 3H), 7.50-7.61 (m, 3H), 7.63-7.67 (m, 2H), 7.79 (dd, $J = 7.2, 1.0$ Hz, 1H), 8.27 (d, $J = 8.4$ Hz, 1H), 8.49-8.54 (m, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 29.1, 52.3, 87.5, 94.8, 121.4, 123.3, 125.1, 125.8, 126.3, 126.5, 128.4, 128.6, 128.7, 130.1, 131.05, 131.8, 133.6, 136.5, 169.1$ ppm. HRMS (ESI): calcd for $\text{C}_{23}\text{H}_{22}\text{NO}$ $[\text{M}+\text{H}]^+$ 328.1696, found 328.1702.

General procedure D:

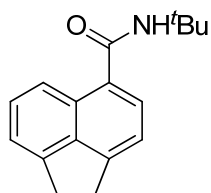
Preparation of polycyclic aromatic hydrocarbon (PAH) substrates (**1k**, **1n-1p**)



Polycyclic aromatic hydrocarbon (PAH) substrates were prepared by the following procedure adapted from the literature.

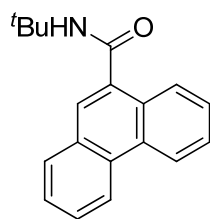
i) Add 1-bromobenzene (2.0 mmol), CuCN (8.0 mmol) and DMF (2.0 mL) to the Schlenk bottle with a magnetic stir bar, and stir the reaction solution at 180 °C for 24 hours under a N₂ atmosphere. Then cooling the reaction mixture to room temperature, to this mixture, FeCl₃ (4.4g, 26.7 mmol) in 2 M aqueous HCl (8.0 mL) was added and stirred at 70 °C for 1 h. Then the mixture was extracted with DCM for four times, washed by 6 M aqueous HCl for two times, saturated aqueous Na₂CO₃ for two times, water for two times, and dried over anhydrous MgSO₄. The organic layer was dried and concentrated, and the resulting crude product 1-naphthonitrile could be used for next step without purification.

ii) A Schlenk tube with a magnetic stir bar was charged with corresponding 1-naphthonitrile (2.0 mmol), conc. H₂SO₄ (0.25 mL, 4.5 mmol) and ^tBuOH (3.0 mL). The resulting mixture was stirred at 80 °C for 8-12 h (detected by TLC) and was cooled to room temperature. Then the reaction mixture was diluted with 8 mL DCM and extracted with brine (10 mL × 2). The organic layer was dried and concentrated, and the resulting residue was purified by column chromatography on silica gel (PE/EA = 20/1-10/1, v/v) to provide PAH substrates in 55-74% yields.



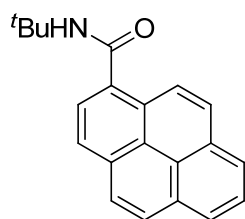
***N*-(*tert*-Butyl)-1,2-dihydroacenaphthylene-5-carboxamide (1k)**

According to above procedure, compound **1k** was prepared from 5-bromo-1,2-dihydroacenaphthylene in 74% yield as a yellow solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.53 (s, 9H), 3.36-3.44 (m, 4H), 5.84 (bs, 1H), 7.24 (d, *J* = 6.8 Hz, 1H), 7.32 (d, *J* = 7.2 Hz, 1H), 7.52 (m, 1H), 7.62 (d, *J* = 6.8 Hz, 1H), 8.06 (d, *J* = 8.4 Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 30.4, 30.5, 52.0, 118.4, 120.0, 120.9, 127.4, 128.8, 129.1, 130.8, 139.6, 146.3, 149.1, 168.7 ppm. HRMS (ESI): calcd for C₁₇H₂₀NO [M+H]⁺ 254.1539, found 254.1548.



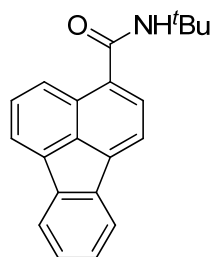
***N*-(*tert*-Butyl)phenanthrene-9-carboxamide (1n)**

According to above procedure, compound **1n** was prepared from 9-bromophenanthrene in 70% yield as a white solid. ^1H NMR (400 MHz, CDCl_3): δ = 1.57 (s, 9H), 5.93 (bs, 1H), 7.59-7.72 (m, 4H), 7.80 (s, 1H), 7.89 (dd, J = 8.0, 1.2 Hz, 1H), 8.27-8.32 (m, 1H), 8.67 (d, J = 8.4 Hz, 1H), 8.69-8.72 (m, 1H). ppm ^{13}C NMR (100 MHz, CDCl_3): δ = 29.1, 52.3, 122.8, 123.0, 125.6, 126.3, 127.1, 127.2, 127.3, 127.8, 128.8, 129.1, 130.6, 130.7, 130.9, 135.0, 169.3 ppm. HRMS (ESI): calcd for $\text{C}_{19}\text{H}_{20}\text{NO}$ $[\text{M}+\text{H}]^+$ 278.1539, found 278.1536.



***N*-(*tert*-Butyl)pyrene-1-carboxamide (1o)**

According to above procedure, compound **1o** was prepared from 1-bromopyrene in 61% yield as a white solid. ^1H NMR (400 MHz, CDCl_3): δ = 1.61 (s, 9H), 5.96 (bs, 1H), 8.02-8.07 (m, 3H), 8.09-8.16 (m, 3H), 8.22 (d, J = 7.2 Hz, 2H), 8.53 (d, J = 9.2 Hz, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): δ = 29.2, 52.4, 124.45, 124.49, 124.6, 124.9, 125.7, 125.8, 126.4, 127.3, 128.4, 128.5, 128.7, 130.9, 131.3, 132.3, 132.7, 169.7 ppm. HRMS (ESI): calcd for $\text{C}_{21}\text{H}_{19}\text{NNaO}$ $[\text{M}+\text{Na}]^+$ 324.1359, found 324.1363.



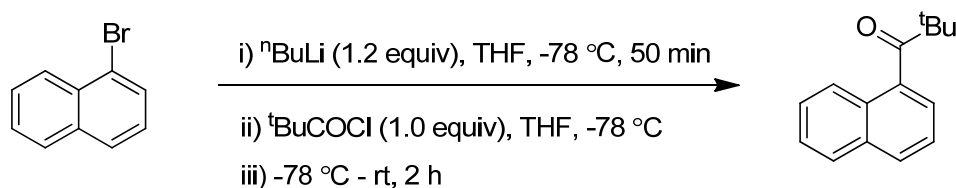
***N*-(*tert*-Butyl)fluoranthene-3-carboxamide (1p)**

According to above procedure, compound **1p** was prepared from

3-bromofluoranthene in 55% yield as a yellow solid. ^1H NMR (400 MHz, CDCl_3): δ = 1.56 (s, 9H), 5.95 (bs, 1H), 7.36-7.43 (m, 2H), 7.66 (dd, J = 8.4, 6.8 Hz, 1H), 7.77 (d, J = 7.2 Hz, 1H), 7.84-7.93 (m, 4H), 8.25-8.28 (m, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): δ = 29.1, 52.3, 119.1, 120.7, 121.7, 122.0, 125.5, 127.2, 127.5, 127.9, 128.3, 129.1, 132.7, 134.8, 137.2, 138.7, 139.2, 140.1, 168.2 ppm. HRMS (ESI): calcd for $\text{C}_{21}\text{H}_{20}\text{NO}$ $[\text{M}+\text{Na}]^+$ 302.1539, found 302.1548.

General procedure E:

Preparation of 2,2-dimethyl-1-(naphthalen-1-yl)propan-1-one (1t)



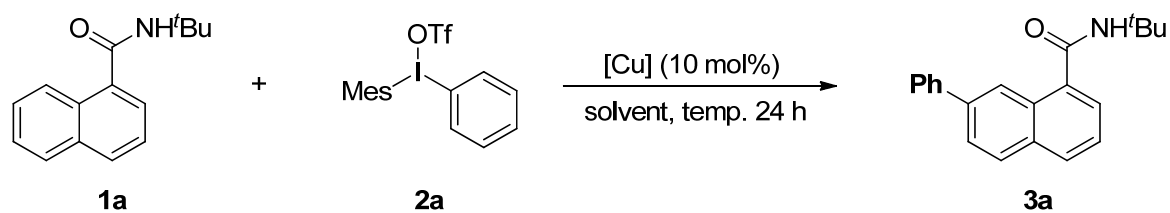
A Schlenk tube with a magnetic stir bar was charged with 1-bromonaphthalene (2.0 mmol) and THF (tetrahydrofuran, 4 mL) under a N_2 atmosphere. 1M of $n\text{BuLi}$ in hexane (2.5 mL) was added dropwise to the reaction solution at $-78\text{ }^\circ\text{C}$, and then the reaction mixture was stirred at $-78\text{ }^\circ\text{C}$ for 50 min. Then 0.25 mL (2 mmol, 1.0 equiv) $t\text{BuCOCl}$ was added dropwise to the reaction mixture, warmed and stirred at room temperature for 2 h. Finally, the reaction mixture was quenched with NH_4Cl (aq.), diluted with DCM and extracted with brine, the organic layer was dried and concentrated, the residue was purified by column chromatography on silica gel (PE/EA = 60/1, v/v) yield **1t** (377.5 mg, 89%) as a white solid. ^1H NMR (400 MHz, CDCl_3): δ = 1.32 (s, 9H), 7.35 (dd, J = 7.2, 1.2 Hz, 1H), 7.43-7.52 (m, 3H), 7.59-7.64 (m, 1H), 7.84-7.88 (m, 2H) ppm. ^{13}C NMR (100 MHz, CDCl_3): δ = 27.4, 45.7, 122.4, 124.4, 125.6, 126.3, 126.9, 128.6, 129.1, 130.0, 133.7, 139.0, 214.8 ppm. HRMS (ESI): calcd for $\text{C}_{15}\text{H}_{16}\text{NaO}$ $[\text{M}+\text{Na}]^+$ 235.1093, found 235.1095.

III. Optimization of the reaction conditions of remote C–H arylation

An oven-dried Schlenk test tube with a magnetic stirring bar was charged with *N*-(*tert*-butyl)-1-naphthamide **1a** (0.2 mmol, 1.0 equiv.), mesityl(phenyl)iodonium triflate **2a** (0.3 mmol, 1.5 equiv.), $[\text{Cu}]$ catalyst (10 mol%), and solvent (1 mL) under

a N₂ atmosphere. The mixture was stirred at the designed temperature for 24 h. After the reaction was cooled down to ambient temperature, it was diluted with 3 mL of CH₂Cl₂, filtered through a celite pad, and then washed with 15-20 mL of CH₂Cl₂. The combined organic phase was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel (PE/THF = 20/1, v/v) to provide the desired product **3a**.

Table S1. Optimization of the arylation reaction of 1a and 2a^a

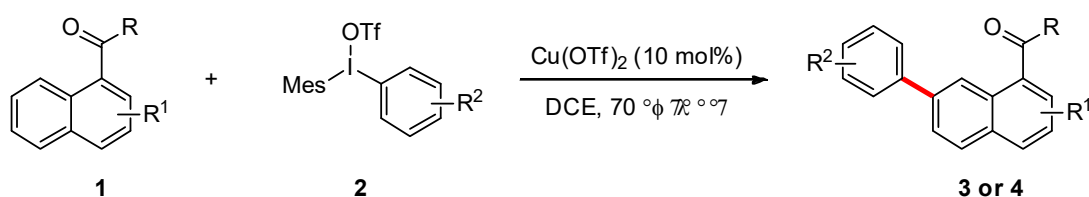


Entry	Solvent	[Cu] (10 mol%)	T (°C)	Yield (%) ^b
1	DCE	Cu(OTf) ₂	80	79
2	DCE	Cu(OTf) ₂	70	92
3	DCE	Cu(OTf) ₂	90	53
4	DCE	Cu(OTf) ₂	60	41
5	DCE	Cu(OTf) ₂	50	24
6	DCE	Cu(OTf) ₂	100	35
7	DCE	-	70	N.R
8	DCE	Cu	70	64
9	DCE	CuO	70	81
10	DCE	CuCl	70	84
11	DCE	CuCl ₂	70	82
12	DCE	CuBr	70	81
13	DCE	Cu(OAc) ₂	70	80
14	DCE	CuTc	70	62
15	DCM	Cu(OTf) ₂	70	38
16	ODCB	Cu(OTf) ₂	70	77
17	Toluene	Cu(OTf) ₂	70	N.R
18	MeOH	Cu(OTf) ₂	70	N.R
19	DMF	Cu(OTf) ₂	70	N.R

20	CHCl ₃	Cu(OTf) ₂	70	trace
21	PhCF ₃	Cu(OTf) ₂	70	trace

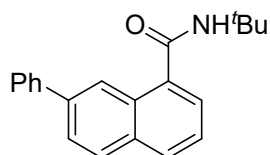
^aReaction conditions: **1a** (0.2 mmol, 1.0 equiv), **2a** (0.3 mmol, 1.5 equiv), [Cu] (10 mol%) and solvent (1 mL) under a N₂ atmosphere for 24 h. ^bIsolated yield. DCE = 1,2-Dichloroethane. DCM = Dichloromethane. ODCB= 1,2-Dichlorobenzene. MeOH = Methyl alcohol. DMF = *N,N*-Dimethylformamide. N.R: no reaction.

