– Electronic Supplementary Information –

Three-component dicarbofunctionalization of allylamines via nucleopalladation pathway: unlocking vicinal and geminal selectivity

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Table of Contents

1. General information:

All reactions, unless mentioned otherwise, were carried out under air in flame-dried glassware and were stirred using a magnetic stir plate. Reactions were performed using commercial-grade solvent unless otherwise noted. CH_3CN and DCE were dried over calcium hydride. Tetrahydrofuran was freshly distilled over sodium ketyl before use.

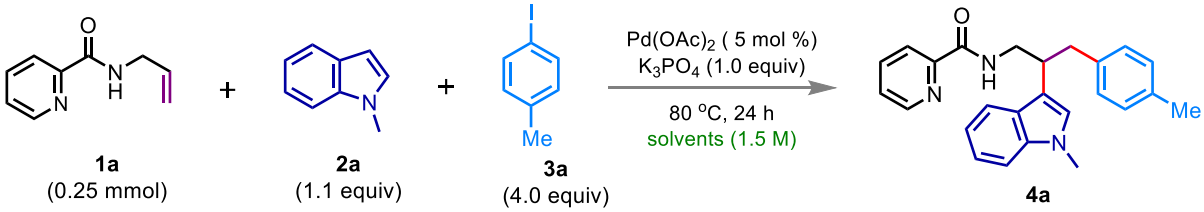
All reactions were monitored by thin layer chromatography (TLC) on Merck 60 F 254 precoated silica plates and visualized using a UV lamp (366 or 254 nm) or by use of potassium permanganate, 5 g K_2CO_3/100 mL water. Products were isolated by column chromatography (Merck silica gel 100-200 μm).

^{13}C and ^{1}H NMR spectra were recorded on a Bruker 400 MHz or Bruker 500 MHz spectrometers. Chemical shift values (δ) are reported in ppm and calibrated to the residual solvent peak- $CDCl_3$ δ = 7.26 ppm for ^{1}H, δ = 77.16 for ^{13}C; DMSO-d_6 δ = 2.50 ppm for ^{1}H, δ = 39.50 ppm for ^{13}C; or calibrated to tetramethylsilane (δ = 0.00). All NMR spectra were recorded at ambient temperature (290 K) unless otherwise noted. ^{1}H NMR spectra are reported as follows: chemical shift (multiplicity, coupling constant, integration). The following abbreviations are used to indicate multiplicities: s, singlet; d, doublet; t, triplet; q, quartet; h, heptate; m, multiplet; dd, doublet of doublet; dt, doublet of triplet; dq, doublet of quartet; td, triplet of doublet; tt, triplet of triplet; dq, doublet of quartet; br, broad. Mass spectra were recorded by electron spray ionization (ESI) method on a Q-TOF Micro with a lock spray source.

Indole derivatives (**2**) were prepared following the literature procedure (*Org. Lett.* **2014**, *16*, 2958–2961; *J. Org. Chem.* **2018**, *83*, 3840–3856).

2. Optimization details in vicinal dicarbofunctionalization reaction

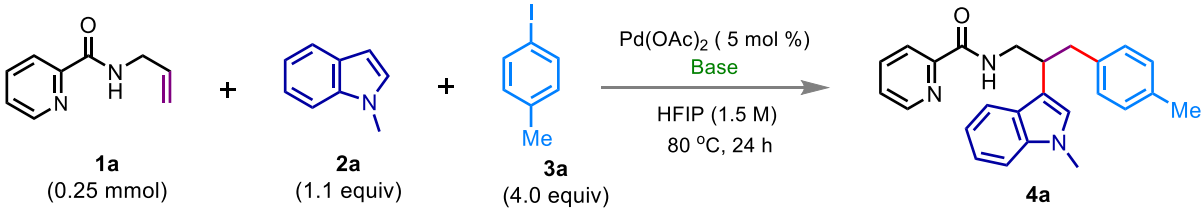
Solvent screening:



1a (0.25 mmol) + **2a** (1.1 equiv) + **3a** (4.0 equiv) → **4a**

S. No	Solvents	Yield of **4a** (%)
1	MeOH	NR
2	EtOH	NR
3	TFE	< 10 %
4	**HFIP**	**56**
5	tert-Butyl alcohol	NR
6	THF	NR
7	MeCN	NR
8	DCE	NR
9	Dioxane	NR
10	DMA	NR
11	DMF	NR
12	DMSO	NR

Base screening:

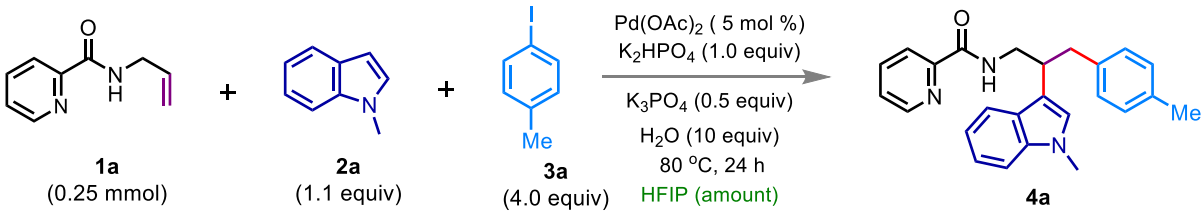


1a (0.25 mmol) + **2a** (1.1 equiv) + **3a** (4.0 equiv) → **4a**

S. No	Base	Yield of **4a** (%)
1	Li_2CO_3 (1.0 equiv)	40
2	Na_2CO_3 (1.0 equiv)	45
3	K_2CO_3 (1.0 equiv)	38
4	Cs_2CO_3 (1.0 equiv)	48
5	Ag_2CO_3 (1.0 equiv)	30
6	Na_2HPO_4 (1.0 equiv)	35
7	K_2HPO_4 (1.0 equiv)	52
9	NaOAc (1.0 equiv)	NR
10	KOAc (1.0 equiv)	NR

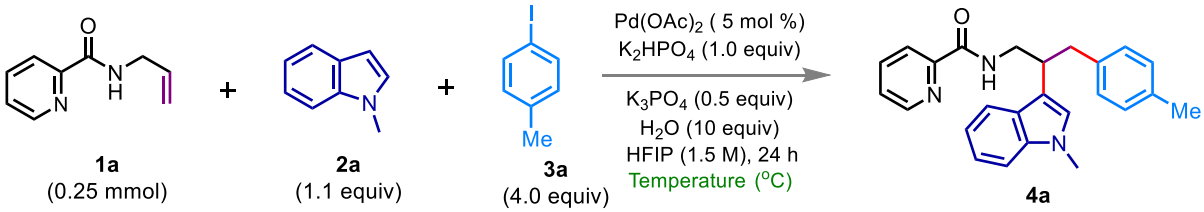
11	K_2HPO_4 (1.0 equiv) + K_3PO_4 (1.0 equiv)	62
12	K_2HPO_4 (0.5 equiv) + K_3PO_4 (0.5 equiv)	64
13	K_2HPO_4 (0.5 equiv) + K_3PO_4 (1.0 equiv)	61
14	K_2HPO_4 (1.0 equiv) + K_3PO_4 (0.5 equiv)	68
15	**K_2HPO_4 (1.0 equiv) + K_3PO_4 (0.5 equiv) + H_2O (10 equiv)**	**78**
16	K_2HPO_4 (1.0 equiv) + K_3PO_4 (0.5 equiv) + H_2O (5 equiv)	73
17	K_2HPO_4 (1.0 equiv) + K_3PO_4 (0.5 equiv) + H_2O (20 equiv)	74

HFIP amount:



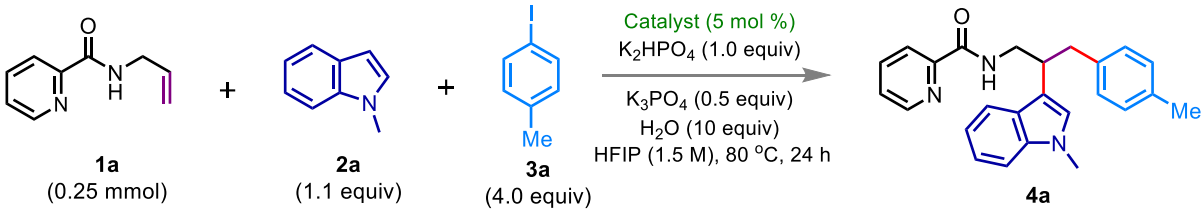
S. No	HFIP(amount)	Yield of **4a** (%)
1	0.1 M	52
2	0.5 M	54
3	1.0 M	67
4	**1.5 M**	**78**
5	2.0 M	75

Temperature screening:



S. No	Temperature (°C)	Yield of **4a** (%)
1	rt	45
2	60	56
3	**80**	**78**
4	100	75
5	120	76

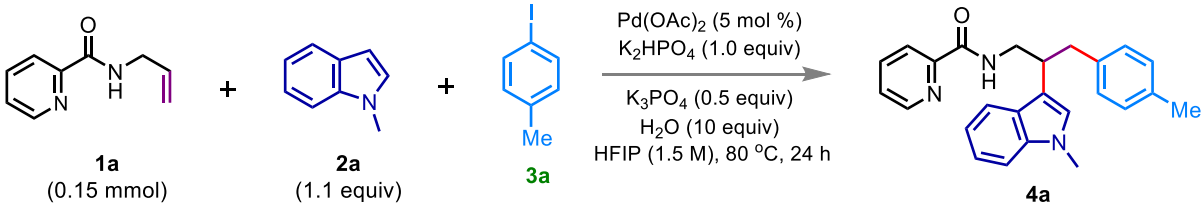
Catalyst screening:



1a (0.25 mmol) + **2a** (1.1 equiv) + **3a** (4.0 equiv) → **4a**

S. No	Catalyst	Yield of **4a** (%)
1	$NiBr_2$	NR
2	$Ni(OAc)_2$	NR
3	$CoCl_2$	NR
4	$Co(OAc)_2$	NR
5	$Pd(OAc)_2$ (2.5 mol %)	58
6	**$Pd(OAc)_2$**	**78**

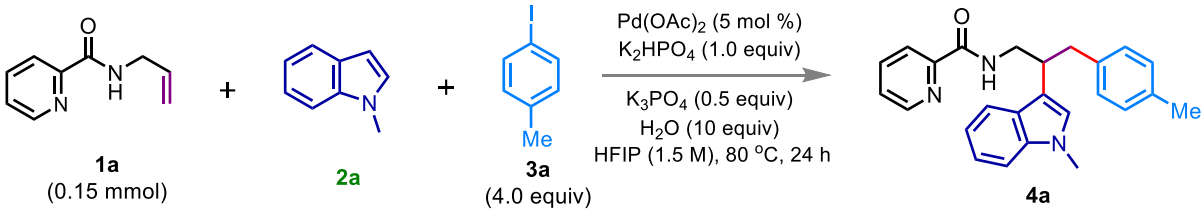
Equivalent of aryl iodide:



1a (0.15 mmol) + **2a** (1.1 equiv) + **3a** → **4a**

S. No	1-iodo-4-methylbenzene, **3a** (equiv)	Yield of **4a** (%)
1	1.2	30
2	2	45
3	3	70
4	**4**	**79**
5	5	77
6	6	75

Equivalent of nucleophile:

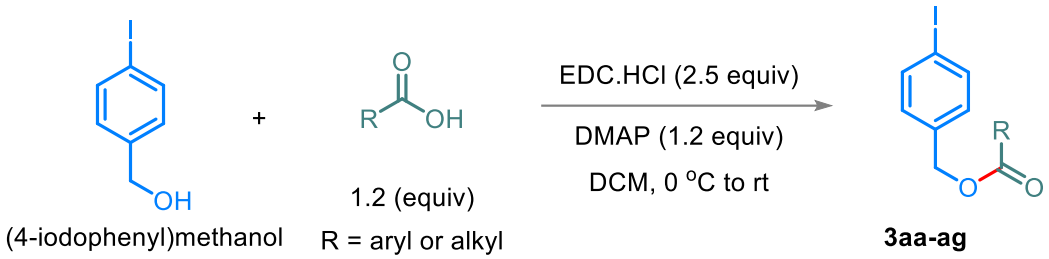


1a (0.15 mmol) + **2a** + **3a** (4.0 equiv) → **4a**

S. No	Nucleophile, **2a** (equiv)	Yield of **4a** (%)
1	**1.1**	**79**
2	2	65
3	3	43
4	4	20

3. Substrate preparation

Synthesis of pharmacophore coupled aryl iodide derivatives (3aa-ag):

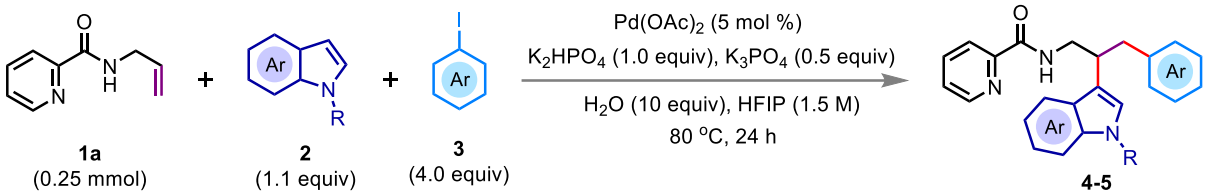


3aa-ag

GP-1:

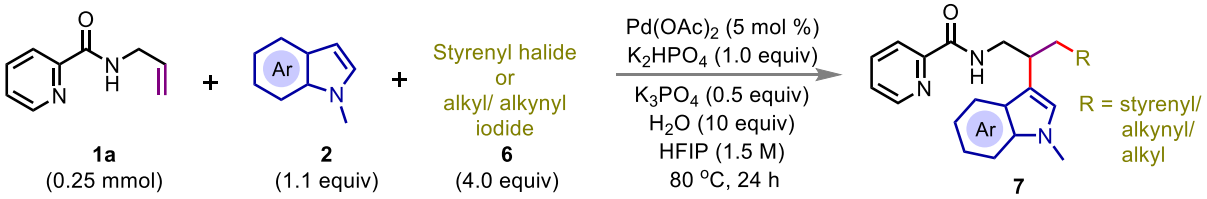
Aryl or alkyl carboxylic acid (1.2 equiv) and 4-*N*,*N*-dimethylaminopyridine (DMAP, 1.2 equiv) were taken in a 50 mL round bottom flask under nitrogen. Anhydrous DCM (15 mL) was added and the mixture was cooled to 0 °C. *N*'-(3- dimethylaminopropyl)-*N*-ethylcarbodimide hydrochloride salt (EDC·HCl, 2.5 equiv) was added under nitrogen and the mixture was stirred for 10 minutes at the same temperature. Then, (4-iodophenyl)methanol (1.5 mmol, 1.0 equiv) was added portion wise and the mixture was stirred at room temperature overnight. Upon completion (TLC monitored), 10% aqueous $NaHCO_3$ solution (15 mL) was added to the reaction mixture and extracted with CH_2Cl_2 (10 mL×3 times). The combined extracts were washed with brine, dried over Na_2SO_4, and evaporated under reduced pressure. The crude residue was purified through column chromatography on silica gel using ethyl acetate in hexane to get the pure products **3aa-ag**.

4) General procedure for three-component vicinal dicarbofunctionalization reaction:



GP-2:

To an oven-dried screw cap reaction tube, *N*-allylpicolinamide (**1a**, 0.25 mmol, 1.0 equiv), corresponding indole derivatives **2** (1.1 equiv), aryl iodides **3** (4.0 equiv), K_2HPO_4 (1.0 equiv), K_3PO_4 (0.5 equiv), $Pd(OAc)_2$ (5 mol %), and H_2O (10 equiv) were taken. HFIP (0.17 mL, 1.5 M) was added. Then, the reaction tube was capped and placed in a preheated oil bath at 80 °C for 24 h. After completion of the reaction (monitored by TLC), the crude mixture was diluted with DCM and concentrated on a rotavap. The crude residue was purified through column chromatography on silica gel using ethyl acetate in hexane to get pure products **4-5**.

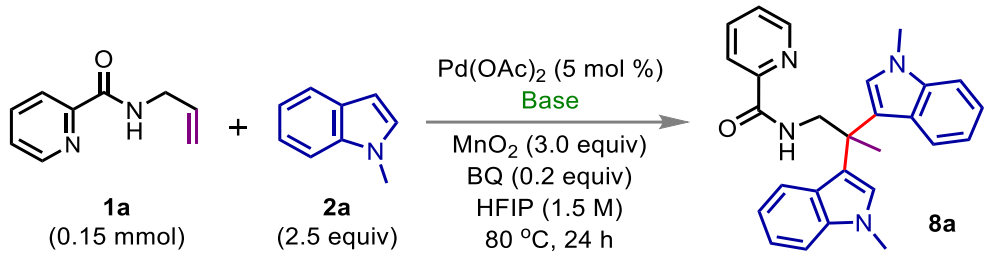


GP-3:

To an oven-dried screw cap reaction tube, *N*-allylpicolinamide (**1a**, 0.25 mmol, 1.0 equiv), corresponding indole derivatives **2** (1.1 equiv), styrenyl halides / alkyl iodide / alkynyl iodide **6** (4.0 equiv), K_2HPO_4 (1.0 equiv), K_3PO_4 (0.5 equiv), $Pd(OAc)_2$ (5 mol %), and H_2O (10 equiv) were taken. HFIP (0.17 mL, 1.5 M) was added. Then, the reaction tube was capped and placed in a preheated oil bath at 80 °C for 24 h. After completion of the reaction (monitored by TLC), the crude mixture was diluted with DCM and concentrated on a rotavap. The crude residue was purified through column chromatography on silica gel using ethyl acetate in hexane to get pure products **7**.

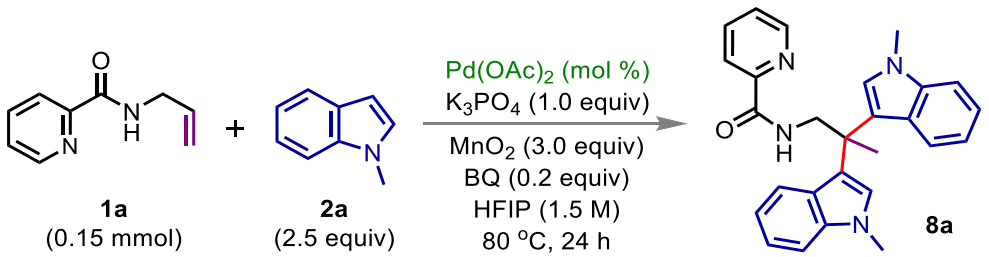
5. Optimization details in geminal dicarbofunctionalization reaction

Base screening:



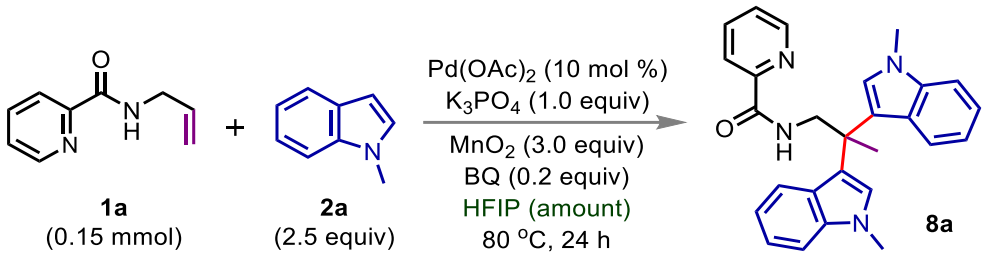
S. No	Base	Yield of **8a** (%)
1	K_2HPO_4 (1.0 equiv)+ K_3PO_4 (0.5 equiv) + H_2O (10 equiv)	67
2	**K_3PO_4 (1.0 equiv)**	**73**
3	Li_2CO_3 (1.0 equiv)	54
2	Na_2CO_3 (1.0 equiv)	55
3	K_2CO_3 (1.0 equiv)	45
4	Cs_2CO_3 (1.0 equiv)	65
5	Ag_2CO_3 (1.0 equiv)	35
6	Na_2HPO_4 (1.0 equiv)	59
7	K_2HPO_4 (1.0 equiv)	69
9	NaOAc (1.0 equiv)	NR
10	KOAc (1.0 equiv)	NR

Catalyst screening:



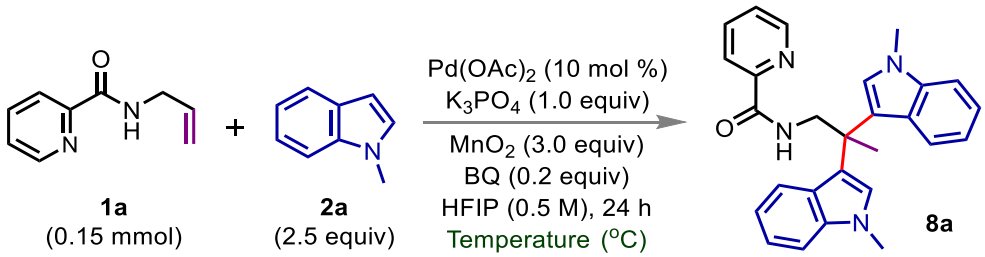
S. No	$Pd(OAc)_2$ (mol %)	Yield of **8a** (%)
1	2.5	55
2	5	73
3	**10**	**82**
4	15	75

Amount of solvent:



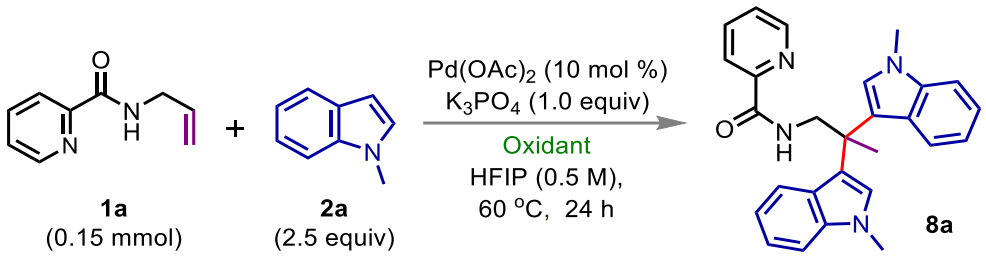
S. No	Solvent amount	Yield of **8a** (%)
1	0.1 M	84
2	**0.5 M**	**85**
3	1.0 M	81
4	1.5 M	82
5	2.0 M	78

Temperature screening:



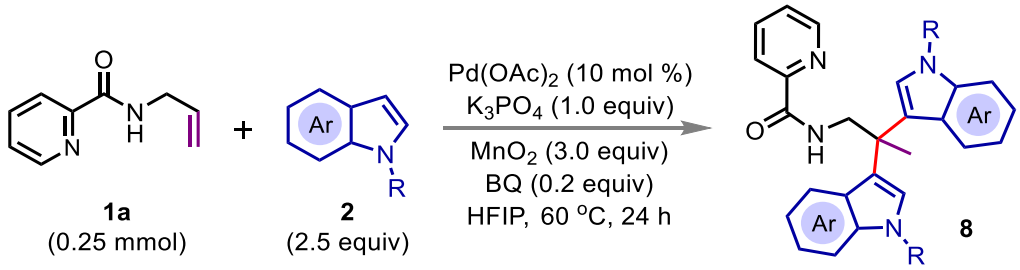
S. No	Temperature (°C)	Yield of **8a** (%)
1	rt	56
2	**60**	**92**
3	80	85
4	100	75
5	120	77

Oxidant screening:



S. No	Oxidant	Yield of **8a** (%)
1	**MnO_2 (3.0 equiv) + BQ (0.2 equiv)**	**92**
2	BQ (0.2 equiv) + O_2	81
3	MnO_2 (3.0 equiv)	71
4	BQ (0.5 equiv)	73
5	Cu_2O (0.5 equiv)	75
6	$Cu(OAc)_2$ (0.5 equiv)	65

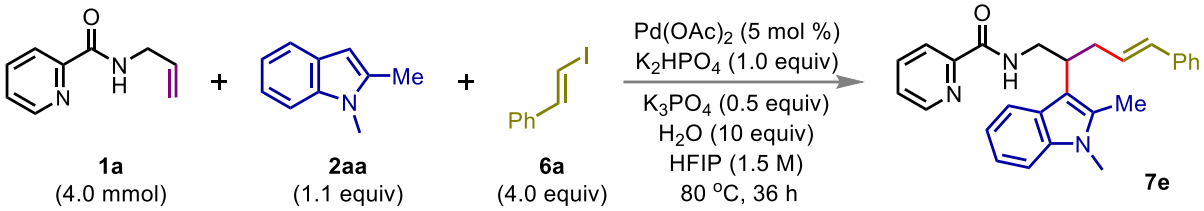
6. General procedure for geminal dicarbofunctionalization reaction



GP-4:

To an oven-dried screw cap reaction tube, *N*-allylpicolinamide (**1a**, 0.25 mmol, 1.0 equiv), corresponding indole derivatives **2** (2.5 equiv), K_3PO_4 (1.0 equiv), $Pd(OAc)_2$ (10 mol %), MnO_2 (3.0 equiv) and BQ (0.2 equiv) were taken. HFIP (0.5 mL, 0.5 M) was added. Then, the reaction tube was capped and placed in a preheated oil bath at 60 °C for 24 h. After completion of the reaction (monitored by TLC), the crude mixture was diluted with DCM, filtered through celite pad and the filtrate was concentrated on a rotavap. The crude residue was purified through column chromatography on silica gel using ethyl acetate in hexane to get pure products **8**.

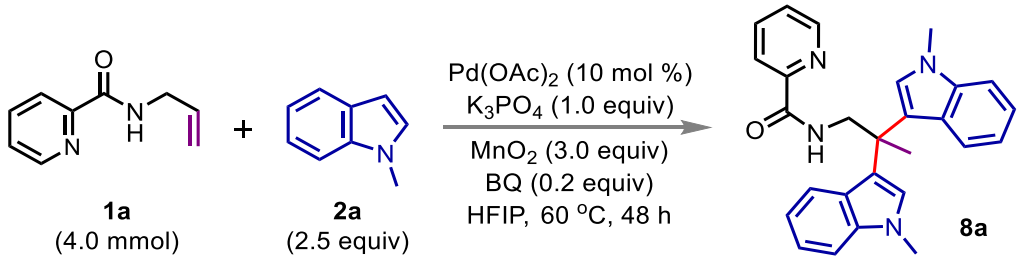
7. Scaled-up for three-component vicinal dicarbofunctionalization reaction



TP-1:

To an oven-dried screw cap reaction tube, *N*-allylpicolinamide (**1a**, 4.0 mmol, 1.0 equiv), indole derivative **2aa** (1.1 equiv), styrenyl iodide **6a** (4.0 equiv), K_2HPO_4 (1.0 equiv), K_3PO_4 (0.5 equiv), $Pd(OAc)_2$ (5 mol %), and H_2O (10 equiv) were taken. HFIP (2.7 mL, 1.5 M) was added. Then, the reaction tube was capped and placed in a preheated oil bath at 80 °C for 36 h. After completion of the reaction (monitored by TLC), the crude mixture was diluted with DCM and concentrated on a rotavap. The crude residue was purified through column chromatography on silica gel using ethyl acetate in hexane to get pure product **7e**.

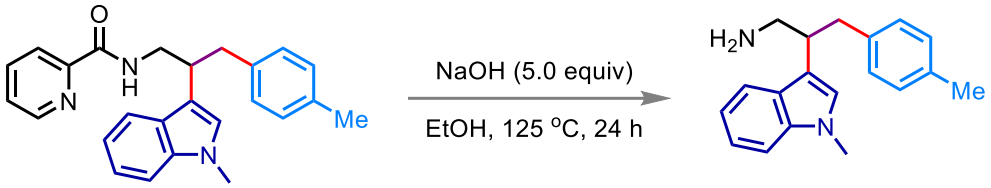
8. Scaled-up for geminal dicarbofunctionalization reaction



TP-2:

To an oven-dried Schlenk reaction tube, *N*-allylpicolinamide (**1a**, 4.0 mmol, 1.0 equiv), indole derivatives **2a** (2.5 equiv), K_3PO_4 (1.0 equiv), $Pd(OAc)_2$ (10 mol %), MnO_2 (3.0 equiv) and BQ (0.2 equiv) were taken. HFIP (8.0 mL, 0.5 M) was added. Then, the reaction tube was capped and placed in a preheated oil bath at 60 °C for 48 h. After completion of the reaction (monitored by TLC), the crude mixture was diluted with DCM, filtered through celite pad and the filtrate was concentrated on a rotavap. The crude residue was purified through column chromatography on silica gel using ethyl acetate in hexane to get pure product **8a**.

9. Typical procedure for removal of the directing group

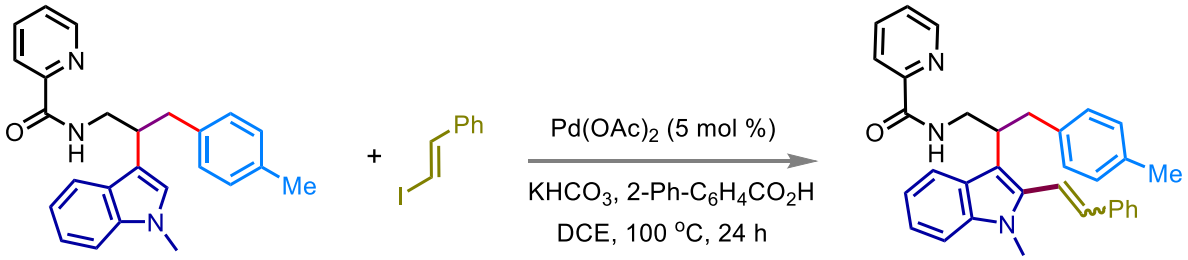


3a **9**, 97%

TP-3:

To an oven-dried screw cap reaction tube, **3a** (0.3 mmol, 1.0 equiv) and NaOH (5.0 equiv) were taken. EtOH (1.5 mL) solvent was added. Then, the reaction mixture was stirred at 125 °C for 48 h. After completion of the reaction (TLC monitored), the reaction mixture was allowed to cool to room temperature, 5 ml H_2O was added, and extracted with EtOAc (10 mL×3 times). The combined extracts were washed with brine followed by 10% aqueous $NaHCO_3$ solution (15 mL), dried over Na_2SO_4, and evaporated under reduced pressure to give pure functionally enriched aliphatic amine **9**.

10. Typical procedure for regioselective alkenylation reaction:

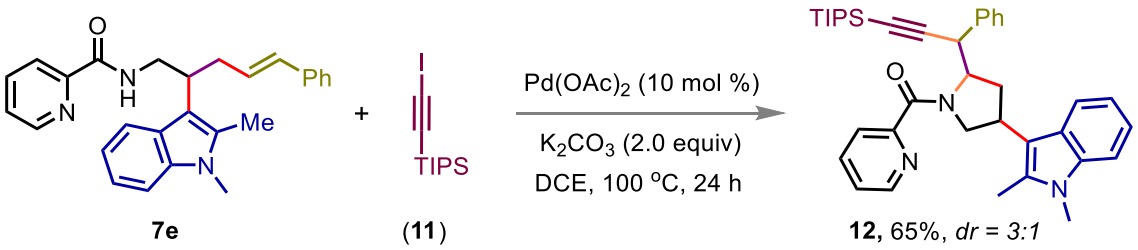


3a (**6a**) **10**, 62%, *dr = 4:1*

TP-4:

To an oven-dried screw cap reaction tube, product **3a** (0.25 mmol, 1.0 equiv), (*E*)-(2-iodovinyl)benzene (**6a**, 2.0 equiv), $Pd(OAc)_2$ (5 mol %), $KHCO_3$ (2.0 equiv) and biphenyl-2-carboxylic acid (0.2 equiv) were taken. DCE (2.5 mL, 0.1 M) was added. Then, the reaction tube was capped and placed in a preheated oil bath at 100 °C for 24 h. After completion of the reaction (TLC monitored), the crude mixture was diluted with DCM and concentrated on a rotavap. The crude residue was purified through column chromatography on silica gel using ethyl acetate in hexane to get pure product **10**.

11. Typical procedure for regioselective aminoalkynylation



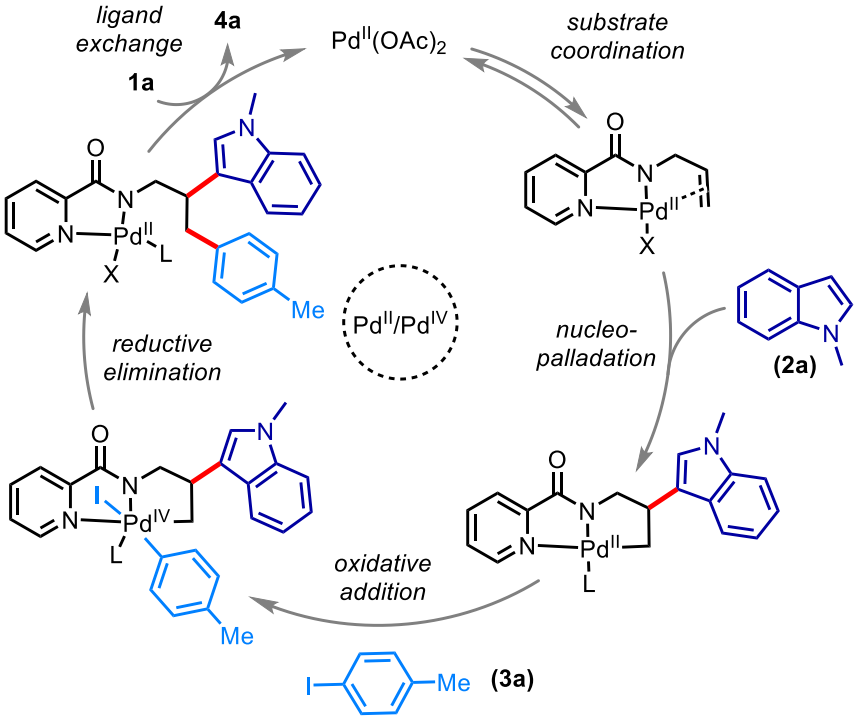
7e (**11**) **12,** 65%, *dr = 3:1*

TP-5:

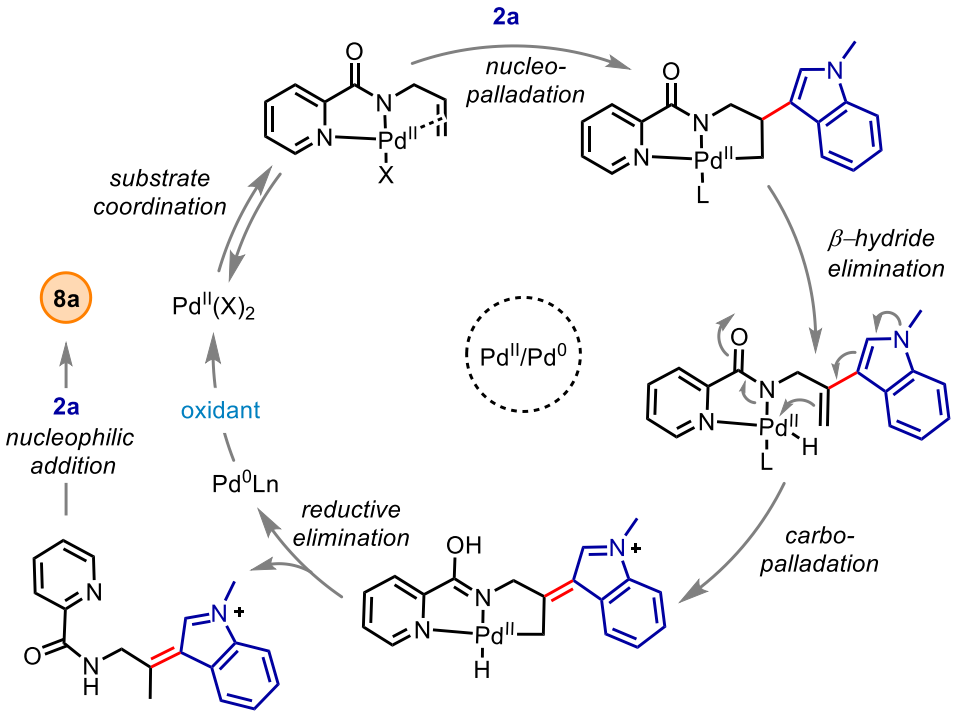
To an oven-dried screw cap reaction tube, product **7e** (0.15 mmol, 1.0 equiv), (iodoethynyl)triisopropylsilane (**11**, 1.5 equiv), $Pd(OAc)_2$ (10 mol %), and K_2CO_3 (2.0 equiv) were taken. DCE (1.5 mL, 0.1 M) was added. Then, the reaction tube was capped and placed in a preheated oil bath at 100 ^oC for 24 h. After completion of the reaction (TLC monitored), the crude mixture was diluted with DCM and concentrated on a rotavap. The crude residue was purified through column chromatography on silica gel using ethyl acetate in hexane to get pure product **12**.

12. Reaction Mechanism:

A. Mechanism for three-component vicinal dicarbofunctionalization reaction.



B. Alternative mechanism for geminal dicarbofunctionalization reaction.



13. X-ray crystal data of compound 8j:

Crystallization: Crystals of compound **8j** were obtained through a slow evaporation technique at room temperature from $CDCl_3$/hexane solvent mixture.

Crystal structure of compound **8j** (CCDC number: 2298509, Ellipsoid Probability 50%):

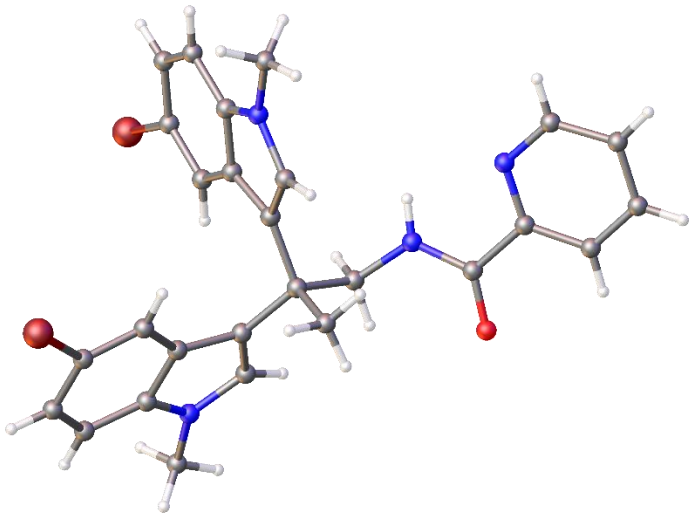
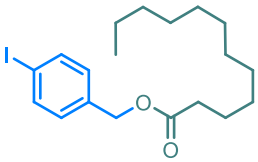


Table 1. Crystal data and structure refinement for **8j**.

Identification code	**8j**
Empirical formula	$C_{27}H_{24}Br_2N_4O$
Formula weight	580.32
Temperature	298(2) K
Wavelength	0.71073 A
Crystal system, space group	Orthorhombic, P b c a
Unit cell dimensions	a = 10.1637(6) A alpha = 90 deg. b = 19.7936(11) A beta = 90 deg. c = 25.9343(16) A gamma = 90 deg.
Volume	5217.4(5) A^3
Z, Calculated density	8, 1.478 Mg/m^3

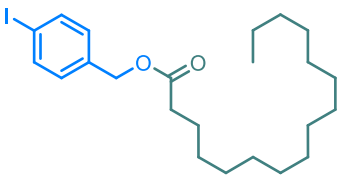
Absorption coefficient	3.134 mm^-1
F(000)	2336
Crystal size	0.279 x 0.091 x 0.042 mm
Theta range for data collection	3.260 to 25.057 deg.
Limiting indices	-12<=h<=12, -23<=k<=23, -30<=l<=30
Reflections collected / unique	167455 / 4609 [R(int) = 0.1872]
Completeness to theta = 25.057	99.7 %
Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	0.7452 and 0.5861
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	4609 / 0 / 310
Goodness-of-fit on F^2	1.048
Final R indices [I>2sigma(I)]	R1 = 0.0531, wR2 = 0.1253
R indices (all data)	R1 = 0.0903, wR2 = 0.1443
Extinction coefficient	n/a
Largest diff. peak and hole	0.356 and -0.646 e.A^-3

13. NMR spectroscopic data of synthesized compounds:



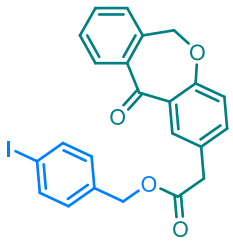
3aa

4-iodobenzyl dodecanoate (3aa): Compound **3aa** was synthesized according to GP-1 as a white solid, 95% yield (0.593 g); Eluent: 2-10% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.68 (d, *J* = 7.5 Hz, 2H), 7.09 (d, *J* = 7.6 Hz, 2H), 5.04 (s, 2H), 2.34 (t, *J* = 7.5 Hz, 2H), 1.66 – 1.59 (m, 2H), 1.30 – 1.25 (m, 16H), 0.88 (t, *J* = 6.5 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 173.7, 137.8, 136.0, 130.1, 93.9, 65.4, 34.4, 32.0, 29.7 (2×C), 29.6, 29.5, 29.4, 29.2, 25.1, 22.8, 14.3 ppm.



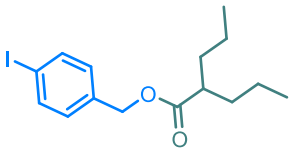
3ab

4-iodobenzyl palmitate (3ab): Compound **3ab** was synthesized according to GP-1 as a white solid, 94% yield (0.666 g); Eluent: 2-10% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.69 (d, *J* = 7.3 Hz, 2H), 7.09 (d, *J* = 7.6 Hz, 2H), 5.04 (s, 2H), 2.34 (t, *J* = 7.4 Hz, 2H), 1.66 – 1.59 (m, 2H), 1.29 – 1.25 (m, 24H), 0.88 (t, *J* = 6.1 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 173.7, 137.8, 135.9, 130.1, 93.9, 65.4, 34.4, 32.1, 29.83 (3C), 29.79 (2×C), 29.7, 29.6, 29.5, 29.4, 29.2, 25.1, 22.8, 14.3 ppm.



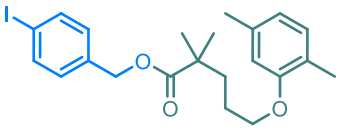
3ac

4-iodobenzyl 2-(11-oxo-6,11-dihydrodibenzo[*b,e*]oxepin-2-yl)acetate (3ac): Compound **3ac** was synthesized according to GP-1 as a white solid, 97% yield (0.704 g); Eluent: 5-15% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.03 (s, 1H), 7.78 (d, *J* = 7.7 Hz, 1H), 7.57 – 7.55 (m, 2H), 7.47 – 7.43 (m, 1H), 7.39 – 7.34 (m, 1H), 7.30 (d, *J* = 8.6 Hz, 1H), 7.25 (d, *J* = 7.4 Hz, 1H), 6.96 (dd, *J* = 8.3, 2.1 Hz, 2H), 6.94 – 6.90 (m, 1H), 5.07 (s, 2H), 4.97 (s, 2H), 3.58 (s, 2H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 190.8, 171.1, 160.5, 140.4, 137.7, 136.4, 135.5, 135.4, 132.9, 132.5, 130.1, 129.5, 129.3, 127.9, 127.5, 125.2, 121.2, 94.1, 73.6, 66.0, 40.2 ppm.



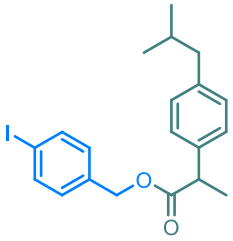
3ad

4-iodobenzyl 2-propylpentanoate (3ad): Compound **3ad** was synthesized according to GP-1 as a white solid, 94% yield (0.507 g); Eluent: 2-10% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 7.9 Hz, 2H), 5.04 (s, 2H), 2.45 – 2.37 (m, 1H), 1.65 – 1.55 (m, 2H), 1.47 – 1.38 (m, 2H), 1.31 – 1.22 (m, 4H), 0.88 (t, *J* = 7.3 Hz, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.4, 137.7, 136.1, 130.1, 93.8, 65.2, 45.3, 34.7, 20.7, 14.1 ppm.



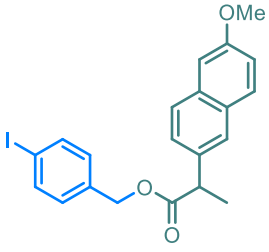
3ae

4-iodobenzyl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (3ae): Compound **3ae** was synthesized according to GP-1 as a white solid, 98% yield (0.685 g); Eluent: 5-15% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.1 Hz, 2H), 7.09 (d, *J* = 8.1 Hz, 2H), 7.01 (d, *J* = 7.4 Hz, 1H), 6.67 (d, *J* = 7.4 Hz, 1H), 6.60 (s, 1H), 5.05 (s, 2H), 3.89 (t, *J* = 5.3 Hz, 2H), 2.32 (s, 3H), 2.16 (s, 3H), 1.77 – 1.69 (m, 4H), 1.25 (s, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 177.6, 157.0, 137.8, 136.6, 136.1, 130.4, 129.9, 123.7, 120.9, 112.1, 93.8, 68.0, 65.6, 42.3, 37.2, 25.3 (2×C), 21.5, 15.9 ppm.



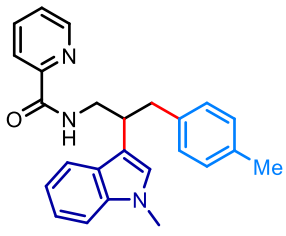
3af

4-iodobenzyl 2-(4-isobutylphenyl)propanoate (3af): Compound **3af** was synthesized according to GP-1 as a white solid, 95% yield (0.601 g); Eluent: 5-15% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.62 (d, *J* = 7.9 Hz, 2H), 7.19 (d, *J* = 7.8 Hz, 2H), 7.10 (d, *J* = 7.8 Hz, 2H), 6.95 (d, *J* = 7.9 Hz, 2H), 5.04 (s, 2H), 3.75 (q, *J* = 7.1 Hz, 1H), 2.46 (d, *J* = 7.2 Hz, 2H), 1.91 – 1.81 (m, 1H), 1.51 (d, *J* = 7.1 Hz, 3H), 0.91 (d, *J* = 6.6 Hz, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 174.5, 140.8, 137.7, 137.6, 135.9, 129.7, 129.5, 127.3, 93.7, 65.6, 45.2, 45.1, 30.3, 22.5, 18.4 ppm.



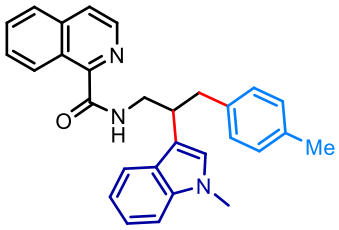
3ag

4-iodobenzyl 2-(6-methoxynaphthalen-2-yl)propanoate (3ag): Compound **3ag** was synthesized according to GP-1 as a white solid, 96% yield (0.697 g); Eluent: 5-15% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.68 (t, *J* = 8.5 Hz, 2H), 7.62 – 7.58 (m, 3H), 7.38 (d, *J* = 8.3 Hz, 1H), 7.18 – 7.12 (m, 2H), 6.95 (d, *J* = 7.4 Hz, 2H), 5.04 (s, 2H), 3.93 – 3.87 (m, 4H), 1.59 (d, *J* = 6.9 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, CDCl3) δ 174.5, 157.8, 137.7, 135.8, 135.5, 133.8, 129.9, 129.4, 129.0, 127.3, 126.3, 126.1, 119.2, 105.7, 93.9, 65.8, 55.5, 45.5, 18.6 ppm.



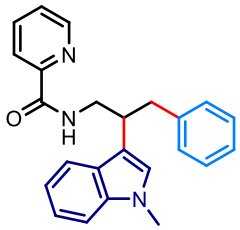
4a

***N*-(2-(1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (4a):** Compound **4a** was synthesized according to GP-2 as yellow oil, 78% yield (75 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 4.8 Hz, 1H), 8.21 (d, *J* = 7.8 Hz, 1H), 8.10 (s, 1H), 7.83 (t, *J* = 7.6 Hz, 1H), 7.76 (d, *J* = 7.9 Hz, 1H), 7.40 – 7.34 (m, 2H), 7.30 – 7.28 (m, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.11 – 7.06 (m, 4H), 6.94 (s, 1H), 3.90 – 3.82 (m, 2H), 3.77 (s, 3H), 3.65 – 3.58 (m, 1H), 3.24 – 3.19 (m, 1H), 3.11 – 3.05 (m, 1H), 2.32 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 150.0, 147.9, 137.5, 137.4, 137.1, 135.5, 129.0 (2×C), 127.3, 126.5, 126.0, 122.3, 121.7, 119.5, 118.9, 115.5, 109.4, 43.5, 39.6, 38.9, 32.8, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{25}H_{25}N_3ONa^+$ 406.1890 found 406.1892.



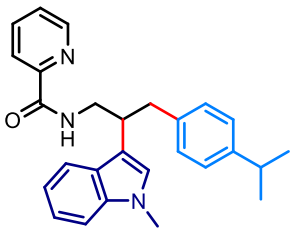
4a'

***N*-(2-(1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)isoquinoline-1-carboxamide (4a'):** Compound **4a'** was synthesized according to GP-2 as yellow oil, 69% yield (75 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.45 (d, *J* = 8.2 Hz, 1H), 8.23 – 8.21 (m, 1H), 8.04 (s, 1H), 7.71 – 7.65 (m, 2H), 7.61 – 7.54 (m, 3H), 7.21 (d, *J* = 8.2 Hz, 1H), 7.14 – 7.12 (m, 1H), 7.04 – 6.98 (m, 3H), 6.94 – 6.92 (m, 2H), 6.84 (d, *J* = 3.1 Hz, 1H), 3.84 – 3.72 (m, 2H), 3.63 (s, 3H), 3.54 – 3.49 (m, 1H), 3.14 – 3.09 (m, 1H), 3.01 – 2.96 (m, 1H), 2.17 (s, 3H) ppm; **^{13}C NMR** (101 MHz, CDCl3) δ 166.0, 148.6, 140.1, 137.44, 137.42, 137.2, 135.5, 130.6, 129.09, 129.05, 128.6, 128.0, 127.3, 127.0, 126.8, 126.6, 124.2, 121.7, 119.5, 118.9, 115.5, 109.5, 43.6, 39.6, 38.9, 32.9, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{29}H_{27}N_3ONa^+$ 456.2046 found 456.2040.



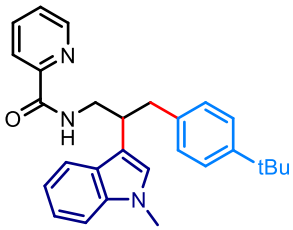
4b

***N*-(2-(1-methyl-1*H*-indol-3-yl)-3-phenylpropyl)picolinamide (4b):** Compound **4b** was synthesized according to GP-2 as brown liquid, 75% yield (69 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.41 (d, *J* = 4.7 Hz, 1H), 8.17 (d, *J* = 7.9 Hz, 1H), 8.09 (s, 1H), 7.80 (t, *J* = 7.7 Hz, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.37 – 7.34 (m, 1H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.25 – 7.18 (m, 3H), 7.16 – 7.08 (m, 4H), 6.88 (s, 1H), 3.89 – 3.78 (m, 2H), 3.72 (s, 3H), 3.63 – 3.56 (m, 1H), 3.22 – 3.17 (m, 1H), 3.10 – 3.05 (m, 1H) ppm; ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.3, 150.0, 147.9, 140.3, 137.5, 137.4, 129.2, 128.3, 127.3, 126.5, 126.1 (2×C), 122.3, 121.7, 119.5, 119.0, 115.4, 109.5, 43.6, 40.0, 38.9, 32.8 ppm. **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{24}H_{23}N_3ONa^+$ 392.1733 found 392.1738.



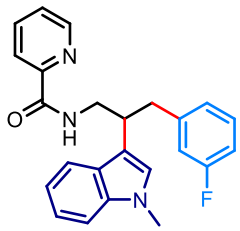
4c

***N*-(3-(4-isopropylphenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4c):** Compound **4c** was synthesized according to GP-2 as yellow oil, 79% yield (81 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.39 (d, *J* = 4.4 Hz, 1H), 8.14 (d, *J* = 7.8 Hz, 1H), 8.03 (s, 1H), 7.79 – 7.75 (m, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.33 – 7.28 (m, 2H), 7.24 – 7.22 (m, 1H), 7.11 – 7.06 (m, 5H), 6.90 (s, 1H), 3.87 – 3.75 (m, 2H), 3.72 (s, 3H), 3.61 – 3.54 (m, 1H), 3.18 – 3.13 (m, 1H), 3.05 – 2.99 (m, 1H), 2.83 (h, *J* = 6.9 Hz, 1H), 1.20 (d, *J* = 6.9 Hz, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 150.1, 148.0, 146.6, 137.5, 137.4, 137.3, 129.1, 127.4, 126.4 (2×C), 126.0, 122.2, 121.7, 119.5, 118.9, 115.6, 109.4, 43.4, 39.7, 38.7, 33.8, 32.8, 24.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{27}H_{30}N_3O^+$ 412.2383 found 412.2393.



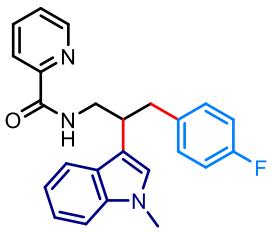
4d

***N*-(3-(4-(*tert*-butyl)phenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4d):** Compound **4d** was synthesized according to GP-2 as brown oil, 81% yield (86 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 4.4 Hz, 1H), 8.21 (d, *J* = 7.9 Hz, 1H), 8.12 (s, 1H), 7.85 – 7.81 (m, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.40 – 7.28 (m, 5H), 7.19 – 7.13 (m, 3H), 6.98 (s, 1H), 3.91 – 3.82 (m, 2H), 3.78 (s, 3H), 3.69 – 3.62 (m, 1H), 3.25 – 3.20 (m, 1H), 3.12 – 3.06 (m, 1H), 1.33 (s, 9H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 150.0, 148.8, 147.9, 137.4, 137.2, 128.8, 127.4, 126.4, 126.0, 125.2, 122.3, 121.7, 119.5, 118.9, 115.7, 109.4, 43.5, 39.6, 38.6, 34.4, 32.8, 31.50, 31.46 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{28}H_{31}N_3ONa^+$ 448.2359 found 448.2379.



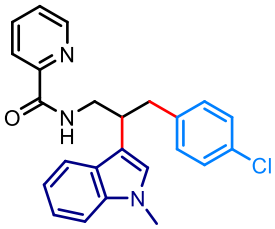
4e

***N*-(3-(3-fluorophenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4e):** Compound **4e** was synthesized according to GP-2 as pale yellow liquid, 63% yield (61 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 4.8 Hz, 1H), 8.23 (d, *J* = 7.8 Hz, 1H), 8.16 (s, 1H), 7.89 – 7.85 (m, 1H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.44 – 7.41 (m, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.29 (d, *J* = 8.4 Hz, 1H), 7.21 – 7.13 (m, 2H), 6.96 (d, *J* = 7.7 Hz, 1H), 6.92 (s, 1H), 6.89 – 6.83 (m, 2H), 3.95 – 3.83 (m, 2H), 3.78 (s, 3H), 3.67 – 3.60 (m, 1H), 3.25 – 3.19 (m, 1H), 3.17 – 3.12 (m, 1H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 162.9 (d, *J* = 245.2 Hz), 149.8, 147.8, 142.9 (d, *J* = 7.2 Hz), 137.6, 137.5, 129.7 (d, *J* = 8.4 Hz), 127.2, 126.6, 126.2, 124.9 (d, *J* = 3.0 Hz), 122.5, 121.8, 119.4, 119.1, 116.1 (d, *J* = 20.9 Hz), 114.9, 113.0 (d, *J* = 21.0 Hz), 109.5, 43.7, 39.7, 38.9, 32.8 ppm; **^{19}F NMR** (471 MHz, CDCl3) δ -114.0 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{24}H_{23}FN_3O^+$ 388.1820 found 388.1834.



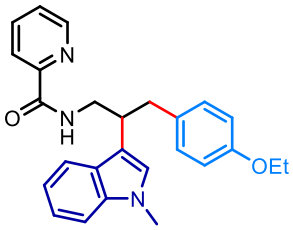
4f

***N*-(3-(4-fluorophenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4f):** Compound **4f** was synthesized according to GP-2 as brown liquid, 61% yield (59 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 4.8 Hz, 1H), 8.22 (d, *J* = 7.8 Hz, 1H), 8.13 (s, 1H), 7.88 – 7.84 (m, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.43 – 7.40 (m, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.29 (d, *J* = 7.2 Hz, 1H), 7.16 – 7.08 (m, 3H), 6.93 – 6.89 (m, 3H), 3.94 – 3.81 (m, 2H), 3.77 (s, 3H), 3.62 – 3.55 (m, 1H), 3.22 – 3.16 (m, 1H), 3.14 – 3.09 (m, 1H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 161.5 (d, *J* = 243.5 Hz), 149.9, 147.9, 137.6, 137.5, 135.9 (d, *J* = 3.5 Hz), 130.5 (d, *J* = 7.8 Hz), 127.2, 126.6, 126.2, 122.4, 121.8, 119.4, 119.0, 115.0 (d, *J* = 21.1 Hz), 114.9, 109.5, 43.6, 39.2, 39.1, 32.8 ppm; **^{19}F NMR** (471 MHz, CDCl3) δ -117.5 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{24}H_{22}FN_3ONa^+$ 410.1639 found 410.1658.



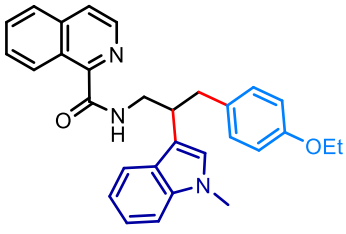
4g

***N*-(3-(4-chlorophenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4g):** Compound **4g** was synthesized according to GP-2 as yellow sticky liquid, 63% yield (64 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.47 (d, *J* = 4.6 Hz, 1H), 8.22 (d, *J* = 7.8 Hz, 1H), 8.13 (s, 1H), 7.86 (t, *J* = 7.7 Hz, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.43 – 7.40 (m, 1H), 7.35 (d, *J* = 8.1 Hz, 1H), 7.29 (d, *J* = 7.2 Hz, 1H), 7.19 – 7.13 (m, 3H), 7.08 (d, *J* = 8.0 Hz, 2H), 6.88 (s, 1H), 3.93 – 3.81 (m, 2H), 3.77 (s, 3H), 3.62 – 3.55 (m, 1H), 3.22 – 3.16 (m, 1H), 3.14 – 3.09 (m, 1H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 149.9, 147.9, 138.7, 137.6, 137.5, 131.8, 130.5, 128.4, 127.2, 126.6, 126.2, 122.4, 121.8, 119.4, 119.1, 114.9, 109.5, 43.7, 39.2, 39.0, 32.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{24}H_{22}ClN_3ONa^+$ 426.1344 found 426.1351.



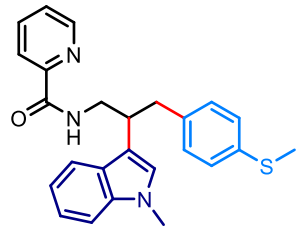
4h

***N*-(3-(4-ethoxyphenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4h):** Compound **4h** was synthesized according to GP-2 as yellow oil, 88% yield (91 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 4.8 Hz, 1H), 8.17 (d, *J* = 7.7 Hz, 1H), 8.05 (s, 1H), 7.81 – 7.77 (m, 1H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.36 – 7.30 (m, 2H), 7.23 (d, *J* = 7.9 Hz, 1H), 7.12 (t, *J* = 7.4 Hz, 1H), 7.06 (d, *J* = 8.2 Hz, 2H), 6.88 (s, 1H), 6.75 (d, *J* = 8.2 Hz, 2H), 3.97 (q, *J* = 6.9 Hz, 2H), 3.87 – 3.78 (m, 2H), 3.73 (s, 3H), 3.58 – 3.51 (m, 1H), 3.17 – 3.12 (m, 1H), 3.05 – 2.99 (m, 1H), 1.38 (t, *J* = 7.0 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 157.3, 150.1, 148.0, 137.4, 137.3, 132.2, 130.1, 127.3, 126.5, 126.0, 122.2, 121.7, 119.5, 118.9, 115.4, 114.3, 109.4, 63.4, 43.4, 39.1 (2×C), 32.8, 15.0 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{26}H_{28}N_3O_2^+$ 414.2176 found 414.2179.



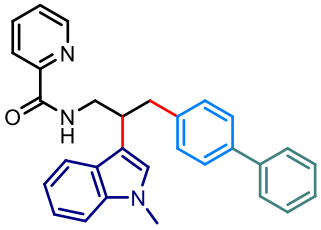
4h'

***N*-(3-(4-ethoxyphenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)isoquinoline-1-carboxamide (4h'):** Compound **4h'** was synthesized according to GP-2 as yellow oil, 85% yield (99 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.46 (d, *J* = 7.7 Hz, 1H), 8.21 (s, 1H), 8.04 (s, 1H), 7.70 – 7.57 (m, 5H), 7.19 – 7.14 (m, 2H), 7.03 – 6.97 (m, 3H), 6.81 (s, 1H), 6.65 (d, *J* = 7.6 Hz, 2H), 3.85 – 3.77 (m, 4H), 3.62 (s, 3H), 3.55 – 3.49 (m, 1H), 3.10 – 2.93 (m, 2H), 1.27 (t, *J* = 8.0 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.1, 157.2, 148.5, 140.2, 137.3 (2×C), 132.1, 130.4, 130.1, 128.5, 127.9, 127.2, 126.9, 126.8, 126.5, 124.1, 121.6, 119.4, 118.9, 115.4, 114.2, 109.4, 63.3, 43.4, 39.1, 39.0, 32.8, 14.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{30}H_{30}N_3O_2^+$ 464.2333 found 464.2337.



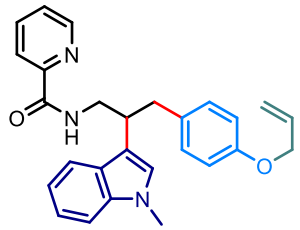
4i

***N*-(2-(1-methyl-1*H*-indol-3-yl)-3-(4-(methylthio)phenyl)propyl)picolinamide (4i):** Compound **4i** was synthesized according to GP-2 as yellow sticky liquid, 61% yield (63 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.43 – 8.41 (m, 1H), 8.16 (d, *J* = 7.8 Hz, 1H), 8.04 (s, 1H), 7.82 – 7.78 (m, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.37 – 7.34 (m, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.25 – 7.21 (m, 1H), 7.12 – 7.04 (m, 5H), 6.86 (s, 1H), 3.88 – 3.77 (m, 2H), 3.73 (s, 3H), 3.58 – 3.51 (m, 1H), 3.17 – 3.12 (m, 1H), 3.07 – 3.01 (m, 1H), 2.42 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 150.0, 148.1, 137.5, 137.4, 137.3, 135.6, 129.7, 127.3, 127.0, 126.6, 126.1, 122.2, 121.8, 119.5, 119.0, 115.2, 109.5, 43.6, 39.4, 39.0, 32.9, 16.3 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{25}H_{26}N_3OS^+$ 416.1791 found 416.1798.



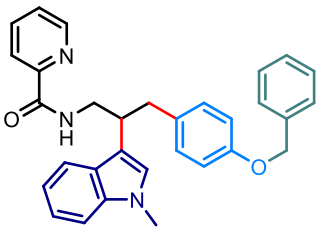
4j

***N*-(3-([1,1'-biphenyl]-4-yl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4j):** Compound **4j** was synthesized according to GP-2 as brown oil, 78% yield (87 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.39 (d, *J* = 4.5 Hz, 1H), 8.16 (d, *J* = 7.8 Hz, 1H), 8.06 (s, 1H), 7.81 – 7.77 (m, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.54 – 7.51 (m, 2H), 7.45 – 7.38 (m, 4H), 7.35 – 7.28 (m, 3H), 7.26 – 7.21 (m, 3H), 7.13 – 7.09 (m, 1H), 6.90 (s, 1H), 3.92 – 3.80 (m, 2H), 3.73 (s, 3H), 3.66 – 3.59 (m, 1H), 3.26 – 3.21 (m, 1H), 3.15 – 3.09 (m, 1H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 150.1, 148.1, 141.2, 139.4, 139.0, 137.5, 137.3, 129.6, 128.8 (2×C), 127.3, 127.09, 127.07, 126.6, 126.1, 122.2, 121.8, 119.5, 119.0, 115.4, 109.5, 43.6, 39.6, 38.9, 32.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{30}H_{28}N_3O^+$ 446.2227 found 446.2233.



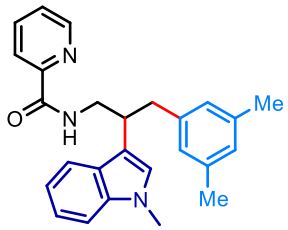
4k

N-(3-(4-(allyloxy)phenyl)-2-(1-methyl-1H-indol-3-yl)propyl)picolinamide (4k): Compound **4k** was synthesized according to GP-2 as brown sticky liquid, 75% yield (80 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 4.5 Hz, 1H), 8.21 (d, *J* = 7.8 Hz, 1H), 8.09 (s, 1H), 7.84 – 7.80 (m, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.39 – 7.34 (m, 2H), 7.30 – 7.28 (m, 1H), 7.17 – 7.13 (m, 1H), 7.10 (d, *J* = 8.1 Hz, 2H), 6.91 (s, 1H), 6.81 (d, *J* = 8.3 Hz, 2H), 6.12 – 6.03 (m, 1H), 5.46 – 5.28 (m, 2H), 4.52 – 4.50 (m, 2H), 3.93 – 3.82 (m, 2H), 3.76 (s, 3H), 3.62 – 3.55 (m, 1H), 3.21 – 3.16 (m, 1H), 3.09 – 3.04 (m, 1H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 157.0, 150.1, 148.0, 137.4, 137.3, 133.6, 132.5, 130.1, 127.3, 126.5, 126.0, 122.2, 121.7, 119.5, 118.9, 117.5, 115.4, 114.6, 109.4, 68.9, 43.4, 39.1 (2×C), 32.8 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{27}H_{28}N_3O_2^+$ 426.2176 found 426.2177.



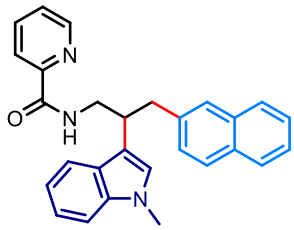
4l

***N*-(3-(4-(benzyloxy)phenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4l):** Compound **4l** was synthesized according to GP-2 as brown oil, 79% yield (94 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 4.8 Hz, 1H), 8.21 (d, *J* = 7.8 Hz, 1H), 8.09 (s, 1H), 7.85 – 7.81 (m, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.47 – 7.38 (m, 5H), 7.37 – 7.34 (m, 2H), 7.30 – 7.28 (m, 1H), 7.17 – 7.09 (m, 3H), 6.91 (s, 1H), 6.88 – 6.86 (m, 2H), 5.03 (s, 2H), 3.91 – 3.82 (m, 2H), 3.77 (s, 3H), 3.62 – 3.55 (m, 1H), 3.21 – 3.16 (m, 1H), 3.09 – 3.03 (m, 1H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 157.3, 150.1, 148.1, 137.4, 137.34, 137.30, 132.6, 130.2, 128.7, 128.0, 127.6, 127.3, 126.5, 126.0, 122.2, 121.7, 119.5, 119.0, 115.4, 114.7, 109.5, 70.1, 43.4, 39.1 (2×C), 32.8 ppm; HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{31}H_{30}N_3O_2^+$ 476.2333 found 476.2337.



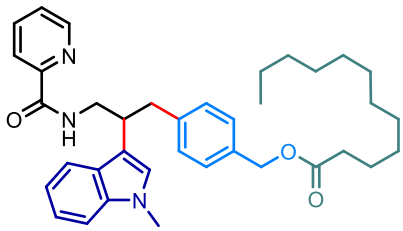
4m

***N*-(3-(3,5-dimethylphenyl)-2-(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (4m):** Compound **4m** was synthesized according to GP-2 as yellow oil, 70% yield (70 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.30 (d, *J* = 4.4 Hz, 1H), 8.06 (d, *J* = 7.8 Hz, 1H), 7.93 (s, 1H), 7.71 – 7.63 (m, 2H), 7.26 – 7.20 (m, 2H), 7.17 – 7.12 (m, 1H), 7.05 – 7.01 (m, 1H), 6.83 (s, 1H), 6.72 – 6.69 (m, 3H), 3.74 – 3.71 (m, 2H), 3.64 (s, 3H), 3.53 – 3.46 (m, 1H), 3.08 – 3.03 (m, 1H), 2.90 – 2.84 (m, 1H), 2.14 (s, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 150.0, 147.9, 140.2, 137.8, 137.4, 137.3, 127.8, 127.4, 127.0, 126.3, 126.0, 122.2, 121.7, 119.5, 118.9, 115.8, 109.4, 43.5, 40.3, 38.7, 32.8, 21.4 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{26}H_{28}N_3O^+$ 398.2227 found 398.2232.



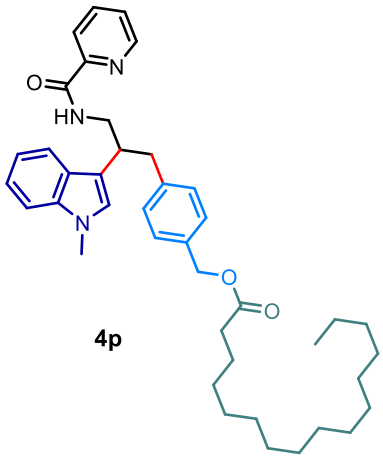
4n

***N*-(2-(1-methyl-1*H*-indol-3-yl)-3-(naphthalen-2-yl)propyl)picolinamide (4n):** Compound **4n** was synthesized according to GP-2 as brown sticky liquid, 72% yield (76 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 4.8 Hz, 1H), 8.18 (d, *J* = 7.8 Hz, 1H), 8.11 (s, 1H), 7.82 – 7.77 (m, 3H), 7.76 – 7.70 (m, 2H), 7.65 (s, 1H), 7.46 – 7.39 (m, 2H), 7.36 – 7.31 (m, 3H), 7.30 – 7.28 (m, 1H), 7.18 – 7.13 (m, 1H), 6.91 (s, 1H), 3.96 – 3.89 (m, 2H), 3.79 – 3.72 (m, 4H), 3.44 – 3.39 (m, 1H), 3.32 – 3.26 (m, 1H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 149.9, 147.9, 137.9, 137.5, 137.4, 133.6, 132.2, 127.9, 127.8, 127.6 (2C), 127.5, 127.3, 126.6, 126.0, 125.9, 125.2, 122.2, 121.8, 119.5, 119.0, 115.4, 109.5, 43.8, 40.3, 38.9, 32.8 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{28}H_{25}N_3ONa^+$ 442.1890 found 442.1907.



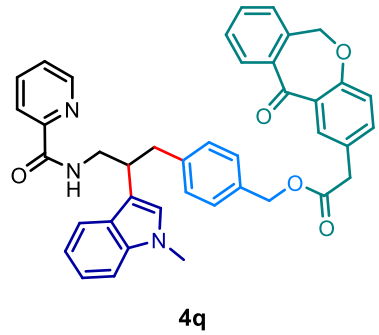
4o

4-(2-(1-methyl-1*H*-indol-3-yl)-3-(picolinamido)propyl)benzyl dodecanoate (4o): Compound **4o** was synthesized according to GP-2 as yellow oil, 73% yield (106 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.34 – 8.32 (m, 1H), 8.08 (d, *J* = 7.8 Hz, 1H), 7.97 (s, 1H), 7.72 (t, *J* = 7.9 Hz, 1H), 7.61 (d, *J* = 8.0 Hz, 1H), 7.29 – 7.22 (m, 2H), 7.18 – 7.15 (m, 1H), 7.12 – 7.00 (m, 5H), 6.80 (s, 1H), 4.95 (s, 2H), 3.78 – 3.69 (m, 2H), 3.65 (s, 3H), 3.54 – 3.47 (m, 1H), 3.14 – 3.08 (m, 1H), 3.03 – 2.97 (m, 1H), 2.24 (t, *J* = 7.3 Hz, 2H), 1.56 – 1.51 (m, 2H), 1.21 – 1.17 (m, 16H), 0.80 (t, *J* = 5.9 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 173.9, 164.4, 150.0, 148.1, 140.3, 137.4, 137.3, 133.8, 129.3, 128.3, 127.3, 126.5, 126.1, 122.2, 121.8, 119.4, 119.0, 115.2, 109.5, 66.1, 43.5, 39.6, 38.8, 34.5, 32.8, 32.0, 29.7 (2×C), 29.6, 29.45, 29.36, 29.3, 25.1, 22.8, 14.2 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{37}H_{47}N_3O_3Na^+$ 604.3510 found 604.3527.



4p

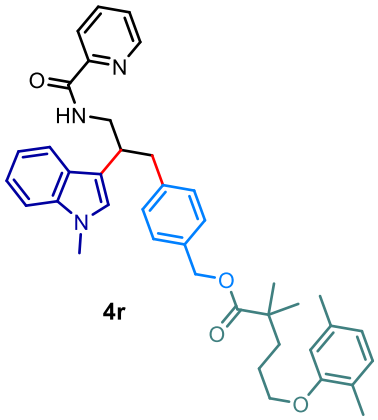
4-(2-(1-methyl-1*H*-indol-3-yl)-3-(picolinamido)propyl)benzyl palmitate (4p): Compound **4p** was synthesized according to GP-2 as yellow oil, 70% yield (112 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 4.3 Hz, 1H), 8.09 (d, *J* = 7.5 Hz, 1H), 7.98 (s, 1H), 7.72 (t, *J* = 7.7 Hz, 1H), 7.61 (d, *J* = 7.7 Hz, 1H), 7.30 – 7.22 (m, 2H), 7.16 – 7.01 (m, 6H), 6.80 (s, 1H), 4.95 (s, 2H), 3.79 – 3.71 (m, 2H), 3.66 (s, 3H), 3.52 – 3.47 (m, 1H), 3.14 – 3.09 (m, 1H), 3.04 – 2.98 (m, 1H), 2.27 – 2.23 (m, 2H), 1.56 – 1.51 (m, 2H), 1.21 – 1.18 (m, 24H), 0.80 (t, *J* = 6.0 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 173.9, 164.4, 150.0, 148.1, 140.3, 137.4, 137.3, 133.8, 129.4, 128.3, 127.3, 126.5, 126.1, 122.2, 121.8, 119.4, 119.0, 115.2, 109.5, 66.1, 43.5, 39.6, 38.8, 34.5, 32.9, 32.0, 29.82 (3×C), 29.78 (2×C), 29.7, 29.6, 29.5, 29.4, 29.3, 25.1, 22.8, 14.3 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{41}H_{55}N_3O_3Na^+$ 660.4136 found 660.4156.



4q

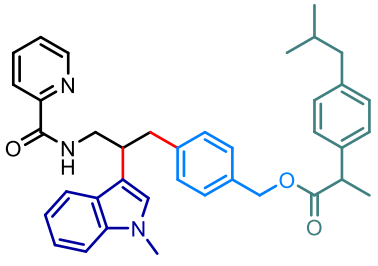
4-(2-(1-methyl-1*H*-indol-3-yl)-3-(picolinamido)propyl)benzyl 2-(11-oxo-6,11-dihydrodibenzo[*b*,*e*]oxepin-2-yl)acetate (4q): Compound **4q** was synthesized according to GP-2 as yellow sticky liquid, 86% yield (140 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 4.7 Hz, 1H), 8.09 (d, *J* = 7.9 Hz, 1H), 8.05 – 8.01 (m, 2H), 7.80 (d, *J* = 7.7 Hz, 1H), 7.74 (t, *J* = 7.8 Hz, 1H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.46 (t, *J* = 7.4 Hz, 1H), 7.40 – 7.32 (m, 2H), 7.29 – 7.26 (m, 2H), 7.22 (d, *J* = 8.3 Hz, 1H), 7.18 – 7.17 (m, 1H), 7.14 (d, *J* = 8.1 Hz, 1H), 7.10 – 7.06 (m, 3H), 7.04 – 7.00 (m, 1H), 6.93 (d, *J* = 8.4 Hz, 1H), 6.80 (s, 1H), 5.09 (s, 2H), 4.98 (s, 2H), 3.78 – 3.69 (m, 2H), 3.65 (s, 3H), 3.58 (s,

2H), 3.53 – 3.47 (m, 1H), 3.13 – 3.08 (m, 1H), 3.03 – 2.98 (m, 1H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 191.0, 171.4, 164.2, 160.6, 149.8, 147.8, 140.6, 140.5, 137.6, 137.4, 136.5, 135.6, 133.4, 132.9, 132.6, 129.6, 129.38, 129.36, 128.4, 127.9, 127.8, 127.2, 126.6, 126.2, 125.2, 122.4, 121.8, 121.2, 119.4, 119.0, 115.1, 109.5, 73.7, 66.8, 43.6, 40.3, 39.6, 38.8, 32.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{41}H_{36}N_3O_5^+$ 650.2649 found 650.2660.



4r

4-(2-(1-methyl-1*H*-indol-3-yl)-3-(picolinamido)propyl)benzyl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (4r): Compound **4r** was synthesized according to GP-2 as yellow sticky liquid, 80% yield (126 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.34 – 8.32 (m, 1H), 8.08 (d, *J* = 7.8 Hz, 1H), 7.97 (s, 1H), 7.71 (t, *J* = 7.9 Hz, 1H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.29 – 7.21 (m, 2H), 7.17 – 7.13 (m, 1H), 7.10 – 7.00 (m, 5H), 6.90 (d, *J* = 7.4 Hz, 1H), 6.79 (d, *J* = 2.2 Hz, 1H), 6.56 (d, *J* = 7.6 Hz, 1H), 6.50 (s, 1H), 4.95 (s, 2H), 3.80 – 3.71 (m, 4H), 3.64 (s, 3H), 3.53 – 3.45 (m, 1H), 3.12 – 3.07 (m, 1H), 3.02 – 2.96 (m, 1H), 2.21 (s, 3H), 2.06 (s, 3H), 1.64 – 1.63 (m, 4H), 1.14 (s, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 177.8, 164.4, 157.0, 150.0, 148.0, 140.2, 137.4, 137.3, 136.5, 134.0, 130.4, 129.3, 127.9, 127.3, 126.5, 126.1, 123.7, 122.2, 121.8, 120.7, 119.4, 119.0, 115.2, 112.0, 109.5, 68.0, 66.1, 43.5, 42.2, 39.6, 38.9, 37.2, 32.8, 25.3, 25.2, 21.5, 15.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{40}H_{45}N_3O_4Na^+$ 654.3302 found 654.3324.

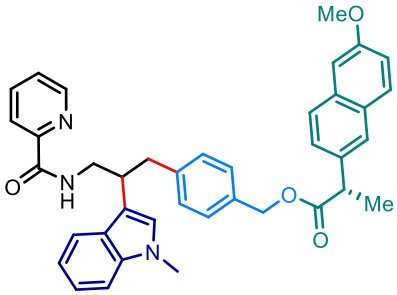


4s
dr = 1:1

4-(2-(1-methyl-1H-indol-3-yl)-3-(picolinamido)propyl)benzyl 2-(4-isobutylphenyl)propanoate (4s): Compound **4s** was synthesized according to GP-2 as brown liquid, 73% yield (107 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.33 – 8.32 (m, 2H), 8.08 (d, *J* = 7.8 Hz, 2H), 7.96 (s, 2H), 7.71 (t, *J* = 7.6 Hz, 2H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.28 – 7.22 (m, 4H), 7.17 – 7.10 (m, 6H), 7.04 – 6.98 (m, 14H), 6.79 (s, 2H), 4.99 – 4.88 (m, 4H), 3.78 – 3.70 (m, 4H), 3.67 – 3.64 (m, 8H), 3.52 – 3.45 (m, 2H), 3.12 – 3.06 (m, 2H), 3.01 – 2.95 (m, 2H), 2.36 (d, *J* = 7.0 Hz, 4H), 1.79 – 1.72 (m, 2H), 1.41 (d, *J* = 7.0 Hz, 6H), 0.81 (d, *J* = 6.4 Hz, 12H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 174.7 (2×C), 164.4 (2×C), 150.0 (2×C), 148.1 (2×C), 140.6 (2×C), 140.2 (2×C), 137.8 (2×C), 137.4 (2×C), 137.3 (2×C), 133.8 (2×C), 129.4 (2×C), 129.3 (2×C), 127.9 (2×C), 127.3 (2×C), 127.2 (2×C), 126.5 (2×C), 126.1 (2×C), 122.2 (2×C), 121.8 (2×C), 119.4 (2×C), 119.0 (2×C), 115.2 (2×C), 109.5 (2×C), 66.3 (2×C), 45.2 (2×C), 45.1 (2×C), 43.5 (2×C), 39.6 (2×C), 38.9, 38.8, 32.8 (2×C), 30.3 (2×C), 22.5

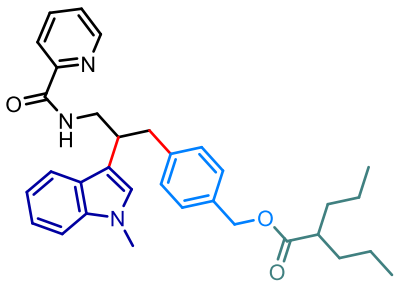
(2×C), 18.6 (2×C) ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{38}H_{41}N_3O_3Na^+$ 610.3040 found 610.3059.

4-(2-(1-methyl-1*H*-indol-3-yl)-3-(picolinamido)propyl)benzyl (2*S*)-2-(6-methoxynaphthalen-2-yl)propanoate (4t): Compound **4t** was synthesized according to GP-2 as yellow sticky liquid, 75% yield (115 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29 (d, *J* = 4.8 Hz, 2H), 8.06 (d, *J* = 7.9 Hz, 2H), 7.96 (s, 2H), 7.68 (t, *J* = 7.7 Hz, 2H), 7.60 – 7.55 (m, 8H), 7.29 (d, *J* = 8.5 Hz, 2H), 7.24 – 7.19 (m, 4H), 7.15 – 7.13 (m, 2H), 7.04 – 6.99 (m, 14H), 6.75 (s, 2H), 5.00 – 4.87 (m, 4H), 3.82 – 3.78 (m, 8H), 3.74 – 3.65 (m, 4H), 3.60 (s, 6H), 3.50 – 3.45 (m, 2H), 3.09 – 3.04 (m, 2H), 2.99 – 2.94 (m, 2H), 1.48 (d, *J* = 7.1 Hz, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 174.6 (2×C), 164.4 (2×C), 157.7 (2×C), 150.0 (2×C), 148.0 (2×C), 140.2 (2×C), 137.4 (2×C), 137.3 (2×C), 135.7 (2×C), 133.7 (2×C), 133.6 (2×C), 129.4 (2×C), 129.2 (2×C), 129.0 (4×C), 128.1 (2×C), 127.2 (2×C), 126.5 (2×C), 126.4 (4×C), 126.1 (2×C), 122.2 (2×C), 121.7 (2×C), 119.4 (2×C), 119.02 (2×C), 118.95 (2×C), 115.1 (2×C), 109.5 (2×C), 105.6 (2×C), 66.5 (2×C), 55.4 (2×C), 45.5 (2×C), 43.5 (2×C), 39.5 (2×C), 38.81, 38.76, 32.8 (2×C), 18.6 (2×C) ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{39}H_{38}N_3O_4^+$ 612.2857 found 612.2860.

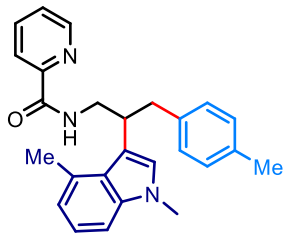


4t
dr = 1:1

4-(2-(1-methyl-1*H*-indol-3-yl)-3-(picolinamido)propyl)benzyl 2-propylpentanoate (4u): Compound **4u** was synthesized according to GP-2 as yellow oil, 82% yield (108 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 4.7 Hz, 1H), 8.08 (d, *J* = 7.8 Hz, 1H), 7.97 (s, 1H), 7.71 (t, *J* = 7.6 Hz, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.28 – 7.25 (m, 1H), 7.22 (d, *J* = 8.2 Hz, 1H), 7.17 – 7.13 (m, 1H), 7.11 – 7.00 (m, 5H), 6.79 (s, 1H), 4.95 (s, 2H), 3.80 – 3.70 (m, 2H), 3.64 (s, 3H), 3.54 – 3.47 (m, 1H), 3.14 – 3.08 (m, 1H), 3.03 – 2.98 (m, 1H), 2.35 – 2.28 (m, 1H), 1.57 – 1.47 (m, 2H), 1.37 – 1.29 (m, 2H), 1.23 – 1.14 (m, 4H), 0.79 (t, *J* = 7.3 Hz, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 176.6, 164.4, 150.0, 148.0, 140.2, 137.4, 137.3, 134.0, 129.3, 128.1, 127.3, 126.5, 126.1, 122.2, 121.8, 119.4, 119.0, 115.2, 109.5, 65.9, 45.4, 43.5, 39.6, 38.9, 34.7, 32.8, 20.7, 14.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{33}H_{39}N_3O_3Na^+$ 548.2884 found 548.2901.

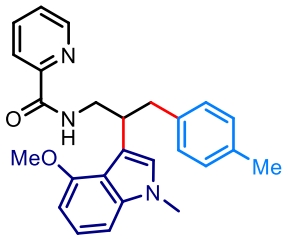


4u



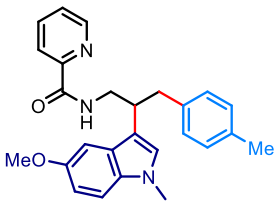
5a

***N*-(2-(1,4-dimethyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5a):** Compound **5a** was synthesized according to GP-2 as brown oil, 73% yield (73 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.43 – 8.42 (m, 1H), 8.16 (d, *J* = 8.0 Hz, 1H), 8.08 (s, 1H), 7.80 (t, *J* = 7.6 Hz, 1H), 7.38 – 7.34 (m, 1H), 7.18 – 7.12 (m, 2H), 7.10 – 7.05 (m, 4H), 6.97 (s, 1H), 6.86 (d, *J* = 6.6 Hz, 1H), 4.03 – 3.96 (m, 1H), 3.82 – 3.69 (m, 5H), 3.21 – 3.16 (m, 1H), 2.94 – 2.89 (m, 1H), 2.78 (s, 3H), 2.29 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 150.1, 148.0, 137.5, 137.3, 136.8, 135.6, 131.0, 129.1 (2×C), 126.2, 126.1, 126.0, 122.2, 121.6, 121.1, 117.0, 107.4, 43.9, 41.3, 38.5, 33.0, 21.1 (2×C) ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{26}H_{28}N_3O^+$ 398.2227 found 398.2239.



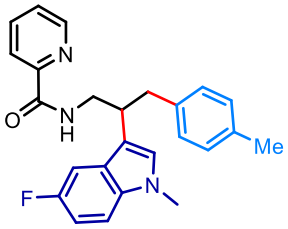
5b

***N*-(2-(4-methoxy-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5b):** Compound **5b** was synthesized according to GP-2 as yellow liquid, 75% yield (78 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.41 (d, *J* = 4.8 Hz, 1H), 8.19 – 8.13 (m, 2H), 7.79 – 7.75 (m, 1H), 7.35 – 7.31 (m, 1H), 7.16 – 7.11 (m, 3H), 7.07 – 7.06 (m, 2H), 6.91 (d, *J* = 8.3 Hz, 1H), 6.82 (s, 1H), 6.53 (d, *J* = 7.8 Hz, 1H), 3.98 – 3.91 (m, 4H), 3.80 – 3.77 (m, 2H), 3.69 (s, 3H), 3.28 – 3.23 (m, 1H), 2.92 – 2.87 (m, 1H), 2.30 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 154.7, 150.3, 147.9, 139.0, 137.7, 137.2, 135.3, 129.2, 129.0, 125.8, 124.9, 122.4, 122.2, 117.5, 116.7, 102.8, 99.2, 55.2, 43.9, 40.5, 39.0, 33.0, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{26}H_{27}N_3O_2Na^+$ 436.1995 found 436.2003.



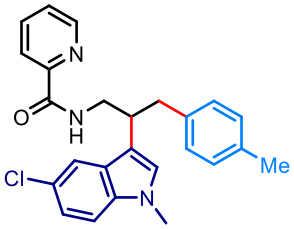
5c

***N*-(2-(5-methoxy-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5c):** Compound **5c** was synthesized according to GP-2 as yellow oil, 82% yield (85 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.41 (d, *J* = 4.6 Hz, 1H), 8.17 (d, *J* = 7.8 Hz, 1H), 8.07 (s, 1H), 7.79 (t, *J* = 7.7 Hz, 1H), 7.36 – 7.33 (m, 1H), 7.19 (d, *J* = 8.8 Hz, 1H), 7.08 – 7.02 (m, 5H), 6.90 – 6.88 (m, 2H), 3.89 – 3.83 (m, 1H), 3.80 – 3.73 (m, 4H), 3.71 (s, 3H), 3.56 – 3.49 (m, 1H), 3.16 – 3.11 (m, 1H), 3.07 – 3.01 (m, 1H), 2.28 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 153.7, 150.1, 148.0, 137.3, 137.2, 135.5, 132.7, 129.1, 129.0, 127.7, 126.9, 126.0, 122.2, 115.1, 111.9, 110.1, 101.3, 56.0, 43.7, 39.5, 38.8, 33.0, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{26}H_{27}N_3O_2Na^+$ 436.1995 found 436.2012.



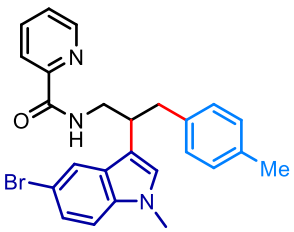
5d

***N*-(2-(5-fluoro-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5d):** Compound **5d** was synthesized according to GP-2 as yellow oil, 65% yield (65 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.43 – 8.41 (m, 1H), 8.16 (dd, *J* = 7.7, 2.2 Hz, 1H), 8.05 (s, 1H), 7.80 (t, *J* = 7.7 Hz, 1H), 7.38 – 7.34 (m, 1H), 7.31 – 7.28 (m, 1H), 7.21 – 7.17 (m, 1H), 7.06 – 7.01 (m, 4H), 6.98 – 6.93 (m, 2H), 3.86 – 3.76 (m, 2H), 3.71 (s, 3H), 3.53 – 3.45 (m, 1H), 3.14 – 3.08 (m, 1H), 3.05 – 2.99 (m, 1H), 2.27 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 157.6 (d, *J* = 233.9 Hz), 150.0, 148.1, 137.3, 136.9, 135.6, 134.0, 129.1, 129.0, 128.0, 127.6 (d, *J* = 9.7 Hz), 126.1, 122.2, 115.5 (d, *J* = 4.6 Hz), 110.1 (d, *J* = 6.1 Hz), 109.9 (d, *J* = 10.9 Hz), 104.4 (d, *J* = 23.7 Hz), 43.6, 39.4, 38.9, 33.1, 21.1 ppm; **^{19}F NMR** (471 MHz, $CDCl_3$) δ -125.5 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{25}H_{25}FN_3O^+$ 402.1976 found 402.1985.



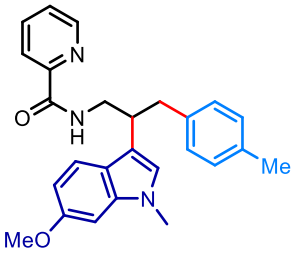
5e

***N*-(2-(5-chloro-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5e):** Compound **5e** was synthesized according to GP-2 as brown oil, 68% yield (71 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 4.7 Hz, 1H), 8.17 (d, *J* = 7.7 Hz, 1H), 8.06 (s, 1H), 7.83 – 7.79 (m, 1H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.38 – 7.35 (m, 1H), 7.27 (s, 1H), 7.05 – 7.01 (m, 5H), 6.87 (s, 1H), 3.85 – 3.74 (m, 2H), 3.68 (s, 3H), 3.57 – 3.51 (m, 1H), 3.13 – 3.08 (m, 1H), 3.06 – 3.03 (m, 1H), 2.27 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 149.9, 147.9, 137.8, 137.5, 136.9, 135.6, 129.1, 129.0, 127.8, 127.1, 126.1, 126.0, 122.3, 120.4, 119.6, 115.9, 109.5, 43.8, 39.5, 38.8, 32.9, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{25}H_{24}ClN_3ONa^+$ 440.1500 found 440.1519.



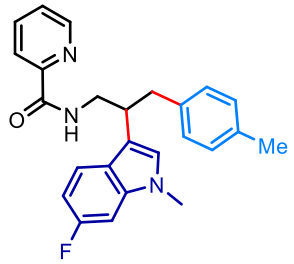
5f

***N*-(2-(5-bromo-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5f):** Compound **5f** was synthesized according to GP-2 as brown oil, 65% yield (75 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.42 – 8.40 (m, 1H), 8.14 (d, *J* = 7.7 Hz, 1H), 8.02 (s, 1H), 7.80 – 7.76 (m, 1H), 7.68 (s, 1H), 7.35 – 7.32 (m, 1H), 7.24 (s, 1H), 7.12 (d, *J* = 8.7 Hz, 1H), 7.02 – 7.00 (m, 4H), 6.86 (s, 1H), 3.85 – 3.78 (m, 1H), 3.73 – 3.68 (m, 4H), 3.51 – 3.43 (m, 1H), 3.09 – 2.97 (m, 2H), 2.25 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 149.9, 148.1, 137.3, 136.8, 136.0, 135.7, 129.13, 129.08, 129.0, 127.6, 126.1, 124.5, 122.2, 122.0, 115.3, 112.4, 110.9, 43.7, 39.6, 38.8, 33.0, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{25}H_{25}BrN_3O^+$ 462.1176 found 462.1181.



