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# Supporting Information

# A General and Practical Palladium-Catalyzed Monoarylation of β-Methyl C($sp^3$)–H of Alanine

Kai Chen, Shuo-Qing Zhang, Jing-Wen Xu, Fang Hu, Bing-Feng Shi*

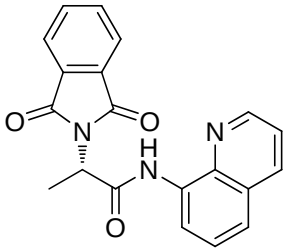
Department of Chemistry, Zhejiang University, Hangzhou 310027, China

*To whom correspondence should be addressed. Email: bfshi@zju.edu.cn.

**General Information**: Unless otherwise noted all commercial materials were used without further purification. Solvents obtained from Alading were used directly without further purification, and solvents obtained from other corporations were used after purification directed by *Purification of Laboratory Chemicals, 6th Ed*. Nuclear magnetic resonance (NMR) spectra were recorded with Bruker AVANCE 400MHz. $^{1}H$ and $^{13}C$ chemical shifts are reported in ppm downfield of tetramethylsilane and referenced to residual solvent peak ($CHCl_3$ = 7.26 ($^{1}H$ NMR), DMSO = 2.50 ($^{1}H$ NMR), $CDCl_3$ = 77.16 ($^{13}C$ NMR)) unless otherwise noted. Multiplicities are reported using the following abbreviations: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad resonance. High resolution mass spectra for new compounds were recorded at Mass Spectrometry Facilities, Zhejiang University. X-ray diffractions were recorded at X-Ray Facilities, Zhejiang University.

## Experimental Procedures:

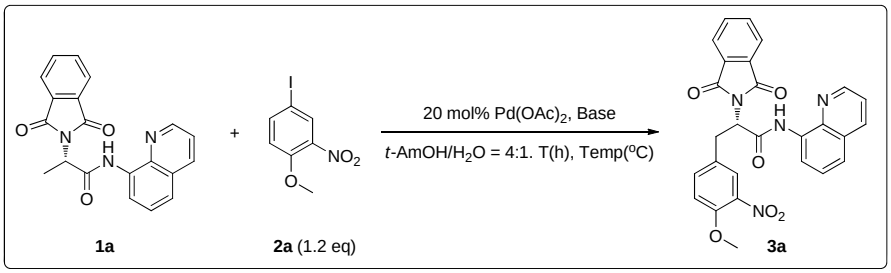
**(*S*)-*N*-(2-Phthalimidopropionyl)-8-aminoquinoline (1a)** [1]



$^1$H NMR (400 MHz, $CDCl_3$) δ 10.32 (s, 1H), 8.77 – 8.70 (m, 1H), 8.69 (dd, *J* = 4.2, 1.3 Hz, 1H), 8.14 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.90 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.75 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.55 – 7.48 (m, 2H), 7.42 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.27 (q, *J* = 7.4 Hz, 1H), 1.98 (d, *J* = 7.3 Hz, 3H); HPLC Chiralpack® AD-H column (*n*-hexane/isopropanol = 55:45, 0.70 mL/min) $t_r$ 17.410 min (major): >99% ee.

**Optimization of Reaction Conditions for Mono-arylation of 1a**

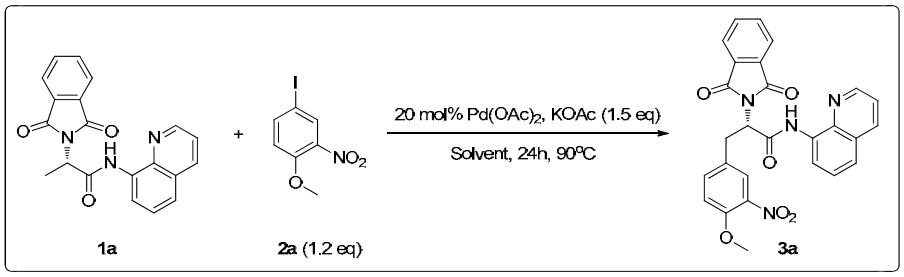
**(1) Optimization of Base for Mono-arylation (*t*-AmOH/$H_2O$ used as solvent)**




| Entry | Base | Temp (°C) | Time (h) | Yield |
|---|---|---|---|---|
| 1 | NaOAc | 90 | 13 | 58% |
| 2 | KOAc | 90 | 13 | 61% |
| 3 | LiOAc | 90 | 13 | 43% |
| 4 | CsOAc | 90 | 13 | 55% |
| 5 | $Cs_2CO_3$ | 90 | 13 | Trace |
| 6 | $Na_2CO_3$ | 90 | 13 | <10% |
| 7 | $K_2CO_3$ | 90 | 13 | <10% |
| 8 | $K_3PO_4$ | 90 | 13 | <10% |
| 9 | NaOAc | 80 | 24 | 59% |
| 10 | KOAc | 80 | 24 | 71% (28%) |
| 11 | LiOAc | 80 | 24 | 52% |
| 12 | CsOAc | 80 | 24 | 71% (31%) |

[a] Reaction conditions: **1a** (69.1 mg, 0.2 mmol, 1.0 eq), **2a** (67.0 mg, 0.24 mmol, 1.2 eq), $Pd(OAc)_2$ (9.0 mg, 0.04 mmol, 20 mol%), base (0.3 mmol, 1.5 eq), *t*-AmOH/$H_2O$ = 4:1 (1.2 mL + 0.3 mL), air atmosphere. [b] Yields of 2-mmol-scale reaction indicated in parentheses.

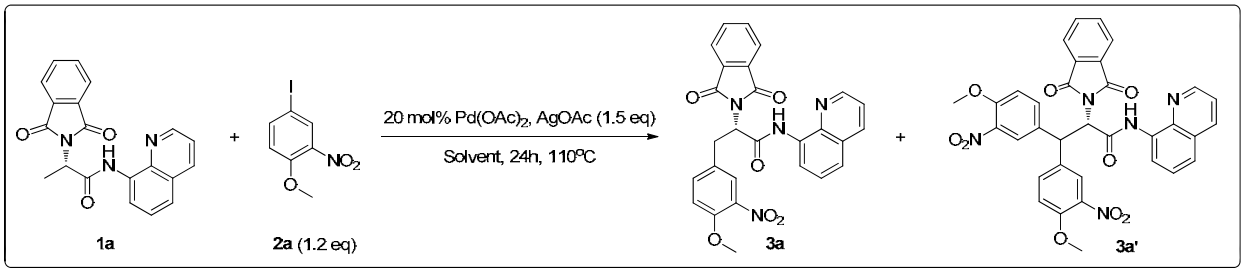
(**2**) **Optimization of Solvent for Mono-arylation** (**KOAc used as base**)




| Entry | Base | Solvent | Yield |
|---|---|---|---|
| 1 | KOAc | *t*-AmOH/$H_2O$ = 4/1 | 69% |
| 2 | KOAc | MeCN | 27% |
| 3 | KOAc | *t*-AmOH | 40% |
| 4 | KOAc | *t*-BuOH/$H_2O$ = 4/1 | 46% |
| 5 | KOAc | PhMe | 0 |
| 6 | KOAc | 1,4-dioxane | 0 |

[a] Reaction conditions: **1a** (69.1 mg, 0.2 mmol), **2a** (67.0 mg, 0.24 mmol, 1.2 eq), $Pd(OAc)_2$ (9.0 mg, 0.04 mmol, 20 mol%), KOAc (29.4 mg, 0.3 mmol, 1.5eq), solvent (1.5 mL), air atmosphere, reaction at 90°C for 24h.

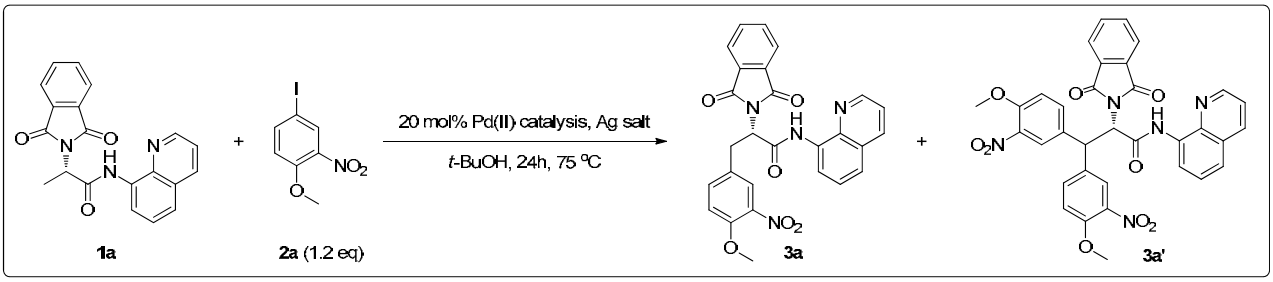
(**3**) **Optimization of Solvent for Mono-arylation** (**AgOAc used as silver additive**)




| Entry | Solvent | Yield **3a** + **3a'** |
|---|---|---|
| 1 | *t*-AmOH/$H_2O$ = 4/1 | 27% + 4% |
| 2 | *t*-BuOH/$H_2O$ = 4/1 | 24% + 6% |
| 3 | AcOH | 19% + 38% |
| 4 | *t*-AmOH | 27% + 12% |
| 5 | *t*-BuOH | 26% + 14% |
| 6 | MeCN | 18% + 11% |
| 7 | PhMe | 15% + 5% |
| 8 | DMF | 0 |

[a] Reaction conditions: **1a** (69.1 mg, 0.2 mmol), **2a** (67.0 mg, 0.24 mmol, 1.2 eq), $Pd(OAc)_2$ (9.0 mg, 0.04 mmol, 20 mol%), AgOAc (50.1 mg, 0.3 mmol, 1.5eq), solvent (1.5 mL), air atmosphere, reaction at 110°C for 24h.

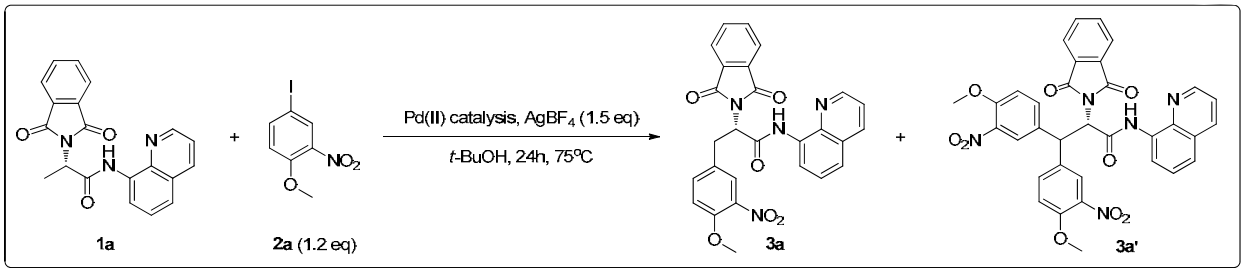
(**4**) **Optimization of Silver Additive and Palladium(II) Catalysis for Mono-arylation**




| Entry | Ag salts (eq) | Yield **3a** + **3a'** |
|---|---|---|
| 1 | AgOAc (1.5eq) | 25% + 12% |
| 2 | $Ag_2CO_3$ (0.75eq) | 26% + 24% |
| 3 | AgOTf (1.5eq) | 33% + 10% |
| 4 | $AgNO_3$ (1.5eq) | 30% + 10% |
| 5 | AgF (1.5eq) | 25% + 14% |
| 6 | $Ag_2O$ (0.75 eq) | 38% + 14% |
| 7 | $AgBF_4$ (1.5eq) | 81% + 3% |

[a] Reaction conditions: **1a** (69.1 mg, 0.2 mmol), **2a** (67.0 mg, 0.24 mmol, 1.2 eq), $Pd(OAc)_2$ (9.0 mg, 0.04 mmol, 20 mol%), Ag(I) salt (0.3 mmol, 1.5 eq), *t*-BuOH (1.5 mL), air atmosphere, reaction for 24h.

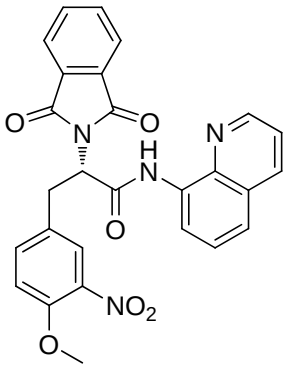
(5) **Optimization of the Loading of Palladium(II) Catalysis for Mono-arylation**




| Entry | Pd(II) Catalysis (mol%) | Yield **3a** + **3a'** |
|---|---|---|
| 1 | $Pd(OAc)_2$ (20 mol%) | 81% + 3% |
| 2 | $Pd(OAc)_2$ (10 mol%) | 79% (76%) + 3% |
| 3 | $Pd(OAc)_2$ (5 mol%) | 73% + 2% |
| 4 | $Pd(OAc)_2$ (2 mol%) | 60% + 1% |
| 5 | $Pd(TFA)_2$ (10 mol%) | 81% + 3% |
| 6 | $Pd(TFA)_2$ (5 mol%) | 75% + 3% |
| 7 | $Pd(MeCN)_4(BF_4)_2$ (10 mol%) | 68% + 3% |

[a] Reaction conditions: **1a** (69.1 mg, 0.2 mmol), **2a** (67.0 mg, 0.24 mmol, 1.2 eq), Pd(II) catalysis (0.004-0.04 mmol, 2-20 mol%), $AgBF_4$ (58.4 mg, 0.3 mmol, 1.5 eq), *t*-BuOH (1.5 mL), air atmosphere, reaction at 75°C for 24h. [b] Yields of 3-mmol-scale reaction indicated in parentheses.

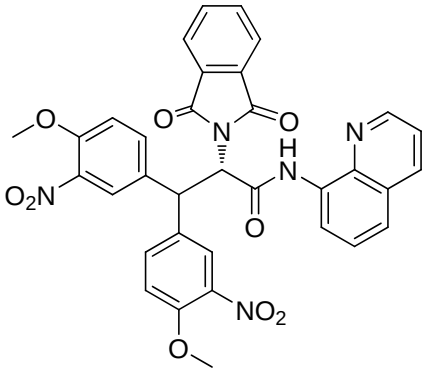
(***S***)-***N***-(**3-(4-Methoxy-3-nitro-phenyl)-2-phthalimidopropionyl)-8-aminoquinoline** (**3a**)



Under the optimized condition, **3a** was purified by column chromatography in petroleum ether: dichloromethane: acetone = 7:4:1 and obtained as a white solid (78.5 mg, 79%) with **1a** (6.0 mg, 9%) recovered and **3a'** (3.3 mg, 3%) isolated. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 8.70 (dd, *J* = 5.1, 3.9 Hz, 1H), 8.59 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.12 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.85 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.79 – 7.71 (m, 3H), 7.54 – 7.48 (m, 3H), 7.39 (dd, *J* = 8.3, 4.3 Hz, 1H), 6.97 (d, *J* = 8.6 Hz, 1H), 5.38 (dd, *J* = 10.3, 6.2 Hz, 1H), 3.88 (s, 3H), 3.82 (dd, *J* = 14.5, 6.3 Hz, 1H), 3.76 (dd, *J* = 14.4, 10.5 Hz, 1H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.97, 165.88, 152.08, 148.50, 139.45, 138.51, 138.51, 136.42, 136.42, 134.95, 134.95, 134.61, 134.61, 133.72, 133.72, 131.54, 129.33, 127.95, 127.38, 126.34, 123.90, 122.31, 121.82, 116.90, 114.02, 56.60, 55.92, 33.59; HRMS (EI) *m/z*: 496.1383 ($M^+$); calc. for $C_{27}H_{20}N_4O_6$: 496.1383; HPLC Chiralpack® AD column (*n*-hexane/isopropanol = 55:45, 1.25mL/min) $t_r$ 23.832 min (major), 30.005 min (minor): 99% ee.

3-mmol scale reactions: 1158.5 mg, 78%; 1148.2 mg, 77%; 1105.0 mg, 74%, respectively.

(***S***)-***N***-(**2,2-Bis(4-methoxy-3-nitro-phenyl)-2-phthalimidopropionyl)-8-aminoquinoline** (**3a'**)



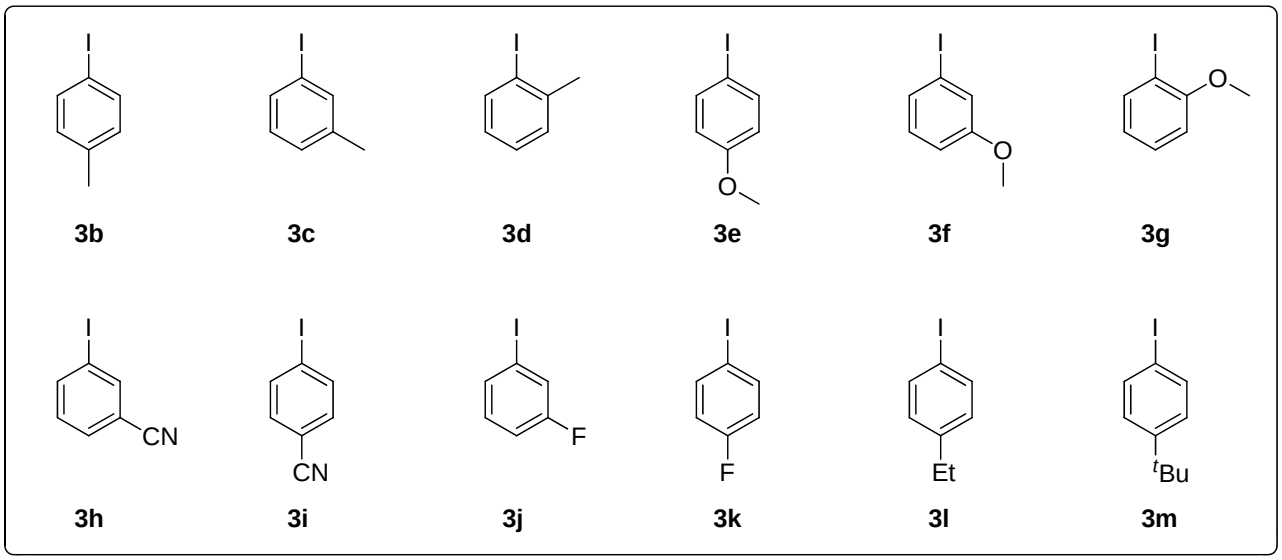
The compound **3a'** was obtained as a yellow solid. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.13 (s, 1H), 8.70 (dd, *J* = 4.1, 1.1 Hz, 1H), 8.56 (dd, *J* = 7.4, 1.3 Hz, 1H), 8.11 (d, *J* = 7.9 Hz, 1H), 8.06 (d, *J* = 2.2 Hz, 1H), 7.84 (d, *J* = 2.2 Hz, 1H), 7.79 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.75 (dd, *J* = 8.7, 2.2 Hz, 1H), 7.68 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.58 (dd, *J* = 8.7, 2.2 Hz, 1H), 7.51 – 7.36 (m, 3H), 6.98 (d, *J* = 8.8 Hz, 2H), 5.84 (d, *J* = 12.3 Hz, 1H), 5.73 (d, *J* = 12.3 Hz, 1H), 3.84 (s, 3H), 3.80 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.68, 164.57, 152.46, 152.10, 148.37, 139.79, 139.42, 134.51, 133.93, 133.39, 133.13, 132.30, 132.13, 131.14, 127.80, 127.15, 125.32, 125.27, 123.84, 122.45, 121.75, 114.43, 114.28, 58.29, 56.52, 47.69; HRMS (EI) *m/z*: 647.1660 ($M^+$); calc. for $C_{34}H_{35}N_5O_9$: 647.1652.

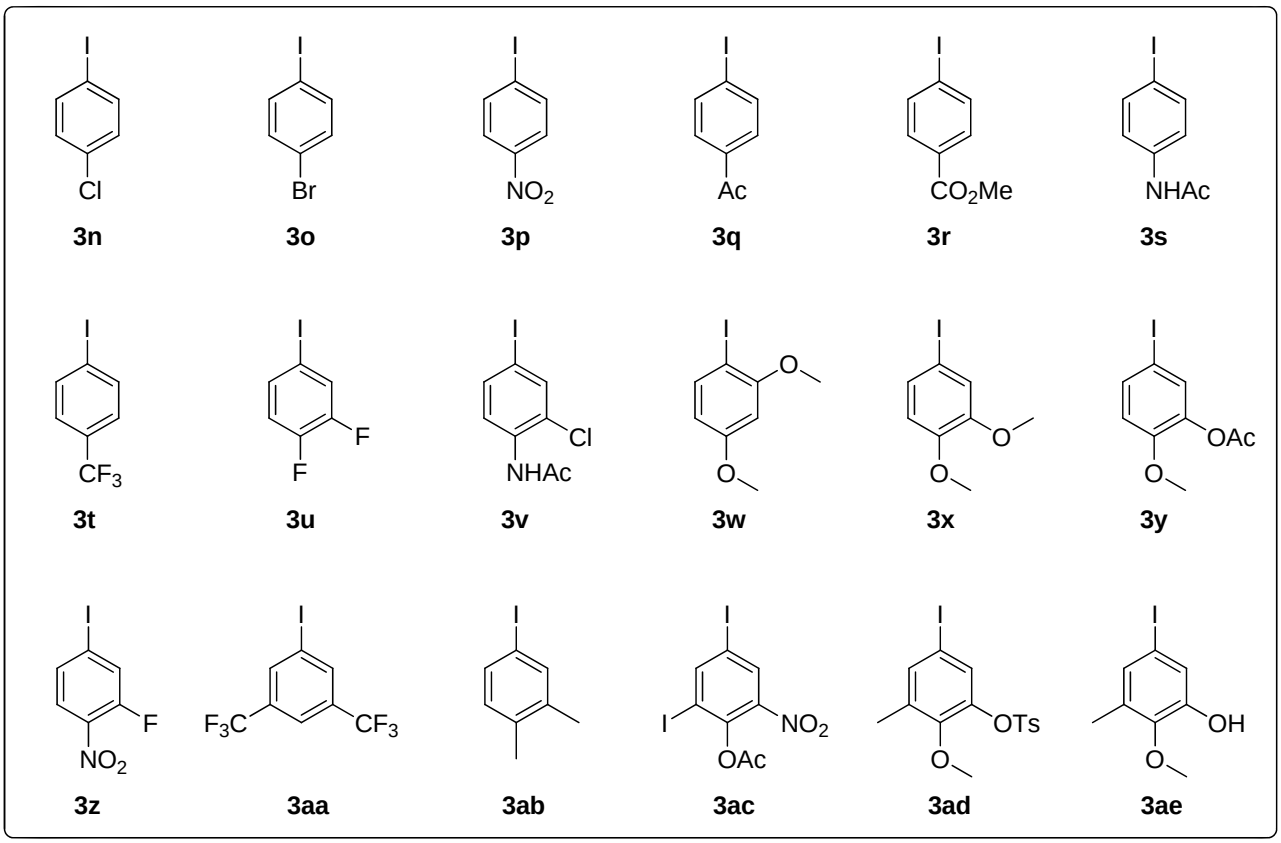
**General Procedure (GP1) for Mono-arylation of Alanine Derivative**

Small-scale (0.2 mmol) reactions: To a 30-mL vial was added **1a** (69.1 mg, 0.2 mmol), $Pd(OAc)_2$ (4.5 mg, 0.02 mmol), aryl iodide (0.24 mmol, for several specific reactions, 0.30 mmol of aryl iodide was used), $AgBF_4$ (58.4 mg, 0.3 mmol), and *t*-BuOH (1.5 mL). The mixture was stirred at 75°C for 2 hours (for several specific substrates, the reactions were stirred for 24 hours). After cooling to room temperature, the reaction was diluted with dichloromethane (5 mL) and triethylamine (0.5 mL) was added to the mixture. After the mixture was maintained for 6 hours, it was then filtered through a pad of Celite and washed by dichloromethane (30 mL). The filtrate was washed by water (15 mL), and the aqueous phase was extracted with dichloromethane (2 × 10 mL). The combined organic phase was then washed by brine (15 mL), and dried over anhydrous $MgSO_4$. Evaporation of organic solvent and purification by column chromatography gave the corresponding product.

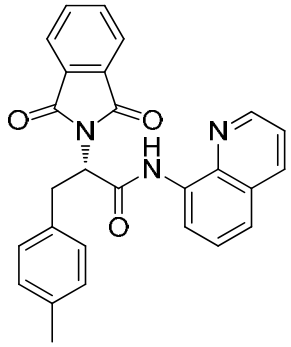
Large-scale (2.0 mmol) reactions: To a 100-mL vial was added **1a** (690.7 mg, 2.0 mmol), $Pd(OAc)_2$ (44.5 mg, 0.2 mmol), aryl iodide (2.4 mmol, for several specific reactions, 3.0 mmol of aryl iodide was used), $AgBF_4$ (506.2 mg, 2.6 mmol), and *t*-BuOH/DCE (10 mL + 5 mL). The mixture was stirred at 75°C for 4 hours (for several specific substrates, the reactions were stirred for 24 hours). After cooling to room temperature, the reaction was diluted with dichloromethane (50 mL) and triethylamine (2 mL) was added to the mixture. After the mixture was maintained for 6 hours, it was then filtered through a pad of Celite and washed by dichloromethane (60 mL). The filtrate was washed by water (50 mL), and the aqueous phase was extracted with dichloromethane (2 × 30 mL). The combined organic phase was then washed by brine (50 mL), and dried over anhydrous $MgSO_4$. Evaporation of organic solvent and purification by column chromatography gave the corresponding product.

Scope of aryl iodides:



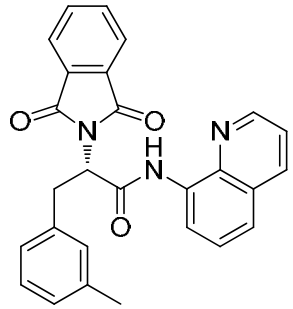



**(*S*)-*N*-(3-(4-Methyl-phenyl)-2-phthalimidopropionyl)-8-aminoquinoline (3b)**



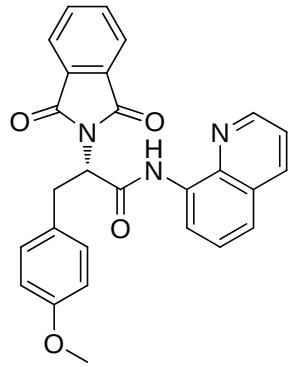

The compound **3b** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5.4:3.8:0.8. **3b** was obtained as a white solid (81.9 mg, 94% of 0.2 mmol; 776.0 mg, 89% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.33 (s, 1H), 8.74 (dd, *J* = 6.3, 2.4 Hz, 1H), 8.61 (dd, *J* = 4.0, 0.9 Hz, 1H), 8.11 (dd, *J* = 8.2, 1.0 Hz, 1H), 7.82 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.70 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.55 – 7.45 (m, 2H), 7.38 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.18 (d, *J* = 7.8 Hz, 2H), 7.03 (d, *J* = 7.7 Hz, 2H), 5.44 (dd, *J* = 8.3 Hz, 1H), 3.85 – 3.69 (m, 2H), 2.24 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.08, 166.65, 148.40, 138.61, 136.60, 136.38, 134.28, 134.01, 133.66, 131.80, 129.53, 128.98, 127.97, 127.44, 123.70, 122.10, 121.75, 116.90, 56.47, 34.46, 21.19; HRMS (EI) *m/z*: 435.1588 ($M^+$); calc. for $C_{27}H_{21}N_3O_3$: 435.1583; HPLC Chiralpack® AD-H column (*n*-hexane/isopropanol = 55:45, 0.70mL/min) $t_r$ 23.556 min (major), 34.406 min (minor): 99% ee.

(***S***)-***N***-(**3**-(**3**-**Methyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3c**)



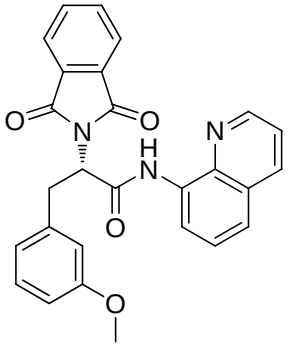
The compound **3c** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5.4:3.8:0.8. **3c** was obtained as a white solid (83.8 mg, 96% of 0.2 mmol; 791.3 mg, 91% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.32 (s, 1H), 8.74 (dd, *J* = 6.4, 2.3 Hz, 1H), 8.61 (dd, *J* = 4.0, 0.9 Hz, 1H), 8.11 (dd, *J* = 8.2 Hz, 0.9 Hz, 1H), 7.82 (dd, *J* = 5.3, 3.0 Hz, 2H), 7.70 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.55 – 7.45 (m, 2H), 7.38 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.15 – 7.06 (m, 3H), 6.97 (d, *J* = 6.5 Hz, 1H), 5.44 (dd, *J* = 9.9, 6.6 Hz, 1H), 3.85 – 3.69 (m, 2H), 2.21 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.03, 166.58, 148.37, 138.54, 138.39, 136.70, 136.32, 134.25, 133.95, 131.75, 129.91, 128.67, 127.91, 127.80, 127.37, 126.08, 123.62, 122.08, 121.71, 116.82, 56.38, 34.79, 21.38; HRMS (EI) *m/z*: 435.1585 ($M^+$); calc. for $C_{27}H_{21}N_3O_3$: 435.1583.

(***S***)-***N***-(**3**-(**4**-**Methoxyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3e**)



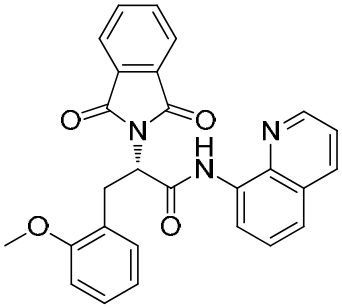
The compound **3e** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4.2:0.8. **3e** was obtained as a white solid (79.6 mg, 88% of 0.2 mmol; 807.4 mg, 89% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.32 (s, 1H), 8.73 (dd, *J* = 6.0, 2.8 Hz, 1H), 8.62 (dd, *J* = 4.0, 1.2 Hz, 1H), 8.13 (dd, *J* = 8.2, 1.2 Hz, 1H), 7.83 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.71 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.55 – 7.48 (m, 2H), 7.40 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.20 (d, *J* = 8.5 Hz, 2H), 6.76 (d, *J* = 8.5 Hz, 2H), 5.40 (dd, *J* = 9.6, 7.2 Hz, 1H), 3.80 – 3.67 (m, 5H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.07, 166.63, 158.60, 148.39, 138.58, 136.36, 134.29, 133.98, 131.76, 130.16, 128.72, 127.95, 127.41, 123.68, 122.10, 121.74, 116.87, 114.22, 56.52, 55.27, 34.05; HRMS (EI) *m/z*: 451.1528 ($M^+$); calc. for $C_{27}H_{21}N_3O_4$: 451.1532.