IV. General procedure for the synthesis of arylation products



An oven-dried Schlenk tube with a magnetic stir bar was charged with 1-naphthoic acid derivative **1** (0.2 mmol, 1.0 equiv.), diaryliodonium salts **2** (0.3 mmol, 1.5 equiv.), Cu(OTf)₂ (7.2 mg, 10 mol%) and DCE (1 mL) under a N₂ atmosphere. The mixture was stirred at 50-80 °C for 24 h. After the reaction was cooled down to ambient temperature, it was diluted with 3 mL of CH₂Cl₂. The solution was filtered through a celite pad and washed with 15-20 mL of CH₂Cl₂. The filtrate was concentrated under reduced pressure and the residue was purified by column chromatography on silica gel to provide the corresponding products **3** and **4**.

V. Experimental data for the described substances

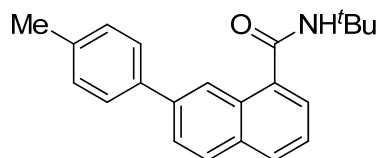


N-(*tert*-Butyl)-7-phenyl-1-naphthamide (**3a**)

Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3a** (55.8 mg, 92%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 5.87 (s, 1H), 7.37-7.41

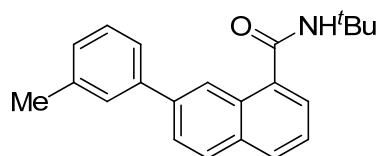
(m, 1H), 7.42-7.46 (m, 1H), 7.46-7.52 (m, 2H), 7.58 (dd, $J = 7.0, 1.1$ Hz, 1H), 7.71-7.74 (m, 2H), 7.79 (dd, $J = 8.4, 1.8$ Hz, 1H), 7.89-7.94 (m, 2H), 8.51 (t, $J = 0.8$ Hz, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 29.1, 52.2, 123.4, 124.9, 125.0, 126.2, 127.63, 127.64, 128.9, 129.0, 129.9, 130.5, 132.9, 136.2, 139.7, 141.1, 169.3$ ppm.

The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-7-(*p*-tolyl)-1-naphthamide (3b)**

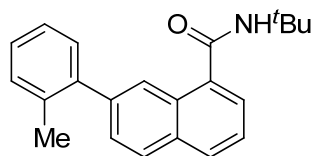
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(*p*-tolyl)iodonium triflate (**2b**) (145.8 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3b** (47.6 mg, 75%) as colorless oil. ^1H NMR (400 MHz, CDCl_3): $\delta = 1.55$ (s, 9H), 2.42 (s, 3H), 5.84 (s, 1H), 7.30 (d, $J = 8.0$ Hz, 2H), 7.4-7.45 (m, 1H), 7.57 (dd, $J = 7.2, 1.2$ Hz, 1H), 7.61-7.65 (m, 2H), 7.77 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.90 (t, $J = 8.4$ Hz, 2H), 8.48 (s, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 21.3, 29.1, 52.2, 123.0, 124.8, 125.0, 126.1, 127.5, 128.9, 129.8, 129.9, 130.5, 132.8, 136.2, 137.5, 138.2, 139.6, 169.3$ ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-7-(*m*-tolyl)-1-naphthamide (3c)**

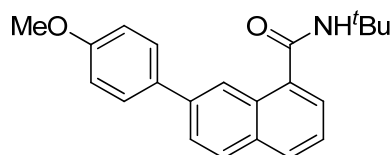
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(*m*-tolyl)iodonium triflate (**2c**) (145.8 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3c** (45.1 mg, 71%) as colorless oil. ^1H NMR (400 MHz, CDCl_3): $\delta = 1.55$ (s, 9H), 2.45 (s, 3H), 5.85 (s, 1H), 7.21 (d, $J = 7.6$ Hz, 1H), 7.38 (t, $J = 7.4$ Hz, 1H), 7.41-7.46 (m, 1H), 7.53 (d, $J = 8.4$ Hz, 2H), 7.58 (d, $J = 6.8$ Hz, 1H), 7.78 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.91 (t, $J = 8.4$

Hz, 2H), 8.48 (s, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): δ = 21.7, 29.1, 52.22, 76.8, 77.2, 77.5, 123.3, 124.8, 126.3, 128.39, 128.41, 128.8, 129.0, 129.9, 130.5, 132.9, 136.3, 138.6, 139.8, 141.1, 169.3 ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-7-(*o*-tolyl)-1-naphthamide (3d)**

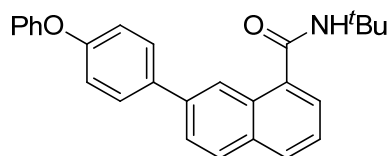
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(*o*-tolyl)iodonium triflate (**2d**) (145.8 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/DCM/EA = 40/200/1, v/v/v) yields **3d** (36.2 mg, 57%) as colorless oil ^1H NMR (400 MHz, CDCl_3): δ = 1.51 (s, 9H), 2.34 (s, 3H), 5.83 (bs, 1H), 7.27-7.35 (m, 4H), 7.43-7.47 (m, 1H), 7.52 (dd, J = 8.4, 1.6 Hz, 1H), 7.58 (dd, J = 7.2, 1.2 Hz, 1H), 7.91 (t, J = 8.8 Hz, 2H), 8.24 (s, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): δ = 20.8, 29.1, 52.2, 124.8, 125.6, 126.0, 127.6, 128.0, 128.4, 130.0, 130.2, 130.6, 132.6, 135.7, 136.2, 140.6, 141.8, 169.2 ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-7-(4-methoxyphenyl)-1-naphthamide (3e)**

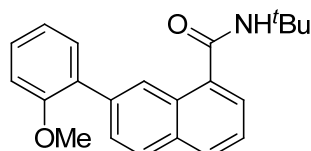
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(4-methoxyphenyl)iodonium triflate (**2e**) (150.6 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 60 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 15/1, v/v) yields **3e** (36.2 mg, 54%) as colorless oil. ^1H NMR (400 MHz, CDCl_3): δ = 1.55 (s, 9H), 3.87 (s, 3H), 5.84 (bs, 1H), 7.00-7.05 (m, 2H), 7.39-7.43 (m, 1H), 7.56 (dd, J = 6.8, 1.2 Hz, 1H), 7.64-7.68 (m, 2H), 7.75 (dd, J = 8.4, 1.6 Hz, 1H), 7.89 (t, J = 7.6 Hz, 2H), 8.44 (t, J = 0.8 Hz, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): δ = 29.1, 52.2, 55.5, 114.5, 122.6,

124.6, 125.0, 126.0, 128.6, 128.9, 129.9, 130.5, 132.6, 133.6, 136.1, 139.3, 159.5, 169.3 ppm. HRMS (ESI): calcd for C₂₂H₂₄NO₂ [M+H]⁺ 334.1802, found 334.1807.



***N*-(*tert*-Butyl)-7-(4-phenoxyphenyl)-1-naphthamide (3f)**

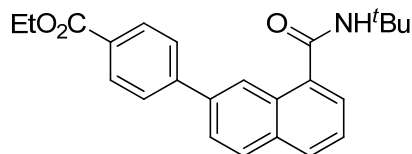
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(4-phenoxyphenyl)iodonium triflate (**2f**) (169.2 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 60 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 15/1, v/v) yields **3f** (48.2 mg, 61%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 5.86 (bs, 1H), 7.07-7.11 (m, 3H), 7.12-7.17 (m, 2H), 7.35-7.41 (m, 2H), 7.41-7.45 (m, 1H), 7.56-7.59 (m, 1H), 7.66-7.71 (m, 2H), 7.76 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.91 (t, *J* = 8.4 Hz, 2H), 8.47 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 29.9, 52.3, 119.3, 123.0, 123.6, 124.5, 124.8, 125.0, 126.0, 128.9, 129.0, 130.0, 130.5, 132.8, 136.09, 136.11, 139.0, 157.2, 157.2, 169.3 ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-7-(2-methoxyphenyl)-1-naphthamide (3g)**

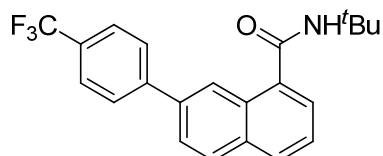
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(2-methoxyphenyl)iodonium triflate (**2g**) (150.6 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 60 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 15/1, v/v) yields **3g** (33.4 mg, 50%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.52 (s, 9H), 3.84 (s, 3H), 5.83 (bs, 1H), 7.03 (d, *J* = 8.0 Hz, 1H), 7.08 (td, *J* = 7.6, 0.8 Hz, 1H), 7.37 (td, *J* = 8.0, 1.6 Hz, 1H), 7.41-7.46 (m, 2H), 7.56 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.74 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.86-7.90 (m, 2H), 8.39 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.1, 55.7, 111.4, 121.2, 124.7, 124.8, 125.6, 127.7, 128.7, 129.0, 129.8, 130.1,

130.7, 131.4, 132.7, 136.2, 137.4, 156.7, 169.3 ppm. HRMS (ESI): calcd for $C_{22}H_{24}NO_2$ $[M+H]^+$ 334.1802, found 334.1808.



Ethyl 4-(8-(*tert*-butylcarbamoyl)naphthalen-2-yl)benzoate (**3h**)

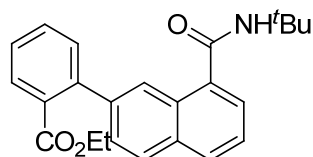
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), (4-(ethoxycarbonyl)phenyl)(mesityl)iodonium triflate (**2h**) (163.2 mg, 0.30 mmol), $Cu(OTf)_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 10/1, v/v) yields **3h** (49.6 mg, 66%) as colorless oil. 1H NMR (400 MHz, $CDCl_3$): δ = 1.42 (t, J = 7.2 Hz, 3H), 1.54 (s, 9H), 4.41 (q, J = 7.2 Hz, 2H), 5.90 (s, 1H), 7.43-7.48 (m, 1H), 7.58 (d, J = 6.9 Hz, 1H), 7.75-7.81 (m, 3H), 7.89-7.95 (m, 2H), 8.14 (d, J = 8.4 Hz, 2H), 8.54 (s, 1H) ppm. ^{13}C NMR (100 MHz, $CDCl_3$): δ = 14.5, 29.1, 52.3, 61.2, 76.8, 77.2, 77.45, 124.0, 125.1, 125.4, 125.9, 127.5, 129.1, 129.5, 130.0, 130.3, 130.4, 133.3, 136.3, 138.4, 145.4, 166.6, 169.1 ppm. The analytical data matched those reported in the literature.^[1]



N-(*tert*-Butyl)-7-(4-(trifluoromethyl)phenyl)-1-naphthamide (**3i**)

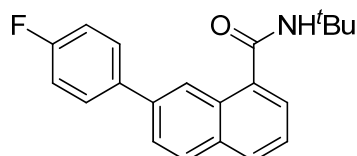
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(4-(trifluoromethyl)phenyl)iodonium triflate (**2i**) (162.0 mg, 0.30 mmol), $Cu(OTf)_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 80 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 10/1, v/v) yields **3i** (52.1 mg, 70%) as colorless oil. 1H NMR (400 MHz, $CDCl_3$): δ = 1.55 (s, 9H), 5.86 (bs, 1H), 7.44-7.50 (m, 1H), 7.60 (dd, J = 7.2, 1.2 Hz, 1H), 7.72-7.78 (m, 3H), 7.82 (d, J = 8.0 Hz, 2H), 7.92 (d, J = 8.0 Hz, 1H), 7.96 (d, J = 8.4 Hz, 1H), 8.54 (s, 1H) ppm. ^{13}C NMR (100 MHz, $(CD_3)_2SO$): δ = 28.6, 51.1, 123.0, 123.6, 124.4 (q, J_{CF} = 270 Hz), 125.2, 125.6, 125.8, 126.2 (q, J_{CF} = 4 Hz), 127.7, 128.4, 129.0, 129.3, 130.0, 132.7,

136.49, 136.53, 144.26, 144.27, 168.3 ppm. HRMS (ESI): calcd for C₂₂H₂₁F₃NO [M+H]⁺ 372.1570, found 372.1572.



Ethyl 2-(8-(*tert*-butylcarbamoyl)naphthalen-2-yl)benzoate (**3j**)

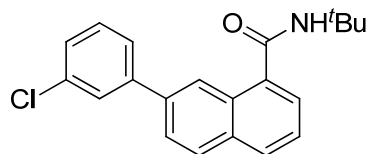
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), (2-(ethoxycarbonyl)phenyl)(mesityl)iodonium triflate (**2j**) (163.2 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 80 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 10/1, v/v) yields **3j** (42.8 mg, 57%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 0.98 (t, *J* = 7.2 Hz, 3H), 1.51 (s, 9H), 4.10 (q, *J* = 7.2 Hz, 2H), 5.83 (bs, 1H), 7.42-7.50 (m, 4H), 7.57 (td, *J* = 7.6, 1.2 Hz, 2H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.89-7.92 (m, 2H), 8.26 (t, *J* = 0.8 Hz 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 14.0, 29.1, 52.2, 61.1, 124.6, 124.9, 125.0, 127.5, 127.7, 127.9, 130.0, 130.1, 130.2, 131.1, 131.4, 131.6, 132.8, 136.1, 140.3, 142.7, 168.5, 169.2 ppm. HRMS (ESI): calcd for C₂₄H₂₅NNaO₃ [M+Na]⁺ 398.1727, found 398.1731.