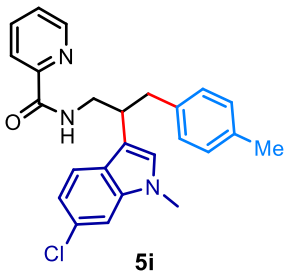
5g

***N*-(2-(6-methoxy-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5g):** Compound **5g** was synthesized according to GP-2 as brown oil, 85% yield (88 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.43 – 8.41 (m, 1H), 8.16 (d, *J* = 7.8 Hz, 1H), 8.05 (s, 1H), 7.81 – 7.77 (m, 1H), 7.57 (d, *J* = 8.4 Hz, 1H), 7.36 – 7.33 (m, 1H), 7.07 – 7.01 (m, 4H), 6.80 – 6.76 (m, 3H), 3.88 (s, 3H), 3.84 – 3.81 (m, 1H), 3.80 – 3.75 (m, 1H), 3.68 (s, 3H), 3.55 – 3.48 (m, 1H), 3.17 – 3.12 (m, 1H), 3.05 – 2.99 (m, 1H), 2.28 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 156.5, 150.1, 148.0, 138.1, 137.3, 137.2, 135.5, 129.0 (2×C), 126.0, 125.3, 122.2, 121.7, 120.1, 115.6, 108.8, 93.1, 55.8, 43.6, 39.6, 39.0, 32.8, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{26}H_{28}N_3O_2^+$ 414.2176 found 414.2187.



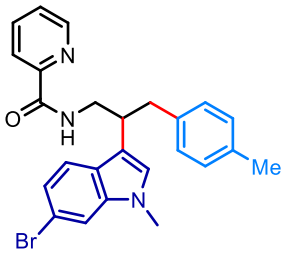
5h

***N*-(2-(6-fluoro-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5h):** Compound **5h** was synthesized according to GP-2 as yellow oil, 66% yield (66 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.42 (s, 1H), 8.16 (d, *J* = 7.5 Hz, 1H), 8.05 (s, 1H), 7.80 (t, *J* = 7.5 Hz, 1H), 7.60 – 7.56 (m, 1H), 7.38 – 7.34 (m, 1H), 7.09 – 7.01 (m, 4H), 6.96 (d, *J* = 9.5 Hz, 1H), 6.88 – 6.82 (m, 2H), 3.85 – 3.73 (m, 2H), 3.67 (s, 3H), 3.57 – 3.50 (m, 1H), 3.15 – 3.09 (m, 1H), 3.06 – 3.00 (m, 1H), 2.27 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 160.0 (d, *J* = 237.4 Hz), 150.0, 148.0, 137.3 (2×C), 137.0, 135.6, 129.1, 129.0, 126.6 (d, *J* = 3.8 Hz), 126.1, 123.9, 122.2, 120.2 (d, *J* = 10.0 Hz), 115.9, 107.6 (d, *J* = 24.5 Hz), 95.8 (d, *J* = 26.0 Hz), 43.7, 39.5, 38.8, 32.9, 21.1 ppm; **^{19}F NMR** (471 MHz, $CDCl_3$) δ -121.0 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{25}H_{25}FN_3O^+$ 402.1976 found 402.1983.



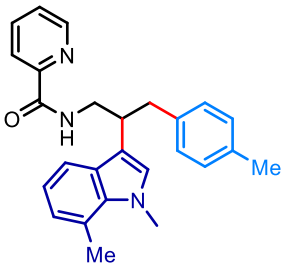
5i

***N*-(2-(6-chloro-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5i):** Compound **5i** was synthesized according to GP-2 as brown oil, 63% yield (66 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.41 (s, 1H), 8.15 (d, *J* = 5.7 Hz, 1H), 8.03 (s, 1H), 7.79 (t, *J* = 8.1 Hz, 1H), 7.56 (d, *J* = 7.9 Hz, 1H), 7.35 (s, 1H), 7.25 (s, 1H), 7.04 – 7.00 (m, 5H), 6.85 (s, 1H), 3.84 – 3.71 (m, 2H), 3.67 (s, 3H), 3.55 – 3.49 (m, 1H), 3.12 – 2.99 (m, 2H), 2.26 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 149.9, 148.1, 137.8, 137.3, 136.9, 135.6, 129.1, 129.0, 127.8, 127.1, 126.1, 125.9, 122.2, 120.4, 119.6, 115.8, 109.5, 43.7, 39.5, 38.8, 32.9, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{25}H_{24}ClN_3ONa^+$ 440.1500 found 440.1506.



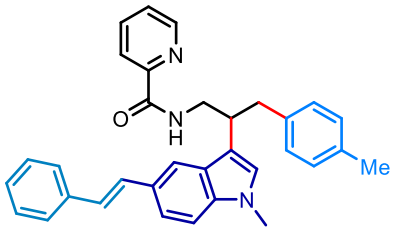
5j

***N*-(2-(6-bromo-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5j):** Compound **5j** was synthesized according to GP-2 as brown oil, 62% yield (72 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 4.8 Hz, 1H), 8.16 (d, *J* = 7.8 Hz, 1H), 8.04 (s, 1H), 7.83 – 7.78 (m, 1H), 7.53 (d, *J* = 8.5 Hz, 1H), 7.44 (s, 1H), 7.39 – 7.34 (m, 1H), 7.17 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.04 – 6.97 (m, 4H), 6.85 (s, 1H), 3.85 – 3.74 (m, 2H), 3.68 (s, 3H), 3.56 – 3.49 (m, 1H), 3.13 – 3.00 (m, 2H), 2.27 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 150.0, 148.0, 138.2, 137.4, 136.9, 135.6, 129.1, 129.0, 127.1, 126.3, 126.1, 122.3, 122.2, 120.7, 115.9, 115.4, 112.5, 43.7, 39.5, 38.8, 32.9, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{25}H_{25}BrN_3O^+$ 462.1176 found 462.1185.



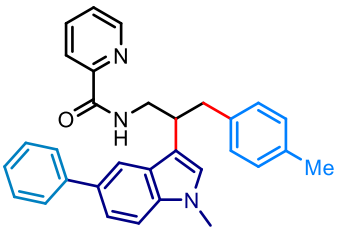
5k

***N*-(2-(1,7-dimethyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5k):** Compound **5k** was synthesized according to GP-2 as brown oil, 81% yield (81 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.43 (d, *J* = 4.1 Hz, 1H), 8.18 (d, *J* = 7.7 Hz, 1H), 8.07 (s, 1H), 7.80 (t, *J* = 7.7 Hz, 1H), 7.57 (d, *J* = 7.8 Hz, 1H), 7.37 – 7.34 (m, 1H), 7.11 – 7.05 (m, 4H), 7.02 – 6.98 (m, 1H), 6.94 (d, *J* = 7.2 Hz, 1H), 6.81 (s, 1H), 4.01 (s, 3H), 3.83 – 3.80 (m, 2H), 3.61 – 3.54 (m, 1H), 3.21 – 3.16 (m, 1H), 3.05 – 2.99 (m, 1H), 2.77 (s, 3H), 2.30 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 150.0, 148.0, 137.2, 137.1, 136.1, 135.5, 129.0 (2×C), 128.4, 128.0, 126.0, 124.4, 122.2, 121.5, 119.2, 117.4, 115.1, 43.2, 39.4, 38.5, 36.7, 21.1, 19.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{26}H_{28}N_3O^+$ 398.2227 found 398.2234.



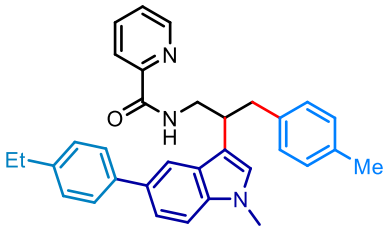
5l

(*E*)-*N*-(2-(1-methyl-5-styryl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5l): Compound **5l** was synthesized according to GP-2 as yellow oil, 84% yield (102 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.46 (d, *J* = 4.2 Hz, 1H), 8.26 (d, *J* = 7.8 Hz, 1H), 8.18 (s, 1H), 7.87 – 7.83 (m, 1H), 7.79 (s, 1H), 7.59 (d, *J* = 7.6 Hz, 2H), 7.55 (d, *J* = 8.5 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.39 – 7.38 (m, 1H), 7.35 – 7.33 (m, 2H), 7.31 – 7.30 (m, 1H), 7.17 – 7.08 (m, 5H), 6.97 (s, 1H), 4.02 – 3.96 (m, 1H), 3.87 – 3.82 (m, 1H), 3.80 (s, 3H), 3.71 – 3.64 (m, 1H), 3.27 – 3.22 (m, 1H), 3.18 – 3.13 (m, 1H), 2.35 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 150.0, 148.1, 138.2, 137.3, 137.2, 137.1, 135.6, 130.2, 129.09, 129.06, 128.7, 128.6, 127.8, 127.00, 126.97, 126.3, 126.0, 125.9, 122.2, 120.3, 118.5, 116.2, 109.7, 43.9, 39.6, 38.9, 32.9, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{33}H_{32}N_3O^+$ 486.2540 found 486.2549.



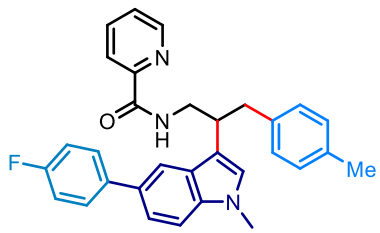
5m

***N*-(2-(1-methyl-5-phenyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5m):** Compound **5m** was synthesized according to GP-2 as brown oil, 81% yield (93 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.24 – 8.23 (m, 1H), 8.08 – 8.01 (m, 2H), 7.71 (s, 1H), 7.66 (t, *J* = 7.8 Hz, 1H), 7.51 – 7.49 (m, 2H), 7.39 (d, *J* = 8.6 Hz, 1H), 7.35 – 7.31 (m, 2H), 7.24 – 7.14 (m, 3H), 7.00 – 6.93 (m, 4H), 6.85 (d, *J* = 2.3 Hz, 1H), 3.87 – 3.80 (m, 1H), 3.72 – 3.65 (m, 4H), 3.57 – 3.50 (m, 1H), 3.11 – 3.06 (m, 1H), 3.03 – 2.97 (m, 1H), 2.18 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 149.9, 148.0, 142.6, 137.2, 137.0, 136.8, 135.5, 132.5, 129.1, 129.0, 128.7, 128.0, 127.4, 127.0, 126.3, 126.0, 122.2, 121.5, 118.0, 116.1, 109.6, 43.9, 39.7, 38.8, 32.9, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{31}H_{29}N_3ONa^+$ 482.2203 found 482.2210.



5n

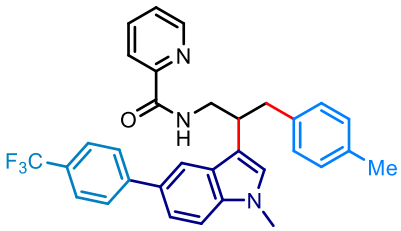
***N*-(2-(5-(4-ethylphenyl)-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5n):** Compound **5n** was synthesized according to GP-2 as yellow oil, 85% yield (104 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 4.7 Hz, 1H), 8.19 (d, *J* = 7.9 Hz, 1H), 8.13 (s, 1H), 7.82 – 7.76 (m, 2H), 7.54 (d, *J* = 7.5 Hz, 2H), 7.50 (d, *J* = 8.7 Hz, 1H), 7.36 (d, *J* = 8.6 Hz, 1H), 7.33 – 7.26 (m, 3H), 7.12 – 7.05 (m, 4H), 6.95 (s, 1H), 3.97 – 3.91 (m, 1H), 3.83 – 3.76 (m, 4H), 3.67 – 3.60 (m, 1H), 3.23 – 3.17 (m, 1H), 3.13 – 3.07 (m, 1H), 2.74 (q, *J* = 7.6 Hz, 2H), 2.30 (s, 3H), 1.33 (t, *J* = 7.6 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 150.0, 148.0, 142.4, 140.1, 137.2, 137.1, 136.8, 135.5, 132.5, 129.1, 129.0, 128.2, 127.9, 127.4, 126.9, 126.0, 122.2, 121.5, 117.9, 116.0, 109.6, 43.8, 39.7, 38.9, 32.9, 28.6, 21.1, 15.8 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{33}H_{34}N_3O^+$ 488.2696 found 488.2705.



5o

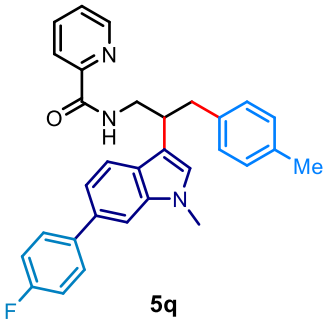
***N*-(2-(5-(4-fluorophenyl)-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5o):** Compound **5o** was synthesized according to GP-2 as brown oil, 82% yield (98 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 4.8 Hz, 1H), 8.18 (d, *J* = 7.8 Hz, 1H), 8.14 (s, 1H), 7.80 – 7.76 (m, 1H), 7.74 (s, 1H), 7.54 – 7.51 (m, 2H), 7.42 (dd, *J* = 8.5, 1.7 Hz, 1H), 7.35 – 7.30 (m, 2H), 7.13 – 7.04 (m, 6H), 6.97 (s, 1H), 3.97 – 3.90 (m, 1H), 3.81 – 3.75 (m, 4H), 3.68 – 3.61 (m, 1H), 3.20 – 3.08 (m, 2H), 2.28 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 162.0 (d, *J* = 244.8 Hz), 149.9, 147.9, 138.8 (d, *J* = 3.2 Hz), 137.3, 137.1(2×C), 136.8, 135.6, 131.5, 129.1, 129.0, 128.8 (d, *J* = 7.7 Hz), 128.1, 127.0, 126.0, 122.2, 121.3, 117.9, 115.4 (d, *J* = 21.3 Hz), 109.7, 44.0, 39.7, 38.8, 32.9, 21.1 ppm; **^{19}F NMR** (471 MHz, $CDCl_3$) δ -

117.5; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{31}H_{28}FN_3ONa^+$ 500.2109 found 500.2116.



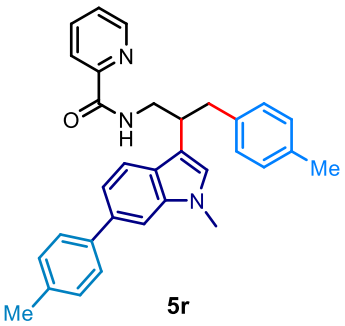
5p

***N*-(2-(1-methyl-5-(4-(trifluoromethyl)phenyl)-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5p):** Compound **5p** was synthesized according to GP-2 as brown oil, 80% yield (106 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.32 (d, *J* = 4.8 Hz, 1H), 8.18 – 8.11 (m, 2H), 7.79 – 7.75 (m, 2H), 7.67 – 7.63 (m, 4H), 7.46 (dd, *J* = 8.5, 1.7 Hz, 1H), 7.36 (d, *J* = 8.5 Hz, 1H), 7.32 – 7.29 (m, 1H), 7.09 – 7.02 (m, 4H), 6.98 (s, 1H), 3.96 – 3.89 (m, 1H), 3.80 – 3.73 (m, 4H), 3.68 – 3.61 (m, 1H), 3.18 – 3.08 (m, 2H), 2.27 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 149.9, 148.0, 146.2, 137.3, 137.2, 137.0, 135.7, 130.9, 129.1 (2×C), 128.3 (q, *J* = 32.8 Hz), 127.6, 127.5, 127.2, 126.0, 125.6 (q, *J* = 3.6 Hz), 124.6 (q, *J* = 271.7 Hz), 122.3, 121.3, 118.5, 116.6, 109.9, 44.2, 39.7, 38.8, 33.0, 21.1 ppm; **^{19}F NMR** (471 MHz, $CDCl_3$) δ -62.2; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{32}H_{29}F_3N_3O^+$ 528.2257 found 528.2265.



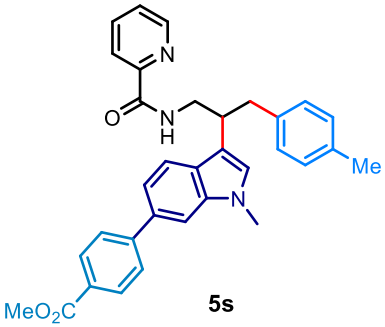
5q

***N*-(2-(6-(4-fluorophenyl)-1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5q):** Compound **5q** was synthesized according to GP-2 as brown oil, 81% yield (97 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.43 (d, *J* = 4.3 Hz, 1H), 8.20 (d, *J* = 7.7 Hz, 1H), 8.12 (s, 1H), 7.83 – 7.78 (m, 2H), 7.66 – 7.62 (m, 2H), 7.45 (s, 1H), 7.37 – 7.32 (m, 2H), 7.18 – 7.14 (m, 2H), 7.11 – 7.05 (m, 4H), 6.95 (s, 1H), 3.94 – 3.83 (m, 2H), 3.77 (s, 3H), 3.66 – 3.59 (m, 1H), 3.24 – 3.19 (m, 1H), 3.12 – 3.07 (m, 1H), 2.30 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 162.2 (d, *J* = 245.3 Hz), 150.0, 147.9, 138.6 (d, *J* = 3.4 Hz), 137.9, 137.3, 137.0, 135.5, 134.3, 129.04, 129.01, 128.9 (d, *J* = 8.0 Hz), 127.3, 126.6, 126.0, 122.2, 119.8, 118.7, 115.6 (d, *J* = 21.3 Hz), 115.5, 107.9, 43.6, 39.5, 38.9, 32.8, 21.1 ppm; **^{19}F NMR** (471 MHz, $CDCl_3$) δ -116.8; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{31}H_{29}FN_3O^+$ 478.2289 found 478.2287.



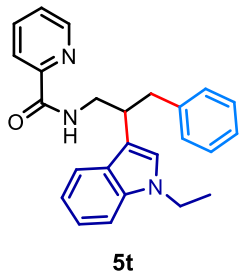
5r

***N*-(2-(1-methyl-6-(*p*-tolyl)-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5r):** Compound **5r** was synthesized according to GP-2 as yellow oil, 82% yield (97 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.43 (d, *J* = 4.3 Hz, 1H), 8.19 (d, *J* = 7.8 Hz, 1H), 8.09 (s, 1H), 7.85 – 7.76 (m, 2H), 7.60 (d, *J* = 7.9 Hz, 2H), 7.49 (s, 1H), 7.39 – 7.34 (m, 2H), 7.29 (d, *J* = 7.9 Hz, 2H), 7.12 – 7.04 (m, 4H), 6.92 (s, 1H), 3.90 – 3.83 (m, 2H), 3.77 (s, 3H), 3.63 – 3.56 (m, 1H), 3.23 – 3.18 (m, 1H), 3.10 – 3.05 (m, 1H), 2.43 (s, 3H), 2.30 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 150.0, 147.9, 139.7, 138.0, 137.3, 137.1, 136.4, 135.5, 135.3, 129.5, 129.0 (2×C), 127.4, 127.1, 126.5, 126.0, 122.2, 119.7, 118.8, 115.5, 107.8, 43.6, 39.6, 39.0, 32.8, 21.2, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{32}H_{32}N_3O^+$ 474.2540 found 474.2546.



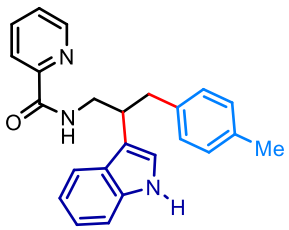
5s

methyl 4-(1-methyl-3-(1-(picolinamido)-3-(*p*-tolyl)propan-2-yl)-1*H*-indol-6-yl)benzoate (5s): Compound **5s** was synthesized according to GP-2 as yellow oil, 86% yield (111 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 4.2 Hz, 1H), 8.18 (d, *J* = 7.8 Hz, 1H), 8.13 – 8.08 (m, 3H), 7.83 – 7.74 (m, 4H), 7.53 (s, 1H), 7.40 – 7.34 (m, 2H), 7.08 – 7.02 (m, 4H), 6.95 (s, 1H), 3.95 (s, 3H), 3.89 – 3.82 (m, 2H), 3.78 (s, 3H), 3.63 – 3.56 (m, 1H), 3.21 – 3.15 (m, 1H), 3.10 – 3.05 (m, 1H), 2.28 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 167.3, 164.3, 150.0, 147.9, 147.0, 137.9, 137.4, 137.0, 135.6, 133.9, 130.2, 129.1, 129.0, 128.3, 127.8, 127.4, 127.3, 126.1, 122.3, 120.0, 118.7, 115.7, 108.3, 52.2, 43.7, 39.5, 39.0, 32.9, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{33}H_{32}N_3O_3^+$ 518.2438 found 518.2440.



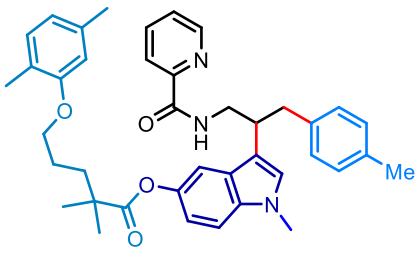
5t

***N*-(2-(1-ethyl-1*H*-indol-3-yl)-3-phenylpropyl)picolinamide (5t):** Compound **5t** was synthesized according to GP-2 as yellow oil, 68% yield (65 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29 (d, *J* = 4.8 Hz, 1H), 8.07 (d, *J* = 7.8 Hz, 1H), 7.97 (s, 1H), 7.70 – 7.66 (m, 1H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.23 (dd, *J* = 7.8, 4.9 Hz, 2H), 7.15 – 7.09 (m, 3H), 7.05 – 6.99 (m, 4H), 6.83 (s, 1H), 4.01 (q, *J* = 7.3 Hz, 2H), 3.78 – 3.70 (m, 2H), 3.53 – 3.46 (m, 1H), 3.13 – 3.08 (m, 1H), 3.02 – 2.96 (m, 1H), 1.30 (t, *J* = 7.2 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 150.1, 147.9, 140.2, 137.3, 136.5, 129.2, 128.3, 127.4, 126.05, 126.01, 124.9, 122.2, 121.6, 119.5, 118.9, 115.3, 109.5, 43.2, 40.9, 39.8, 39.0, 15.5 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{25}H_{25}N_3ONa^+$ 406.1890 found 406.1889.



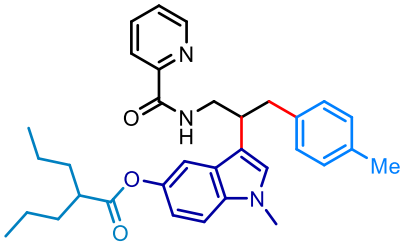
5u

***N*-(2-(1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (5u):** Compound **5u** was synthesized according to GP-2 as yellow oil, 36% yield (33 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.48 (d, *J* = 4.7 Hz, 1H), 8.27 – 8.23 (m, 2H), 8.14 (s, 1H), 7.86 (t, *J* = 8.0 Hz, 1H), 7.81 (d, *J* = 8.2 Hz, 1H), 7.45 – 7.40 (m, 2H), 7.34 (d, *J* = 2.6 Hz, 1H), 7.30 – 7.28 (m, 1H), 7.22 – 7.18 (m, 1H), 7.10 – 7.08 (m, 4H), 3.97 – 3.88 (m, 2H), 3.70 – 3.62 (m, 1H), 3.28 – 3.23 (m, 1H), 3.15 – 3.09 (m, 1H), 2.34 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 150.0, 148.1, 137.3, 137.0, 136.7, 135.5, 129.1, 129.0, 126.8, 126.1, 122.2, 122.1, 121.9, 119.45, 119.37, 116.8, 111.4, 43.2, 39.3, 39.0, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{24}H_{24}N_3O^+$ 370.1914 found 370.1921.



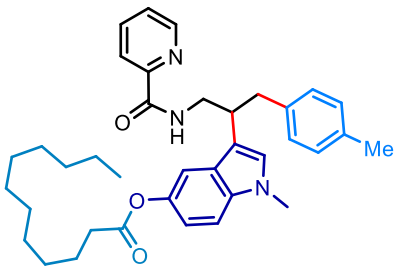
5v

1-methyl-3-(1-(picolinamido)-3-(*p*-tolyl)propan-2-yl)-1*H*-indol-5-yl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (5v): Compound **5v** was synthesized according to GP-2 as yellow oil, 75% yield (119 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.46 (d, *J* = 4.7 Hz, 1H), 8.19 (d, *J* = 7.8 Hz, 1H), 8.08 (s, 1H), 7.81 (t, *J* = 7.7 Hz, 1H), 7.39 – 7.36 (m, 1H), 7.30 – 7.28 (m, 2H), 7.08 – 7.04 (m, 5H), 6.95 – 6.91 (m, 2H), 6.72 – 6.70 (m, 2H), 4.05 – 4.04 (m, 2H), 3.90 – 3.84 (m, 1H), 3.80 – 3.73 (m, 4H), 3.58 – 3.51 (m, 1H), 3.17 – 3.11 (m, 1H), 3.07 – 3.02 (m, 1H), 2.35 (s, 3H), 2.31 (s, 3H), 2.24 (s, 3H), 1.96 – 1.95 (m, 4H), 1.44 (s, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 177.2, 164.4, 157.1, 150.0, 148.1, 144.2, 137.2, 136.9 (2×C), 136.6, 135.5, 135.2, 130.4, 129.1, 129.0, 127.6, 126.0, 123.7, 122.1, 120.8, 115.9, 115.7, 112.1, 111.3, 109.8, 68.1, 43.5, 42.4, 39.5, 38.7, 37.3, 33.0, 25.43, 25.35, 21.5, 21.1, 15.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{40}H_{45}N_3O_5Na^+$ 654.3302 found 654.3322.



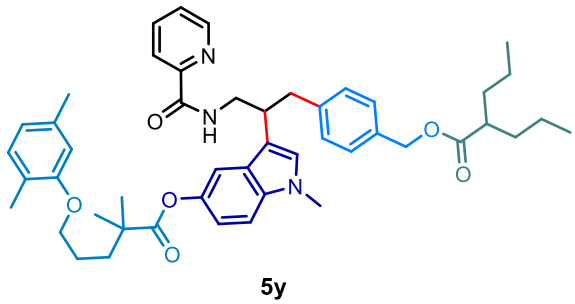
5w

1-methyl-3-(1-(picolinamido)-3-(*p*-tolyl)propan-2-yl)-1*H*-indol-5-yl 2-propylpentanoate (5w): Compound **5w** was synthesized according to GP-2 as yellow oil, 86% yield (113 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.45 (d, *J* = 4.7 Hz, 1H), 8.18 (d, *J* = 7.8 Hz, 1H), 8.06 (s, 1H), 7.82 (t, *J* = 7.9 Hz, 1H), 7.38 (t, *J* = 6.2 Hz, 1H), 7.28 – 7.27 (m, 2H), 7.08 – 7.05 (m, 4H), 6.95 – 6.89 (m, 2H), 3.89 – 3.74 (m, 5H), 3.57 – 3.49 (m, 1H), 3.15 – 3.10 (m, 1H), 3.05 – 3.00 (m, 1H), 2.68 – 2.62 (m, 1H), 2.30 (s, 3H), 1.86 – 1.76 (m, 2H), 1.62 – 1.45 (m, 6H), 1.02 (t, *J* = 7.1 Hz, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 175.9, 164.4, 150.0, 148.1, 144.1, 137.3, 136.9, 135.6, 135.2, 129.1, 129.0, 127.59, 127.56, 126.0, 122.2, 116.0, 115.7, 111.3, 109.8, 45.5, 43.4, 39.6, 38.8, 34.9, 33.1, 21.1, 20.9, 14.3 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{33}H_{40}N_3O_3^+$ 526.3064 found 526.3077.



5x

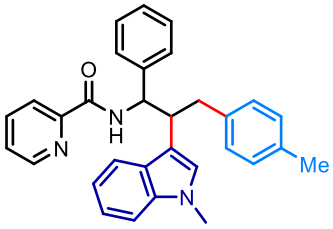
1-methyl-3-(1-(picolinamido)-3-(*p*-tolyl)propan-2-yl)-1*H*-indol-5-yl dodecanoate (5x): Compound **5x** was synthesized according to GP-2 as yellow oil, 77% yield (112 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.42 (d, *J* = 4.8 Hz, 1H), 8.15 (d, *J* = 7.9 Hz, 1H), 8.04 (s, 1H), 7.79 (t, *J* = 7.8 Hz, 1H), 7.37 – 7.34 (m, 1H), 7.31 (d, *J* = 1.8 Hz, 1H), 7.25 – 7.24 (m, 1H), 7.05 – 7.00 (m, 4H), 6.95 – 6.91 (m, 2H), 3.83 – 3.76 (m, 2H), 3.72 (s, 3H), 3.54 – 3.47 (m, 1H), 3.14 – 3.09 (m, 1H), 3.02 – 2.97 (m, 1H), 2.57 (t, *J* = 7.5 Hz, 2H), 2.27 (s, 3H), 1.82 – 1.74 (m, 2H), 1.34 – 1.26 (m, 16H), 0.89 (t, *J* = 6.5 Hz, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 173.4, 164.4, 150.0, 148.1, 144.0, 137.3, 137.0, 135.6, 135.3, 129.1 (2×C), 127.7, 127.5, 126.0, 122.2, 116.0, 115.7, 111.4, 109.8, 43.4, 39.5, 38.9, 34.6, 33.1, 32.0, 29.8 (2×C), 29.6, 29.48, 29.45, 29.4, 25.2, 22.8, 21.1, 14.3 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{37}H_{48}N_3O_3^+$ 582.3690 found 582.3699.



5y

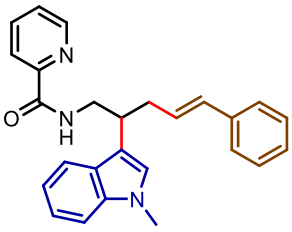
1-methyl-3-(1-(picolinamido)-3-(4-(((2-propylpentanoyl)oxy)methyl)phenyl)propan-2-yl)-1*H*-indol-5-yl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (5y): Compound **5y** was synthesized according to GP-2 as yellow oil, 79% yield (153 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.36 – 8.34 (m, 1H), 8.07 (d, *J* = 7.9 Hz, 1H), 7.96 (s, 1H), 7.71 (t, *J* = 7.7 Hz, 1H), 7.29 – 7.26 (m, 1H), 7.18 – 7.15 (m, 2H), 7.10 – 7.03 (m, 4H), 6.92 (d, *J* = 7.4 Hz, 1H), 6.81 (d, *J* = 3.3 Hz, 2H), 6.58 (d, *J* = 8.4 Hz, 2H), 4.95 (s, 2H), 3.93 (s, 2H), 3.78 – 3.71 (m, 1H), 3.67 – 3.61 (m, 4H), 3.48

– 3.40 (m, 1H), 3.08 – 3.03 (m, 1H), 3.00 – 2.94 (m, 1H), 2.35 – 2.28 (m, 1H), 2.22 (s, 3H), 2.11 (s, 3H), 1.83 (s, 4H), 1.55 – 1.47 (m, 2H), 1.36 – 1.31 (m, 8H), 1.21 – 1.16 (m, 4H), 0.79 (t, *J* = 7.0 Hz, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 177.3, 176.6, 164.4, 157.1, 149.9, 148.1, 144.3, 140.0, 137.3, 136.6, 135.2, 134.0, 130.4, 129.3, 128.1, 127.7, 127.5, 126.1, 123.7, 122.2, 120.8, 116.0, 115.3, 112.1, 111.2, 109.9, 68.0, 65.9, 45.4, 43.5, 42.5, 39.5, 38.7, 37.3, 34.7, 33.1, 25.45, 25.37, 21.5, 20.7, 16.0, 14.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{48}H_{59}N_3O_6Na^+$ 796.4296 found 796.4325.



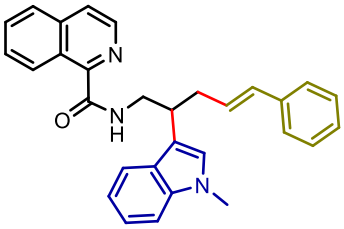
5z
dr = 1.2:1

***N*-(2-(1-methyl-1*H*-indol-3-yl)-1-phenyl-3-(*p*-tolyl)propyl)picolinamide (5z):** Compound **5z** was synthesized according to GP-2 as yellow oil, 68% yield (78 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.78 – 8.72 (m, 2.20H), 8.52 – 8.44 (m, 2.20H), 8.19 – 8.16 (m, 2.19H), 7.81 – 7.77 (m, 2.20H), 7.55 (d, *J* = 8.1 Hz, 1.22H), 7.41 – 7.35 (m, 2.55H), 7.24 – 7.17 (m, 6.32H), 7.16 – 7.06 (m, 10.42H), 7.02 – 6.86 (m, 11.27H), 6.49 (s, 1.22H), 5.65 (t, *J* = 7.8 Hz, 1.20H), 5.56 (dd, *J* = 9.1, 3.9 Hz, 1.0H), 3.92 – 3.88 (m, 1.23H), 3.82 – 3.77 (m, 1.02H), 3.76 (s, 3.00H), 3.61 (s, 3.61H), 3.23 – 3.16 (m, 2.02H), 3.12 – 3.05 (m, 2.38H), 2.30 (s, 3.02H), 2.23 (s, 3.60H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.9, 163.6, 150.2, 150.0, 148.1, 148.0, 142.1, 140.4, 137.5, 137.4, 137.3 (2×C), 137.0, 136.9, 135.6, 135.2, 129.18, 129.16, 128.9, 128.8, 128.14 (2×C), 128.08, 127.93, 127.89, 127.86, 127.5, 127.2, 126.9 (2×C), 126.2, 126.1, 122.4, 122.3, 121.5, 121.3, 120.1 (2×C), 118.79, 118.76, 113.2, 113.0, 109.1, 108.9, 57.2, 54.6, 46.2, 44.2, 39.0, 37.9, 32.9, 32.7, 21.2, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{31}H_{30}N_3O^+$ 460.2383 found 460.2387.



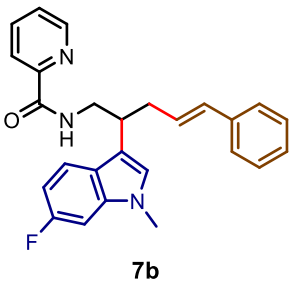
7a

(*E*)-*N*-(2-(1-methyl-1*H*-indol-3-yl)-5-phenylpent-4-en-1-yl)picolinamide (7a): Compound **7a** was synthesized according to GP-3 as yellow oil, 92% yield (91 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.28 (d, *J* = 4.7 Hz, 1H), 8.11 – 8.07 (m, 2H), 7.67 (t, *J* = 7.7 Hz, 1H), 7.62 (d, *J* = 8.0 Hz, 1H), 7.24 – 7.20 (m, 2H), 7.18 – 7.11 (m, 5H), 7.07 – 6.99 (m, 2H), 6.85 (s, 1H), 6.35 (d, *J* = 15.8 Hz, 1H), 6.18 – 6.11 (m, 1H), 3.82 – 3.73 (m, 2H), 3.63 (s, 3H), 3.38 – 3.31 (m, 1H), 2.70 – 2.62 (m, 2H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 149.9, 148.0, 137.6, 137.33, 137.29, 131.6, 128.6, 128.4, 127.3, 126.9, 126.2, 126.1, 126.0, 122.2, 121.7, 119.5, 118.9, 115.3, 109.4, 43.9, 37.4, 37.2, 32.8 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{26}H_{26}N_3O^+$ 396.2070 found 396.2077.



7a'

(*E*)-*N*-(2-(1-methyl-1*H*-indol-3-yl)-5-phenylpent-4-en-1-yl)isoquinoline-1-carboxamide (7a'): Compound **7a'** was synthesized according to GP-3 as brown oil, 90% yield (100 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.53 (d, *J* = 8.0 Hz, 1H), 8.25 – 8.21 (m, 2H), 7.74 – 7.68 (m, 2H), 7.65 – 7.58 (m, 3H), 7.24 – 7.16 (m, 6H), 7.11 – 7.04 (m, 2H), 6.95 (d, *J* = 3.0 Hz, 1H), 6.42 (d, *J* = 15.7 Hz, 1H), 6.26 – 6.18 (m, 1H), 3.91 – 3.81 (m, 2H), 3.69 (s, 3H), 3.46 – 3.40 (m, 1H), 2.75 (t, *J* = 8.0 Hz, 2H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.1, 148.5, 140.1, 137.7, 137.44, 137.37, 131.6, 130.6, 128.7, 128.6, 128.5, 128.0, 127.4, 127.01, 126.98, 126.8, 126.4, 126.2, 124.2, 121.8, 119.6, 119.0, 115.4, 109.4, 44.1, 37.5, 37.3, 32.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{30}H_{28}N_3O^+$ 446.2227 found 446.2231.

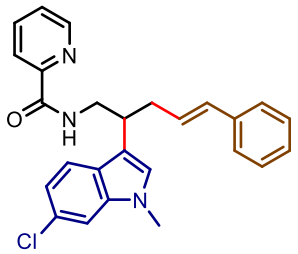


7b

(*E*)-*N*-(2-(6-fluoro-1-methyl-1*H*-indol-3-yl)-5-phenylpent-4-en-1-yl)picolinamide (7b): Compound **7b** was synthesized according to GP-3 as yellow oil, 70% yield (72 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 4.7 Hz, 1H), 8.23 – 8.20 (m, 2H), 7.84 (t, *J* = 7.7 Hz, 1H), 7.66 – 7.63 (m, 1H), 7.41 – 7.38 (m, 1H), 7.32 – 7.28 (m, 4H), 7.22 – 7.18 (m, 1H), 7.01 (d, *J* = 9.8 Hz, 1H), 6.97 (s, 1H), 6.90 (t, *J* = 9.1 Hz, 1H), 6.49 (d, *J* = 15.7 Hz, 1H), 6.30 – 6.23 (m, 1H), 3.91 – 3.85 (m, 2H), 3.73 (s, 3H), 3.48 – 3.41 (m, 1H), 2.77 (t, *J* = 7.1 Hz, 2H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 160.0 (d, *J* = 237.8 Hz), 150.0, 148.1, 137.6, 137.38 (d, *J* = 11.4 Hz), 137.36, 131.7, 128.50, 128.47, 127.1, 126.4 (d, *J* = 3.7 Hz), 126.2, 126.1, 124.0, 122.2, 120.3 (d, *J* = 10.1 Hz), 115.8, 107.7 (d, *J* = 24.5 Hz), 95.8 (d, *J* = 26.0 Hz),

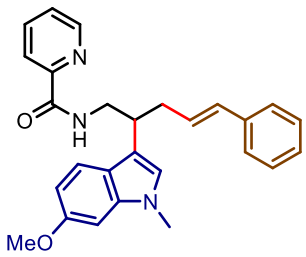
44.1, 37.4, 37.2, 33.0 ppm; **^{19}F NMR** (471 MHz, $CDCl_3$) δ -120.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{26}H_{25}FN_3O^+$ 414.1976 found 414.1988.

(*E*)-*N*-(2-(6-chloro-1-methyl-1*H*-indol-3-yl)-5-phenylpent-4-en-1-yl)picolinamide (7c): Compound **7c** was synthesized according to GP-3 as yellow oil, 73% yield (78 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 4.7 Hz, 1H), 8.23 – 8.19 (m, 2H), 7.84 (t, *J* = 7.7 Hz, 1H), 7.64 (d, *J* = 8.5 Hz, 1H), 7.41 – 7.38 (m, 1H), 7.33 – 7.28 (m, 5H), 7.22 – 7.18 (m, 1H), 7.10 (dd, *J* = 8.5, 2.0 Hz, 1H), 6.98 (s, 1H), 6.48 (d, *J* = 15.7 Hz, 1H), 6.28 – 6.21 (m, 1H), 3.91 – 3.83 (m, 2H), 3.74 (s, 3H), 3.48 – 3.41 (m, 1H), 2.77 (t, *J* = 7.1 Hz, 2H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 149.9, 148.1, 137.7, 137.6, 137.4, 131.8, 128.5, 128.4, 127.9, 127.1, 126.9, 126.2 (2×C), 126.0, 122.2, 120.4, 119.6, 115.8, 109.5, 44.1, 37.4, 37.2, 32.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{26}H_{25}ClN_3O^+$ 430.1681 found 430.1687.



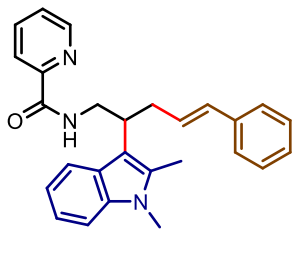
7c

(*E*)-*N*-(2-(6-methoxy-1-methyl-1*H*-indol-3-yl)-5-phenylpent-4-en-1-yl)picolinamide (7d): Compound **7d** was synthesized according to GP-3 as brown oil, 84% yield (89 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 4.8 Hz, 1H), 8.25 – 8.22 (m, 2H), 7.82 (t, *J* = 7.8 Hz, 1H), 7.63 (dd, *J* = 8.6, 2.1 Hz, 1H), 7.37 (t, *J* = 6.3 Hz, 1H), 7.33 – 7.28 (m, 4H), 7.22 – 7.18 (m, 1H), 6.89 (d, *J* = 2.1 Hz, 1H), 6.86 – 6.81 (m, 2H), 6.50 (d, *J* = 15.7 Hz, 1H), 6.34 – 6.25 (m, 1H), 3.94 – 3.83 (m, 5H), 3.73 (s, 3H), 3.47 – 3.40 (m, 1H), 2.82 – 2.77 (m, 2H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.4, 156.5, 150.0, 148.0, 138.1, 137.6, 137.3, 131.5, 128.7, 128.4, 126.9, 126.1, 126.0, 125.1, 122.2, 121.7, 120.1, 115.4, 108.9, 92.9, 55.8, 44.0, 37.5, 37.2, 32.8 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{27}H_{28}N_3O_2^+$ 426.2176 found 426.2181.



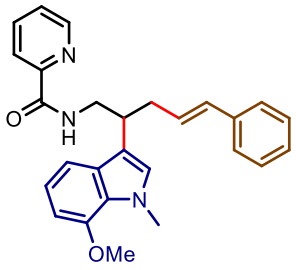
7d

(*E*)-*N*-(2-(1,2-dimethyl-1*H*-indol-3-yl)-5-phenylpent-4-en-1-yl)picolinamide (7e): Compound **7e** was synthesized according to GP-3 as yellow oil, 96% yield (98 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.27 (s, 1H), 8.07 (d, *J* = 7.7 Hz, 1H), 7.97 (s, 1H), 7.68 – 7.62 (m, 2H), 7.23 – 7.17 (m, 2H), 7.13 – 6.97 (m, 7H), 6.30 (d, *J* = 15.7 Hz, 1H), 6.09 – 6.01 (m, 1H), 4.13 – 4.05 (m, 1H), 3.61 – 3.54 (m, 1H), 3.51 (s, 3H), 3.29 – 3.21 (m, 1H), 2.83 – 2.72 (m, 2H), 2.20 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.3, 150.0, 148.0, 137.7, 137.24, 137.20, 134.3, 131.1, 129.1, 128.4, 126.8, 126.3, 126.1, 126.0, 122.1, 120.5, 119.3, 118.8, 110.4, 108.9, 43.8, 38.7, 36.6, 29.6, 10.6 ppm;



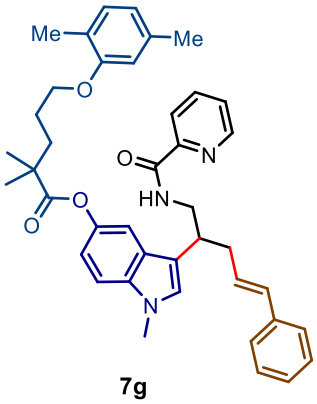
7e

HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{27}H_{28}N_3O^+$ 410.2227 found 410.2238.



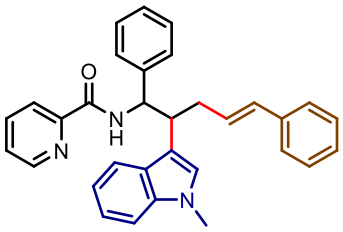
7f

(*E*)-*N*-(2-(7-methoxy-1-methyl-1*H*-indol-3-yl)-5-phenylpent-4-en-1-yl)picolinamide (7f): Compound **7f** was synthesized according to GP-3 as brown oil, 88% yield (94 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 4.8 Hz, 1H), 8.13 – 8.07 (m, 2H), 7.72 (t, *J* = 7.6 Hz, 1H), 7.29 – 7.28 (m, 1H), 7.21 – 7.14 (m, 5H), 7.08 (t, *J* = 7.2 Hz, 1H), 6.92 – 6.88 (m, 1H), 6.75 (s, 1H), 6.54 (d, *J* = 7.6 Hz, 1H), 6.37 (d, *J* = 15.9 Hz, 1H), 6.20 – 6.12 (m, 1H), 3.94 (s, 3H), 3.84 (s, 3H), 3.78 – 3.75 (m, 2H), 3.35 – 3.28 (m, 1H), 2.71 – 2.59 (m, 2H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 150.1, 148.1, 148.0, 137.7, 137.3, 131.6, 129.7, 128.8, 128.5, 127.4, 127.1, 127.0, 126.2, 126.1, 122.3, 119.5, 115.3, 112.3, 102.5, 55.5, 43.9, 37.4, 37.2, 36.6 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{27}H_{28}N_3O_2^+$ 426.2176 found 426.2183.



7g

(*E*)-1-methyl-3-(5-phenyl-1-(picolinamido)pent-4-en-2-yl)-1*H*-indol-5-yl 5-(2,5-dimethylphenoxy)-2,2-dimethylpentanoate (7g): Compound **7g** was synthesized according to GP-3 as yellow oil, 81% yield (130 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.34 – 8.32 (m, 1H), 8.09 – 8.04 (m, 2H), 7.70 (t, *J* = 7.7 Hz, 1H), 7.28 – 7.25 (m, 1H), 7.22 (s, 1H), 7.18 – 7.15 (m, 5H), 7.09 – 7.05 (m, 1H), 6.92 – 6.89 (m, 2H), 6.82 (d, *J* = 8.7 Hz, 1H), 6.59 – 6.56 (m, 2H), 6.35 (d, *J* = 15.8 Hz, 1H), 6.17 – 6.09 (m, 1H), 3.90 (s, 2H), 3.82 – 3.77 (m, 1H), 3.72 – 3.66 (m, 4H), 3.31 – 3.27 (m, 1H), 2.62 (t, *J* = 7.1 Hz, 2H), 2.22 (s, 3H), 2.11 (s, 3H), 1.82 – 1.81 (m, 4H), 1.29 (s, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 177.3, 164.5, 157.1, 150.0, 148.1, 144.3, 137.6, 137.3, 136.6, 135.2, 131.8, 130.4, 128.5 (2×C), 127.6, 127.4, 127.0, 126.2, 126.1, 123.7, 122.2, 120.8, 116.0, 115.6, 112.1, 111.3, 109.8, 68.0, 43.9, 42.4, 37.4, 37.3 (2×C), 33.1, 25.42, 25.35, 21.5, 16.0 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{41}H_{46}N_3O_4^+$ 644.3483 found 644.3476.

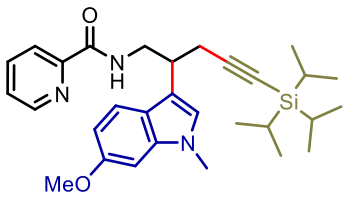


7h

dr = 1.2:1

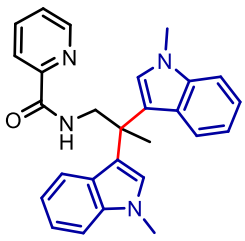
(*E*)-*N*-(2-(1-methyl-1*H*-indol-3-yl)-1,5-diphenylpent-4-en-1-yl)picolinamide (7h): Compound **7h** was synthesized according to GP-3 as yellow oil, 84% yield (99 mg); Eluent: 20-30% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.72 – 8.66 (m, 2.20H), 8.37 – 8.30 (m, 2.21H), 8.08 (t, *J* = 8.8 Hz, 2.21H), 7.72 – 7.67 (m, 2.29H), 7.47 (d, *J* = 8.0 Hz, 1.40H), 7.29 – 7.25 (m, 2.22H), 7.18 – 7.16 (m, 4.45H), 7.15 – 7.11 (m, 9.34H), 7.10 – 7.05 (m, 12.98H), 6.93 (t, *J* = 7.6 Hz, 1.51H), 6.85 (t, *J* = 7.6 Hz, 1.01H), 6.81 (s, 1.00H), 6.52 (s, 1.20H), 6.31 (d, *J* =

16.0 Hz, 2.42H), 6.17 – 6.05 (m, 2.28H), 5.62 – 5.55 (m, 2.22H), 3.66 (s, 3.04H), 3.63 – 3.60 (m, 2.22H), 3.56 (s, 3.62H)., 2.80 – 2.71 (m, 2.02H), 2.67 – 2.59 (m, 2.42H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.8, 163.6, 150.1, 149.9, 148.11, 148.09, 141.6, 140.5, 137.7 (2×C), 137.3 (2×C), 137.02, 136.99, 131.9, 131.5, 129.0, 128.7, 128.5, 128.4, 128.2, 128.1, 128.0, 127.9, 127.8, 127.7, 127.3, 127.2, 127.14, 127.10, 127.0, 126.9, 126.21, 126.16 (3×C), 122.4 (2×C), 121.54, 121.48, 119.9 (2×C), 118.88, 118.86, 113.3, 113.1, 109.2, 109.0, 57.2, 56.0, 44.0, 42.9, 36.6, 36.1, 32.9, 32.8 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{32}H_{30}N_3O^+$ 472.2383 found 472.2388.



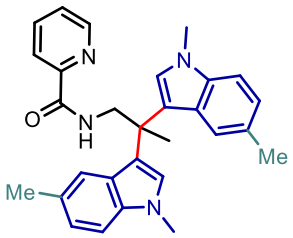
7i

***N*-(2-(6-methoxy-1-methyl-1*H*-indol-3-yl)-5-(triisopropylsilyl)pent-4-yn-1-yl)picolinamide (7i):** Compound **7i** was synthesized according to GP-3 as brown oil, 46% yield (58 mg); Eluent: 10-20% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.46 (d, *J* = 4.2 Hz, 1H), 8.22 – 8.18 (m, 2H), 7.82 (t, *J* = 8.0 Hz, 1H), 7.57 (d, *J* = 8.6 Hz, 1H), 7.40 – 7.36 (m, 1H), 7.02 (s, 1H), 6.78 – 6.75 (m, 2H), 3.99 – 3.87 (m, 5H), 3.69 (s, 3H), 3.54 – 3.49 (m, 1H), 2.86 – 2.69 (m, 2H), 1.06 – 0.99 (m, 21H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.6, 156.5, 150.0, 148.1, 137.8, 137.4, 126.1, 125.3, 122.3, 121.9, 120.0, 114.8, 108.9, 106.6, 93.0, 82.8, 55.9, 43.3, 35.8, 32.8, 24.6, 18.7, 11.4 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{30}H_{42}N_3O_2Si^+$ 504.3041 found 504.3051



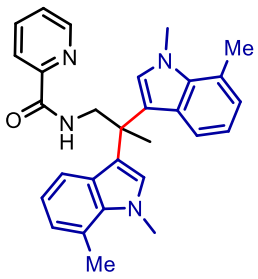
8a

***N*-(2,2-bis(1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8a):** Compound **8a** was synthesized according to GP-4 as yellow oil, 92% yield (97 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 4.7 Hz, 1H), 8.20 (d, *J* = 7.8 Hz, 1H), 8.01 (s, 1H), 7.81 (t, *J* = 7.6 Hz, 1H), 7.40 (d, *J* = 8.1 Hz, 2H), 7.36 – 7.33 (m, 1H), 7.30 (d, *J* = 8.2 Hz, 2H), 7.15 (t, *J* = 7.6 Hz, 2H), 7.03 (s, 2H), 6.89 (t, *J* = 7.5 Hz, 2H), 4.37 (d, *J* = 6.1 Hz, 2H), 3.78 (s, 6H), 1.92 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 150.1, 148.0, 137.9, 137.4, 126.8, 126.7, 126.0, 122.4, 121.5, 121.3, 119.9, 118.6, 109.3, 48.1, 39.9, 32.9, 26.3 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{27}H_{26}N_4ONa^+$ 445.1999 found 445.2020.



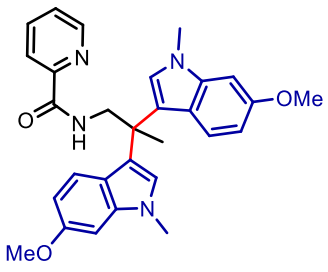
8b

***N*-(2,2-bis(1,5-dimethyl-1*H*-indol-3-yl)propyl)picolinamide (8b):** Compound **8b** was synthesized according to GP-4 as black oil, 86% yield (97 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29 – 8.27 (m, 1H), 8.11 (d, *J* = 7.5 Hz, 1H), 7.88 (s, 1H), 7.72 (t, *J* = 7.6 Hz, 1H), 7.26 (s, 2H), 7.18 (s, 1H), 7.12 (d, *J* = 8.3 Hz, 2H), 6.93 (d, *J* = 8.2 Hz, 2H), 6.82 (s, 2H), 4.29 (d, *J* = 5.7 Hz, 2H), 3.65 (s, 6H), 2.26 (s, 6H), 1.84 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.6, 150.2, 148.1, 137.2, 136.5, 127.7, 127.4, 126.8, 125.9, 123.0, 122.3, 121.4, 119.2, 109.1, 47.9, 40.1, 32.9, 26.0, 21.7 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{29}H_{30}N_4ONa^+$ 473.2312 found 473.2317.



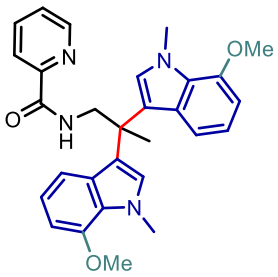
8c

***N*-(2,2-bis(1,7-dimethyl-1*H*-indol-3-yl)propyl)picolinamide (8c):** Compound **8c** was synthesized according to GP-4 as black oil, 82% yield (92 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.42 – 8.40 (m, 1H), 8.23 – 8.21 (m, 1H), 8.05 – 8.02 (m, 1H), 7.82 – 7.78 (m, 1H), 7.35 – 7.33 (m, 1H), 7.28 – 7.27 (m, 2H), 6.95 (s, 2H), 6.84 (d, *J* = 7.0 Hz, 2H), 6.78 – 6.75 (m, 2H), 4.37 (d, *J* = 6.1 Hz, 2H), 4.06 (s, 6H), 2.77 (s, 6H), 1.91 (s, 3H) ppm; **^{13}C NMR** (126 MHz, $CDCl_3$) δ 164.5, 150.2, 148.0, 137.2, 136.7, 128.5, 127.8, 125.9, 124.1, 122.3, 121.1, 119.5, 119.4, 118.8, 47.8, 39.6, 36.9, 26.0, 19.9 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{29}H_{30}N_4ONa^+$ 473.2312 found 473.2319.



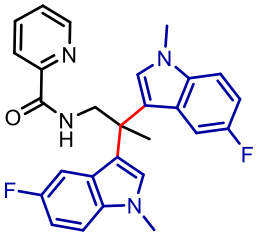
8d

***N*-(2,2-bis(6-methoxy-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8d):** Compound **8d** was synthesized according to GP-4 as black oil, 87% yield (105 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.39 – 8.37 (m, 1H), 8.19 (d, *J* = 8.0 Hz, 1H), 8.03 – 8.01 (m, 1H), 7.81 – 7.77 (m, 1H), 7.34 – 7.32 (m, 1H), 7.23 (d, *J* = 8.7 Hz, 2H), 6.93 (s, 2H), 6.74 (d, *J* = 2.3 Hz, 2H), 6.55 (dd, *J* = 8.7, 2.3 Hz, 2H), 4.30 (d, *J* = 6.1 Hz, 2H), 3.83 (s, 6H), 3.73 (s, 6H), 1.86 (s, 3H) ppm; **^{13}C NMR** (126 MHz, $CDCl_3$) δ 164.5, 153.1, 150.1, 148.0, 137.2, 133.4, 127.3, 127.0, 125.9, 122.3, 119.1, 111.2, 109.8, 103.9, 55.8, 48.0, 39.6, 32.9, 26.0 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{29}H_{30}N_4O_3Na^+$ 505.2210 found 505.2215.



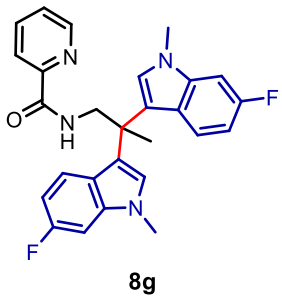
8e

***N*-(2,2-bis(7-methoxy-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8e):** Compound **8e** was synthesized according to GP-4 as black oil, 92% yield (111 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.40 (d, *J* = 3.9 Hz, 1H), 8.20 (d, *J* = 7.8 Hz, 1H), 7.99 (s, 1H), 7.79 (t, *J* = 7.7 Hz, 1H), 7.35 – 7.32 (m, 1H), 6.99 (d, *J* = 8.1 Hz, 2H), 6.91 (s, 2H), 6.79 – 6.74 (m, 2H), 6.53 (d, *J* = 7.8 Hz, 2H), 4.33 (d, *J* = 5.9 Hz, 2H), 4.05 (s, 6H), 3.90 (s, 6H), 1.87 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.6, 150.1, 148.1, 147.8, 137.2, 128.9, 127.9, 127.6, 125.9, 122.3, 119.7, 118.9, 114.3, 102.1, 55.4, 47.7, 39.6, 36.7, 26.0 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{29}H_{31}N_4O_3^+$ 483.2391 found 483.2396.



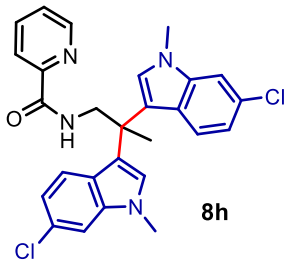
8f

***N*-(2,2-bis(5-fluoro-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8f):** Compound **8f** was synthesized according to GP-4 as yellow oil, 76% yield (87 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 4.8 Hz, 1H), 8.20 (d, *J* = 7.8 Hz, 1H), 8.05 (s, 1H), 7.79 (t, *J* = 7.7 Hz, 1H), 7.35 – 7.32 (m, 1H), 7.19 – 7.15 (m, 4H), 6.88 – 6.82 (m, 4H), 4.25 (d, *J* = 6.1 Hz, 2H), 3.79 (s, 6H), 1.84 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 157.0 (d, *J* = 232.9 Hz), 149.9, 148.1, 137.3, 134.5, 127.8, 126.8 (d, *J* = 9.8 Hz), 126.1, 122.3, 119.3 (d, *J* = 4.8 Hz), 109.9, 109.8 (d, *J* = 17.7 Hz), 105.9 (d, *J* = 23.9 Hz), 48.2, 39.3, 33.2, 26.2 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{27}H_{24}F_2N_4ONa^+$ 481.1810 found 481.1812.



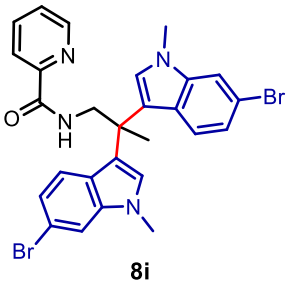
8g

***N*-(2,2-bis(6-fluoro-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8g):** Compound **8g** was synthesized according to GP-4 as yellow oil, 75% yield (86 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 4.6 Hz, 1H), 8.19 (d, *J* = 7.8 Hz, 1H), 8.01 (s, 1H), 7.80 (t, *J* = 7.7 Hz, 1H), 7.36 – 7.33 (m, 1H), 7.15 – 7.12 (m, 2H), 7.06 (s, 2H), 6.94 (dd, *J* = 9.8, 2.0 Hz, 2H), 6.61 – 6.56 (m, 2H), 4.27 (d, *J* = 6.2 Hz, 2H), 3.74 (s, 6H), 1.85 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 159.7 (d, *J* = 237.9 Hz), 150.0, 148.1, 137.9 (d, *J* = 12.0 Hz), 137.3, 126.6 (d, *J* = 3.6 Hz), 126.1, 123.2, 122.3, 122.0 (d, *J* = 9.9 Hz), 120.0, 107.3 (d, *J* = 24.2 Hz), 95.6 (d, *J* = 25.8 Hz), 48.2, 39.6, 33.0, 26.5 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{27}H_{24}F_2N_4ONa^+$ 481.1810 found 481.1815.



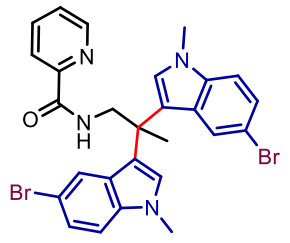
8h

***N*-(2,2-bis(6-chloro-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8h):** Compound **8h** was synthesized according to GP-4 as black oil, 72% yield (88 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.28 (d, *J* = 4.7 Hz, 1H), 8.09 (d, *J* = 7.8 Hz, 1H), 7.90 (s, 1H), 7.71 (t, *J* = 7.8 Hz, 1H), 7.25 (t, *J* = 6.2 Hz, 1H), 7.17 – 7.16 (m, 2H), 7.02 (dd, *J* = 8.7, 1.9 Hz, 2H), 6.97 (s, 2H), 6.69 (d, *J* = 8.5 Hz, 2H), 4.17 (d, *J* = 6.1 Hz, 2H), 3.66 (s, 6H), 1.74 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 149.9, 148.1, 138.2, 137.3, 127.6, 127.0, 126.1, 125.1, 122.3, 122.0, 119.9, 119.3, 109.4, 48.2, 39.6, 33.0, 26.4 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{27}H_{24}Cl_2N_4ONa^+$ 513.1219 found 513.1228.



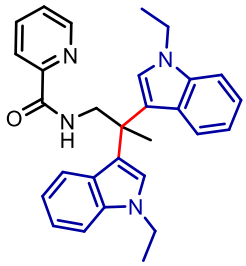
8i

***N*-(2,2-bis(6-bromo-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8i):** Compound **8i** was synthesized according to GP-4 as brown solid, 79% yield (115 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.37 (s, 1H), 8.18 (d, *J* = 7.6 Hz, 1H), 7.98 (s, 1H), 7.81 (t, *J* = 7.4 Hz, 1H), 7.43 (s, 2H), 7.37 – 7.34 (m, 1H), 7.07 – 7.05 (m, 4H), 6.91 (d, *J* = 8.4 Hz, 2H), 4.26 (d, *J* = 5.2 Hz, 2H), 3.75 (s, 6H), 1.83 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 149.9, 148.1, 138.6, 137.3, 127.0, 126.1, 125.4, 122.3 (2×C), 121.9, 119.9, 115.3, 112.4, 48.2, 39.6, 33.0, 26.4 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{27}H_{24}Br_2N_4ONa^+$ 601.0209 found 601.0208.



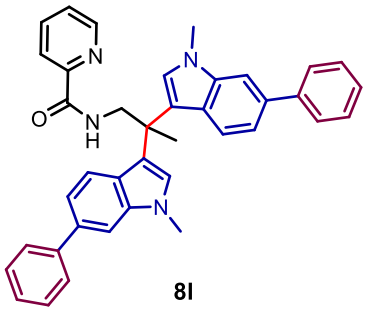
8j

***N*-(2,2-bis(5-bromo-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8j):** Compound **8j** was synthesized according to GP-4 as brown solid, 78% yield (113 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.40 (d, *J* = 4.7 Hz, 1H), 8.19 (d, *J* = 7.8 Hz, 1H), 8.01 – 7.99 (m, 1H), 7.81 – 7.78 (m, 1H), 7.39 (d, *J* = 1.9 Hz, 2H), 7.34 (dd, *J* = 7.5, 4.8 Hz, 1H), 7.21 (dd, *J* = 8.7, 1.8 Hz, 2H), 7.14 (d, *J* = 8.7 Hz, 2H), 7.07 (s, 2H), 4.25 (d, *J* = 6.2 Hz, 2H), 3.76 (s, 6H), 1.86 (s, 3H) ppm; **^{13}C NMR** (126 MHz, $CDCl_3$) δ 164.5, 150.0, 148.2, 137.3, 136.6, 128.2, 127.7, 126.0, 124.4, 123.5, 122.3, 119.2, 112.2, 111.0, 48.4, 39.6, 33.1, 26.4 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{27}H_{24}Br_2N_4ONa^+$ 601.0209 found 601.0195.



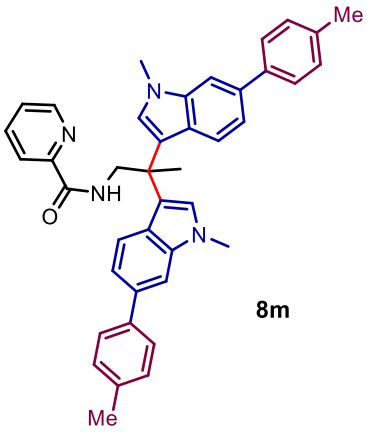
8k

***N*-(2,2-bis(1-ethyl-1*H*-indol-3-yl)propyl)picolinamide (8k):** Compound **8k** was synthesized according to GP-4 as yellow oil, 74% yield (83 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 4.6 Hz, 1H), 8.20 (d, *J* = 7.8 Hz, 1H), 7.98 (s, 1H), 7.82 – 7.78 (m, 1H), 7.39 (d, *J* = 8.0 Hz, 2H), 7.35 – 7.31 (m, 3H), 7.16 – 7.12 (m, 4H), 6.88 (t, *J* = 7.5 Hz, 2H), 4.37 (d, *J* = 6.1 Hz, 2H), 4.19 (q, *J* = 7.2 Hz, 4H), 1.92 (s, 3H), 1.48 (t, *J* = 7.2 Hz, 6H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.5, 150.2, 148.0, 137.3, 136.9, 126.8, 126.0, 125.1, 122.3, 121.5, 121.2, 119.9, 118.5, 109.4, 47.7, 41.0, 39.9, 26.2, 15.7 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{29}H_{30}N_4ONa^+$ 473.2312 found 473.2311.



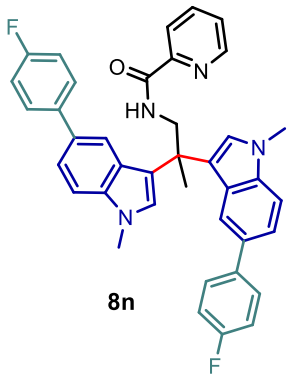
8l

***N*-(2,2-bis(1-methyl-6-phenyl-1*H*-indol-3-yl)propyl)picolinamide (8l):** Compound **8l** was synthesized according to GP-4 as yellow oil, 81% yield (116 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.39 (d, *J* = 4.2 Hz, 1H), 8.22 (d, *J* = 7.8 Hz, 1H), 8.08 – 8.05 (m, 1H), 7.83 – 7.79 (m, 1H), 7.64 (d, *J* = 7.4 Hz, 4H), 7.51 – 7.49 (m, 4H), 7.43 (t, *J* = 7.7 Hz, 4H), 7.36 – 7.29 (m, 3H), 7.20 – 7.17 (m, 2H), 7.10 (s, 2H), 4.41 (d, *J* = 6.1 Hz, 2H), 3.85 (s, 6H), 1.97 (s, 3H) ppm; **^{13}C NMR** (126 MHz, $CDCl_3$) δ 164.6, 150.2, 148.1, 142.5, 138.5, 137.3, 135.0, 128.8, 127.5 (2×C), 126.7, 126.1, 126.0, 122.4, 121.7, 119.9, 118.6, 107.9, 48.2, 40.0, 33.0, 26.4 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{39}H_{34}N_4ONa^+$ 597.2625 found 597.2628.



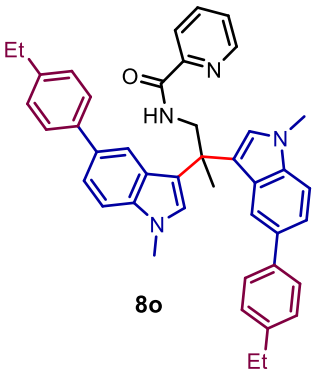
8m

***N*-(2,2-bis(1-methyl-6-(*p*-tolyl)-1*H*-indol-3-yl)propyl)picolinamide (8m):** Compound **8m** was synthesized according to GP-4 as black oil, 85% yield (128 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.26 – 8.24 (m, 1H), 8.09 (d, *J* = 7.8 Hz, 1H), 7.98 – 7.95 (m, 1H), 7.66 (t, *J* = 7.7 Hz, 1H), 7.42 (d, *J* = 7.8 Hz, 4H), 7.39 – 7.37 (m, 4H), 7.21 – 7.18 (m, 1H), 7.12 – 7.10 (m, 4H), 7.06 (d, *J* = 8.4 Hz, 2H), 6.96 (s, 2H), 4.30 (d, *J* = 6.1 Hz, 2H), 3.69 (s, 6H), 2.27 (s, 6H), 1.85 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.6, 150.0, 148.0, 139.6, 138.5, 137.3, 136.3, 134.9, 129.5, 127.34, 127.27, 126.0, 125.8, 122.3, 121.6, 119.8, 118.5, 107.6, 48.1, 39.9, 32.9, 26.3, 21.2 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{41}H_{39}N_4O^+$ 603.3118 found 603.3124.



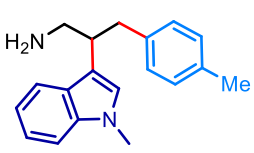
8n

***N*-(2,2-bis(5-(4-fluorophenyl)-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8n):** Compound **8n** was synthesized according to GP-4 as yellow oil, 75% yield (115 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 4.3 Hz, 1H), 8.21 (d, *J* = 7.8 Hz, 1H), 8.05 (s, 1H), 7.84 – 7.79 (m, 1H), 7.59 – 7.55 (m, 4H), 7.46 (s, 1H), 7.44 – 7.43 (m, 3H), 7.37 – 7.34 (m, 1H), 7.12 – 7.07 (m, 8H), 4.39 (d, *J* = 6.1 Hz, 2H), 3.84 (s, 6H), 1.95 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.6, 162.1 (d, *J* = 245.5 Hz), 150.2, 148.1, 138.6 (d, *J* = 3.3 Hz), 138.5, 137.3, 134.0, 128.9 (d, *J* = 7.8 Hz), 127.5, 126.1, 126.0, 122.4, 121.7, 119.9, 118.5, 115.6 (d, *J* = 21.3 Hz), 107.8, 48.2, 39.9, 33.0, 26.4 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{39}H_{33}F_2N_4O^+$ 611.2617 found 611.2622.



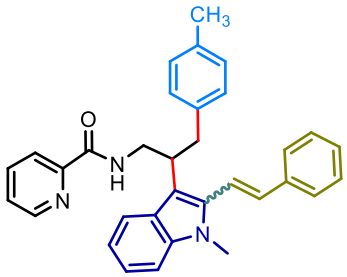
8o

***N*-(2,2-bis(5-(4-ethylphenyl)-1-methyl-1*H*-indol-3-yl)propyl)picolinamide (8o):** Compound **8o** was synthesized according to GP-4 as yellow oil, 87% yield (137 mg); Eluent: 30-40% ethyl acetate in hexane; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.15 (d, *J* = 4.3 Hz, 1H), 8.07 (d, *J* = 7.8 Hz, 1H), 8.00 – 7.98 (m, 1H), 7.65 – 7.61 (m, 1H), 7.49 (s, 2H), 7.28 (dd, *J* = 8.6, 1.5 Hz, 2H), 7.22 – 7.20 (m, 6H), 7.15 – 7.12 (m, 1H), 7.07 (d, *J* = 7.9 Hz, 4H), 6.96 (s, 2H), 4.31 (d, *J* = 6.0 Hz, 2H), 3.65 (s, 6H), 2.54 (q, *J* = 7.6 Hz, 4H), 1.89 (s, 3H), 1.14 (t, *J* = 7.6 Hz, 6H) ppm; **^{13}C NMR** (126 MHz, $CDCl_3$) δ 164.6, 150.2, 148.1, 142.1, 140.2, 137.5, 137.1, 132.1, 128.1, 127.43, 127.37, 127.2, 125.9, 122.3, 121.3, 120.3, 119.9, 109.5, 48.5, 40.1, 32.9, 28.5, 26.5, 15.7 ppm; **HRMS** (ESI-TOF) m/z: $[M+Na]^+$ Calcd. For $C_{43}H_{42}N_4ONa^+$ 653.3251 found 653.3256.



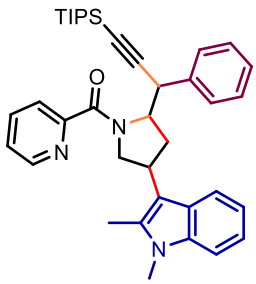
9

2-(1-methyl-1*H*-indol-3-yl)-3-(*p*-tolyl)propan-1-amine (9): Compound **9** was synthesized according to TP-3 as yellow oil, 97% yield (81 mg); **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.56 (d, *J* = 8.0 Hz, 1H), 7.20 – 7.18 (m, 1H), 7.13 – 7.12 (m, 1H), 7.03 – 6.98 (m, 1H), 6.94 – 6.93 (m, 4H), 6.73 (s, 1H), 3.61 (s, 3H), 3.15 – 3.08 (m, 1H), 2.98 – 2.77 (m, 4H), 2.19 (s, 3H), 1.83 (s, 2H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 137.7, 137.4, 135.3, 129.0, 128.9, 127.4, 126.7, 121.6, 119.5, 118.8, 115.8, 109.4, 45.8, 42.3, 39.4, 32.7, 21.1 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{19}H_{23}N_2^+$ 279.1856 found 279.1868.



10
dr = 4:1

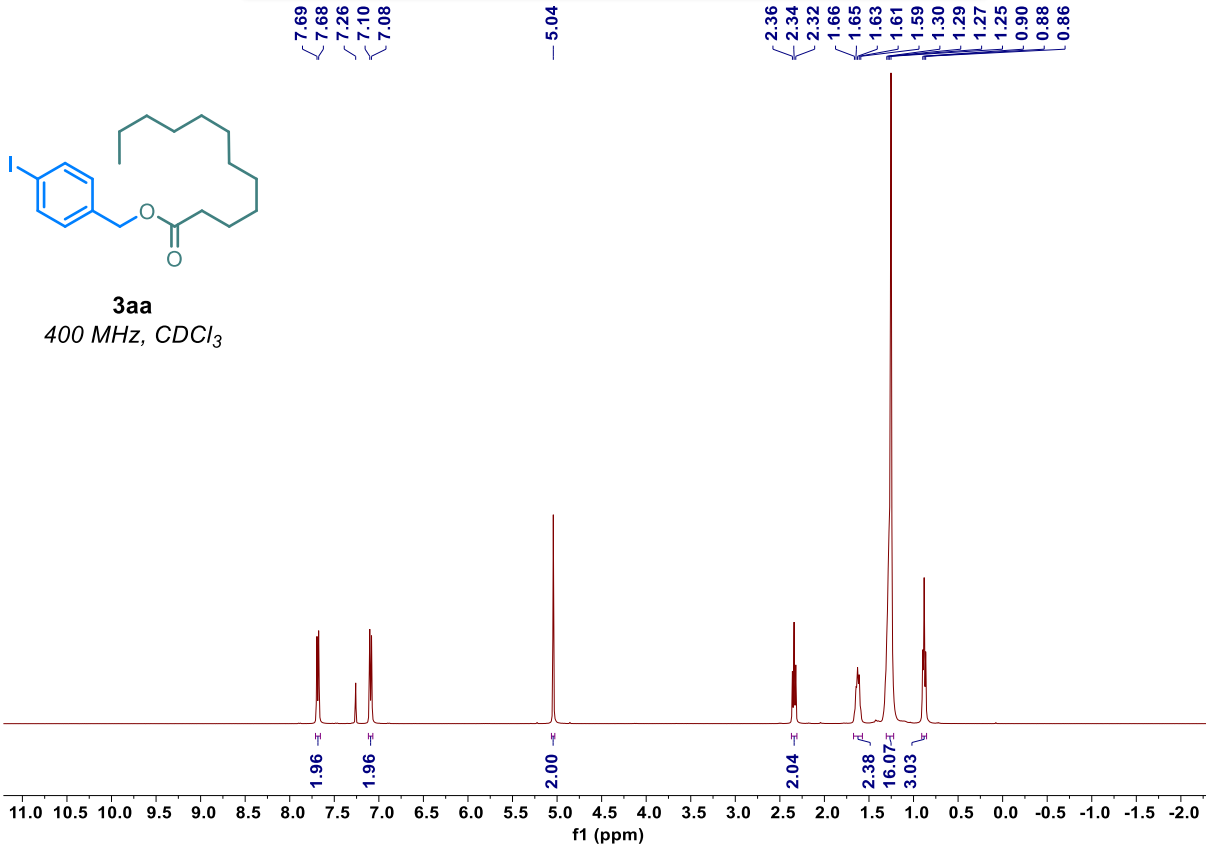
***N*-(2-(1-methyl-2-styryl-1*H*-indol-3-yl)-3-(*p*-tolyl)propyl)picolinamide (10):** Compound **10** was synthesized according to TP-4 as yellow oil, 62% yield (75 mg); Eluent: 15-25% ethyl acetate in hexane; **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.30 (s, 3.96H), 8.17 (s, 1.01H), 8.06 – 7.99 (m, 3.92H), 7.98 – 7.90 (m, 2.99H), 7.88 (d, *J* = 7.5 Hz, 1.14H), 7.84 – 7.72 (m, 5.01H), 7.68 – 7.58 (m, 4.94H), 7.26 – 7.12 (m, 36.03H), 7.10 – 7.02 (m, 5.18H), 6.99 – 6.90 (m, 4.26H), 6.90 – 6.80 (m, 15.45H), 6.82 – 6.76 (m, 4.07H), 6.77 – 6.69 (m, 6.29H), 6.63 (d, *J* = 12.1 Hz, 0.93H), 6.30 – 6.17 (m, 4.99H), 4.21 – 4.09 (m, 4.0H), 4.02 – 3.94 (m, 1.0H), 3.74 – 3.63 (m, 4.07H), 3.59 (s, 12.0H), 3.59 – 3.49 (m, 4.02H), 3.48 – 3.39 (m, 1.01H), 3.25 – 3.10 (m, 12.10H), 3.09 – 3.02 (m, 2H), 2.15 (s, 12H), 2.08 (s, 3H) ppm; **^{13}C NMR** (101 MHz, $CDCl_3$) δ 164.33 (2×C), 150.02, 149.97, 147.95 (2×C), 139.47, 139.16, 138.34, 138.03, 137.59, 137.46, 137.31, 137.19, 137.13, 137.01, 136.82, 136.55, 135.61, 135.32, 135.24, 135.19, 134.49, 129.02, 128.93, 128.86, 128.71, 128.68, 128.35, 128.32, 127.96, 127.75, 126.49, 126.33, 125.97, 122.23, 121.93, 121.40, 120.46, 120.15, 119.29, 119.20, 118.81, 117.16, 113.44, 112.32, 109.85, 109.58, 43.87, 43.48, 40.81, 40.43, 38.89, 38.70, 31.05, 30.48, 21.09, 21.05 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{33}H_{32}N_3O^+$ 486.2540 found 486.2544.



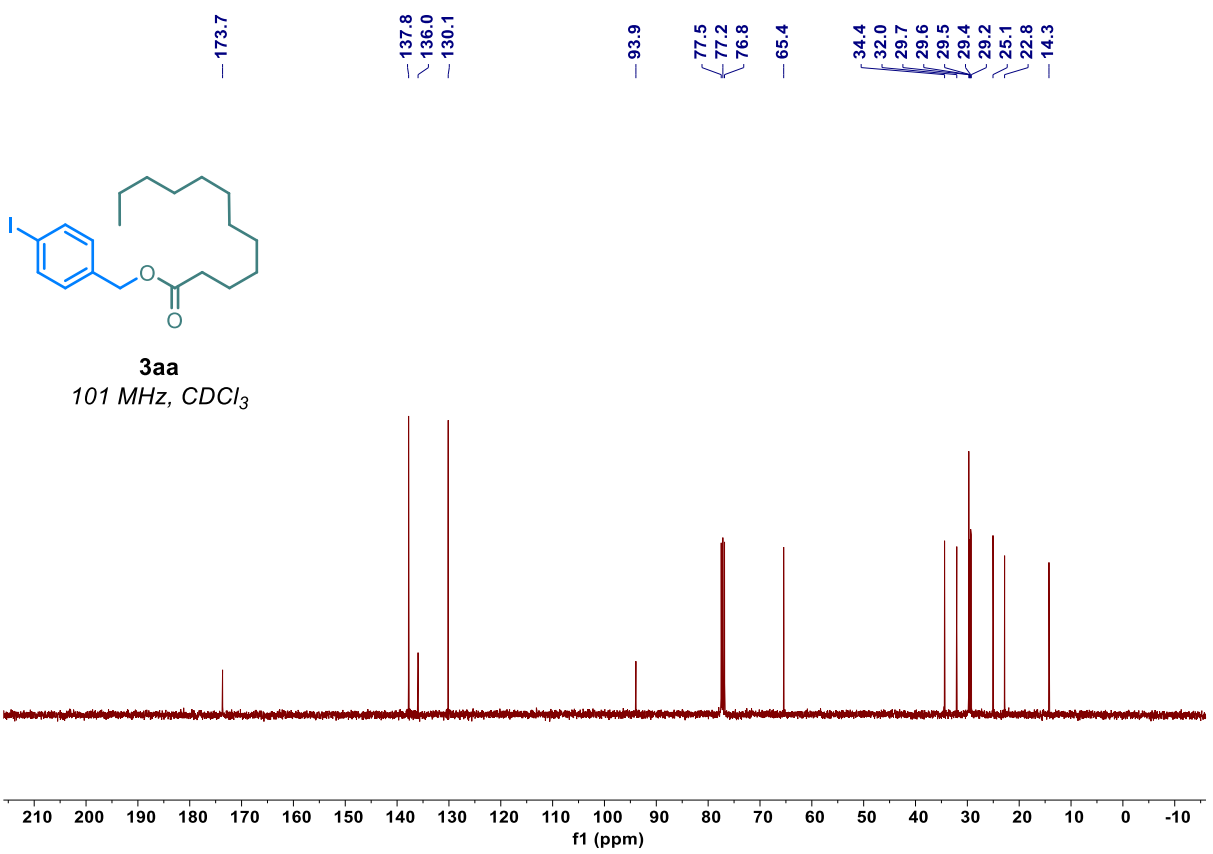
12
dr = 3:1

(4-(1,2-dimethyl-1H-indol-3-yl)-2-(1-phenyl-3-(triisopropylsilyl)prop-2-yn-1-yl)pyrrolidin-1-yl)(pyridin-2-yl)methanone (12): Compound **12** was synthesized according to TP-5 as yellow oil, 65% yield (58 mg); Eluent: 10-20% ethyl acetate in hexane; **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.61 (d, *J* = 4.8 Hz, 1.01H), 8.40 (s, 2.46H), 8.00 (d, *J* = 7.9 Hz, 0.98H), 7.81 – 7.78 (m, 3.63H), 7.69 (t, *J* = 7.8 Hz, 2.97H), 7.53 (d, *J* = 7.4 Hz, 6.20H), 7.40 (d, *J* = 6.9 Hz, 2.37H), 7.36 – 7.28 (m, 17.08H), 7.19 – 7.18 (m, 2.06H), 7.12 – 7.09 (m, 4.29H), 7.03 – 7.00 (m, 4.03H), 6.92 – 6.89 (m, 3.99H), 5.55 (d, *J* = 8.1 Hz, 1.00H), 5.01 (d, *J* = 8.0 Hz, 3.00H), 4.94 (s, 2.98H), 4.30 (s, 1.00H), 3.89 – 3.84 (m, 1.03H), 3.72 (t, *J* = 11.0 Hz, 3.02H), 3.64 (t, *J* = 10.2 Hz, 2.99H), 3.53 – 3.48 (m, 1.44H), 3.46 (s, 2.99H), 3.43 (s, 9.01H), 2.64 – 2.58 (m, 1.05H), 2.55 – 2.48 (m, 3.02H), 2.31 – 2.26 (m, 4.35H), 2.22 – 2.14 (m, 4.31H), 1.88 (s, 3H), 1.83 (s, 9H), 1.02 – 1.01 (m, 62.98H), 1.00 – 0.98 (m, 21.05H) ppm; **^{13}C NMR** (126 MHz, $CDCl_3$) δ 167.3, 166.4, 154.9, 154.3, 148.2, 148.1, 138.1 (2×C), 137.6, 137.3, 137.1, 137.0, 136.8, 133.6, 133.4, 129.4, 129.0, 128.7, 128.5, 127.6, 127.4, 125.6, 125.5, 125.1, 124.8, 124.6, 123.7, 120.7 (2×C), 118.9, 118.8 (3×C), 109.6, 109.1, 109.0, 107.9, 107.6, 85.3, 85.1, 64.2, 64.0, 54.4, 53.3, 43.7, 39.4, 34.9, 34.8, 32.1, 31.7, 29.5 (2×C), 18.9, 18.8, 11.5, 11.4, 10.1, 10.0 ppm; **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd. For $C_{38}H_{48}N_3OSi^+$ 590.3561 found 590.3569.