(***S***)-***N***-(**3**-(**3**-**Methoxyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3f**)



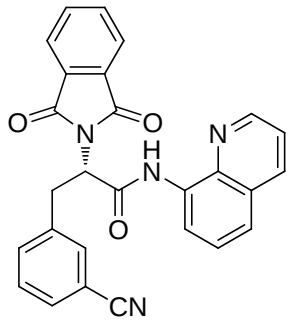
The compound **3f** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4.2:0.8. **3f** was obtained as a white solid (81.1 mg, 90% of 0.2 mmol; 782.8 mg, 87% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.32 (s, 1H), 8.74 (d, *J* = 6.4 Hz, 1H), 8.60 (d, *J* = 2.7 Hz, 1H), 8.10 (d, *J* = 8.2 Hz, 1H), 7.82 (dd, *J* = 4.5, 2.2 Hz, 2H), 7.70 (dd, *J* = 4.4, 2.4 Hz, 2H), 7.53 – 7.46 (m, 2H), 7.37 (dd, *J* = 7.9, 4.0 Hz, 1H), 7.14 (t, *J* = 7.9 Hz, 1H), 6.89 (d, *J* = 7.5 Hz, 1H), 6.83 (s, 1H), 6.71 (d, *J* = 8.2 Hz, 1H), 5.47 (dd, *J* = 9.6, 6.9 Hz, 1H), 3.85 – 3.73 (m, 2H), 3.67 (s, 3H); $^{13}$C NMR (101 MHz, CDCl3) δ 167.96, 166.45, 159.79, 148.35, 138.47, 138.30, 136.29, 134.27, 133.87, 131.67, 129.79, 127.85, 127.30, 123.60, 122.08, 121.70, 121.36, 116.76, 114.23, 113.00, 56.18, 55.15, 34.85; HRMS (EI) *m/z*: 451.1540 ($M^+$); calc. for $C_{27}H_{21}N_3O_4$: 451.1532.

(***S***)-***N***-(**3**-(**2**-**Methoxyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3g**)



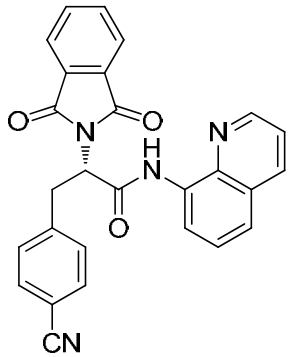
The compound **3g** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4.2:0.8. **3g** was obtained as a white solid (68.9 mg, 76%) with **1a** (11.5 mg, 16%) recovered. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.38 (s, 1H), 8.77 (dd, *J* = 6.7, 1.3 Hz, 1H), 8.66 (d, *J* = 3.9 Hz, 1H), 8.11 (d, *J* = 8.2 Hz, 1H), 7.80 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.68 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.55 – 7.45 (m, 2H), 7.39 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.17 (t, *J* = 7.8 Hz, 1H), 7.11 (d, *J* = 7.2 Hz, 1H), 6.83 (d, *J* = 8.2 Hz, 1H), 6.74 (t, *J* = 7.4 Hz, 1H), 5.61 (dd, *J* = 10.4, 4.7 Hz, 1H), 3.92 – 3.81 (m, 4H), 3.75 (dd, *J* = 13.5, 10.7 Hz, 1H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.06, 167.20, 157.75, 148.31, 138.54, 136.32, 134.09, 131.83, 131.03, 128.58, 127.91, 127.39, 125.32, 123.47, 121.90, 121.68, 120.57, 116.73, 110.31, 55.37, 54.62, 30.75; HRMS (EI) *m/z*: 451.1539 ($M^+$); calc. for $C_{27}H_{21}N_3O_4$: 451.1532.

(***S***)-***N***-(**3**-(**3**-**Cyano**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3h**)



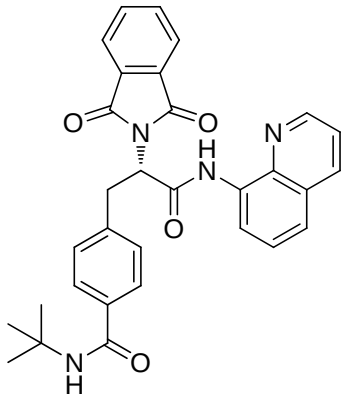
The compound **3h** was prepared according to the **GP1** and the reaction was stirred for 24 hours. **3h** was purified by column chromatography in petroleum ether: dichloromethane: acetone = 8:4:1 and obtained as a white solid (57.3 mg, 64% of 0.2 mmol; 776.4 mg, 58% of 3.0 mmol) with **1a** (15.2 mg, 22% of 0.2 mmol) recovered. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 8.71 (dd, *J* = 5.1, 3.8 Hz, 1H), 8.58 (dd, *J* = 4.4, 1.2 Hz, 1H), 8.13 (dd, *J* = 8.2 Hz, 1H), 7.85 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.61 – 7.54 (m, 2H), 7.54 – 7.49 (m, 2H), 7.47 (d, *J* = 7.7 Hz, 1H), 7.41 – 7.33 (m, 2H), 5.41 (dd, *J* = 10.3, 6.1 Hz, 1H), 3.87 (dd, *J* = 14.3, 6.1 Hz, 1H), 3.80 (dd, *J* = 14.2, 10.6 Hz, 1H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.88, 165.77, 148.48, 138.63, 138.49, 136.44, 134.64, 133.68, 132.76, 131.48, 131.01, 129.67, 127.95, 127.39, 123.90, 122.33, 121.82, 118.66, 116.90, 112.87, 55.75, 34.44; HRMS (EI) *m/z*: 446.1365 ($M^+$); calc. for $C_{27}H_{18}N_4O_3$: 446.1379.

(***S***)-***N***-(**3**-(**4-Cyano-phenyl**)-**2-phthalimidopropionyl**)-**8-aminoquinoline** (**3i**)



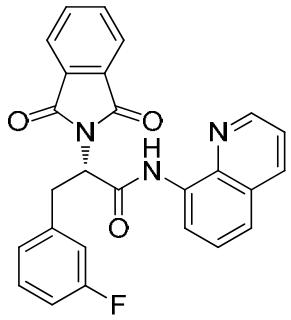
The compound **3i** was prepared according to the **GP1** and the reaction was stirred for 24 hours. **3i** was purified by column chromatography in petroleum ether: dichloromethane: acetone = 8:4:1 and obtained as a white solid (61.8 mg, 69% of 0.2 mmol; 832.4 mg, 62% of 3.0 mmol) with **1a** (13.2 mg, 19% of 0.2 mmol) recovered and **3i'** (9.5 mg, 9% of 0.2 mmol) isolated. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 8.71 (dd, *J* = 5.4, 3.5 Hz, 1H), 8.57 (dd, *J* = 4.2, 1.4 Hz, 1H), 8.13 (dd, *J* = 8.3, 1.4 Hz, 1H), 7.85 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.57 – 7.50 (m, 4H), 7.43 – 7.36 (m, 3H), 5.44 (dd, *J* = 10.2, 6.4 Hz, 1H), 3.94 – 3.78 (m, 2H); $^{13}$C NMR (101 MHz,$CDCl_3$) δ 167.85, 165.77, 148.46, 142.68, 138.50, 136.46, 134.64, 133.67, 132.62, 131.47, 130.01, 127.96, 127.40, 123.88, 122.35, 121.83, 118.82, 116.90, 111.12, 55.57, 34.91; HRMS (EI) *m/z*: 446.1384 ($M^+$); calc. for $C_{27}H_{18}N_4O_3$: 446.1379.

(***S***)-***N***-(**3**-(**4-*tert*-Butylcarbamoyl-phenyl**)-**2-phthalimidopropionyl**)-**8-aminoquinoline** (**3i'**)



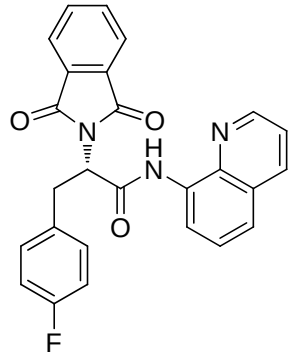
The compound **3i'** was obtained as a white solid. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.32 (s, 1H), 8.72 (dd, *J* = 5.7, 3.3 Hz, 1H), 8.59 (dd, *J* = 4.2, 1.4 Hz, 1H), 8.12 (dd, *J* = 8.3, 1.4 Hz, 1H), 7.82 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.72 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.59 (d, *J* = 8.1 Hz, 2H), 7.53 – 7.48 (m, 2H), 7.39 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.33 (d, *J* = 8.1 Hz, 2H), 5.88 (s, 1H), 5.45 (dd, *J* = 9.9, 6.8 Hz, 1H), 3.89 – 3.79 (m, 2H), 1.43 (s, 9H); HRMS (EI) *m/z*: 520.2110 ($M^+$); calc. for $C_{31}H_{28}N_4O_4$: 520.2111.

(***S***)-***N***-(**3**-(**3**-**Fluoro**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3j**)



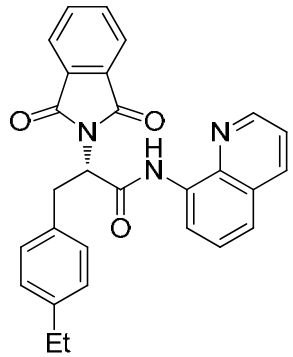
The compound **3j** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5.8:3.5:0.7. **3j** was obtained as a white solid (75.3 mg, 86% of 0.2 mmol; 698.6 mg, 79% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.30 (s, 1H), 8.72 (dd, *J* = 6.0, 2.1 Hz, 1H), 8.57 (d, *J* = 3.9 Hz, 1H), 8.09 (d, *J* = 8.2 Hz, 1H), 7.82 (dd, *J* = 4.5, 3.4 Hz, 2H), 7.69 (dd, *J* = 4.5, 3.4 Hz, 2H), 7.54 – 7.44 (m, 2H), 7.36 (dd, *J* = 8.1, 4.2 Hz, 1H), 7.19 (dd, *J* = 14.2, 7.5 Hz, 1H), 7.08 (d, *J* = 7.6 Hz, 1H), 7.02 (d, *J* = 9.6 Hz, 1H), 6.86 (t, *J* = 8.4 Hz, 1H), 5.44 (dd, *J* = 9.7, 6.8 Hz, 1H), 3.88 – 3.74 (m, 2H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.90, 166.12, 164.12, 161.67, 148.36, 139.41, 139.34, 138.42, 136.30, 134.37, 133.75, 131.54, 130.32, 130.24, 127.84, 127.28, 124.74, 124.72, 123.67, 122.15, 121.72, 116.77, 116.21, 116.00, 114.14, 113.93, 55.95, 34.51; HRMS (EI) *m/z*: 439.1335 ($M^+$); calc. for $C_{26}H_{18}FN_3O_3$: 439.1332.

(***S***)-***N***-(**3**-(**4**-**Fluoro**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3k**)



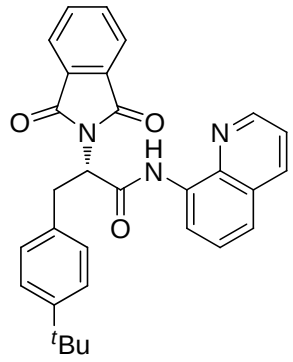
The compound **3k** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5.8:3.5:0.7. **3k** was obtained as a white solid (79.5 mg, 90% of 0.2 mmol; 804.7 mg, 91% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.30 (s, 1H), 8.72 (dd, *J* = 6.2, 2.0 Hz, 1H), 8.58 (d, *J* = 3.3 Hz, 1H), 8.09 (d, *J* = 8.2 Hz, 1H), 7.81 (dd, *J* = 4.8, 3.1 Hz, 2H), 7.69 (dd, *J* = 4.9, 3.1 Hz, 2H), 7.54 – 7.44 (m, 2H), 7.36 (dd, *J* = 8.1, 4.2 Hz, 1H), 7.26 – 7.19 (m, 2H), 6.90 (t, *J* = 8.5 Hz, 2H), 5.42 (dd, *J* = 9.5, 7.1 Hz, 1H), 3.84 – 3.69 (m, 2H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.93, 166.25, 163.08, 160.64, 148.35, 138.41, 136.30, 134.35, 133.83, 133.76, 132.47, 132.44, 131.51, 130.65, 130.57, 127.84, 127.28, 123.64, 122.13, 121.71, 116.76, 115.74, 115.53, 56.19, 33.98; HRMS (EI) *m/z*: 439.1337 ($M^+$); calc. for $C_{26}H_{18}FN_3O_3$: 439.1332.

(***S***)-***N***-(**3**-(**4**-**Ethyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3l**)



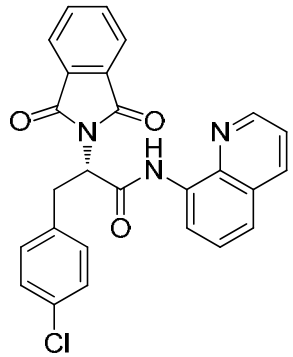
The compound **3l** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4.2:0.8. **3l** was obtained as a white solid (84.8 mg, 94% of 0.2 mmol; 801.5 mg, 89% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.33 (s, 1H), 8.74 (dd, *J* = 6.3, 2.1 Hz, 1H), 8.61 (dd, *J* = 4.2, 0.9 Hz, 1H), 8.11 (dd, *J* = 8.3, 0.9 Hz, 1H), 7.82 (dd, *J* = 5.2, 3.0 Hz, 2H), 7.69 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.55 – 7.45 (m, 2H), 7.38 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.21 (d, *J* = 7.8 Hz, 2H), 7.06 (d, *J* = 7.7 Hz, 2H), 5.45 (dd, *J* = 9.1, 7.4 Hz, 1H), 3.87 – 3.69 (m, 2H), 2.55 (q, *J* = 7.5 Hz, 2H), 1.14 (t, *J* = 7.6 Hz, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.07, 166.66, 148.38, 142.96, 138.59, 136.35, 134.25, 133.99, 133.90, 131.79, 129.04, 128.28, 127.94, 127.40, 123.64, 122.08, 121.72, 116.87, 56.44, 34.48, 28.52, 15.57; HRMS (EI) *m/z*: 449.1742 ($M^+$); calc. for $C_{28}H_{23}N_3O_3$: 449.1739.

(***S***)-***N***-(**3**-(**4**-***tert***-**Butyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3m**)



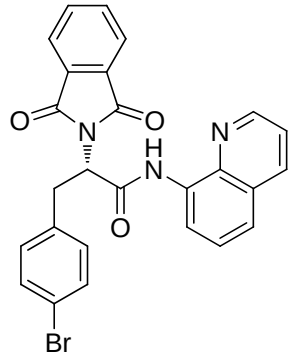
The compound **3m** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 6:3:1. **3m** was obtained as a white solid (86.9 mg, 91% of 0.2 mmol; 890.1 mg, 93% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.33 (s, 1H), 8.74 (dd, *J* = 6.6, 2.4 Hz, 1H), 8.62 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.11 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.82 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.70 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.55 – 7.46 (m, 2H), 7.38 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.24 (s, 4H), 5.46 (dd, *J* = 9.7, 6.8 Hz, 1H), 3.86 – 3.71 (m, 2H), 1.23 (s, 9H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ = 168.05, 166.67, 149.84, 148.36, 138.56, 136.31, 134.20, 133.99, 133.68, 131.81, 128.76, 127.92, 127.36, 125.64, 123.56, 122.05, 121.69, 116.83, 56.37, 34.47, 34.38, 31.38; HRMS (ESI) *m/z*: 478.2110 ($MH^+$); calc. for $C_{30}H_{27}N_3O_3H$: 478.2131.

(***S***)-***N***-(**3**-(**4**-**Chloro**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3n**)



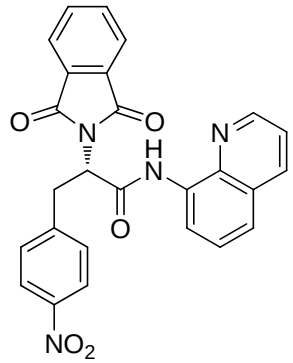
The compound **3n** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 6:3:1. **3n** was obtained as a white solid (84.1 mg, 92% of 0.2 mmol; 788.1 mg, 86% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 8.72 (dd, *J* = 5.8, 3.2 Hz, 1H), 8.60 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.12 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.84 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.73 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.56 – 7.48 (m, 2H), 7.39 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.22 (q, *J* = 8.6 Hz, 4H), 5.41 (dd, *J* = 9.5, 7.2 Hz, 1H), 3.83 – 3.71 (m, 2H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ = 167.98, 166.21, 148.43, 138.56, 136.39, 135.40, 134.44, 133.87, 132.96, 131.66, 130.52, 129.02, 127.96, 127.41, 123.78, 122.20, 121.78, 116.89, 56.09, 34.25; HRMS (ESI) *m/z*: 456.1118 ($MH^+$); calc. for $C_{26}H_{18}ClN_3O_3H$: 456.1115.

(***S***)-***N***-(**3**-(**4**-**Bromo**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3o**)



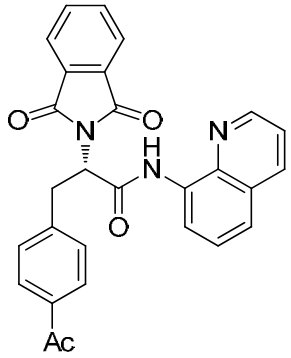
The compound **3o** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 6:3:1. **3o** was obtained as a white solid (89.5 mg, 89% of 0.2 mmol; 882.6 mg, 88% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 8.72 (dd, *J* = 5.7, 3.2 Hz, 1H), 8.60 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.12 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.84 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.73 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.55 – 7.48 (m, 2H), 7.39 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.35 (d, *J* = 8.4 Hz, 2H), 7.17 (d, *J* = 8.4 Hz, 2H), 5.41 (dd, *J* = 9.3, 7.5 Hz, 1H), 3.82 – 3.71 (m, 2H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ = 167.97, 166.18, 148.44, 138.55, 136.39, 135.92, 134.44, 133.85, 131.96, 131.65, 130.89, 127.95, 127.40, 123.79, 122.20, 121.78, 121.07, 116.88, 56.01, 34.31; HRMS (ESI) *m/z*: 500.0584 ($MH^+$); calc. for $C_{26}H_{18}BrN_3O_3H$: 500.0610.

(***S***)-***N***-(**3**-(**4**-**Nitro**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3p**)



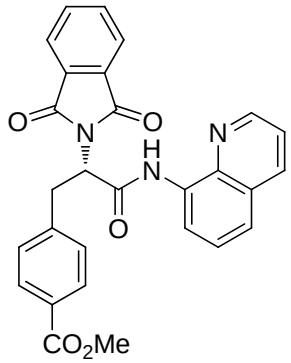
The compound **3p** was prepared according to the **GP1** and the reaction was stirred for 24 hours. **3p** was purified by column chromatography in petroleum ether: dichloromethane: acetone = 8:4:1 and obtained as a white solid (73.0 mg, 78% of 0.2 mmol; 743.2 mg, 79% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.29 (s, 1H), 8.71 (dd, *J* = 5.2, 3.7 Hz, 1H), 8.56 (dd, *J* = 4.0, 1.1 Hz, 1H), 8.14 (dd, *J* = 8.3, 1.0 Hz, 1H), 8.10 (d, *J* = 8.5 Hz, 2H), 7.85 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.3, 3.0 Hz, 2H), 7.57 – 7.50 (m, 2H), 7.47 (d, *J* = 8.4 Hz, 2H), 7.39 (dd, *J* = 8.2, 4.2 Hz, 1H), 5.47 (dd, *J* = 9.3, 7.3 Hz, 1H), 3.96 – 3.86 (m, 2H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.86, 165.70, 148.47, 147.19, 144.83, 138.51, 136.48, 134.69, 133.66, 131.46, 130.12, 127.98, 127.42, 124.07, 123.94, 122.39, 121.85, 116.93, 55.56, 34.65; HRMS (EI) *m/z*: 466.1276 ($M^+$); calc. for $C_{26}H_{18}N_4O_5$: 466.1277.

(***S***)-***N***-(**3**-(**4**-**Acetyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3q**)



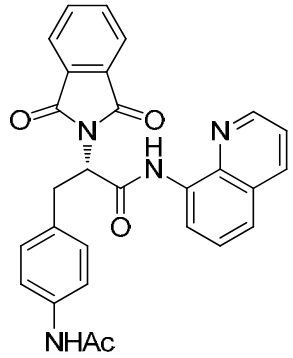

The compound **3q** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: acetone = 6:4:1. **3q** was obtained as a white solid (76.6 mg, 83% of 0.2 mmol; 731.0 mg, 79% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.31 (s, 1H), 8.71 (dd, *J* = 5.8, 2.9 Hz, 1H), 8.55 (dd, *J* = 4.0, 0.8 Hz, 1H), 8.09 (d, *J* = 8.2 Hz, 1H), 7.85 – 7.76 (m, *J* = 7.4 Hz, 4H), 7.70 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.53 – 7.46 (m, *J* = 8.7, 5.6 Hz, 2H), 7.41 – 7.33 (m, *J* = 12.4, 6.1 Hz, 3H), 5.47 (t, *J* = 8.3 Hz, 1H), 3.87 (d, *J* = 8.3 Hz, 2H), 2.51 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 197.90, 167.92, 166.09, 148.43, 142.61, 138.53, 136.41, 136.01, 134.48, 133.78, 131.56, 129.40, 128.91, 127.94, 127.39, 123.79, 122.25, 121.78, 116.88, 55.84, 34.75, 26.69; HRMS (EI) *m/z*: 463.1527 ($M^+$); calc. for $C_{28}H_{21}N_3O_4$: 463.1532.

(***S***)-***N***-(**3**-(**4**-**Methoxycarbonyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3r**)



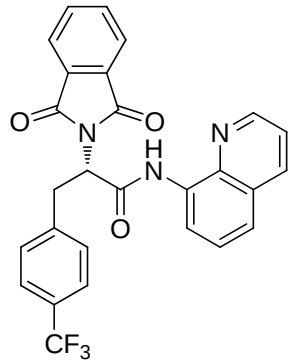

The compound **3r** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5.1:4:0.9. **3r** was obtained as a white solid (92.3 mg, 96% of 0.2 mmol; 800.3 mg, 83% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.31 (s, 1H), 8.71 (dd, *J* = 5.9, 2.4 Hz, 1H), 8.56 (d, *J* = 3.7 Hz, 1H), 8.09 (d, *J* = 8.2 Hz, 1H), 7.89 (d, *J* = 7.9 Hz, 2H), 7.80 (dd, *J* = 4.8, 3.0 Hz, 2H), 7.69 (dd, *J* = 4.8, 3.0 Hz, 2H), 7.53 – 7.45 (m, 2H), 7.40 – 7.33 (m, *J* = 7.7 Hz, 3H), 5.47 (t, *J* = 8.3 Hz, 1H), 3.91 – 3.78 (m, *J* = 13.0 Hz, 5H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.86, 166.91, 166.06, 148.38, 142.28, 138.43, 136.33, 134.41, 133.72, 131.47, 130.06, 129.16, 128.94, 127.86, 127.31, 123.71, 122.18, 121.73, 116.78, 55.80, 52.13, 34.71; HRMS (EI) *m/z*: 479.1479 ($M^+$); calc. for $C_{28}H_{21}N_3O_5$: 479.1481; HPLC Chiralpack® AD column (*n*-hexane/isopropanol = 55:45, 1.25mL/min) $t_r$ 15.898 min (major), 20.833 min (minor): 99% ee.

(***S***)-***N***-(**3**-(**4**-**Acetamido**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3s**)



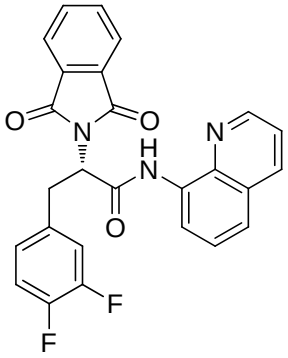

The compound **3s** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: acetone = 2:3. **3s** was obtained as a white solid (75.3 mg, 83% of 0.2 mmol; 746.5 mg, 82% of 2.0 mmol) with **1a** (8.3 mg, 12% of 0.2 mmol) recovered. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.34 (s, 1H), 8.73 – 8.64 (m, 1H), 8.59 (d, *J* = 3.3 Hz, 1H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.81 (s, 1H), 7.77 (dd, *J* = 5.0, 3.1 Hz, 2H), 7.66 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.47 (d, *J* = 4.3 Hz, 2H), 7.41 – 7.31 (m, *J* = 12.4, 6.2 Hz, 3H), 7.18 (d, *J* = 8.2 Hz, 2H), 5.41 (t, *J* = 8.3 Hz, 1H), 3.82 – 3.69 (m, 2H), 2.06 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.84, 167.97, 166.49, 148.36, 138.32, 137.20, 136.21, 134.29, 133.64, 132.04, 131.40, 129.39, 127.75, 127.10, 123.53, 122.17, 121.69, 119.96, 116.66, 56.17, 34.14, 24.38; HRMS (EI) *m/z*: 478.1647 ($M^+$); calc. for $C_{28}H_{22}N_4O_4$: 478.1641.

(***S***)-***N***-(**3**-(**4**-**Trifluoromethyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3t**)



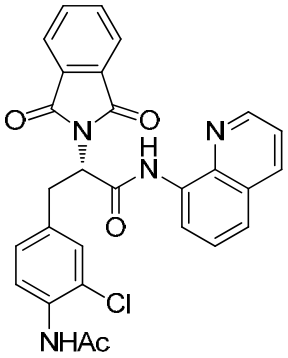

The compound **3t** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4.2:0.8. **3t** was obtained as a white solid (90.3 mg, 92% of 0.2 mmol; 881.5 mg, 90% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.29 (s, 1H), 8.71 (dd, *J* = 6.2, 2.4 Hz, 1H), 8.55 (d, *J* = 3.9 Hz, 1H), 8.08 (d, *J* = 8.2 Hz, 1H), 7.82 (dd, *J* = 5.1, 3.1 Hz, 2H), 7.70 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.53 – 7.45 (m, 4H), 7.42 (d, *J* = 8.0 Hz, 2H), 7.35 (dd, *J* = 8.2, 4.2 Hz, 1H), 5.49 (dd, *J* = 9.6, 7.0 Hz, 1H), 3.94 – 3.81 (m, 2H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.91, 166.00, 148.37, 141.09, 138.40, 136.33, 134.45, 133.69, 131.49, 129.48, 129.12, 127.86, 127.28, 125.71, 125.68, 125.50, 123.72, 122.80, 122.22, 121.74, 116.79, 55.77, 34.58; HRMS (EI) *m/z*: 489.1299 ($M^+$); calc. for $C_{27}H_{18}F_3N_3O_3$: 489.1300.

(***S***)-***N***-(**3**-(**3**,**4**-**Difluoro**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3u**)



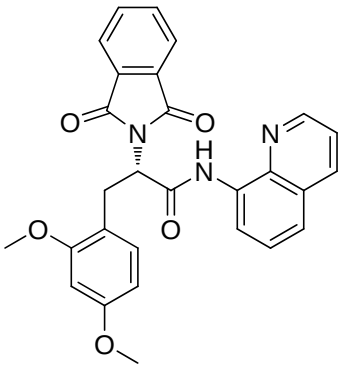
The compound **3u** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5.8:3.5:0.7. **3u** was obtained as a white solid (77.1 mg, 84%). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.27 (s, 1H), 8.71 (dd, *J* = 5.5, 3.3 Hz, 1H), 8.59 (d, *J* = 4.0 Hz, 1H), 8.12 (d, *J* = 8.2 Hz, 1H), 7.85 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.74 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.55 – 7.47 (m, 2H), 7.38 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.12 (dd, *J* = 10.7, 7.9 Hz, 1H), 7.06 – 6.96 (m, 2H), 5.39 (dd, *J* = 10.2, 6.4 Hz, 1H), 3.79 (dd, *J* = 14.6, 6.6 Hz, 1H), 3.73 (dd, *J* = 14.3, 10.6 Hz, 1H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.90, 165.94, 151.55, 151.43, 150.74, 150.61, 149.08, 148.96, 148.37, 148.28, 148.15, 138.39, 136.32, 134.47, 133.92, 133.88, 133.83, 133.68, 131.47, 127.85, 127.27, 125.16, 125.12, 125.10, 125.07, 123.73, 122.21, 121.74, 118.15, 117.97, 117.63, 117.46, 116.78, 55.90, 34.00; HRMS (EI) *m/z*: 457.1232 ($M^+$); calc. for $C_{26}H_{17}F_2N_3O_3$: 457.1238.

(***S***)-***N***-(**3**-(**4-Acetamido-3-chloro-phenyl**)-**2-phthalimidopropionyl**)-**8-aminoquinoline** (**3v**)



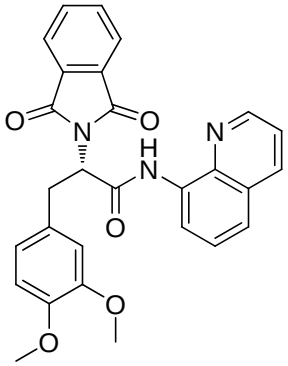
The compound **3v** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: acetone = 2:1. **3v** was obtained as a white solid (82.5 mg, 80%) with **1a** (9.1 mg, 13%) recovered. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 8.73 – 8.64 (m, 1H), 8.58 (d, *J* = 3.5 Hz, 1H), 8.21 (d, *J* = 8.4 Hz, 1H), 8.09 (d, *J* = 8.2 Hz, 1H), 7.82 (dd, *J* = 4.7, 3.3 Hz, 2H), 7.70 (dd, *J* = 4.7, 3.2 Hz, 2H), 7.57 (s, 1H), 7.51 – 7.45 (m, 2H), 7.36 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.32 (s, 1H), 7.16 (d, *J* = 8.4 Hz, 1H), 5.38 (t, *J* = 8.3 Hz, 1H), 3.74 (d, *J* = 8.3 Hz, 2H), 2.17 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.26, 168.00, 166.14, 148.47, 138.56, 136.40, 134.47, 133.83, 133.60, 133.52, 131.66, 129.53, 128.48, 127.96, 127.40, 123.83, 122.63, 122.23, 121.78, 121.64, 116.90, 56.04, 34.01, 24.99; HRMS (EI) *m/z*: 512.1254 ($M^+$); calc. for $C_{28}H_{21}ClN_4O_4$: 512.1251.

(***S***)-***N***-(**3**-(**2,4-Dimethoxy-phenyl**)-**2-phthalimidopropionyl**)-**8-aminoquinoline** (**3w**)



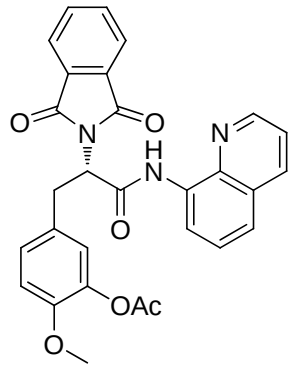
The compound **3w** was prepared according to the **GP1** and the reaction was stirred for 24 hours in presence of 0.3 mmol (1.5 eq) of 1-iodo-2,4-dimethoxybenzene. **3w** was purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4:1 and obtained as a white solid (82.0 mg, 85%). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.35 (s, 1H), 8.76 (d, *J* = 6.6 Hz, 1H), 8.66 (d, *J* = 3.1 Hz, 1H), 8.11 (d, *J* = 8.2 Hz, 1H), 7.86 – 7.76 (m, 2H), 7.72 – 7.64 (m, 2H), 7.54 – 7.45 (m, 2H), 7.39 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.01 (d, *J* = 8.2 Hz, 1H), 6.42 (s, 1H), 6.26 (d, *J* = 8.2 Hz, 1H), 5.56 (dd, *J* = 10.3, 4.9 Hz, 1H), 3.84 (s, 3H), 3.78 (dd, *J* = 13.8, 4.9 Hz, 1H), 3.74 – 3.63 (m, 4H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.15, 167.34, 160.24, 158.74, 148.34, 138.64, 136.36, 134.23, 134.10, 131.97, 131.42, 127.98, 127.47, 123.54, 121.90, 121.70, 117.67, 116.82, 104.14, 98.58, 55.46, 55.38, 54.87, 30.21; HRMS (EI) *m/z*: 481.1639 ($M^+$); calc. for $C_{28}H_{23}N_3O_5$: 481.1638.