N-(*tert*-Butyl)-7-(4-fluorophenyl)-1-naphthamide (**3k**)

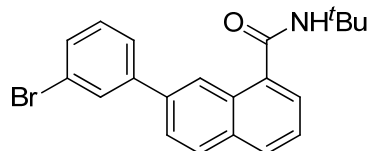
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), (4-fluorophenyl)(mesityl)iodonium triflate (**2k**) (147.0 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3k** (51.4 mg, 80%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 5.85 (s, 1H), 7.14-7.20 (m, 2H), 7.42-7.46 (m, 1H), 7.58 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.65-7.70 (m, 2H), 7.73 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.91 (t, *J* = 8.0 Hz, 2H), 8.45 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 115.9 (*J*_{C-F} = 21 Hz), 123.3, 125.0 (*J*_{C-F} = 10 Hz), 126.0, 129.0, 129.15, 129.23, 130.0, 130.5, 132.9, 136.1, 137.3, (*J*_{C-F} = 3 Hz),

138.7, 162.8 ($J_{C-F} = 245$ Hz), 169.2 ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-7-(3-chlorophenyl)-1-naphthamide (3l)**

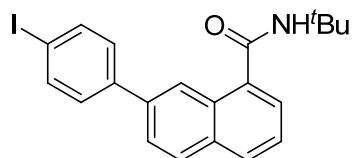
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), (3-chlorophenyl)(mesityl)iodonium triflate (**2l**) (151.8 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3l** (39.1 mg, 58%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 5.85 (bs, 1H), 7.35 (dt, J = 8.0, 1.4 Hz, 1H), 7.41 (t, J = 7.6 Hz, 1H), 7.45-7.48 (m, 1H), 7.58-7.61 (m, 2H), 7.69 (t, J = 2.0 Hz, 1H), 7.73 (dd, J = 8.4, 1.8 Hz, 1H), 7.92 (t, J = 9.2 Hz, 2H), 8.48 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 123.7, 125.2, 125.3, 125.8, 125.9, 127.65, 127.71, 129.1, 130.0, 130.3, 130.4, 133.2, 134.9, 136.3, 138.3, 143.0, 169.1 ppm. HRMS (ESI): calcd for C₂₁H₂₀ClNNaO [M+Na]⁺ 360.1126, 362.1096, found 360.1128, 362.1097.



7-(3-Bromophenyl)-*N*-(*tert*-butyl)-1-naphthamide (3m)

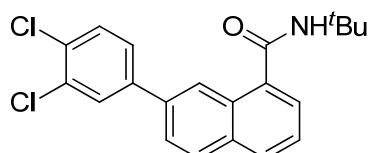
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), (3-bromophenyl)(mesityl)iodonium triflate (**2m**) (165.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3m** (51.8 mg, 68%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 5.86 (bs, 1H), 7.35 (t, J = 8.0 Hz, 1H), 7.43-7.48 (m, 1H), 7.51 (ddd, J = 8.0, 2.0, 0.8 Hz, 1H), 7.59 (dd, J = 7.2, 1.2 Hz, 1H), 7.64 (ddd, J = 7.6, 1.6, 0.8 Hz, 1H), 7.73 (dd, J = 8.8, 2.0 Hz, 1H), 7.84 (t, J = 2.0 Hz, 1H), 7.92 (t, J = 9.2 Hz, 2H), 8.47 (t, J = 0.8 Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 123.1, 123.7, 125.2, 125.3,

125.9, 126.3, 129.1, 130.0, 130.4, 130.55, 130.57, 130.6, 133.2, 136.3, 138.2, 143.0, 169.1 ppm. HRMS (ESI): calcd for C₂₁H₂₀BrNNaO [M+Na]⁺ 404.0620, 406.0600, found 404.0623, 406.0596.



***N*-(*tert*-Butyl)-7-(4-iodophenyl)-1-naphthamide (3n)**

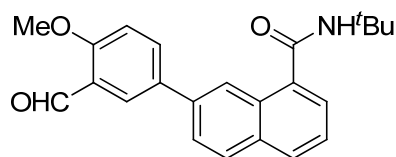
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), (4-iodophenyl)(mesityl)iodonium triflate (**2n**) (179.4 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3n** (54.9 mg, 64%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.54 (s, 9H), 5.85 (bs, 1H), 7.42-7.47 (m, 3H), 7.58 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.72 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.79-7.83 (m, 2H), 7.91 (t, *J* = 8.8 Hz, 2H), 8.47 (t, *J* = 0.8 Hz 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 93.5, 123.38, 125.1, 125.2, 125.7, 129.1, 129.4, 130.0, 130.5, 133.1, 136.2, 138.1, 138.5, 140.7, 169.2 ppm. HRMS (ESI): calcd for C₂₁H₂₀INNaO [M+Na]⁺ 452.0482, 453.0515, found 452.0489, 453.0520.



***N*-(*tert*-Butyl)-7-(3,4-dichlorophenyl)-1-naphthamide (3o)**

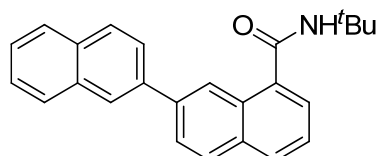
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), (3,4-dichlorophenyl)(mesityl)iodonium triflate (**2o**) (162.0 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3o** (42.3 mg, 57%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 5.87 (bs, 1H), 7.44-7.48 (m, 1H), 7.53 (d, *J* = 1.2 Hz, 2H), 7.59 (dd, *J* = 6.8, 1.2 Hz, 1H), 7.69 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.77 (t, *J* = 1.2 Hz, 1H), 7.89-7.94 (m, 2H), 8.45-8.47 (m, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 123.7, 125.3, 125.4, 125.5, 126.9, 129.3, 129.4, 130.0, 130.4, 131.0, 131.9, 133.1, 133.2, 136.2, 137.2, 141.2,

169.1 ppm. HRMS (ESI): calcd for C₂₁H₂₀Cl₂NO [M+H]⁺ 372.0916, 374.0887, 373.0950, found 372.0920, 374.0891, 373.0952.



***N*-(*tert*-Butyl)-7-(3-formyl-4-methoxyphenyl)-1-naphthamide (3p)**

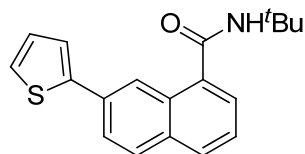
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), (4-formyl-3-methoxyphenyl)(mesityl)iodonium triflate (**2p**) (159.6 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 60 °C. After 24 h, purification by column chromatography on silica gel (PE/DCM/EA = 10/10/1, v/v/v) yields **3p** (42.1 mg, 58%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 4.00 (s, 3H), 5.88 (bs, 1H), 7.12 (d, *J* = 8.8 Hz, 1H), 7.43 (dd, *J* = 8.4, 7.2 Hz, 1H), 7.58 (dd, *J* = 7.0, 1.2 Hz, 1H), 7.76 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.87-7.96 (m, 3H), 8.17 (d, *J* = 2.5 Hz, 1H), 8.45 (t, *J* = 0.8 Hz, 1H), 10.52 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 56.0, 112.5, 122.8, 124.99, 125.04, 125.1, 125.7, 127.3, 129.1, 130.0, 130.4, 132.9, 133.7, 134.9, 136.1, 138.0, 161.6, 169.2, 189.9 ppm. HRMS (ESI): calcd for C₂₃H₂₄NO₃ [M+H]⁺ 362.1751, found 362.1757.



***N*-(*tert*-Butyl)-[2,2'-binaphthalene]-8-carboxamide (3q)**

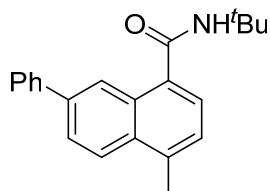
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(naphthalen-2-yl)iodonium triflate (**2q**) (156.6 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3q** (46.6 mg, 66%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.56 (s, 9H), 5.89 (s, 1H), 7.43-7.48 (m, 1H), 7.48-7.56 (m, 2H), 7.60 (dd, *J* = 6.8, 1.2 Hz, 1H), 7.86-7.98 (m, 7H), 8.17-8.19 (m, 1H), 8.63 (t, *J* = 0.8, Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 76.8, 77.2, 77.45, 123.7, 125.0, 125.1, 125.83, 126.2, 126.35, 126.41, 126.5, 127.8, 128.5, 128.7, 129.0, 130.0, 130.5, 132.8, 133.0, 133.8, 136.2,

138.4, 139.6, 169.3 ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-7-(thiophen-2-yl)-1-naphthamide (**3r**)**

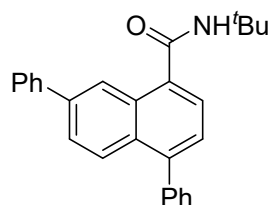
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1-naphthamide (**1a**) (45.4 mg, 0.20 mmol), mesityl(thiophen-2-yl)iodonium triflate (**2r**) (111.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 50 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **3r** (21.6 mg, 35%) as colorless oil ¹H NMR (400 MHz, CDCl₃): δ = 1.57 (s, 9H), 5.84 (bs, 1H), 7.10-7.14 (m, 1H), 7.33 (dd, *J* = 5.2, 1.2 Hz, 1H), 7.39-7.44 (m, 1H), 7.45 (dd, *J* = 3.6, 0.8 Hz, 1H), 7.57 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.78 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.85 (dd, *J* = 8.4, 3.6 Hz, 2H), 8.48 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 121.7, 123.9, 124.9, 125.0, 125.4, 125.6, 128.4, 129.1, 129.9, 130.4, 132.9, 133.0, 136.1, 144.5, 169.1 ppm. HRMS (ESI): calcd for C₁₉H₂₀NOS [M+H]⁺ 310.1260, found 310.1262.



***N*-(*tert*-Butyl)-4-methyl-7-phenyl-1-naphthamide (**4a**)**

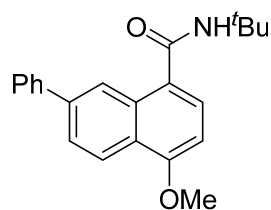
Following the general procedure, the reaction of *N*-(*tert*-butyl)-4-methyl-1-naphthamide (**1b**) (48.2 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4a** (56.5 mg, 89%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.54 (s, 9H), 2.73 (d, *J* = 0.8 Hz, 3H), 5.83 (s, 1H), 7.27 (dd, *J* = 7.2, 0.8 Hz, 1H), 7.36-7.41 (m, 1H), 7.46-7.51 (m, 3H), 7.72-7.75 (m, 2H), 7.82 (dd, *J* = 8.8, 2.0 Hz, 1H), 8.09 (d, *J* = 9.2 Hz, 1H), 8.54 (d, *J* = 1.6 Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 19.8, 29.1, 52.1, 124.0, 124.8, 125.1, 125.6, 125.9,

127.59, 127.61, 129.0, 130.6, 132.0, 134.7, 136.7, 139.2, 141.1, 169.5 ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-4,7-diphenyl-1-naphthamide (4b)**

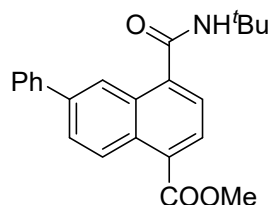
Following the general procedure, the reaction of *N*-(*tert*-butyl)-4-phenyl-1-naphthamide (**1c**) (60.6 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 10/1, v/v) yields **4b** (66.7 mg, 88%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.57 (s, 9H), 5.91 (s, 1H), 7.37-7.42 (m, 2H), 7.46-7.55 (m, 7H), 7.62 (d, *J* = 7.2 Hz, 1H), 7.71-7.75 (m, 3H), 7.98 (d, *J* = 8.8 Hz, 1H), 8.56 (d, *J* = 1.6 Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 123.6, 124.5, 125.9, 126.2, 127.2, 127.6, 127.7, 127.78, 128.5, 129.1, 130.1, 130.9, 131.2, 135.8, 139.4, 140.3, 140.9, 142.3, 169.4 ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-4-methoxy-7-phenyl-1-naphthamide (4c)**

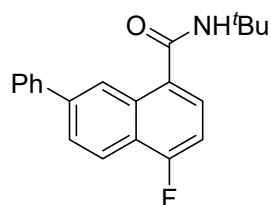
Following the general procedure, the reaction of *N*-(*tert*-butyl)-4-methoxy-1-naphthamide (**1d**) (51.4 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 10/1, v/v) yields **4c** (54.0 mg, 81%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.53 (s, 9H), 4.03 (s, 3H), 5.82 (s, 1H), 6.74 (d, *J* = 8.0 Hz, 1H), 7.36-7.41 (m, 1H), 7.48 (t, *J* = 7.6 Hz, 2H), 7.54 (d, *J* = 8.0 Hz, 1H), 7.71-7.75

(m, 2H), 7.77 (dd, $J = 8.8, 1.6$ Hz, 1H), 8.35 (d, $J = 8.8$ Hz, 1H), 8.54 (d, $J = 1.6$ Hz, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 29.1, 52.0, 55.8, 102.5, 123.0, 123.3, 124.9, 125.4, 126.1, 127.63, 127.64, 128.7, 129.0, 131.8, 140.1, 141.2, 157.0, 169.3$ ppm. The analytical data matched those reported in the literature.^[1]



Methyl 4-(*tert*-butylcarbamoyl)-6-phenyl-1-naphthoate (**4d**)

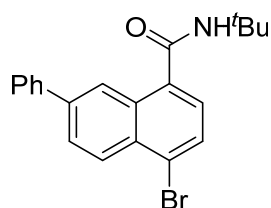
Following the general procedure, the reaction of methyl 4-(*tert*-butylcarbamoyl)-1-naphthoate (**1e**) (57.0 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/DCM/EA = 20/20/1, v/v/v) yields **4d** (51.9 mg, 72%) as colorless oil ^1H NMR (400 MHz, CDCl_3): $\delta = 1.55$ (s, 9H), 4.02 (s, 3H), 5.91 (bs, 1H), 7.38-7.42 (m, 1H), 7.47-7.54 (m, 3H), 7.72 (dd, $J = 8.4, 1.2$ Hz, 2H), 7.89 (dd, $J = 9.2, 2.0$ Hz, 1H), 8.08 (d, $J = 7.2$ Hz, 1H), 8.43 (d, $J = 2.0$ Hz, 1H), 8.96 (d, $J = 9.2$ Hz, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 29.0, 52.54, 52.55, 123.3, 123.4, 126.7, 127.5, 127.7, 127.9, 128.6, 129.08, 129.12, 130.8, 130.9, 139.6, 140.5, 140.7, 167.6, 168.7$ ppm. HRMS (ESI): calcd for $\text{C}_{23}\text{H}_{24}\text{NO}_3$ [$\text{M}+\text{H}$] $^+$ 362.1751, found 362.1752.