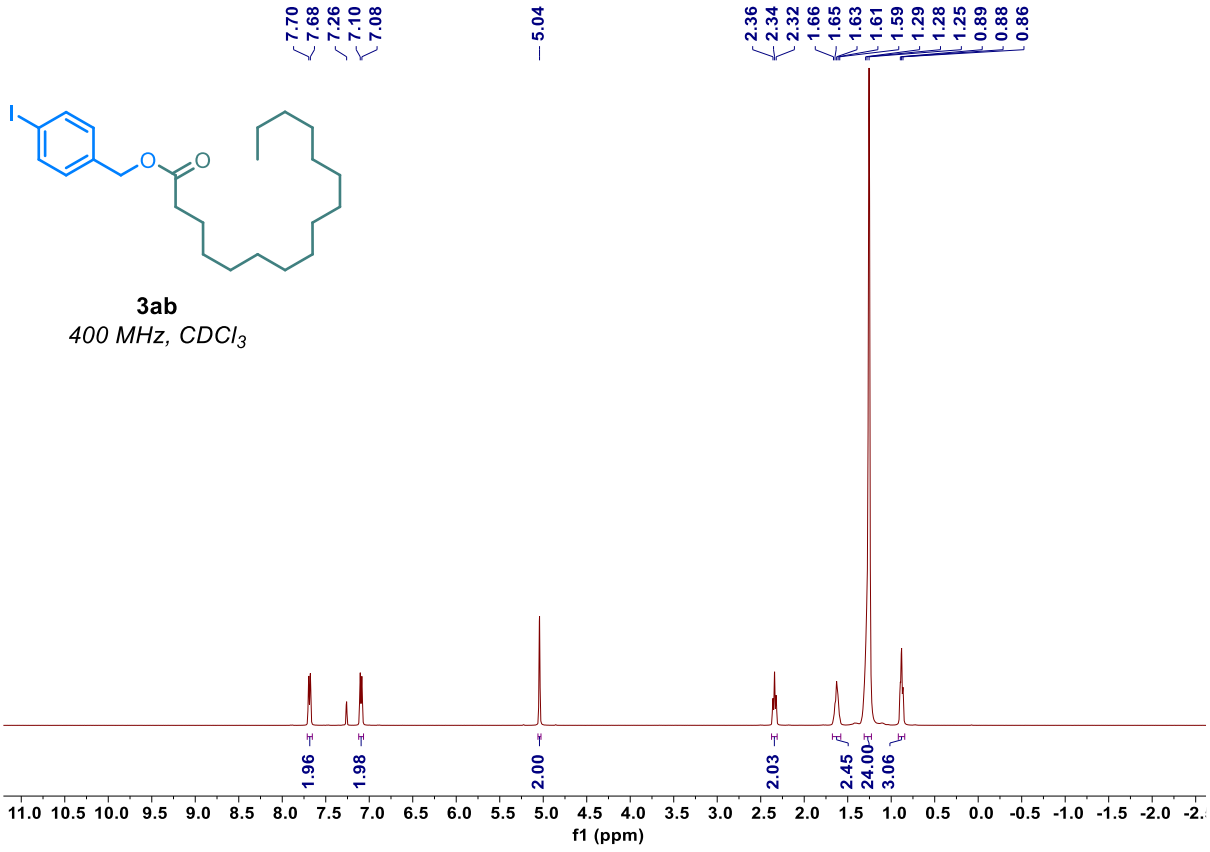
15. NMR spectra of synthesized compounds:



3aa
400 MHz, $CDCl_3$

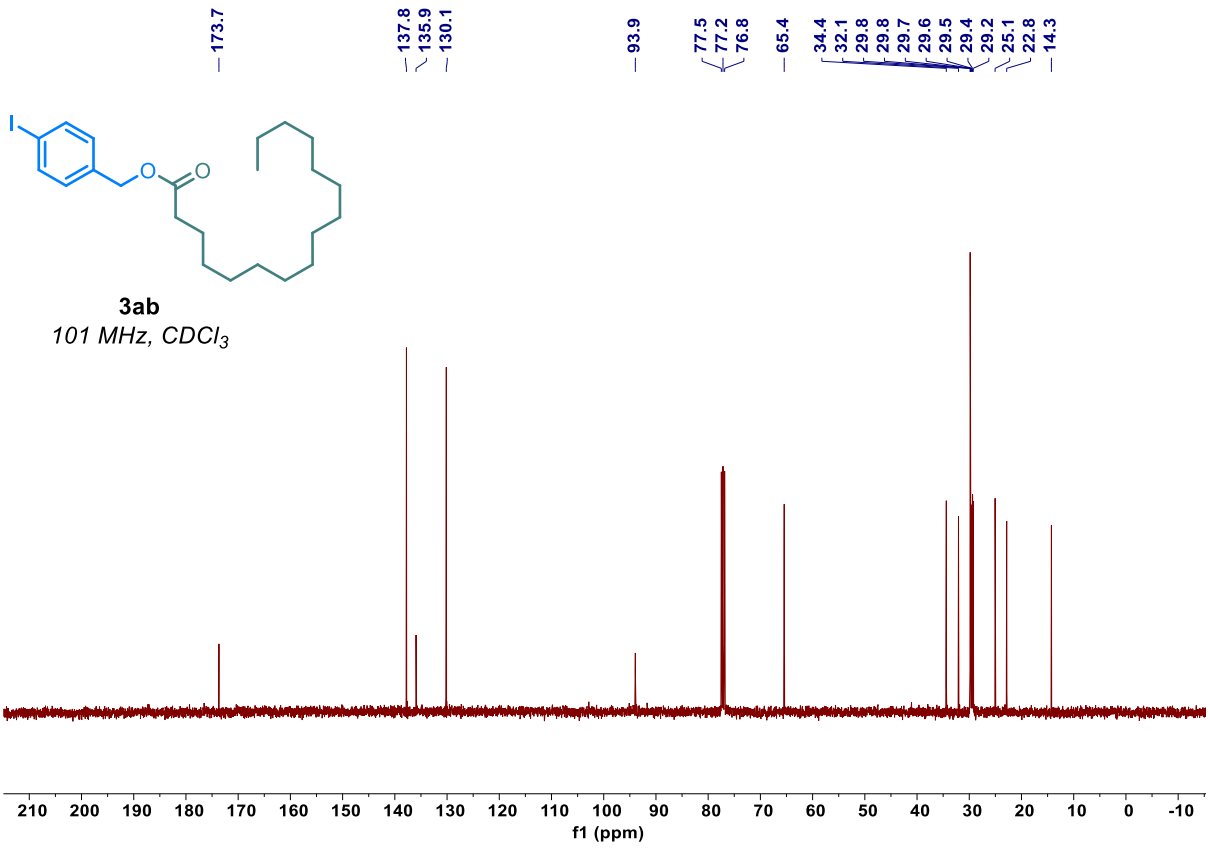


3aa
101 MHz, $CDCl_3$



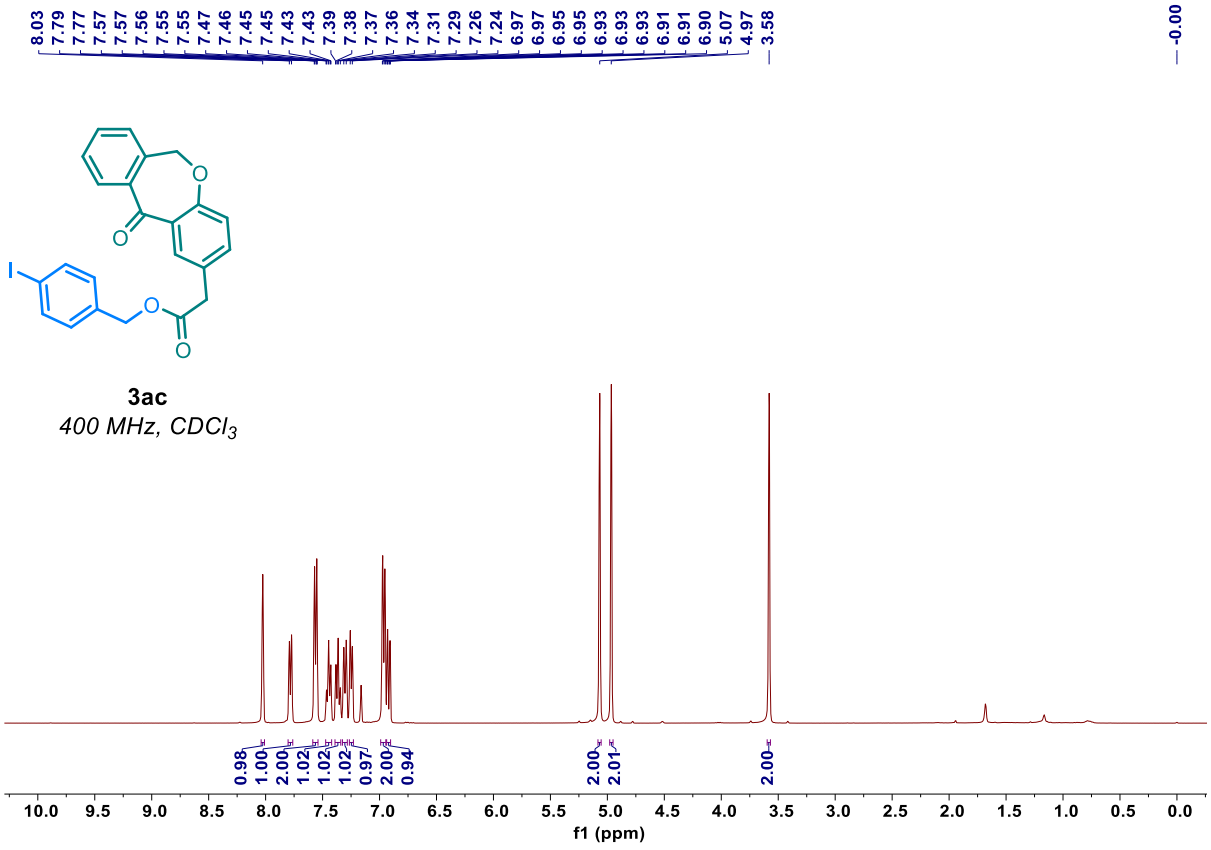
3ab

400 MHz, $CDCl_3$



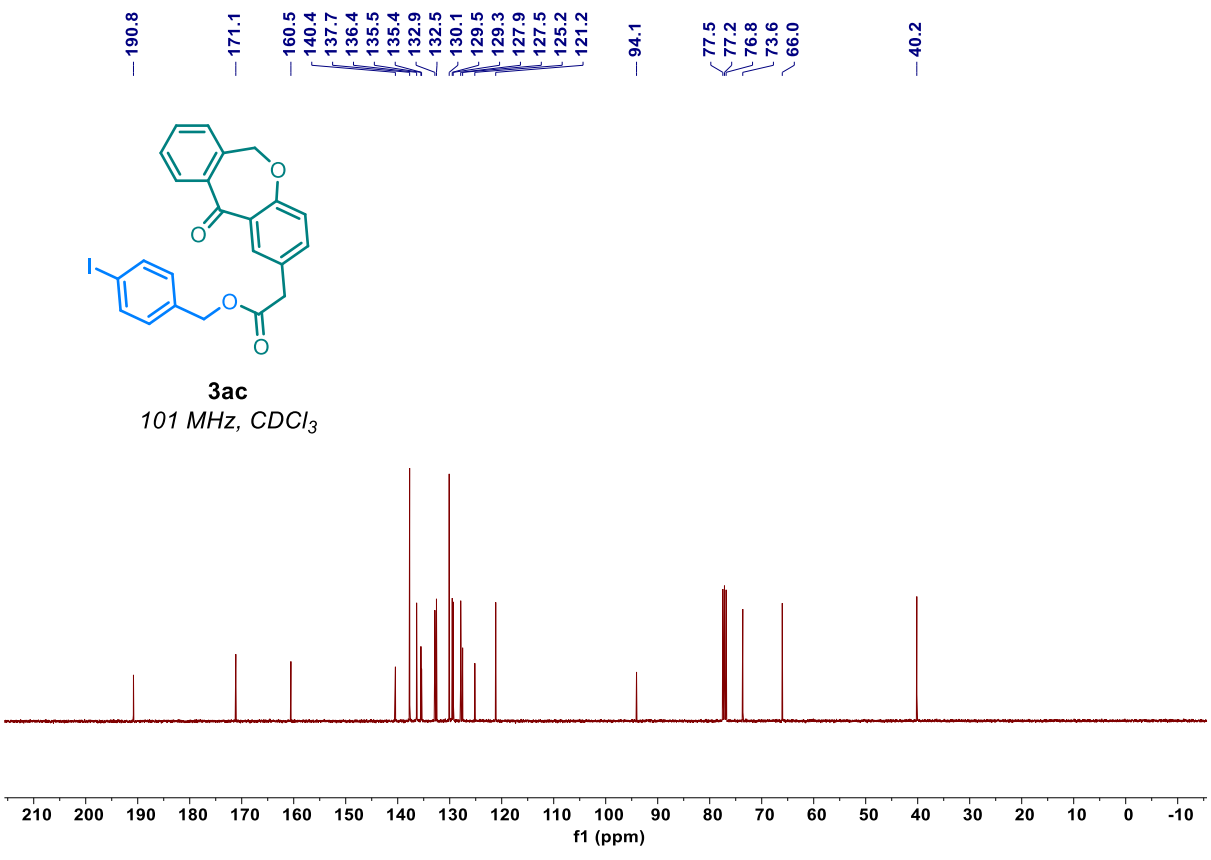
3ab

101 MHz, $CDCl_3$



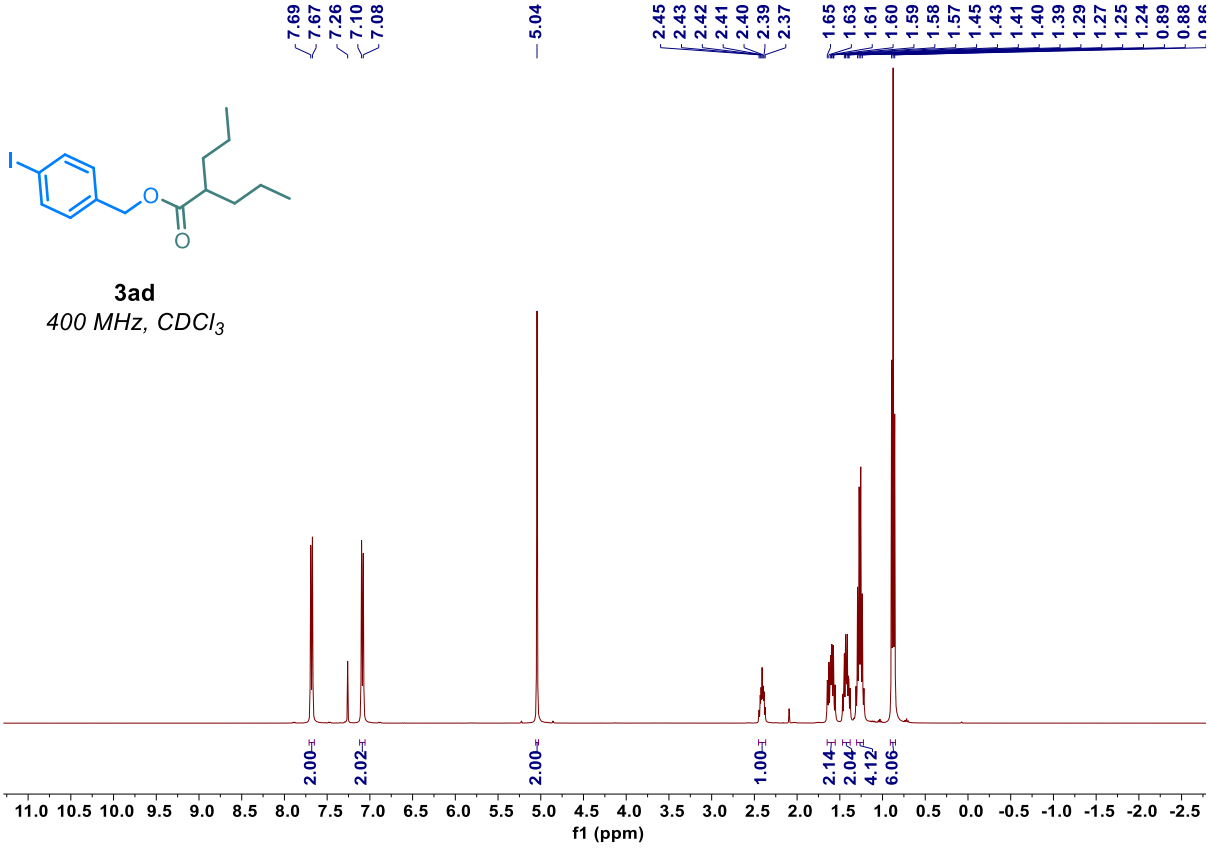
3ac

400 MHz, $CDCl_3$

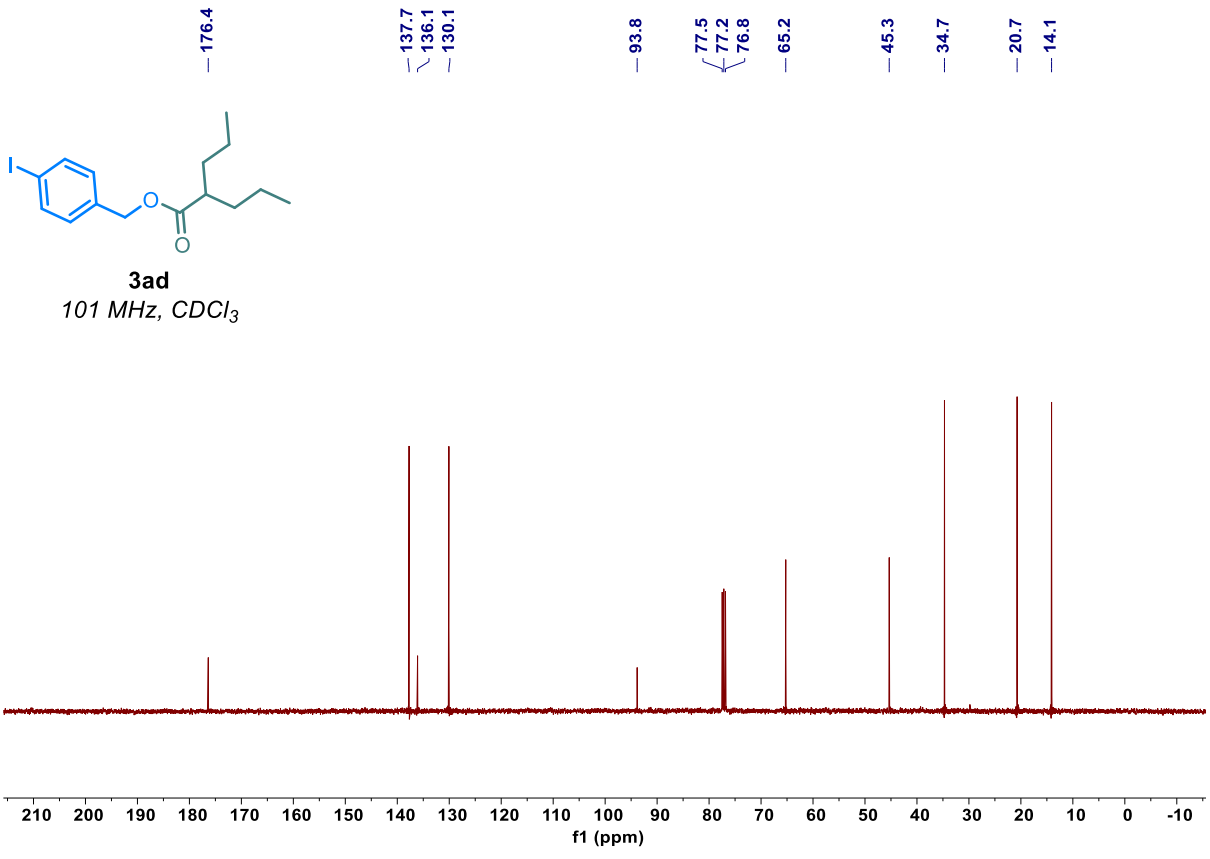


3ac

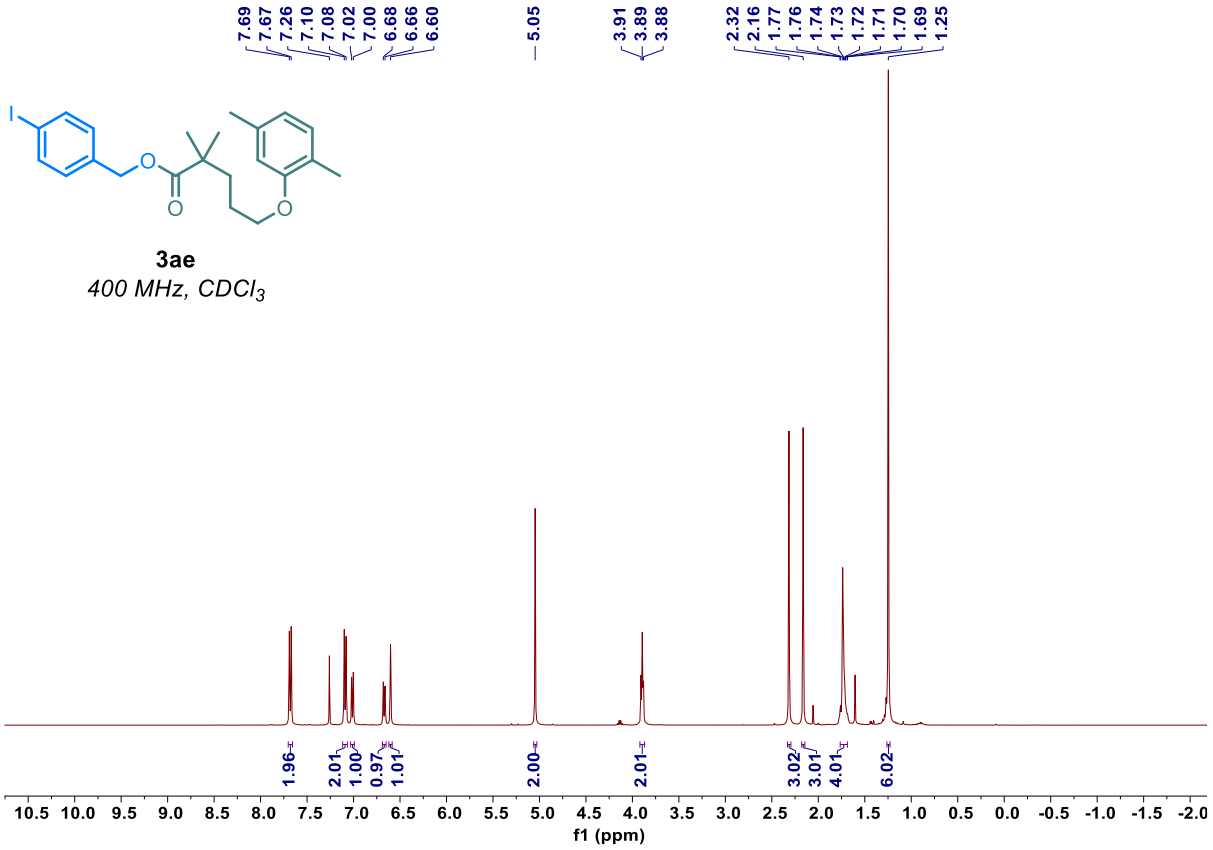
101 MHz, $CDCl_3$



3ad
400 MHz, $CDCl_3$

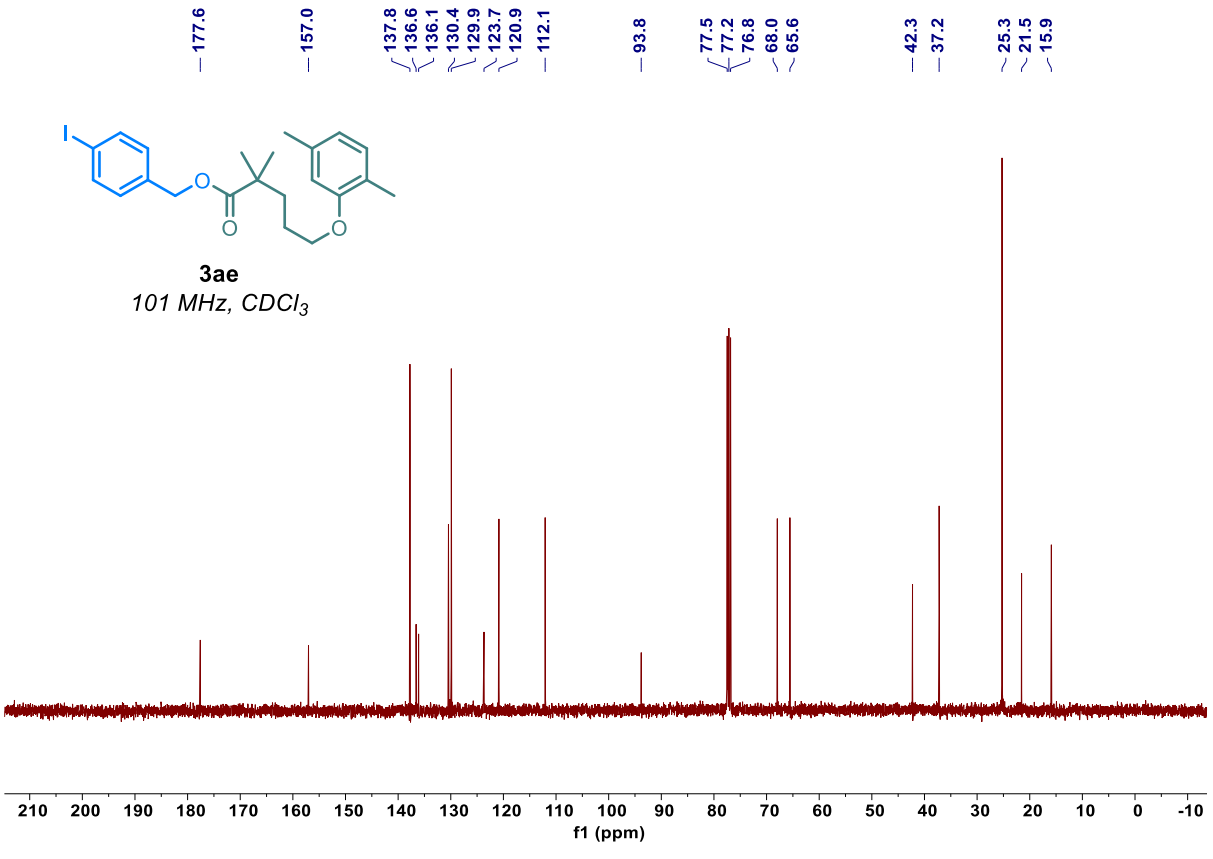


3ad
101 MHz, $CDCl_3$



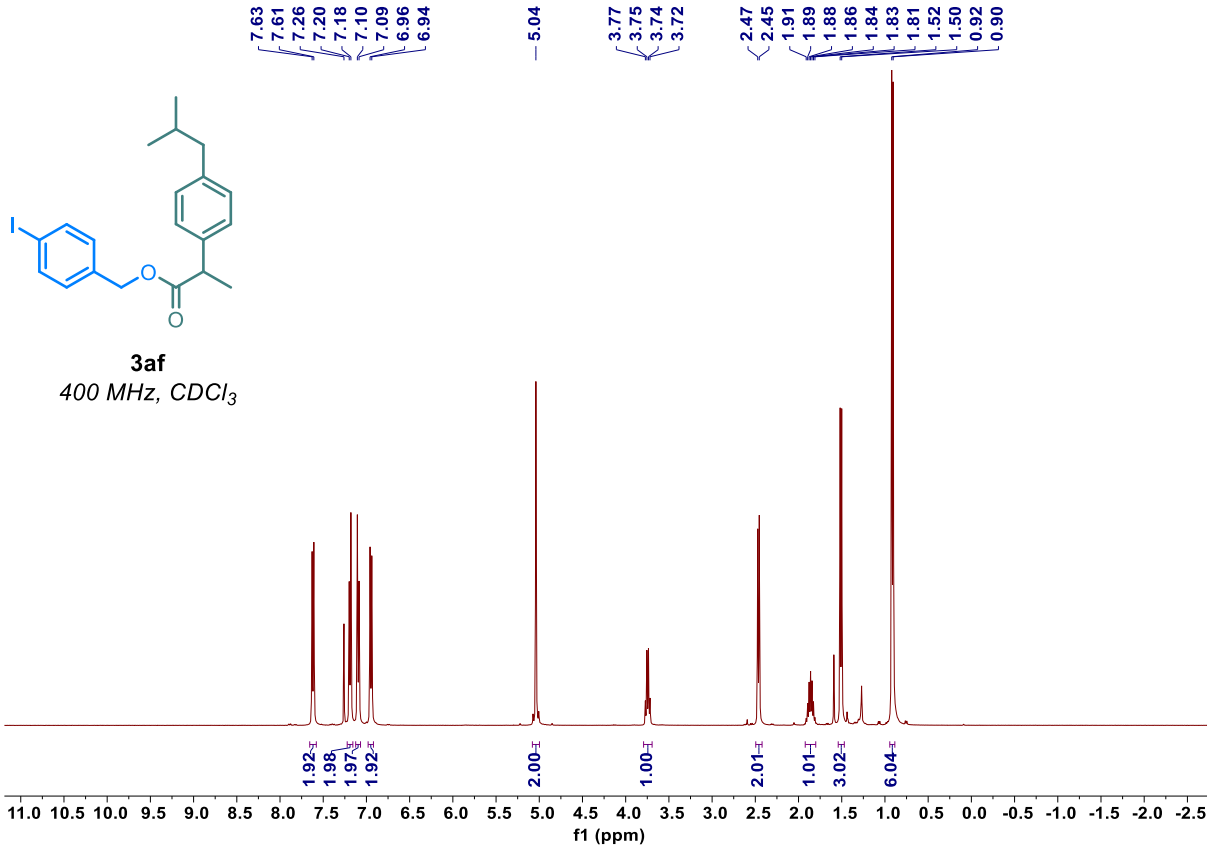
3ae

400 MHz, $CDCl_3$



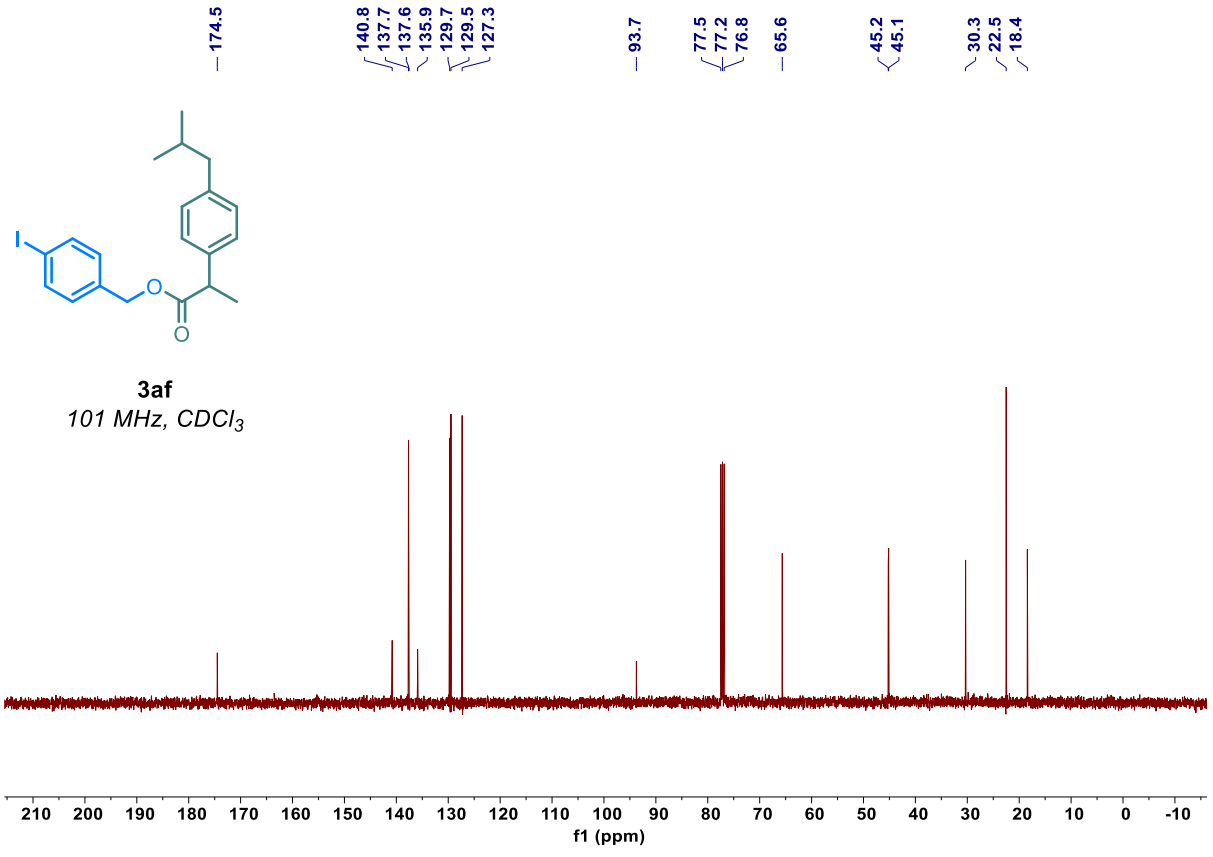
3ae

101 MHz, $CDCl_3$



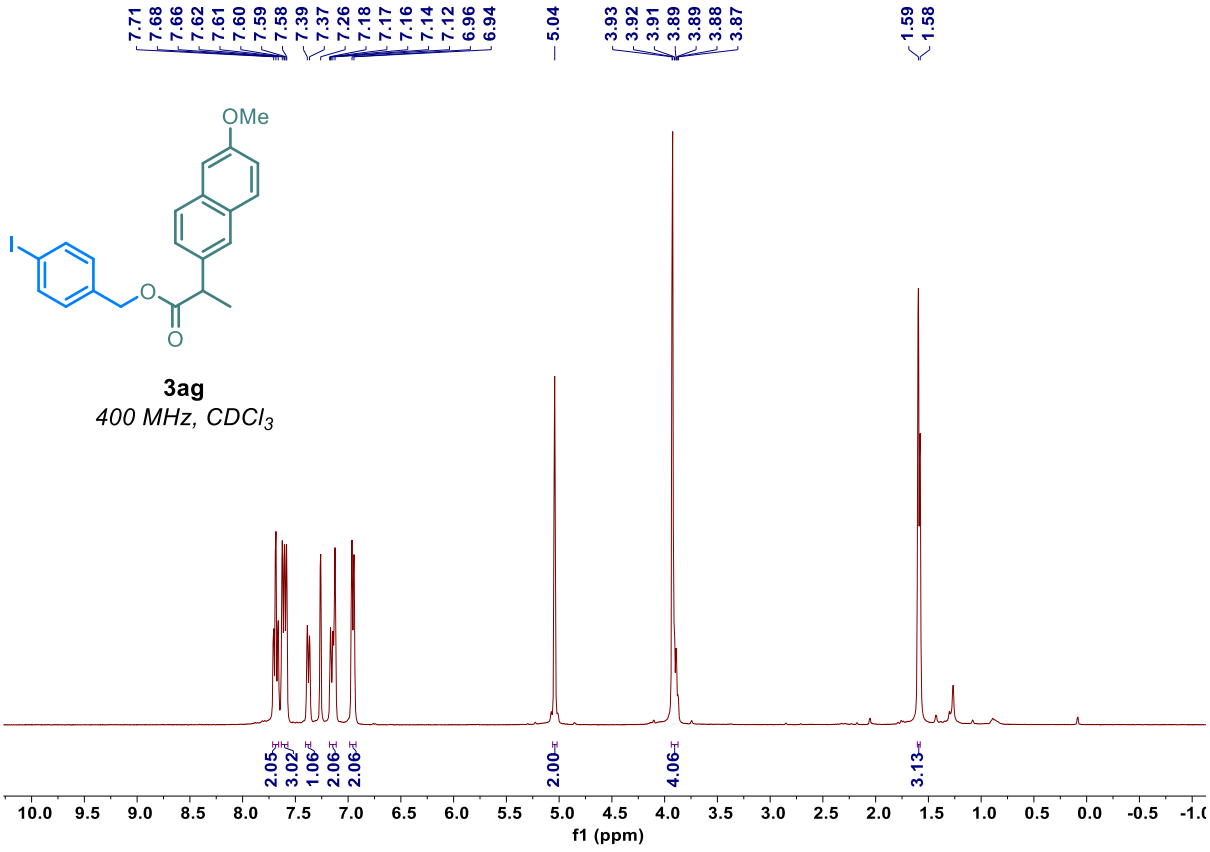
3af

400 MHz, $CDCl_3$



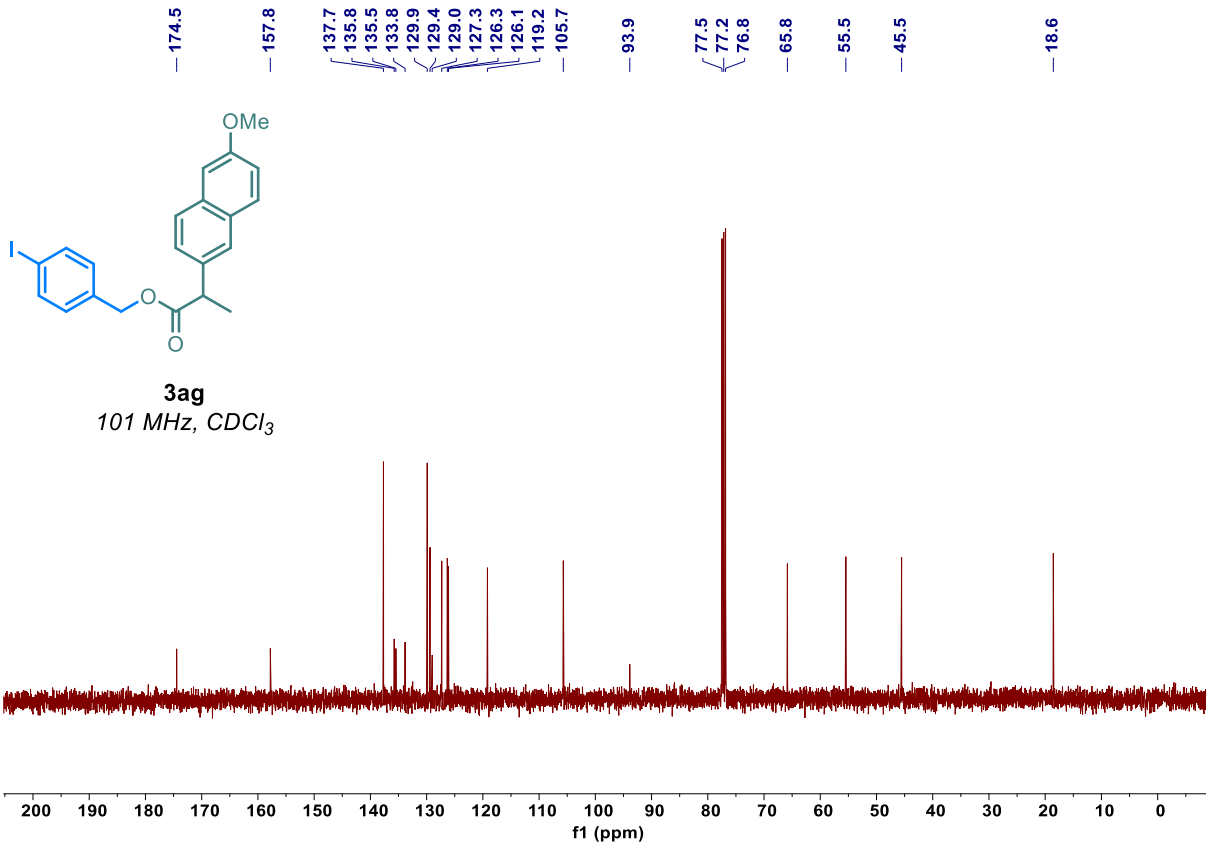
3af

101 MHz, $CDCl_3$



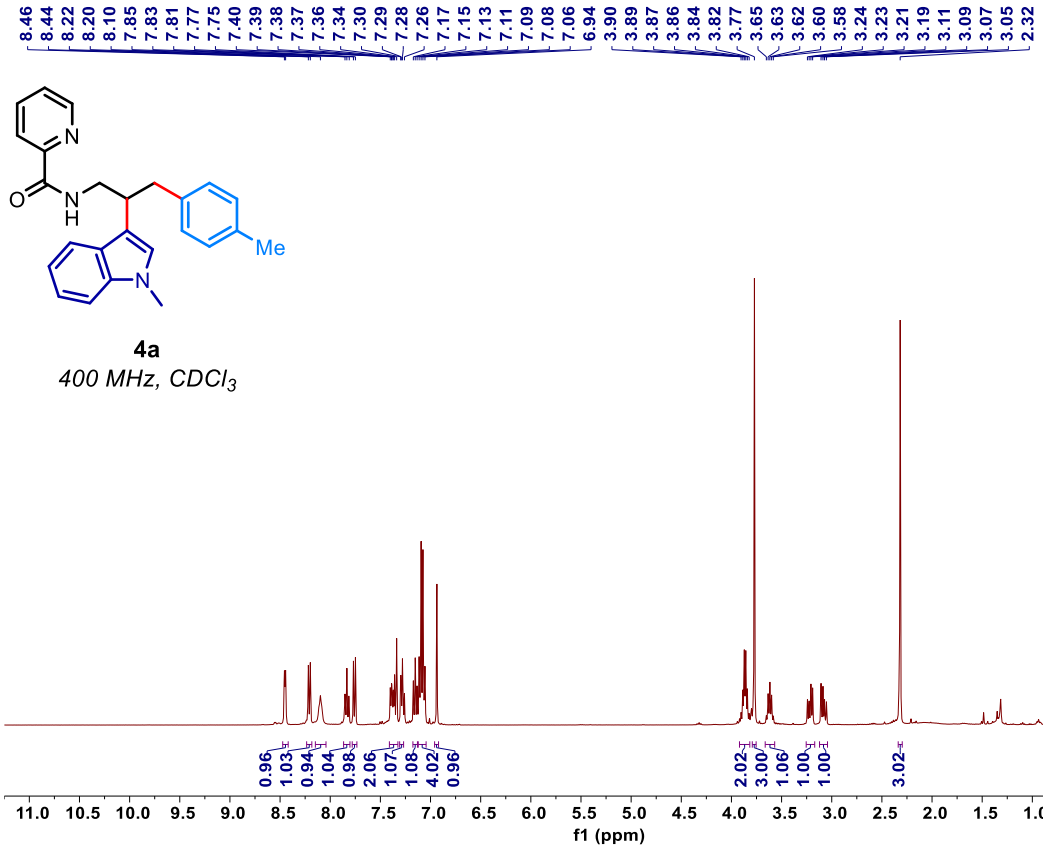
3ag

400 MHz, $CDCl_3$



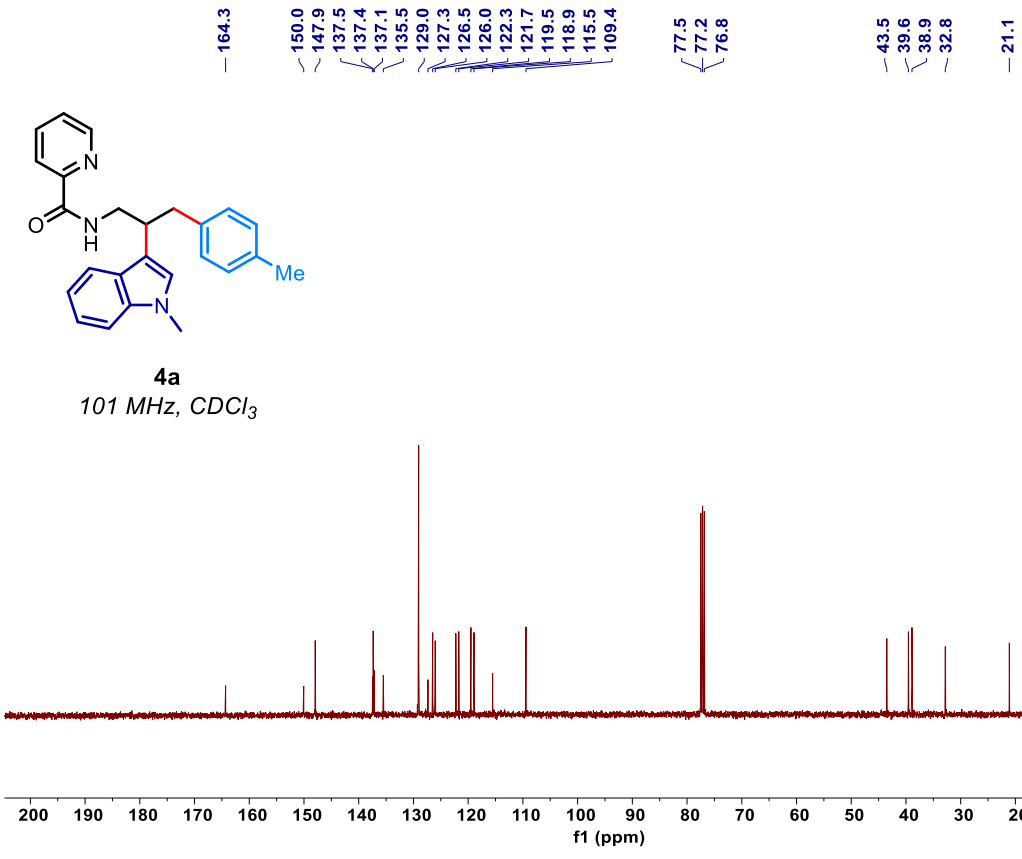
3ag

101 MHz, $CDCl_3$



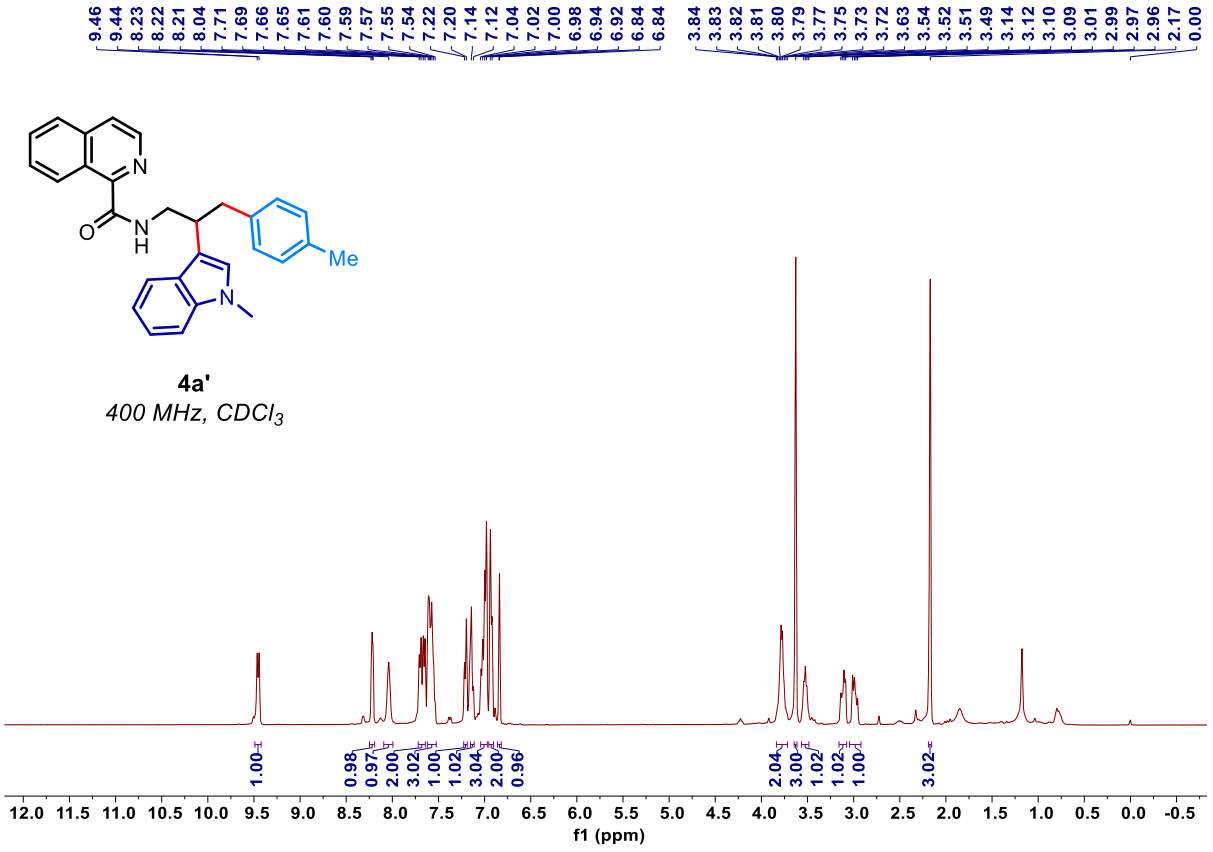
4a

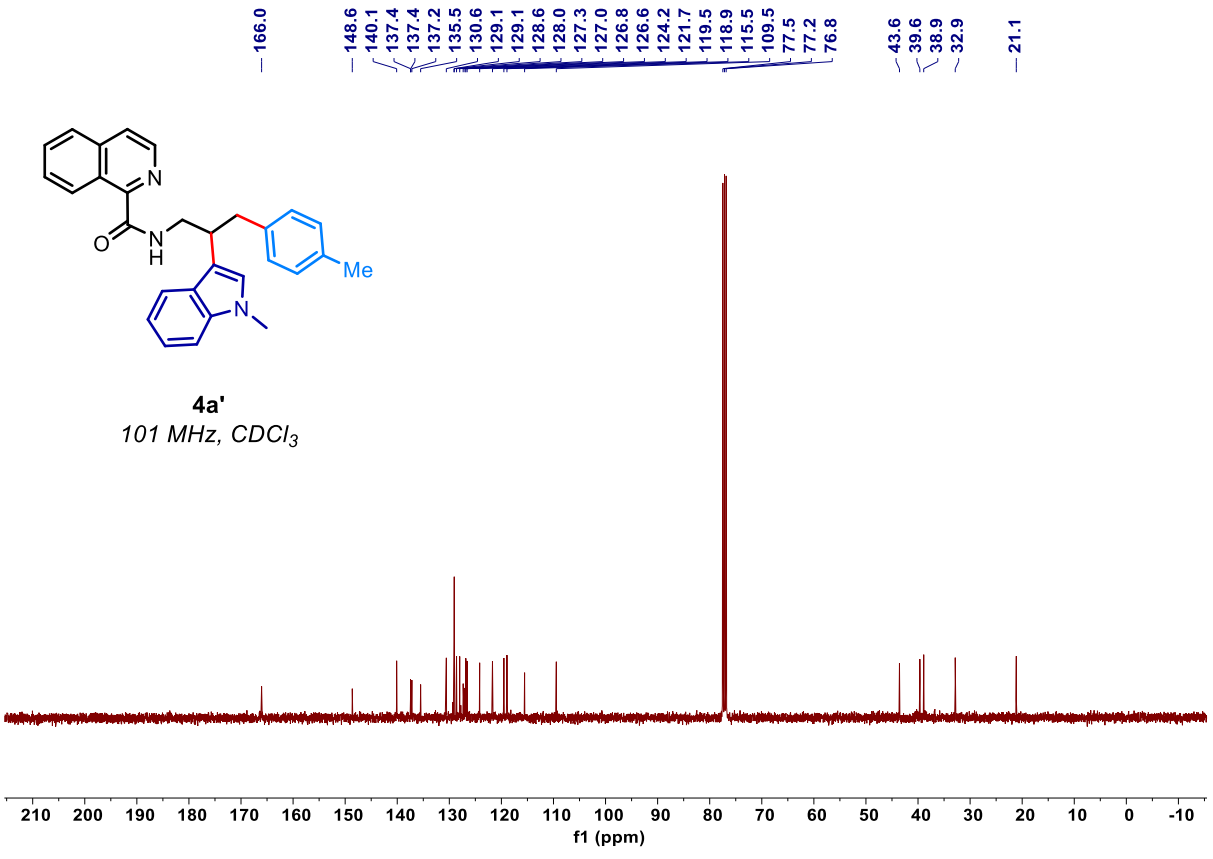
400 MHz, $CDCl_3$

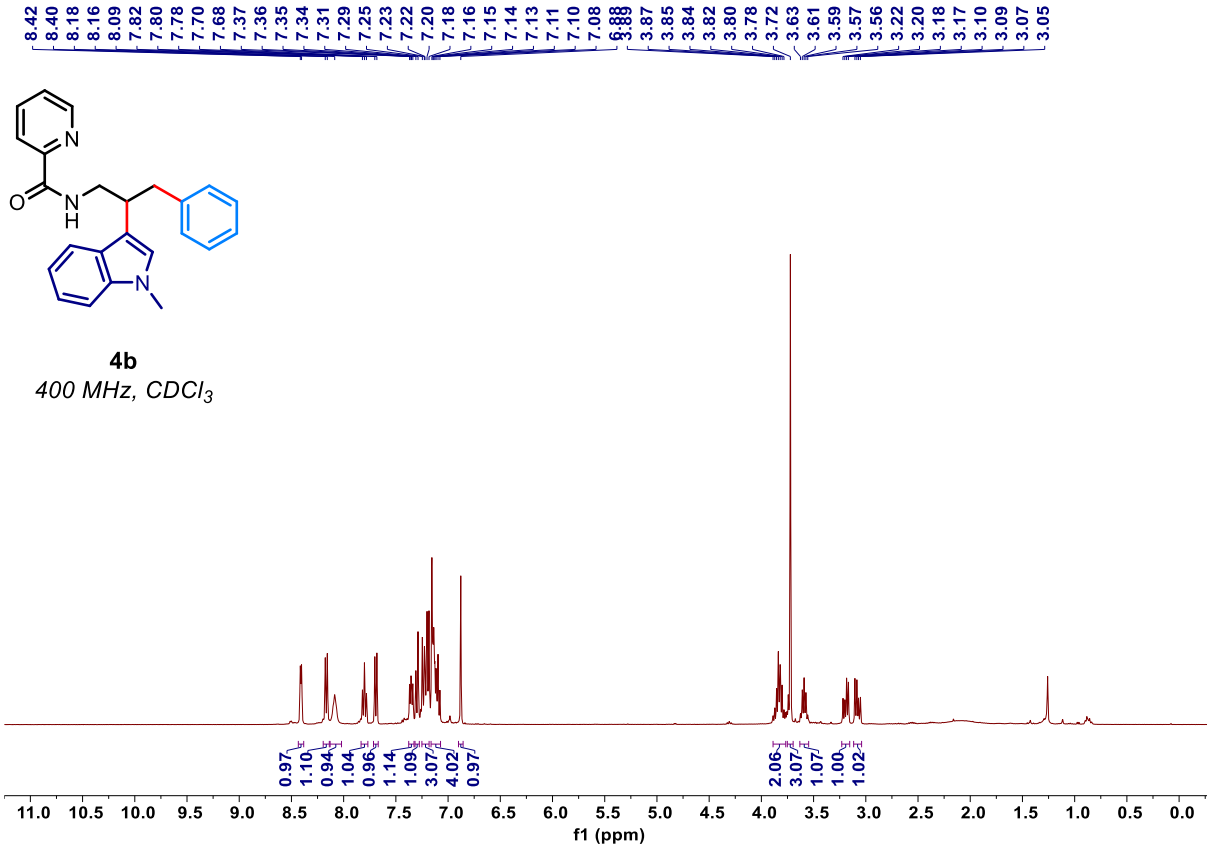


4a

101 MHz, $CDCl_3$

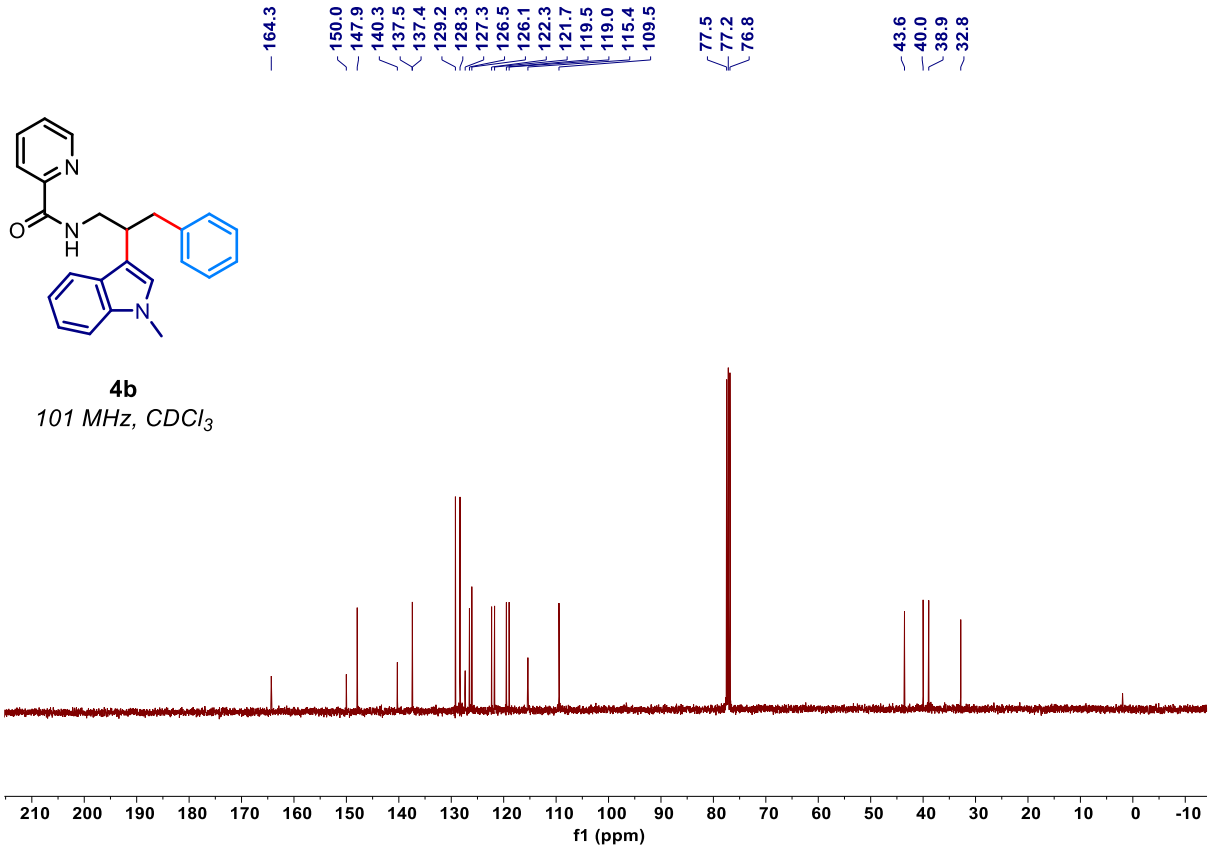

9.46 9.44 8.23 8.22 8.21 8.04 7.71 7.69 7.66 7.65 7.61 7.60 7.59 7.57 7.55 7.54 7.22 7.20 7.14 7.12 7.04 7.02 7.00 6.98 6.94 6.92 6.84 6.84
3.84 3.83 3.82 3.81 3.80 3.79 3.77 3.75 3.73 3.72 3.63 3.54 3.52 3.51 3.49 3.14 3.12 3.10 3.09 3.01 2.99 2.97 2.96 2.17 0.00
N
O
N
H
Me
N
4a'
400 MHz, CDCl3
1.00 0.98 0.97 2.00 3.02 1.00 1.02 3.04 2.00 0.96 2.04 3.00 1.02 1.02 1.00 3.02
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


166.0
148.6 140.1 137.4 137.4 137.2 135.5 130.6 129.1 129.1 128.6 128.0 127.3 127.0 126.8 126.6 124.2 121.7 119.5 118.9 115.5 109.5 77.5 77.2 76.8
43.6 39.6 38.9 32.9
21.1
N
O
N
H
Me
N
4a'
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



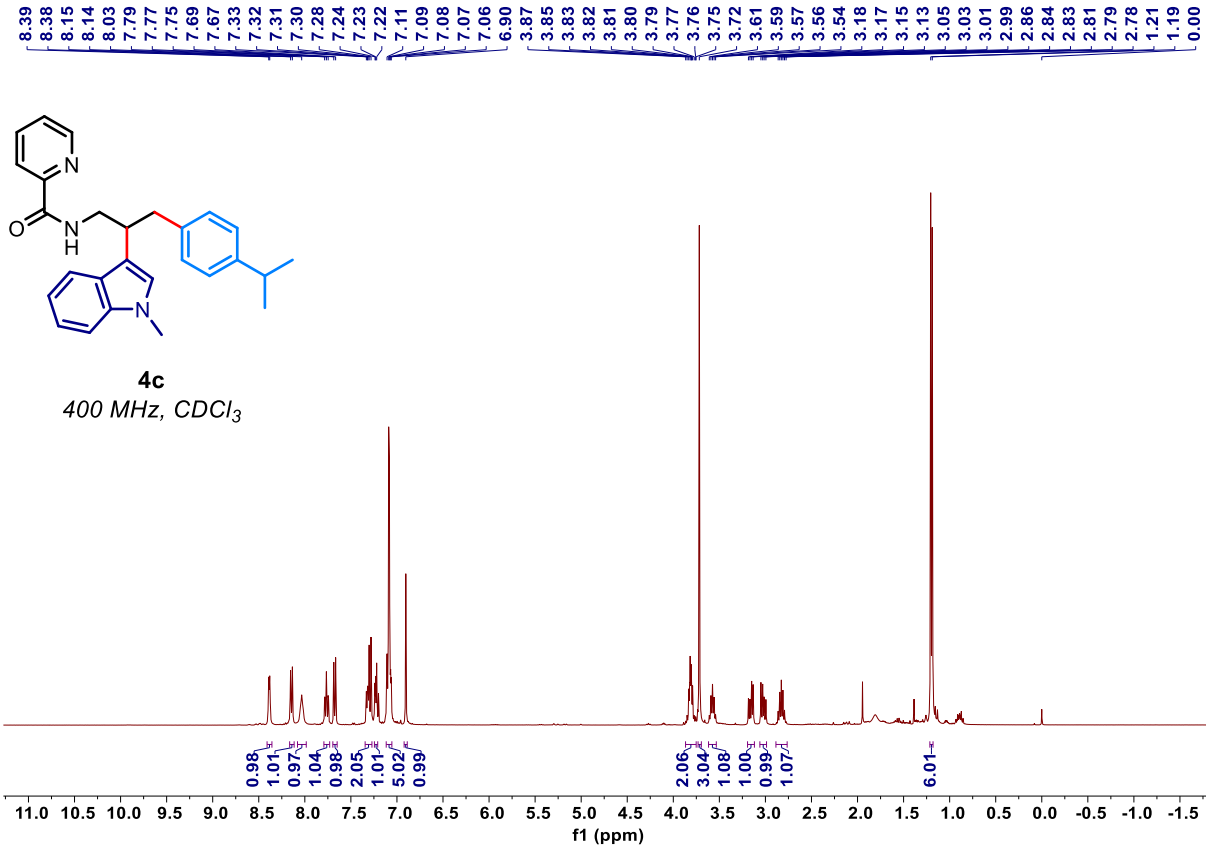
4b

400 MHz, $CDCl_3$



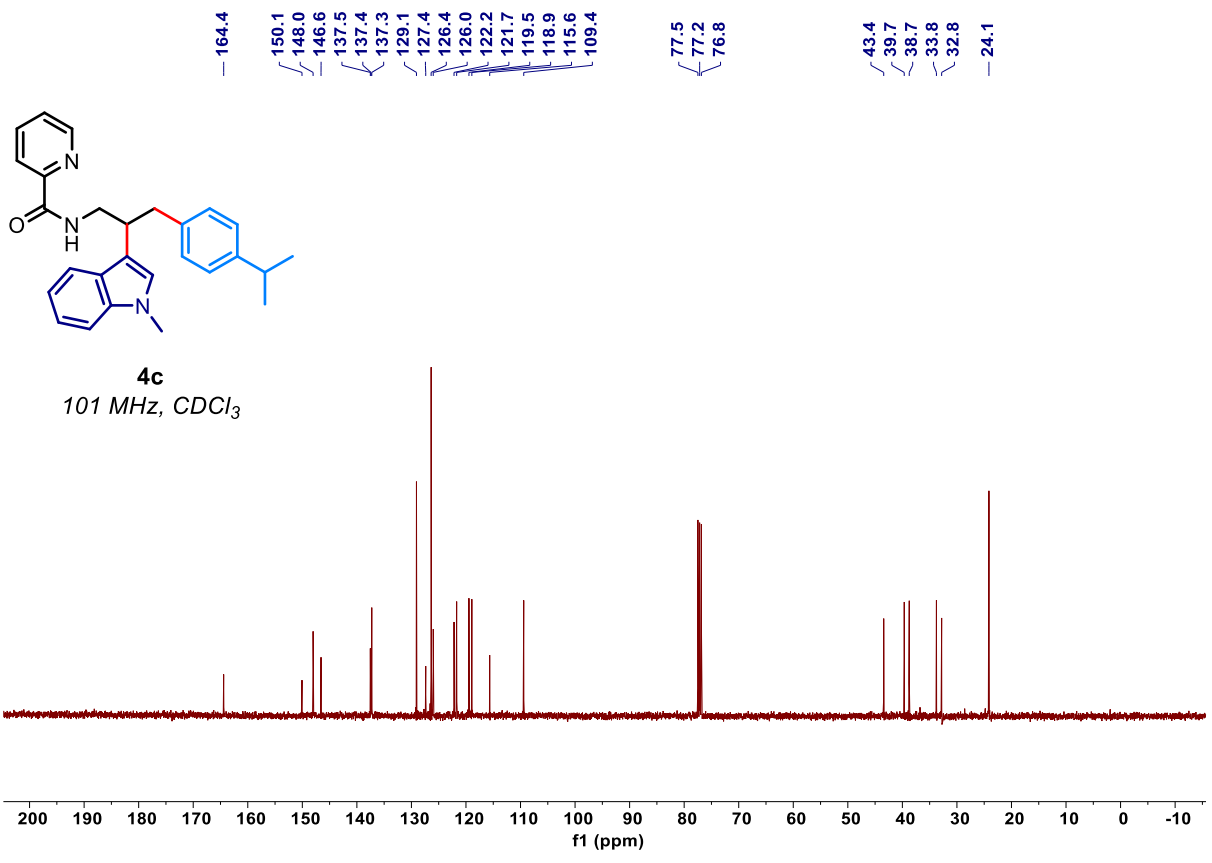
4b

101 MHz, $CDCl_3$



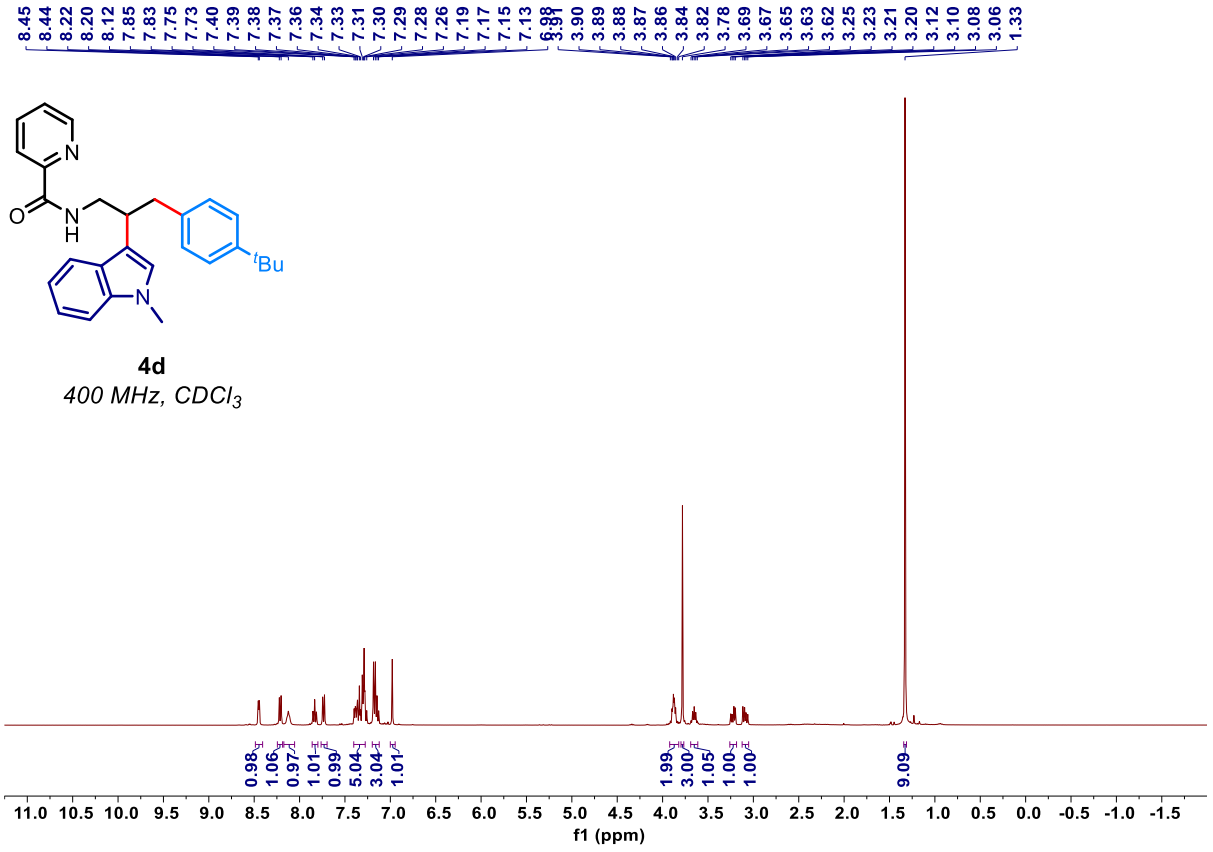
4c

400 MHz, $CDCl_3$



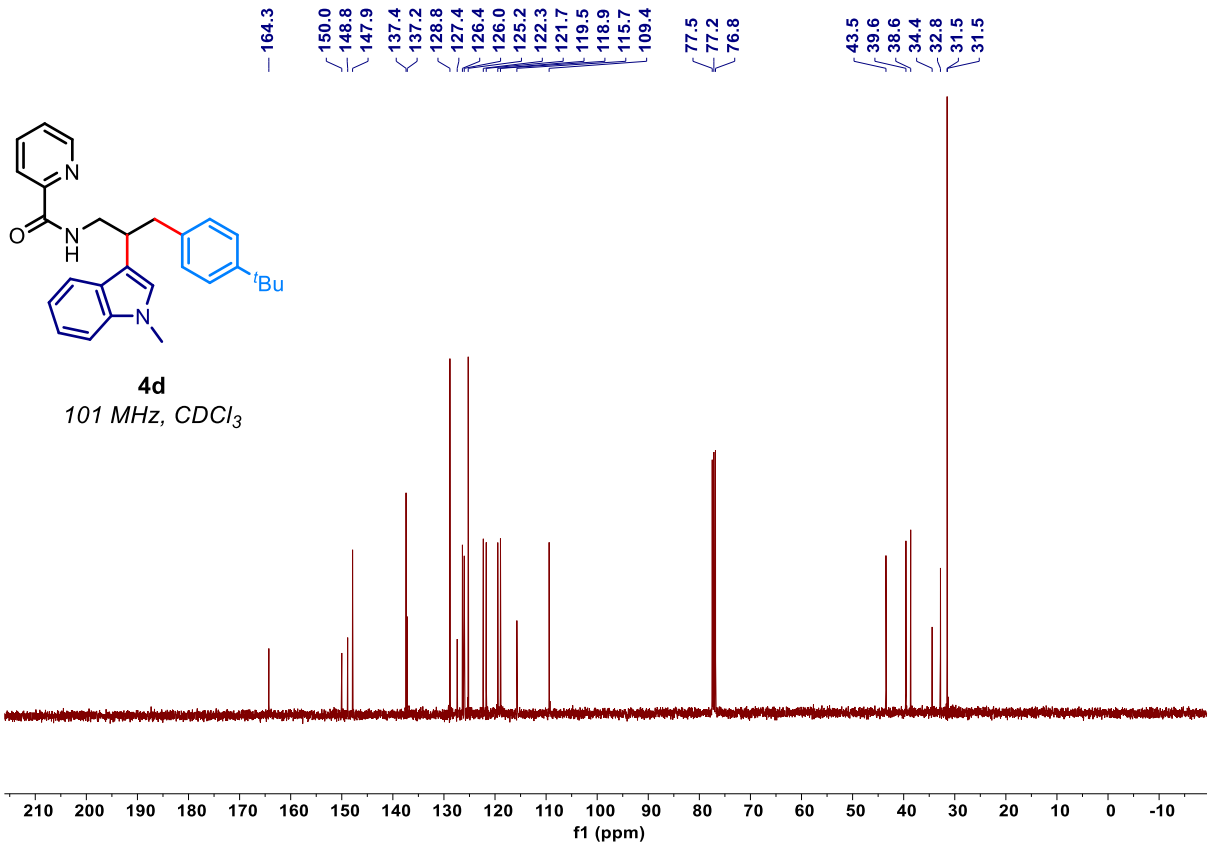
4c

101 MHz, $CDCl_3$



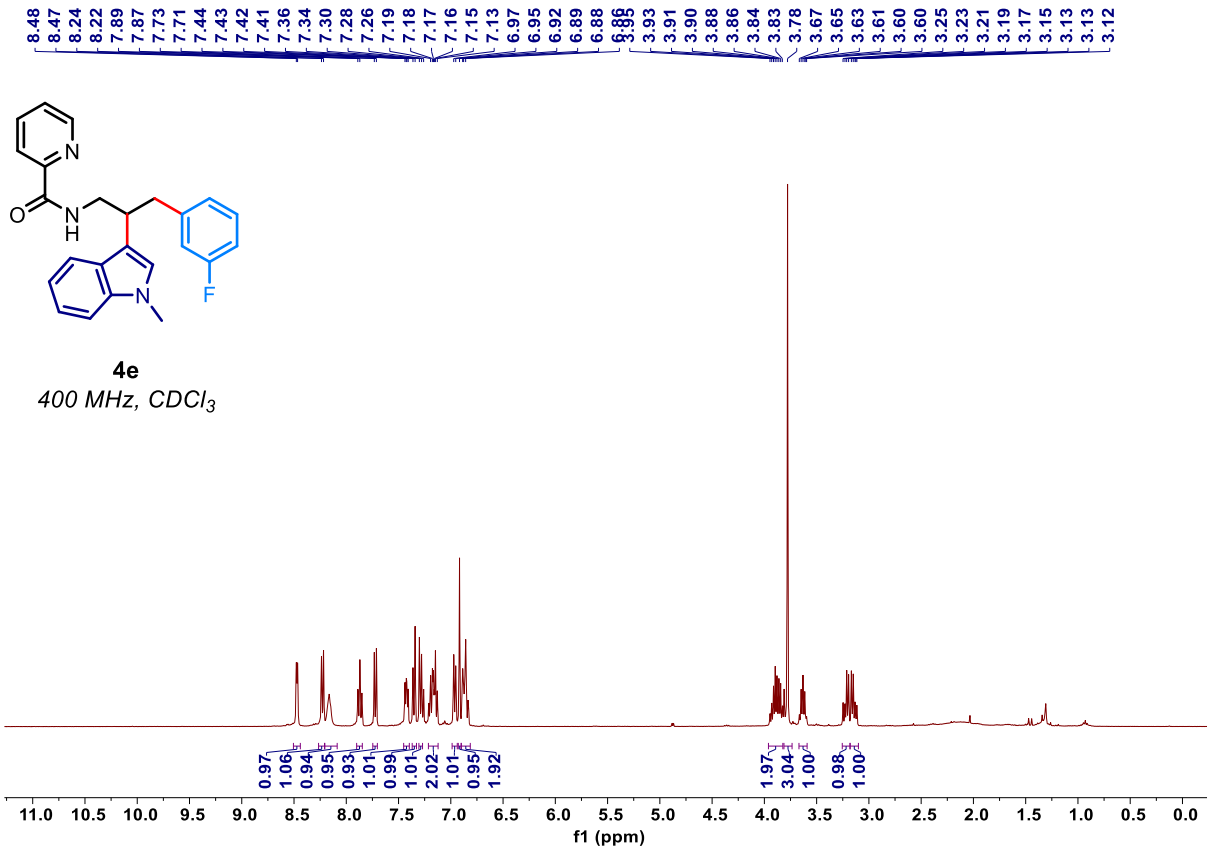
4d

400 MHz, $CDCl_3$



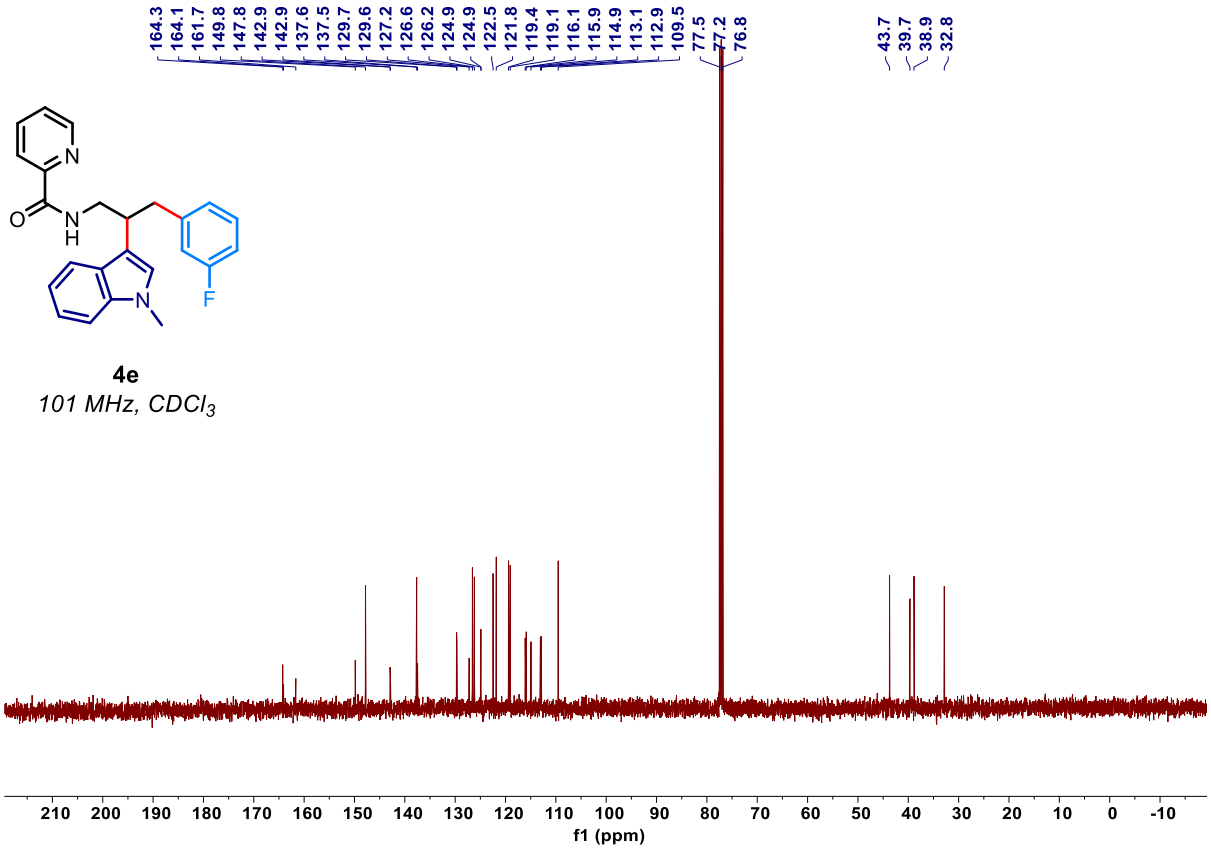
4d

101 MHz, $CDCl_3$



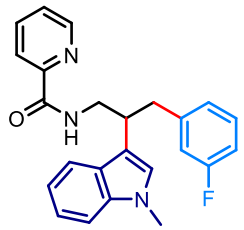
4e

400 MHz, $CDCl_3$



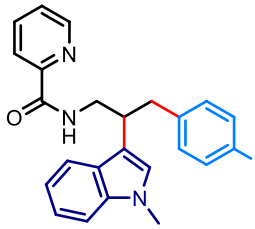
4e

101 MHz, $CDCl_3$



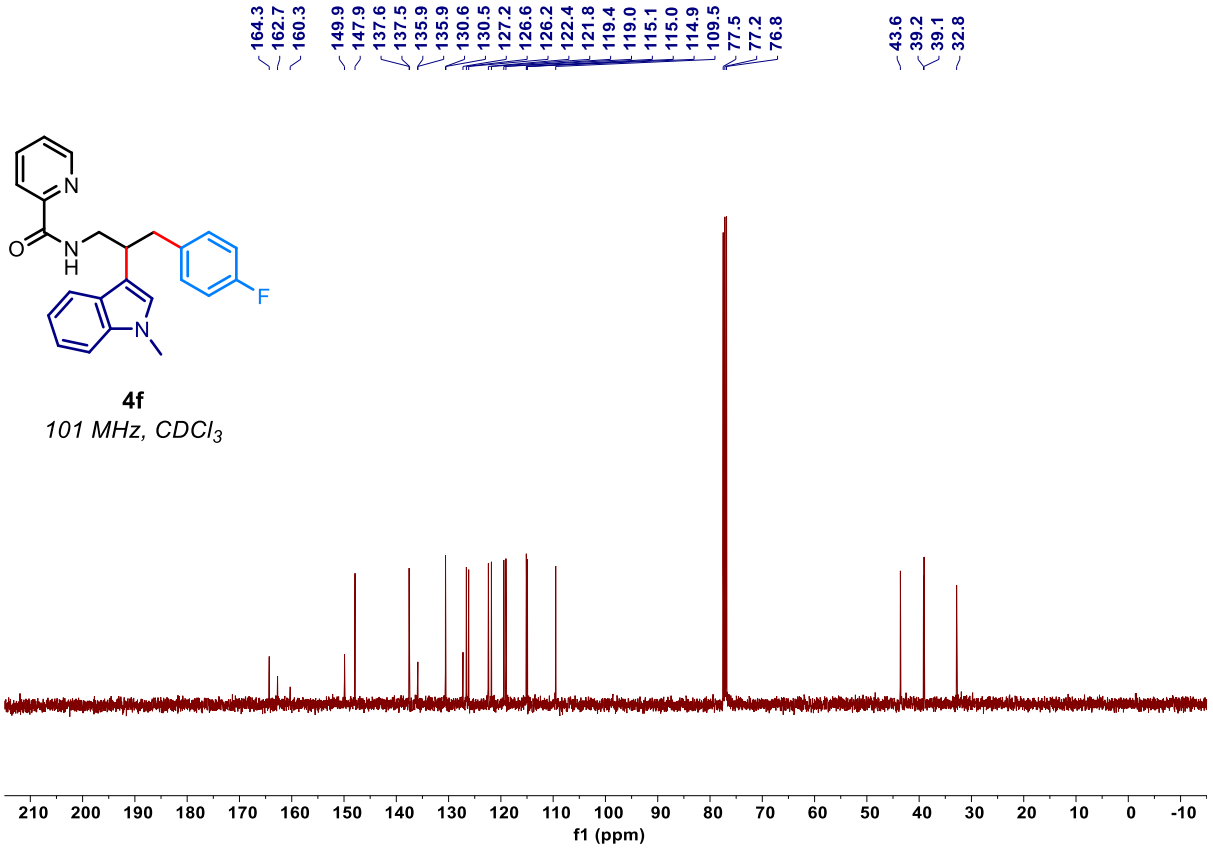
4e

471 MHz, $CDCl_3$



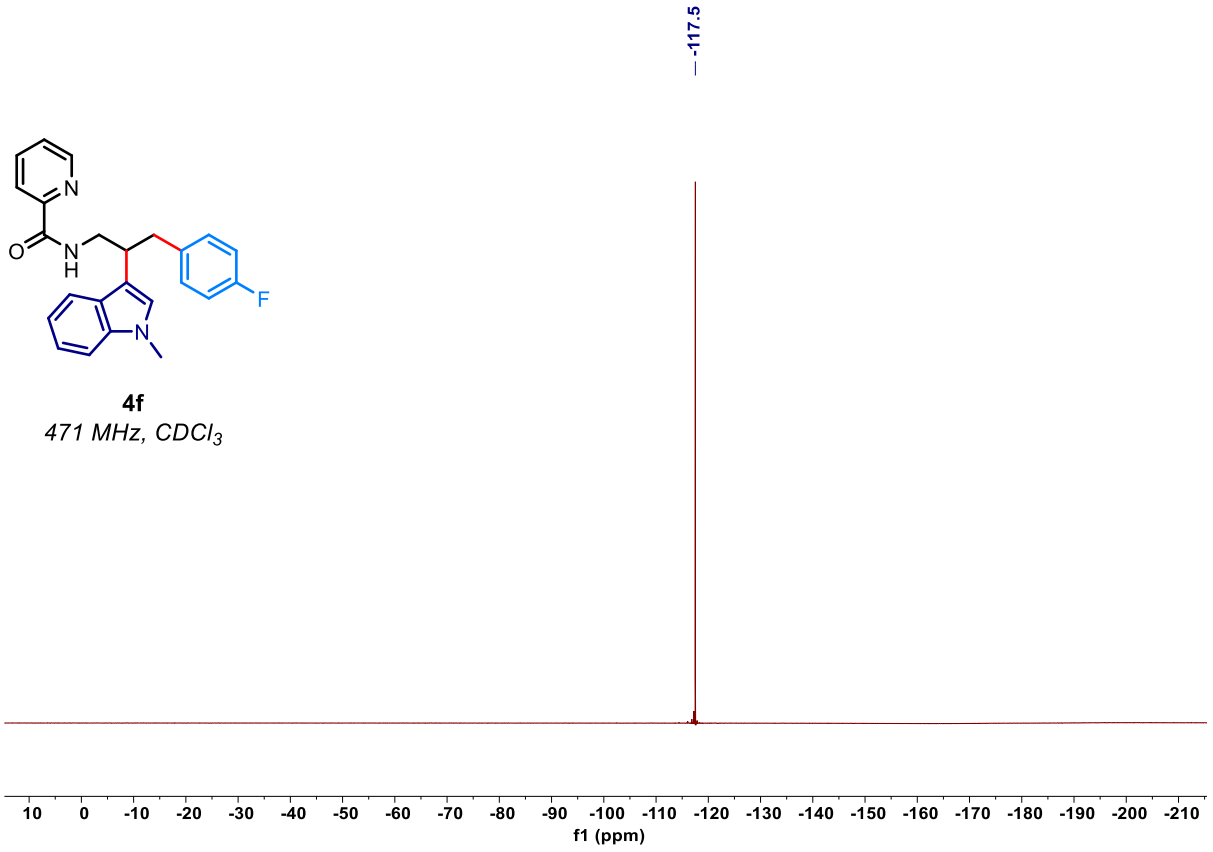
4f

400 MHz, $CDCl_3$



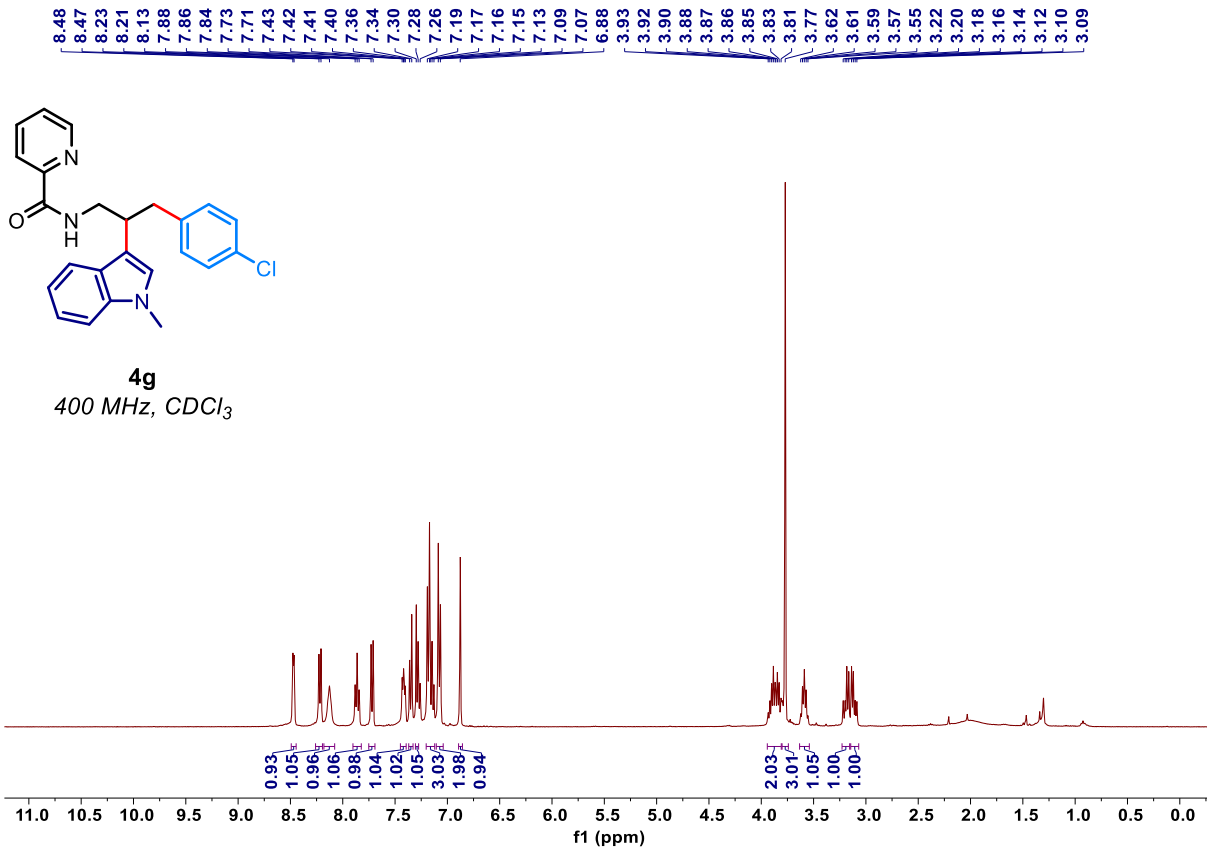
4f

101 MHz, $CDCl_3$



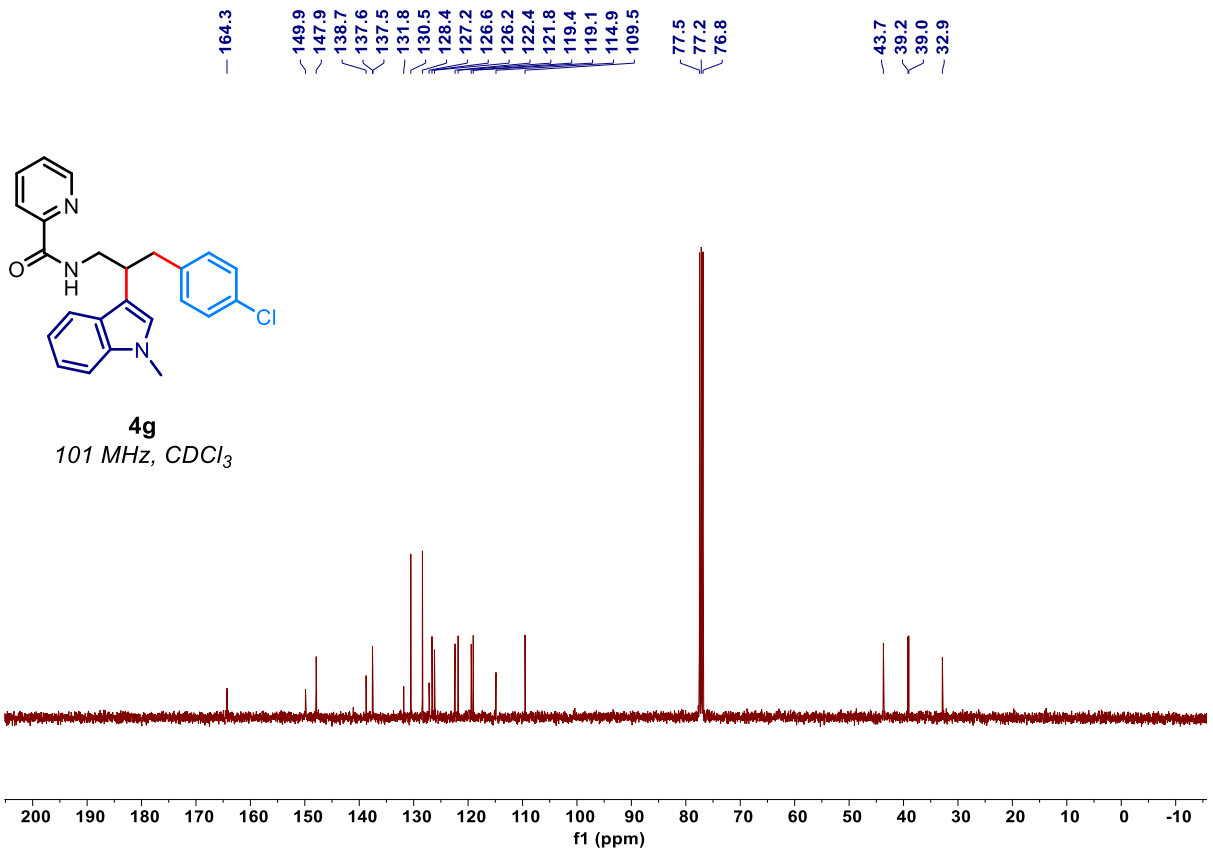
4f

471 MHz, $CDCl_3$



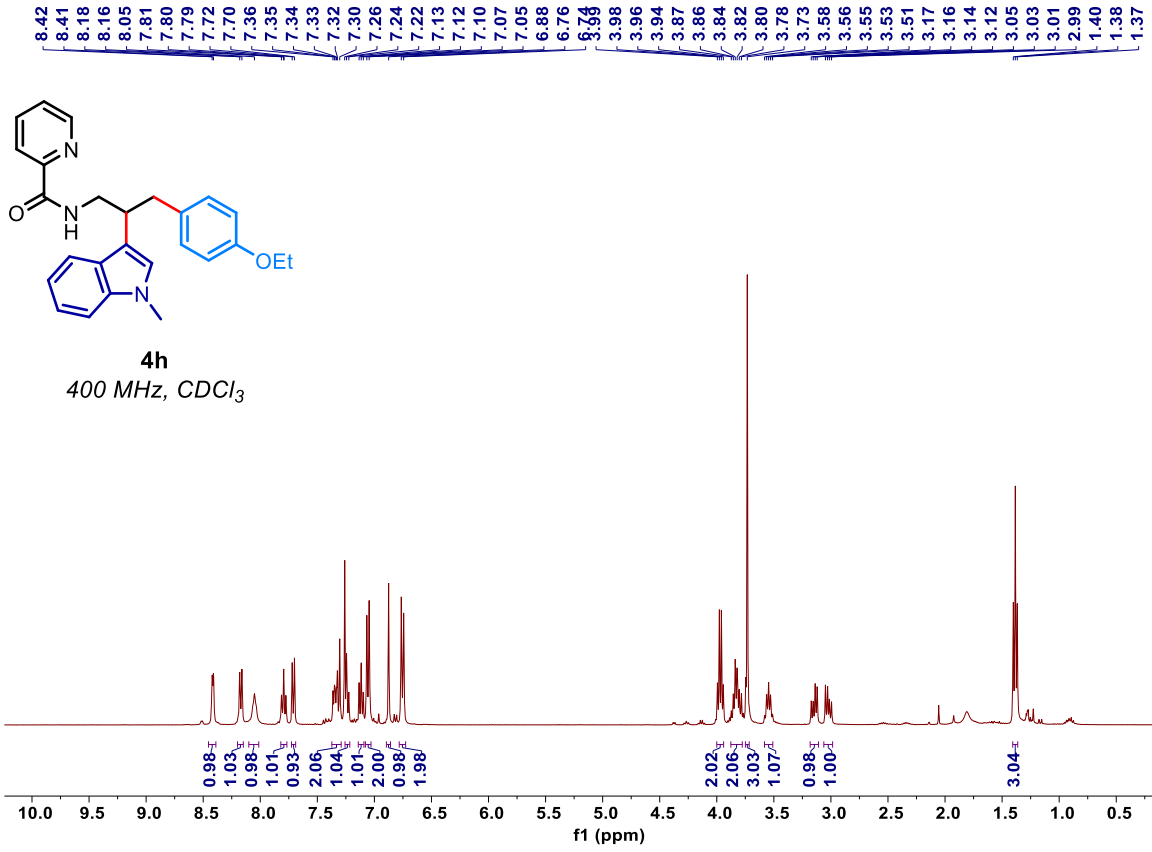
4g

400 MHz, $CDCl_3$



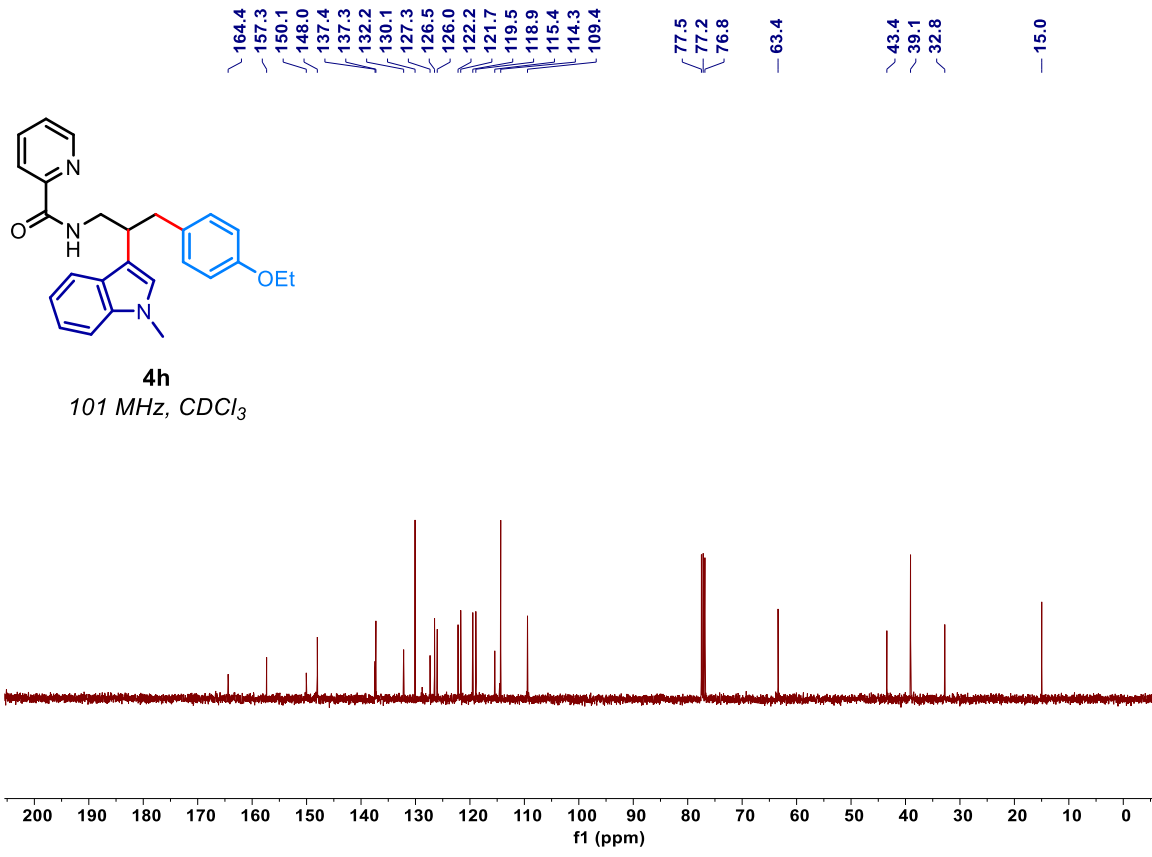
4g

101 MHz, $CDCl_3$



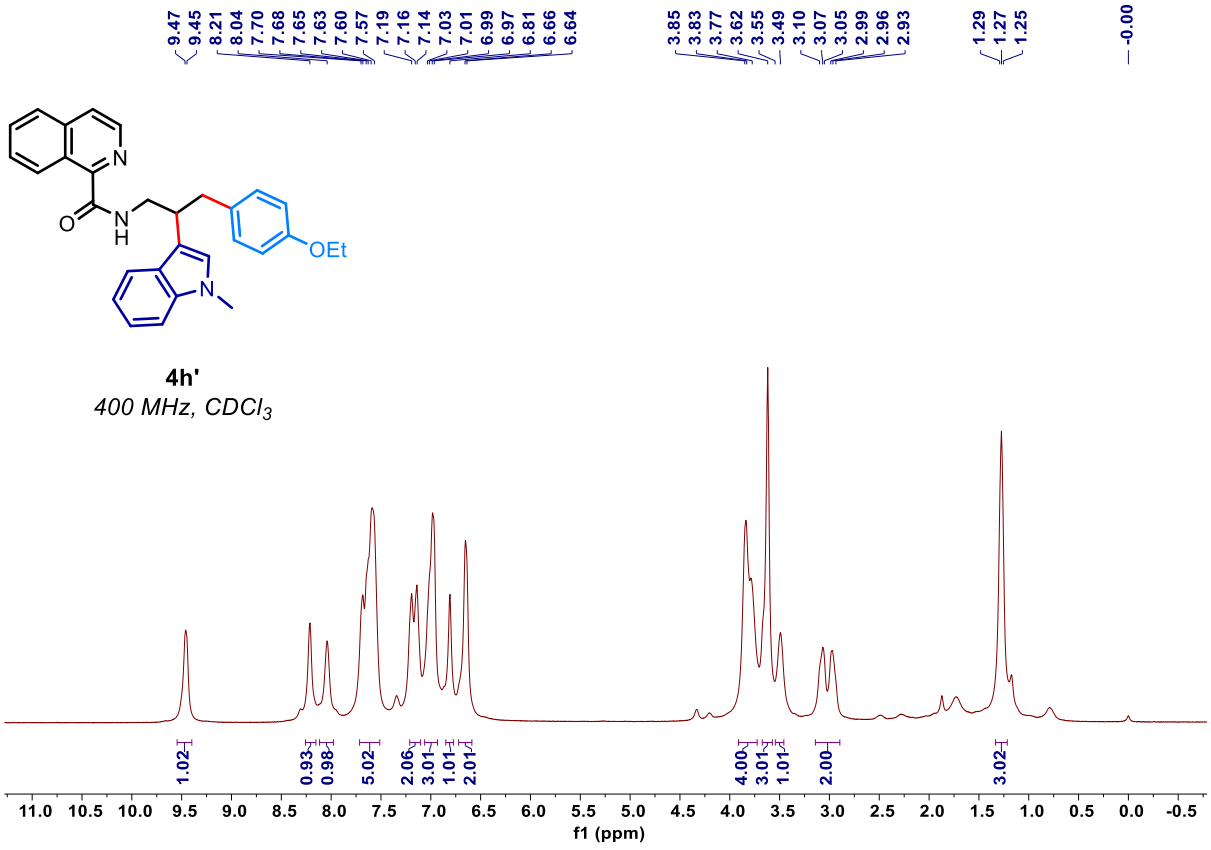
4h

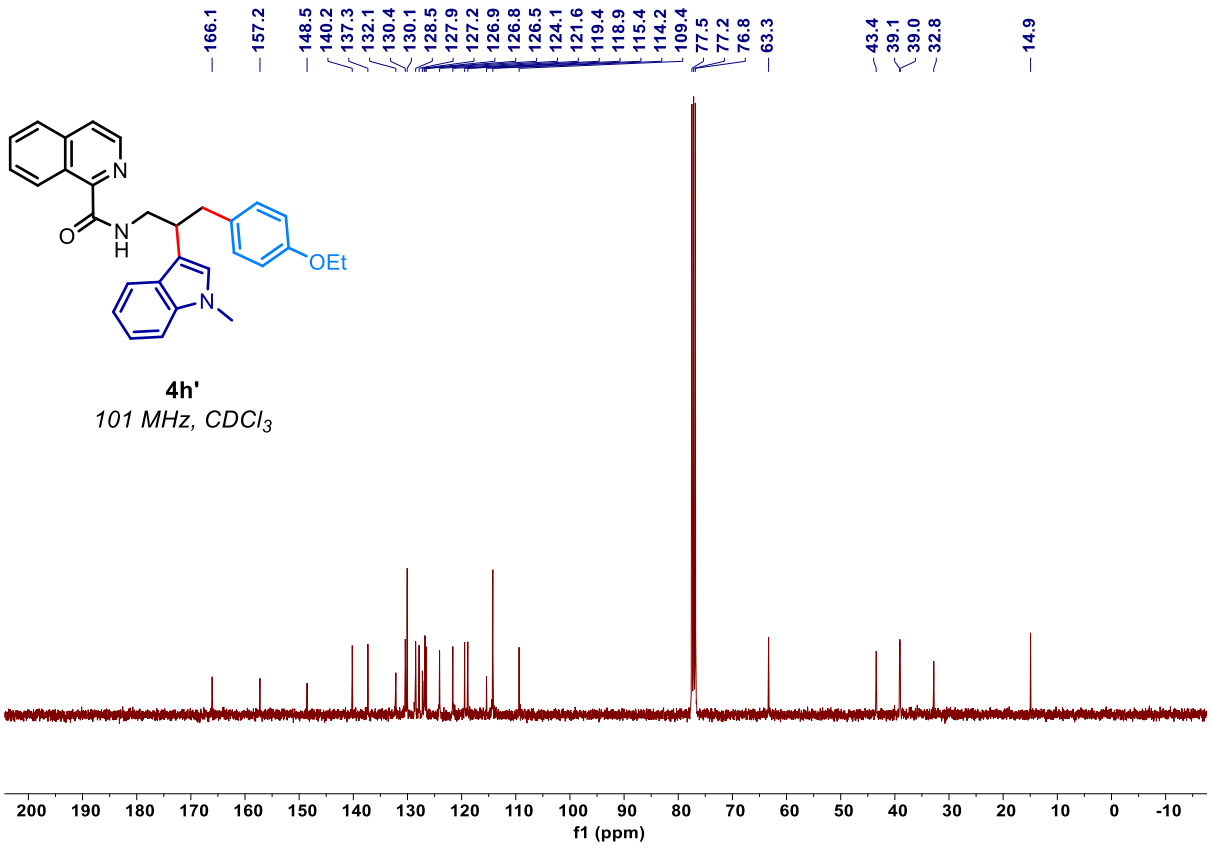
400 MHz, $CDCl_3$

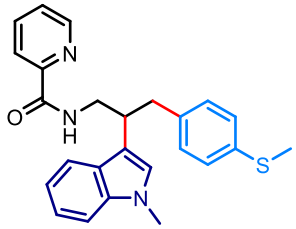


4h

101 MHz, $CDCl_3$

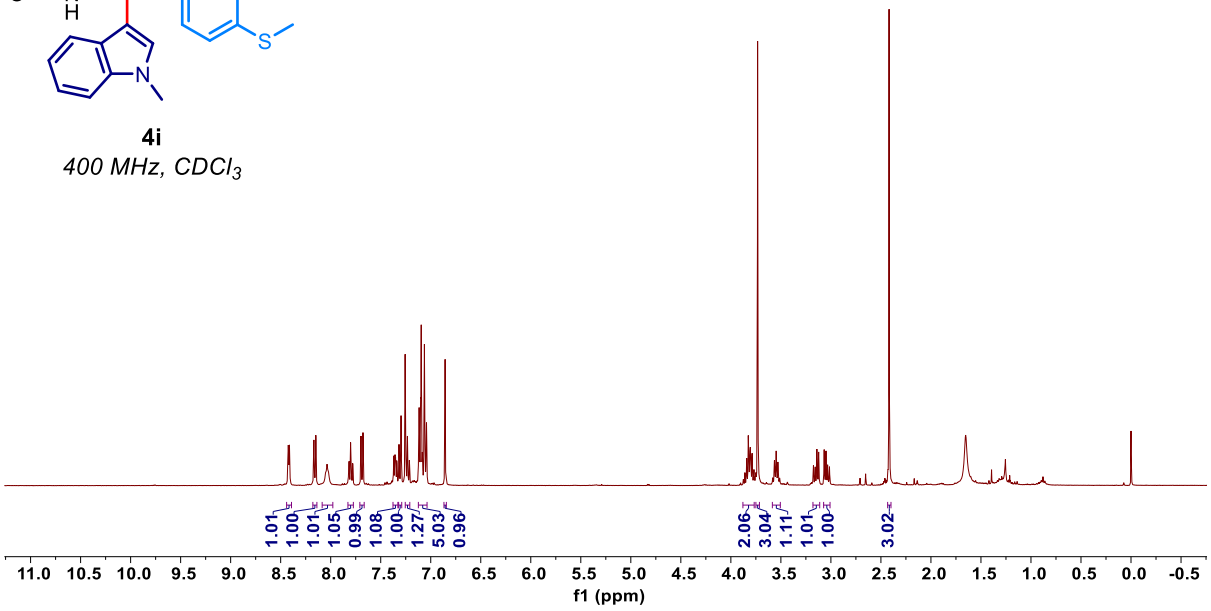

9.47
9.45
8.21
8.04
7.70
7.68
7.65
7.63
7.60
7.57
7.19
7.16
7.14
7.03
7.01
6.99
6.97
6.81
6.66
6.64
3.85
3.83
3.77
3.62
3.55
3.49
3.10
3.07
3.05
2.99
2.96
2.93
1.29
1.27
1.25
-0.00
N
O
N
H
OEt
N
4h'
400 MHz, CDCl3
1.02
0.93
0.98
5.02
2.06
3.01
1.01
2.01
4.00
3.01
1.01
2.00
3.02
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