(***S***)-***N***-(**3**-(**3**,**4**-**Dimethoxy**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3x**)



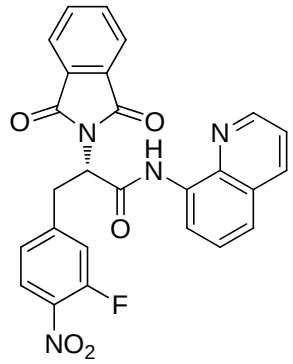
The compound **3x** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4:1. **3x** was obtained as a white solid (84.0 mg, 87% of 0.2 mmol; 870.3 mg, 90% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.30 (s, 1H), 8.72 (dd, *J* = 6.4, 2.2 Hz, 1H), 8.59 (d, *J* = 3.2 Hz, 1H), 8.08 (d, *J* = 8.1 Hz, 1H), 7.80 (dd, *J* = 5.2, 3.0 Hz, 2H), 7.68 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.53 – 7.44 (m, 2H), 7.36 (dd, *J* = 8.2, 4.2 Hz, 1H), 6.83 (d, *J* = 8.1 Hz, 1H), 6.76 (s, 1H), 6.70 (d, *J* = 8.2 Hz, 1H), 5.43 (dd, *J* = 10.3, 6.5 Hz, 1H), 3.81 – 3.67 (m, 8H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.97, 166.50, 148.86, 148.32, 147.85, 138.43, 136.26, 134.27, 133.86, 131.61, 129.03, 127.83, 127.27, 123.55, 122.05, 121.67, 121.20, 116.74, 111.89, 111.29, 56.31, 55.76, 55.71, 34.36; HRMS (EI) *m/z*: 481.1636 ($M^+$); calc. for $C_{28}H_{23}N_3O_5$: 481.1638.

(***S***)-***N***-(**3**-(**3**-**Acetoxy**-**4**-**methoxy**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3y**)



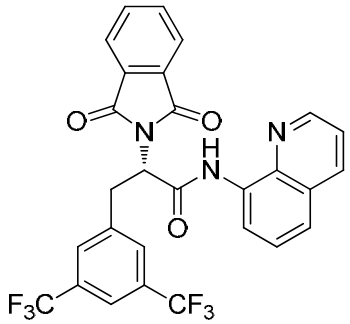
The compound **3y** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4:1. **3y** was obtained as a white solid (93.7 mg, 92% of 0.2 mmol; 895.4 mg, 88% of 2.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.30 (s, 1H), 8.71 (dd, *J* = 5.7, 3.0 Hz, 1H), 8.60 (d, *J* = 3.0 Hz, 1H), 8.10 (d, *J* = 8.1 Hz, 1H), 7.81 (dd, *J* = 5.1, 3.1 Hz, 2H), 7.69 (dd, *J* = 5.2, 3.1 Hz, 2H), 7.53 – 7.45 (m, 2H), 7.37 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.13 (d, *J* = 8.3 Hz, 1H), 7.00 (s, 1H), 6.81 (d, *J* = 8.4 Hz, 1H), 5.42 (dd, *J* = 9.1, 7.7 Hz, 1H), 3.80 – 3.68 (m, 5H), 2.24 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.87, 168.01, 166.33, 150.07, 148.37, 139.66, 138.43, 136.29, 134.26, 133.81, 131.61, 129.14, 127.84, 127.35, 127.28, 123.65, 123.52, 122.09, 121.71, 116.74, 112.55, 56.03, 55.85, 33.88, 20.69; HRMS (EI) *m/z*: 509.1588 ($M^+$); calc. for $C_{29}H_{23}N_3O_6$: 509.1587.

(***S***)-***N***-(**3**-(**4-Nitro-3-fluoro-phenyl**)-**2-phthalimidopropionyl**)-**8-aminoquinoline** (**3z**)



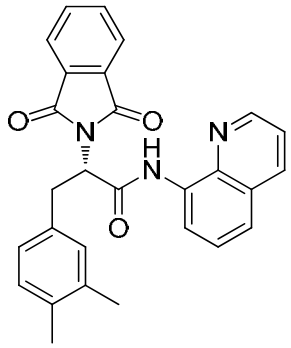
The compound **3z** was prepared according to the **GP1** and the reaction was stirred for 24 hours. **3z** was purified by column chromatography in petroleum ether: dichloromethane: acetone = 8:4:1 and obtained as a white solid (72.8 mg, 75% of 0.2 mmol; 1284.1 mg, 88% of 3.0 mmol). $^{1}$H NMR (400 MHz, DMSO) δ 10.26 (s, 1H), 8.69 (p, *J* = 4.4 Hz, 1H), 8.55 (dd, *J* = 4.1, 1.2 Hz, 1H), 8.13 (dd, *J* = 8.3, 1.2 Hz, 1H), 7.95 (t, *J* = 8.2 Hz, 1H), 7.87 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.77 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.57 – 7.47 (m, 2H), 7.39 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.30 – 7.18 (m, 2H), 5.45 (dd, *J* = 10.2, 6.3 Hz, 1H), 3.96 – 3.80 (m, 2H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.84, 165.39, 157.00, 154.35, 148.50, 146.78, 146.70, 138.47, 136.50, 134.80, 133.55, 131.42, 127.97, 127.40, 126.65, 125.31, 125.27, 124.04, 122.46, 121.88, 119.27, 119.07, 116.93, 55.20, 34.60; HRMS (EI) *m/z*: 484.1184 ($M^+$); calc. for $C_{26}H_{17}FN_4O_5$: 484.1183.

(***S***)-***N***-(**3**-(**3**,**5-Bis**(**trifluoromethyl**)-**phenyl**)-**2-phthalimidopropionyl**)-**8-aminoquinoline** (**3aa**)



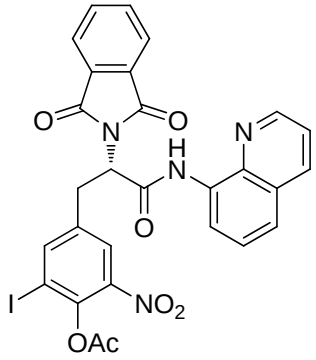
The compound **3aa** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4.2:0.8. **3aa** was obtained as a white solid (100.8 mg, 90%). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.27 (s, 1H), 8.70 (dd, *J* = 5.7, 2.5 Hz, 1H), 8.54 (d, *J* = 4.0 Hz, 1H), 8.09 (d, *J* = 8.2 Hz, 1H), 7.83 (dd, *J* = 4.6, 3.1 Hz, 2H), 7.78 – 7.70 (m, 4H), 7.68 (s, 1H), 7.54 – 7.47 (m, 2H), 7.35 (dd, *J* = 8.1, 4.2 Hz, 1H), 5.44 (dd, *J* = 10.3, 6.0 Hz, 1H), 3.97 (dd, *J* = 14.3, 5.9 Hz, 1H), 3.87 (dd, *J* = 14.1, 10.7 Hz, 1H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.82, 165.51, 148.44, 139.73, 138.41, 136.38, 134.66, 133.55, 132.43, 132.10, 131.77, 131.43, 131.34, 129.50, 127.89, 127.31, 124.52, 123.83, 122.34, 121.78, 121.19, 121.15, 121.12, 116.86, 55.54, 34.41; HRMS (EI) *m/z*: 557.1169 ($M^+$); calc. for $C_{28}H_{17}F_6N_3O_3$: 557.1174.

(***S***)-***N***-(**3**-(**3**,**4**-**Dimethyl**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3ab**)



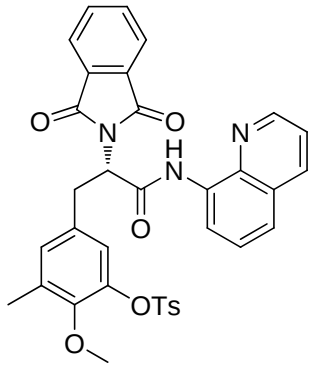
The compound **3ab** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4.2:0.8. **3ab** was obtained as a white solid (88.4 mg, 98% of 0.2 mmol; 2074.5 mg, 92% of 5.0 mmol). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.31 (s, 1H), 8.74 (dd, *J* = 6.1, 2.6 Hz, 1H), 8.62 (dd, *J* = 3.9, 1.1 Hz, 1H), 8.12 (dd, *J* = 8.2, 1.1 Hz, 1H), 7.83 (dd, *J* = 5.3, 3.0 Hz, 2H), 7.71 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.55 – 7.47 (m, 2H), 7.39 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.08 – 6.94 (m, 3H), 5.42 (dd, *J* = 9.7, 6.8 Hz, 1H), 3.80 – 3.67 (m, 2H), 2.15 (s, 3H), 2.12 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.11, 166.72, 148.35, 138.60, 136.95, 136.37, 135.20, 134.23, 134.10, 134.03, 131.86, 130.40, 130.04, 127.96, 127.43, 126.40, 123.65, 122.07, 121.72, 116.91, 56.54, 34.47, 19.75, 19.48; HRMS (EI) *m/z*: 449.1746 ($M^+$); calc. for $C_{28}H_{23}N_3O_3$: 449.1739. HPLC Chiralpack® AD-H column (*n*-hexane/isopropanol = 55:45, 0.70mL/min) $t_r$ 20.364 min (major), 27.017 min (minor): 99% ee.

(***S***)-***N***-(**3**-(**4**-**Acetoxy**-**3**-**iodo**-**5**-**nitro**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3ac**)



The compound **3ac** was prepared according to the **GP1** and the reaction was stirred for 24 hours in presence of 0.3 mmol (1.5 eq) of 2,4-diiodo-6-nitrophenyl acetate. **3ac** was purified by column chromatography in petroleum ether: dichloromethane: acetone = 7:4:1 and obtained as a white solid (96.8 mg, 74% of 0.2 mmol; 960.4 mg, 92% of 2.0 mmol) with **1a** (8.5 mg, 12% of 0.2 mmol) recovered. $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.26 (s, 1H), 8.70 (dd, *J* = 5.1, 3.8 Hz, 1H), 8.58 (dd, *J* = 4.1, 0.9 Hz, 1H), 8.13 (dd, *J* = 8.2, 0.9 Hz, 1H), 8.07 (d, *J* = 1.5 Hz, 1H), 8.01 (d, *J* = 1.5 Hz, 1H), 7.89 (dd, *J* = 5.3, 3.0 Hz, 2H), 7.77 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.57 – 7.49 (m, 2H), 7.39 (dd, *J* = 8.3, 4.2 Hz, 1H), 5.41 (dd, *J* = 9.9, 6.2 Hz, 1H), 3.89 (dd, *J* = 14.5, 6.1 Hz, 1H), 3.77 (dd, *J* = 14.5, 10.1 Hz, 1H), 2.38 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.93, 167.43, 165.37, 148.57, 144.97, 143.87, 142.03, 138.49, 138.00, 136.46, 134.77, 133.59, 131.51, 127.97, 127.40, 126.64, 124.09, 122.43, 121.86, 116.97, 94.88, 55.35, 33.75, 21.06; HRMS (EI) *m/z*: 650.0294 ($M^+$); calc. for $C_{28}H_{19}IN_4O_7$: 650.0298.

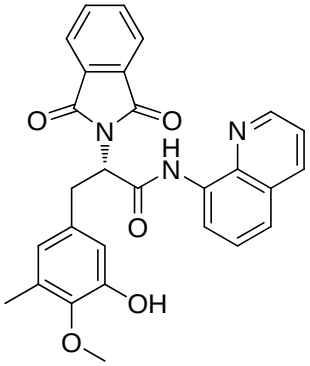
(***S***)-***N***-(**3**-(**4**-**Methoxy**-**3**-**methyl**-**5**-**tosyloxy**-**phenyl**)-**2**-**phthalimidopropionyl**)-**8**-**aminoquinoline** (**3ad**)



The compound **3ad** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4.2:0.8. **3ad** was obtained as a white solid (120.0 mg, 94%). $^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.22 (s, 1H), 8.73 – 8.67 (m, 1H), 8.59 (dd, *J* = 4.0, 1.2 Hz, 1H), 8.10 (dd, *J* = 8.2, 0.9 Hz, 1H), 7.84 (dd, *J* = 5.3, 3.0 Hz, 2H), 7.71 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.62 (d, *J* = 8.2 Hz, 2H), 7.49 (d, *J* = 4.7 Hz, 2H), 7.38 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.24 (s, 1H), 6.99 (s, 1H), 6.81 (s, 1H), 5.25 (dd, *J* = 9.9, 6.4 Hz, 1H), 3.69 (dd, *J* = 14.2, 6.4 Hz, 1H), 3.65 – 3.55 (m, 4H), 2.40 (s, 3H), 2.07 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.95, 166.16, 149.84, 148.45, 145.39, 142.45, 138.37, 136.29, 134.36, 133.73, 133.47, 132.88, 132.29, 131.63, 130.33, 129.67, 128.44,

127.83, 127.23, 123.66, 122.16, 121.83, 121.76, 116.71, 60.68, 56.02, 34.05, 21.79, 16.03; HRMS (EI) *m/z*: 635.1734 ($M^+$); calc. for $C_{35}H_{29}N_3O_7S$: 635.1726.

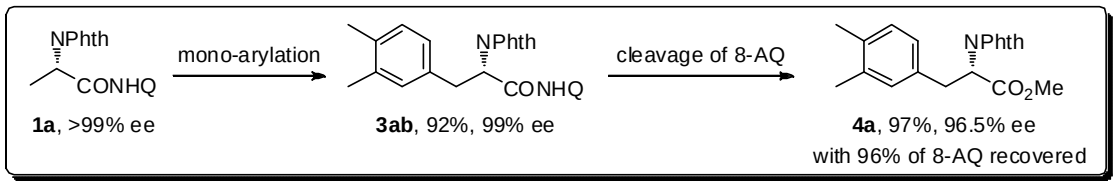
(***S***)-***N***-(**3**-(**3-Hydroxy-4-methoxy-5-methyl-phenyl**)-**2-phthalimidopropionyl**)-**8-aminoquinoline** (**3ae**)



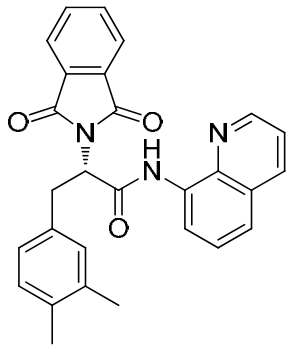
The compound **3ae** was prepared according to the **GP1** and purified by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 4:3.5:2.5. **3ae** was obtained as a light yellow solid (75.4 mg, 78% of 0.2 mmol; 3.2410 g, 67% of 10 mmol). $^1$H NMR (400 MHz, $CDCl_3$) δ 10.27 (s, 1H), 8.71 (dd, *J* = 6.2, 2.0 Hz, 1H), 8.59 (d, *J* = 3.6 Hz, 1H), 8.07 (d, *J* = 8.2 Hz, 1H), 7.81 (dd, *J* = 5.0, 3.1 Hz, 2H), 7.67 (dd, *J* = 5.0, 3.1 Hz, 2H), 7.51 – 7.43 (m, 2H), 7.35 (dd, *J* = 8.1, 4.2 Hz, 1H), 6.77 (s, 1H), 6.62 (s, 1H), 5.91 (s, 1H), 5.41 (dd, *J* = 9.8, 6.5 Hz, 1H), 3.74 – 3.60 (m, 5H), 2.13 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.07, 166.60, 149.00, 148.34, 144.46, 138.43, 136.23, 134.20, 133.85, 133.09, 131.70, 131.11, 127.81, 127.24, 123.55, 123.05, 122.06, 121.66, 116.79, 114.03, 60.56, 56.30, 34.45, 15.78; HRMS (EI) *m/z*: 481.1635 ($M^+$); calc. for $C_{28}H_{23}N_3O_5$: 481.1638.

## Application:

### 1. Cleavage of the 8-Aminoquinoline Directing Group

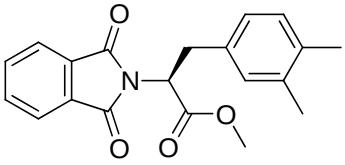



(***S***)-***N***-(**3**-(**3**,**4-Dimethyl-phenyl**)-**2-phthalimidopropionyl**)-**8-aminoquinoline** (**3ab**)



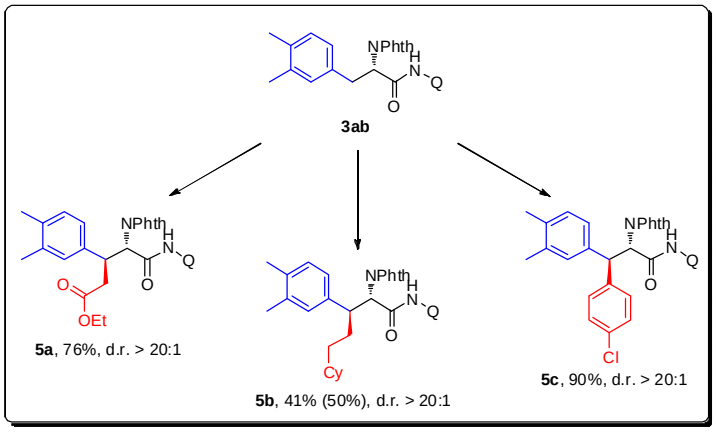
HPLC Chiralpack® AD-H column (*n*-hexane/isopropanol = 55:45, 0.70mL/min) $t_r$ = 20.364 min (major), $t_r$ = 27.017 min (minor): 99% ee.

(***S***)-**Methyl-2-phthalimido-3-**(**3**,**4-dimethylphenyl**)-**propionoate** (**4a**)

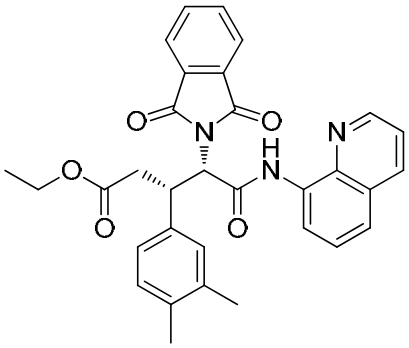


To a 30-mL resealable Schlenk flask was added 8-aminoquinoline amide (**3ab**, 67.4 mg, 0.15 mmol, overall concentration = 0.1 mol/L), dry methanol (1.5 mL), $BF_3{\cdot}Et_2O$ (114μL, 0.9 mmol). The flask was then charged with $N_2$. The mixture was stirred at 100°C for 20 hours under $N_2$. After cooling to room temperature, the reaction was diluted with dichloromethane (5 mL) and then quenched by $Et_3N$ (0.21 mL, 1.50 mmol). Evaporation of organic solvent and purification by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 9:3:1 gave the corresponding products **4a** (49.5 mg, 96%) as a colorless oil and 8-aminoquinoline (20.6mg, 96%). $^1$H NMR (400 MHz, $CDCl_3$) δ 7.79 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.69 (dd, *J* = 5.4, 3.1 Hz, 2H), 6.93 (d, *J* = 7.6 Hz, 2H), 6.88 (d, *J* = 7.6 Hz, 1H), 5.14 (dd, *J* = 11.0, 5.4 Hz, 1H), 3.77 (s, 3H), 3.50 (qd, *J* = 14.4, 8.3 Hz, 2H), 2.13 (s, 3H), 2.11 (s, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 169.62, 167.64, 136.78, 135.06, 134.16, 131.82, 130.25, 129.88, 126.20, 123.57, 53.54, 52.97, 34.28, 19.71, 19.43. HRMS (ESI) *m/z*: 338.1386 ($MH^+$); calc. for $C_{20}H_{19}NO_4H^+$ : 338.1387. HPLC Chiralpack® OJ-H column (*n*-hexane/isopropanol = 80:20, 1.0mL/min) $t_r$ = 10.957 min (major), $t_r$ = 15.364 (minor): 96.5% ee.

## 2. Sequential Reaction for C-C and C-O Coupling



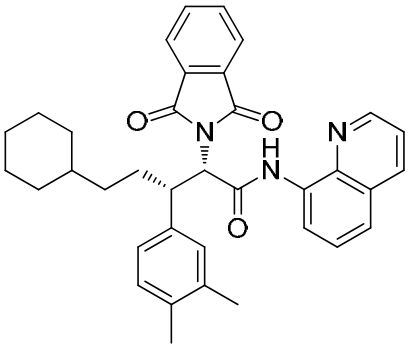

**2*S*,3*S*-*N*-(3-(3,4-Dimethylphenyl)-5-ethoxy-5-oxo-2-phthalimidopentanoyl)-8-aminoquinoline (5a)**



To a 30-mL resealable Schlenk flask was added **3ab** (89.9 mg, 0.2 mmol), $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), $BrCH_2CO_2Et$ (33 μL, 0.3 mmol, 2.0 eq), $Ag_2CO_3$ (66.2 mg, 0.24 mmol, 1.2 eq), $(BnO)_2PO_2H$ (16.7 mg, 0.06 mmol, 30 mol%), and $^t$BuOH + DCE (1.2 mL + 0.3 mL). The Schlenk flask was charged with 1 atm of $N_2$. The mixture was stirred at 90$^o$C for 12 hours. After cooling to room temperature, the reaction was diluted with dichloromethane (15 mL), then filtered through a pad of Celite and washed by dichloromethane (10 mL). The filtrate was washed by water (15 mL), and the aqueous phase was extracted with dichloromethane (2 × 10 mL). The combined organic phase was then washed by brine (20 mL), and dried over anhydrous $MgSO_4$. Evaporation of organic solvent and purification by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 5:4:1 gave the corresponding product. **5a** was obtained as a white solid (81.8mg, 76% with diastereoselectivity(d.r.) > 20:1 estimated by $^1$H NMR). $^1$H NMR (400 MHz, $CDCl_3$) δ 10.67 (s, 1H), 8.84 – 8.67 (m, 2H), 8.08 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.68 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.56 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.51 – 7.44 (m, 2H), 7.38 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.00 (d, *J* = 9.6 Hz, 2H), 6.88 (d, *J* = 7.6 Hz, 1H), 5.40 (d, *J* = 11.8 Hz, 1H), 4.69 (ddd, *J* = 11.7, 10.3, 4.1 Hz, 1H), 4.05 – 3.84 (m, 2H), 3.13 (dd, *J* = 15.4, 4.1 Hz, 1H), 2.85 (dd, *J* = 15.4, 10.1 Hz, 1H), 2.06 (s, 3H), 2.01 (s, 3H), 1.07 (t, *J* = 7.1 Hz, 3H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 171.11, 167.67, 166.42, 148.58, 138.67, 136.41, 136.25, 136.17, 135.40, 134.20, 134.05, 131.30, 129.66, 129.45, 127.89, 127.16, 125.37, 123.37, 122.24,

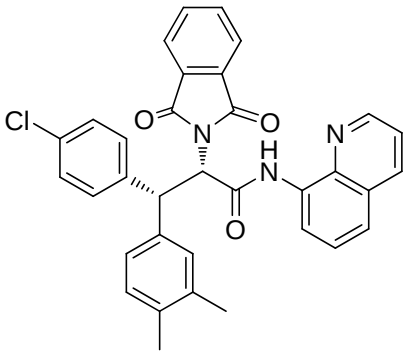
121.69, 117.14, 60.44, 59.50, 40.33, 39.44, 19.62, 19.29, 14.05; HRMS (EI) *m/z*: 535.2113 ($M^+$); calc. for $C_{26}H_{23}N_3O_7$: 535.2107.

**2*S*,3*S*-*N*-(5-Cyclohexyl-3-(3,4-dimethylphenyl)-2-phthalimidopentanoyl)-8-aminoquinoline (5b)**



To a 30-mL resealable Schlenk flask was added **3ab** (89.9 mg, 0.2 mmol), $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), $CyCH_2CH_2I$ (95.2 mg, 0.4 mmol, 2.0 eq), $Ag_2CO_3$ (82.7 mg, 0.3 mmol, 1.5 eq), *p*-$ClC_6H_4SO_2NH_2$ (11.5 mg, 0.06 mmol, 30 mol%), NaOCN (26.1 mg, 0.4 mmol, 2.0 eq), and 1,4-dioxane (2.0 mL). The Schlenk flask was charged with 1 atm of $N_2$. The mixture was stirred at 80$^o$C for 20 hours. After cooling to room temperature, the reaction was diluted with dichloromethane (15 mL), then filtered through a pad of Celite and washed by dichloromethane (10 mL). The filtrate was washed by water (15 mL), and the aqueous phase was extracted with dichloromethane (2 × 10 mL). The combined organic phase was then washed by brine (20 mL), and dried over anhydrous $MgSO_4$. Evaporation of organic solvent and purification by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 7:2:1 gave the corresponding product. **5b** was obtained as a light yellow oil (46.0 mg, 41% with diastereoselectivity(d.r.) > 20:1 estimated by $^1$H NMR) with **3ab** (45.2 mg, 50%) recovered. $^1$H NMR (400 MHz, $CDCl_3$) δ 10.77 (s, 1H), 8.90 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.81 (dd, *J* = 5.8, 3.2 Hz, 1H), 8.14 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.69 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.58 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.54 – 7.49 (m, 2H), 7.45 (dd, *J* = 8.3, 4.2 Hz, 1H), 6.98 – 6.91 (m, 2H), 6.89 (d, *J* = 7.5 Hz, 1H), 5.17 (d, *J* = 11.8 Hz, 1H), 4.08 (td, *J* = 11.6, 3.2 Hz, 1H), 2.08 (s, 3H), 2.05 (s, 3H), 2.03 – 1.96 (m, 1H), 1.80 – 1.71 (m, 1H), 1.63 – 1.43 (m, 6H), 1.20 – 1.08 (m, 3H), 1.04 – 0.92 (m, 3H), 0.79 – 0.59 (m, 2H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.95, 167.05, 148.72, 138.94, 137.50, 136.37, 136.28, 134.90, 134.56, 133.98, 131.50, 130.42, 129.65, 129.57, 128.06, 127.79, 127.37, 125.55, 123.39, 122.16, 121.74, 117.31, 61.92, 44.21, 37.52, 35.01, 33.73, 32.81, 31.27, 26.74, 26.49, 26.33, 19.75, 19.40; HRMS (EI) *m/z*: 559.2829 ($M^+$); calc. for $C_{26}H_{23}N_3O_7$: 559.2835.

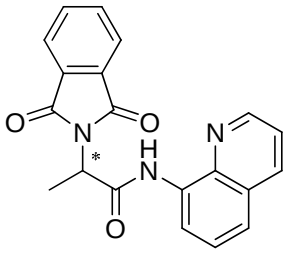
**2*S*,3*S*-*N*-(3-(4-Chlorophenyl)-3-(3,4-dimethylphenyl)-2-phthalimidopropanoyl)-8-aminoquinoline (5c)**



To a 30-mL resealable Schlenk flask was added **3ab** (80.3 mg, 0.2 mmol), $Pd(OAc)_2$ (4.5 mg, 0.02 mmol, 10 mol%), *p*-$ClC_6H_4I$ (71.5 mg, 0.3 mmol, 1.5 eq), AgOAc (50.1 mg, 0.3 mmol, 1.5 eq), and $^tBuOH$ + DCE (1.2 mL + 0.3 mL). The Schlenk flask was charged with 1 atm of $N_2$. The mixture was stirred at 90$^o$C for 12 hours. After cooling to room temperature, the reaction was diluted with dichloromethane (15 mL), then filtered through a pad of Celite and washed by dichloromethane (10 mL). The filtrate was washed by water (15 mL), and the aqueous phase was extracted with dichloromethane (2 × 10 mL). The combined organic phase was then washed by brine (20 mL), and dried over anhydrous $MgSO_4$. Evaporation of organic solvent and purification by column chromatography in petroleum ether: dichloromethane: ethyl acetate = 6:3:1 gave the corresponding product. **5c** was obtained as a white solid (101.2 mg, 90% with diastereoselectivity(d.r.) > 20:1 estimated by $^1H$ NMR). $^1H$ NMR (400 MHz, $CDCl_3$) δ 10.12 (s, 1H), 8.69 (dd, *J* = 4.2, 1.5 Hz, 1H), 8.62 (dd, *J* = 6.0, 2.9 Hz, 1H), 8.03 (d, *J* = 8.3 Hz, 1H), 7.72 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.61 – 7.49 (m, 4H), 7.44 – 7.37 (m, 2H), 7.36 (dd, *J* = 8.2, 4.1 Hz, 1H), 7.26 – 7.20 (m, 2H), 7.13 – 7.05 (m, 2H), 6.95 – 6.88 (m, 1H), 5.92 (d, *J* = 12.4 Hz, 1H), 5.58 (d, *J* = 12.4 Hz, 1H), 2.08 (s, 3H), 2.03 (s, 3H); $^{13}C$ NMR (101 MHz, $CDCl_3$) δ 167.93, 165.61, 148.26, 139.78, 138.42, 137.76, 136.99, 136.10, 135.41, 134.06, 133.98, 133.07, 131.50, 130.03, 129.57, 129.40, 129.19, 127.77, 127.13, 124.70, 123.50, 122.10, 121.65, 116.93, 58.79, 49.34, 19.79, 19.29; HRMS (EI) *m/z*: 559.1659 ($M^+$); calc. for $C_{26}H_{23}N_3O_7$: 559.1663.