N-(*tert*-Butyl)-4-fluoro-7-phenyl-1-naphthamide (**4e**)

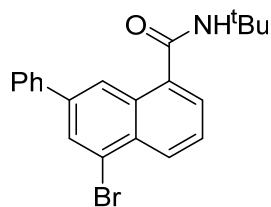
Following the general procedure, the reaction of *N*-(*tert*-butyl)-4-fluoro-1-naphthamide (**1f**) (49.0 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 10/1, v/v) yields **4e** (45.6 mg, 71%) as a white solid. ^1H NMR

(400 MHz, CDCl₃): δ = 1.54 (s, 9H), 5.86 (bs, 1H), 7.05-7.10 (m, 1H), 7.38-7.43 (m, 1H), 7.47-7.54 (m, 3H), 7.70-7.73 (m, 2H), 7.84 (dd, J = 8.8, 1.6 Hz, 1H), 8.19 (d, J = 8.8 Hz, 1H), 8.52 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 108.4 (d, J_{C-F} = 21 Hz), 121.5 (d, J_{C-F} = 5 Hz), 123.0, 123.1, 123.5 (d, J_{C-F} = 2 Hz), 125.4 (d, J_{C-F} = 9 Hz), 126.6 (d, J_{C-F} = 2 Hz), 127.7, 128.0, 129.1, 132.3 (d, J_{C-F} = 4 Hz), 132.4 (d, J_{C-F} = 5 Hz), 140.7, 159.7 (d, J_{C-F} = 254 Hz), 168.6 ppm. The analytical data matched those reported in the literature.^[1]



4-Bromo-*N*-(*tert*-butyl)-7-phenyl-1-naphthamide (4f)

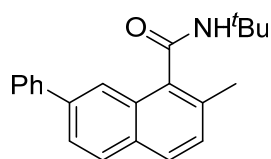
Following the general procedure, the reaction of *N*-(*tert*-butyl)-4-phenyl-1-naphthamide (**1g**) (61.2 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/DCM/EA = 50/110/1, v/v/v) yields **4f** (57.2 mg, 75%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.53 (s, 9H), 5.87 (bs, 1H), 7.37-7.43 (m, 2H), 7.47-7.52 (m, 2H), 7.70-7.74 (m, 3H), 7.88 (dd, J = 8.8, 1.6 Hz, 1H), 8.33 (d, J = 9.6 Hz, 1H), 8.47 (d, J = 1.6 Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.0, 52.4, 123.7, 124.8, 125.1, 127.5, 127.6, 128.0, 128.2, 128.9, 129.1, 131.4, 131.7, 136.1, 140.4, 168.6 ppm. The analytical data matched those reported in the literature.^[1]



5-Bromo-*N*-(*tert*-butyl)-7-phenyl-1-naphthamide (4g)

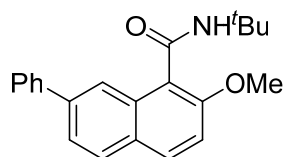
Following the general procedure, the reaction of 5-bromo-*N*-(*tert*-butyl)-1-naphthamide (**1h**) (61.0 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10

mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4g** (51.1 mg, 67%) as colorless oil ¹H NMR (400 MHz, CDCl₃): δ = 1.54 (s, 9H), 5.84 (bs, 1H), 7.40 (t, *J* = 7.2 Hz, 1H), 7.46-7.57 (m, 3H), 7.59-7.71 (m, 3H), 8.11 (s, 1H), 8.32 (d, *J* = 8.4 Hz, 1H), 8.45 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.4, 123.3, 123.7, 125.7, 126.3, 127.5, 128.1, 129.1, 129.2, 130.2, 131.3, 131.6, 136.7, 139.7, 140.2, 168.8 ppm. HRMS (ESI): calcd for C₂₁H₂₁BrNO [M+H]⁺ 382.0801, 384.0781, found 382.0809, 384.0782.



***N*-(*tert*-Butyl)-2-methyl-7-phenyl-1-naphthamide (4h)**

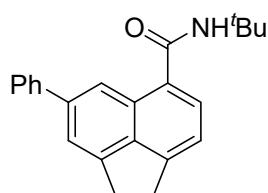
Following the general procedure, the reaction of *N*-(*tert*-butyl)-2-methyl-1-naphthamide (**1i**) (48.2 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4h** (53.9 mg, 85%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.56 (s, 9H), 2.53 (s, 3H), 5.71 (bs, 1H), 7.30 (d, *J* = 8.4 Hz, 1H), 7.36-7.40 (m, 1H), 7.46-7.51 (m, 2H), 7.68-7.73 (m, 3H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.87 (d, *J* = 8.4 Hz, 1H), 8.10 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 19.5, 29.1, 52.3, 122.6, 125.2, 127.5, 127.6, 128.4, 128.57, 128.62, 129.1, 130.5, 131.0, 132.2, 135.2, 139.4, 141.2, 169.3 ppm. The analytical data matched those reported in the literature.^[1]



***N*-(*tert*-Butyl)-2-methoxy-7-phenyl-1-naphthamide (4i)**

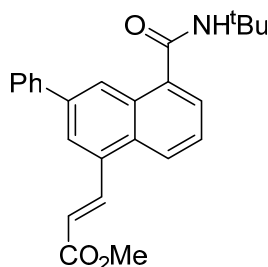
Following the general procedure, the reaction of *N*-(*tert*-butyl)-2-methoxy-1-naphthamide (**1j**) (51.4 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography

on silica gel (PE/THF = 15/1, v/v) yields **4i** (53.3 mg, 80%) as a white solid. ^1H NMR (400 MHz, CDCl_3): δ = 1.55 (s, 9H), 3.97 (s, 3H), 5.80 (bs, 1H), 7.25 (d, J = 8.8 Hz, 1H), 7.35-7.40 (m, 1H), 7.45-7.50 (m, 2H), 7.63 (dd, J = 8.6, 1.6 Hz, 1H), 7.69-7.72 (m, 2H), 7.84 (d, J = 5.6 Hz, 1H), 7.86 (d, J = 6.4 Hz, 1H), 8.14 (s, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): δ = 29.1, 52.2, 57.0, 113.5, 122.2, 122.4, 124.0, 127.5, 127.6, 128.1, 128.5, 129.0, 130.5, 131.8, 140.0, 141.2, 153.7, 167.0 ppm. The analytical data matched those reported in the literature.^[1]



2,2-Dimethyl-1-(7-phenylnaphthalen-1-yl)propan-1-one (**4j**)

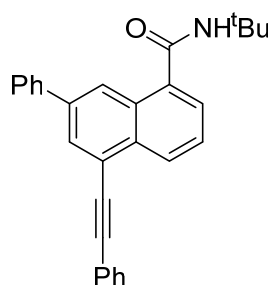
Following the general procedure, the reaction of *N*-(*tert*-butyl)-1,2-dihydroacenaphthylene-5-carboxamide (**1k**) (50.6 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4j** (34.9 mg, 53%) as a white solid. ^1H NMR (400 MHz, CDCl_3): δ = 1.54 (s, 9H), 3.40-3.49 (m, 4H), 5.89 (bs, 1H), 7.24 (dt, J = 7.2, 1.2 Hz, 1H), 7.35-7.40 (m, 1H), 7.45-7.50 (m, 2H), 7.57 (d, J = 1.2 Hz, 1H), 7.64 (d, J = 7.2 Hz, 1H), 7.69-7.72 (m, 2H), 8.31 (d, J = 1.2 Hz, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): δ = 29.2, 30.5, 30.6, 52.0, 118.5, 119.8, 120.1, 127.4, 127.8, 128.0, 128.9, 131.0, 139.0, 142.3, 142.5, 146.9, 149.0, 168.7 ppm. HRMS (ESI): calcd for $\text{C}_{23}\text{H}_{24}\text{NO}_3$ $[\text{M}+\text{H}]^+$ 330.1852, found 330.1759.



(*E*)-Methyl 3-(5-(*tert*-butylcarbamoyl)-3-phenylnaphthalen-1-yl)acrylate (**4k**)

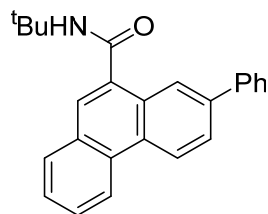
Following the general procedure, the reaction of (*E*)-methyl 3-(5-(*tert*-butylcarbamoyl)naphthalen-1-yl)acrylate (**1l**) (62.2 mg, 0.20 mmol),

mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/DCM/EA = 20/20/1, v/v/v) yields **4k** (54.2 mg, 70%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 3.87 (s, 3H), 5.87 (bs, 1H), 6.59 (d, *J* = 16.0 Hz, 1H), 7.39-7.43 (m, 1H), 7.47-7.55 (m, 3H), 7.59-7.62 (m, 1H), 7.69-7.73 (m, 2H), 8.02 (d, *J* = 1.6 Hz, 1H), 8.23 (d, *J* = 8.4 Hz, 1H), 8.53 (d, *J* = 15.6), 8.54 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.0, 52.4, 121.5, 125.2, 125.3, 125.4, 125.7, 125.82, 127.6, 128.0, 129.2, 130.87, 130.89, 132.8, 137.0, 139.2, 140.5, 142.0, 167.3, 169.1 ppm. HRMS (ESI): calcd for C₂₅H₂₆NO₃ [M+H]⁺, 388.1907, found 388.1906.



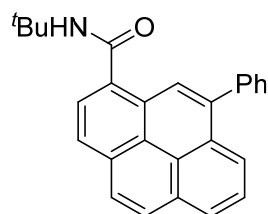
***N*-(*tert*-Butyl)-7-phenyl-5-(phenylethynyl)-1-naphthamide (**4l**)**

Following the general procedure, the reaction of *N*-(*tert*-butyl)-5-(phenylethynyl)-1-naphthamide (**1m**) (65.4 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4l** (48.4 mg, 60%) as colorless oil. ¹H NMR (400 MHz, CDCl₃): δ = 1.55 (s, 9H), 5.88 (bs, 1H), 7.37-7.44 (m, 4H), 7.47-7.52 (m, 2H), 7.52-7.57 (m, 1H), 7.62 (dd, *J* = 6.8, 1.2 Hz, 1H), 7.65-7.69 (m, 2H), 7.72-7.76 (m, 2H), 8.08 (d, *J* = 1.6 Hz, 1H), 8.49-8.53 (m, 2H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 87.5, 94.8, 122.0, 123.3, 124.1, 125.5, 125.7, 127.6, 127.9, 128.3, 128.6, 128.7, 129.1, 130.50, 130.54, 131.8, 132.7, 136.6, 139.2, 140.4, 169.1 ppm. HRMS (ESI): calcd for C₂₉H₂₆NO [M+H]⁺ 404.2009, found 404.2016.



***N*-(*tert*-Butyl)-7-phenylphenanthrene-9-carboxamide (**4m**)**

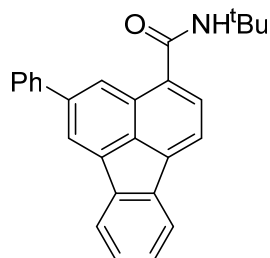
Following the general procedure, the reaction of *N*-(*tert*-butyl)phenanthrene-9-carboxamide (**1n**) (55.4 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4m** (52.4 mg, 74%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.58 (s, 9H), 5.97 (bs, 1H), 7.38-7.42 (m, 1H), 7.48-7.53 (m, 2H), 7.60-7.65 (m, 1H), 7.68-7.73 (m, 1H), 7.74-7.78 (m, 2H), 7.83 (s, 1H), 7.90 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.94 (dd, *J* = 8.4, 2.0 Hz, 1H), 8.53 (d, *J* = 1.8 Hz, 1H), 8.67 (d, *J* = 7.8 Hz, 1H), 8.75 (d, *J* = 8.6 Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.1, 52.3, 122.8, 123.6, 124.4, 126.1, 126.4, 127.2, 127.5, 127.7, 127.9, 129.08, 129.14, 129.2, 129.8, 130.6, 130.8, 135.1, 139.8, 140.9, 169.3 ppm. HRMS (ESI): calcd for C₂₅H₂₃NNaO₃ [M+Na]⁺ 376.1672, found 376.1679.