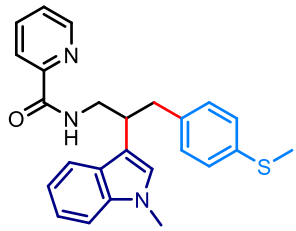

166.1
157.2
148.5
140.2
137.3
132.1
130.4
130.1
128.5
127.9
127.2
126.9
126.8
126.5
124.1
121.6
119.4
118.9
115.4
114.2
109.4
77.5
77.2
76.8
63.3
43.4
39.1
39.0
32.8
14.9
N
O
N
H
OEt
N
4h'
101 MHz, CDCl3
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



4i

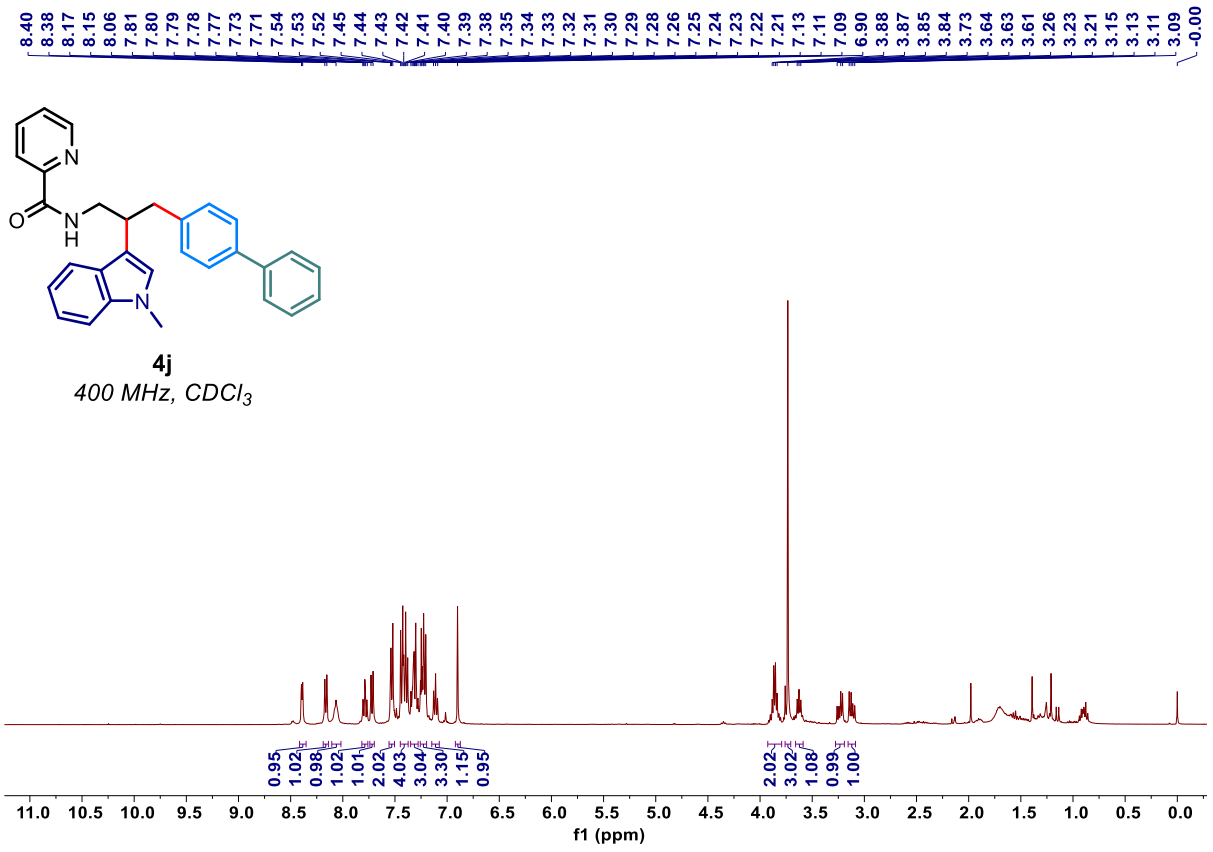
400 MHz, $CDCl_3$

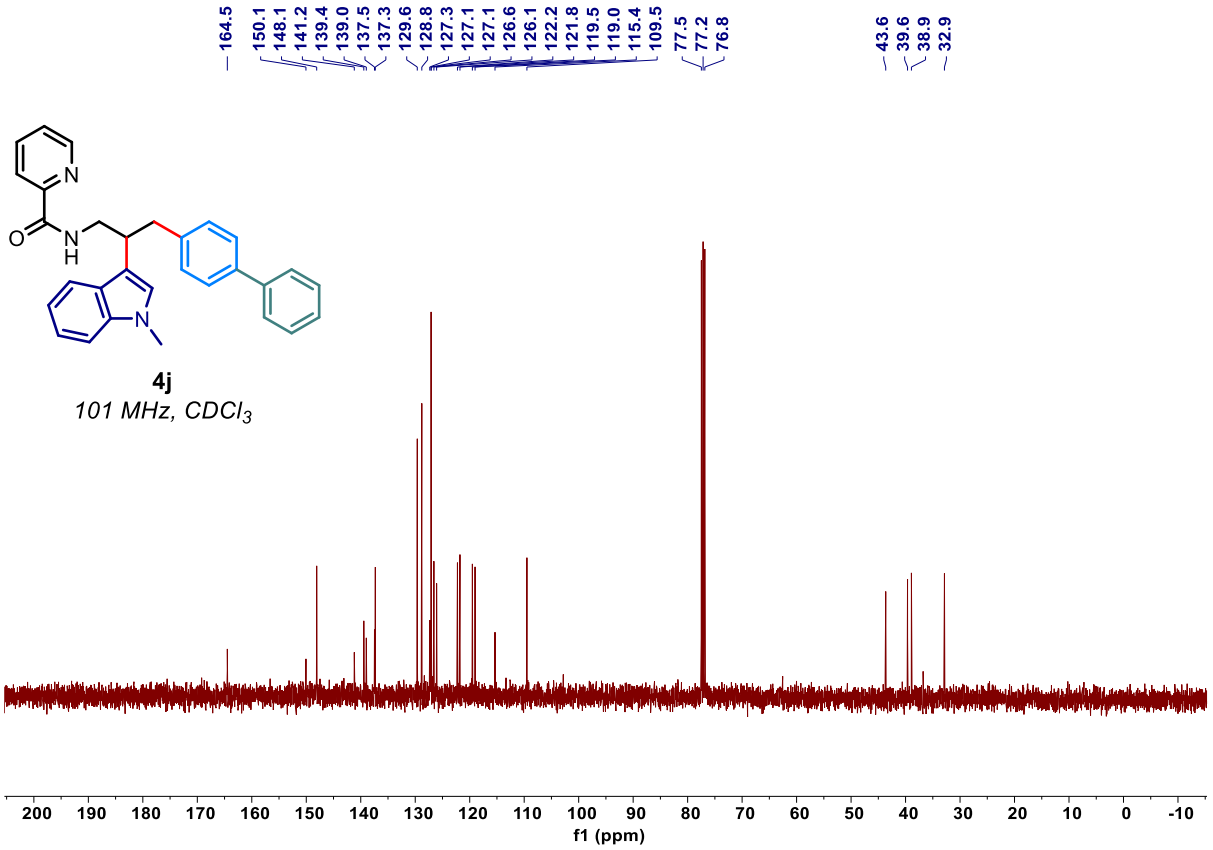


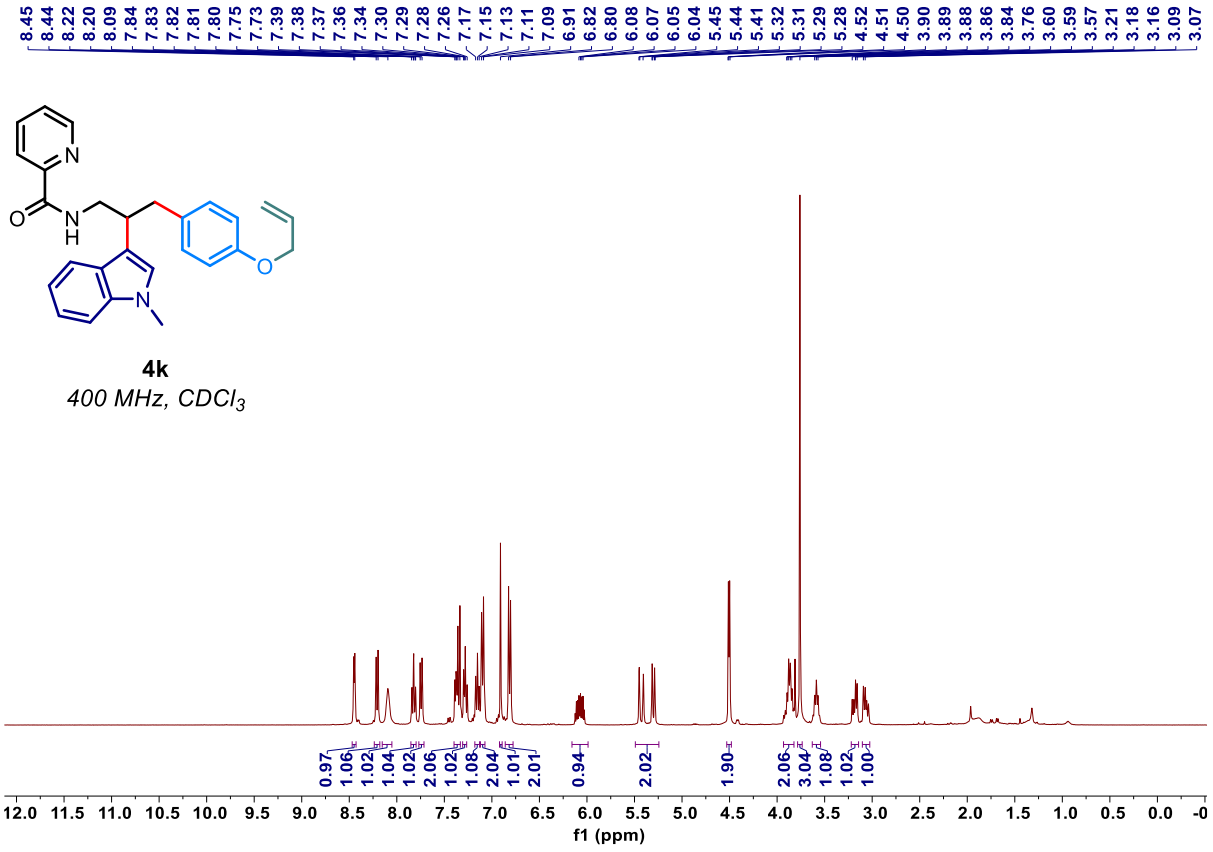


4i

101 MHz, $CDCl_3$

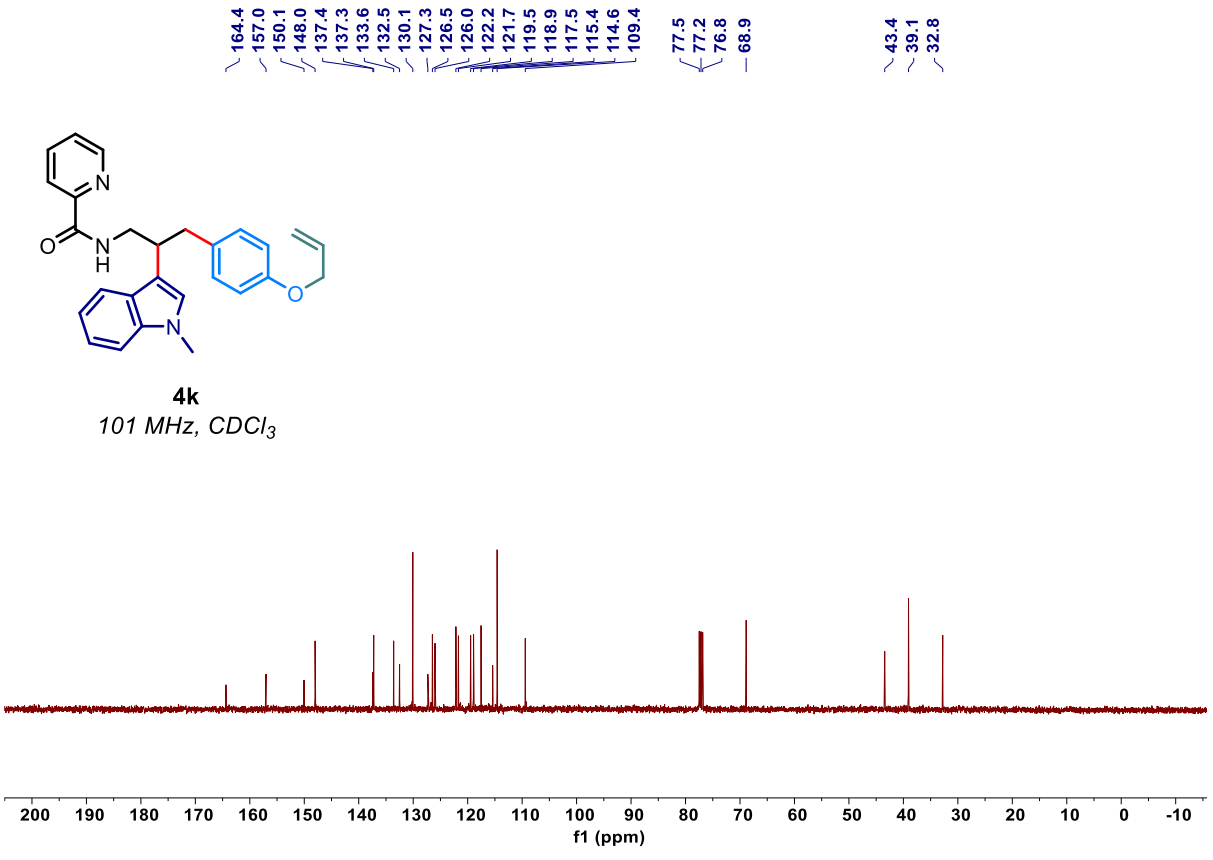

8.40 8.38 8.17 8.15 8.06 7.81 7.80 7.79 7.78 7.77 7.73 7.71 7.54 7.53 7.52 7.45 7.44 7.43 7.42 7.41 7.40 7.39 7.38 7.35 7.34 7.33 7.32 7.31 7.30 7.29 7.28 7.26 7.25 7.24 7.23 7.22 7.21 7.13 7.11 7.09 6.90 3.88 3.87 3.85 3.84 3.73 3.64 3.63 3.61 3.26 3.23 3.21 3.15 3.13 3.11 3.09 -0.00
4j
400 MHz, CDCl3
0.95 1.02 0.98 1.02 1.01 2.02 4.03 3.04 3.30 1.15 0.95
2.02 3.02 1.08 0.99 1.00
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


164.5 150.1 148.1 141.2 139.4 139.0 137.5 137.3 129.6 128.8 127.3 127.1 127.1 126.6 126.1 122.2 121.8 119.5 119.0 115.4 109.5 77.5 77.2 76.8 43.6 39.6 38.9 32.9
4j
101 MHz, CDCl3
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



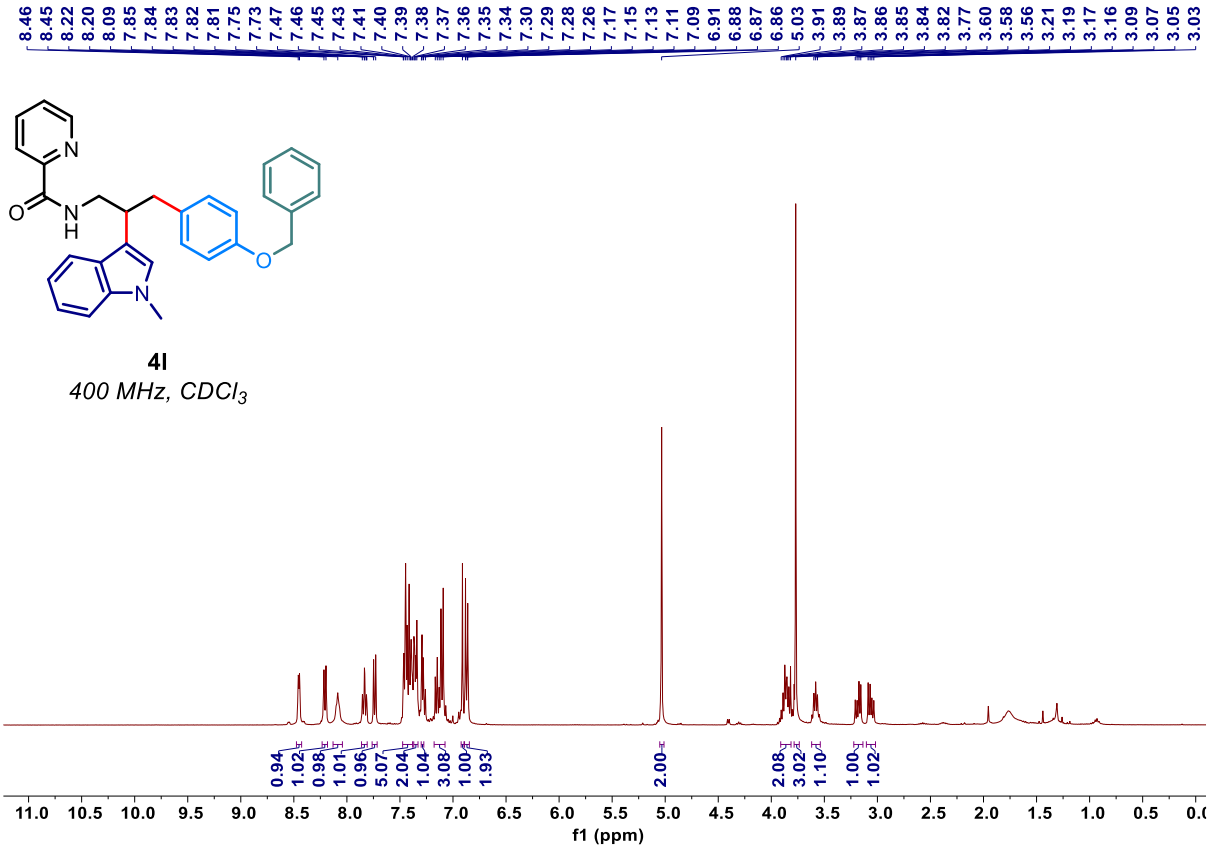
4k

400 MHz, $CDCl_3$



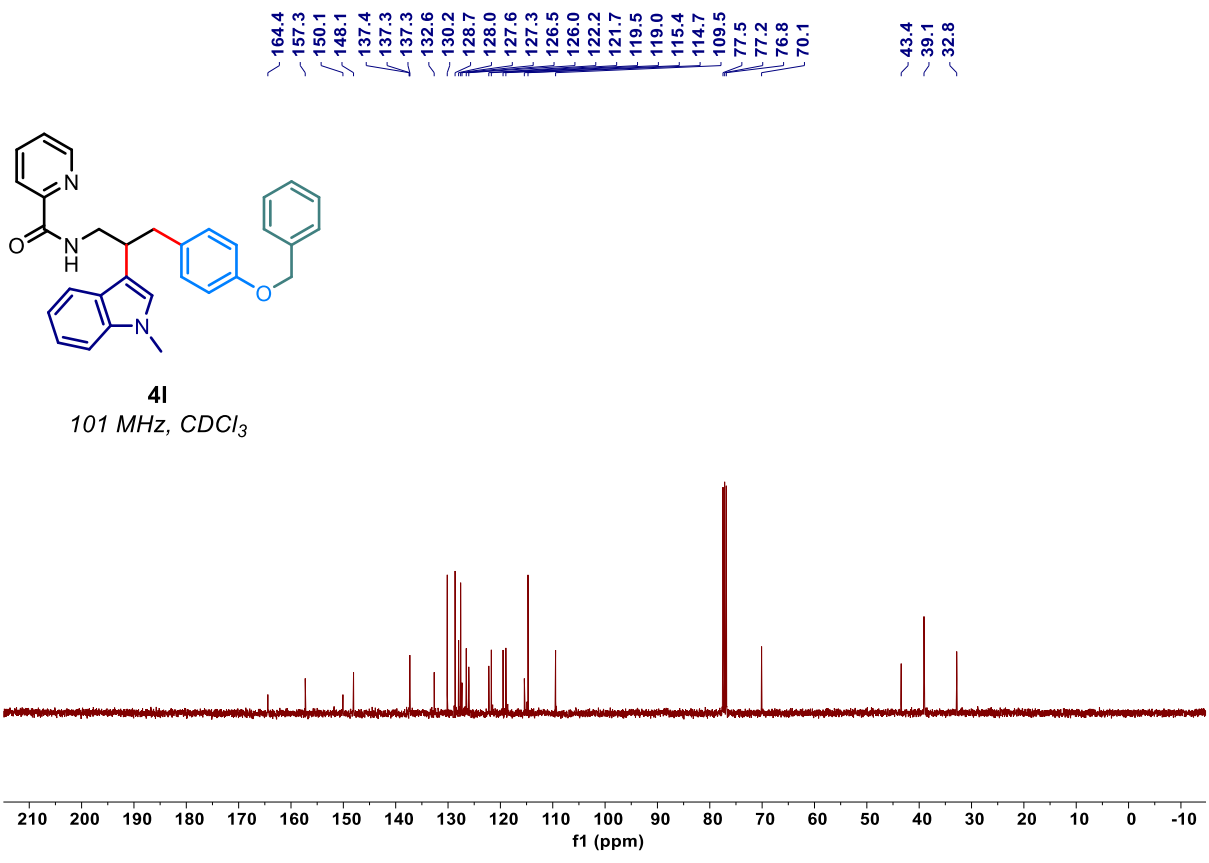
4k

101 MHz, $CDCl_3$



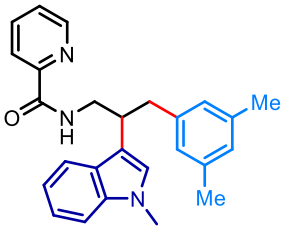
4l

400 MHz, $CDCl_3$



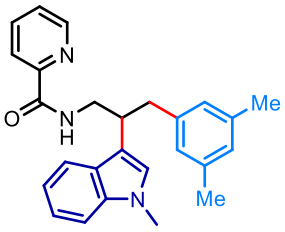
4l

101 MHz, $CDCl_3$



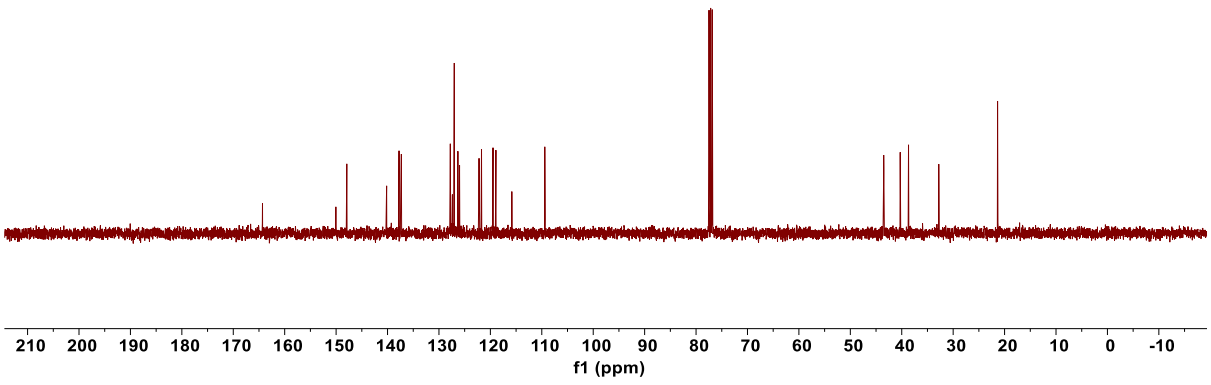
4m

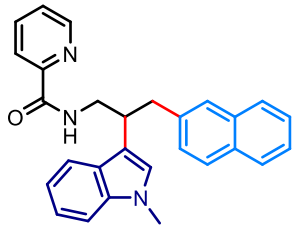
400 MHz, $CDCl_3$



4m

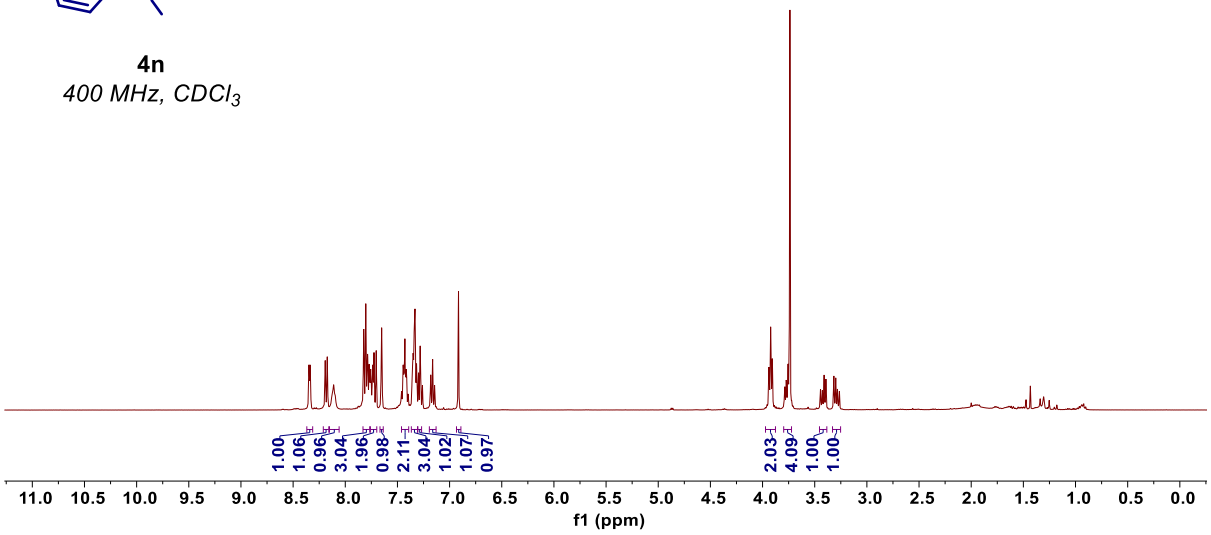
101 MHz, $CDCl_3$

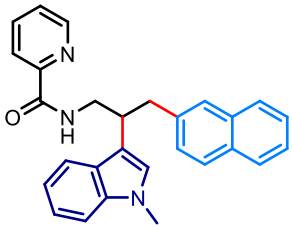




4n

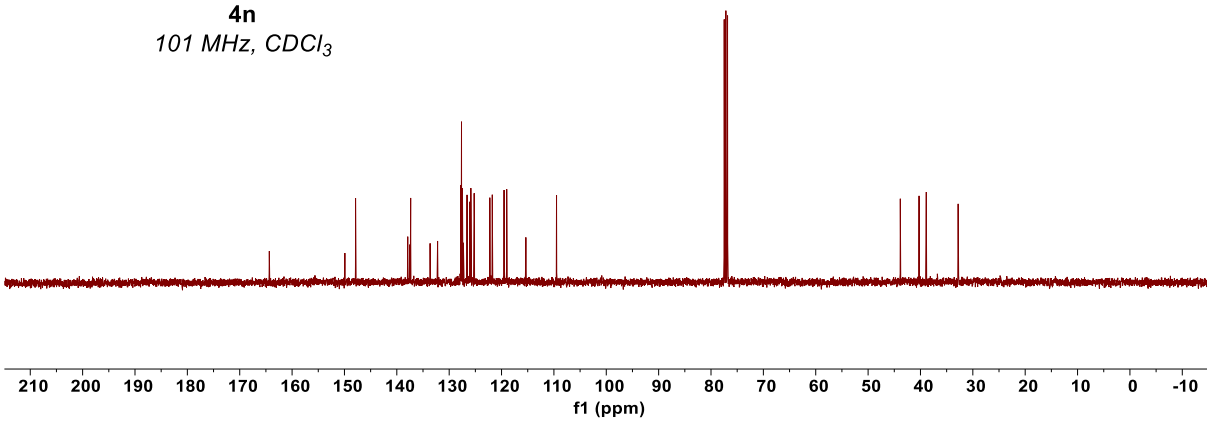
400 MHz, $CDCl_3$

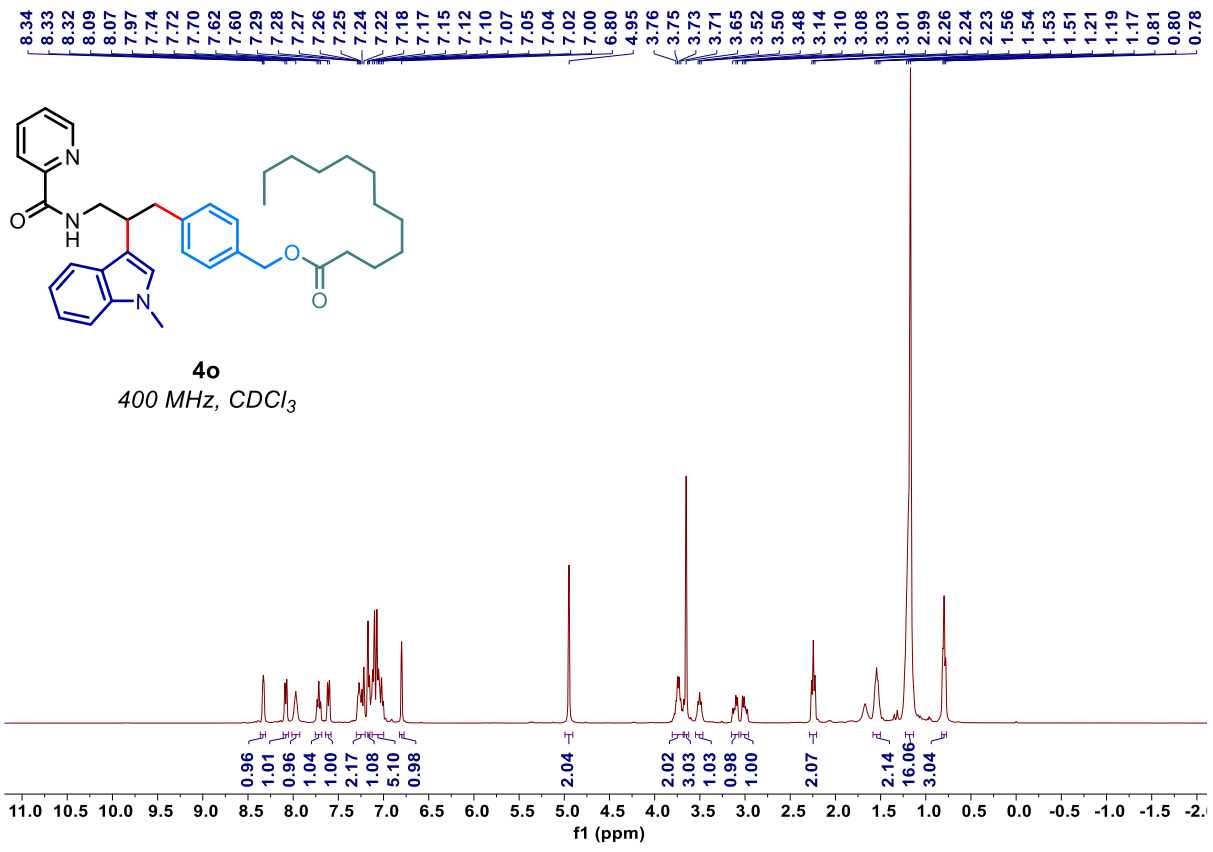




4n

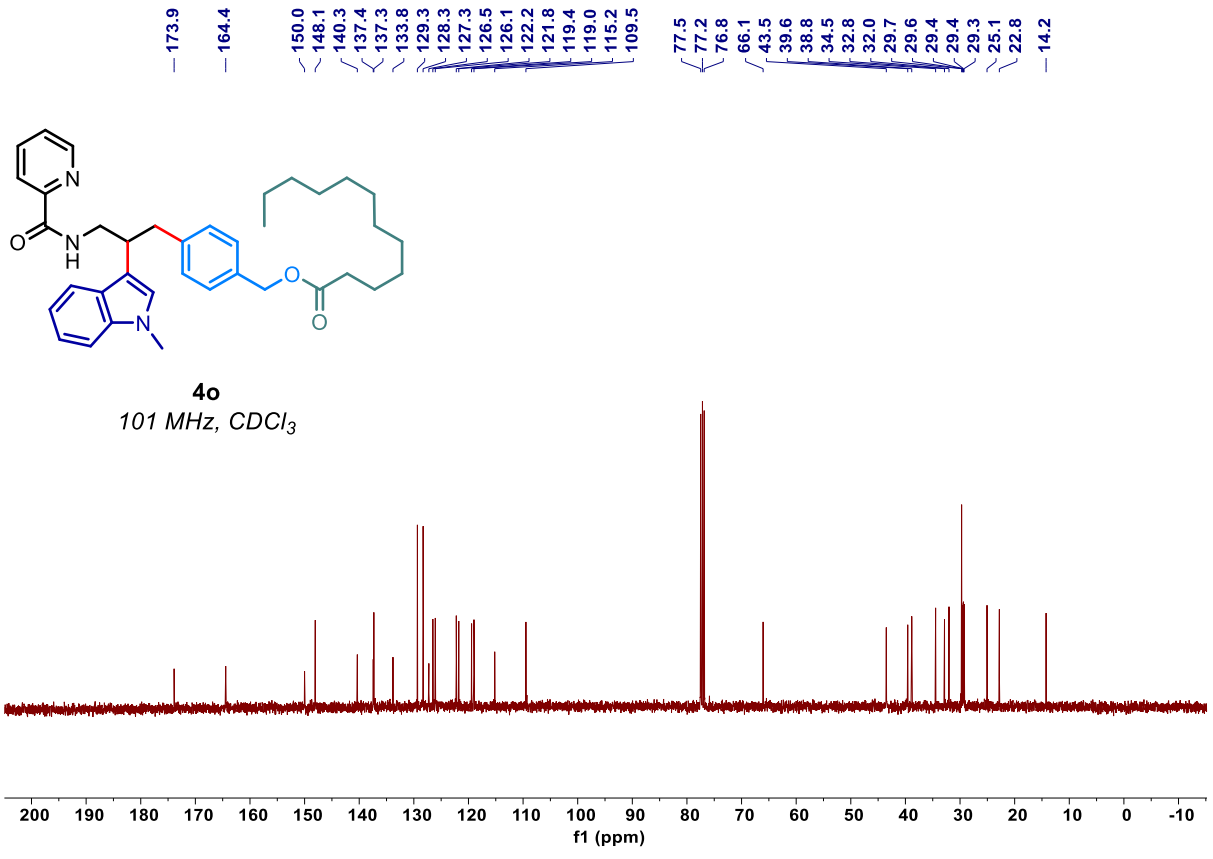
101 MHz, $CDCl_3$





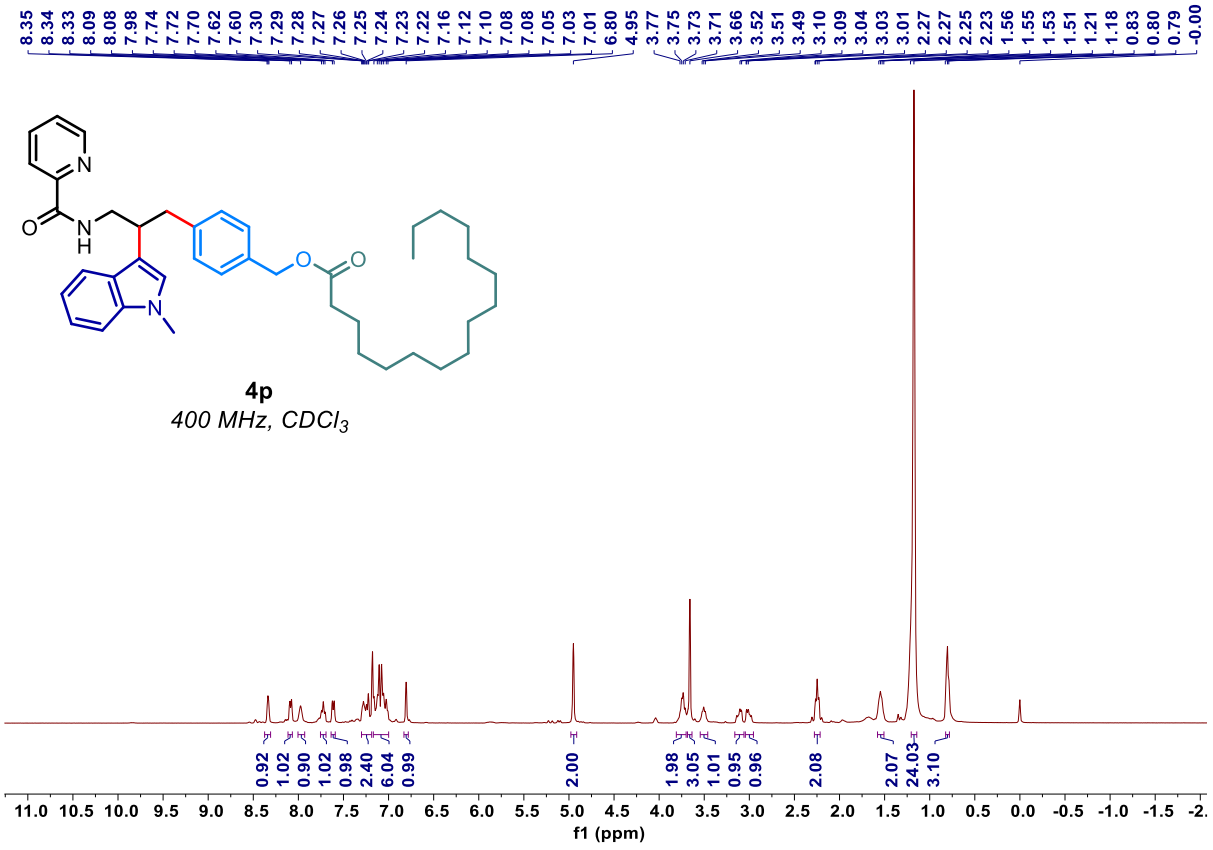
4o

400 MHz, $CDCl_3$



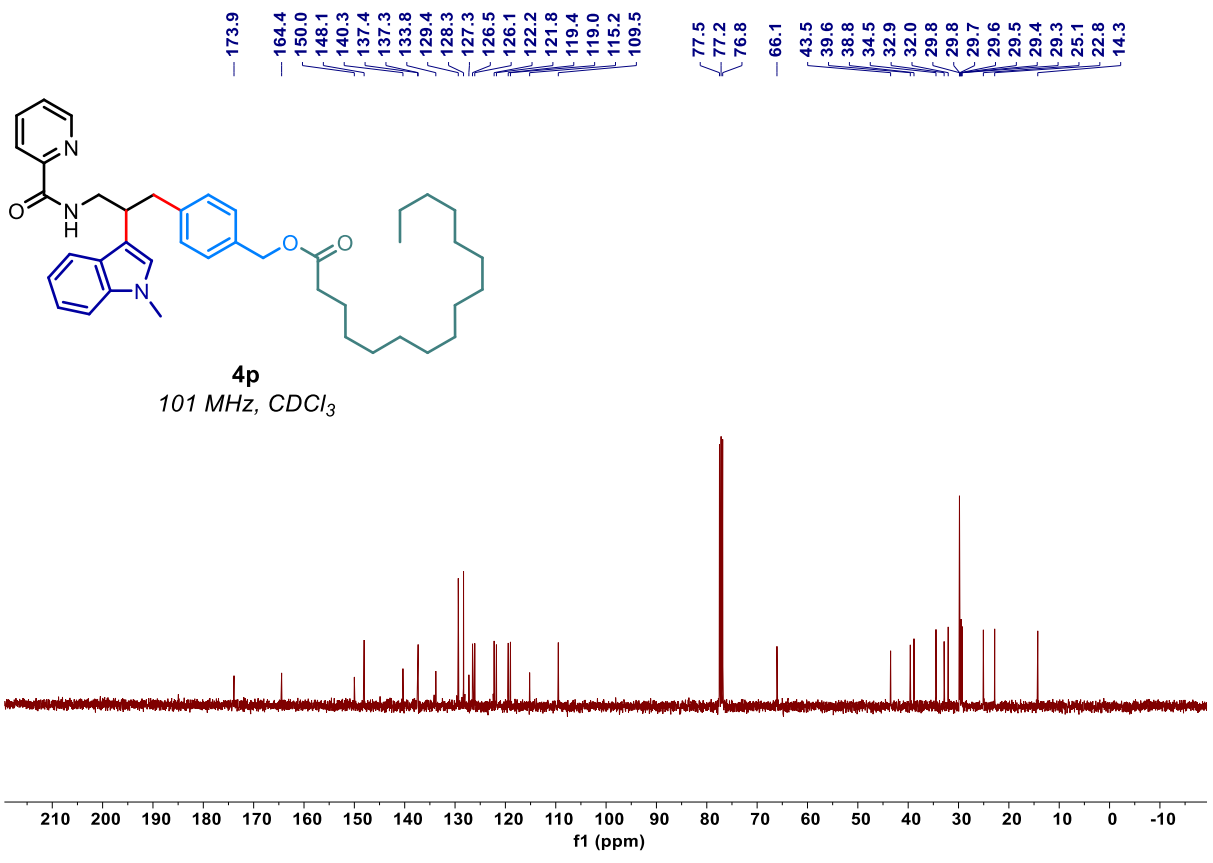
4o

101 MHz, $CDCl_3$



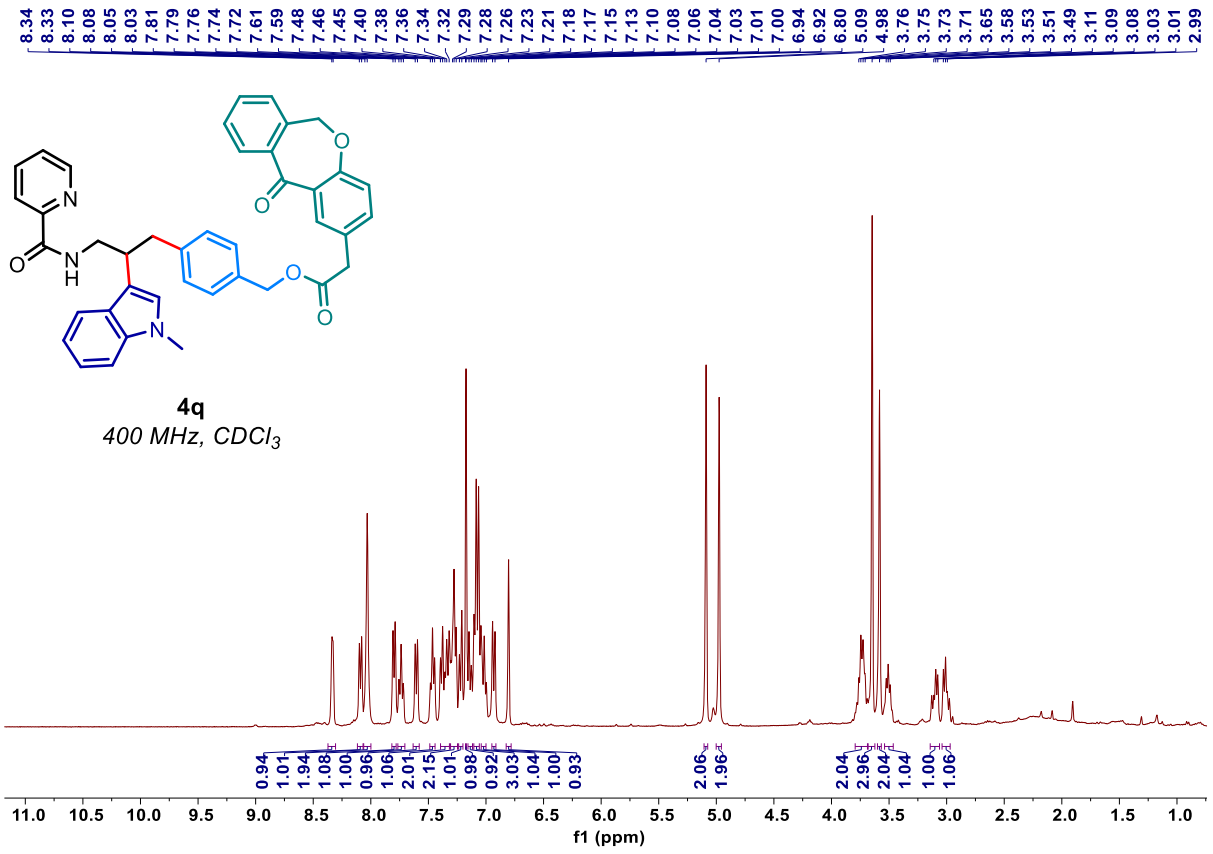
4p

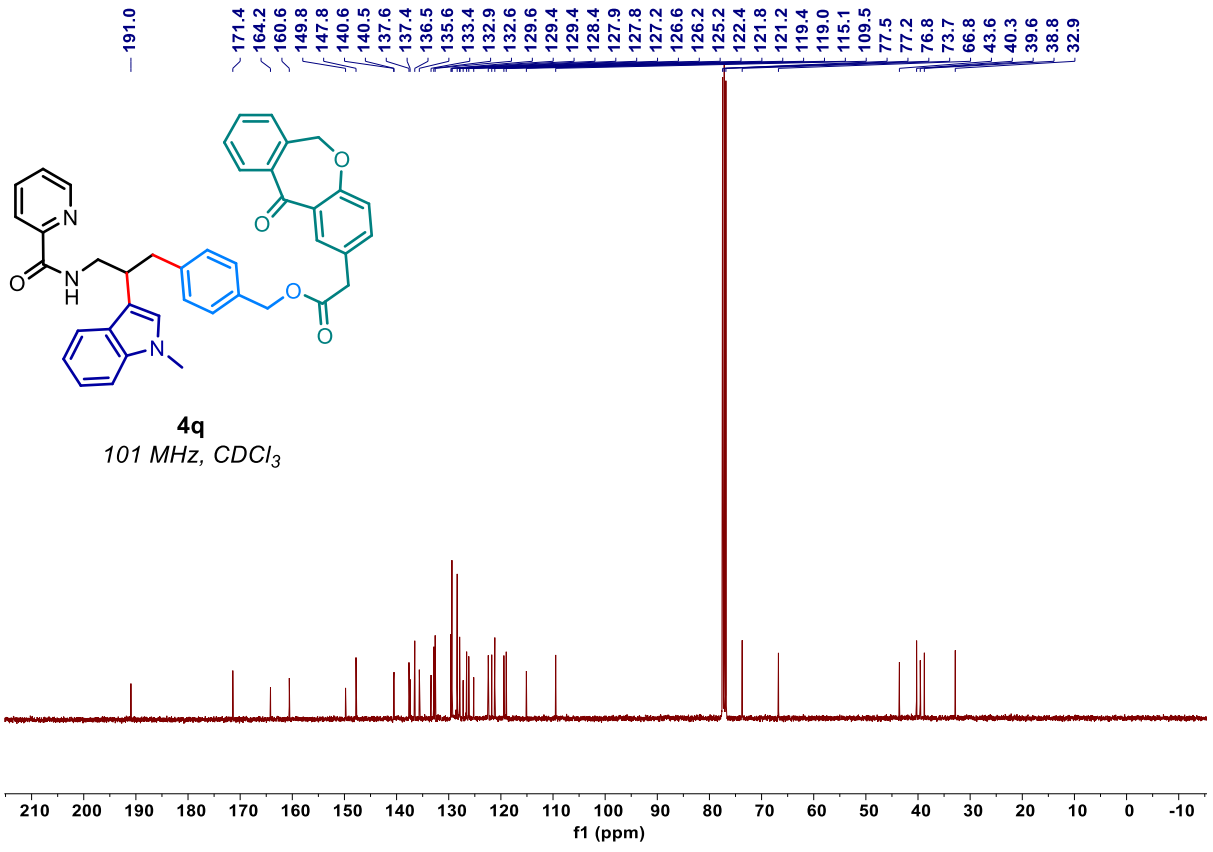
400 MHz, $CDCl_3$

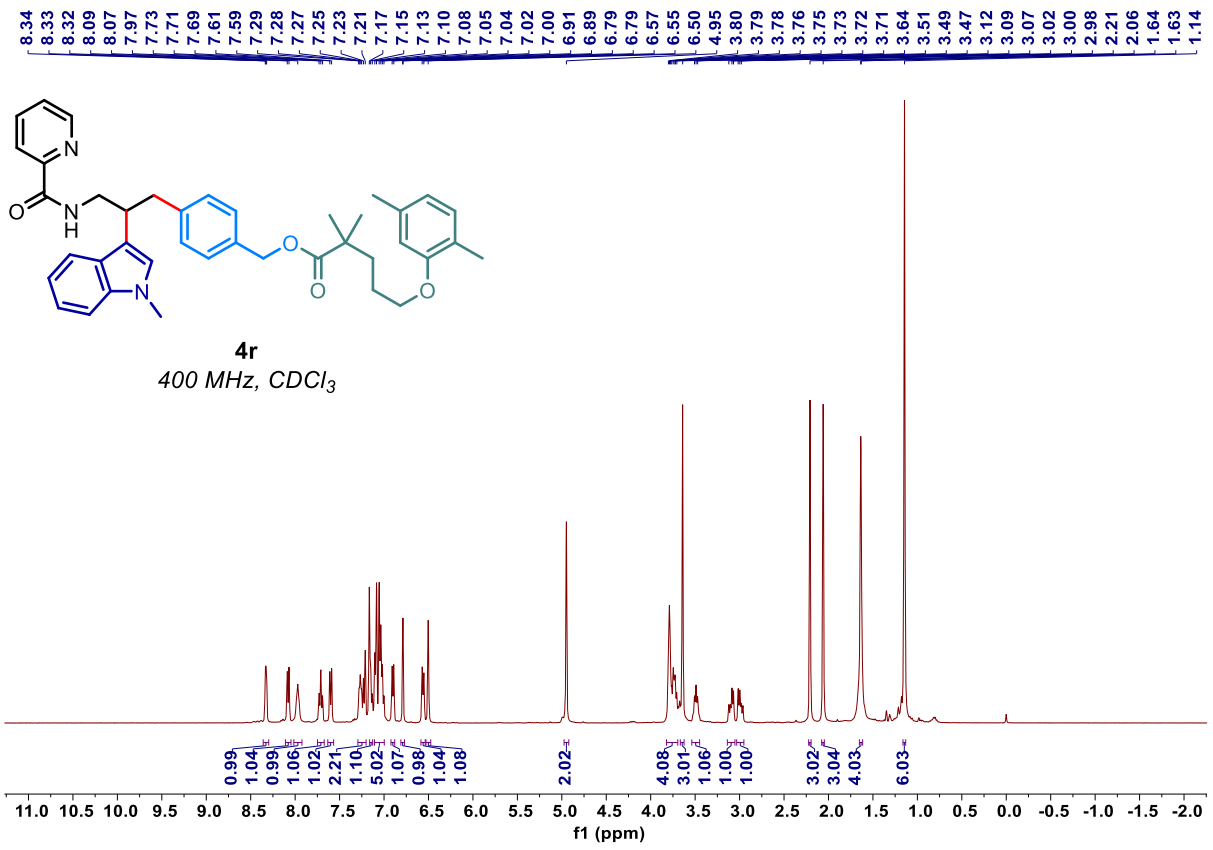


4p

101 MHz, $CDCl_3$

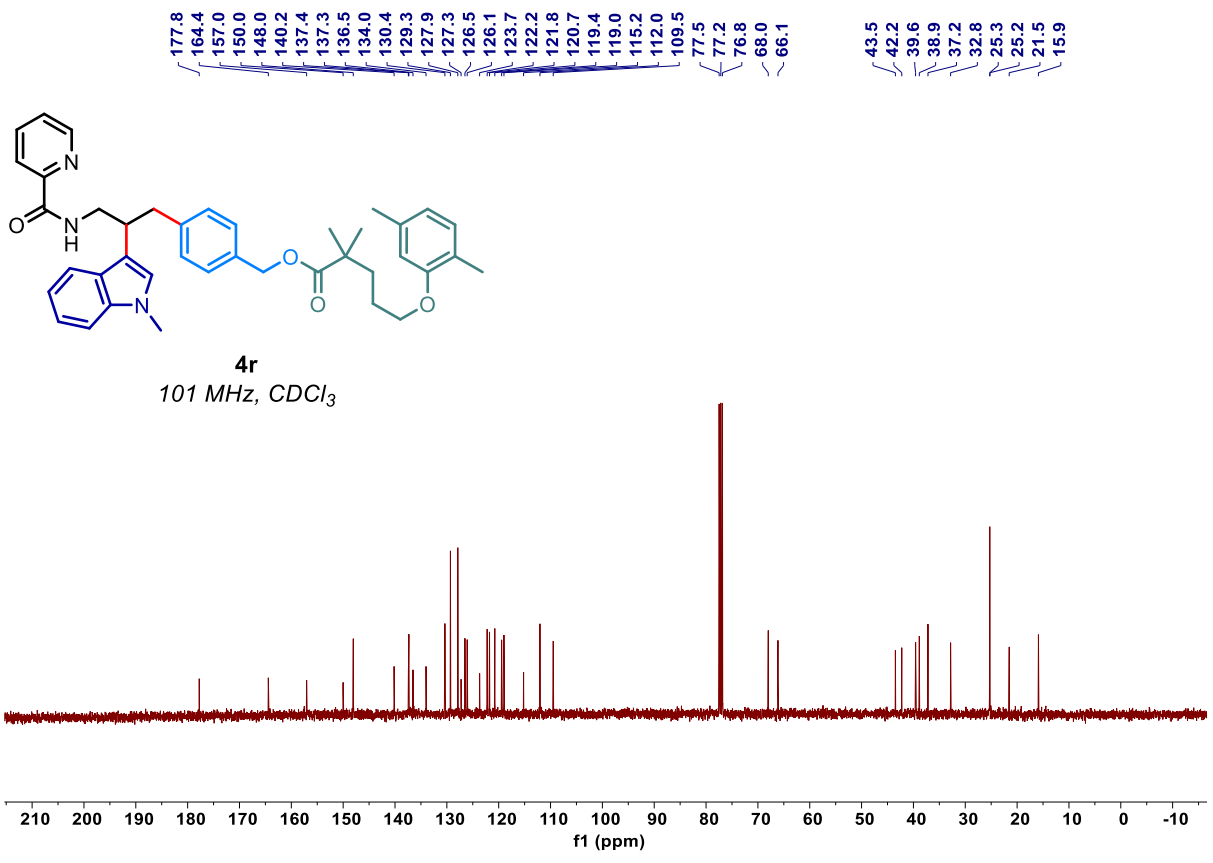

8.34 8.33 8.10 8.08 8.05 8.03 7.81 7.79 7.76 7.74 7.72 7.61 7.59 7.48 7.46 7.45 7.40 7.38 7.36 7.34 7.32 7.29 7.28 7.26 7.23 7.21 7.18 7.17 7.15 7.13 7.10 7.08 7.06 7.04 7.03 7.01 7.00 6.94 6.92 6.80 5.09 4.98 3.76 3.75 3.73 3.71 3.65 3.58 3.53 3.51 3.49 3.11 3.09 3.08 3.03 3.01 2.99
4q
400 MHz, CDCl3
0.94 1.01 1.94 1.08 1.00 0.96 1.06 2.01 2.15 1.01 0.98 0.92 3.03 1.04 1.00 0.93 2.06 1.96 2.04 2.96 2.04 1.04 1.00 1.06
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0
f1 (ppm)


191.0
171.4 164.2 160.6 149.8 147.8 140.6 140.5 137.6 137.4 136.5 135.6 133.4 132.9 132.6 129.6 129.4 129.4 128.4 127.9 127.8 127.2 126.6 126.2 125.2 122.4 121.8 121.2 119.4 119.0 115.1 109.5 77.5 77.2 76.8 73.7 66.8 43.6 40.3 39.6 38.8 32.9
4q
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



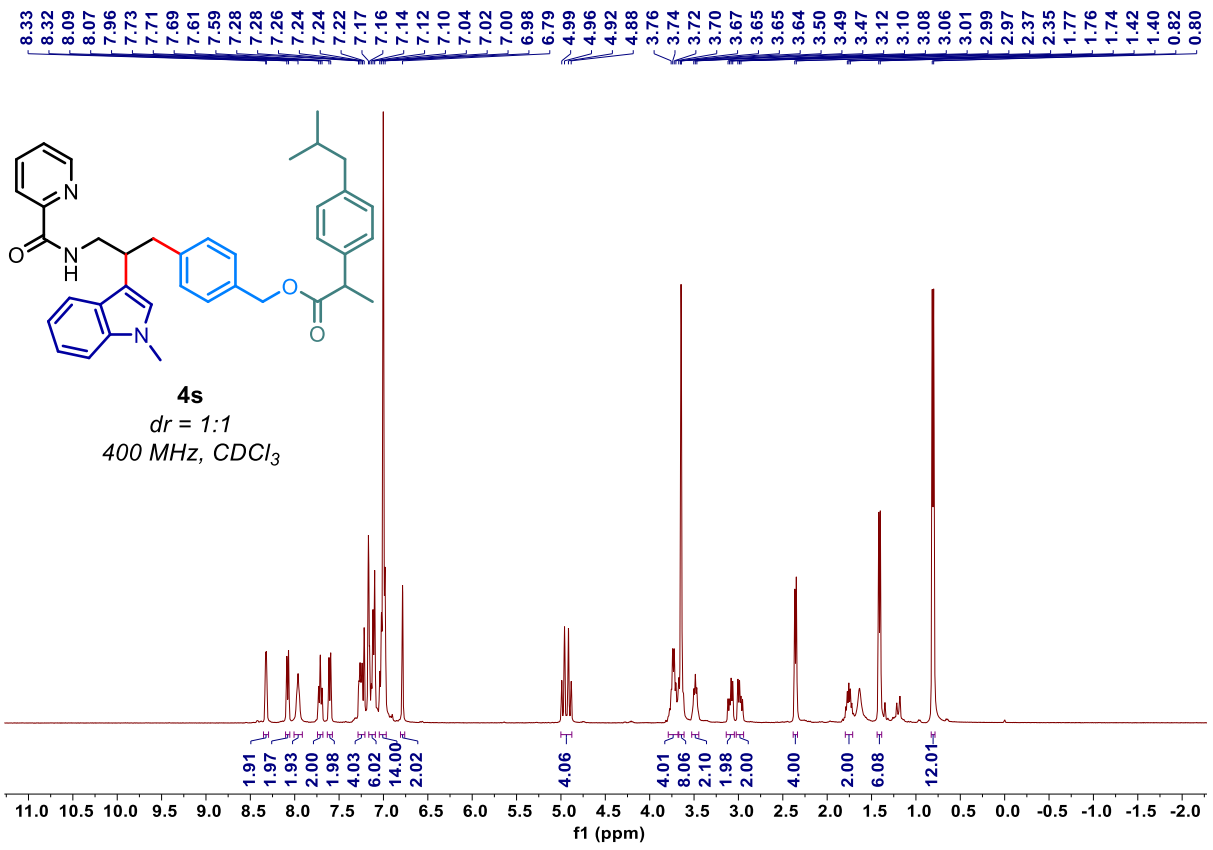
4r

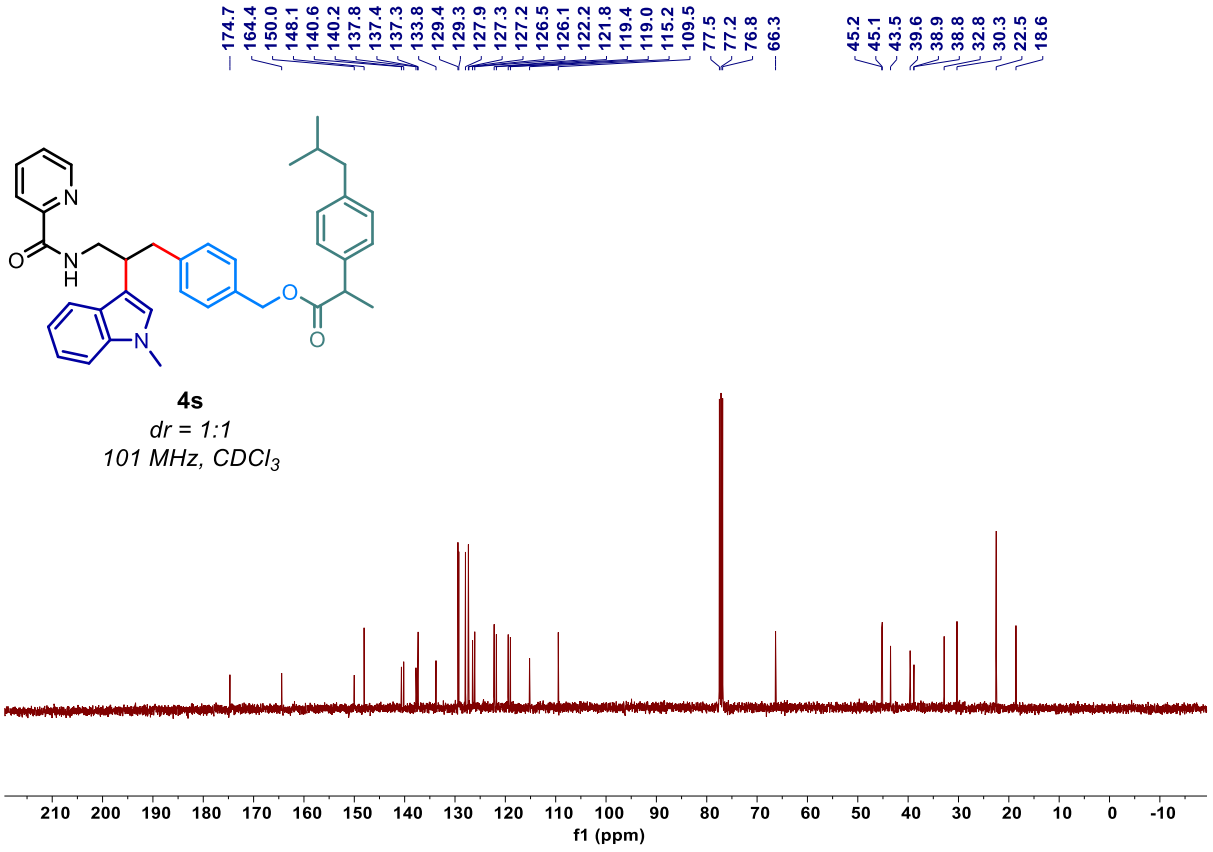
400 MHz, $CDCl_3$

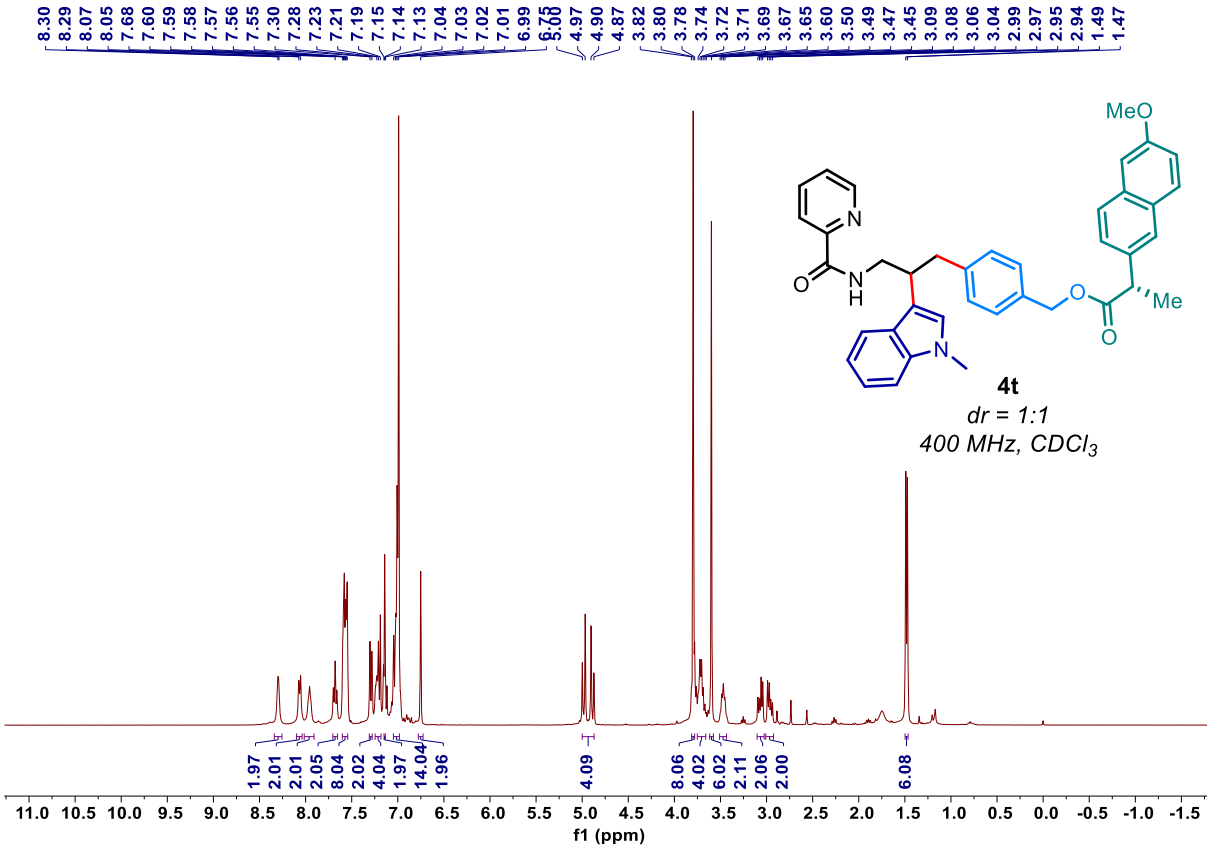


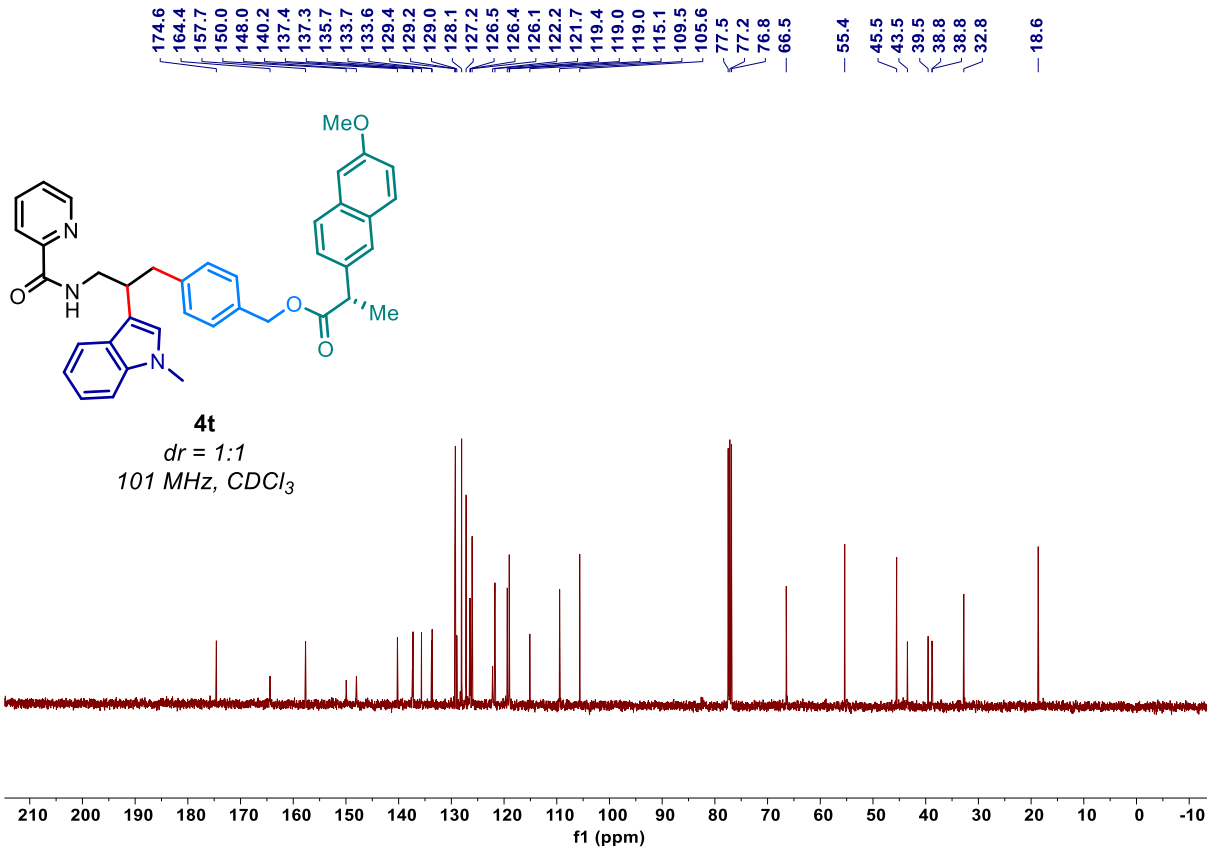
4r

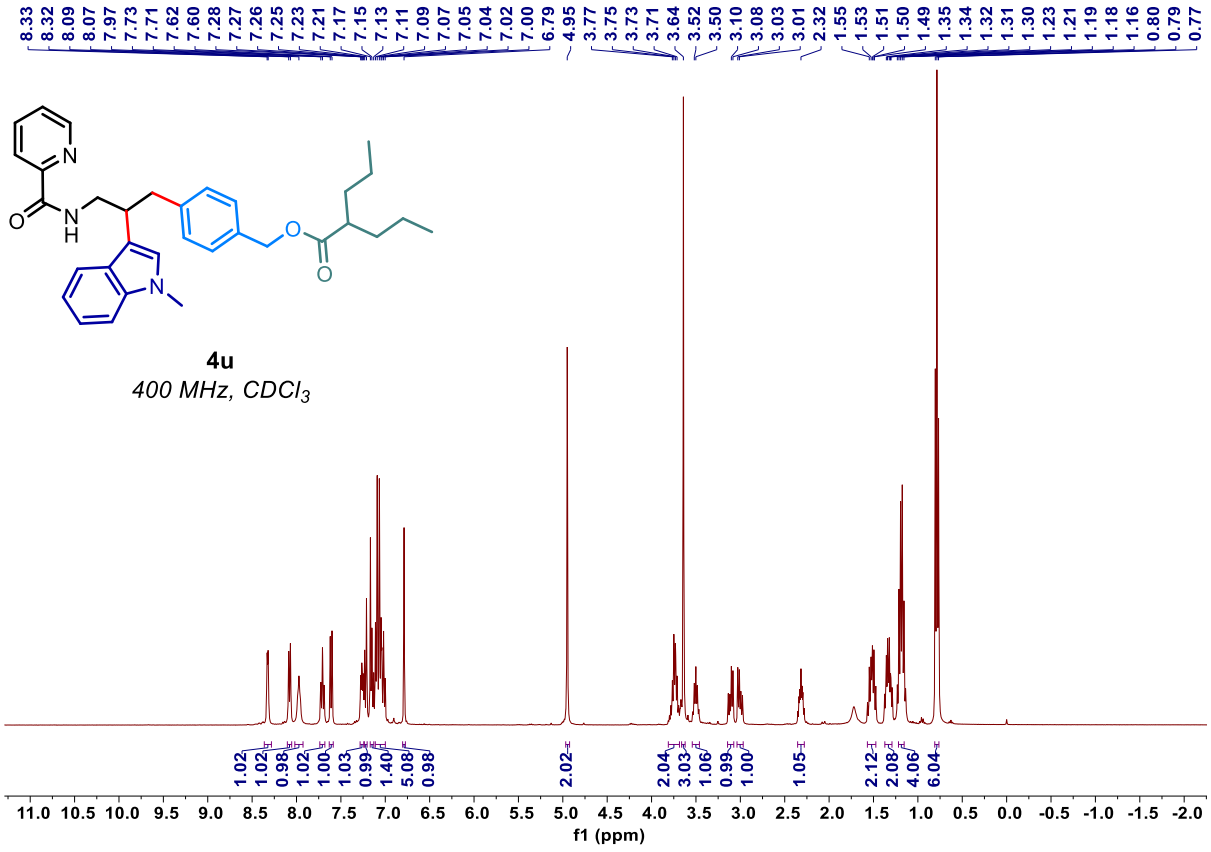
101 MHz, $CDCl_3$


8.33 8.32 8.09 8.07 7.96 7.73 7.71 7.69 7.61 7.59 7.28 7.28 7.26 7.24 7.24 7.22 7.17 7.16 7.14 7.12 7.10 7.04 7.02 7.00 6.98 6.79 4.99 4.96 4.92 4.88 3.76 3.74 3.72 3.70 3.67 3.65 3.65 3.64 3.50 3.49 3.47 3.12 3.10 3.08 3.06 3.01 2.99 2.97 2.37 2.35 1.77 1.76 1.74 1.42 1.40 0.82 0.80
4s
dr = 1:1
400 MHz, CDCl3
1.91 1.97 1.93 2.00 1.98 4.03 6.02 14.00 2.02 4.06 4.01 8.06 2.10 1.98 2.00 4.00 2.00 6.08 12.01
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1.5 -2.0
f1 (ppm)


174.7 164.4 150.0 148.1 140.6 140.2 137.8 137.4 137.3 133.8 129.4 129.3 127.9 127.3 127.2 126.5 126.1 122.2 121.8 119.4 119.0 115.2 109.5 77.5 77.2 76.8 66.3
45.2 45.1 43.5 39.6 38.9 38.8 32.8 30.3 22.5 18.6
4s
dr = 1:1
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

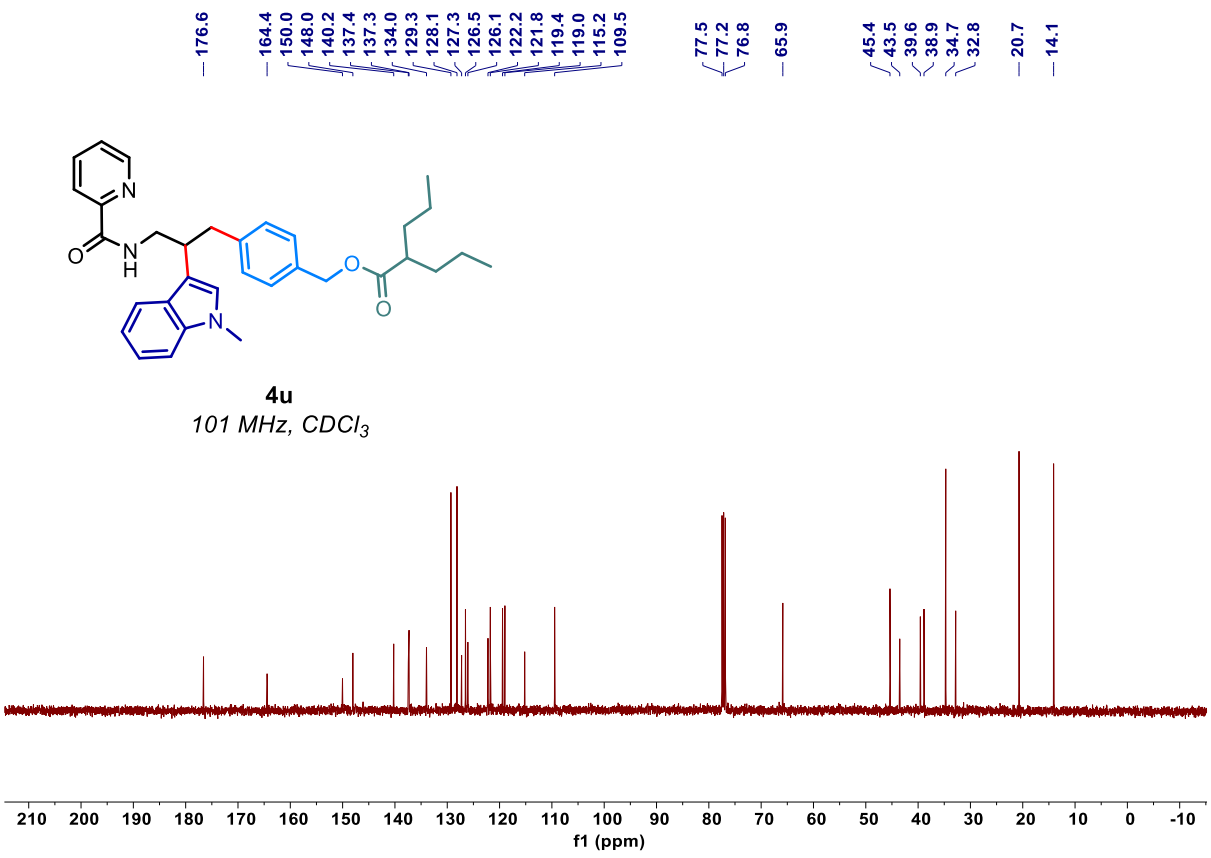

8.30 8.29 8.07 8.05 7.68 7.60 7.59 7.58 7.57 7.56 7.55 7.30 7.28 7.23 7.21 7.19 7.15 7.14 7.13 7.04 7.03 7.02 7.01 6.99 5.00 4.97 4.90 4.87 3.82 3.80 3.78 3.74 3.72 3.71 3.69 3.67 3.65 3.60 3.50 3.49 3.47 3.45 3.09 3.08 3.06 3.04 2.99 2.97 2.95 2.94 1.49 1.47
MeO
N
O
N
H
O
Me
O
N
4t
dr = 1:1
400 MHz, CDCl3
1.97 2.01 2.01 2.05 8.04 2.02 4.04 1.97 14.04 1.96 4.09 8.06 4.02 6.02 2.11 2.06 2.00 6.08
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1.5
f1 (ppm)


174.6 164.4 157.7 150.0 148.0 140.2 137.4 137.3 135.7 133.7 133.6 129.4 129.2 129.0 128.1 127.2 126.5 126.4 126.1 122.2 121.7 119.4 119.0 119.0 115.1 109.5 105.6 77.5 77.2 76.8 66.5 55.4 45.5 43.5 39.5 38.8 38.8 32.8 18.6
MeO
N
O
N
H
O
Me
O
N
4t
dr = 1:1
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



