

Protecting group controlled selectivity switch in distal C–H arylation of α -naphthoic acids

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Supporting Information

Table of Contents

1.	General considerations.....	S2
2	Experimental section.....	S3
2.1	Synthesis of α -naphthamide from α -naphthoic acid	S3
2.2	Optimization details of <i>p</i> -arylation of α -naphthamide	S4-S7
2.3	Characterization of <i>p</i> -arylated α -naphthamide	S8-S27
2.4	Characterization of <i>p</i> -arylated α -naphthamide with Aryl boronic acid	S28-S31
2.5	Characterization of <i>p</i> -arylated α -naphthamide with Aryl bromide	S32-S35
2.6	Protective variation of α -naphthamide.....	S35-S39
2.7	Characterization of <i>C7</i> -arylated α -naphthamide	S39-S46
2.8	Kinetic studies.....	S46-S54
2.9	Crystal data.....	S55-S68
2.10	Reference.....	S68
2.11	NMR spectra.....	S69-S145

1. General considerations:

1a. Reagent information: Unless otherwise stated, all reactions were carried out under air atmosphere in screw cap reaction tubes. All chemicals are commercially available and purchased from Sigma Aldrich, Alfa-Aesar, TCI and Spectrochem. Palladium (II) acetate was purchased from Alfa-Aesar. All the solvents were bought from Merck, TCI and Spectrochem in a sealed bottle and were used as received. For column chromatography, silica gel (100–200 mesh) from SRL Co. and neutral alumina from Merck was used. A gradient elution using petroleum-ether and ethyl acetate was performed, based on Merck aluminium TLC sheets (silica gel 60F₂₅₄).

1b. Analytical Information: All isolated compounds were characterized by ¹H NMR, ¹³C NMR spectroscopy, gas chromatography (GC), high resolution mass spectrometry (HRMS), infrared spectroscopy (IR), etc. Copies of the ¹H NMR, ¹³C NMR can be found in the Supporting Information. Unless otherwise stated, all Nuclear Magnetic Resonance spectra were recorded on a Bruker xxx MHz and xxx MHz instrument. All ¹H NMR experiments were reported in units, parts per million (ppm), and were measured relative to the signals for residual chloroform (7.26 ppm) in the deuterated solvent, unless otherwise stated. All ¹³C NMR spectra were reported in ppm relative to deuteriochloroform (77.23 ppm), unless otherwise stated, and all were obtained with ¹H decoupling. NMR of the crude reaction mixtures were performed by using 1,3,5-trimethoxybenzene as the internal standard. All GCMS analysis was done by Agilent 7890A GC system connected with 5975C inert XL EI/CI MSD (with triple axis detector). High-resolution mass spectra (HRMS) were recorded on a Q-TOF micromass (YA-105) mass spectrometer and a Bruker Maxis Impact (282001.00081) in ESI mode. X-ray crystallography was recorded at Department of Chemistry, IIT Bombay.

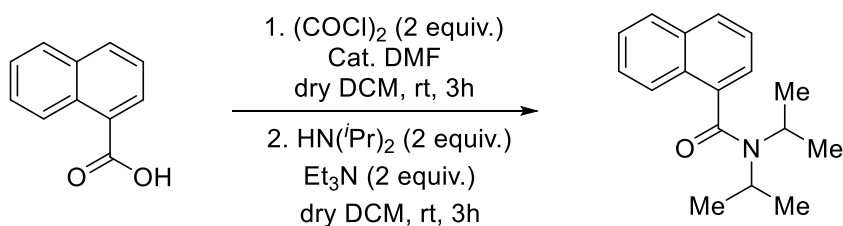
1.c. Description of Reaction Tube:



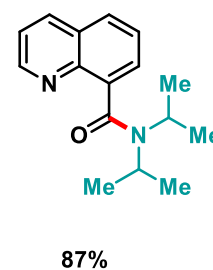
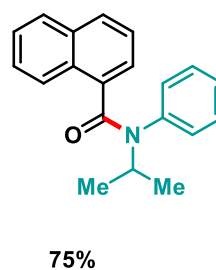
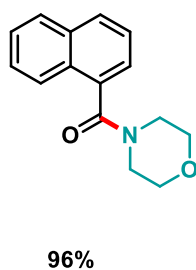
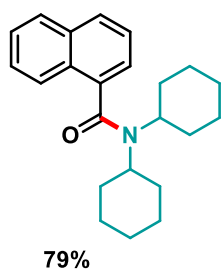
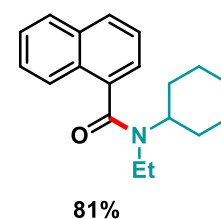
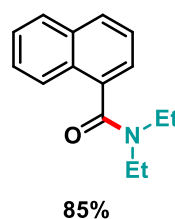
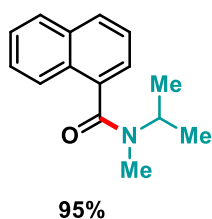
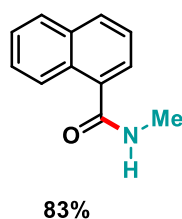
Pictorial description of reaction tube for *meta*-olefination: Fisherbrand Disposable Borosilicate Glass Tubes (16*125mm) with Threaded End (Fisher Scientific Order No. 1495935A) [left]; Kimble Black Phenolic Screw Thread Closures with Open Tops (Fisher Scientific Order No. 033407E); Thermo Scientific National PTFE/Silicone Septa for Sample Screw Thread Caps (Fisher Scientific Order No. 03394A).

2. Experimental Section:

General procedure A: Synthesis of α -naphthamide

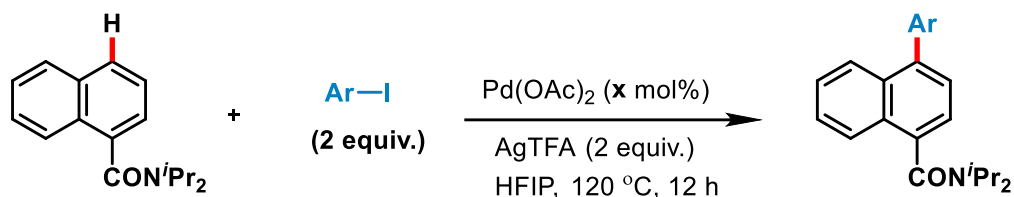


A 50 mL round-bottom flask was charged with 1-naphthoic acid (861 mg, 5 mmol), dry DCM (15 mL). The reaction mixture was cooled to 0 °C and stirred for 10 minutes. Then (COCl)₂ (0.56 mL, 1.3 equiv.) was added dropwise to the reaction mixture. After that catalytic amount of dry DMF was added and stirred at room temperature for 3 h. The resulting mixture was concentrated under reduced pressure to afford acid chloride quantitatively which was used directly without further purification for the next step. To a solution of diisopropylamine (0.92 mL, 1.3 equiv.) and Et₃N (1.05 mL, 1.5 equiv.) in dry DCM (15 mL), acid chloride (1.0 equiv.) was added dropwise at 0 °C and the reaction mixture was stirred at room temperature for 12 h. Then water (40 mL) was added and aqueous layer was extracted with DCM (3 x 30 mL). The combined organic layer was washed with saturated aqueous NaHCO₃ (30 mL) solution followed by water (30 mL). After that, the organic layer was dried over Na₂SO₄ and concentrated under reduced pressure. The crude mass was purified by silica gel column chromatography (10% ethyl acetate in hexane as eluent) to give *N,N*-diisopropyl-1-naphthamide (1.18 g, 95%) as white solid. Spectral data are in accordance with the reported data



Optimization details of *p*-arylation of α -naphthamide

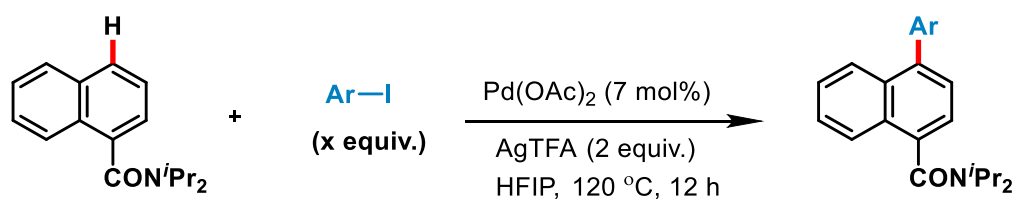
Table S1: Catalyst loading



Entry	Pd(OAc) ₂ (mol%)	Yield (%) ^a	Selectivity
1.	0	nd	-
2.	0.5	nd	-
3.	1	Trace	-
4.	2	11%	exclusive
5.	3	25%	exclusive
6.	4	29%	exclusive
7.	5	33%	exclusive
8.	6	49%	exclusive
9.	7	52%	exclusive
10.	8	53%	exclusive
11.	9	59%	exclusive
12.	10	65%	exclusive
13.	15	61%	exclusive
14.	20	59%	exclusive

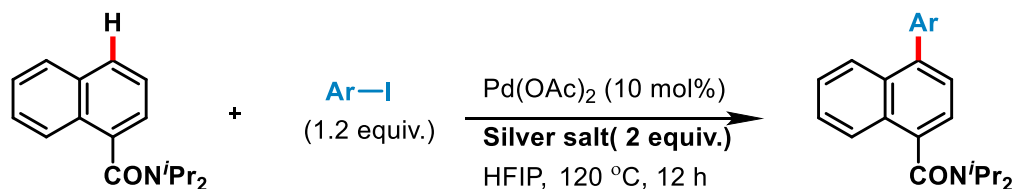
^ayield and selectivity are based on ¹H NMR of the crude reaction mixture using 1,3,5-trimethoxybenzene as internal standard

Table S2: Aryl iodide loading



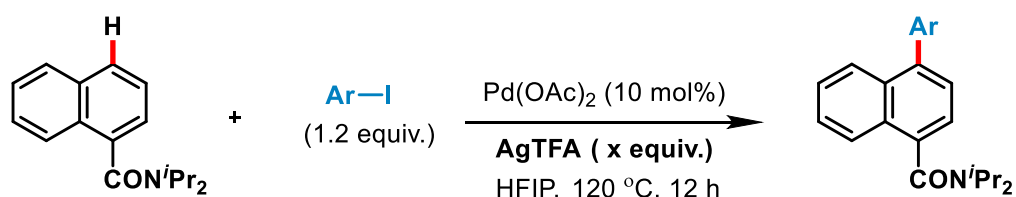
Entry	Aryl iodide (mol%)	Yield (%) ^a	Selectivity
1.	1	65%	exclusive
2.	1.2	73%	exclusive
3.	1.5	70%	exclusive
4.	1.8	67%	exclusive
5.	2	61%	exclusive

^ayield and selectivity are based on ¹H NMR of the crude reaction mixture using 1,3,5-trimethoxybenzene as internal standard

Table S3: Silver salt optimization

Entry	Silver salt	Yield (%) ^a	Selectivity
1.	Ag ₂ CO ₃	nd	-
2.	AgOAc	nd	-
3.	AgTFA	73%	exclusive
4.	Ag ₂ O	12%	exclusive
5.	AgNO ₂	trace	-
6.	AgNO ₃	trace	-
7.	AgPF ₆	23%	exclusive
8.	AgOTf	51%	exclusive
9.	AgSbF ₆	26%	exclusive
10.	AgBF ₄	37%	exclusive
11.	Ag ₃ PO ₄	45%	exclusive

^ayield and selectivity are based on ¹H NMR of the crude reaction mixture using 1,3,5-trimethoxybenzene as internal standard

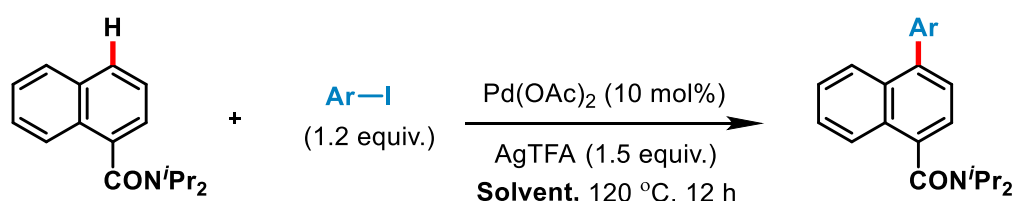
Table S4: Silver salt loading:

Entry	AgTFA(equiv.)	Yield (%) ^a	Selectivity
1.	0	-	-
2.	0.2	30%	exclusive
3.	0.5	45%	exclusive
4.	0.8	51%	exclusive
5.	1.0	64%	exclusive
6.	1.2	74%	exclusive
7.	1.5	89%	exclusive

8.	1.7	77%	exclusive
9.	2	79%	exclusive

^ayield and selectivity are based on ¹H NMR of the crude reaction mixture using 1,3,5-trimethoxybenzene as internal standard

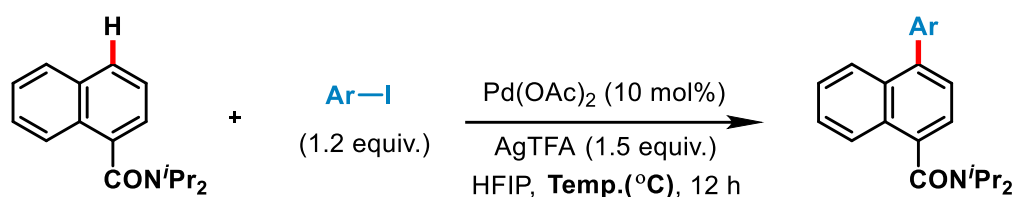
Table S5: Solvent optimization



Entry	Solvent(1 ml)	Yield (%) ^a	Selecvtity
1.	DCE	23%	exclusive
2.	DCM	26%	exclusive
3.	TFT	45%	exclusive
4.	TFE	65%	exclusive
5.	Chlorobenzene	31%	exclusive
6.	Toluene	nd	-
7.	Isopropanol	nd	-
8.	Methanol	nd	-
9.	Ethanol	nd	-
10.	HFIP	89%	exclusive
11.	Acetonitrile	nd	-
12.	Fluorobenzene	12%	exclusive
13.	CCl ₄	20%	exclusive
14.	THF	nd	-
15.	Diethylether	35%	exclusive
16.	TBME	17%	exclusive
17.	1,4-dioxane	45%	exclusive
18.	Isoamyl alcohol	nd	-

^ayield and selectivity are based on ¹H NMR of the crude reaction mixture using 1,3,5-trimethoxybenzene as internal standard

Table S6: Temperature optimization



Entry	Temperature(°C)	Yield (%) ^a	Selectivity
1.	rt	nd	exclusive
2.	40	nd	exclusive
3.	50	20%	exclusive
4.	60	49%	exclusive
5.	70	55%	exclusive
6.	80	69%	exclusive
7.	90	81%	exclusive
8.	100	95%	exclusive
9.	120	89%	exclusive
10.	130	84%	exclusive
11.	140	85%	exclusive

^ayield and selectivity are based on ¹H NMR of the crude reaction mixture using 1,3,5-trimethoxybenzene as internal standard

Table S7: Time optimization

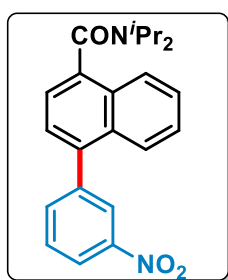


Entry	Time (h)	Yield (%) ^a	Selectivity
1.	2	45%	exclusive
2.	4	50%	exclusive
3.	6	52%	exclusive
4.	8	55%	exclusive
5.	10	69%	exclusive
6.	12	71%	exclusive
7.	14	76%	exclusive
8.	16	81%	exclusive
9.	18	83%	exclusive
10.	20	89%	exclusive
11.	24	95%	exclusive

^ayield and selectivity are based on ¹H NMR of the crude reaction mixture using 1,3,5-trimethoxybenzene as internal standard

General procedure B for *para*-arylation of α -naphthamide: An oven-dried screw cap reaction tube was charged with a magnetic stir-bar, Pd(OAc)₂ (10 mol% 2.24 mg for 0.2 mmol), AgTFA (1.5 equiv., 33mg), α -naphthamide (0.1 mmol, 25.5 mg) and aryl iodide (1.2 equiv., 0.12 mmol). Then 1 mL of HFIP was added. The reaction mixture was stirred vigorously on a preheated oil bath at 120 °C along. The reaction was carried out for 12 h and the reaction mixture was diluted with EtOAc and filtered through a celite pad. The desired arylation product was isolated by column chromatography using silica gel (100-200 mesh size) and petroleum ether/ethyl acetate as the eluent.

Characterization of *p*-arylated α -naphthamide



***N,N*-diisopropyl-4-(3-nitrophenyl)-1-naphthamide:** Compound **3a** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

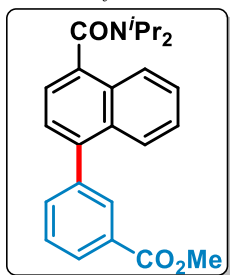
Yield: 73%

¹H NMR (400 MHz, CDCl₃) δ 8.38 (s, 1H), 8.32 (d, J = 8.2 Hz, 1H), 7.95 (d, J = 8.3 Hz, 1H), 7.83 (d, J = 7.5 Hz, 1H), 7.77 (d, J = 8.4 Hz, 1H), 7.68 (t, J = 7.9 Hz, 1H), 7.56 (t, J = 7.5 Hz, 1H), 7.53 – 7.46 (m, 1H), 7.41 (q, J = 7.2 Hz, 2H), 3.71 (dt, J = 13.8, 6.8 Hz, 1H), 3.63 (dd, J = 13.6, 6.8 Hz, 1H), 1.75 (d, J = 6.8 Hz, 3H), 1.68 (d, J = 6.5 Hz, 5H), 1.14 (d, J = 6.6 Hz, 3H), 1.10 (d, J = 6.7 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 169.70 (s), 148.30 (s), 142.03 (s), 137.73 (s), 137.38 (s), 136.15 (s), 131.33 (s), 129.92 (s), 129.33 (s), 127.14 (s), 126.97 (s), 126.68 (s), 125.51 (d, J = 3.2 Hz), 124.83 (s), 122.45 (s), 121.53 (s), 77.25 (s), 77.00 (s), 76.75 (s), 51.21 (s), 46.12 (s), 21.01 – 20.55 (m).

HRMS (*m/z*): [M+Na⁺] calcd for C₂₃H₂₄N₂NaO₃: 399.45; found, 399.1679

TLC: R_f = 0.4 (80:20 petroleum ether:EtOAc).



Methyl 3-(4-(diisopropylcarbamoyl)naphthalen-1-yl)benzoate: Compound **3b** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

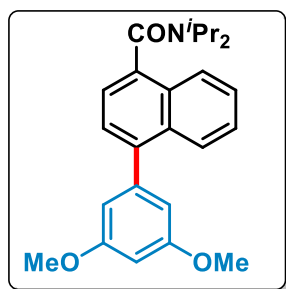
Yield: 81%

¹H NMR (500 MHz, CDCl₃) δ 8.17 (s, 1H), 8.12 (d, *J* = 7.8 Hz, 1H), 7.92 (d, *J* = 8.3 Hz, 1H), 7.82 (d, *J* = 8.5 Hz, 1H), 7.68 (d, *J* = 7.6 Hz, 1H), 7.58 (t, *J* = 7.7 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 1H), 7.49 – 7.42 (m, 1H), 7.39 (dd, *J* = 15.8, 7.1 Hz, 2H), 3.94 (s, 3H), 3.76 – 3.67 (m, 1H), 3.67 – 3.58 (m, *J* = 13.4, 6.8 Hz, 1H), 1.74 (d, *J* = 6.8 Hz, 4H), 1.67 (d, *J* = 6.8 Hz, 3H), 1.13 (d, *J* = 6.6 Hz, 3H), 1.08 (t, *J* = 8.4 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.05 (s), 166.99 (s), 140.62 (s), 139.34 (s), 136.57 (s), 134.50 (s), 131.61 (s), 131.02 (s), 130.30 (s), 129.85 (s), 128.63 (s), 128.43 (s), 126.68 (d, *J* = 2.6 Hz), 126.46 (s), 126.04 (s), 125.28 (s), 121.57 (s), 77.25 (s), 77.15 – 76.89 (m), 76.75 (s), 52.21 (s), 51.18 (s), 46.07 (s), 29.67 (s), 20.96 – 20.54 (m).

HRMS (*m/z*): [M+H⁺] calcd for C₂₅H₂₈NO₃: 399.20; found, 390.2069

TLC: R_f = 0.35 (80:20 petroleum ether:EtOAc).



4-(3,5-dimethoxyphenyl)-N,N-diisopropyl-1-naphthamide: Compound **3c** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

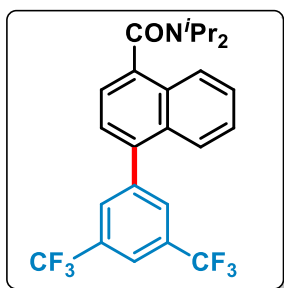
Yield: 65%

¹H NMR (500 MHz, CDCl₃) δ 7.97 (d, *J* = 8.5 Hz, 1H), 7.90 (d, *J* = 8.3 Hz, 1H), 7.51 (t, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.41 (d, *J* = 7.1 Hz, 1H), 7.34 (d, *J* = 7.1 Hz, 1H), 6.64 (s, 2H), 6.55 (s, 1H), 3.84 (s, 6H), 3.74 (dt, *J* = 13.2, 6.6 Hz, 1H), 3.67 – 3.58 (m, 1H), 1.75 (d, *J* = 6.8 Hz, 3H), 1.67 (d, *J* = 6.8 Hz, 3H), 1.12 (t, *J* = 7.1 Hz, 3H), 1.09 (d, *J* = 6.6 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.10 (s), 160.56 (s), 142.41 (s), 140.41 (s), 136.36 (s), 131.73 (s), 129.84 (s), 126.65 – 126.30 (m), 125.95 (s), 125.18 (s), 121.49 (s), 108.19 (s), 99.57 (s), 55.44 (s), 51.11 (s), 46.02 (s), 20.92 (s), 20.72 (d, *J* = 7.2 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₂₅H₃₀NO₃: 392.2224; found, 392.2220

TLC: R_f = 0.05 (80:20 petroleum ether:EtOAc).



4-(3,5-bis(trifluoromethyl)phenyl)-N,N-diisopropyl-1-naphthamide: Compound **3d** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

Yield: 65%

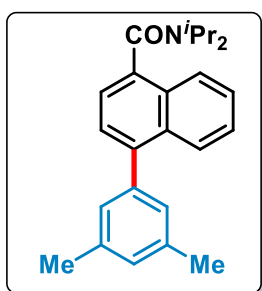
¹H NMR (400 MHz, CDCl₃) δ 8.00 – 7.93 (m, 4H), 7.70 (d, *J* = 7.8 Hz, 1H), 7.58 (t, 1H), 7.53 (t, *J* = 11.1, 4.1 Hz, 1H), 7.46 – 7.38 (m, 2H), 3.66 (ddd, *J* = 20.6, 13.6, 6.8 Hz, 2H), 1.75 (d, *J* = 6.8 Hz, 3H), 1.68 (d, *J* = 6.9 Hz, 4H), 1.13 (d, *J* = 6.7 Hz, 3H), 1.09 (d, *J* = 6.7 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.57 (s), 142.45 (s), 137.71 (s), 137.11 (s), 131.23 (s), 130.14 (s), 129.93 (s), 127.41 (s), 127.10 (s), 126.83 (s), 125.61 (s), 125.22 (s), 121.50 (s), 51.22 (s), 46.15 (s), 21.20 – 20.53 (m).

¹⁹F NMR (471 MHz, CDCl₃) δ -62.69 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₅H₂₄F₆NO: 468.1716; found, 468.1719

TLC: R_f = 0.02 (80:20 petroleum ether:EtOAc).



