

Supplementary Information

**Atroposelective Carbonylation of Aryl Iodides with Amides: Facile
Synthesis of Enantioenriched Cyclic and Acyclic Amides**

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1. General Considerations

Unless otherwise noted, all chemicals were purchased from commercial suppliers and used without further purification. ^1H NMR and ^{13}C NMR spectra were recorded at ambient temperature on a 500 MHz spectrometer (125 MHz for ^{13}C NMR). NMR experiments are reported in units, parts per million (ppm), and were referenced to CDCl_3 (7.26 or 77.0 ppm) as the internal standard. The coupling constants J are given in Hertz. Column chromatography was performed using EM silica gel 60 (200–300 mesh). ABSciex5600plusQTOF HR mass spectrometer equipped with an ESI source was used for analysis.

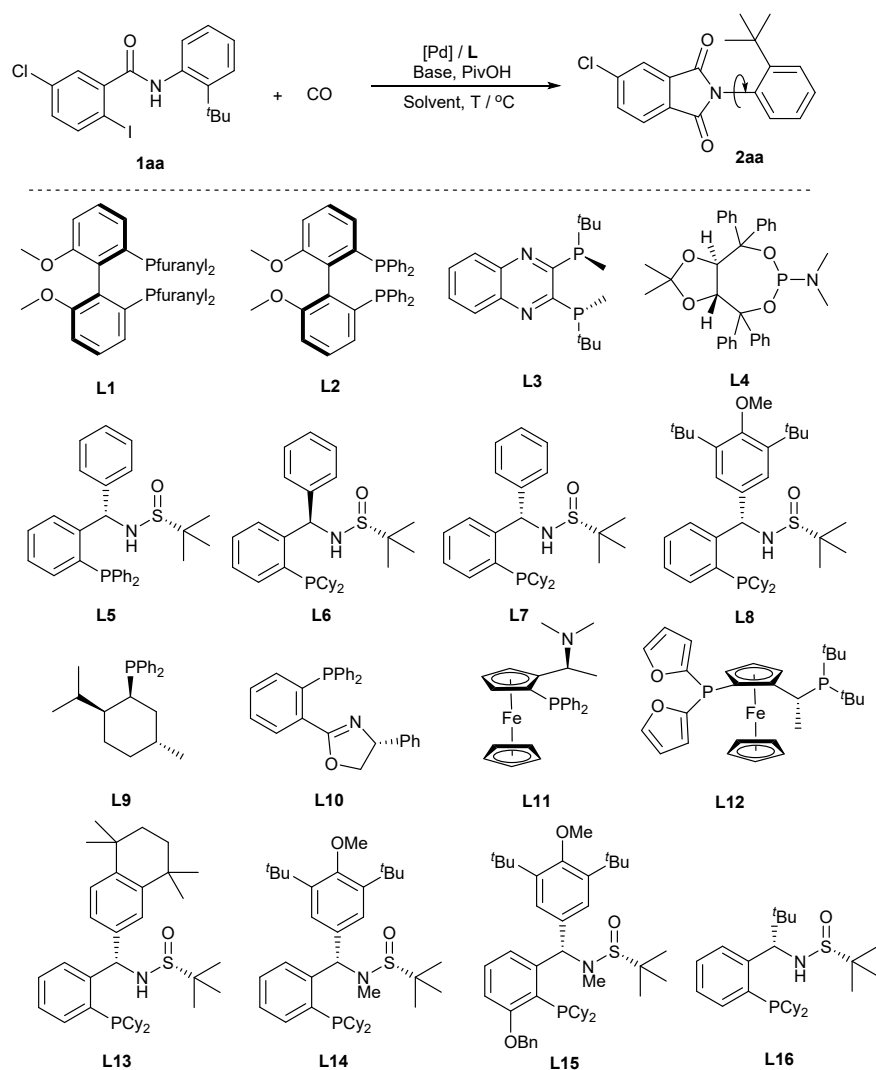
2. Experimental Procedures.

2.1 General procedure (0.1 mmol scale; 2aa)

An oven-dried 25 mL Schlenk tube charged with **1aa** (0.1 mmol), PdCl₂ (0.005 mmol, 0.9 mg), **L8** (0.012 mmol, 7.5 mg) and K₂CO₃ (0.12 mmol, 16.6 mg), PivOH (0.1 mmol, 12 μ L) was vacuumed and refilled with CO for 3 times. Then, 1.2 mL of PhCF₃ was added by syringe and the tube was placed in 45 °C oil-bath for 24 h. The crude reaction mixture was extracted with EA (2 mL $\times$ 3) and washed with brine (5 mL). The organic phase was concentrated in vacuo and the residue was purified by silica gel flash column chromatography to afford the corresponding products **2aa**.

2.2 Detailed Information of Conditions Optimization for 2aa^a

Table S1:



entry	catalyst	ligand	solvent	base	T / °C	yields / %	ee / %
1	Pd(OAc) ₂	PPh₃	PhMe	K ₂ CO ₃	60	32	--
2	Pd(OAc) ₂	L1	PhMe	K ₂ CO ₃	60	66	53
3	Pd(OAc) ₂	L2	PhMe	K ₂ CO ₃	60	34	7
4	Pd(OAc) ₂	L3	PhMe	K ₂ CO ₃	60	19	39
5	Pd(OAc) ₂	L4	PhMe	K ₂ CO ₃	60	59	47
6	Pd(OAc) ₂	L5	PhMe	K ₂ CO ₃	60	31	53
7	Pd(OAc) ₂	L6	PhMe	K ₂ CO ₃	60	59	83
8	Pd(OAc) ₂	L7	PhMe	K ₂ CO ₃	60	86	84
9	Pd(OAc) ₂	L8	PhMe	K ₂ CO ₃	60	96	90
10	Pd(OAc) ₂	L9	PhMe	K ₂ CO ₃	60	16	2
11	Pd(OAc) ₂	L10	PhMe	K ₂ CO ₃	60	N.R.	--
12	Pd(OAc) ₂	L11	PhMe	K ₂ CO ₃	60	50	45
13	Pd(OAc) ₂	L12	PhMe	K ₂ CO ₃	60	21	5
14	Pd(OAc) ₂	L13	PhMe	K ₂ CO ₃	60	84	89
15	Pd(OAc) ₂	L14	PhMe	K ₂ CO ₃	60	41	35
16	Pd(OAc) ₂	L15	PhMe	K ₂ CO ₃	60	54	77
17	Pd(OAc) ₂	L16	PhMe	K ₂ CO ₃	60	87	84
18	Pd(dba)₂	L4	PhMe	K ₂ CO ₃	60	64	89
19	Pd(MeCN)₂Cl₂	L4	PhMe	K ₂ CO ₃	60	82	90
20	Pd(OTf)₂	L4	PhMe	K ₂ CO ₃	60	77	87
21	PdCl₂	L4	PhMe	K ₂ CO ₃	60	93	91
22	Pd(PPh₃)₂Cl₂	L4	PhMe	K ₂ CO ₃	60	84	81
23	Pd(PPh₃)₄	L4	PhMe	K ₂ CO ₃	60	88	73
24	PdCl ₂	L4	DCE	K ₂ CO ₃	60	84	90
25	PdCl ₂	L4	THF	K ₂ CO ₃	60	41	51
26	PdCl ₂	L4	PhF	K ₂ CO ₃	60	83	87
27	PdCl ₂	L4	PhCl	K ₂ CO ₃	60	84	89
28	PdCl ₂	L4	PhH	K ₂ CO ₃	60	88	90

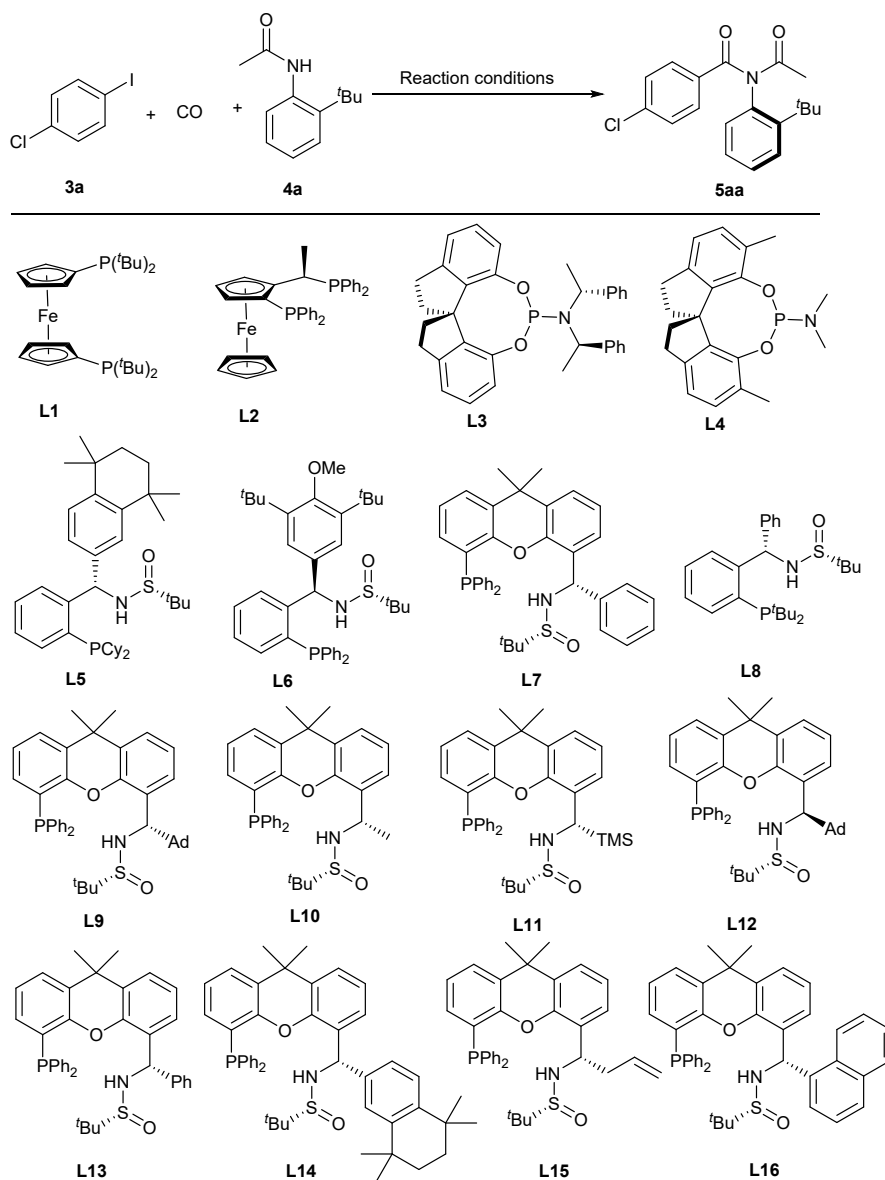
29	PdCl ₂	L4	PhCF₃	K ₂ CO ₃	60	43	91
30	PdCl ₂	L4	MeCN	K ₂ CO ₃	60	51	68
31	PdCl ₂	L4	PhCF ₃	Na₂CO₃	60	57	81
32	PdCl ₂	L4	PhCF ₃	Ru₂CO₃	60	63	82
33	PdCl ₂	L4	PhCF ₃	Cs₂CO₃	60	86	86
34	PdCl ₂	L4	PhCF ₃	KHCO₃	60	80	73
35	PdCl ₂	L4	PhCF ₃	K ₂ CO ₃	50	92	92
36	PdCl ₂	L4	PhCF ₃	K ₂ CO ₃	45	98	94
37	PdCl ₂	L4	PhCF ₃	K ₂ CO ₃	40	43	94

^a Reaction conditions: **1aa** (0.10 mmol), Pd catalyst (5 mol%), ligand (12 mol%), K₂CO₃ (0.10 mmol), PivOH (0.10 mmol), solvent (1.2 mL) at 60 °C for 24 h, in CO, isolated yield.

2.3 General procedure (0.1 mmol scale; 5aa)

An oven-dried 25 mL Schlenk tube charged with **3a** (0.15 mmol), **4a** (0.1 mmol), Pd(OAc)₂ (0.005 mmol, 1.1 mg), **L9** (0.012 mmol, 7.9 mg), Cs₂CO₃ (0.2 mmol, 65.2 mg), Hantzsch ester (0.12 mmol, 30.4 mg) and PhMe (1.2 mL) was vacuumed and refilled with CO for 3 times. The reaction mixture was stirred at 0 °C for 120 h. After the reaction was complete, the mixture was then filtered through silica and washed by EtOAc (10 mL). The mixture was concentrated in vacuo. Then the residue was purified by silica gel column chromatography to afford the corresponding products **5aa**.

Table S2:



entry	catalyst	ligand	solvent	base	T / °C	yields / %	ee / %
1	Pd(OAc) ₂	L1	PhMe	Cs ₂ CO ₃	25	83	--
2	Pd(OAc) ₂	L2	PhMe	Cs ₂ CO ₃	25	42	13
3	Pd(OAc) ₂	L3	PhMe	Cs ₂ CO ₃	25	51	7
4	Pd(OAc) ₂	L4	PhMe	Cs ₂ CO ₃	25	52	9
5	Pd(OAc) ₂	L5	PhMe	Cs ₂ CO ₃	25	43	27
6	Pd(OAc) ₂	L6	PhMe	Cs ₂ CO ₃	25	64	44
7	Pd(OAc) ₂	L7	PhMe	Cs ₂ CO ₃	25	53	45
8	Pd(OAc) ₂	L8	PhMe	Cs ₂ CO ₃	25	N.R	--
9	Pd(OAc) ₂	L9	PhMe	Cs ₂ CO ₃	25	68	72
10	Pd(OAc) ₂	L10	PhMe	Cs ₂ CO ₃	25	62	51
11	Pd(OAc) ₂	L11	PhMe	Cs ₂ CO ₃	25	25	46
12	Pd(OAc) ₂	L12	PhMe	Cs ₂ CO ₃	25	57	60
13	Pd(OAc) ₂	L13	PhMe	Cs ₂ CO ₃	25	55	45
14	Pd(OAc) ₂	L14	PhMe	Cs ₂ CO ₃	25	71	54
15	Pd(OAc) ₂	L15	PhMe	Cs ₂ CO ₃	25	64	43
16	Pd(OAc) ₂	L16	PhMe	Cs ₂ CO ₃	25	66	45
17	Pd(TFA)₂	L9	PhMe	Cs ₂ CO ₃	25	43	71
18	Pd(dba)₂	L9	PhMe	Cs ₂ CO ₃	25	N.R	--
19	Pd(PhCN)₂Cl₂	L9	PhMe	Cs ₂ CO ₃	25	27	--
20	Pd(PPh₃)₂Cl₂	L9	PhMe	Cs ₂ CO ₃	25	31	--
21	PdCl₂	L9	PhMe	Cs ₂ CO ₃	25	13	--
22	Pd(OAc) ₂	L9	PhMe	NaOH	25	N.R	--
23	Pd(OAc) ₂	L9	PhMe	DBU	25	N.R	--
24	Pd(OAc) ₂	L9	PhMe	NaHCO₃	25	25	67
25	Pd(OAc) ₂	L9	PhMe	Rb₂CO₃	25	N.R	--
26	Pd(OAc) ₂	L9	PhCl	Cs ₂ CO ₃	25	36	71
27	Pd(OAc) ₂	L9	PhCF₃	Cs ₂ CO ₃	25	N.R	--
28	Pd(OAc) ₂	L9	DCB	Cs ₂ CO ₃	25	21	73

29	Pd(OAc) ₂	L9	MeCN	Cs ₂ CO ₃	25	N.R	--
30	Pd(OAc) ₂	L9	DCM	Cs ₂ CO ₃	25	N.R	--
31	Pd(OAc) ₂	L9	PhMe	Cs ₂ CO ₃	20	68	78
32	Pd(OAc) ₂	L9	PhMe	Cs ₂ CO ₃	0	36	81
33	Pd(OAc) ₂	L9	PhMe	Cs ₂ CO ₃	-10	13	86
34^b	Pd(OAc)₂	L9	PhMe	Cs₂CO₃	0	70	81
34 ^b	Pd(OAc) ₂	L9	PhMe	Cs ₂ CO ₃	-10	23	86

^a Reaction conditions: **3a** (0.15 mmol), **4a** (0.10 mmol), CO (1 atm), Pd catalysis (5 mol%), ligand (12 mol%), base (0.20 mmol), PhMe (1.2 mL), 0 °C, and 120 h. [b] Hantzsch ester (0.12 mmol).

2.4 General procedure (3.0 mmol scale; **2aa**)

An oven-dried 100 mL Schlenk tube charged with **1aa** (3.0 mmol), PdCl₂ (0.15 mmol, 27.0 mg), **L8** (0.36 mmol, 225.0 mg) and K₂CO₃ (3.6 mmol, 498 mg), PivOH (3.0 mmol, 360.0 µL) was vacuumed and refilled with CO for 3 times. Then, 36 mL of PhCF₃ was added by syringe and the tube was placed in 45 °C oil-bath for 24 h. The crude reaction mixture was extracted with EA (20 mL × 3) and washed with brine (20 mL). The organic phase was concentrated in vacuo and the residue was purified by silica gel flash column chromatography to afford the corresponding products **2aa** in 97% yield and 93% ee

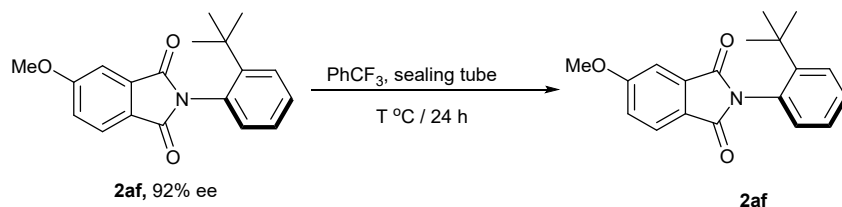
2.5 General procedure (3.0 mmol scale; **5aa**)

An oven-dried 100 mL Schlenk tube charged with **3a** (3 mmol), **4a** (3 mmol), Pd(OAc)₂ (0.15 mmol, 33.0 mg), **L9** (0.36 mmol, 237.1 mg), Cs₂CO₃ (6.0 mmol, 1.956 g), Hantzsch ester (3.6 mmol, 1.013 g) was vacuumed and refilled with CO for 3 times. Then, 36 mL of PhMe was added by syringe and the tube was placed in 45 °C oil-bath for 120 h. The crude reaction mixture was extracted with EA (20 mL × 3) and washed with brine (20 mL). The organic phase was concentrated in vacuo and the residue was purified by silica gel flash column chromatography to afford the corresponding products **5aa** in 58% yield and 79% ee

2.6 Stability on racemization of product **2af**

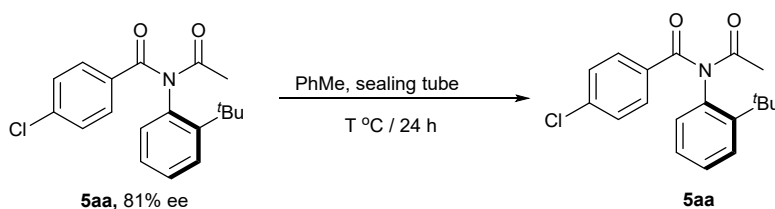
We investigated the racemization temperature of this axially chiral 2-arylisindoline-1,3-dione and *N*-acetyl-*N*-(2-(tert-butyl)phenyl)benzamide scaffold.

Table S3 Investigation on the racemization temperature of compound **2af**



entry	T / (°C)	recovery yield of 2af (%)	ee of recovered 2af (%)
1	40	99	92
2	50	99	92
3	60	99	91
4	70	99	88
5	80	99	84
6	90	99	64

Table S4 Investigation on the racemization temperature of compound **5aa**



entry	T / (°C)	recovery yield of 5aa (%)	ee of recovered 5aa (%)
1	0	99	81
2	20	99	81
3	30	99	78
4	40	99	69
5	50	99	33

3. DFT (density functional theory) calculations

All DFT (density functional theory) calculations were carried out using the Gaussian 16 program¹. The geometries of all the species were fully optimized with no constraints of freedom by using the M06 functional². The 6-31G(d) basis set was used for C, H, N, O, P, S and Cl. For Pd, we used the LANL (Los Alamos National Laboratory) basis sets, also known as LANL2DZ (LANL-2-double zeta)³. Vibrational analyses at such SMD-M06/6-31G(d)/ LANL2DZ level of theory were performed to confirm each stationary point to be either a local minimum or a transition state (TS). The connection of each TS to its corresponding reactant and product was confirmed by IRC (intrinsic reaction coordinate)⁴ calculations. Single-point energies of the SMD-M06/6-31G(d)/LANL2DZ-optimized structures were further computed at the SMD-M06/6-311+G(d,p)/SDD⁵ level of theory. Solvent effects were taken into account by using the SMD-flavor⁶ of self-consistent reaction field (SCRF) theory. PhCF₃ ($\epsilon = 9.2$) as solvent. The 3D structures of molecules were generated using CYLView.⁷

Cartesian Coordinates and Thermochemical Data (Energies in Hartree)

3.1 Mechanism Research

TS_R

Number of imaginary frequencies: 1

S	-0.79767800	-2.56383900	-1.40210700
O	0.33934800	-1.59756600	-1.68596400
N	-1.25873900	-2.41576200	0.24055300
H	-0.45750000	-2.65271800	0.83402800
C	-1.72738800	-1.06658500	0.61817200
H	-1.01757000	-0.29654800	0.25924300
C	-0.00078600	-4.25462600	-1.28071800
C	1.11168400	-4.25997200	-0.24839900
H	1.72077700	-5.16434800	-0.39020300
H	1.77938200	-3.39381800	-0.36913100
H	0.73874500	-4.29056300	0.78466300
C	-1.09635100	-5.25823600	-0.96767300
H	-0.66613900	-6.27038800	-0.97547200

H	-1.54491800	-5.09042600	0.01977800
H	-1.89588200	-5.23800200	-1.72243800
C	0.56875100	-4.47641700	-2.67592300
H	0.99024200	-5.48968200	-2.73301400
H	-0.20291500	-4.39406100	-3.45543700
H	1.37057100	-3.76160700	-2.90390800
C	-1.71687200	-1.03274100	2.13877900
C	-0.66745500	-0.47026300	2.89456200
C	-2.77824200	-1.66521000	2.79569800
C	-0.76338100	-0.53863300	4.29517300
C	-2.84137200	-1.73506900	4.17839900
H	-3.57499300	-2.10692700	2.19713600
C	-1.82721300	-1.15840400	4.93501100
H	0.01316300	-0.09257600	4.90959100
H	-3.68012600	-2.23293000	4.66237400
H	-1.85959500	-1.18956900	6.02295400
C	-3.08145600	-0.72202300	0.03804600
C	-3.95781100	-1.66473800	-0.47850800
C	-3.48228300	0.60936600	0.07274700
C	-5.24175400	-1.32454900	-0.92331900
H	-3.63506000	-2.70378200	-0.51872600
C	-4.75722300	1.02345300	-0.31445300
H	-2.77129100	1.34203800	0.45808300
C	-5.64857500	0.01611800	-0.75376300
P	0.84196800	0.31442600	2.14317400
C	2.29682200	-0.17038400	3.22734500
C	2.35642200	-1.68789200	3.42297800
C	2.57244600	0.57426300	4.53750100
H	3.12852100	0.10036400	2.55244400
C	3.68493700	-2.11634300	4.04096100

H	1.53106700	-2.00838800	4.08004700
H	2.20865200	-2.19841600	2.45676400
C	3.92415500	0.14498100	5.10576700
H	1.80075400	0.35515800	5.29115300
H	2.56277400	1.66207500	4.37611400
C	3.97161300	-1.36085300	5.33257500
H	3.67909600	-3.20152000	4.21912800
H	4.49844200	-1.92202600	3.32109200
H	4.12055400	0.68462200	6.04353300
H	4.72356600	0.43601300	4.40216000
H	4.94803100	-1.65885100	5.74126000
H	3.21683800	-1.63527900	6.08996800
C	0.64156300	2.16556600	2.37263700
C	-0.18245100	2.59916500	3.58601300
C	0.10634900	2.83452400	1.10301400
H	1.68484700	2.50655100	2.50301700
C	-0.19606000	4.11946000	3.72717300
H	-1.21878700	2.23951000	3.46045700
H	0.19852800	2.14177500	4.50986200
C	0.07867900	4.35251900	1.24879700
H	-0.91509300	2.46306100	0.89327800
H	0.72722900	2.54903800	0.23975500
C	-0.72438500	4.79031400	2.46602400
H	-0.79928700	4.40494300	4.60148900
H	0.83117800	4.47207900	3.92515200
H	-0.32029100	4.80816700	0.33005200
H	1.11606300	4.71534300	1.35407100
H	-0.69865600	5.88470600	2.57176100
H	-1.78387800	4.51436900	2.32238600
C	-6.07976100	-2.46190600	-1.54455300

C	-5.22576200	-3.17728800	-2.60533600
C	-7.36301300	-2.03995900	-2.26790900
C	-6.45571700	-3.47078000	-0.45253500
H	-4.31743100	-3.63602000	-2.19560100
H	-4.91964700	-2.48381800	-3.40220600
H	-5.80885900	-3.98501000	-3.07085800
H	-8.14796800	-1.67965800	-1.59482400
H	-7.76871300	-2.92058100	-2.78830200
H	-7.17930400	-1.26503000	-3.02282500
H	-7.02691100	-4.30632900	-0.88499400
H	-7.08090300	-3.00885400	0.32581500
H	-5.57039800	-3.89628500	0.04124000
C	-5.07318500	2.52855900	-0.17884800
C	-6.35454400	3.01680700	-0.86347100
C	-3.93455600	3.34819700	-0.80790100
C	-5.16168700	2.87884700	1.31201200
H	-7.27194300	2.66424000	-0.38062900
H	-6.39547900	2.72931900	-1.92179300
H	-6.37088200	4.11616800	-0.81716000
H	-2.95350600	3.16087200	-0.35260700
H	-4.14151900	4.42149500	-0.68793500
H	-3.85118800	3.14438700	-1.88538200
H	-5.34154800	3.95685100	1.44269800
H	-4.23582500	2.62658400	1.84907600
H	-5.98431900	2.34159000	1.80628400
O	-6.95119400	0.35491700	-1.02041100
C	-7.80080700	0.21031400	0.09594300
H	-7.48692600	0.84806200	0.93878600
H	-7.83509100	-0.83064100	0.45731900
H	-8.80830200	0.50919600	-0.21836100

Pd	1.41353200	-0.03024800	-0.11809400
C	5.50311200	-2.52325700	-1.42919800
C	5.70404500	-2.09250000	-0.12147600
H	6.41041200	-2.60977700	0.52461300
C	4.99776300	-0.98532800	0.34205900
H	5.14908700	-0.62276000	1.35911500
C	4.09297800	-0.34910300	-0.50331700
C	3.91359400	-0.78982400	-1.81932900
C	4.62776900	-1.87628400	-2.29697100
H	4.49911000	-2.19858400	-3.32879500
C	3.24228300	0.78323600	-0.03598000
C	2.98109900	0.01459000	-2.68443900
C	1.50630000	1.92584300	-2.51618300
C	1.85395500	3.26677800	-2.80058300
C	0.79808100	4.11907500	-3.17235900
H	1.00964400	5.17158900	-3.35075200
C	-0.51373500	3.69326700	-3.32357300
H	-1.28681300	4.40602800	-3.61015100
C	-0.82163000	2.35105900	-3.12184400
H	-1.83611800	1.97835200	-3.26340000
C	0.18399900	1.49013200	-2.71890800
H	-0.02945900	0.43665900	-2.53173400
C	3.25863500	3.88776900	-2.68872200
C	4.40918900	2.88101600	-2.78297200
H	5.35746400	3.42900900	-2.88713000
H	4.31033100	2.22382400	-3.65868900
H	4.49343300	2.25869400	-1.88762600
Cl	6.39760700	-3.91777100	-2.00884700
N	2.40026700	0.98452700	-1.94644500
O	3.57613700	1.76815800	0.56799600

O	2.87796300	-0.19854200	-3.89098300
C	3.36337600	4.62187000	-1.34747400
H	3.21823300	3.92677800	-0.50794600
H	2.61351400	5.42292000	-1.26690600
H	4.35752500	5.08290800	-1.23562700
C	3.49100400	4.90008900	-3.82154500
H	4.51960100	5.28419600	-3.76386700
H	2.83072900	5.77488300	-3.77324900
H	3.36484100	4.43477400	-4.81032200

SMD-M06/6-31G(d)/LANL2DZ

Electronic Energy (0K) = -3914.752085

Electronic Energy (0K) + ZPE = -3913.539522

Enthalpy (298K) = -3913.470001

Free Energy (298K) = -3913.641144

SMD-M06/6-311+G(d,p)/SDD

Electronic Energy (0K) = -3916.7015008

TS₅

Number of imaginary frequencies: 1

S	0.58509200	2.80137700	-0.53611800
O	-0.51309200	1.94831100	-1.14342500
N	0.98692800	2.19674100	1.01566100
H	0.14736000	2.20521700	1.60468200
C	1.53307600	0.82467600	1.01095100
H	0.90100600	0.16099200	0.39215900
C	-0.26348700	4.37131900	0.03627800
C	-1.43750900	4.04490300	0.94110200
H	-2.07496600	4.93616900	1.03252800
H	-2.05955100	3.23860000	0.52279800

H	-1.12721300	3.77327300	1.95942100
C	0.77538600	5.24560700	0.71579000
H	0.31323100	6.20839700	0.97880700
H	1.16169100	4.79401900	1.63813700
H	1.62408200	5.46303200	0.05091800
C	-0.74922100	5.00552700	-1.26036300
H	-1.19992300	5.98213900	-1.03508900
H	0.07378000	5.17558600	-1.97000900
H	-1.51077800	4.38767500	-1.75342400
C	1.45310300	0.32465100	2.44407200
C	0.42335100	-0.51716800	2.90948000
C	2.43467100	0.77394900	3.33452500
C	0.46672300	-0.91653000	4.25662500
C	2.44233400	0.38565600	4.66482000
H	3.21536100	1.43711500	2.96160100
C	1.45562700	-0.47911100	5.12622400
H	-0.29469000	-1.58796600	4.64298900
H	3.21901500	0.74942200	5.33572200
H	1.44990100	-0.81255200	6.16273200
C	2.93882800	0.73634600	0.45845700
C	3.77822900	1.82813700	0.29786000
C	3.42347900	-0.52698100	0.13495900
C	5.10610700	1.69047700	-0.12872600
H	3.39013800	2.81895200	0.53035900
C	4.74011800	-0.75113900	-0.26634000
H	2.74123900	-1.37269900	0.23318700
C	5.58899200	0.37946700	-0.32564700
P	-1.01622800	-1.06262400	1.86446800
C	-2.52051100	-0.98945800	2.99102600
C	-2.61317500	0.36260100	3.70441600

C	-2.82637100	-2.15124400	3.94219400
H	-3.32396200	-1.01281000	2.23517700
C	-3.96341900	0.54059100	4.39384200
H	-1.81397900	0.43636700	4.45976500
H	-2.44201100	1.18285500	2.98704600
C	-4.19647700	-1.95198800	4.58823700
H	-2.07540200	-2.22227000	4.74408800
H	-2.80588300	-3.10812500	3.40043300
C	-4.26985900	-0.62265500	5.32881800
H	-3.97567500	1.49308200	4.94348400
H	-4.75818000	0.61065500	3.63157900
H	-4.40908800	-2.78788900	5.27043800
H	-4.97500500	-1.98029600	3.80609400
H	-5.25960000	-0.49244600	5.79000900
H	-3.53684600	-0.62854300	6.15421500
C	-0.74765700	-2.88151800	1.50079500
C	-0.00940500	-3.69819400	2.56187900
C	-0.07371500	-3.06969500	0.14006800
H	-1.78205200	-3.25869200	1.40785900
C	0.04073800	-5.17422500	2.16932800
H	1.02095400	-3.31307100	2.65706400
H	-0.47796600	-3.59000400	3.55008000
C	-0.02006200	-4.53953100	-0.25729700
H	0.95466900	-2.66197100	0.18669200
H	-0.60832700	-2.48987600	-0.62687000
C	0.68742600	-5.37291300	0.80330500
H	0.58093700	-5.74459300	2.93928300
H	-0.98819600	-5.57362100	2.14669400
H	0.47232200	-4.64342200	-1.23686600
H	-1.05339100	-4.90603700	-0.38936300

H	0.68409900	-6.43760500	0.52783100
H	1.74739200	-5.06528900	0.85523500
C	5.89633900	2.99854000	-0.34226700
C	5.08212600	3.90624000	-1.27971700
C	7.27547200	2.86516200	-0.99577400
C	6.07924700	3.70911700	1.00435200
H	4.09002300	4.15889500	-0.88538100
H	4.93850600	3.43202900	-2.26160800
H	5.61626200	4.85404500	-1.44076800
H	8.01864800	2.37929300	-0.35430700
H	7.65116300	3.87743100	-1.20877100
H	7.23637400	2.31967400	-1.94664900
H	6.61641400	4.65935800	0.86400700
H	6.66568300	3.09650500	1.70503000
H	5.11998000	3.94173400	1.48781400
C	5.13329700	-2.21142700	-0.57574100
C	6.48521100	-2.41674900	-1.26709300
C	4.08706700	-2.82409200	-1.52187100
C	5.13519700	-3.01418900	0.73150100
H	7.34382800	-2.19738000	-0.62387300
H	6.58071800	-1.81538100	-2.18001400
H	6.56557000	-3.47529500	-1.55665400
H	3.07659400	-2.85058700	-1.09227800
H	4.36072200	-3.86332700	-1.75487800
H	4.03794000	-2.26990100	-2.47124800
H	5.38389800	-4.06785400	0.53277300
H	4.15464800	-2.99290000	1.22968000
H	5.87693100	-2.62503300	1.44450600
O	6.92507200	0.19367600	-0.57753800
C	7.68807600	0.02542700	0.59659200

H	7.37412600	-0.86322300	1.16892300
H	7.61434500	0.89889300	1.26547400
H	8.73421800	-0.10123400	0.29217900
Pd	-1.53594500	-0.07541700	-0.23902800
C	-5.63073400	2.59664400	-0.79524600
C	-5.72247000	1.87985800	0.39599800
H	-6.35993400	2.23631300	1.20275900
C	-5.00481600	0.69633300	0.53242800
H	-5.08767500	0.10927300	1.44677800
C	-4.18929000	0.26878000	-0.51318600
C	-4.12413900	0.99267600	-1.70557000
C	-4.86144700	2.15594700	-1.86651500
H	-4.83842900	2.69286300	-2.81303600
C	-3.32519300	-0.94542400	-0.43098100
C	-3.35782900	0.32889400	-2.81130200
C	-2.13300000	-1.71054100	-3.11142200
C	-0.91397600	-1.88224300	-3.82131300
C	-0.73835800	-3.11463900	-4.46924100
H	0.18133100	-3.29584900	-5.01924900
C	-1.68832000	-4.12977600	-4.46539600
H	-1.48485800	-5.06210900	-4.99111600
C	-2.89293300	-3.93174200	-3.80619200
H	-3.66699100	-4.69838200	-3.80326600
C	-3.09747300	-2.73127000	-3.14323000
H	-4.03324400	-2.55666400	-2.61425400
C	0.21063800	-0.83636000	-3.90668000
C	0.96322200	-0.80056600	-2.57405300
H	1.78930100	-0.07225400	-2.61718900
H	1.39075800	-1.78887300	-2.34529900
H	0.30079300	-0.51162400	-1.74667000

Cl	-6.54327600	4.08669100	-0.95865400
N	-2.49078300	-0.58887800	-2.31450900
O	-3.62513400	-2.07665900	-0.15353800
O	-3.60260300	0.57842700	-3.98874100
C	-0.32600800	0.55778400	-4.24091800
H	-0.98794500	0.94621600	-3.46443100
H	-0.87170300	0.55406900	-5.19511400
H	0.51657700	1.26039300	-4.33608000
C	1.24101500	-1.17599500	-4.98975700
H	1.97674700	-0.36121500	-5.04820800
H	0.78326400	-1.27718600	-5.98478500
H	1.80565600	-2.09443900	-4.77567100

SMD-M06/6-31G(d)/LANL2DZ

Electronic Energy (0K) = -3914.7501144

Electronic Energy (0K) + ZPE = -3913.537178

Enthalpy (298K) = -3913.467999

Free Energy (298K) = -3913.637086

SMD-M06/6-311+G(d,p)/SDD

Electronic Energy (0K) = -3916.699936

3.2 Optimized Structures and Associated Thermodynamic Data

2aa-GS

C	-2.15564900	-2.17110400	-0.20771800
C	-3.46402100	-2.58846900	-0.40381600
C	-4.46164500	-1.62656800	-0.48336900
C	-4.14684700	-0.27692300	-0.36049100
C	-2.83861000	0.18076000	-0.15444400
C	-1.84923500	-0.81754800	-0.08519300
N	-0.45921600	-0.51389900	0.08899900

C	-2.55538900	1.68191500	0.03438800
C	-3.77973300	2.53008200	-0.34586100
C	-2.24823600	1.94765700	1.51875800
C	-1.38006200	2.17358100	-0.83547600
C	0.43104600	-0.38924300	-0.99297600
C	1.78811800	-0.24493500	-0.37926000
C	1.65844400	-0.35799100	0.99758100
C	0.21571300	-0.57481400	1.31993600
O	0.13388300	-0.39763800	-2.15882600
O	-0.29046600	-0.75934900	2.39762200
C	3.01137400	-0.04270600	-0.99032400
C	4.11582300	0.04466600	-0.14130800
C	4.00273700	-0.06799200	1.24728500
C	2.75550400	-0.27453100	1.83694300
Cl	5.69038000	0.30260100	-0.83374200
H	-1.34430200	-2.88978600	-0.14871600
H	-3.69520200	-3.64375300	-0.49736700
H	-5.49416000	-1.92015600	-0.64289700
H	-4.95579500	0.43806500	-0.43292200
H	-3.52852000	3.58715200	-0.22456300
H	-4.07550200	2.36975500	-1.38749400
H	-4.63918000	2.32652500	0.29853300
H	-2.03363800	3.01158000	1.66709400
H	-1.39156500	1.37031400	1.87102200
H	-3.10578000	1.67902800	2.14286600
H	-0.41234000	1.92202200	-0.39760600
H	-1.42222900	1.75548100	-1.84478300
H	-1.41922100	3.26437800	-0.91092200
H	3.10903700	0.04289200	-2.06656400
H	4.89751000	0.00704000	1.85464600

H	2.64560300	-0.36658700	2.91199700
Zero-point correction=			0.302987 (Hartree/Particle)
Thermal correction to Energy=			0.322032
Thermal correction to Enthalpy=			0.322976
Thermal correction to Gibbs Free Energy=			0.255401
Sum of electronic and zero-point Energies=			-1360.298543
Sum of electronic and thermal Energies=			-1360.279498
Sum of electronic and thermal Enthalpies=			-1360.278554
Sum of electronic and thermal Free Energies=			-1360.346129
E(m062x/def2tzvp) = -1360.60153			

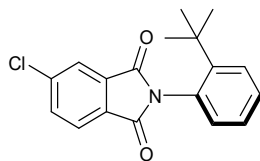
2aa-TS

C	1.84116400	2.28830400	-0.10425900
C	3.04637700	2.96919400	-0.02927600
C	4.21541900	2.25747500	0.13270600
C	4.12910000	0.87507400	0.14598500
C	2.94402300	0.13111800	0.03702600
C	1.72279300	0.88882200	-0.02559400
N	0.31886000	0.41191000	-0.02460300
C	3.14079000	-1.39720900	-0.04124200
C	2.68910300	-2.04717700	1.29123900
C	2.50913300	-1.91920200	-1.35861600
C	4.62172900	-1.82376600	-0.17654200
C	-0.81217500	1.31077200	-0.00294700
C	-2.05162200	0.49439200	-0.00403800
C	-1.69819100	-0.82843500	0.02636600
C	-0.21856300	-0.92032600	0.04529800
O	-0.84835300	2.51551700	0.02459800
O	0.35654100	-1.97156400	0.11654700
C	-3.36926100	0.91719800	-0.01575400

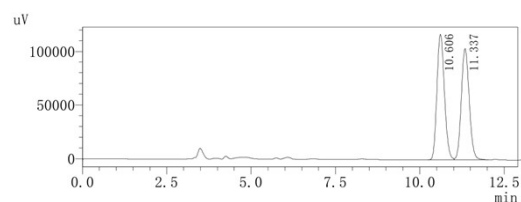
C	-4.32622600	-0.09598400	-0.00056800
C	-3.98204900	-1.45357200	0.03081600
C	-2.64398700	-1.84066300	0.04750700
Cl	-6.01038500	0.33604800	-0.01908300
H	0.96375400	2.89256300	-0.21677400
H	3.04091100	4.05216400	-0.09125800
H	5.17916800	2.74720500	0.22211300
H	5.05857500	0.33323000	0.23163800
H	1.81476700	-1.57790000	1.73392900
H	2.46808400	-3.10910400	1.15132100
H	3.50486200	-1.95717000	2.01576900
H	2.24994300	-2.97774600	-1.27356900
H	3.24062500	-1.80726200	-2.16527200
H	1.61840700	-1.37809100	-1.66838500
H	5.10610600	-1.38006100	-1.05065100
H	5.21782800	-1.59950100	0.71162900
H	4.63385800	-2.91100300	-0.30616600
H	-3.63859600	1.96679200	-0.03505000
H	-4.77387800	-2.19384500	0.04347900
H	-2.35072600	-2.88417200	0.07607700
Zero-point correction =			0.303677 (Hartree/Particle)
Thermal correction to Energy =			0.321522
Thermal correction to Enthalpy =			0.322466
Thermal correction to Gibbs Free Energy =			0.257038
Sum of electronic and zero-point Energies =			-1360.252969
Sum of electronic and thermal Energies =			-1360.235124
Sum of electronic and thermal Enthalpies =			-1360.234180
Sum of electronic and thermal Free Energies =			-1360.299608
E(m062x/def2tzvp) = -1360.5566456			

4. Characterization Data for the Products

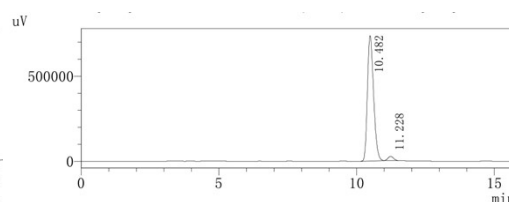
(*R*)-2-(2-(*tert*-butyl)phenyl)-5-chloroisoindoline-1,3-dione (2aa)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (30.8 mg, 98% yield) as a yellow solid: mp 182–183 °C; $[\alpha]_D^{25} = 11.0$ ($c = 0.46$ in MeCN, 94% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.93 (d, $J = 2.0$ Hz, 1H), 7.90 (d, $J = 8.0$ Hz, 1H), 7.76 (dd, $J = 8.0, 2.0$ Hz, 1H), 7.63 (dd, $J = 8.5, 1.5$ Hz, 1H), 7.46–7.43 (m, 1H), 7.33–7.30 (m, 1H), 6.99 (dd, $J = 8.0, 1.5$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.6, 167.3, 149.2, 141.1, 134.4, 133.9, 131.2, 130.3, 130.0, 129.4, 128.8, 127.3, 125.1, 124.2, 35.6, 31.6; MS (EI) 313 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{ClNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 314.0942, found 314.0939. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 10.5 min, t (minor) = 11.2 min.

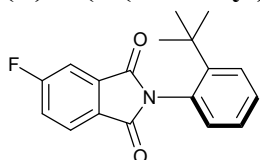


峰#	RT (min)	Area	Height	Area%	Height%
1	10.606	1810139	117122	51.746	53.057
2	11.337	1687962	103627	48.254	46.943
总计		3498102	220749	100.000	100.000

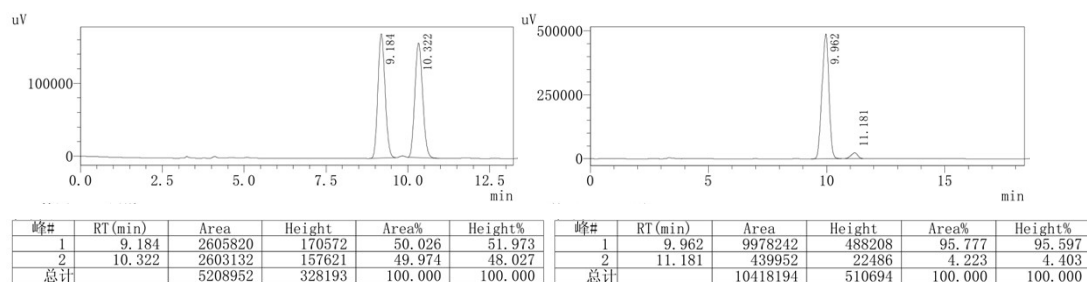


峰#	RT (min)	Area	Height	Area%	Height%
1	10.482	11412784	737109	97.100	96.757
2	11.228	340841	24705	2.900	3.243
总计		11753625	761814	100.000	100.000

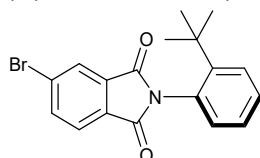
(*R*)-2-(2-(*tert*-butyl)phenyl)-5-fluoroisoindoline-1,3-dione (2ab)



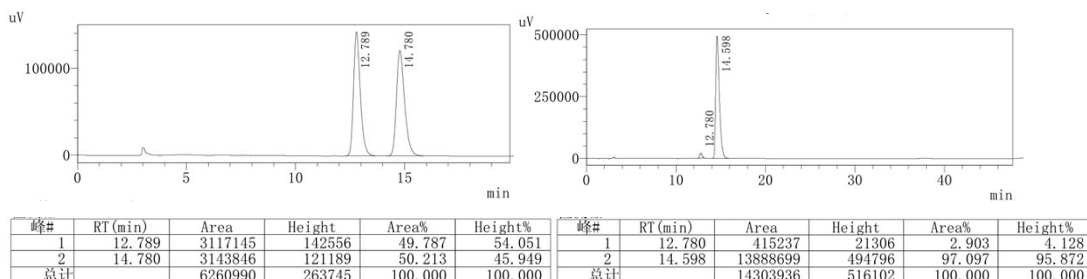
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (29.4 mg, 99% yield) as a white solid: mp 142–143 °C; $[\alpha]_D^{25} = 10.7$ ($c = 0.38$ in MeCN, 92% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.96 (dd, $J = 8.0, 4.5$ Hz, 1H), 7.64–7.62 (m, 2H), 7.48–7.42 (m, 2H), 7.33–7.30 (m, 1H), 6.99 (dd, $J = 8.0, 1.5$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.6 (d, $J = 3.8$ Hz), 167.2 (d, $J = 2.5$ Hz), 165.5, 149.2, 135.1 (d, $J = 10.0$ Hz), 131.2, 127.0, 129.5, 128.8, 128.1 (d, $J = 2.5$ Hz), 127.3, 126.2 (d, $J = 10.0$ Hz), 121.4 (d, $J = 23.8$ Hz), 111.6 (d, $J = 2.5$ Hz), 35.6, 31.6; MS (EI) 297 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{FNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 298.1238, found 298.1232. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 10.0 min, t (minor) = 11.2 min.