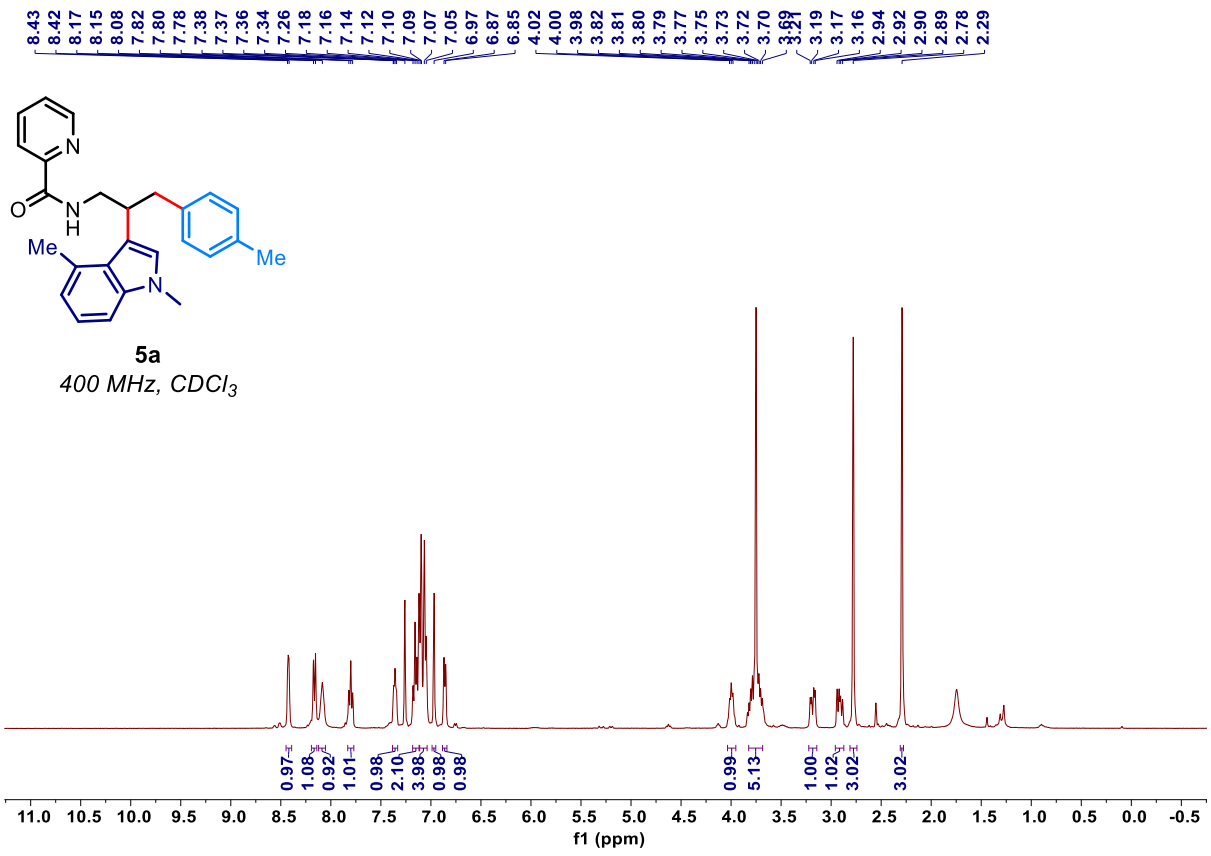
4u

400 MHz, $CDCl_3$



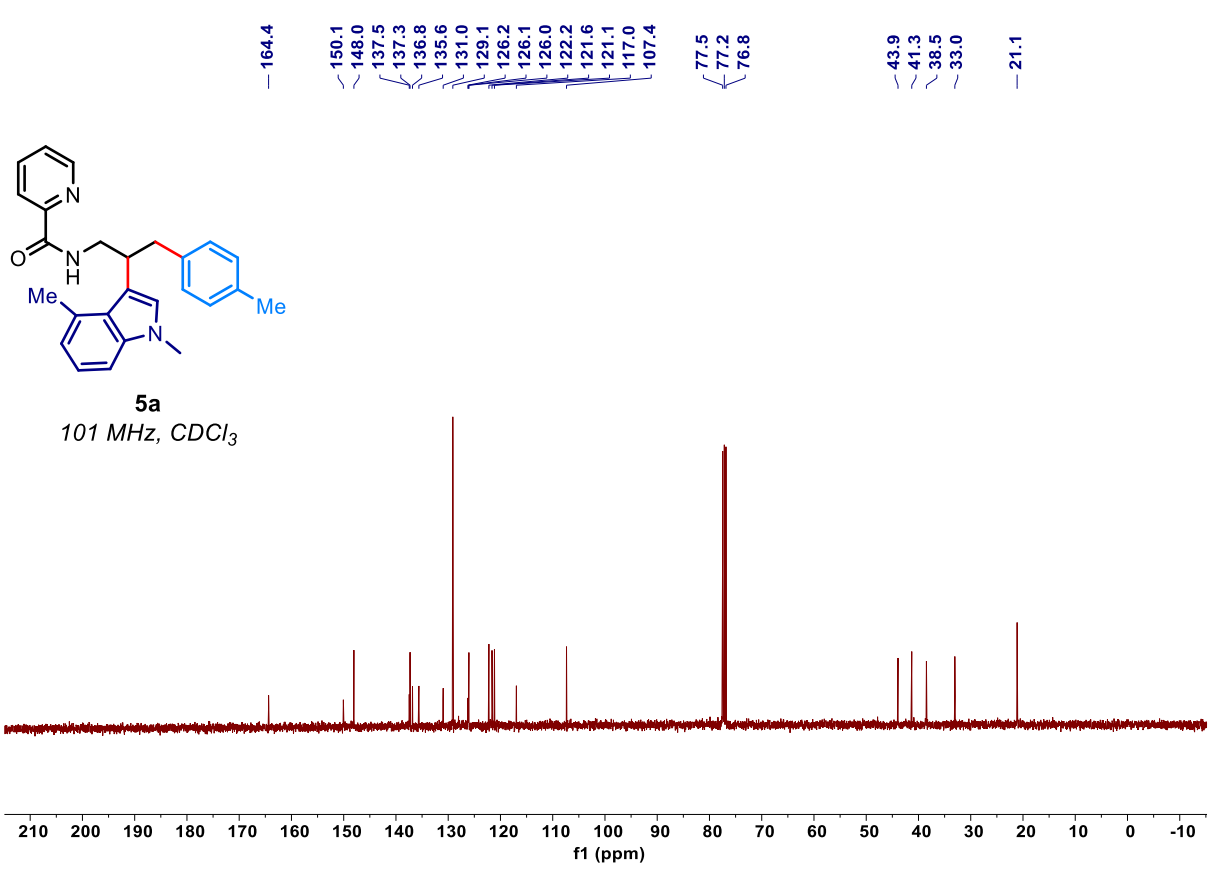
4u

101 MHz, $CDCl_3$



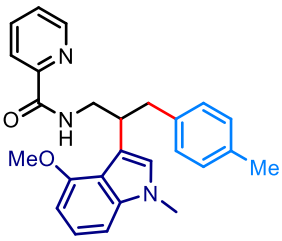
5a

400 MHz, $CDCl_3$



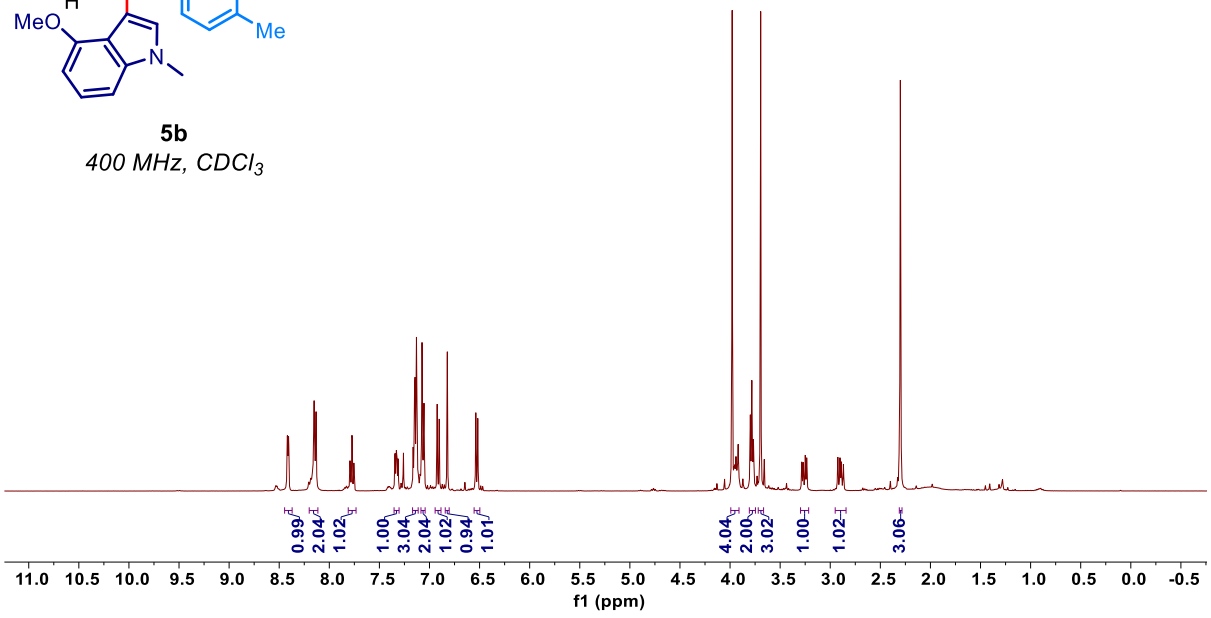
5a

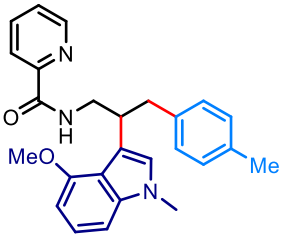
101 MHz, $CDCl_3$



5b

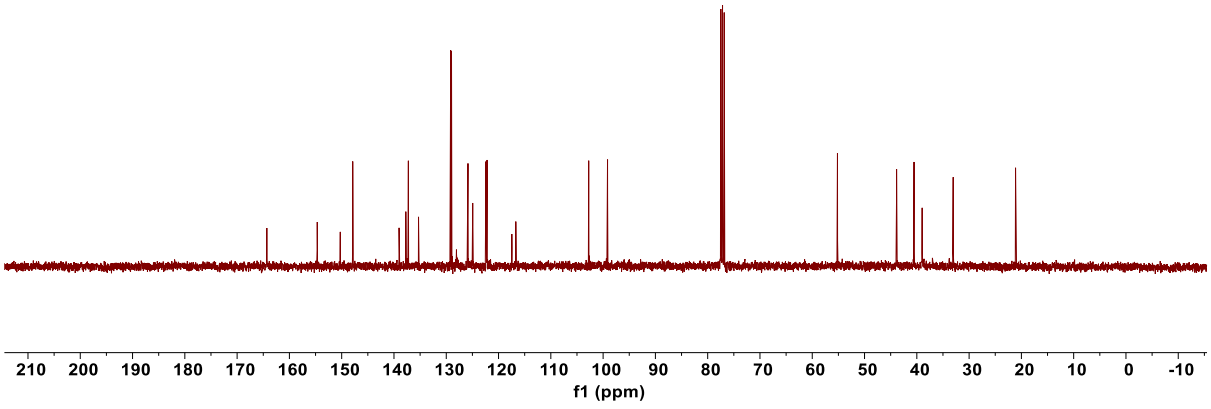
400 MHz, $CDCl_3$

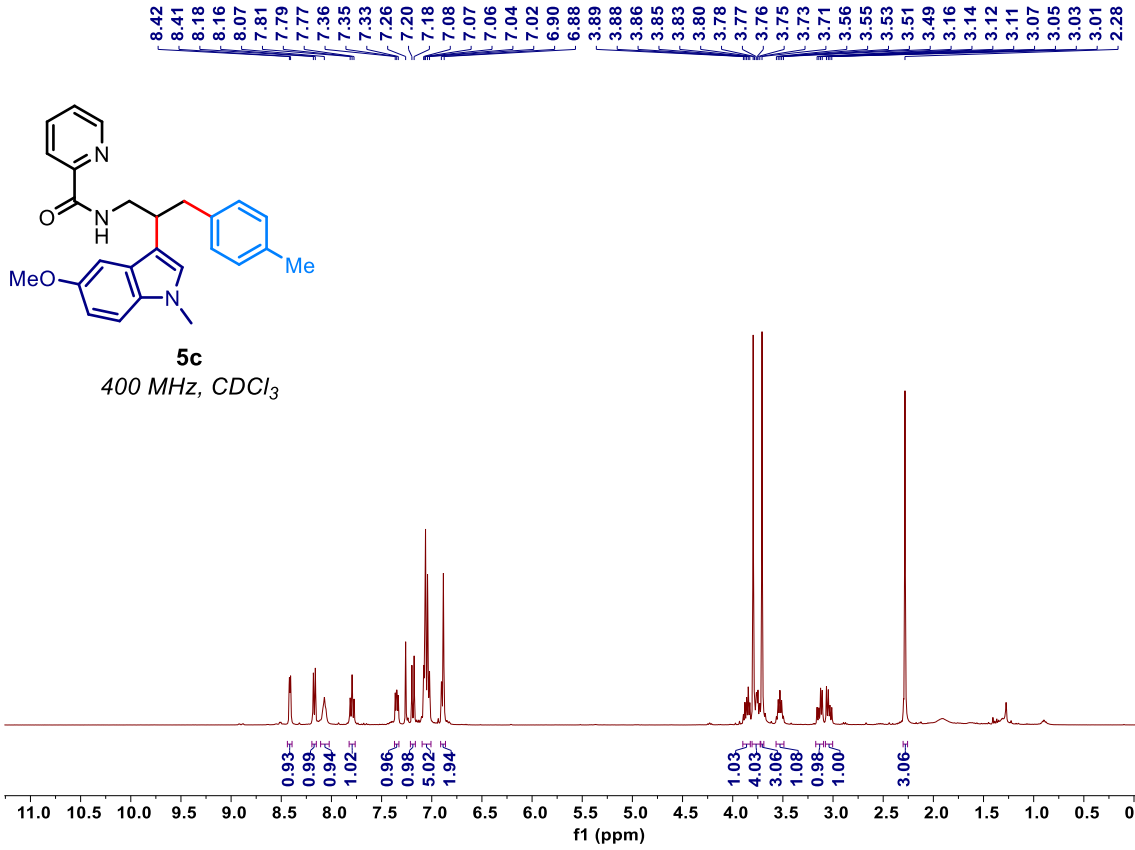




5b

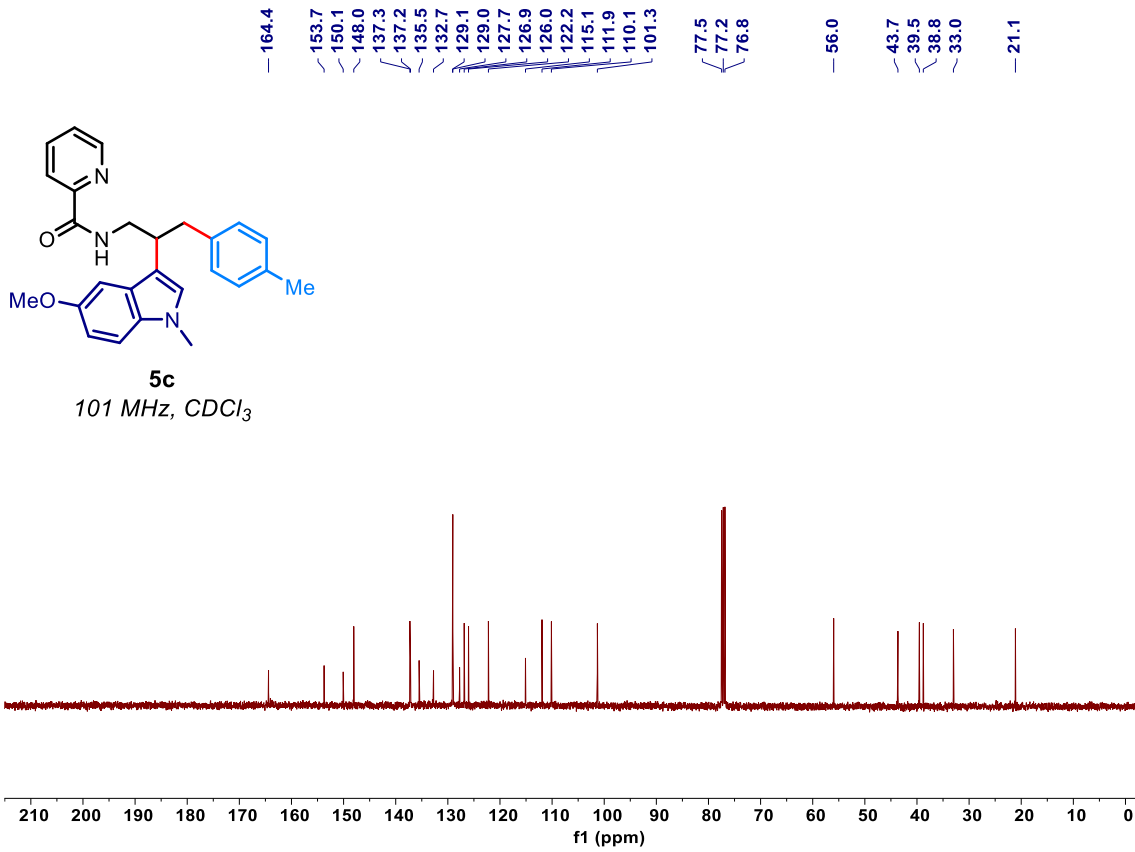
101 MHz, $CDCl_3$





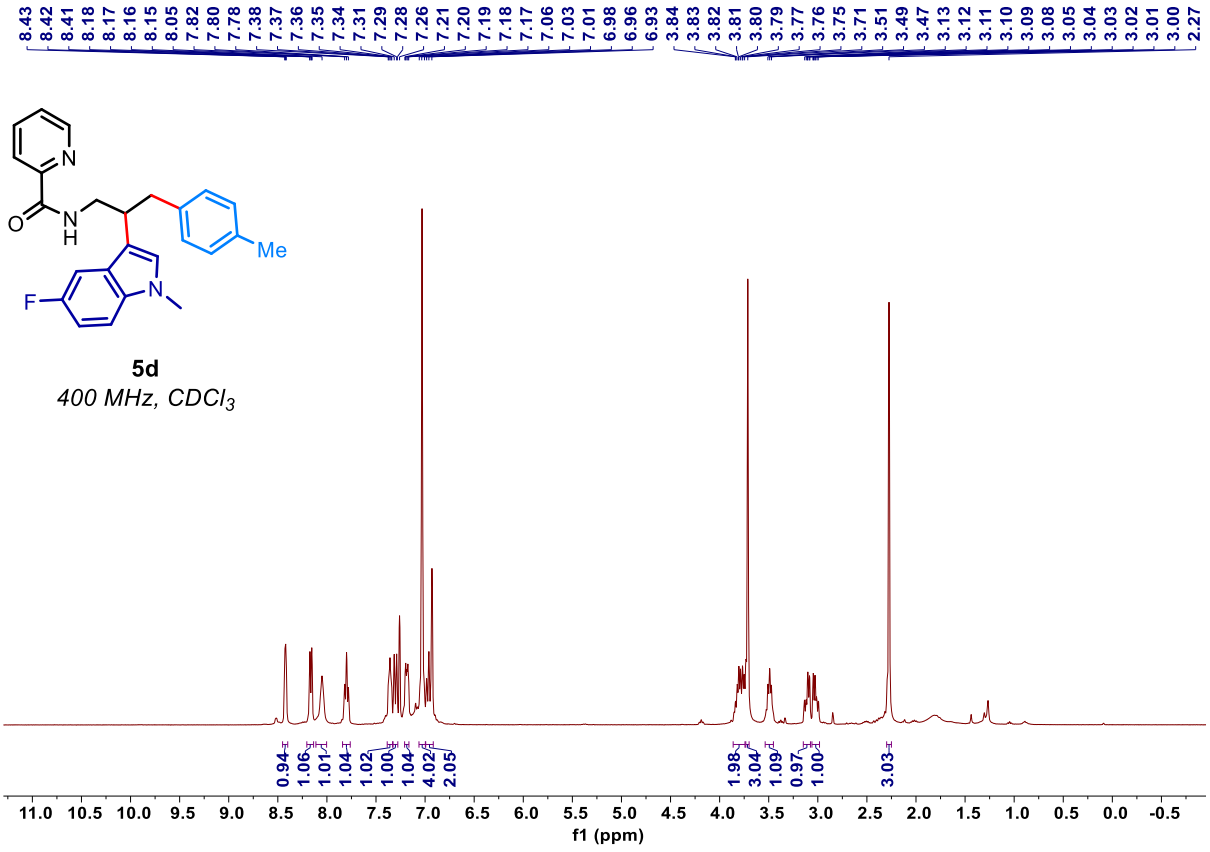
5c

400 MHz, $CDCl_3$



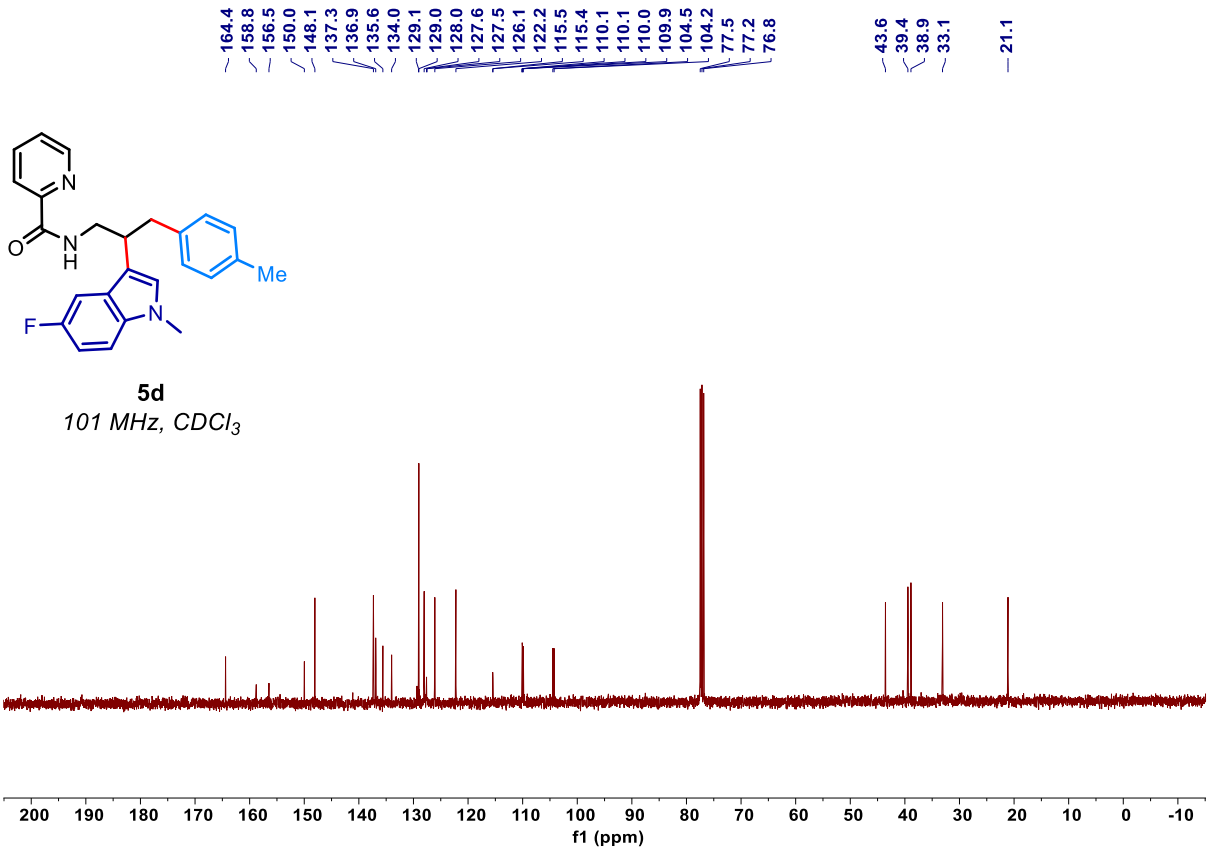
5c

101 MHz, $CDCl_3$



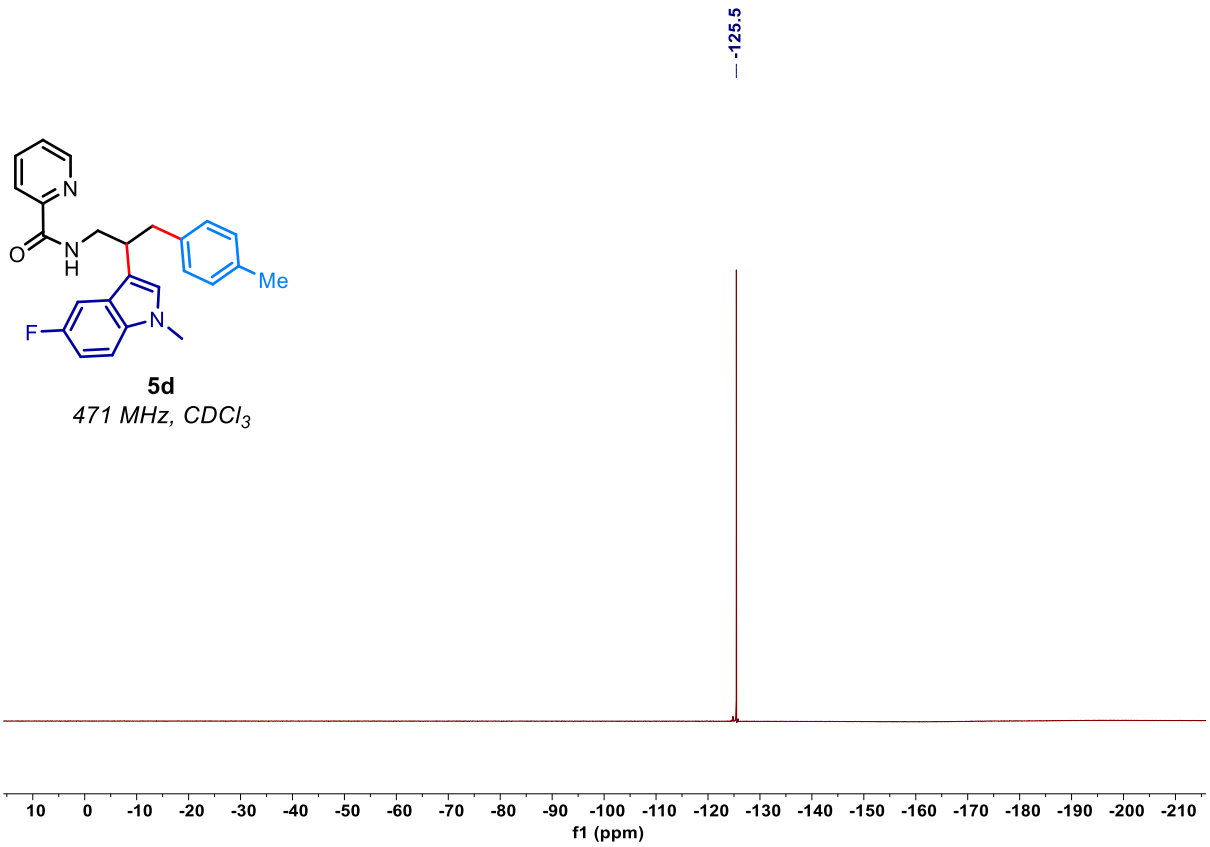
5d

400 MHz, $CDCl_3$



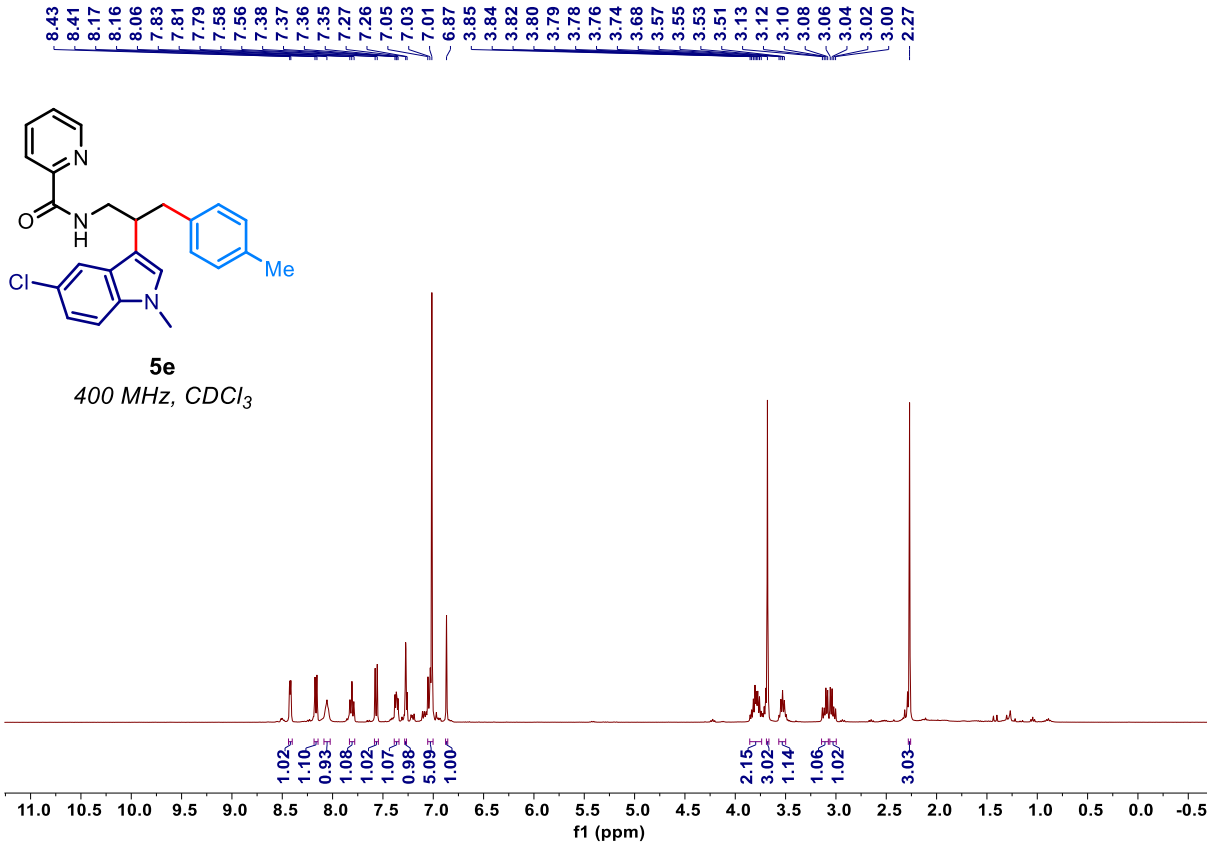
5d

101 MHz, $CDCl_3$



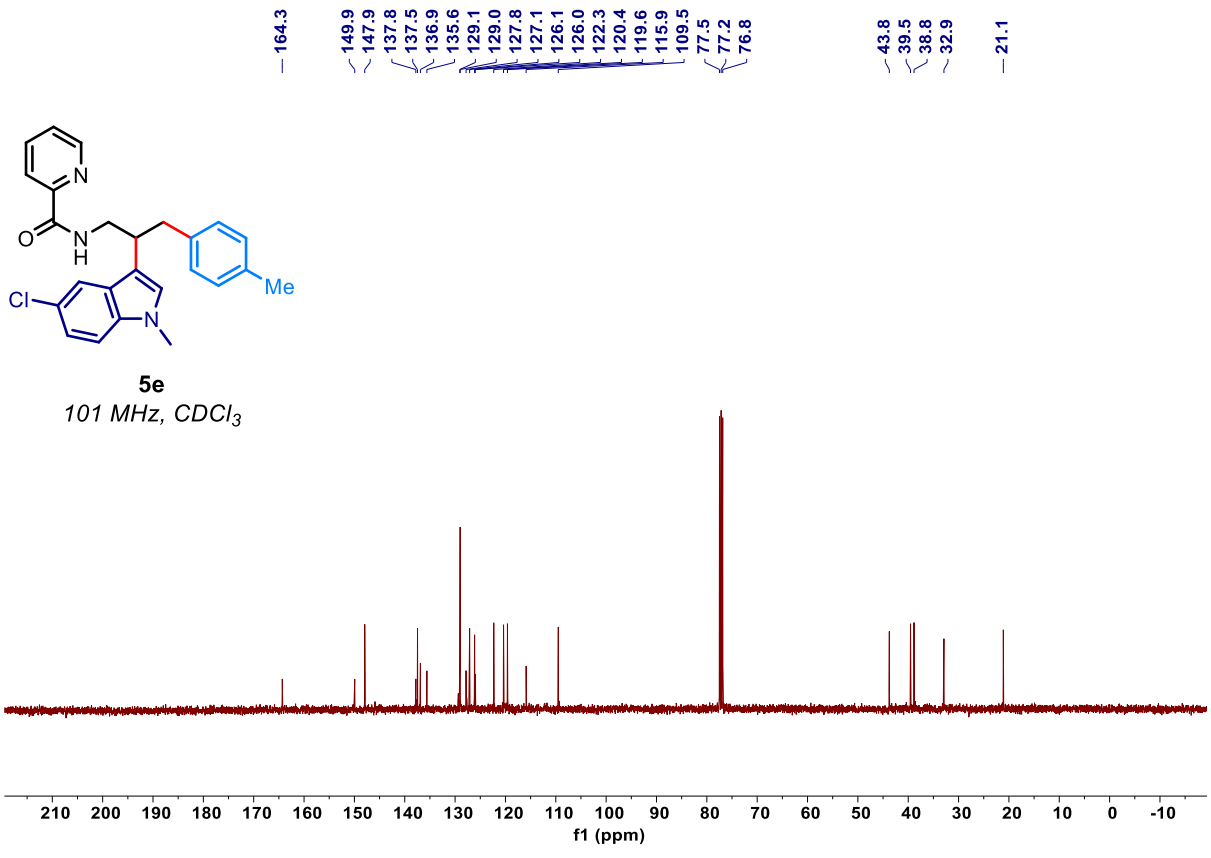
5d

471 MHz, $CDCl_3$



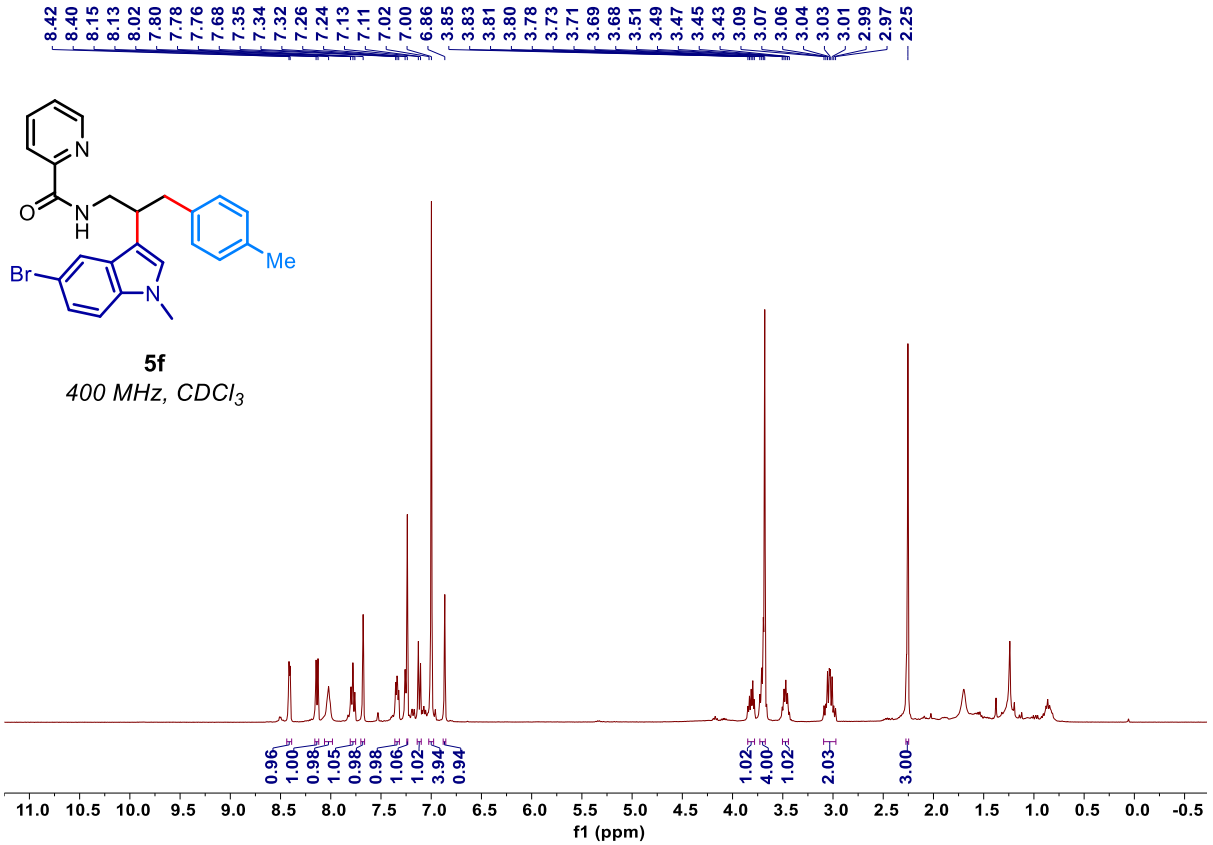
5e

400 MHz, $CDCl_3$



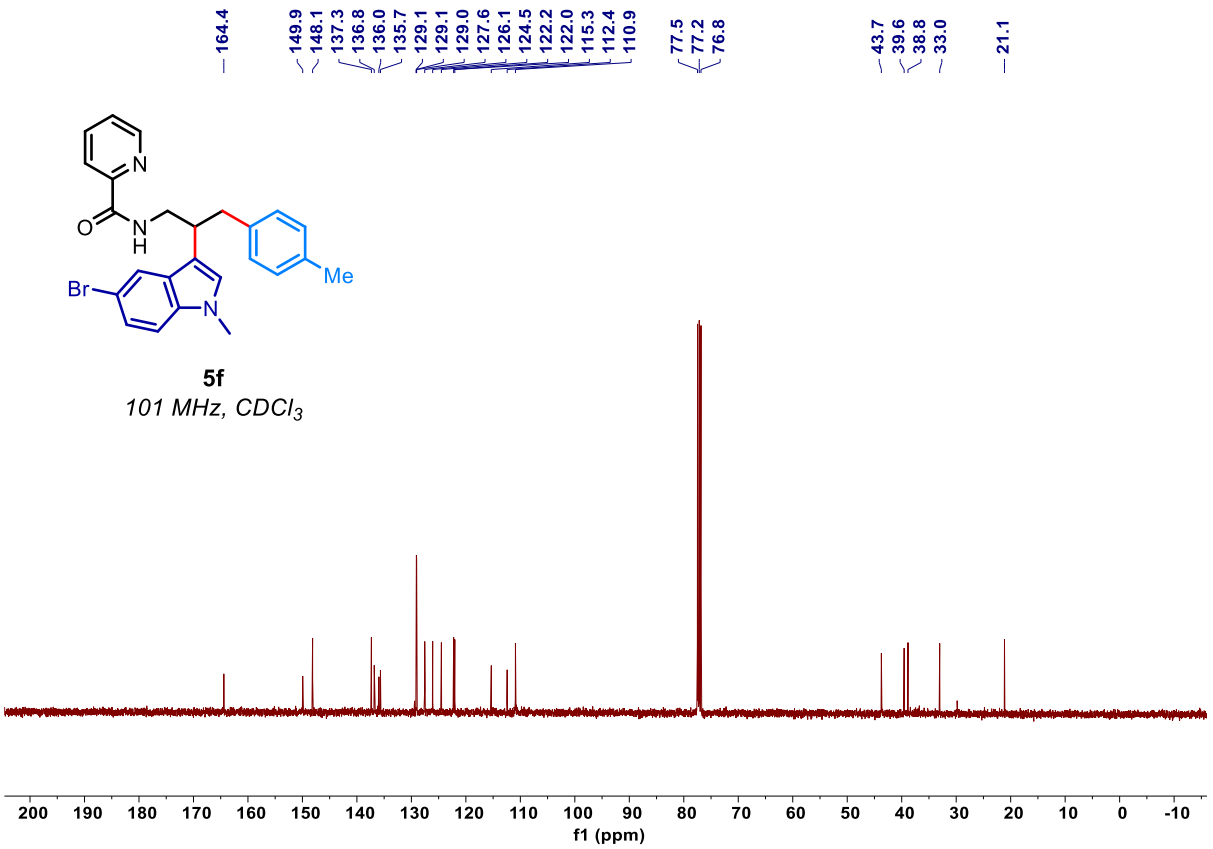
5e

101 MHz, $CDCl_3$



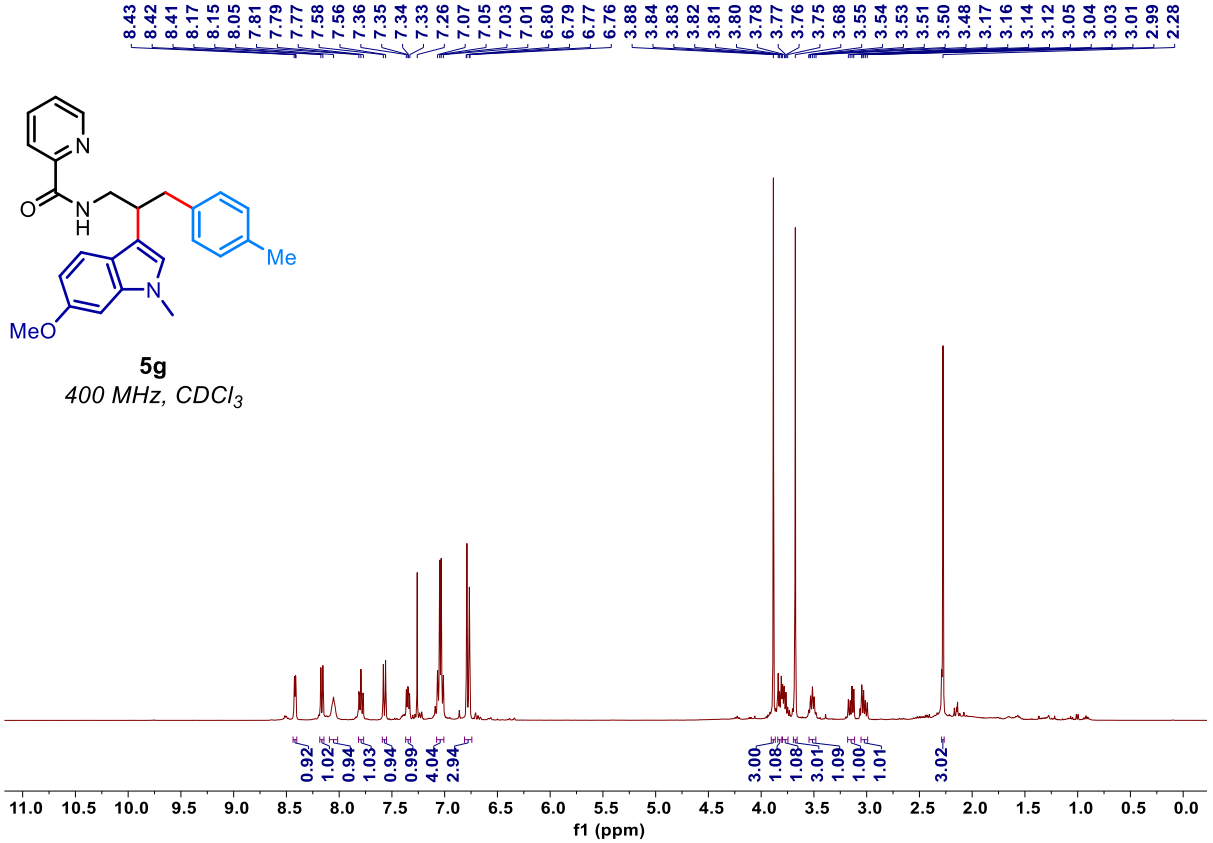
5f

400 MHz, $CDCl_3$



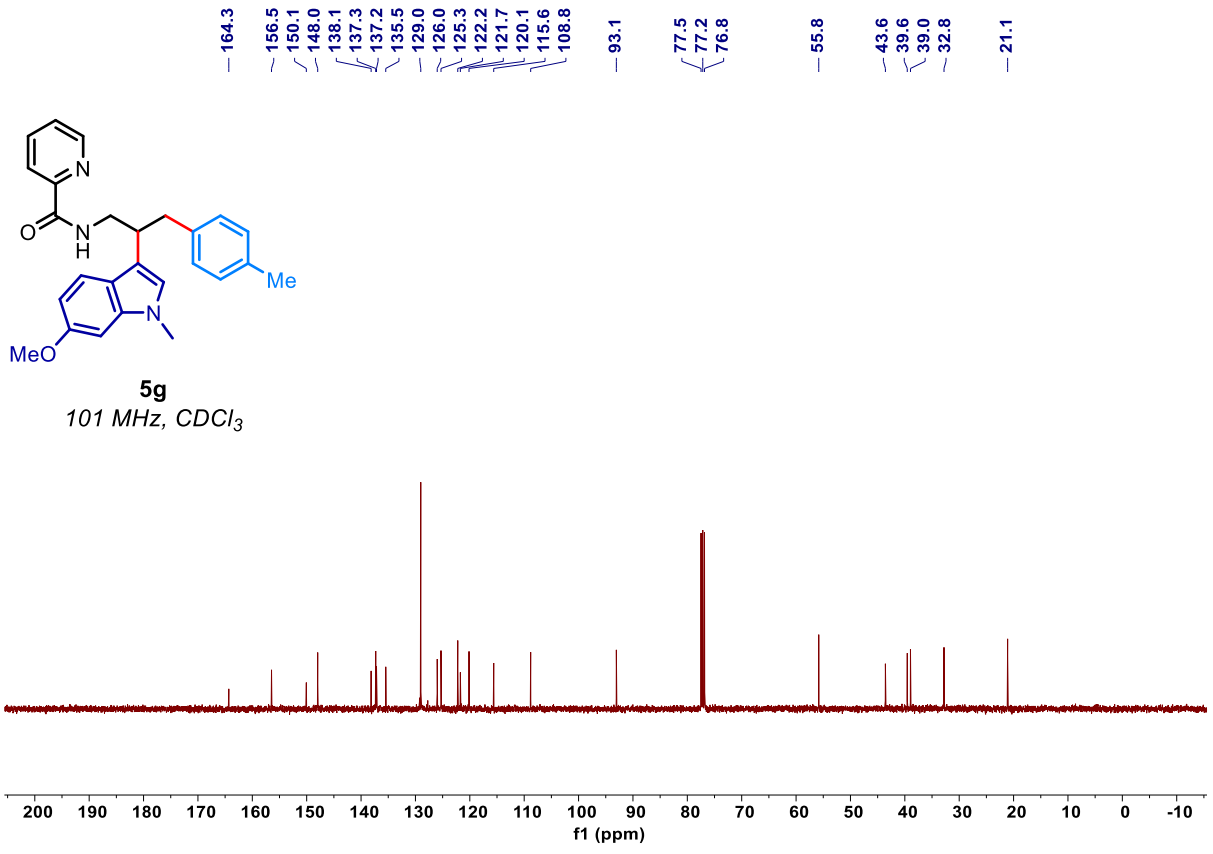
5f

101 MHz, $CDCl_3$



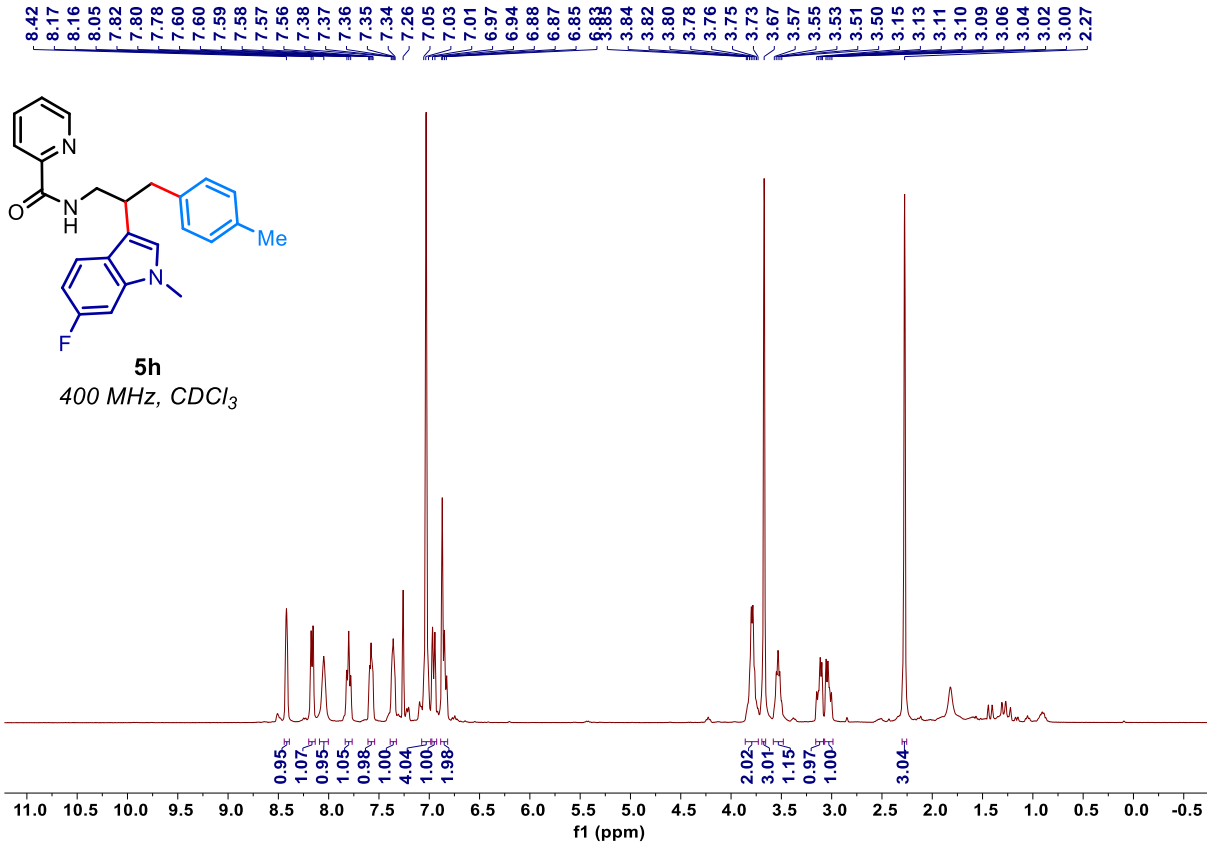
5g

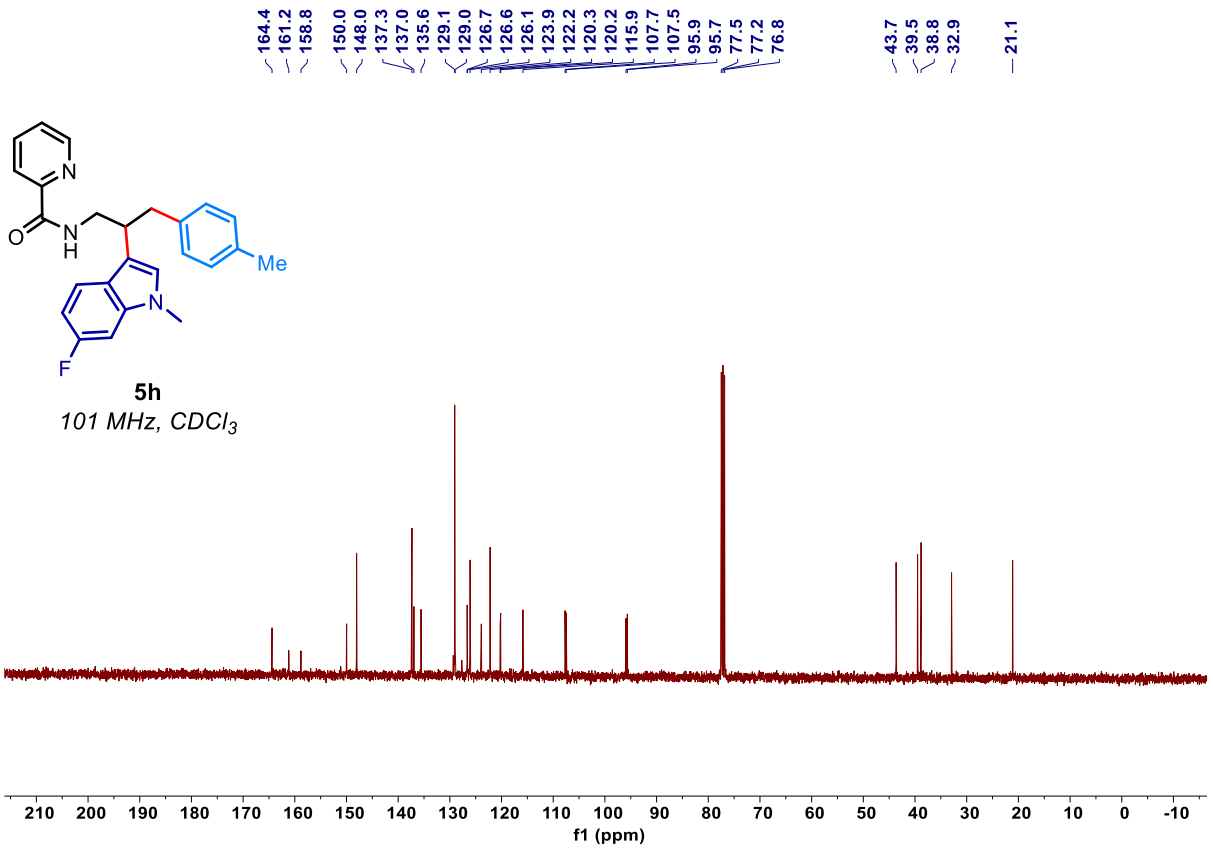
400 MHz, $CDCl_3$

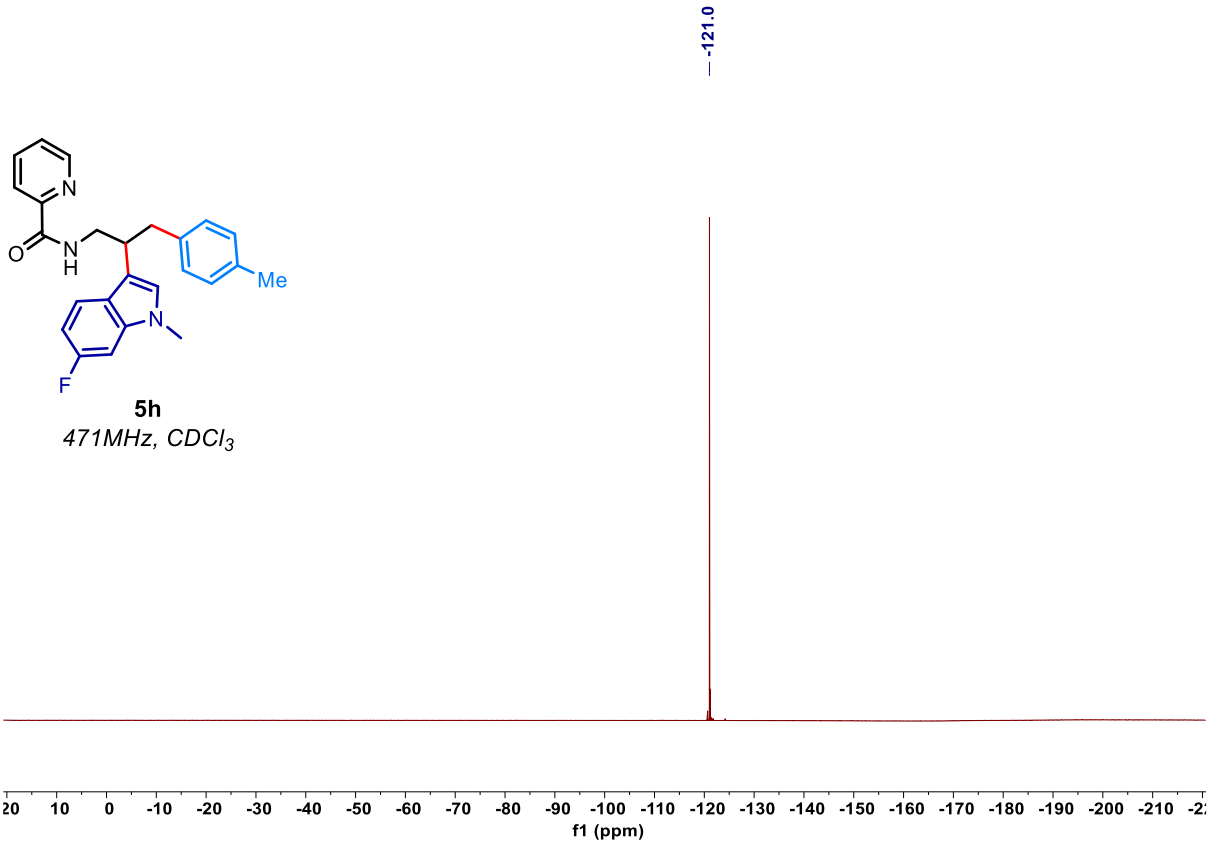


5g

101 MHz, $CDCl_3$

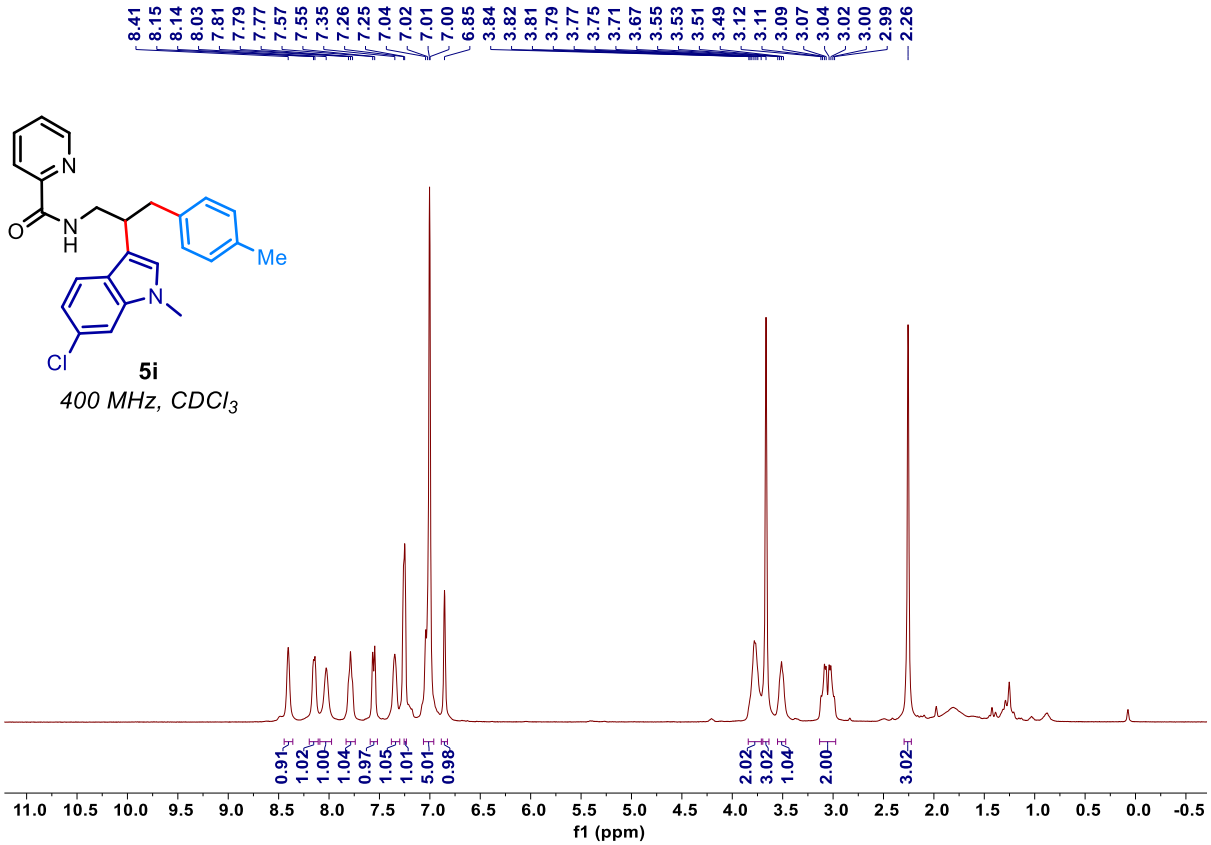

8.42 8.17 8.16 8.05 7.82 7.80 7.78 7.60 7.60 7.59 7.58 7.57 7.56 7.38 7.37 7.36 7.35 7.34 7.26 7.05 7.03 7.01 6.97 6.94 6.88 6.87 6.85 3.84 3.82 3.80 3.78 3.76 3.75 3.73 3.67 3.57 3.55 3.53 3.51 3.50 3.15 3.13 3.11 3.10 3.09 3.06 3.04 3.02 3.00 2.27
N
O
N
H
Me
N
F
5h
400 MHz, CDCl3
0.95 1.07 0.95 1.05 0.98 1.00 4.04 1.00 1.98 2.02 3.01 1.15 0.97 1.00 3.04
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

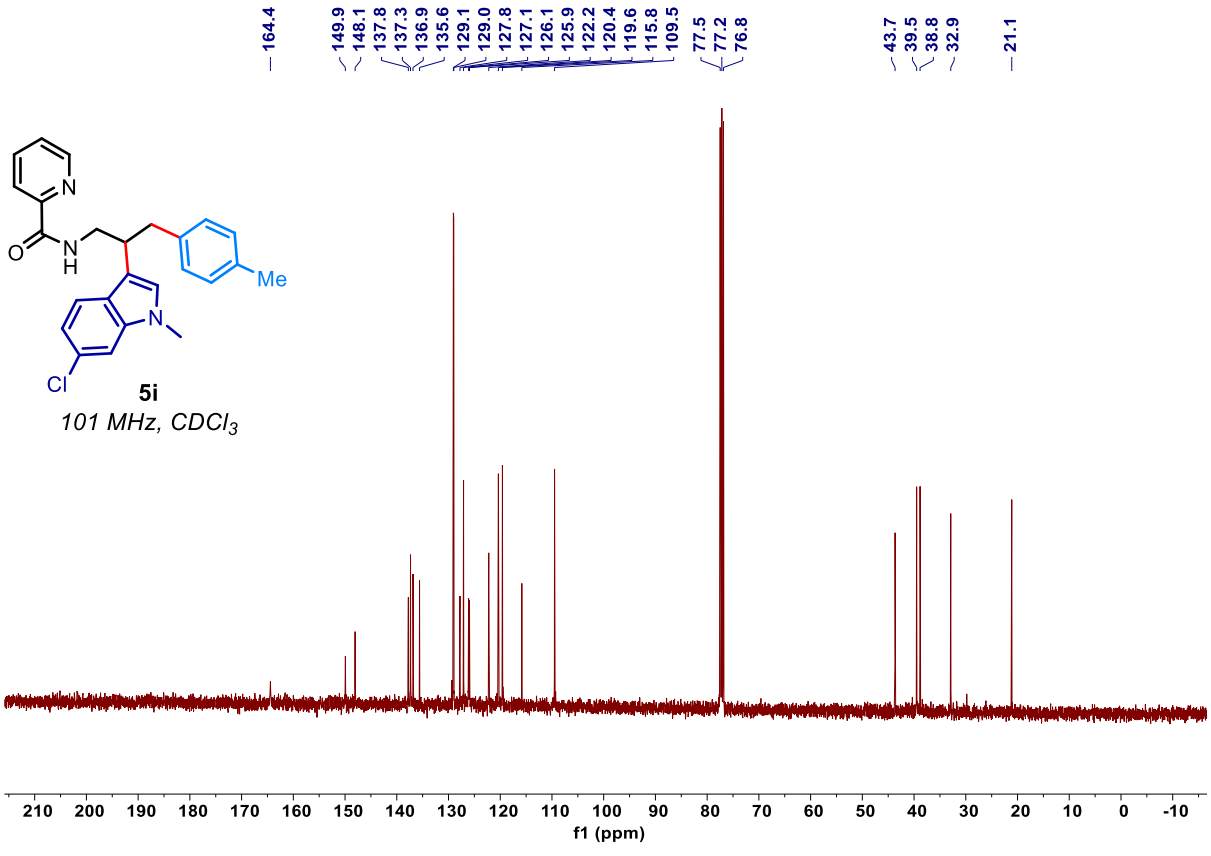

164.4 161.2 158.8 150.0 148.0 137.3 137.0 135.6 129.1 129.0 126.7 126.6 126.1 123.9 122.2 120.3 120.2 115.9 107.7 107.5 95.9 95.7 77.5 77.2 76.8 43.7 39.5 38.8 32.9 21.1
N
O
N
H
Me
N
F
5h
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

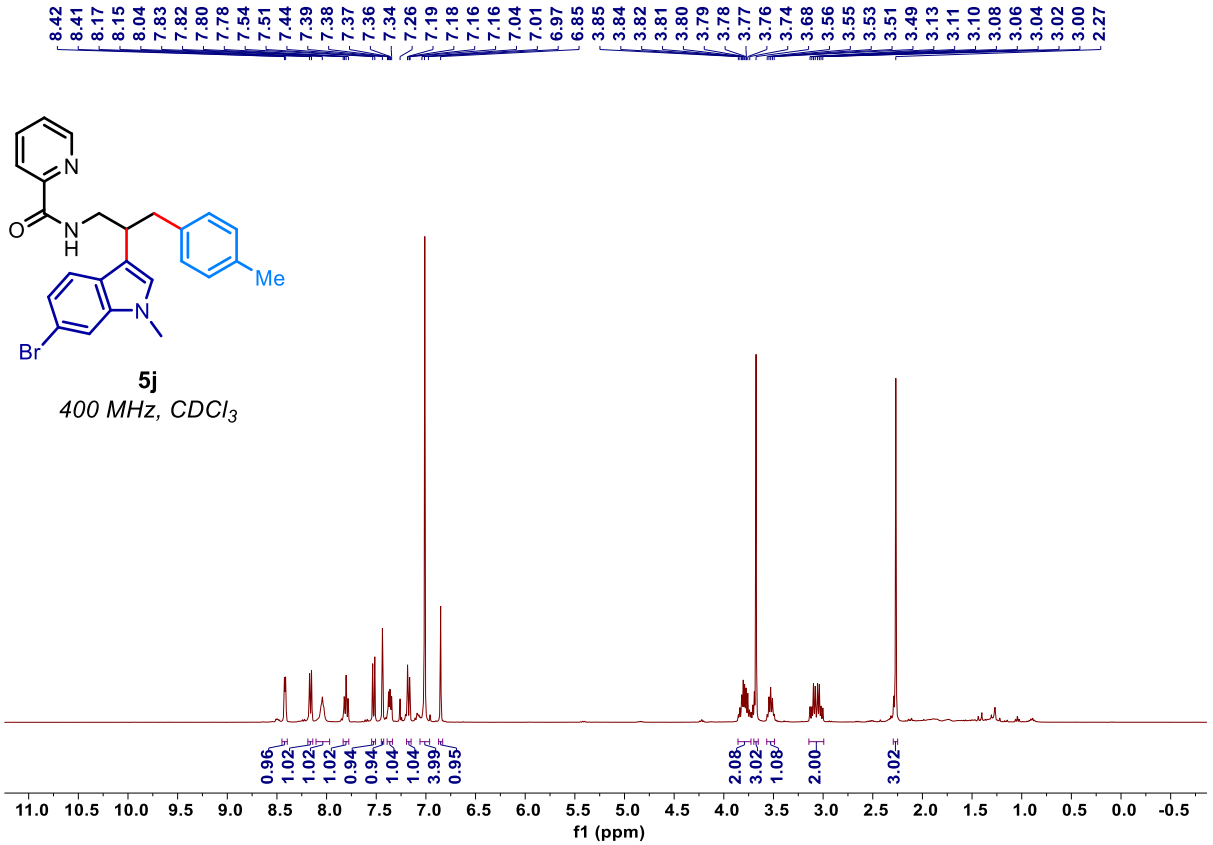


5h

471MHz, $CDCl_3$

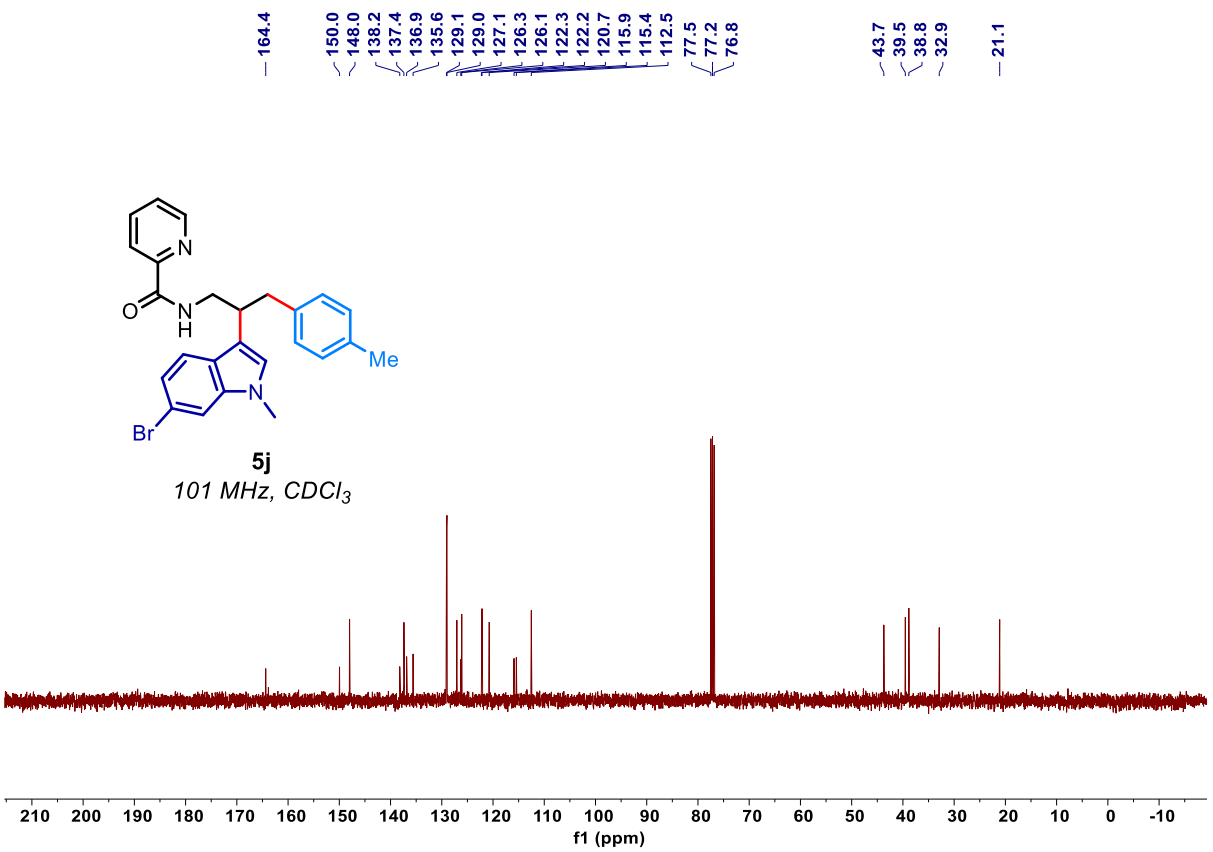

8.41
8.15
8.14
8.03
7.81
7.79
7.77
7.57
7.55
7.35
7.26
7.25
7.04
7.02
7.01
7.00
6.85
3.84
3.82
3.81
3.79
3.77
3.75
3.71
3.67
3.55
3.53
3.51
3.49
3.12
3.11
3.09
3.07
3.04
3.02
3.00
2.99
2.26
N
O
N
H
Me
N
Cl
5i
400 MHz, CDCl3
0.91
1.02
1.00
1.04
0.97
1.05
1.01
5.01
0.98
2.02
3.02
1.04
2.00
3.02
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


164.4
149.9
148.1
137.8
137.3
136.9
135.6
129.1
129.0
127.8
127.1
126.1
125.9
122.2
120.4
119.6
115.8
109.5
77.5
77.2
76.8
43.7
39.5
38.8
32.9
21.1
N
O
N
H
Me
N
Cl
5i
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



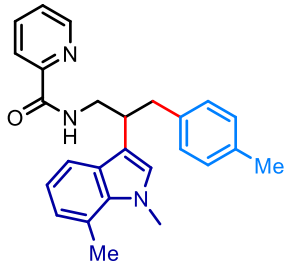
5j

400 MHz, $CDCl_3$



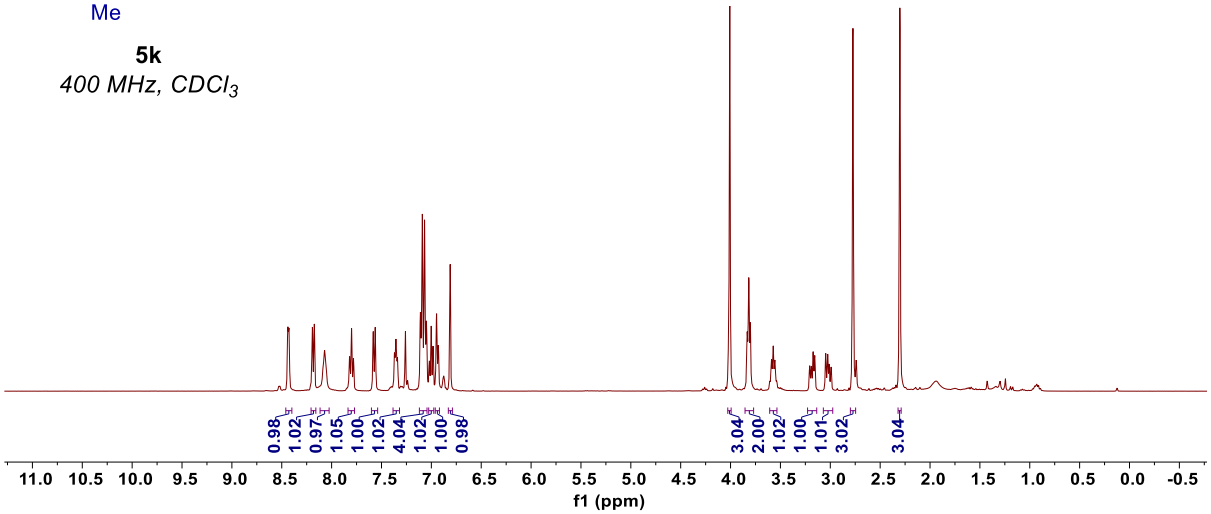
5j

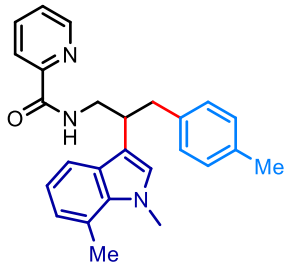
101 MHz, $CDCl_3$



5k

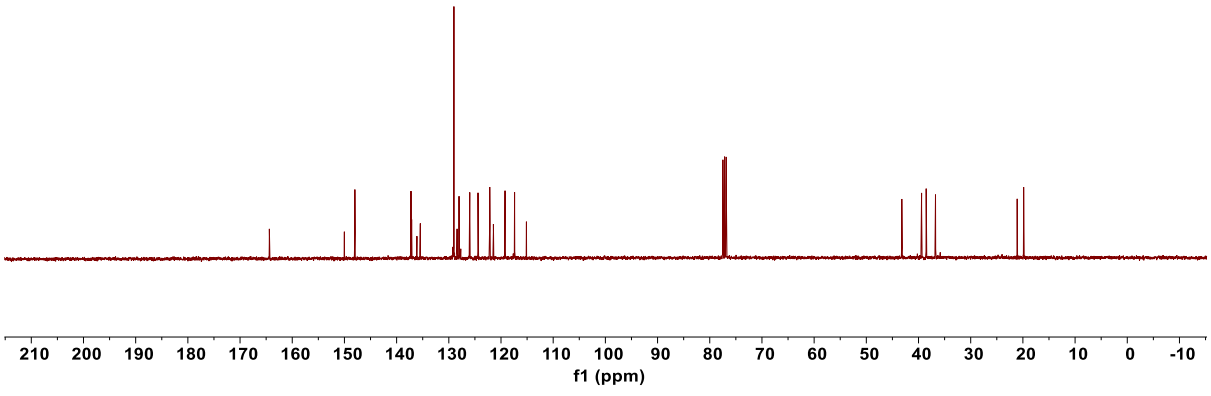
400 MHz, $CDCl_3$

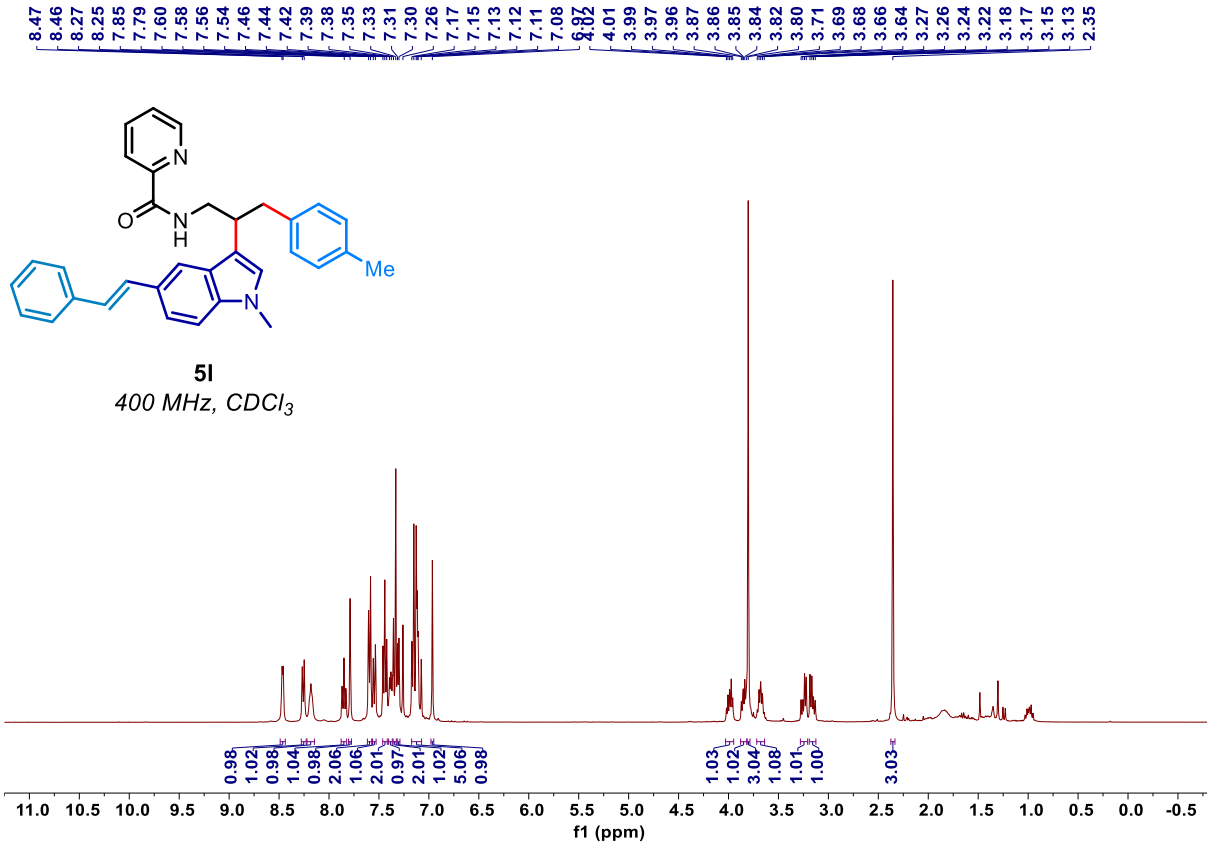




5k

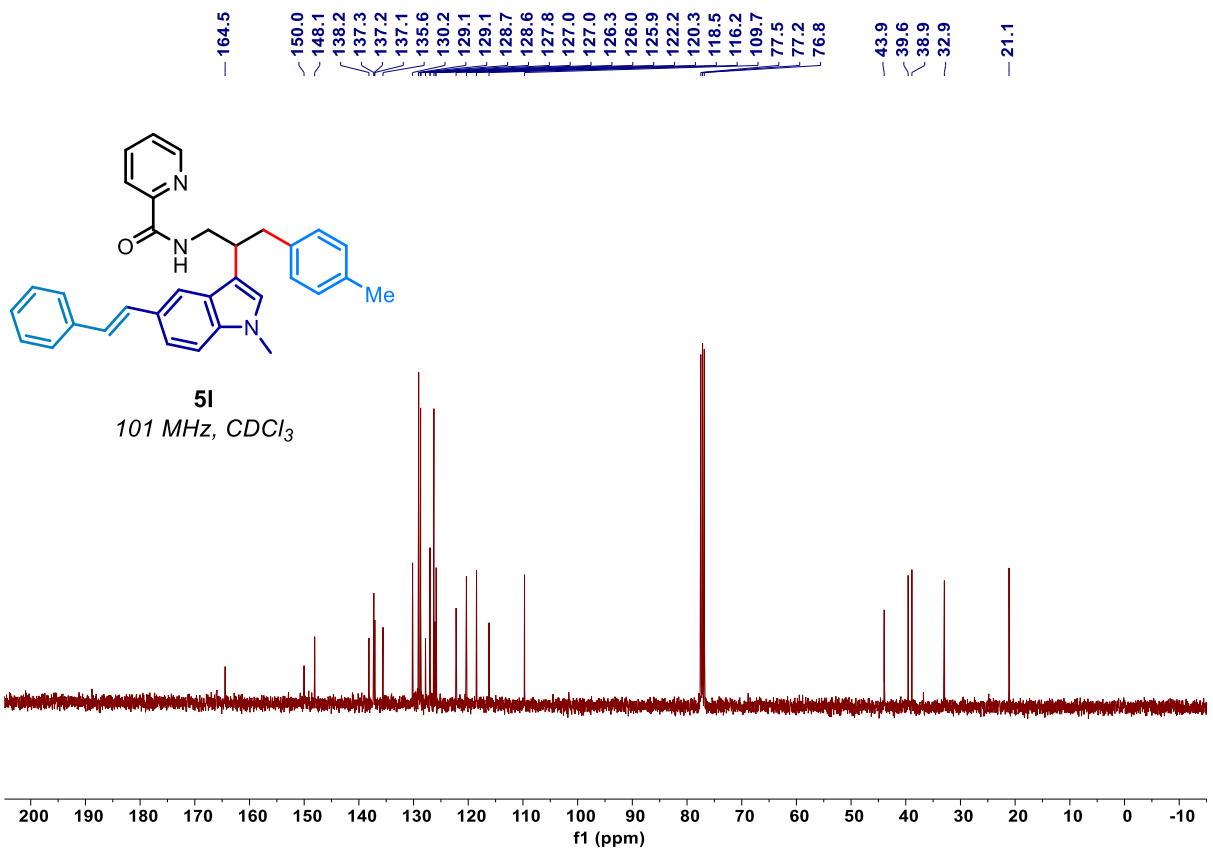
101 MHz, $CDCl_3$





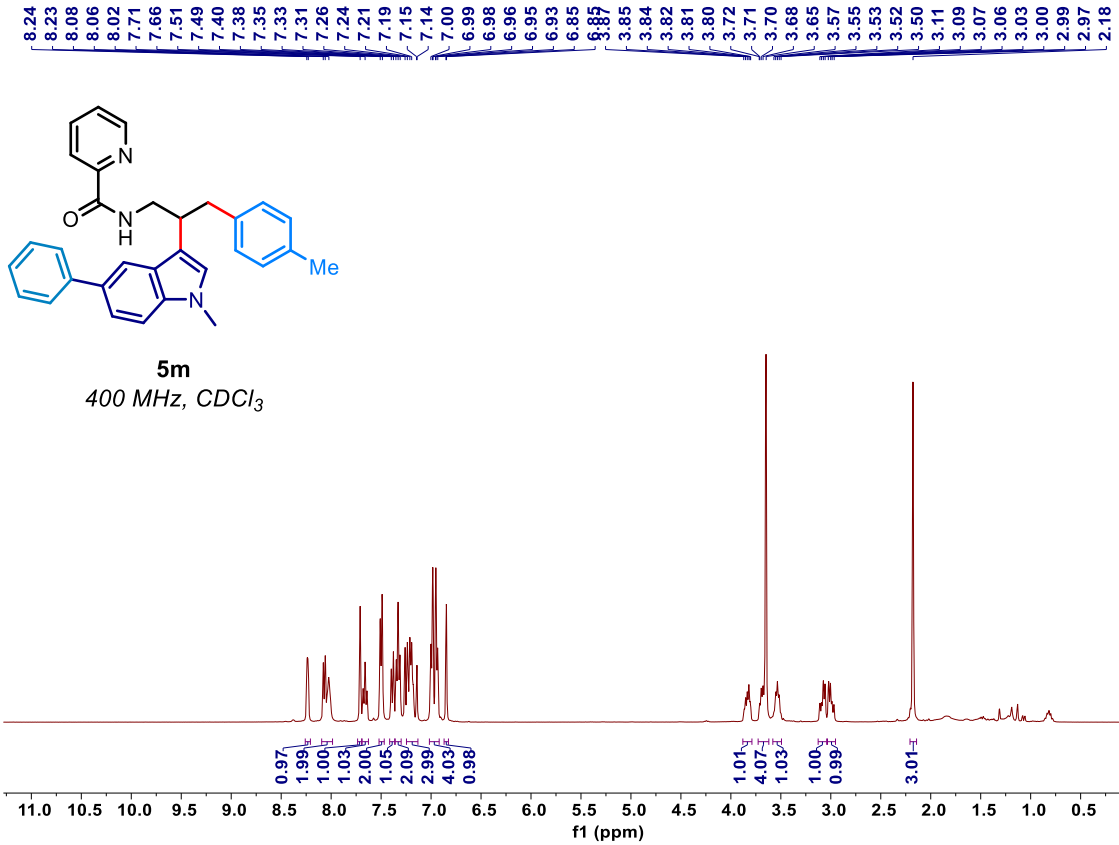
5l

400 MHz, $CDCl_3$



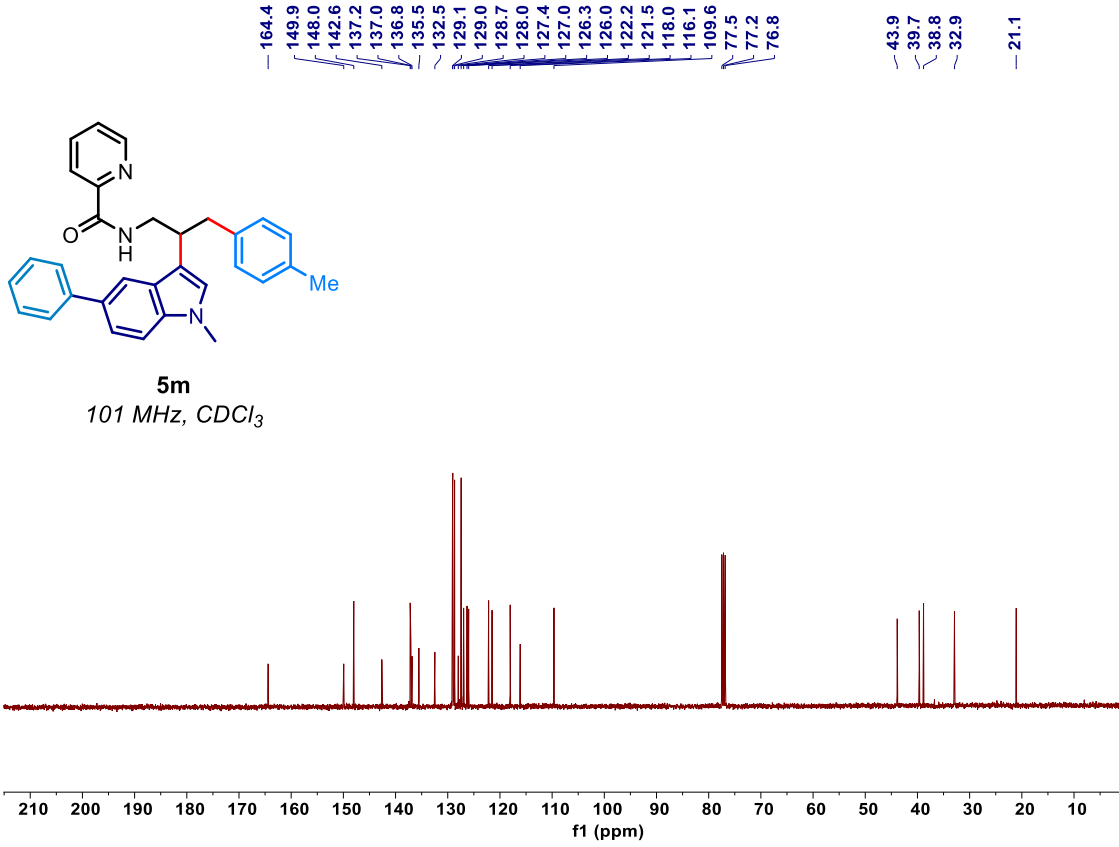
5l

101 MHz, $CDCl_3$



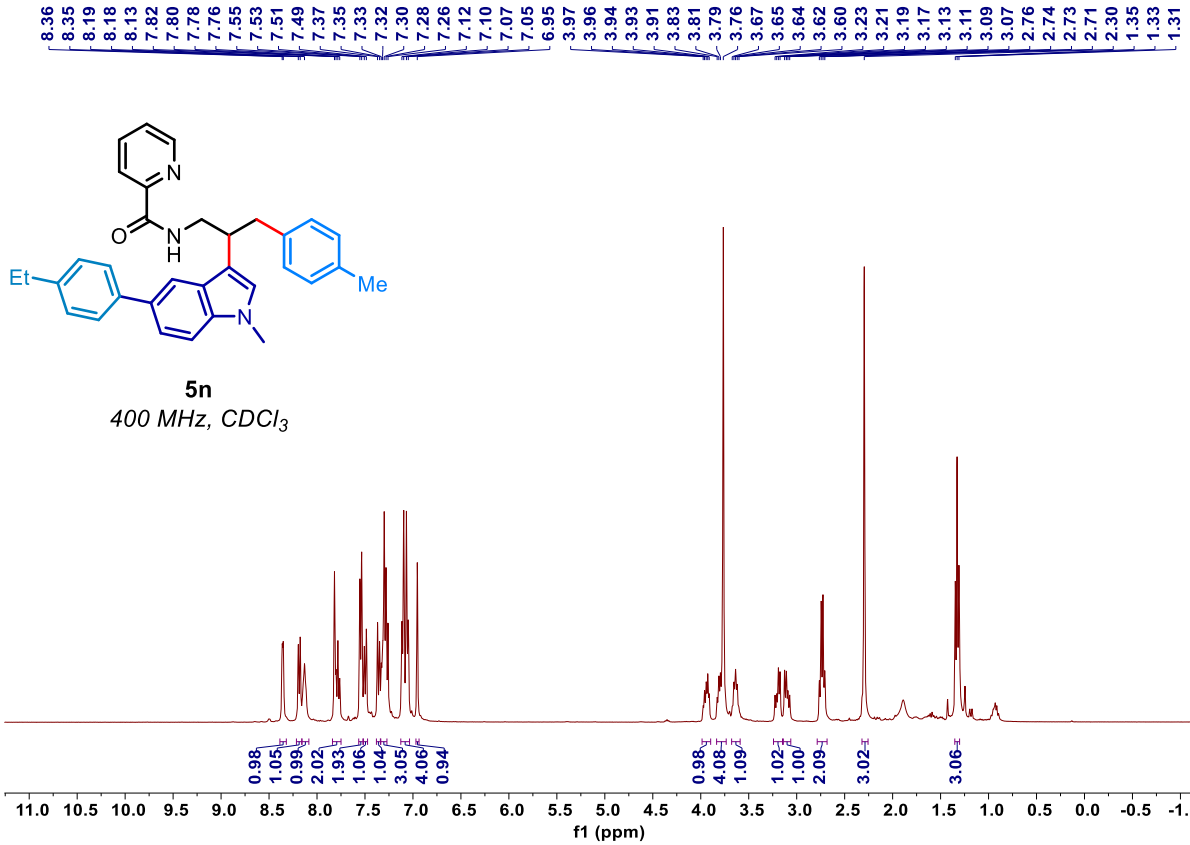
5m

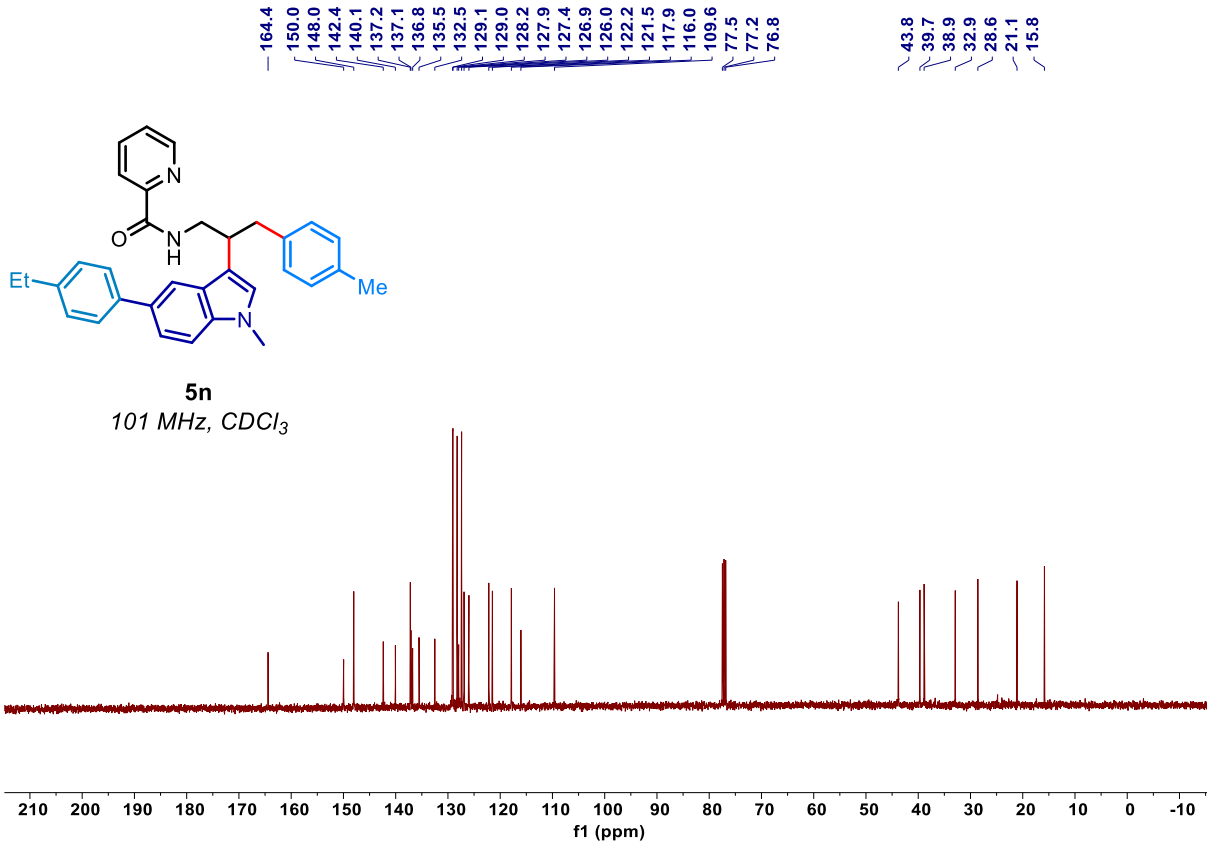
400 MHz, $CDCl_3$

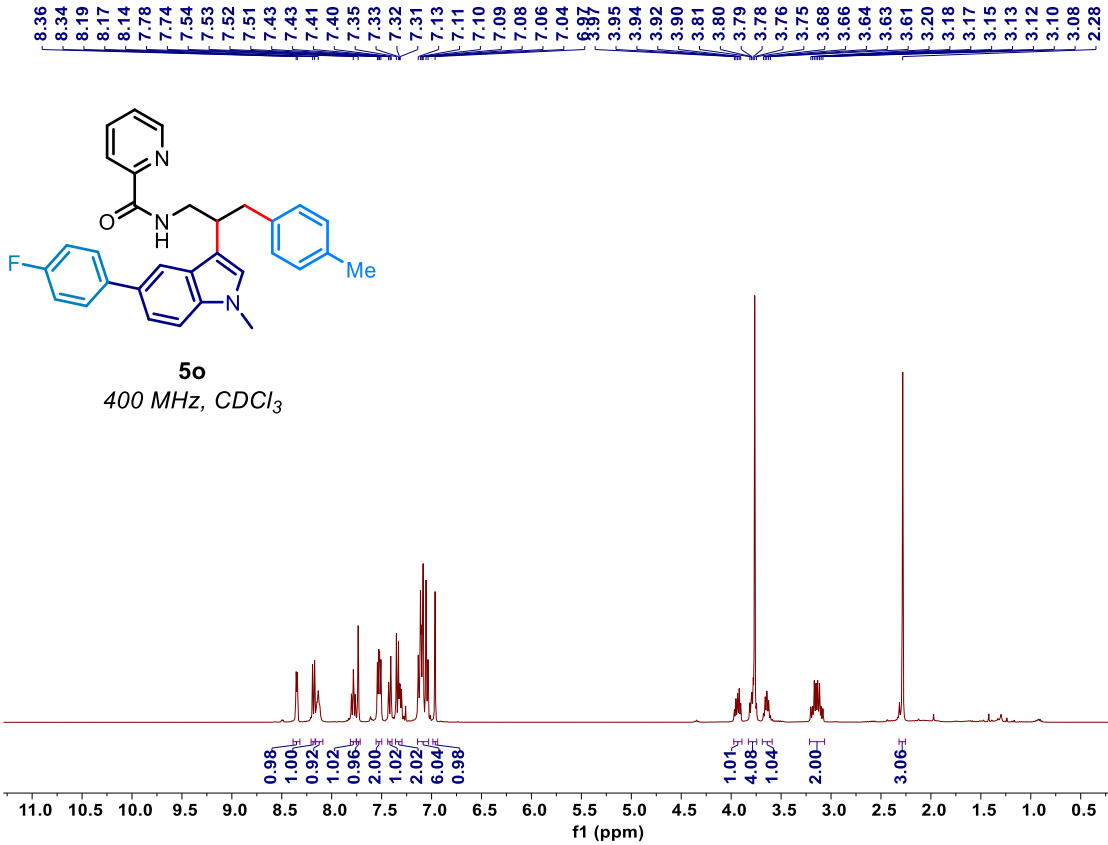


5m

101 MHz, $CDCl_3$

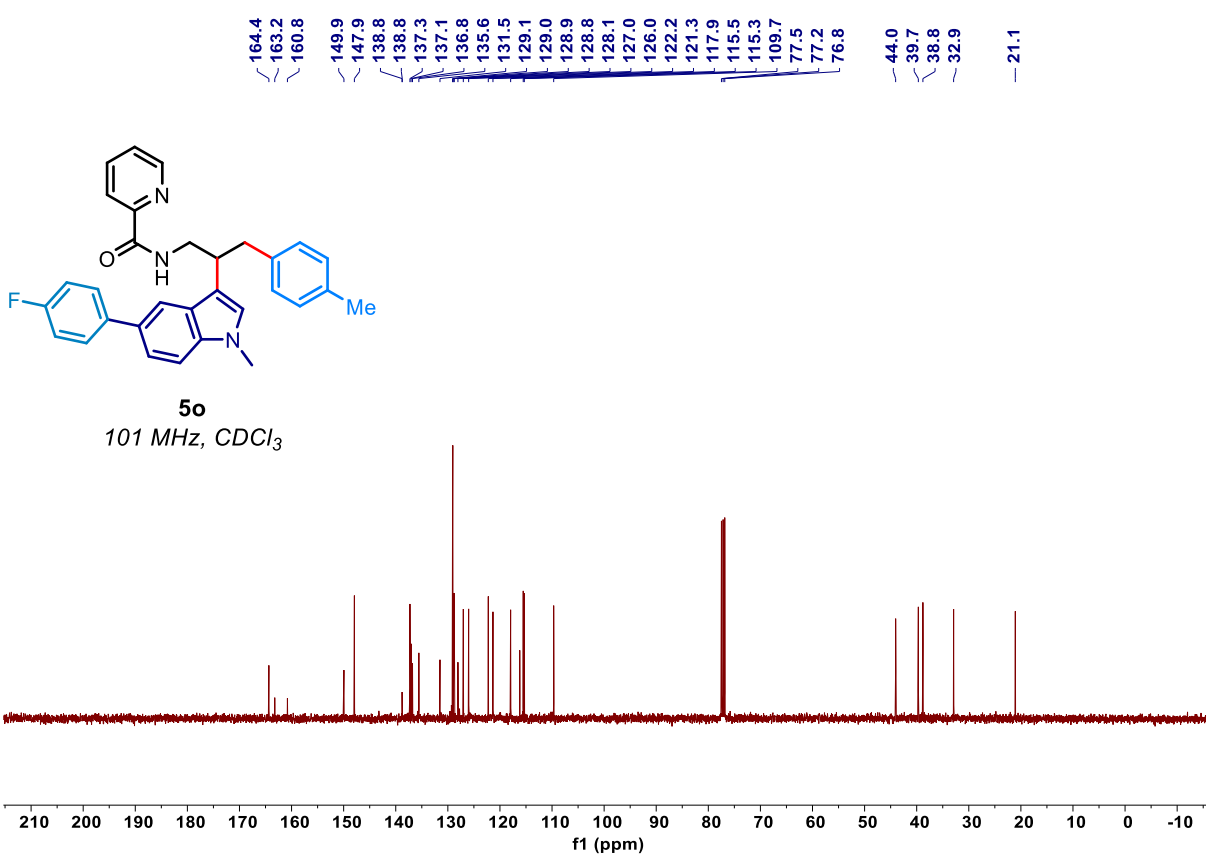

8.36 8.35 8.19 8.18 8.13 7.82 7.80 7.78 7.76 7.55 7.53 7.51 7.49 7.37 7.35 7.33 7.32 7.30 7.28 7.26 7.12 7.10 7.07 7.05 6.95
3.97 3.96 3.94 3.93 3.91 3.83 3.81 3.79 3.76 3.67 3.65 3.64 3.62 3.60 3.23 3.21 3.19 3.17 3.13 3.11 3.09 3.07 2.76 2.74 2.73 2.71 2.30 1.35 1.33 1.31
N
O
N
H
Et
Me
N
5n
400 MHz, CDCl3
0.98 1.05 0.99 2.02 1.93 1.06 1.04 3.05 4.06 0.94
0.98 4.08 1.09 1.02 1.00 2.09 3.02 3.06
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)