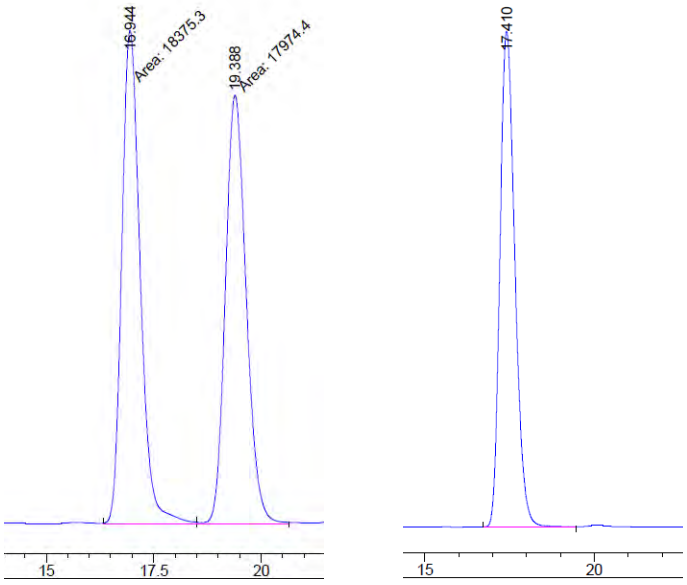
**Chiral HPLC Data**

**DL-/L-1a**



Chiral stationary phase: Chiralpack® AD-H, *n*-hexane/isopropanol = 55:45, 0.70mL/min

Signal: VWD1 A, Wavelength = 220 nm



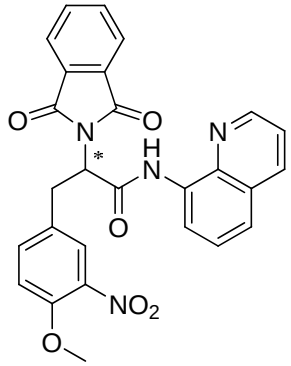

**Area% report for DL-1a:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 16.944 | 18375.3 | 50.55 | 615.896 | 53.54 |
| 19.388 | 17974.4 | 49.45 | 534.457 | 46.46 |
| Totals | 36349.7 | 100.00 | 1150.353 | 100.00 |

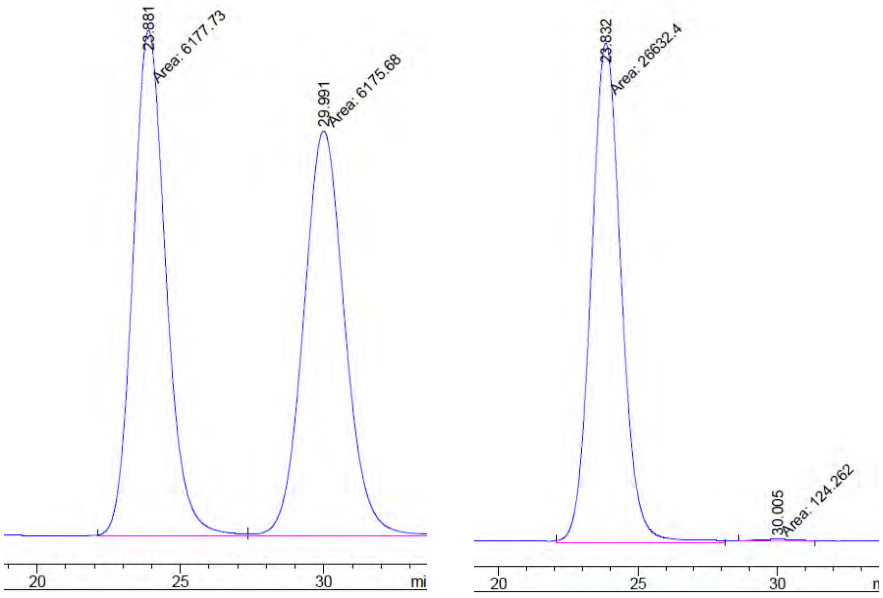
**Area% report for L-1a:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 17.410 | 66352.8 | 100.00 | 2165.161 | 100.00 |
| Totals | 36349.7 | 100.00 | 1150.353 | 100.00 |

**DL-/L-3a**



Chiral stationary phase: Chiralpack® AD, *n*-hexane/isopropanol = 55:45, 1.25mL/min
Signal: VWD1 A, Wavelength = 220 nm



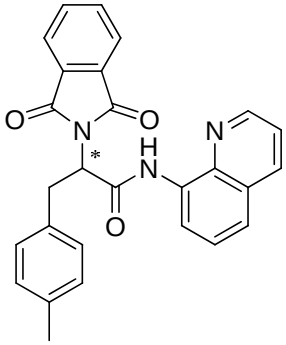

**Area% report for DL-3a:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 23.811 | 6177.7 | 50.01 | 76.754 | 55.52 |
| 29.991 | 6175.7 | 49.99 | 61.492 | 44.48 |
| Totals | 12353.4 | 100.00 | 138.246 | 100.00 |

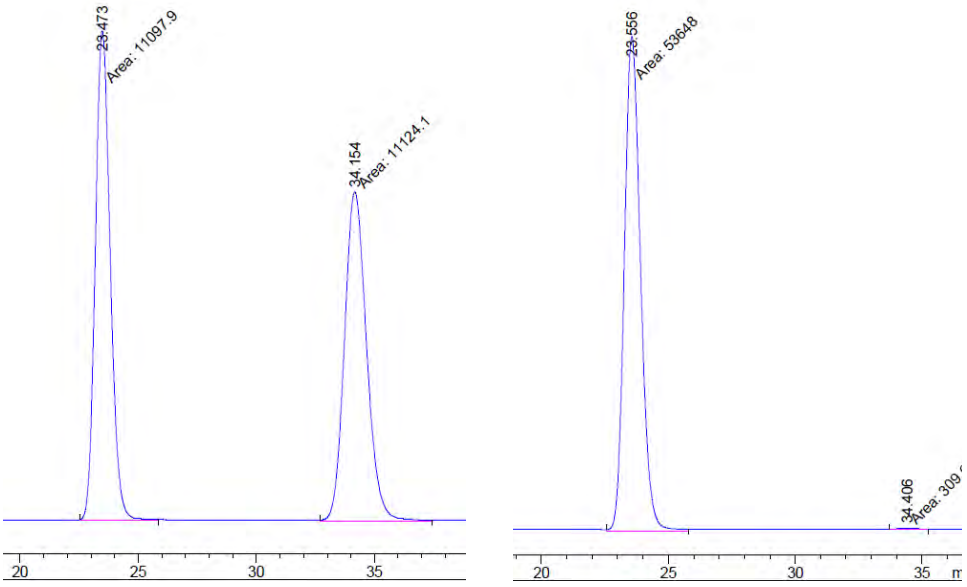
**Area% report for L-3a:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 23.832 | 26632.4 | 99.54 | 353.663 | 99.66 |
| 30.005 | 124.3 | 0.46 | 1.210 | 0.34 |
| Totals | 26756.7 | 100.00 | 354.873 | 100.00 |

**DL-/L-3b**



Chiral stationary phase: Chiralpack® AD-H, *n*-hexane/isopropanol = 55:45, 0.70mL/min
Signal: VWD1 A, Wavelength = 220 nm



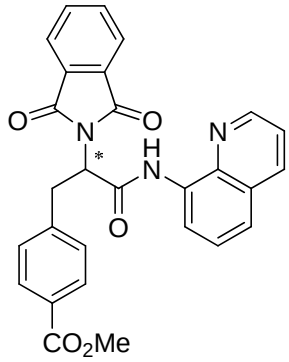

**Area% report for DL-3b:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 23.473 | 11097.9 | 49.94 | 252.102 | 59.75 |
| 34.154 | 11124.1 | 50.06 | 169.822 | 40.25 |
| Totals | 22222.0 | 100.00 | 421.924 | 100.00 |

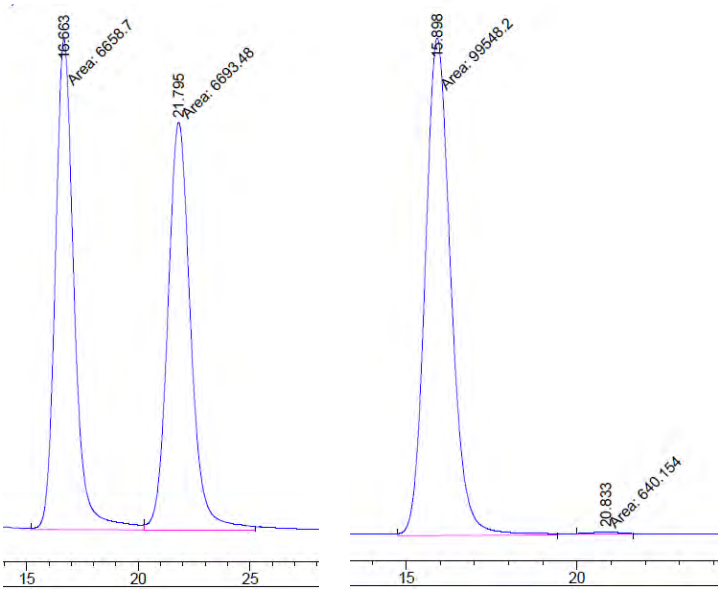
**Area% report for L-3b:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 23.556 | 53648.0 | 99.43 | 1207.898 | 99.57 |
| 34.406 | 309.7 | 0.57 | 5.213 | 0.43 |
| Totals | 53957.7 | 100.00 | 1213.111 | 100.00 |

**DL-/L-3r**




Chiral stationary phase: Chiralpack® AD, *n*-hexane/isopropanol = 55:45, 1.25mL/min
Signal: VWD1 A, Wavelength = 220 nm



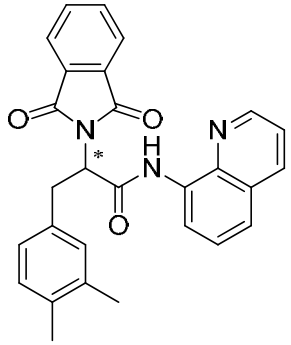

**Area% report for DL-3r:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 16.663 | 6658.7 | 49.87 | 113.435 | 54.61 |
| 21.795 | 6693.5 | 50.13 | 94.298 | 45.39 |
| Totals | 13352.2 | 100.00 | 207.733 | 100.00 |

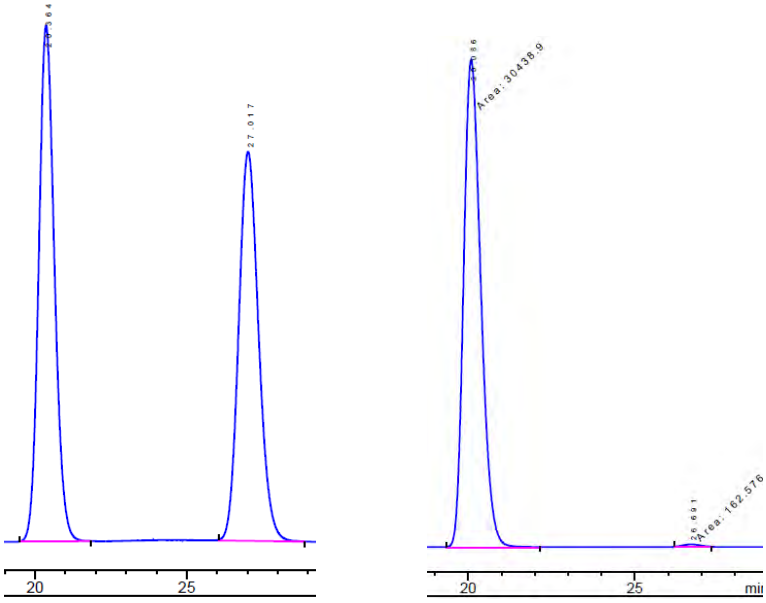
**Area% report for L-3r:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 15.898 | 99548.2 | 99.36 | 1904.991 | 99.45 |
| 20.833 | 640.2 | 0.64 | 10.489 | 0.55 |
| Totals | 100188.4 | 100.00 | 1915.481 | 100.00 |

**DL-/L-3ab**



Chiral stationary phase: Chiralpack® AD-H, *n*-hexane/isopropanol = 55:45, 0.70mL/min
Signal: VWD1 A, Wavelength = 220 nm



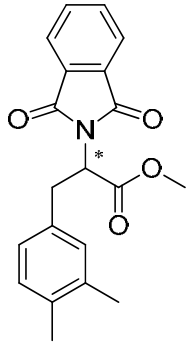

**Area% report for DL-3ab:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 20.364 | 1088.39 | 51.13 | 30.278 | 57.01 |
| 27.017 | 1040.22 | 48.87 | 22.832 | 42.99 |
| Totals | 2128.61 | 100.00 | 53.11 | 100.00 |

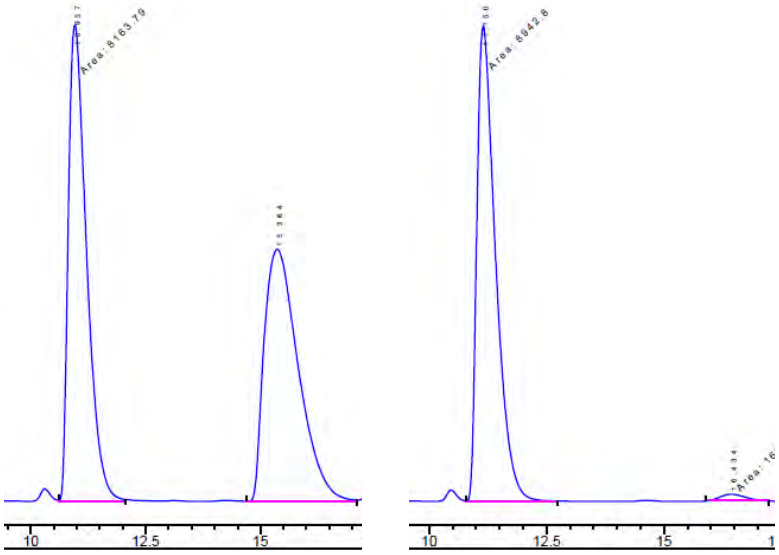
**Area% report for L-3ab:**

| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 20.086 | 30438.9 | 99.47 | 847.23 | 99.51 |
| 26.691 | 162.6 | 0.53 | 4.17 | 0.49 |
| Totals | 30601.5 | 100.00 | 851.40 | 100.00 |

**DL-/L-4a**



Chiral stationary phase: Chiralpack® OJ-H, *n*-hexane/isopropanol = 80:20, 1.0mL/min
Signal: VWD1 A, Wavelength = 220 nm




**Area% report for DL-6a:**

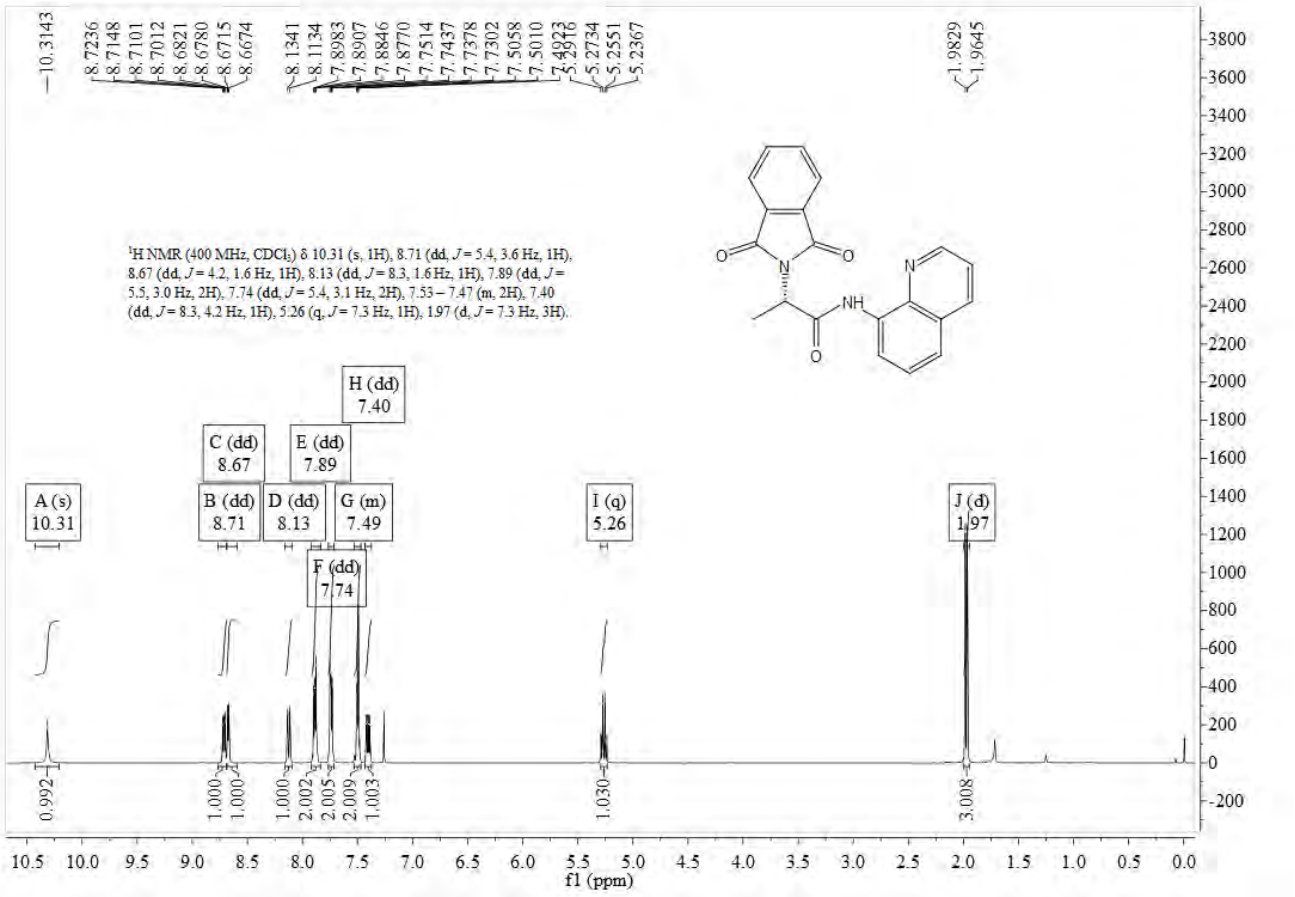
| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 10.957 | 8163.79 | 50.10 | 296.82 | 65.39 |
| 15.364 | 8130.71 | 49.90 | 157.09 | 34.61 |
| Totals | 16294.5 | 100.00 | 453.91 | 100.00 |

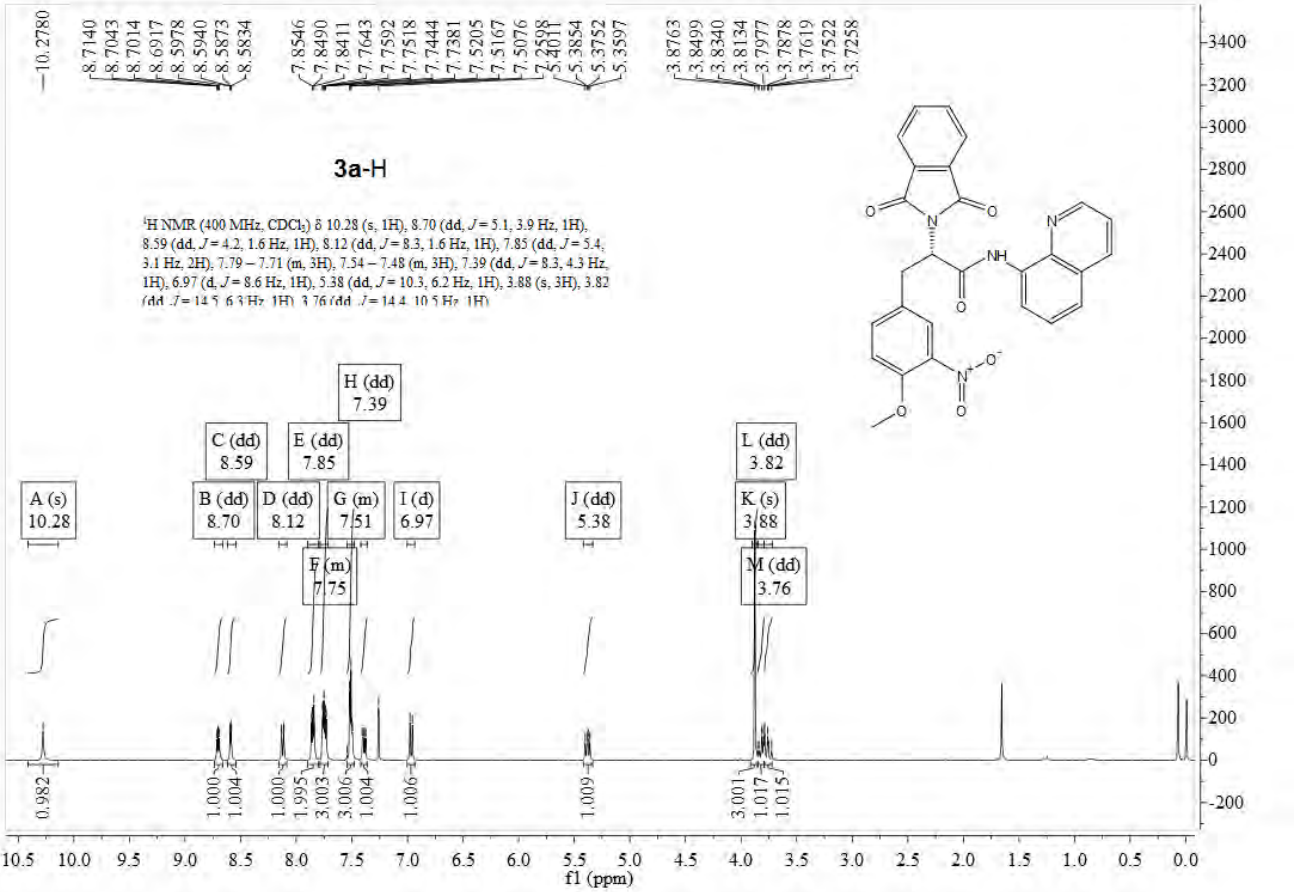
**Area% report for L-6a:**

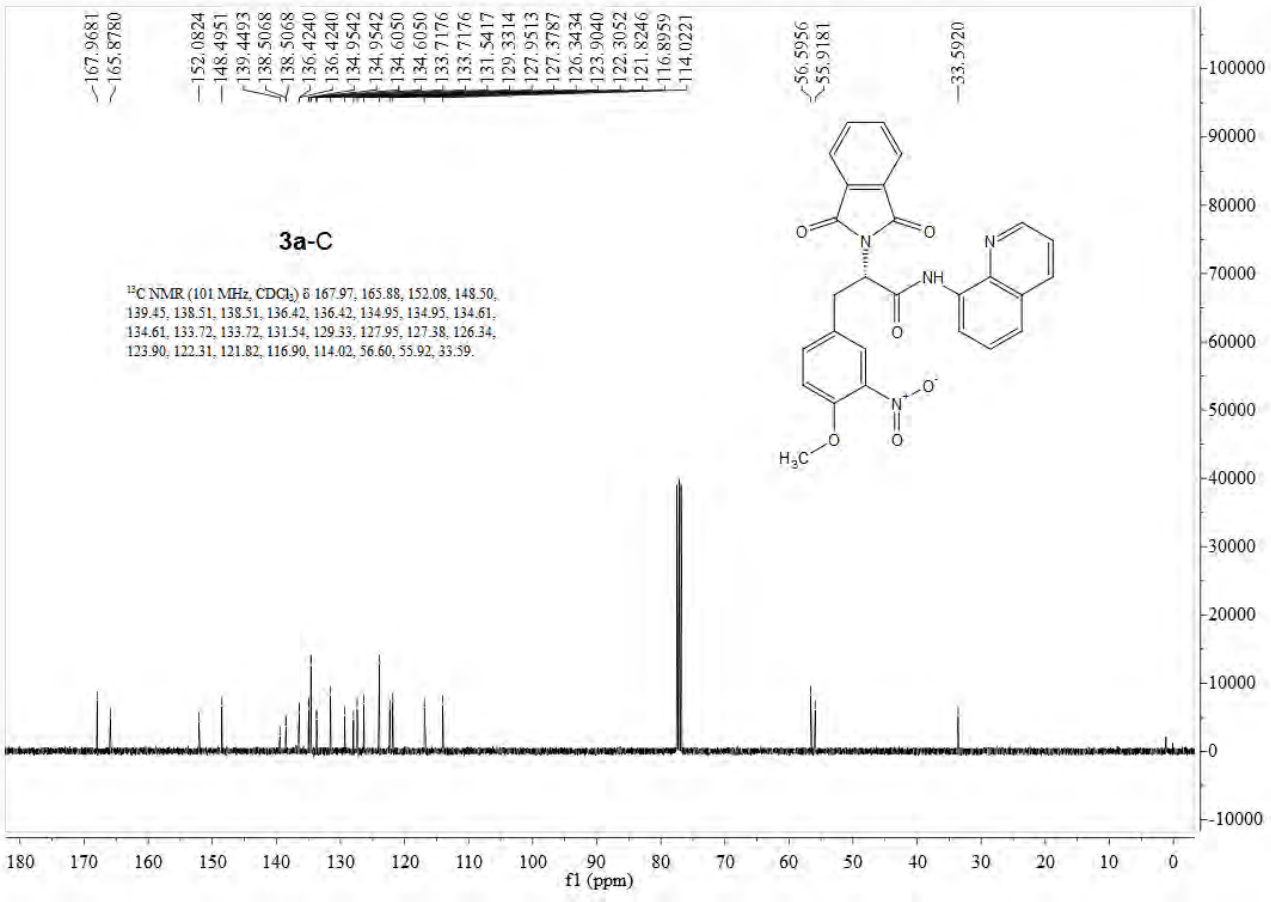
| Retention Time (min) | Area (mAU*s) | Area % | Height (mAU) | Height % |
|---|---|---|---|---|
| 11.150 | 8942.80 | 98.22 | 321.49 | 98.64 |
| 16.434 | 162.39 | 1.78 | 4.42 | 1.36 |
| Totals | 9105.19 | 100.00 | 325.92 | 100.00 |

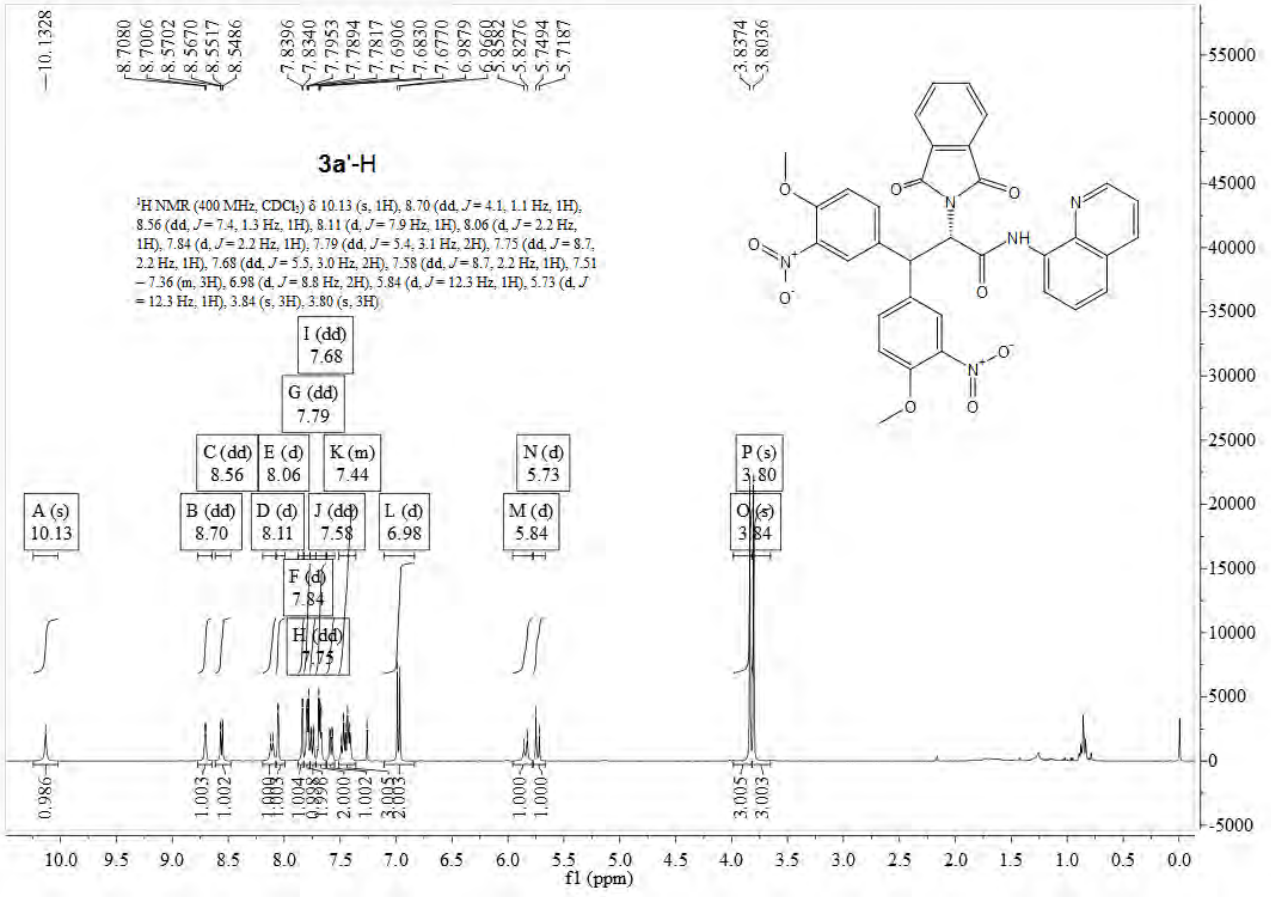
## References

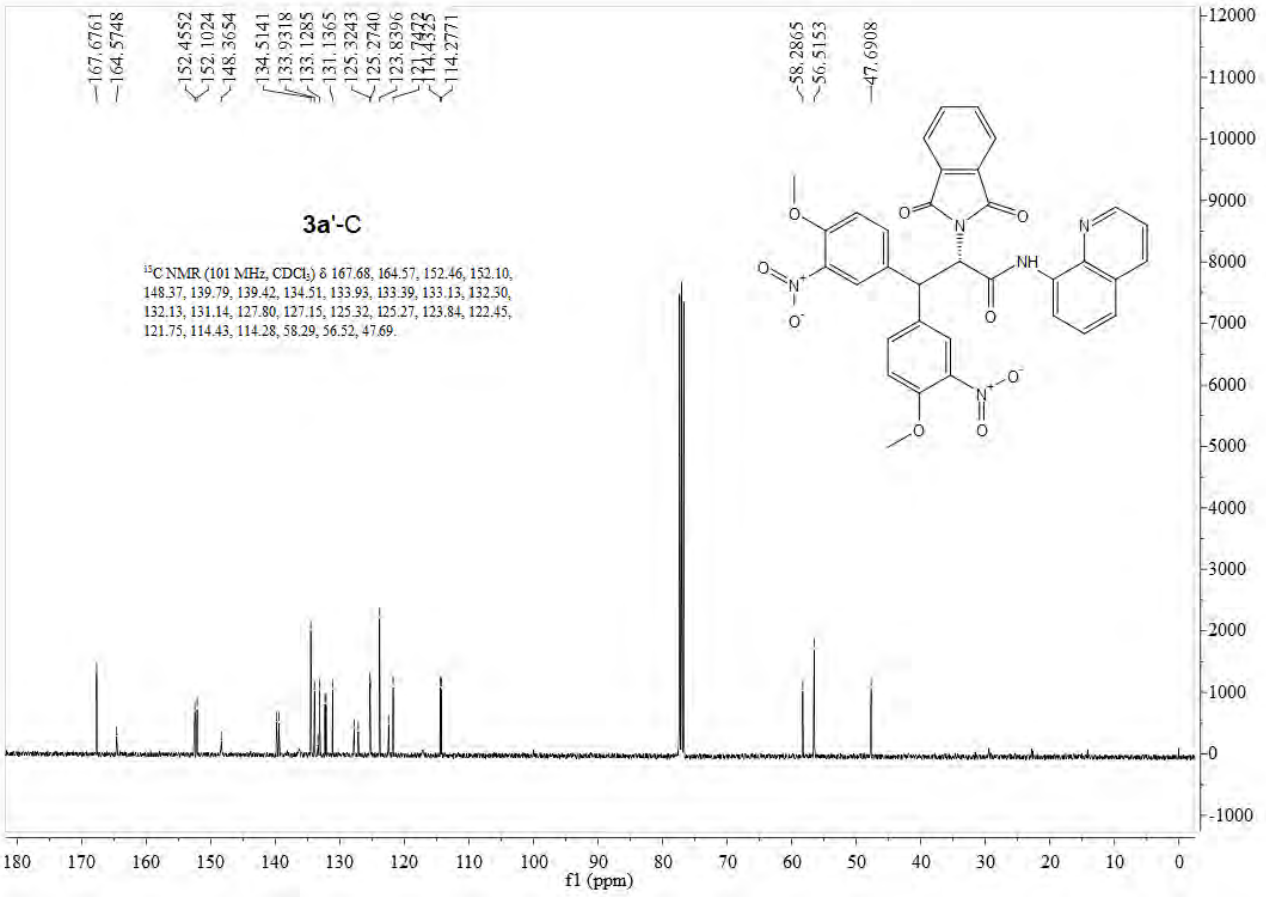
1. Chen, K.; Hu, F.; Zhang, S.Q.; Shi, B.F. *Chem. Sci*., **2013**, *4*, 3906.