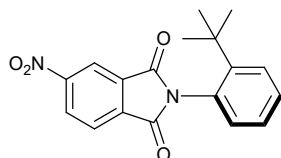
(R)- 5-bromo-2-(2-(*tert*-butyl)phenyl)isoindoline-1,3-dione (2ac)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (28.1 mg, 79% yield) as a white solid: mp 172–173 °C; $[\alpha]_D^{25} = 11.8$ ($c = 0.29$ in MeCN, 94% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.10 (d, $J = 1.5$ Hz, 1H), 7.93 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.82 (d, $J = 8.0$ Hz, 1H), 7.63 (dd, $J = 8.5, 1.5$ Hz, 1H), 7.46–7.42 (m, 1H), 7.33–7.30 (m, 1H), 6.98 (dd, $J = 8.0, 1.5$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.8, 167.2, 149.2, 137.3, 133.9, 131.2, 130.8, 130.0, 129.4, 129.3, 128.8, 127.3, 127.1, 125.2, 35.6, 31.6; MS (EI) 357 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{BrNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 358.0437, found 358.0420. The enantiomeric ratio was determined by Daicel Chiralcel OD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 14.6 min, t (minor) = 12.8 min.

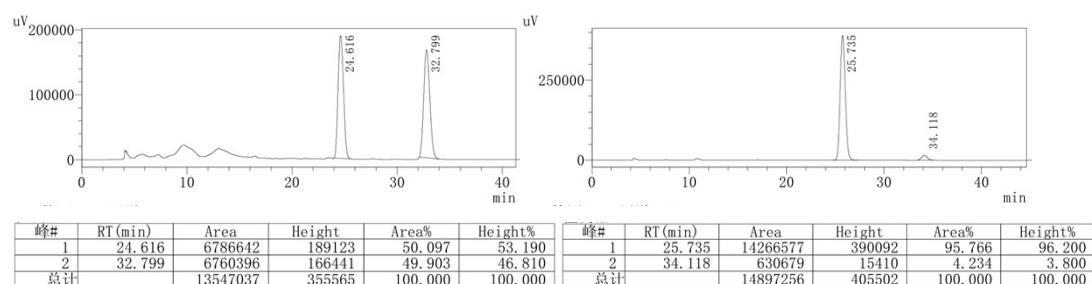


(R)- 2-(2-(*tert*-butyl)phenyl)-5-nitroisoindoline-1,3-dione (2ad)

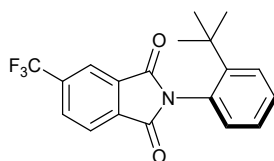


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (30.8 mg, 95% yield) as a white solid: mp 160–161 °C; $[\alpha]_D^{25} = -17.7$ ($c = 0.30$ in MeCN, 92% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.78 (d, $J = 2.0$ Hz, 1H), 8.68 (dd, $J = 8.0, 2.0$ Hz, 1H), 8.61 (d, $J = 8.0$ Hz, 1H), 7.66 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.49–7.46 (m, 1H), 7.35–7.32 (m, 1H), 7.00 (dd, $J = 7.5, 1.5$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 166.5, 166.2, 152.0, 149.0, 136.6, 133.6, 131.0, 130.3, 129.6, 129.0, 128.9, 127.4, 125.1, 119.3, 35.6, 31.6; MS (EI) 324 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{N}_2\text{O}_4^+$ ($\text{M}+\text{H}$) $^+$ 325.1183, found 325.1175. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, $\lambda = 254$

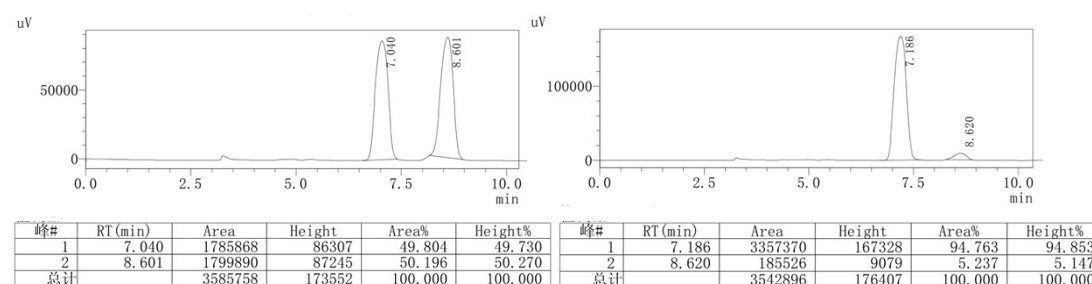
nm, t (major) = 25.7 min, t (minor) = 34.1 min.



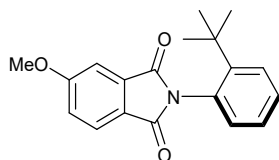
(R)- 2-(2-(*tert*-butyl)phenyl)-5-(trifluoromethyl)isoindoline-1,3-dione (2ae)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (32.3 mg, 93% yield) as a white solid: mp 120–121 °C; $[\alpha]_D^{25} = -12.1$ ($c = 0.22$ in MeCN, 90% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.24 (dd, $J = 1.5, 0.5$ Hz, 1H), 8.10–8.09 (m, 2H), 7.65 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.48–7.44 (m, 1H), 7.00 (dd, $J = 8.0, 1.5$ Hz, 1H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.2, 167.1, 149.2, 136.4 (q, $J = 33.75$ Hz) 135.0, 132.9, 131.5 (q, $J = 47.5$ Hz), 130.1, 129.2, 128.9, 127.4, 124.4, 123.0 (q, $J = 271.3$ Hz), 121.9, 121.1 (q, $J = 3.8$ Hz), 119.7, 35.6, 31.6; MS (EI) 347 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{17}\text{F}_3\text{NO}_2^+$ ($\text{M}+\text{H}$) $^+$ 348.1206, found 348.1229. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 7.2 min, t (minor) = 8.6 min.

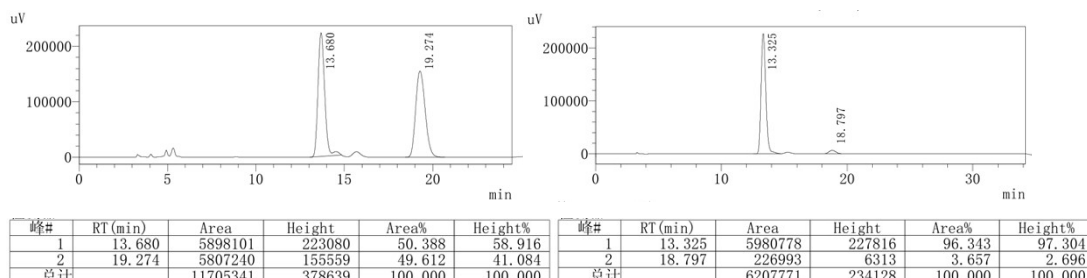


(R)- 2-(2-(*tert*-butyl)phenyl)-5-methoxyisoindoline-1,3-dione (2af)

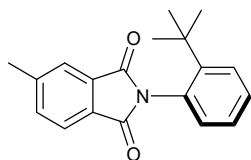


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (28.7 mg, 93% yield) as a white solid: mp 135–136 °C; $[\alpha]_D^{25} = 15.2$ ($c = 0.25$ in MeCN, 93% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.86 (d, $J = 8.0$ Hz, 1H), 7.62 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.44–7.40

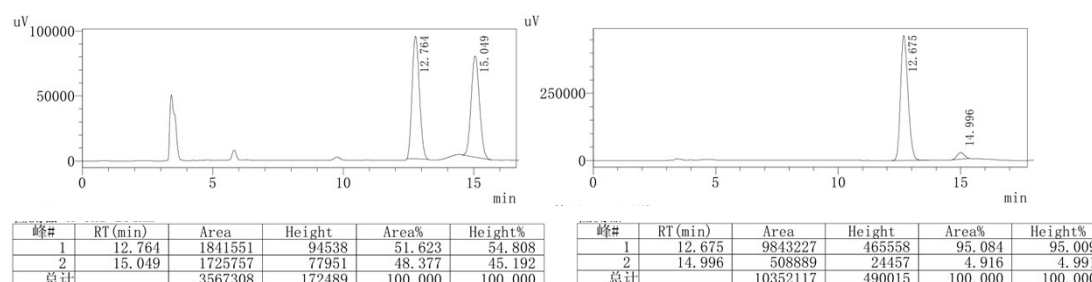
(m, 2H), 7.32–7.30 (m, 1H), 7.24 (dd, $J = 8.5, 2.5$ Hz, 1H), 7.00 (dd, $J = 7.5, 1.5$ Hz, 1H), 3.95 (d, $J = 1.5$ Hz, 3H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 168.4, 168.4, 164.9, 149.3, 135.0, 131.4, 129.9, 129.7, 128.6, 127.2, 125.5, 124.2, 120.4, 108.2, 56.1, 35.5, 31.6; MS (EI) 309 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_3^+$ ($\text{M}+\text{H}$) $^+$ 310.1438, found 310.1454. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 13.3 min, t (minor) = 18.8 min.



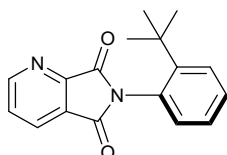
(*R*)-2-(2-(*tert*-butyl)phenyl)-5-methylisoindoline-1,3-dione (2ag)



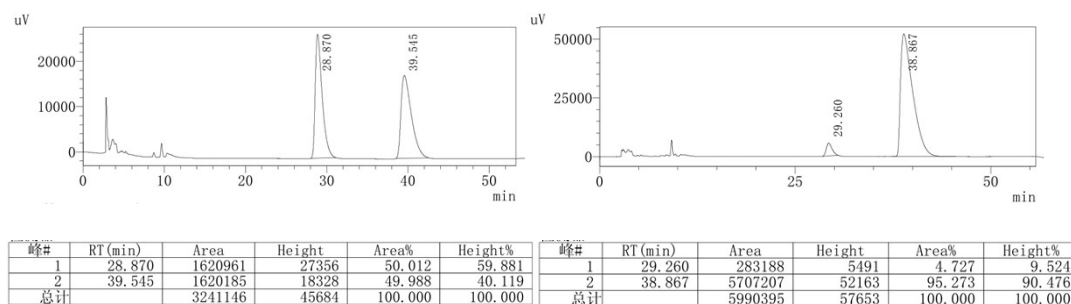
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (26.1 mg, 89% yield) as a white solid: mp 136–137 °C; $[\alpha]_{\text{D}}^{25} = 9.8$ ($c = 0.30$ in MeCN, 90% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.84 (d, $J = 8.0$ Hz, 1H), 7.76 (d, $J = 1.0$ Hz, 1H), 7.63 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.58 (dd, $J = 7.5, 1.5$ Hz, 1H), 7.44–7.41 (m, 1H), 7.32–7.29 (m, 1H), 7.00 (dd, $J = 7.5, 1.5$ Hz, 1H), 2.55 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 168.8, 168.7, 149.3, 145.6, 134.8, 132.7, 131.4, 129.9, 129.7, 129.7, 128.6, 127.2, 124.3, 123.7, 35.5, 31.6, 22.0; MS (EI) 293 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_2^+$ ($\text{M}+\text{H}$) $^+$ 294.1489, found 294.1494. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 12.7 min, t (minor) = 15.0 min.



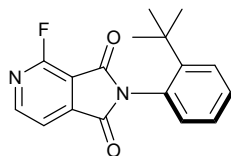
(*R*)-6-(2-(*tert*-butyl)phenyl)-5*H*-pyrrolo[3,4-*b*]pyridine-5,7(6*H*)-dione (2ah)



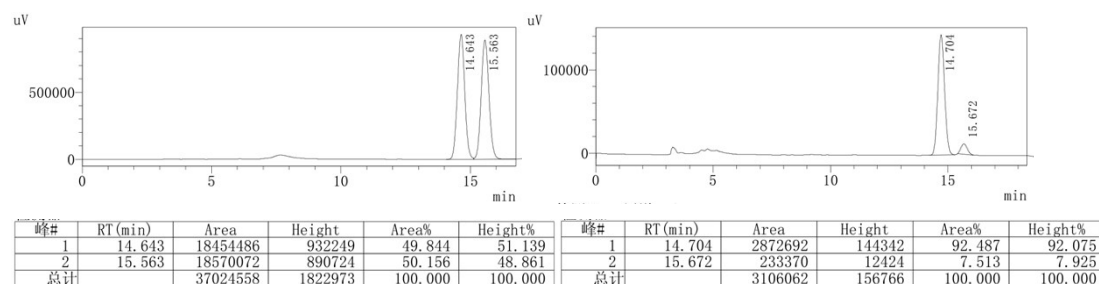
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (27.2 mg, 97% yield) as a white solid: mp 176–177 °C; $[\alpha]_{\text{D}}^{25} = -27.3$ ($c = 0.22$ in MeCN, 90% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 9.27 (s, 1H), 9.14 (d, $J = 4.5$ Hz, 1H), 7.86 (dd, $J = 5.0, 1.5$ Hz, 1H), 7.65 (dd, $J = 8.5, 1.5$ Hz, 1H), 7.48–7.44 (m, 1H), 7.34–7.30 (m, 1H), 6.98 (dd, $J = 8.0, 1.5$ Hz, 1H), 1.30 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.4, 167.1, 155.9, 149.1, 145.3, 139.5, 131.1, 130.2, 129.0, 128.9, 127.4, 126.1, 117.2, 35.6, 31.6; MS (EI) 280 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{17}\text{H}_{17}\text{N}_2\text{O}_2^+$ ($\text{M}+\text{H}$) $^+$ 281.1285, found 281.1285. The enantiomeric ratio was determined by Daicel Chiralcel OD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 38.9 min, t (minor) = 29.3 min.



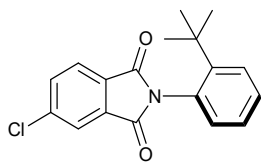
(*R*)-2-(2-(*tert*-butyl)phenyl)-4-fluoro-1*H*-pyrrolo[3,4-*c*]pyridine-1,3(2*H*)-dione (2ai)



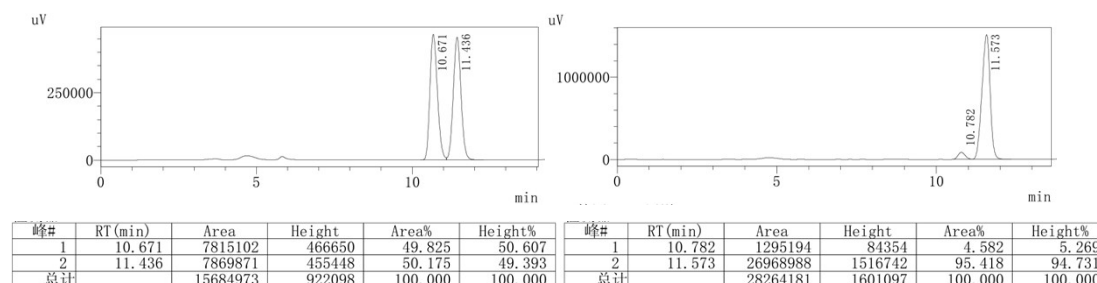
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (26.2 mg, 88% yield) as a yellow solid: mp 165–166 °C; $[\alpha]_{\text{D}}^{25} = 42.9$ ($c = 0.35$ in MeCN, 85% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.70 (d, $J = 5.0$ Hz, 1H), 7.79 (dd, $J = 4.5, 2.0$ Hz, 1H), 7.65 (dd, $J = 8.5, 1.5$ Hz, 1H), 7.48–7.45 (m, 1H), 7.34–7.30 (m, 1H), 6.97 (dd, $J = 8.0, 1.5$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 165.8 (d, $J = 3.8$ Hz), 164.2 (d, $J = 6.2$ Hz), 159.0, 157.0, 155.5 (d, $J = 13.8$ Hz), 149.1, 145.2 (d, $J = 2.5$ Hz), 131.1, 130.3, 129.0, 128.6, 127.4, 116.0 (d, $J = 6.2$ Hz), 112.2 (d, $J = 28.8$ Hz), 35.6, 31.6; MS (EI) 298 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{17}\text{H}_{16}\text{FN}_2\text{O}_2^+$ ($\text{M}+\text{H}$) $^+$ 299.1190, found 299.1190. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 14.7 min, t (minor) = 15.7 min.



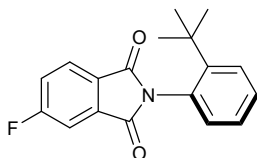
(S)-2-(2-(*tert*-butyl)phenyl)-5-chloroisindoline-1,3-dione (2aj)



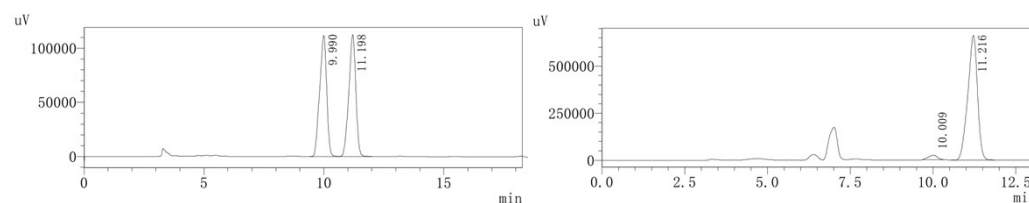
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (31.0 mg, 99% yield) as a white solid: mp 182–183 °C; $[\alpha]_D^{25} = -9.1$ ($c = 0.29$ in MeCN, 91% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.86 (t, $J = 2.5$ Hz, 1H), 7.82 (dd, $J = 7.5, 2.5$ Hz, 1H), 7.70–7.67 (m, 1H), 7.58–7.54 (m, 1H), 7.39–7.34 (m, 1H), 7.25–7.18 (m, 1H), 6.93–6.90 (m, 1H), 1.25 (dd, $J = 4.0, 2.0$ Hz, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.6, 167.3, 149.2, 141.1, 134.4, 134.0, 131.2, 130.3, 130.0, 129.4, 128.8, 127.3, 125.1, 124.2, 35.6, 31.6; MS (EI) 313 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{ClNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 314.0942, found 314.0939. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 10.8 min, t (minor) = 11.6 min.



(S)-2-(2-(*tert*-butyl)phenyl)-5-fluoroisindoline-1,3-dione (2ak)



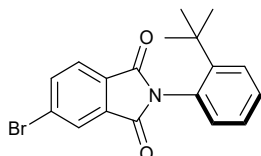
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (26.7 mg, 90% yield) as a white solid: mp 142–143 °C; $[\alpha]_D^{25} = -12.0$ ($c = 0.18$ in MeCN, 94% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.96 (dd, $J = 8.0, 4.5$ Hz, 1H), 7.74–7.62 (m, 2H), 7.48–7.43 (m, 2H), 7.33–7.30 (m, 1H), 6.99 (dd, $J = 8.0, 1.5$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.5 (d, $J = 7.5$ Hz), 167.2 (d, $J = 3.8$ Hz), 165.5, 149.2, 135.1 (d, $J = 5.0$ Hz), 131.2, 130.0, 129.5, 128.8, 128.1 (d, $J = 2.5$ Hz), 127.3, 126.2 (d, $J = 8.8$ Hz), 121.4 (d, $J = 23.8$ Hz), 111.5 (d, $J = 25.0$ Hz), 35.6, 31.6; MS (EI) 297 (M^+), HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{FNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 298.1238, found 298.1232. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 11.2 min, t (minor) = 10.0 min.



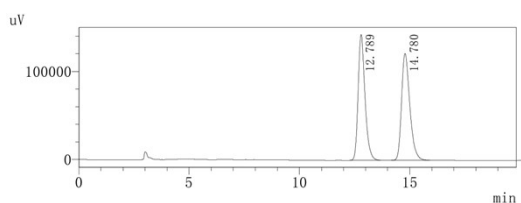
峰#	RT(min)	Area	Height	Area%	Height%
1	9.990	2267806	111717	49.939	49.850
2	11.198	2273320	112390	50.061	50.150
总计		4541125	224107	100.000	100.000

峰#	RT(min)	Area	Height	Area%	Height%
1	10.009	438518	24021	3.158	3.501
2	11.216	13448851	662013	96.842	96.499
总计		13887370	686034	100.000	100.000

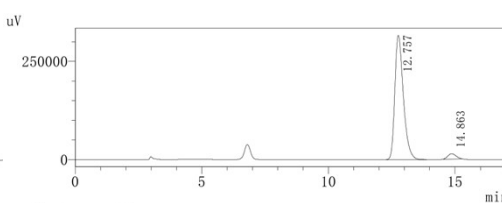
(S)-5-bromo-2-(2-(*tert*-butyl)phenyl)isoindoline-1,3-dione (2al)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (31.8 mg, 89% yield) as a white solid: mp 172–173 °C; $[\alpha]_D^{25} = 17.1$ ($c = 0.15$ in MeCN, 92% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.10 (s, 1H), 7.95–7.93 (m, 1H), 7.82 (d, $J = 8.0$ Hz, 1H), 7.63 (d, $J = 8.0$ Hz, 1H), 7.46–7.42 (m, 1H), 7.31 (t, $J = 7.5$ Hz, 1H), 6.98 (dd, $J = 8.0, 1.5$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.8, 167.2, 149.2, 137.3, 133.9, 131.2, 130.8, 130.0, 129.4, 129.3, 128.8, 127.3, 127.2, 125.2, 35.6, 31.6; MS (EI) 357 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{BrNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 358.0437, found 358.0420. The enantiomeric ratio was determined by Daicel Chiralcel OD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 12.8 min, t (minor) = 14.9 min.

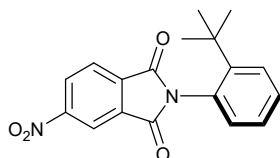


峰#	RT(min)	Area	Height	Area%	Height%
1	12.789	3117145	142556	49.787	54.051
2	14.780	3143846	121189	50.213	45.949
总计		6260990	263745	100.000	100.000

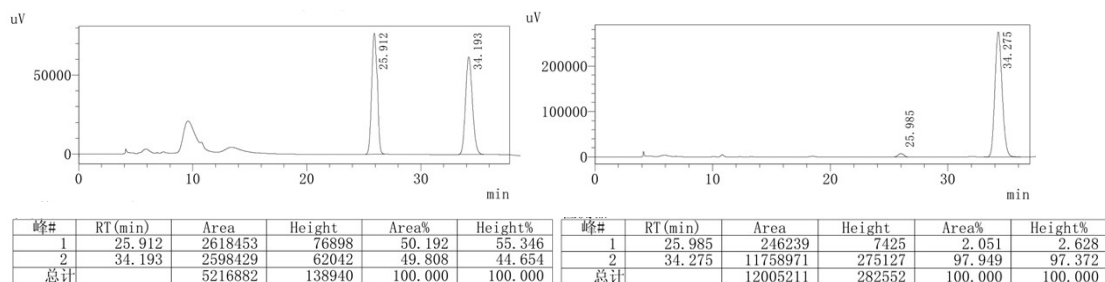


峰#	RT(min)	Area	Height	Area%	Height%
1	12.757	7373586	315902	95.958	95.790
2	14.863	310558	13883	4.042	4.210
总计		7684144	329784	100.000	100.000

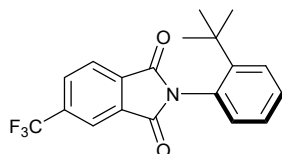
(S)-2-(2-(*tert*-butyl)phenyl)-5-nitroisoindoline-1,3-dione (2am)



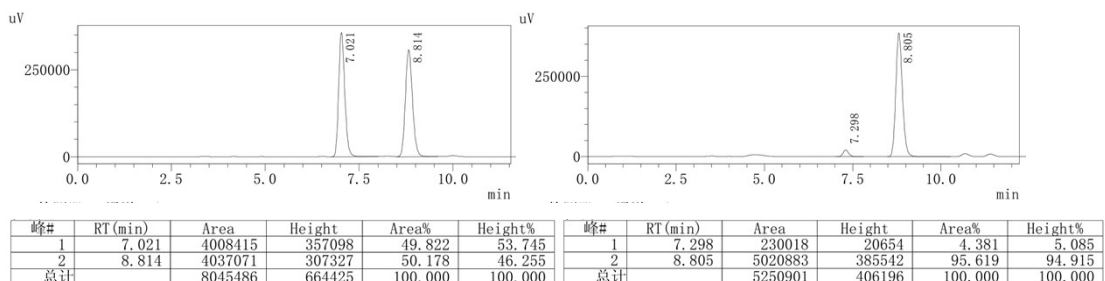
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (28.8 mg, 89% yield) as a white solid: mp 160–161 °C; $[\alpha]_D^{25} = 12.0$ ($c = 0.35$ in MeCN, 96% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.78 (d, $J = 2.0$ Hz, 1H), 8.68 (dd, $J = 8.0, 2.0$ Hz, 1H), 8.16 (d, $J = 8.0$ Hz, 1H), 7.66 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.49–7.46 (m, 1H), 7.35–7.32 (m, 1H), 7.00 (dd, $J = 7.5, 1.5$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 166.5, 166.2, 152.0, 149.1, 136.6, 133.6, 131.0, 130.3, 129.6, 129.0, 128.9, 127.4, 125.1, 119.2, 35.6, 31.6; MS (EI) 324 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{N}_2\text{O}_4^+$ ($\text{M}+\text{H}$) $^+$ 325.1183, found 325.1175. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 34.3 min, t (minor) = 26.0 min.



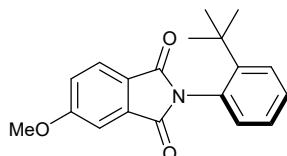
(S)-2-(2-(*tert*-butyl)phenyl)-5-(trifluoromethyl)isoindoline-1,3-dione (2an)



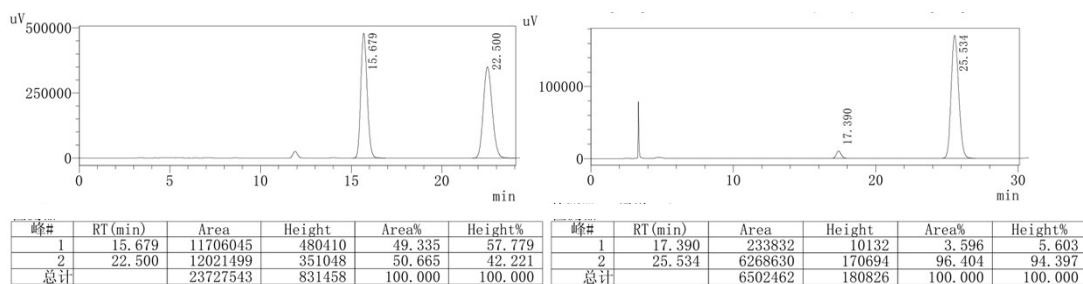
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (34.4 mg, 99% yield) as a white solid: mp 120–121 °C; $[\alpha]_D^{25} = 16.7$ ($c = 0.20$ in MeCN, 91% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.24 (s, 1H), 8.11–8.07 (m, 2H), 7.65 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.48–7.44 (m, 1H), 7.34–7.31 (m, 1H), 7.00 (dd, $J = 7.5, 1.5$ Hz, 1H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.2, 167.1, 149.2, 136.4 (q, $J = 32.5$ Hz), 135.0, 132.9, 131.5 (q, $J = 2.5$ Hz), 131.1, 130.1, 129.2, 128.9, 127.4, 124.4, 123.0 (q, $J = 271.2$ Hz), 121.1 (d, $J = 3.8$ Hz), 35.6, 31.6; MS (EI) 347 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{17}\text{F}_3\text{NO}_2^+$ ($\text{M}+\text{H}^+$) 348.1206, found 348.1229. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 8.8 min, t (minor) = 7.3 min.



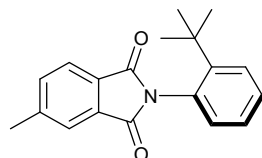
(S)-2-(2-(*tert*-butyl)phenyl)-5-methoxyisoindoline-1,3-dione (2ao)



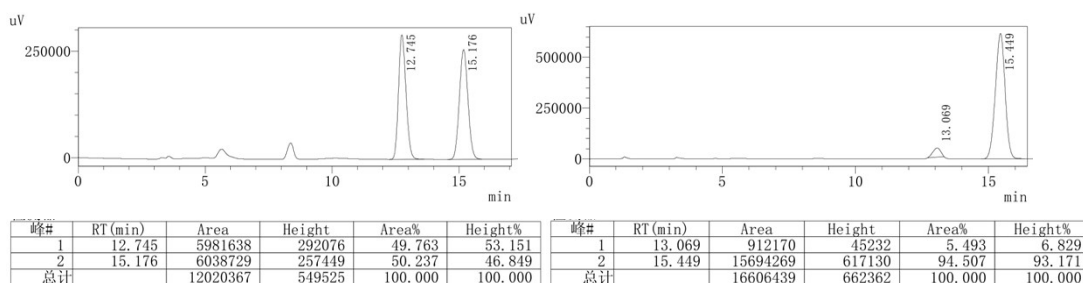
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (24.7 mg, 80% yield) as a white solid: mp 135–136 °C; $[\alpha]_D^{25} = -14.7$ ($c = 0.14$ in MeCN, 93% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.86 (d, $J = 8.5$ Hz, 1H), 7.63 (dd, $J = 8.5, 1.5$ Hz, 1H), 7.44–7.41 (m, 2H), 7.32–7.29 (m, 1H), 7.24 (dd, $J = 8.5, 2.5$ Hz, 1H), 7.00 (dd, $J = 7.5, 1.5$ Hz, 1H), 3.95 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 168.5, 168.4, 164.9, 149.3, 135.0, 131.4, 129.9, 129.7, 128.6, 127.2, 125.5, 124.2, 120.4, 108.2, 56.1, 35.5, 31.6; MS (EI) 309 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_3^+$ ($\text{M}+\text{H}^+$) 310.1438, found 310.1454. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, $\lambda = 254$ nm, t (major) = 25.5 min, t (minor) = 17.4 min.



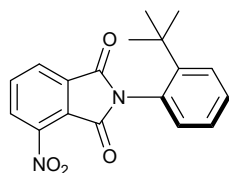
(S)-2-(2-(*tert*-butyl)phenyl)-5-methylisindoline-1,3-dione (2ap)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (26.4 mg, 90% yield) as a white solid: mp 136–137 °C; $[\alpha]_D^{25} = -8.5$ ($c = 0.54$ in MeCN, 89% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.84 (d, $J = 8.0$ Hz, 1H), 7.76 (d, $J = 1.5$ Hz, 1H), 7.63 (dd, $J = 8.5$, 1.5 Hz, 1H), 7.59–7.58 (m, 1H), 7.44–7.41 (m, 1H), 7.32–7.29 (m, 1H), 7.00 (dd, $J = 8.0$, 1.5 Hz, 1H), 2.55 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 168.8, 168.7, 149.3, 145.6, 139.2, 134.8, 132.7, 131.4, 129.9, 129.7, 128.6, 127.2, 124.3, 123.7, 35.5, 31.6, 22.0; MS (EI) 293 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_2^+$ ($\text{M}+\text{H}$) $^+$ 294.1489, found 294.1494. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 15.4 min, t (minor) = 13.1 min.

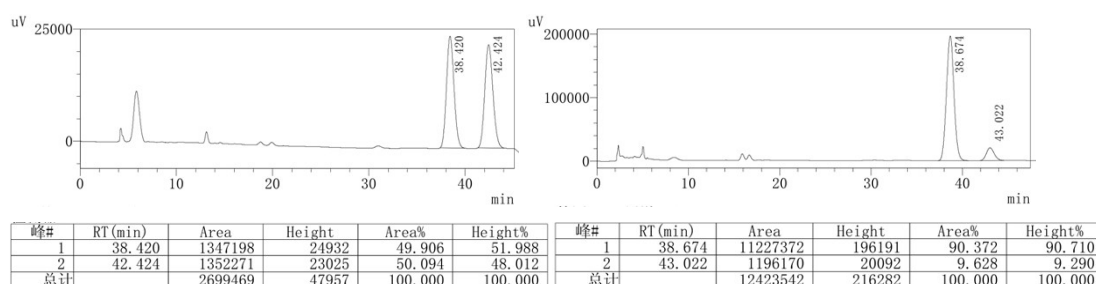


(S)-2-(2-(*tert*-butyl)phenyl)-4-nitroisindoline-1,3-dione (2aq)

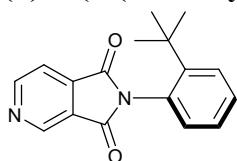


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (31.8 mg, 98% yield) as a yellow oil; $[\alpha]_D^{25} = -74.7$ ($c = 0.47$ in MeCN, 81% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.21 (dd, $J = 7.5$, 1.0 Hz, 1H), 8.17 (dd, $J = 8.5$, 1.0 Hz, 1H), 7.98 (dd, $J = 8.0$, 7.5 Hz, 1H), 7.64 (dd, $J = 8.5$, 1.5 Hz, 1H), 7.47–7.44 (m, 1H), 7.33–7.30 (m, 1H), 6.99 (dd, $J = 7.5$, 2.0 Hz, 1H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 166.1, 163.0, 149.1, 145.4, 135.7, 134.2, 131.1, 130.2, 128.9, 128.9, 128.9, 127.5, 127.4, 123.9, 35.6, 31.6; MS (EI) 324 (M^+);

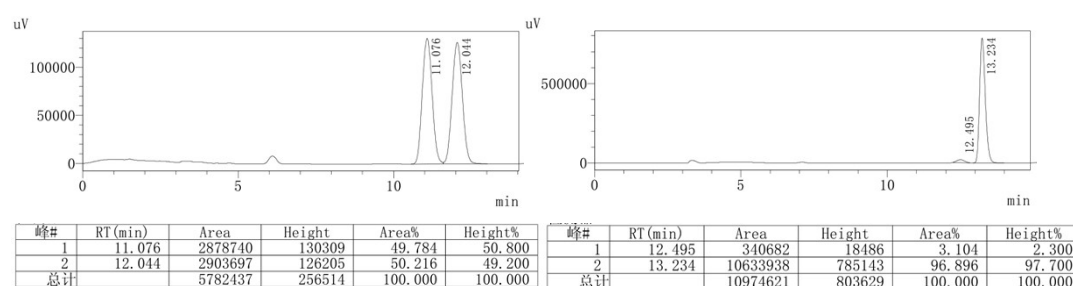
HRMS (ESI) m/z calcd for $C_{18}H_{17}N_2O_4^+$ ($M+H$) $^+$ 325.1183, found 325.1183. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, λ = 254 nm, t (major) = 38.7 min, t (minor) = 42.0 min.



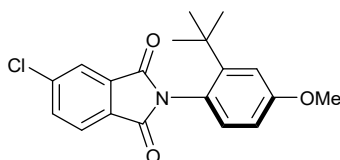
(S)-2-(2-(*tert*-butyl)phenyl)-1*H*-pyrrolo[3,4-*c*]pyridine-1,3(2*H*)-dione (2ar)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (23.1 mg, 79% yield) as a white solid: mp 169–170 °C; $[\alpha]_D^{25}$ = 11.9 (c = 0.43 in MeCN, 94% ee); 1H NMR ($CDCl_3$, 500 MHz) δ 8.10 (d, J = 1.5 Hz, 1H), 7.93 (dd, J = 8.0, 1.5 Hz, 1H), 7.82 (d, J = 8.0 Hz, 1H), 7.63 (dd, J = 8.0, 1.5 Hz, 1H), 7.46–7.42 (m, 1H), 7.33–7.26 (m, 1H), 6.98 (dd, J = 8.0, 1.5 Hz, 1H), 1.31 (s, 9H); ^{13}C NMR ($CDCl_3$, 125 MHz) δ 167.8, 167.2, 149.2, 137.3, 133.9, 131.2, 130.8, 130.0, 129.3, 128.8, 127.3, 127.1, 125.2, 35.6, 31.6; MS (EI) 280 (M^+); HRMS (ESI) m/z calcd for $C_{19}H_{20}NO_2^+$ ($M+H$) $^+$ 281.1285, found 281.1285. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, λ = 254 nm, t (major) = 13.2 min, t (minor) = 12.5 min.

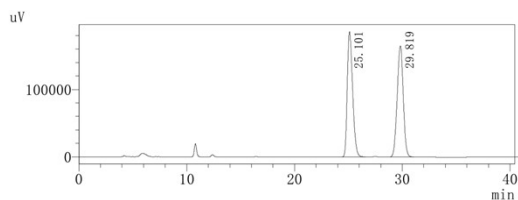


(R)-2-(2-(*tert*-butyl)-4-methoxyphenyl)-5-chloroisindoline-1,3-dione (2ba)

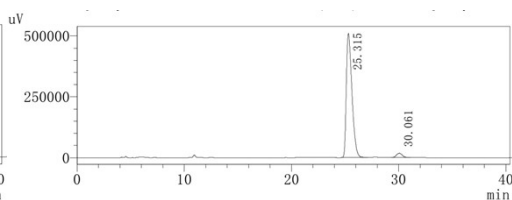


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (33.6 mg, 98% yield) as a white solid: mp 98–99 °C; $[\alpha]_D^{25}$ = 13.2 (c = 0.24 in MeCN, 93% ee); 1H NMR ($CDCl_3$, 500 MHz) δ 7.92 (d, J = 1.5 Hz, 1H), 7.88 (d, J = 8.0 Hz, 1H), 7.75 (dd, J = 8.0,

2.0 Hz, 1H), 7.14 (d, $J = 3.0$ Hz, 1H), 6.92 (d, $J = 8.5$ Hz, 1H), 6.83 (dd, $J = 8.0, 2.5$ Hz, 1H), 3.83 (s, 3H), 1.28 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.9, 167.6, 160.2, 150.6, 141.0, 134.3, 133.9, 132.2, 130.3, 125.0, 124.2, 121.9, 115.4, 111.4, 55.3, 35.5, 31.4; MS (EI) 343 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{19}\text{ClNO}_3^+$ ($\text{M}+\text{H}$) $^+$ 344.1048, found 344.1052. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 25.3 min, t (minor) = 30.1 min.

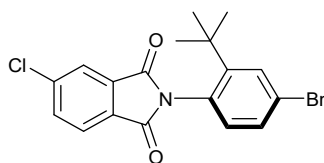


峰#	RT(min)	Area	Height	Area%	Height%
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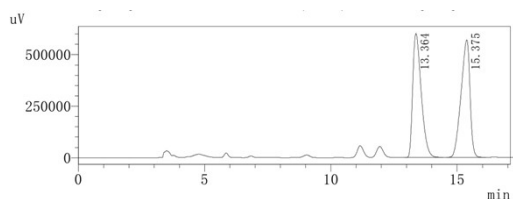


峰#	RT(min)	Area	Height	Area%	Height%
1	25.315	18527184	510244	96.446	96.579
2	30.061	682655	18075	3.554	3.421
总计		19209839	528319	100.000	100.000

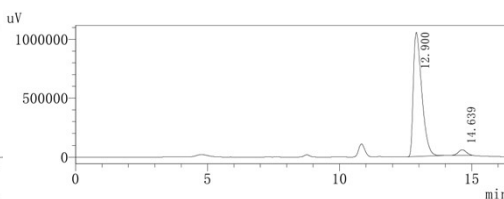
(*R*)-2-(4-bromo-2-(*tert*-butyl)phenyl)-5-chloroisindoline-1,3-dione (2bb)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (38.6 mg, 99% yield) as a white solid: mp 116–117 °C; $[\alpha]_{\text{D}}^{25} = 17.5$ ($c = 0.24$ in MeCN, 92% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.92 (d, $J = 2.0$ Hz, 1H), 7.89 (d, $J = 8.0$ Hz, 1H), 7.78–7.74 (m, 2H), 7.44 (dd, $J = 8.5, 2.0$ Hz, 1H), 6.86 (d, $J = 8.0$ Hz, 1H), 1.29 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.3, 167.0, 151.6, 141.3, 134.6, 133.8, 132.8, 132.2, 130.5, 130.2, 128.6, 125.1, 124.4, 124.3, 35.8, 31.4; MS (EI) 391 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{16}\text{BrClNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 392.0047, found 392.0016. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 12.9 min, t (minor) = 14.6 min.

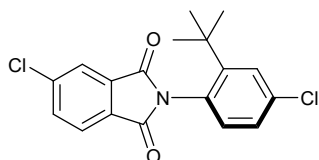


峰#	RT(min)	Area	Height	Area%	Height%
1	13.364	14119126	602716	50.095	51.356
2	15.375	14065720	570886	49.905	48.644
总计		28184846	1173602	100.000	100.000

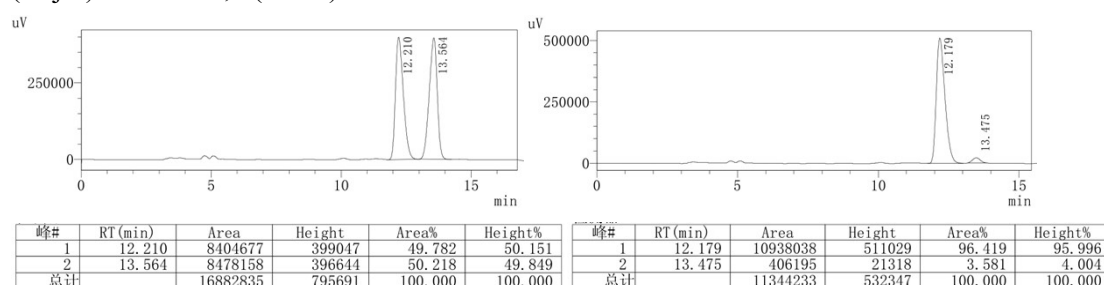


峰#	RT(min)	Area	Height	Area%	Height%
1	12.900	23535009	1053754	96.071	95.798
2	14.639	962626	46222	3.929	4.202
总计		24497634	1099976	100.000	100.000

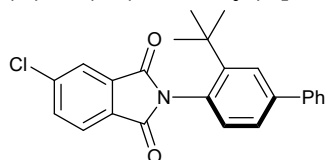
(*R*)-2-(2-(*tert*-butyl)-4-chlorophenyl)-5-chloroisindoline-1,3-dione (2bc)



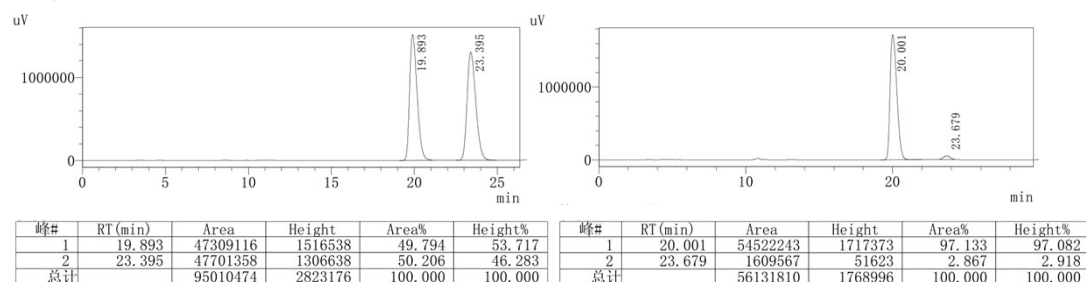
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (34.0 mg, 98% yield) as a white solid: mp 143–144 °C; $[\alpha]_D^{25} = 8.2$ ($c = 0.30$ in MeCN, 93% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.93 (d, $J = 1.5$ Hz, 1H), 7.89 (d, $J = 8.0$ Hz, 1H), 7.77 (dd, $J = 8.0$, 2.0 Hz, 1H), 7.59 (d, $J = 2.5$ Hz, 1H), 7.29 (dd, $J = 8.0$, 2.5 Hz, 1H), 6.93 (d, $J = 8.0$ Hz, 1H), 1.29 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.4, 167.1, 151.3, 141.3, 136.0, 134.6, 133.8, 132.6, 130.2, 129.2, 128.1, 127.5, 125.2, 124.4, 35.8, 31.4; MS (EI) 347 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{16}\text{Cl}_2\text{NO}_2^+$ ($\text{M}+\text{H}^+$) 348.0553, found 348.0568. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 12.2 min, t (minor) = 13.5 min.



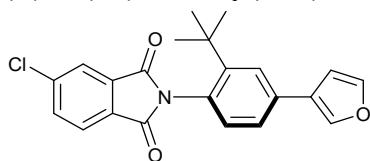
(*R*)-2-(3-(*tert*-butyl)-[1,1'-biphenyl]-4-yl)-5-chloroisindoline-1,3-dione (2bd)



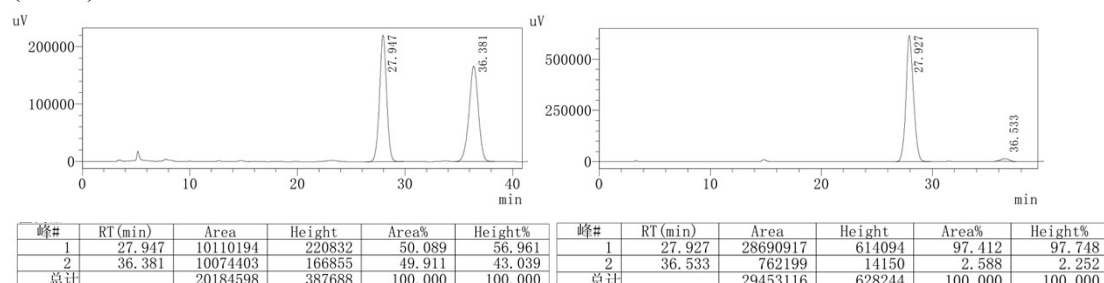
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (38.1 mg, 98% yield) as a white solid: mp 178–179 °C; $[\alpha]_D^{25} = 16.1$ ($c = 0.27$ in MeCN, 94% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.95 (d, $J = 1.5$ Hz, 1H), 7.92 (d, $J = 8.0$ Hz, 1H), 7.81 (d, $J = 2.0$ Hz, 1H), 7.78 (dd, $J = 8.0$, 1.5 Hz, 1H), 7.61–7.59 (m, 2H), 7.51 (dd, $J = 8.0$, 2.0 Hz, 1H), 7.48–7.45 (m, 2H), 7.40–7.37 (m, 1H), 7.07 (d, $J = 8.0$ Hz, 1H), 1.36 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.7, 167.4, 149.4, 143.0, 141.2, 140.7, 134.4, 135.0, 131.6, 130.4, 128.8, 128.5, 128.0, 127.7, 127.4, 126.2, 125.1, 124.3, 35.8, 31.6; MS (EI) 389 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{21}\text{ClNO}_2^+$ ($\text{M}+\text{H}^+$) 390.1255, found 390.1237. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 20.0 min, t (minor) = 23.7 min.