164.4 150.0 148.0 142.4 140.1 137.2 137.1 136.8 135.5 132.5 129.1 129.0 128.2 127.9 127.4 126.9 126.0 122.2 121.5 117.9 116.0 109.6 77.5 77.2 76.8
43.8 39.7 38.9 32.9 28.6 21.1 15.8
N
O
N
H
Et
Me
N
5n
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



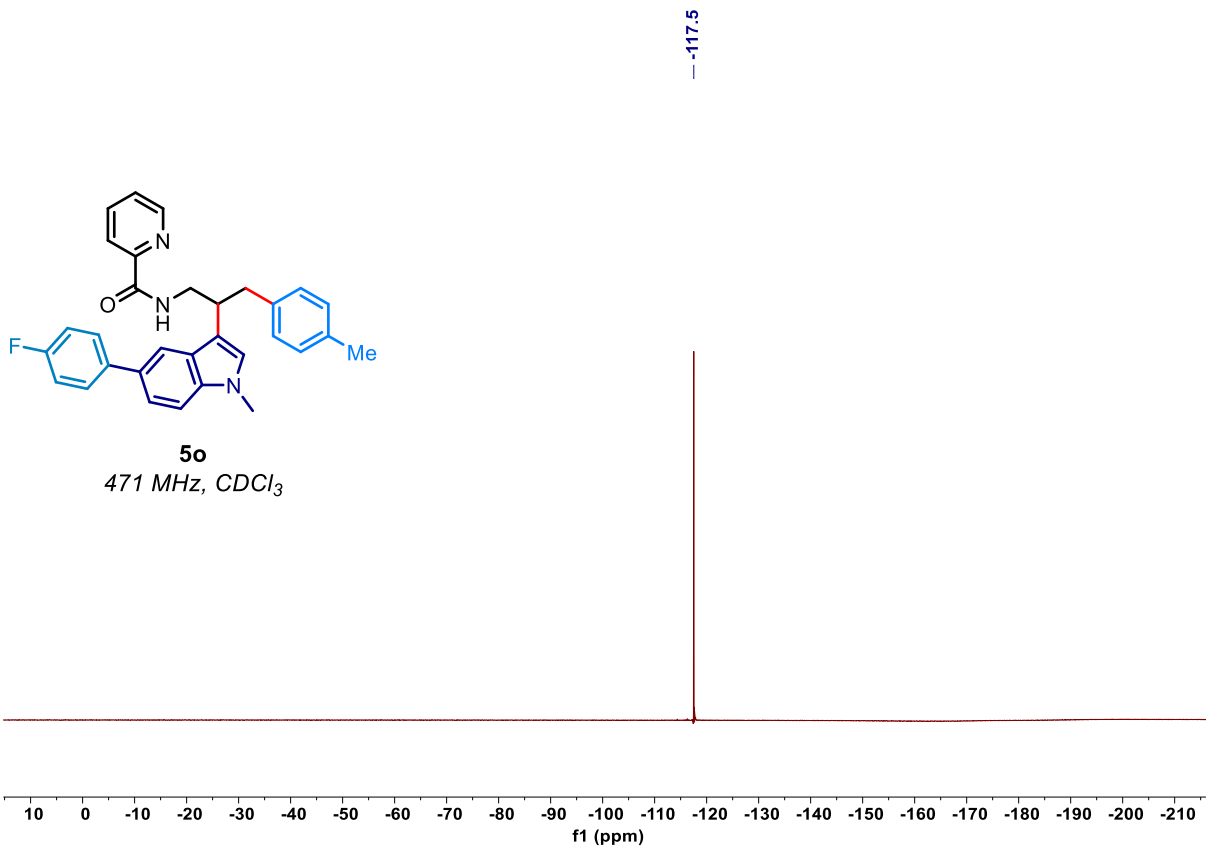
5o

400 MHz, $CDCl_3$



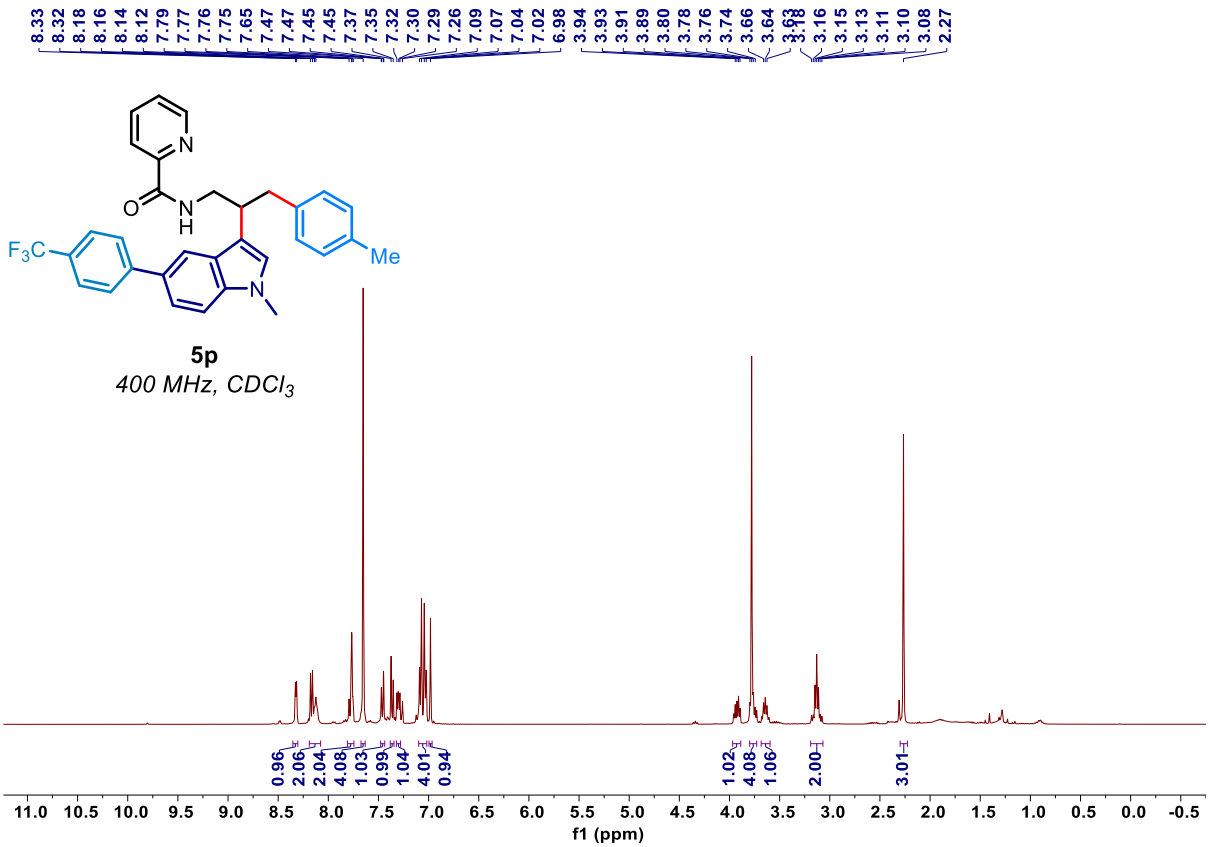
5o

101 MHz, $CDCl_3$



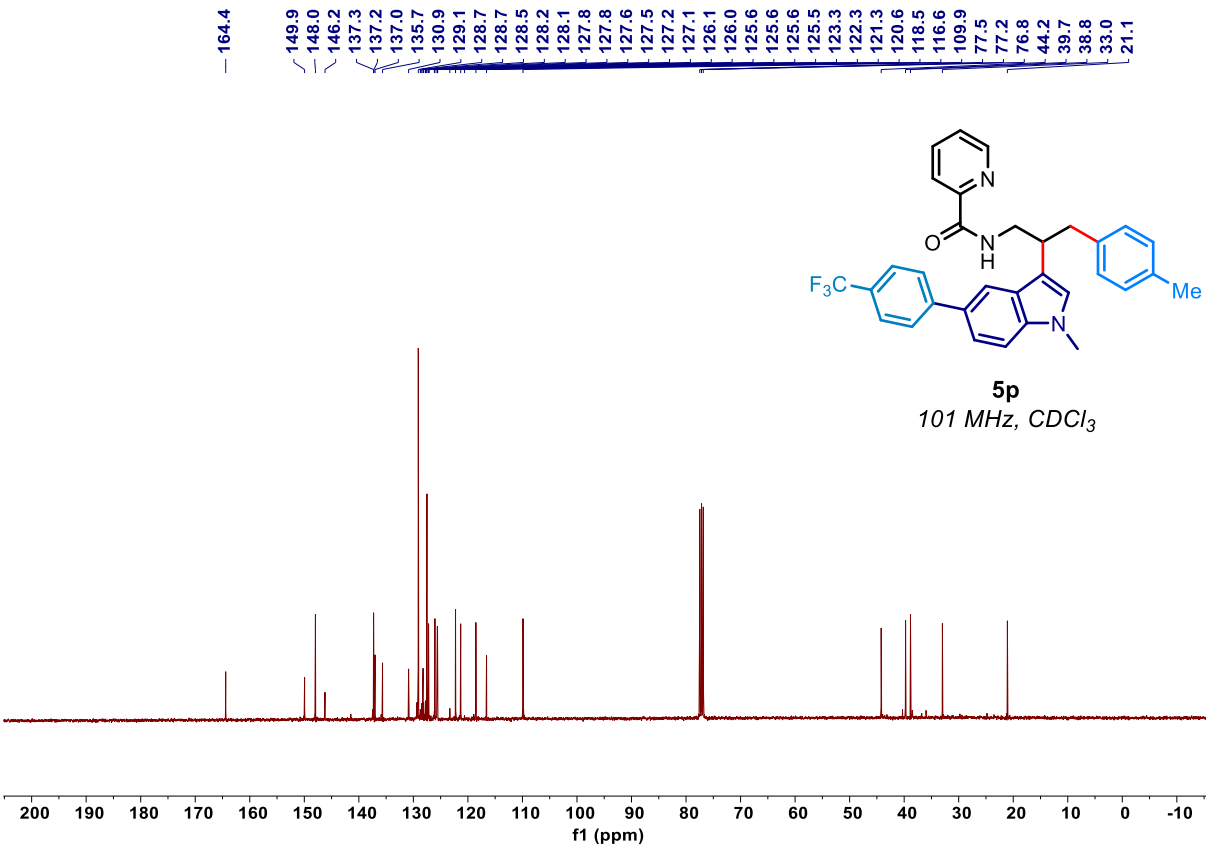
5o

471 MHz, $CDCl_3$



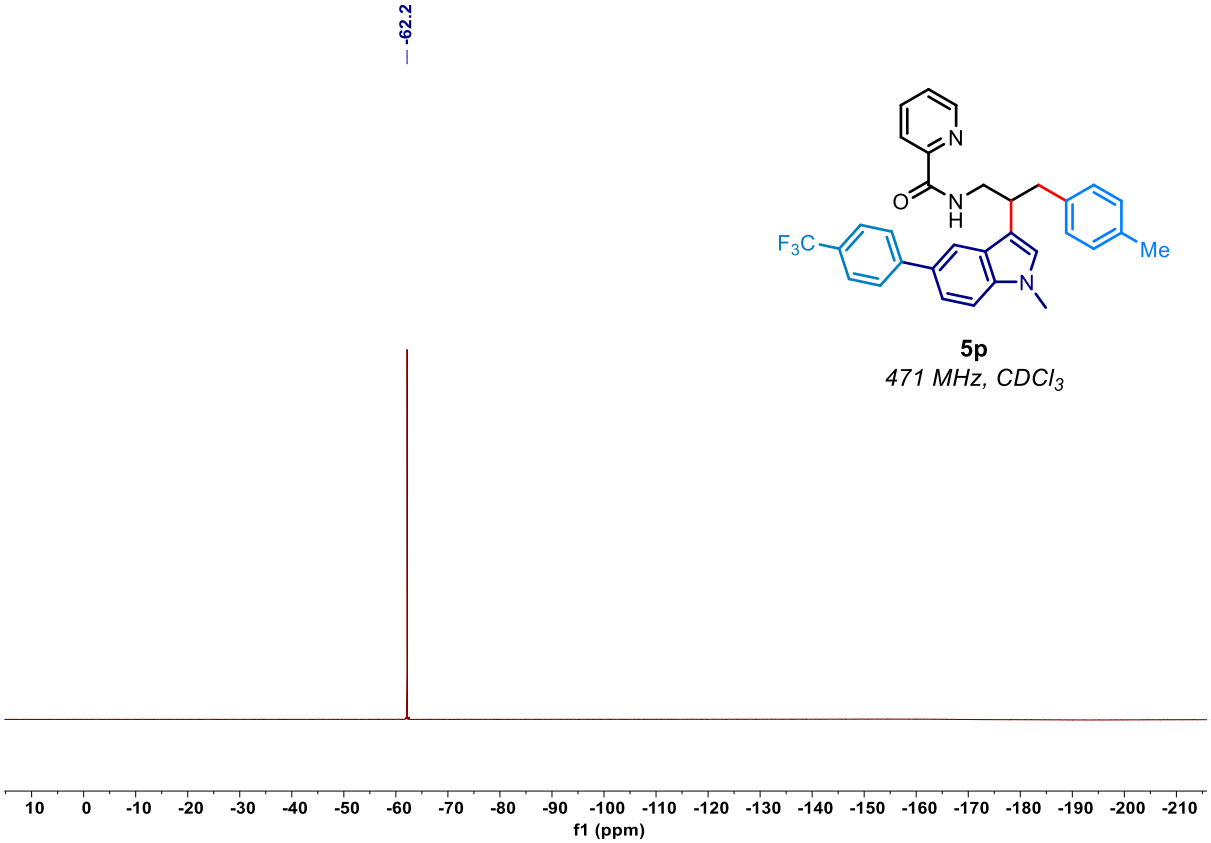
5p

400 MHz, $CDCl_3$



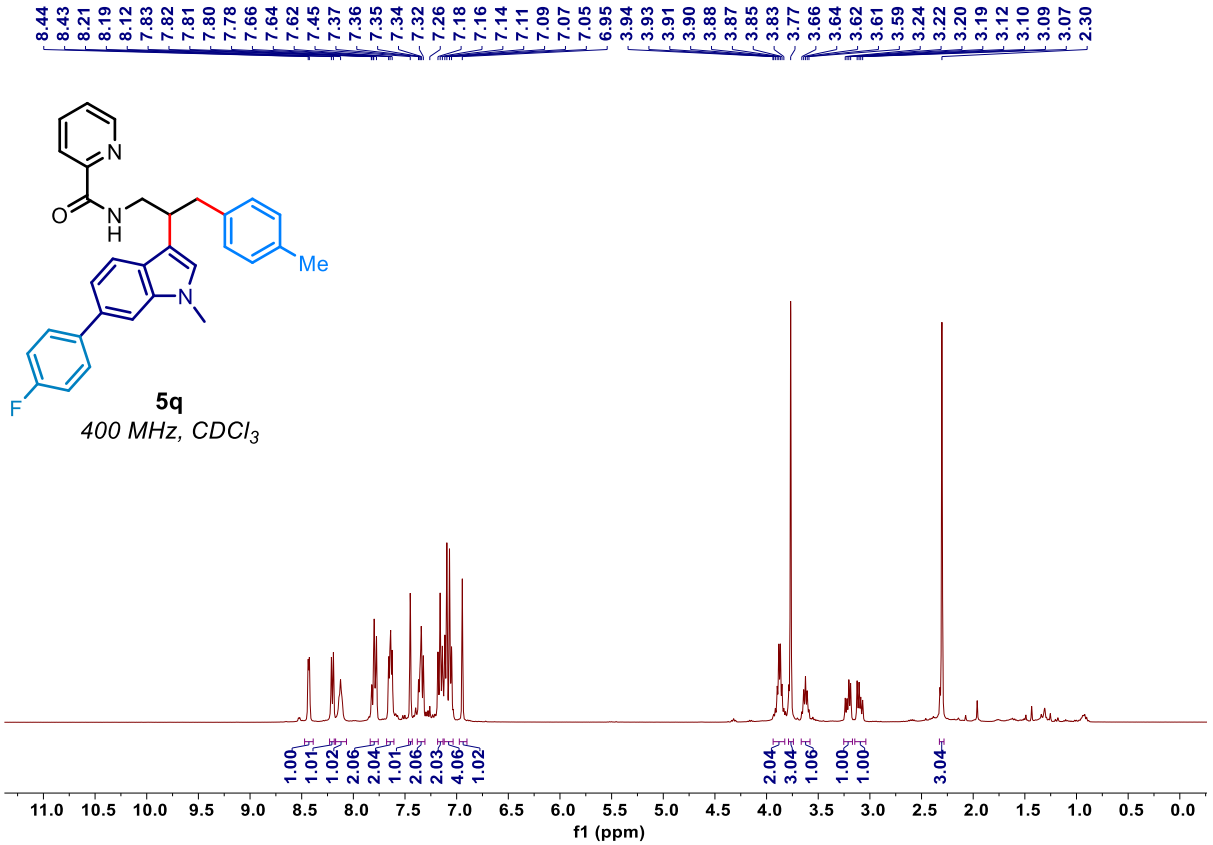
5p

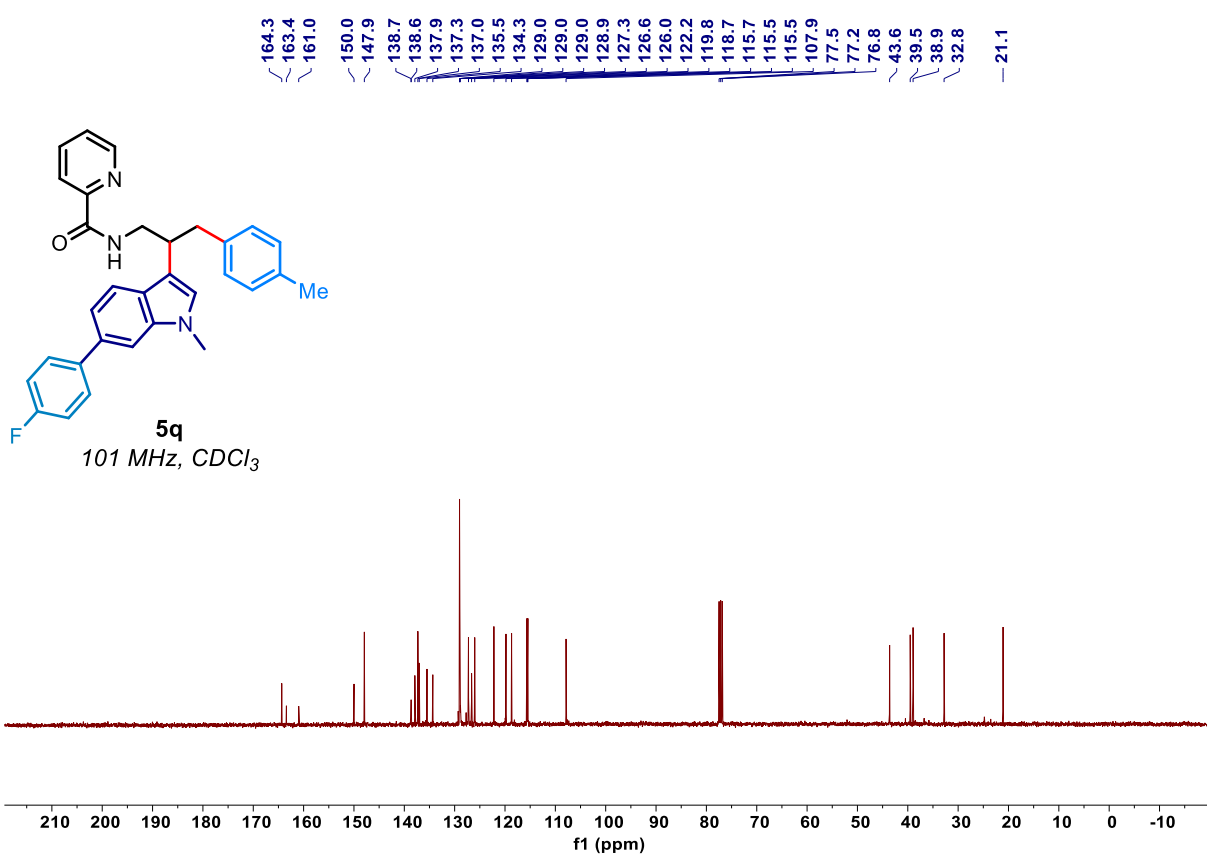
101 MHz, $CDCl_3$

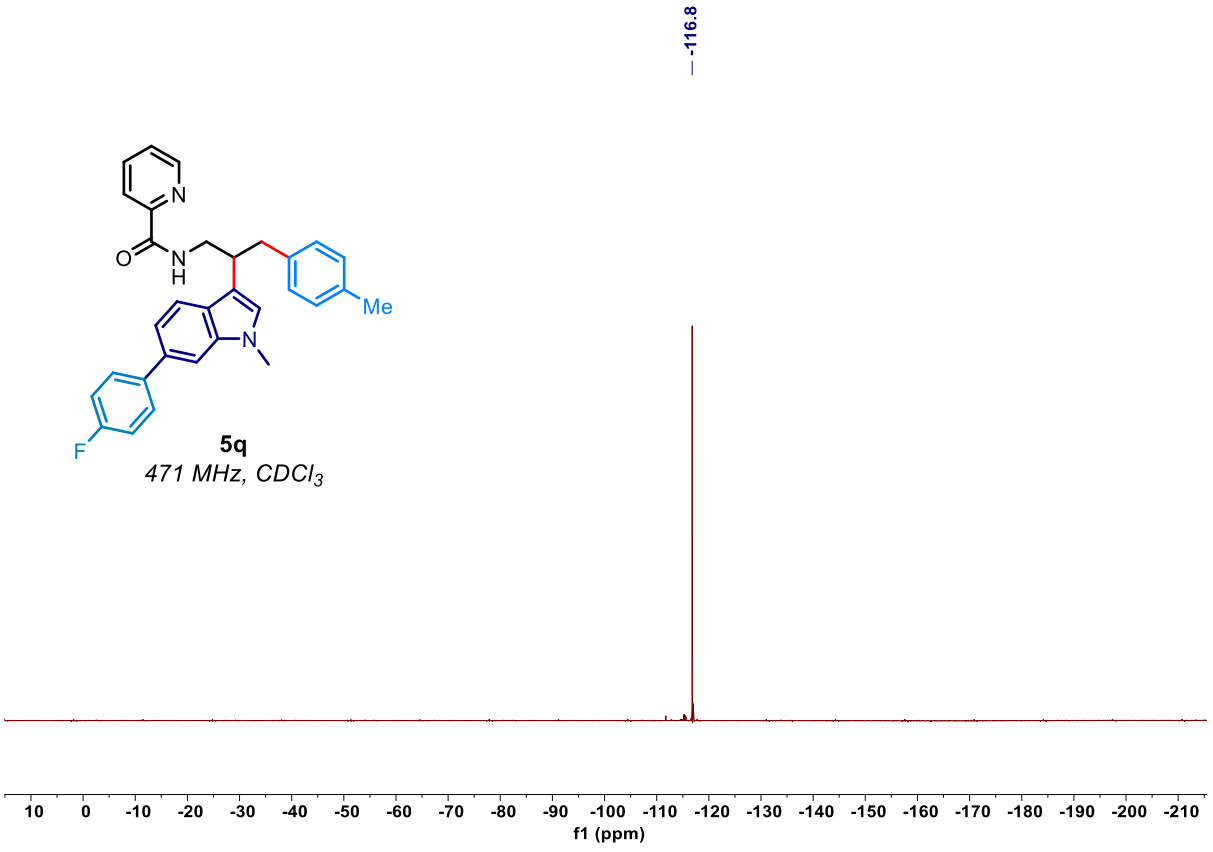


5p

471 MHz, $CDCl_3$

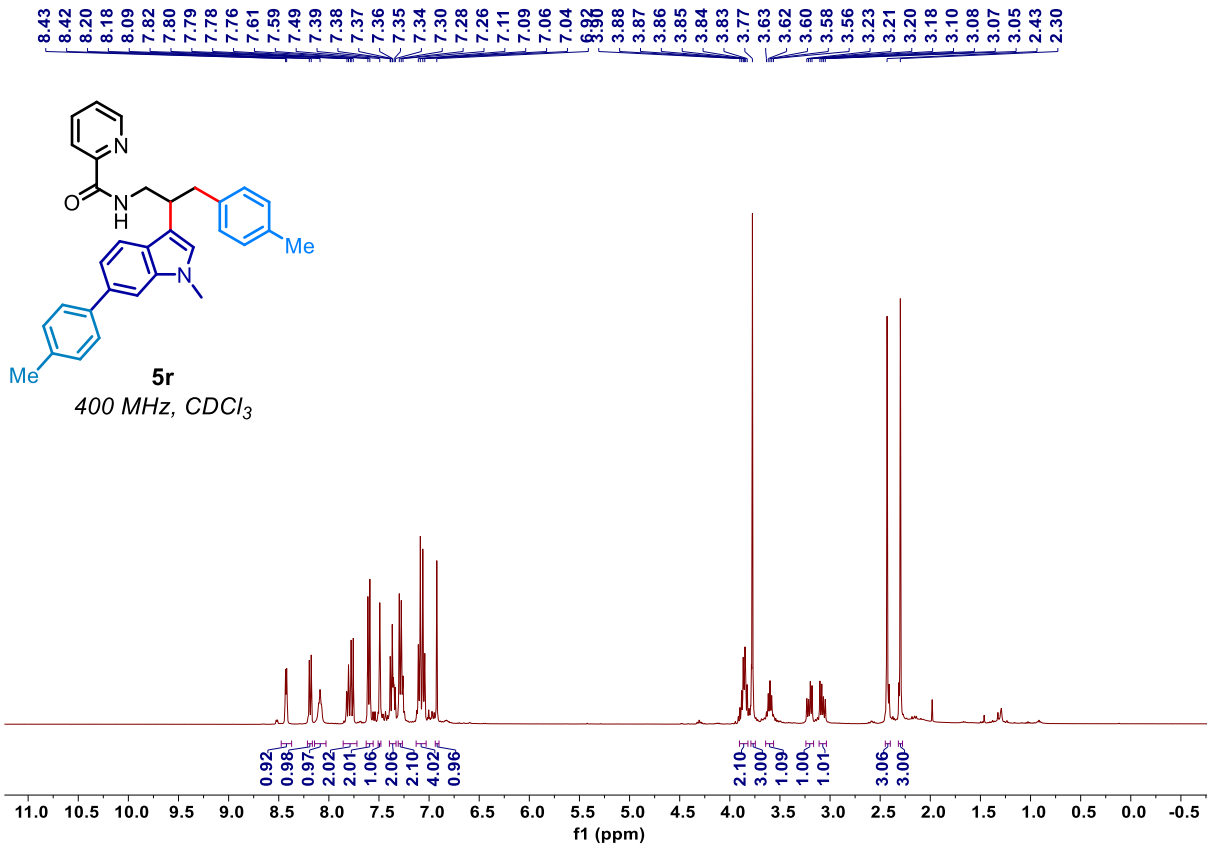

8.44 8.43 8.21 8.19 8.12 7.83 7.82 7.81 7.80 7.78 7.66 7.64 7.62 7.45 7.37 7.36 7.35 7.34 7.32 7.26 7.18 7.16 7.14 7.11 7.09 7.07 7.05 6.95 3.94 3.93 3.91 3.90 3.88 3.87 3.85 3.83 3.77 3.66 3.64 3.62 3.61 3.59 3.24 3.22 3.20 3.19 3.12 3.10 3.09 3.07 2.30
N
O
N
H
Me
N
F
5q
400 MHz, CDCl3
1.00 1.01 1.02 2.06 2.04 1.01 2.06 2.03 4.06 1.02 2.04 3.04 1.06 1.00 1.00 3.04
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

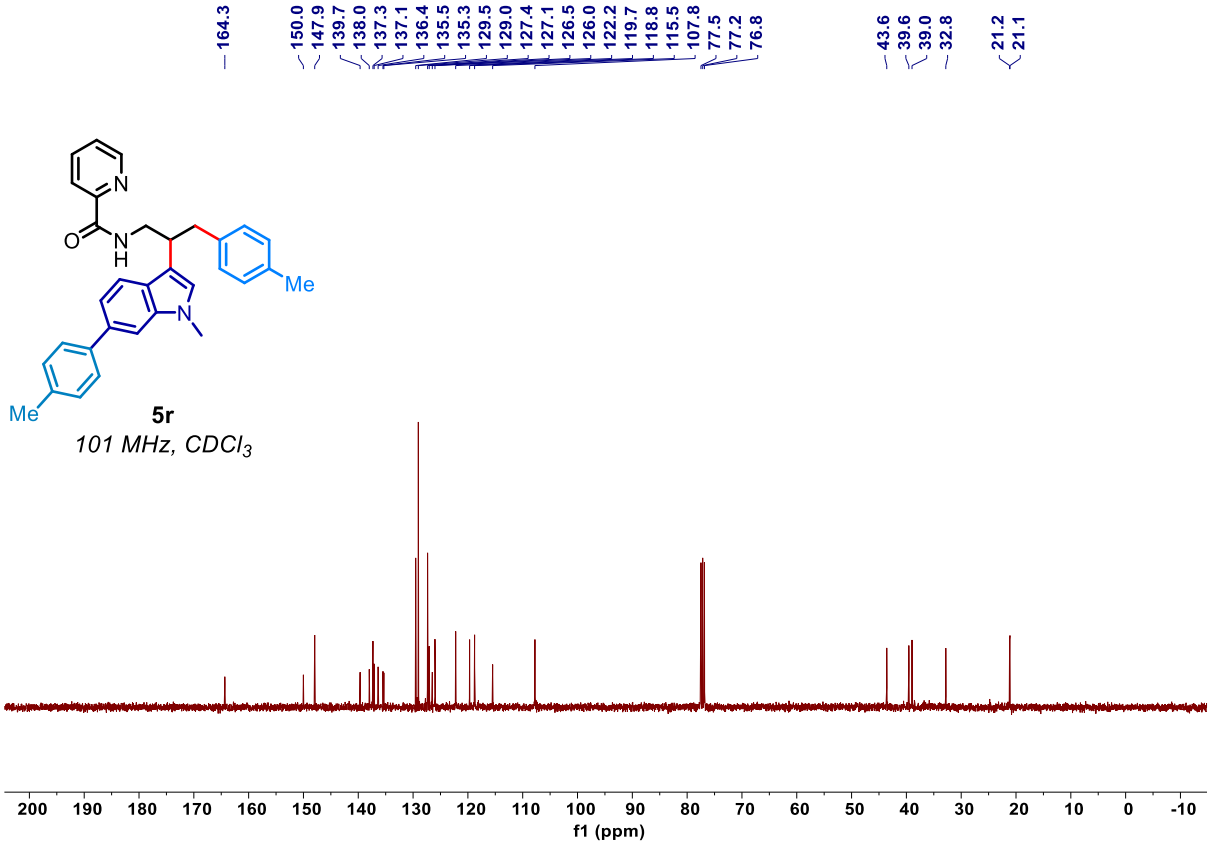

164.3 163.4 161.0 150.0 147.9 138.7 138.6 137.9 137.3 137.0 135.5 134.3 129.0 129.0 129.0 128.9 127.3 126.6 126.0 122.2 119.8 118.7 115.7 115.5 115.5 107.9 77.5 77.2 76.8 43.6 39.5 38.9 32.8 21.1
N
O
N
H
Me
N
F
5q
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

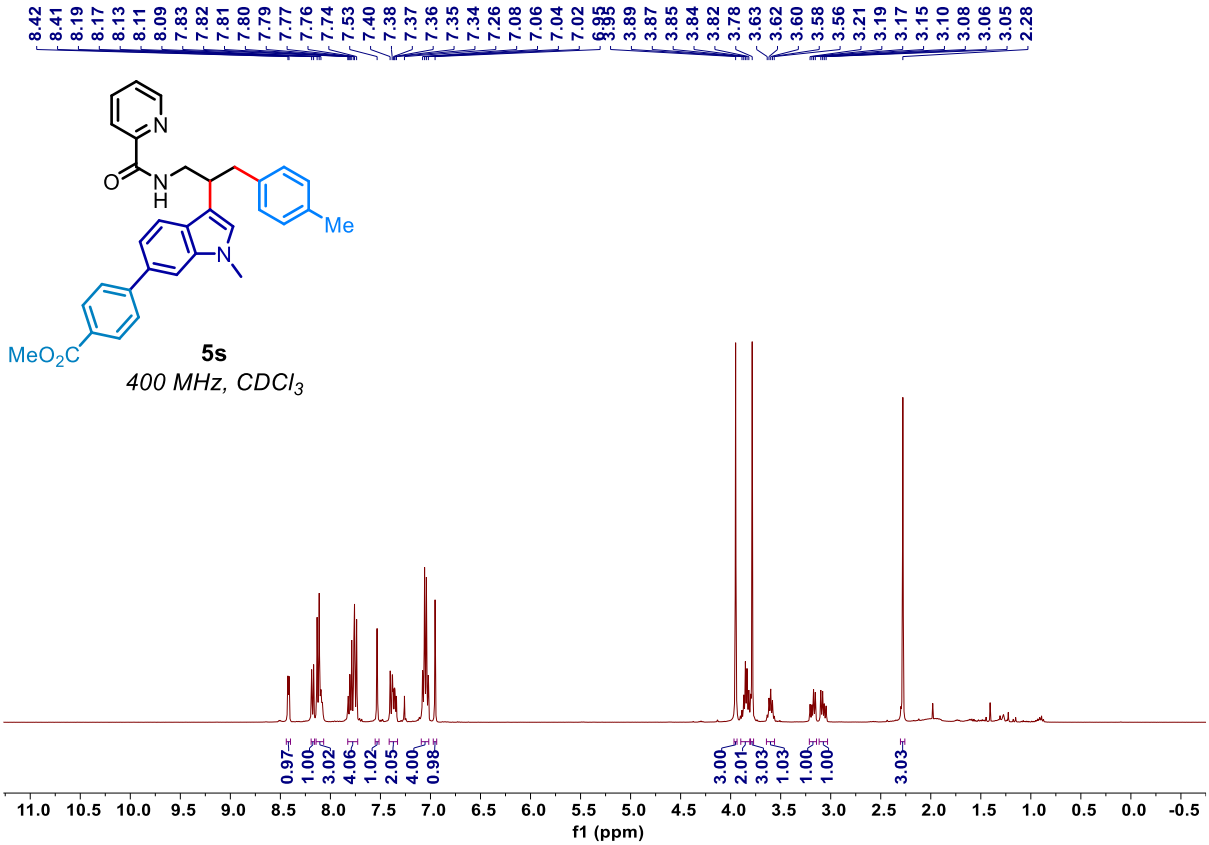


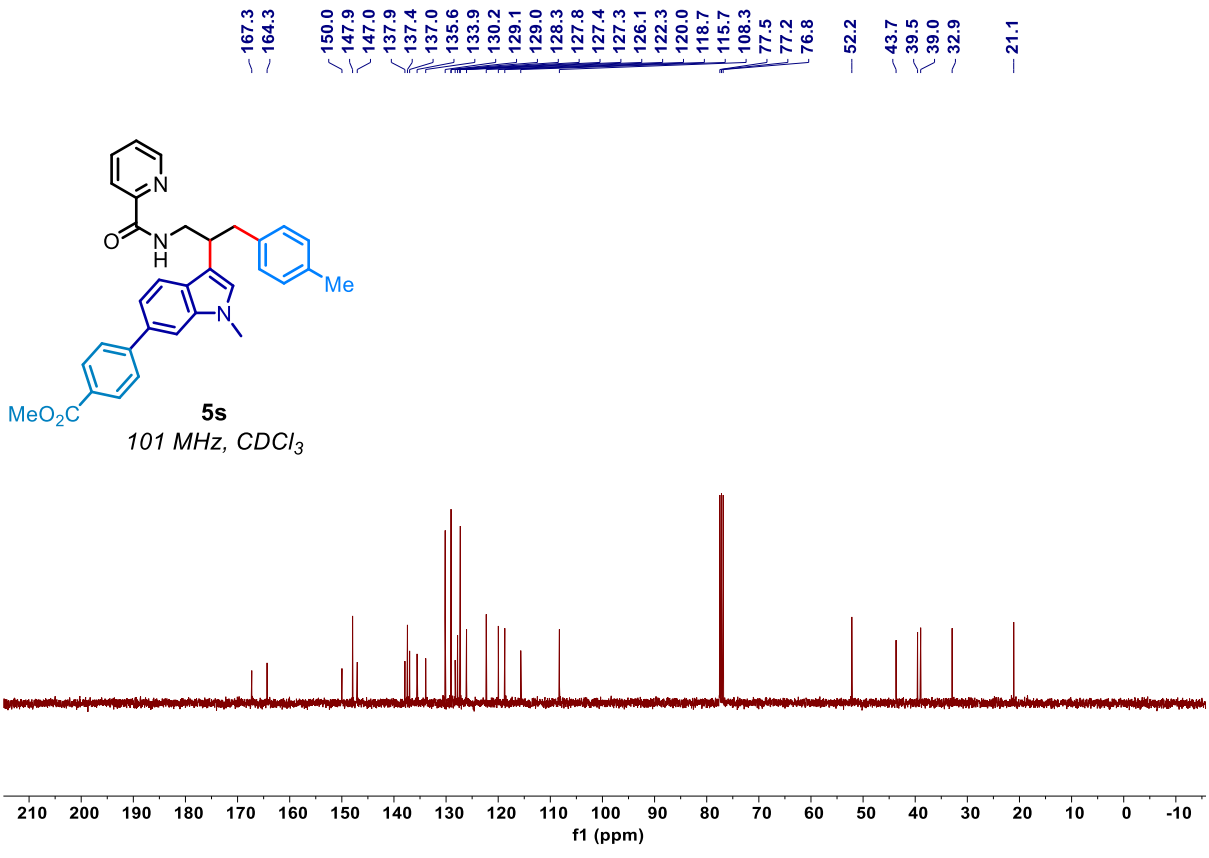
5q

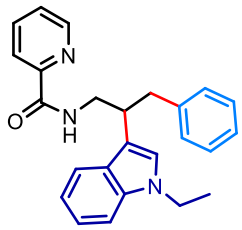
471 MHz, $CDCl_3$


8.43 8.42 8.20 8.18 8.09 7.82 7.80 7.79 7.78 7.76 7.61 7.59 7.49 7.39 7.38 7.37 7.36 7.35 7.34 7.30 7.28 7.26 7.11 7.09 7.06 7.04 6.92 3.90 3.88 3.87 3.86 3.85 3.84 3.83 3.77 3.63 3.62 3.60 3.58 3.56 3.23 3.21 3.20 3.18 3.10 3.08 3.07 3.05 2.43 2.30
Me
Me
5r
400 MHz, CDCl3
0.92 0.98 0.97 2.02 2.01 1.06 2.06 2.10 4.02 0.96 2.10 3.00 1.09 1.00 1.01 3.06 3.00
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


164.3 150.0 147.9 139.7 138.0 137.3 137.1 136.4 135.5 135.3 129.5 129.0 127.4 127.1 126.5 126.0 122.2 119.7 118.8 115.5 107.8 77.5 77.2 76.8 43.6 39.6 39.0 32.8 21.2 21.1
Me
Me
5r
101 MHz, CDCl3
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

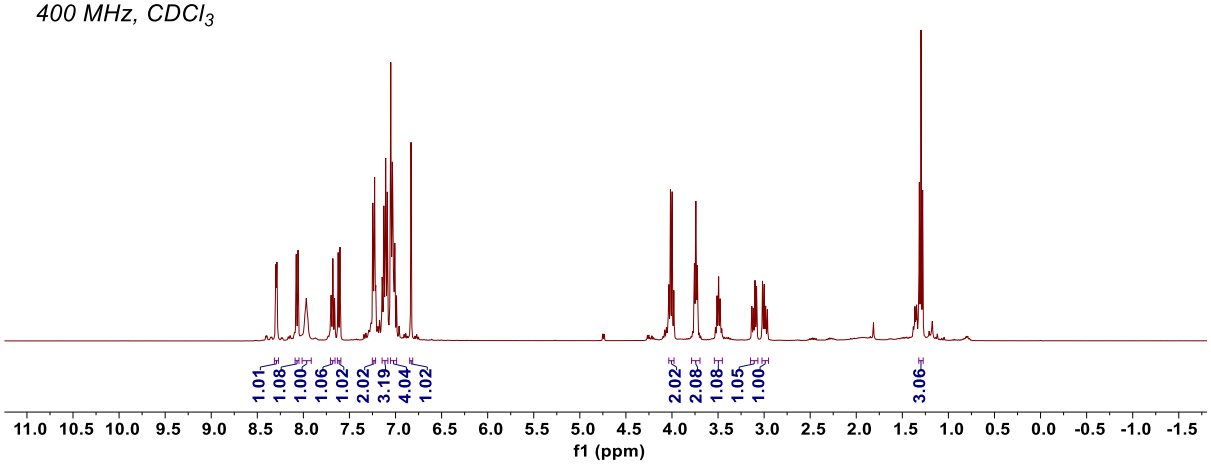

8.42 8.41 8.19 8.17 8.13 8.11 8.09 7.83 7.82 7.81 7.80 7.79 7.77 7.76 7.74 7.53 7.40 7.38 7.37 7.36 7.35 7.34 7.26 7.08 7.06 7.04 7.02 3.89 3.87 3.85 3.84 3.82 3.78 3.63 3.62 3.60 3.58 3.56 3.21 3.19 3.17 3.15 3.10 3.08 3.06 3.05 2.28
N
O
N
H
Me
N
MeO2C
5s
400 MHz, CDCl3
0.97 1.00 3.02 4.06 1.02 2.05 4.00 0.98 3.00 2.01 3.03 1.03 1.00 1.00 3.03
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)

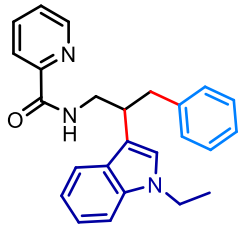

167.3 164.3 150.0 147.9 147.0 137.9 137.4 137.0 135.6 133.9 130.2 129.1 129.0 128.3 127.8 127.4 127.3 126.1 122.3 120.0 118.7 115.7 108.3 77.5 77.2 76.8 52.2 43.7 39.5 39.0 32.9 21.1
N
O
N
H
Me
N
MeO2C
5s
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



5t

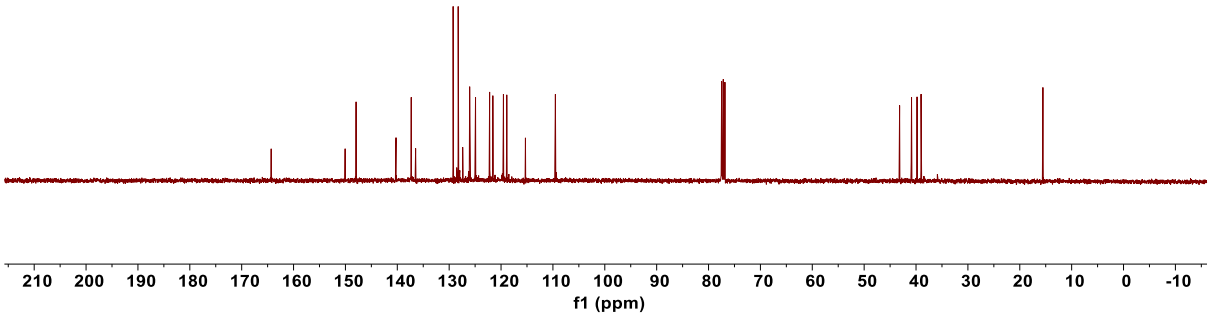
400 MHz, $CDCl_3$

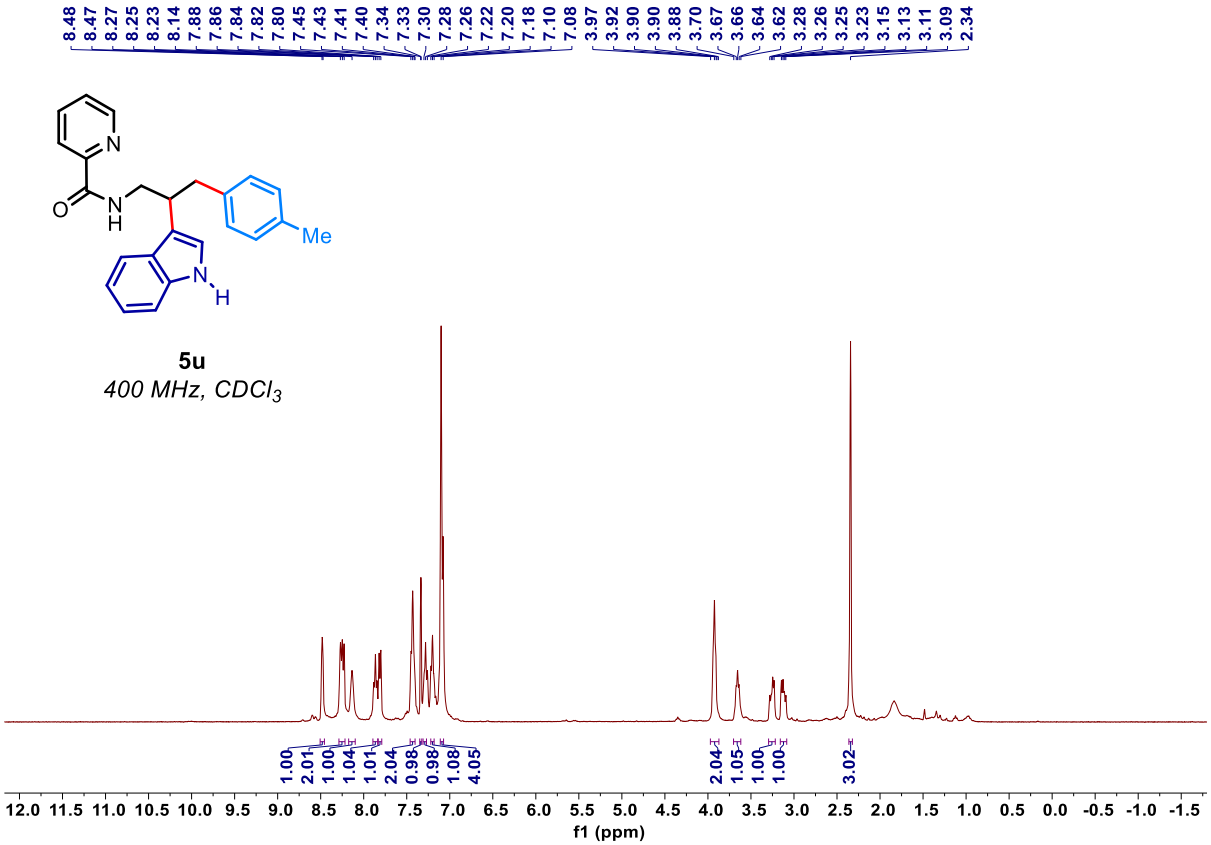




5t

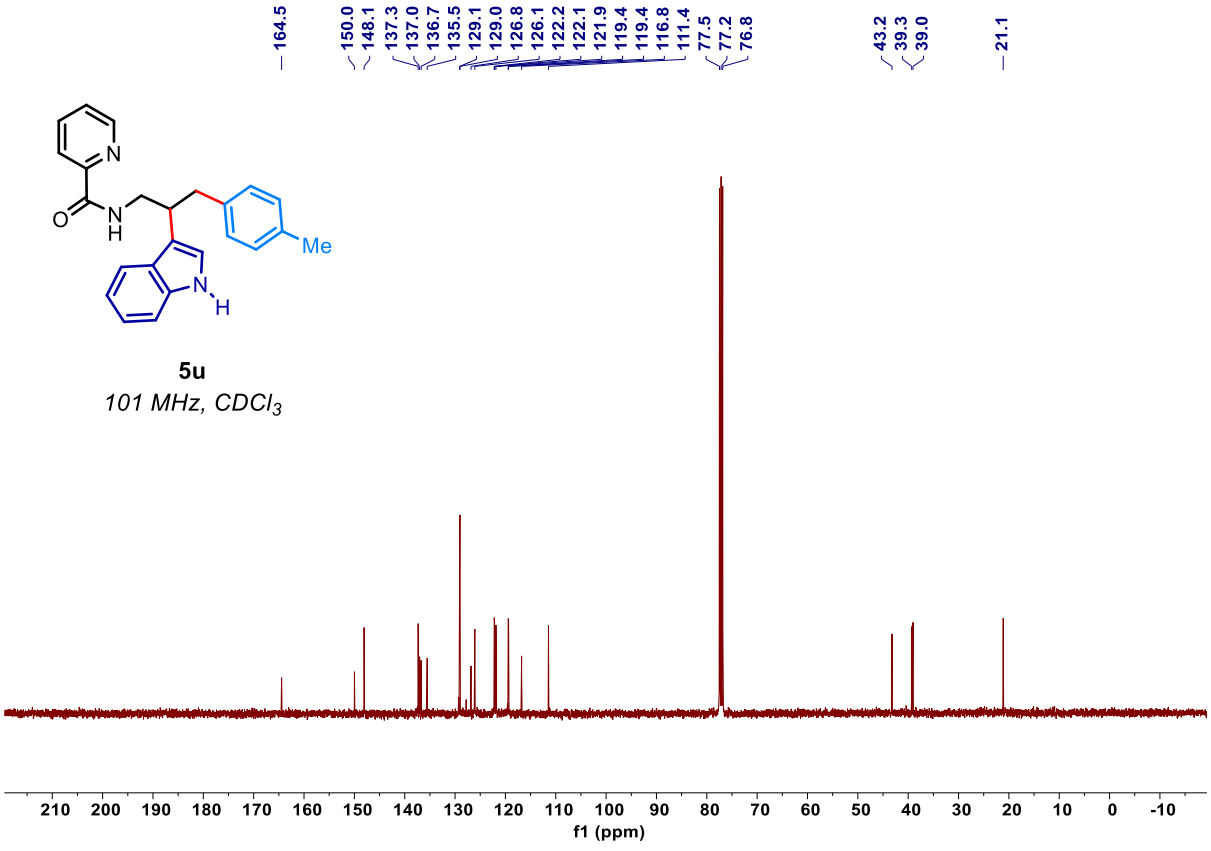
101 MHz, $CDCl_3$





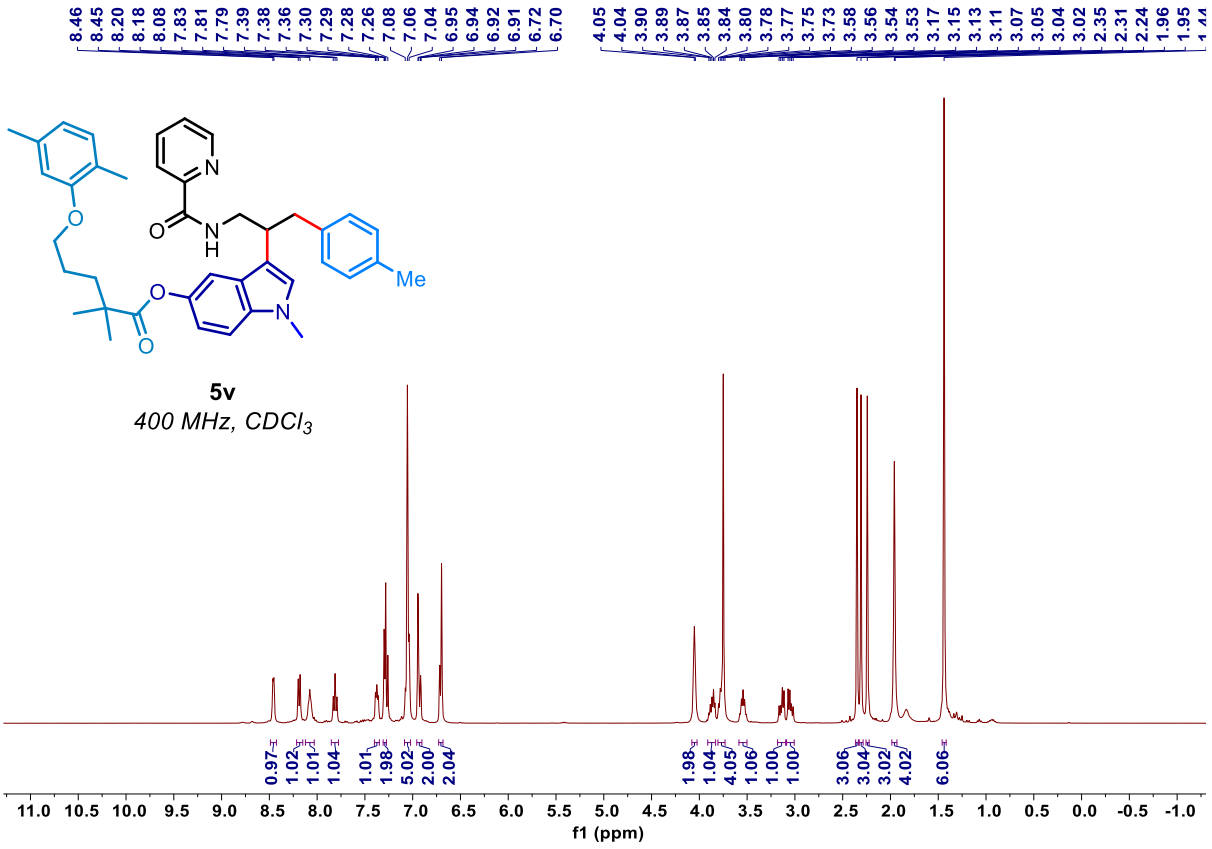
5u

400 MHz, $CDCl_3$



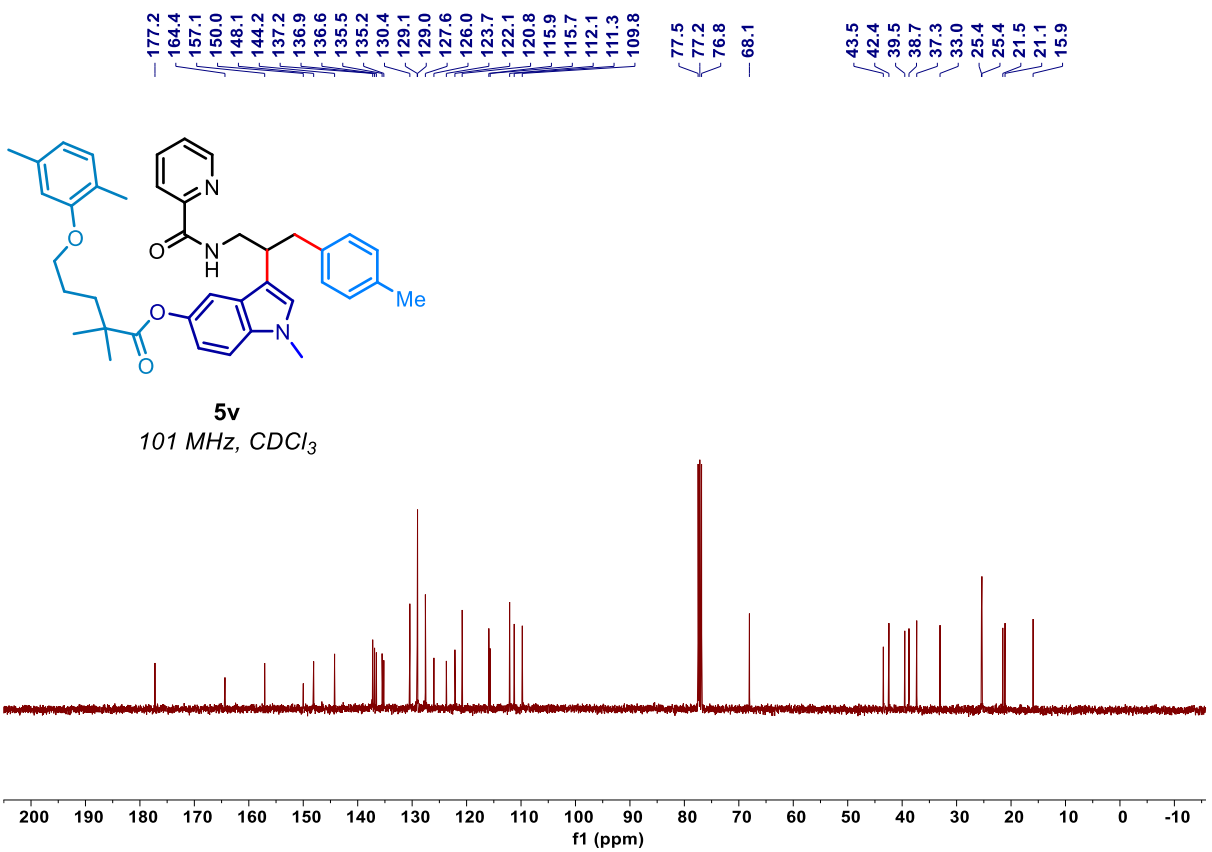
5u

101 MHz, $CDCl_3$



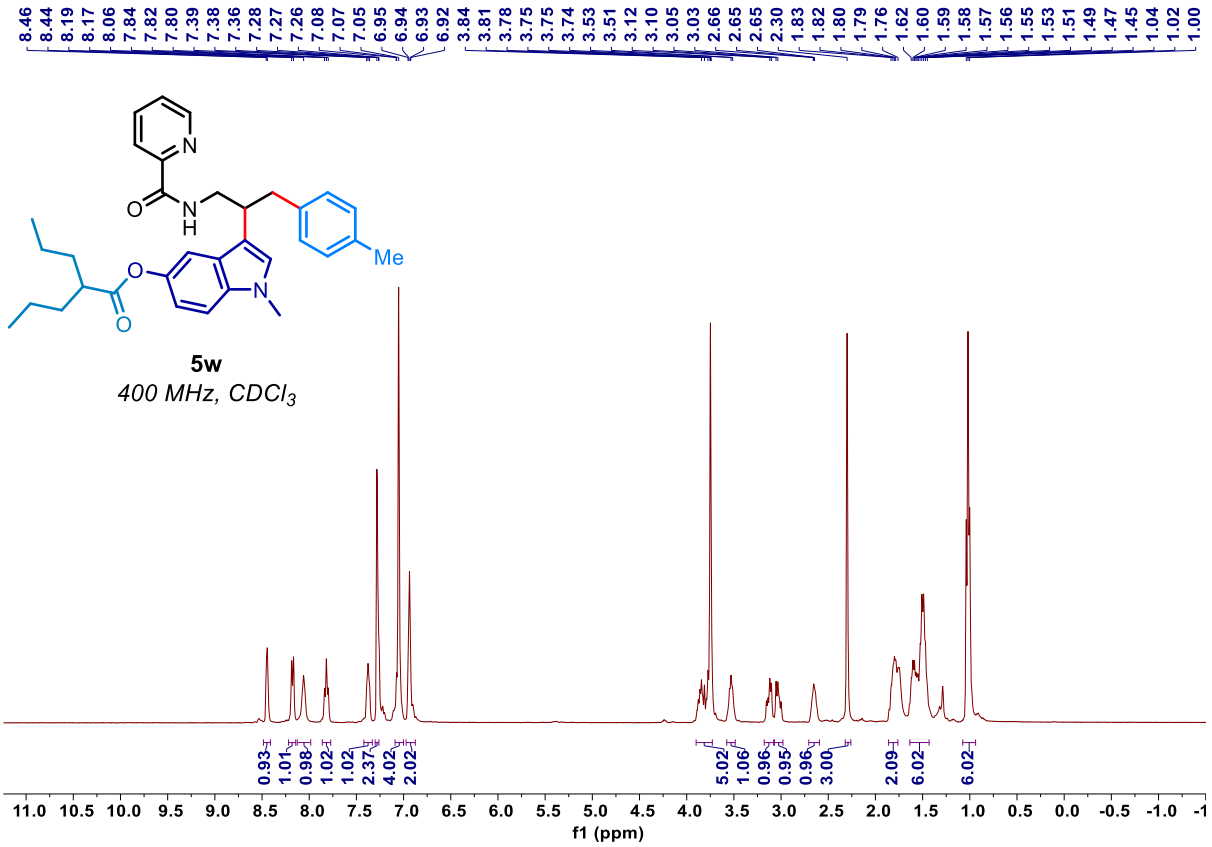
5v

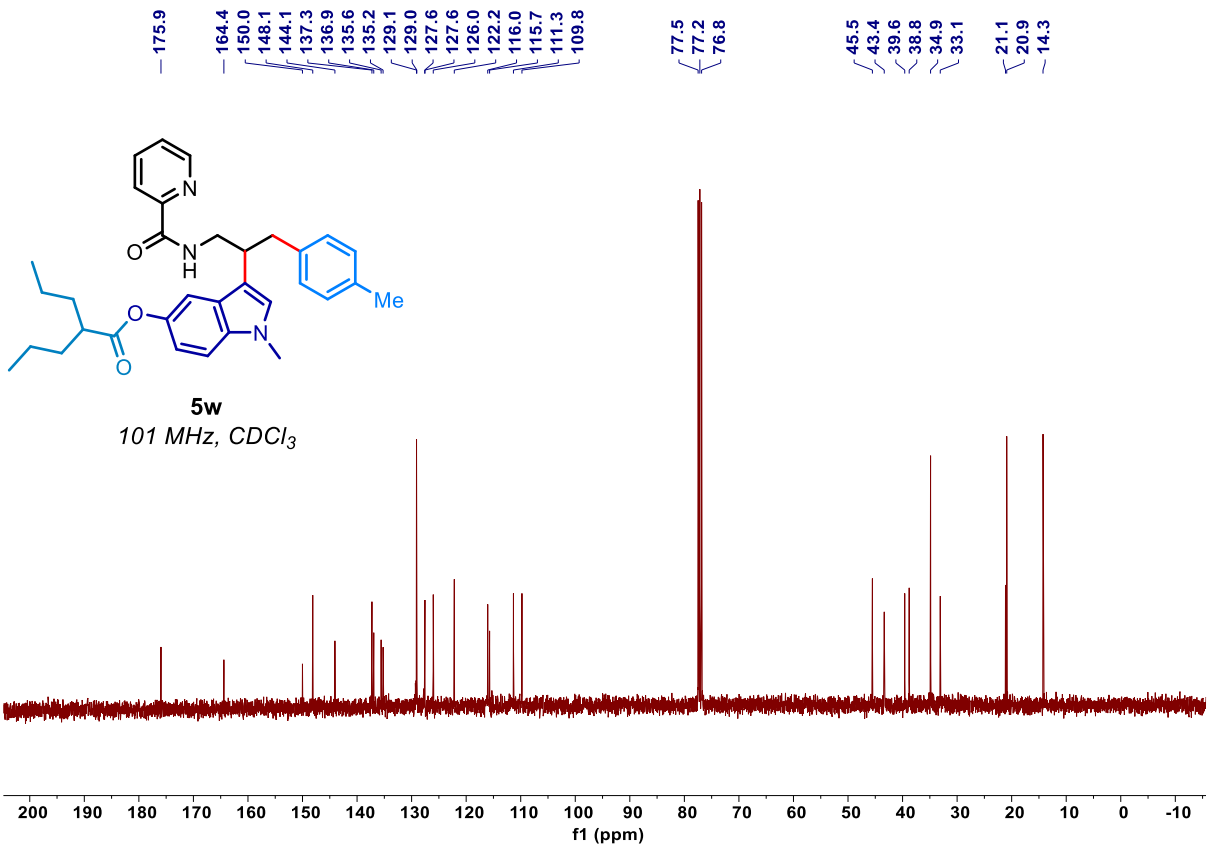
400 MHz, $CDCl_3$

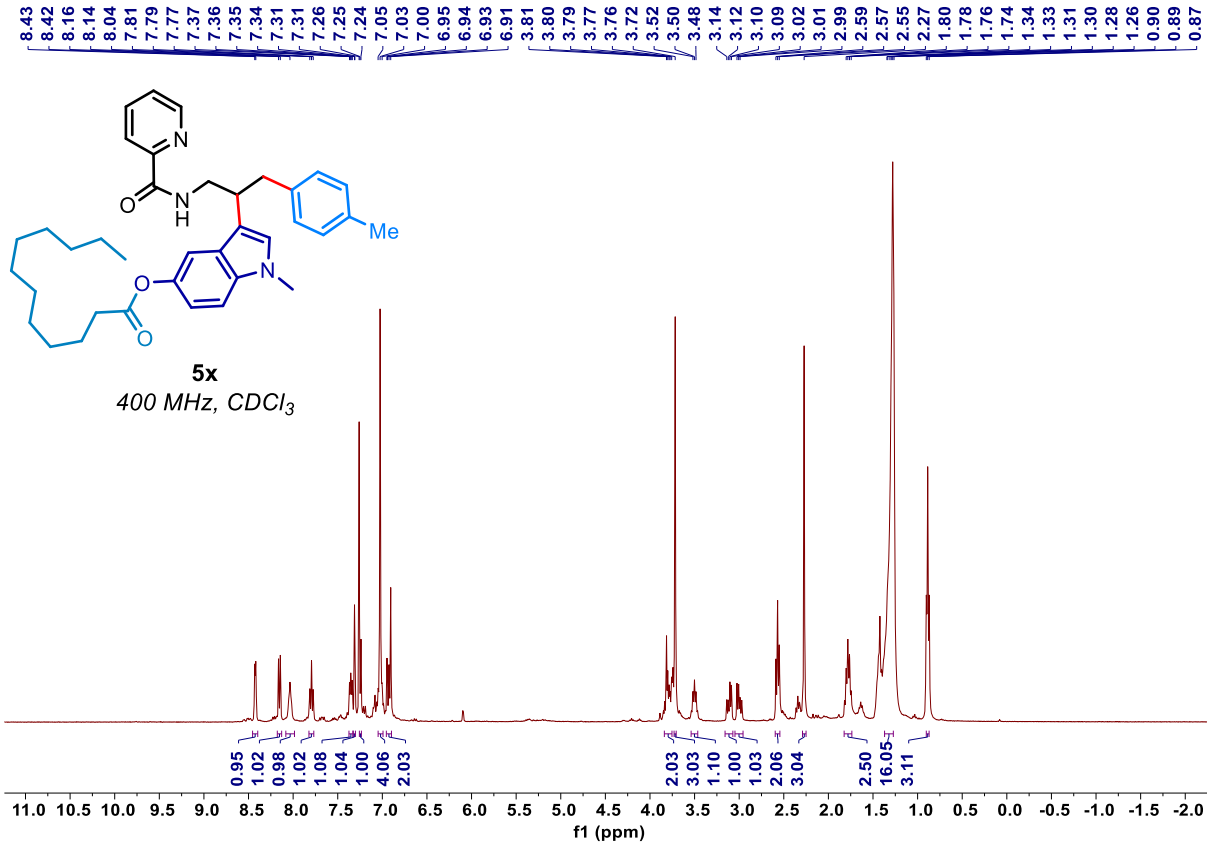


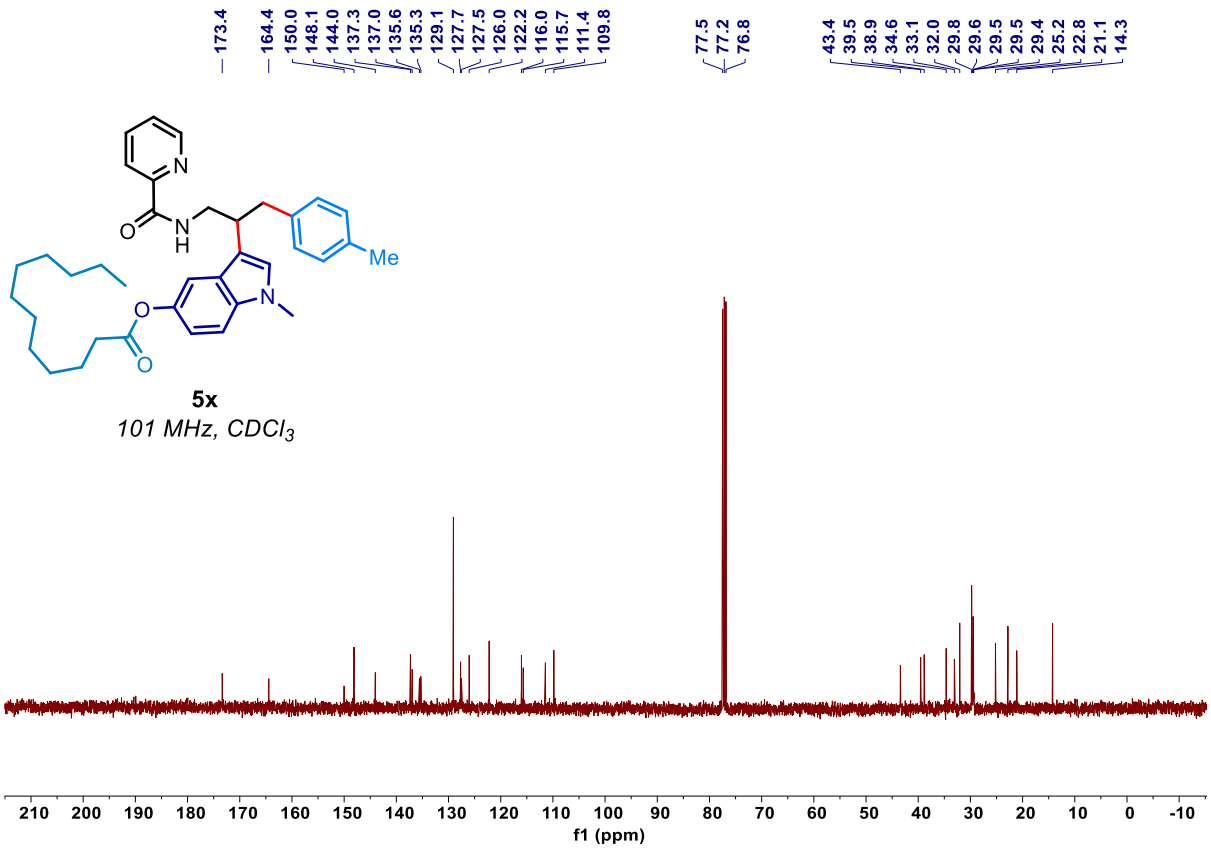
5v

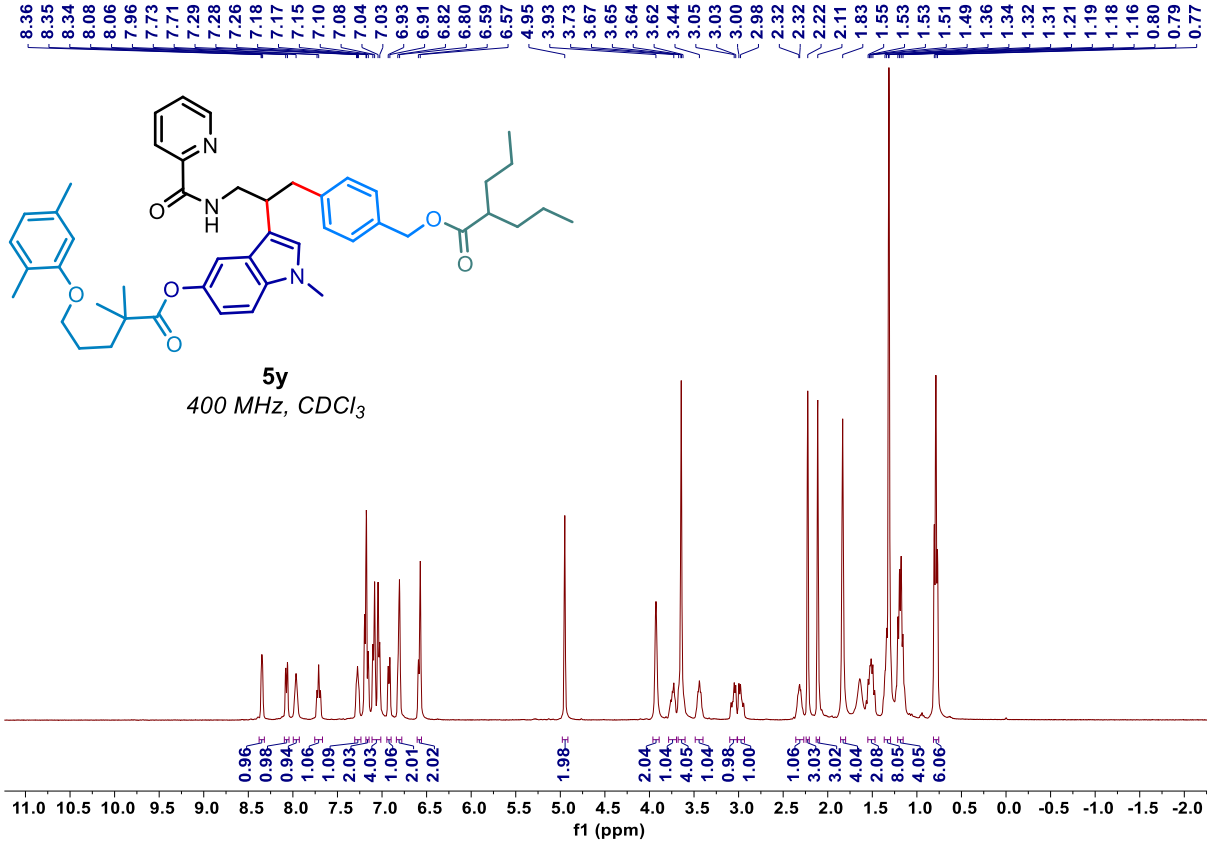
101 MHz, $CDCl_3$


8.46 8.44 8.19 8.17 8.06 7.84 7.82 7.80 7.39 7.38 7.36 7.28 7.27 7.26 7.08 7.07 7.05 6.95 6.94 6.93 6.92
3.84 3.81 3.78 3.75 3.75 3.74 3.53 3.51 3.12 3.10 3.05 3.03 2.66 2.65 2.65 2.30 1.83 1.82 1.80 1.79 1.76 1.62 1.60 1.59 1.58 1.57 1.56 1.55 1.53 1.51 1.49 1.47 1.45 1.04 1.02 1.00
N
O
N
H
Me
O
O
N
5w
400 MHz, CDCl3
0.93 1.01 0.98 1.02 1.02 2.37 4.02 2.02
5.02 1.06 0.96 0.95 0.96 3.00 2.09 6.02 6.02
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1
f1 (ppm)


175.9
164.4 150.0 148.1 144.1 137.3 136.9 135.6 135.2 129.1 129.0 127.6 127.6 126.0 122.2 116.0 115.7 111.3 109.8
77.5 77.2 76.8
45.5 43.4 39.6 38.8 34.9 33.1
21.1 20.9 14.3
N
O
N
H
Me
O
O
N
5w
101 MHz, CDCl3
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

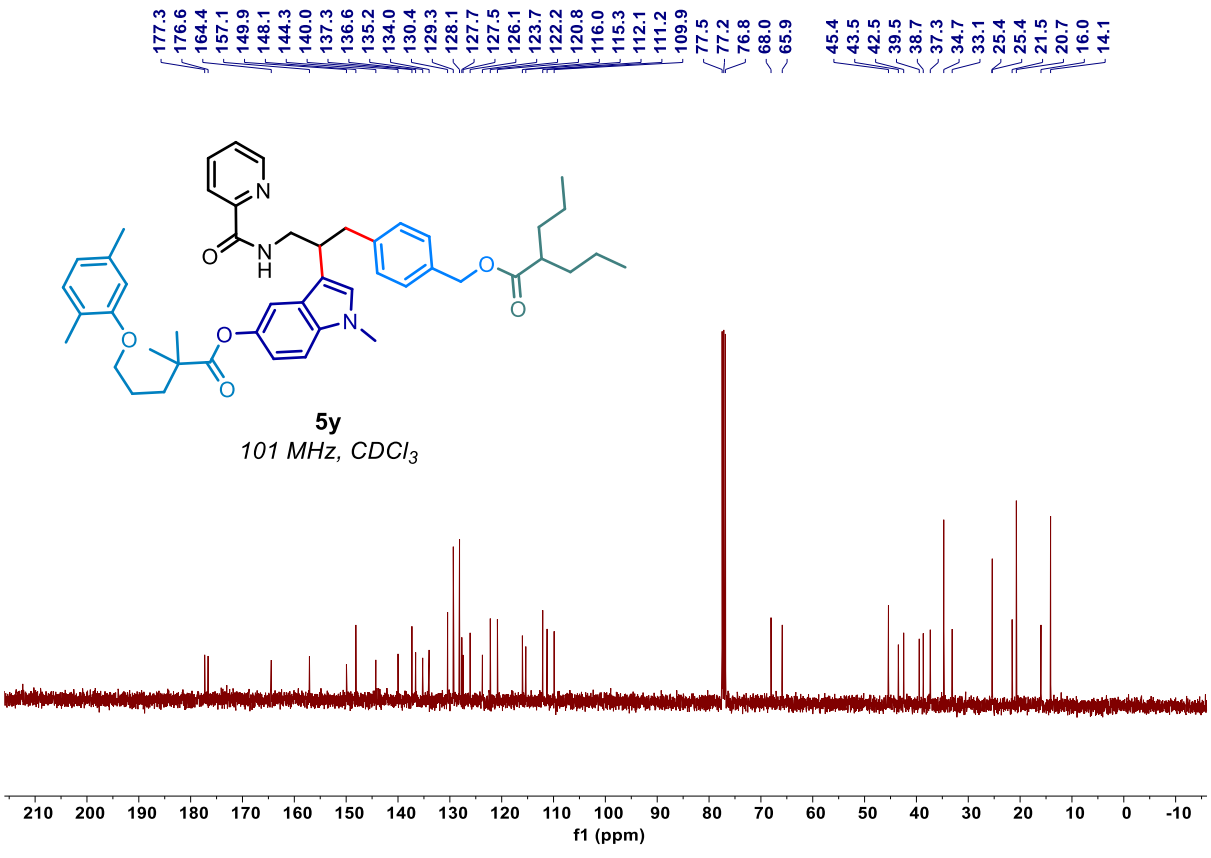

8.43 8.42 8.16 8.14 8.04 7.81 7.79 7.77 7.37 7.36 7.35 7.34 7.31 7.31 7.26 7.25 7.24 7.05 7.03 7.00 6.95 6.94 6.93 6.91 3.81 3.80 3.79 3.77 3.76 3.72 3.52 3.50 3.48 3.14 3.12 3.10 3.09 3.02 3.01 2.99 2.59 2.57 2.55 2.27 1.80 1.78 1.76 1.74 1.34 1.33 1.31 1.30 1.28 1.26 0.90 0.89 0.87
Me
5x
400 MHz, CDCl3
0.95 1.02 0.98 1.02 1.08 1.04 1.00 4.06 2.03 2.03 3.03 1.10 1.00 1.03 2.06 3.04 2.50 16.05 3.11
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1.5 -2.0
f1 (ppm)


173.4 164.4 150.0 148.1 144.0 137.3 137.0 135.6 135.3 129.1 127.7 127.5 126.0 122.2 116.0 115.7 111.4 109.8
77.5 77.2 76.8
43.4 39.5 38.9 34.6 33.1 32.0 29.8 29.6 29.5 29.5 29.4 25.2 22.8 21.1 14.3
Me
5x
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



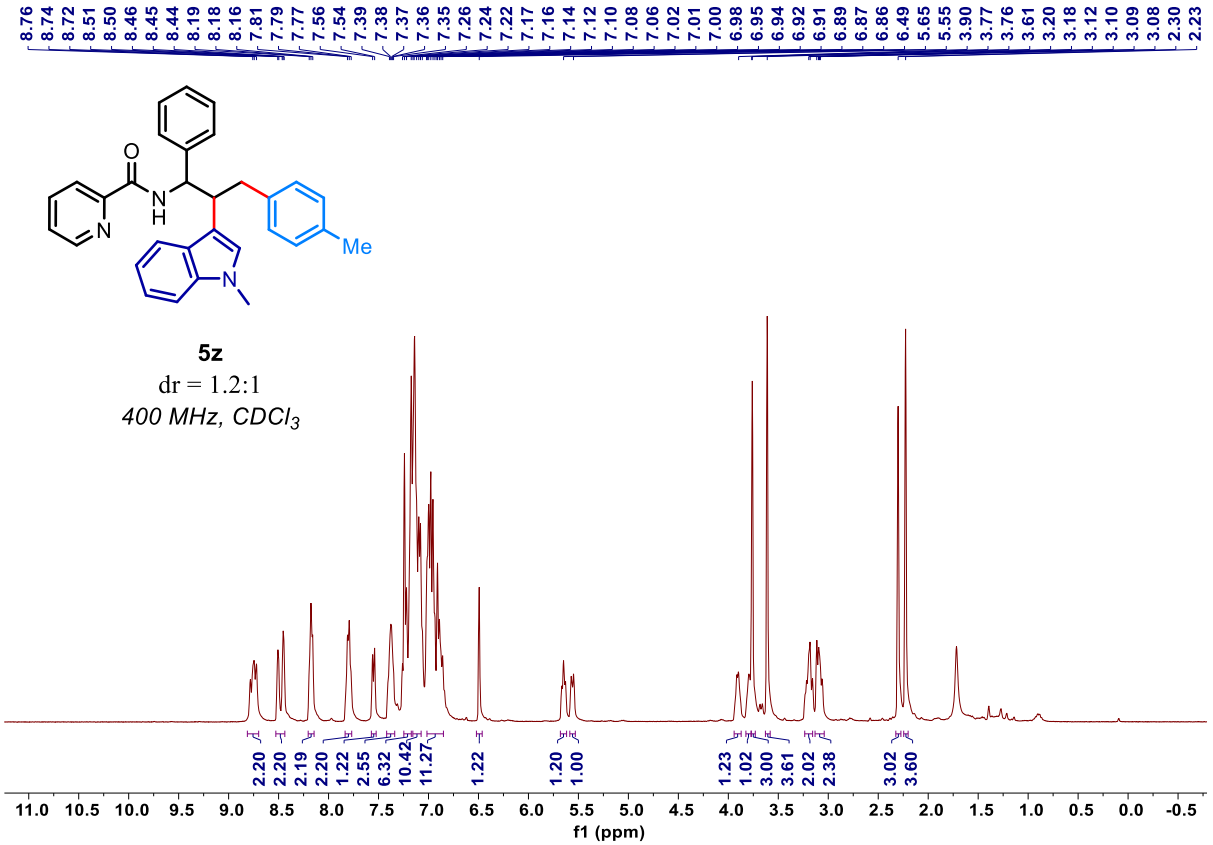
5y

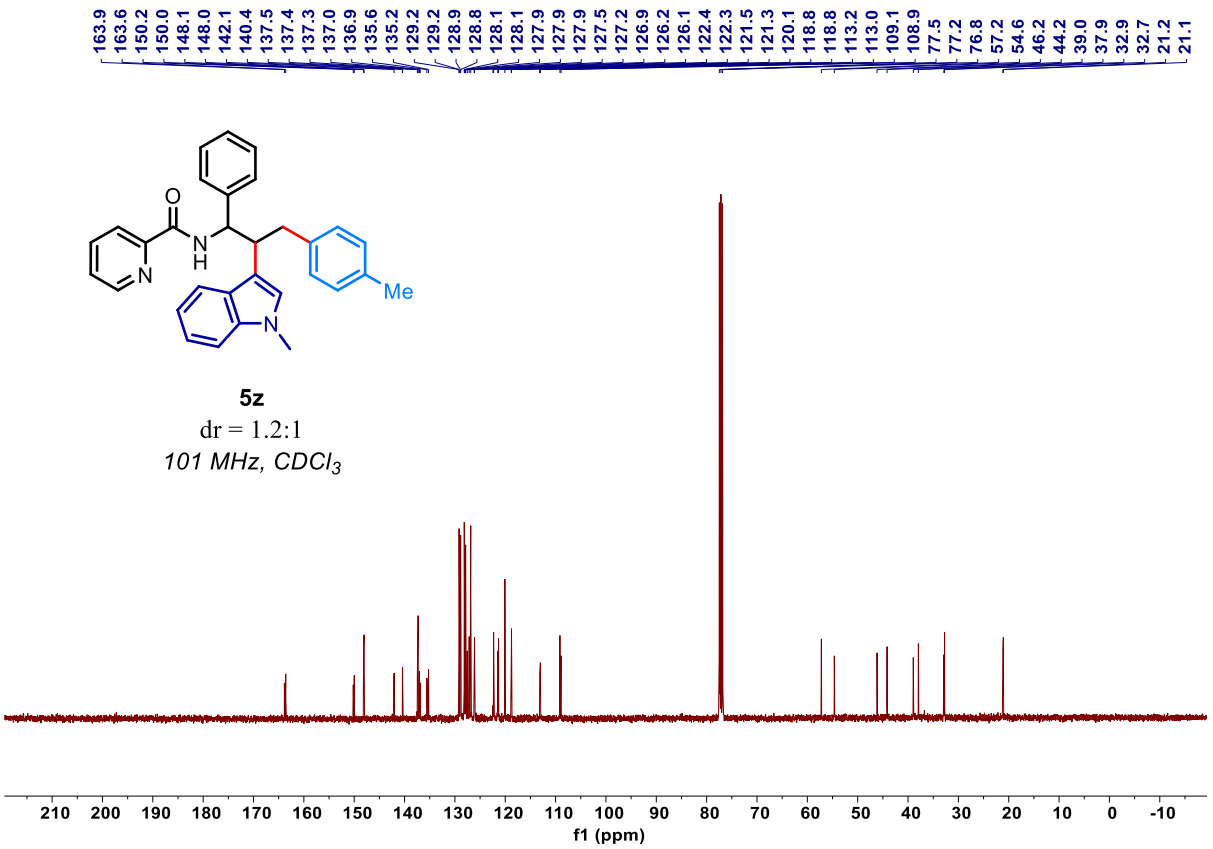
400 MHz, $CDCl_3$

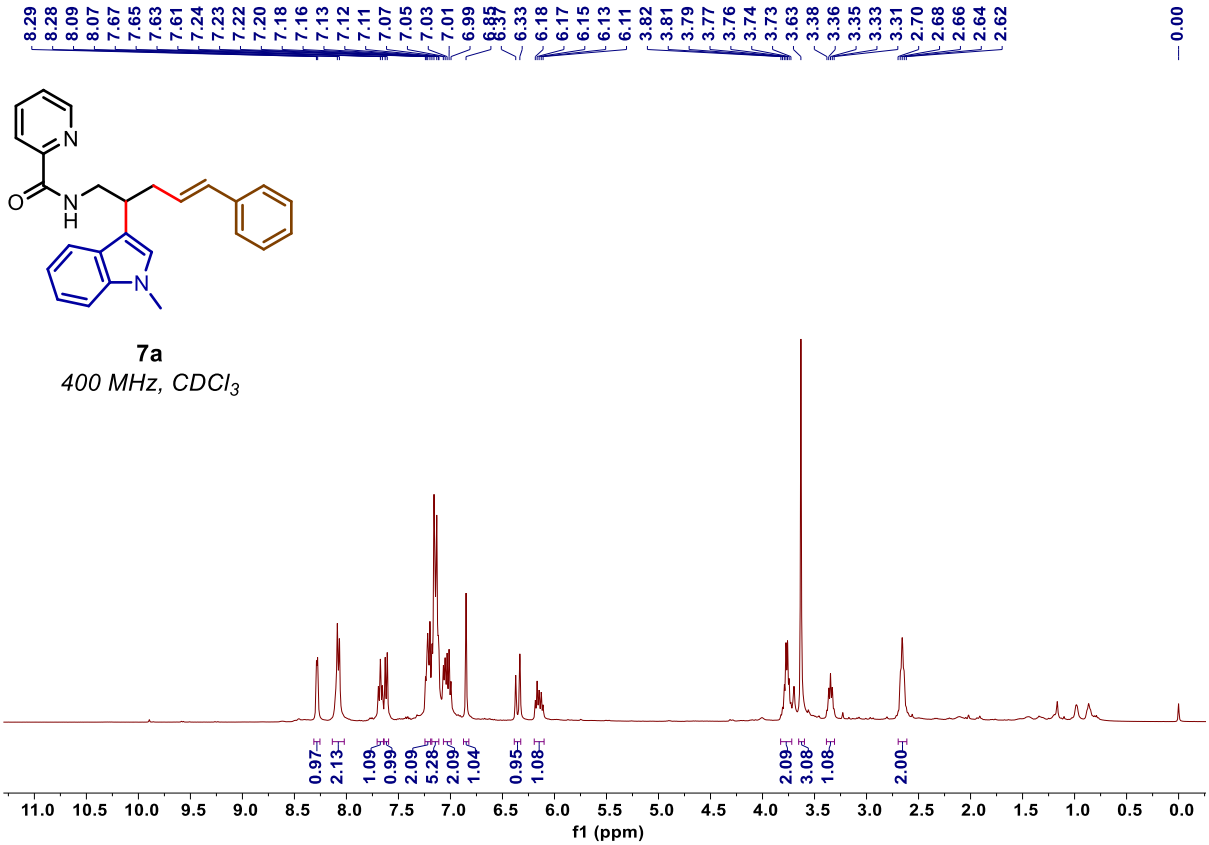


5y

101 MHz, $CDCl_3$

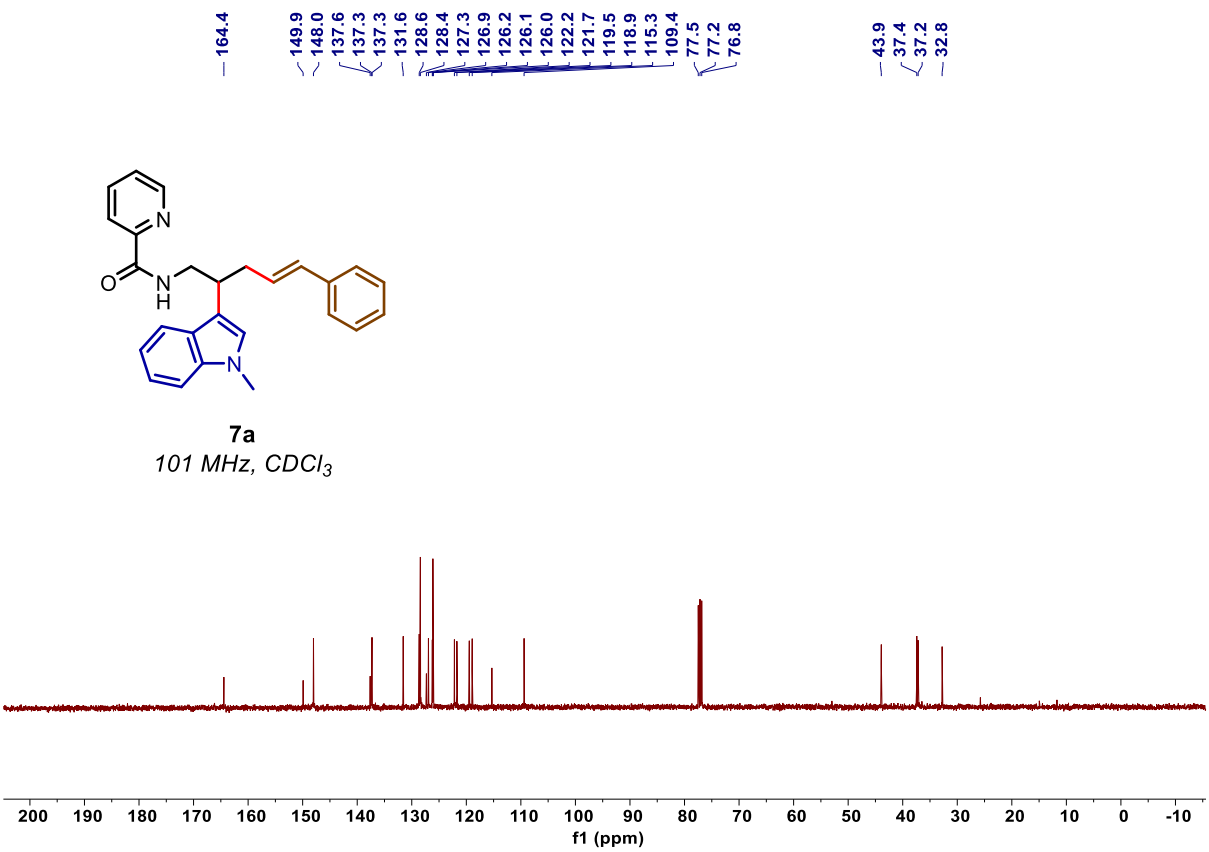

8.76 8.74 8.72 8.51 8.50 8.46 8.45 8.44 8.19 8.18 8.16 7.81 7.79 7.77 7.56 7.54 7.39 7.38 7.37 7.36 7.35 7.26 7.24 7.22 7.17 7.16 7.14 7.12 7.10 7.08 7.06 7.02 7.01 7.00 6.98 6.95 6.94 6.92 6.91 6.89 6.87 6.86 6.49 5.65 5.55 3.90 3.77 3.76 3.61 3.20 3.18 3.12 3.10 3.09 3.08 2.30 2.23
O
N
H
N
Me
N
5z
dr = 1.2:1
400 MHz, CDCl3
2.20 2.20 2.19 2.20 1.22 2.55 6.32 10.42 11.27 1.22 1.20 1.00 1.23 1.02 3.00 3.61 2.02 2.38 3.02 3.60
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