***N*-(*tert*-Butyl)-2-phenylpyrene-1-carboxamide (**4n**)**

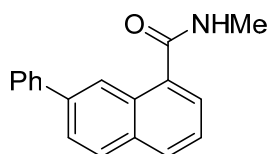
Following the general procedure, the reaction of *N*-(*tert*-butyl)pyrene-1-carboxamide (**1o**) (60.2 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **6c** (49.0 mg, 65%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.57 (s, 9H), 5.96 (bs, 1H), 7.48-7.53 (m, 1H), 7.54-7.59 (m, 2H), 7.65-7.69 (m, 2H), 7.98 (t, *J* = 8.0 Hz, 1H), 8.06-8.09 (m, 2H), 8.13-8.16 (m, 2H), 8.22-8.26 (m, 2H), 8.50 (s, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.2, 52.4, 124.4, 124.5, 124.7, 124.8, 124.97, 124.99,

126.1, 126.3, 127.2, 127.8, 128.2, 128.6, 128.8, 130.2, 130.3, 131.6, 132.3, 132.8, 140.8, 140.9, 169.6 ppm. HRMS (ESI): calcd for C₂₇H₂₄NO [M+H]⁺, 378.1852, found 378.1858.



***N*-(*tert*-Butyl)-5-phenylfluoranthene-3-carboxamide (4o)**

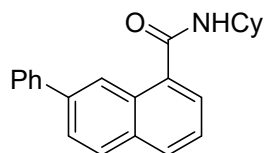
Following the general procedure, the reaction of *N*-(*tert*-butyl)fluoranthene-3-carboxamide (**1p**) (60.2 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4o** (36.2 mg, 48%) as a yellow solid. ¹H NMR (400 MHz, CDCl₃): δ = 1.57 (s, 9H), 6.02 (bs, 1H), 7.38-7.45 (m, 3H), 7.50-7.55 (m, 2H), 7.75-7.79 (m, 3H), 7.82 (d, *J* = 7.2 Hz, 1H), 7.86-7.89 (m, 1H), 7.90-7.93 (m, 1H), 8.14 (d, *J* = 1.2 Hz, 1H), 8.48 (d, *J* = 1.2 Hz, 1H) ppm. ¹³C NMR (100 MHz, CDCl₃): δ = 29.2, 52.3, 119.0, 120.8, 121.8, 122.1, 124.0, 127.61, 127.62, 127.7, 127.97, 128.01, 128.4, 129.0, 132.2, 134.8, 137.7, 139.10, 139.12, 140.0, 142.0, 142.7, 168.2 ppm. HRMS (ESI): calcd for C₂₇H₂₄NO [M+H]⁺ 378.1852, found 378.1861.



***N*-Methyl-7-phenyl-1-naphthamide (4p)**

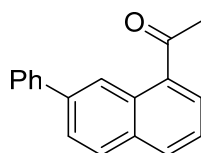
Following the general procedure, the reaction of *N*-methyl-1-naphthamide (**1q**) (37.0 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), Cu(OTf)₂ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4p** (35.0 mg, 67%) as a white solid. ¹H NMR (400 MHz, CDCl₃): δ = 3.09 (d, *J* = 4.8 Hz, 3H), 6.07 (bs,

1H), 7.36-7.40 (m, 1H), 7.41-7.45 (m, 1H), 7.45-7.50 (m, 2H), 7.59 (dd, $J = 7.2, 1.2$ Hz, 1H), 7.71-7.74 (m, 2H), 7.79 (dd, $J = 8.4, 2.0$ Hz, 1H), 7.90-7.94 (m, 2H), 8.51 (s, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 27.1, 123.6, 124.8, 125.4, 126.3, 127.7, 127.7, 128.9, 129.0, 130.45, 130.53, 133.0, 134.8, 139.9, 141.0, 170.4$ ppm. The analytical data matched those reported in the literature.^[1]



***N*-Cyclohexyl-7-phenyl-1-naphthamide (4q)**

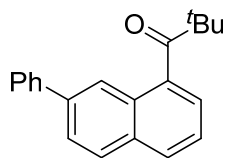
Following the general procedure, the reaction of *N*-cyclohexyl-1-naphthamide (**1r**) (50.6 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 20/1, v/v) yields **4q** (55.3 mg, 84%) as a white solid. ^1H NMR (400 MHz, CDCl_3): $\delta = 1.14$ -1.32 (m, 3H), 1.41-1.53 (m, 2H), 1.64-1.71 (m, 1H), 1.73-1.81 (m, 2H), 2.08-2.16 (m, 2H), 4.07-4.16 (m, 1H), 5.92 (d, $J = 8.4$ Hz, 1H), 7.36-7.41 (m, 1H), 7.42-7.51 (m, 3H), 7.60 (dd, $J = 6.8, 1.2$ Hz, 1H), 7.71-7.75 (m, 2H), 7.79 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.92 (t, $J = 7.6$ Hz, 2H), 8.53 (s, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 25.0, 25.7, 33.4, 48.9, 123.5, 124.9, 125.3, 126.2, 127.66, 127.68, 128.9, 129.0, 130.3, 130.5, 133.0, 135.3, 139.8, 141.0, 168.8$ ppm. The analytical data matched those reported in the literature.^[1]



1-(7-Phenylnaphthalen-1-yl)ethanone (4r)

Following the general procedure, the reaction of 1-(naphthalen-1-yl)ethanone (**1s**) (34.0 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 40/1, v/v) yields **4r** (21.1 mg, 43%) as a yellow oil. ^1H NMR (400 MHz, CDCl_3): $\delta = 2.78$ (s, 3H), 7.37-7.42 (m, 1H), 7.47-7.53 (m, 3H), 7.74-7.77 (m, 2H), 7.82 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.95 (d, $J = 8.4$

Hz, 1H), 7.98 (dd, $J = 7.2, 1.2$ Hz, 1H), 8.02 (d, $J = 8.0$ Hz, 1H), 9.03 (t, $J = 0.8$ Hz, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 30.2, 124.2, 124.5, 126.2, 127.7, 127.8, 128.99, 129.04, 129.4, 130.6, 133.0, 133.3, 135.6, 140.8, 141.1, 202.0$ ppm. HRMS (ESI): calcd for $\text{C}_{18}\text{H}_{15}\text{O}$ $[\text{M}+\text{H}]^+$ 247.1117, found 247.1124.



2,2-Dimethyl-1-(7-phenylnaphthalen-1-yl)propan-1-one (4s)

Following the general procedure, the reaction of 2,2-dimethyl-1-(naphthalen-1-yl)propan-1-one (**1t**) (42.4 mg, 0.20 mmol), mesityl(phenyl)iodonium triflate (**2a**) (141.3 mg, 0.30 mmol), $\text{Cu}(\text{OTf})_2$ (7.2 mg, 10 mol%), in DCE (1 mL) at 70 °C. After 24 h, purification by column chromatography on silica gel (PE/THF = 60/1, v/v) yields **4s** (33.4 mg, 58%) as yellow oil. ^1H NMR (400 MHz, CDCl_3): $\delta = 1.35$ (s, 9H), 7.36-7.41 (m, 2H), 7.50 (t, $J = 1.2$ Hz, 3H), 7.64-7.67 (m, 2H), 7.76 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.79 (t, $J = 0.8$ Hz, 1H), 7.89 (d, $J = 8.4$ Hz, 1H), 7.94 (d, $J = 8.4$ Hz, 1H) ppm. ^{13}C NMR (100 MHz, CDCl_3): $\delta = 27.5, 45.7, 122.9, 123.5, 124.4, 126.2, 127.6, 127.7, 128.9, 129.1, 130.3, 132.9, 139.2, 139.6, 141.0, 214.8$ ppm. HRMS (ESI): calcd for $\text{C}_{21}\text{H}_{20}\text{NaO}$ $[\text{M}+\text{Na}]^+$ 311.1406, found 311.1411.

VI. Photophysical data

Table S2. Photophysical data of 4k, 4n and 4o in toluene (1×10^{-5} mol/L) at 298 K.

Compound	λ_{abs}^a (nm)	λ_{em}^b (nm)
4k	322	395
4n	335/350	390
4o	351/370	477

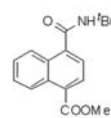
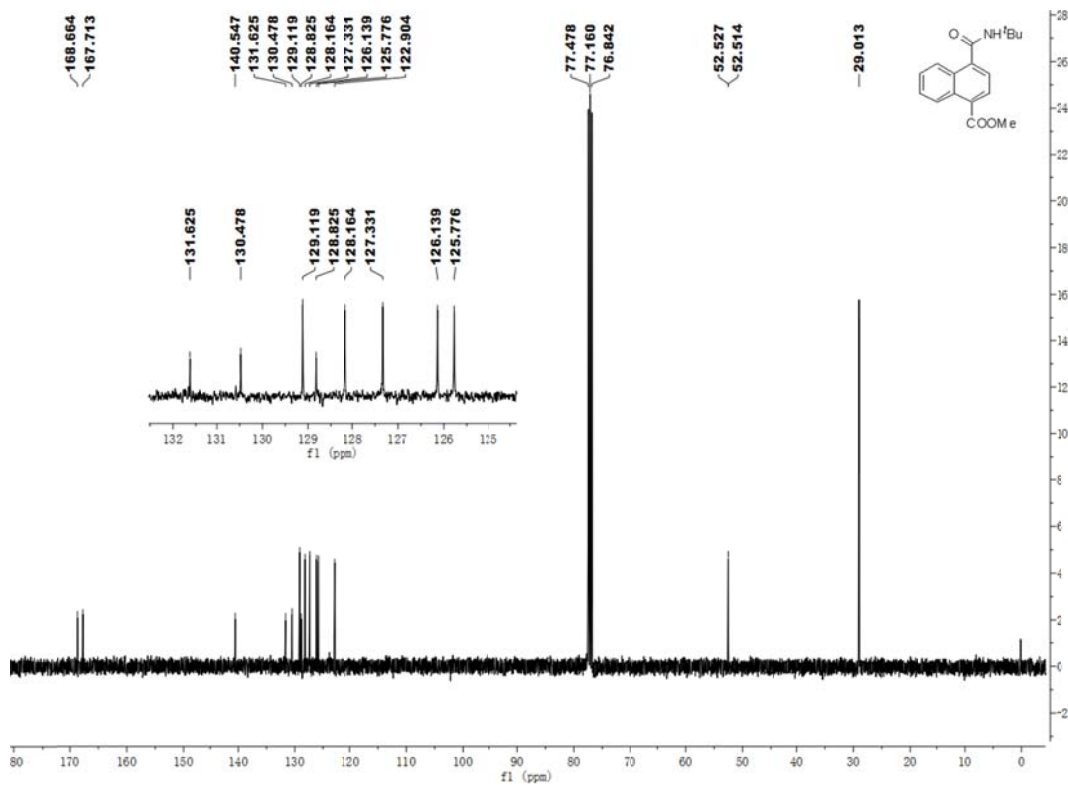
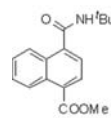
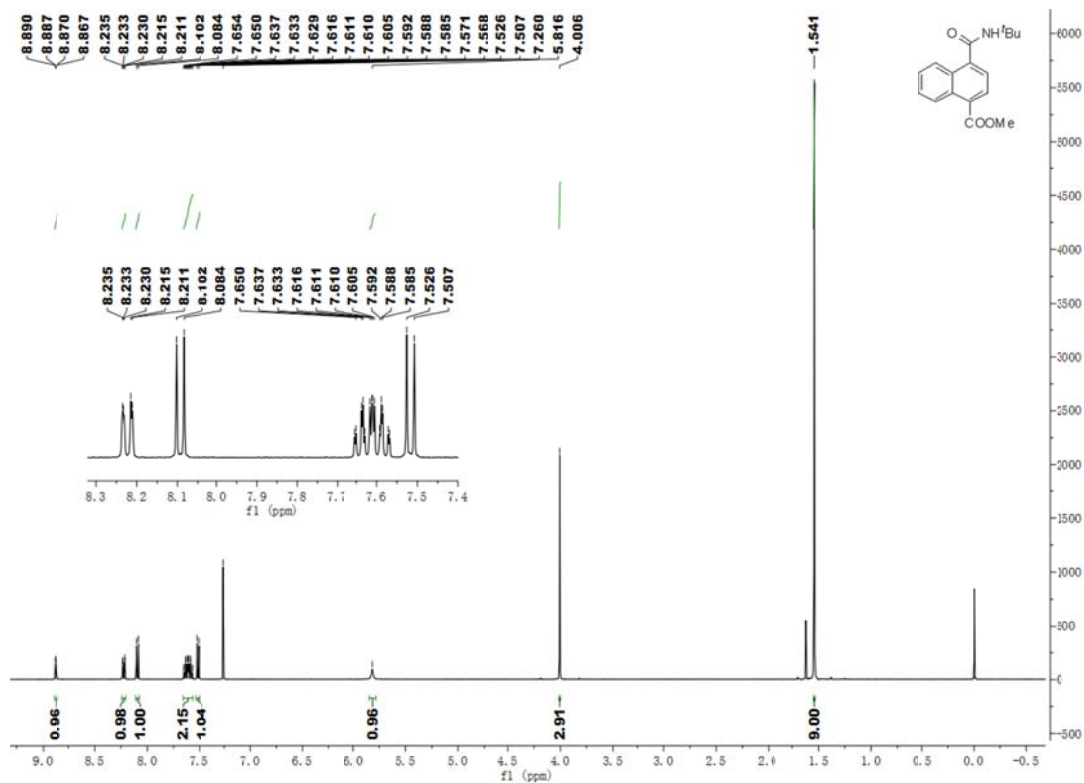
a UV-visible absorption peaks tested in toluene (1×10^{-5} mol/L). b Emission peaks tested in toluene (1×10^{-5} mol/L).