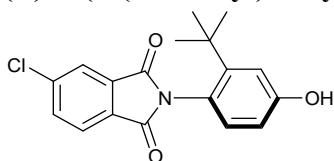
(R)-2-(2-(*tert*-butyl)-4-(furan-3-yl)phenyl)-5-chloroisindoline-1,3-dione (2be)



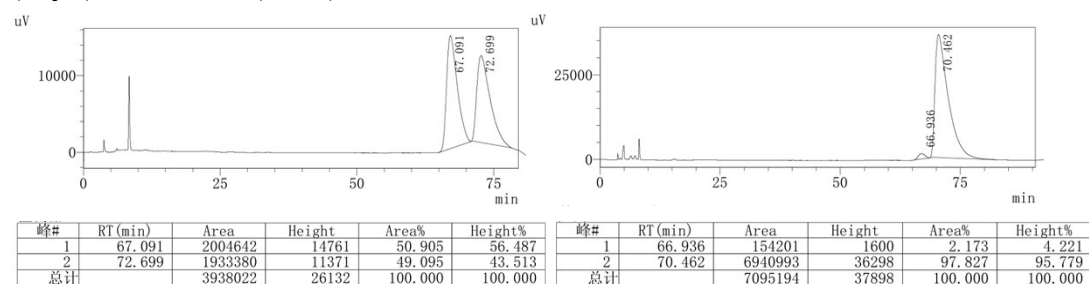
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (36.8 mg, 97% yield) as a white solid: mp 175–176 °C; $[\alpha]_D^{25} = -18.0$ ($c = 0.20$ in MeCN, 95% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.94 (d, $J = 2.0$ Hz, 1H), 7.90 (d, $J = 2.0$ Hz, 1H), 7.76 (d, $J = 5.0$ Hz, 2H), 7.72 (d, $J = 2.0$ Hz, 1H), 7.50 (d, $J = 1.8$ Hz, 1H), 7.41 (dd, $J = 7.5, 2.0$ Hz, 1H), 7.00 (d, $J = 8.0$ Hz, 1H), 6.71 (d, $J = 2.0$ Hz, 1H), 1.34 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.7, 167.3, 149.6, 143.8, 141.1, 138.9, 134.4, 134.2, 133.9, 131.7, 130.3, 128.3, 126.4, 126.0, 125.1, 124.9, 124.2, 109.0, 35.6, 31.6; MS (EI) 379 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{22}\text{H}_{19}\text{ClNO}_3^+$ ($\text{M}+\text{H}^+$) 380.1048, found 380.1028. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 27.9 min, t (minor) = 36.5 min.



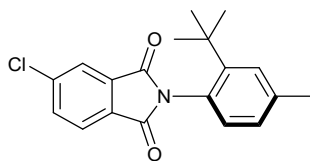
(R)-2-(2-(*tert*-butyl)-4-hydroxyphenyl)-5-chloroisindoline-1,3-dione (2bf)



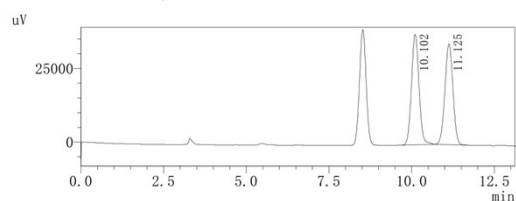
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (18.0 mg, 55% yield) as a brown solid: mp 177–178 °C; $[\alpha]_D^{25} = 23.3$ ($c = 0.23$ in MeCN, 96% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.92 (d, $J = 2.0$ Hz, 1H), 7.89 (d, $J = 8.0$ Hz, 1H), 7.76 (dd, $J = 7.5, 1.5$ Hz, 1H), 7.02 (d, $J = 3.0$ Hz, 1H), 6.79 (dd, $J = 8.5, 0.5$ Hz, 1H), 6.64–6.61 (m, 1H), 1.26 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 168.3, 167.9, 156.8, 150.8, 141.2, 134.5, 133.8, 132.2, 130.2, 125.1, 124.3, 121.4, 115.9, 114.3, 35.5, 31.4; MS (EI) 329 (M^+). HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{17}\text{ClNO}_3^+$ ($\text{M}+\text{H}^+$) 330.0891, found 330.0897. The enantiomeric ratio was determined by Daicel Chiralcel OD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 70.5 min, t (minor) = 66.9 min.



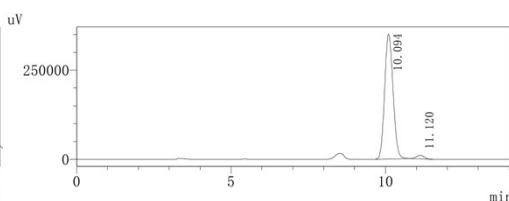
(R)- 2-(2-(*tert*-butyl)-4-methylphenyl)-5-chloroisindoline-1,3-dione (2bg)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (27.1 mg, 83% yield) as a white solid: mp 172–173 °C; $[\alpha]_D^{25} = 10.7$ ($c = 0.24$ in MeCN, 95% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.93 (d, $J = 1.5$ Hz, 1H), 7.89 (d, $J = 8.0$ Hz, 1H), 7.75 (dd, $J = 8.0$, 1.5 Hz, 1H), 7.42 (d, $J = 1.5$ Hz, 1H), 7.13–7.11 (m, 1H), 6.87 (d, $J = 8.0$ Hz, 1H), 2.40 (s, 3H), 1.29 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 167.8, 167.4, 148.7, 141.0, 139.8, 134.3, 134.0, 131.0, 130.4, 129.6, 128.1, 126.7, 125.0, 124.2, 35.4, 31.6, 21.6; MS (EI) 327 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{19}\text{ClNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 328.1099, found 328.1096 The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 10.1 min, t (minor) = 11.1 min.

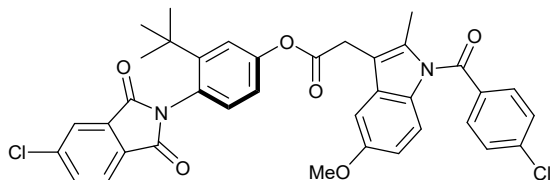


峰#	RT(min)	Area	Height	Area%	Height%
1	10.102	594847	37473	50.817	52.287
2	11.125	575725	34194	49.183	47.713
总计		1170571	71667	100.000	100.000

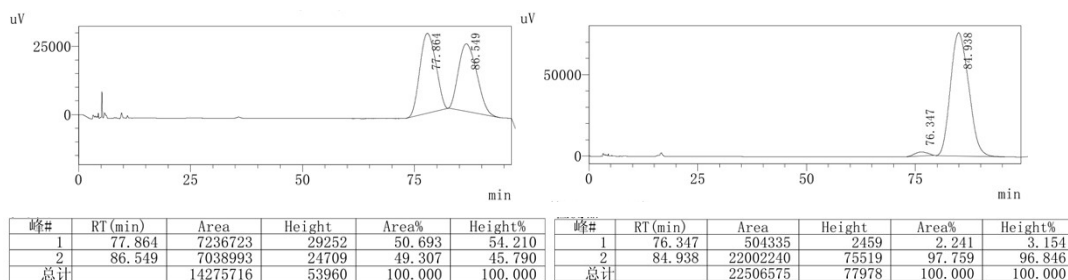


峰#	RT(min)	Area	Height	Area%	Height%
1	10.094	6604206	350486	97.362	97.253
2	11.120	178905	9898	2.638	2.747
总计		6783111	360384	100.000	100.000

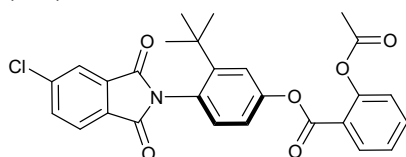
(R)-3-(*tert*-butyl)-4-(5-chloro-1,3-dioxoisindolin-2-yl)phenyl 2-(1-(4-chlorobenzoyl)-5-methoxy-1*H*-indol-3-yl)acetate (2bh)



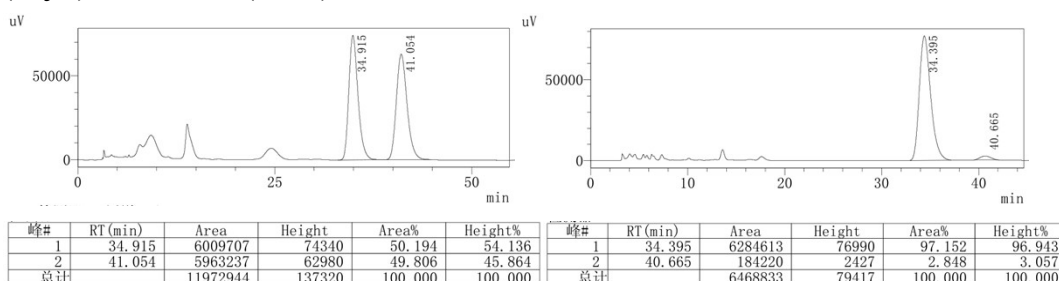
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (50.0 mg, 75% yield) as a yellow solid: mp 109–110 °C; $[\alpha]_D^{25} = 11.5$ ($c = 0.22$ in MeCN, 96% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.91 (d, $J = 2.0$ Hz, 1H), 7.88 (d, $J = 8.0$ Hz, 1H), 7.75 (dd, $J = 8.0$, 2.0 Hz, 1H), 7.68 (d, $J = 8.5$ Hz, 2H), 7.48 (d, $J = 8.0$ Hz, 2H), 7.31 (d, $J = 3.0$ Hz, 1H), 7.08–7.06 (m, 2H), 6.97 (d, $J = 8.5$ Hz, 1H), 6.90 (d, $J = 9.0$ Hz, 1H), 6.70 (dd, $J = 9.0$, 2.5 Hz, 1H), 3.93 (s, 2H), 3.85 (s, 3H), 2.46 (s, 3H), 1.27 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 168.8, 168.3, 167.5, 167.1, 156.1, 151.5, 151.2, 141.2, 139.3, 136.2, 134.5, 133.8, 133.8, 132.3, 131.2, 130.8, 130.4, 130.2, 129.1, 127.0, 125.1, 124.3, 121.7, 120.2, 115.0, 111.8, 101.2, 55.7, 35.7, 31.3, 30.6, 13.4; MS (EI) 668 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{37}\text{H}_{31}\text{Cl}_2\text{N}_2\text{O}^+$ ($\text{M}+\text{H}$) $^+$ 669.1554, found 669.1524. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 84.9 min, t (minor) = 76.3 min.



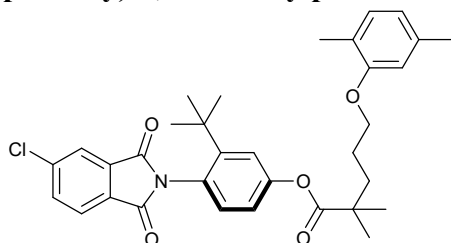
(R)-3-(tert-butyl)-4-(5-chloro-1,3-dioxoisindolin-2-yl)phenyl-2-acetoxybenzoate (2bi)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (42.2 mg, 86% yield) as a white solid: mp 173–174 °C; $[\alpha]_D^{25} = 7.5$ (c = 0.32 in MeCN, 94% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.23 (d, $J = 7.5$ Hz, 1H), 7.93–7.89 (m, 2H), 7.77 (d, $J = 8.0$ Hz, 1H), 7.66 (t, $J = 8.0$ Hz, 1H), 7.41 (d, $J = 7.5$ Hz, 2H), 7.18 (t, $J = 7.8$ Hz, 2H), 7.04 (d, $J = 8.5$ Hz, 1H), 2.34 (s, 3H), 1.31 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 169.7, 167.5, 167.1, 162.4, 151.4, 151.3, 151.2, 141.2, 134.7, 134.5, 133.8, 132.5, 132.2, 130.2, 127.2, 126.2, 125.1, 124.3, 124.0, 122.4, 122.0, 120.6, 35.8, 31.4, 21.0; MS (EI) 491 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{23}\text{ClNO}_6$ ($\text{M}+\text{H}$) $^+$ 492.1208, found 429.1204. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 34.4 min, t (minor) = 40.7 min.

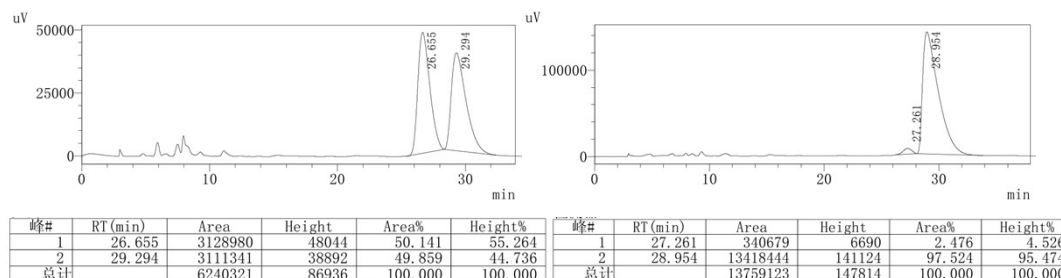


(R)-3-(tert-butyl)-4-(5-chloro-1,3-dioxoisindolin-2-yl)phenyl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (2bj)

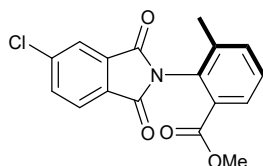


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (41.9 mg, 75% yield) as a white oil; $[\alpha]_D^{25} = 23.3$ (c = 0.40 in MeCN, 95% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.93 (d, $J = 1.5$ Hz, 1H), 7.90 (d, $J = 8.0$ Hz, 1H), 7.76 (dd, $J = 8.0, 2.0$ Hz, 1H), 7.31 (t, $J = 2.0$ Hz, 1H), 7.07–7.05 (m, 1H), 7.02–6.99 (m, 2H), 6.68–6.65 (m, 2H), 4.01 (t, $J = 5.0$ Hz,

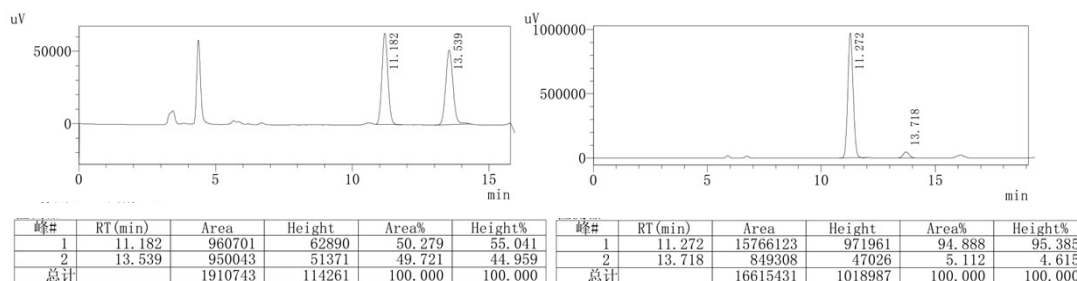
2H), 2.32 (s, 3H), 2.20 (s, 3H), 1.92–1.91 (m, 4H), 1.41 (s, 6H), 1.30 (d, $J = 1.5$ Hz, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 175.8, 167.5, 167.1, 156.8, 151.8, 151.0, 141.1, 136.4, 134.4, 133.8, 132.2, 130.3, 130.2, 126.7, 125.1, 124.2, 123.5, 121.7, 120.7, 120.3, 111.9, 67.7, 42.5, 37.1, 35.6, 31.3, 25.2, 25.1, 21.4, 15.8; MS (EI) 561 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{33}\text{H}_{37}\text{ClNO}_5^+$ ($\text{M}+\text{H}$) $^+$ 562.2355, found 562.2355. The enantiomeric ratio was determined by Daicel Chiralcel OD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 29.0 min, t (minor) = 27.3 min.



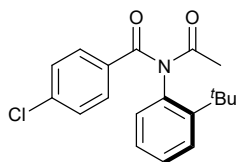
(*S*)-methyl-2-(5-chloro-1,3-dioxoisindolin-2-yl)-3-methylbenzoate (2bk)



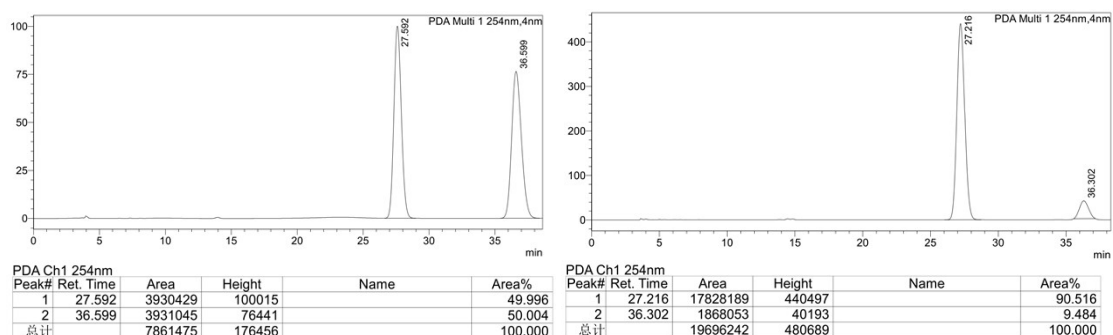
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (27.4 mg, 83% yield) as a white solid: mp 125–126 °C; $[\alpha]_{\text{D}}^{25} = 22.9$ ($c = 0.22$ in MeCN, 90% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 8.01 (dd, $J = 7.5, 1.5$ Hz, 1H), 7.93 (d, $J = 1.5$ Hz, 1H), 7.89 (d, $J = 8.0$ Hz, 1H), 7.75 (dd, $J = 8.0, 2.0$ Hz, 1H), 7.57 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.46 (t, $J = 7.8$ Hz, 1H), 3.72 (s, 3H), 2.26 (s, 3H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 166.6, 166.3, 165.1, 140.9, 138.9, 135.3, 134.2, 134.0, 130.8, 130.4, 129.6, 129.4, 128.0, 125.0, 124.2, 52.3, 17.9; MS (EI) 329 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{17}\text{H}_{13}\text{ClNO}_4^+$ ($\text{M}+\text{H}$) $^+$ 330.0528, found 330.0528. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 11.3 min, t (minor) = 13.7 min.



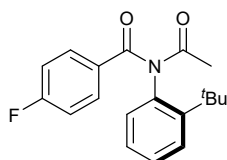
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-4-chlorobenzamide (5aa)



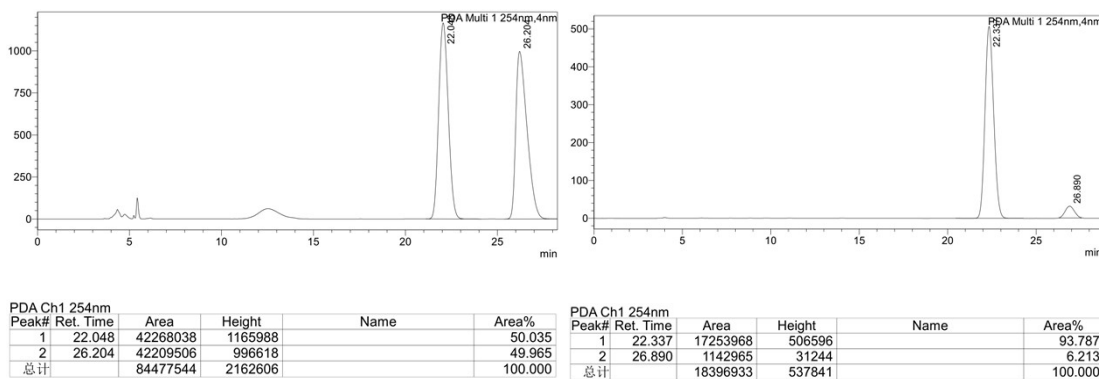
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (23.1 mg, 70% yield) as a white solid: mp 148–149 °C; $[\alpha]_D^{25} = -45.0$ ($c = 0.16$ in MeCN, 81% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.59 (t, $J = 8.2$ Hz, 3H), 7.40–7.37 (m, 3H), 7.29 (t, $J = 7.5$ Hz, 1H), 7.05 (d, $J = 7.5$ Hz, 1H), 2.12 (s, 3H), 1.40 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 174.0, 172.0, 146.7, 137.7, 136.9, 134.4, 132.1, 130.0, 129.6, 129.4, 128.4, 127.4, 36.0, 31.6, 26.4; MS (EI) 329 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{21}\text{ClNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 330.1255, found 330.1255. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 98/2, 0.8 mL/min, $\lambda = 254$ nm, t (major) = 27.6 min, t (minor) = 36.6 min.



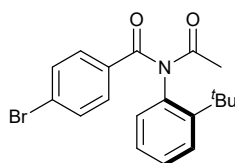
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-4-fluorobenzamide (**5ba**)



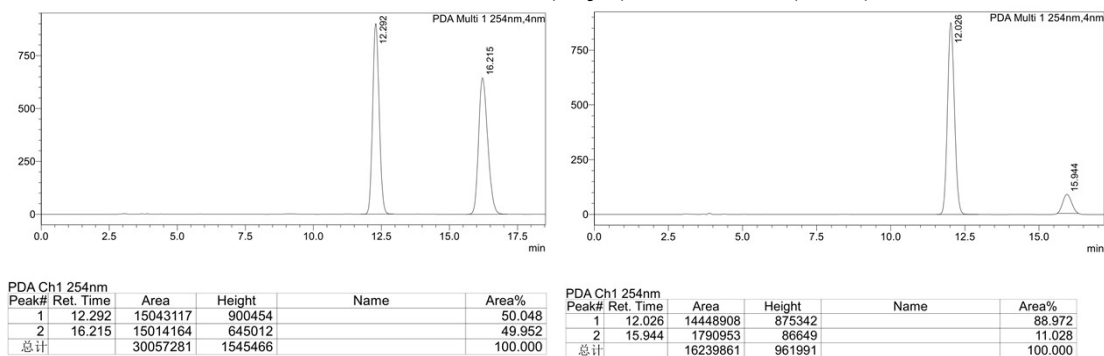
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (15.6 mg, 50% yield) as a white solid: mp 115–116 °C; $[\alpha]_D^{25} = -25.3$ ($c = 0.19$ in MeCN, 88% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.71–7.68 (m, 2H), 7.58 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.40–7.37 (m, 1H), 7.31–7.28 (m, 1H), 7.10–7.04 (m, 3H), 2.13 (s, 3H), 1.40 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 174.1, 171.9, 164.6 (d, $J = 251.2$ Hz), 146.7, 137.0, 132.2, 132.07, 132.0 (d, $J = 2.5$ Hz), 131.2 (d, $J = 8.8$ Hz), 129.6, 129.3, 127.3, 115.4 (d, $J = 22.5$ Hz), 36.0, 31.6, 26.4; MS (EI) 313 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{21}\text{FNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 314.1551, found 314.1532. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 98/2, 0.8 mL/min, $\lambda = 254$ nm, t (major) = 22.0 min, t (minor) = 26.2 min.



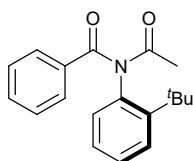
(*R*)-*N*-acetyl-4-bromo-*N*-(2-(*tert*-butyl)phenyl)benzamide (5ca)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (15.3 mg, 41% yield) as a white solid: mp 143–144 °C; $[\alpha]_D^{25} = -68.6$ ($c = 0.18$ in MeCN, 78% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.59 (d, $J = 8.0$ Hz, 1H), 7.53 (s, 4H), 7.39 (t, $J = 7.2$ Hz, 1H), 7.29 (t, $J = 7.5$ Hz, 1H), 7.04 (d, $J = 7.5$ Hz, 1H), 2.12 (s, 3H), 1.40 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 174.0, 172.2, 146.7, 136.8, 134.9, 132.1, 131.4, 130.1, 129.6, 129.4, 127.4, 126.2, 36.0, 31.6, 26.4; MS (EI) 373 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{21}\text{BrNO}_2^+$ ($\text{M}+\text{H}$) $^+$ 374.0750, found 374.0754. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 95/5, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 12.3 min, t (minor) = 16.2 min.

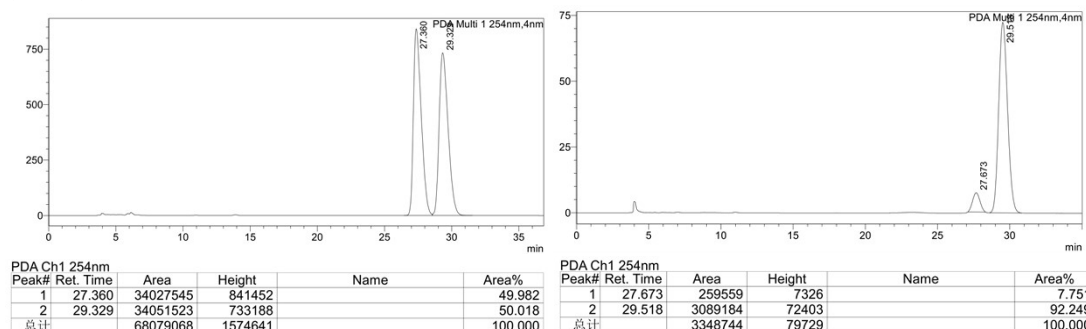


(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)benzamide (5da)

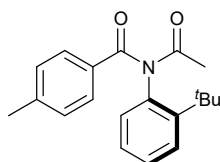


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (10.3 mg, 35% yield) as a white solid: mp 83–84 °C; $[\alpha]_D^{25} = -17.5$ ($c = 0.17$ in MeCN, 86% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.66 (d, $J = 7.5$ Hz, 2H), 7.57 (d, $J = 8.5$ Hz, 1H), 7.48–7.45 (m,

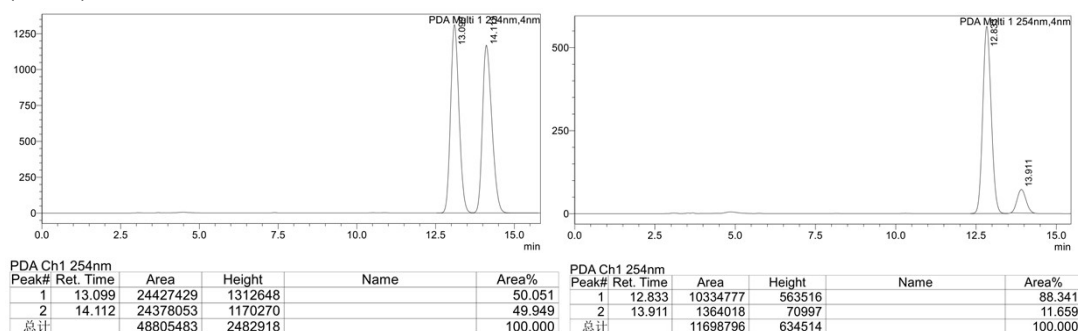
1H), 7.41–7.35 (m, 3H), 7.27 (dd, $J = 7.5, 3.5$ Hz, 1H), 7.06 (d, $J = 7.5$ Hz, 1H), 2.13 (s, 3H), 1.41 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 174.0, 173.0, 146.8, 137.0, 136.0, 132.1, 131.4, 129.5, 129.2, 128.5, 128.0, 127.2, 36.0, 31.6, 26.4; MS (EI) 295 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{22}\text{NO}_2^+$ ($\text{M}+\text{H}$) $^+$ 296.1645, found 296.1652. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 98/2, 0.8 mL/min, $\lambda = 254$ nm, t (major) = 29.5 min, t (minor) = 27.7 min.



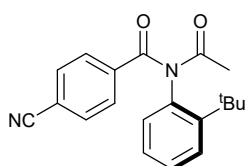
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-4-methylbenzamid (5a)



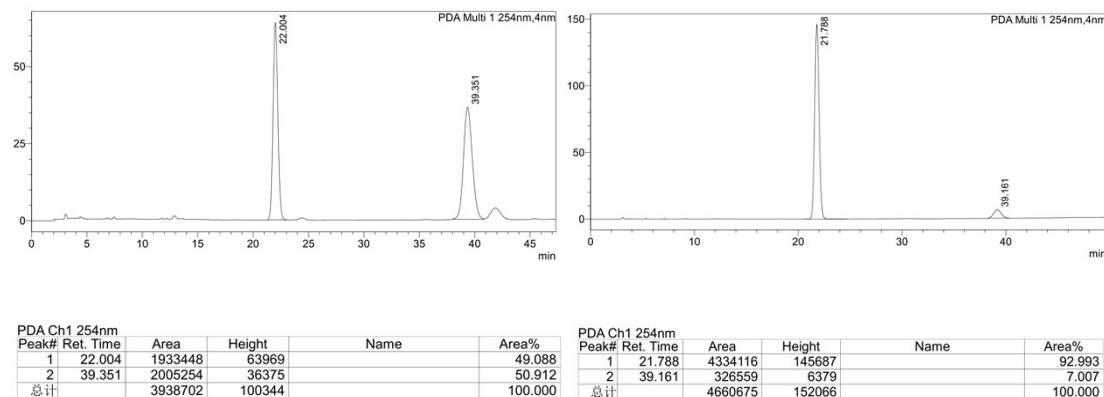
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (9.0 mg, 29% yield) as a white solid: mp 95–96 °C; $[\alpha]_{\text{D}}^{25} = -22.5$ ($c = 0.10$ in MeCN, 77% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.58 (t, $J = 9.0$ Hz, 3H), 7.37 (t, $J = 8.0$ Hz, 1H), 7.28 (d, $J = 7.5$ Hz, 1H), 7.21 (d, $J = 8.0$ Hz, 2H), 7.06 (d, $J = 7.5$ Hz, 1H), 2.39 (s, 3H), 2.13 (s, 3H), 1.41 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 174.2, 173.0, 146.8, 142.3, 137.3, 133.1, 132.2, 129.5, 129.1, 128.9, 128.8, 127.2, 36.0, 31.6, 26.5, 21.6; MS (EI) 309 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{20}\text{H}_{24}\text{NO}_2^+$ ($\text{M}+\text{H}$) $^+$ 310.1802, found 310.1819. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 95/5, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 12.8 min, t (minor) = 13.9 min.



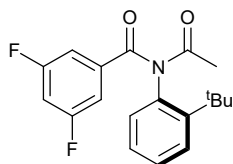
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-4-cyanobenzamide (5fa)



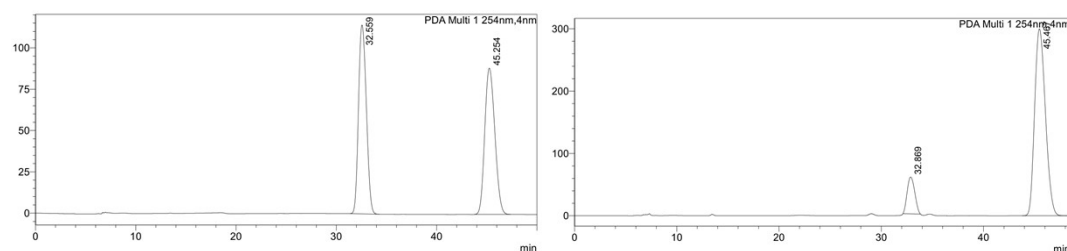
Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (11.5 mg, 36% yield) as a white solid: mp 150–151 °C; $[\alpha]_{\text{D}}^{25} = -15.0$ ($c = 0.18$ in MeCN, 86% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.70 (s, 4H), 7.61 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.44–7.40 (m, 1H), 7.34–7.30 (m, 1H), 7.05 (dd, $J = 8.0, 1.5$ Hz, 1H), 2.08 (s, 3H), 1.41 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 173.8, 171.4, 146.7, 140.5, 136.3, 131.9, 131.8, 129.8, 129.7, 128.3, 127.6, 118.0, 114.4, 36.0, 31.7, 26.1; MS (EI) 320 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{20}\text{H}_{21}\text{N}_2\text{O}_2^+$ ($\text{M}+\text{H}$) $^+$ 321.1598, found 321.1567. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 95/5, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 22.0 min, t (minor) = 39.4 min.



(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-3,5-difluorobenzamide (5ga)

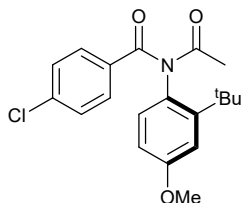


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (10.9 mg, 33% yield) as a white solid: mp 99–100 °C; $[\alpha]_{\text{D}}^{25} = -16.1$ ($c = 0.19$ in MeCN, 76% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.61 (d, $J = 8.0$ Hz, 1H), 7.41 (t, $J = 7.5$ Hz, 1H), 7.31 (t, $J = 7.5$ Hz, 1H), 7.13 (d, $J = 6.0$ Hz, 2H), 7.03 (d, $J = 7.5$ Hz, 1H), 6.92 (t, $J = 8.5$ Hz, 1H), 2.11 (s, 3H), 1.41 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 173.8, 170.7 (q, $J = 5.0$ Hz), 163.4 (d, $J = 12.5$ Hz), 161.5 (d, $J = 11.2$ Hz), 146.8, 139.4, 136.4, 131.8, 129.7 (d, $J = 21.2$ Hz), 127.5, 111.3 (q, $J = 21.3$ Hz), 106.6 (t, $J = 25.0$ Hz), 36.1, 31.7, 26.2; MS (EI) 331 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{20}\text{F}_2\text{NO}_2^+$ ($\text{M}+\text{H}$) $^+$ 332.1457, found 332.1433. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 99/1, 0.5 mL/min, $\lambda = 254$ nm, t (major) = 44.5 min, t (minor) = 32.9 min.

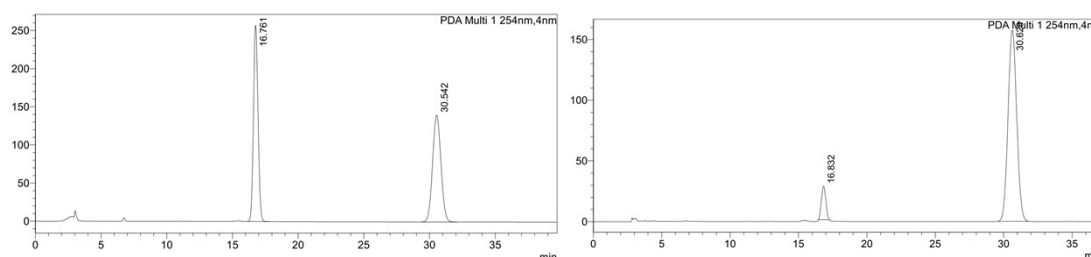


PDA Ch1 254nm					PDA Ch1 254nm				
Peak#	Ret. Time	Area	Height	Name	Area%	Peak#	Ret. Time	Area	Height
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2	45.254	6181112	88515		49.924	2	45.467	21982533	299888
总计		12381024	202795		100.000	总计		25014764	358861
									100.000

(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)-4-methoxyphenyl)-4-chlorobenzamide (5ab)

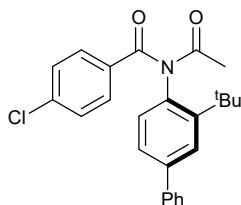


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (14.4 mg, 40% yield) as a white solid: mp 98–99 °C; $[\alpha]_D^{25} = -135.6$ ($c = 0.18$ in MeCN, 84% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.60 (d, $J = 8.0$ Hz, 2H), 7.38 (d, $J = 8.5$ Hz, 2H), 7.09 (d, $J = 2.0$ Hz, 1H), 6.96 (d, $J = 8.5$ Hz, 2H), 6.82 (dd, $J = 8.5, 2.5$ Hz, 1H), 3.84 (s, 3H), 2.12 (s, 3H), 1.38 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 174.4, 172.3, 159.7, 148.0, 137.7, 134.5, 133.2, 130.0, 129.7, 128.4, 115.8, 111.6, 55.3, 36.0, 31.4, 26.2; MS (EI) 359 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{20}\text{H}_{23}\text{ClNO}_3$ ($\text{M}+\text{H}$) $^+$ 360.1364, found 360.1377. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 95/5, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 30.5 min, t (minor) = 16.8 min.



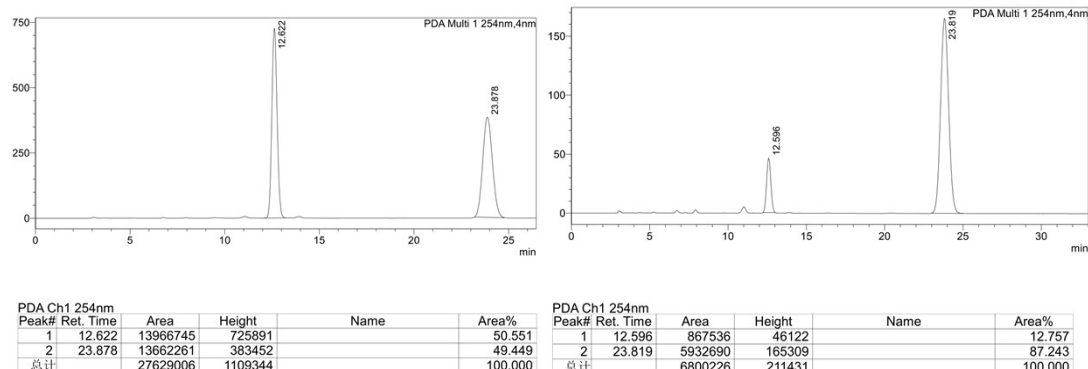
PDA Ch1 254nm					PDA Ch1 254nm				
Peak#	Ret. Time	Area	Height	Name	Area%	Peak#	Ret. Time	Area	Height
1	16.761	6191604	257312		49.973	1	16.832	623051	27754
2	30.542	6198310	140365		50.027	2	30.628	6946929	157594
总计		12389914	397677		100.000	总计		7569981	185348
									100.000

(*R*)-*N*-acetyl-*N*-(3-(*tert*-butyl)-[1,1'-biphenyl]-4-yl)-4-chlorobenzamide (5ac)

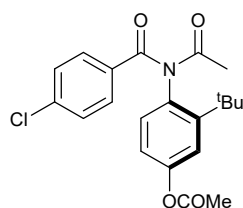


Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (24.3 mg, 60% yield) as a white solid: mp 137–138 °C; $[\alpha]_D^{25} = -21.7$ ($c = 0.46$ in MeCN, 75% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.80 (s, 1H), 7.65 (d, $J = 8.5$ Hz, 2H), 7.61 (d, $J = 7.5$ Hz, 2H), 7.51 (d, $J = 8.0$ Hz, 1H), 7.48 (t, $J = 7.5$ Hz, 2H), 7.40 (d, $J = 8.0$ Hz, 3H), 7.13 (d, $J = 8.0$ Hz, 1H), 2.18 (s, 3H), 1.47 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 174.0, 172.1, 146.9, 142.1, 140.3, 137.8,

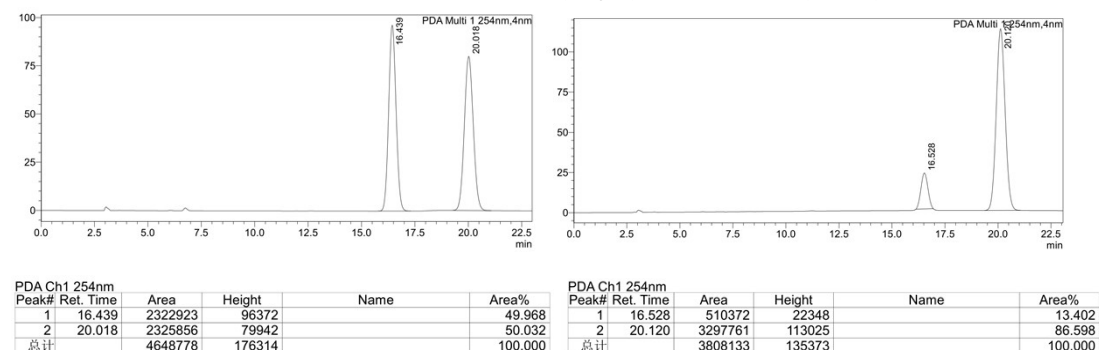
136.0, 134.4, 132.5, 130.0, 128.8, 128.5, 128.4, 127.7, 127.2, 126.1, 36.1, 31.7, 26.4; MS (EI) 405 (M^+); HRMS (ESI) m/z calcd for $C_{25}H_{24}ClNO_2^+$ ($M+H$) $^+$ 405.1568, found 406.1570. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 95/5, 1.0 mL/min, λ = 254 nm, t (major) = 23.8 min, t (minor) = 12.6 min.



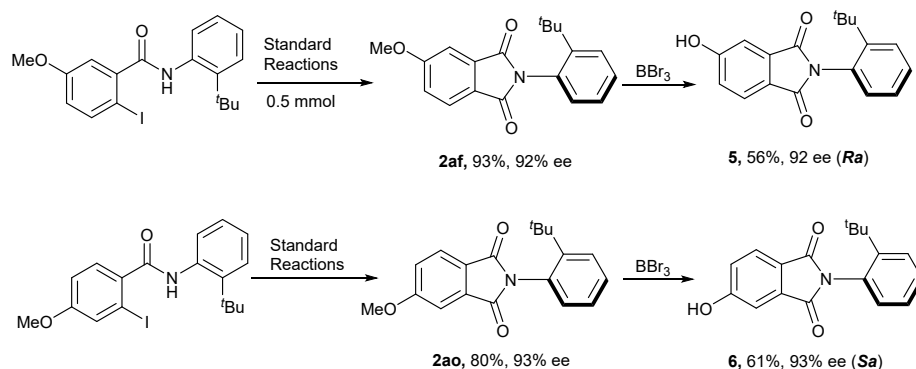
(*R*)-4-(*N*-acetyl-4-chlorobenzamido)-3-(*tert*-butyl)phenyl acetate (5ad)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:5) gave the product (18.2 mg, 47% yield) as a yellow solid: mp 111–112 °C; $[\alpha]_D^{25} = -61.6$ ($c = 0.2$ in MeCN, 73% ee); 1H NMR ($CDCl_3$, 500 MHz) δ 7.60 (d, $J = 8.0$ Hz, 2H), 7.38 (d, $J = 8.0$ Hz, 2H), 7.28–7.26 (m, 1H), 7.10–7.05 (m, 2H), 2.31 (s, 3H), 2.11 (s, 3H), 1.38 (s, 9H); ^{13}C NMR ($CDCl_3$, 125 MHz) δ 173.9, 172.0, 168.9, 150.9, 148.4, 137.9, 134.2, 133.0, 130.0, 128.4, 122.5, 120.6, 36.1, 31.3, 26.3, 21.2; MS (EI) 387 (M^+); HRMS (ESI) m/z calcd for $C_{21}H_{23}ClNO_4^+$ ($M+H$) $^+$ 388.1310, found 388.1318. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 95/5, 1.0 mL/min, λ = 254 nm, t (major) = 20.1 min, t (minor) = 16.5 min.

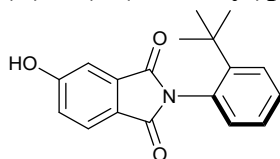


5. Synthetic applications

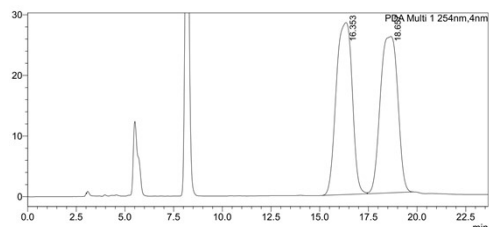


A total of 0.2 mmol of **2af–2ao** was dissolved in CH_2Cl_2 . Then, 0.2 mL 2.5 M borontribromide solution in hexanes was added dropwise at 78 °C. The reaction was kept at ambient temperature for 48 h. The reaction was carefully quenched with water at low temperature, and the mixture was then extracted with CH_2Cl_2 . The organic phase was concentrated in vacuo and the residue was purified by silica gel flash column chromatography to afford the corresponding products (**6** or **7**).

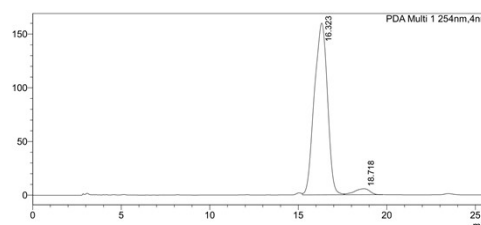
(*R*)-2-(2-(*tert*-butyl)phenyl)-5-hydroxyisoindoline-1,3-dione (**6**)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (16.6 mg, 56% yield) as a brown oil; $[\alpha]_{\text{D}}^{25} = 51.1$ ($c = 0.18$ in MeCN, 92% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.82 (d, $J = 8.0$ Hz, 1H), 7.62 (dd, $J = 8.5, 1.5$ Hz, 1H), 7.44–7.41 (m, 1H), 7.36 (d, $J = 2.5$ Hz, 1H), 7.32–7.26 (m, 1H), 7.15 (dd, $J = 8.0, 2.0$ Hz, 1H), 6.99 (dd, $J = 8.0, 1.5$ Hz, 1H), 6.59 (s, 1H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 168.5, 168.5, 161.6, 149.5, 149.4, 135.1, 131.4, 129.8, 128.7, 127.3, 125.9, 124.2, 120.9, 110.8, 35.6, 31.6; MS (EI) 295 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_2^+$ ($\text{M}+\text{H}$) $^+$ 296.1281, found 296.1279. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 16.3 min, t (minor) = 18.7 min.

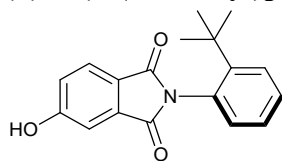


Peak#	Ret. Time	Area	Height	Name	Area%
1	16.353	1624786	28362		50.305
2	18.657	1605061	25787		49.695
总计		3229848	54149		100.000

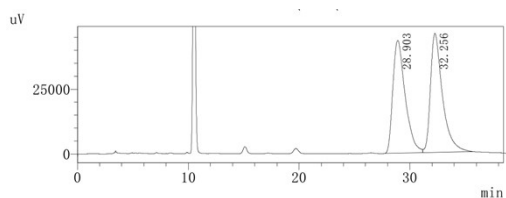


Peak#	Ret. Time	Area	Height	Name	Area%
1	16.323	8225402	159888		96.074
2	18.718	336121	5568		3.926
总计		8561524	165455		100.000

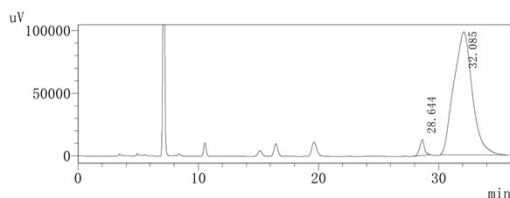
(S)-2-(2-(*tert*-butyl)phenyl)-5-hydroxyisoindoline-1,3-dione (7)



Flash column chromatography on silica gel (ethyl acetate/petroleum ether, 1:10) gave the product (18.1 mg, 61% yield) as a brown oil; $[\alpha]_D^{25} = -42.9$ ($c = 0.21$ in MeCN, 94% ee); ^1H NMR (CDCl_3 , 500 MHz) δ 7.78 (d, $J = 8.0$ Hz, 1H), 7.62 (dd, $J = 8.5, 1.5$ Hz, 1H), 7.43–7.40 (m, 1H), 7.33 (d, $J = 2.5$ Hz, 1H), 7.31–7.28 (m, 1H), 7.08 (dd, $J = 8.0, 2.0$ Hz, 1H), 7.00 (dd, $J = 7.5, 1.5$ Hz, 1H), 1.32 (s, 9H); ^{13}C NMR (CDCl_3 , 125 MHz) δ 168.9, 168.9, 162.3, 149.4, 134.8, 131.3, 129.9, 129.7, 128.8, 127.3, 126.0, 123.5, 121.0, 111.0, 35.6, 31.6; MS (EI) 295 (M^+); HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{20}\text{NO}_2^+$ ($\text{M}+\text{H}^+$) 296.1281, found 296.1279. The enantiomeric ratio was determined by Daicel Chiralcel AD-H (0.46 cm $\times$ 25 cm), Hexanes/IPA = 97/3, 1.0 mL/min, $\lambda = 254$ nm, t (major) = 32.1 min, t (minor) = 28.6 min.