4-(3,5-dimethylphenyl)-N,N-diisopropyl-1-naphthamide: Compound **3e** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

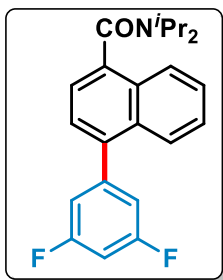
Yield: 57%

¹H NMR (500 MHz, CDCl₃) δ 7.92 (dd, *J* = 15.8, 8.4 Hz, 4H), 7.51 (t, *J* = 7.5 Hz, 2H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.41 – 7.32 (m, 4H), 7.11 (s, 4H), 7.08 (s, 2H), 3.78 – 3.68 (m, 2H), 3.63 (dq, *J* = 13.5, 6.7 Hz, 2H), 2.41 (s, 12H), 1.74 (dd, *J* = 14.9, 6.4 Hz, 6H), 1.68 (d, *J* = 6.8 Hz, 7H), 1.09 (dt, *J* = 18.8, 9.4 Hz, 12H).

¹³C NMR (126 MHz, CDCl₃) δ 170.24 (s), 140.77 (s), 140.27 (s), 137.76 (s), 136.00 (s), 131.86 (s), 129.85 (s), 128.97 (s), 127.83 (s), 126.57 (s), 126.39 (s), 126.17 (d, *J* = 16.1 Hz), 125.14 (s), 121.56 (s), 51.10 (s), 46.00 (s), 21.34 (s), 20.74 (dd, *J* = 19.3, 11.2 Hz).

HRMS (*m/z*): [M+Na⁺] calcd for C₂₅H₂₉NNaO: 382.2142; found, 382.2141

TLC: R_f = 0.05 (80:20 petroleum ether:EtOAc).



4-(3,5-difluorophenyl)-N,N-diisopropyl-1-naphthamide: Compound **3f** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

Yield: 88%

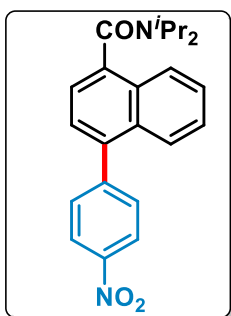
¹H NMR (500 MHz, CDCl₃) δ 7.92 (d, J = 8.3 Hz, 1H), 7.85 (d, J = 7.7 Hz, 1H), 7.54 (t, J = 7.3 Hz, 1H), 7.49 (t, J = 7.6 Hz, 1H), 7.37 (q, J = 7.2 Hz, 2H), 7.03 (dd, J = 8.0, 2.0 Hz, 2H), 6.90 (td, J = 9.0, 2.2 Hz, 1H), 3.75 – 3.67 (m, J = 13.4, 6.7 Hz, 1H), 3.67 – 3.58 (m, J = 14.1, 7.0 Hz, 1H), 1.74 (d, J = 6.7 Hz, 3H), 1.67 (d, J = 6.8 Hz, 3H), 1.12 (t, J = 6.8 Hz, 3H), 1.09 (d, J = 6.7 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 169.76 (s), 163.85 (s), 137.18 (s), 131.26 (s), 129.88 (s), 126.89 (d, J = 10.4 Hz), 126.26 (s), 125.73 (s), 125.41 (s), 121.44 (s), 113.07 (d, J = 24.8 Hz), 112.93 – 112.65 (m), 102.89 (s), 51.16 (s), 46.09 (s), 20.90 (s), 20.90 – 20.56 (m).

¹⁹F NMR (471 MHz, CDCl₃) δ -109.97 (s).

HRMS (m/z): [M+H⁺] calcd for C₂₃H₂₄F₂NO: 368.1781; found, 368.1783

TLC: R_f = 0.05 (80:20 petroleum ether:EtOAc).



N,N-diisopropyl-4-(4-nitrophenyl)-1-naphthamide: Compound **3g** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid .

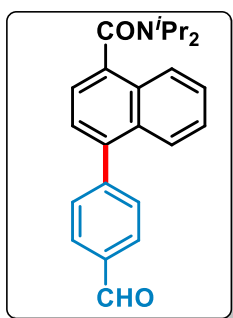
Yield: 95%

¹H NMR (500 MHz, CDCl₃) δ 8.35 (dd, J = 16.3, 8.7 Hz, 1H), 7.94 (d, J = 8.3 Hz, 1H), 7.79 (d, J = 8.5 Hz, 1H), 7.67 (d, J = 8.5 Hz, 1H), 7.56 (q, J = 7.4 Hz, 1H), 7.49 (dd, J = 13.2, 6.0 Hz, 1H), 7.44 – 7.36 (m, 1H), 3.72 (dq, J = 13.4, 6.7 Hz, 1H), 3.63 (dq, J = 13.5, 6.8 Hz, 1H), 1.75 (d, J = 6.8 Hz, 2H), 1.67 (d, J = 6.8 Hz, 2H), 1.14 (d, J = 6.7 Hz, 2H), 1.10 (d, J = 6.7 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃) δ 169.67 (s), 147.23 (s), 137.75 (d, J = 61.8 Hz), 131.18 (s), 130.93 (s), 129.92 (s), 127.14 (s), 127.00 (s), 126.52 (s), 125.55 (d, J = 7.6 Hz), 123.62 (s), 121.50 (s), 51.21 (s), 46.14 (s), 20.88 (s), 20.71 (s), 20.65 (s).

HRMS (m/z): [M+Na⁺] calcd for C₂₃H₂₄N₂NaO₃: 399.45; found, 399.1679

TLC: R_f = 0.4 (80:20 petroleum ether:EtOAc).



4-(4-formylphenyl)-N,N-diisopropyl-1-naphthamide: Compound **3h** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid .

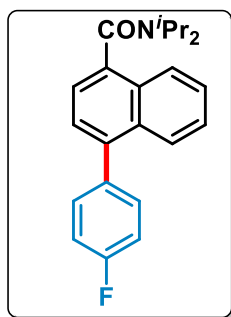
Yield: 75%

¹H NMR (500 MHz, CDCl₃) δ 10.13 (s, 1H), 8.02 (d, J = 7.9 Hz, 2H), 7.95 – 7.92 (m, J = 8.2 Hz, 1H), 7.84 (d, J = 8.4 Hz, 1H), 7.68 (d, J = 7.9 Hz, 2H), 7.55 (t, 1H), 7.47 (t, 1H), 7.40 (dd, J = 16.8, 7.2 Hz, 2H), 3.78 – 3.68 (m, 1H), 3.67 – 3.60 (m, J = 13.5, 6.8 Hz, 1H), 1.75 (d, J = 6.8 Hz, 3H), 1.68 (d, J = 6.8 Hz, 4H), 1.14 (d, J = 6.7 Hz, 3H), 1.10 (d, J = 6.8 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 191.99 (s), 169.85 (s), 146.83 (s), 139.05 (s), 137.11 (s), 135.42 (s), 131.34 (s), 130.77 (s), 129.84 (d, J = 20.9 Hz), 129.63 – 129.52 (m), 126.86 (d, J = 5.6 Hz), 126.41 (s), 125.89 (s), 125.42 (s), 121.54 (s), 51.19 (s), 46.11 (s), 21.13 – 20.92 (m), 20.92 – 20.49 (m).

HRMS (m/z): [M+H⁺] calcd for C₂₄H₂₆NO₂: 360.1919; found, 399.1924

TLC: R_f = 0.3 (80:20 petroleum ether:EtOAc).



4-(4-fluorophenyl)-N,N-diisopropyl-1-naphthamide: Compound **3i** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

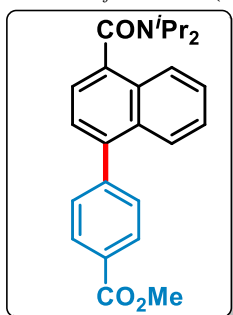
Yield: 69%

¹H NMR (500 MHz, CDCl₃) δ 7.91 (t, J = 12.8 Hz, 8H), 7.86 (d, J = 8.4 Hz, 10H), 7.54 – 7.49 (m, 9H), 7.46 (dd, J = 17.8, 9.8 Hz, 25H), 7.41 – 7.33 (m, 16H), 7.18 (t, J = 8.6 Hz, 15H), 3.78 – 3.70 (m, 8H), 3.66 – 3.59 (m, 11H), 1.75 (d, J = 6.8 Hz, 24H), 1.68 (d, J = 6.9 Hz, 23H), 1.13 (d, J = 6.6 Hz, 24H), 1.09 (d, J = 6.7 Hz, 27H).

¹³C NMR (126 MHz, CDCl₃) δ 170.04 (s), 139.36 (s), 136.31 (d, J = 13.2 Hz), 131.80 (s), 131.53 (d, J = 7.9 Hz), 129.88 (s), 128.22 (s), 126.71 – 126.02 (m), 125.26 (s), 124.86 (s), 121.54 (s), 115.28 (s), 115.11 (s), 77.25 (s), 77.12 – 76.90 (m), 76.75 (s), 51.11 (s), 46.02 (s), 21.00 – 20.48 (m).

HRMS (m/z): $[M+H^+]$ calcd for $C_{23}H_{25}FNO$: 350.1875; found, 3350.1878

TLC: $R_f = 0.05$ (80:20 petroleum ether:EtOAc).



Methyl 4-(4-(diisopropylcarbamoyl)naphthalen-1-yl)benzoate: Compound **3j** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

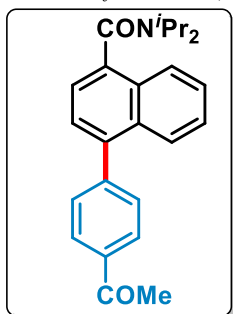
Yield: 71%

1H NMR (400 MHz, $CDCl_3$) δ 8.17 (d, $J = 8.1$ Hz, 1H), 7.92 (d, $J = 8.2$ Hz, 1H), 7.85 (d, $J = 8.4$ Hz, 1H), 7.57 (t, $J = 6.4$ Hz, 1H), 7.52 (d, $J = 7.9$ Hz, 1H), 7.49 – 7.43 (t, 1H), 7.39 (q, $J = 7.1$ Hz, 1H), 3.98 (s, 1H), 3.73 (m, $J = 13.3, 6.6$ Hz, 1H), 3.63 (m, $J = 13.4, 6.7$ Hz, 1H), 1.75 (d, $J = 6.8$ Hz, 1H), 1.67 (d, $J = 6.8$ Hz, 2H), 1.13 (d, $J = 6.6$ Hz, 1H), 1.09 (d, $J = 6.6$ Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.94 (s), 166.99 (s), 145.17 (s), 139.37 (s), 136.87 (s), 131.46 (s), 130.11 (s), 129.91 (s), 129.60 (s), 129.20 (s), 126.73 (s), 126.34 (s), 126.03 (s), 125.36 (s), 121.54 (s), 52.21 (s), 51.16 (s), 46.08 (s), 20.90 (s), 20.74 (s), 20.68 (s).

HRMS (m/z): $[M+H^+]$ calcd for $C_{25}H_{28}NO_3$: 399.20; found, 390.2069

TLC: $R_f = 0.35$ (80:20 petroleum ether:EtOAc).



4-(4-acetylphenyl)-N,N-diisopropyl-1-naphthamide: Compound **3k** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid .

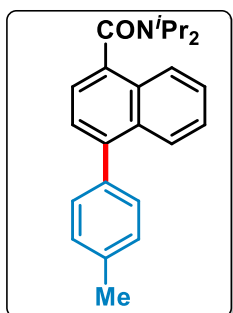
Yield: 89%

1H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, $J = 8.2$ Hz, 1H), 7.93 (d, $J = 8.2$ Hz, 1H), 7.85 (d, $J = 8.4$ Hz, 1H), 7.60 (d, $J = 8.1$ Hz, 1H), 7.54 (t, $J = 7.2$ Hz, 1H), 7.46 (t, $J = 7.3$ Hz, 1H), 7.39 (q, $J = 7.2$ Hz, 1H), 3.78 – 3.68 (m, 1H), 3.63 (dt, $J = 13.5, 6.8$ Hz, 1H), 2.69 (s, 1H), 1.75 (d, $J = 6.8$ Hz, 1H), 1.67 (d, $J = 6.8$ Hz, 2H), 1.13 (d, $J = 6.6$ Hz, 1H), 1.09 (d, $J = 6.7$ Hz, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 197.84 (s), 169.91 (s), 145.38 (s), 139.26 (s), 136.93 (s), 136.12 (s), 131.43 (s), 130.31 (s), 129.92 (s), 128.38 (s), 126.76 (s), 126.33 (s), 125.99 (s), 125.38 (s), 121.55 (s), 51.17 (s), 46.09 (s), 26.71 (s), 20.90 (s), 20.74 (s), 20.68 (s).

HRMS (m/z): $[M+Na^+]$ calcd for $C_{23}H_{24}N_2NaO_3$: 399.1679; found, 399.1679

TLC: $R_f = 0.4$ (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-4-(*p*-tolyl)-1-naphthamide:** Compound **3l** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow liquid.

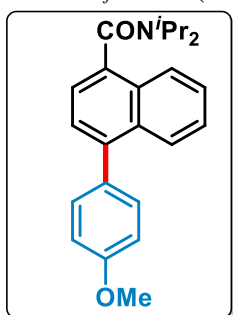
Yield: 65%

^1H NMR (400 MHz, CDCl_3) δ 7.93 (d, $J = 8.5$ Hz, 1H), 7.89 (t, $J = 7.8$ Hz, 1H), 7.50 (t, $J = 7.5$ Hz, 1H), 7.46 – 7.41 (m, 1H), 7.38 (t, $J = 8.2$ Hz, 4H), 7.32 (t, $J = 8.0$ Hz, 3H), 3.80 – 3.70 (m, 1H), 3.66 – 3.58 (m, $J = 13.7, 6.8$ Hz, 1H), 2.46 (s, 3H), 1.75 (d, $J = 6.8$ Hz, 3H), 1.66 (d, 4H), 1.12 (d, $J = 6.7$ Hz, 3H), 1.08 (d, $J = 6.6$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 170.29 (s), 140.56 (s), 137.44 (s), 137.12 (s), 135.93 (s), 131.92 (s), 129.92 (s), 128.99 (s), 126.36 (dd, $J = 21.2, 4.5$ Hz), 125.18 (s), 121.66 (s), 51.14 (s), 46.06 (s), 29.68 (s), 21.23 (s), 21.02 – 20.52 (m).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{24}\text{H}_{27}\text{NO}$: 346.2126; found, 346.2128

TLC: $R_f = 0.4$ (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-4-(4-methoxyphenyl)-1-naphthamide:** Compound **3m** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: white solid.

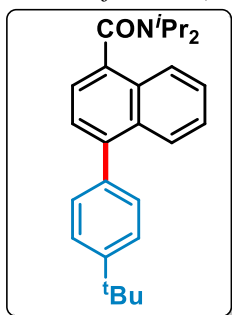
Yield: 59%

^1H NMR (500 MHz, CDCl_3) δ 7.93 (dd, $J = 16.5, 8.4$ Hz, 2H), 7.51 (t, $J = 7.4$ Hz, 1H), 7.43 (dd, $J = 11.4, 8.3$ Hz, 3H), 7.40 – 7.33 (m, 2H), 7.04 (d, $J = 8.6$ Hz, 2H), 3.89 (s, 3H), 3.80 – 3.72 (m, 1H), 3.63 (dq, $J = 13.6, 6.7$ Hz, 1H), 1.75 (d, $J = 6.8$ Hz, 3H), 1.68 (d, $J = 6.8$ Hz, 3H), 1.12 (d, $J = 6.6$ Hz, 3H), 1.09 (d, $J = 6.7$ Hz, 3H).

^{13}C NMR (126 MHz, CDCl_3) δ 170.25 (s), 159.02 (s), 140.16 (s), 135.86 (s), 132.68 (s), 131.97 (s), 131.07 (s), 129.92 (s), 126.41 (d, $J = 3.0$ Hz), 126.24 (s), 125.16 (s), 121.61 (s), 113.71 (s), 77.25 (s), 77.00 (s), 76.75 (s), 55.31 (s), 51.10 (s), 45.99 (s), 20.72 (dd, $J = 18.8, 11.7$ Hz).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{24}\text{H}_{28}\text{NO}_2$: 364.21; found, 364.2186

TLC: $R_f = 0.2$ (80:20 petroleum ether:EtOAc).



4-(4-(tert-butyl)phenyl)-N,N-diisopropyl-1-naphthamide: Compound **3n** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish liquid.

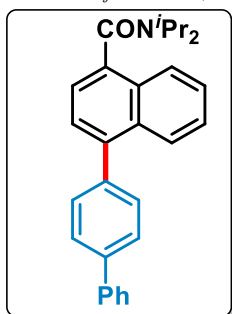
Yield: 81%

¹H NMR (500 MHz, CDCl₃) δ 7.98 (d, $J = 8.5$ Hz, 1H), 7.91 (d, $J = 8.3$ Hz, 1H), 7.59 (dt, $J = 14.9, 7.2$ Hz, 3H), 7.52 (d, $J = 8.1$ Hz, 3H), 7.44 (t, $J = 5.8$ Hz, 2H), 3.76 (dq, $J = 13.2, 6.6$ Hz, 1H), 3.61 (dt, $J = 13.8, 6.9$ Hz, 1H), 1.75 (d, $J = 6.8$ Hz, 3H), 1.67 (d, $J = 6.1$ Hz, 3H), 1.42 (s, 9H), 1.13 (d, $J = 6.6$ Hz, 3H), 1.09 (d, $J = 6.5, 2.7$ Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.23 (s), 150.27 (s), 140.47 (s), 137.35 (s), 135.98 (s), 131.88 (s), 129.91 (s), 129.67 (s), 129.36 (s), 127.80 (s), 127.52 (s), 126.56 (s), 126.40 (s), 126.25 (d, $J = 7.1$ Hz), 125.18 (s), 122.37 (s), 121.61 (s), 51.09 (s), 46.03 (d, $J = 11.7$ Hz), 34.60 (s), 31.41 (s), 20.92 (s), 20.73 (s), 20.67 (d, $J = 3.5$ Hz).

HRMS (m/z): $[M+Na^+]$ calcd for C₂₇H₃₃NNaO: 410.2455; found, 410.2454

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



4-([1,1'-biphenyl]-4-yl)-N,N-diisopropyl-1-naphthamide: Compound **3o** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

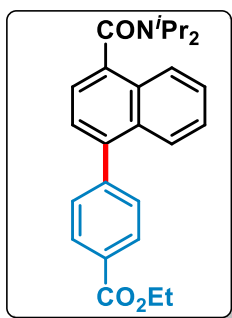
Yield: 73%

¹H NMR (500 MHz, CDCl₃) δ 8.03 – 7.98 (m, $J = 8.4$ Hz, 1H), 7.94 (d, $J = 8.3$ Hz, 1H), 7.74 (d, $J = 8.1$ Hz, 2H), 7.70 (d, $J = 7.4$ Hz, 2H), 7.58 (d, $J = 8.0$ Hz, 2H), 7.56 – 7.44 (m, 5H), 7.39 (t, $J = 6.8$ Hz, 2H), 3.82 – 3.72 (m, $J = 13.2, 6.6$ Hz, 1H), 3.72 – 3.59 (m, 1H), 1.75 (d, $J = 10.5$ Hz, 3H), 1.69 (d, $J = 6.8$ Hz, 3H), 1.14 (d, $J = 6.6$ Hz, 3H), 1.10 (d, $J = 6.7$ Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.14 (s), 140.26 (s), 140.08 (s), 139.35 (s), 136.31 (s), 131.80 (s), 130.47 (s), 129.95 (s), 128.84 (s), 127.40 (s), 127.11 (s), 127.01 (s), 126.54 (s), 126.42 (d, $J = 4.3$ Hz), 126.33 (s), 125.26 (s), 51.13 (s), 46.03 (s), 20.92 (s), 20.75 (s), 20.69 (s).

HRMS (m/z): $[M+Na^+]$ calcd for C₂₉H₃₀NO: 408.2327; found, 408.2322

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



Ethyl 4-(4-(diisopropylcarbamoyl)naphthalen-1-yl)benzoate: Compound **3p** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

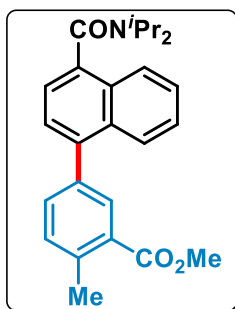
Physical State: White solid.

Yield: 82%

¹H NMR (400 MHz, CDCl₃) δ 8.16 (dd, *J* = 14.3, 6.7 Hz, 2H), 7.93 (t, *J* = 7.1 Hz, 1H), 7.84 (t, *J* = 7.2 Hz, 1H), 7.56 (t, *J* = 6.2 Hz, 2H), 7.55 – 7.50 (m, 1H), 7.48 – 7.43 (m, 1H), 7.39 (q, *J* = 7.2 Hz, 2H), 4.48 – 4.40 (m, 2H), 3.80 – 3.67 (m, 1H), 3.63 (dt, *J* = 13.6, 6.7 Hz, 1H), 1.74 (d, *J* = 6.8 Hz, 3H), 1.66 (t, *J* = 7.2 Hz, 4H), 1.43 (td, *J* = 7.1, 4.7 Hz, 3H), 1.13 (d, *J* = 6.7 Hz, 3H), 1.08 (t, *J* = 7.1 Hz, 3H).

HRMS (*m/z*): [M+H⁺] calcd for C₂₆H₃₀NO₃: 404.22; found, 404.2218

TLC: R_f = 0.3 (80:20 petroleum ether:EtOAc).



Methyl 5-(4-(diisopropylcarbamoyl)naphthalen-1-yl)-2-methylbenzoate: Compound **3q** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

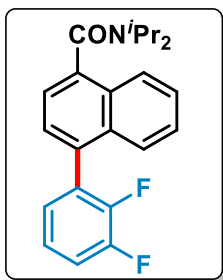
Yield: 65%

¹H NMR (500 MHz, CDCl₃) δ 8.04 (s, 1H), 7.91 (d, *J* = 8.2 Hz, 1H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.52 (t, *J* = 6.2 Hz, 2H), 7.45 (t, 1H), 7.37 (t, 3H), 3.89 (s, 3H), 2.70 (s, 3H), 1.74 (d, *J* = 6.6 Hz, 3H), 1.67 (d, *J* = 6.8 Hz, 3H), 1.12 (d, *J* = 6.5 Hz, 3H), 1.08 (d, *J* = 6.6 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.15 (s), 167.93 (s), 139.40 (s), 137.90 (s), 133.44 (s), 131.96 (s), 131.74 (d, *J* = 3.3 Hz), 129.87 (s), 129.59 (s), 126.61 (d, *J* = 3.7 Hz), 126.39 (s), 126.16 (s), 125.26 (s), 121.65 (s), 114.05 (s), 51.91 (s), 51.19 (s), 46.11 (s), 29.64 (s), 22.67 (s), 21.47 (s), 20.88 (s), 20.75 (s), 20.67 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₆H₃₀NO₃: 404.2216; found, 404.2220

TLC: R_f = 0.25 (80:20 petroleum ether:EtOAc).



4-(2,3-difluorophenyl)-N,N-diisopropyl-1-naphthamide: Compound **3r** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

Yield: 69%

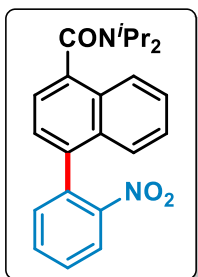
¹H NMR (400 MHz, CDCl₃) δ 7.90 – 7.77 (m, 3H), 7.54 – 7.40 (m, 3H), 7.32 (d, J = 6.9 Hz, 1H), 7.26 (m, 2H), 3.66 – 3.55 (m, J = 19.0, 6.4 Hz, 2H), 1.73 (d, J = 6.7 Hz, 3H), 1.65 (d, J = 6.0 Hz, 3H), 1.08 (d, J = 6.6 Hz, 3H), 1.04 (d, J = 6.6 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.12 (s), 136.68 (s), 133.52 (s), 129.57 (s), 129.38 (s), 128.22 (d, J = 10.0 Hz), 127.54 (s), 126.66 (s), 126.31 (s), 125.33 (d, J = 15.7 Hz), 124.90 (s), 122.10 (s), 51.07 (s), 46.01 (s), 20.73 (dd, J = 19.0, 10.4 Hz).

¹⁹F NMR (471 MHz, CDCl₃) δ -109.97 (s).