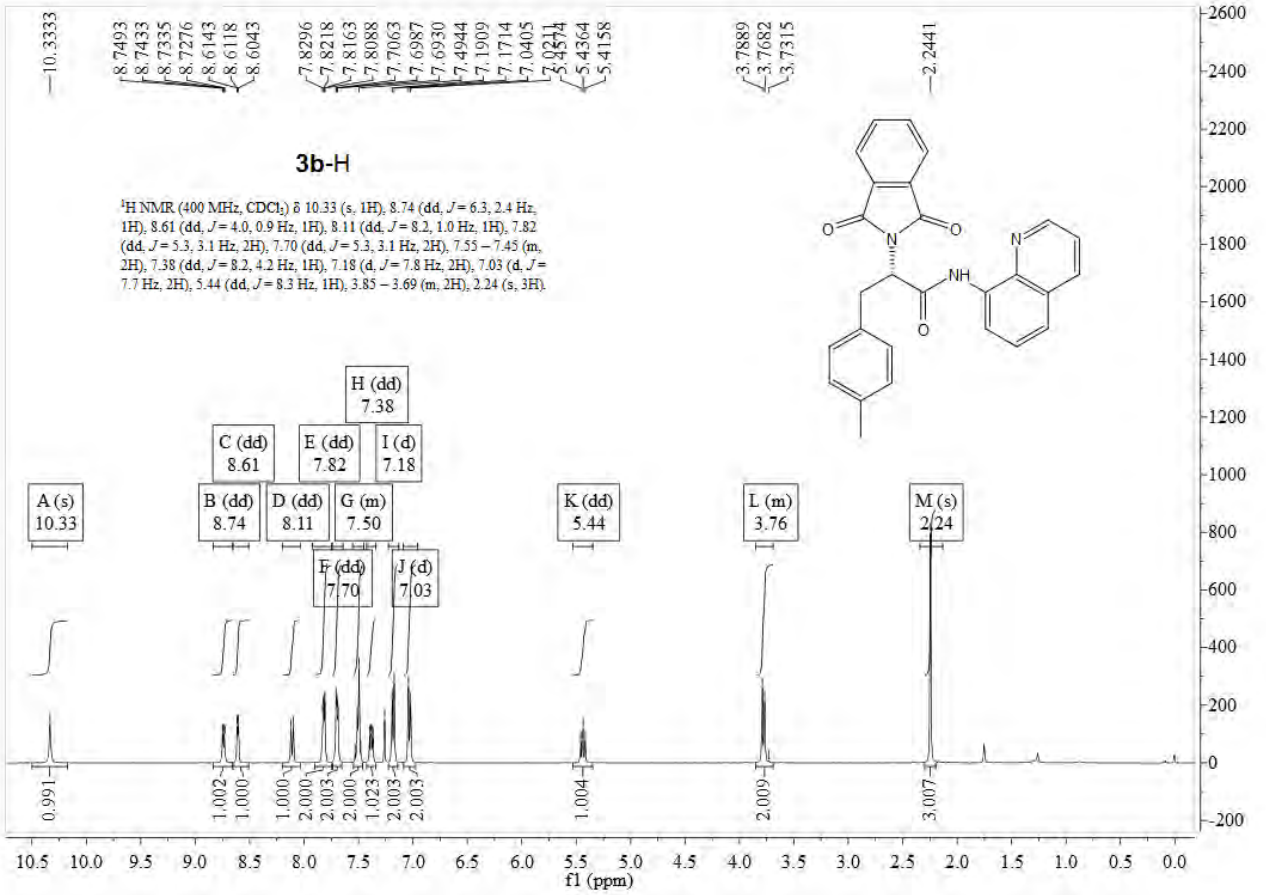

10.3143
8.7236
8.7148
8.7101
8.7012
8.6821
8.6780
8.6715
8.6674
8.1341
8.1134
7.8983
7.8907
7.8846
7.8770
7.7514
7.7437
7.7378
7.7302
7.5058
7.5010
5.2734
5.2551
5.2367
1.9829
1.9645
1H NMR (400 MHz, CDCl3) δ 10.31 (s, 1H), 8.71 (dd, J = 5.4, 3.6 Hz, 1H), 8.67 (dd, J = 4.2, 1.6 Hz, 1H), 8.13 (dd, J = 8.3, 1.6 Hz, 1H), 7.89 (dd, J = 5.5, 3.0 Hz, 2H), 7.74 (dd, J = 5.4, 3.1 Hz, 2H), 7.53 – 7.47 (m, 2H), 7.40 (dd, J = 8.3, 4.2 Hz, 1H), 5.26 (q, J = 7.3 Hz, 1H), 1.97 (d, J = 7.3 Hz, 3H).
A (s) 10.31
B (dd) 8.71
C (dd) 8.67
D (dd) 8.13
E (dd) 7.89
F (dd) 7.74
G (m) 7.49
H (dd) 7.40
I (q) 5.26
J (d) 1.97
0.992
1.000
1.000
1.000
2.002
2.005
2.009
1.003
1.030
3.008
f1 (ppm)

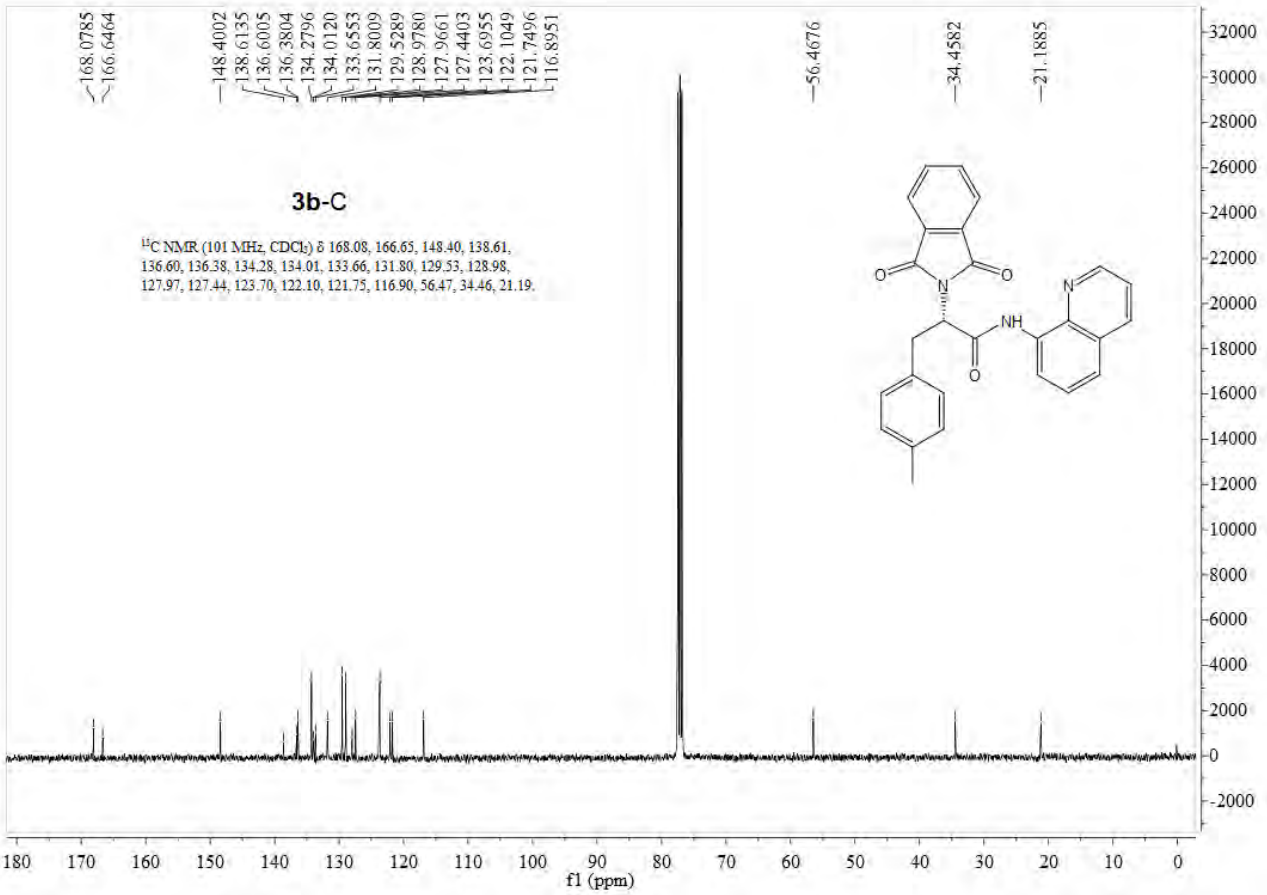

10.2780
8.7140
8.7043
8.7014
8.6917
8.5978
8.5940
8.5873
8.5834
7.8546
7.8490
7.8411
7.7643
7.7592
7.7518
7.7444
7.7381
7.5205
7.5167
7.5076
5.3854
5.3752
5.3597
3.8763
3.8499
3.8340
3.8134
3.7977
3.7878
3.7619
3.7522
3.7258
3a-H
1H NMR (400 MHz, CDCl3) δ 10.28 (s, 1H), 8.70 (dd, J = 5.1, 3.9 Hz, 1H), 8.59 (dd, J = 4.2, 1.6 Hz, 1H), 8.12 (dd, J = 8.3, 1.6 Hz, 1H), 7.85 (dd, J = 5.4, 3.1 Hz, 2H), 7.79 – 7.71 (m, 3H), 7.54 – 7.48 (m, 3H), 7.39 (dd, J = 8.3, 4.3 Hz, 1H), 6.97 (d, J = 8.6 Hz, 1H), 5.38 (dd, J = 10.3, 6.2 Hz, 1H), 3.88 (s, 3H), 3.82 (dd, J = 14.5, 6.3 Hz, 1H), 3.76 (dd, J = 14.4, 10.5 Hz, 1H)
A (s) 10.28
B (dd) 8.70
C (dd) 8.59
D (dd) 8.12
E (dd) 7.85
F (m) 7.75
G (m) 7.51
H (dd) 7.39
I (d) 6.97
J (dd) 5.38
K (s) 3.88
L (dd) 3.82
M (dd) 3.76
0.982
1.000
1.004
1.000
1.995
3.003
3.006
1.004
1.006
1.009
3.001
1.017
1.015
f1 (ppm)

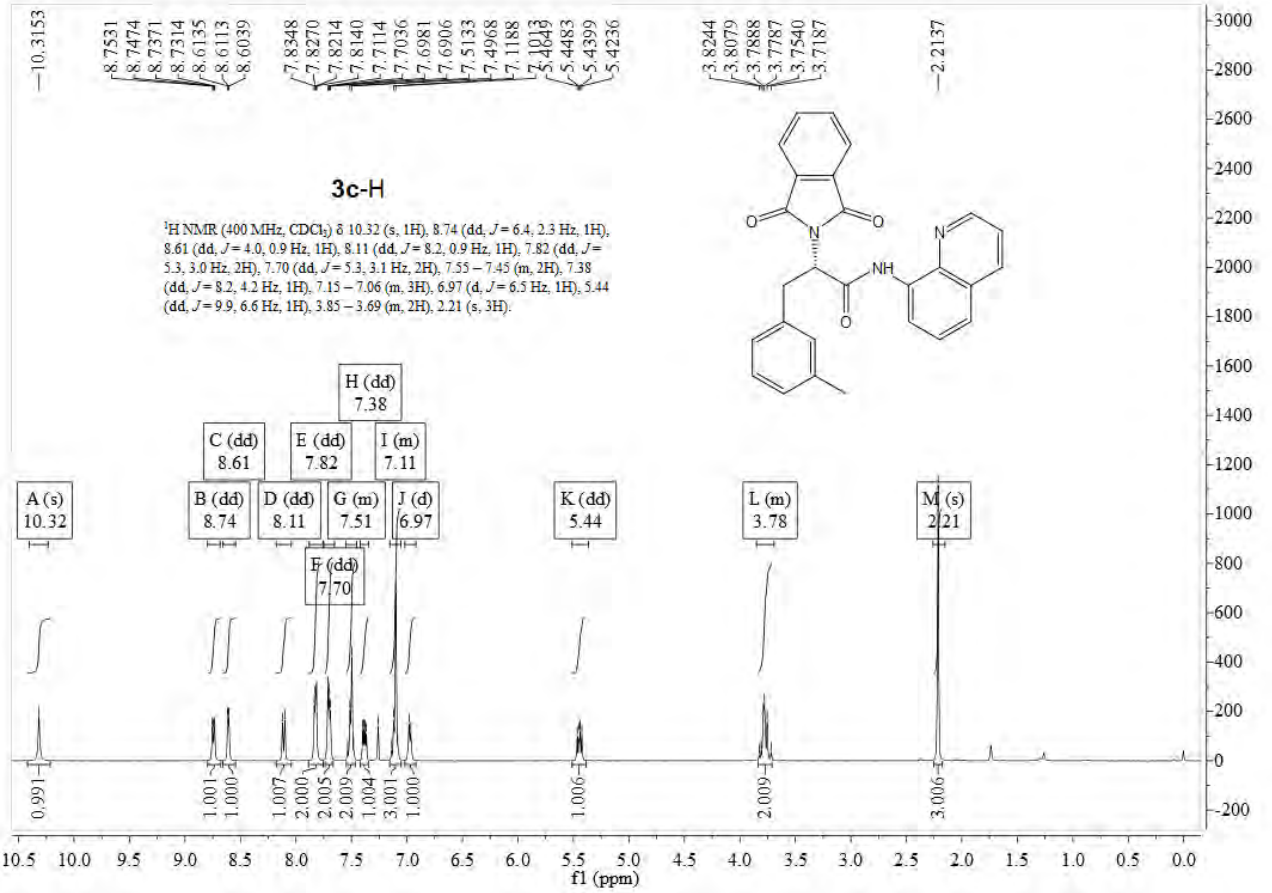

167.9681
165.8780
152.0824
148.4951
139.4493
138.5068
138.5068
136.4240
136.4240
134.9542
134.9542
134.6050
134.6050
133.7176
133.7176
131.5417
129.3314
127.9513
127.3787
126.3434
123.9040
122.3052
121.8246
116.8959
114.0221
56.5956
55.9181
33.5920
3a-C
13C NMR (101 MHz, CDCl3) δ 167.97, 165.88, 152.08, 148.50, 139.45, 138.51, 138.51, 136.42, 136.42, 134.95, 134.95, 134.61, 134.61, 133.72, 133.72, 131.54, 129.33, 127.95, 127.38, 126.34, 123.90, 122.31, 121.82, 116.90, 114.02, 56.60, 55.92, 33.59.
f1 (ppm)

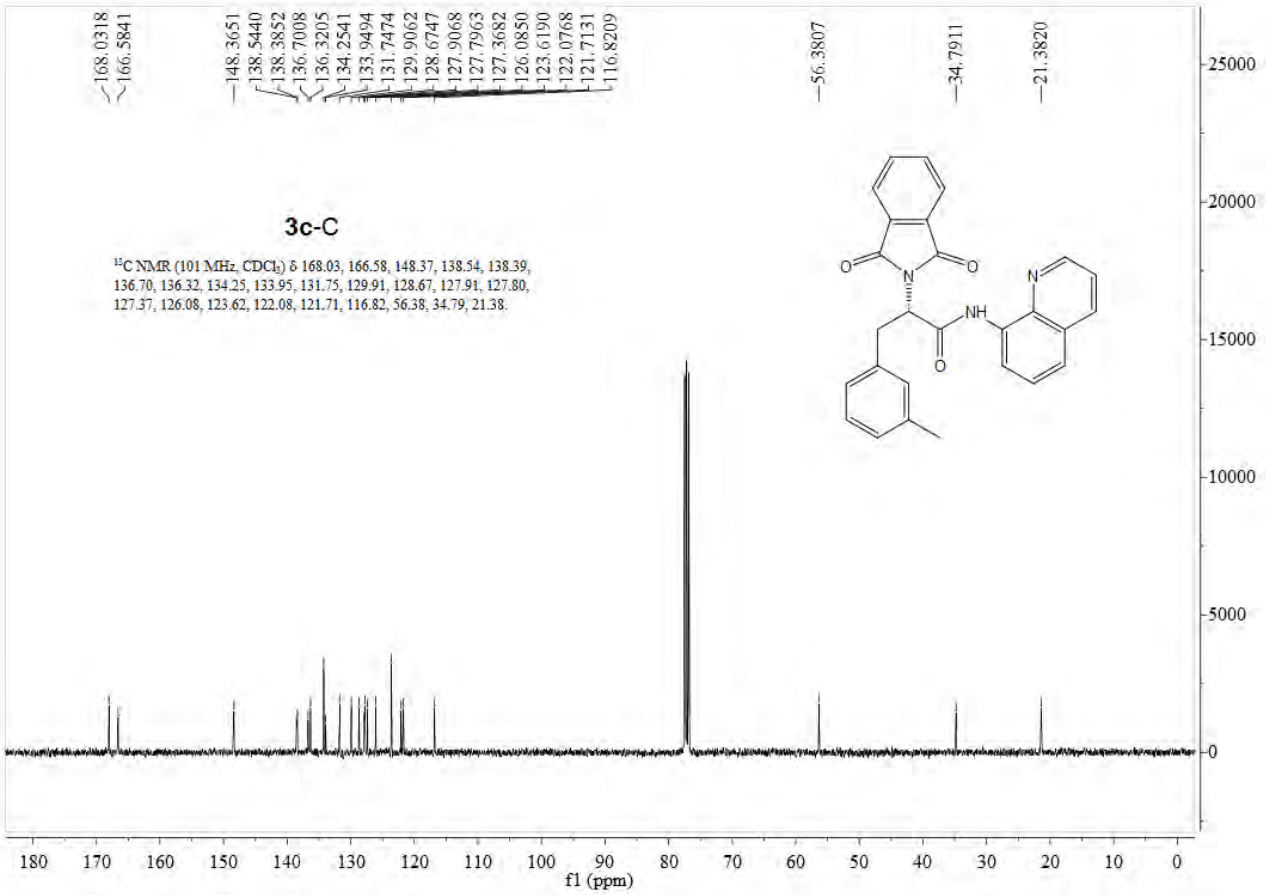

10.1328
8.7080
8.7006
8.5702
8.5670
8.5517
8.5486
7.8396
7.8340
7.7953
7.7894
7.7817
7.6906
7.6830
7.6770
6.9879
6.9660
5.8582
5.8276
5.7494
5.7187
3.8374
3.8036
3a'-H
1H NMR (400 MHz, CDCl3) δ 10.13 (s, 1H), 8.70 (dd, J = 4.1, 1.1 Hz, 1H), 8.56 (dd, J = 7.4, 1.3 Hz, 1H), 8.11 (d, J = 7.9 Hz, 1H), 8.06 (d, J = 2.2 Hz, 1H), 7.84 (d, J = 2.2 Hz, 1H), 7.79 (dd, J = 5.4, 3.1 Hz, 2H), 7.75 (dd, J = 8.7, 2.2 Hz, 1H), 7.68 (dd, J = 5.5, 3.0 Hz, 2H), 7.58 (dd, J = 8.7, 2.2 Hz, 1H), 7.51 – 7.36 (m, 3H), 6.98 (d, J = 8.8 Hz, 2H), 5.84 (d, J = 12.3 Hz, 1H), 5.73 (d, J = 12.3 Hz, 1H), 3.84 (s, 3H), 3.80 (s, 3H).
A (s) 10.13
B (dd) 8.70
C (dd) 8.56
D (d) 8.11
E (d) 8.06
F (d) 7.84
G (dd) 7.79
H (dd) 7.75
I (dd) 7.68
J (dd) 7.58
K (m) 7.44
L (d) 6.98
M (d) 5.84
N (d) 5.73
O (s) 3.84
P (s) 3.80
0.986
1.003
1.002
1.000
1.000
1.004
0.998
2.000
1.002
3.005
1.000
1.000
3.005
3.003
f1 (ppm)

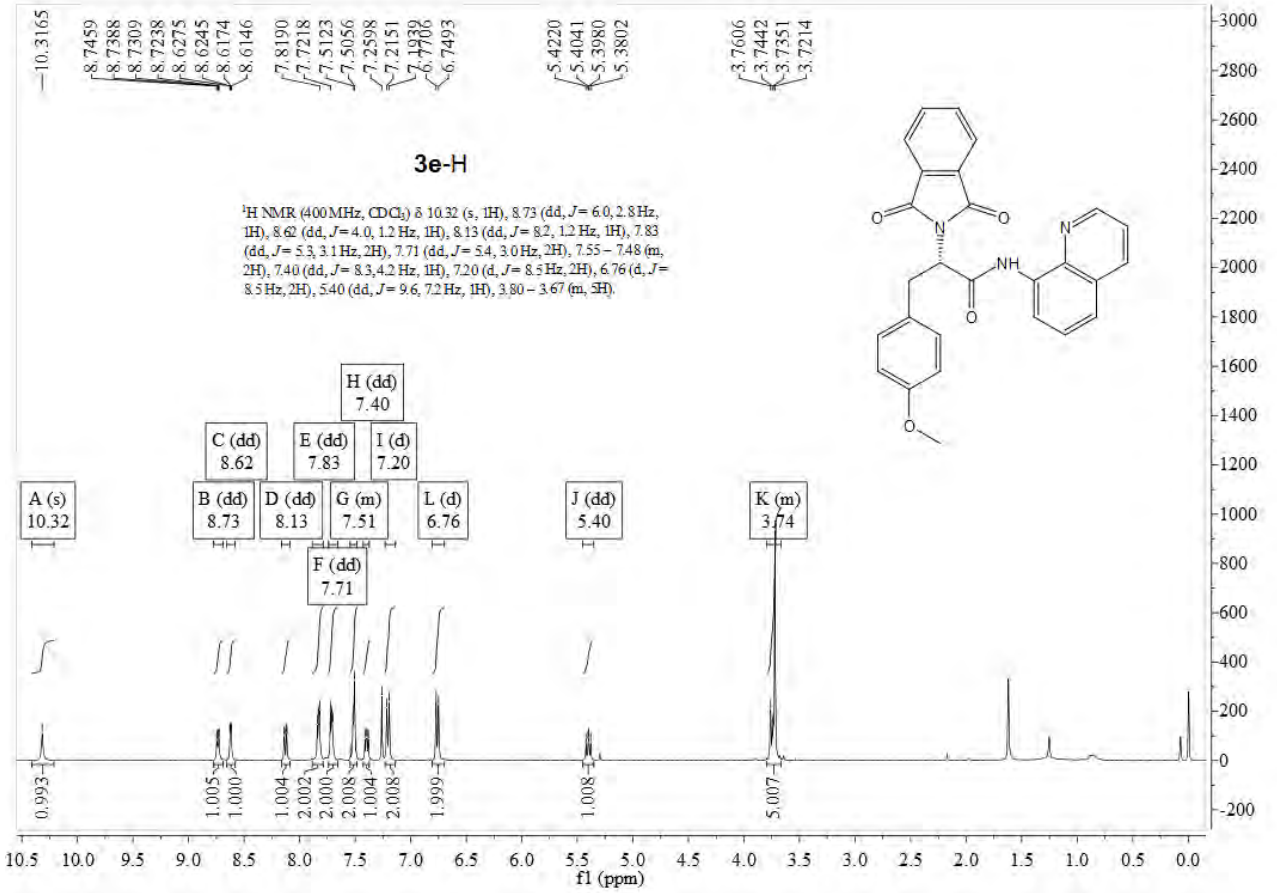

167.6761
164.5748
152.4552
152.1024
148.3654
134.5141
133.9318
133.1285
131.1365
125.3243
125.2740
123.8396
121.7472
121.4325
114.2771
58.2865
56.5153
47.6908
3a'-C
15C NMR (101 MHz, CDCl3) δ 167.68, 164.57, 152.46, 152.10, 148.37, 139.79, 139.42, 134.51, 133.93, 133.39, 133.13, 132.30, 132.13, 131.14, 127.80, 127.15, 125.32, 125.27, 123.84, 122.45, 121.75, 114.43, 114.28, 58.29, 56.52, 47.69.
f1 (ppm)

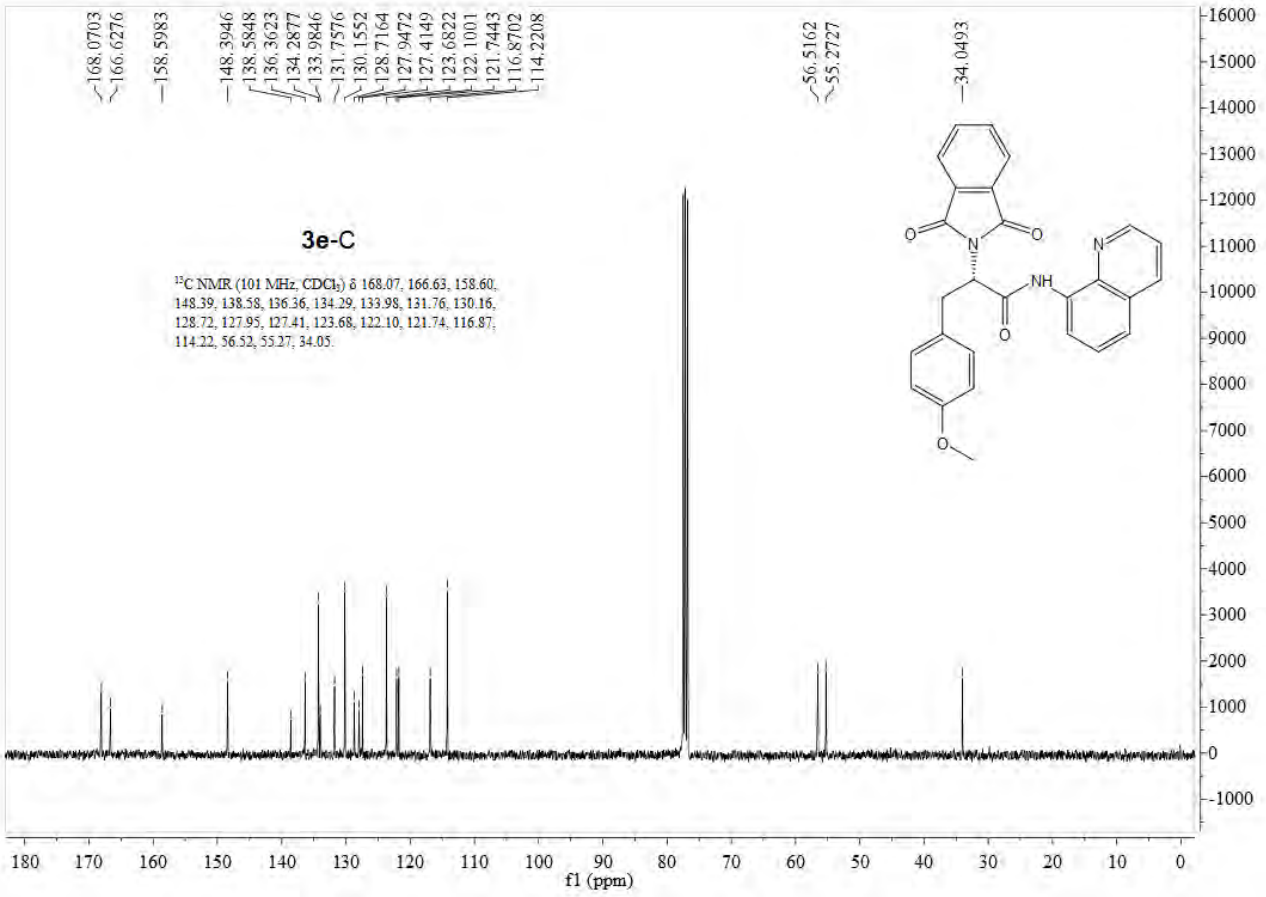

10.3333
8.7493
8.7433
8.7335
8.7276
8.6143
8.6118
8.6043
7.8296
7.8218
7.8163
7.8088
7.7063
7.6987
7.6930
7.4944
7.1909
7.1714
7.0405
7.0211
5.4374
5.4364
5.4158
3.7889
3.7682
3.7315
2.2441
3b-H
1H NMR (400 MHz, CDCl3) δ 10.33 (s, 1H), 8.74 (dd, J = 6.3, 2.4 Hz, 1H), 8.61 (dd, J = 4.0, 0.9 Hz, 1H), 8.11 (dd, J = 8.2, 1.0 Hz, 1H), 7.82 (dd, J = 5.3, 3.1 Hz, 2H), 7.70 (dd, J = 5.3, 3.1 Hz, 2H), 7.55 – 7.45 (m, 2H), 7.38 (dd, J = 8.2, 4.2 Hz, 1H), 7.18 (d, J = 7.8 Hz, 2H), 7.03 (d, J = 7.7 Hz, 2H), 5.44 (dd, J = 8.3 Hz, 1H), 3.85 – 3.69 (m, 2H), 2.24 (s, 3H).
A (s) 10.33
B (dd) 8.74
C (dd) 8.61
D (dd) 8.11
E (dd) 7.82
F (dd) 7.70
G (m) 7.50
H (dd) 7.38
I (d) 7.18
J (d) 7.03
K (dd) 5.44
L (m) 3.76
M (s) 2.24
0.991
1.002
1.000
1.000
2.000
2.003
2.000
1.023
2.003
2.003
1.004
2.009
3.007
f1 (ppm)

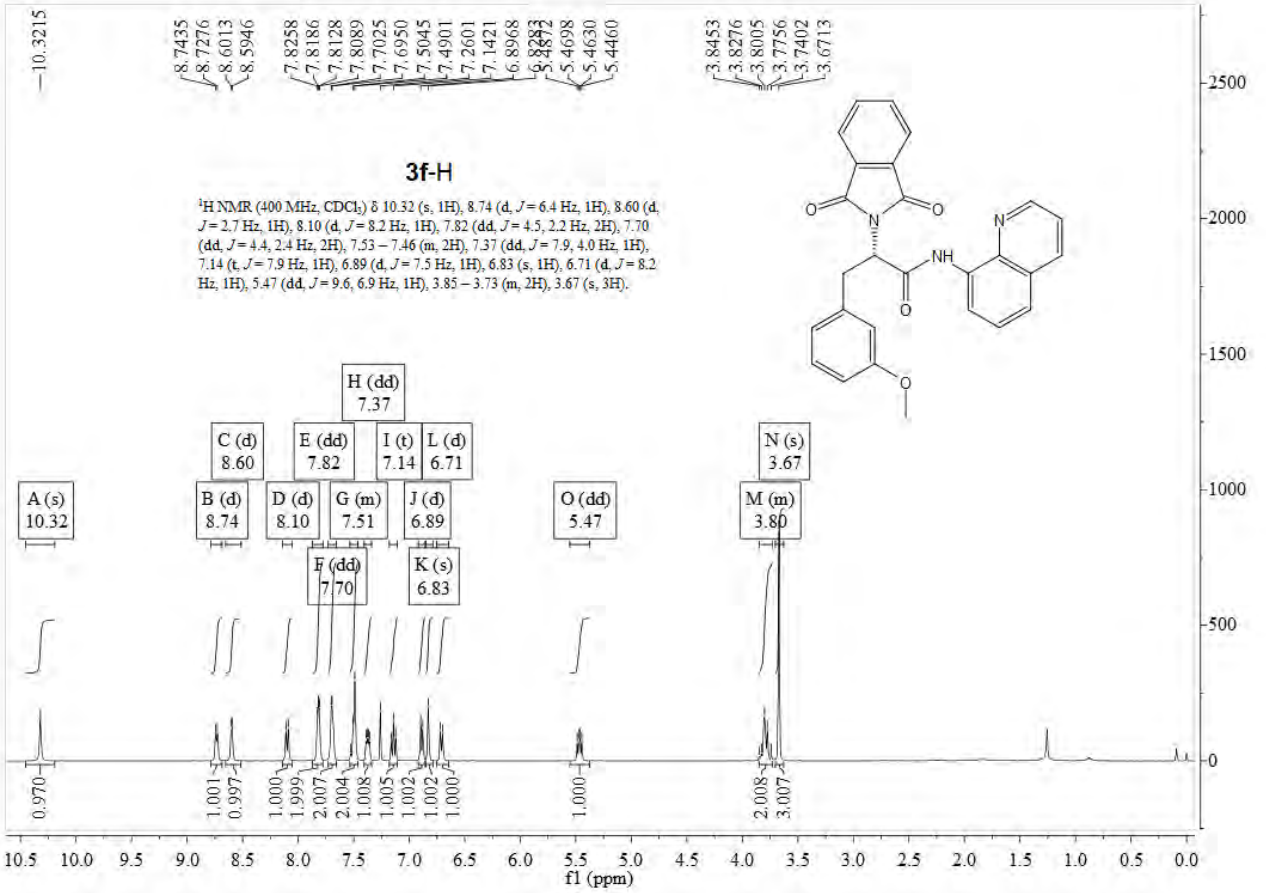

168.0785
166.6464
148.4002
138.6135
136.6005
136.3804
134.2796
134.0120
133.6553
131.8009
129.5289
128.9780
127.9661
127.4403
123.6955
122.1049
121.7496
116.8951
56.4676
34.4582
21.1885
3b-C
$^{13}$C NMR (101 MHz, CDCl$_3$) δ 168.08, 166.65, 148.40, 138.61, 136.60, 136.38, 134.28, 134.01, 133.66, 131.80, 129.53, 128.98, 127.97, 127.44, 123.70, 122.10, 121.75, 116.90, 56.47, 34.46, 21.19.
f1 (ppm)