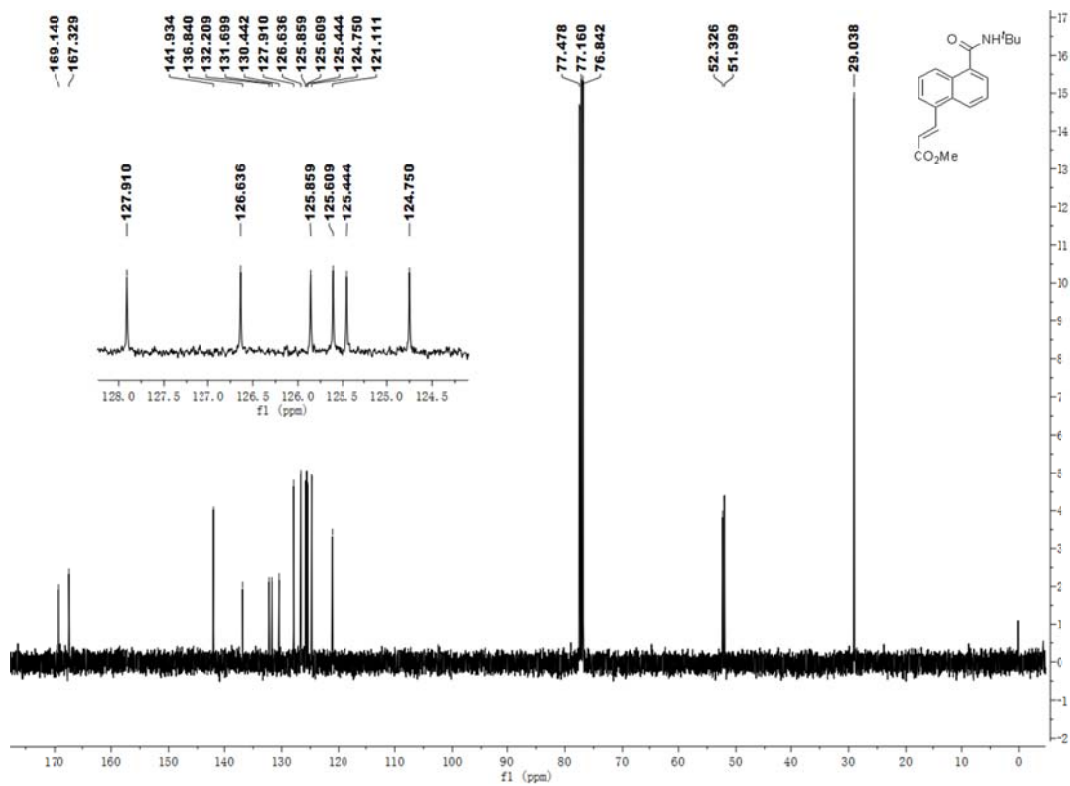
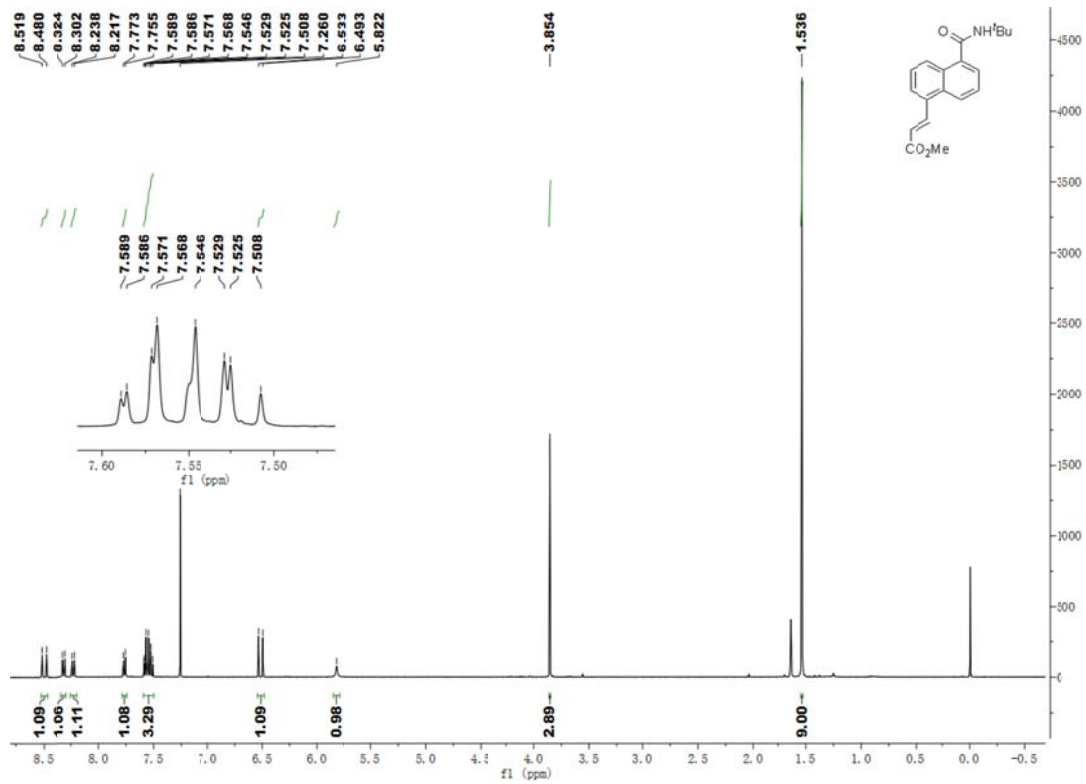
VII. References.

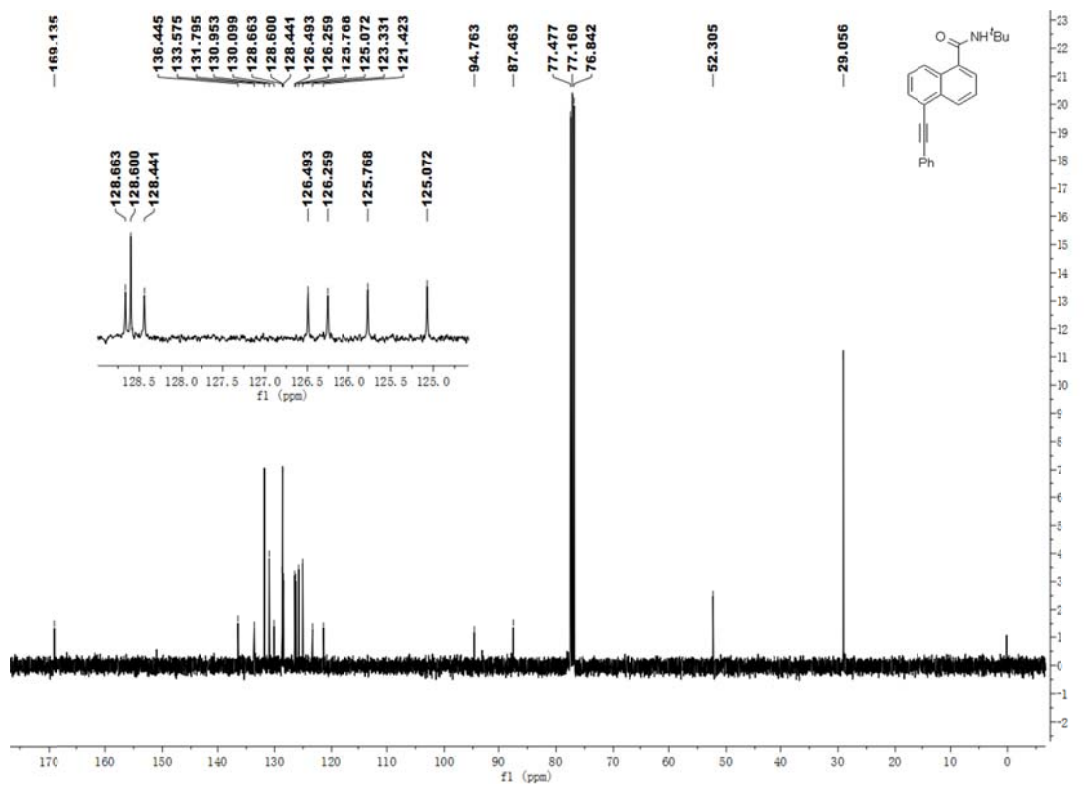
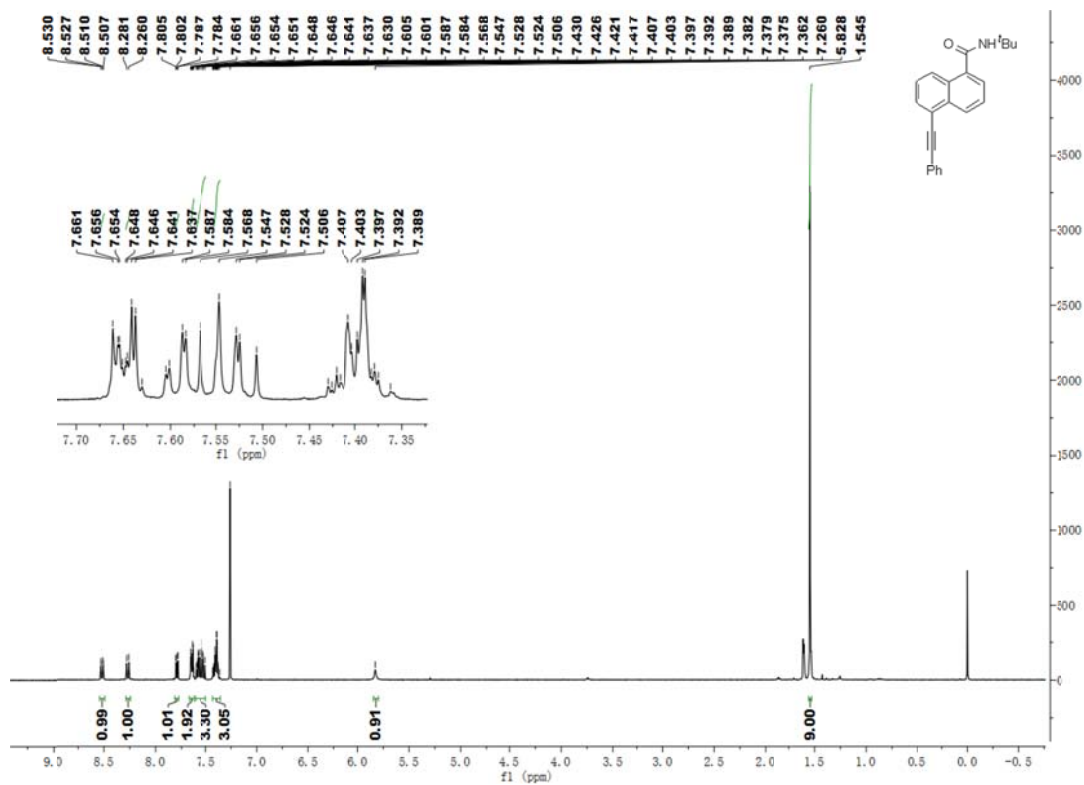
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2. Bielawski, M.; Zhu, M.; Olofsson, B. *Adv. Synth. Catal.* **2007**, *349*, 2610-2618.
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5. Allen, A. E.; MacMillan, D. W. C. *J. Am. Chem. Soc.* **2011**, *133*, 4260–4263.