HRMS (m/z): [M+H⁺] calcd for C₂₃H₂₄F₂NO: 368.1781; found, 368.1783

TLC: R_f = 0.05 (80:20 petroleum ether:EtOAc).



N,N-diisopropyl-4-(2-nitrophenyl)-1-naphthamide: Compound **3s** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish liquid.

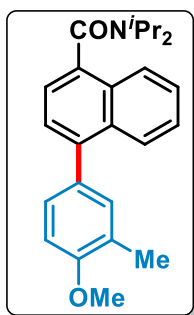
Yield: 72%

¹H NMR (500 MHz, CDCl₃) δ 8.10 (d, J = 8.3 Hz, 1H), 7.91 (d, J = 8.3 Hz, 1H), 7.71 (q, J = 7.3 Hz, 1H), 7.62 (t, J = 7.6 Hz, 1H), 7.51 (dd, J = 5.6, 3.4 Hz, 1H), 7.47 – 7.39 (m, 3H), 7.37 – 7.30 (m, 2H), 3.76 (dq, J = 13.2, 6.7 Hz, 1H), 3.65 (dt, J = 21.5, 7.4 Hz, 1H), 1.74 (d, J = 6.8 Hz, 3H), 1.67 (d, J = 6.8 Hz, 3H), 1.12 (dd, J = 15.6, 6.8 Hz, 5H).

¹³C NMR (126 MHz, CDCl₃) δ 169.84 (s), 149.48 (s), 137.09 (s), 135.89 (s), 135.06 (s), 133.24 (s), 132.82 (s), 131.72 (s), 129.61 (s), 128.83 (s), 126.98 (s), 126.81 (s), 125.86 – 125.23 (m), 124.28 (s), 121.40 (s), 51.24 (s), 46.05 (s), 20.97 (s), 20.83 – 20.55 (m).

HRMS (m/z): [M+Na⁺] calcd for C₂₃H₂₄N₂NaO₃: 399.1679; found, 399.1679

TLC: R_f = 0.4 (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-4-(4-methoxy-3-methylphenyl)-1-naphthamide:** Compound **3t** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

Yield: 79%

¹H NMR (500 MHz, CDCl₃) δ 7.95 (t, *J* = 9.3 Hz, 1H), 7.89 (d, *J* = 8.3 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.43 (dd, *J* = 16.0, 8.6 Hz, 1H), 7.35 (dd, *J* = 18.5, 7.1 Hz, 2H), 7.28 (t, *J* = 8.2 Hz, 2H), 6.95 (d, *J* = 8.0 Hz, 1H), 3.92 (s, 3H), 3.74 (dt, *J* = 13.3, 6.7 Hz, 1H), 3.66 – 3.57 (m, 1H), 2.30 (s, 3H), 1.74 (d, *J* = 6.8 Hz, 3H), 1.67 (d, *J* = 6.8 Hz, 3H), 1.12 (d, *J* = 6.6 Hz, 3H), 1.08 (d, *J* = 6.7 Hz, 3H).

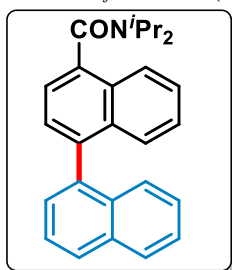
¹³C NMR (126 MHz, CDCl₃) δ 170.31 (s), 157.23 (s), 140.43 (s), 135.78 (s), 132.32 (s), 132.04 (s), 129.94 (s), 128.35 (s), 126.68 – 126.09 (m), 125.17 (s), 121.64 (s), 109.66 (s), 77.25 (s), 77.14 – 76.90 (m), 76.75 (s), 55.42 (s), 51.11 (s), 46.01 (s), 20.76 (dd, *J* = 19.2, 11.4 Hz), 16.31 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₇H₂₇NO₃: xxxxx; found, xxxxxxxx

TLC: R_f = 0.25 (80:20 petroleum ether:EtOAc).

HRMS (*m/z*): [M+Na⁺] calcd for C₂₅H₂₉NNaO₂: 398.2087; found, 398.2087

TLC: R_f = 0.25 (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-[1,1'-binaphthalene]-4-carboxamide:** Compound **3u** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

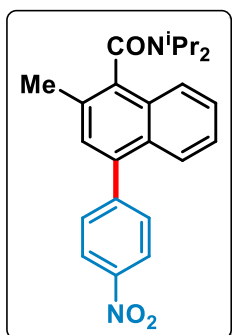
Yield: 69% (*p*:others 4:1)

¹H NMR (500 MHz, CDCl₃) δ 7.95 (t, *J* = 10.2 Hz, 2H), 7.59 (t, *J* = 7.6 Hz, 1H), 7.54 – 7.41 (m, 5H), 7.37 (dd, *J* = 16.4, 7.9 Hz, 1H), 7.32 – 7.28 (m, 1H), 3.94 – 3.78 (m, 1H), 3.73 – 3.57 (m, 1H), 1.78 (d, *J* = 6.8 Hz, 2H), 1.71 (d, *J* = 6.8 Hz, 2H), 1.22 – 1.11 (m, 4H).

¹³C NMR (126 MHz, CDCl₃) δ 170.20 (s), 138.76 (s), 138.05 (s), 136.50 (s), 133.48 (d, *J* = 4.6 Hz), 129.68 (s), 128.03 (d, *J* = 3.8 Hz), 127.57 (s), 127.19 (s), 126.91 (d, *J* = 5.0 Hz), 126.60 (d, *J* = 11.3 Hz), 126.43 – 126.22 (m), 126.13 (s), 125.92 (s), 125.78 (s), 125.47 (s), 125.16 (d, *J* = 4.8 Hz), 121.61 (d, *J* = 5.0 Hz), 51.18 (d, *J* = 7.4 Hz), 46.07 (s), 21.08 (s), 20.96 (s), 20.81 (s), 20.72 (d, *J* = 3.1 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₂₇H₂₈NO: 382.21; found, 382.2176

TLC: R_f = 0.1 (80:20 petroleum ether:EtOAc).



N,N-diisopropyl-2-methyl-4-(4-nitrophenyl)-1-naphthamide: Compound **3v** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellowish liquid.

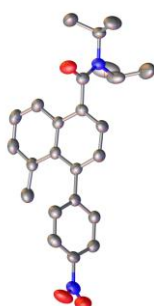
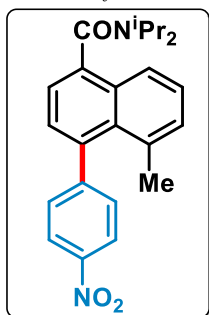
Yield: 67% (*p*:others 4:1)

¹H NMR (400 MHz, CDCl₃) δ 8.35 (d, *J* = 6.2 Hz, 2H), 7.83 (d, *J* = 8.3 Hz, 1H), 7.73 (d, *J* = 8.2 Hz, 1H), 7.66 (d, 2H), 7.52 (t, 1H), 7.41 (t, 1H), 7.26 (s, 1H), 3.69 – 3.55 (m, 2H), 2.50 (s, 2H), 1.79 (d, *J* = 6.8 Hz, 4H), 1.71 (d, *J* = 6.8 Hz, 3H), 1.15 (d, *J* = 5.9 Hz, 3H), 1.05 (d, *J* = 6.6, 2.4 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 169.34 (s), 147.28 (d, *J* = 11.2 Hz), 137.50 (s), 135.39 (s), 130.91 (s), 130.20 (d, *J* = 6.7 Hz), 129.75 (s), 129.48 (s), 126.88 (s), 126.16 (s), 125.25 (d, *J* = 19.4 Hz), 123.58 (s), 51.25 (s), 46.26 (s), 21.14 (d, *J* = 17.4 Hz), 20.65 (d, *J* = 16.3 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₂₄H₂₇N₂O₃: 391.1977; found, 391.1977

TLC: R_f = 0.01 (80:20 petroleum ether:EtOAc).



N,N-diisopropyl-5-methyl-4-(4-nitrophenyl)-1-naphthamide: Compound **3w** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

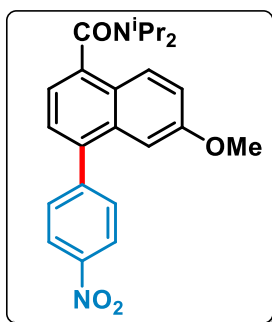
Physical State: White solid.

Yield: 71%

¹H NMR (400 MHz, CDCl₃) δ 8.40 – 8.24 (m, 3H), 7.82 (t, *J* = 8.0 Hz, 1H), 7.61 – 7.56 (m, 1H), 7.51 – 7.39 (m, 2H), 7.33 – 7.22 (m, 3H), 3.70 – 3.60 (m, 2H), 2.01 (s, 3H), 1.74 (d, 3H), 1.67 (d, 3H), 1.11 (dd, *J* = 11.3, 6.7 Hz, 6H).

HRMS (*m/z*): [M+H⁺] calcd for C₂₄H₂₇N₂O₃: 391.1977; found, 391.1977

TLC: R_f = 0.01 (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-6-methoxy-4-(4-nitrophenyl)-1-naphthamide:** Compound **3x** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

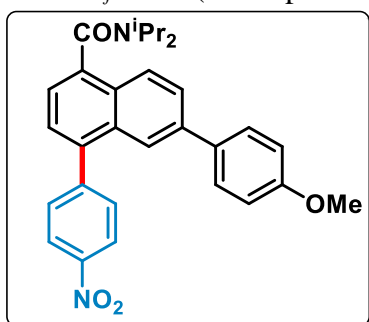
Yield: 65%

¹H NMR (400 MHz, CDCl₃) δ 8.37 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 9.1 Hz, 1H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.36 (d, *J* = 7.1 Hz, 1H), 7.23 (dd, *J* = 14.3, 4.8 Hz, 1H), 7.08 (d, *J* = 1.9 Hz, 1H), 3.76 (s, 1H), 3.69 (dd, *J* = 13.3, 6.6 Hz, 1H), 3.62 (dt, *J* = 13.5, 6.7 Hz, 1H), 1.73 (d, *J* = 6.7 Hz, 2H), 1.66 (d, *J* = 6.7 Hz, 2H), 1.13 (d, *J* = 6.6 Hz, 1H), 1.08 (d, *J* = 6.6 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃) δ 169.75 (s), 158.41 (s), 147.63 (s), 147.20 (s), 137.37 (s), 136.66 (s), 132.52 (s), 130.73 (s), 127.17 (d, *J* = 12.9 Hz), 125.30 (s), 123.73 (s), 119.29 (s), 104.16 (s), 77.25 (s), 77.11 – 76.88 (m), 76.75 (s), 55.23 (s), 51.16 (s), 46.08 (s), 20.88 (s), 20.67 (d, *J* = 4.6 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₂₄H₂₇N₂O₄: 407.1926; found, 407.1927

TLC: R_f = 0.4 (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-6-methoxy-4-(4-nitrophenyl)-1-naphthamide:** Compound **3y** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish solid.

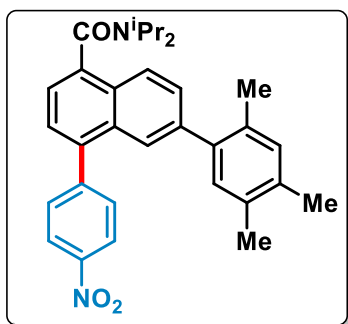
Yield: 75%

¹H NMR (400 MHz, CDCl₃) δ 8.37 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 9.1 Hz, 1H), 7.69 (d, *J* = 8.4 Hz, 1H), 7.36 (d, *J* = 7.1 Hz, 1H), 7.23 (dd, *J* = 14.3, 4.8 Hz, 1H), 7.08 (d, *J* = 1.9 Hz, 1H), 3.76 (s, 1H), 3.69 (dd, *J* = 13.3, 6.6 Hz, 1H), 3.62 (dt, *J* = 13.5, 6.7 Hz, 1H), 1.73 (d, *J* = 6.7 Hz, 2H), 1.66 (d, *J* = 6.7 Hz, 2H), 1.13 (d, *J* = 6.6 Hz, 1H), 1.08 (d, *J* = 6.6 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃) δ 169.75 (s), 158.41 (s), 147.63 (s), 147.20 (s), 137.37 (s), 136.66 (s), 132.52 (s), 130.73 (s), 127.17 (d, *J* = 12.9 Hz), 125.30 (s), 123.73 (s), 119.29 (s), 104.16 (s), 77.25 (s), 77.11 – 76.88 (m), 76.75 (s), 55.23 (s), 51.16 (s), 46.08 (s), 20.88 (s), 20.67 (d, *J* = 4.6 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₃₀H₃₁N₂O₄: 482.2239; found, 482.2239

TLC: R_f = 0.4 (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-4-(4-nitrophenyl)-6-(2,4,5-trimethylphenyl)-1-naphthamide:** Compound **3z** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish solid.

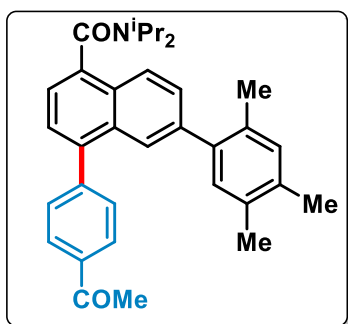
Yield: 79%

¹H NMR (500 MHz, CDCl₃) δ 8.33 (d, *J* = 8.6 Hz, 2H), 7.96 (d, *J* = 8.6 Hz, 1H), 7.71 – 7.65 (m, 3H), 7.55 (dt, *J* = 11.3, 5.6 Hz, 1H), 7.41 (dd, *J* = 16.9, 7.2 Hz, 2H), 7.05 (s, 1H), 6.99 (s, 1H), 3.79 (tt, *J* = 14.9, 7.5 Hz, 1H), 3.71 – 3.60 (m, 1H), 2.26 (s, 3H), 2.24 (s, 3H), 2.19 (s, 3H), 1.77 (d, *J* = 6.8 Hz, 3H), 1.69 (d, *J* = 6.8 Hz, 3H), 1.16 (dd, *J* = 13.0, 6.7 Hz, 6H).

¹³C NMR (126 MHz, CDCl₃) δ 169.77 (s), 147.22 (d, *J* = 5.0 Hz), 140.81 (s), 138.64 (s), 138.03 (s), 137.28 (s), 136.08 (s), 134.03 (s), 132.48 (s), 131.86 (s), 131.20 – 130.74 (m), 129.00 (s), 128.60 (s), 126.75 (s), 125.47 (s), 125.11 (s), 123.62 (s), 121.24 (s), 51.27 (s), 46.18 (s), 20.98 (s), 20.70 (d, *J* = 3.2 Hz), 19.83 (s), 19.23 (d, *J* = 12.7 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₃₂H₃₅N₂O₃: 495.2603; found, 495.2606

TLC: R_f = 0.2 (80:20 petroleum ether:EtOAc).



4-(4-acetylphenyl)-*N,N*-diisopropyl-6-(2,4,5-trimethylphenyl)-1-naphthamide: Compound **3aa** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

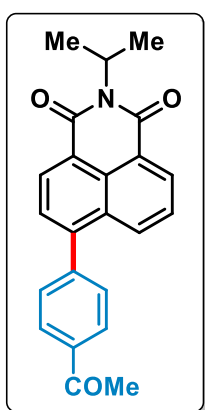
Yield: 81%

¹H NMR (500 MHz, CDCl₃) δ 8.07 (t, *J* = 11.8 Hz, 2H), 7.94 (t, *J* = 11.2 Hz, 1H), 7.75 (d, *J* = 1.3 Hz, 1H), 7.60 (d, *J* = 8.2 Hz, 2H), 7.53 (dd, *J* = 8.6, 1.6 Hz, 1H), 7.40 (dd, *J* = 22.3, 7.2 Hz, 2H), 7.04 (d, *J* = 10.1 Hz, 1H), 7.01 (d, *J* = 11.5 Hz, 1H), 3.88 – 3.78 (m, 1H), 3.65 (dq, *J* = 13.5, 6.7 Hz, 1H), 2.66 (s, 3H), 2.26 (s, 3H), 2.24 (s, 3H), 2.19 (s, 3H), 1.77 (d, *J* = 6.8 Hz, 3H), 1.69 (t, *J* = 6.5 Hz, 3H), 1.16 (dd, *J* = 12.5, 6.7 Hz, 6H).

¹³C NMR (126 MHz, CDCl₃) δ 197.82 (s), 169.97 (s), 145.35 (s), 140.34 (s), 139.28 (s), 138.87 (s), 136.70 (s), 135.94 (d, *J* = 16.3 Hz), 133.93 (s), 132.55 (s), 131.78 (s), 131.33 (s), 131.07 (s), 130.25 (s), 128.66 (d, *J* = 15.4 Hz), 128.37 (s), 126.55 (s), 125.90 (s), 124.99 (s), 121.28 (s), 51.21 (s), 46.10 (s), 26.67 (s), 20.98 (s), 20.71 (d, *J* = 3.2 Hz), 19.84 (s), 19.21 (d, *J* = 12.8 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₃₄H₃₈NO₂: 492.2858; found, 492.2858

TLC: R_f = 0.4 (80:20 petroleum ether:EtOAc).



6-(4-acetylphenyl)-2-isopropyl-1H-benzo[de]isoquinoline-1,3(2H)-dione: Compound **3ab** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish solid.

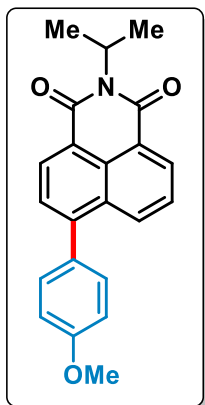
Yield: 69%

¹H NMR (400 MHz, CDCl₃) δ 8.62 (dd, *J* = 9.6, 4.1 Hz, 2H), 8.15 (dd, *J* = 13.6, 4.7 Hz, 3H), 7.70 (t, *J* = 7.7 Hz, 2H), 7.61 (d, *J* = 8.3 Hz, 2H), 5.46 (hept, *J* = 7.0 Hz, 1H), 2.70 (s, 3H), 1.64 – 1.61 (m, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 197.49 (s), 164.44 (s), 164.24 (s), 145.05 (s), 143.61 (s), 136.92 (s), 131.68 (s), 131.14 (s), 130.50 (s), 130.16 (s), 129.65 (s), 128.64 (d, *J* = 5.9 Hz), 127.75 (s), 127.16 (s), 123.61 (s), 123.00 (s), 45.36 (s), 26.72 (s), 19.77 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₃H₂₀NO₃: 358.1958; found, 358.1958

TLC: R_f = 0.2 (80:20 petroleum ether:EtOAc).



2-isopropyl-6-(4-methoxyphenyl)-1H-benzo[de]isoquinoline-1,3(2H)-dione: Compound **3ac** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale green solid.

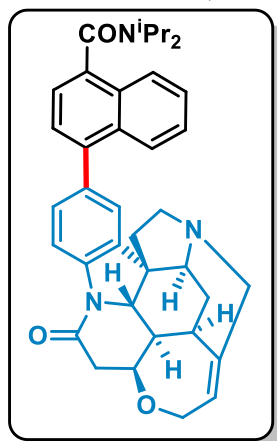
Yield: 65%

¹H NMR (400 MHz, CDCl₃) δ 8.60 (d, *J* = 7.5 Hz, 2H), 8.27 (dd, *J* = 8.5, 0.9 Hz, 1H), 7.71 – 7.64 (m, 2H), 7.47 – 7.41 (m, 2H), 7.11 – 7.05 (m, 2H), 5.46 (hept, *J* = 6.9 Hz, 1H), 3.91 (s, 3H), 1.62 (d, *J* = 6.9 Hz, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 164.58 (d, *J* = 19.3 Hz), 159.90 (s), 146.33 (s), 132.36 (s), 131.07 (t, *J* = 13.1 Hz), 130.69 (s), 130.05 (s), 128.79 (s), 127.72 (s), 126.66 (s), 123.46 (s), 121.94 (s), 114.15 (s), 55.43 (s), 45.22 (s), 19.78 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₂H₂₀NO₃: 346.1398; found, 346.1399

TLC: R_f = 0.2 (80:20 petroleum ether:EtOAc).



N,N-diisopropyl-4-((4*aR*,4*a1R*,5*aS*,8*aR*,8*a1S*,15*aS*)-14-oxo-2,4*a*,4*a1*,5,5*a*,7,8,8*a1*,15,15*a*-decahydro-14*H*-4,6-methanoindolo[3,2,1-*ij*]oxepino[2,3,4-*de*]pyrrolo[2,3-*h*]quinolin-10-yl)-1-naphthamide: Compound **3ad** was prepared by general procedure B

Eluent: Dichloromethane/Methanol (90:10, v/v).

Physical State: pale yellowish liquid.

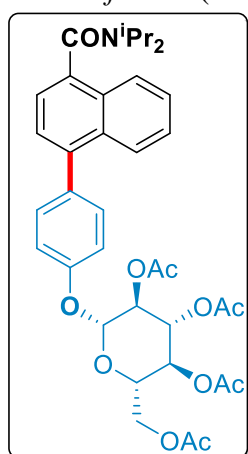
Yield: 58%

¹H NMR (500 MHz, DMSO) δ 8.37 (s, 5H), 8.16 (s, 16H), 7.73 (d, J = 8.5 Hz, 17H), 7.69 – 7.61 (m, 24H), 6.45 (s, 21H), 5.91 – 5.82 (m, 36H), 4.62 (s, 17H), 4.44 – 4.34 (m, 44H), 4.18 (ddd, J = 24.2, 14.7, 5.7 Hz, 72H), 4.07 – 3.94 (m, 49H), 3.00 – 2.88 (m, 27H), 2.68 (dd, J = 30.5, 15.8 Hz, 52H), 2.40 – 2.28 (m, 32H), 2.23 (dd, J = 13.4, 5.6 Hz, 23H), 1.73 (d, J = 15.6 Hz, 20H), 1.62 (d, J = 6.6 Hz, 15H), 1.57 – 1.47 (m, 37H), 1.30 – 1.17 (m, 42H), 1.06 (dd, J = 15.7, 5.1 Hz, 14H), 0.89 – 0.82 (m, 18H).

¹³C NMR (126 MHz, DMSO) δ 169.07 (s), 158.90 – 158.51 (m), 158.45 (s), 158.08 (d, J = 31.0 Hz), 141.49 (s), 138.17 (s), 136.59 (s), 132.20 (s), 131.84 (s), 120.85 (s), 118.47 (s), 117.38 (s), 116.08 (s), 113.70 (s), 87.35 (s), 79.34 (d, J = 6.4 Hz), 79.10 (s), 78.84 (s), 75.49 (s), 72.90 (s), 69.37 (s), 63.26 (s), 60.37 (s), 58.45 (s), 58.19 (s), 52.16 (s), 45.83 (s), 38.43 (s), 28.88 (s), 24.90 (s).

HRMS (m/z): [M+H⁺] calcd for C₃₈H₄₂N₃O₃: 588.3222; found, 588.3221

TLC: R_f = 0.1 (90:10 Dichloromethane/Methanol).