峰#	RT(min)	Area	Height	Area%	Height%
1	28.903	3364060	43537	49.270	48.668
2	32.256	3463722	45921	50.730	51.332
总计		6827781	89458	100.000	100.000

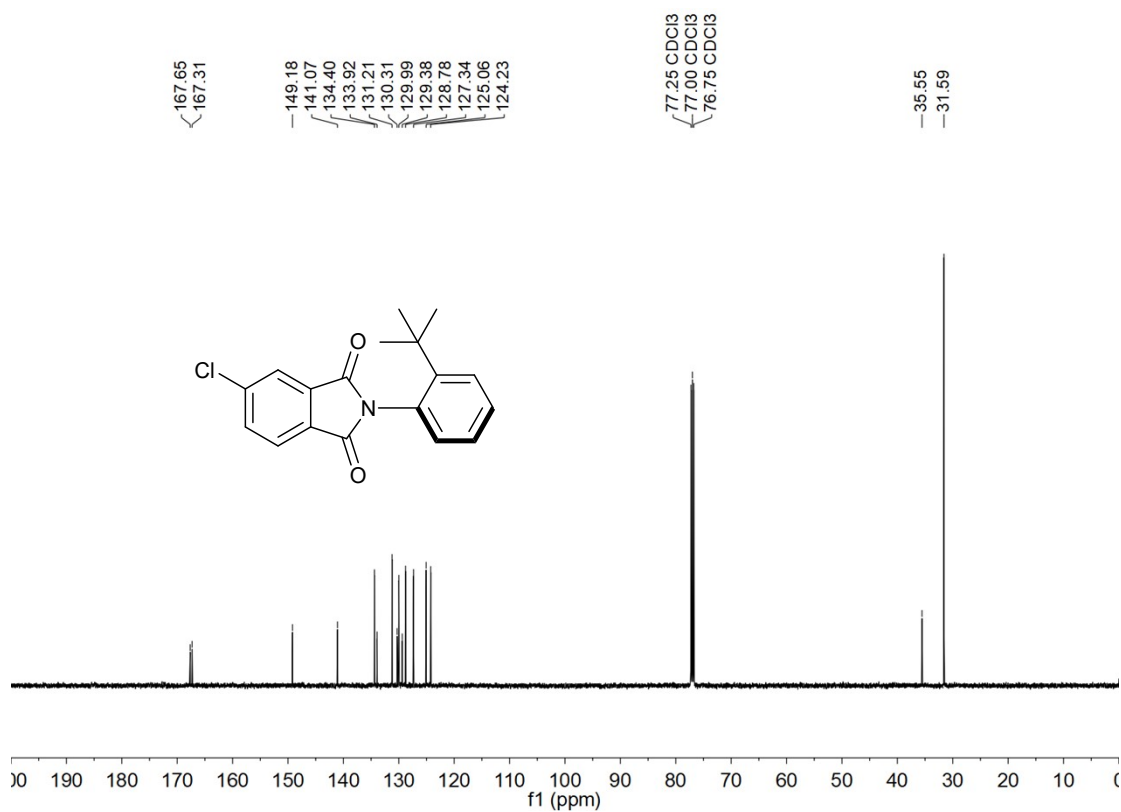
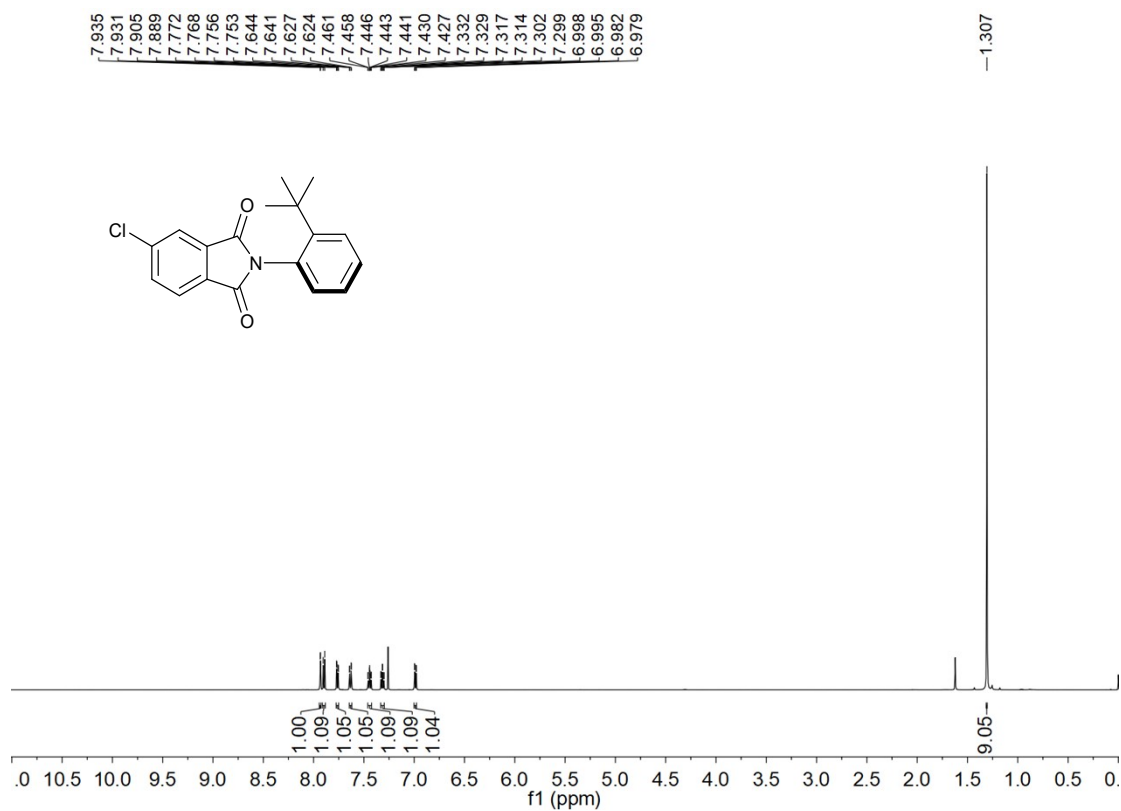


峰#	RT(min)	Area	Height	Area%	Height%
1	28.644	330554	12623	3.047	11.379
2	32.085	10518694	98308	96.953	88.621
总计		10849249	110932	100.000	100.000

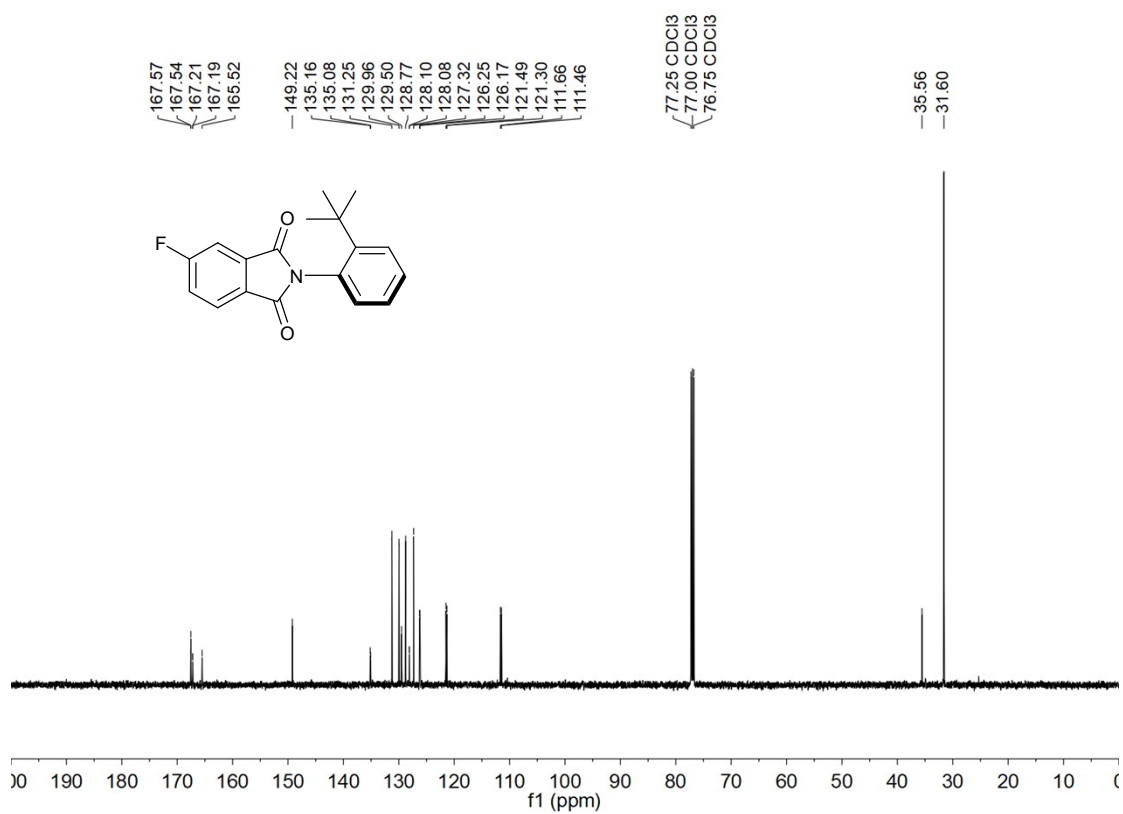
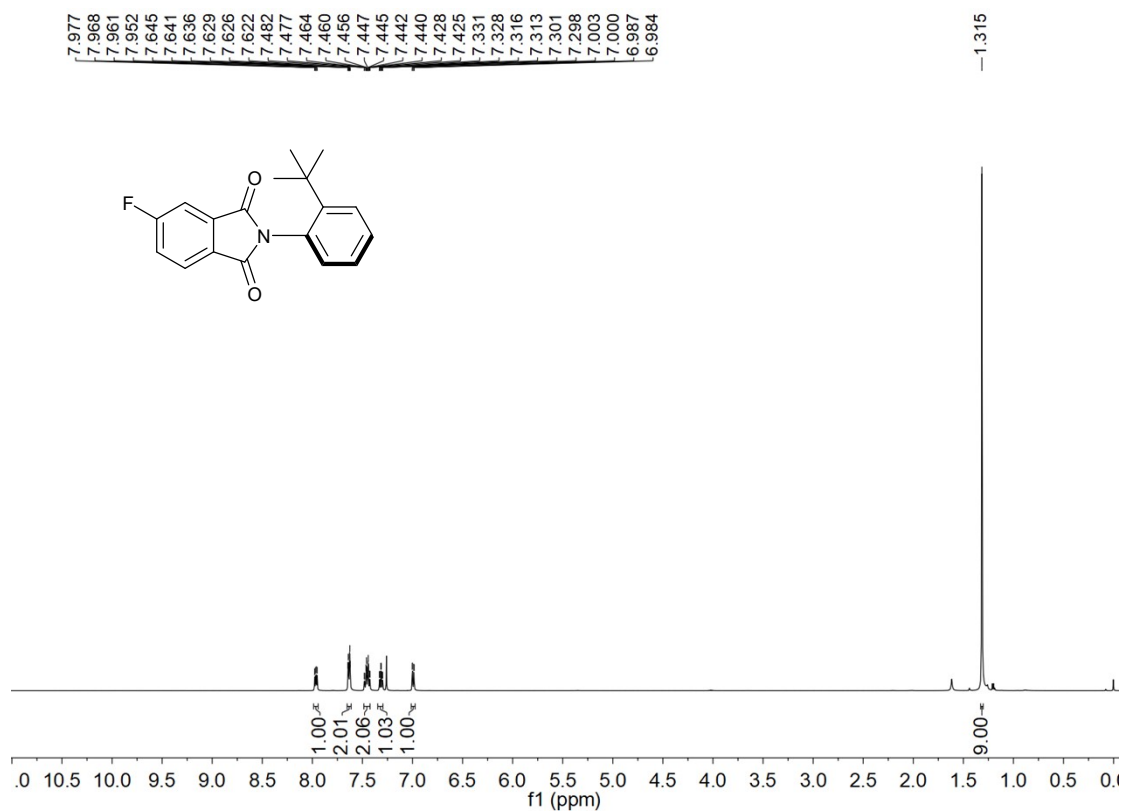
6. References

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- 3 P. J. Hay and W. R. Wadt, *J. Chem. Phys.*, 1985, **82**, 270-283.
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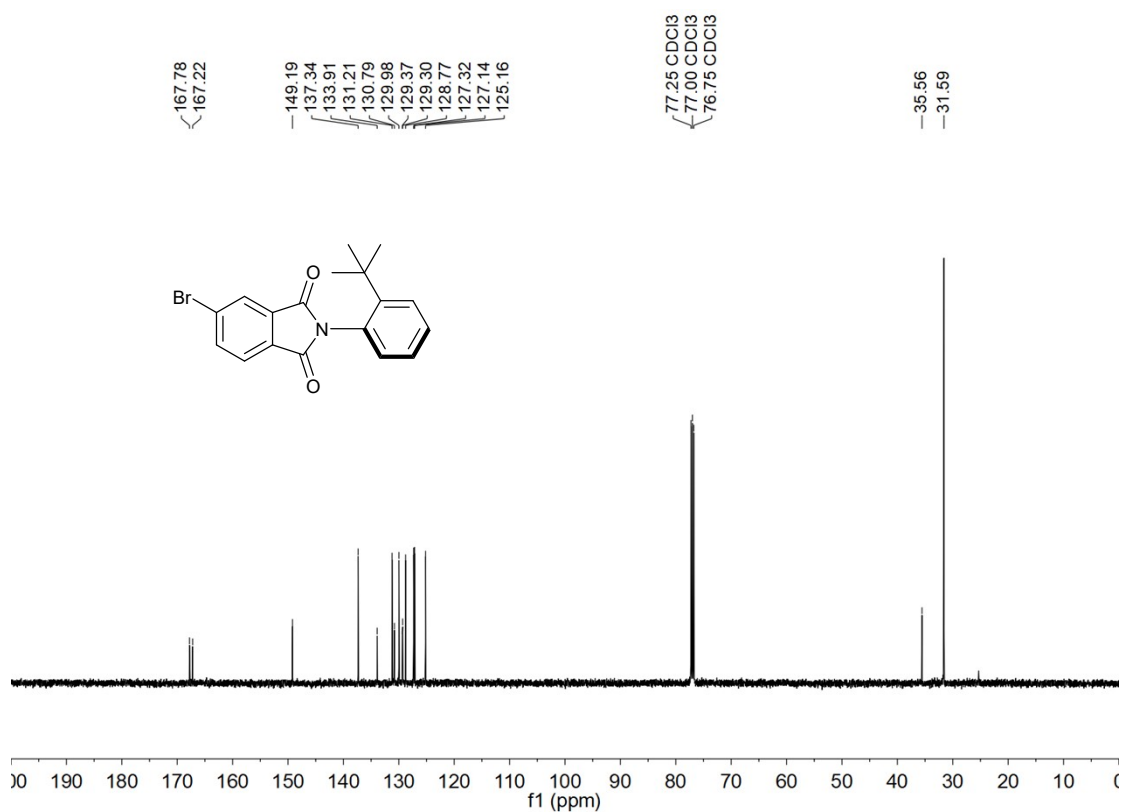
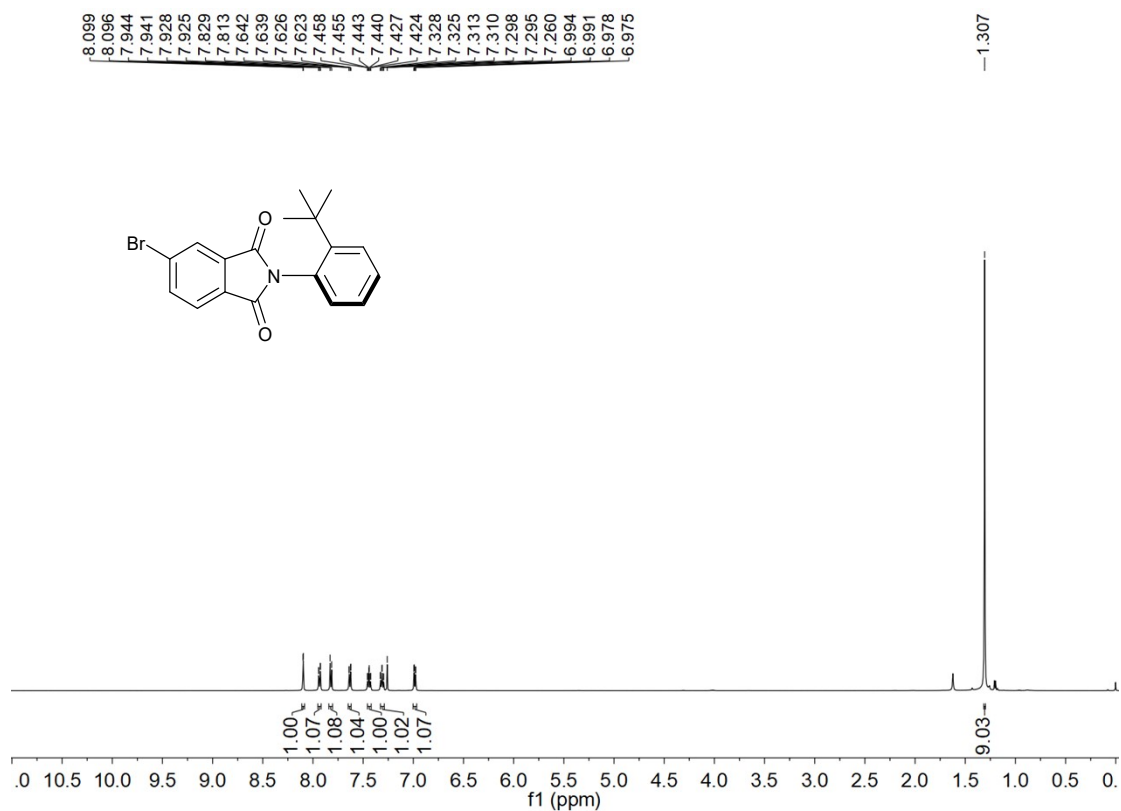
7. Copies of the ^1H NMR and ^{13}C NMR Spectra
 (*R*)-2-(2-(*tert*-butyl)phenyl)-5-chloroisindoline-1,3-dione (2aa)



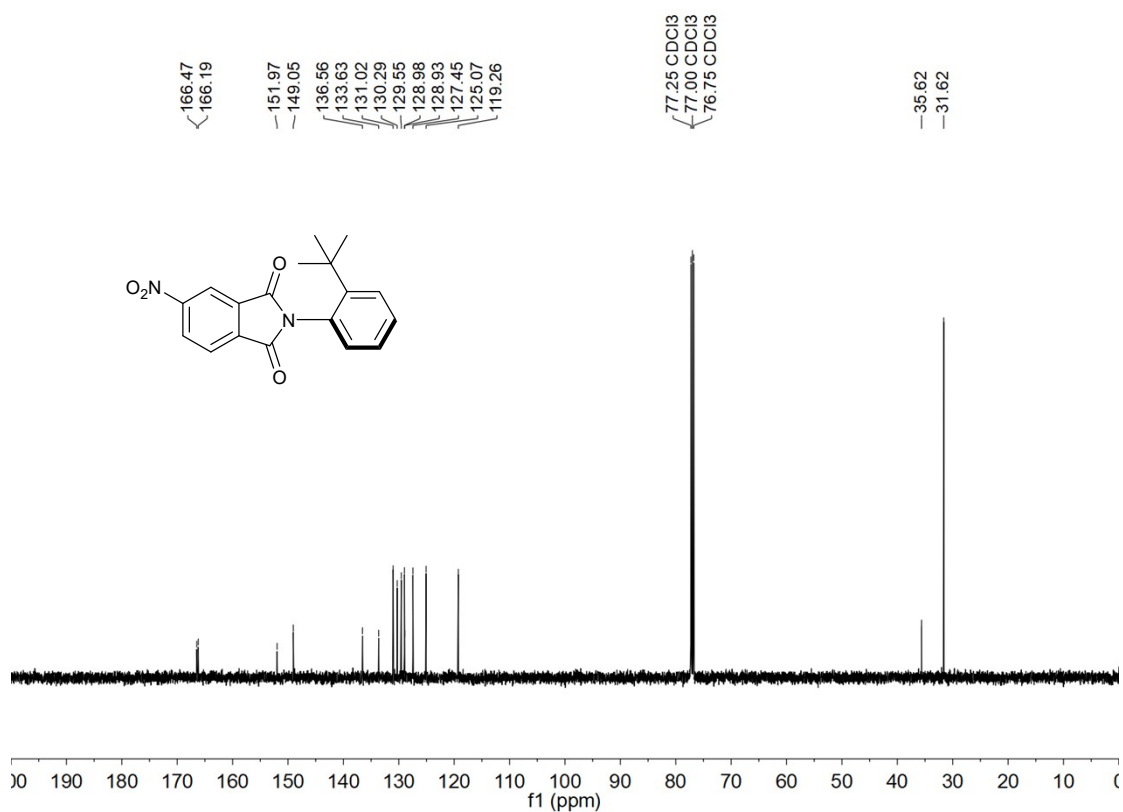
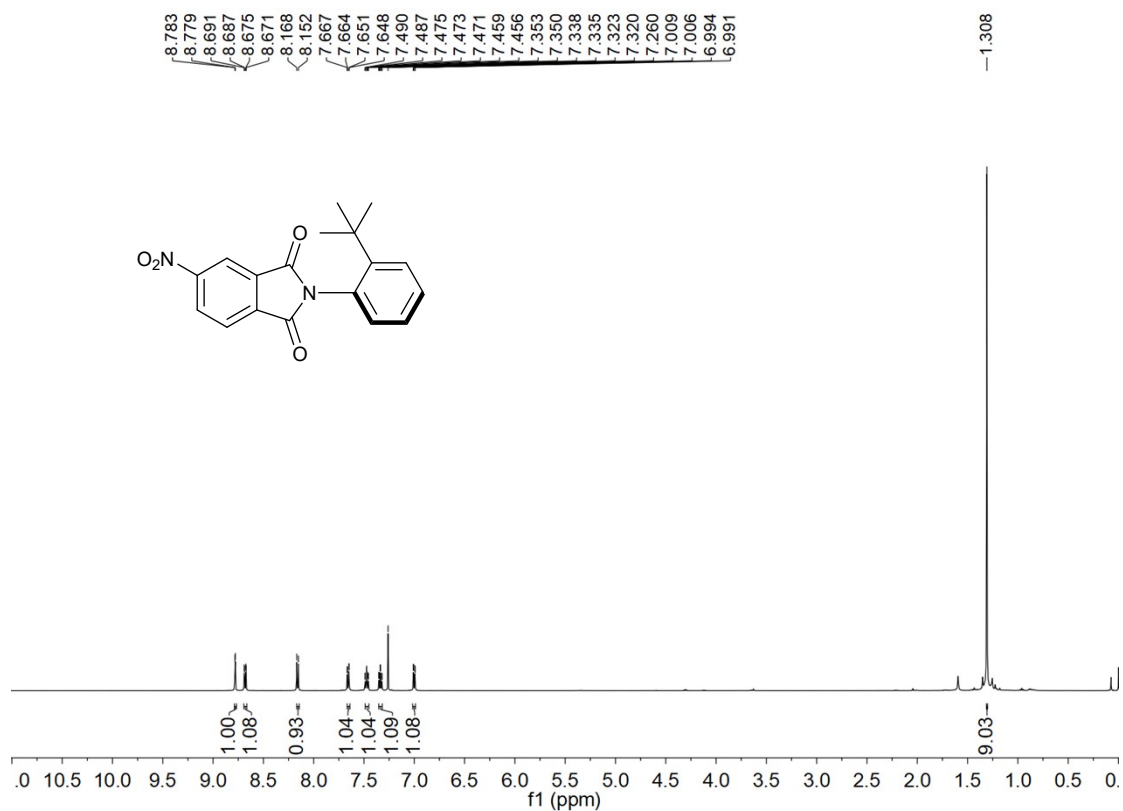
(*R*)-2-(2-(*tert*-butyl)phenyl)-5-fluoroisindoline-1,3-dione (2ab)



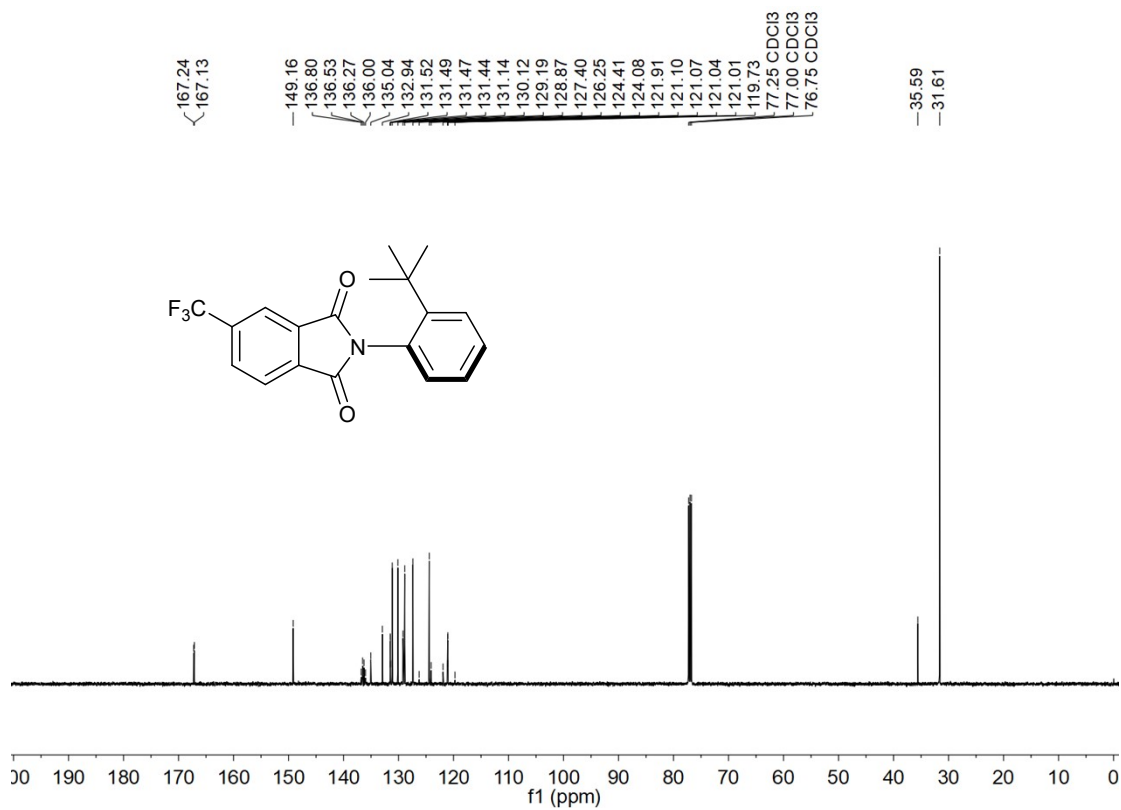
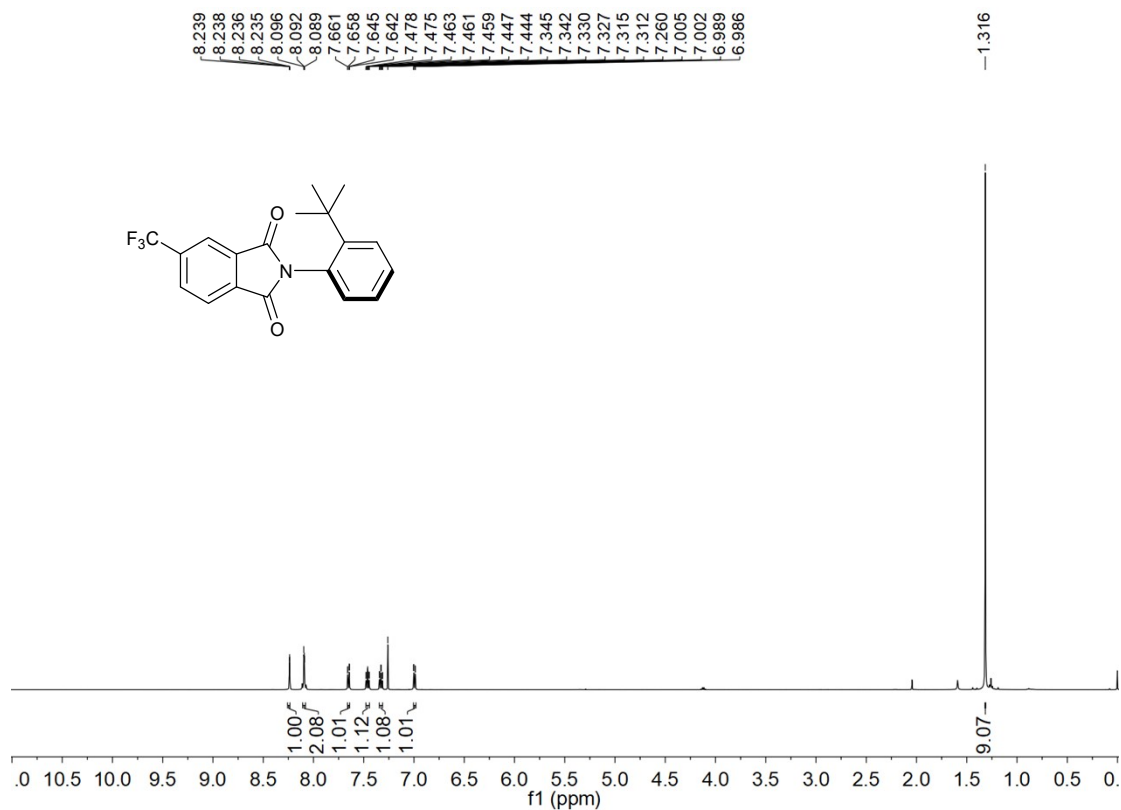
(R)- 5-bromo-2-(2-(*tert*-butyl)phenyl)isoindoline-1,3-dione (2ac)



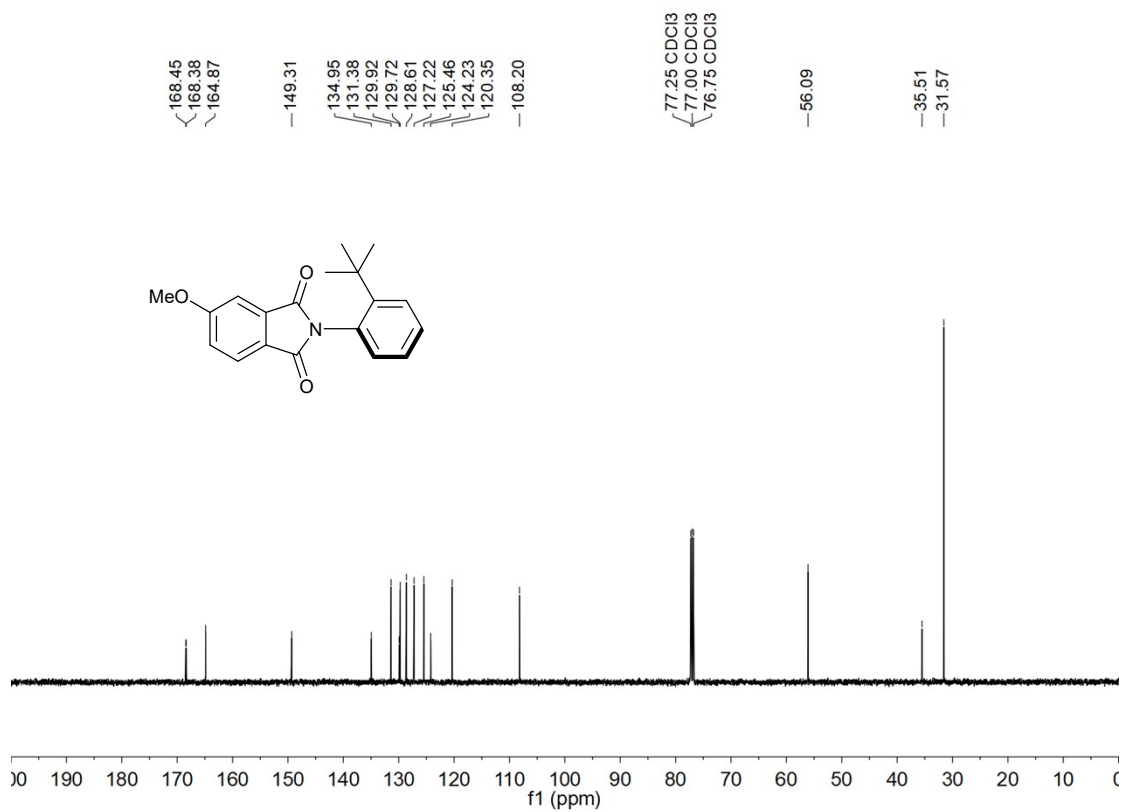
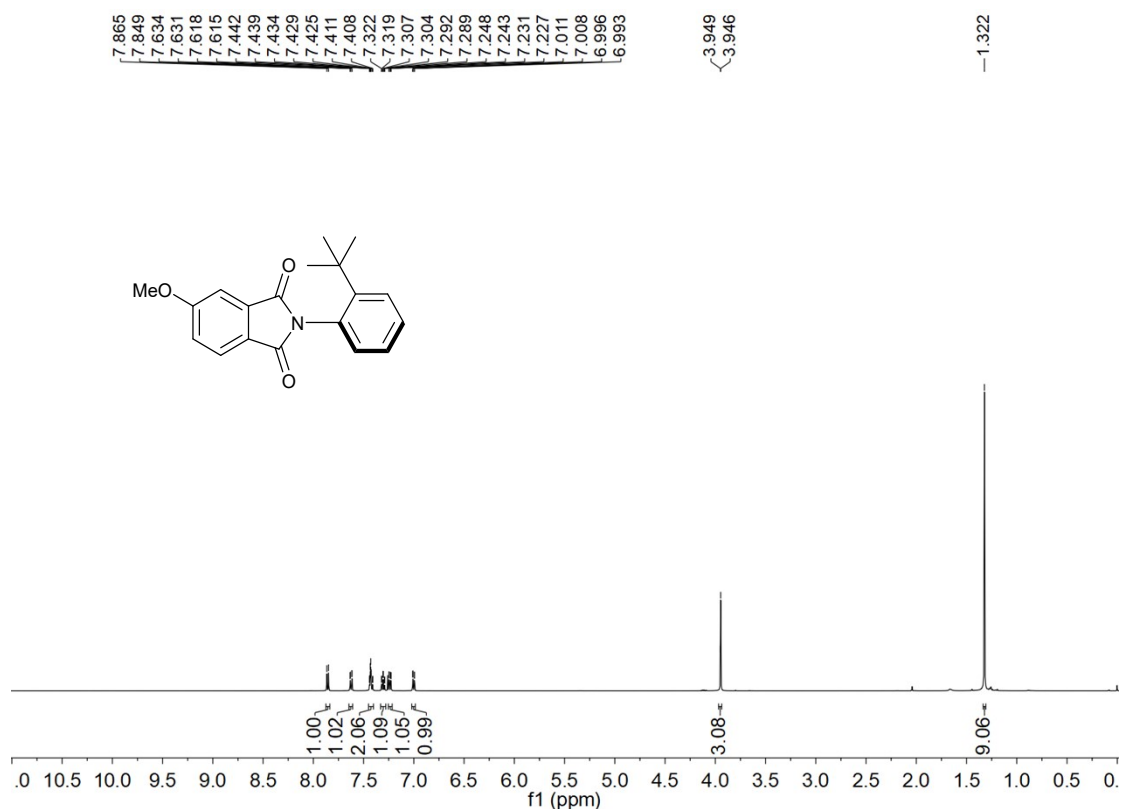
(*R*)-2-(2-(*tert*-butyl)phenyl)-5-nitroisindoline-1,3-dione (2ad)



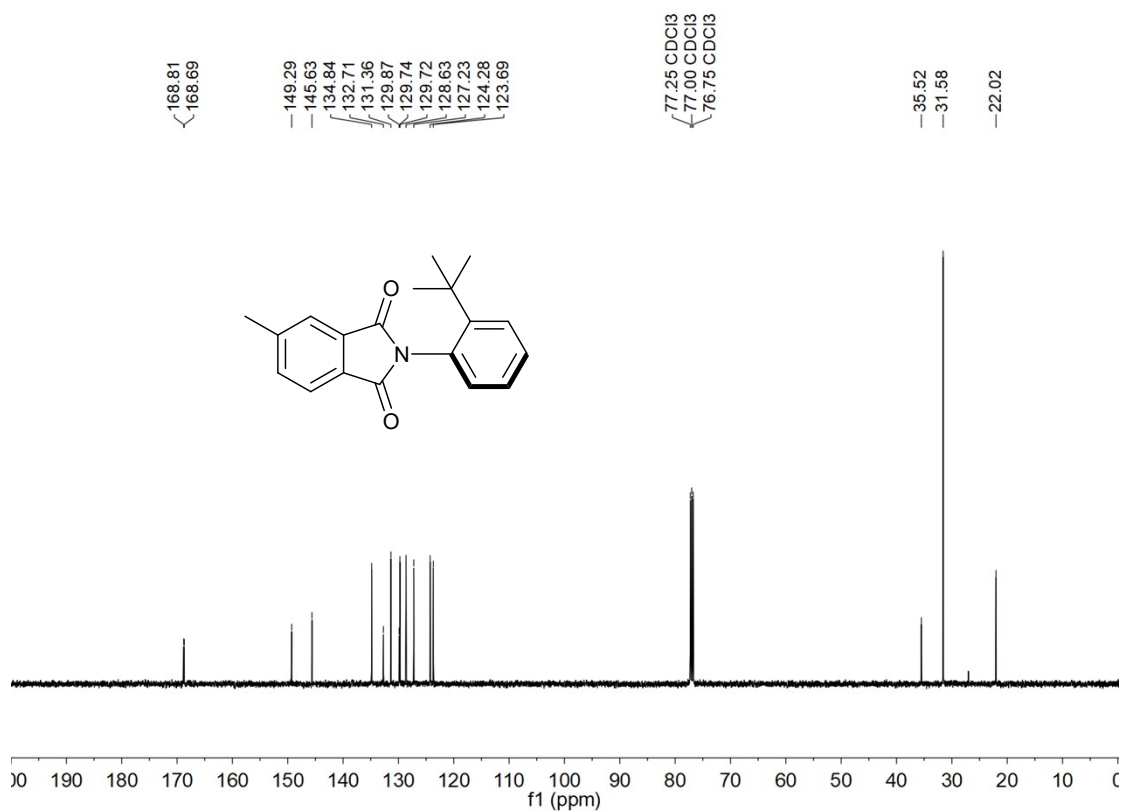
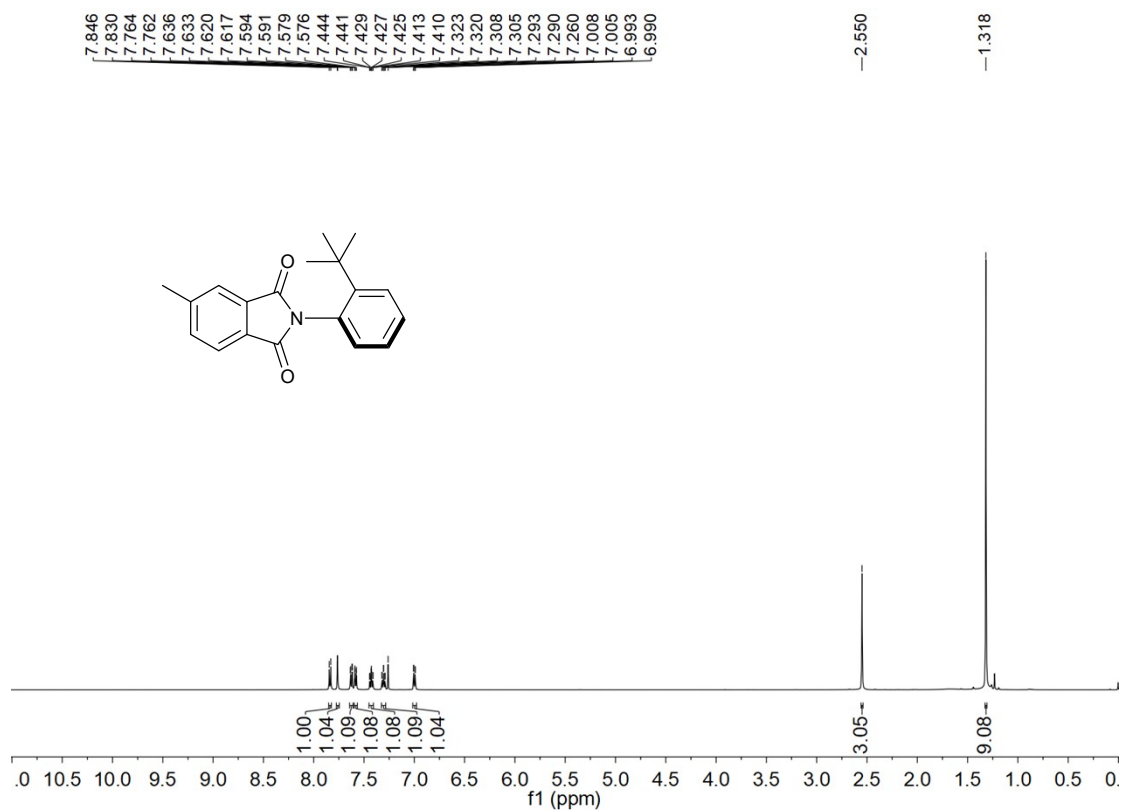
(R)- 2-(2-(*tert*-butyl)phenyl)-5-(trifluoromethyl)isoindoline-1,3-dione (2ae)



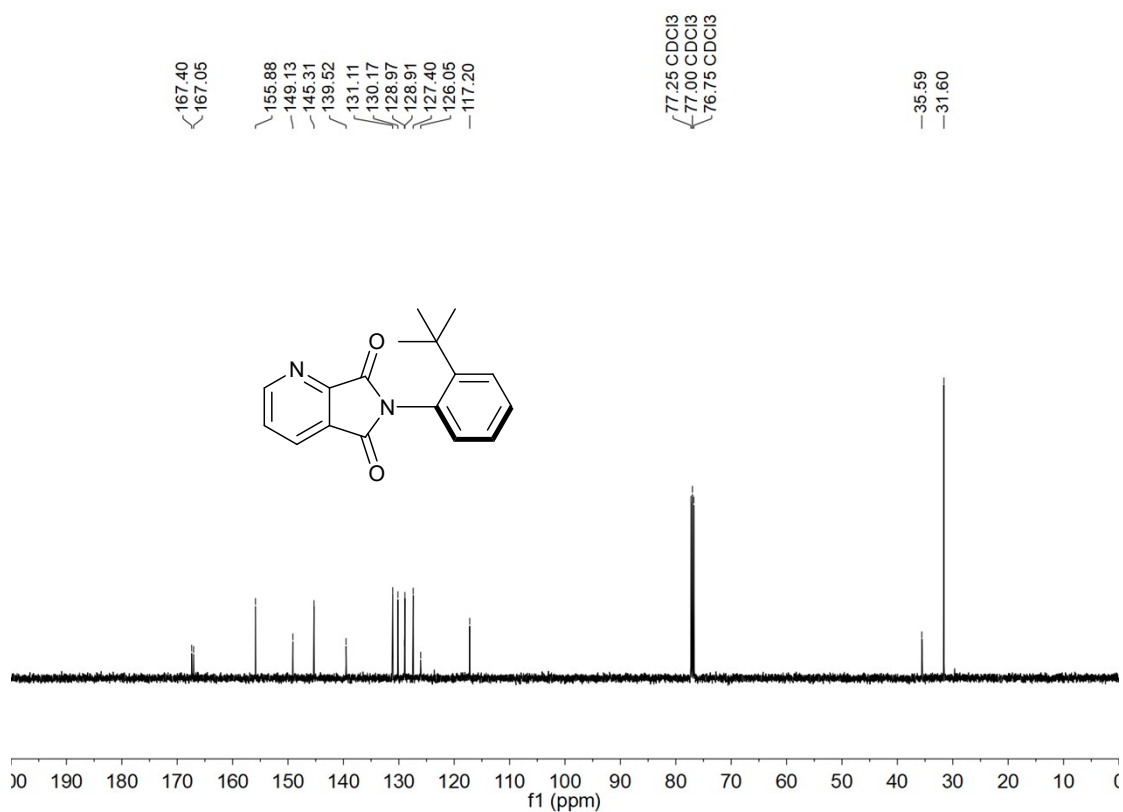
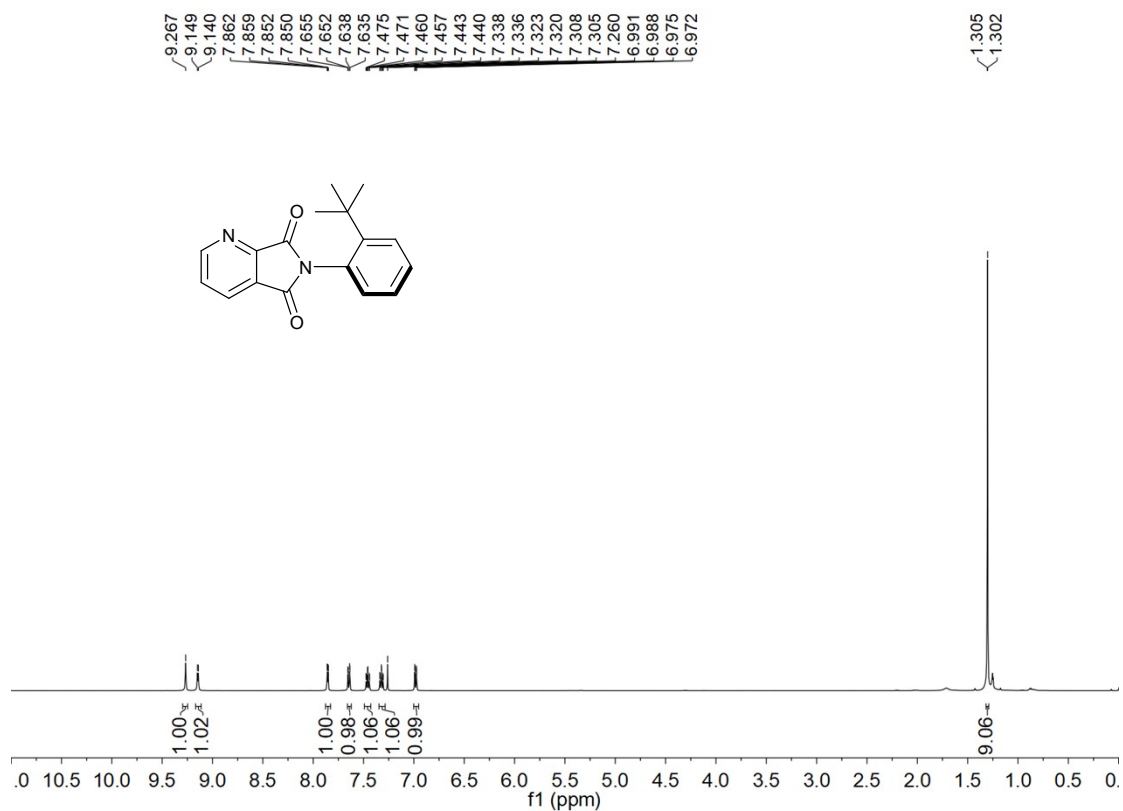
(R)- 2-(2-(*tert*-butyl)phenyl)-5-methoxyisoindoline-1,3-dione (2af)