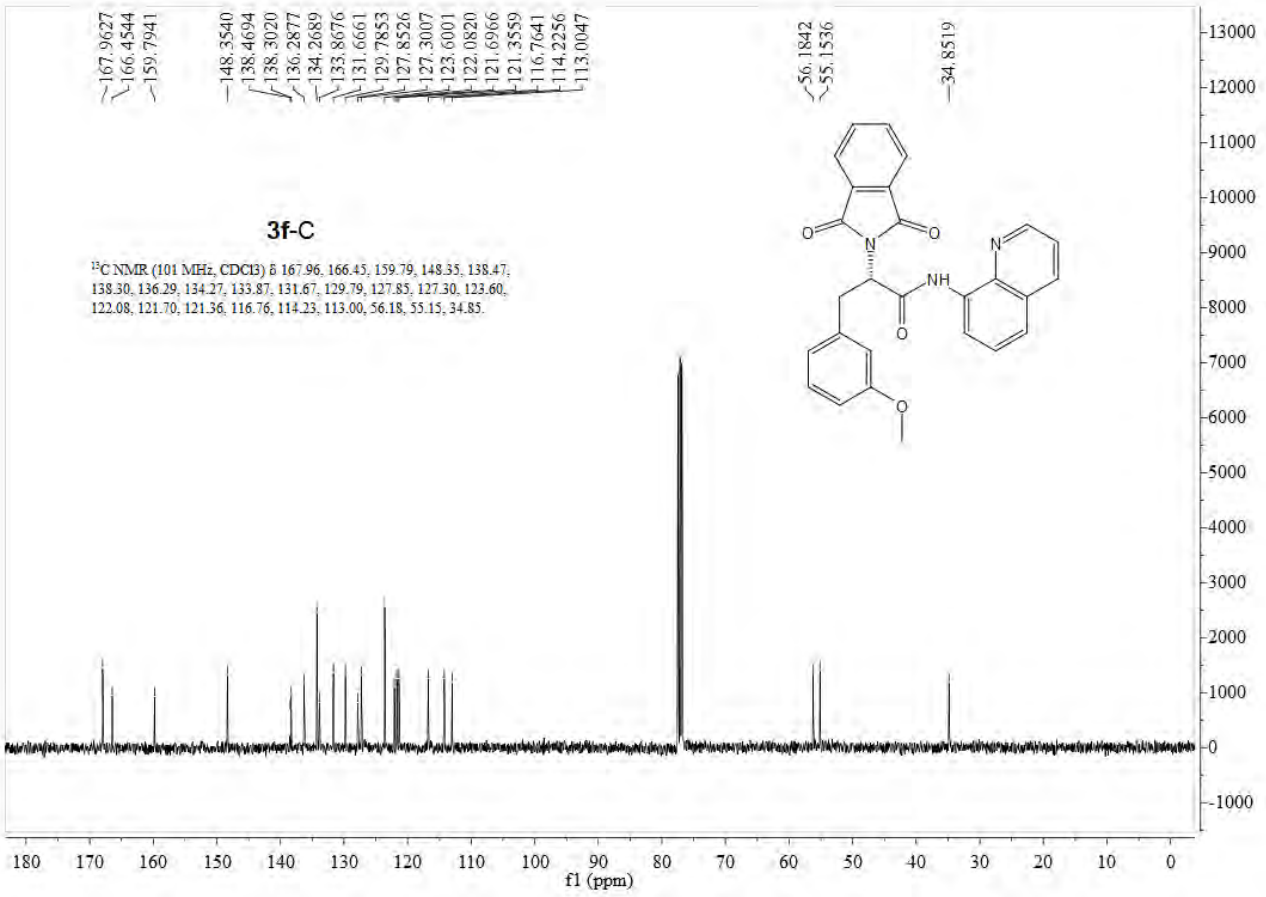

10.3153
8.7531
8.7474
8.7371
8.7314
8.6135
8.6113
8.6039
7.8348
7.8270
7.8214
7.8140
7.7114
7.7036
7.6981
7.6906
7.5133
7.4968
7.1188
7.1013
5.4649
5.4483
5.4399
5.4236
3.8244
3.8079
3.7888
3.7787
3.7540
3.7187
2.2137
3c-H
$^1$H NMR (400 MHz, CDCl$_3$) δ 10.32 (s, 1H), 8.74 (dd, J = 6.4, 2.3 Hz, 1H), 8.61 (dd, J = 4.0, 0.9 Hz, 1H), 8.11 (dd, J = 8.2, 0.9 Hz, 1H), 7.82 (dd, J = 5.3, 3.0 Hz, 2H), 7.70 (dd, J = 5.3, 3.1 Hz, 2H), 7.55 – 7.45 (m, 2H), 7.38 (dd, J = 8.2, 4.2 Hz, 1H), 7.15 – 7.06 (m, 3H), 6.97 (d, J = 6.5 Hz, 1H), 5.44 (dd, J = 9.9, 6.6 Hz, 1H), 3.85 – 3.69 (m, 2H), 2.21 (s, 3H).
A (s) 10.32
B (dd) 8.74
C (dd) 8.61
D (dd) 8.11
E (dd) 7.82
F (dd) 7.70
G (m) 7.51
H (dd) 7.38
I (m) 7.11
J (d) 6.97
K (dd) 5.44
L (m) 3.78
M (s) 2.21
0.991
1.001
1.000
1.007
2.000
2.005
2.009
1.004
3.001
1.000
1.006
2.009
3.006
f1 (ppm)

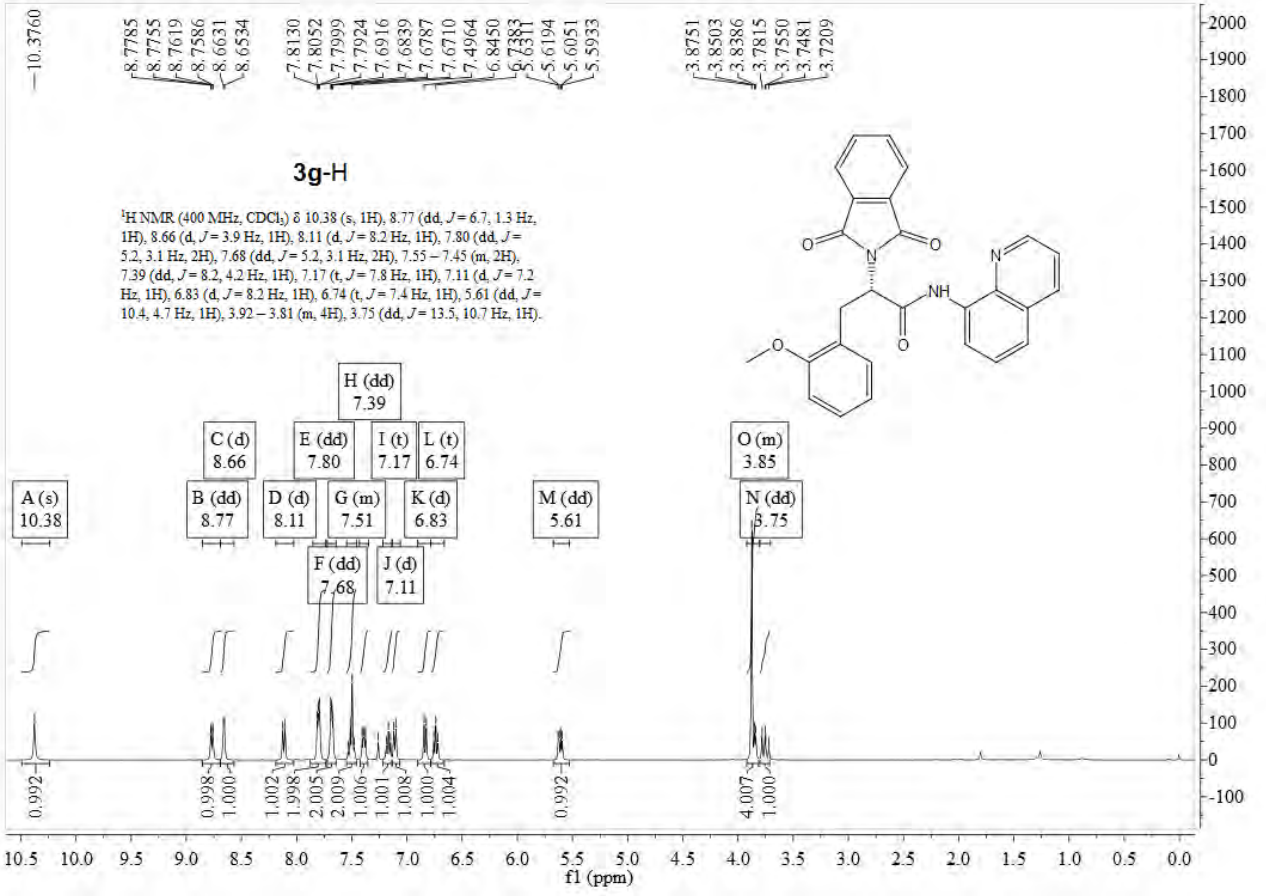

168.0318
166.5841
148.3651
138.5440
138.3852
136.7008
136.3205
134.2541
133.9494
131.7474
129.9062
128.6747
127.9068
127.7963
127.3682
126.0850
123.6190
122.0768
121.7131
116.8209
56.3807
34.7911
21.3820
3c-C
13C NMR (101 MHz, CDCl3) δ 168.03, 166.58, 148.37, 138.54, 138.39, 136.70, 136.32, 134.25, 133.95, 131.75, 129.91, 128.67, 127.91, 127.80, 127.37, 126.08, 123.62, 122.08, 121.71, 116.82, 56.38, 34.79, 21.38.
f1 (ppm)

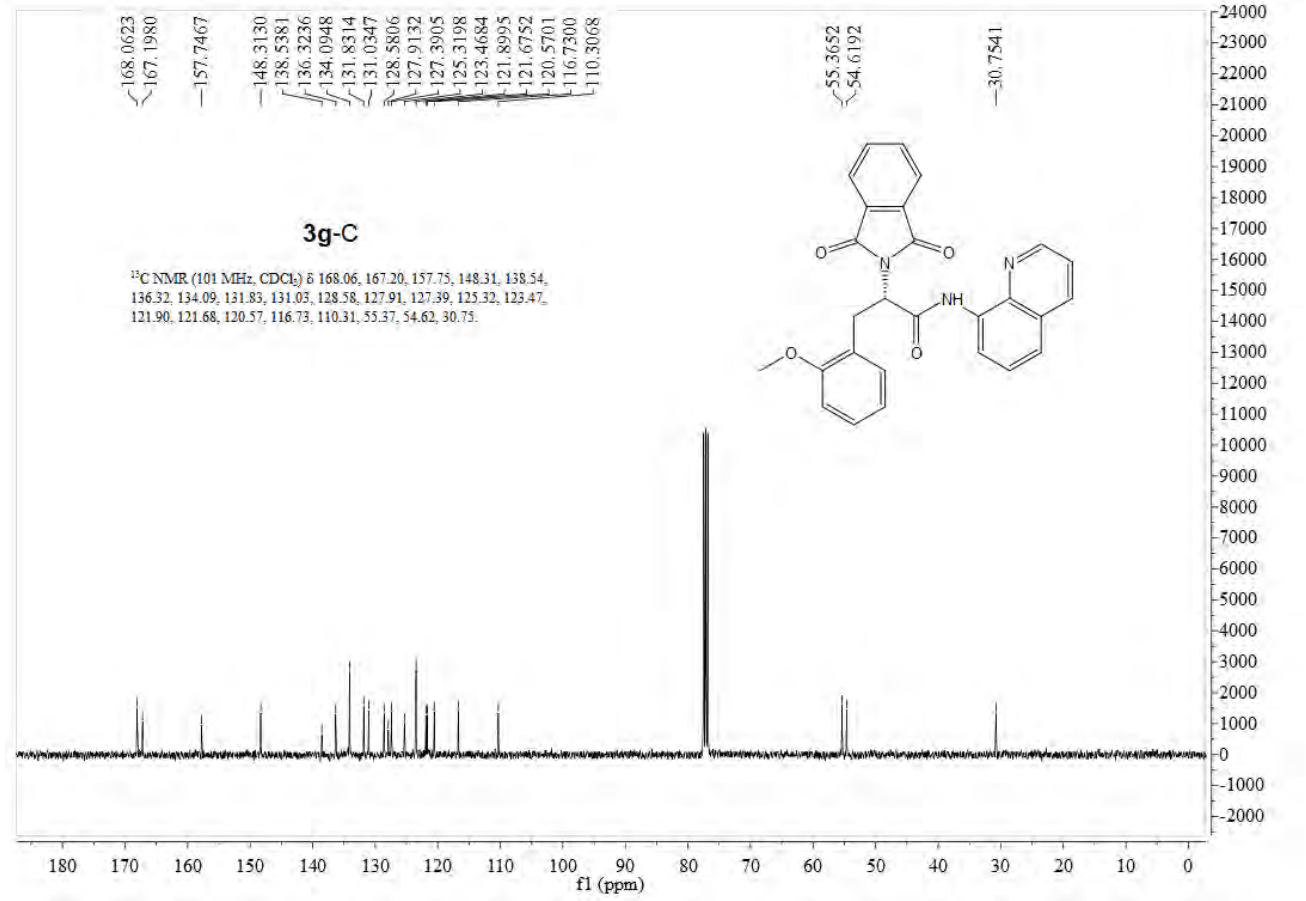

10.3165
8.7459
8.7388
8.7309
8.7238
8.6275
8.6245
8.6174
8.6146
7.8190
7.7218
7.5123
7.5056
7.2598
7.2151
7.1939
7.1706
6.7493
5.4220
5.4041
5.3980
5.3802
3.7606
3.7442
3.7351
3.7214
3e-H
1H NMR (400 MHz, CDCl3) δ 10.32 (s, 1H), 8.73 (dd, J = 6.0, 2.8 Hz, 1H), 8.62 (dd, J = 4.0, 1.2 Hz, 1H), 8.13 (dd, J = 8.2, 1.2 Hz, 1H), 7.83 (dd, J = 5.3, 3.1 Hz, 2H), 7.71 (dd, J = 5.4, 3.0 Hz, 2H), 7.55 – 7.48 (m, 2H), 7.40 (dd, J = 8.3, 4.2 Hz, 1H), 7.20 (d, J = 8.5 Hz, 2H), 6.76 (d, J = 8.5 Hz, 2H), 5.40 (dd, J = 9.6, 7.2 Hz, 1H), 3.80 – 3.67 (m, 5H).
A (s) 10.32
B (dd) 8.73
C (dd) 8.62
D (dd) 8.13
E (dd) 7.83
F (dd) 7.71
G (m) 7.51
H (dd) 7.40
I (d) 7.20
J (dd) 5.40
K (m) 3.74
L (d) 6.76
0.993
1.005
1.000
1.004
2.002
2.000
2.008
1.004
2.008
1.999
1.008
5.007
f1 (ppm)

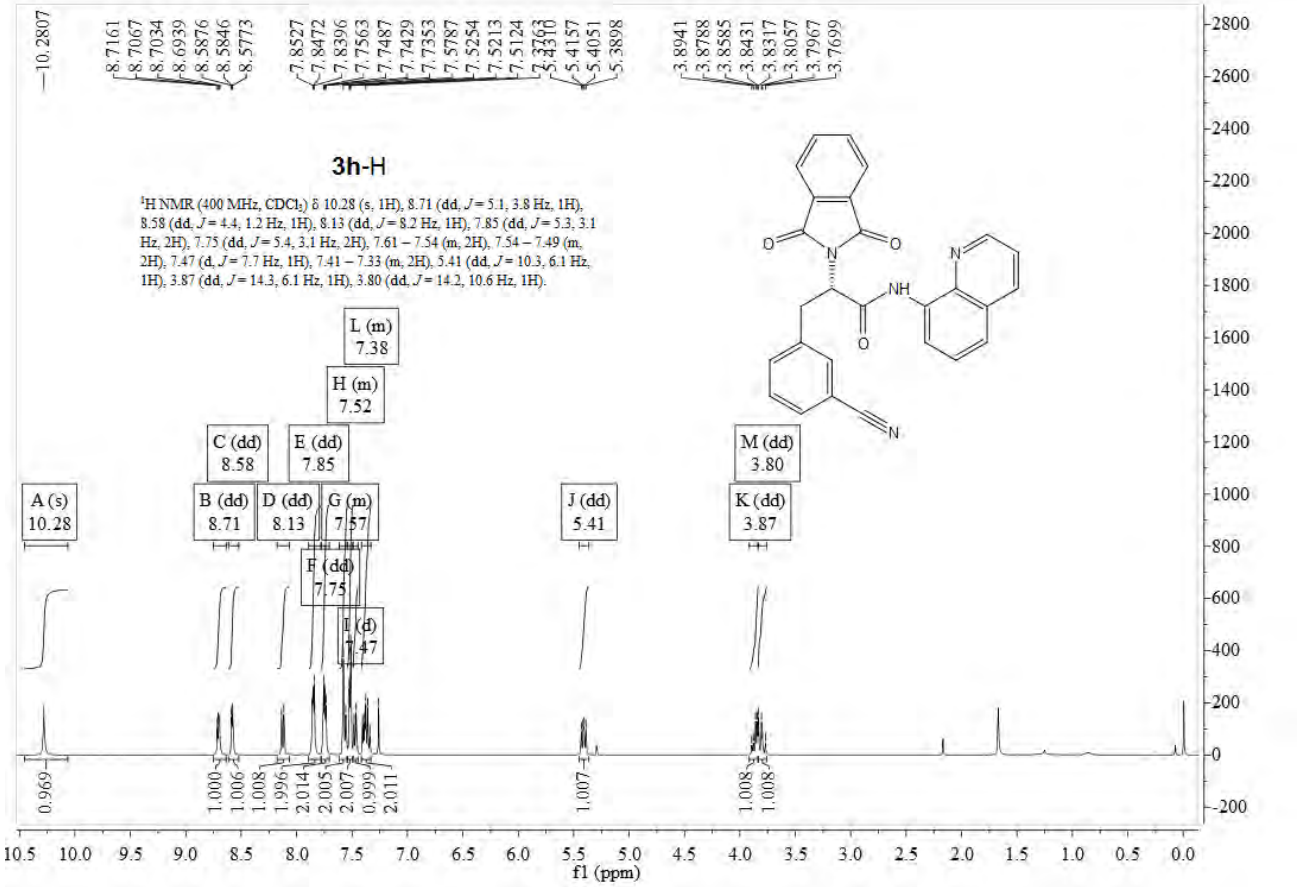

168.0703
166.6276
158.5983
148.3946
138.5848
136.3623
134.2877
133.9846
131.7576
130.1552
128.7164
127.9472
127.4149
123.6822
122.1001
121.7443
116.8702
114.2208
56.5162
55.2727
34.0493
3e-C
$^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.07, 166.63, 158.60, 148.39, 138.58, 136.36, 134.29, 133.98, 131.76, 130.16, 128.72, 127.95, 127.41, 123.68, 122.10, 121.74, 116.87, 114.22, 56.52, 55.27, 34.05.
f1 (ppm)

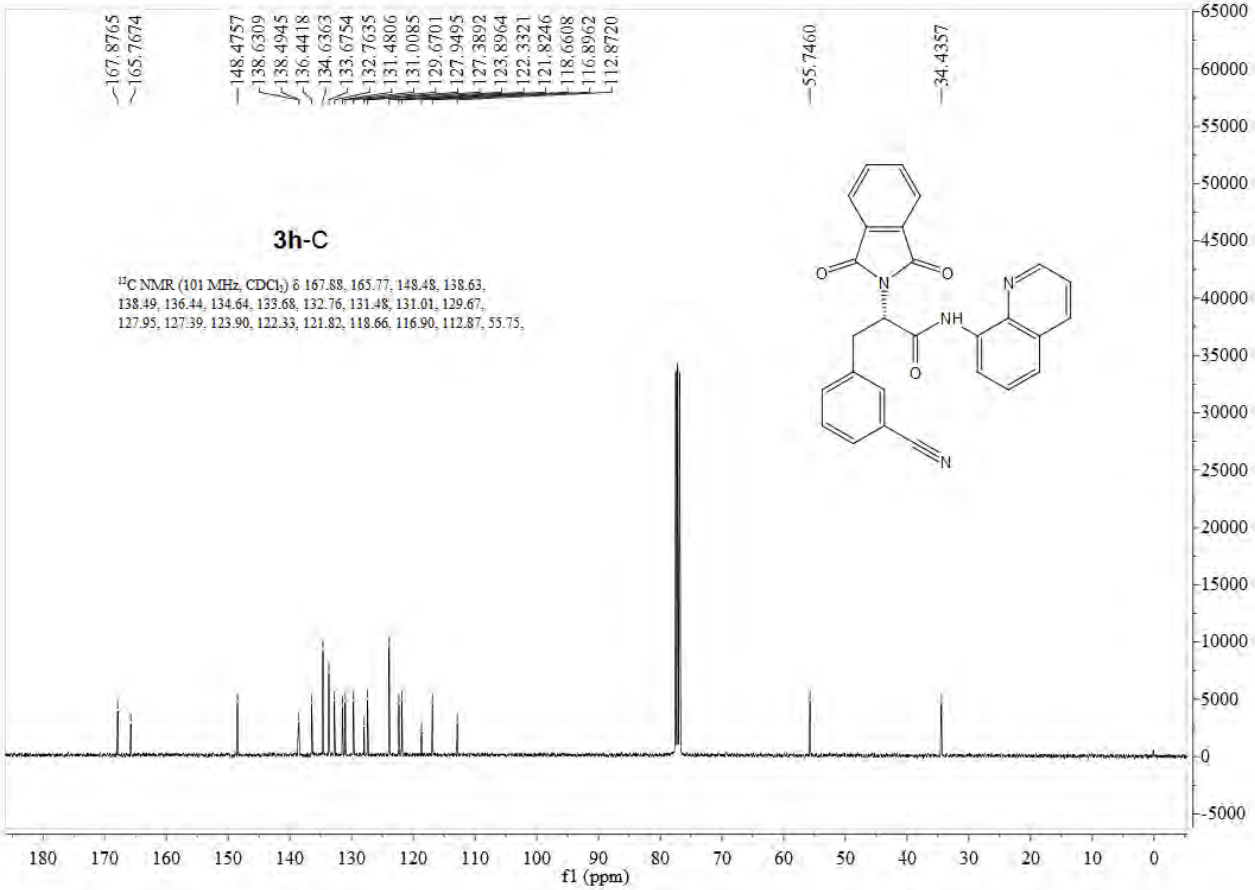

10.3215
8.7435
8.7276
8.6013
8.5946
7.8258
7.8186
7.8128
7.8089
7.7025
7.6950
7.5045
7.4901
7.2601
7.1421
6.8968
5.4698
5.4630
5.4460
3.8453
3.8276
3.8005
3.7756
3.7402
3.6713
3f-H
$^1$H NMR (400 MHz, $CDCl_3$) δ 10.32 (s, 1H), 8.74 (d, J = 6.4 Hz, 1H), 8.60 (d, J = 2.7 Hz, 1H), 8.10 (d, J = 8.2 Hz, 1H), 7.82 (dd, J = 4.5, 2.2 Hz, 2H), 7.70 (dd, J = 4.4, 2.4 Hz, 2H), 7.53 – 7.46 (m, 2H), 7.37 (dd, J = 7.9, 4.0 Hz, 1H), 7.14 (t, J = 7.9 Hz, 1H), 6.89 (d, J = 7.5 Hz, 1H), 6.83 (s, 1H), 6.71 (d, J = 8.2 Hz, 1H), 5.47 (dd, J = 9.6, 6.9 Hz, 1H), 3.85 – 3.73 (m, 2H), 3.67 (s, 3H).
A (s) 10.32
B (d) 8.74
C (d) 8.60
D (d) 8.10
E (dd) 7.82
F (dd) 7.70
G (m) 7.51
H (dd) 7.37
I (t) 7.14
J (d) 6.89
K (s) 6.83
L (d) 6.71
M (m) 3.80
N (s) 3.67
O (dd) 5.47
0.970
1.001
0.997
1.000
1.999
2.007
2.004
1.008
1.005
1.002
1.002
1.000
1.000
2.008
3.007
f1 (ppm)

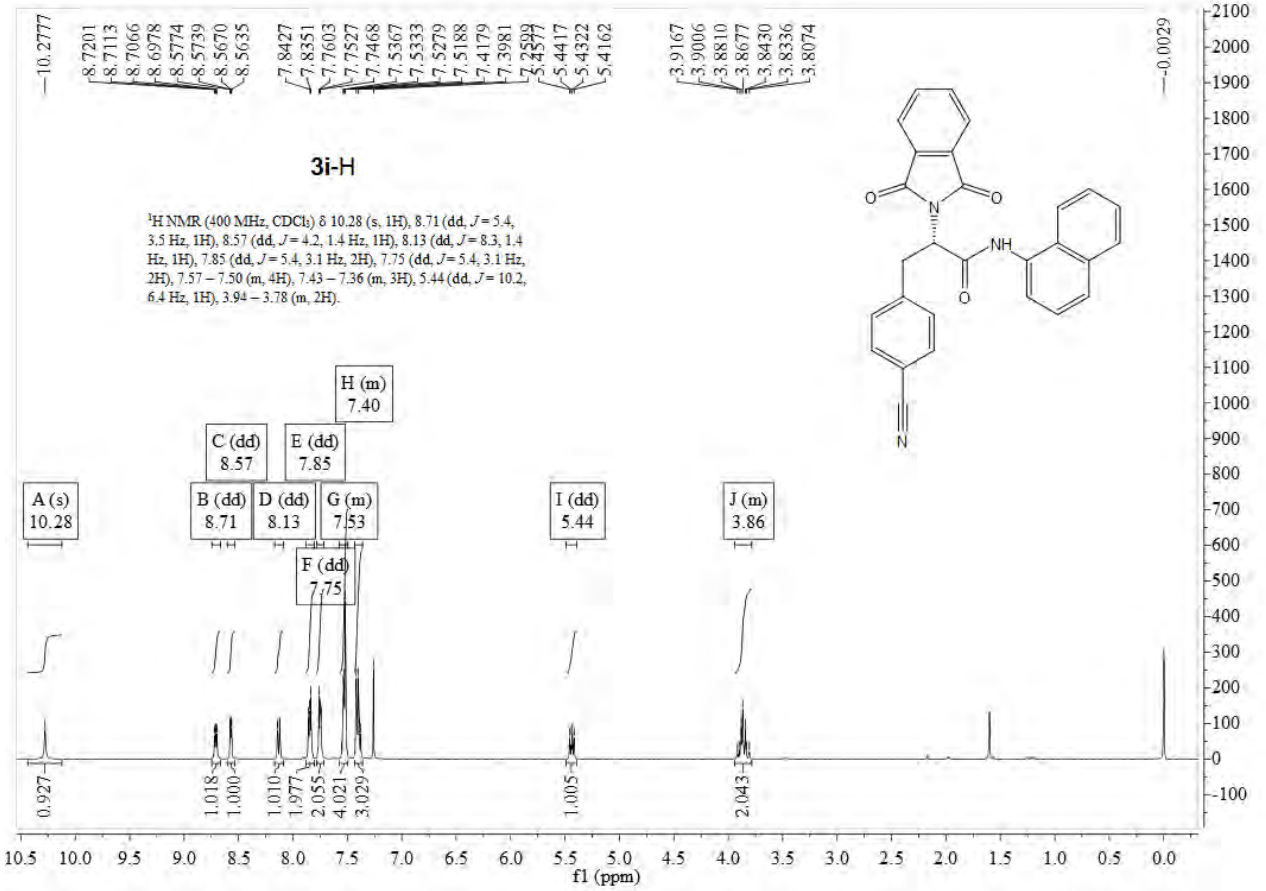

167.9627
166.4544
159.7941
148.3540
138.4694
138.3020
136.2877
134.2689
133.8676
131.6661
129.7853
127.8526
127.3007
123.6001
122.0820
121.6966
121.3559
116.7641
114.2256
113.0047
56.1842
55.1536
34.8519
3f-C
13C NMR (101 MHz, CDCl3) δ 167.96, 166.45, 159.79, 148.35, 138.47, 138.30, 136.29, 134.27, 133.87, 131.67, 129.79, 127.85, 127.30, 123.60, 122.08, 121.70, 121.36, 116.76, 114.23, 113.00, 56.18, 55.15, 34.85.
f1 (ppm)