163.9 163.6 150.2 150.0 148.1 148.0 142.1 140.4 137.5 137.4 137.3 137.0 136.9 135.6 135.2 129.2 129.2 128.9 128.8 128.1 128.1 127.9 127.9 127.9 127.5 127.2 126.9 126.2 126.1 122.4 122.3 121.5 121.3 120.1 118.8 118.8 113.2 113.0 109.1 108.9 77.5 77.2 76.8 57.2 54.6 46.2 44.2 39.0 37.9 32.9 32.7 21.2 21.1
O
N
H
N
Me
N
5z
dr = 1.2:1
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



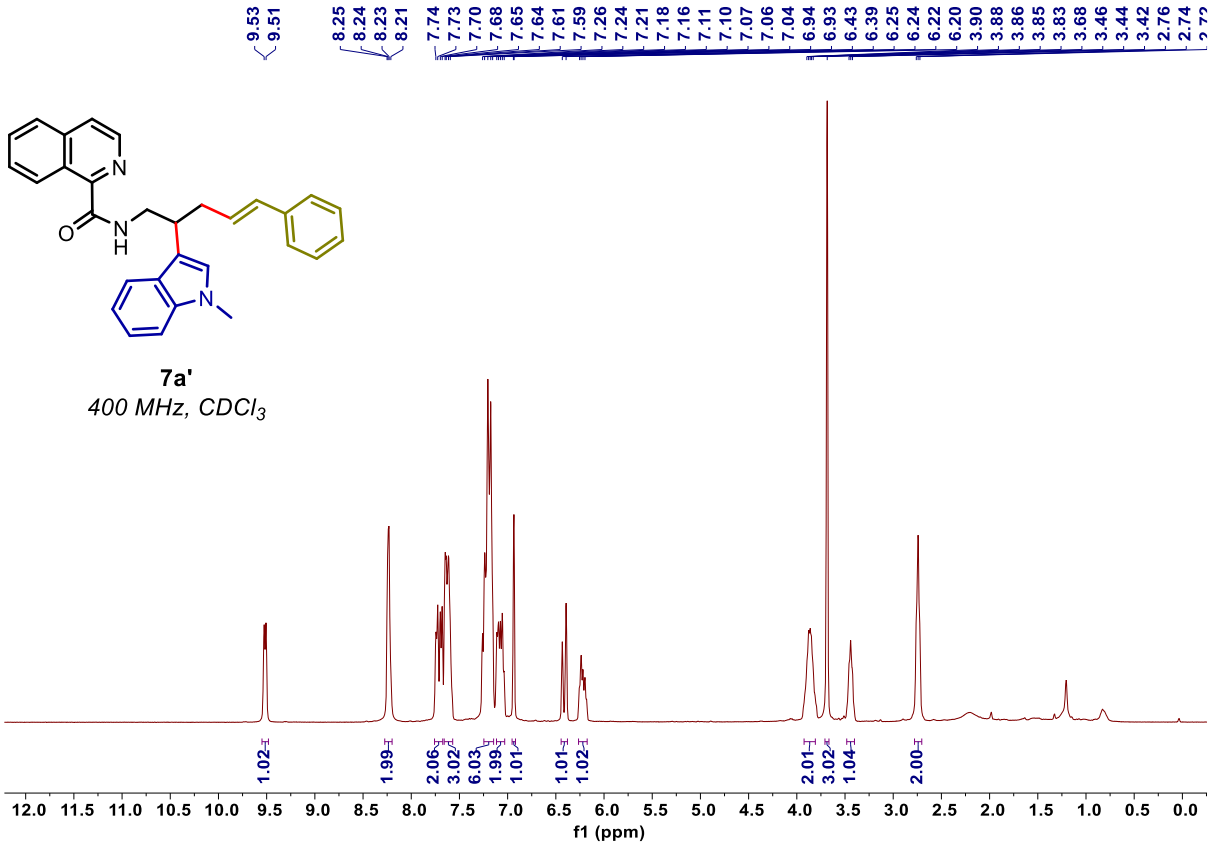
7a

400 MHz, $CDCl_3$



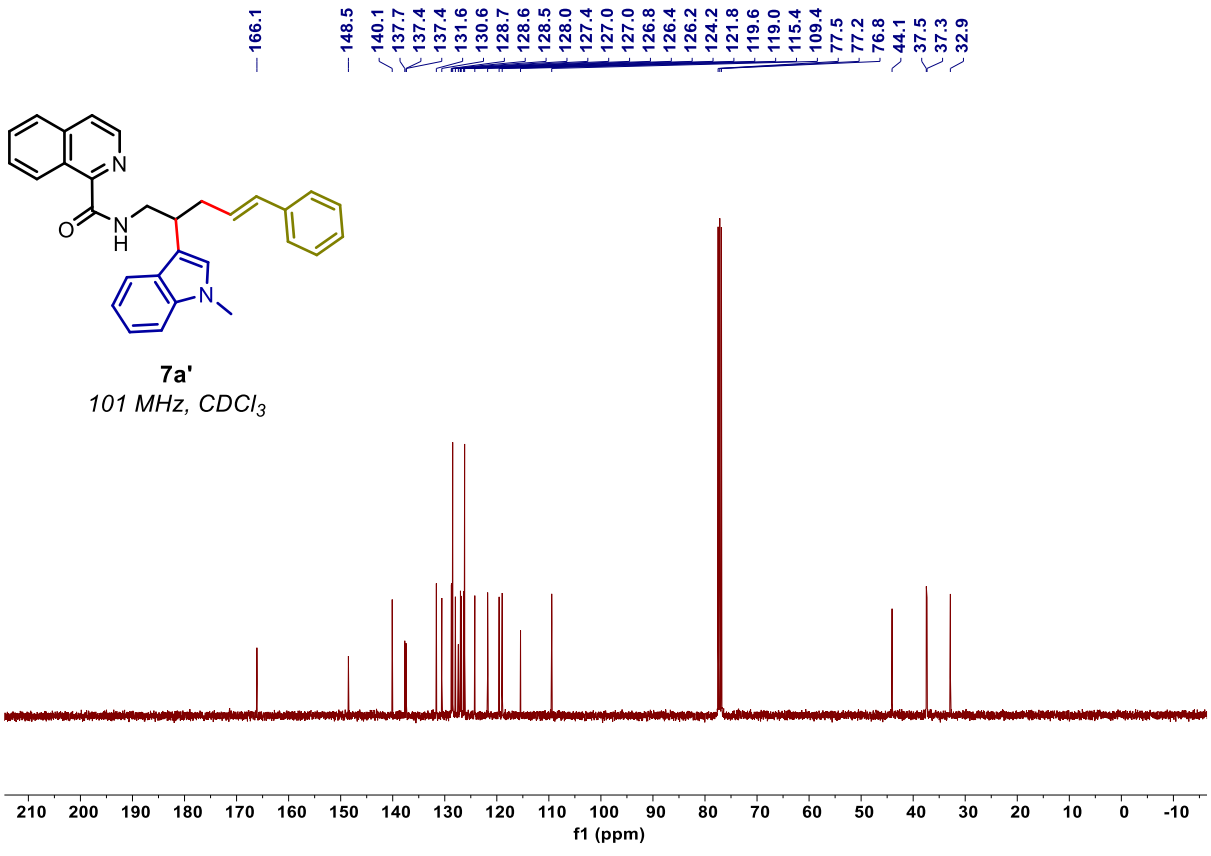
7a

101 MHz, $CDCl_3$



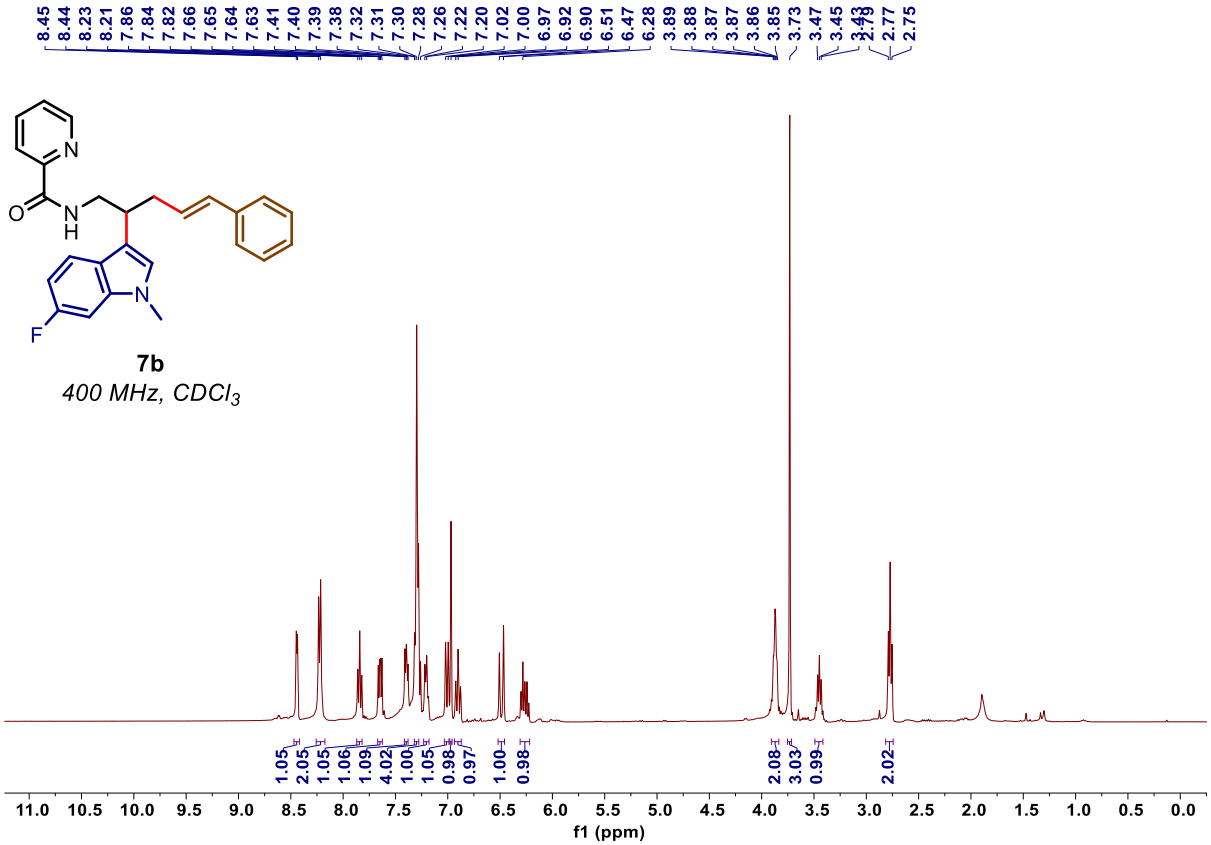
7a'

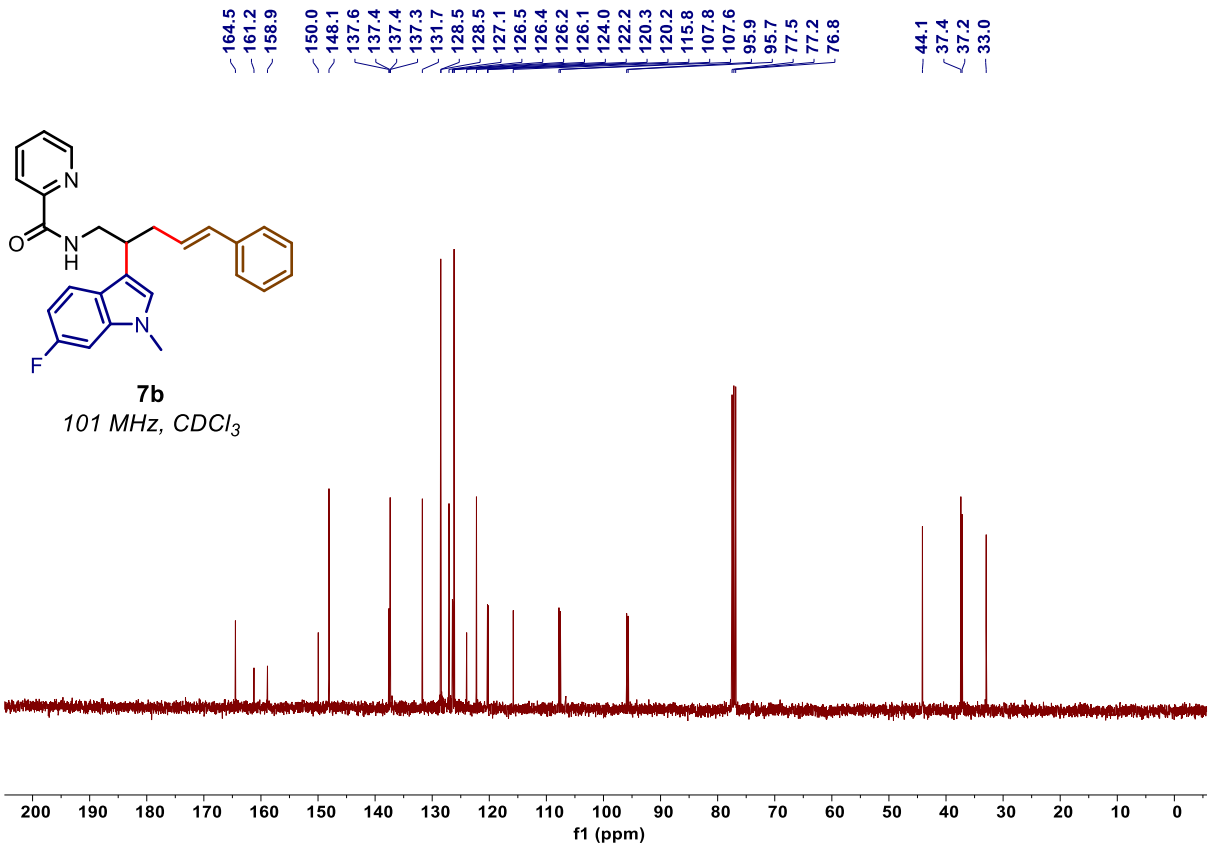
400 MHz, $CDCl_3$

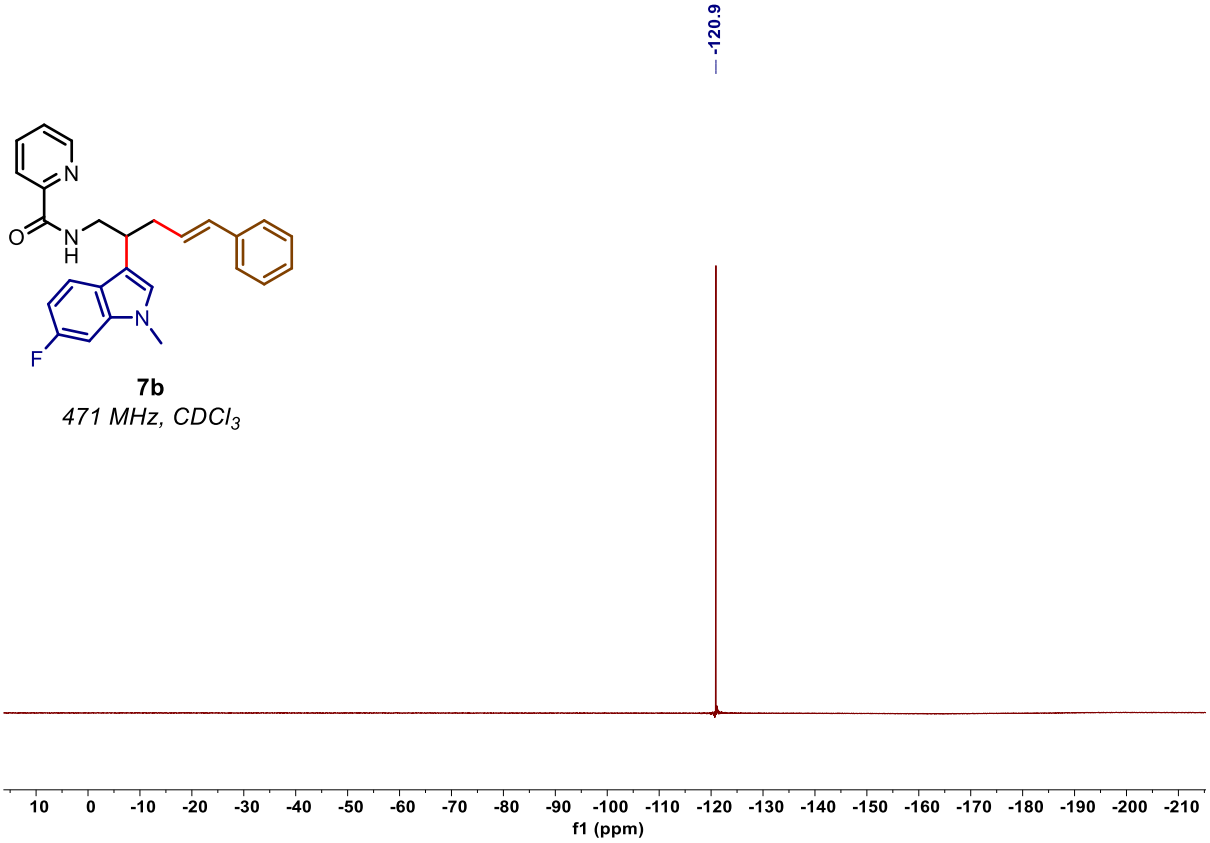


7a'

101 MHz, $CDCl_3$

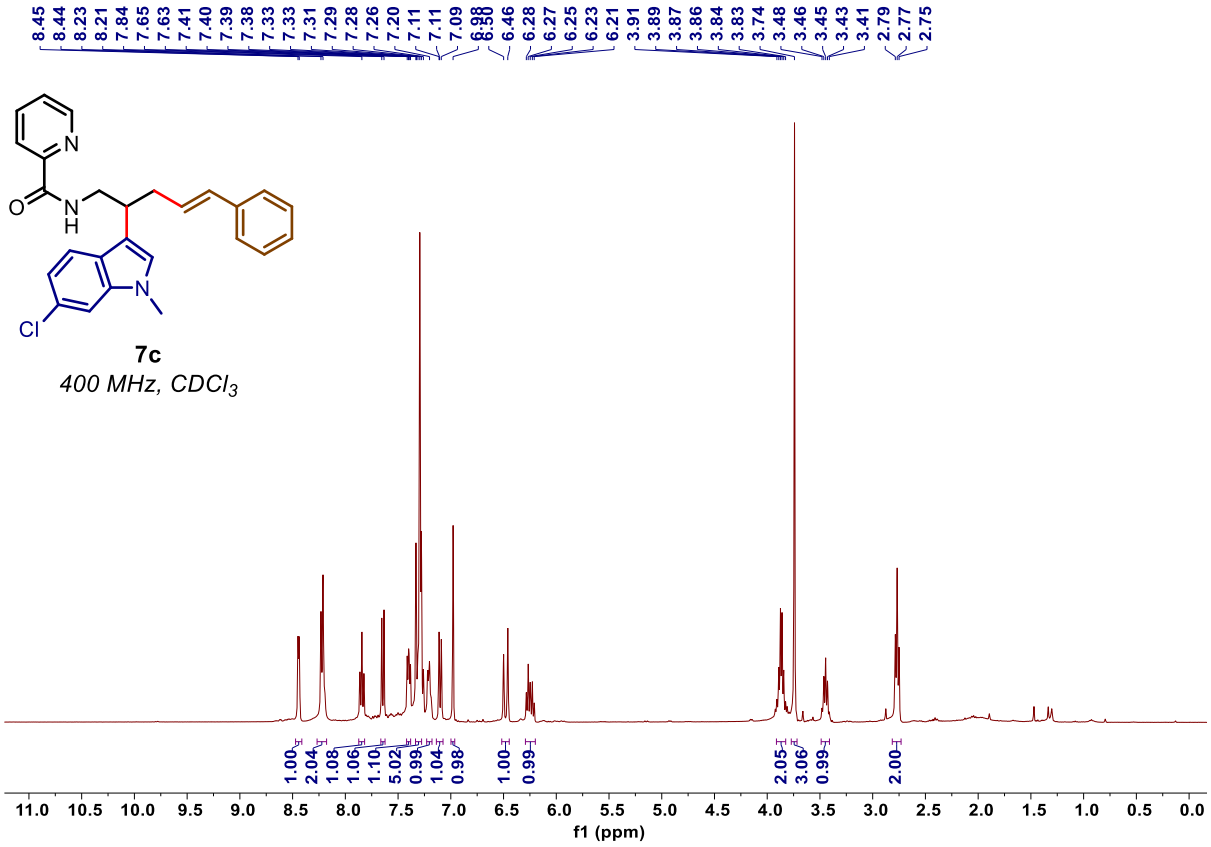

8.45
8.44
8.23
8.21
7.86
7.84
7.82
7.66
7.65
7.64
7.63
7.41
7.40
7.39
7.38
7.32
7.31
7.30
7.28
7.26
7.22
7.20
7.02
7.00
6.97
6.92
6.90
6.51
6.47
6.28
3.89
3.88
3.87
3.87
3.86
3.85
3.73
3.47
3.45
2.79
2.77
2.75
N
O
N
H
N
F
7b
400 MHz, CDCl3
1.05
2.05
1.05
1.06
1.09
4.02
1.00
1.05
0.98
0.97
1.00
0.98
2.08
3.03
0.99
2.02
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


164.5
161.2
158.9
150.0
148.1
137.6
137.4
137.4
137.3
131.7
128.5
128.5
127.1
126.5
126.4
126.2
126.1
124.0
122.2
120.3
120.2
115.8
107.8
107.6
95.9
95.7
77.5
77.2
76.8
44.1
37.4
37.2
33.0
N
O
N
H
N
F
7b
101 MHz, CDCl3
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)



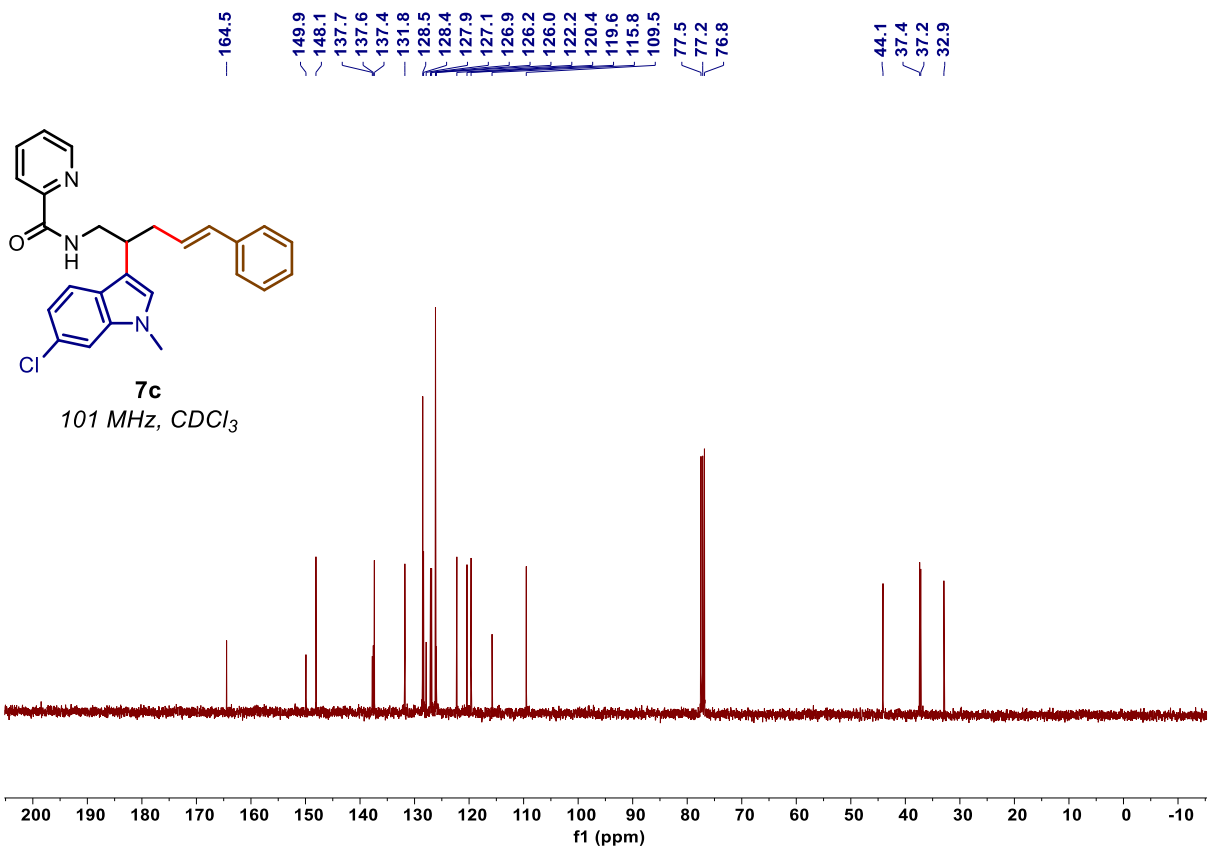
7b

471 MHz, $CDCl_3$



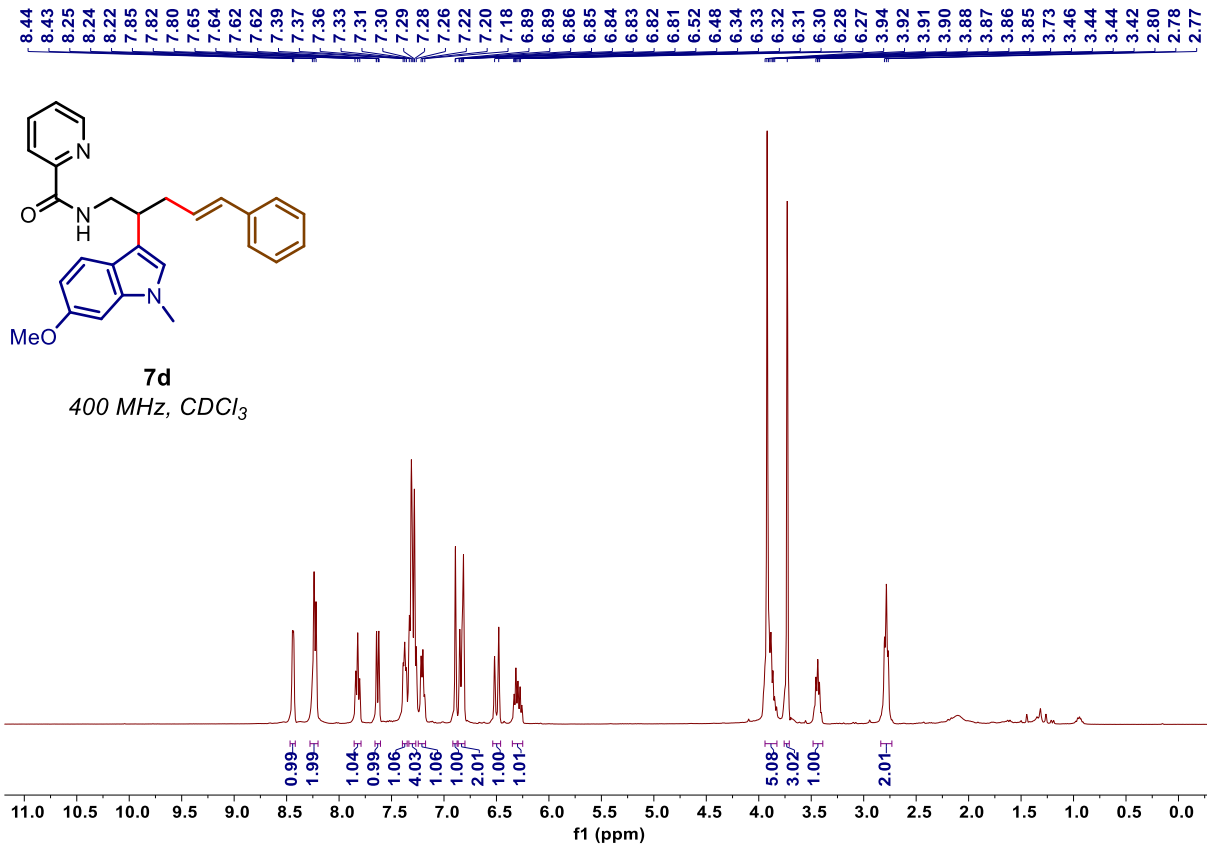
7c

400 MHz, $CDCl_3$



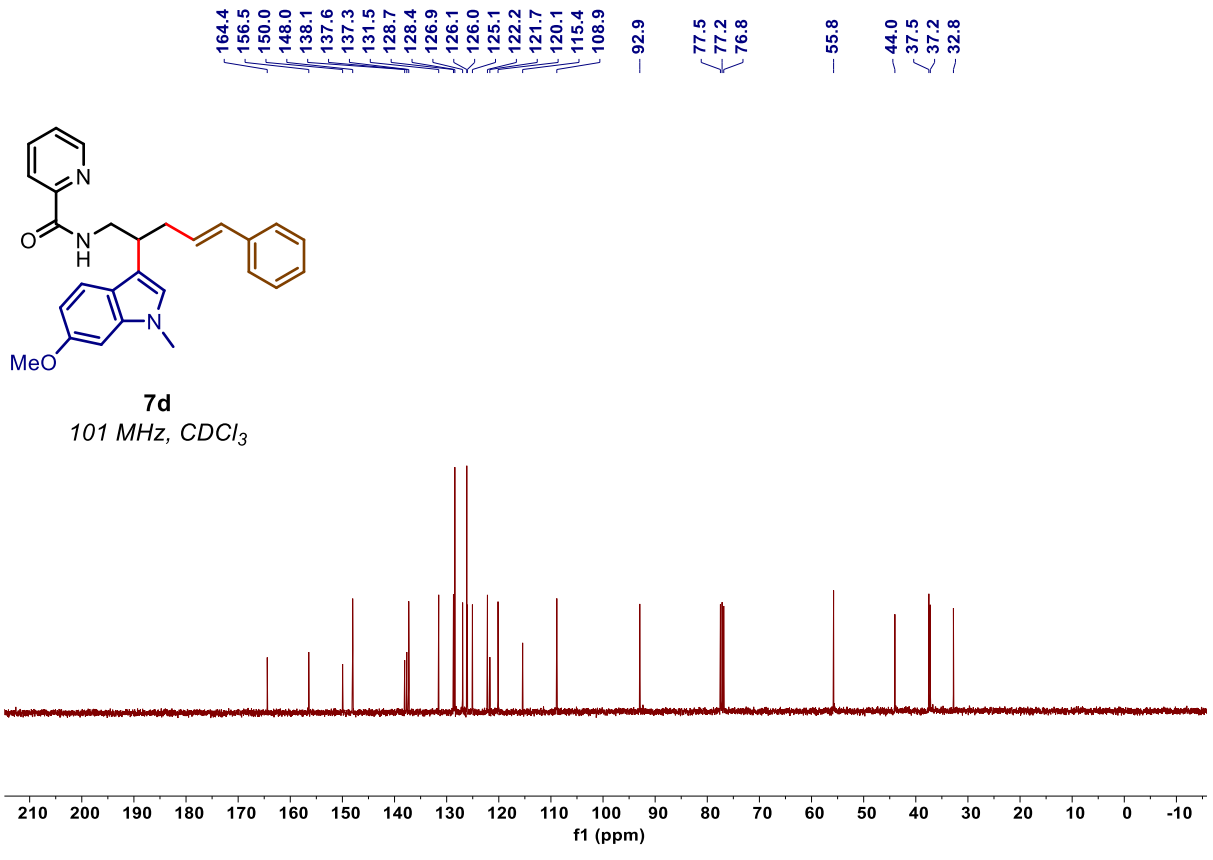
7c

101 MHz, $CDCl_3$



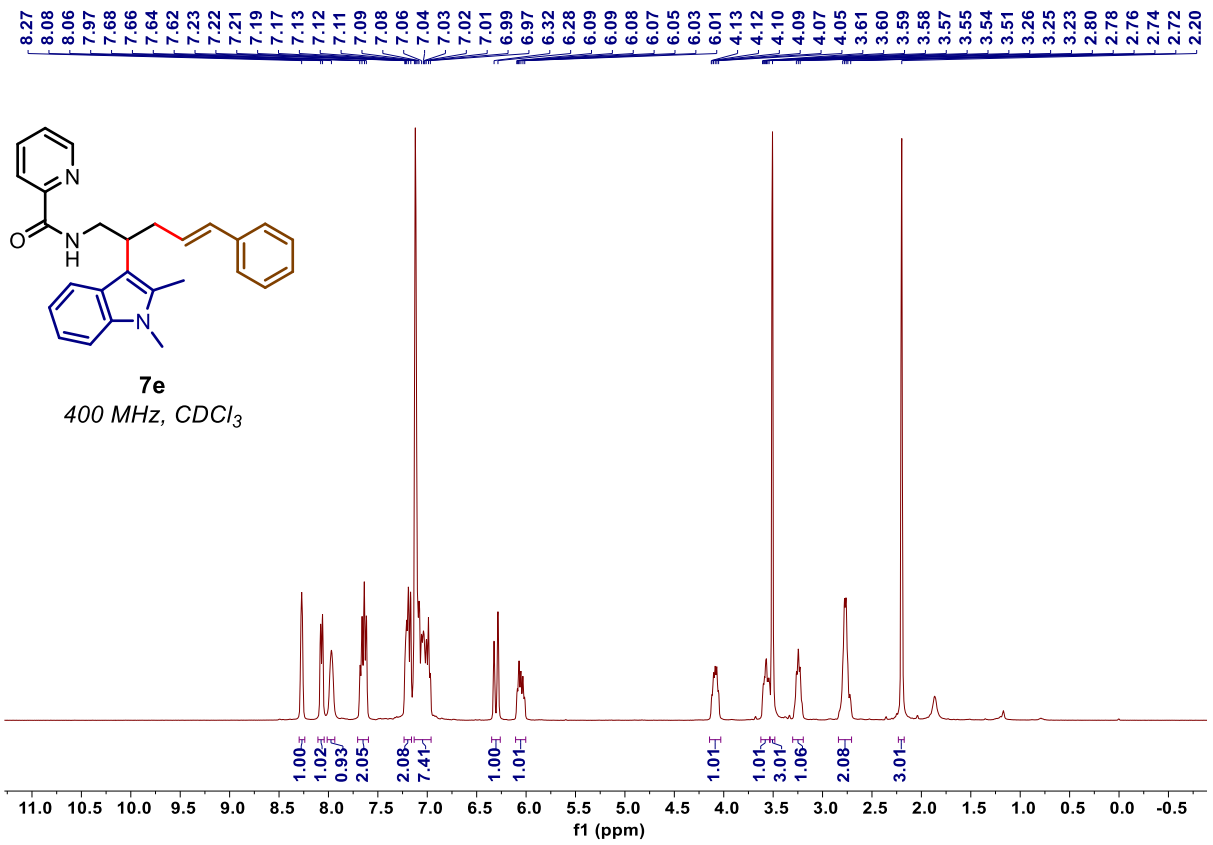
7d

400 MHz, $CDCl_3$



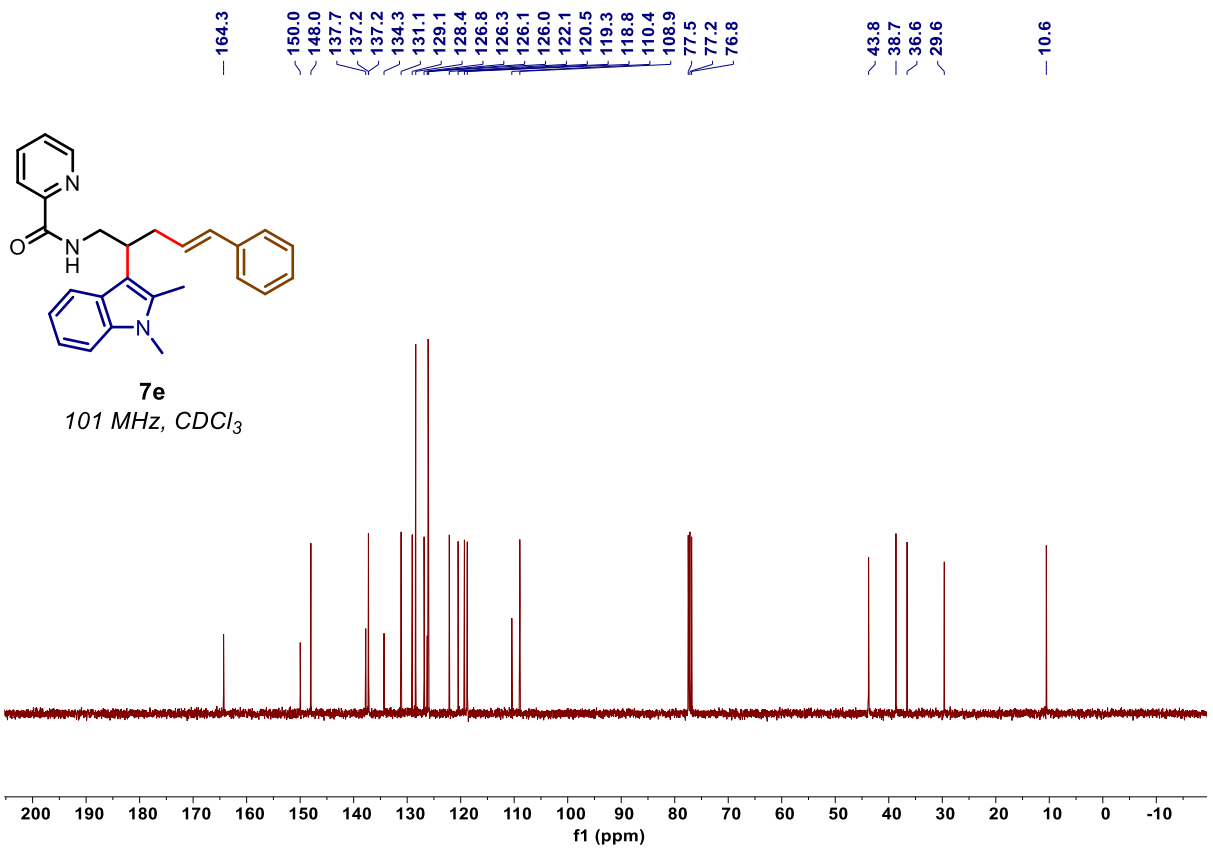
7d

101 MHz, $CDCl_3$



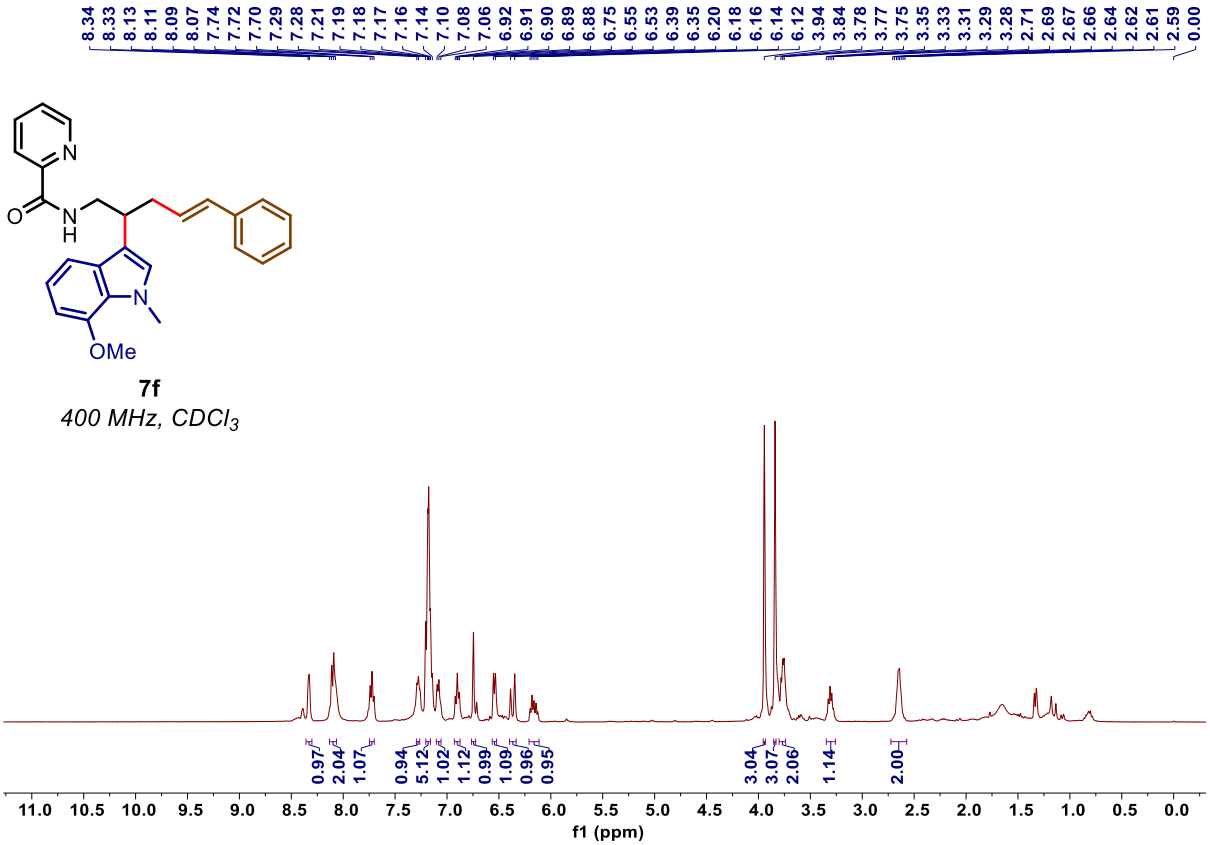
7e

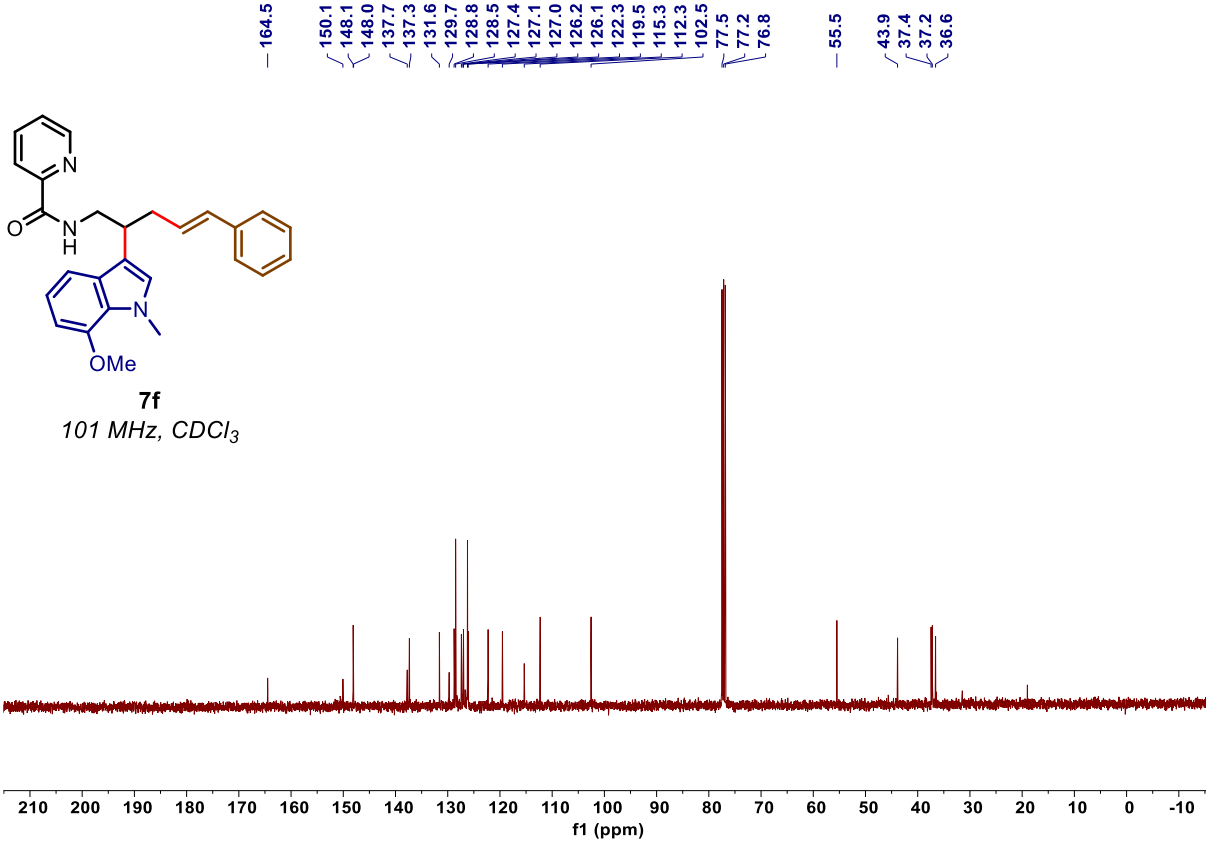
400 MHz, $CDCl_3$

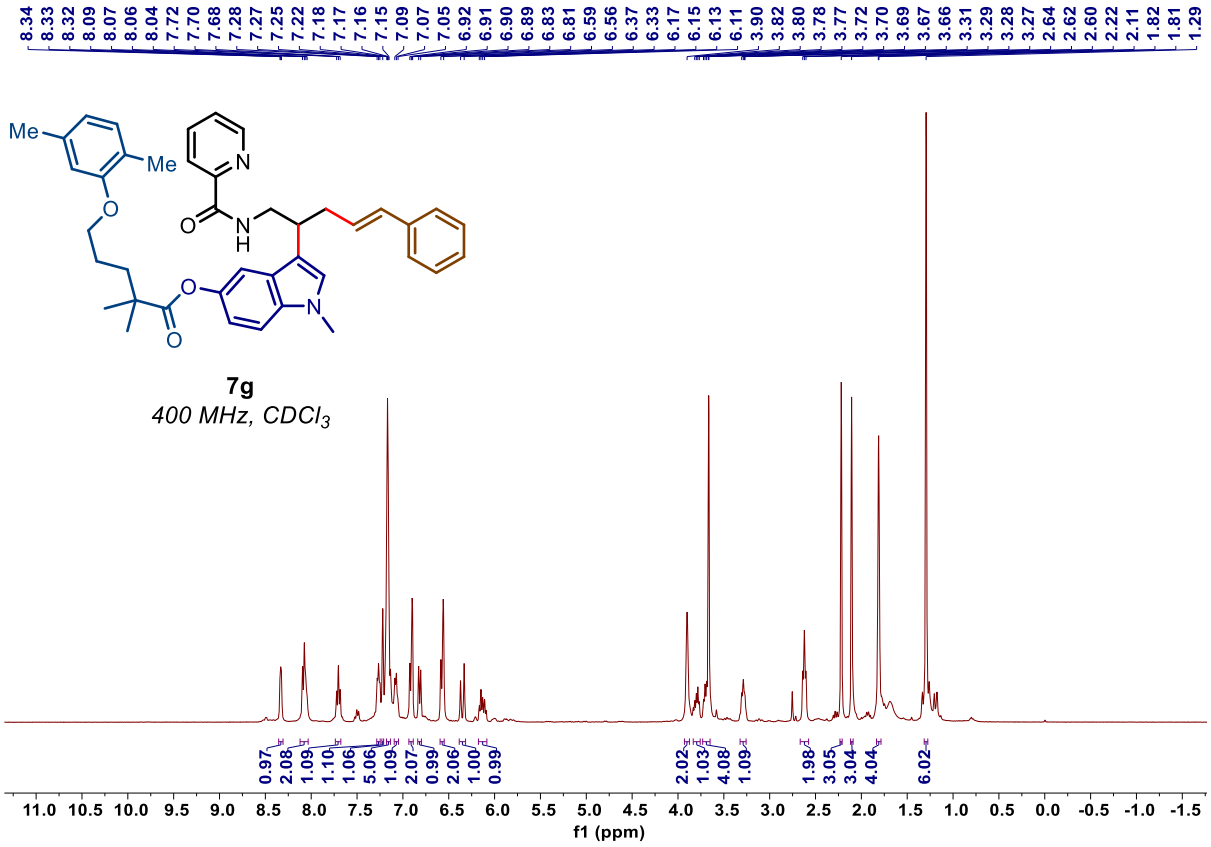


7e

101 MHz, $CDCl_3$

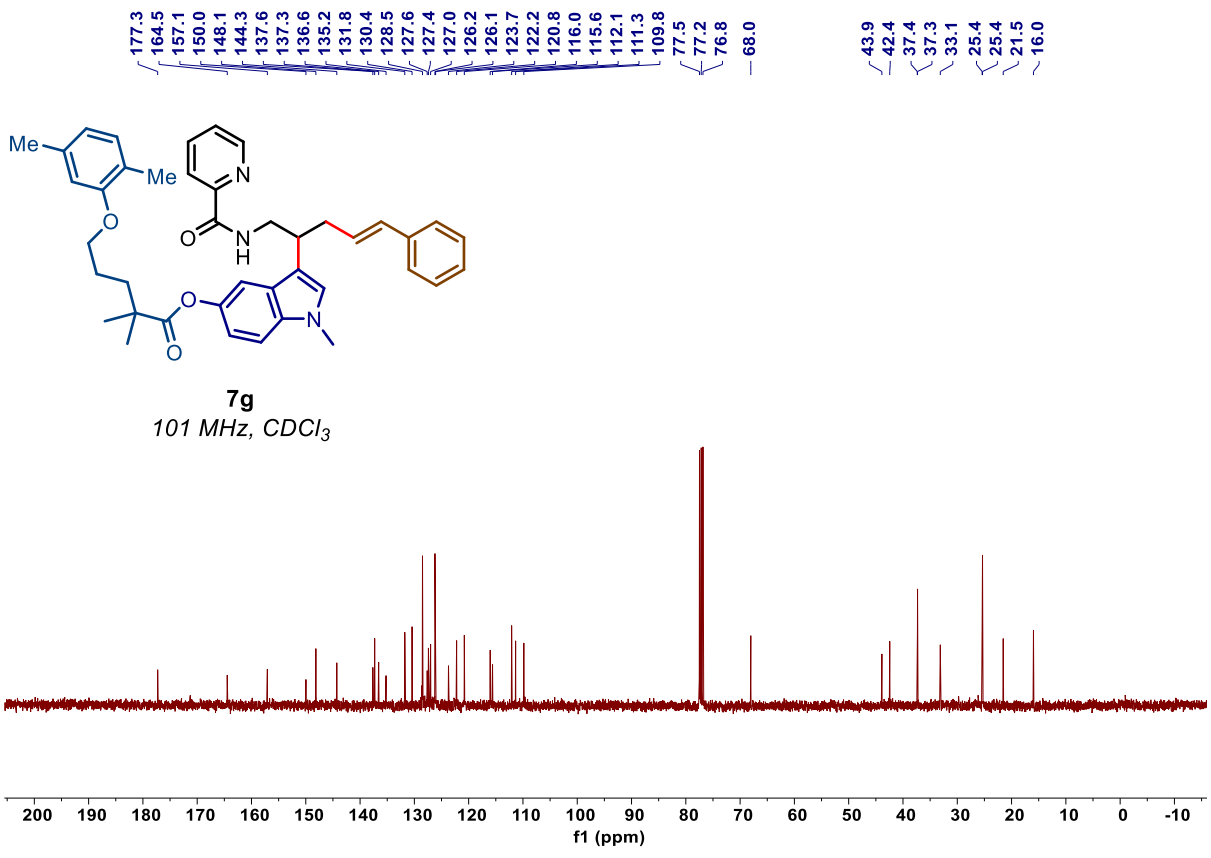

8.34 8.33 8.13 8.11 8.09 8.07 7.74 7.72 7.70 7.29 7.28 7.21 7.19 7.18 7.17 7.16 7.14 7.10 7.08 7.06 6.92 6.91 6.90 6.89 6.88 6.75 6.55 6.53 6.39 6.35 6.20 6.18 6.16 6.14 6.12 3.94 3.84 3.78 3.77 3.75 3.35 3.33 3.31 3.29 3.28 2.71 2.69 2.67 2.66 2.64 2.62 2.61 2.59 0.00
N
O
N
H
N
OMe
7f
400 MHz, CDCl3
0.97 2.04 1.07 0.94 5.12 1.02 1.12 0.99 1.09 0.96 0.95 3.04 3.07 2.06 1.14 2.00
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)


164.5 150.1 148.1 148.0 137.7 137.3 131.6 129.7 128.8 128.5 127.4 127.1 127.0 126.2 126.1 122.3 119.5 115.3 112.3 102.5 77.5 77.2 76.8 55.5 43.9 37.4 37.2 36.6
N
O
N
H
N
OMe
7f
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



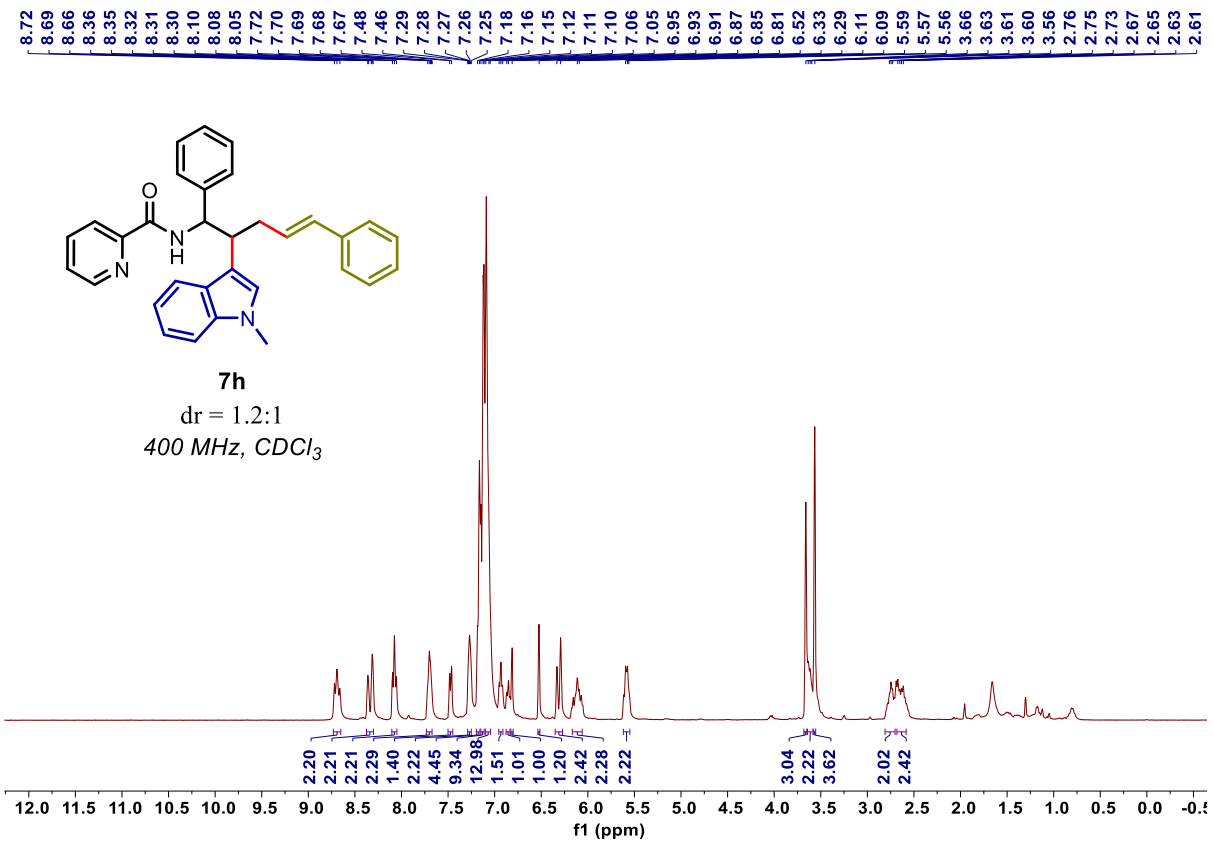
7g

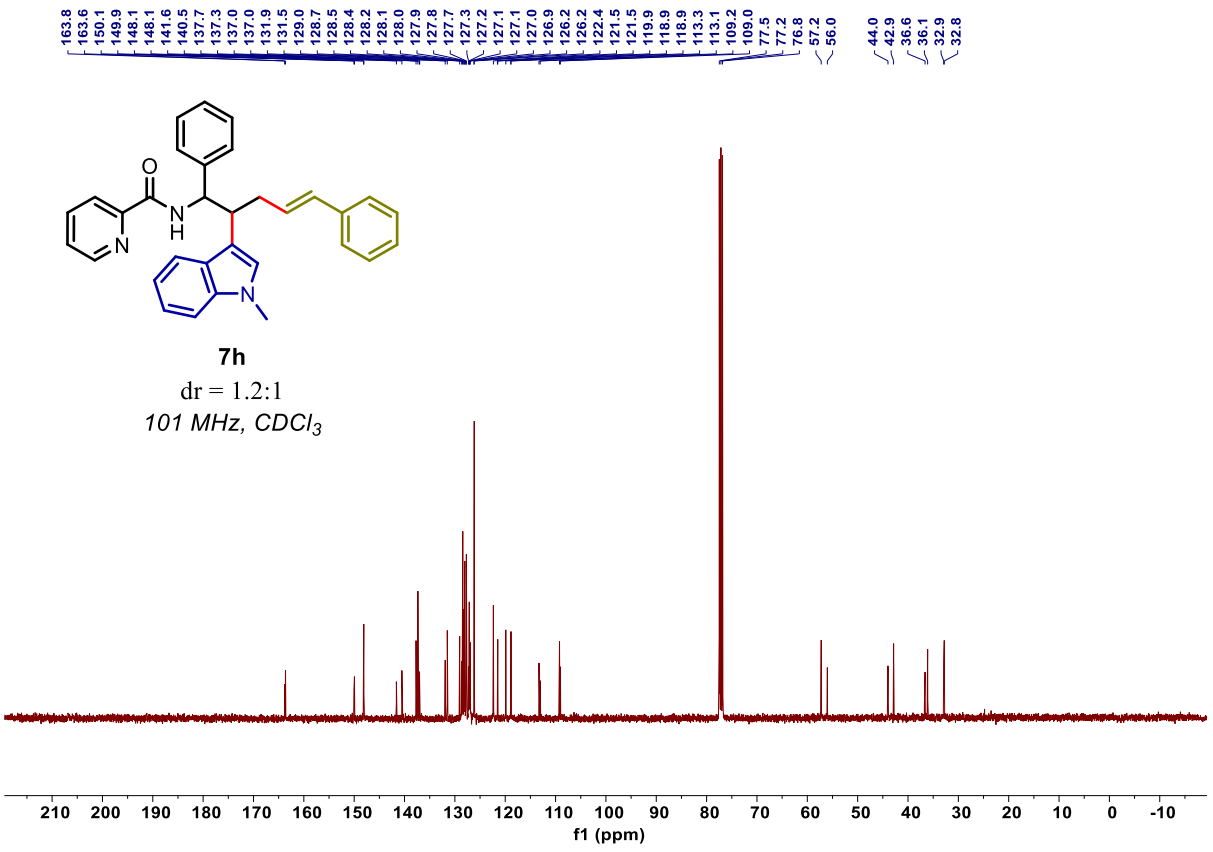
400 MHz, $CDCl_3$

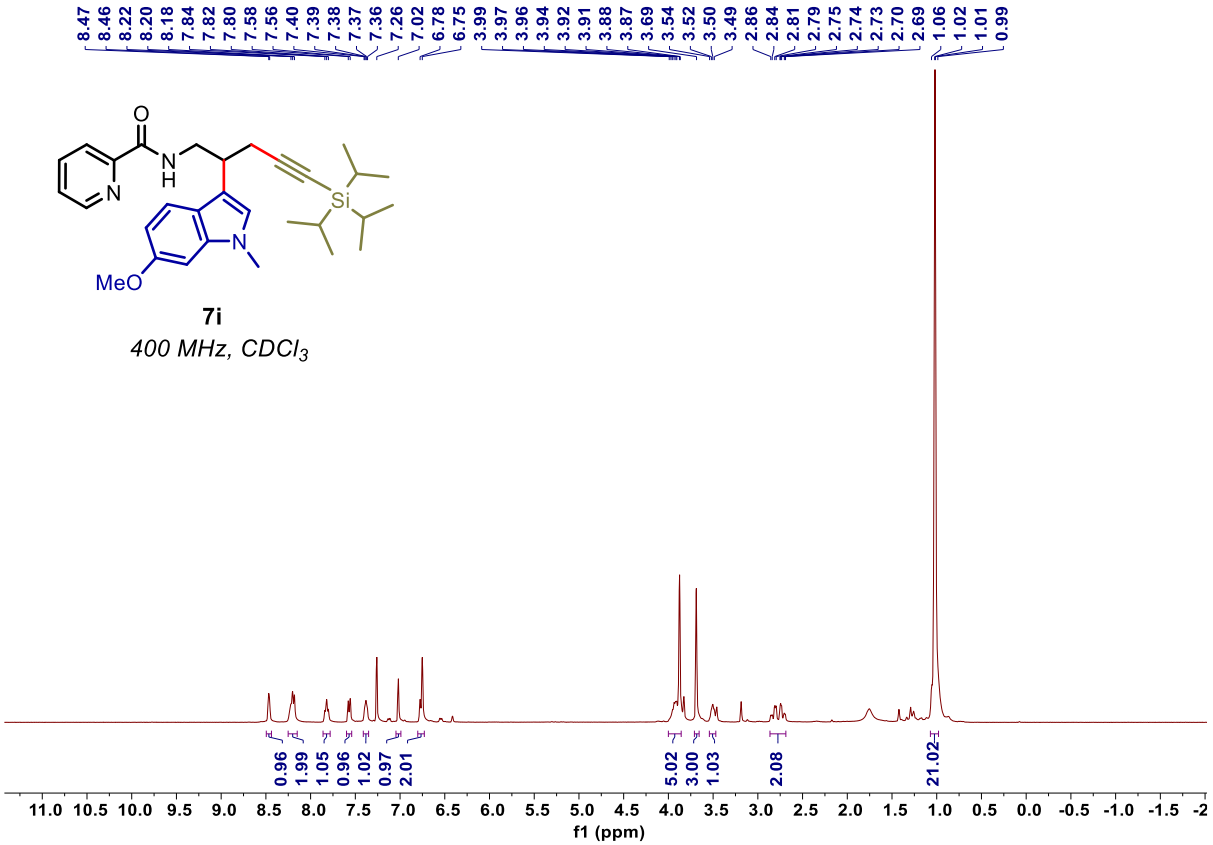


7g

101 MHz, $CDCl_3$

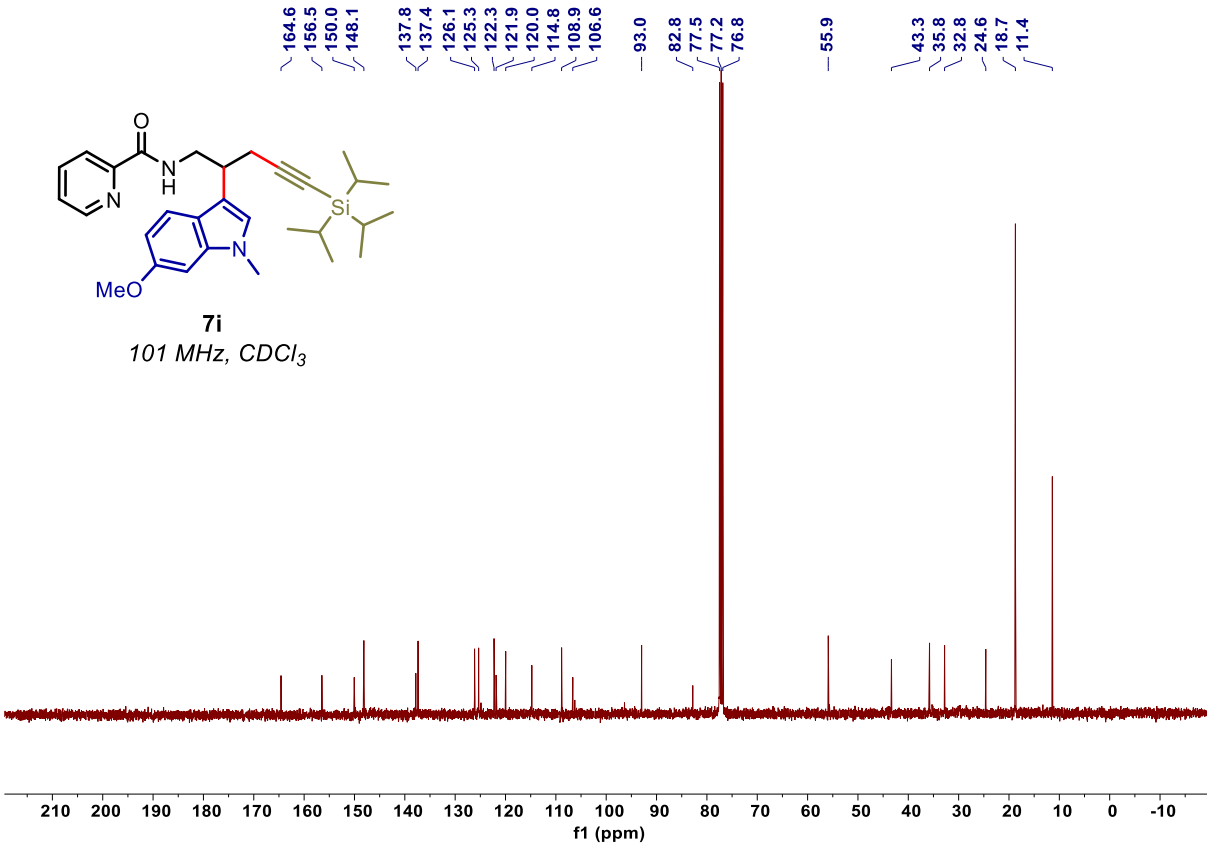

8.72 8.69 8.66 8.36 8.35 8.32 8.31 8.30 8.10 8.08 8.05 7.72 7.70 7.69 7.68 7.67 7.48 7.46 7.29 7.28 7.27 7.26 7.25 7.18 7.16 7.15 7.12 7.11 7.10 7.06 7.05 6.95 6.93 6.91 6.87 6.85 6.81 6.52 6.33 6.29 6.11 6.09 5.59 5.57 5.56 3.66 3.63 3.61 3.60 3.56 2.76 2.75 2.73 2.67 2.65 2.63 2.61
O
N
H
N
N
7h
dr = 1.2:1
400 MHz, CDCl3
2.20 2.21 2.21 2.29 1.40 2.22 4.45 9.34 12.98 1.51 1.01 1.00 1.20 2.42 2.28 2.22 3.04 2.22 3.62 2.02 2.42
12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


163.8 163.6 150.1 149.9 148.1 148.1 141.6 140.5 137.7 137.3 137.0 137.0 131.9 131.5 129.0 128.7 128.5 128.4 128.2 128.1 128.0 127.9 127.8 127.7 127.3 127.2 127.1 127.1 127.0 126.9 126.2 126.2 122.4 121.5 121.5 119.9 118.9 118.9 113.3 113.1 109.2 109.0 77.5 77.2 76.8 57.2 56.0 44.0 42.9 36.6 36.1 32.9 32.8
O
N
H
N
N
7h
dr = 1.2:1
101 MHz, CDCl3
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)