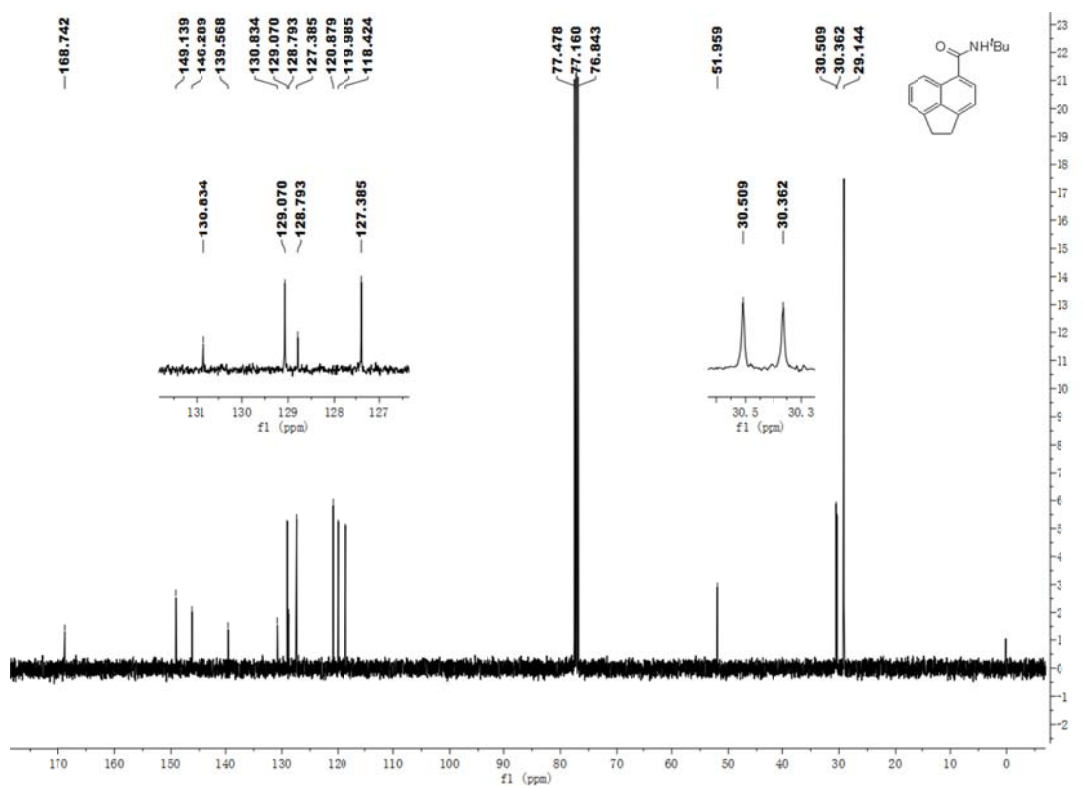
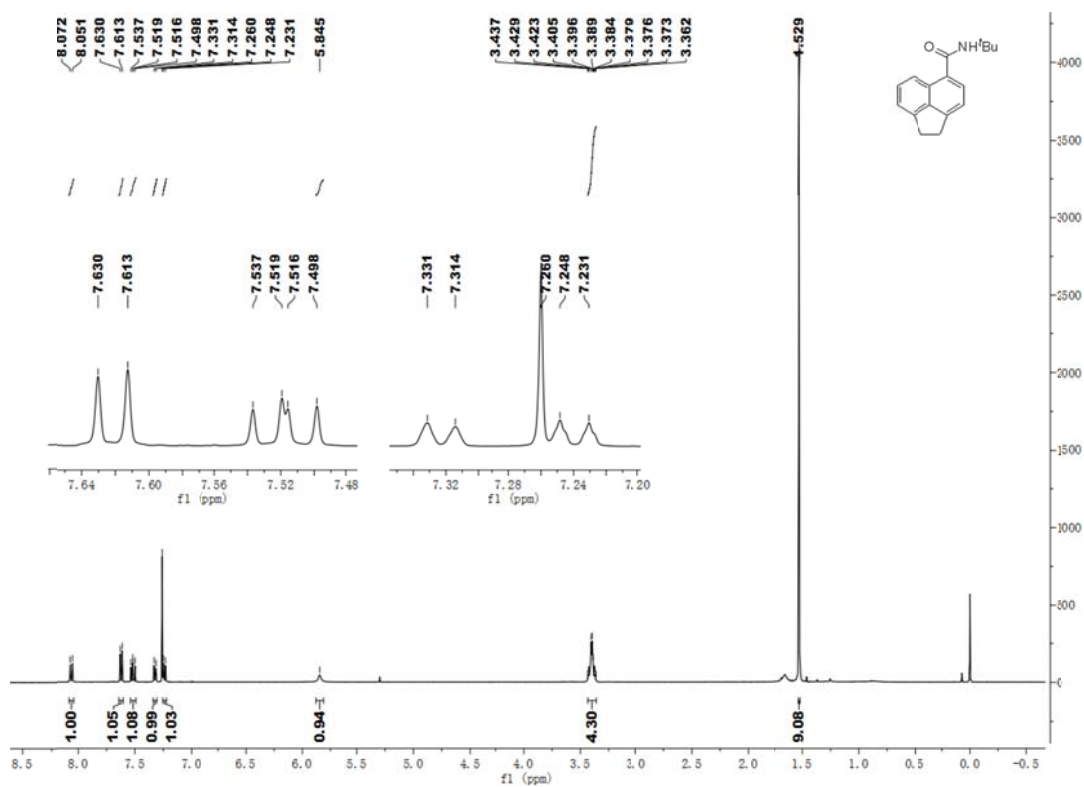
VIII. Copies of ^1H and ^{13}C NMR spectra

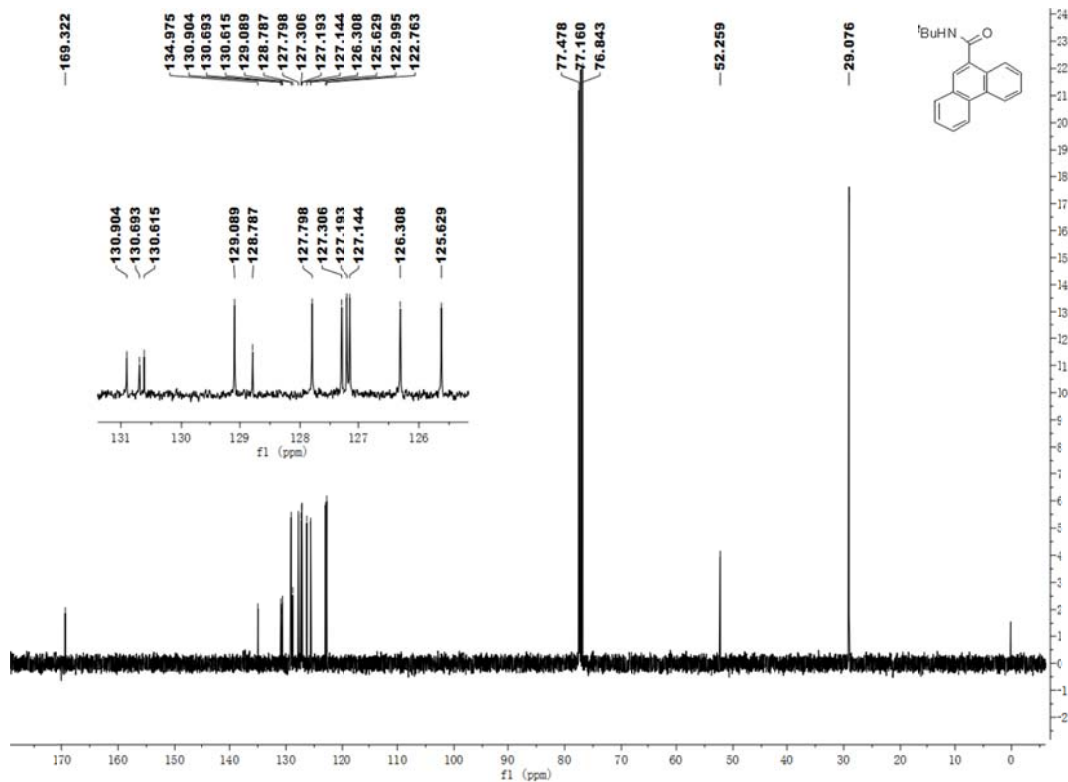
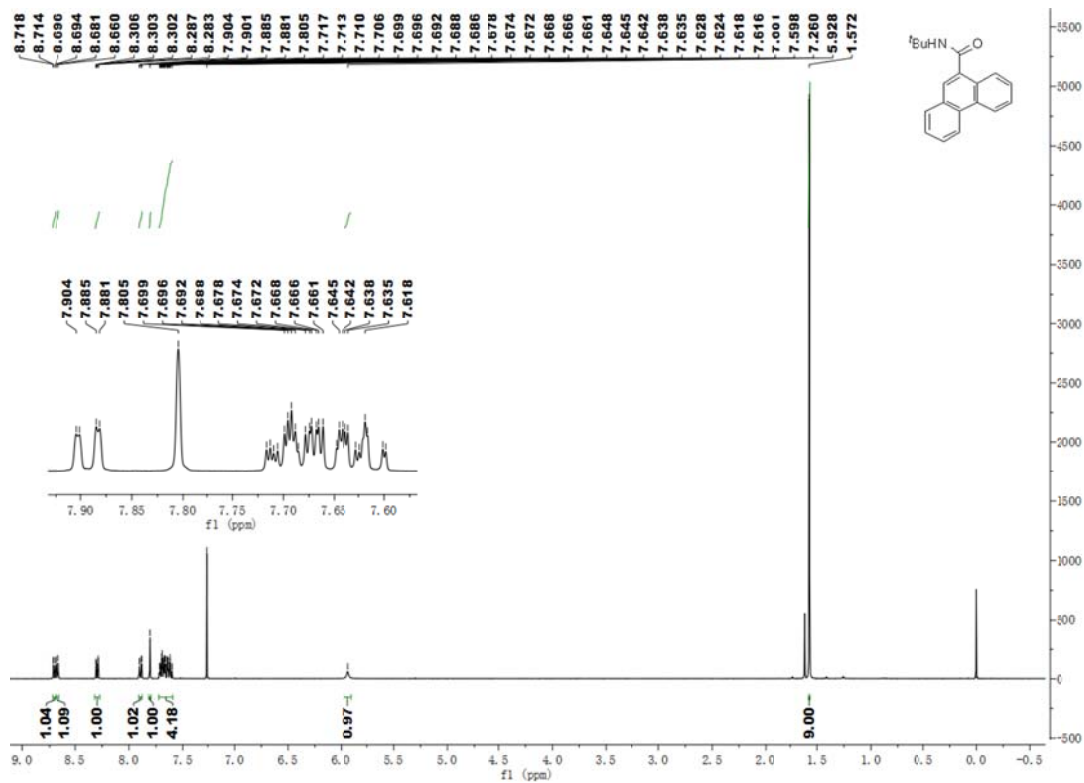
^1H and ^{13}C NMR Spectra of substrates

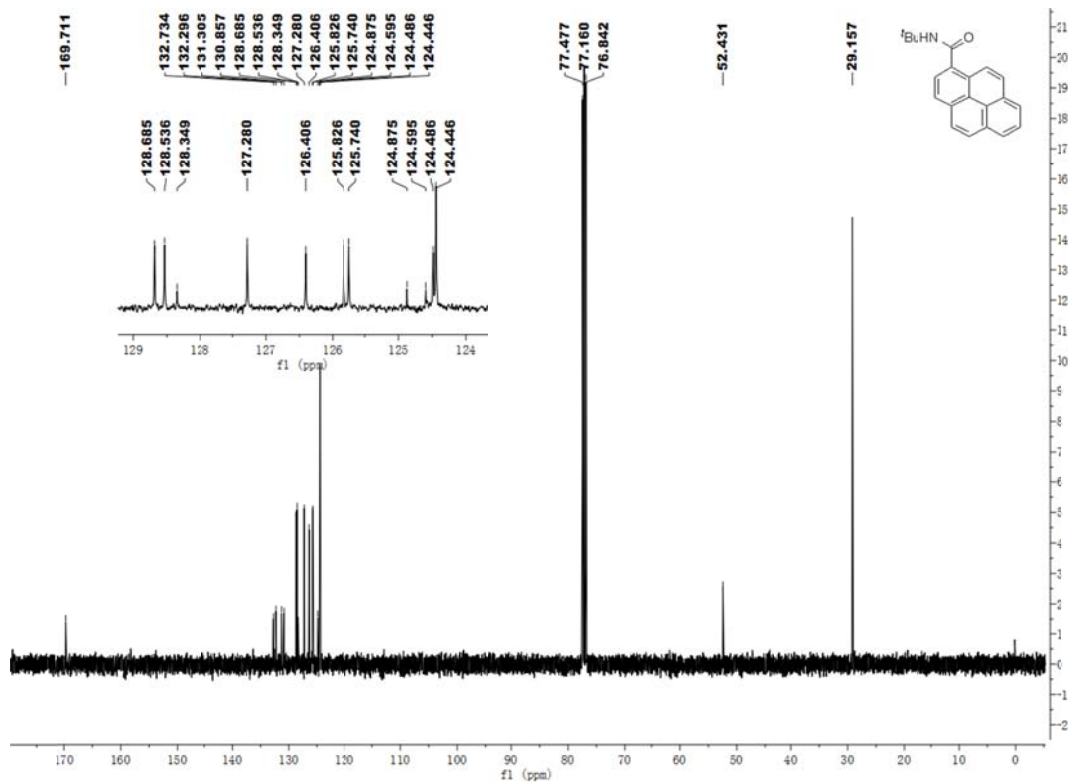
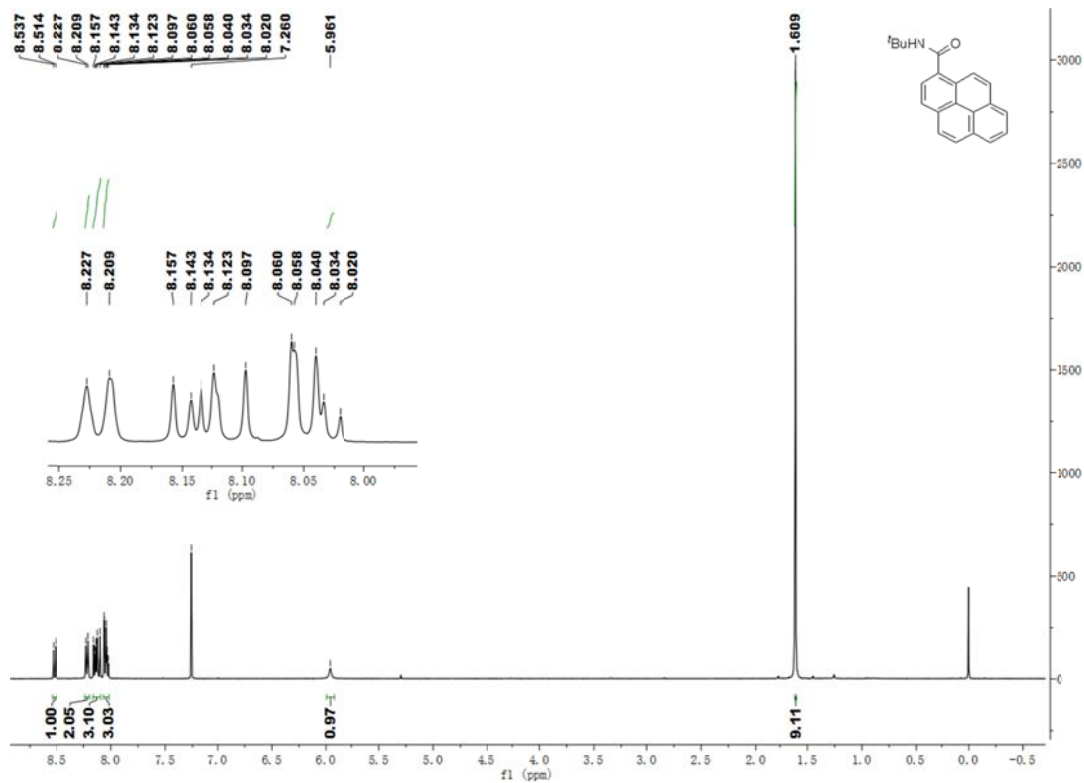