(2*S*,3*S*,4*R*,5*S*,6*R*)-2-(acetoxymethyl)-6-(4-(4-(diisopropylcarbamoyl)naphthalen-1-yl)phenoxy)tetrahydro-2*H*-pyran-3,4,5-triyl triacetate: Compound **3ae** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

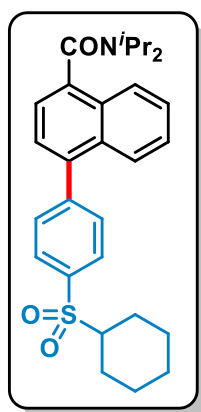
Yield: 63%

¹H NMR (500 MHz, CDCl₃) δ 7.88 (t, *J* = 7.5 Hz, 2H), 7.50 (t, *J* = 7.6 Hz, 1H), 7.44 (d, *J* = 7.7 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 1H), 7.37 – 7.31 (m, 2H), 7.11 (d, *J* = 8.5 Hz, 2H), 5.37 – 5.27 (m, 3H), 5.24 – 5.16 (m, 2H), 4.36 – 4.28 (m, *J* = 12.1, 5.0 Hz, 1H), 4.23 – 4.17 (m, 1H), 3.96 – 3.89 (m, *J* = 9.7, 5.3, 2.3 Hz, 1H), 3.76 – 3.68 (m, 1H), 3.64 – 3.57 (m, *J* = 13.5, 6.7 Hz, 1H), 2.10 (s, 3H), 2.08 (s, 3H), 2.05 (d, *J* = 3.4 Hz, 6H), 1.73 (d, *J* = 6.8 Hz, 3H), 1.65 (d, *J* = 6.8 Hz, 3H), 1.11 (d, *J* = 6.6 Hz, 3H), 1.07 (d, *J* = 6.7 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.56 (s), 170.23 (s), 170.17 (s), 169.40 (s), 169.32 (s), 156.19 (s), 139.67 (s), 136.08 (s), 135.39 (s), 131.80 (s), 131.17 (s), 129.85 (s), 126.53 (s), 126.32 (d, *J* = 23.5 Hz), 125.17 (s), 121.56 (s), 116.64 (s), 98.94 (s), 89.95 (s), 72.70 (s), 72.03 (s), 71.15 (s), 68.27 (s), 61.95 (s), 51.15 (s), 46.04 (s), 21.03 – 20.89 (m), 20.89 – 20.41 (m).

HRMS (*m/z*): [M+K⁺] calcd for C₃₇H₄₃KNO₁₀: 700.2512; found, 700.2519

TLC: R_f = 0.2 (60:40 petroleum ether:EtOAc).



4-(4-(cyclohexylsulfonyl)phenyl)-N,N-diisopropyl-1-naphthamide: Compound **3af** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: pale yellowish liquid.

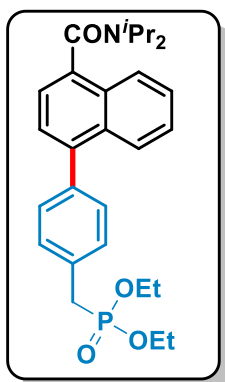
Yield: 59%

¹H NMR (500 MHz, CDCl₃) δ 8.00 (d, *J* = 8.3 Hz, 2H), 7.92 (d, *J* = 7.2 Hz, 1H), 7.80 (d, *J* = 6.7 Hz, 1H), 7.62 (d, *J* = 6.5 Hz, 2H), 7.55 (t, *J* = 7.6 Hz, 1H), 7.47 (t, 1H), 7.43 – 7.35 (m, 2H), 3.76 – 3.67 (m, *J* = 13.1, 6.4 Hz, 1H), 3.67 – 3.59 (m, *J* = 13.7, 6.7 Hz, 1H), 3.32 – 3.22 (m, 1H), 1.90 – 1.82 (m, *J* = 12.3 Hz, 2H), 1.75 (d, *J* = 6.8 Hz, 3H), 1.69 (d, *J* = 6.2 Hz, 1H), 1.67 (d, *J* = 6.8 Hz, 3H), 1.55 (d, *J* = 4.0 Hz, 1H), 1.29 – 1.22 (m, *J* = 13.7 Hz, 5H), 1.12 (d, *J* = 7.2 Hz, 3H), 1.09 (d, *J* = 6.7 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 169.82 (s), 144.75 (s), 140.52 (s), 138.61 (s), 137.14 (s), 131.36 (s), 130.67 (s), 129.92 (s), 126.91 (t, *J* = 4.6 Hz), 126.50 (s), 125.77 (s), 125.44 (s), 121.53 (s), 52.78 (s), 51.19 (s), 46.12 (s), 34.09 (s), 25.15 (s), 24.66 (s), 21.25 – 20.57 (m).

HRMS (*m/z*): [M+H⁺] calcd for C₂₉H₃₆NO₃S: 478.2371; found, 478.2374

TLC: R_f = 0.2 (60:40 petroleum ether:EtOAc).



diethyl (4-(4-(diisopropylcarbamoyl)naphthalen-1-yl)benzyl)phosphonate: Compound **3ag** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: pale yellowish liquid.

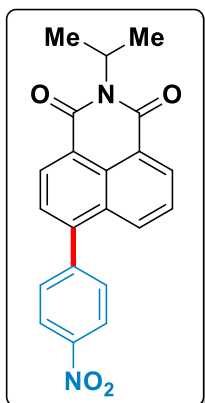
Yield: 65%

¹H NMR (500 MHz, CDCl₃) δ 8.00 – 7.82 (m, 2H), 7.67 (dd, *J* = 22.0, 7.8 Hz, 1H), 7.59 – 7.51 (m, 1H), 7.51 – 7.43 (m, 4H), 7.36 (ddd, *J* = 24.6, 18.0, 7.4 Hz, 2H), 4.18 – 4.04 (m, 4H), 3.76 (ddd, *J* = 20.9, 10.7, 5.9 Hz, 1H), 3.68 – 3.61 (m, 1H), 3.25 (dd, *J* = 30.2, 12.8 Hz, 2H), 1.76 (t, *J* = 5.8 Hz, 3H), 1.69 (d, *J* = 6.8 Hz, 3H), 1.36 – 1.28 (m, 7H), 1.12 (dt, *J* = 21.7, 7.0 Hz, 5H).

¹³C NMR (126 MHz, CDCl₃) δ 170.21 (s), 140.09 (s), 138.98 (d, *J* = 4.0 Hz), 136.23 (s), 130.29 (dd, *J* = 15.3, 4.8 Hz), 129.99 – 129.64 (m), 128.82 (s), 126.62 – 126.26 (m), 125.24 (s), 122.55 (d, *J* = 5.0 Hz), 121.62 (s), 62.28 (d, *J* = 6.8 Hz), 51.17 (s), 46.07 (s), 34.08 (s), 32.98 (s), 20.93 (s), 20.84 – 20.51 (m), 16.42 (d, *J* = 6.0 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₂₈H₃₇NO₄P: 482.2415; found, 482.2417

TLC: R_f = 0.5 (10:90 petroleum ether:EtOAc).



2-isopropyl-6-(4-nitrophenyl)-1H-benzo[de]isoquinoline-1,3(2H)-dione: Compound **3ah** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

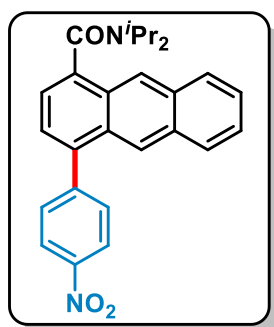
Yield: 65%

¹H NMR (500 MHz, CDCl₃) δ 8.65 (dd, *J* = 7.2, 5.8 Hz, 2H), 8.42 (d, *J* = 8.7 Hz, 2H), 8.10 (d, *J* = 8.4, 0.7 Hz, 1H), 7.74 (t, *J* = 8.3, 7.4 Hz, 1H), 7.72 – 7.67 (m, 3H), 5.51 – 5.41 (m, 1H), 1.62 (d, *J* = 7.0 Hz, 6H).

¹³C NMR (126 MHz, CDCl₃) δ 164.30 (s), 164.09 (s), 147.87 (s), 145.46 (s), 143.56 (s), 131.24 (d, *J* = 19.6 Hz), 130.83 (s), 130.42 (s), 129.41 (s), 128.63 (s), 127.88 (s), 127.53 (s), 123.91 (s), 123.71 (s), 123.52 (s), 45.44 (s), 19.76 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₁H₁₇N₂O₃: 361.1143; found, 361.1146

TLC: Rf = 0.3 (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-4-(4-nitrophenyl)anthracene-1-carboxamide:** Compound **3ai** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

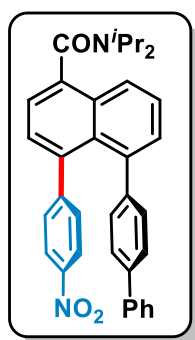
Yield: 81%

¹H NMR (400 MHz, CDCl₃) δ 8.51 (s, 1H), 8.42 (d, *J* = 8.8 Hz, 2H), 8.33 (s, 1H), 8.02 – 7.97 (m, *J* = 7.9 Hz, 1H), 7.87 (d, *J* = 7.8 Hz, 1H), 7.77 (d, *J* = 8.7 Hz, 2H), 7.54 – 7.45 (m, 2H), 7.39 (s, 2H), 3.83 – 3.74 (m, *J* = 13.3, 6.7 Hz, 1H), 3.68 (dq, *J* = 13.5, 6.7 Hz, 1H), 1.85 (d, *J* = 6.8 Hz, 3H), 1.72 (d, *J* = 6.8 Hz, 3H), 1.17 (d, *J* = 7.6 Hz, 3H), 1.09 (d, *J* = 6.7 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.74 (s), 147.40 (s), 138.10 (s), 137.61 (s), 132.03 (s), 131.79 (s), 130.98 (s), 129.43 (s), 128.25 (s), 128.05 (s), 126.33 (d, *J* = 3.6 Hz), 125.82 (s), 124.73 (s), 124.48 (s), 123.78 (s), 120.80 (s), 51.25 (s), 46.20 (s), 20.81 (t, *J* = 11.2 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₂₇H₂₇N₂O₃: 427.2027; found, 427.2016

TLC: Rf = 0.4 (80:20 petroleum ether:EtOAc).



5-([1,1'-biphenyl]-4-yl)-*N,N*-diisopropyl-4-(4-nitrophenyl)-1-naphthamide: Compound **3aj** was prepared by

general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Yellowish solid.

Yield: 64%

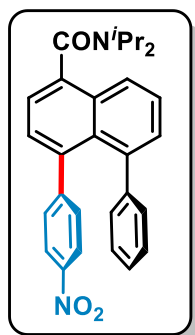
¹H NMR (500 MHz, CDCl₃) δ 8.04 – 7.99 (m, *J* = 7.5 Hz, 1H), 7.80 (d, *J* = 8.9 Hz, 2H), 7.69 – 7.62 (m, 1H), 7.54 (d, *J* = 6.2 Hz, 1H), 7.46 – 7.36 (m, 4H), 7.36 – 7.29 (m, 3H), 7.14 (t, *J* = 9.6 Hz, 4H), 7.06 (d, *J* = 7.4 Hz, 1H), 7.00 (d, *J* = 7.2 Hz, 1H), 3.84 – 3.75 (m, *J* = 13.3, 6.6 Hz, 1H), 3.72 – 3.63 (m, *J* = 13.6, 6.8 Hz, 1H), 1.78 (d, *J* = 6.8 Hz, 3H), 1.70 (d, *J* = 6.8 Hz, 3H), 1.16 (dt, *J* = 20.5, 10.2 Hz, 6H).

¹³C NMR (101 MHz, CDCl₃) δ 169.87 (s), 149.84 (s), 145.65 (s), 141.48 (s), 140.62 (s), 139.92 (d, *J* = 4.1 Hz), 138.19 (d, *J* = 8.0 Hz), 131.48 (d, *J* = 4.0 Hz), 130.48 (d, *J* = 22.2 Hz),

130.29 (s), 129.54 (s), 128.77 (s), 127.35 (s), 127.03 – 126.27 (m), 125.50 (s), 122.34 (d, $J = 15.2$ Hz), 121.39 (s), 51.30 (s), 46.21 (s), 20.99 (s), 20.89 – 20.56 (m).

HRMS (m/z): $[M+H^+]$ calcd for $C_{35}H_{33}N_2O_3$: 529.2446 found, 529.2450

TLC: $R_f = 0.2$ (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-4-(4-nitrophenyl)-5-phenyl-1-naphthamide:** Compound **3ak** was prepared by

general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

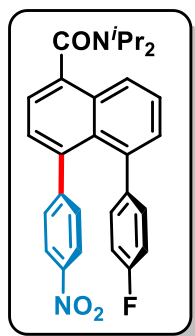
Physical State: White solid.

Yield: 71%

1H NMR (500 MHz, $CDCl_3$) δ 7.99 (d, 1H), 7.77 (d, 2H), 7.62 (t, 1H), 7.47 (d, 1H), 7.43 – 7.34 (m, 2H), 7.11 (dd, $J = 12.7, 9.2$ Hz, 2H), 7.02 – 6.90 (m, 4H), 3.81 – 3.74 (m, $J = 13.4, 6.7$ Hz, 1H), 3.70 – 3.62 (m, $J = 13.6, 6.8$ Hz, 1H), 1.77 (d, $J = 6.0$ Hz, 3H), 1.69 (d, $J = 6.8$ Hz, 3H), 1.14 (t, 6H).

HRMS (m/z): $[M+H^+]$ calcd for $C_{29}H_{29}N_2O_3$: 453.2133; found, 453.2115

TLC: $R_f = 0.01$ (80:20 petroleum ether:EtOAc).



5-(4-fluorophenyl)-*N,N*-diisopropyl-4-(4-nitrophenyl)-1-naphthamide: Compound **3al** was prepared by

general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

Yield: 78%

1H NMR (500 MHz, $CDCl_3$) δ 7.99 (d, $J = 8.9, 4.4$ Hz, 1H), 7.84 (d, $J = 9.8$ Hz, 2H), 7.62 (t, $J = 8.3, 7.2$ Hz, 1H), 7.44 (d, $J = 7.1, 1.2$ Hz, 1H), 7.42 – 7.35 (m, 2H), 7.12 (dd, $J = 11.6, 9.7$ Hz, 2H), 7.01 – 6.93 (m, 1H), 6.94 – 6.86 (m, $J = 8.1, 5.0$ Hz, 1H), 6.71 – 6.62 (m, $J = 11.8, 7.4, 4.8$ Hz, 2H), 3.81 – 3.72 (m, 1H), 3.70 – 3.61 (m, $J = 13.5, 6.8$ Hz, 1H), 1.76 (d, $J = 7.1$ Hz, 3H), 1.69 (d, $J = 6.8$ Hz, 3H), 1.16 (t, $J = 7.2$ Hz, 6H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 169.75 (s), 162.50 (s), 160.53 (s), 149.73 (s), 145.75 (s), 139.10 (s), 138.66 (d, $J = 3.5$ Hz), 138.05 (d, $J = 14.3$ Hz), 131.84 – 131.39 (m), 131.24 (d, $J = 7.8$ Hz), 130.63 – 130.53 (m), 130.53 – 130.15 (m), 129.40 (s), 126.43 (s), 125.55 (s), 122.51

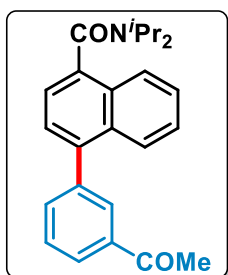
(s), 122.33 (s), 121.40 (s), 114.57 (d, $J = 15.1$ Hz), 114.34 (s), 51.28 (s), 46.19 (s), 20.94 (s), 20.84 – 20.54 (m).

^{19}F NMR (471 MHz, CDCl_3) δ -115.33 (s).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{29}\text{H}_{28}\text{FN}_2\text{O}_3$: 471.2039; found, 471.2042

TLC: $R_f = 0.4$ (80:20 petroleum ether:EtOAc).

Boronic acid substrate scope



4-(3-acetylphenyl)-*N,N*-diisopropyl-1-naphthamide: Compound **6a** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

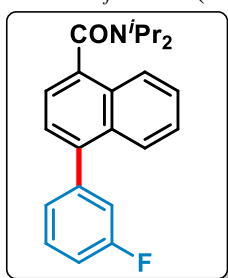
Yield: 60%

^1H NMR (500 MHz, CDCl_3) δ 8.07 (s, 1H), 8.04 (d, $J = 7.8$ Hz, 1H), 7.93 (d, $J = 8.4$ Hz, 1H), 7.81 (d, $J = 8.5$ Hz, 1H), 7.70 (d, $J = 7.5$ Hz, 1H), 7.60 (t, $J = 7.7$ Hz, 1H), 7.53 (t, $J = 7.4$ Hz, 1H), 7.46 (t, $J = 7.6$ Hz, 1H), 7.39 (dd, $J = 15.6, 7.1$ Hz, 2H), 3.77 – 3.68 (m, 1H), 3.63 (dt, $J = 13.6, 6.9$ Hz, 1H), 2.66 (s, 3H), 1.74 (t, $J = 11.7$ Hz, 3H), 1.67 (t, $J = 8.7$ Hz, 3H), 1.12 (dd, $J = 9.8, 4.9$ Hz, 3H), 1.08 (t, $J = 8.8$ Hz, 3H).

^{13}C NMR (126 MHz, CDCl_3) δ 198.07 (s), 169.97 (s), 140.87 (s), 139.35 (s), 137.26 (s), 136.75 (s), 134.66 (s), 131.65 (s), 129.88 (d, $J = 6.4$ Hz), 128.64 (s), 127.36 (s), 126.73 (d, $J = 4.1$ Hz), 126.47 (s), 125.97 (s), 125.36 (s), 121.56 (s), 51.17 (s), 46.08 (s), 26.78 (s), 21.00 – 20.53 (m).

HRMS (m/z): $[\text{M}+\text{Na}^+]$ calcd for $\text{C}_{23}\text{H}_{24}\text{N}_2\text{NaO}_3$: 399.1679; found, 399.1679

TLC: $R_f = 0.2$ (80:20 petroleum ether:EtOAc).



4-(3-fluorophenyl)-*N,N*-diisopropyl-1-naphthamide: Compound **6b** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

Yield: 73%

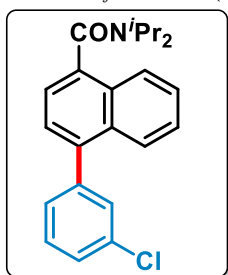
^1H NMR (500 MHz, CDCl_3) δ 7.92 (t, $J = 7.7$ Hz, 1H), 7.88 (d, $J = 8.5$ Hz, 1H), 7.52 (q, $J = 7.2$ Hz, 1H), 7.44 (dt, $J = 15.5, 7.8$ Hz, 2H), 7.41 – 7.33 (m, 2H), 7.26 (s, 1H), 7.21 (d, $J = 9.6$ Hz, 1H), 7.14 (td, $J = 8.5, 2.0$ Hz, 1H), 3.79 – 3.68 (m, 1H), 3.63 (dp, $J = 13.6, 6.7$ Hz, 1H), 1.75 (d, $J = 6.8$ Hz, 3H), 1.66 (t, $J = 13.5$ Hz, 3H), 1.12 (t, $J = 6.8$ Hz, 3H), 1.08 (t, $J = 11.7$ Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 169.96 (s), 163.64 (s), 161.68 (s), 142.56 (d, *J* = 7.6 Hz), 139.13 (s), 136.72 (s), 131.56 (s), 130.00 – 129.64 (m), 126.64 (s), 126.28 (s), 126.06 (s), 125.79 (d, *J* = 2.8 Hz), 125.31 (s), 121.52 (s), 117.08 (s), 116.91 (s), 114.38 (s), 114.21 (s), 51.12 (s), 46.05 (s), 20.74 (dd, *J* = 18.2, 11.6 Hz).

¹⁹F NMR (471 MHz, CDCl₃) δ -113.35 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₃H₂₅FNO: 350.1875; found, 350.1878

TLC: R_f = 0.05 (80:20 petroleum ether:EtOAc).



4-(3-chlorophenyl)-*N,N*-diisopropyl-1-naphthamide: Compound **6c** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

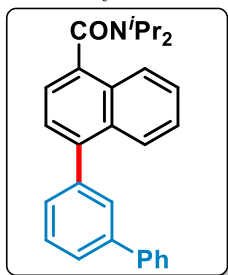
Yield: 53%

¹H NMR (500 MHz, CDCl₃) δ 7.92 (t, *J* = 7.3 Hz, 1H), 7.85 (t, *J* = 5.8 Hz, 1H), 7.55 – 7.51 (m, 1H), 7.50 – 7.45 (m, 2H), 7.44 – 7.40 (m, 2H), 7.40 – 7.34 (m, 3H), 3.75 – 3.68 (m, 1H), 3.64 (td, *J* = 13.6, 6.8 Hz, 1H), 1.74 (t, *J* = 7.4 Hz, 3H), 1.67 (d, *J* = 6.9 Hz, 3H), 1.13 (t, *J* = 5.8 Hz, 3H), 1.08 (d, *J* = 6.7 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 169.96 (s), 142.12 (s), 138.93 (s), 136.68 (s), 134.15 (s), 131.52 (s), 129.99 (s), 129.83 (s), 129.53 (s), 128.23 (s), 127.53 (s), 126.68 (s), 126.33 (s), 126.01 (s), 125.26 (s), 121.49 (s), 51.14 (s), 46.05 (s), 20.70 (dd, *J* = 18.6, 10.7 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₂₃H₂₅ClNO: 366.1621; found, 366.1619

TLC: R_f = 0.2 (80:20 petroleum ether:EtOAc).



4-([1,1'-biphenyl]-3-yl)-*N,N*-diisopropyl-1-naphthamide: Compound **6d** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

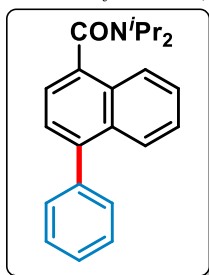
Yield: 62%

¹H NMR (500 MHz, CDCl₃) δ 8.00 (d, *J* = 8.5 Hz, 1H), 7.95 (d, *J* = 8.3 Hz, 1H), 7.74 (d, *J* = 11.6 Hz, 1H), 7.68 (dd, *J* = 8.8, 7.9 Hz, 3H), 7.55 (ddd, *J* = 22.9, 11.5, 4.6 Hz, 2H), 7.52 – 7.43 (m, 5H), 7.42 – 7.34 (m, 2H), 3.82 – 3.71 (m, 1H), 3.70 – 3.59 (m, 1H), 1.77 (t, *J* = 6.7 Hz, 3H), 1.70 (d, *J* = 6.8 Hz, 3H), 1.12 (dt, *J* = 13.1, 6.5 Hz, 6H).

¹³C NMR (126 MHz, CDCl₃) δ 170.14 (s), 141.18 (s), 140.83 (s), 140.37 (s), 136.28 (s), 131.79 (s), 129.88 (s), 128.81 (t, *J* = 12.4 Hz), 127.40 (s), 127.18 (s), 126.43 (dd, *J* = 18.1, 8.4 Hz), 126.13 (s), 125.20 (s), 121.58 (s), 51.13 (s), 46.02 (s), 20.72 (dd, *J* = 18.9, 11.6 Hz).

HRMS (*m/z*): [M+H⁺] calcd for C₂₉H₃₀NO: 408.2320; found, 408.2322

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



***N,N*-diisopropyl-4-phenyl-1-naphthamide:** Compound **6e** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

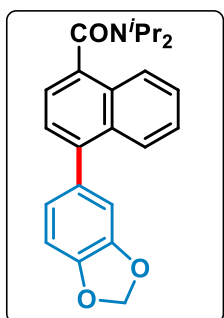
Yield: 49%

^1H NMR (500 MHz, CDCl_3) δ 7.92 (d, $J = 9.5$ Hz, 2H), 7.54 – 7.47 (m, 5H), 7.47 – 7.42 (m, $J = 7.3, 5.2, 2.2$ Hz, 2H), 7.39 (dd, $J = 19.3, 7.1$ Hz, 2H), 3.80 – 3.71 (m, $J = 13.3, 6.7$ Hz, 1H), 3.66 – 3.59 (m, $J = 13.7, 6.8$ Hz, 1H), 1.75 (d, $J = 9.9, 5.8$ Hz, 3H), 1.68 (d, $J = 6.8$ Hz, 3H), 1.13 (d, $J = 6.7$ Hz, 3H), 1.09 (d, $J = 5.3$ Hz, 3H).