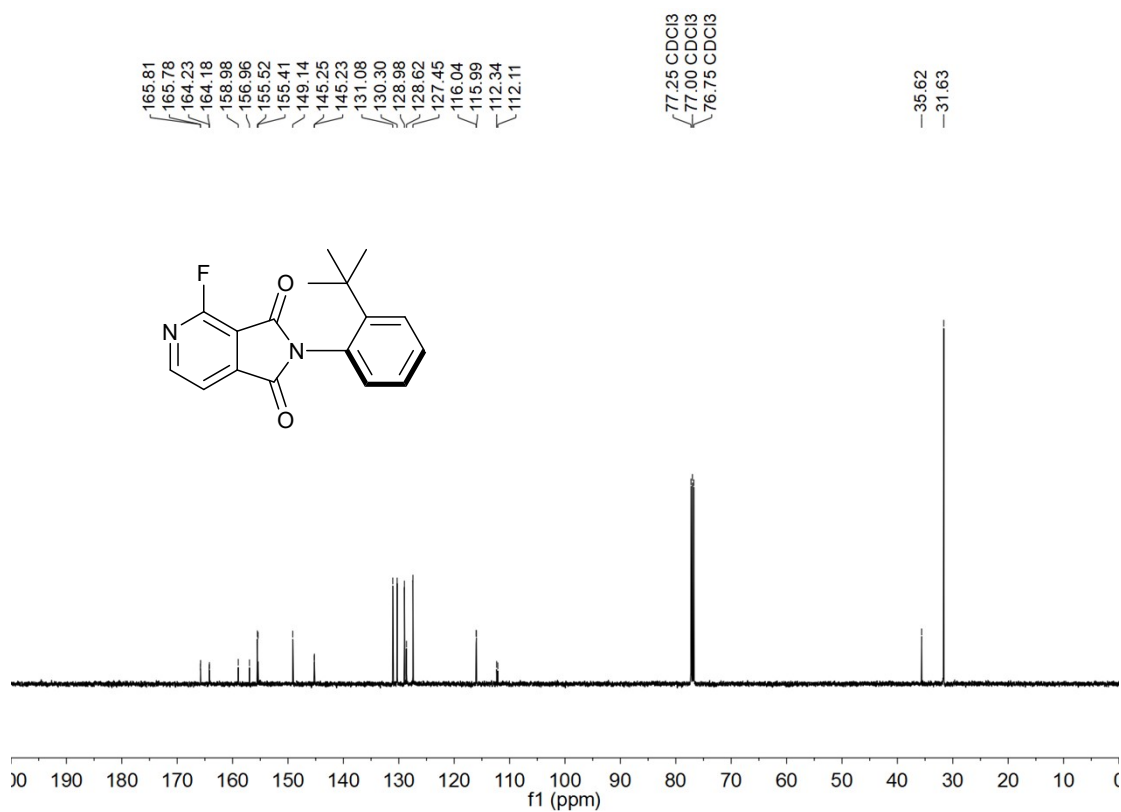
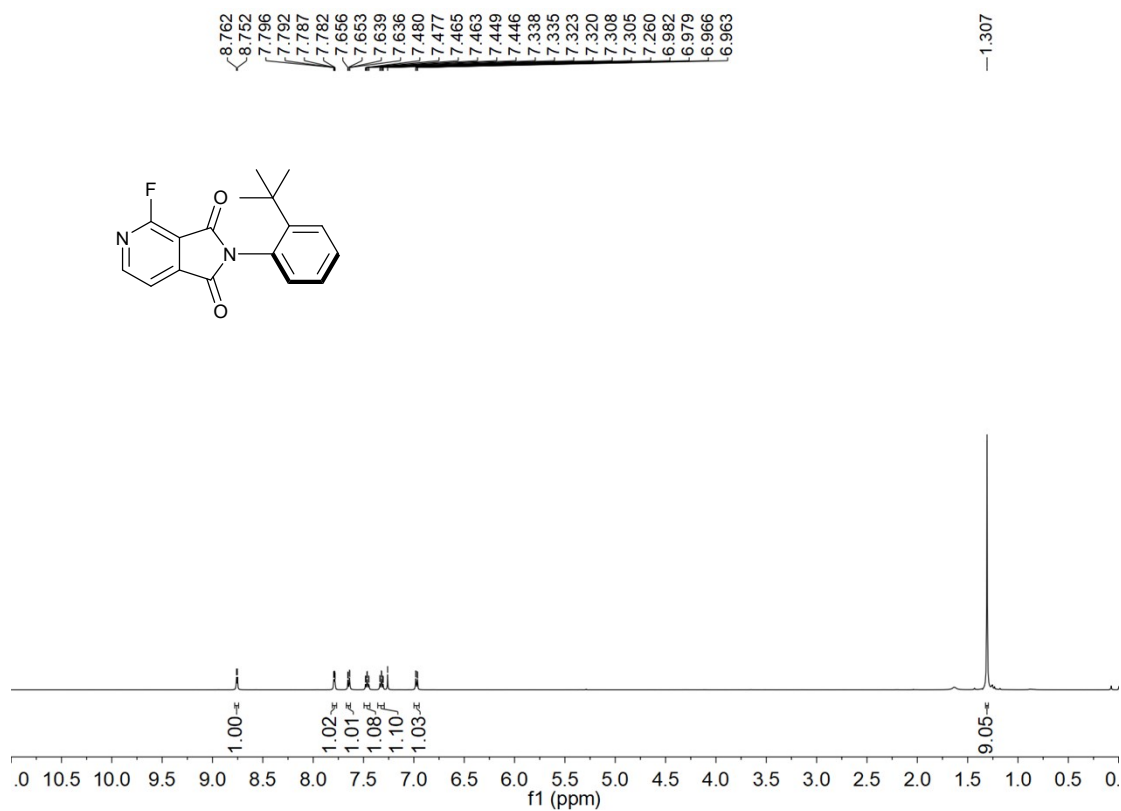
(R)- 2-(2-(*tert*-butyl)phenyl)-5-methylisoindoline-1,3-dione (2ag)



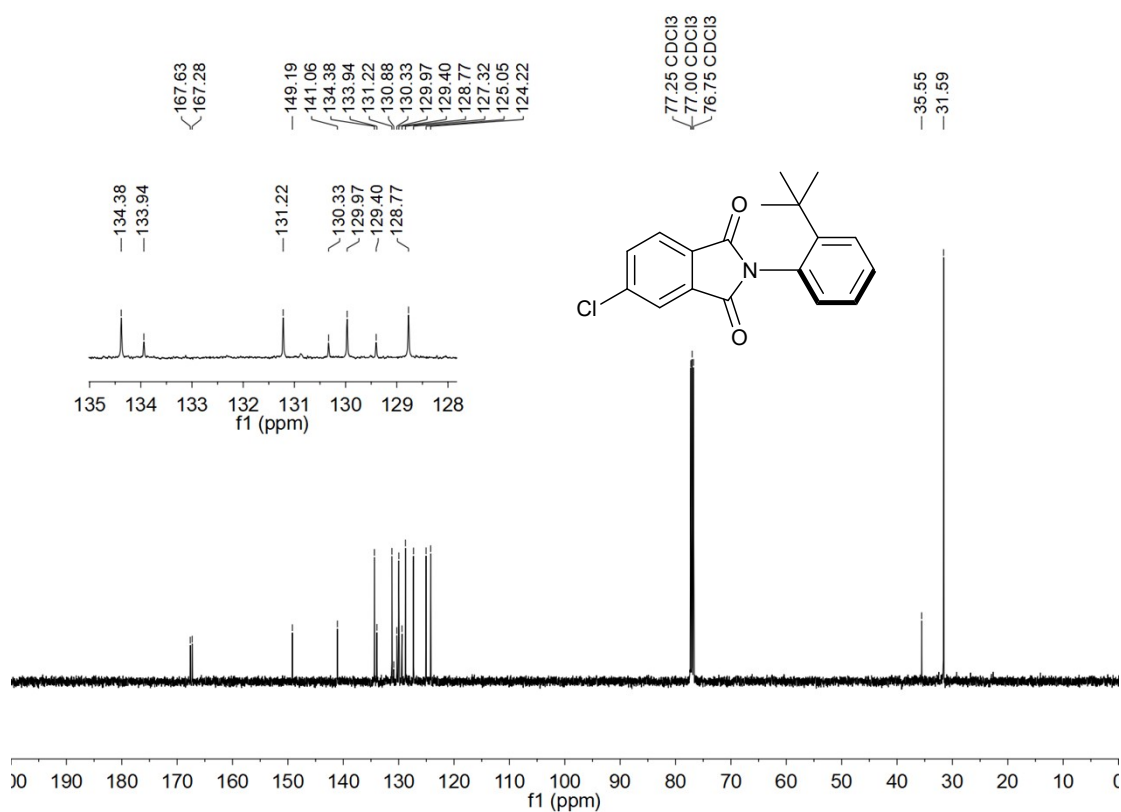
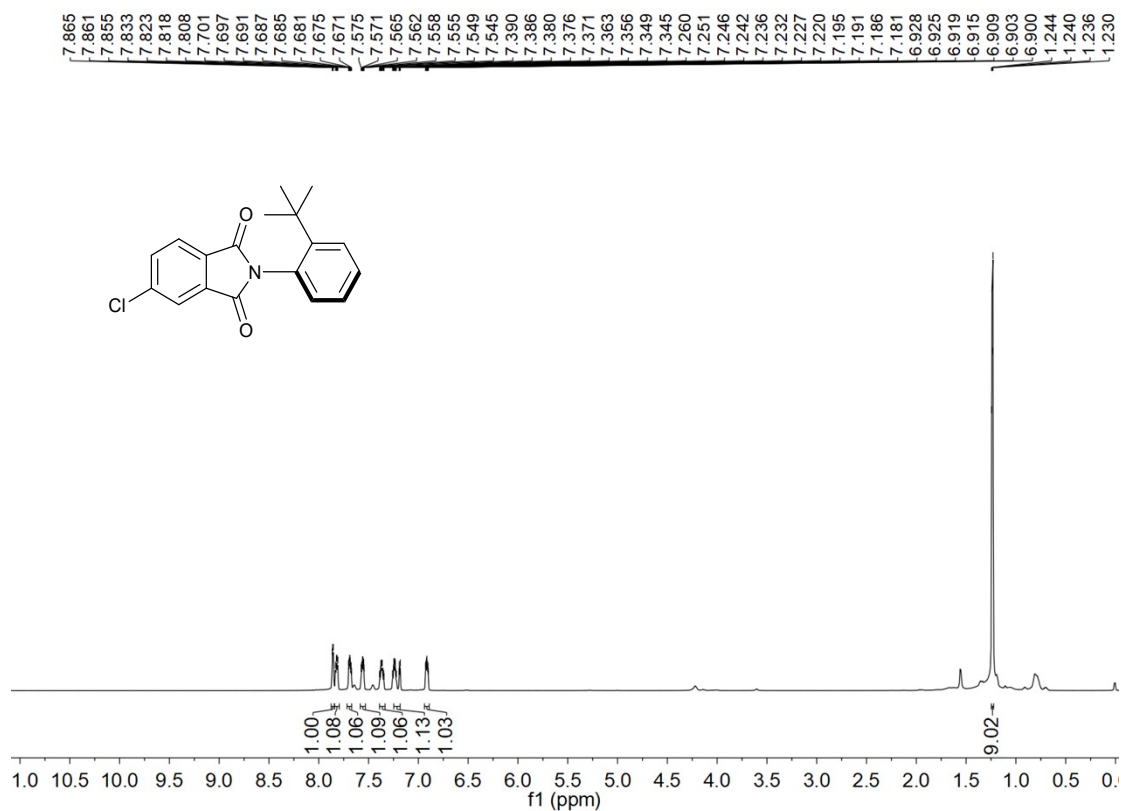
(R)-6-(2-(*tert*-butyl)phenyl)-5H-pyrrolo[3,4-*b*]pyridine-5,7(6*H*)-dione (2ah)



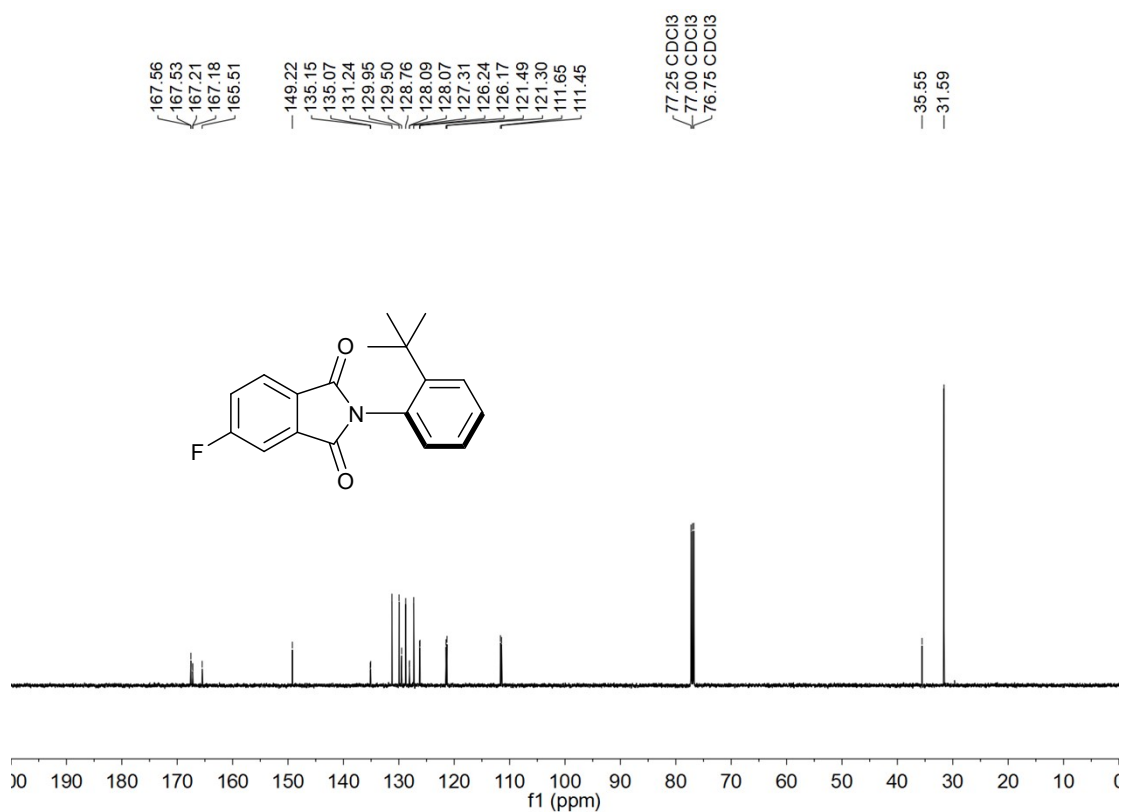
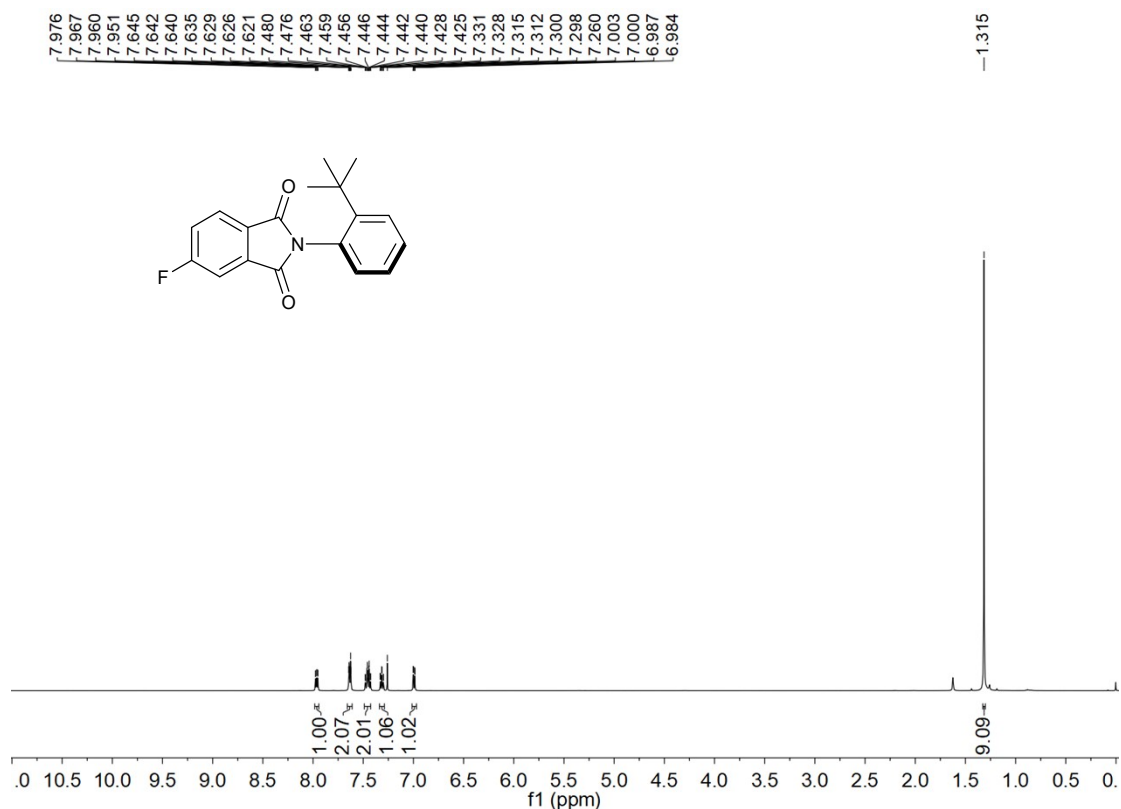
(R)-2-(2-(*tert*-butyl)phenyl)-4-fluoro-1*H*-pyrrolo[3,4-*c*]pyridine-1,3(2*H*)-dione
(2ai)



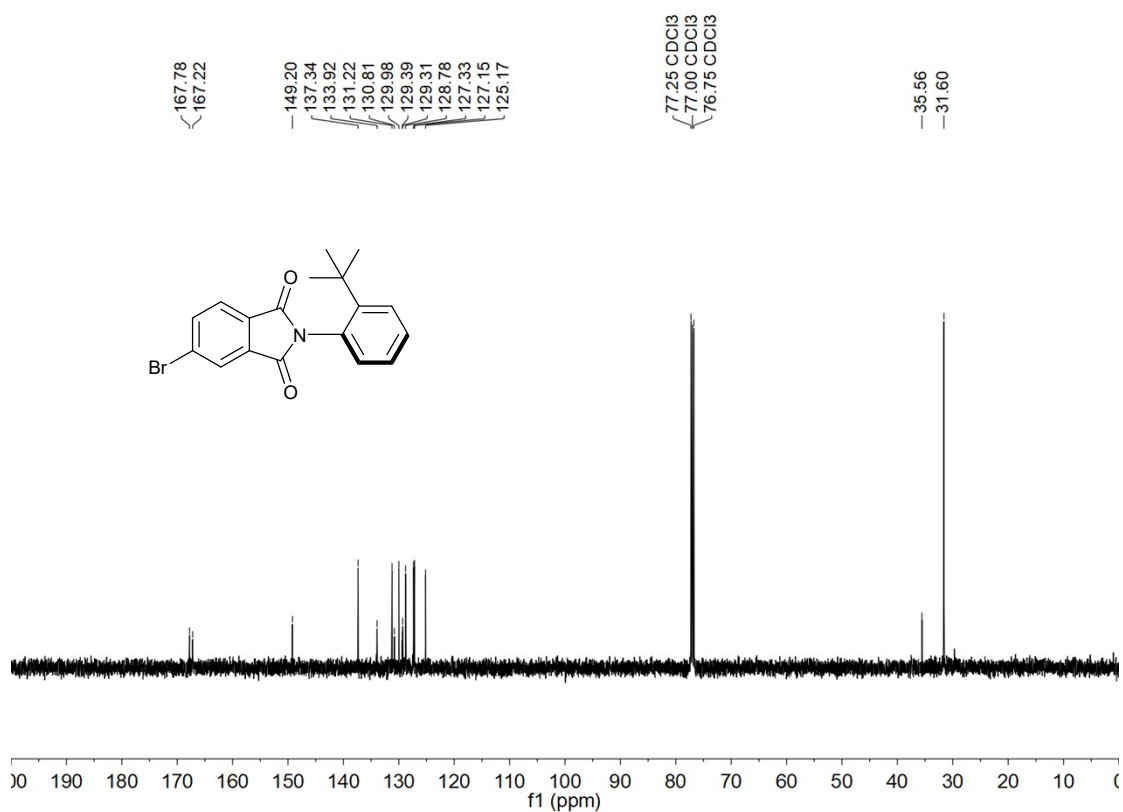
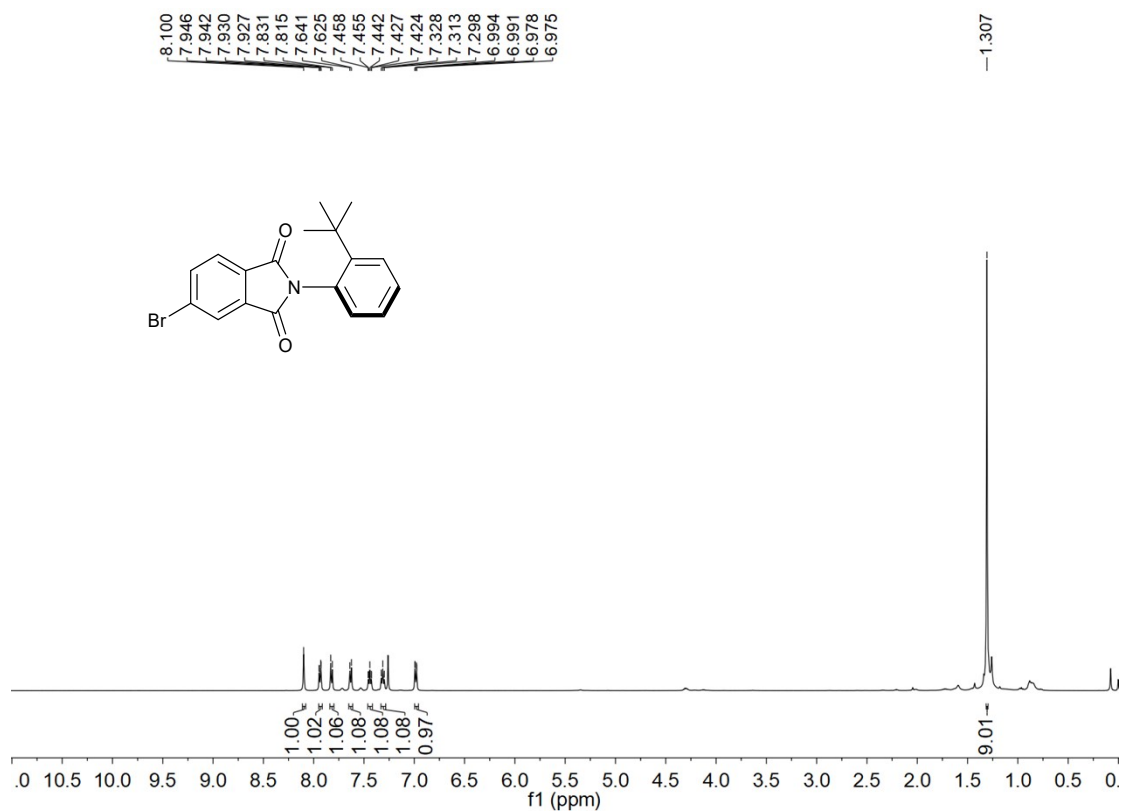
(S)- 2-(2-(*tert*-butyl)phenyl)-5-chloroisindoline-1,3-dione (2aj)



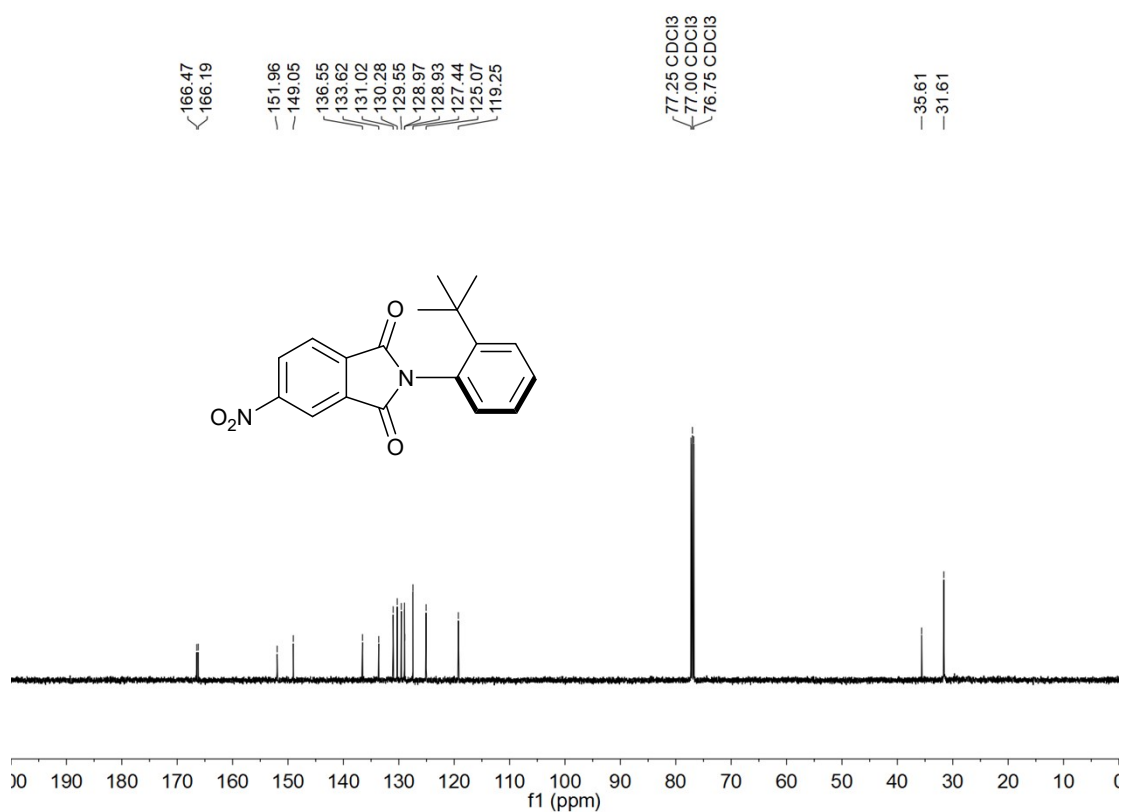
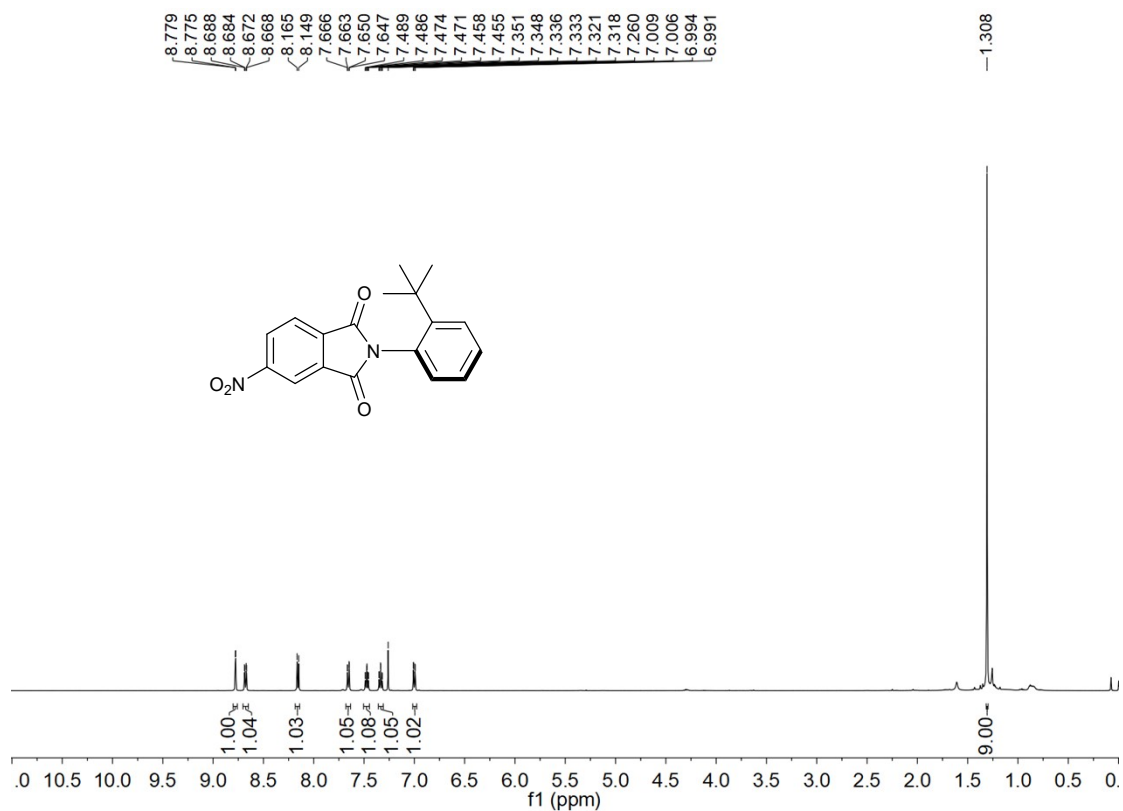
(S)- 2-(2-(*tert*-butyl)phenyl)-5-fluoroisindoline-1,3-dione (2ak)



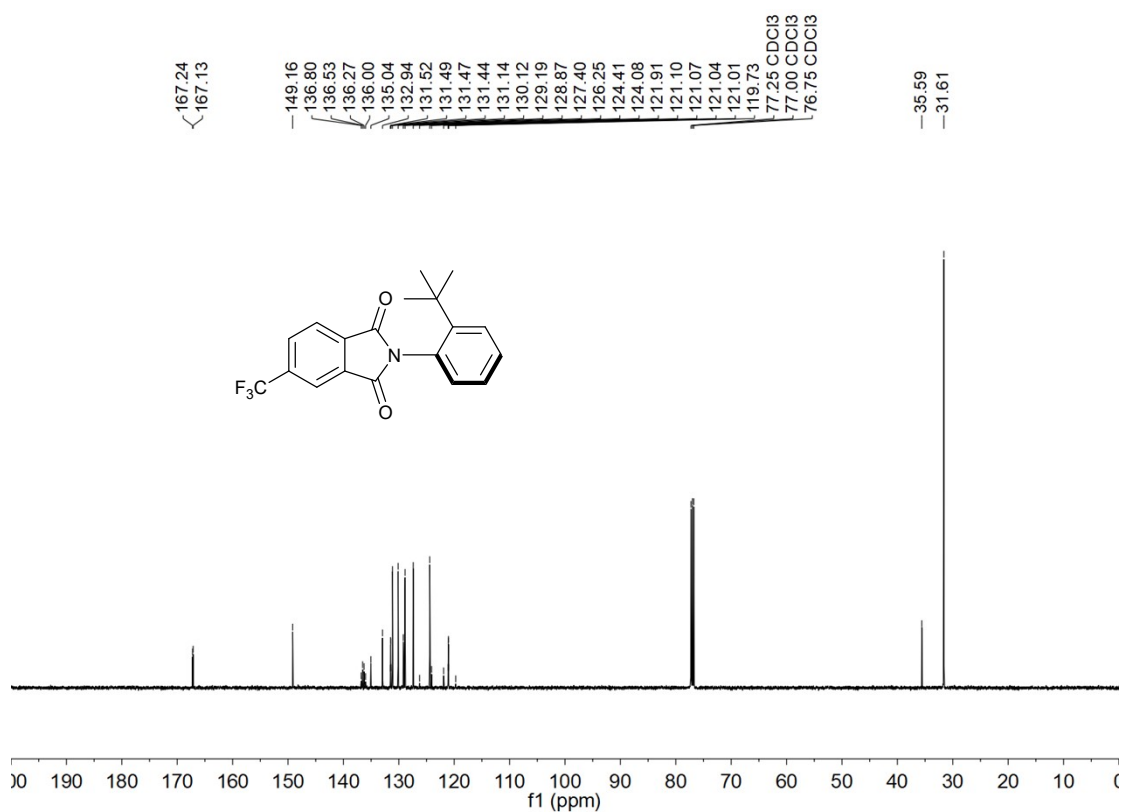
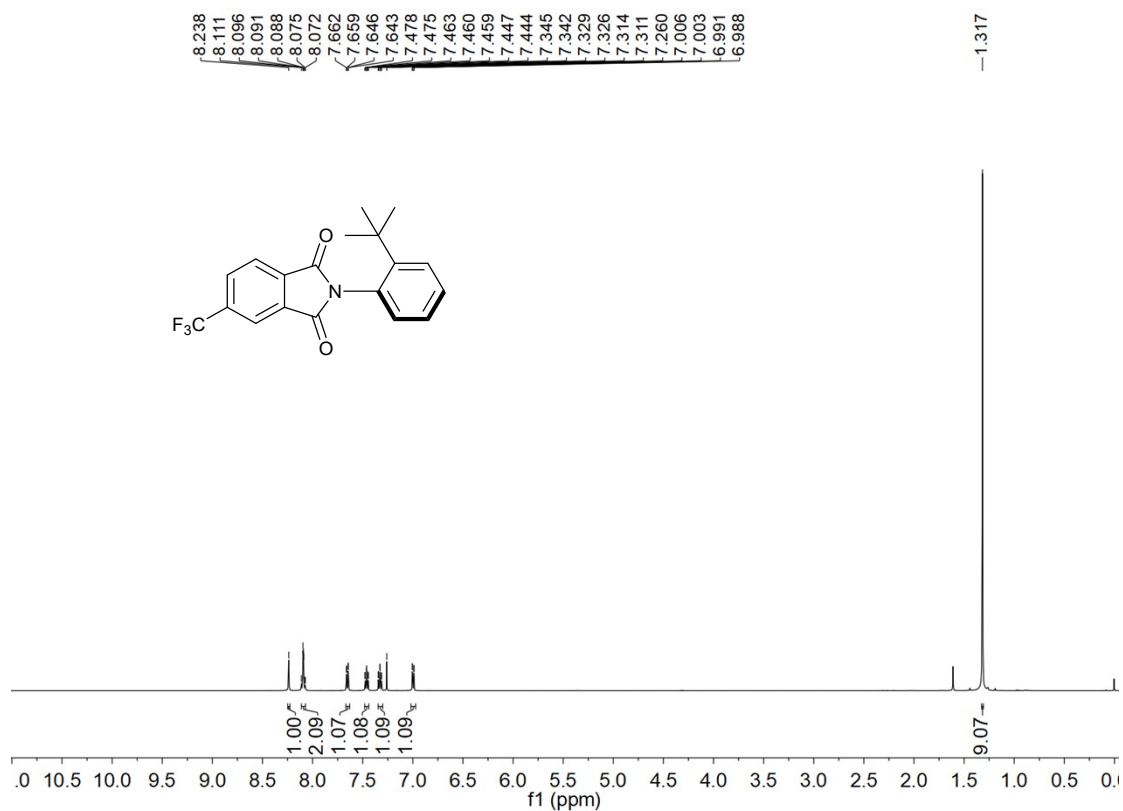
(S)- 5-bromo-2-(2-(*tert*-butyl)phenyl)isoindoline-1,3-dione (2a)



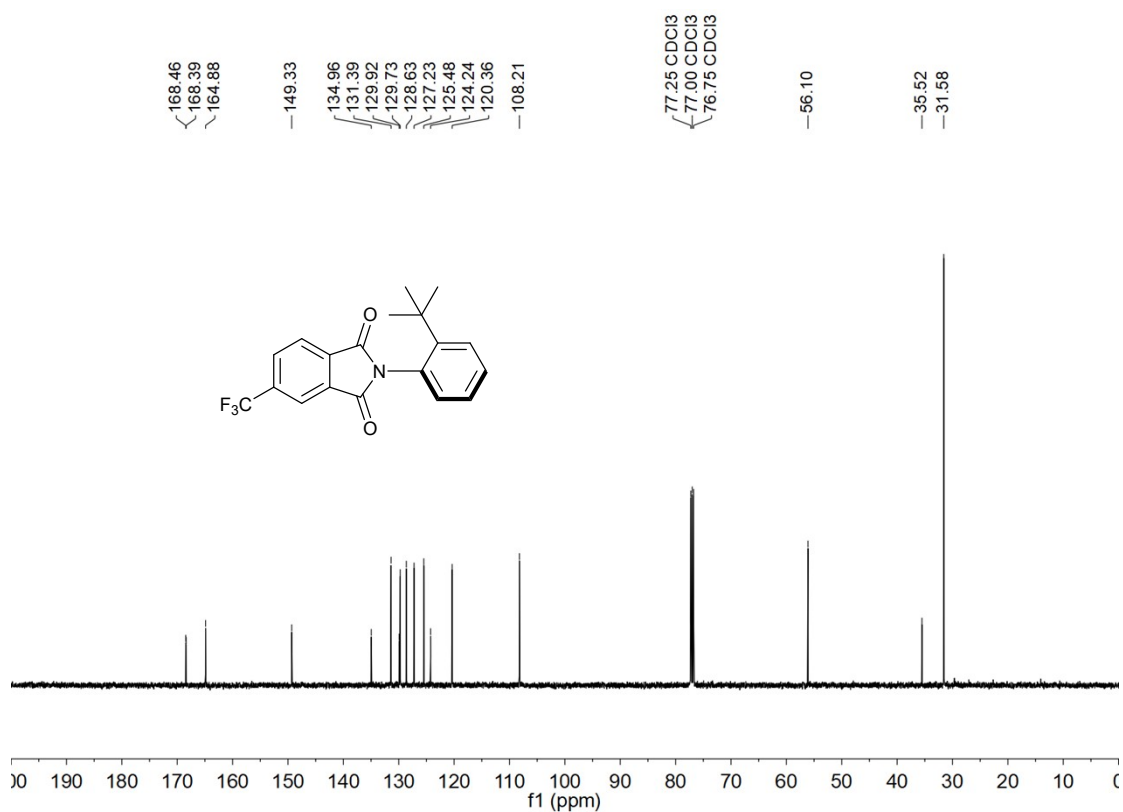
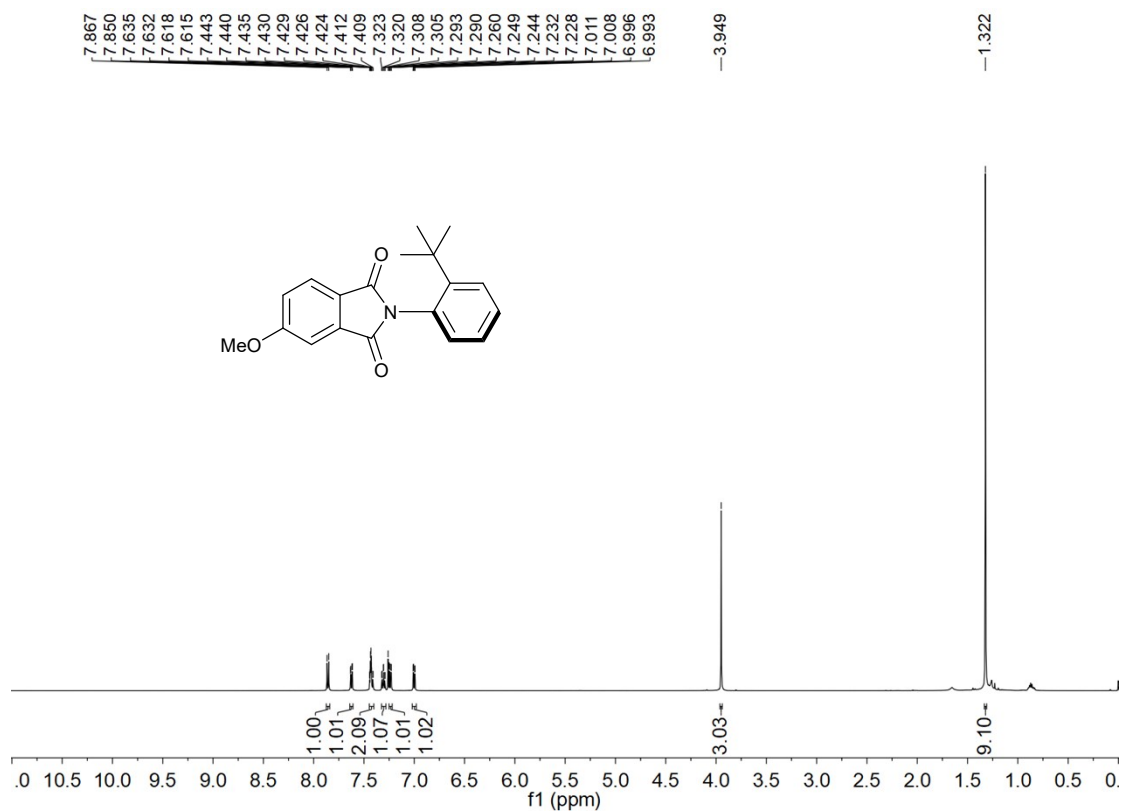
(S)- 2-(2-(*tert*-butyl)phenyl)-5-nitroisindoline-1,3-dione (2am)



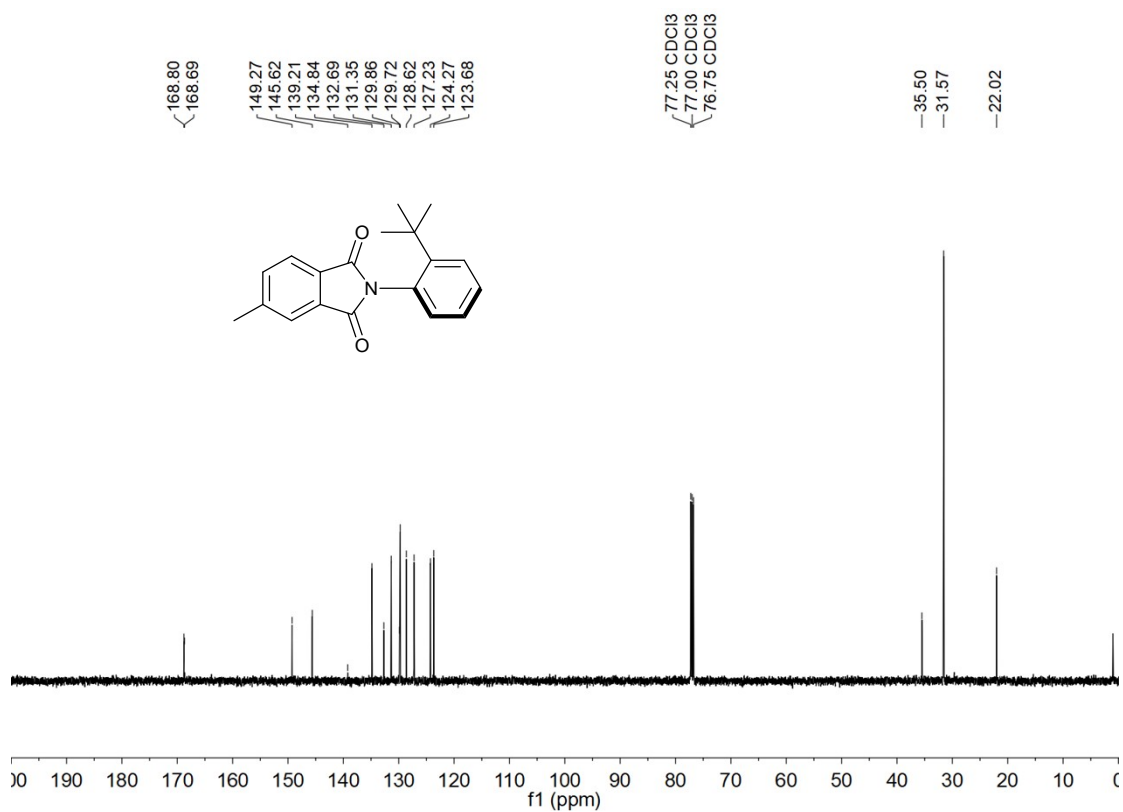
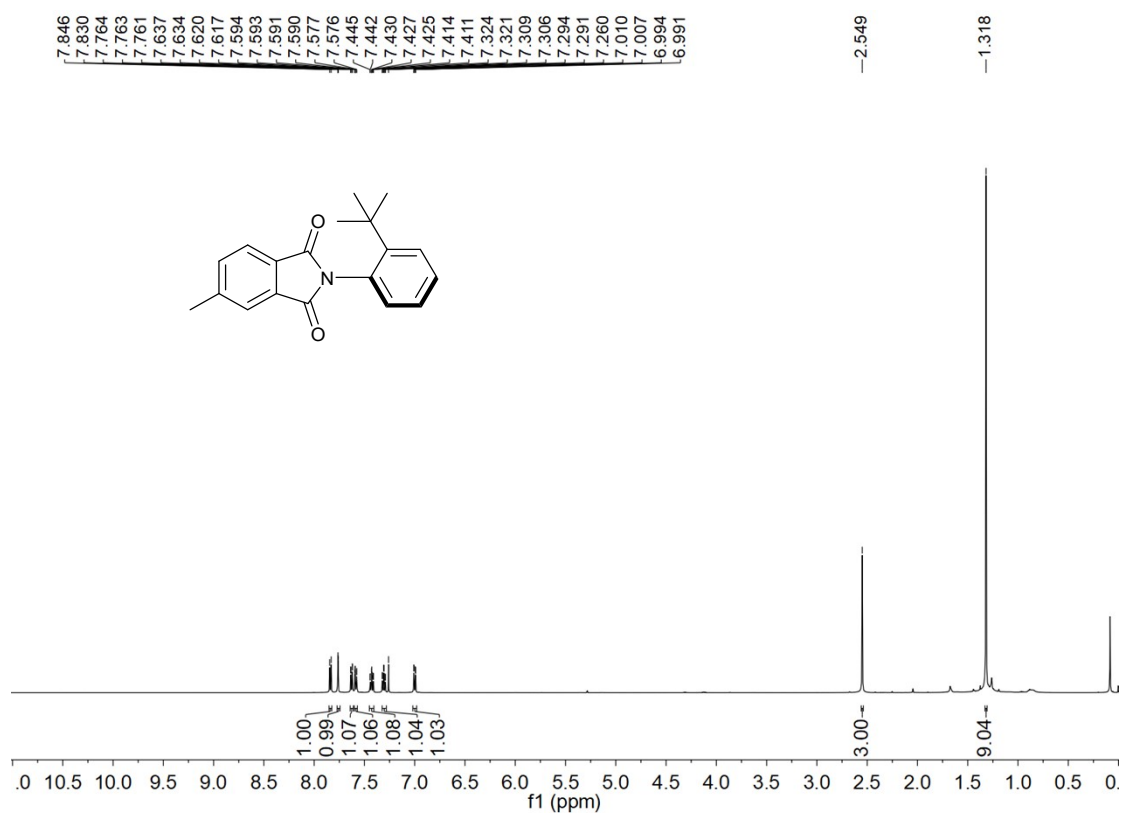
(S)-2-(2-(*tert*-butyl)phenyl)-5-(trifluoromethyl)isoindoline-1,3-dione (2an)