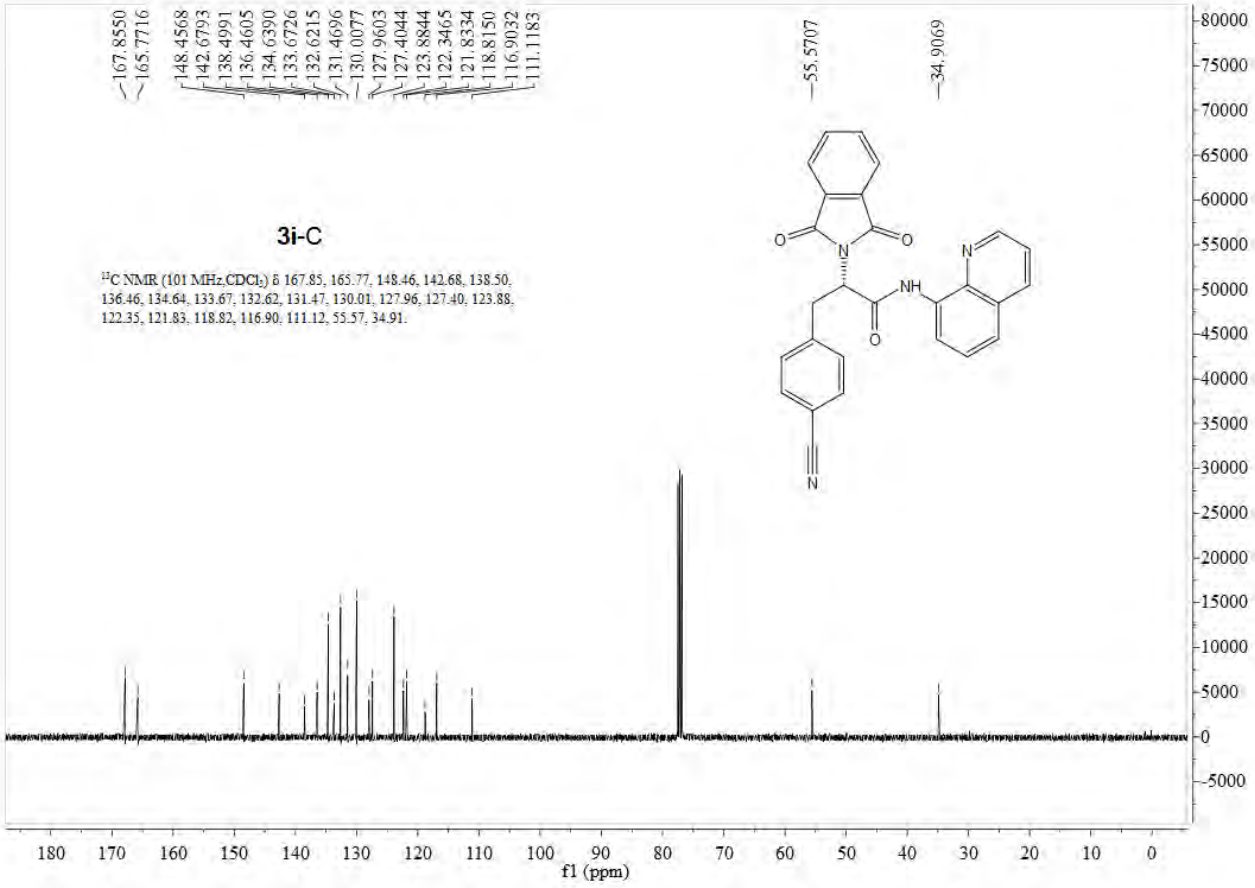

10.3760
8.7785
8.7755
8.7619
8.7586
8.6631
8.6534
7.8130
7.8052
7.7999
7.7924
7.6916
7.6839
7.6787
7.6710
7.4964
6.8450
6.7383
6.6311
5.6194
5.6051
5.5933
3.8751
3.8503
3.8386
3.7815
3.7550
3.7481
3.7209
3g-H
1H NMR (400 MHz, CDCl3) δ 10.38 (s, 1H), 8.77 (dd, J = 6.7, 1.3 Hz, 1H), 8.66 (d, J = 3.9 Hz, 1H), 8.11 (d, J = 8.2 Hz, 1H), 7.80 (dd, J = 5.2, 3.1 Hz, 2H), 7.68 (dd, J = 5.2, 3.1 Hz, 2H), 7.55 – 7.45 (m, 2H), 7.39 (dd, J = 8.2, 4.2 Hz, 1H), 7.17 (t, J = 7.8 Hz, 1H), 7.11 (d, J = 7.2 Hz, 1H), 6.83 (d, J = 8.2 Hz, 1H), 6.74 (t, J = 7.4 Hz, 1H), 5.61 (dd, J = 10.4, 4.7 Hz, 1H), 3.92 – 3.81 (m, 4H), 3.75 (dd, J = 13.5, 10.7 Hz, 1H).
A (s) 10.38
B (dd) 8.77
C (d) 8.66
D (d) 8.11
E (dd) 7.80
F (dd) 7.68
G (m) 7.51
H (dd) 7.39
I (t) 7.17
J (d) 7.11
K (d) 6.83
L (t) 6.74
M (dd) 5.61
N (dd) 3.75
O (m) 3.85
0.992
0.998
1.000
1.002
1.998
2.005
2.009
1.006
1.001
1.008
1.000
1.004
0.992
4.007
1.000
f1 (ppm)

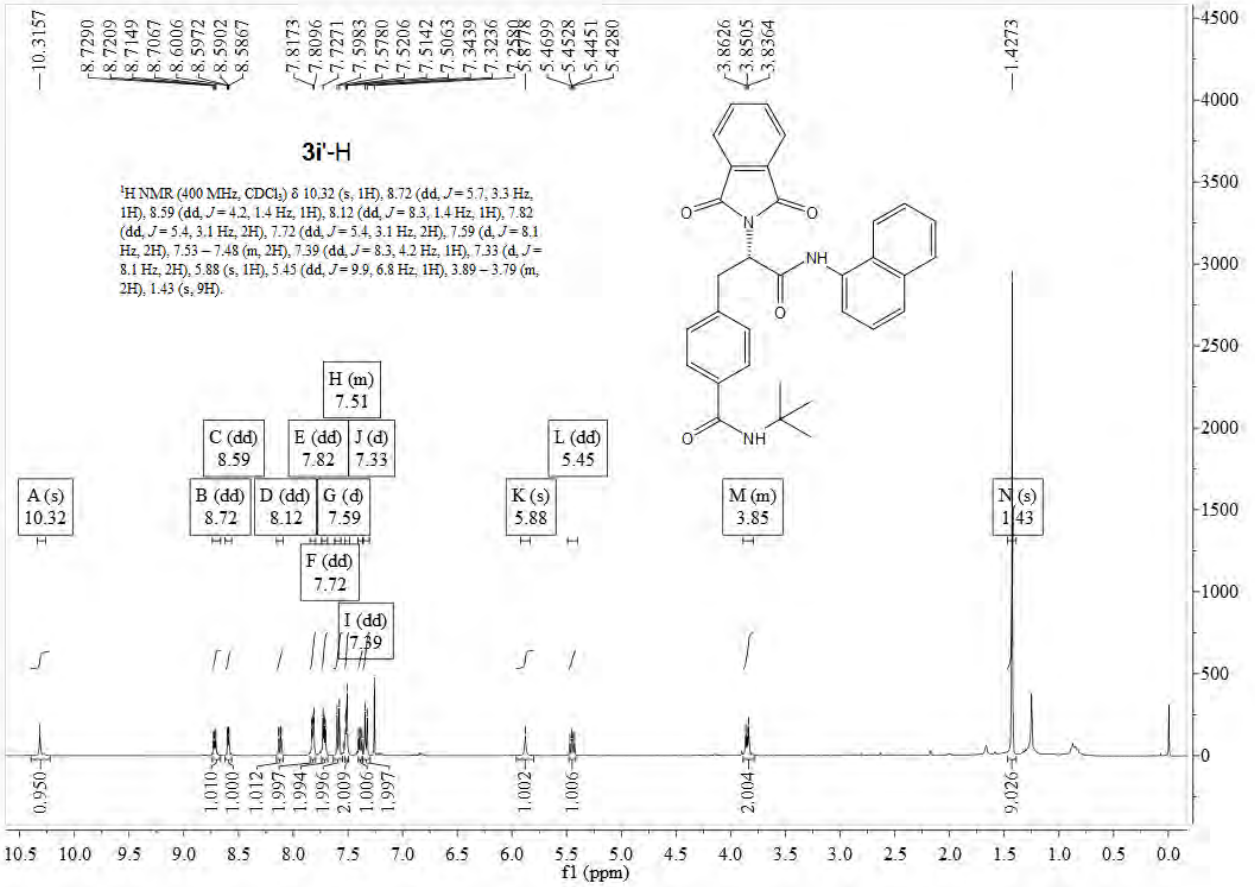

168.0623
167.1980
157.7467
148.3130
138.5381
136.3236
134.0948
131.8314
131.0347
128.5806
127.9132
127.3905
125.3198
123.4684
121.8995
121.6752
120.5701
116.7300
110.3068
55.3652
54.6192
30.7541
3g-C
13C NMR (101 MHz, CDCl3) δ 168.06, 167.20, 157.75, 148.31, 138.54, 136.32, 134.09, 131.83, 131.03, 128.58, 127.91, 127.39, 125.32, 123.47, 121.90, 121.68, 120.57, 116.73, 110.31, 55.37, 54.62, 30.75.
f1 (ppm)

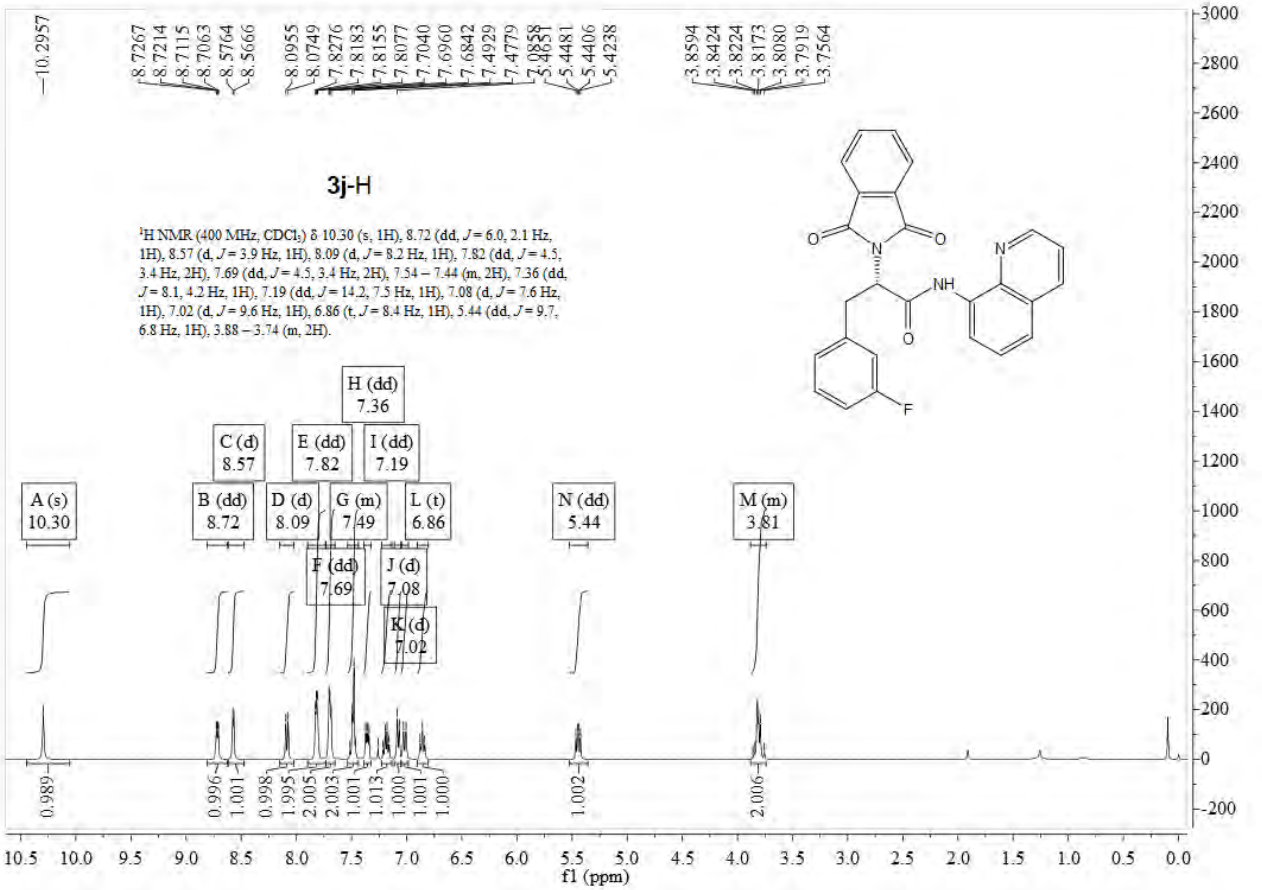

10.2807
8.7161
8.7067
8.7034
8.6939
8.5876
8.5846
8.5773
7.8527
7.8472
7.8396
7.7563
7.7487
7.7429
7.7353
7.5787
7.5254
7.5213
7.5124
7.3763
7.3310
5.4157
5.4051
5.3898
3.8941
3.8788
3.8585
3.8431
3.8317
3.8057
3.7967
3.7699
3h-H
1H NMR (400 MHz, CDCl3) δ 10.28 (s, 1H), 8.71 (dd, J = 5.1, 3.8 Hz, 1H), 8.58 (dd, J = 4.4, 1.2 Hz, 1H), 8.13 (dd, J = 8.2 Hz, 1H), 7.85 (dd, J = 5.3, 3.1 Hz, 2H), 7.75 (dd, J = 5.4, 3.1 Hz, 2H), 7.61 – 7.54 (m, 2H), 7.54 – 7.49 (m, 2H), 7.47 (d, J = 7.7 Hz, 1H), 7.41 – 7.33 (m, 2H), 5.41 (dd, J = 10.3, 6.1 Hz, 1H), 3.87 (dd, J = 14.3, 6.1 Hz, 1H), 3.80 (dd, J = 14.2, 10.6 Hz, 1H).
A (s) 10.28
B (dd) 8.71
C (dd) 8.58
D (dd) 8.13
E (dd) 7.85
F (dd) 7.75
G (m) 7.57
H (m) 7.52
I (d) 7.47
J (dd) 5.41
K (dd) 3.87
L (m) 7.38
M (dd) 3.80
0.969
1.000
1.006
1.008
1.996
2.014
2.005
2.007
0.999
2.011
1.007
1.008
1.008
f1 (ppm)

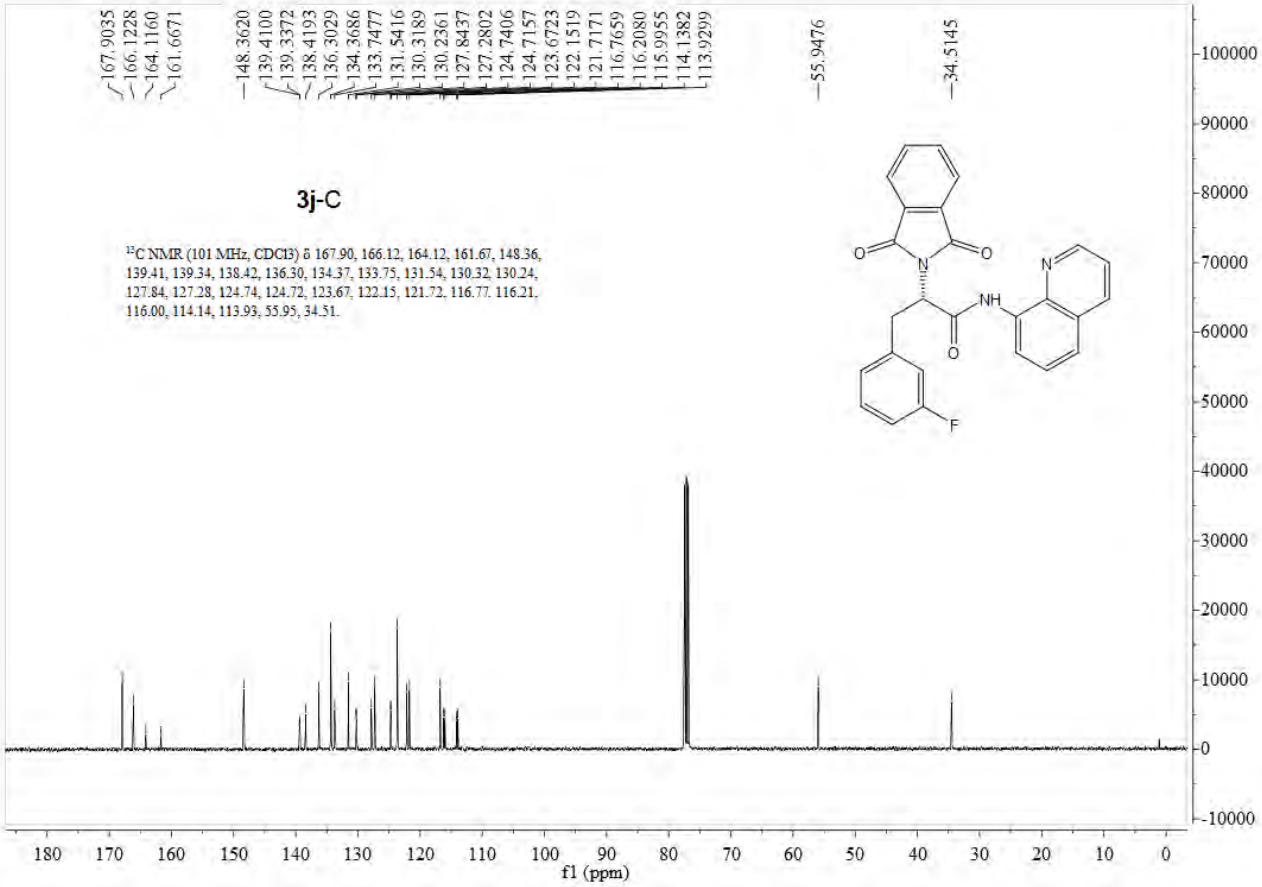

167.8765
165.7674
148.4757
138.6309
138.4945
136.4418
134.6363
133.6754
132.7635
131.4806
131.0085
129.6701
127.9495
127.3892
123.8964
122.3321
121.8246
118.6608
116.8962
112.8720
55.7460
34.4357
3h-C
$^{12}$C NMR (101 MHz, $CDCl_3$) δ 167.88, 165.77, 148.48, 138.63, 138.49, 136.44, 134.64, 133.68, 132.76, 131.48, 131.01, 129.67, 127.95, 127.39, 123.90, 122.33, 121.82, 118.66, 116.90, 112.87, 55.75,
f1 (ppm)

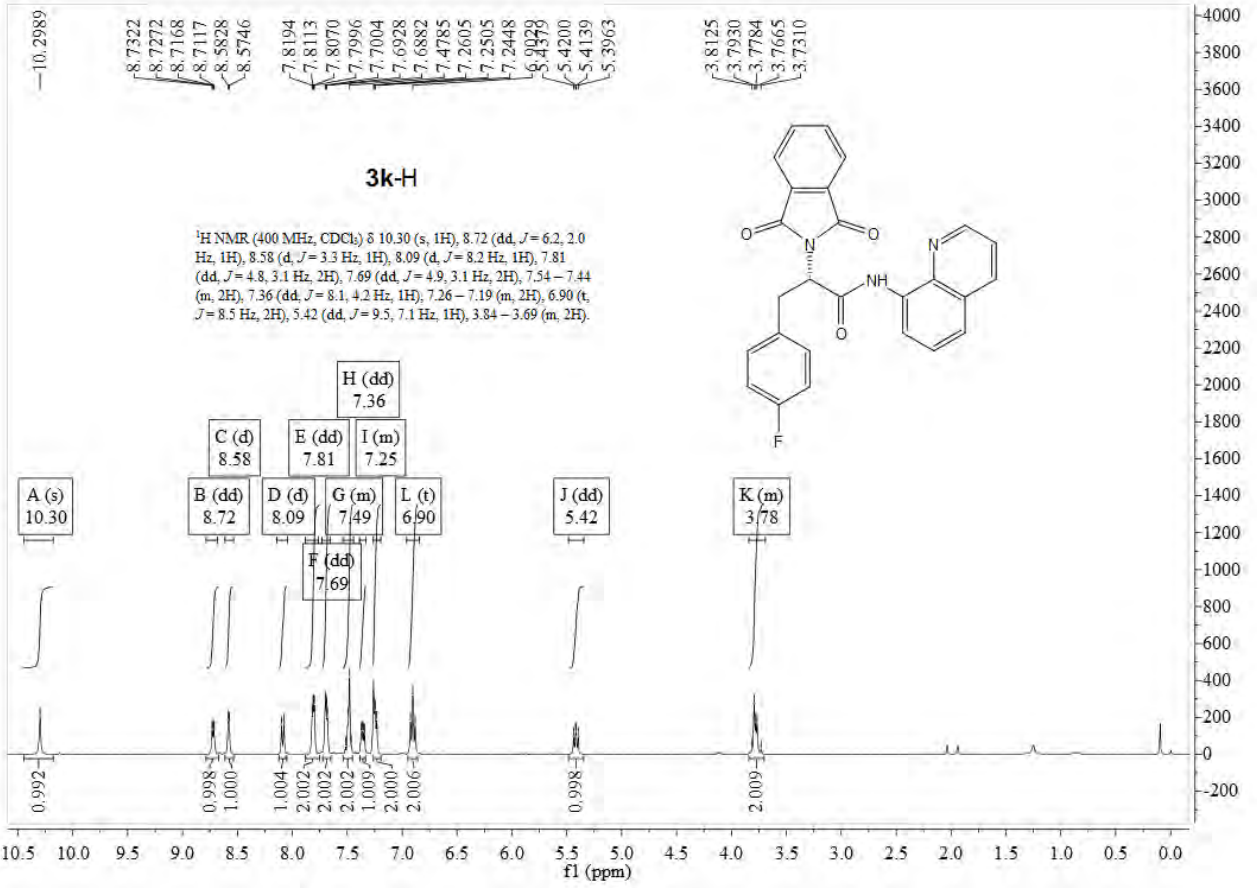

10.2777
8.7201
8.7113
8.7066
8.6978
8.5774
8.5739
8.5670
8.5635
7.8427
7.8351
7.7603
7.7527
7.7468
7.5367
7.5333
7.5279
7.5188
7.4179
7.3981
7.2599
7.2577
5.4417
5.4322
5.4162
3.9167
3.9006
3.8810
3.8677
3.8430
3.8336
3.8074
0.0029
3i-H
$^1$H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 8.71 (dd, $J$ = 5.4, 3.5 Hz, 1H), 8.57 (dd, $J$ = 4.2, 1.4 Hz, 1H), 8.13 (dd, $J$ = 8.3, 1.4 Hz, 1H), 7.85 (dd, $J$ = 5.4, 3.1 Hz, 2H), 7.75 (dd, $J$ = 5.4, 3.1 Hz, 2H), 7.57 – 7.50 (m, 4H), 7.43 – 7.36 (m, 3H), 5.44 (dd, $J$ = 10.2, 6.4 Hz, 1H), 3.94 – 3.78 (m, 2H).
A (s) 10.28
B (dd) 8.71
C (dd) 8.57
D (dd) 8.13
E (dd) 7.85
F (dd) 7.75
G (m) 7.53
H (m) 7.40
I (dd) 5.44
J (m) 3.86
0.927
1.018
1.000
1.010
1.977
2.055
4.021
3.029
1.005
2.043
f1 (ppm)

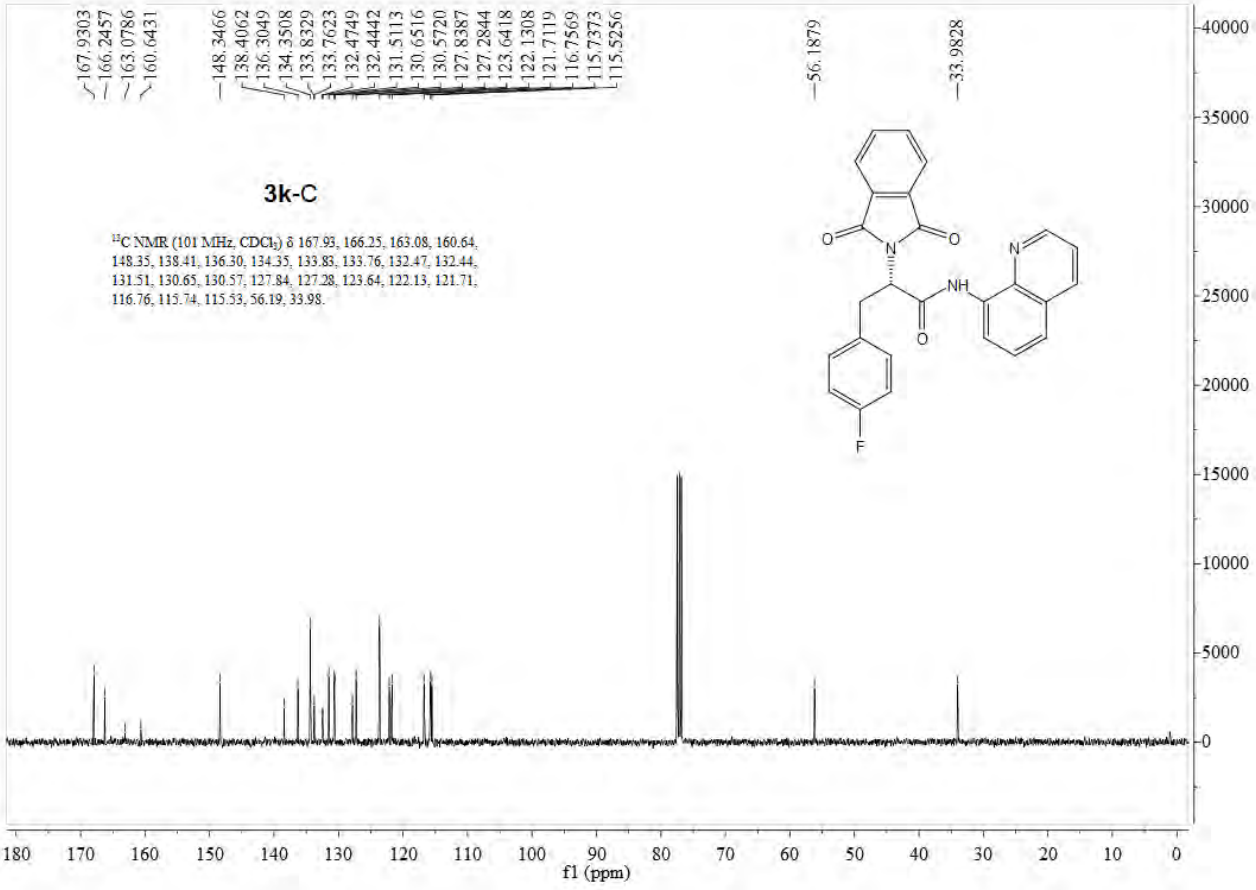

167.8550
165.7716
148.4568
142.6793
138.4991
136.4605
134.6390
133.6726
132.6215
131.4696
130.0077
127.9603
127.4044
123.8844
122.3465
121.8334
118.8150
116.9032
111.1183
55.5707
34.9069
3i-C
13C NMR (101 MHz,CDCl3) δ 167.85, 165.77, 148.46, 142.68, 138.50, 136.46, 134.64, 133.67, 132.62, 131.47, 130.01, 127.96, 127.40, 123.88, 122.35, 121.83, 118.82, 116.90, 111.12, 55.57, 34.91.
f1 (ppm)

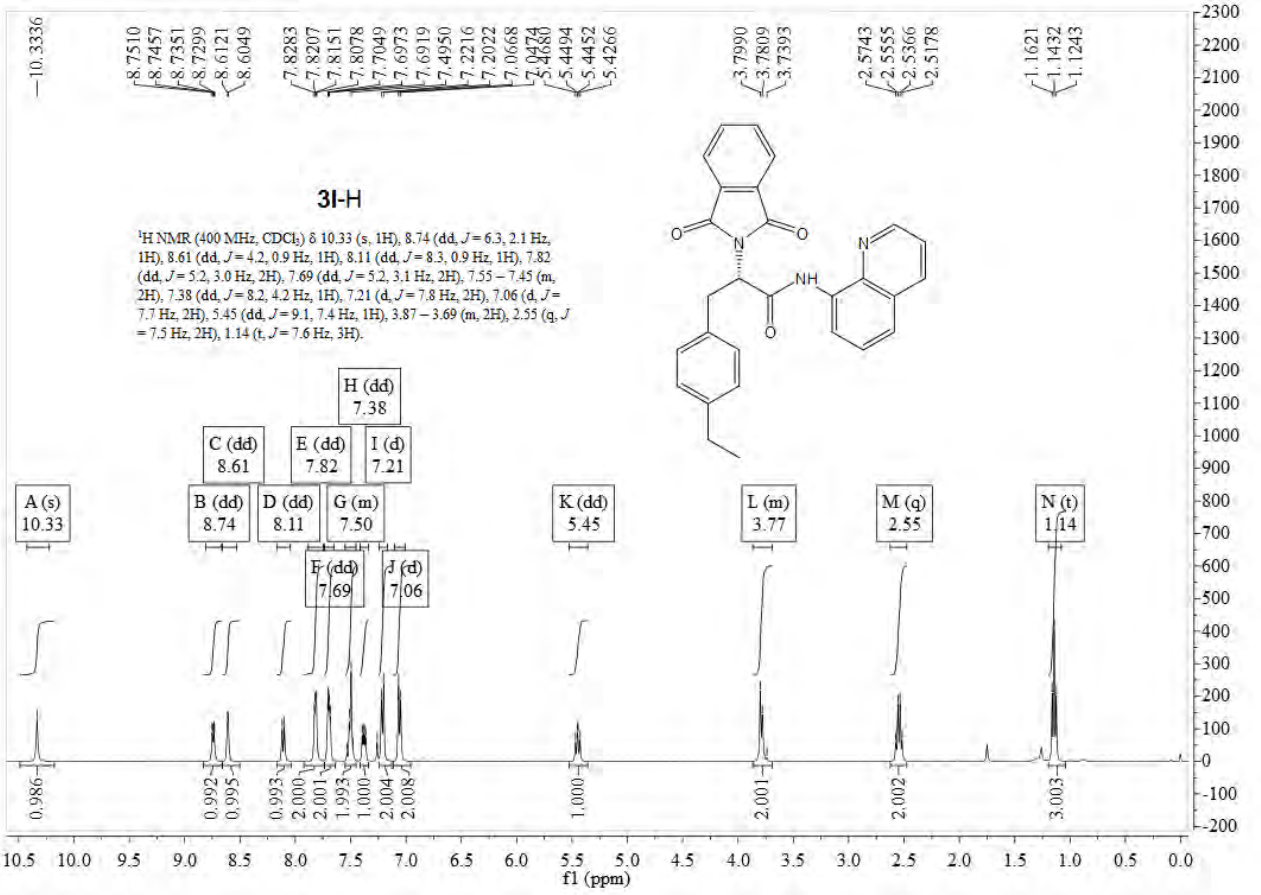

10.3157
8.7290
8.7209
8.7149
8.7067
8.6006
8.5972
8.5902
8.5867
7.8173
7.8096
7.7271
7.5983
7.5780
7.5206
7.5142
7.5063
7.3439
7.3236
5.4699
5.4528
5.4451
5.4280
3.8626
3.8505
3.8364
1.4273
3i'-H
1H NMR (400 MHz, CDCl3) δ 10.32 (s, 1H), 8.72 (dd, J = 5.7, 3.3 Hz, 1H), 8.59 (dd, J = 4.2, 1.4 Hz, 1H), 8.12 (dd, J = 8.3, 1.4 Hz, 1H), 7.82 (dd, J = 5.4, 3.1 Hz, 2H), 7.72 (dd, J = 5.4, 3.1 Hz, 2H), 7.59 (d, J = 8.1 Hz, 2H), 7.53 – 7.48 (m, 2H), 7.39 (dd, J = 8.3, 4.2 Hz, 1H), 7.33 (d, J = 8.1 Hz, 2H), 5.88 (s, 1H), 5.45 (dd, J = 9.9, 6.8 Hz, 1H), 3.89 – 3.79 (m, 2H), 1.43 (s, 9H).
A (s) 10.32
B (dd) 8.72
C (dd) 8.59
D (dd) 8.12
E (dd) 7.82
F (dd) 7.72
G (d) 7.59
H (m) 7.51
I (dd) 7.39
J (d) 7.33
K (s) 5.88
L (dd) 5.45
M (m) 3.85
N (s) 1.43
0.950
1.010
1.000
1.012
1.997
1.994
1.996
2.009
1.006
1.997
1.002
1.006
2.004
9.026
f1 (ppm)