^{13}C NMR (126 MHz, CDCl_3) δ 170.17 (s), 140.41 (d, $J = 18.1$ Hz), 136.18 (s), 131.77 (s), 129.93 (d, $J = 17.6$ Hz), 128.25 (s), 127.36 (s), 126.37 (dd, $J = 14.8, 10.2$ Hz), 125.17 (s), 121.57 (s), 51.11 (s), 46.00 (s), 20.90 (s), 20.73 (dd, $J = 18.9, 12.0$ Hz).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{23}\text{H}_{26}\text{NO}$: 332.1970; found, 332.1972

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



4-(benzo[*d*][1,3]dioxol-5-yl)-*N,N*-diisopropyl-1-naphthamide: Compound **6f** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

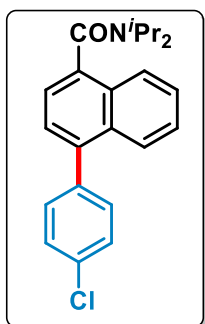
Yield: 47%

^1H NMR (500 MHz, CDCl_3) δ 7.95 (d, $J = 8.4$ Hz, 1H), 7.89 (d, $J = 8.2$ Hz, 1H), 7.50 (tt, $J = 11.6, 5.8$ Hz, 1H), 7.47 – 7.41 (m, 1H), 7.35 (dd, $J = 18.4, 7.2$ Hz, 2H), 6.97 (s, 1H), 6.96 – 6.91 (m, 2H), 6.04 (s, 2H), 3.79 – 3.67 (m, 1H), 3.68 – 3.57 (m, 1H), 1.73 (t, $J = 10.0$ Hz, 3H), 1.67 (d, $J = 6.8$ Hz, 3H), 1.15 – 1.04 (m, 6H).

^{13}C NMR (126 MHz, CDCl_3) δ 170.15 (s), 147.48 (s), 146.97 (s), 140.05 (s), 136.07 (s), 134.16 (s), 131.91 (s), 129.88 (s), 126.35 (t, $J = 14.8$ Hz), 125.17 (s), 123.41 (s), 121.53 (s), 110.54 (s), 108.21 (s), 101.12 (s), 51.10 (s), 46.00 (s), 20.87 (s), 20.77 – 20.53 (m).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{24}\text{H}_{26}\text{NO}_3$: 376.1834; found, 376.1836

TLC: $R_f = 0.2$ (80:20 petroleum ether:EtOAc).



4-(4-chlorophenyl)-N,N-diisopropyl-1-naphthamide: Compound **6g** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

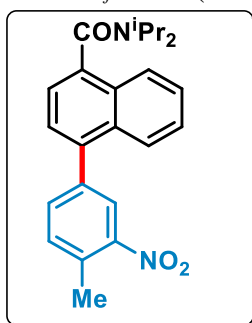
Yield: 51%

¹H NMR (500 MHz, CDCl₃) δ 7.91 (d, $J = 8.3$ Hz, 1H), 7.85 (d, $J = 8.4$ Hz, 1H), 7.55 – 7.51 (m, 1H), 7.49 – 7.45 (m, 3H), 7.42 (d, $J = 8.4$ Hz, 2H), 7.38 – 7.34 (m, 2H), 3.73 (dp, $J = 13.3, 6.6$ Hz, 1H), 3.68 – 3.57 (m, 1H), 1.75 (d, $J = 6.8$ Hz, 3H), 1.67 (t, $J = 6.4$ Hz, 3H), 1.12 (d, $J = 6.7$ Hz, 3H), 1.09 (d, $J = 6.7$ Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.04 (s), 139.18 (s), 138.75 (s), 136.51 (s), 133.50 (s), 131.62 (s), 131.31 (s), 129.88 (s), 128.50 (s), 126.64 (d, $J = 5.3$ Hz), 126.30 (s), 126.06 (s), 125.28 (s), 121.55 (s), 51.16 (s), 46.07 (s), 21.06 – 20.50 (m).

HRMS (m/z): [M+H⁺] calcd for C₂₃H₂₅ClNO: 366.1621; found, 366.1619

TLC: R_f = 0.2 (80:20 petroleum ether:EtOAc).



N,N-diisopropyl-4-(4-methyl-3-nitrophenyl)-1-naphthamide: Compound **6h** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: White solid.

Yield: 57%

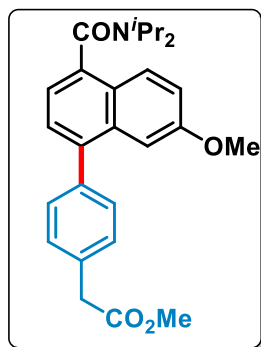
¹H NMR (500 MHz, CDCl₃) δ 8.12 (s, 1H), 7.93 (d, $J = 8.2$ Hz, 1H), 7.81 (d, $J = 8.3$ Hz, 1H), 7.64 (d, $J = 7.6$ Hz, 1H), 7.55 (t, $J = 7.4$ Hz, 1H), 7.48 (t, $J = 7.4$ Hz, 2H), 7.39 (q, $J = 7.0$ Hz, 2H), 3.70 (dt, $J = 11.6, 5.7$ Hz, 1H), 3.63 (dt, $J = 13.0, 6.5$ Hz, 1H), 2.71 (s, 3H), 1.75 (d, $J = 6.6$ Hz, 3H), 1.67 (d, $J = 6.6$ Hz, 3H), 1.13 (d, $J = 6.5$ Hz, 3H), 1.09 (d, $J = 6.5$ Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 169.78 (s), 149.20 (s), 139.47 (s), 137.67 (s), 137.16 (s), 134.49 (s), 132.71 (d, $J = 19.8$ Hz), 131.40 (s), 129.91 (s), 126.95 (d, $J = 16.0$ Hz), 126.57 (s), 125.87 (s), 125.54 (d, $J = 17.5$ Hz), 121.53 (s), 51.19 (s), 46.09 (s), 21.10 – 20.55 (m), 20.25 (s).

HRMS (m/z): [M+H⁺] calcd for C₂₆H₃₀NO₃: 404.22; found, 404.2218

TLC: R_f = 0.3 (80:20 petroleum ether:EtOAc).

Bromo substrate scope:



Methyl 2-(4-(4-(diisopropylcarbamoyl)-7-methoxynaphthalen-1-yl)phenyl)acetate:

Compound **7a** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish liquid.

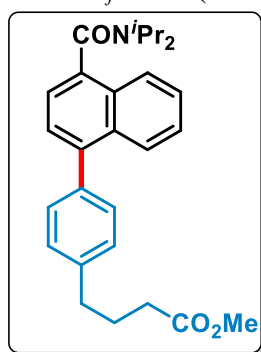
Yield: 61%

¹H NMR (500 MHz, CDCl₃) δ 7.84 (d, J = 9.1 Hz, 1H), 7.50 (d, J = 8.0 Hz, 2H), 7.43 (d, 2H), 7.36 (d, J = 7.2 Hz, 1H), 7.26 (s, 1H), 7.23 (d, J = 7.2 Hz, 1H), 7.21 (d, J = 9.1, 2.6 Hz, 1H), 3.79 (s, 3H), 3.78 (s, 3H), 3.76 (s, 2H), 3.73 (dd, J = 11.3, 6.9 Hz, 1H), 3.66 – 3.59 (m, J = 13.6, 6.8 Hz, 1H), 1.75 (d, J = 6.8 Hz, 3H), 1.68 (d, J = 6.8 Hz, 4H), 1.15 (d, J = 6.6 Hz, 3H), 1.10 (d, J = 6.7 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 172.07 (s), 170.29 (s), 157.93 (s), 139.52 (s), 138.81 (s), 136.11 (s), 133.06 (d, J = 4.4 Hz), 130.04 (s), 129.32 (s), 127.07 (s), 126.83 (s), 125.33 (s), 119.41 (s), 118.81 (s), 105.01 (s), 55.24 (s), 52.14 (s), 51.11 (s), 46.01 (s), 40.90 (s), 20.92 (s), 20.76 – 20.44 (m).

HRMS (m/z): [M+K⁺] calcd for C₂₇H₃₁N₂O₄: 472.1895; found, 472.1885

TLC: R_f = 0.2 (80:20 petroleum ether:EtOAc).



Methyl 4-(4-(4-(diisopropylcarbamoyl)naphthalen-1-yl)phenyl)butanoate: Compound **7b**

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish liquid.

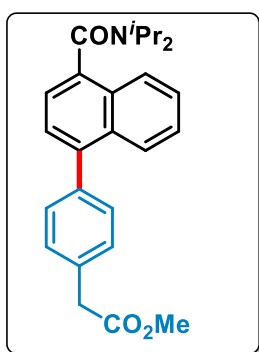
Yield: 59%

¹H NMR (400 MHz, CDCl₃) δ 7.91 (t, J = 8.1 Hz, 2H), 7.51 (t, 1H), 7.47 – 7.33 (m, 5H), 7.31 (d, J = 8.0 Hz, 2H), 3.80 – 3.71 (m, 1H), 3.70 (s, 3H), 3.66 – 3.58 (m, J = 13.6 Hz, 1H), 2.76 (t, J = 7.6 Hz, 2H), 2.41 (t, J = 7.1 Hz, 2H), 2.11 – 2.01 (m, 2H), 1.75 (d, J = 6.8 Hz, 3H), 1.67 (d, J = 6.8 Hz, 3H), 1.12 (d, J = 6.7 Hz, 3H), 1.09 (d, J = 6.6 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 173.96 (s), 170.24 (s), 140.58 (s), 140.41 (s), 138.08 (s), 136.07 (s), 131.87 (s), 129.99 (d, J = 17.6 Hz), 128.41 (s), 126.56 – 126.13 (m), 125.19 (s), 121.62 (s), 51.57 (s), 51.13 (s), 46.03 (s), 34.89 (s), 33.47 (s), 29.68 (s), 26.48 (s), 20.92 (s), 20.78 – 20.58 (m).

HRMS (m/z): [M+Na⁺] calcd for C₂₈H₃₃N₂O₄: 436.2270; found, 436.2271

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



Methyl 2-(4-(4-(diisopropylcarbamoyl)naphthalen-1-yl)phenyl)acetate: Compound **7c** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish liquid.

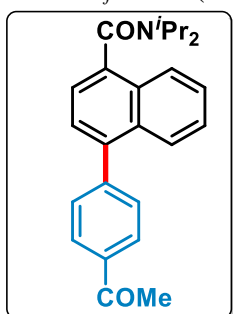
Yield: 63%

$^1\text{H NMR}$ (500 MHz, CDCl_3) δ 7.95 – 7.85 (m, 2H), 7.51 (t, $J = 7.5$ Hz, 1H), 7.48 – 7.39 (m, 5H), 7.35 (dt, $J = 14.4, 6.7$ Hz, 2H), 3.84 – 3.67 (m, 6H), 3.67 – 3.59 (m, 1H), 1.75 (d, $J = 6.7$ Hz, 3H), 1.66 (d, $J = 9.7$ Hz, 3H), 1.13 (d, 3H), 1.08 (d, $J = 9.8$ Hz, 3H).

$^{13}\text{C NMR}$ (126 MHz, CDCl_3) δ 172.07 (s), 170.29 (s), 157.93 (s), 139.52 (s), 138.81 (s), 136.11 (s), 133.06 (d, $J = 4.4$ Hz), 130.04 (s), 129.32 (s), 127.07 (s), 126.83 (s), 125.33 (s), 119.41 (s), 118.81 (s), 105.01 (s), 55.24 (s), 52.14 (s), 51.11 (s), 46.01 (s), 40.90 (s), 20.92 (s), 20.76 – 20.44 (m).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{26}\text{H}_{30}\text{NO}_3$: 404.2181; found, 404.2178

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



4-(4-acetylphenyl)-N,N-diisopropyl-1-naphthamide: Compound **7d** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid .

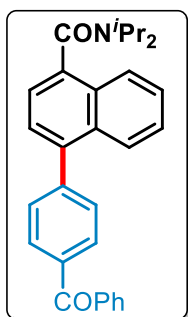
Yield: 65%

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.09 (d, $J = 8.2$ Hz, 1H), 7.93 (d, $J = 8.2$ Hz, 1H), 7.85 (d, $J = 8.4$ Hz, 1H), 7.60 (d, $J = 8.1$ Hz, 1H), 7.54 (t, $J = 7.2$ Hz, 1H), 7.46 (t, $J = 7.3$ Hz, 1H), 7.39 (q, $J = 7.2$ Hz, 1H), 3.78 – 3.68 (m, 1H), 3.63 (dt, $J = 13.5, 6.8$ Hz, 1H), 2.69 (s, 1H), 1.75 (d, $J = 6.8$ Hz, 1H), 1.67 (d, $J = 6.8$ Hz, 2H), 1.13 (d, $J = 6.6$ Hz, 1H), 1.09 (d, $J = 6.7$ Hz, 1H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 197.84 (s), 169.91 (s), 145.38 (s), 139.26 (s), 136.93 (s), 136.12 (s), 131.43 (s), 130.31 (s), 129.92 (s), 128.38 (s), 126.76 (s), 126.33 (s), 125.99 (s), 125.38 (s), 121.55 (s), 51.17 (s), 46.09 (s), 26.71 (s), 20.90 (s), 20.74 (s), 20.68 (s).

HRMS (m/z): $[M+Na^+]$ calcd for $C_{23}H_{24}N_2NaO_3$: 399.1679; found, 399.1679

TLC: $R_f = 0.4$ (80:20 petroleum ether:EtOAc).



4-(4-benzoylphenyl)-*N,N*-diisopropyl-1-naphthamide: Compound **7e** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish liquid.

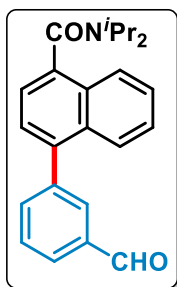
Yield: 59%

1H NMR (400 MHz, $CDCl_3$) δ 8.04 – 7.84 (m, 6H), 7.63 (dd, $J = 7.8, 6.0$ Hz, 3H), 7.57 – 7.46 (m, 4H), 7.42 (dd, $J = 20.6, 7.2$ Hz, 2H), 3.79 – 3.67 (m, 1H), 3.69 – 3.59 (m, $J = 13.5, 6.8$ Hz, 1H), 1.77 – 1.74 (d, $J = 6.8$ Hz, 3H), 1.68 (d, $J = 6.8$ Hz, 3H), 1.09 (dd, 6H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 196.45 (s), 169.96 (s), 144.75 (s), 139.36 (s), 137.63 (s), 136.89 (s), 136.57 (s), 132.50 (s), 131.49 (s), 130.05 (dd, $J = 17.3, 11.5$ Hz), 128.36 (s), 126.77 (s), 126.40 (s), 126.07 (s), 125.37 (s), 121.58 (s), 51.19 (s), 46.10 (s), 20.91 (s), 20.71 (d, $J = 7.6$ Hz).

HRMS (m/z): $[M+H^+]$ calcd for $C_{30}H_{30}NO_2$: 436.2270; found, 436.2271

TLC: $R_f = 0.2$ (80:20 petroleum ether:EtOAc).



4-(3-formylphenyl)-*N,N*-diisopropyl-1-naphthamide: Compound **7f** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: yellowish liquid.

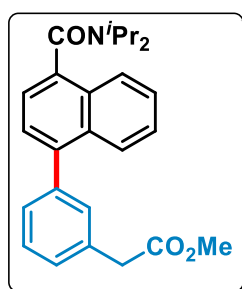
Yield: 57%

1H NMR (400 MHz, $CDCl_3$) δ 10.12 (s, 1H), 8.01 (s, 1H), 7.97 (d, $J = 7.7$ Hz, 1H), 7.93 (d, $J = 8.2$ Hz, 1H), 7.81 (d, $J = 8.3$ Hz, 1H), 7.77 (d, $J = 7.5$ Hz, 1H), 7.68 (t, $J = 7.6$ Hz, 1H), 7.54 (t, 1H), 7.47 (t, 1H), 7.40 (dd, $J = 14.1, 7.1$ Hz, 2H), 3.77 – 3.67 (m, 1H), 3.68 – 3.59 (m, $J = 13.7, 6.9$ Hz, 1H), 1.75 (d, $J = 6.8$ Hz, 3H), 1.68 (d, $J = 6.8$ Hz, 3H), 1.12 (d, $J = 6.7$ Hz, 3H), 1.09 (d, $J = 6.6$ Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 192.18 (s), 136.61 (s), 136.01 (s), 131.58 (s), 131.29 (s), 129.09 (s), 128.66 (s), 126.82 (d, $J = 7.4$ Hz), 126.52 (s), 125.87 (s), 125.38 (d, $J = 13.0$ Hz), 121.62 (s), 51.19 (s), 46.13 (s), 21.18 – 20.54 (m).

HRMS (m/z): $[M+H^+]$ calcd for $C_{24}H_{26}NO_2$: 360.1919; found, 360.1924

TLC: $R_f = 0.3$ (80:20 petroleum ether:EtOAc).



methyl 2-(3-(4-(diisopropylcarbamoyl)naphthalen-1-yl)phenyl)acetate: Compound **7g** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow liquid.

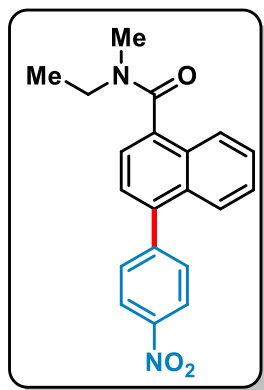
Yield: 53%

^1H NMR (400 MHz, CDCl_3) δ 7.90 (t, $J = 8.2$ Hz, 2H), 7.51 (t, $J = 7.6$ Hz, 1H), 7.48 – 7.43 (m, 2H), 7.40 (d, $J = 8.2$ Hz, 3H), 7.35 (d, $J = 6.9$ Hz, 2H), 3.79 – 3.66 (m, 6H), 3.62 (dt, $J = 13.6, 6.9$ Hz, 1H), 1.75 (d, $J = 6.8$ Hz, 3H), 1.67 (d, $J = 6.8$ Hz, 3H), 1.16 – 1.10 (m, 3H), 1.08 (d, $J = 6.7$ Hz, 3H).

^{13}C NMR (126 MHz, CDCl_3) δ 172.07 (s), 170.29 (s), 157.93 (s), 139.52 (s), 138.81 (s), 136.11 (s), 133.06 (d, $J = 4.4$ Hz), 130.04 (s), 129.32 (s), 127.07 (s), 126.83 (s), 125.33 (s), 119.41 (s), 118.81 (s), 105.01 (s), 55.24 (s), 52.14 (s), 51.11 (s), 46.01 (s), 40.90 (s), 20.92 (s), 20.76 – 20.44 (m).

HRMS (m/z): $[\text{M}+\text{Na}^+]$ calcd for $\text{C}_{28}\text{H}_{33}\text{NNaO}_4$: 436.2270; found, 436.2271

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



N-ethyl-N-methyl-4-(4-nitrophenyl)-1-naphthamide: Compound **8a** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

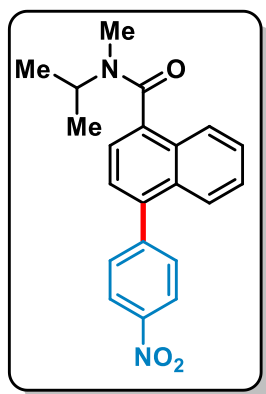
Yield: 73%

^1H NMR (500 MHz, DMSO) δ 8.95 (d, $J = 8.6$ Hz, 3H), 8.38 (dd, $J = 19.4, 8.8$ Hz, 8H), 8.31 (s, 2H), 8.21 (d, $J = 7.4$ Hz, 3H), 8.08 (d, $J = 8.9$ Hz, 2H), 7.79 (t, $J = 9.1$ Hz, 9H), 7.74 – 7.68 (m, 3H), 7.63 – 7.55 (m, 6H), 3.38 (dd, $J = 11.9, 4.9$ Hz, 9H), 1.21 – 1.14 (m, 4H), 1.09 (t, $J = 7.0$ Hz, 9H).

^{13}C NMR (126 MHz, DMSO) δ 168.96 (s), 147.60 (s), 146.76 (s), 142.19 (s), 131.63 (s), 131.37 (d, $J = 18.2$ Hz), 129.46 (s), 129.19 (s), 128.15 (s), 127.51 (s), 126.68 (s), 126.52 (s), 126.09 (s), 124.71 (s), 124.17 (s), 79.64 (s), 65.39 (s), 15.63 (s).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{20}\text{H}_{19}\text{N}_2\text{O}_3$: 335.1351; found, 335.1361

TLC: $R_f = 0.1$ (60:40 petroleum ether:EtOAc).



N-isopropyl-N-methyl-4-(4-nitrophenyl)-1-naphthamide: Compound **8b** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid .

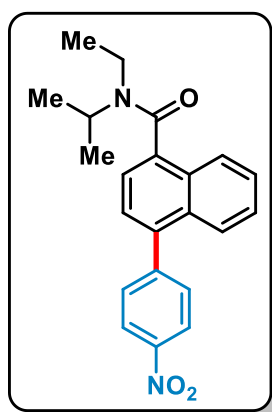
Yield: 79%

$^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.35 (dd, $J = 8.8, 1.5$ Hz, 2H), 7.91 – 7.82 (m, 1H), 7.78 (d, $J = 8.5$ Hz, 1H), 7.70 – 7.62 (m, 2H), 7.59 – 7.52 (m, 1H), 7.51 – 7.47 (m, 1H), 7.46 – 7.40 (m, 2H), 3.90 – 3.63 (m, $J = 6.6$ Hz, 1H), 3.12 (s, 3H), 1.19 – 1.05 (m, 6H).

$^{13}\text{C NMR}$ (126 MHz, CDCl_3) δ 170.07 (s), 147.29 (s), 147.08 (s), 131.16 (s), 130.88 (s), 129.97 (s), 127.37 – 127.01 (m), 126.44 (d, $J = 6.5$ Hz), 125.80 – 125.42 (m), 125.18 (s), 123.62 (s), 122.85 (s), 122.23 (s), 49.93 (s), 25.71 (s), 20.61 (s), 20.20 (s).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}_3$: 349.1507; found, 349.1510

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



N-ethyl-N-isopropyl-4-(4-nitrophenyl)-1-naphthamide: Compound **8c** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid .

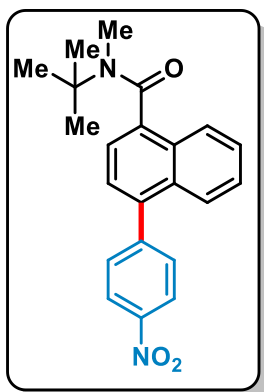
Yield: 73%

$^1\text{H NMR}$ (500 MHz, CDCl_3) δ 8.36 (d, 8H), 7.89 (dd, $J = 25.4, 8.3$ Hz, 4H), 7.79 (d, $J = 8.5$ Hz, 4H), 7.66 (d, $J = 8.5$ Hz, 8H), 7.56 (t, 4H), 7.51 – 7.46 (m, 5H), 7.45 – 7.39 (m, 7H), 3.88 – 3.72 (m, $J = 6.6$ Hz, 3H), 3.71 – 3.60 (m, $J = 14.0, 7.0$ Hz, 3H), 3.58 – 3.45 (m, 3H), 1.45 (t, $J = 13.5, 6.5$ Hz, 11H), 1.14 (d, $J = 6.9$ Hz, 9H), 1.11 (d, $J = 6.7$ Hz, 9H).

^{13}C NMR (126 MHz, CDCl_3) δ 169.64 (s), 147.17 (d, $J = 16.6$ Hz), 138.28 (s), 136.44 (s), 131.10 (s), 130.86 (s), 129.98 (s), 127.10 (d, $J = 11.4$ Hz), 126.42 (s), 125.58 (s), 125.35 (s), 123.57 (s), 122.10 (s), 50.40 (s), 35.40 (s), 21.32 (s), 21.12 (s), 14.86 (s).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{22}\text{H}_{23}\text{N}_2\text{O}_3$:363.1664; found, 363.1675

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



N-(*tert*-butyl)-*N*-methyl-4-(4-nitrophenyl)-1-naphthamide: Compound **8d** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid .

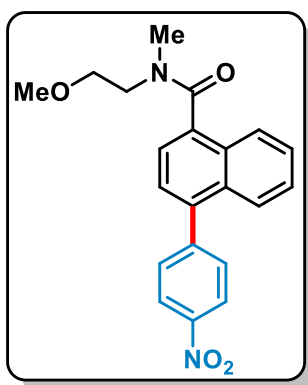
Yield: 85%

^1H NMR (500 MHz, CDCl_3) δ 8.37 (d, $J = 8.4, 2.9$ Hz, 2H), 7.94 (d, $J = 8.7$ Hz, 1H), 7.78 (d, $J = 8.5$ Hz, 1H), 7.66 (d, $J = 8.9, 2.2$ Hz, 2H), 7.57 (t, $J = 7.6$ Hz, 1H), 7.52 – 7.47 (m, 1H), 7.47 – 7.39 (m, $J = 11.5, 5.4$ Hz, 2H), 2.83 (s, 3H), 1.65 (s, 9H).