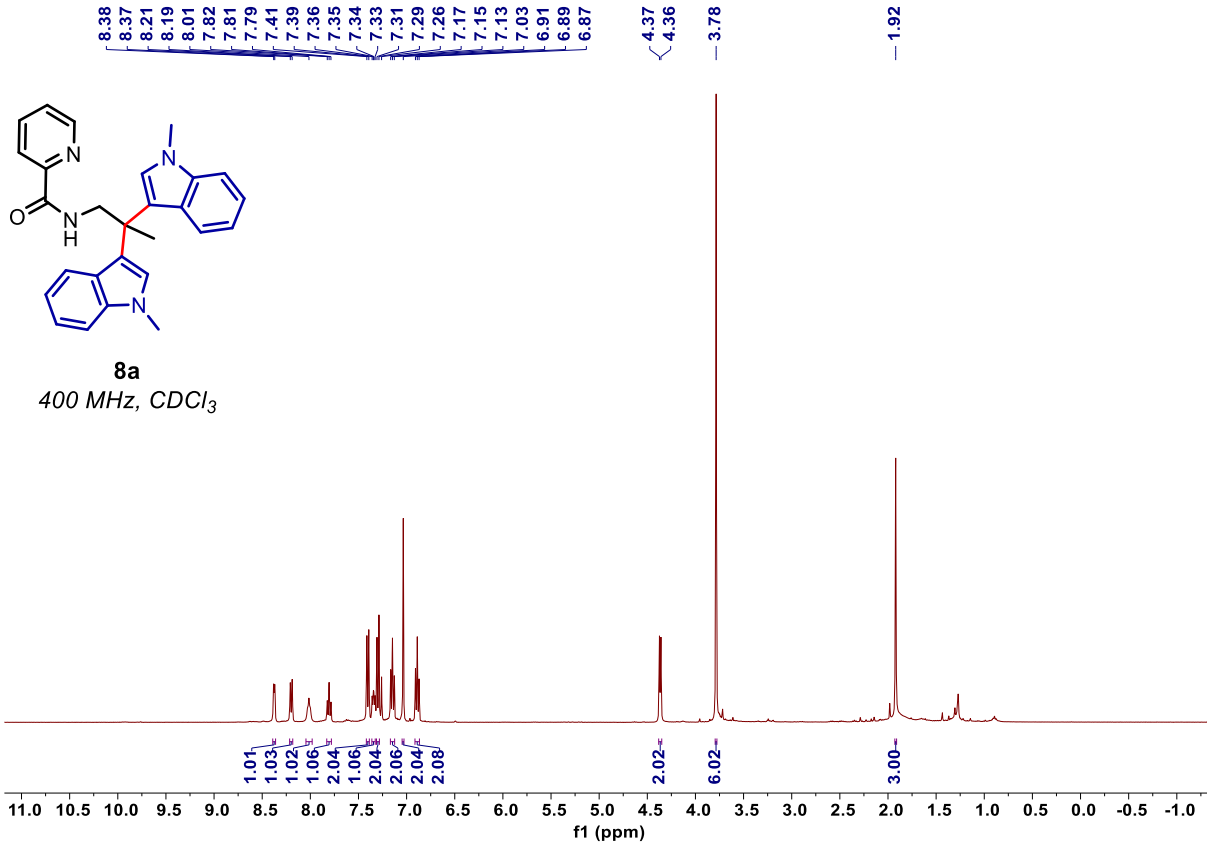
7i

400 MHz, $CDCl_3$



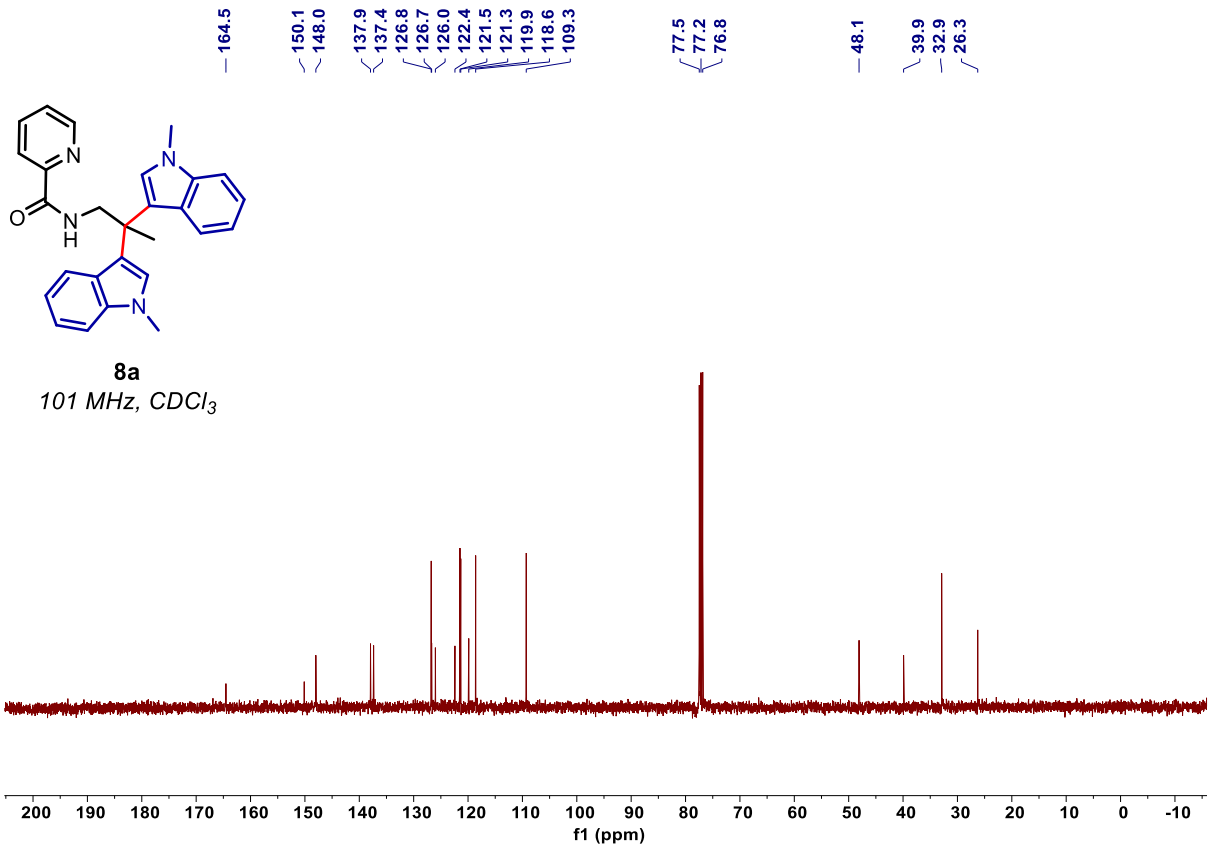
7i

101 MHz, $CDCl_3$



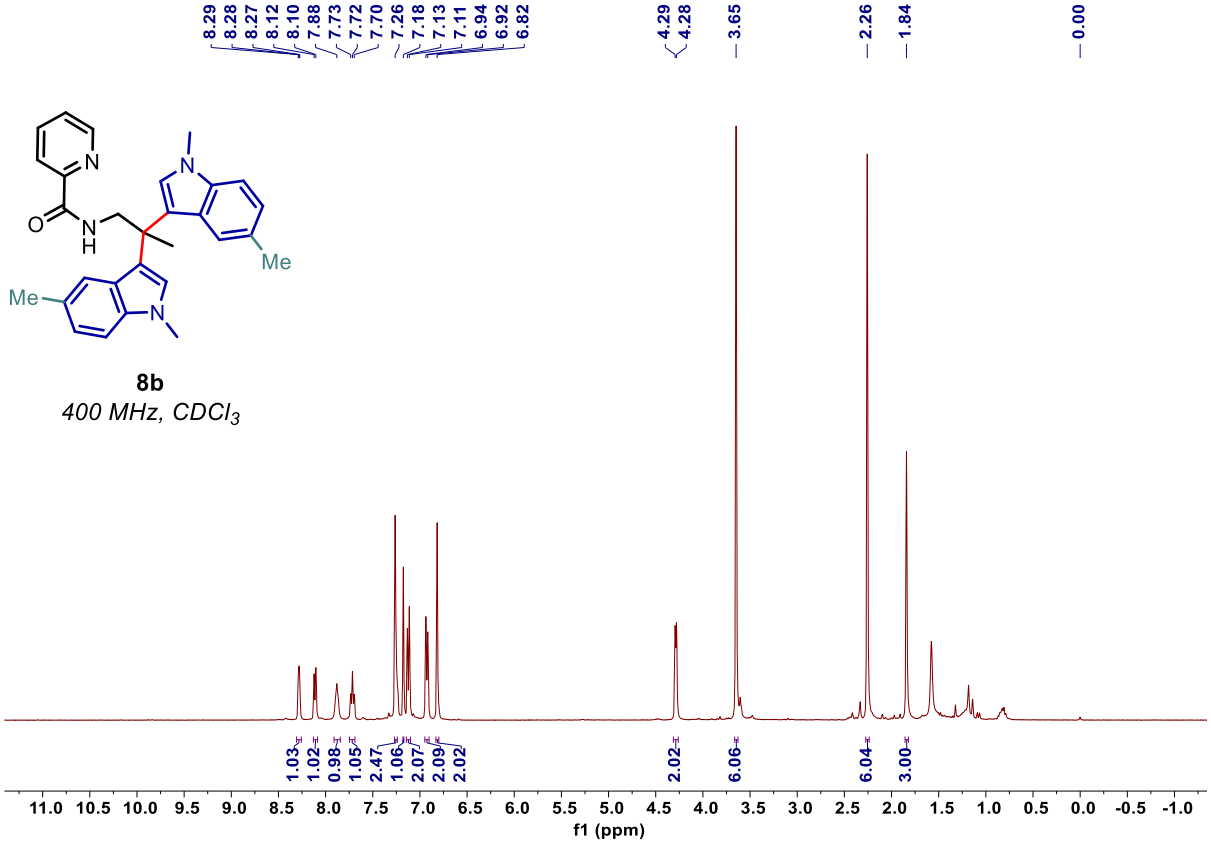
8a

400 MHz, $CDCl_3$



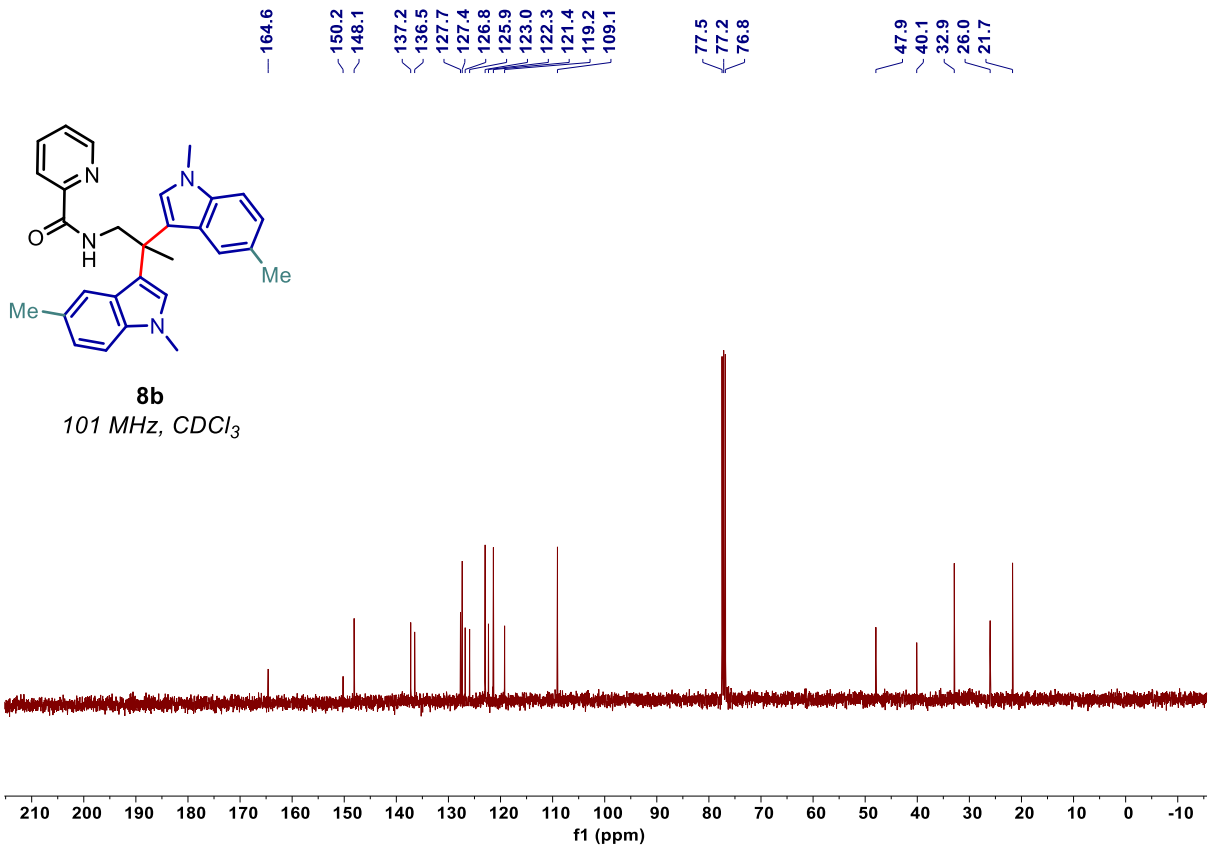
8a

101 MHz, $CDCl_3$



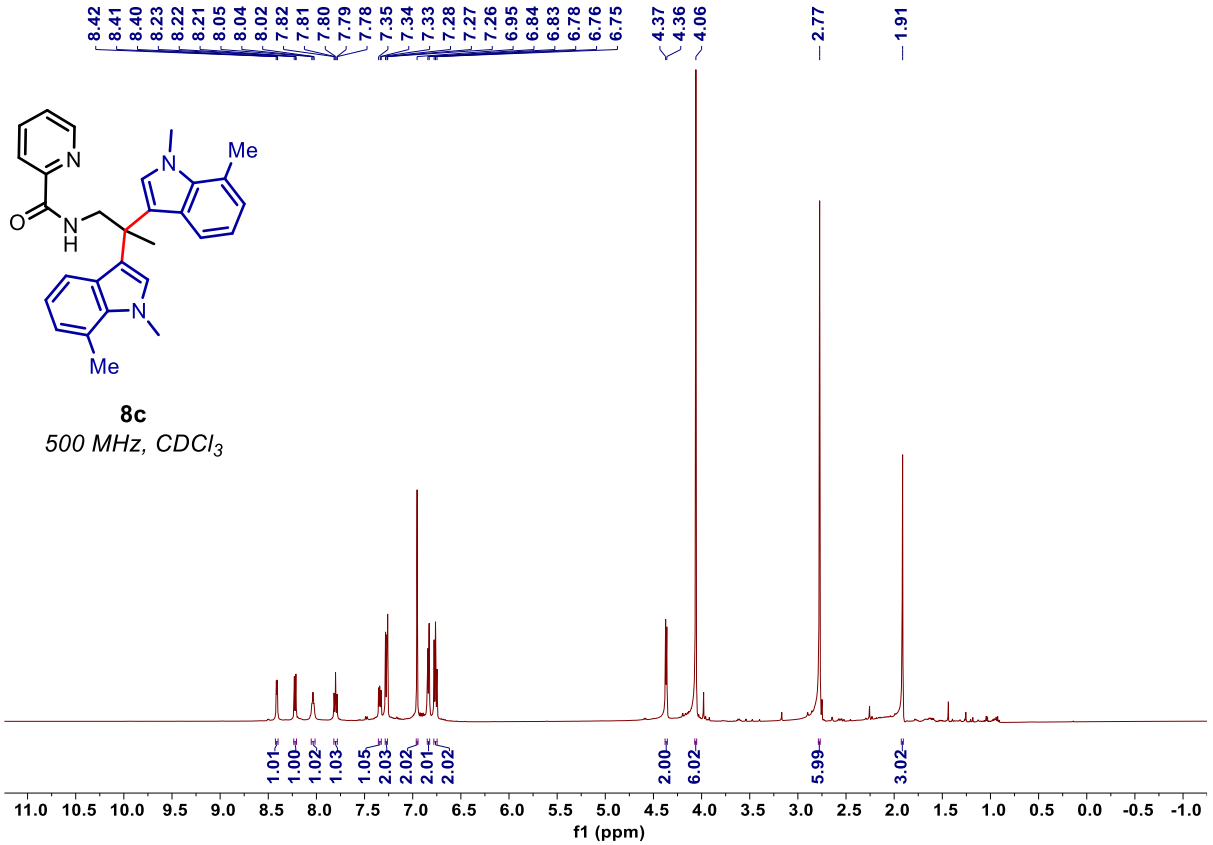
8b

400 MHz, $CDCl_3$



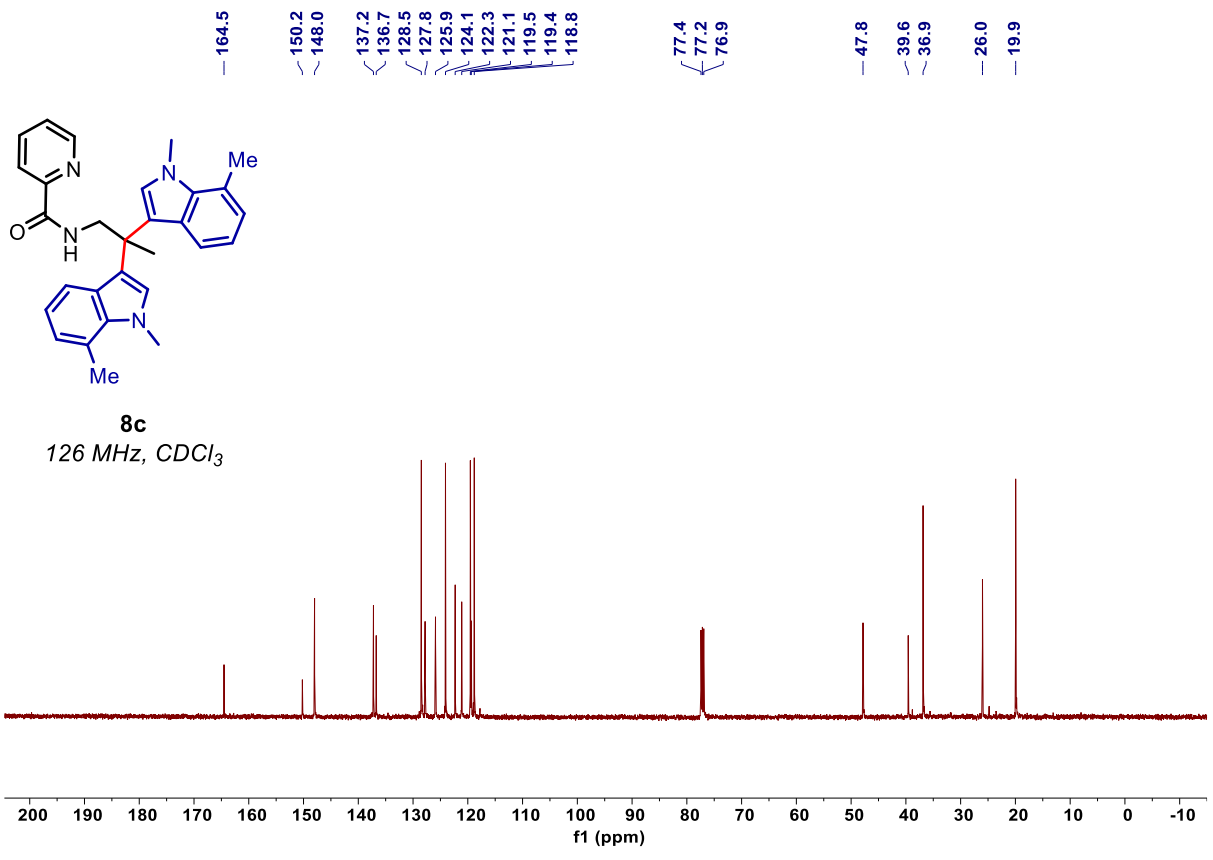
8b

101 MHz, $CDCl_3$



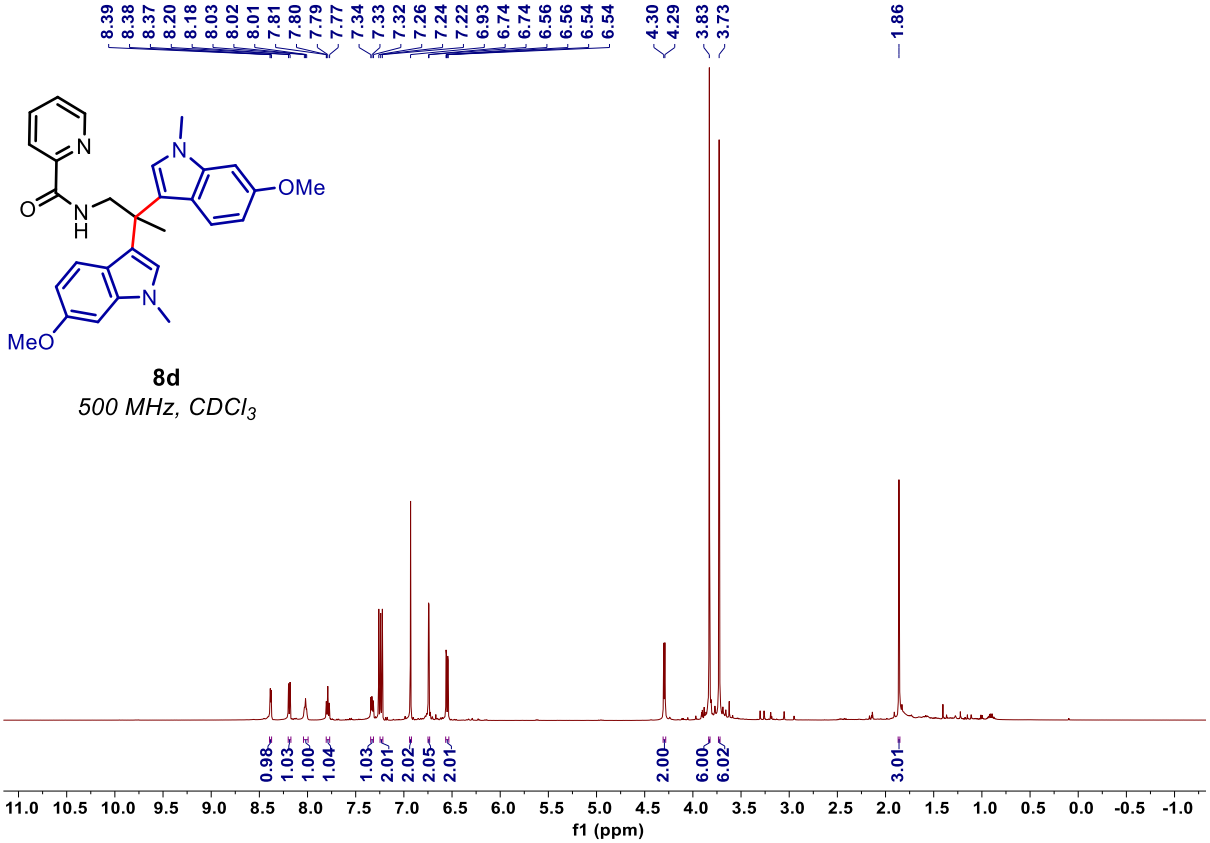
8c

500 MHz, $CDCl_3$



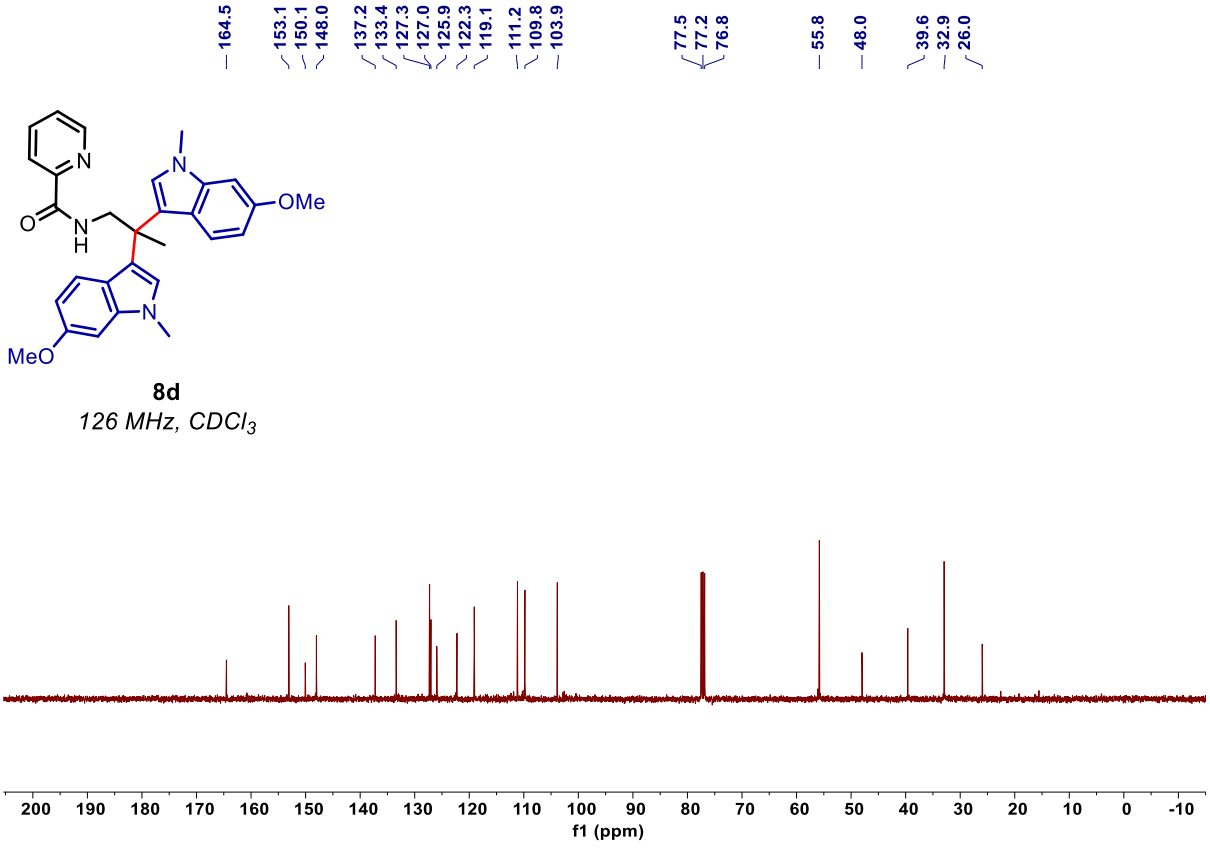
8c

126 MHz, $CDCl_3$



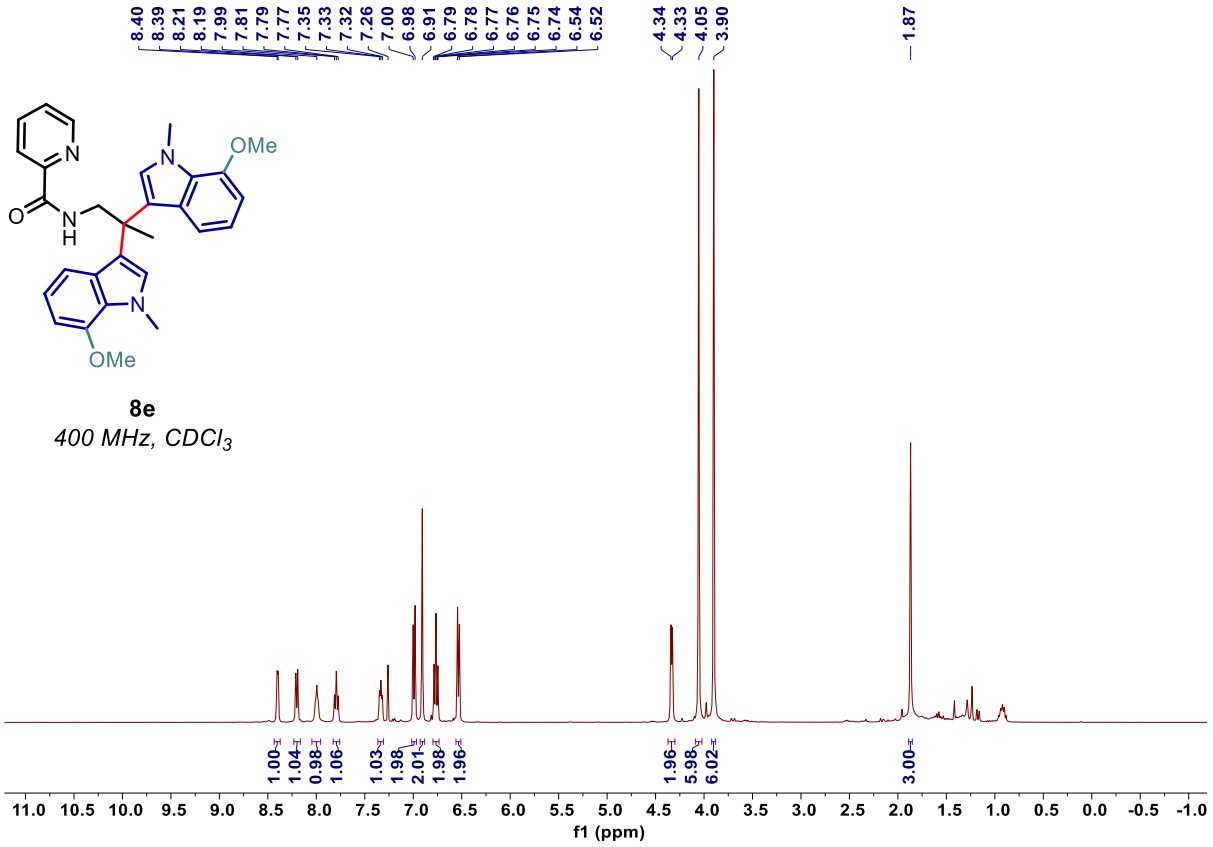
8d

500 MHz, $CDCl_3$



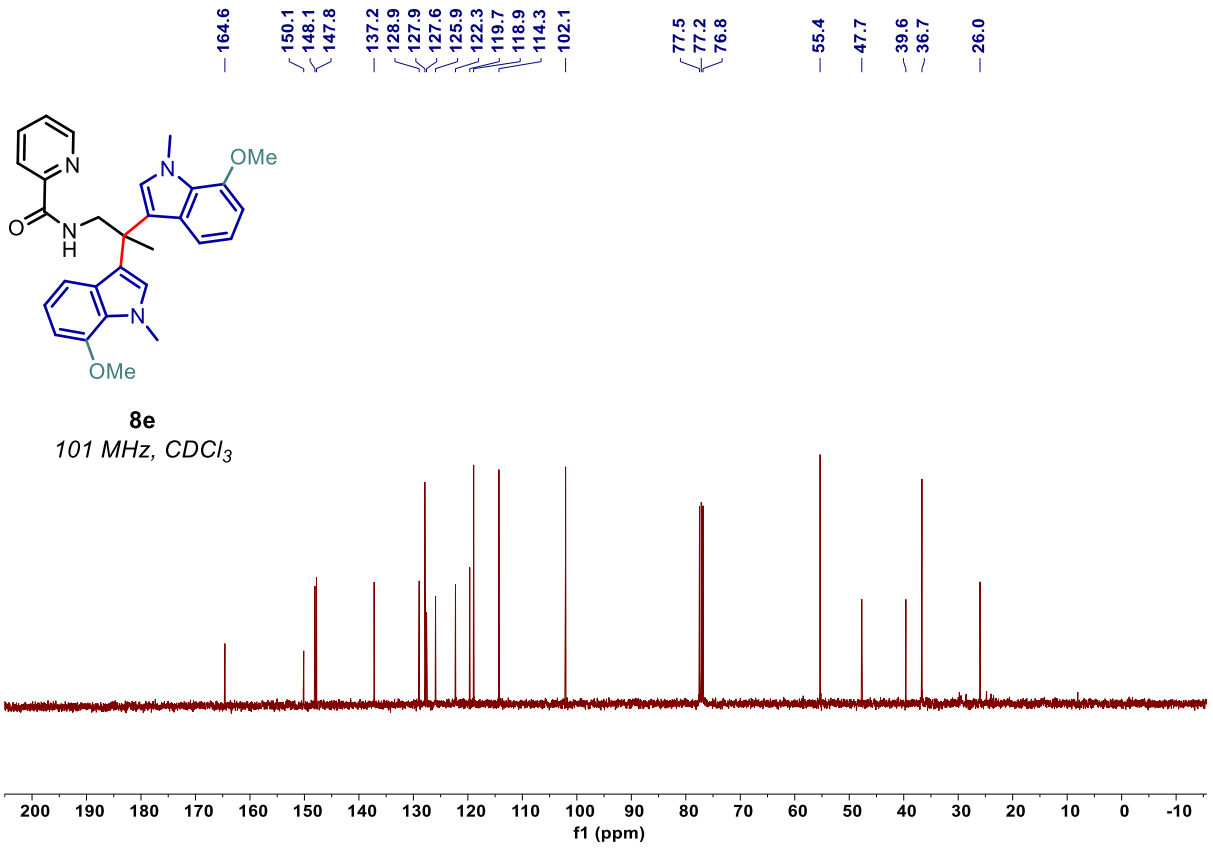
8d

126 MHz, $CDCl_3$



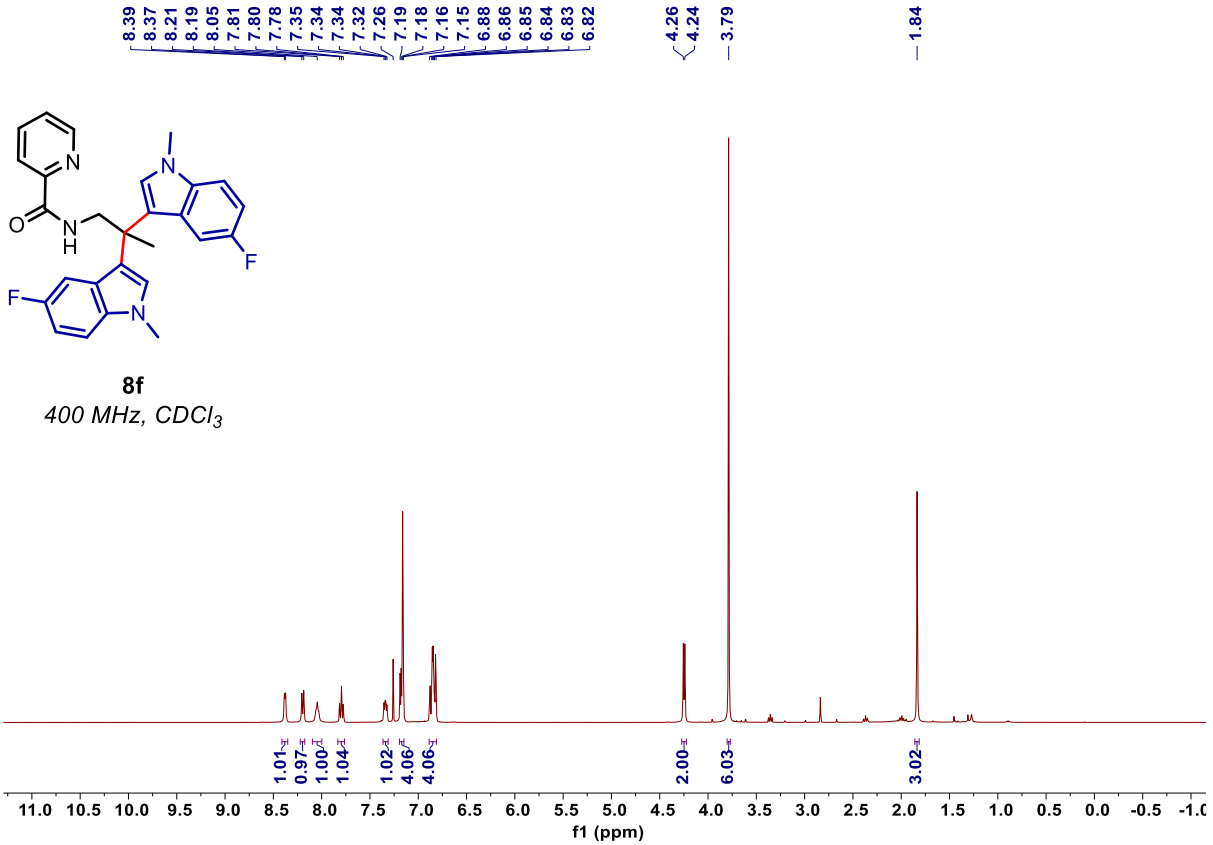
8e

400 MHz, $CDCl_3$



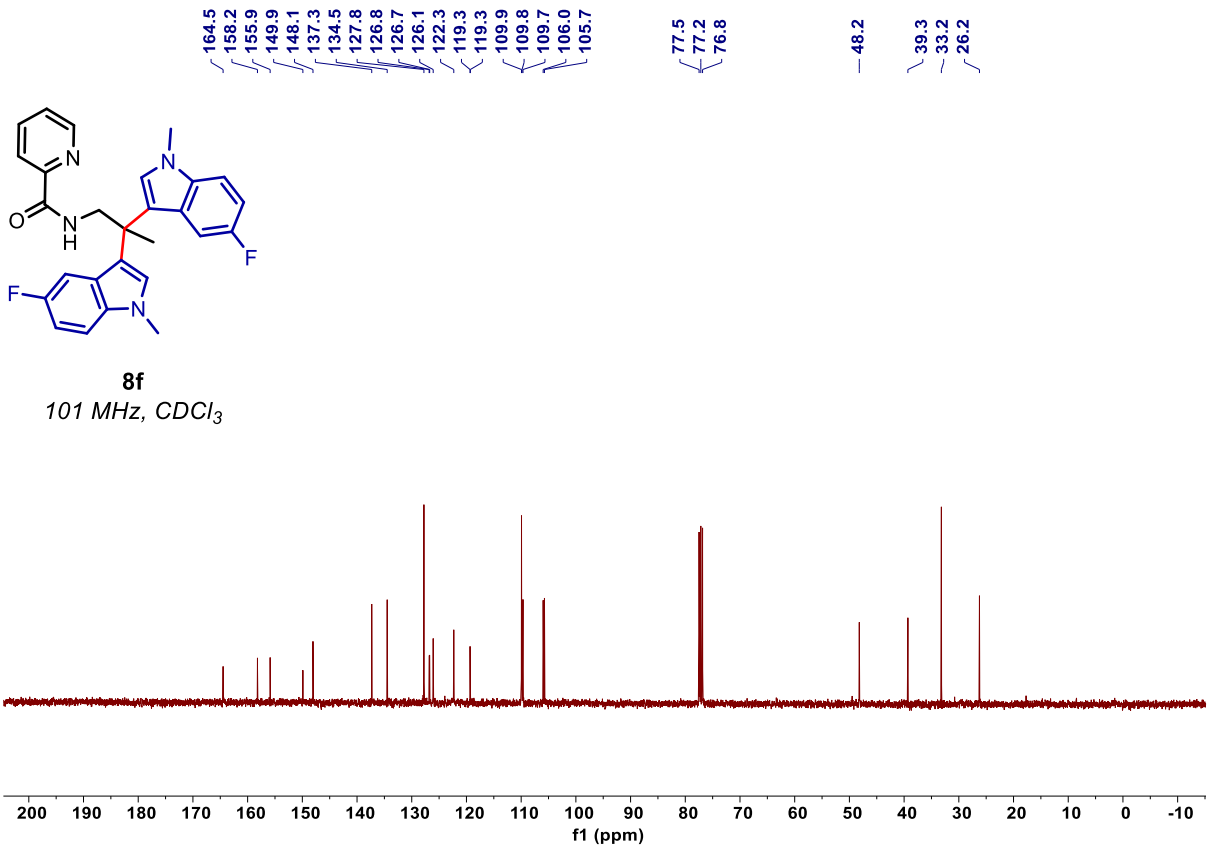
8e

101 MHz, $CDCl_3$



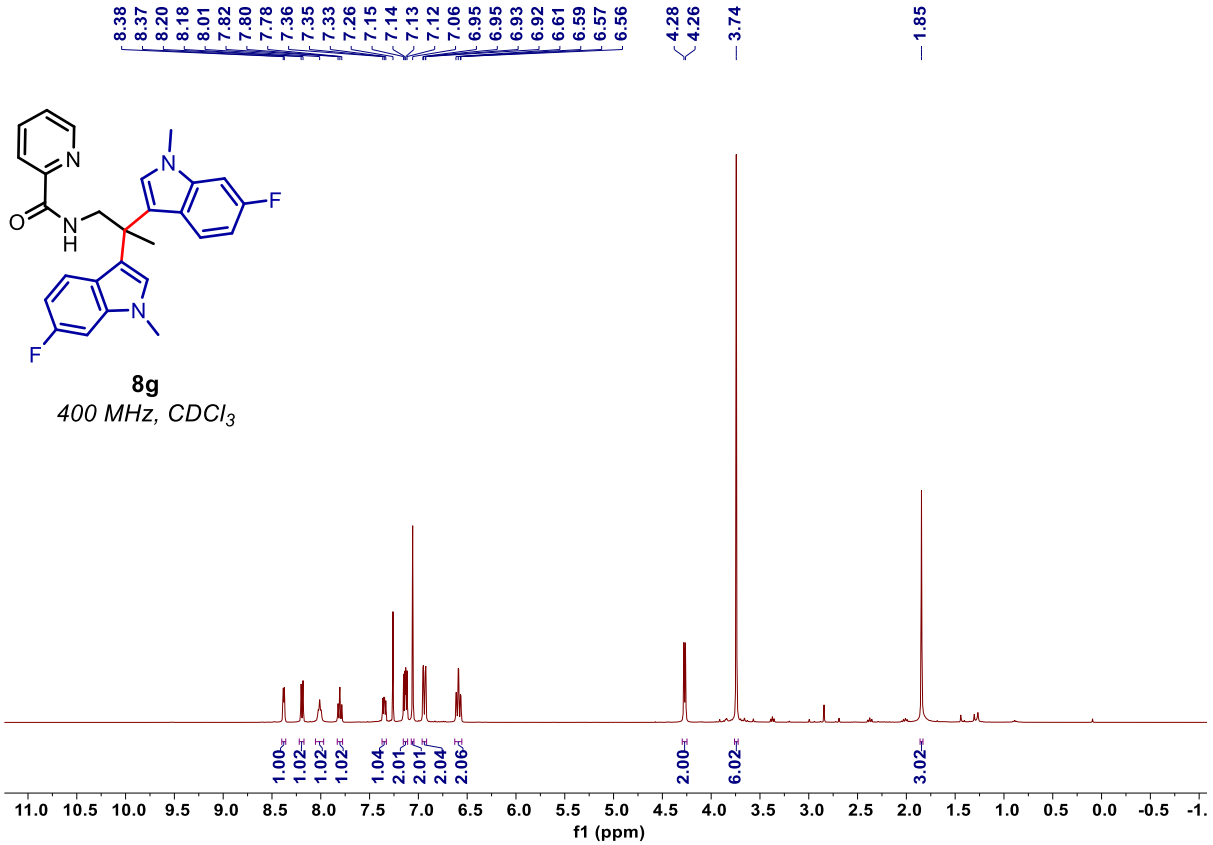
8f

400 MHz, $CDCl_3$



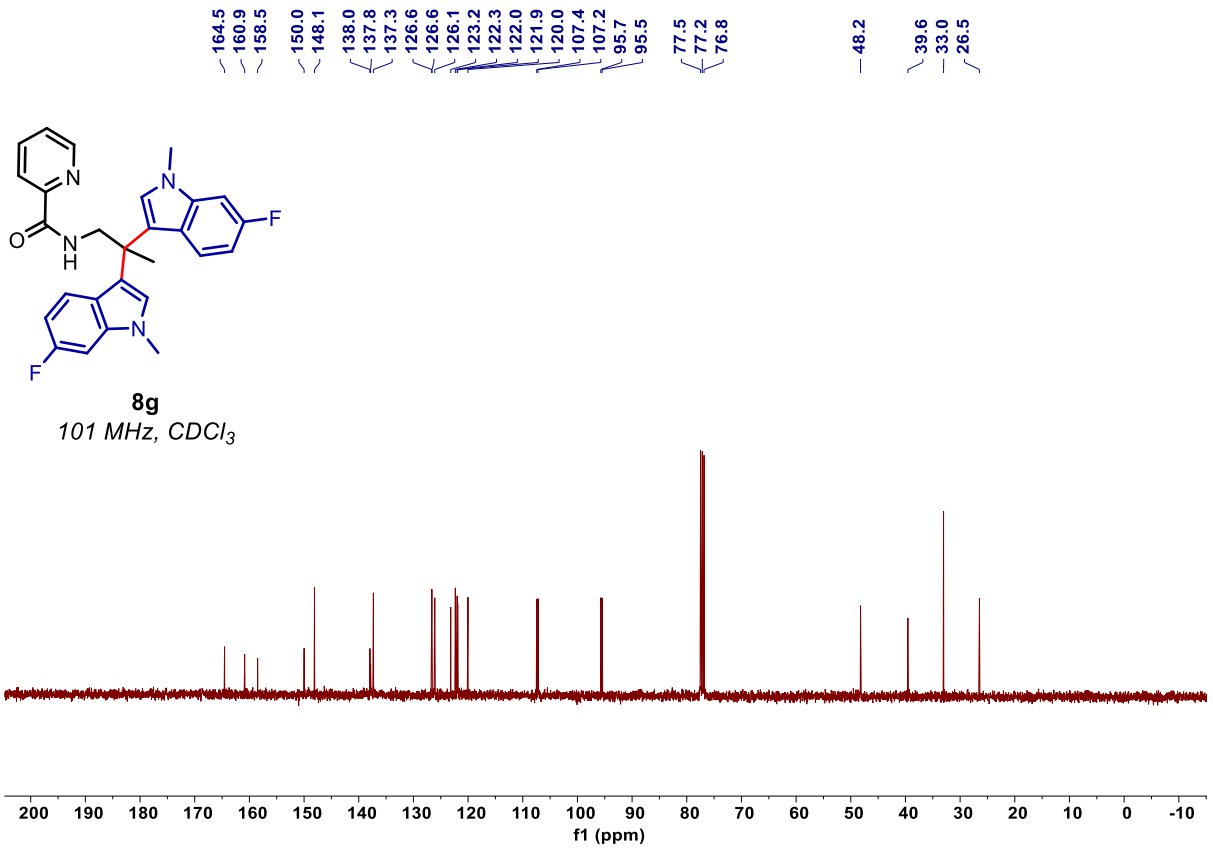
8f

101 MHz, $CDCl_3$



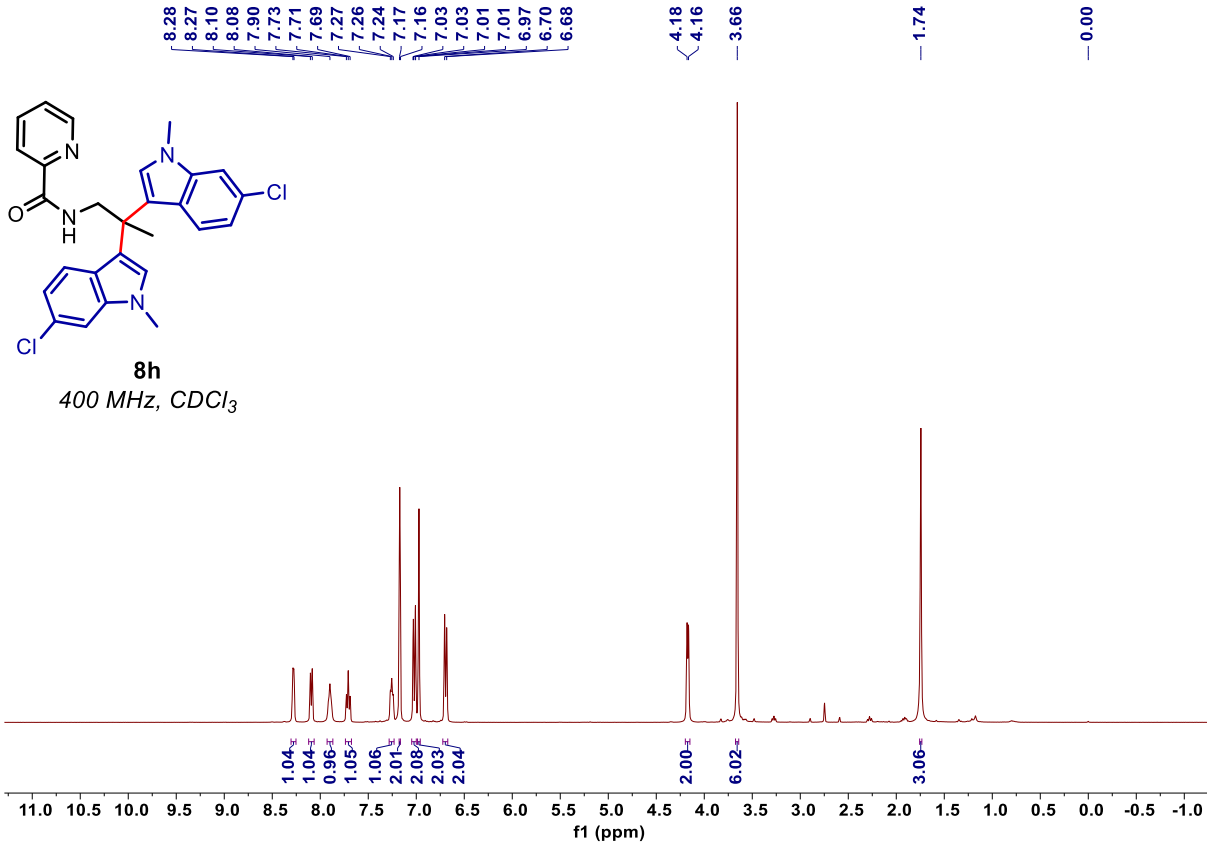
8g

400 MHz, $CDCl_3$



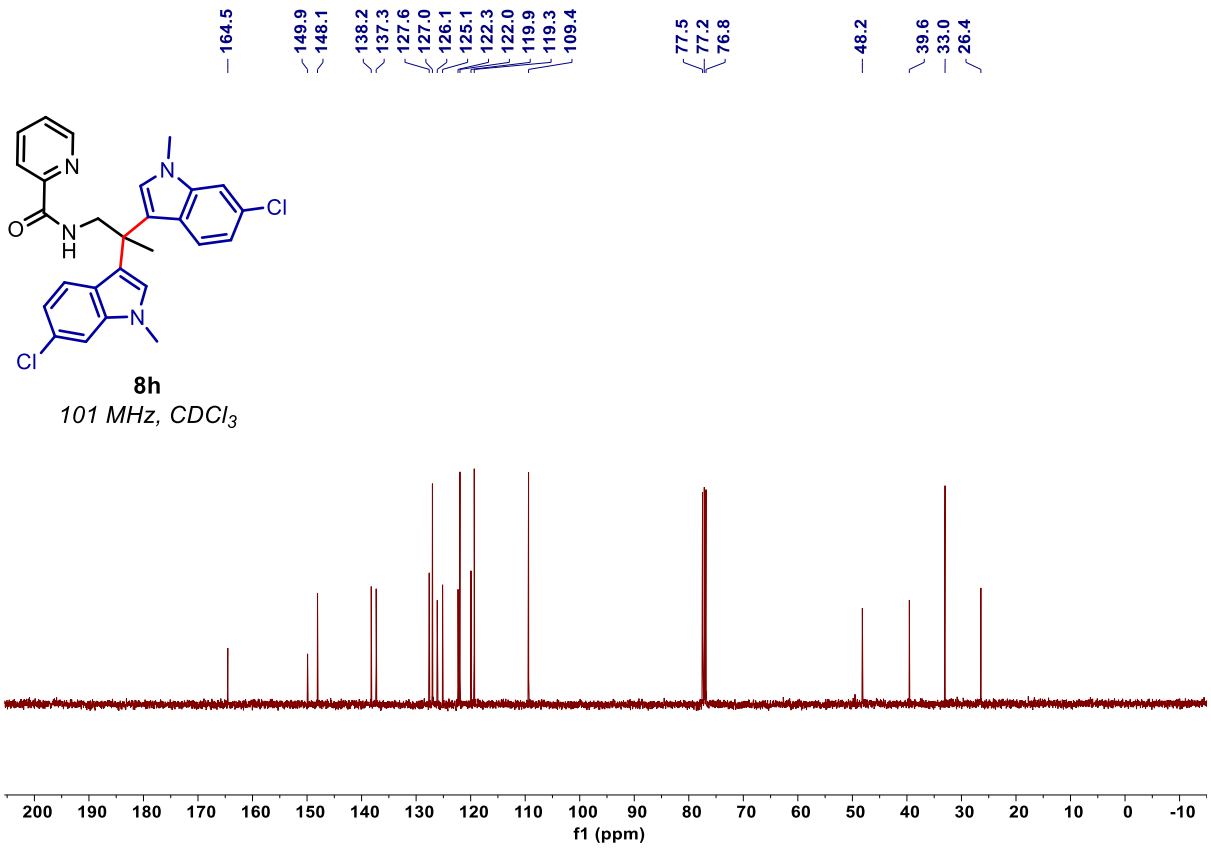
8g

101 MHz, $CDCl_3$



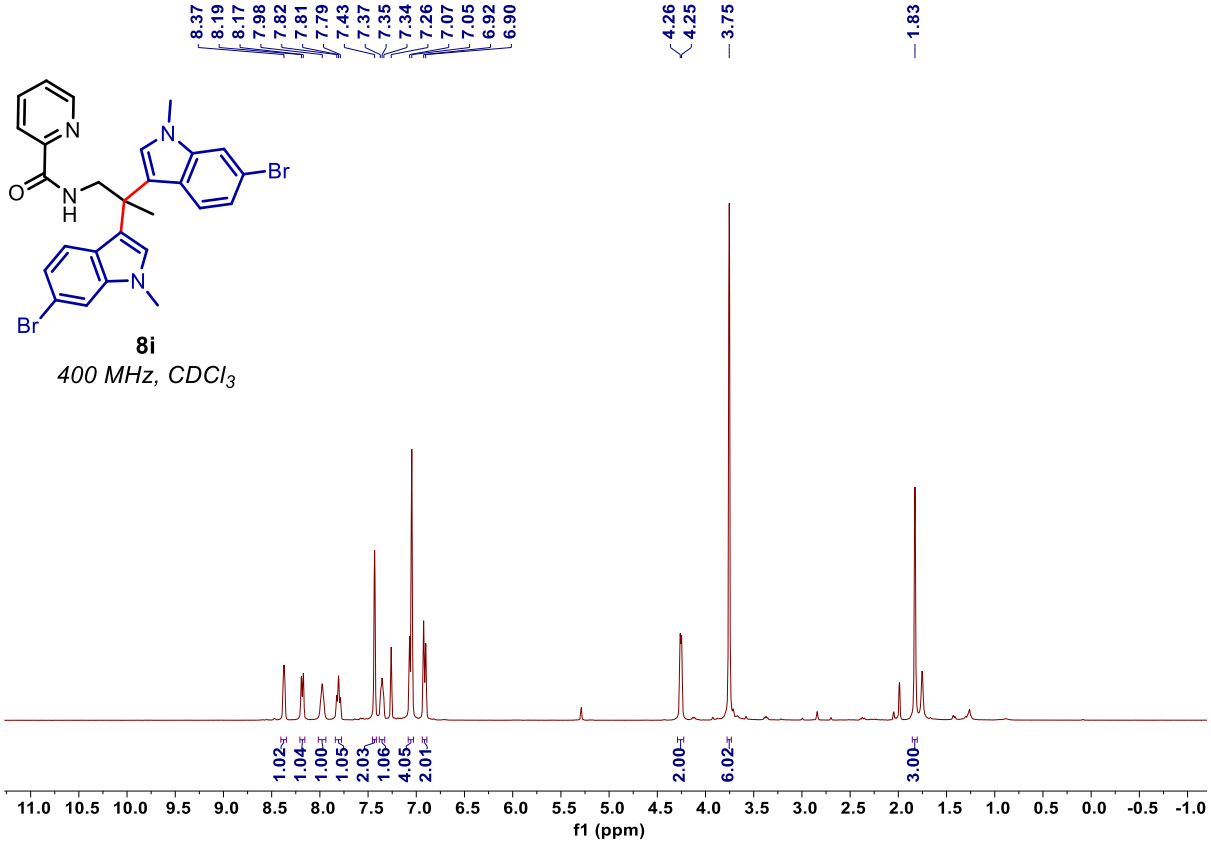
8h

400 MHz, $CDCl_3$



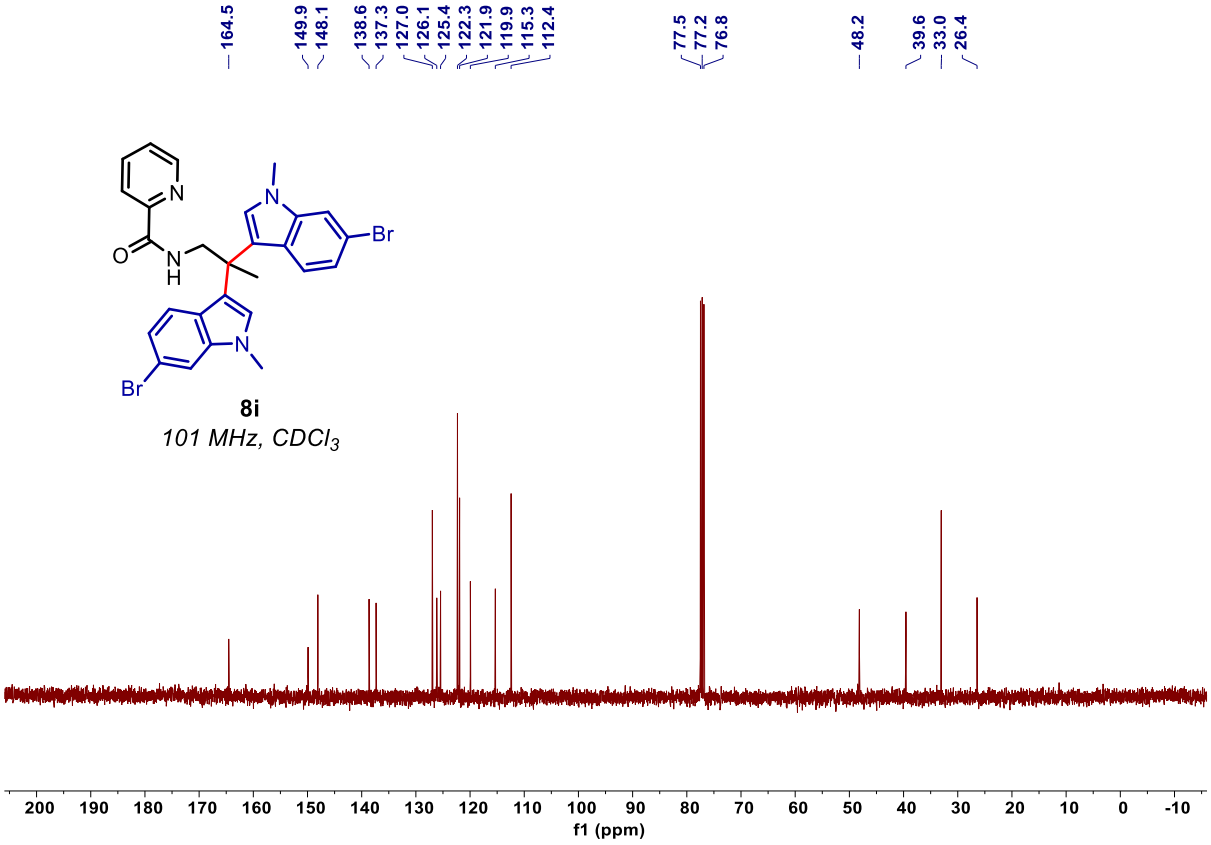
8h

101 MHz, $CDCl_3$



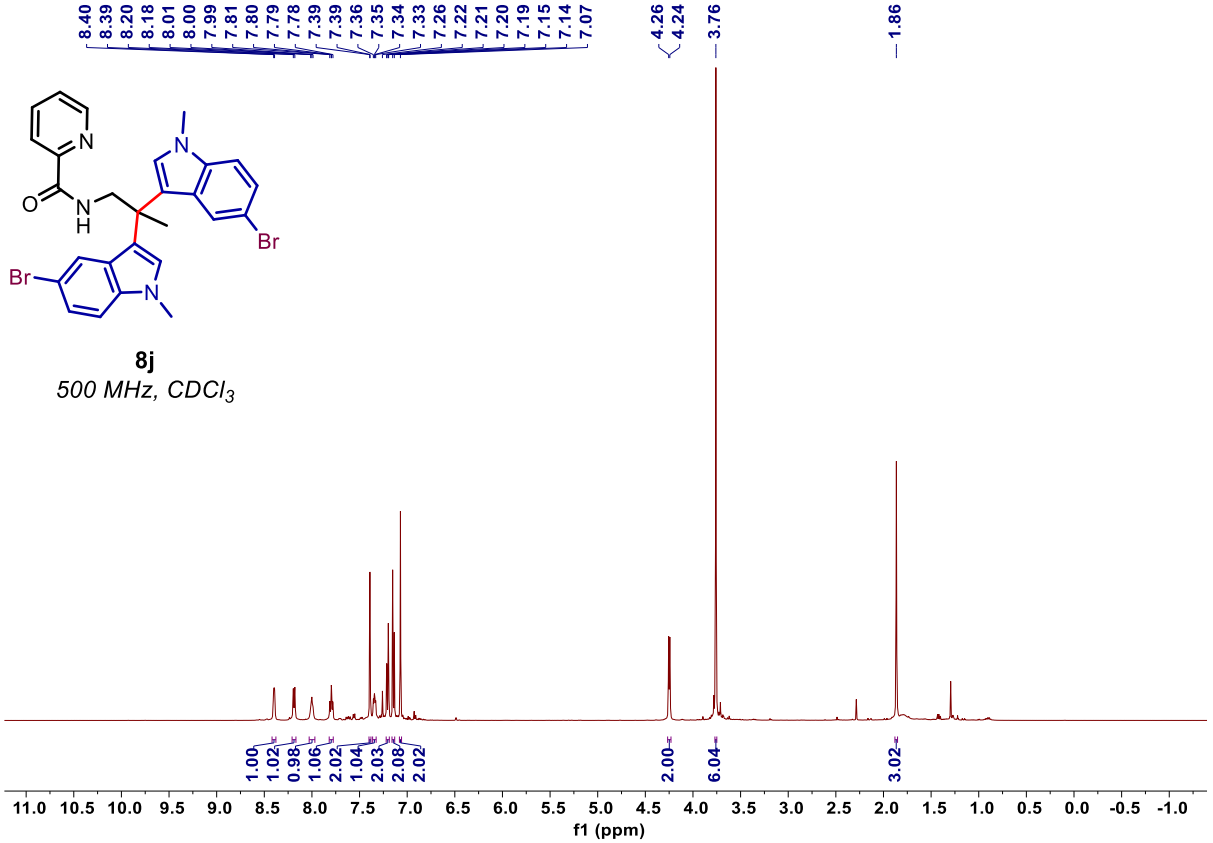
8i

400 MHz, $CDCl_3$



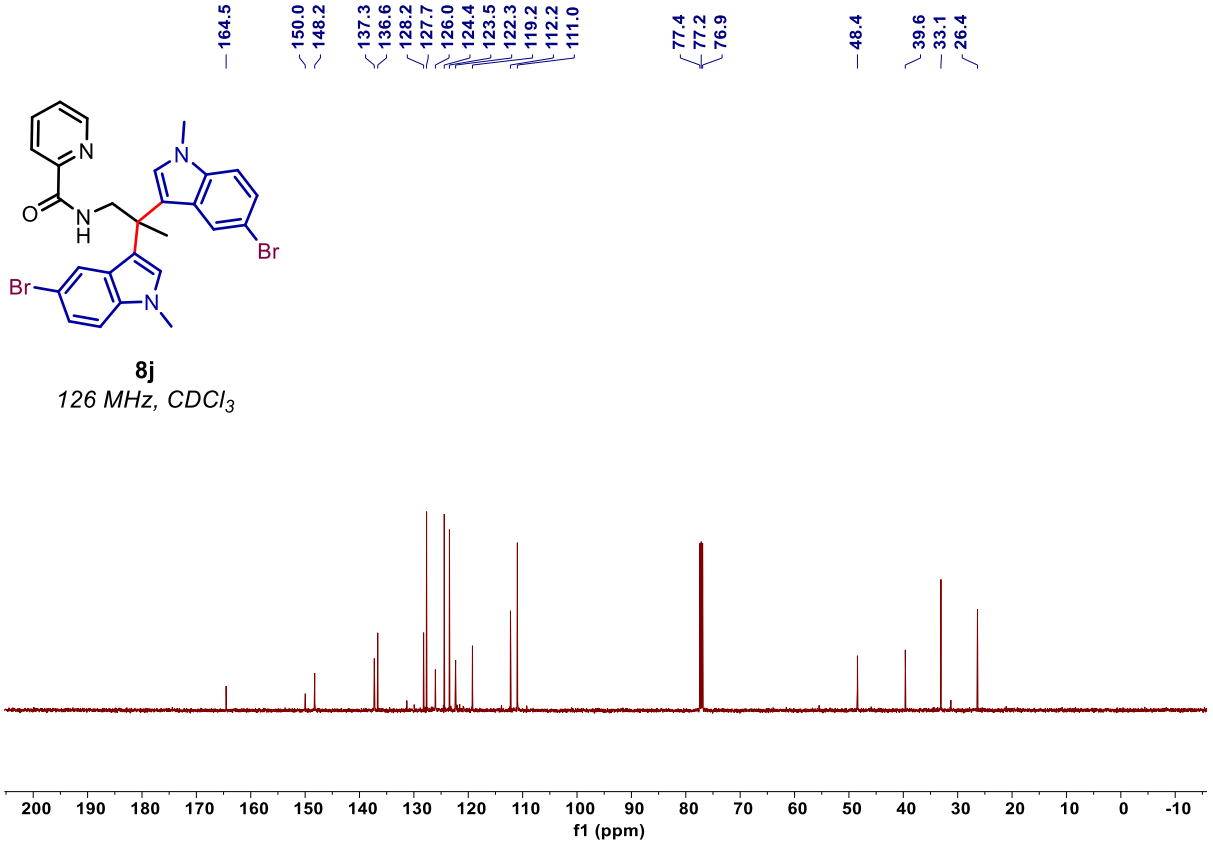
8i

101 MHz, $CDCl_3$



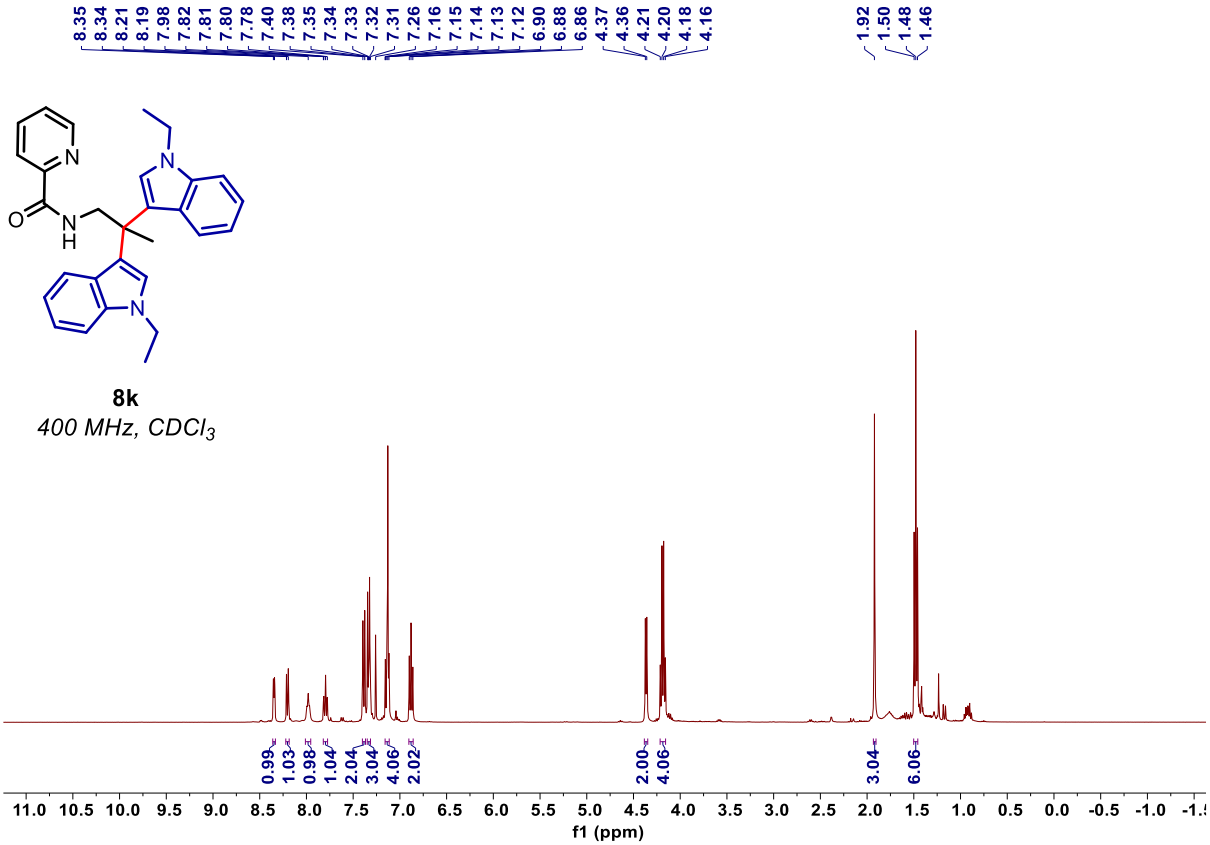
8j

500 MHz, $CDCl_3$



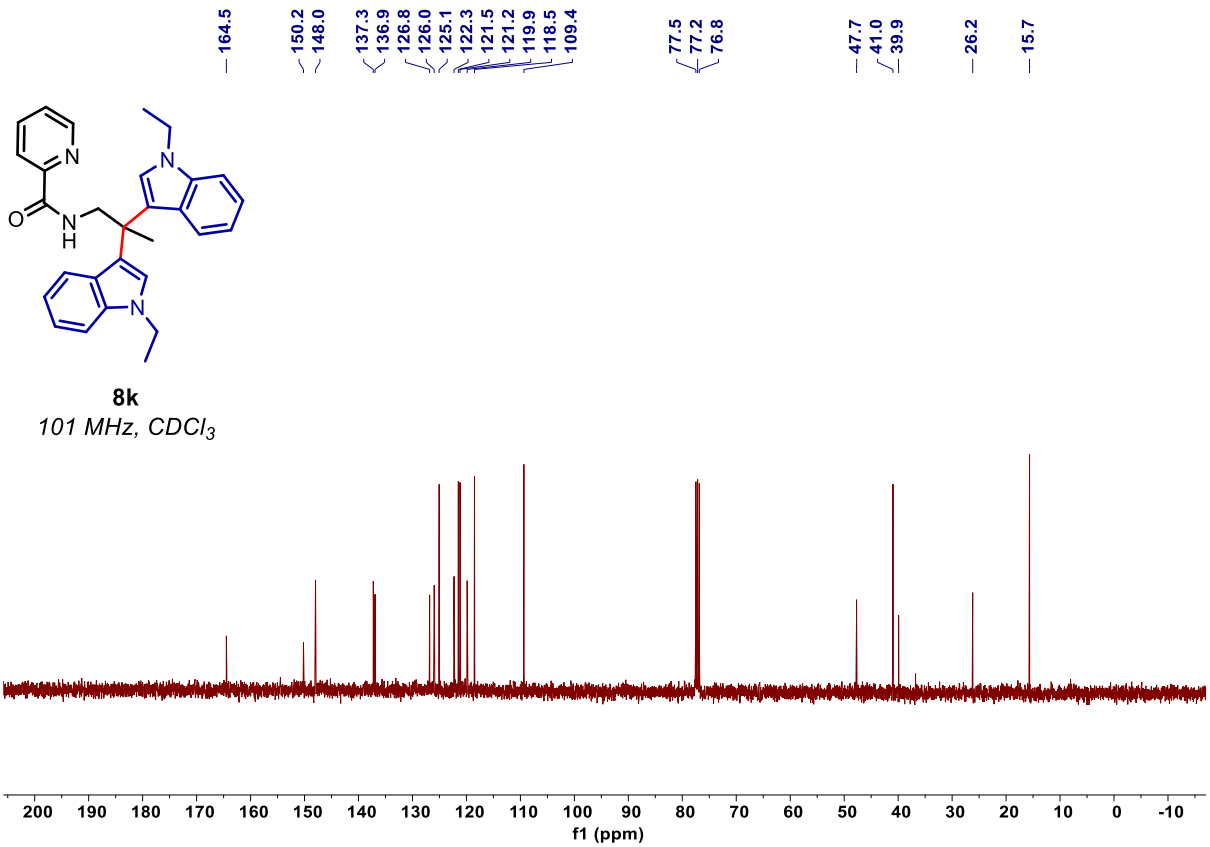
8j

126 MHz, $CDCl_3$



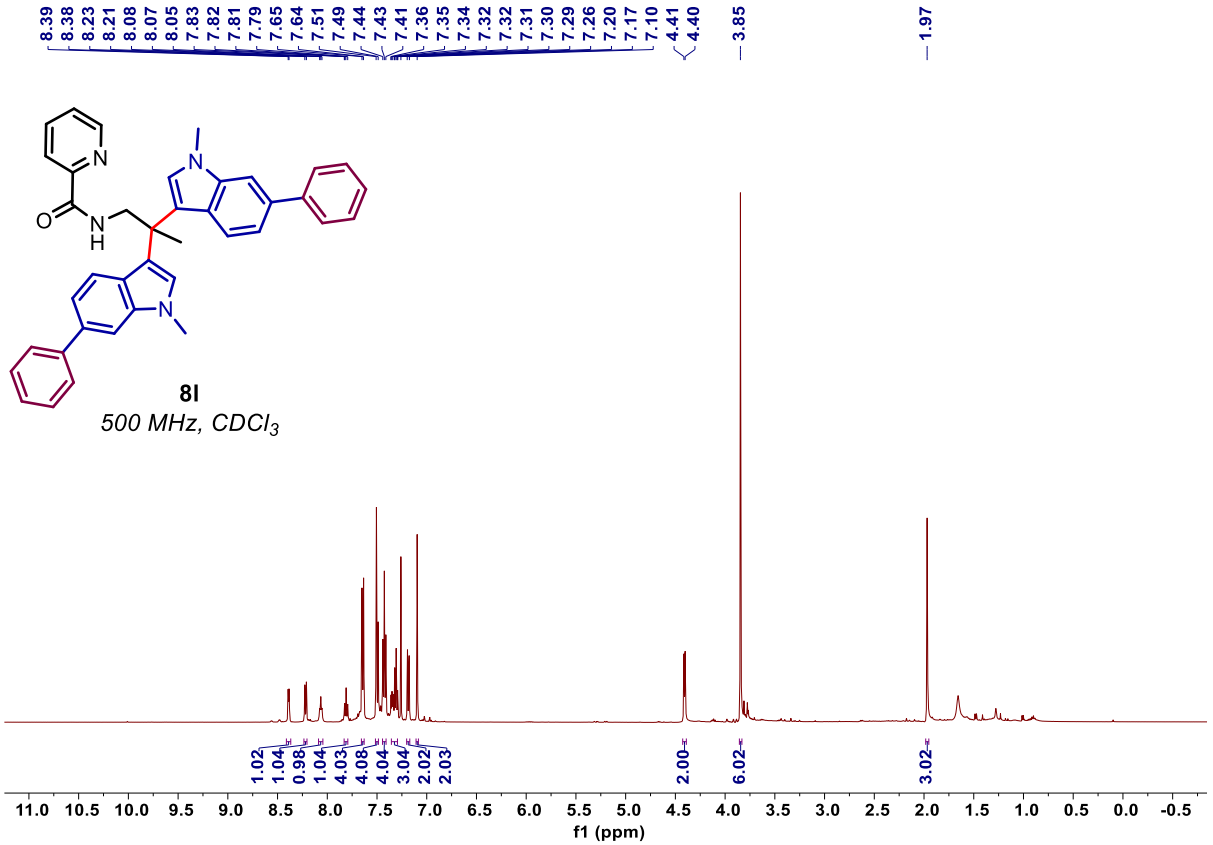
8k

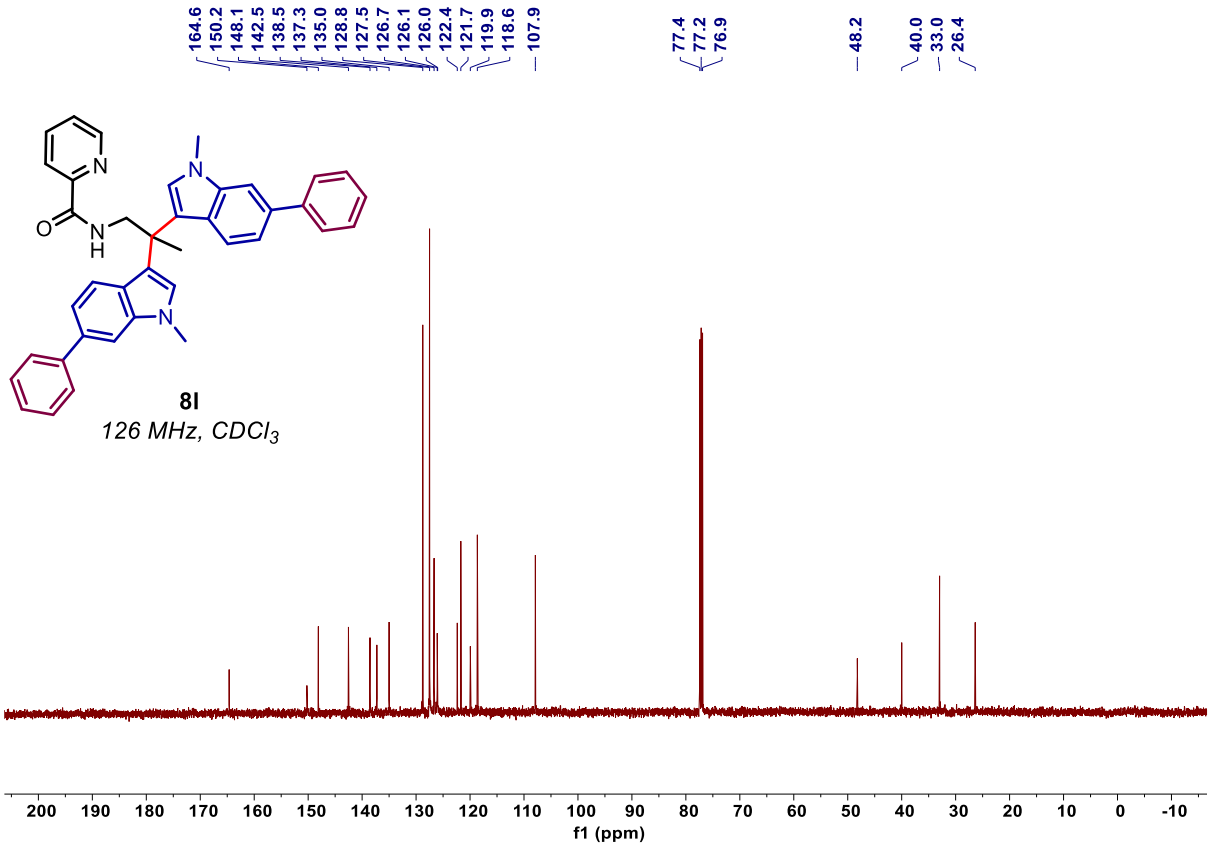
400 MHz, $CDCl_3$

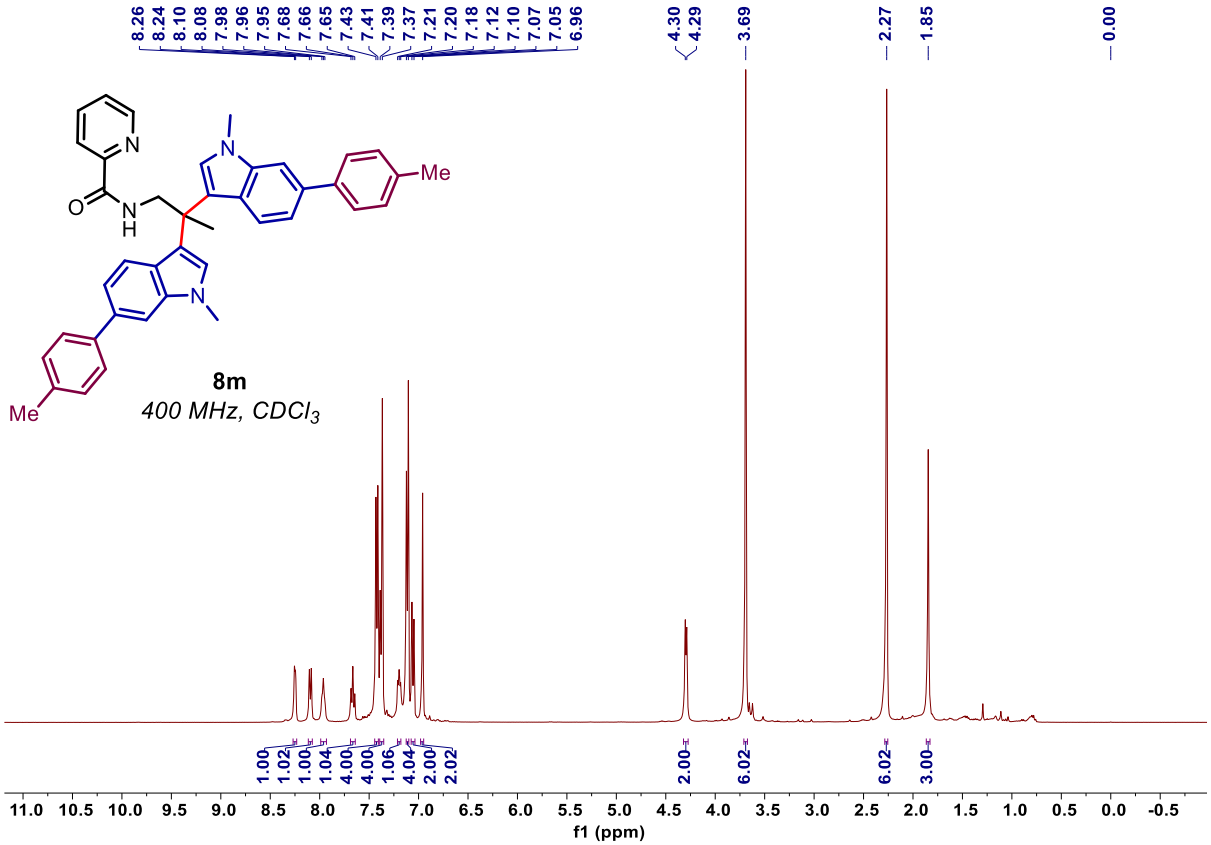


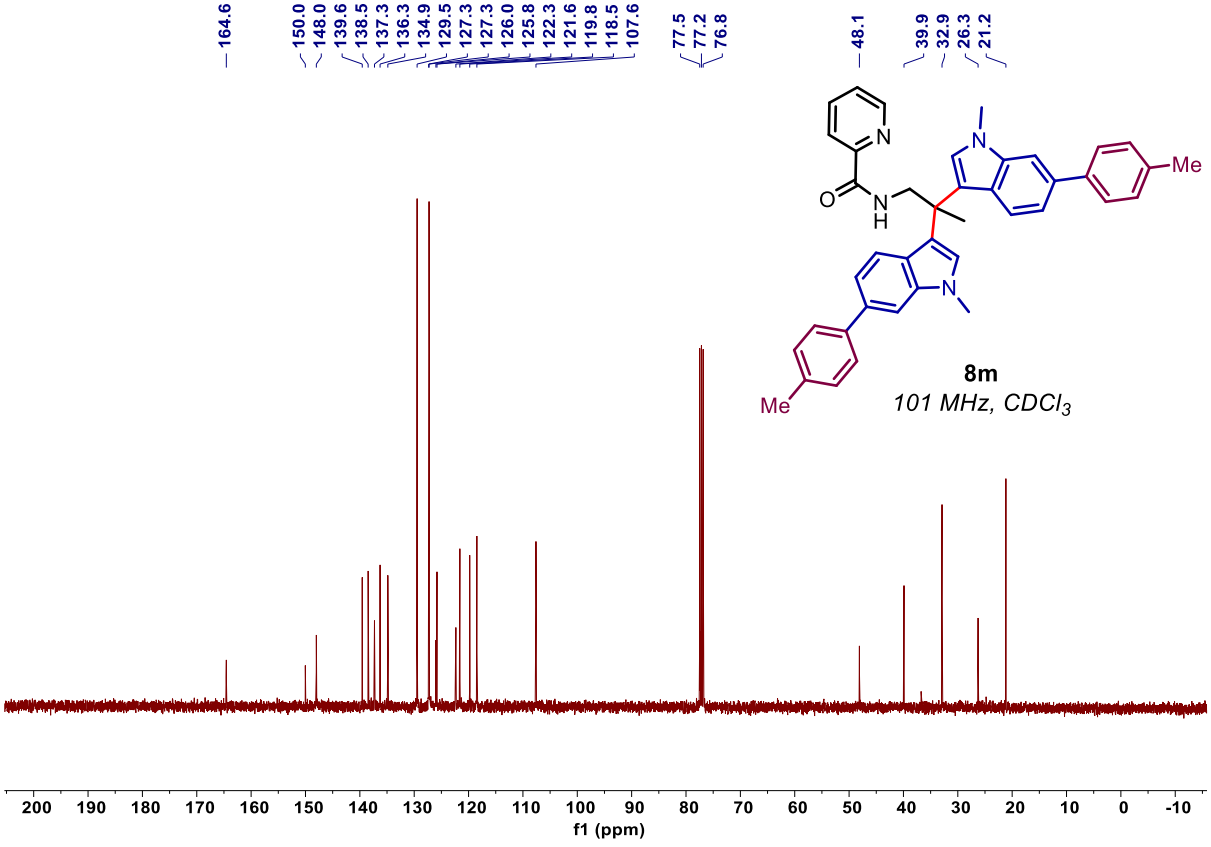
8k

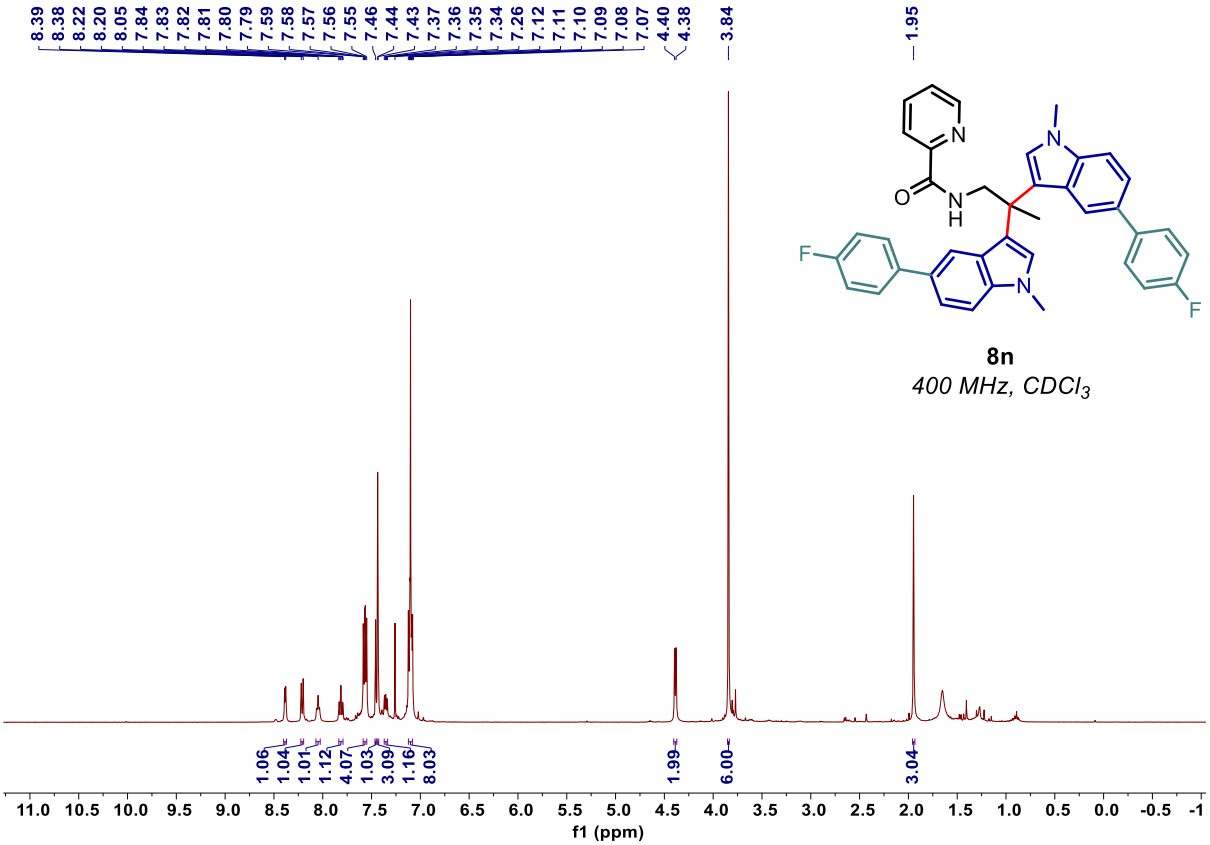
101 MHz, $CDCl_3$


8.39
8.38
8.23
8.21
8.08
8.07
8.05
7.83
7.82
7.81
7.79
7.65
7.64
7.51
7.49
7.44
7.43
7.41
7.36
7.35
7.34
7.32
7.32
7.31
7.30
7.29
7.26
7.20
7.17
7.10
4.41
4.40
3.85
1.97
8I
500 MHz, CDCl3
1.02
1.04
0.98
1.04
4.03
4.08
4.04
3.04
2.02
2.03
2.00
6.02
3.02
11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


164.6
150.2
148.1
142.5
138.5
137.3
135.0
128.8
127.5
126.7
126.1
126.0
122.4
121.7
119.9
118.6
107.9
77.4
77.2
76.9
48.2
40.0
33.0
26.4
8I
126 MHz, CDCl3
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)

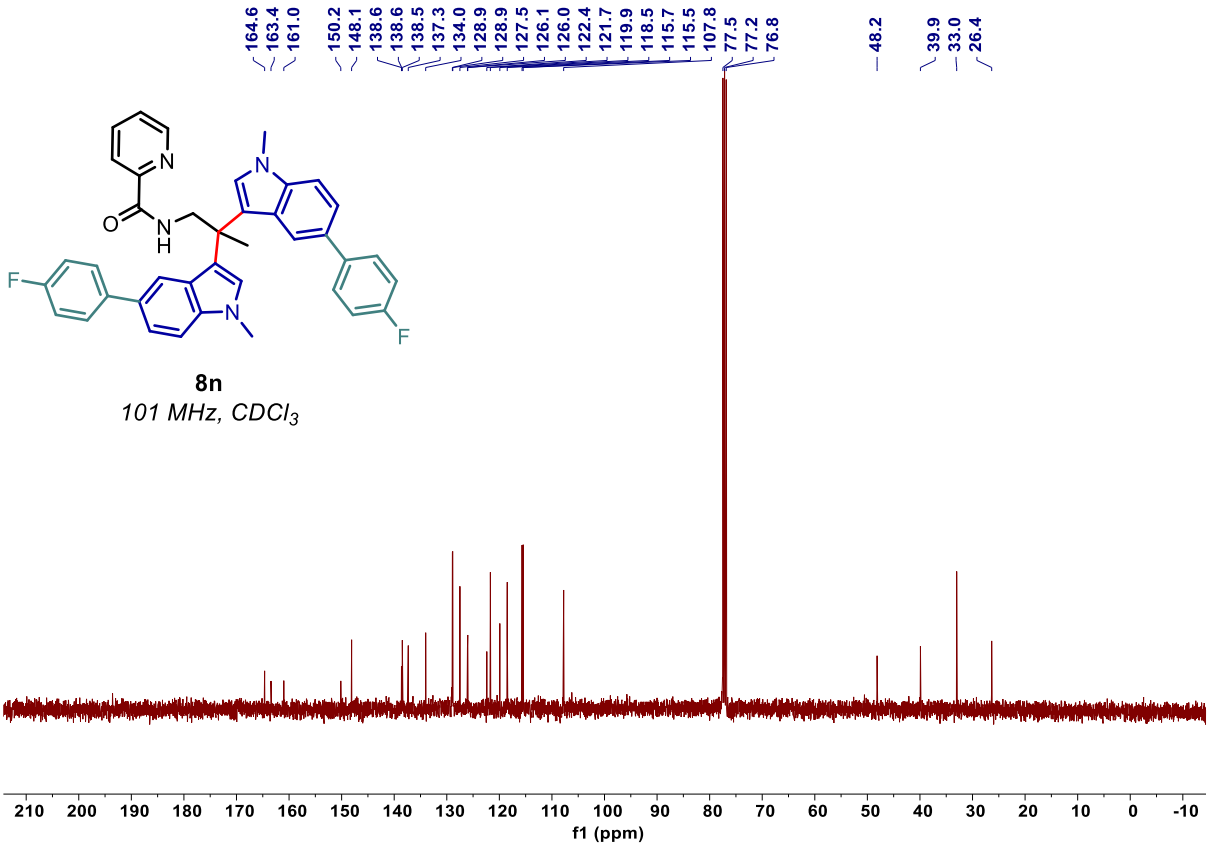

8.26
8.24
8.10
8.08
7.98
7.96
7.95
7.68
7.66
7.65
7.43
7.41
7.39
7.37
7.21
7.20
7.18
7.12
7.10
7.07
7.05
6.96
4.30
4.29
3.69
2.27
1.85
0.00
Me
8m
400 MHz, CDCl3
1.00
1.02
1.00
1.04
4.00
4.00
1.06
4.04
2.00
2.02
2.00
6.02
6.02
3.00
f1 (ppm)


164.6
150.0
148.0
139.6
138.5
137.3
136.3
134.9
129.5
127.3
127.3
126.0
125.8
122.3
121.6
119.8
118.5
107.6
77.5
77.2
76.8
48.1
39.9
32.9
26.3
21.2
Me
8m
101 MHz, CDCl3
f1 (ppm)



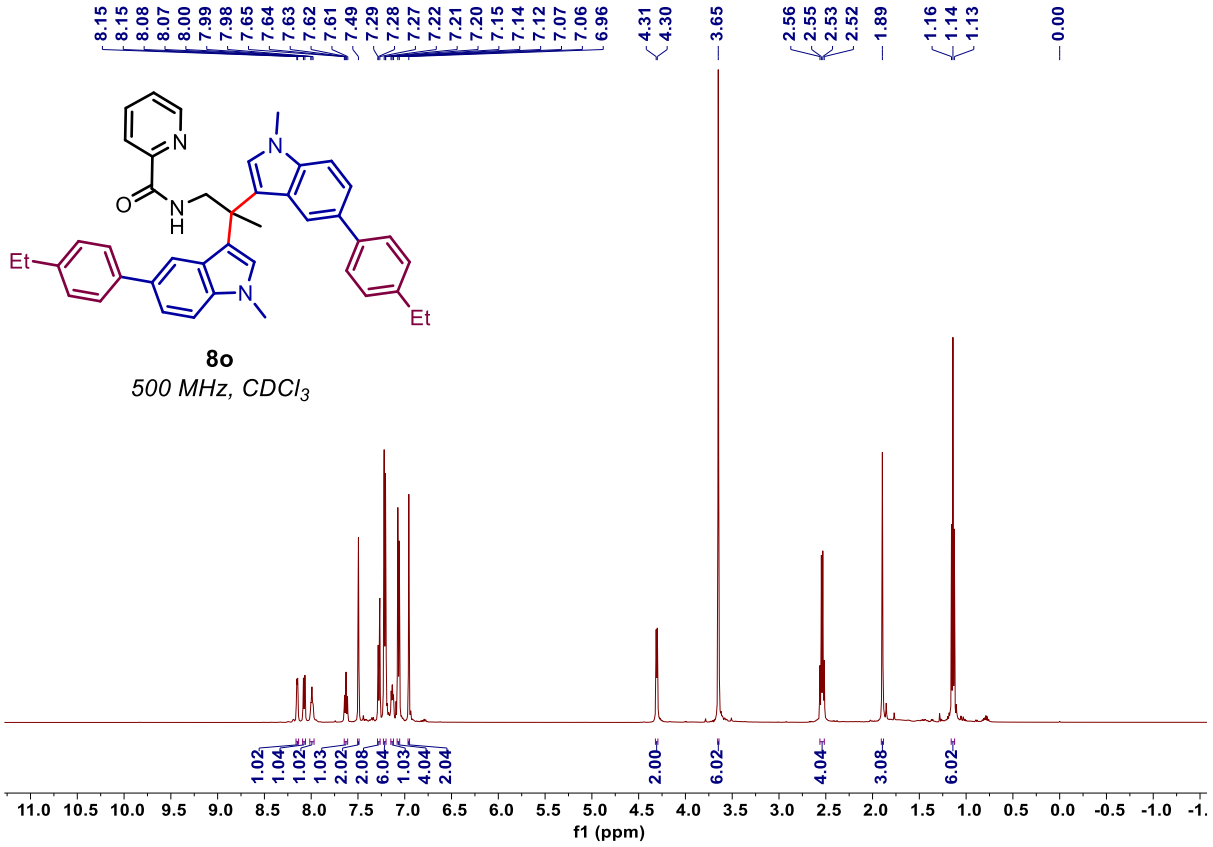
8n

400 MHz, $CDCl_3$



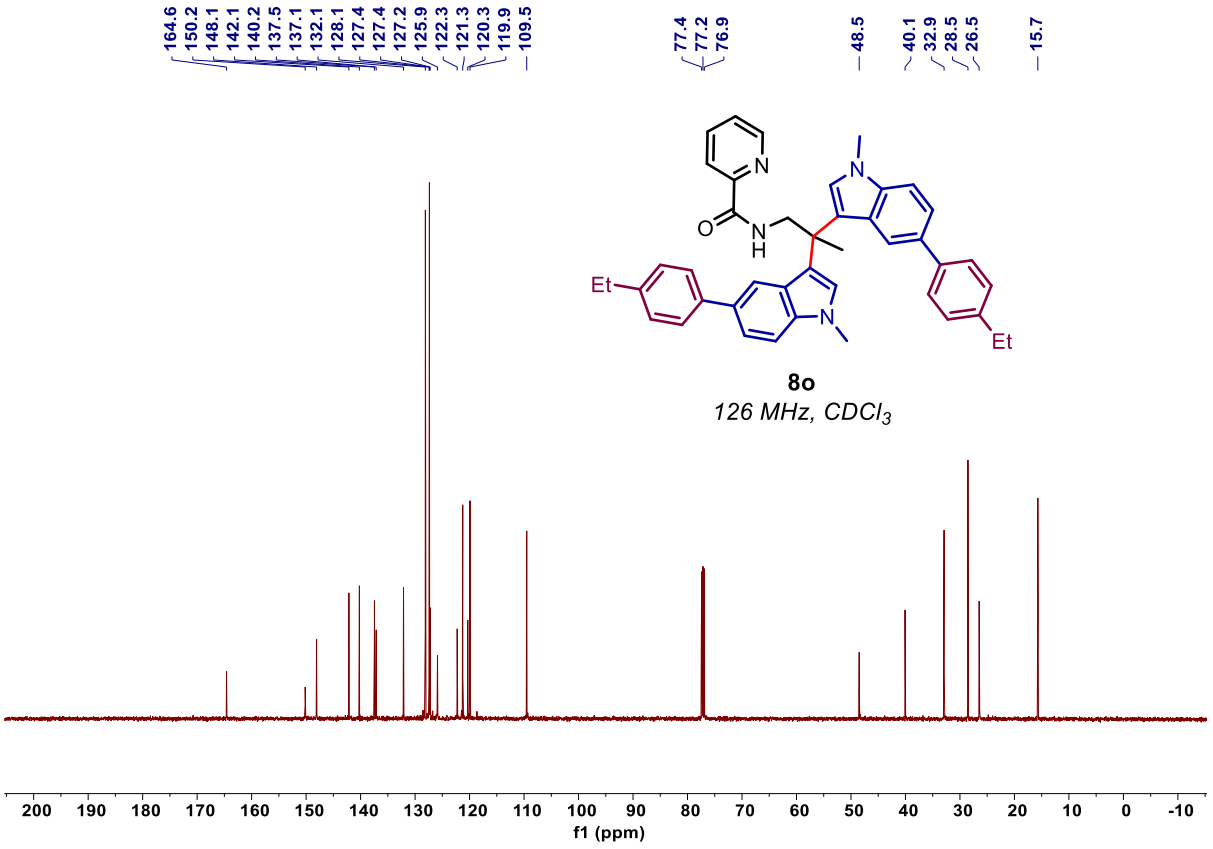
8n

101 MHz, $CDCl_3$



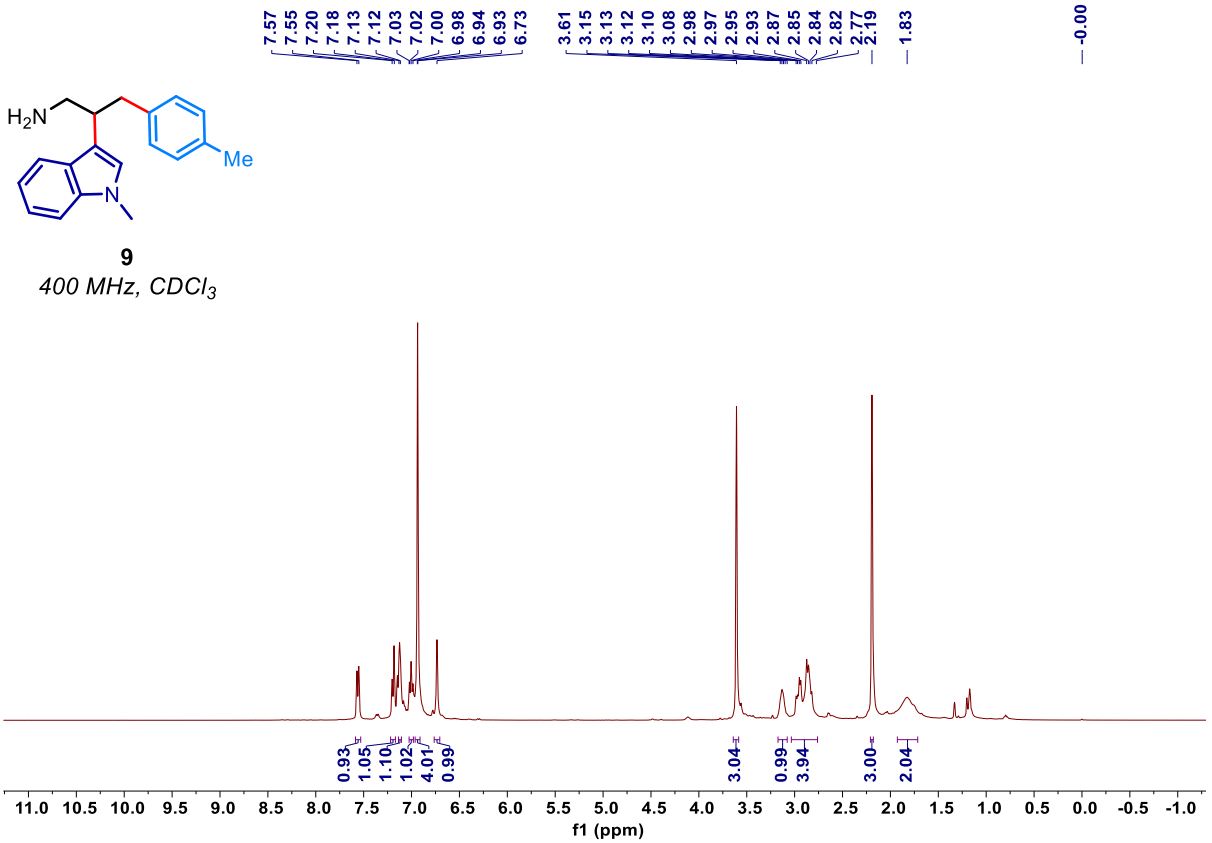
8o

500 MHz, $CDCl_3$



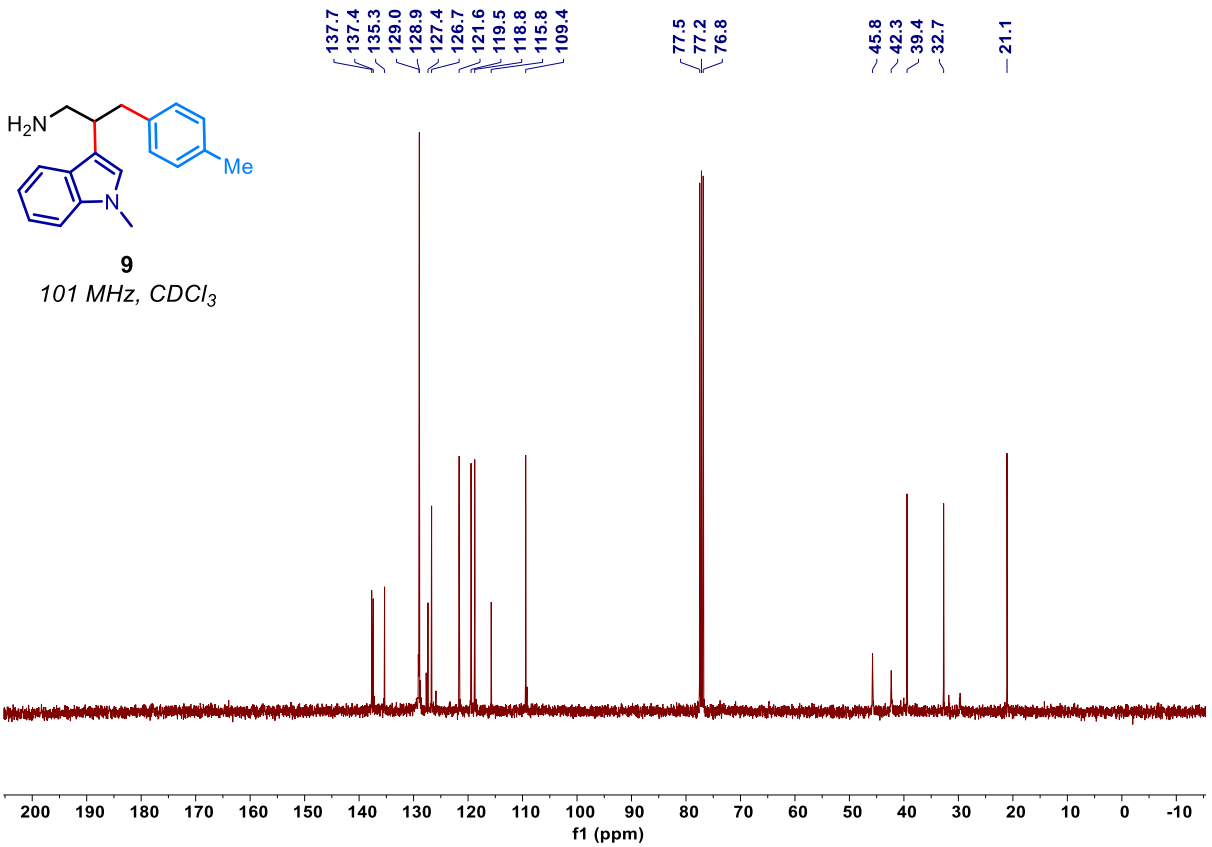
8o

126 MHz, $CDCl_3$



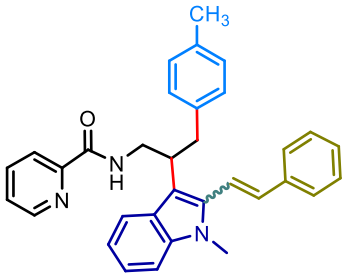
9

400 MHz, $CDCl_3$



9

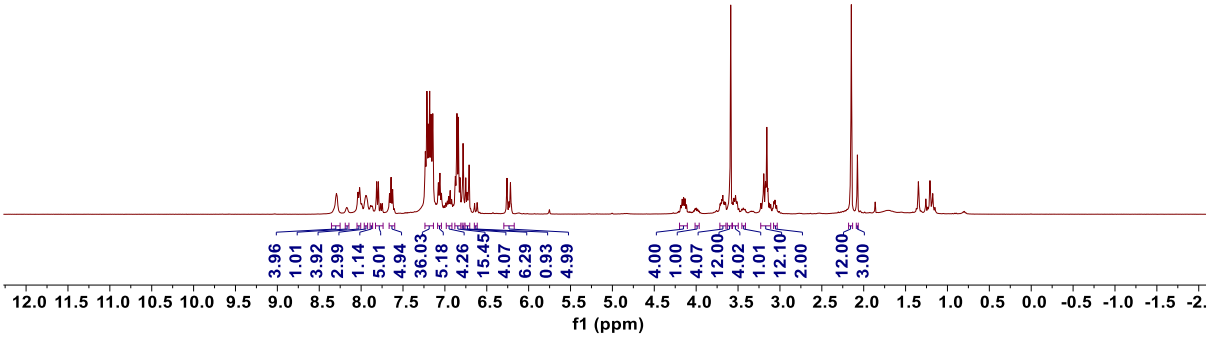
101 MHz, $CDCl_3$

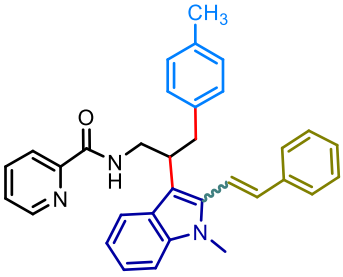


10

dr = 4:1

400 MHz, $CDCl_3$

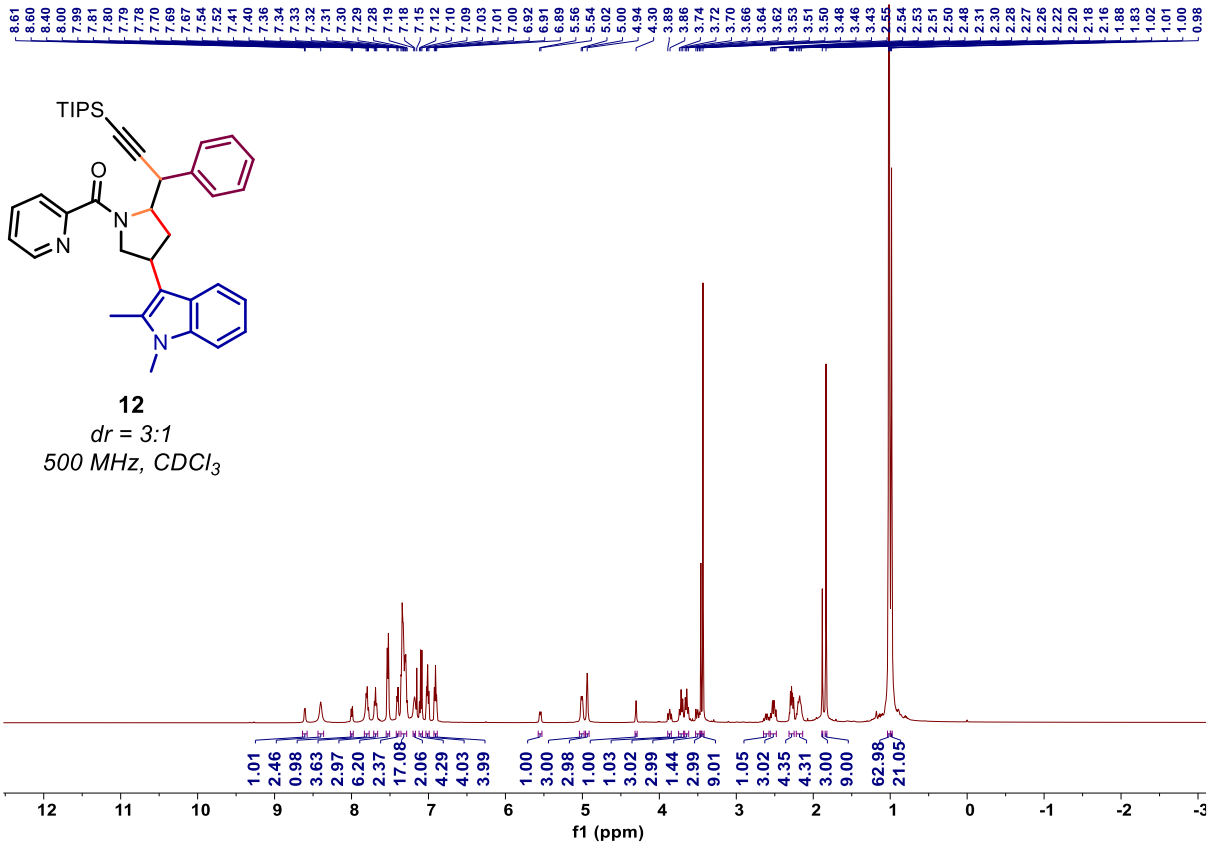


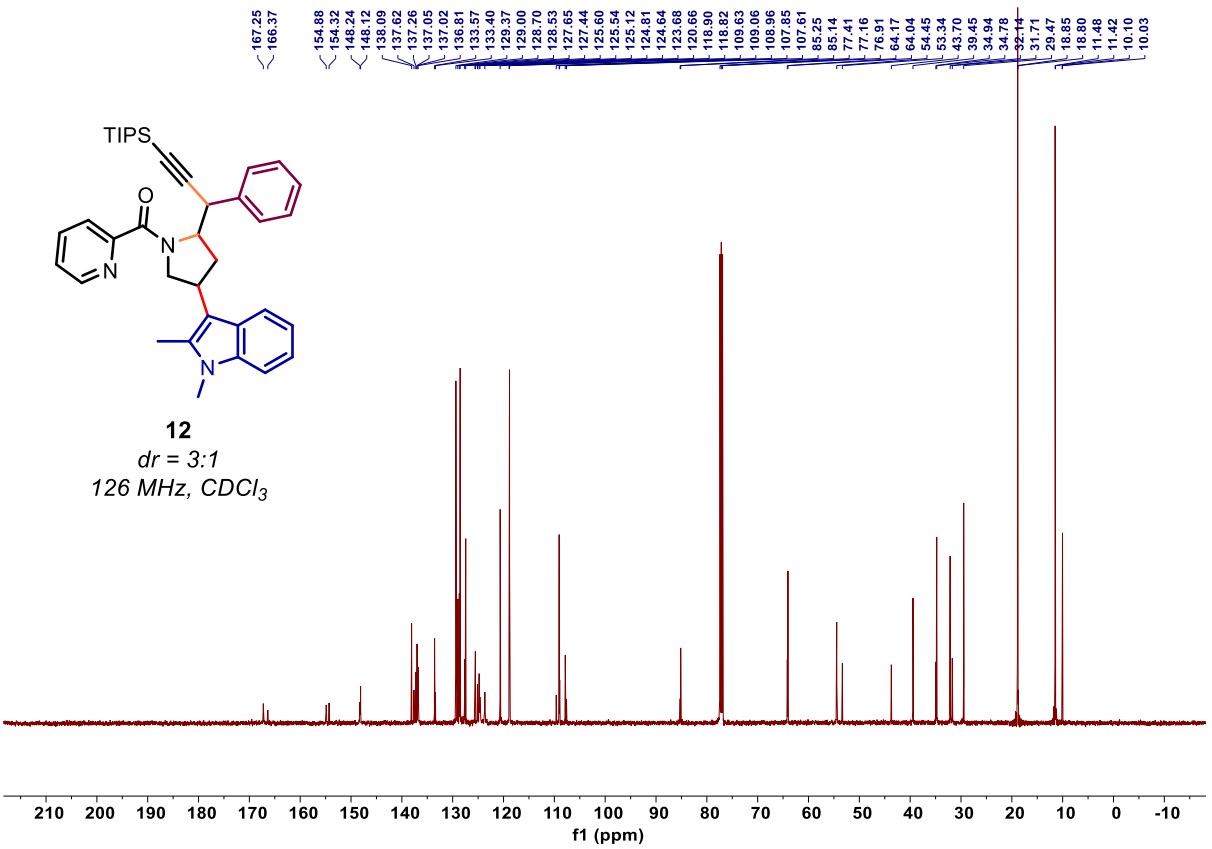


10

dr = 4:1

101 MHz, $CDCl_3$


TIPS
O
N
N
N
12
dr = 3:1
500 MHz, CDCl3
f1 (ppm)


TIPS
O
N
N
N
12
dr = 3:1
126 MHz, CDCl3
f1 (ppm)