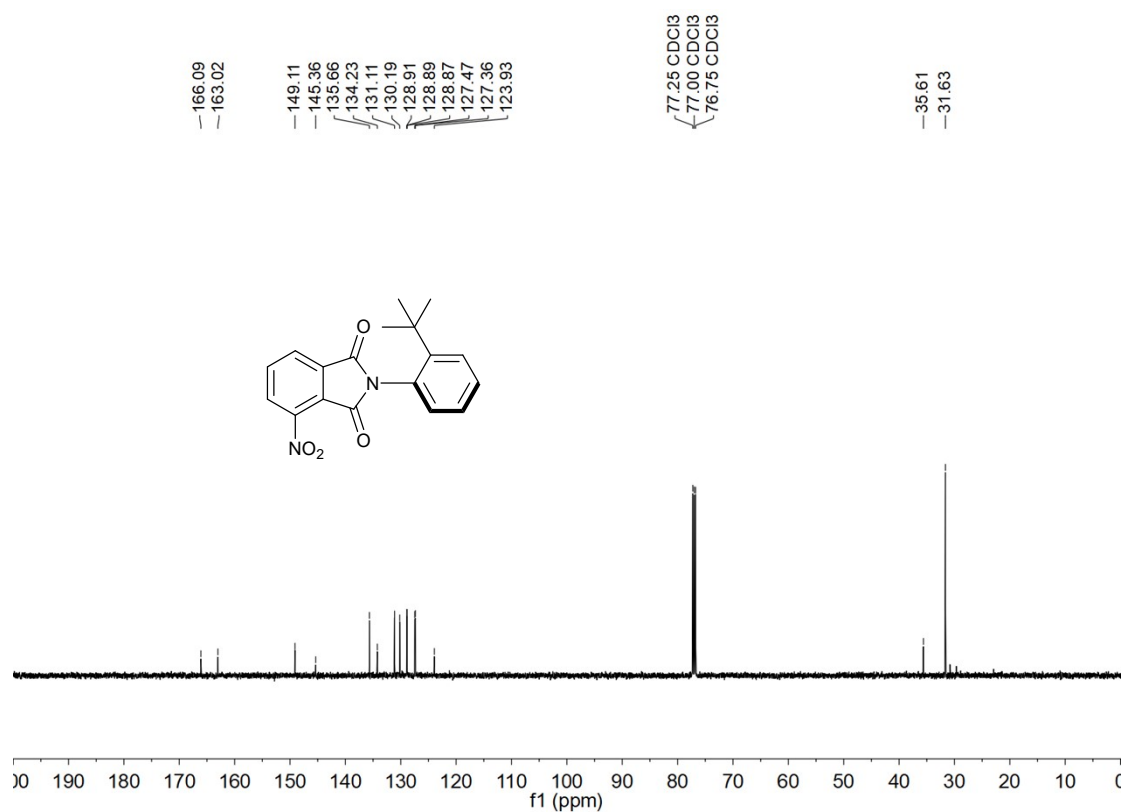
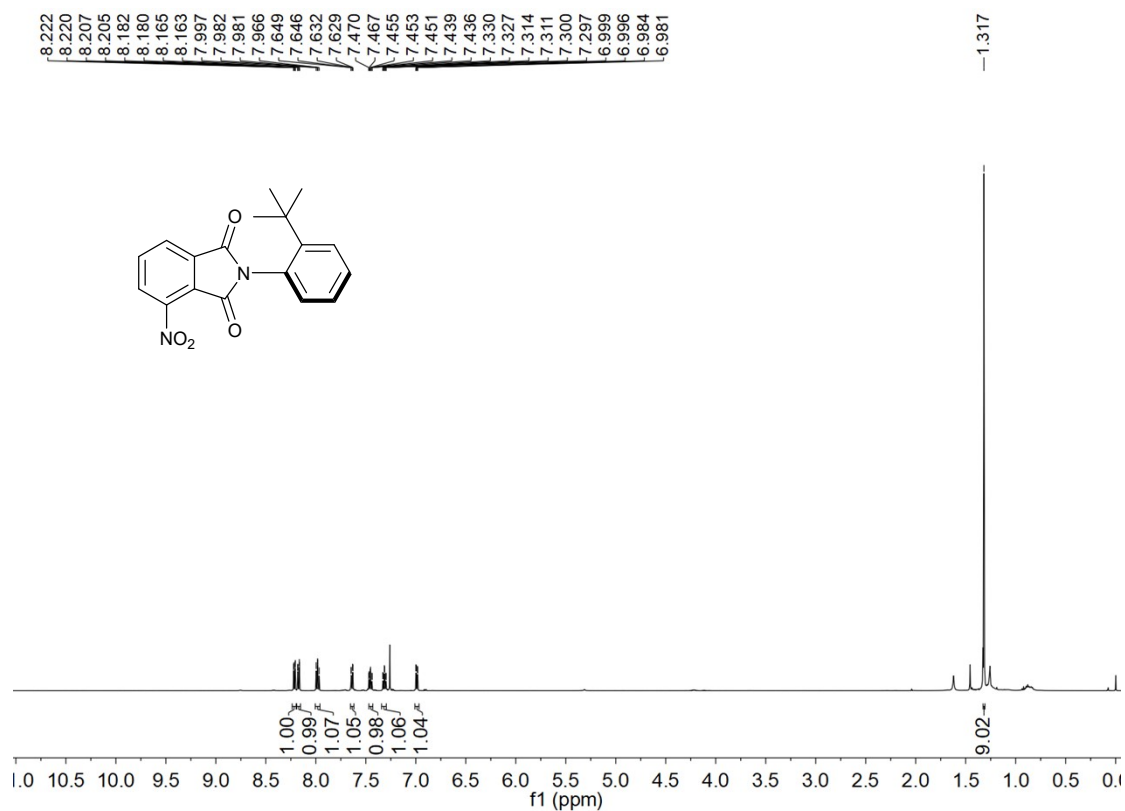
(S)-2-(2-(*tert*-butyl)phenyl)-5-methoxyisoindoline-1,3-dione (2ao)



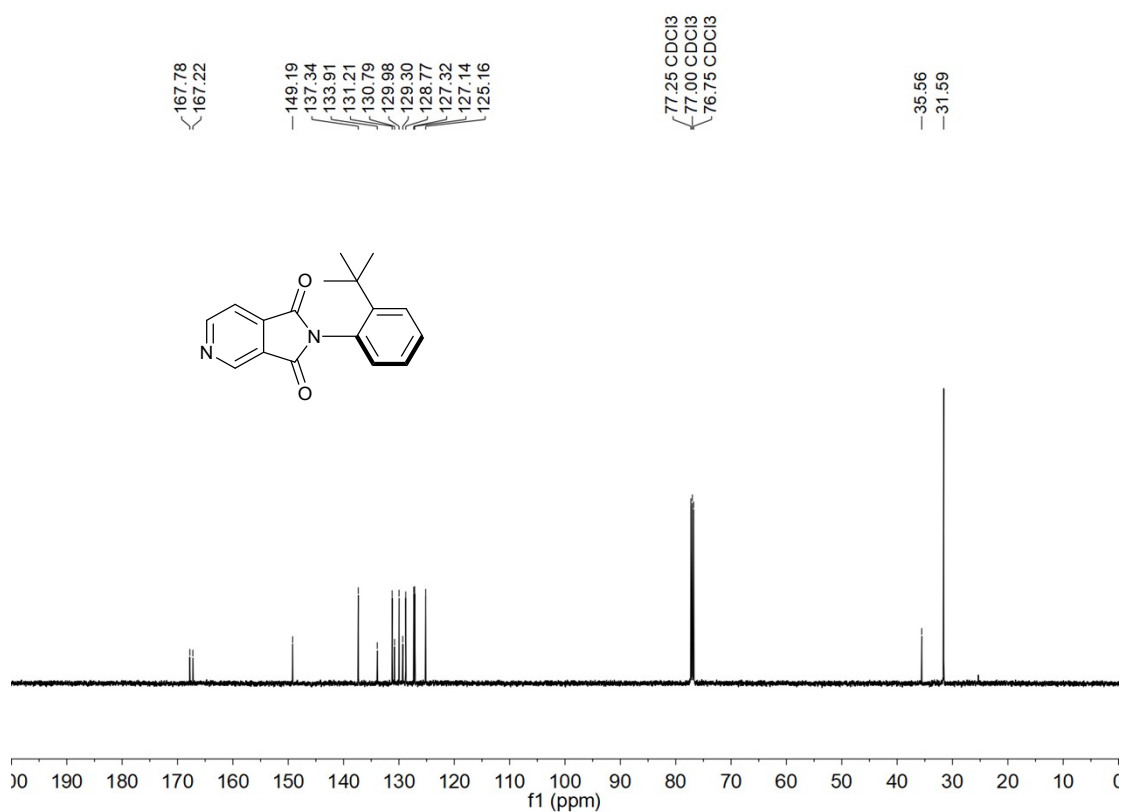
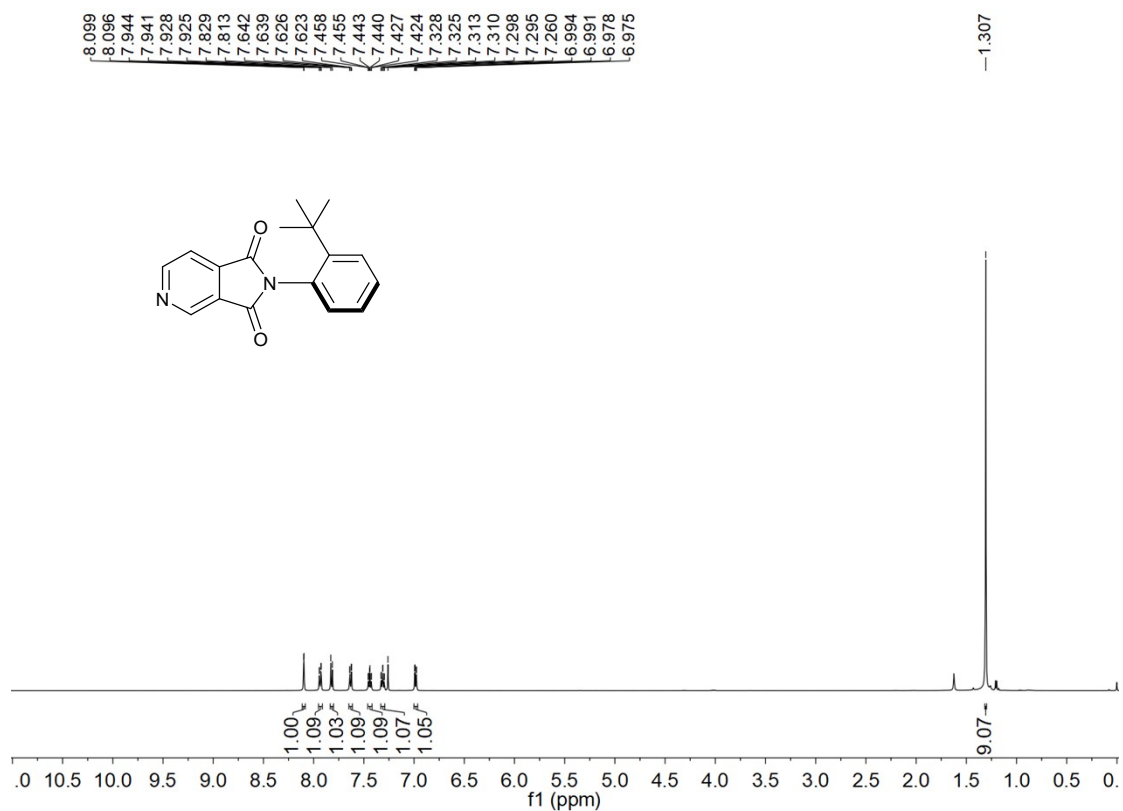
(S)-2-(2-(*tert*-butyl)phenyl)-5-methylisoindoline-1,3-dione (2ap)



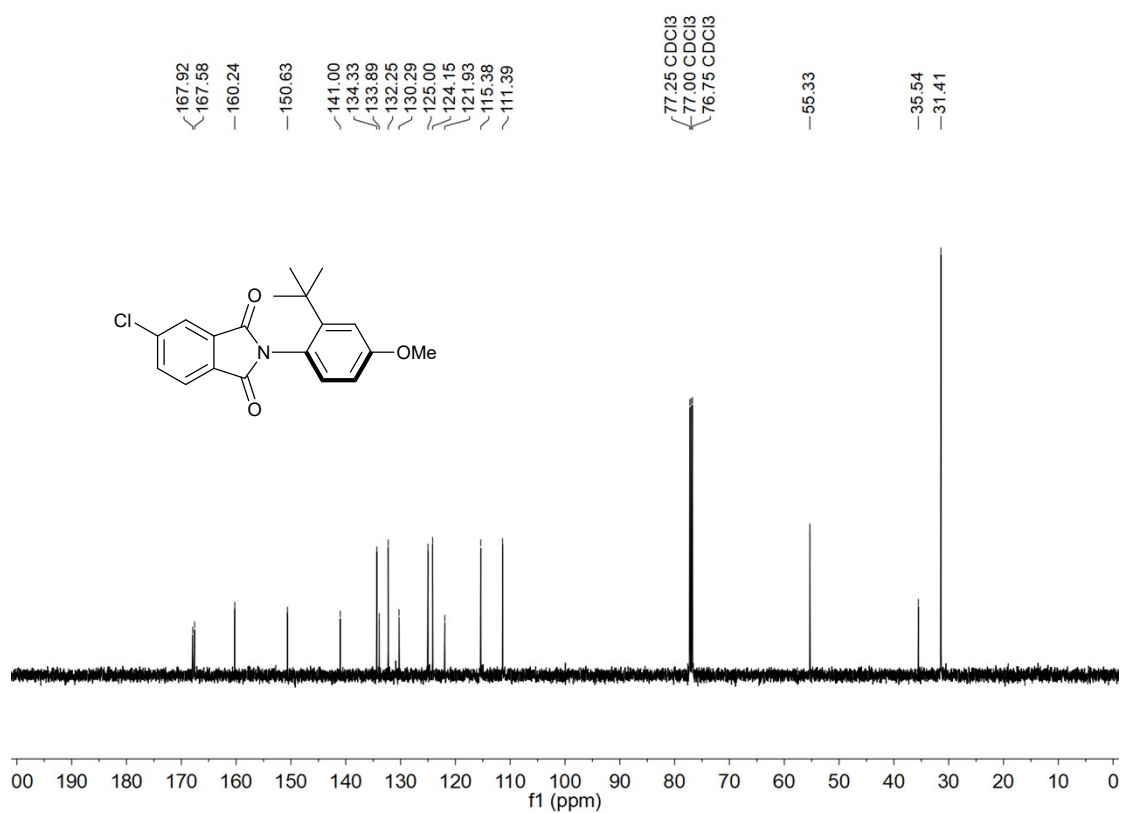
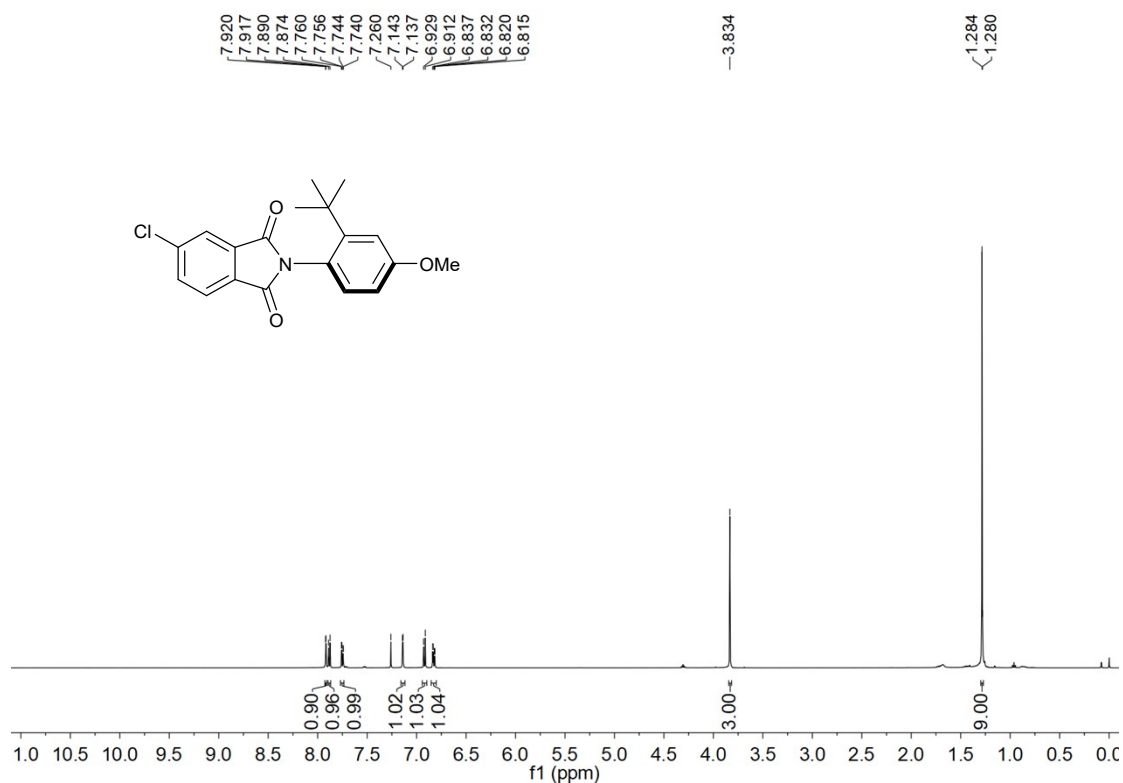
(S)-2-(2-(*tert*-butyl)phenyl)-4-nitroisindoline-1,3-dione (2aq)



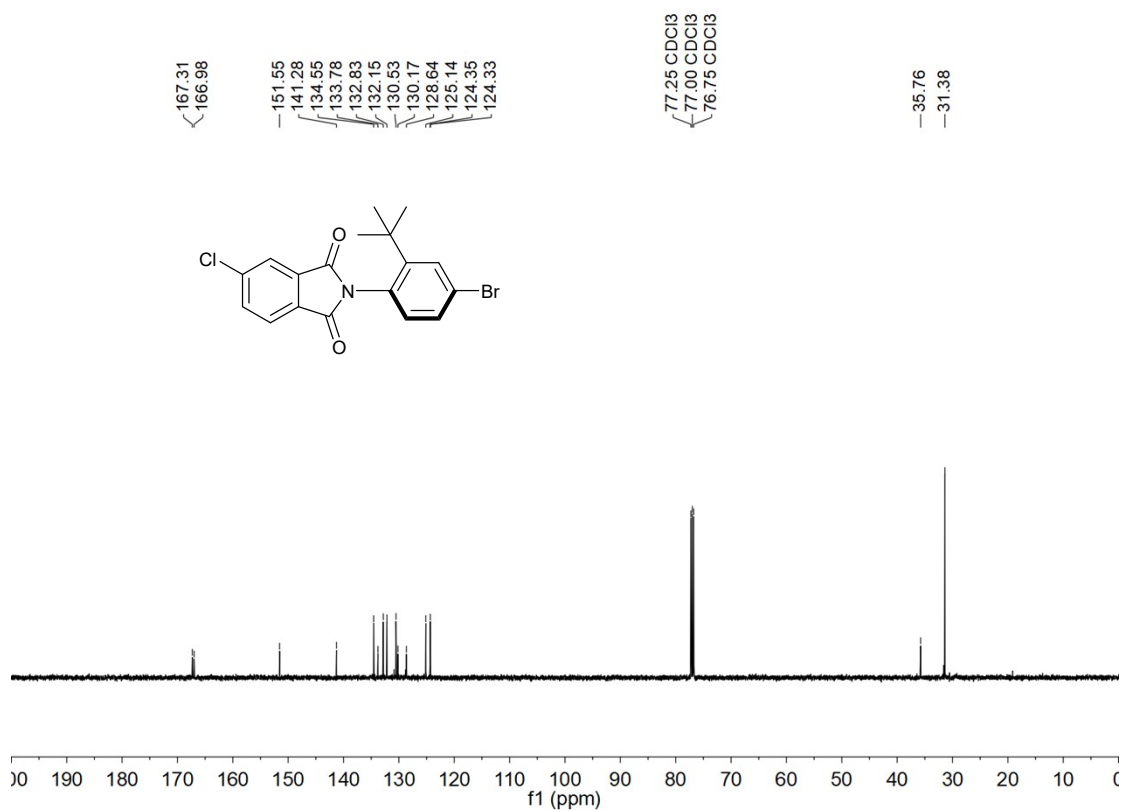
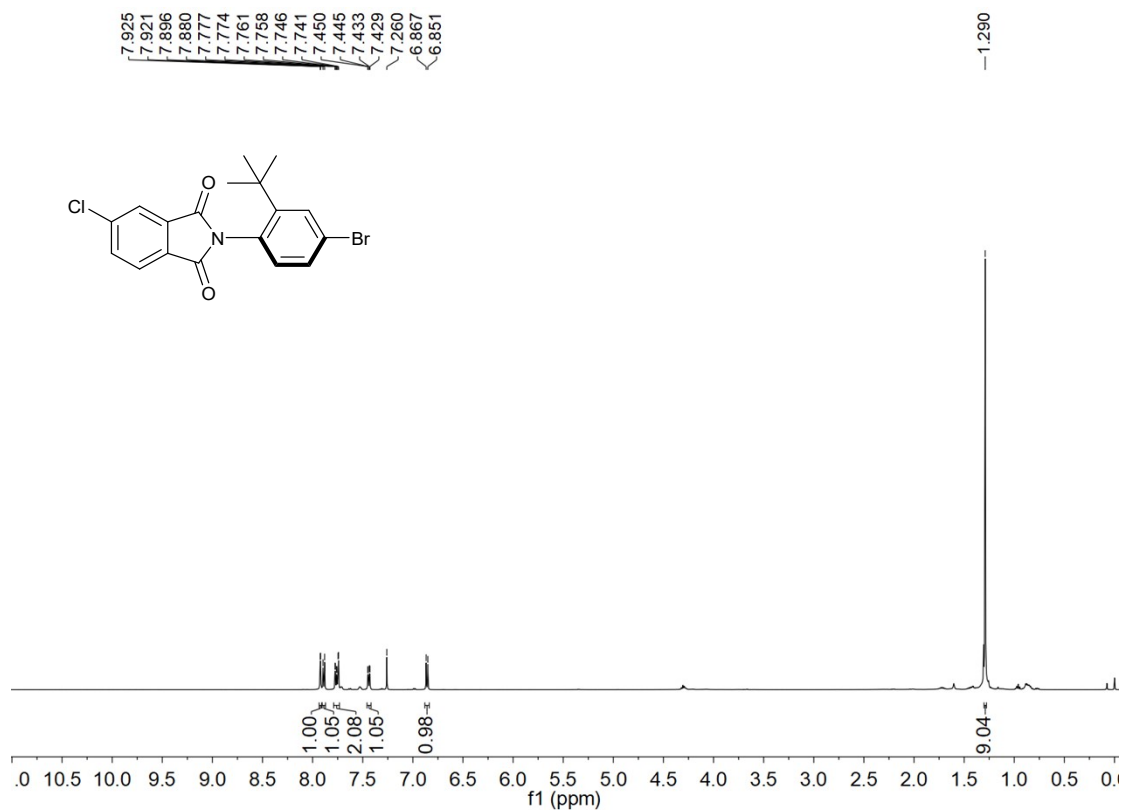
(*S*)-2-(2-(*tert*-butyl)phenyl)-1*H*-pyrrolo[3,4-*c*]pyridine-1,3(2*H*)-dione (2ar)



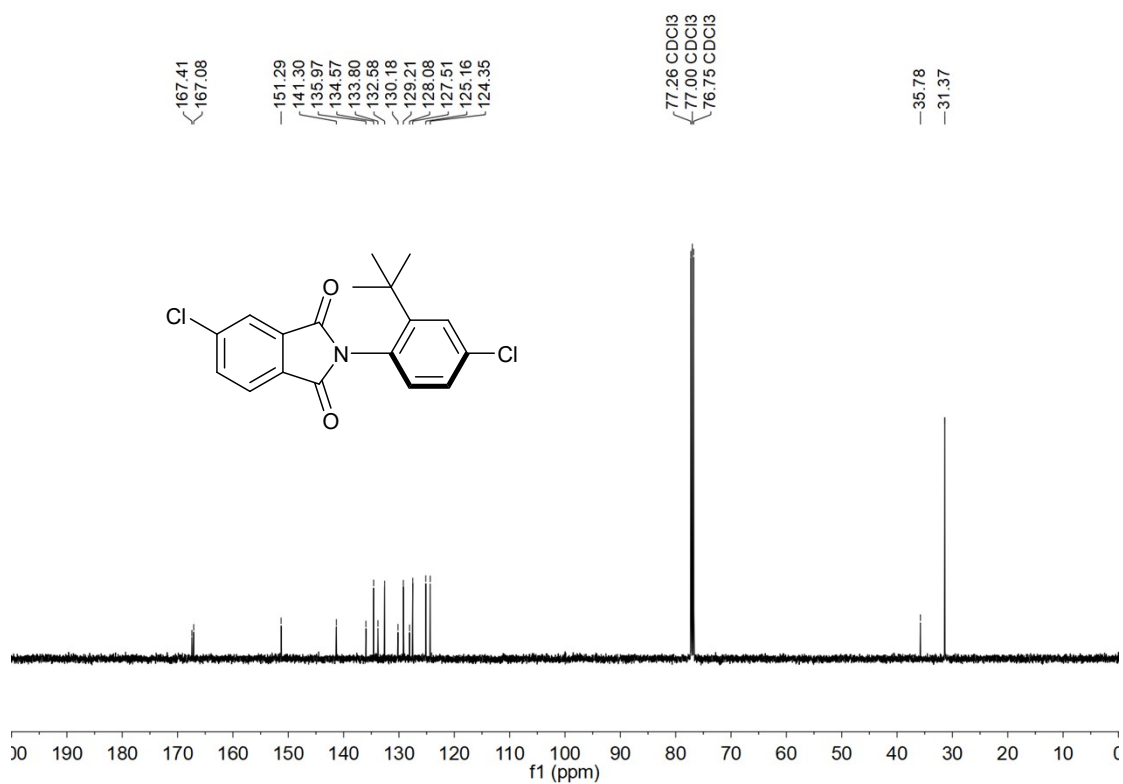
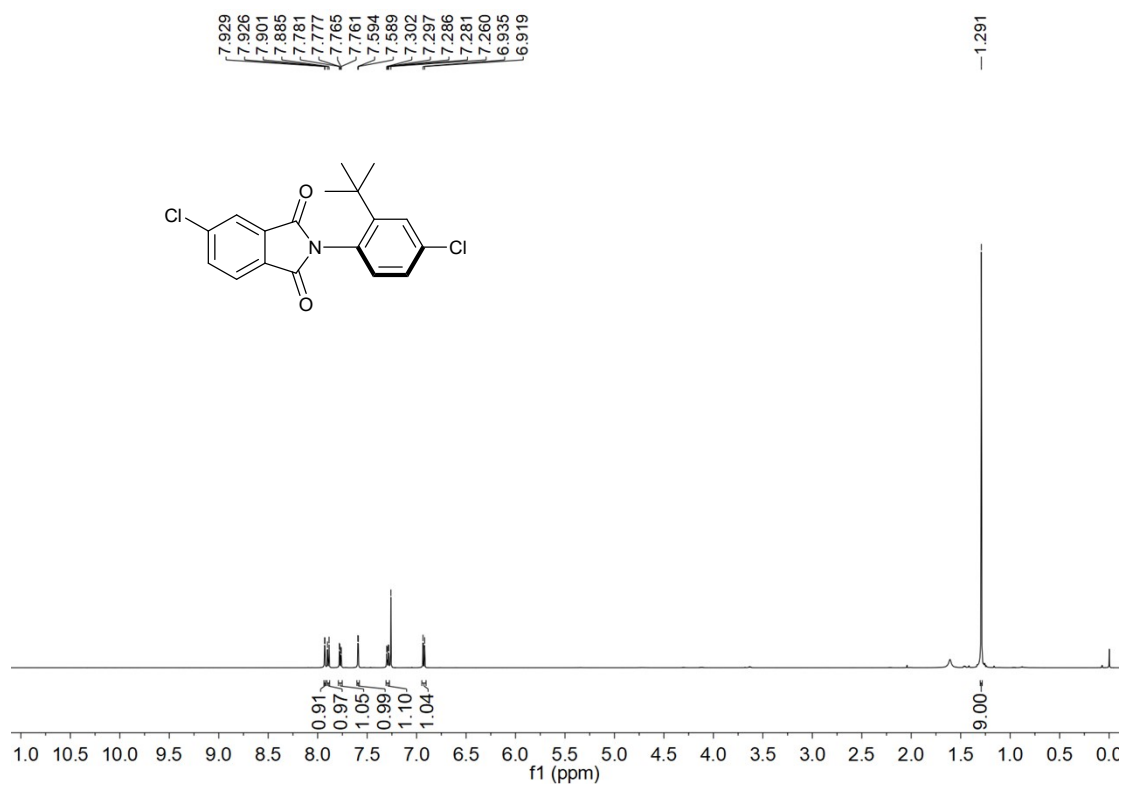
(*R*)-2-(2-(*tert*-butyl)-4-methoxyphenyl)-5-chloroisindoline-1,3-dione (2ba)



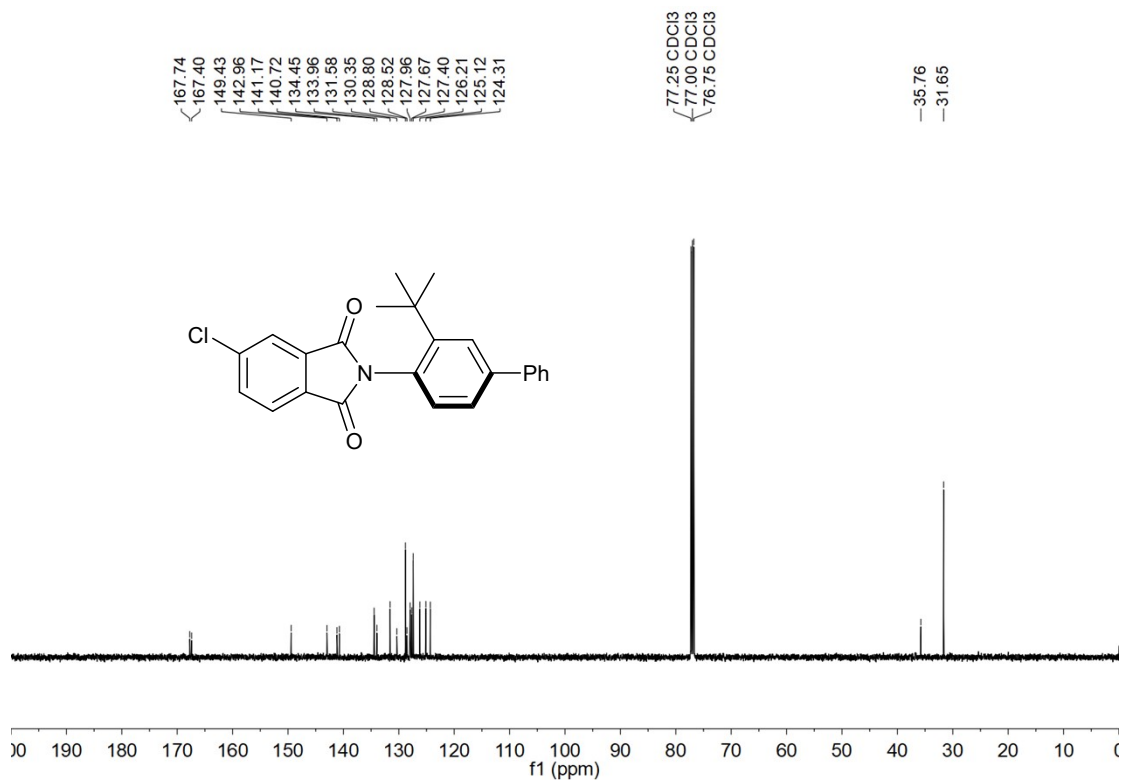
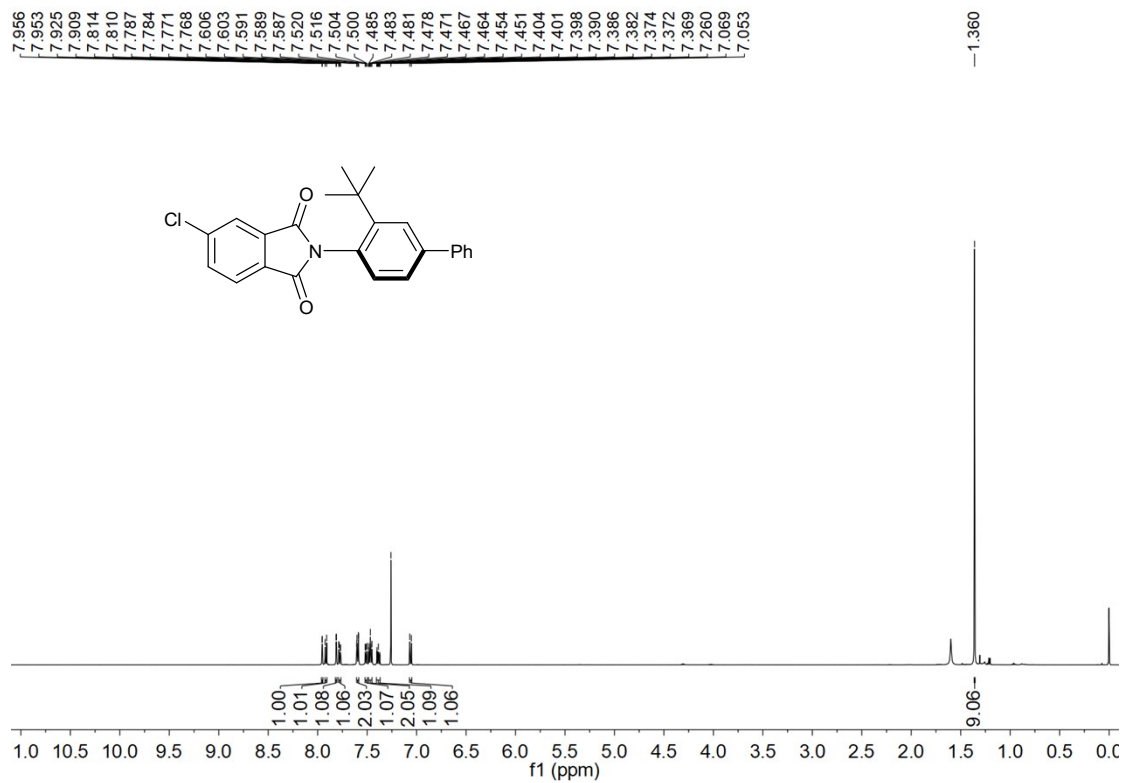
(*R*)-2-(4-bromo-2-(*tert*-butyl)phenyl)-5-chloroisindoline-1,3-dione (2bb)



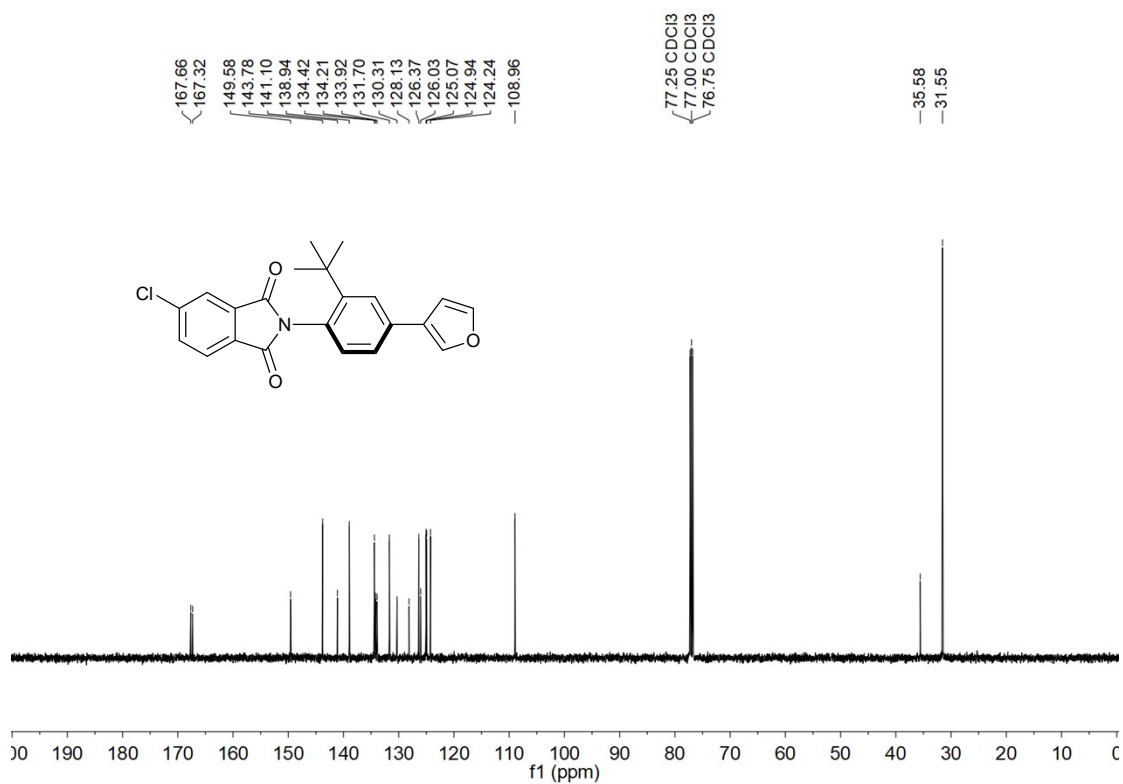
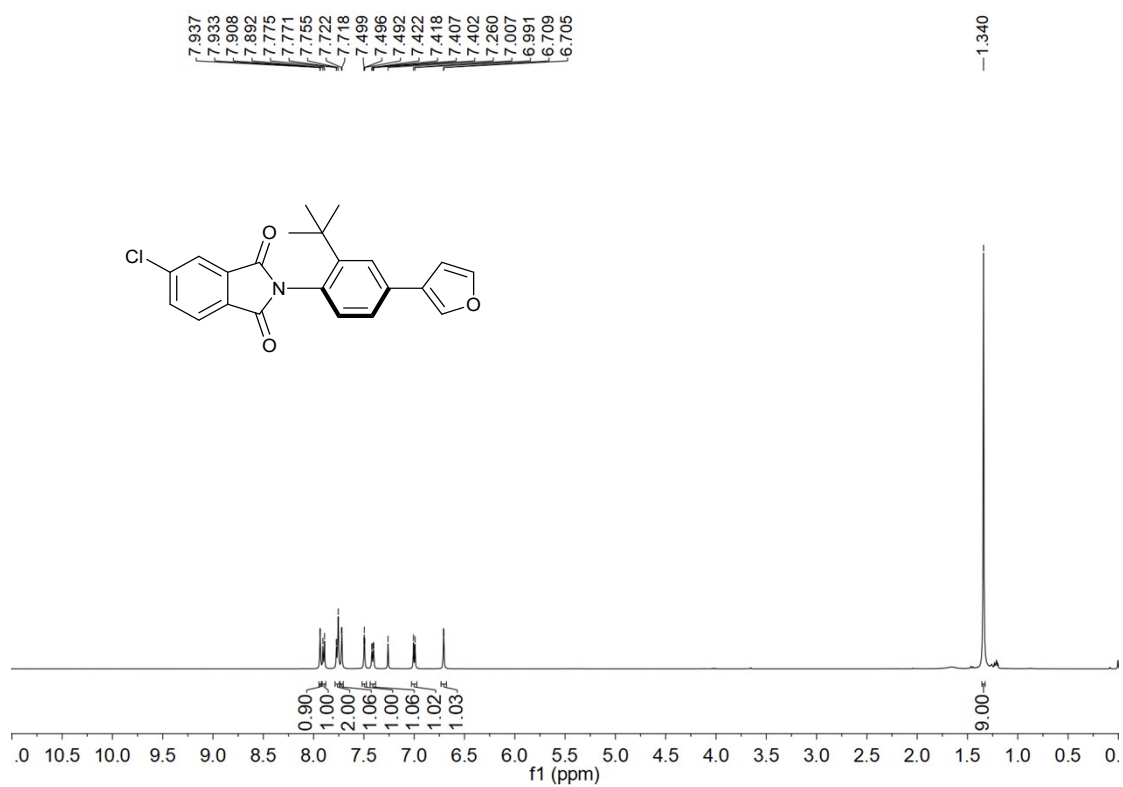
(R)- 2-(2-(*tert*-butyl)-4-chlorophenyl)-5-chloroisindoline-1,3-dione (2bc)



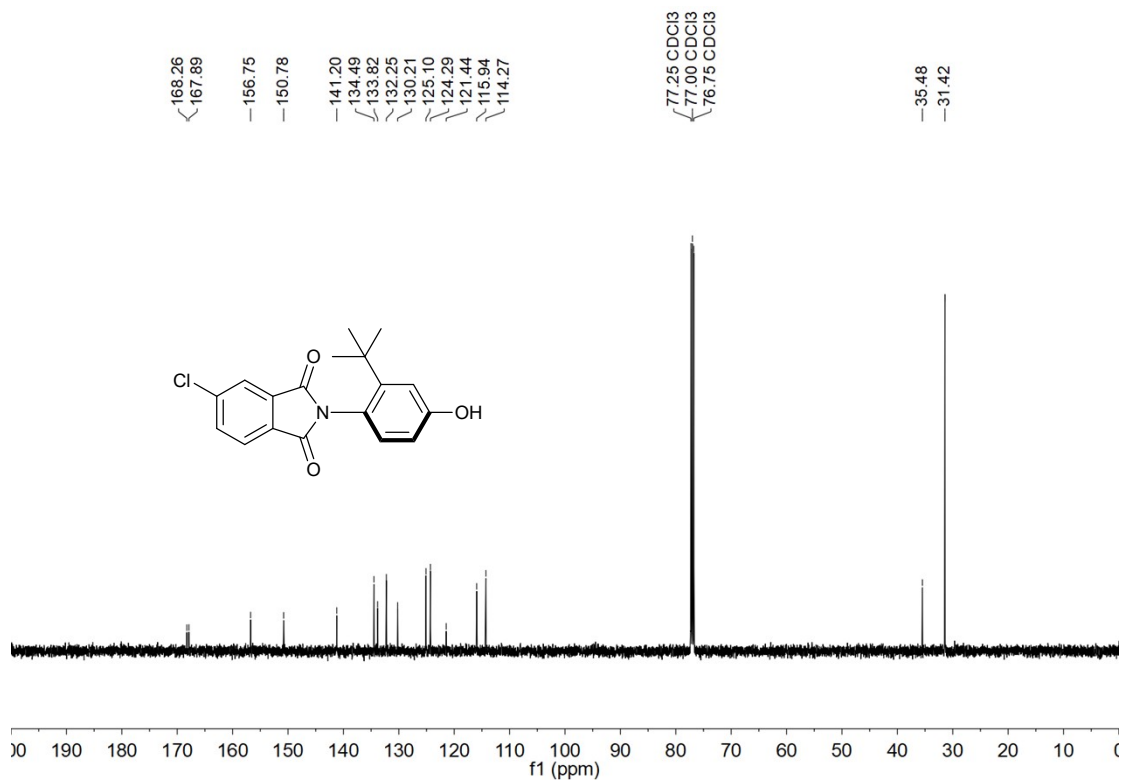
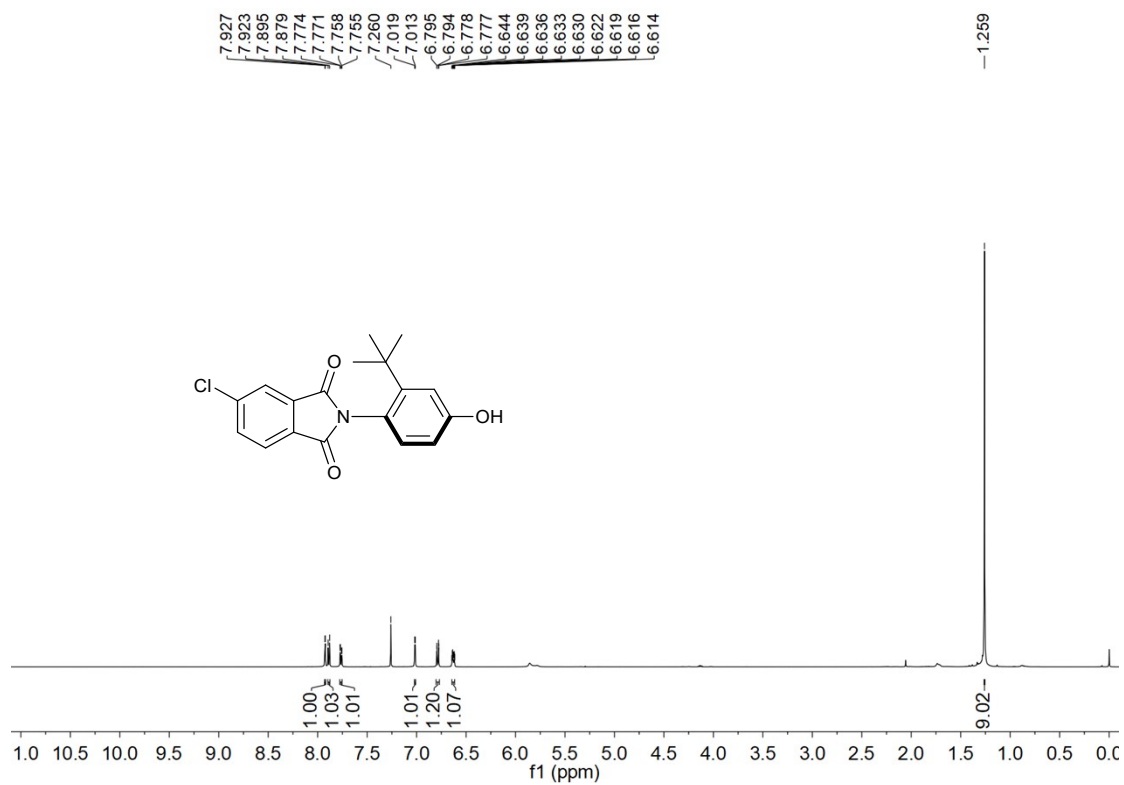
(R)-2-(3-(*tert*-butyl)-[1,1'-biphenyl]-4-yl)-5-chloroisindoline-1,3-dione (2bd)