^{13}C NMR (126 MHz, CDCl_3) δ 171.25 (s), 147.25 (s), 138.23 (s), 131.05 (d, $J = 29.0$ Hz), 130.71 – 130.66 (m), 129.69 (s), 127.10 (d, $J = 18.6$ Hz), 126.60 (s), 125.66 (s), 125.36 (s), 123.62 (s), 122.65 (s), 57.25 (s), 28.17 (s).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{22}\text{H}_{23}\text{N}_2\text{O}_3$:363.1664; found, 363.1675

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



N-(2-methoxyethyl)-*N*-methyl-4-(4-nitrophenyl)-1-naphthamide: Compound **8e** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow liquid .

Yield: 87%

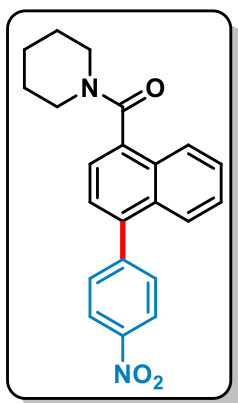
^1H NMR (500 MHz, CDCl_3) δ 8.37 (d, 8H), 7.92 (d, $J = 21.1, 8.3$ Hz, 4H), 7.78 (t, $J = 7.8$ Hz, 4H), 7.66 (d, $J = 8.6$ Hz, 8H), 7.60 – 7.53 (m, 4H), 7.52 – 7.46 (m, $J = 12.3, 6.8, 1.5$ Hz, 8H),

7.45 – 7.39 (m, $J = 8.4, 7.3$ Hz, 4H), 3.88 – 3.68 (m, $J = 22.0$ Hz, 2H), 3.48 (s, 2H), 3.43 – 3.36 (m, $J = 4.7$ Hz, 2H), 3.34 – 3.16 (m, 2H), 2.93 (s, 2H).

^{13}C NMR (126 MHz, CDCl_3) δ 170.52 (s), 147.30 (s), 147.09 (s), 138.71 (s), 135.81 (s), 135.45 (s), 131.09 (d, $J = 7.7$ Hz), 130.89 (s), 130.06 (s), 129.71 (s), 127.36 – 126.95 (m), 126.41 (s), 126.25 (s), 125.60 (dd, $J = 21.9, 12.2$ Hz), 123.55 (d, $J = 16.0$ Hz), 123.10 (s), 70.59 (s), 58.86 (s), 47.06 (s), 38.25 (s).

HRMS (m/z): $[\text{M}+\text{Na}^+]$ calcd for $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}_4$: 365.1457; found, 365.1462

TLC: $R_f = 0.1$ (60:40 petroleum ether:EtOAc).



4-(4-nitrophenyl)naphthalen-1-yl(piperidin-1-yl)methanone: Compound **8f** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow liquid.

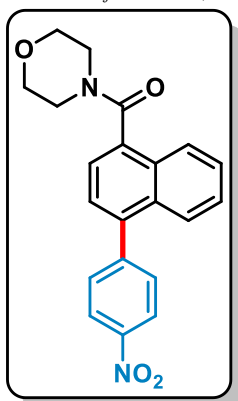
Yield: 85%

^1H NMR (500 MHz, CDCl_3) δ 8.36 (t, $J = 6.7$ Hz, 2H), 7.93 (d, $J = 8.3$ Hz, 1H), 7.78 (d, $J = 8.6$ Hz, 1H), 7.65 (d, $J = 8.6$ Hz, 2H), 7.57 (t, $J = 7.2$ Hz, 1H), 7.50 (t, $J = 10.9, 3.6$ Hz, 1H), 7.47 (d, $J = 7.2$ Hz, 1H), 7.42 (d, $J = 7.2$ Hz, 1H), 3.97 – 3.84 (m, 2H), 3.26 – 3.18 (m, 2H), 1.82 – 1.74 (m, 2H), 1.74 – 1.67 (m, $J = 11.2, 5.6$ Hz, 2H), 1.46 (tt, $J = 14.0, 6.9$ Hz, 2H).

^{13}C NMR (126 MHz, CDCl_3) δ 168.78 (s), 147.31 (s), 147.11 (s), 138.61 (s), 135.73 (s), 131.11 (s), 130.88 (s), 129.96 (s), 128.31 (s), 127.17 (d, $J = 2.1$ Hz), 126.40 (s), 125.60 (d, $J = 13.2$ Hz), 124.35 (s), 123.63 (s), 122.76 (s), 48.36 (s), 42.73 (s), 26.72 (s), 25.80 (s), 24.51 (s).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{22}\text{H}_{21}\text{N}_2\text{O}_3$: 361.1508; found, 361.1511

TLC: $R_f = 0.1$ (60:40 petroleum ether:EtOAc).



Morpholino(4-(4-nitrophenyl)naphthalen-1-yl)methanone: Compound **8g** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow liquid.

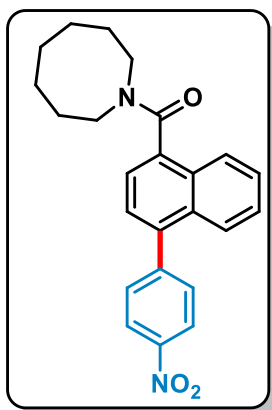
Yield: 96%

¹H NMR (500 MHz, CDCl₃) δ 8.35 (d, $J = 12.5, 5.4$ Hz, 2H), 7.93 (d, $J = 12.3$ Hz, 1H), 7.79 (d, $J = 8.4$ Hz, 1H), 7.64 (d, $J = 8.6$ Hz, 2H), 7.60 (t, 1H), 7.55 – 7.47 (m, $J = 7.2, 6.4, 2.3$ Hz, 2H), 7.44 (d, $J = 7.2$ Hz, 1H), 4.08 – 4.01 (m, $J = 12.8, 4.5$ Hz, 1H), 3.96 – 3.81 (m, $J = 16.2, 9.4, 4.9$ Hz, 3H), 3.63 – 3.50 (m, 2H), 3.35 – 3.22 (m, 2H).

¹³C NMR (126 MHz, CDCl₃) δ 169.02 (s), 147.34 (s), 146.82 (s), 139.10 (s), 134.47 (s), 131.09 (s), 130.82 (s), 129.86 (s), 128.28 (s), 127.37 (d, $J = 13.6$ Hz), 126.31 (s), 125.80 (s), 125.18 (s), 124.31 (s), 123.63 (s), 123.21 (s), 66.97 (d, $J = 14.0$ Hz), 47.60 (s), 42.19 (s).

HRMS (m/z): [M+H⁺] calcd for C₂₁H₁₉N₂O₄: 363.1301; found, 363.1310

TLC: R_f = 0.1 (50:50 petroleum ether:EtOAc).



azocan-1-yl(4-(4-nitrophenyl)naphthalen-1-yl)methanone: Compound **8h** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow liquid.

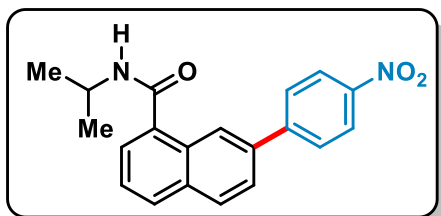
Yield: 75%

¹H NMR (500 MHz, CDCl₃) δ 8.35 (t, $J = 7.8$ Hz, 2H), 7.91 (d, $J = 8.4$ Hz, 1H), 7.78 (d, $J = 8.4$ Hz, 1H), 7.65 (d, $J = 8.4$ Hz, 2H), 7.57 (t, $J = 7.5$ Hz, 1H), 7.48 (dd, $J = 14.7, 7.3$ Hz, 2H), 7.42 (d, $J = 7.2$ Hz, 1H), 4.01 – 3.88 (m, 1H), 3.82 – 3.71 (m, 1H), 3.38 – 3.19 (m, 2H), 2.07 – 1.82 (m, $J = 22.7, 17.3, 4.3$ Hz, 3H), 1.80 – 1.61 (m, 4H), 1.55 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.27 (s), 147.25 (s), 147.09 (s), 138.44 (s), 136.21 (s), 131.09 (s), 130.86 (s), 129.84 (s), 128.28 (s), 127.13 (d, $J = 2.3$ Hz), 126.32 (s), 125.58 (d, $J = 4.1$ Hz), 124.30 (s), 123.58 (s), 122.74 (s), 49.45 (s), 45.73 (s), 29.28 (s), 27.90 (s), 27.53 (s), 26.40 (s).

HRMS (m/z): [M+H⁺] calcd for C₂₄H₂₅N₂O₃: 398.1820; found, 398.1825

TLC: R_f = 0.1 (80:20 petroleum ether:EtOAc).



N-isopropyl-7-(4-nitrophenyl)-1-naphthamide: Compound **9a** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

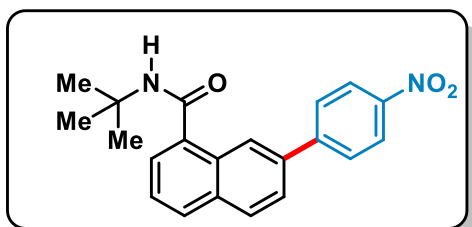
Yield: 75%

¹H NMR (500 MHz, CDCl₃) δ 8.67 (s, 1H), 8.35 (d, *J* = 8.8 Hz, 2H), 8.01 (d, *J* = 6.1 Hz, 1H), 7.98 (d, *J* = 7.1 Hz, 1H), 7.90 (d, *J* = 8.8 Hz, 2H), 7.81 (d, *J* = 8.5, 1.7 Hz, 1H), 7.66 (t, *J* = 6.7 Hz, 2H), 7.54 (t, 1H), 5.94 (d, *J* = 7.8 Hz, 1H), 4.48 – 4.44 (m, 1H), 1.36 (d, 6H).

¹³C NMR (126 MHz, CDCl₃) δ 168.47 (s), 147.38 (s), 147.18 (s), 137.12 (s), 135.13 (s), 133.48 (s), 130.89 (d, *J* = 13.5 Hz), 130.35 (s), 129.33 (s), 128.20 (s), 125.75 – 125.40 (m), 124.60 (s), 124.16 (s), 123.64 (d, *J* = 3.5 Hz), 42.10 (s), 22.89 (s).

HRMS (*m/z*): [M+Na⁺] calcd for C₂₀H₁₉N₂O₃: 335.1335; found, 335.1337

TLC: R_f = 0.1 (70:30 petroleum ether:EtOAc).



***N*-(tert-butyl)-7-(4-nitrophenyl)-1-naphthamide:** Compound **9b** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

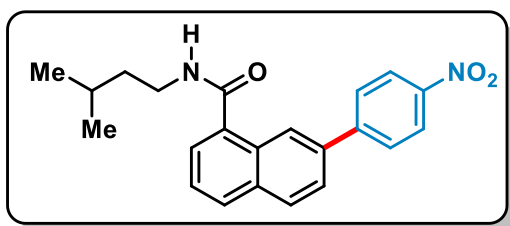
Yield: 87%

¹H NMR (500 MHz, CDCl₃) δ 8.59 (s, 1H), 8.33 (d, *J* = 8.7 Hz, 2H), 7.98 (d, *J* = 8.5 Hz, 1H), 7.94 (d, *J* = 8.1 Hz, 1H), 7.86 (d, *J* = 8.7 Hz, 2H), 7.77 (d, *J* = 8.4 Hz, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.50 (t, 1H), 5.88 (s, 1H), 1.55 (s, 9H).

¹³C NMR (126 MHz, CDCl₃) δ 168.84 (s), 147.47 (s), 147.17 (s), 137.04 (s), 136.17 (s), 133.45 (s), 130.28 (s), 129.98 (s), 129.31 (s), 128.15 (s), 125.71 (s), 125.43 (s), 125.23 (s), 124.52 (s), 124.20 (s), 52.21 (s), 28.92 (s).

HRMS (*m/z*): [M+H⁺] C₂₁H₂₁N₂O₃: 349.1547; found, 349.1551.

TLC: R_f = 0.2 (60:40 petroleum ether:EtOAc).



***N*-isopentyl-7-(4-nitrophenyl)-1-naphthamide:** Compound **9c** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

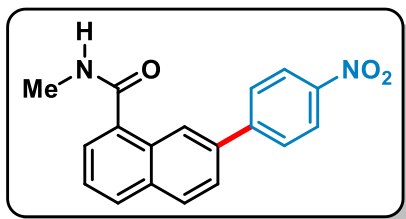
Yield: 65%

¹H NMR (500 MHz, CDCl₃) δ 8.65 (s, 1H), 8.32 (d, *J* = 8.8 Hz, 2H), 7.99 (d, *J* = 8.5 Hz, 1H), 7.96 (d, *J* = 8.3 Hz, 1H), 7.87 (d, *J* = 8.8 Hz, 2H), 7.79 (d, *J* = 8.5, 1.8 Hz, 1H), 7.64 (t, *J* = 6.8, 3.8 Hz, 1H), 7.51 (t, *J* = 8.0, 7.2 Hz, 1H), 6.02 (s, 1H), 3.61 – 3.56 (m, 2H), 1.78 – 1.72 (m, 1H), 1.58 – 1.54 (m, 2H), 0.99 (d, *J* = 6.6 Hz, 6H).

¹³C NMR (126 MHz, CDCl₃) δ 169.21 (s), 147.50 – 147.41 (m), 147.27 (d, *J* = 22.2 Hz), 137.16 (s), 135.09 (s), 133.49 (s), 130.38 (d, *J* = 4.7 Hz), 129.32 (s), 128.23 (s), 125.59 (d, *J* = 16.2 Hz), 124.66 (s), 124.13 (s), 38.50 (d, *J* = 11.6 Hz), 25.99 (s), 22.48 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₂H₂₃N₂O₃: 363.1610; found, 362.1607

TLC: R_f = 0.1 (70:30 petroleum ether:EtOAc).



***N*-methyl-7-(4-nitrophenyl)-1-naphthamide:** Compound **9d** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: white solid.

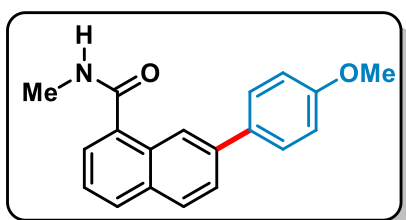
Yield: 73%

¹H NMR (400 MHz, CDCl₃) δ 8.67 (s, 1H), 8.33 (d, 2H), 7.98 (t, *J* = 10.6, 6.4 Hz, 2H), 7.88 (d, 2H), 7.79 (d, *J* = 8.5, 1.8 Hz, 1H), 7.66 (d, *J* = 7.1, 1.1 Hz, 1H), 7.52 (d, 1H), 6.08 (s, 1H), 3.13 (d, *J* = 4.9 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 169.91 (s), 147.39 (s), 137.26 (s), 134.85 (s), 133.54 (s), 130.54 (s), 129.33 (s), 128.30 (s), 127.09 (s), 126.42 (s), 125.63 (s), 125.58 (s), 125.44 (s), 124.84 (s), 124.75 (s), 124.15 (s), 26.96 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₁₈H₁₅N₂O₃: 307.1025; found, 307.1030

TLC: R_f = 0.1 (50:50 petroleum ether:EtOAc).



7-(4-methoxyphenyl)-*N*-methyl-1-naphthamide: Compound **9e** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

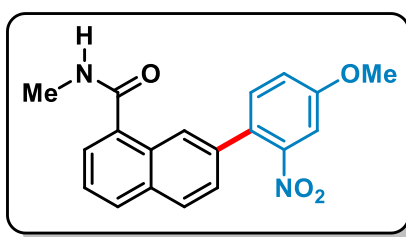
Yield: 51%

¹H NMR (500 MHz, CDCl₃) δ 7.95 (d, *J* = 8.1 Hz, 1H), 7.86 (d, *J* = 8.1 Hz, 1H), 7.62 (d, *J* = 6.9 Hz, 1H), 7.53 (t, *J* = 7.6 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H), 7.43 (d, *J* = 7.0 Hz, 1H), 7.31 (d, *J* = 13.9 Hz, 2H), 6.96 (d, *J* = 8.2 Hz, 2H), 5.32 (d, *J* = 26.1 Hz, 1H), 3.86 (s, 3H), 2.35 (d, *J* = 7.3 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 170.86 (s), 158.72 (s), 139.09 (s), 135.44 (s), 134.91 (d, *J* = 6.5 Hz), 130.83 (s), 130.60 (s), 130.13 (s), 128.02 (d, *J* = 4.8 Hz), 125.74 (s), 124.97 (s), 113.50 (s), 55.33 (s), 26.28 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₁₉H₁₈NO₂: 292.1259; found, 292.1257

TLC: R_f = 0.1 (60:40 petroleum ether:EtOAc).



7-(4-methoxy-2-nitrophenyl)-N-methyl-1-naphthamide: Compound **9f** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

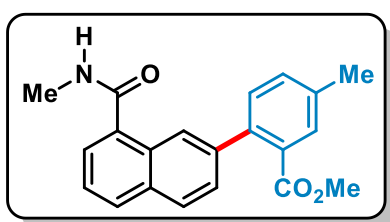
Yield: 83%

¹H NMR (500 MHz, CDCl₃) δ 8.24 (s, 1H), 7.93 (d, *J* = 8.3 Hz, 1H), 7.87 (d, *J* = 8.4 Hz, 1H), 7.63 (d, *J* = 7.0 Hz, 1H), 7.47 (t, *J* = 7.9 Hz, 2H), 7.44 (d, *J* = 2.6 Hz, 1H), 7.41 (d, *J* = 8.4, 1.6 Hz, 1H), 7.18 (d, 1H), 6.07 (s, 1H), 3.92 (s, 3H), 3.07 (d, *J* = 6.5 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.04 (s), 159.32 (s), 136.13 (s), 134.67 (s), 133.34 (s), 132.88 (s), 130.38 (s), 130.08 (s), 128.56 (d, *J* = 4.8 Hz), 126.67 (s), 125.52 (s), 125.25 (s), 124.62 (s), 118.91 (s), 109.26 (s), 55.97 (s), 26.86 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₁₉H₁₇N₂O₄: 337.1119; found, 337.1121

TLC: R_f = 0.1 (60:40 petroleum ether:EtOAc).



Methyl 5-methyl-2-(8-(methylcarbamoyl)naphthalen-2-yl)benzoate: Compound **9g** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

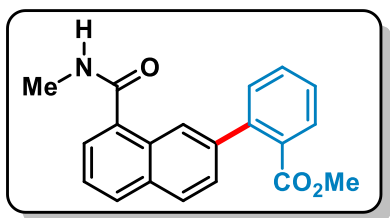
Yield: 63%

¹H NMR (500 MHz, CDCl₃) δ 7.95 (d, *J* = 8.2, 1.0 Hz, 1H), 7.86 (d, *J* = 8.2, 0.9 Hz, 1H), 7.73 – 7.65 (m, 2H), 7.50 (t, *J* = 8.0, 7.3 Hz, 1H), 7.45 (t, *J* = 11.7, 5.8 Hz, 1H), 7.33 (d, *J* = 7.8, 1.0 Hz, 1H), 7.14 (d, *J* = 12.1 Hz, 2H), 6.29 (s, 1H), 3.67 (s, 3H), 2.43 (d, *J* = 8.7 Hz, 3H), 2.14 (d, *J* = 4.8 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.75 (s), 139.64 (s), 137.82 (s), 137.00 (s), 135.27 (s), 134.64 (s), 132.13 (s), 131.27 (s), 130.72 (s), 129.84 (t, *J* = 10.9 Hz), 128.45 (s), 127.54 (s), 125.28 (d, *J* = 16.3 Hz), 52.26 (s), 25.96 (s), 20.95 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₁H₂₀NO₃: 334.1361; found, 334.1364

TLC: R_f = 0.1 (70:30 petroleum ether:EtOAc).



Methyl 2-(8-(methylcarbamoyl)naphthalen-2-yl)benzoate: Compound **9h** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

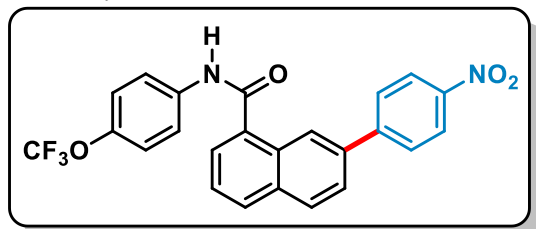
Yield: 86%

¹H NMR (500 MHz, CDCl₃) δ 7.97 (d, 1H), 7.88 (t, *J* = 6.8 Hz, 2H), 7.70 (d, *J* = 6.8 Hz, 1H), 7.55 – 7.50 (m, 2H), 7.48 (t, 1H), 7.41 (td, *J* = 7.7, 1.0 Hz, 1H), 7.28 (d, *J* = 6.4 Hz, 1H), 7.18 (d, 1H), 6.29 (s, 1H), 3.69 (s, 3H), 2.13 (d, *J* = 4.8 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.67 (s), 142.45 (s), 137.85 (s), 135.27 (s), 134.66 (s), 131.44 (d, *J* = 3.9 Hz), 130.78 (s), 130.12 (s), 129.86 (s), 129.28 (s), 128.62 (d, *J* = 11.0 Hz), 127.42 (s), 127.23 (s), 125.34 (d, *J* = 6.0 Hz), 52.35 (s), 25.92 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₀H₁₈NO₃: 321.1237; found, 320.1232

TLC: R_f = 0.1 (70:30 petroleum ether:EtOAc).



7-(4-nitrophenyl)-N-(4-(trifluoromethoxy)phenyl)-1-naphthamide: Compound **9i** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

Yield: 64%

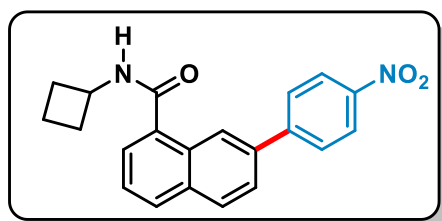
¹H NMR (500 MHz, CDCl₃) δ 8.69 (s, 1H), 8.30 (d, *J* = 8.7 Hz, 2H), 8.03 (dd, *J* = 8.4, 4.4 Hz, 2H), 7.88 – 7.83 (m, 3H), 7.83 – 7.80 (m, 2H), 7.73 (d, *J* = 8.5 Hz, 2H), 7.60 – 7.54 (m, 1H), 7.27 (d, *J* = 4.6 Hz, 1H).

¹³C NMR (126 MHz, CDCl₃) δ 167.22 (s), 147.20 (d, *J* = 16.8 Hz), 137.68 (s), 136.47 (s), 133.60 (s), 131.35 (s), 130.35 (s), 129.50 (s), 128.29 (s), 125.90 (d, *J* = 4.0 Hz), 125.56 (s), 124.36 (s), 124.16 (s), 122.00 (s), 121.32 (s).

¹⁹F NMR (471 MHz, CDCl₃) δ -58.08 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₄H₁₆F₃N₂O₃: 453.1017; found, 453.1020

TLC: R_f = 0.05 (80:20 petroleum ether:EtOAc).



N-cyclobutyl-7-(4-nitrophenyl)-1-naphthamide: Compound **9j** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

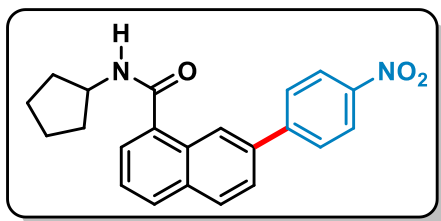
Physical State: Pale yellow liquid.