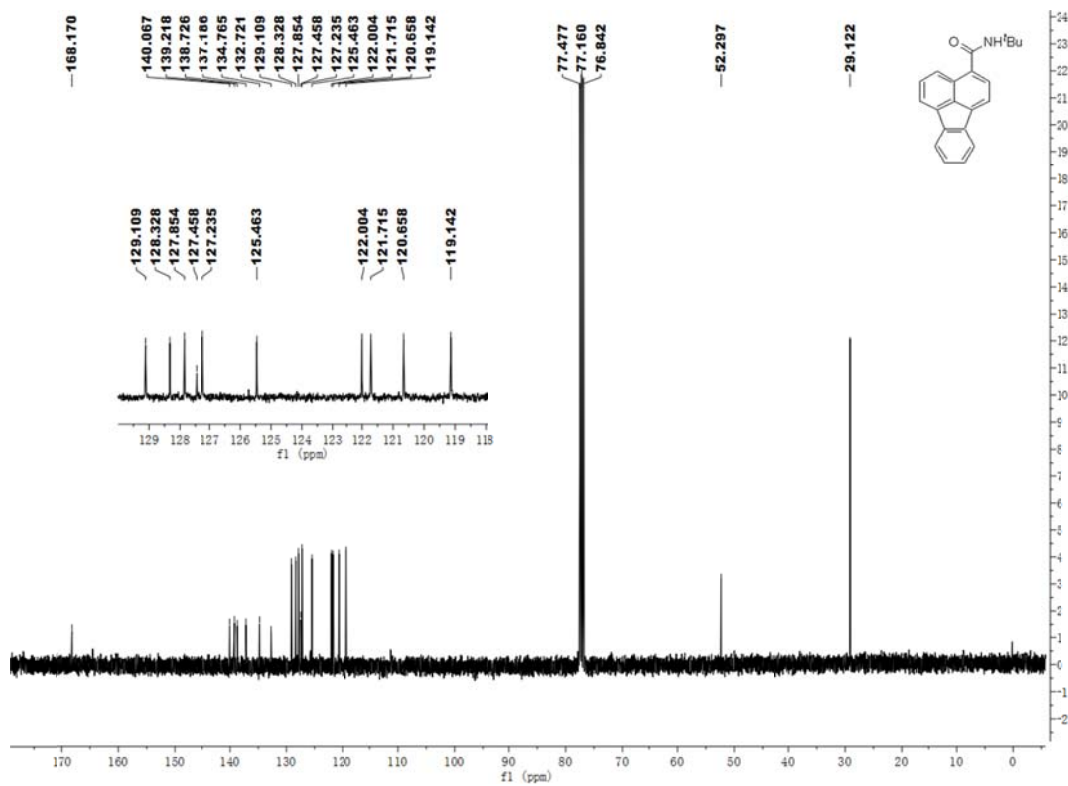
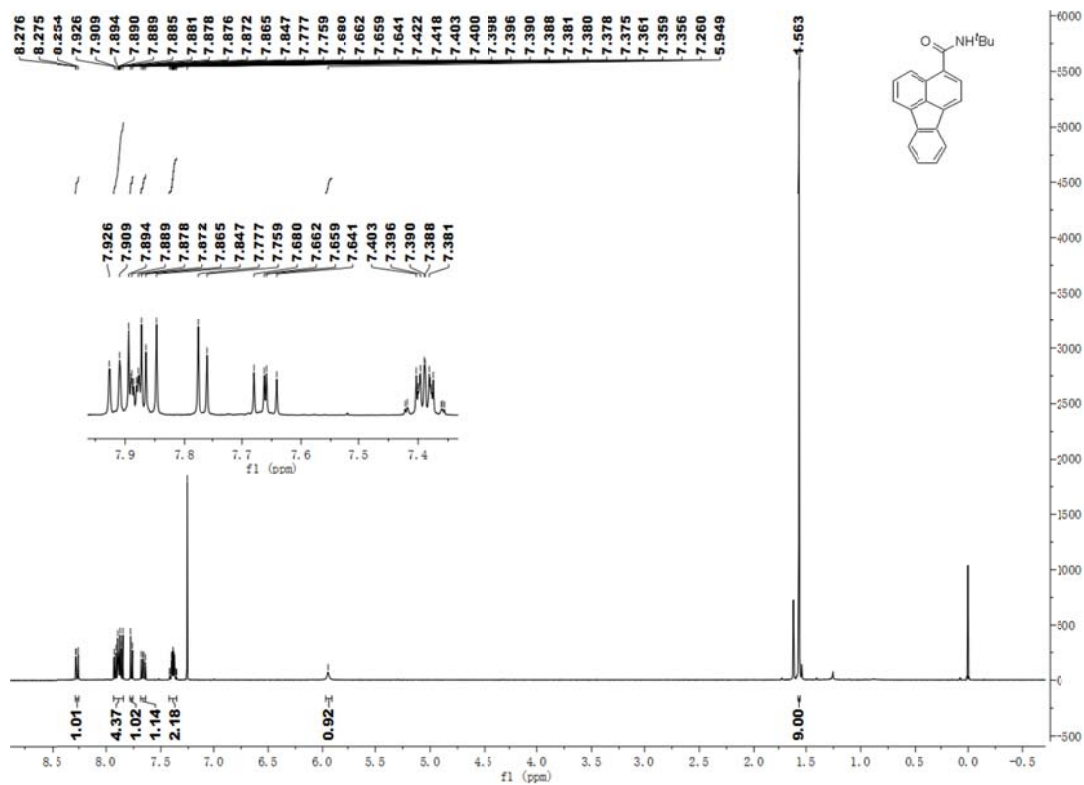


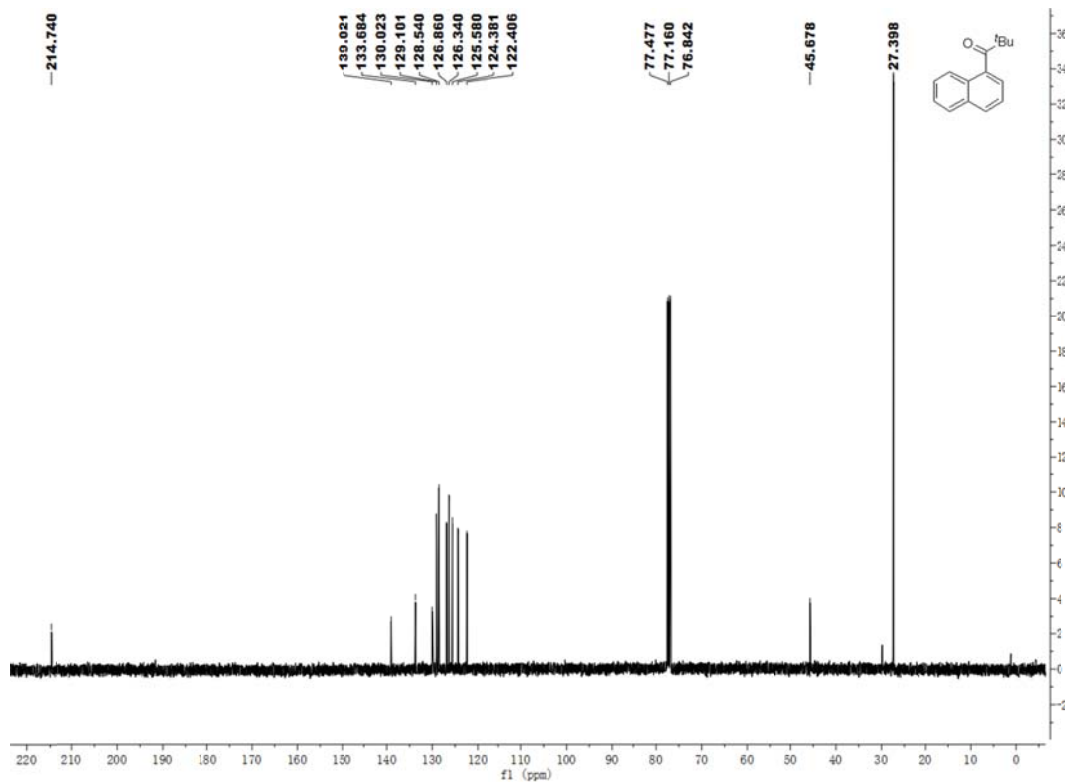
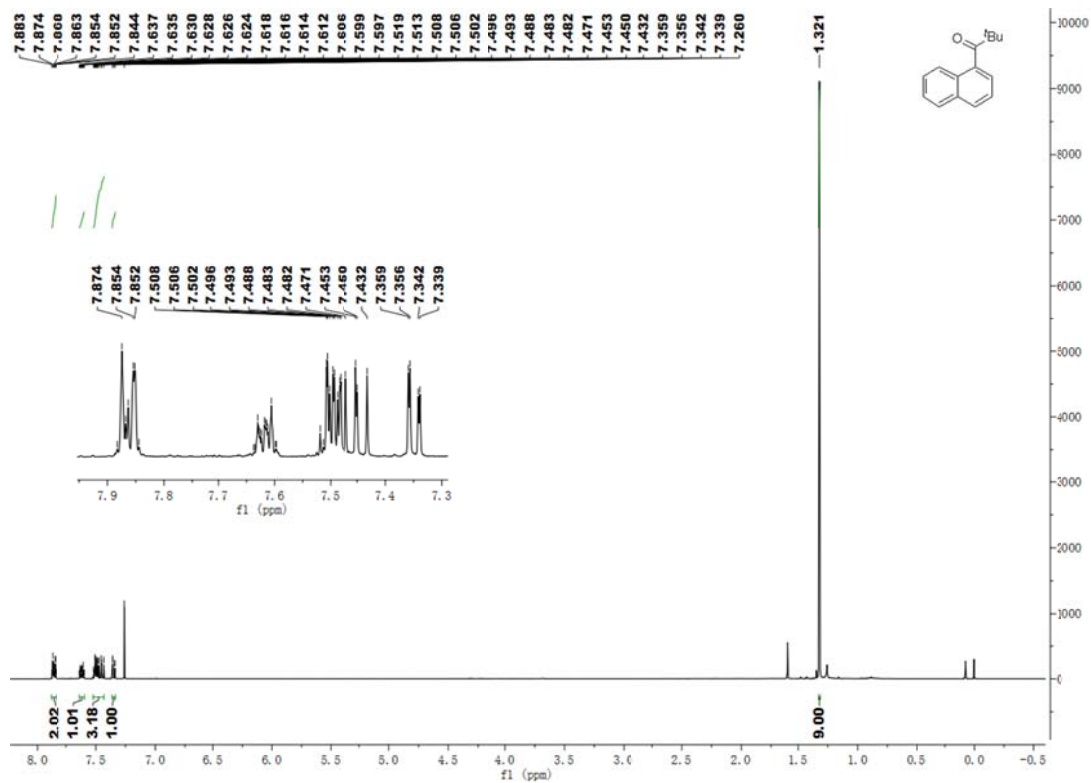












^1H and ^{13}C NMR Spectra of Products