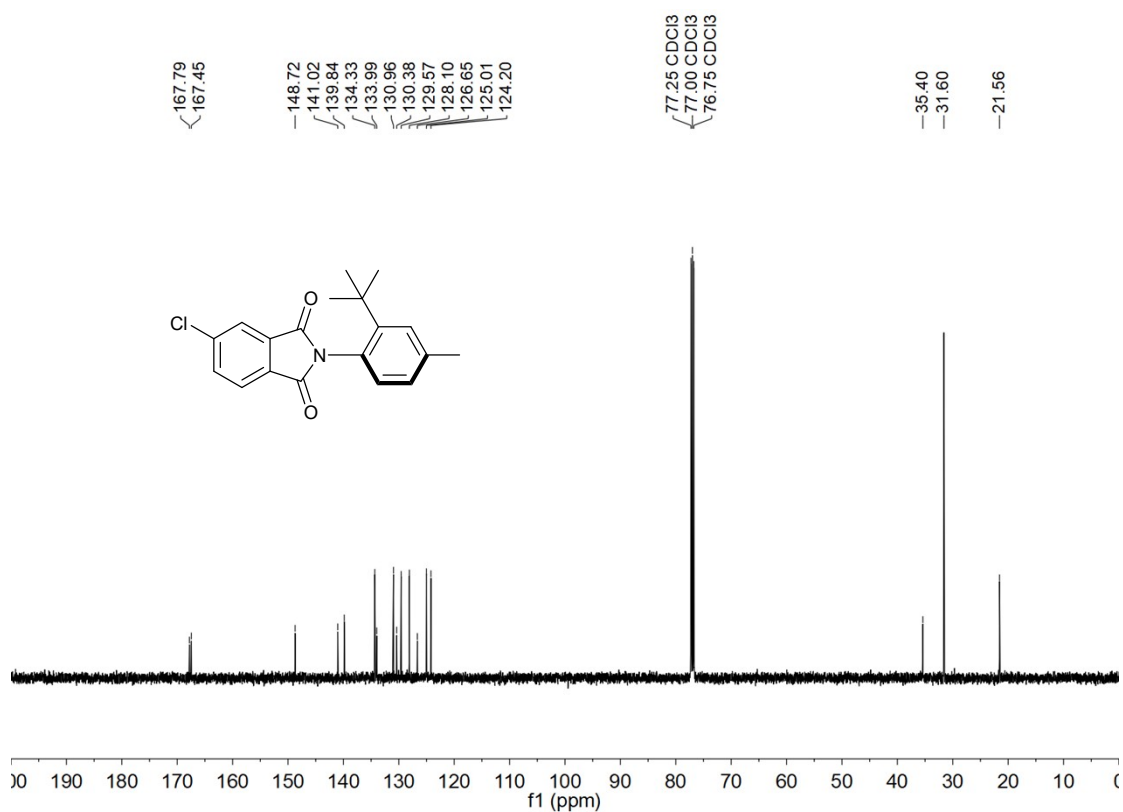
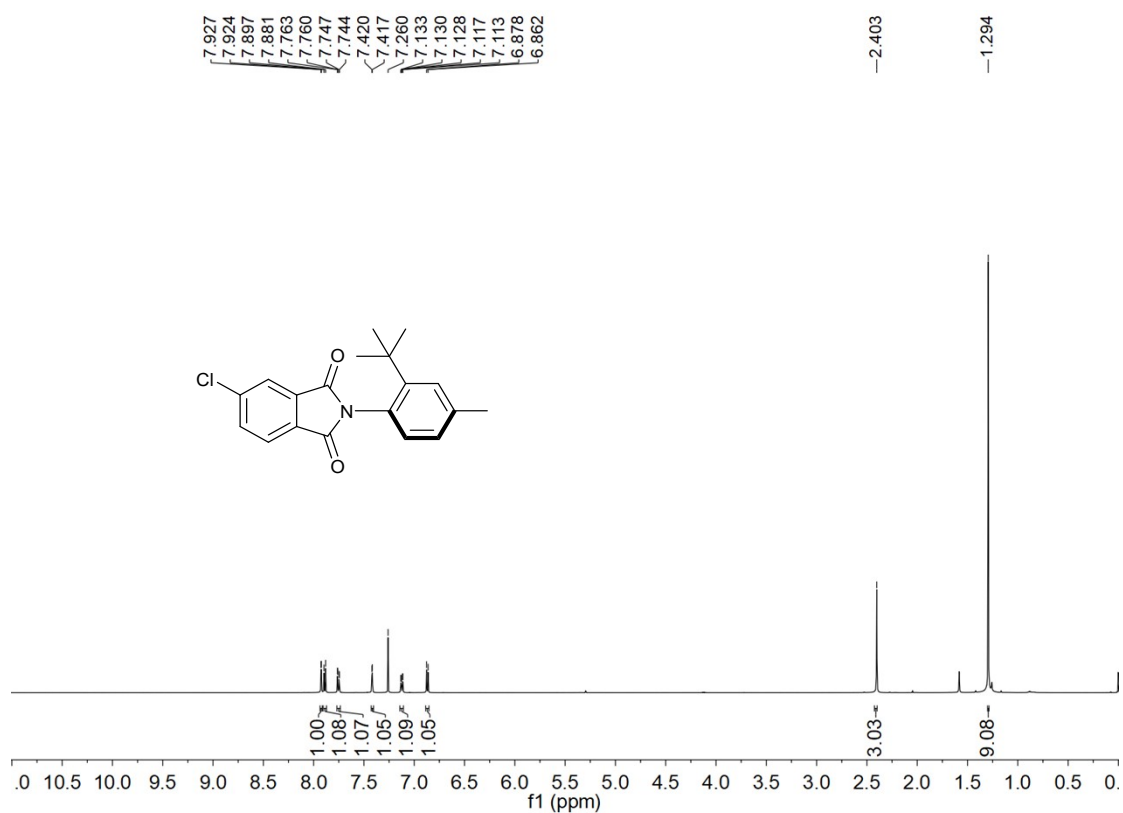
(*R*)-2-(2-(*tert*-butyl)-4-(furan-3-yl)phenyl)-5-chloroisindoline-1,3-dione (2be)



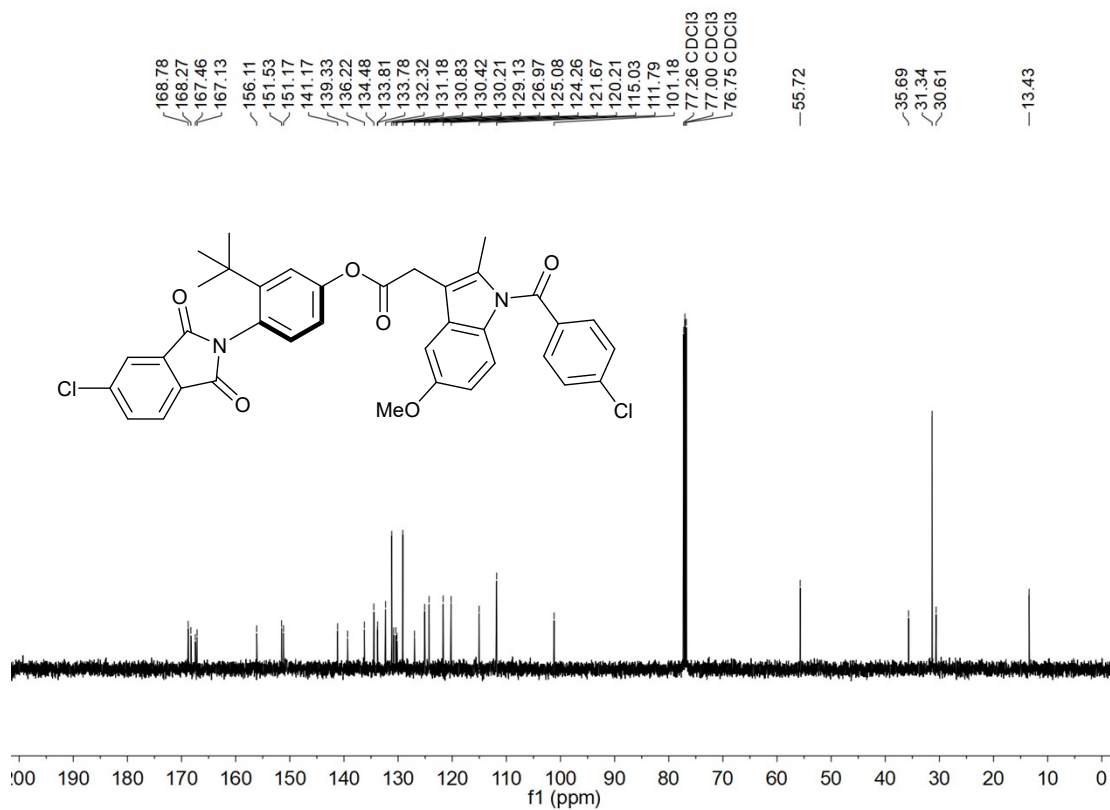
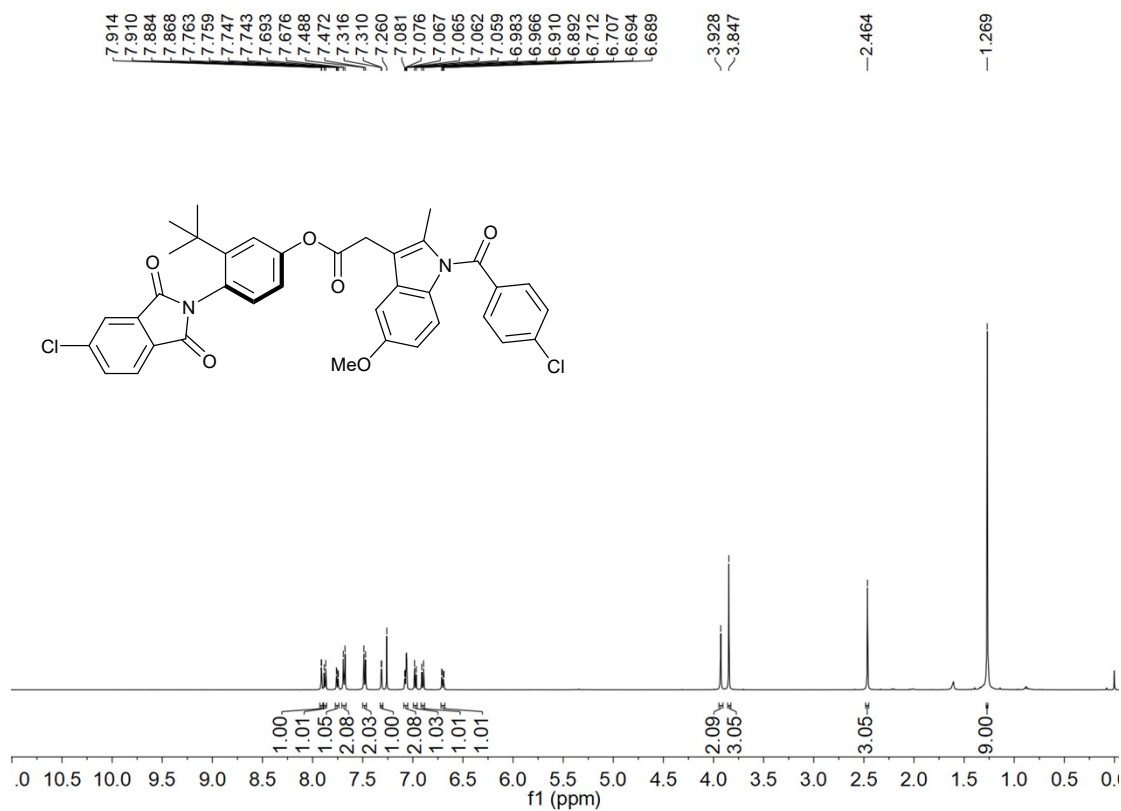
(*R*)-2-(2-(*tert*-butyl)-4-hydroxyphenyl)-5-chloroisindoline-1,3-dione (2bf)



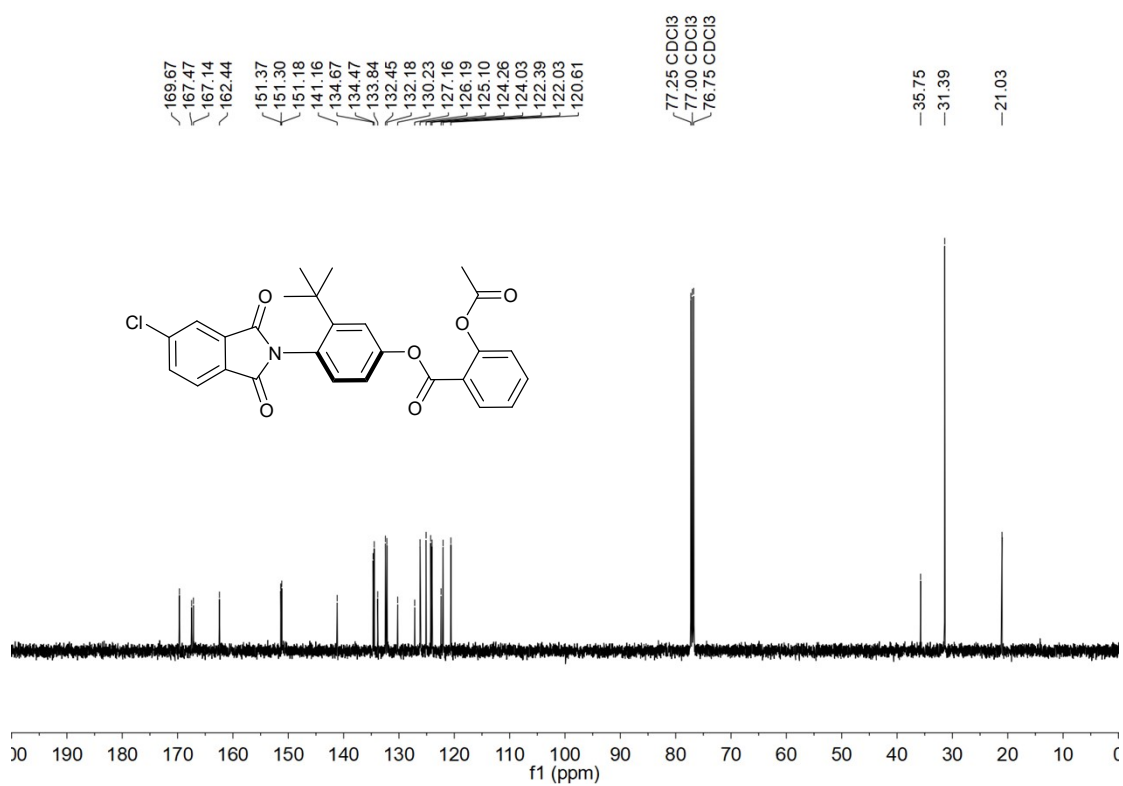
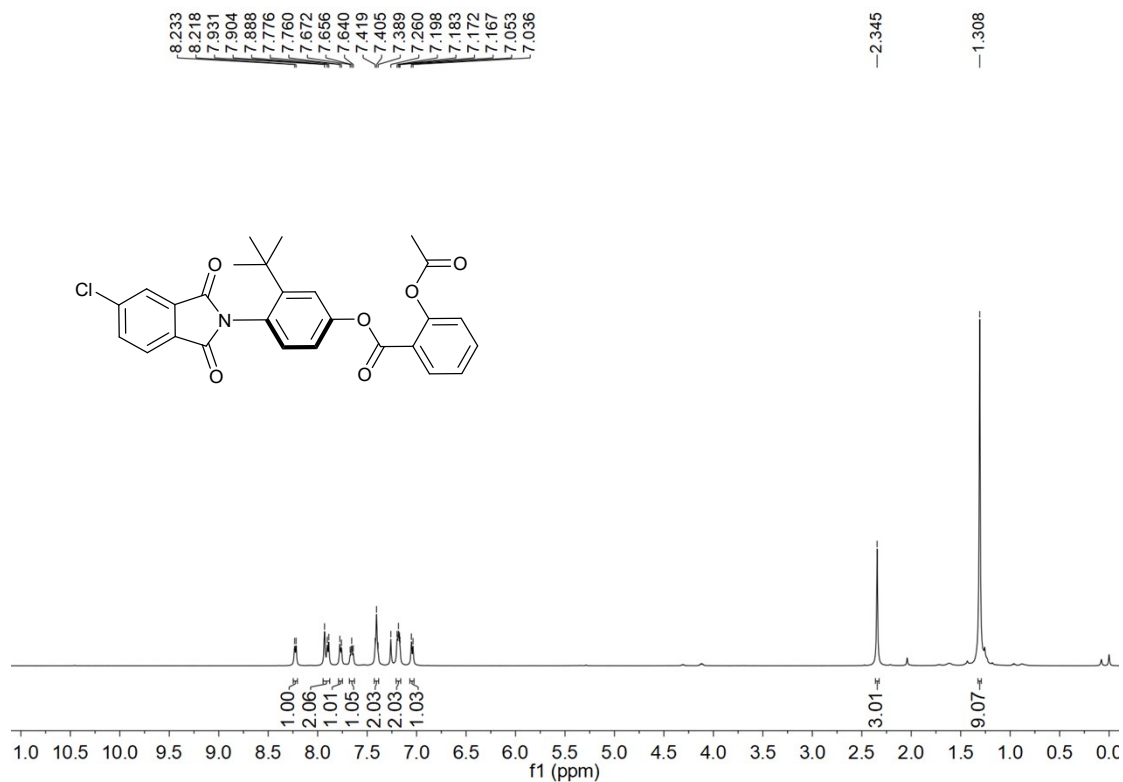
(*R*)-2-(2-(*tert*-butyl)-4-methylphenyl)-5-chloroisindoline-1,3-dione (2bg)



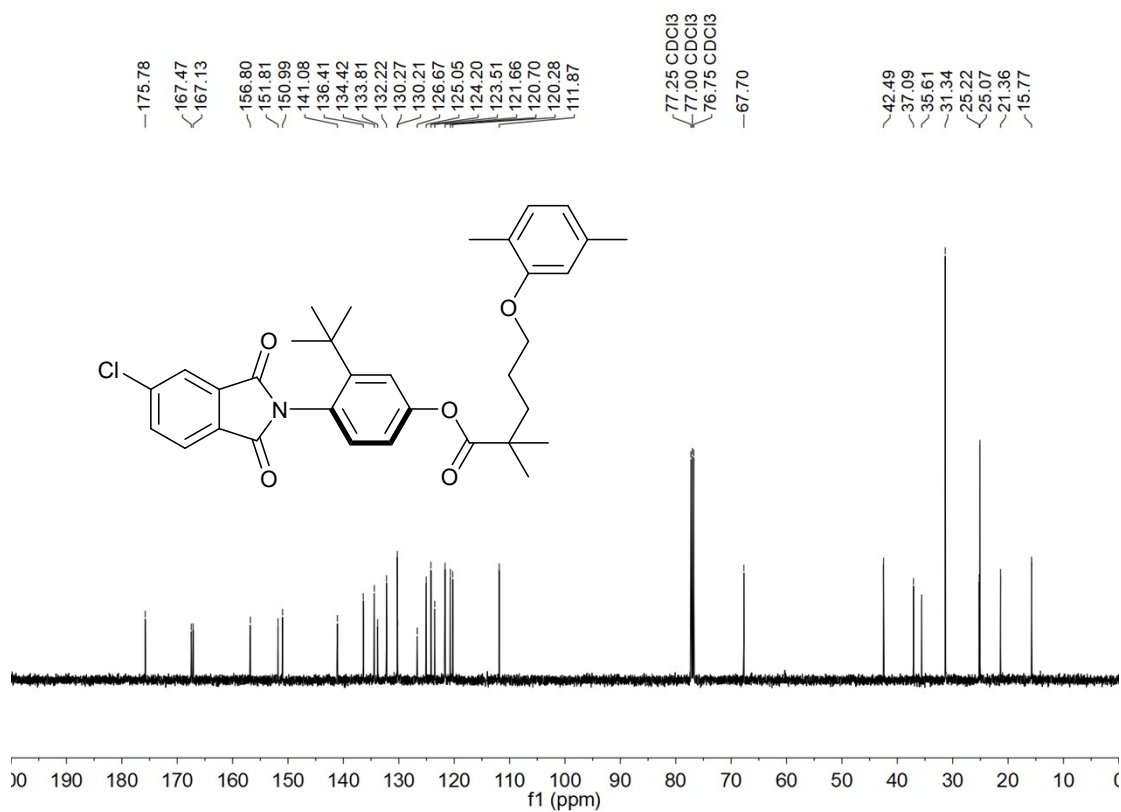
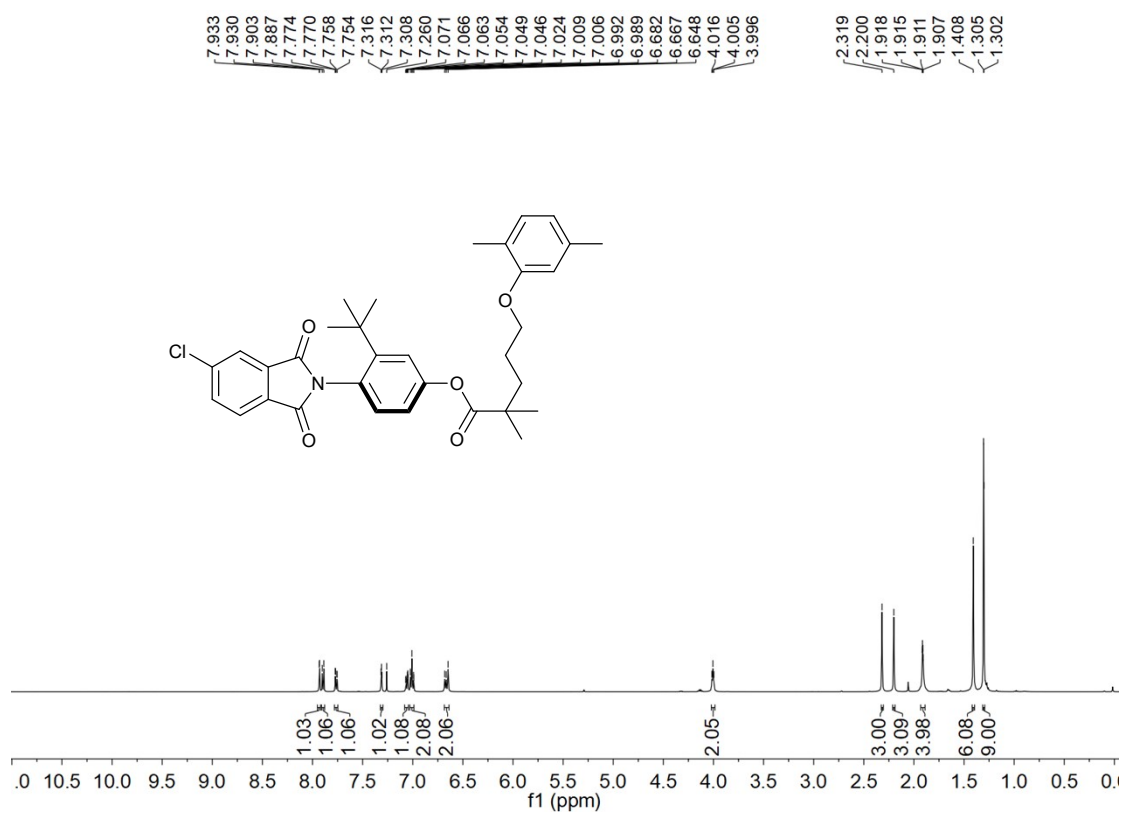
(R)-3-(*tert*-butyl)-4-(5-chloro-1,3-dioxisoindolin-2-yl)phenyl 2-(1-(4-chloro-benzoyl)-5-methoxy-1*H*-indol-3-yl)acetate (2bh)



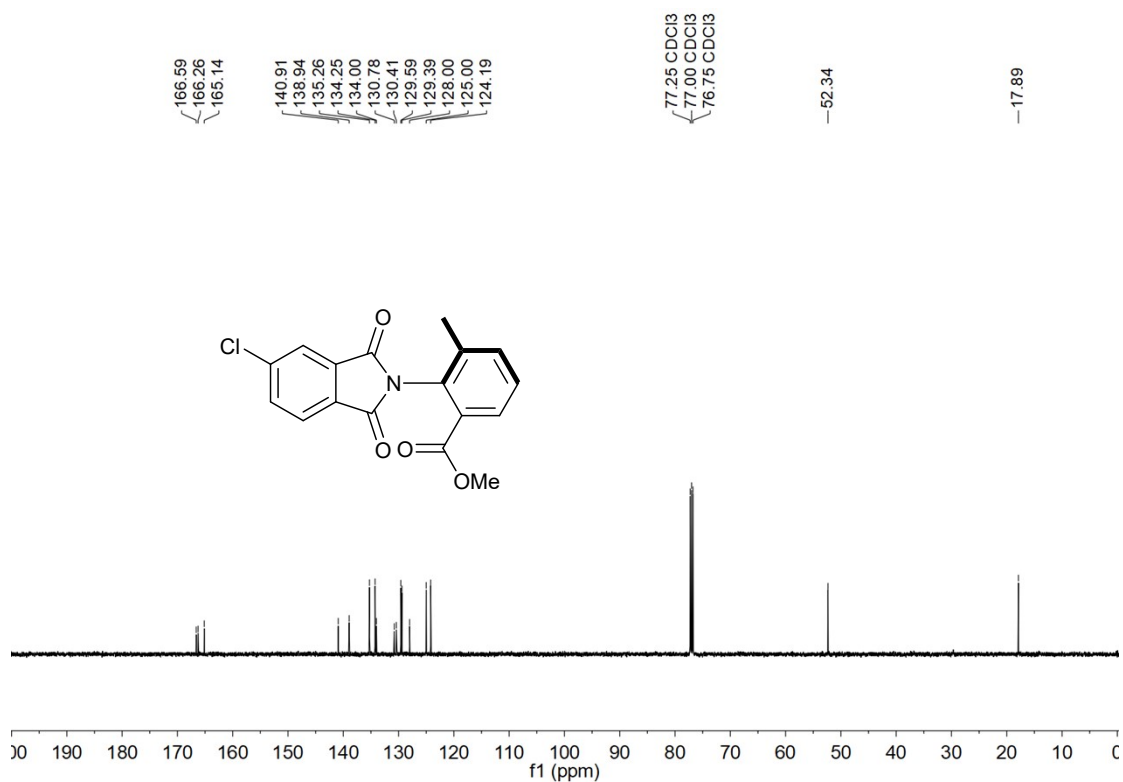
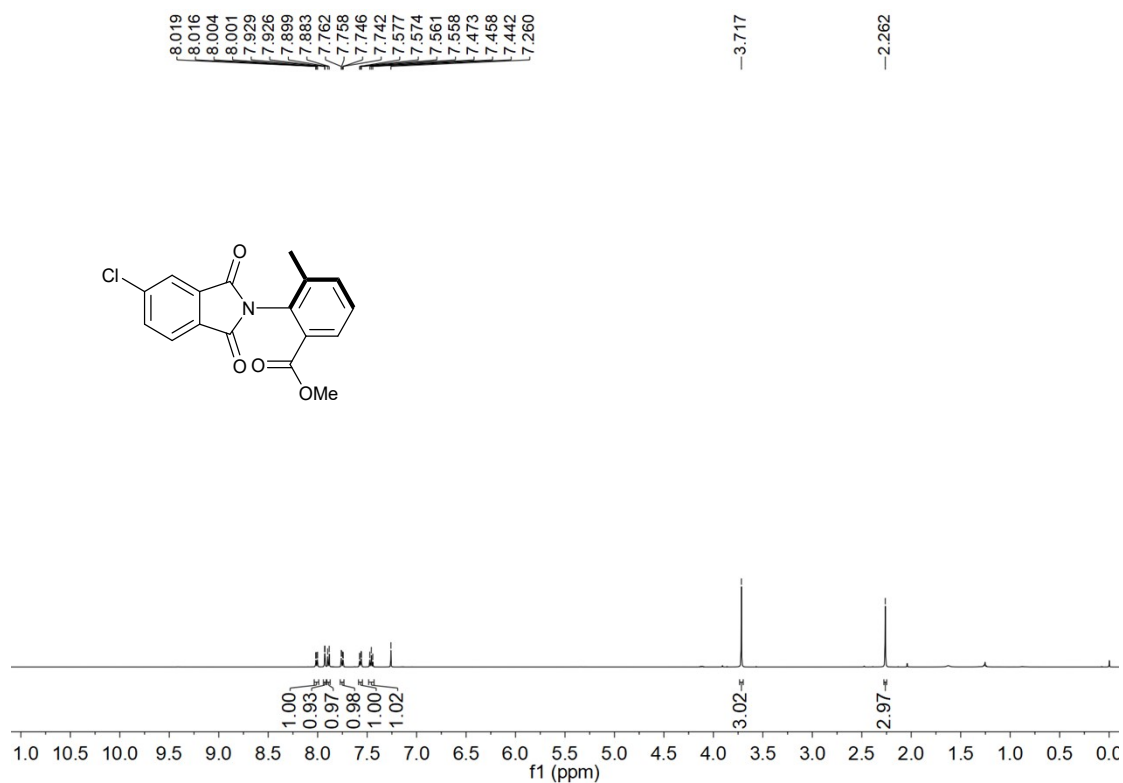
(R)-3-(*tert*-butyl)-4-(5-chloro-1,3-dioxisoindolin-2-yl)phenyl-2-acetoxybenzoate (2bi)



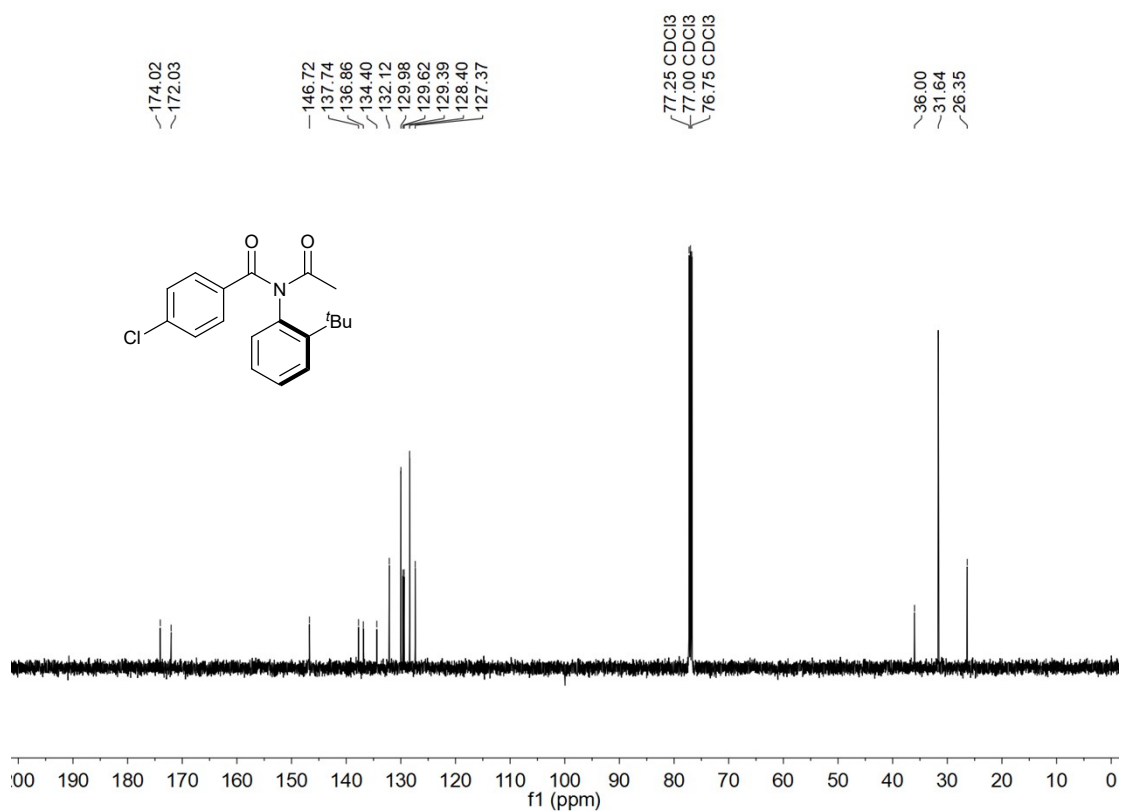
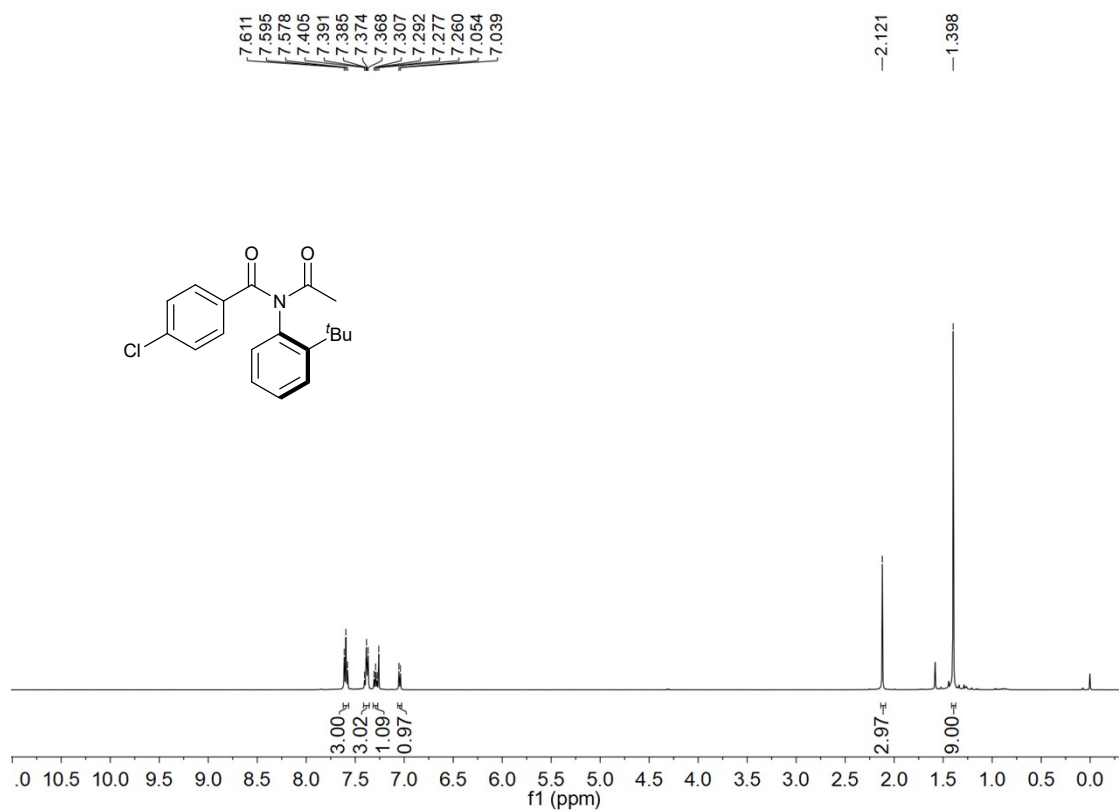
(*R*)-3-(*tert*-butyl)-4-(5-chloro-1,3-dioxoisindolin-2-yl)phenyl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (2bj)



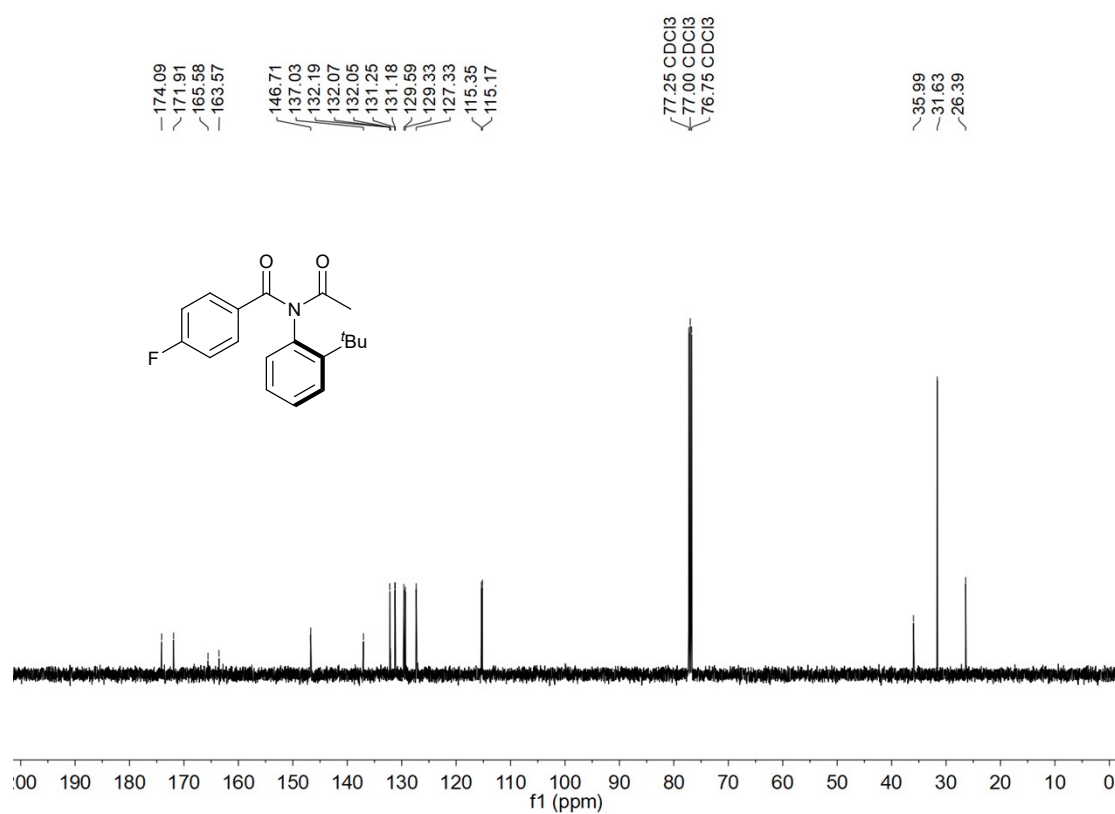
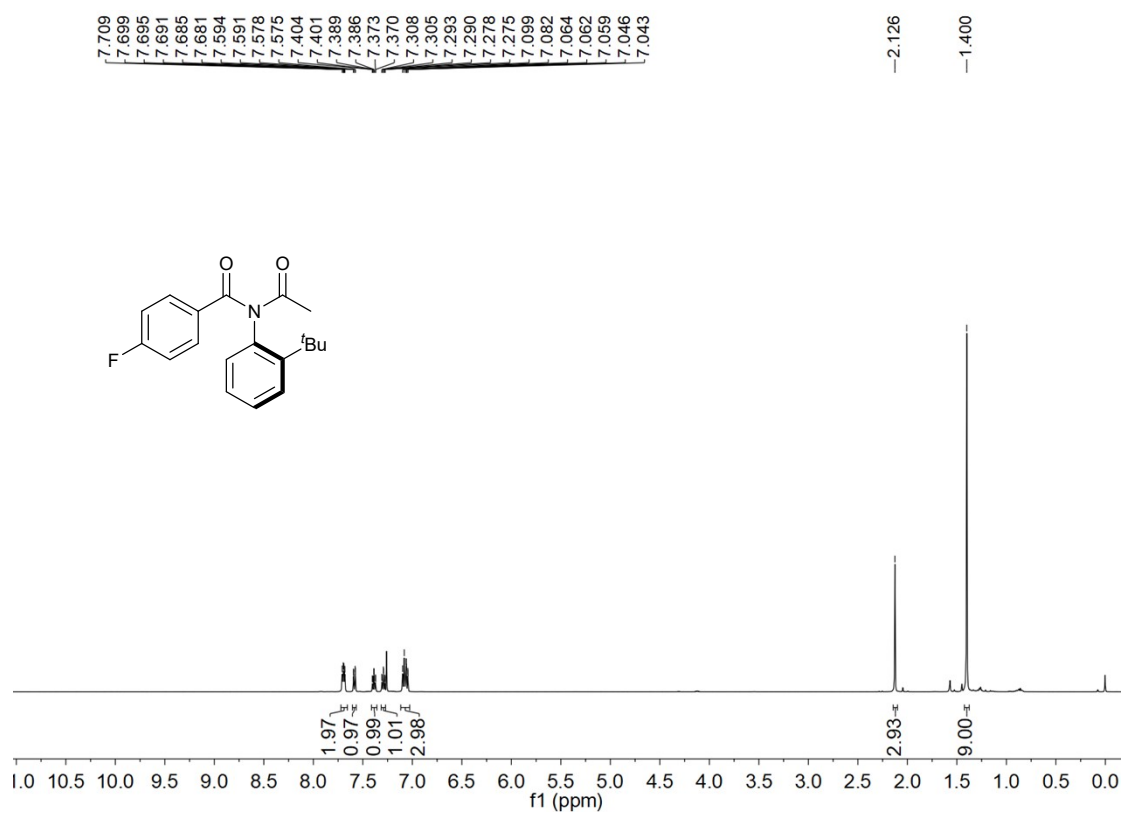
(S)-methyl-2-(5-chloro-1,3-dioxoisindolin-2-yl)-3-methylbenzoate (2bk)



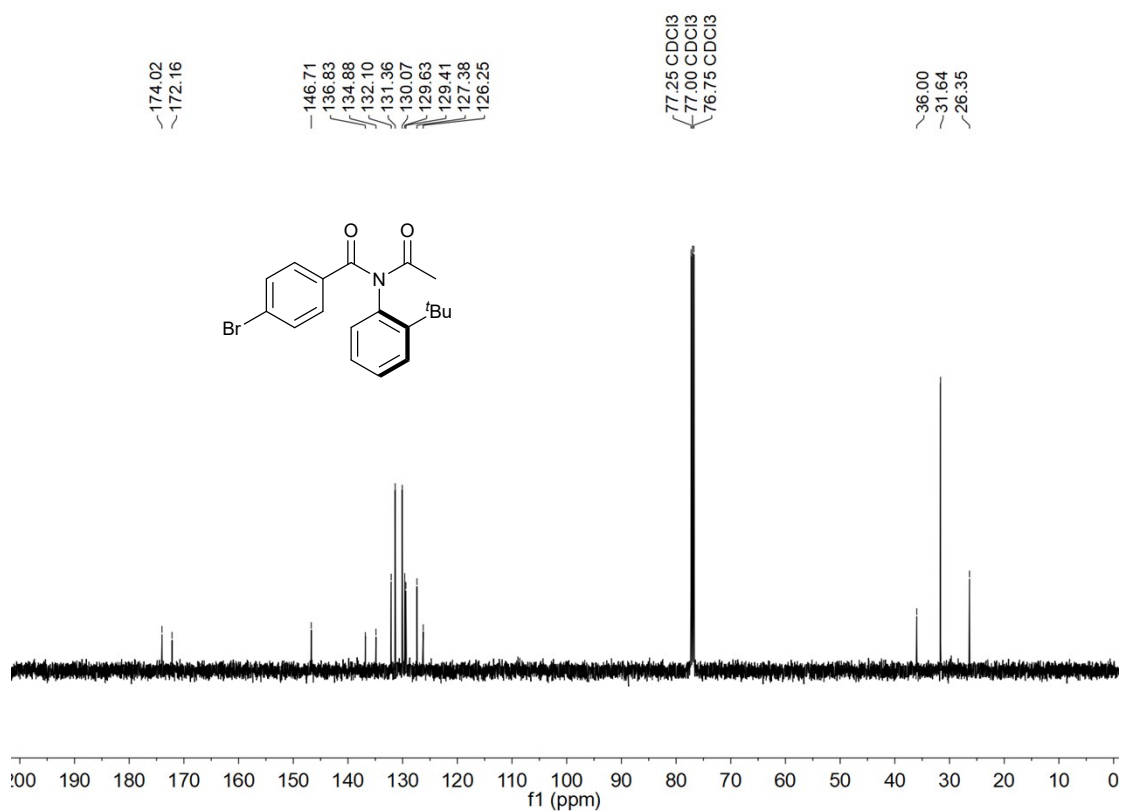
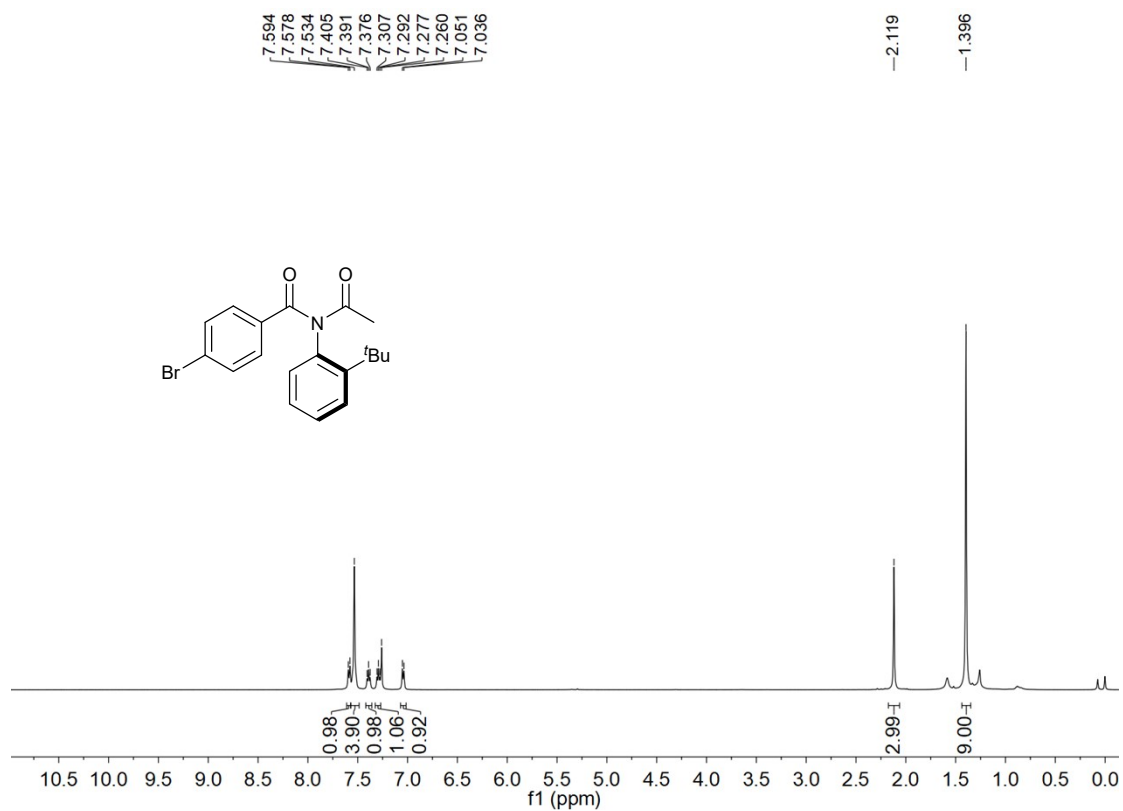
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-4-chlorobenzamide (5aa)