Yield: 76%

¹H NMR (500 MHz, CDCl₃) δ 8.64 (s, 1H), 8.32 (d, *J* = 8.8 Hz, 2H), 7.98 (d, *J* = 8.5 Hz, 1H), 7.95 (d, *J* = 8.3 Hz, 1H), 7.86 (d, *J* = 8.8 Hz, 2H), 7.78 (d, *J* = 8.5, 1.7 Hz, 1H), 7.64 (d, 2H), 7.52 – 7.48 (m, 1H), 6.24 (d, *J* = 7.7 Hz, 1H), 4.78 – 4.67 (m, 1H), 2.54 – 2.49 (m, 2H), 2.03 – 1.97 (m, 2H), 1.83 – 1.78 (m, 2H).

HRMS (*m/z*): [M+Na⁺] calcd for C₂₁H₁₉N₂O₃: 347.1351; found, 347.1349

TLC: R_f = 0.1 (80:20 petroleum ether:EtOAc).



***N*-cyclopentyl-7-(4-nitrophenyl)-1-naphthamide:** Compound **9k** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

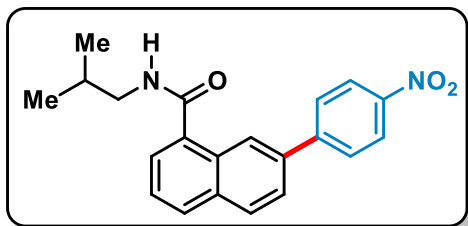
Yield: 71%

¹H NMR (500 MHz, CDCl₃) δ 8.65 (s, 1H), 8.33 (d, *J* = 8.7 Hz, 2H), 7.98 (d, *J* = 8.5 Hz, 1H), 7.96 (d, *J* = 8.2 Hz, 1H), 7.87 (d, *J* = 8.7 Hz, 2H), 7.78 (d, *J* = 8.5, 1.6 Hz, 1H), 7.64 (d, *J* = 6.9 Hz, 1H), 7.51 (t, 1H), 6.02 (s, 1H), 4.59 – 4.49 (m, 1H), 2.26 – 2.11 (m, *J* = 12.5, 6.0 Hz, 2H), 1.82 – 1.65 (m, *J* = 24.3, 12.4 Hz, 5H), 1.59 – 1.52 (m, 2H).

¹³C NMR (126 MHz, CDCl₃) δ 168.87 (s), 147.37 (s), 147.19 (s), 137.13 (s), 135.11 (s), 133.49 (s), 130.36 (s), 129.33 (s), 128.21 (s), 125.80 – 125.40 (m), 124.63 (s), 124.16 (s), 51.82 (s), 33.32 (s), 23.78 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₂H₂₁N₂O₃: 361.1306; found, 331.1307

TLC: R_f = 0.1 (80:20 petroleum ether:EtOAc).



***N*-isobutyl-7-(4-nitrophenyl)-1-naphthamide:** Compound **9l** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

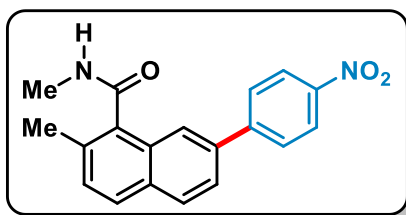
Yield:

¹H NMR (500 MHz, CDCl₃) δ 8.65 (s, 1H), 8.32 (d, *J* = 8.8 Hz, 2H), 7.98 (dd, *J* = 12.2, 8.4 Hz, 2H), 7.87 (d, *J* = 8.8 Hz, 2H), 7.79 (d, *J* = 8.5, 1.7 Hz, 1H), 7.67 (d, *J* = 6.2 Hz, 1H), 7.52 (t, 1H), 6.12 (s, 1H), 3.40 (t, *J* = 6.5 Hz, 2H), 2.03 – 1.91 (m, 1H), 1.08 – 1.00 (m, 6H).

¹³C NMR (126 MHz, CDCl₃) δ 169.33 (s), 147.35 (s), 147.19 (s), 137.18 (s), 135.19 (s), 133.50 (s), 130.40 (d, *J* = 5.4 Hz), 129.33 (s), 128.22 (s), 125.76 – 125.33 (m), 124.67 (s), 124.15 (s), 47.39 (s), 28.71 (s), 20.19 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₂₁H₂₁N₂O₃: 349.1510; found, 349.1512

TLC: R_f = 0.1 (60:40 petroleum ether:EtOAc).



***N*,2-dimethyl-7-(4-nitrophenyl)-1-naphthamide:** Compound **9m** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

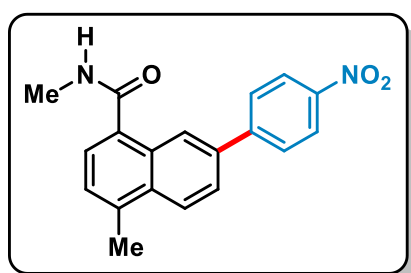
Yield:

¹H NMR (500 MHz, CDCl₃) δ 8.31 (d, *J* = 8.8 Hz, 2H), 8.01 (s, 1H), 7.91 (d, *J* = 8.5 Hz, 1H), 7.83 – 7.79 (m, 3H), 7.68 (d, *J* = 8.5, 1.7 Hz, 1H), 7.35 (d, *J* = 8.4 Hz, 1H), 5.91 (d, *J* = 4.4 Hz, 1H), 3.14 (d, 3H), 2.52 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.26 (s), 147.45 (s), 147.14 (s), 137.09 (s), 134.41 (s), 133.11 (s), 131.45 (s), 130.28 (s), 129.38 (s), 129.02 (s), 128.67 (s), 128.19 (s), 124.61 (s), 124.12 (s), 123.57 (s), 26.69 (s), 19.68 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₁₉H₁₇N₂O₃: 321.1193; found, 321.1197

TLC: R_f = 0.1 (60:40 petroleum ether:EtOAc).



***N*,4-dimethyl-7-(4-nitrophenyl)-1-naphthamide:** Compound **9n** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

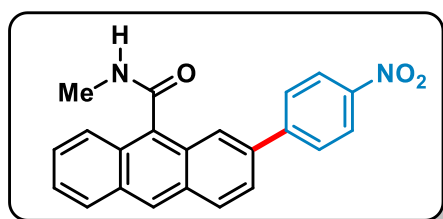
Yield:

¹H NMR (500 MHz, CDCl₃) δ 8.71 (s, 1H), 8.32 (d, 2H), 8.14 (d, *J* = 8.8 Hz, 1H), 7.89 (d, 2H), 7.82 (d, *J* = 8.8, 1.9 Hz, 1H), 7.55 (d, 1H), 7.34 (d, *J* = 7.2 Hz, 1H), 3.11 (d, *J* = 4.9 Hz, 3H), 2.75 (s, 3H).

¹³C NMR (126 MHz, CDCl₃) δ 170.15 (s), 147.32 (s), 137.47 (s), 136.69 (s), 133.16 (s), 132.68 (s), 130.55 (s), 128.22 (s), 126.29 (s), 125.46 (d, *J* = 1.9 Hz), 125.26 (d, *J* = 3.6 Hz), 124.13 (s), 26.95 (s), 19.73 (s).

HRMS (*m/z*): [M+H⁺] calcd for C₁₉H₁₇N₂O₃: 321.1193; found, 321.1197

TLC: R_f = 0.1 (80:20 petroleum ether:EtOAc).



***N*-methyl-2-(4-nitrophenyl)anthracene-9-carboxamide:** Compound **9o** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

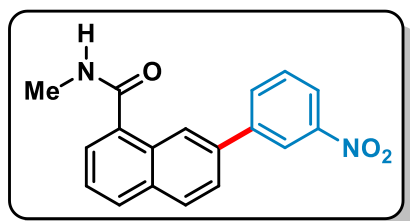
Yield:

¹H NMR (500 MHz, CDCl₃) δ 8.46 (s, 1H), 8.33 (d, 2H), 8.23 (s, 1H), 8.08 (d, *J* = 8.5 Hz, 1H), 8.05 – 7.96 (m, 2H), 7.86 (d, 3H), 7.70 (d, 1H), 7.58 – 7.46 (m, 3H), 6.35 (s, 1H), 3.25 (d, 2H).

^{13}C NMR (126 MHz, CDCl_3) δ 169.93 (s), 147.36–147.07 (m), 136.52 (s), 132.74 (s), 131.63 (s), 130.38 (s), 129.94 (s), 129.72 (s), 128.34–128.04 (m), 127.82 (s), 127.63 (s), 127.36 (s), 127.16 (s), 126.05 (s), 125.10 (s), 124.66 (s), 124.11 (d, $J = 14.5$ Hz), 38.33 (s), 34.91 (s), 26.99 (s).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{22}\text{H}_{17}\text{N}_2\text{O}_3$: 357.11 found, 357.1192

TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).



***N*-methyl-7-(3-nitrophenyl)-1-naphthamide:** Compound **9p** was prepared by general procedure B

Eluent: petroleum ether/ethyl acetate (80/20, v/v).

Physical State: Pale yellow solid.

Yield:

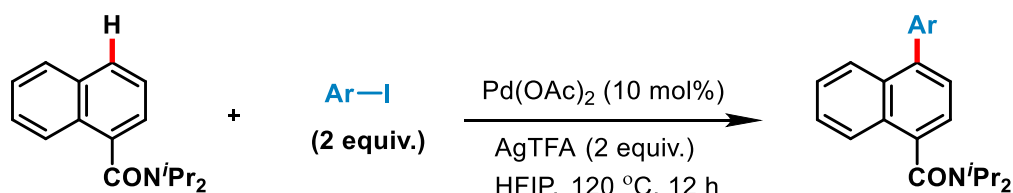
^1H NMR (500 MHz, CDCl_3) δ 8.62 (s, 1H), 8.55 (s, 1H), 8.23 (d, $J = 7.1$ Hz, 1H), 8.07 (d, $J = 7.7$ Hz, 1H), 7.98 (dd, $J = 13.2, 8.5$ Hz, 2H), 7.80 (d, 1H), 7.66 (d, $J = 7.8$ Hz, 2H), 7.51 (t, $J = 7.0$ Hz, 1H), 6.08 (s, 1H), 3.13 (d, $J = 4.9$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 169.96 (s), 137.26 (s), 134.75 (s), 133.69 (s), 133.34 (s), 130.53 (s), 129.81 (s), 129.36 (s), 127.82 (s), 125.62 (s), 125.42 (s), 124.26 (s), 122.31 (d, $J = 7.7$ Hz), 26.97 (s).

HRMS (m/z): $[\text{M}+\text{H}^+]$ calcd for $\text{C}_{18}\text{H}_{15}\text{N}_2\text{O}_3$: 307.1025; found, 307.1021

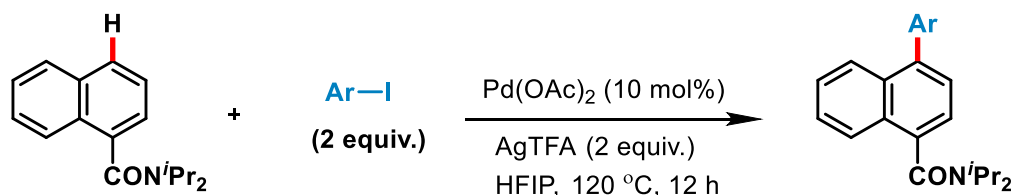
TLC: $R_f = 0.1$ (80:20 petroleum ether:EtOAc).

Kinetic Studies:



Procedure: In screw cap reaction tubes with previously placed stir bars were charged with α -naphthamide (0.05 mmol, 12.76 mg), palladium (II) acetate (10 mol%, 0.005 mmol, 1.12 mg).

Rate and order determination:



Let the rate of the reaction is as follows-

$$\text{So, Rate} = k. [\text{naphthamide}]^x [\text{aryliodide}]^y [\text{AgTFA}]^z \quad \text{-(1)}$$

Substrate : Aryl iodide (1:2)

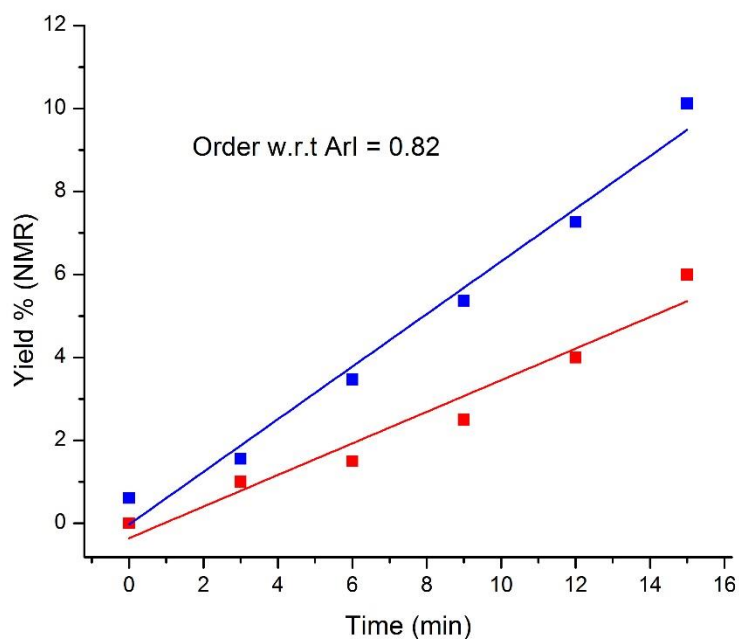
No.	Substrate mmol	Aryl iodide (2 eqv.) mmol	Pd(OAc) ₂ (mol%)	AgTFA (equiv.)
Run I	0.05	0.1	10	1.5

Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	3	1
3.	6	3
4.	9	5
5.	12	7
6.	15	10

Substrate : Aryl iodide (1:1)

No.	Substrate mmol	Aryl iodide (1 eqv.) mmol	Pd(OAc) ₂ (mol%)	AgTFA (equiv.)
Run II	0.05	0.05	10	1.5

Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	3	1
3.	6	1.5
4.	9	2.5
5.	12	4
6.	15	6



For run 1, initial rate = Rate₁

$$\text{So, Rate}_1 = k. [\text{naphthamide}]^x [\text{aryliodide}]^y [\text{AgTFA}]^z$$

$$\text{Or, } 0.667 \text{ (mmol}^{-1} \cdot \text{min}^{-1}) = [0.05]^x [0.1]^y [0.1]^z \quad \text{-(2)}$$

For run 2, initial rate = Rate₂

$$\text{So, Rate}_2 = k. [\text{naphthamide}]^x [\text{aryliodide}]^y [\text{AgTFA}]^z$$

$$\text{Or, } 0.38 \text{ (mmol}^{-1} \cdot \text{min}^{-1}) = [0.1]^x [0.1]^y [0.1]^z \quad \text{-(3)}$$

From eq. (2) and (3) we get,

$$\text{Rate}_2 / \text{Rate}_1 = [0.1 / 0.05]^x$$

$$\text{Or, } x = [\log(\text{Rate}_2) - \log(\text{Rate}_1)] / [\log(0.1) - \log(0.05)]$$

$$\text{Or, } x = [\log(0.667) - \log(0.381)] / [\log(0.1) - \log(0.05)]$$

$$\text{Or, } x = 0.82$$

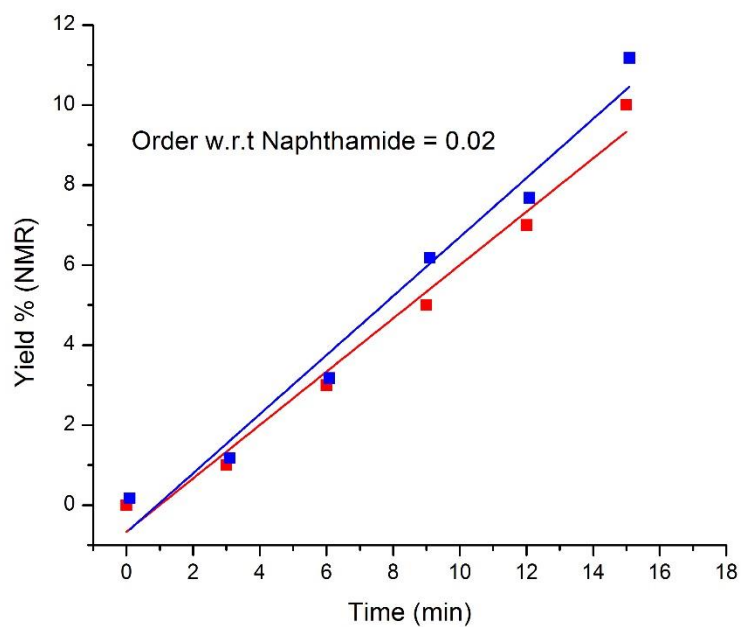
So, order w.r.t naphthamide is 0.82

Substrate : Aryl iodide (1.5:2)

No.	Substrate mmol	Aryl iodide (2 eqv.) mmol	Pd(OAc) ₂ (mol%)	AgTFA (equiv.)
Run III	0.075	0.01	10	1.5

Sr. No.	Time (min)	Yield (%)
1.	0	0

2.	3	1
3.	6	3
4.	9	6
5.	12	7.5
6.	15	11



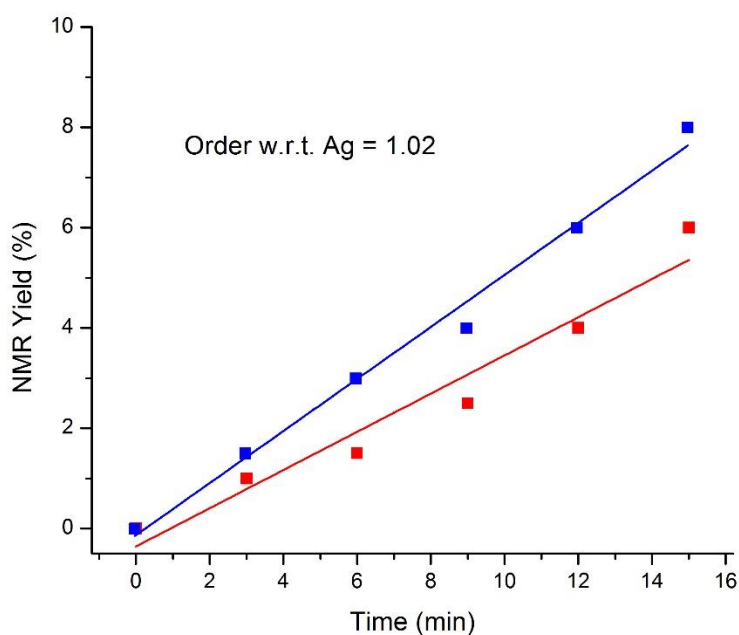
Using similar approach mentioned above, we obtain

$$y = [\log(0.7381) - \log(0.667)] / [\log(0.075) - \log(0.05)] = 0.02$$

So, order w.r.t ArI is 0.02

No.	Substrate mmol	Aryl iodide (1 eqv.) mmol	Pd(OAc) ₂ (mol%)	AgTFA (equiv.)
Run II	0.05	0.05	10	2

Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	3	1.5
3.	6	3
4.	9	4
5.	12	6
6.	15	8



Using similar approach mentioned above, we obtain

$$z = [\log(0.519) - \log(0.38)] / [\log(0.1) - \log(0.075)] = 1.02$$

So, order w.r.t AgTFA is 1.02

Order determination w.r.to palladium salt

Order of the catalyst is determined by using normalized time scale method.¹ Two sets of reactions were carried out with different concentration of the catalyst used.



No.	Substrate mmol	Aryl iodide (2 equiv.) mmol	Pd(OAc) ₂ (mol%)	AgTFA (equiv.)
Run I	0.05	0.1	5 mol%	1.5
Run II	0.05	0.1	10 mol%	1.5

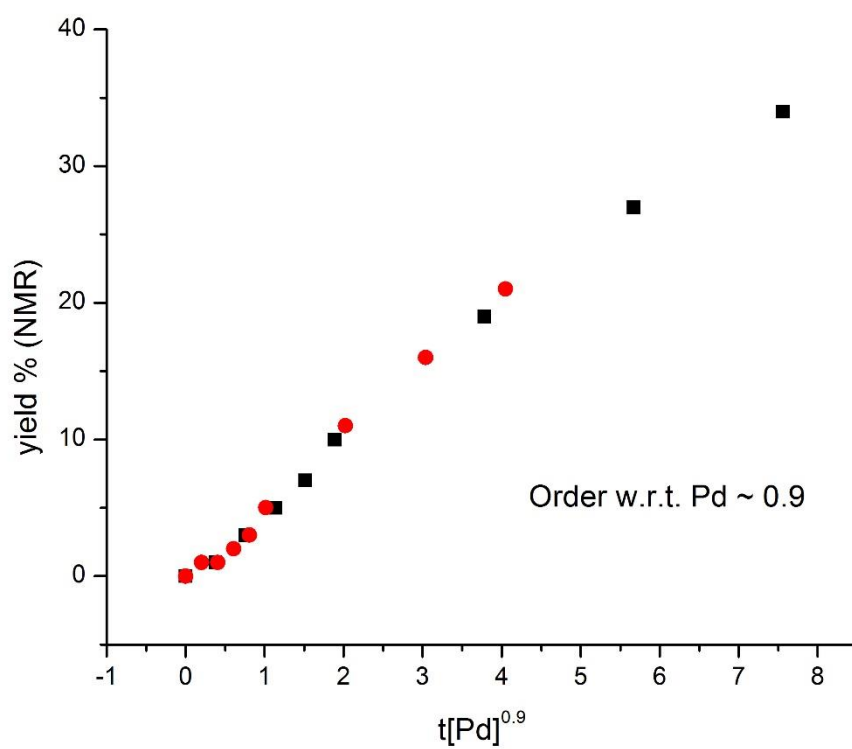
Run I: (5 mol% palladium acetate)

Sr. No.	Time (min)	Yield (%)
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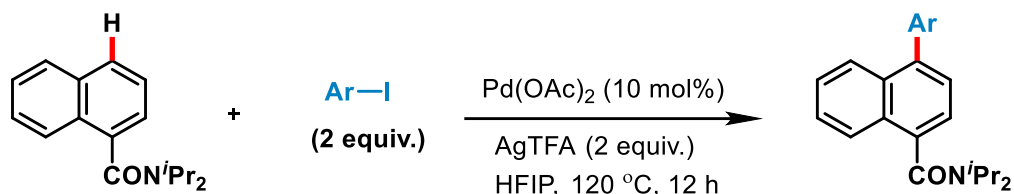
1.	0	0
2.	3	1
3.	6	1
4.	9	2
5.	12	3
6.	15	5
7.	30	11
8.	45	16
9.	60	21

Run II: (10 mol% palladium acetate)

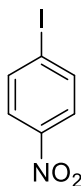
Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	3	1
3.	6	3
4.	9	5
5.	12	7
6.	15	10
7.	30	19
8.	45	27
9.	60	34



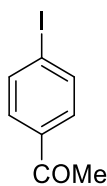
Hammett plot:



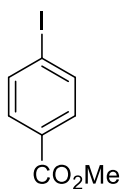
Rate with respect to different substrates are determined and plotted against reported sigma values.