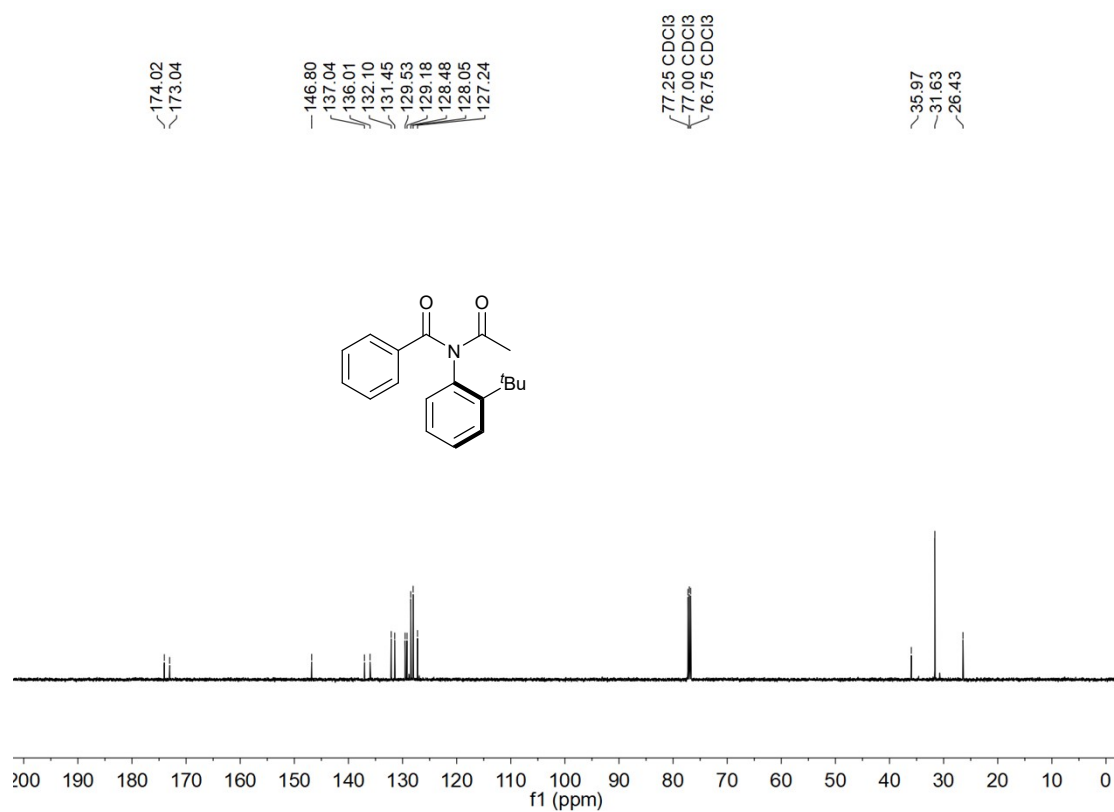
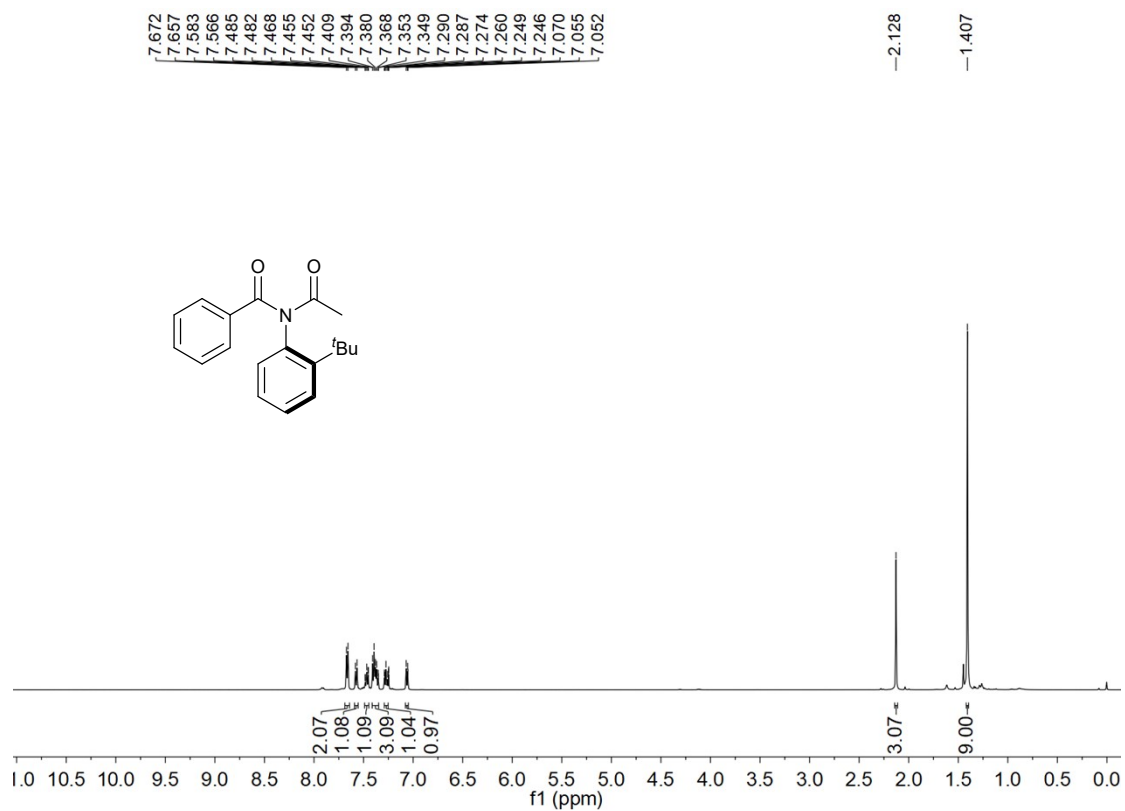
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-4-fluorobenzamide (5ba)



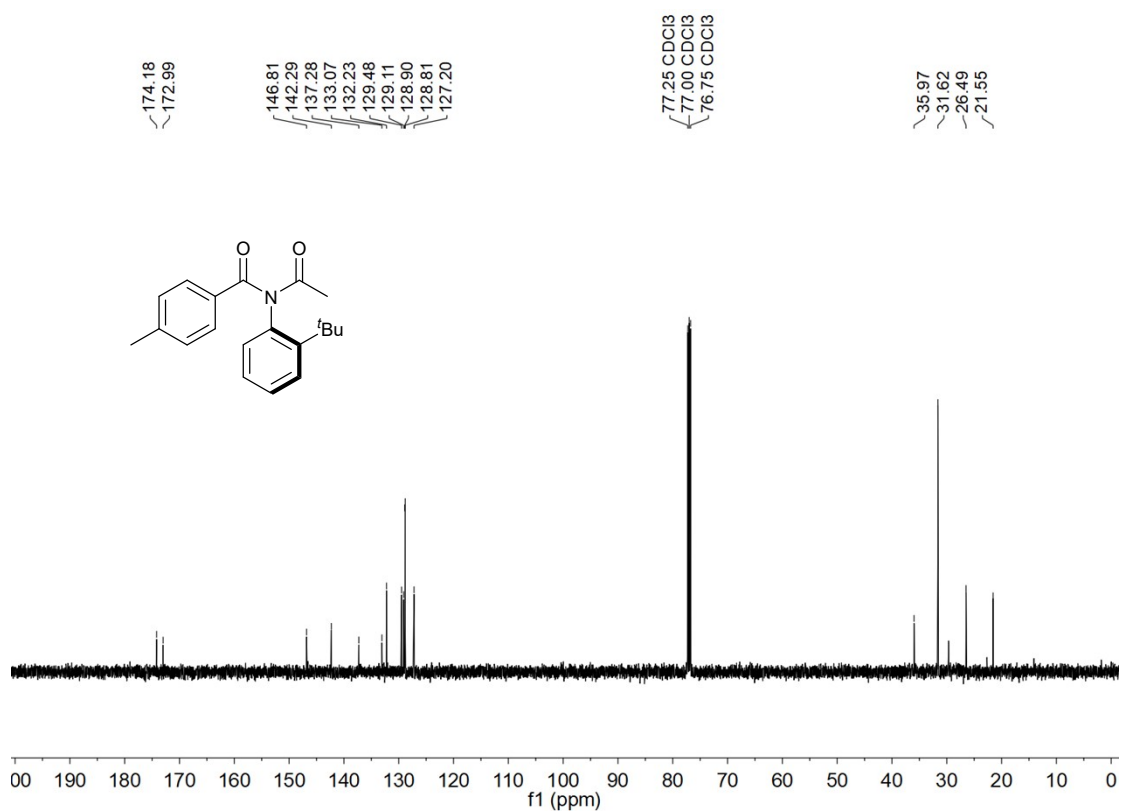
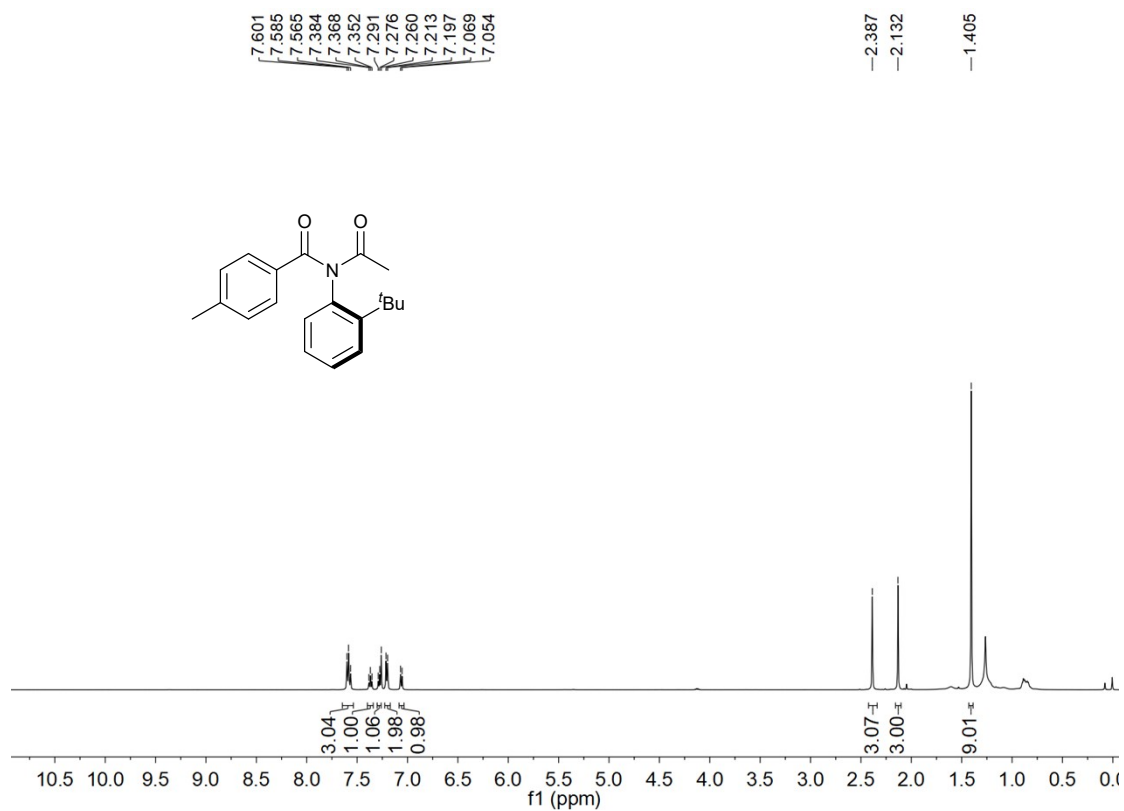
(*R*)-*N*-acetyl-4-bromo-*N*-(2-(*tert*-butyl)phenyl)benzamide (5ca)



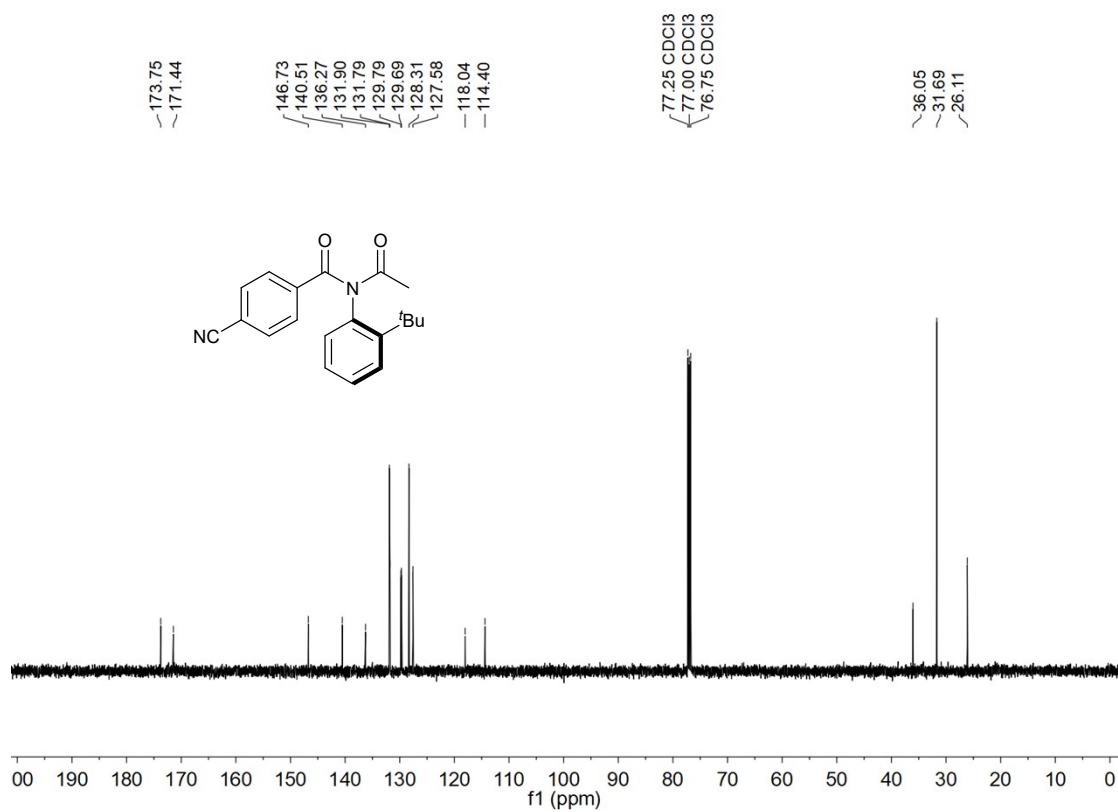
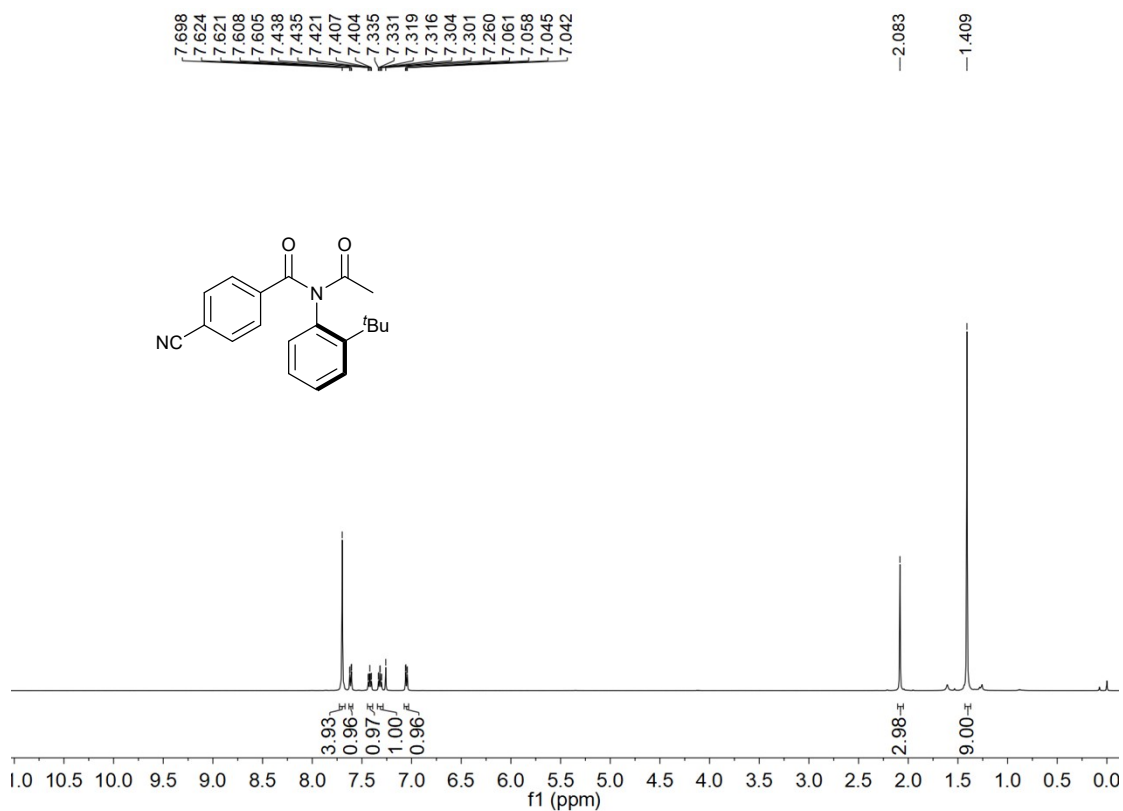
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)benzamide (5da)



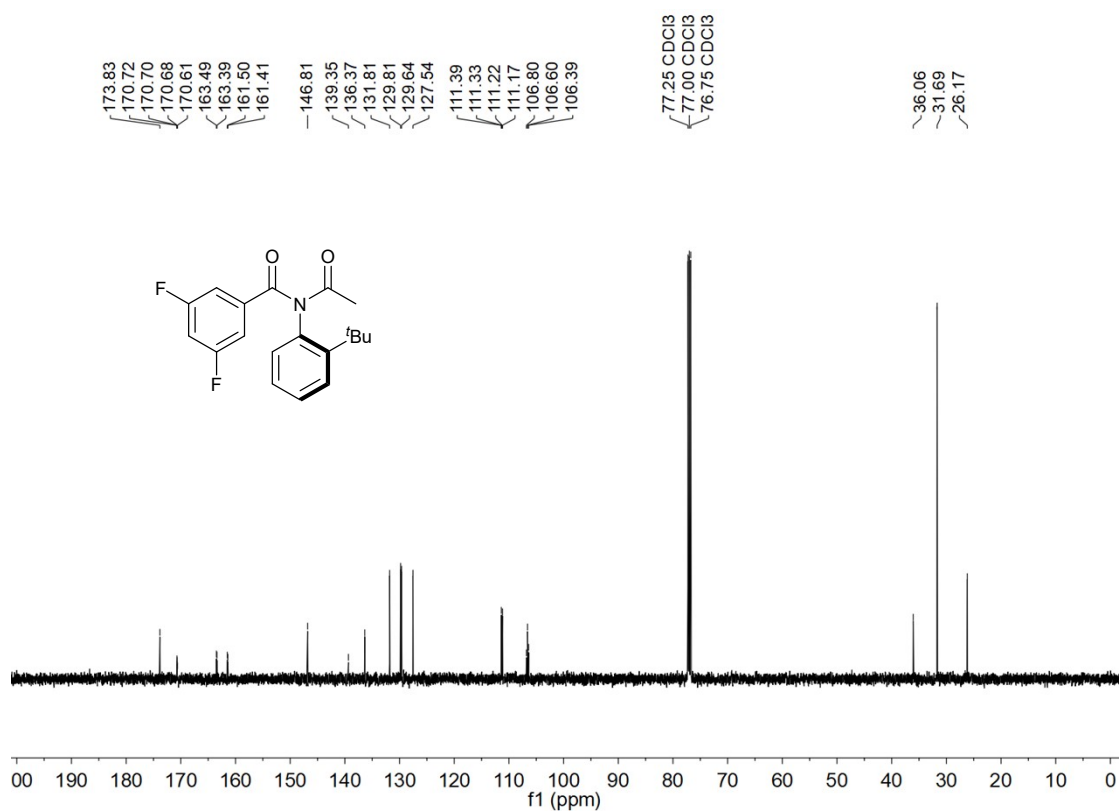
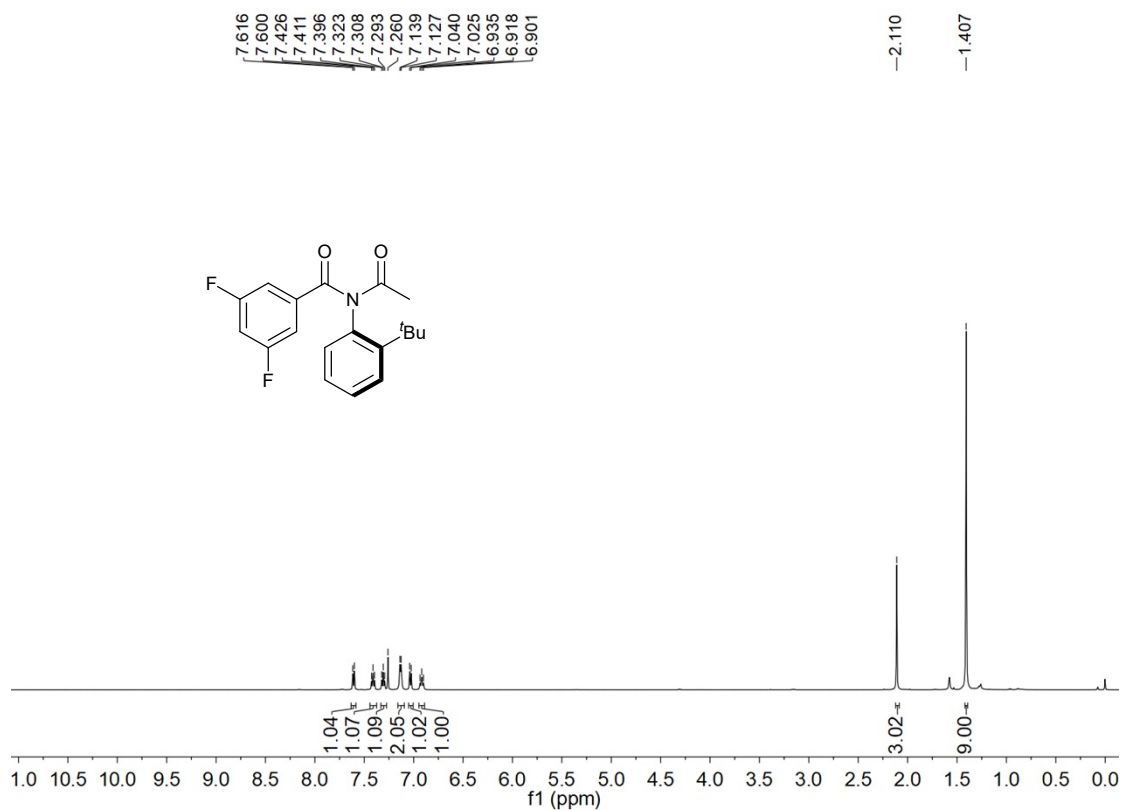
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-4-methylbenzamid (5ea)



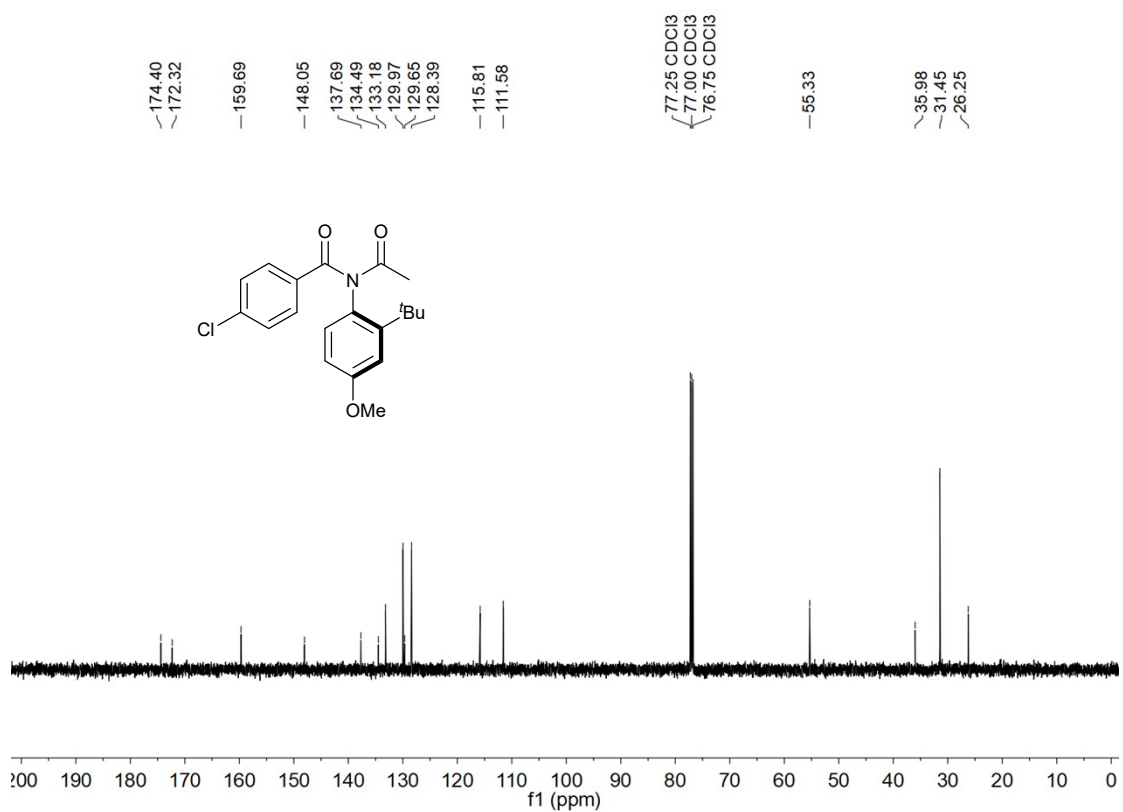
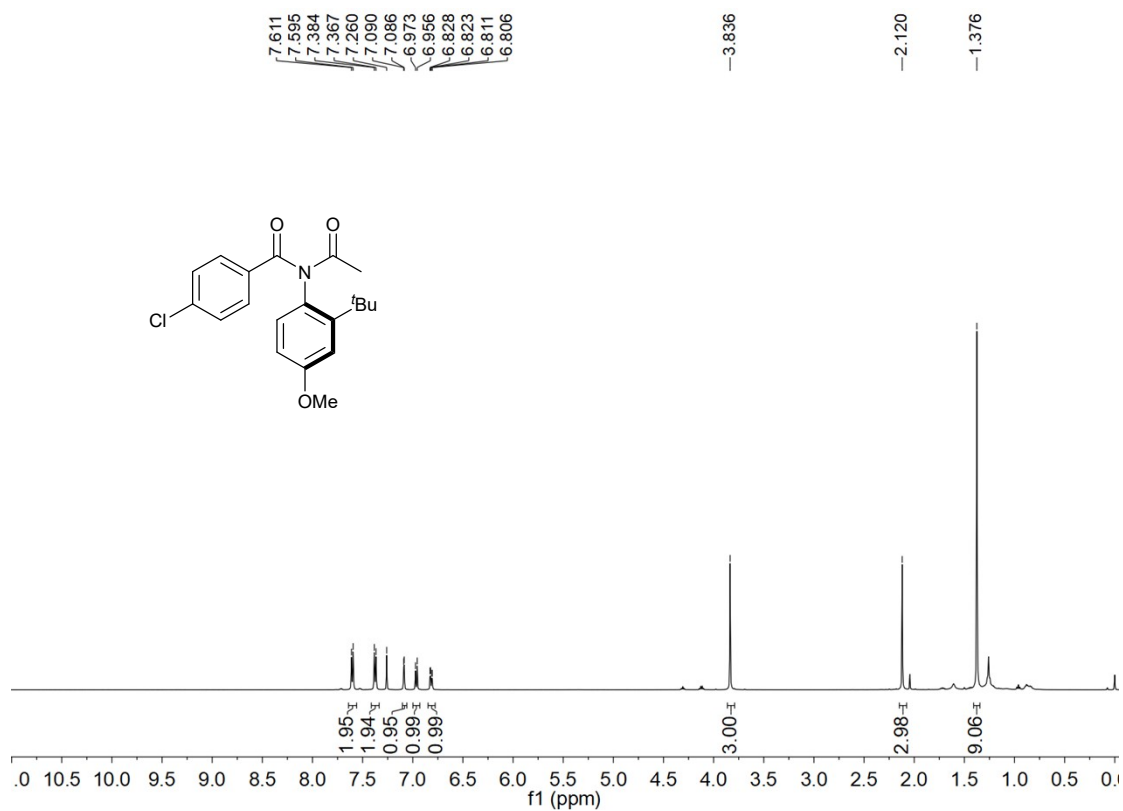
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)phenyl)-4-cyanobenzamide (5fa)



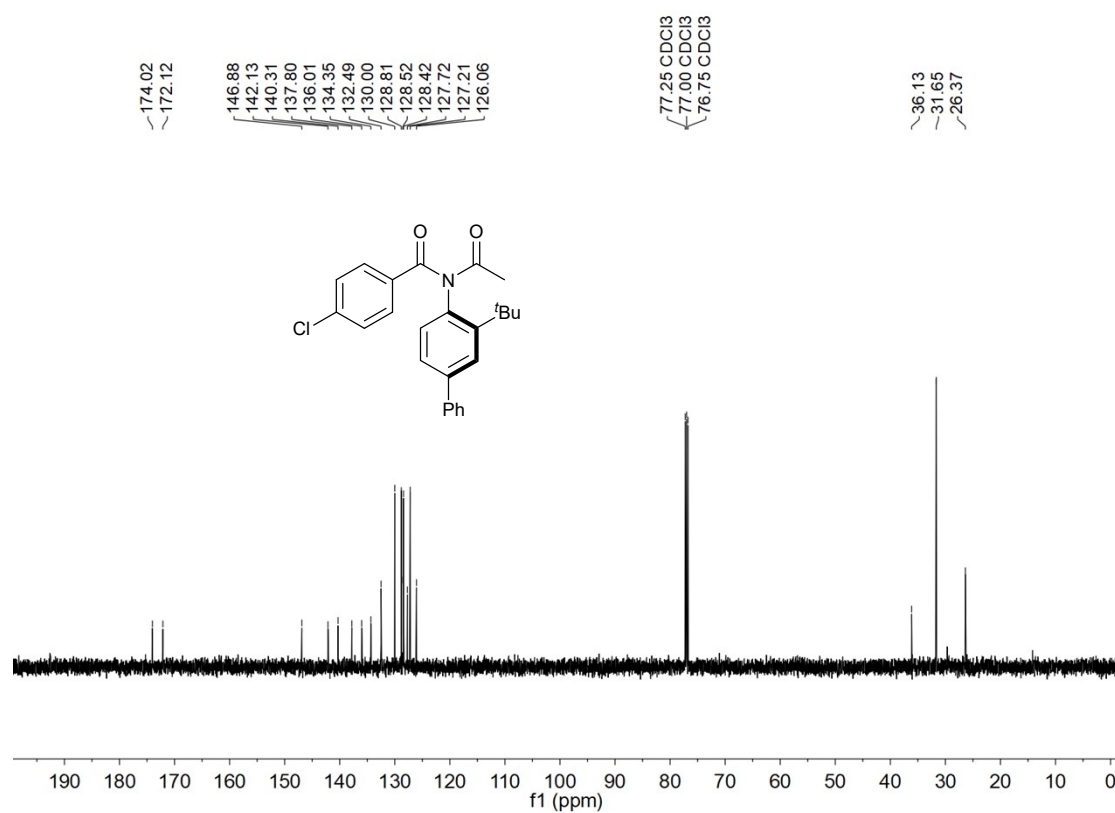
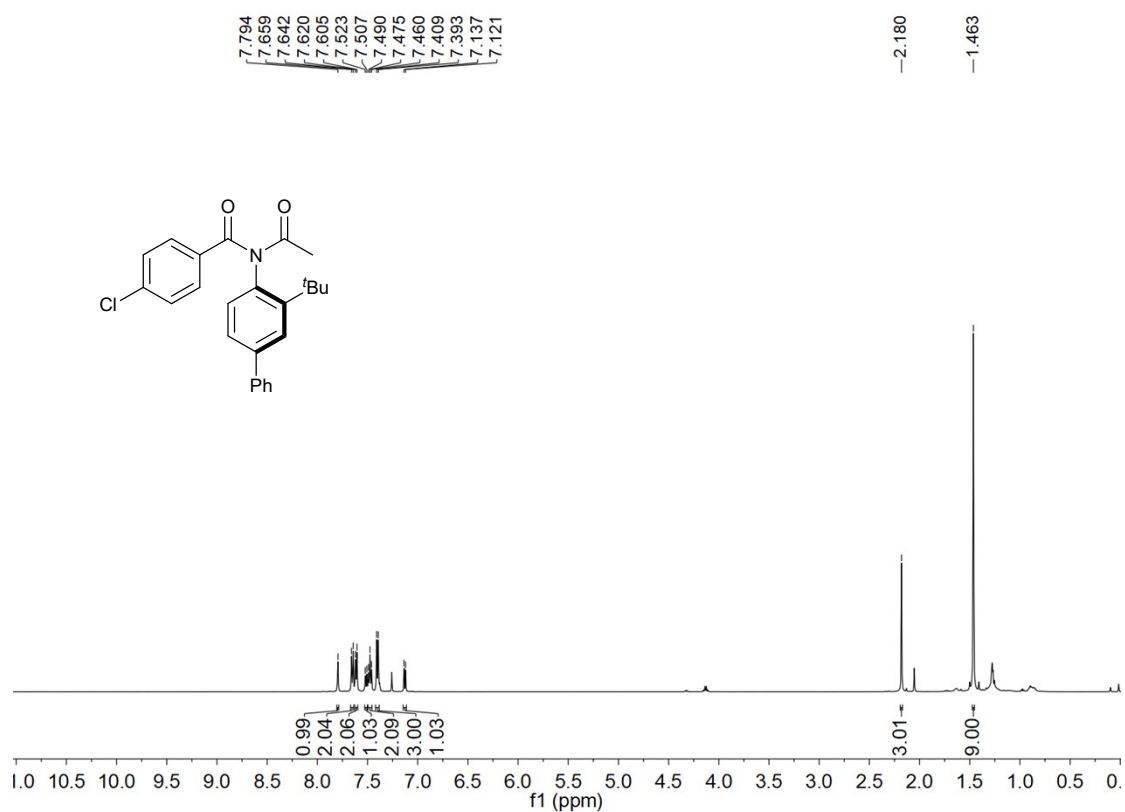
(R)-N-acetyl-N-(2-(*tert*-butyl)phenyl)-3,5-difluorobenzamide (5ga)



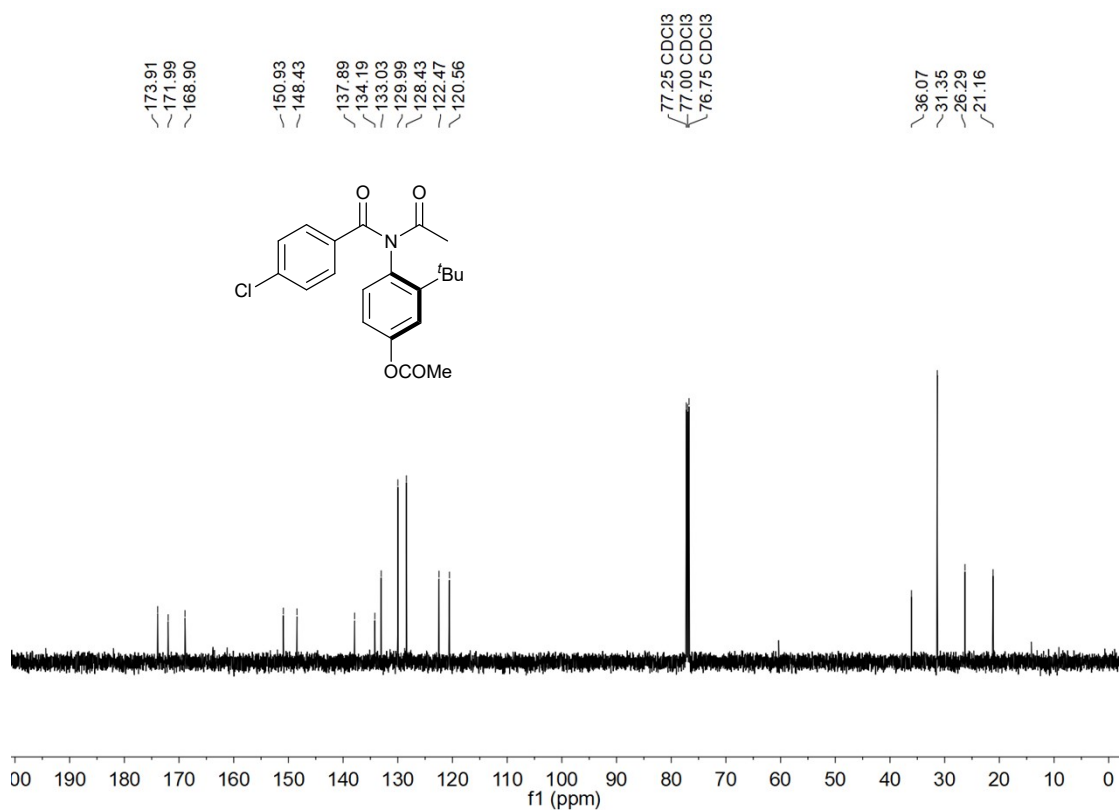
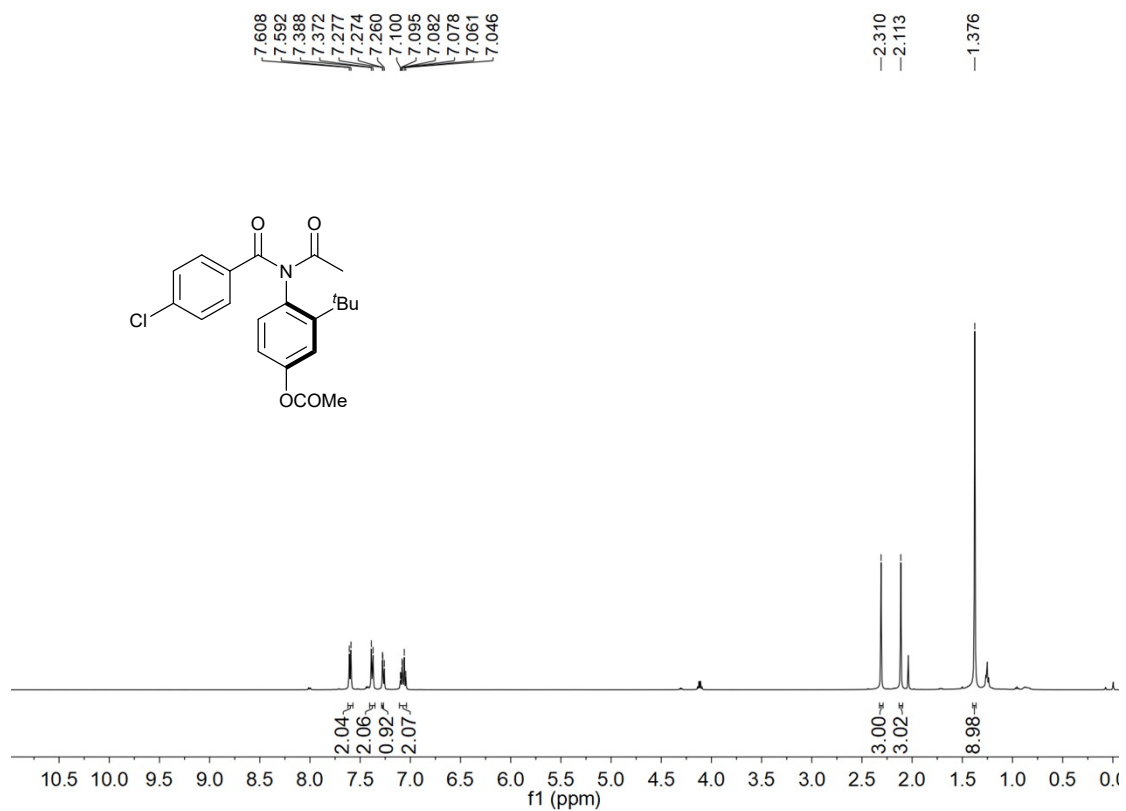
(*R*)-*N*-acetyl-*N*-(2-(*tert*-butyl)-4-methoxyphenyl)-4-chlorobenzamide (5ab)



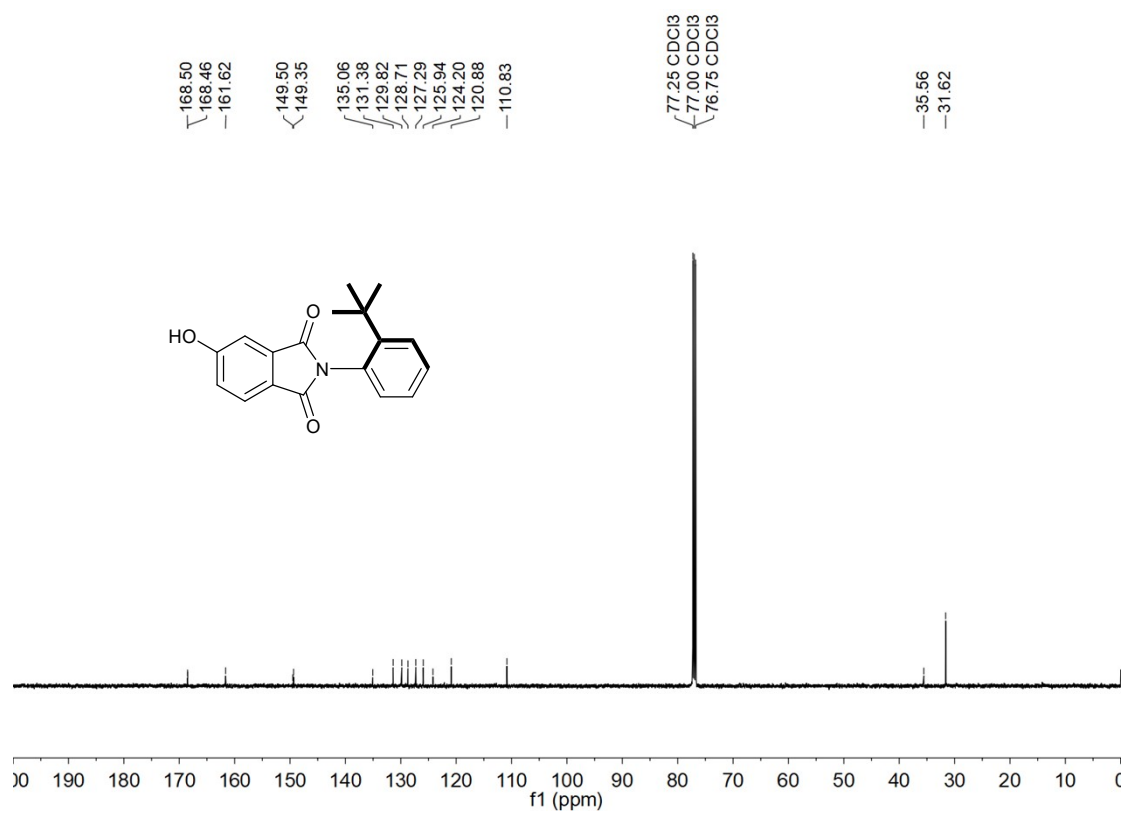
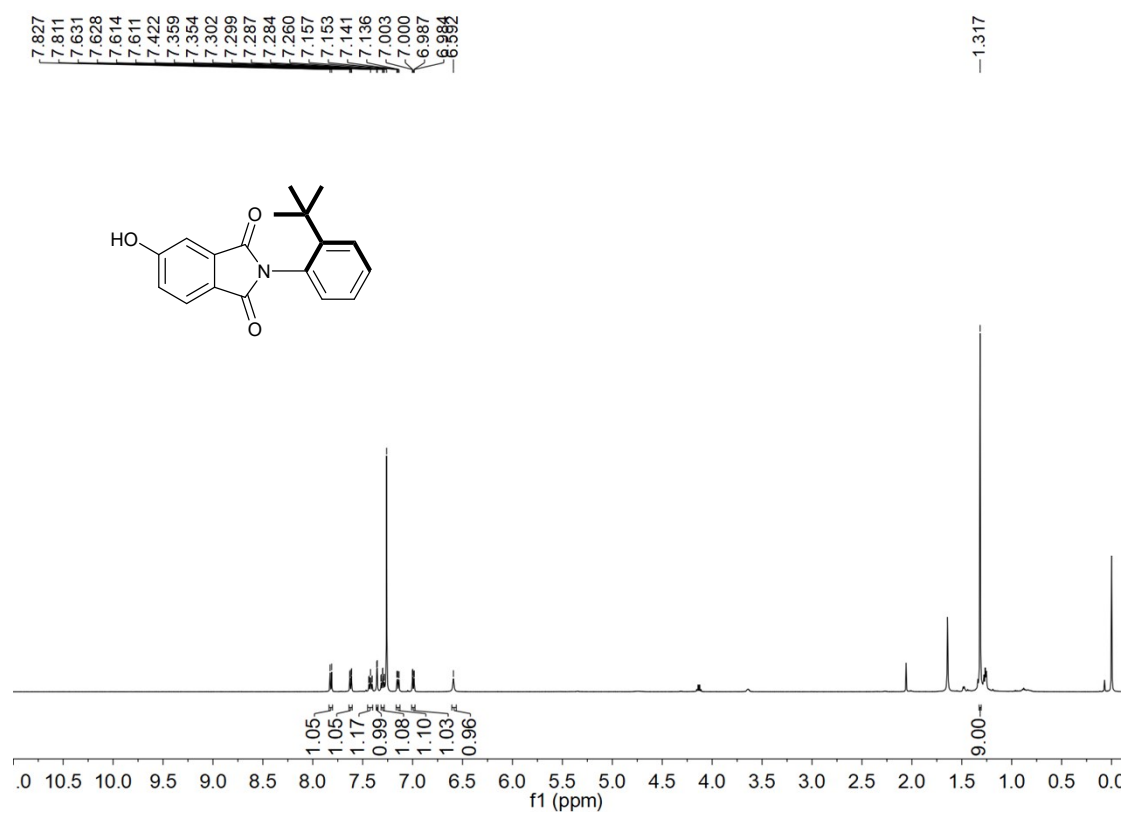
(R)-N-acetyl-N-(3-(*tert*-butyl)-[1,1'-biphenyl]-4-yl)-4-chlorobenzamide (5ac)



(*R*)-4-(*N*-acetyl-4-chlorobenzamido)-3-(*tert*-butyl)phenyl acetate (5ad)



(*R*)-2-(2-(*tert*-butyl)phenyl)-5-hydroxyisoindoline-1,3-dione (6)



(S)-2-(2-(*tert*-butyl)phenyl)-5-hydroxyisoindoline-1,3-dione (7)