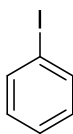
Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	15	15
3.	30	22
4.	45	34
5.	60	42



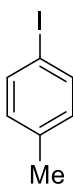
Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	15	8
3.	30	14
4.	45	21
5.	60	30



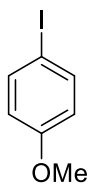
Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	15	5
3.	30	12
4.	45	18
5.	60	25



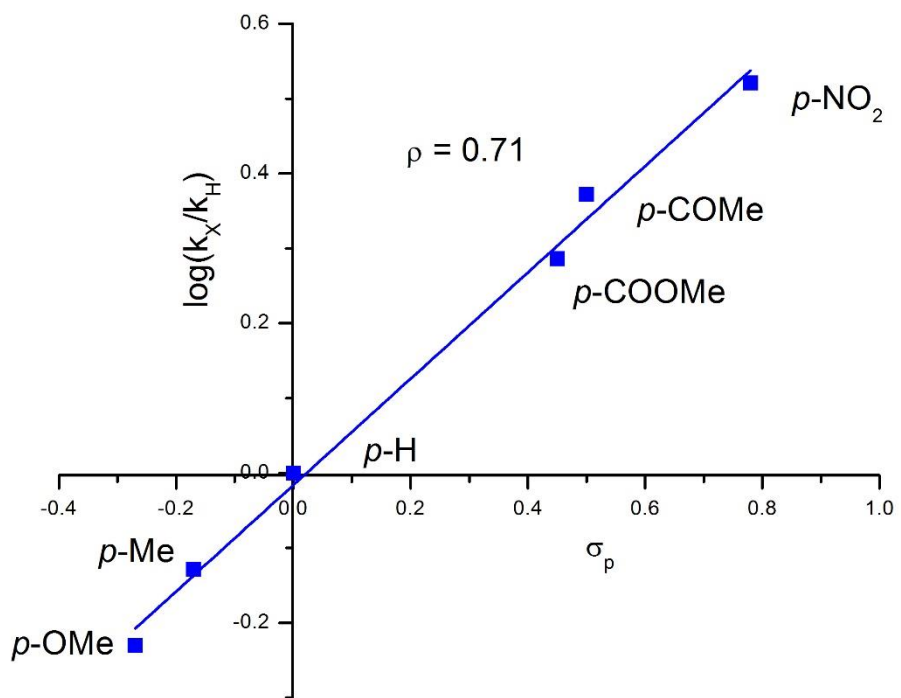
Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	15	2
3.	30	5
4.	45	9
5.	60	12



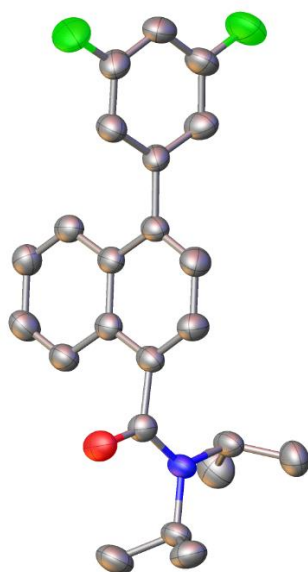
Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	15	1
3.	30	3
4.	45	6
5.	60	9



Sr. No.	Time (min)	Yield (%)
1.	0	0
2.	15	1
3.	30	3
4.	45	5
5.	60	7

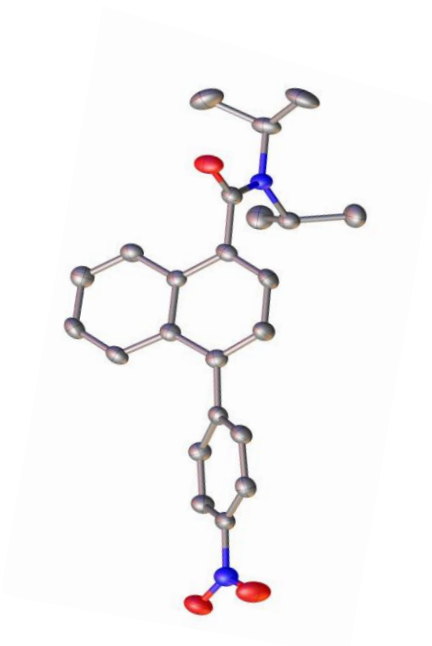


Crystal Information:



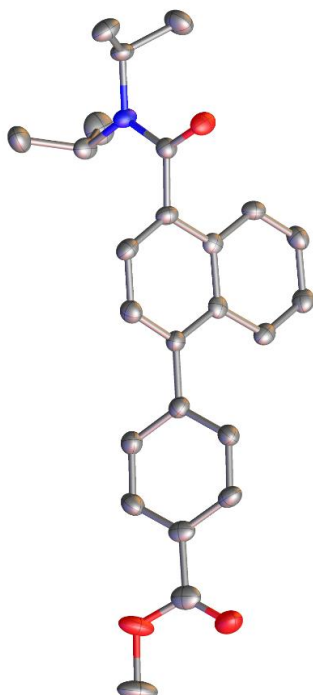
Crystal Data of 3f CCDC 2132423:

Crystal data and structure refinement for C ₂₃ H ₂₃ F ₂ NO	
Identification code	3f
Formula	C ₂₃ H ₂₃ F ₂ NO
Formula weight(g/mol)	367.42
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	17.568(2)
b/Å	7.5840(6)
c/Å	16.119(2)
α/°	90
β/°	112.022(14)
γ/°	90
Volume/Å ³	1991.0(4)
Z	9
P _{calc} /cm ³	1.226
μ/mm ⁻¹	0.087
F(000)	776.0
Crystal size/mm ³	0.084 x 0.096 x 0.2
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	2.501 to 33.707
Goodness-of-fit on F ²	0.950
R indices (all data)	R1 = 0.0968, wR2 = 0.3276
Largest diff. peak and hole/ e Å ⁻³	0.310 and -0.342



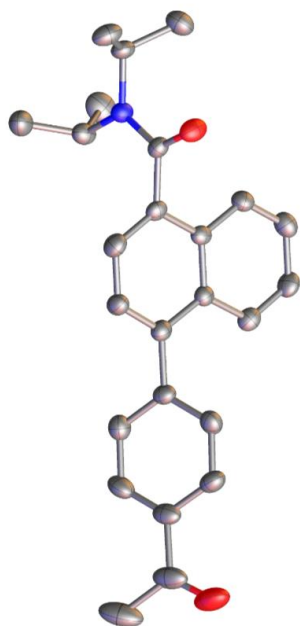
Crystal Data of 3g CCDC 2132428:

Crystal data and structure refinement for C₂₃H₂₄N₂O₃	
Identification code	3g
Formula	C ₂₃ H ₂₄ N ₂ O ₃
Formula weight(g/mol)	376.44
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	16.1993(13)
b/Å	7.6086(5)
c/Å	16.2787(12)
α/°	90
β/°	105.218(8)
γ/°	90
Volume/Å ³	1936.0(3)
Z	8
P _{calc} /cm ³	1.291
μ/mm ⁻¹	0.086
F(000)	800.0
Crystal size/mm ³	0.07 x 0.08 x 0.12
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	2.579 to 24.999
Goodness-of-fit on F ²	1.053
R indices (all data)	R1 = 0.0663, wR2 = 0.1762
Largest diff. peak and hole/ e Å ⁻³	0.260 and -0.280



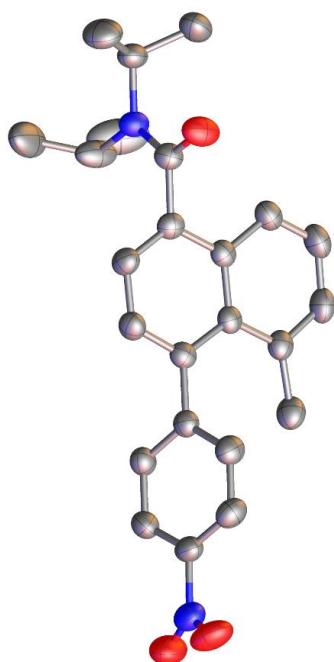
Crystal Data of 3j CCDC 2132440:

Crystal data and structure refinement for C₂₅H₂₇NO₃	
Identification code	3j
Formula	C ₂₅ H ₂₇ NO ₃
Formula weight(g/mol)	389.48
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	18.0220(18)
b/Å	7.3120(6)
c/Å	16.2331(14)
α/°	90
β/°	101.134(9)
γ/°	90
Volume/Å ³	2098.9(3)
Z	9
P _{calc} /g/cm ³	1.232
μ/mm ⁻¹	0.080
F(000)	832.0
Crystal size/mm ³	0.062 x 0.078 x 0.08
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	2.304 to 24.998
Goodness-of-fit on F ²	1.060
R indices (all data)	R1 = 0.0703, wR2 = 0.2087
Largest diff. peak and hole/ e Å ⁻³	0.381 and -0.394



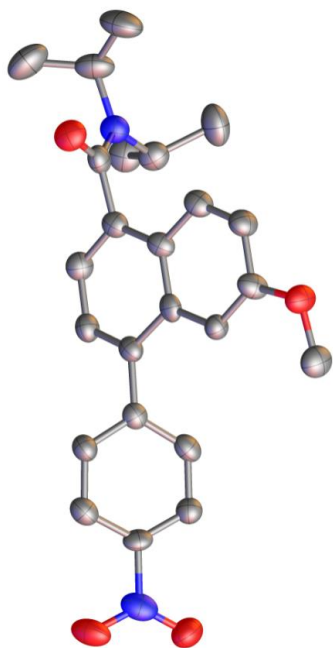
Crystal Data of 3k CCDC 2132436:

Crystal data and structure refinement for C₂₅H₂₇NO₂	
Identification code	3k
Formula	C ₂₅ H ₂₇ NO ₂
Formula weight(g/mol)	373.48
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	17.8628(16)
b/Å	7.3882(5)
c/Å	16.1222(14)
α/°	90
β/°	104.518(9)
γ/°	90
Volume/Å ³	2059.8
Z	9
P _{calc} /cm ³	1.204
μ/mm ⁻¹	0.076
F(000)	800.0
Crystal size/mm ³	0.04 x 0.062 x 0.075
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	2.356 to 25.000
Goodness-of-fit on F ²	0.952
R indices (all data)	R1 = 0.0756, wR2 = 0.2949
Largest diff. peak and hole/ e Å ⁻³	0.381 and -0.407



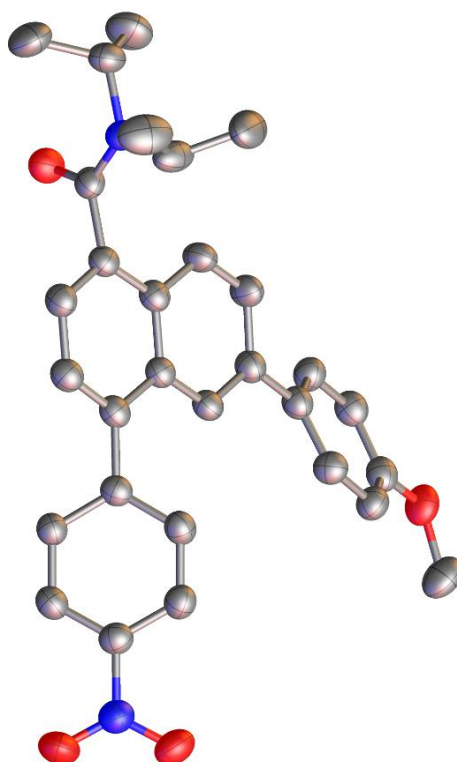
Crystal Data of 3w CCDC 2132456:

Crystal data and structure refinement for C ₂₄ H ₂₆ N ₂ O ₃	
Identification code	3w
Formula	C ₂₄ H ₂₆ N ₂ O ₃
Formula weight(g/mol)	390.47
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	12.9978(6)
b/Å	11.4277(6)
c/Å	14.5839(7)
α/°	90
β/°	93.368(4)
γ/°	90
Volume/Å ³	2162.48(18)
Z	9
P _{calc} /cm ³	1.199
μ/mm ⁻¹	0.079
F(000)	832.0
Crystal size/mm ³	0.05 x 0.06 x 0.08
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	2.3530 to 25.7420
Goodness-of-fit on F ²	1.001
R indices (all data)	R1 = 0.0836, wR2 = 0.2129
Largest diff. peak and hole/ e Å ⁻³	0.201 and -0.256



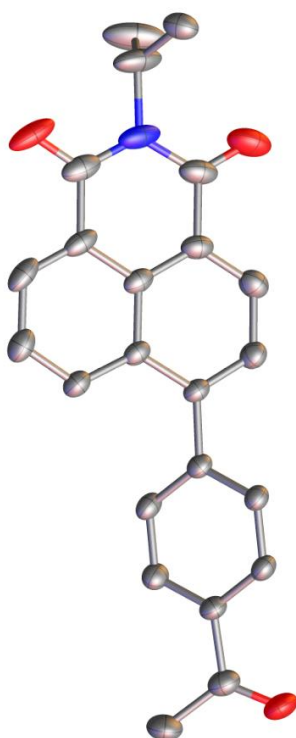
Crystal Data of 3x CCDC 2133312:

Crystal data and structure refinement for C ₂₄ H ₂₆ N ₂ O ₄	
Identification code	3x
Formula	C ₂₄ H ₂₆ N ₂ O ₄
Formula weight(g/mol)	406.47
Temperature/K	150 K
Crystal system	Orthorhombic
Space group	P 21 21 2
a/Å	15.2362(7)
b/Å	18.0958(7)
c/Å	7.8354(4)
α/°	90
β/°	90
γ/°	90
Volume/Å ³	2160.31(17)
Z	9
P _{calc} /cm ³	1.250
μ/mm ⁻¹	0.692
F(000)	864.0
Crystal size/mm ³	0.05 x 0.06 x 0.083
Radiation	CuKα (λ = 1.54184)
2θ range for data collection/°	3.793 to 72.729
Goodness-of-fit on F ²	1.015
R indices (all data)	R1 = 0.0786, wR2 = 0.2273
Largest diff. peak and hole/ e Å ⁻³	0.330 and -0.295



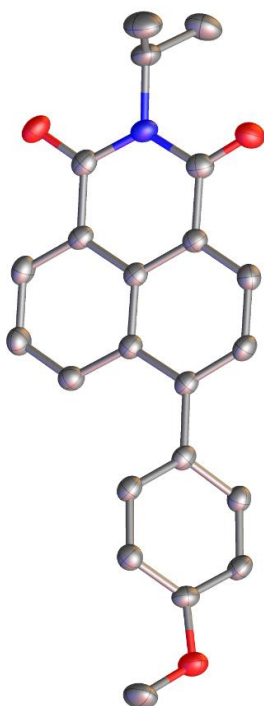
Crystal Data of 3y CCDC 2132453:

Crystal data and structure refinement for C ₃₀ H ₃₀ N ₂ O ₄	
Identification code	3y
Formula	C ₃₀ H ₃₀ N ₂ O ₄
Formula weight(g/mol)	482.56
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	7.8353(2)
b/Å	22.0684(5)
c/Å	14.7710(4)
α/°	90
β/°	91.815(3)
γ/°	90
Volume/Å ³	2552.81(11)
Z	4
P _{calc} /cm ³	1.256
μ/mm ⁻¹	0.670
F(000)	1024.0
Crystal size/mm ³	0.062 x 0.096 x 0.115
Radiation	CuKα (λ = 1.54184)
2θ range for data collection/°	3.602 to 72.760
Goodness-of-fit on F ²	1.036
R indices (all data)	R1 = 0.0774, wR2 = 0.2767
Largest diff. peak and hole/ e Å ⁻³	0.481 and -0.436



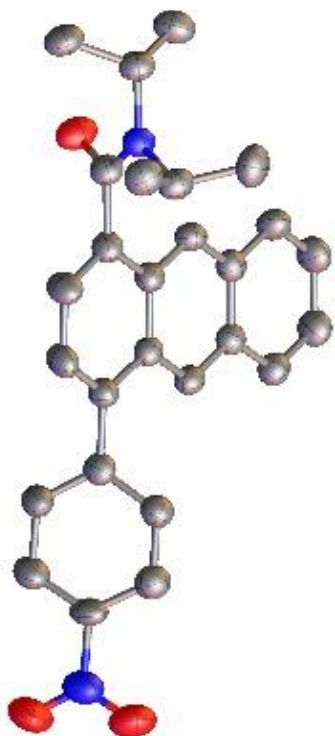
Crystal Data of 3ab CCDC 2132457:

Crystal data and structure refinement for C ₂₃ H ₁₉ NO ₃	
Identification code	3ab
Formula	C ₂₃ H ₁₉ NO ₃
Formula weight(g/mol)	357.39
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	13.1577(5)
b/Å	7.4286(3)
c/Å	18.3838(7)
α/°	90
β/°	99.340(4)
γ/°	90
Volume/Å ³	1773.07(12)
Z	8
P _{calc} /cm ³	1.339
μ/mm ⁻¹	0.089
F(000)	752.0
Crystal size/mm ³	0.09 x 0.11 x 0.12
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	2.245 to 33.861
Goodness-of-fit on F ²	1.069
R indices (all data)	R1 = 0.0933, wR2 = 0.2713
Largest diff. peak and hole/ e Å ⁻³	1.170 and -0.853



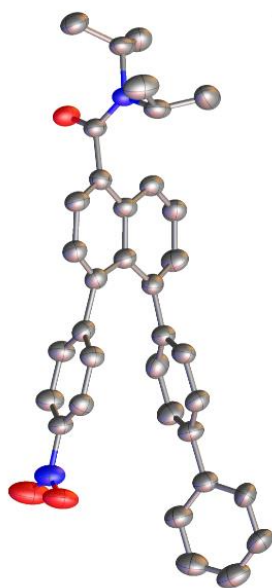
Crystal Data of 3ac CCDC 2132378:

Crystal data and structure refinement for C₂₂H₁₉NO₃	
Identification code	3ac
Formula	C ₂₂ H ₁₉ NO ₃
Formula weight(g/mol)	345.38
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	13.5870(8)
b/Å	7.5797(4)
c/Å	17.5157(11)
α/°	90
β/°	105.850(7)
γ/°	90
Volume/Å ³	1735.28(18)
Z	7
P _{calc} /cm ³	1.322
μ/mm ⁻¹	0.088
F(000)	728.0
Crystal size/mm ³	0.06 x 0.08 x 0.09
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	2.3960 to 29.3530
Goodness-of-fit on F ²	1.012
R indices (all data)	R1 = 0.0790, wR2 = 0.1797
Largest diff. peak and hole/ e Å ⁻³	0.240 and -0.294



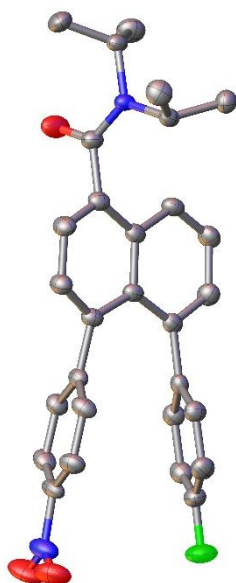
Crystal Data of 3ai CCDC 2132449:

Crystal data and structure refinement for C ₂₇ H ₂₆ N ₂ O ₃	
Identification code	3ai
Formula	C ₂₇ H ₂₆ N ₂ O ₃
Formula weight(g/mol)	426.50
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	26.1989(19)
b/Å	11.0262(9)
c/Å	8.0030(7)
α/°	90
β/°	92.355(7)
γ/°	90
Volume/Å ³	2309.9(3)
Z	10
P _{calc} /cm ³	1.226
μ/mm ⁻¹	0.080
F(000)	904.0
Crystal size/mm ³	0.09 x 0.1 x 0.12
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	2.004 to 33.998
Goodness-of-fit on F ²	0.969
R indices (all data)	R1 = 0.0819, wR2 = 0.2440
Largest diff. peak and hole/ e Å ⁻³	0.229 and -0.260



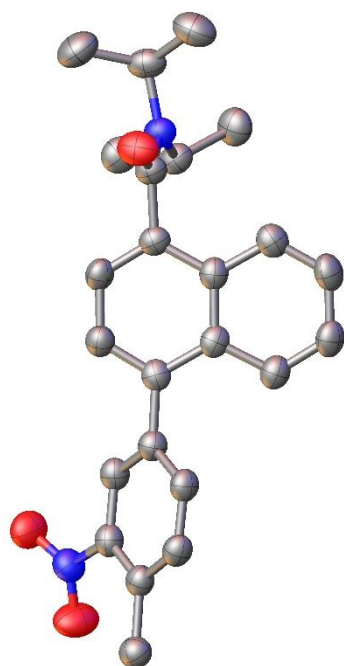
Crystal Data of 3aj CCDC 2132455:

Crystal data and structure refinement for C₃₅H₃₂N₂O₃	
Identification code	3aj
Formula	C ₃₅ H ₃₂ N ₂ O ₃
Formula weight(g/mol)	528.63
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	13.2962(5)
b/Å	15.7733(7)
c/Å	27.5418(11)
α/°	90
β/°	98.192(4)
γ/°	90
Volume/Å ³	5717.3(4)
Z	25
P _{calc} /cm ³	1.228
μ/mm ⁻¹	0.619
F(000)	2240.0
Crystal size/mm ³	0.02 x 0.04 x 0.13
Radiation	CuKα (λ = 1.54184)
2θ range for data collection/°	3.237 to 72.965
Goodness-of-fit on F ²	0.988
R indices (all data)	R1 = 0.1050, wR2 = 0.3908
Largest diff. peak and hole/ e Å ⁻³	0.533 and -0.472



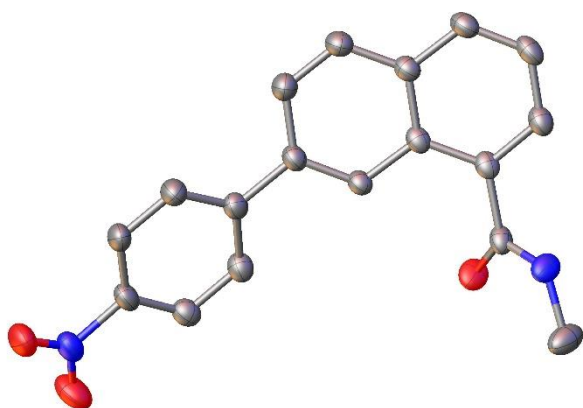
Crystal Data of 3al CCDC 2133315:

Crystal data and structure refinement for C₂₉H₂₇FN₂O₃	
Identification code	3al
Formula	C ₂₉ H ₂₇ FN ₂ O ₃
Formula weight(g/mol)	470.53
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	7.1271(4)
b/Å	24.7374(15)
c/Å	13.8207(9)
α/°	90
β/°	101.066(6)
γ/°	90
Volume/Å ³	2391.4(3)
Z	10
P _{calc} /cm ³	1.307
μ/mm ⁻¹	0.090
F(000)	992.0
Crystal size/mm ³	0.045 x 0.058 x 0.09
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	1.646 to 32.937
Goodness-of-fit on F ²	1.030
R indices (all data)	R1 = 0.0741, wR2 = 0.1790
Largest diff. peak and hole/ e Å ⁻³	0.396 and -0.310



Crystal Data of 6h CCDC 2133344:

Crystal data and structure refinement for C₂₄H₂₆N₂O₃	
Identification code	6h
Formula	C ₂₄ H ₂₆ N ₂ O ₃
Formula weight(g/mol)	390.47
Temperature/K	150 K
Crystal system	Orthorhombic
Space group	P b c a
a/Å	7.72750(10)
b/Å	22.4337(4)
c/Å	24.2544(5)
α/°	90
β/°	90
γ/°	90
Volume/Å ³	4204.66(13)
Z	18
P _{calc} /cm ³	1.234
μ/mm ⁻¹	0.653
F(000)	1664.0
Crystal size/mm ³	0.08 x 0.092 x 0.099
Radiation	CuKα (λ = 1.54184)
2θ range for data collection/°	3.941 to 72.708
Goodness-of-fit on F ²	1.074
R indices (all data)	R1 = 0.0693, wR2 = 0.2512
Largest diff. peak and hole/ e Å ⁻³	0.431 and -0.491



Crystal Data of 9d CCDC 2133343:

Crystal data and structure refinement for C ₁₈ H ₁₄ N ₂ O ₃	
Identification code	9d
Formula	C ₁₈ H ₁₄ N ₂ O ₃
Formula weight(g/mol)	306.31
Temperature/K	150 K
Crystal system	Monoclinic
Space group	P 1 21/c 1
a/Å	4.9733(2)
b/Å	15.3701(6)
c/Å	19.3784(9)
α/°	90
β/°	92.471(4)
γ/°	90
Volume/Å ³	1479.91(11)
Z	6
P _{calc} /g/cm ³	1.375
μ/mm ⁻¹	0.095
F(000)	640.0
Crystal size/mm ³	0.05 x 0.06 x 0.12
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	1.6820 to 24.8970
Goodness-of-fit on F ²	1.034
R indices (all data)	R1 = 0.0756, wR2 = 0.1836
Largest diff. peak and hole/ e Å ⁻³	0.316 and -0.257

Reference:

1. Burés, J. *Angew. Chem. Int. Ed.* **55**, 2028 (2016)

NMR Spectra of