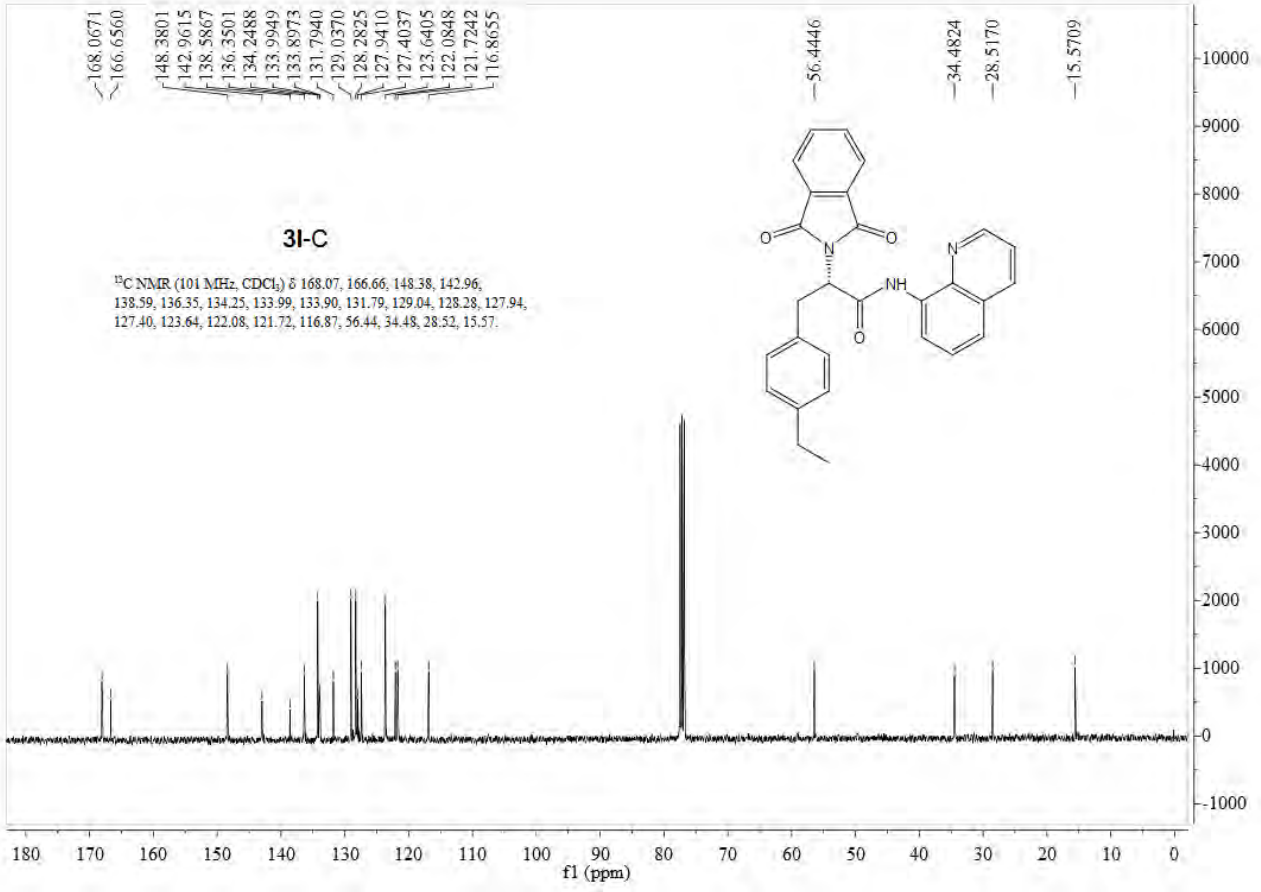

3j-H
A (s) 10.30
B (dd) 8.72
C (d) 8.57
D (d) 8.09
E (dd) 7.82
F (dd) 7.69
G (m) 7.49
H (dd) 7.36
I (dd) 7.19
J (d) 7.08
K (d) 7.02
L (t) 6.86
N (dd) 5.44
M (m) 3.81
f1 (ppm)

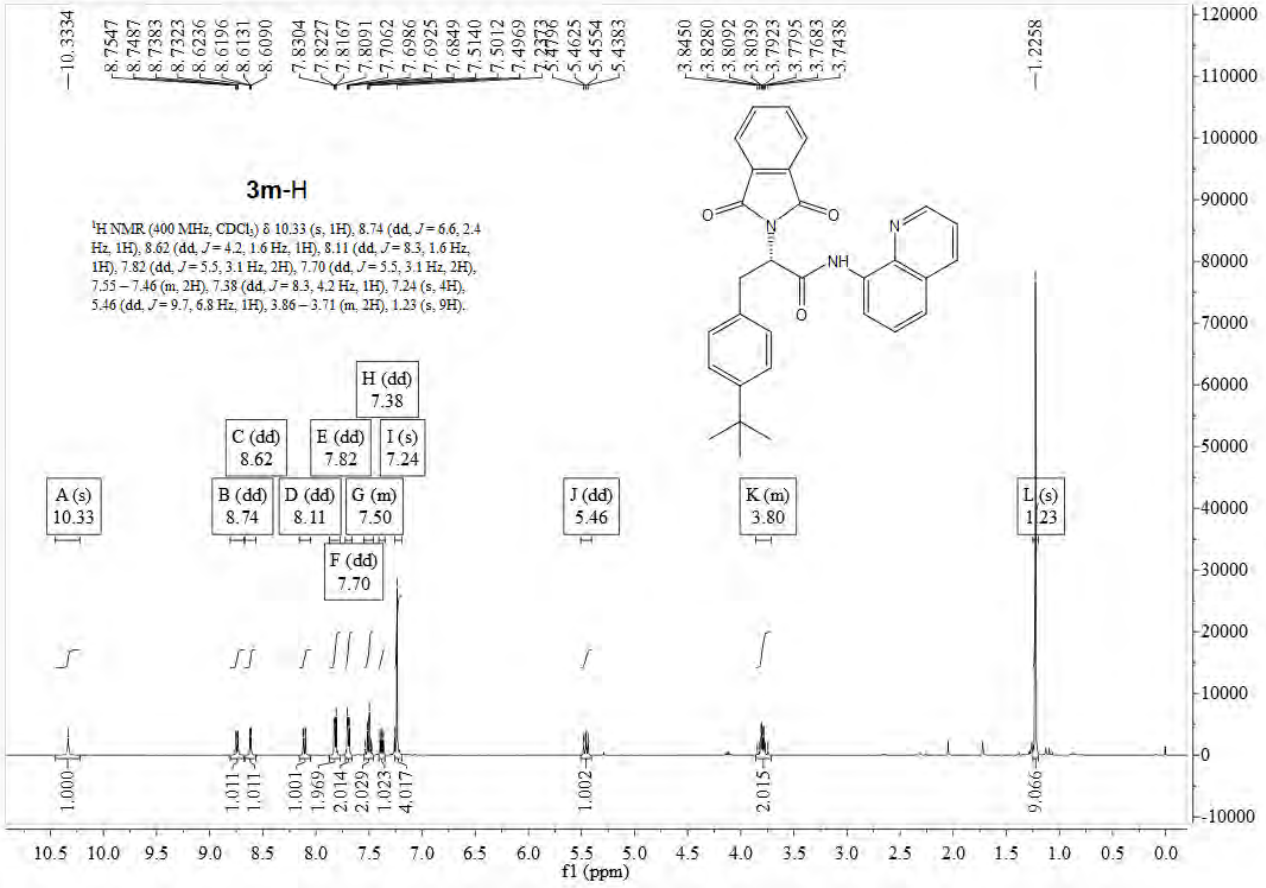

3j-C
f1 (ppm)

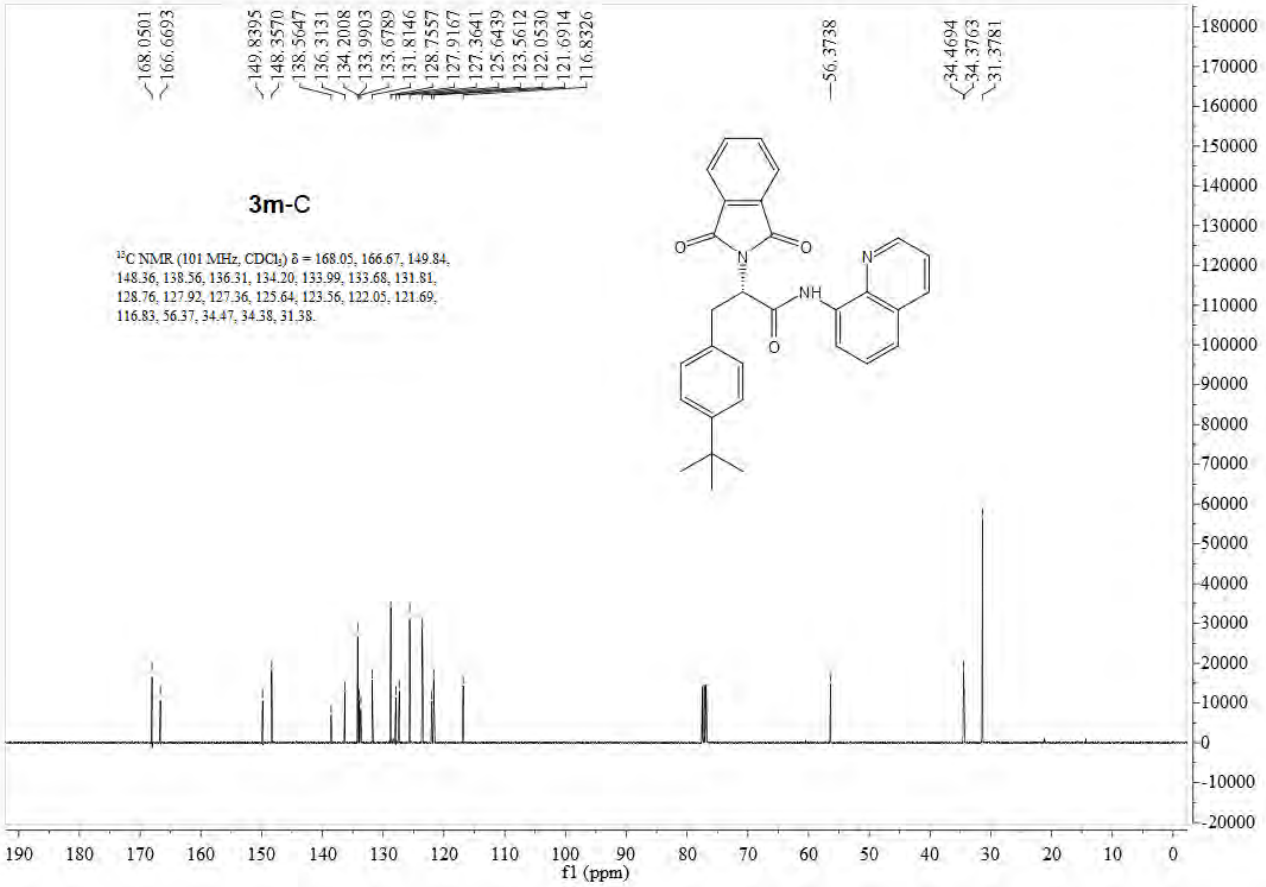

3k-H
A (s) 10.30
B (dd) 8.72
C (d) 8.58
D (d) 8.09
E (dd) 7.81
F (dd) 7.69
G (m) 7.49
H (dd) 7.36
I (m) 7.25
J (dd) 5.42
K (m) 3.78
L (t) 6.90
f1 (ppm)

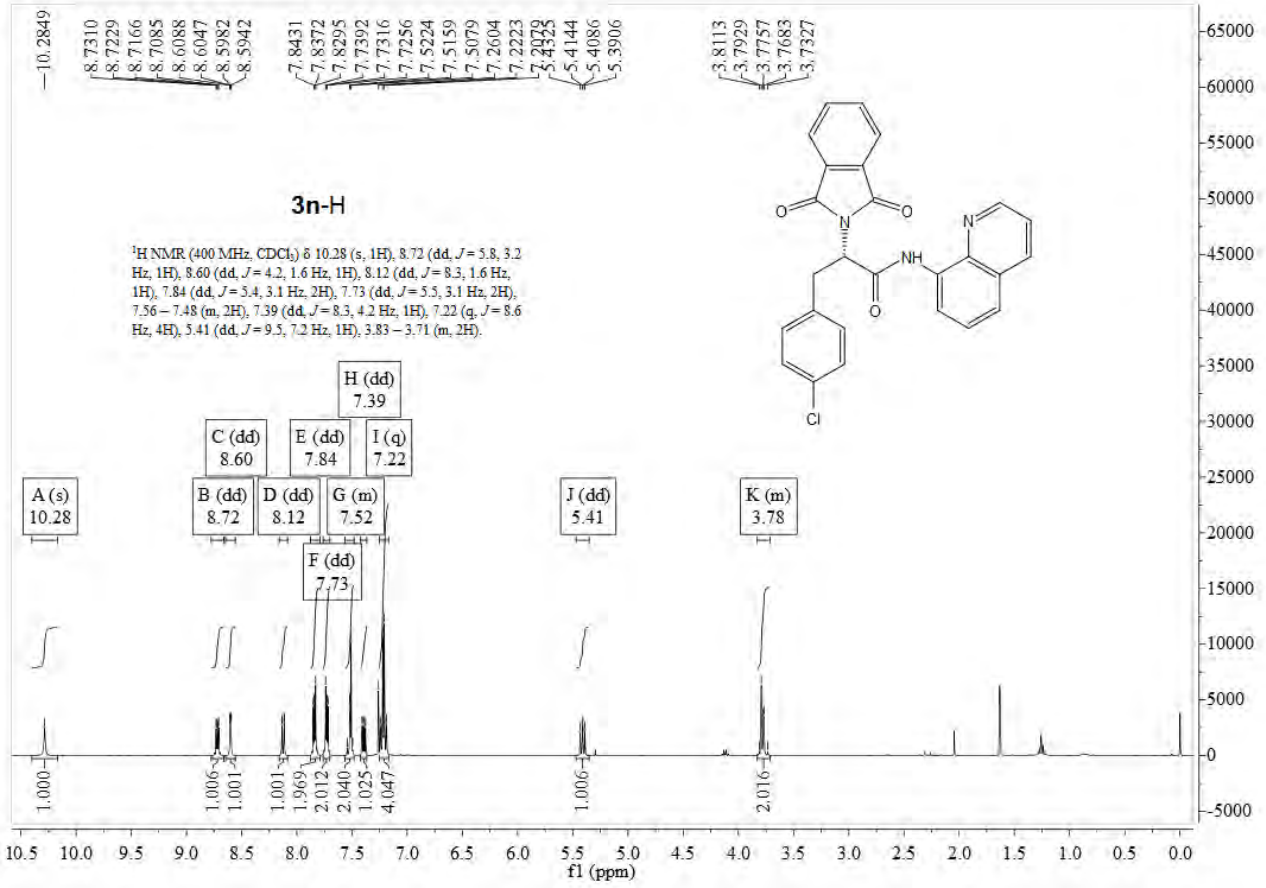

3k-C
f1 (ppm)


3I-H
1H NMR (400 MHz, CDCl3) δ 10.33 (s, 1H), 8.74 (dd, J = 6.3, 2.1 Hz, 1H), 8.61 (dd, J = 4.2, 0.9 Hz, 1H), 8.11 (dd, J = 8.3, 0.9 Hz, 1H), 7.82 (dd, J = 5.2, 3.0 Hz, 2H), 7.69 (dd, J = 5.2, 3.1 Hz, 2H), 7.55 – 7.45 (m, 2H), 7.38 (dd, J = 8.2, 4.2 Hz, 1H), 7.21 (d, J = 7.8 Hz, 2H), 7.06 (d, J = 7.7 Hz, 2H), 5.45 (dd, J = 9.1, 7.4 Hz, 1H), 3.87 – 3.69 (m, 2H), 2.55 (q, J = 7.5 Hz, 2H), 1.14 (t, J = 7.6 Hz, 3H).
A (s) 10.33
B (dd) 8.74
C (dd) 8.61
D (dd) 8.11
E (dd) 7.82
F (dd) 7.69
G (m) 7.50
H (dd) 7.38
I (d) 7.21
J (d) 7.06
K (dd) 5.45
L (m) 3.77
M (q) 2.55
N (t) 1.14
f1 (ppm)

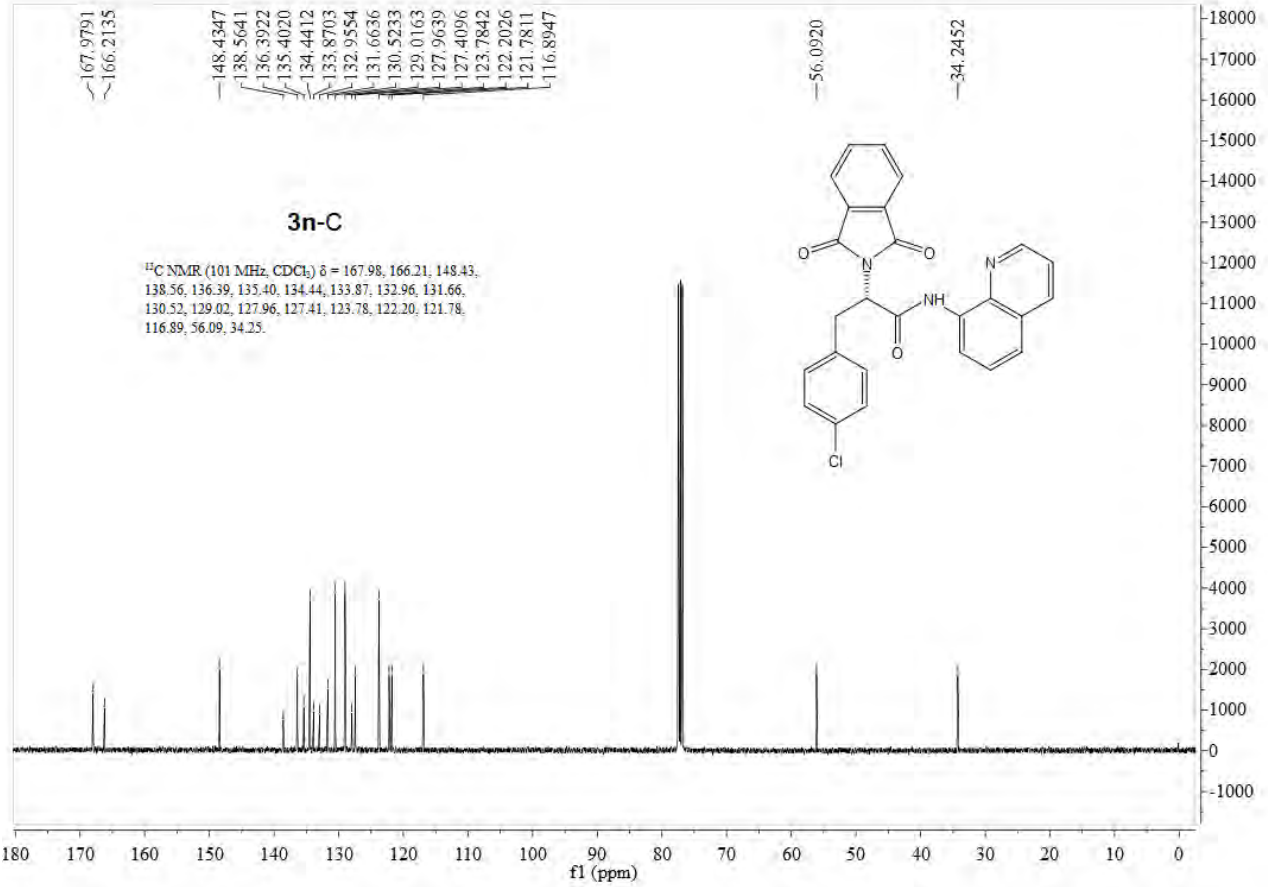

3I-C
13C NMR (101 MHz, CDCl3) δ 168.07, 166.66, 148.38, 142.96, 138.59, 136.35, 134.25, 133.99, 133.90, 131.79, 129.04, 128.28, 127.94, 127.40, 123.64, 122.08, 121.72, 116.87, 56.44, 34.48, 28.52, 15.57.
f1 (ppm)


3m-H
A (s) 10.33
B (dd) 8.74
C (dd) 8.62
D (dd) 8.11
E (dd) 7.82
F (dd) 7.70
G (m) 7.50
H (dd) 7.38
I (s) 7.24
J (dd) 5.46
K (m) 3.80
L (s) 1.23
f1 (ppm)

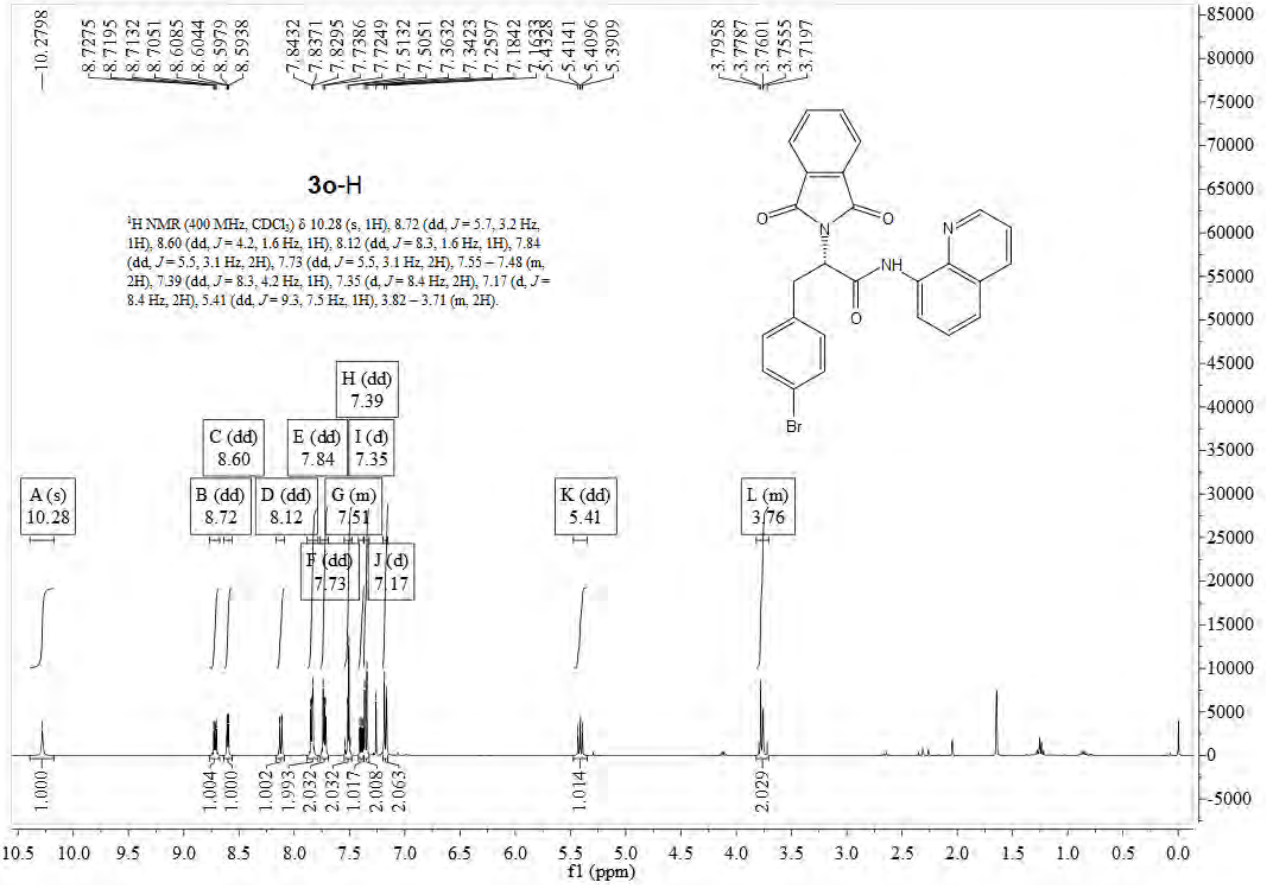

3m-C
f1 (ppm)

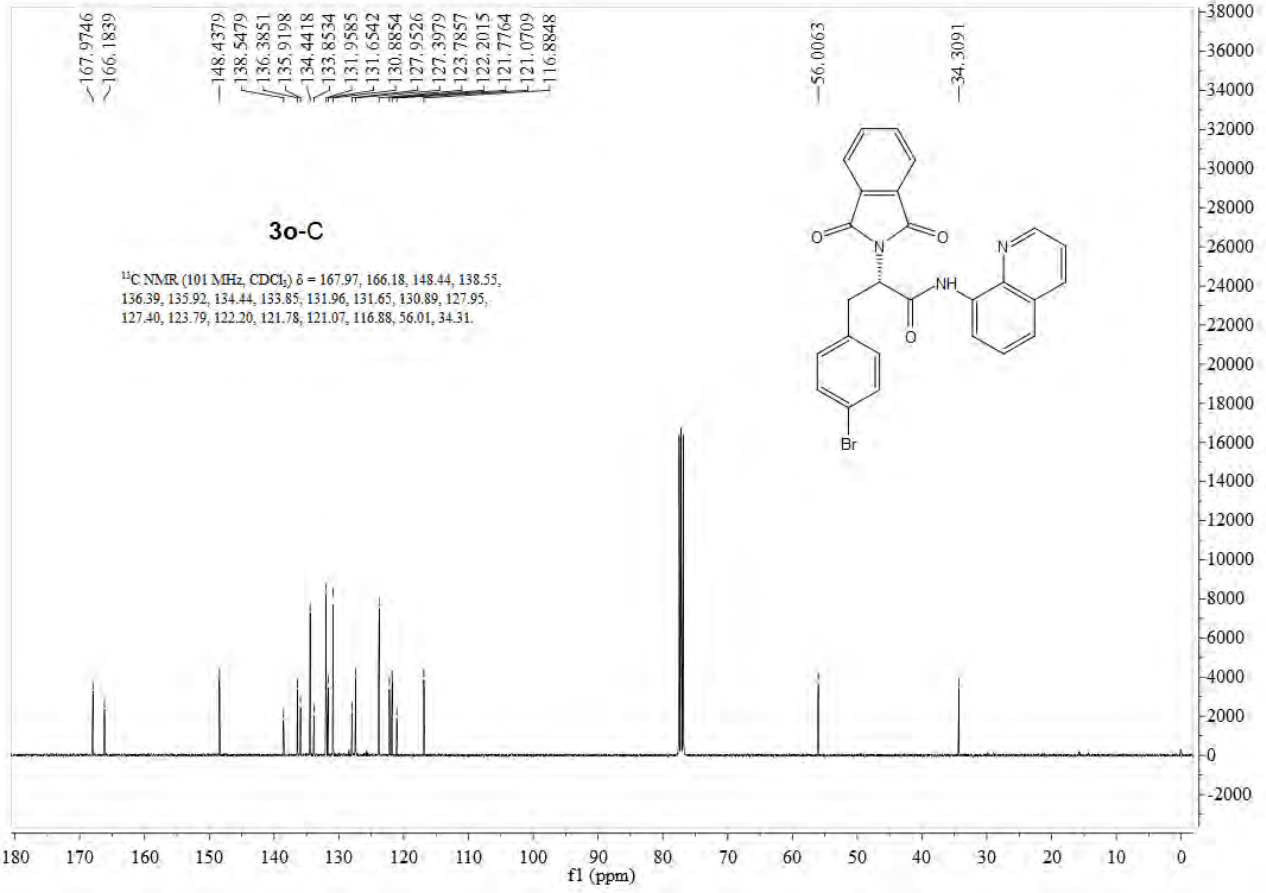


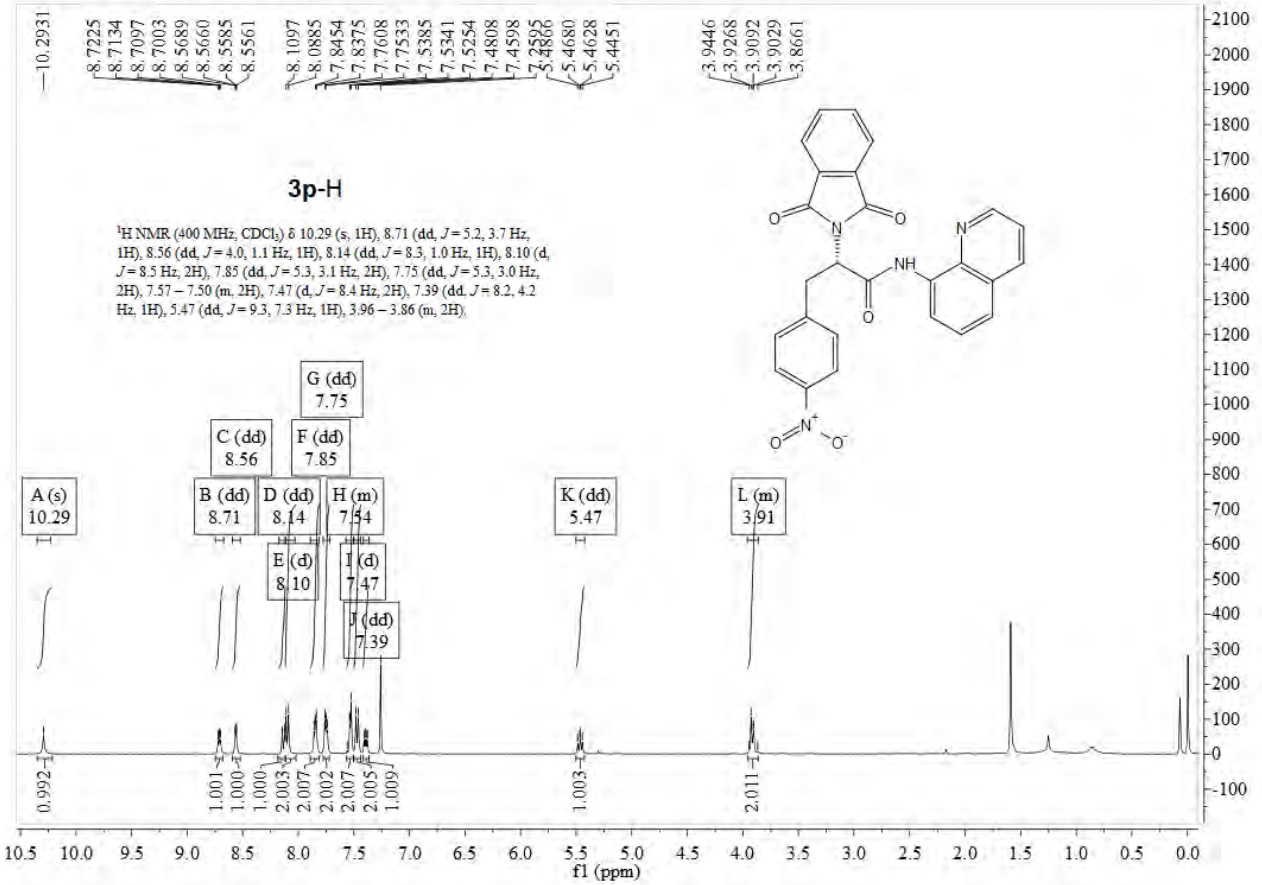

$^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.28 (s, 1H), 8.72 (dd, *J* = 5.8, 3.2 Hz, 1H), 8.60 (dd, *J* = 4.2, 1.6 Hz, 1H), 8.12 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.84 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.73 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.56 – 7.48 (m, 2H), 7.39 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.22 (q, *J* = 8.6 Hz, 4H), 5.41 (dd, *J* = 9.5, 7.2 Hz, 1H), 3.83 – 3.71 (m, 2H).



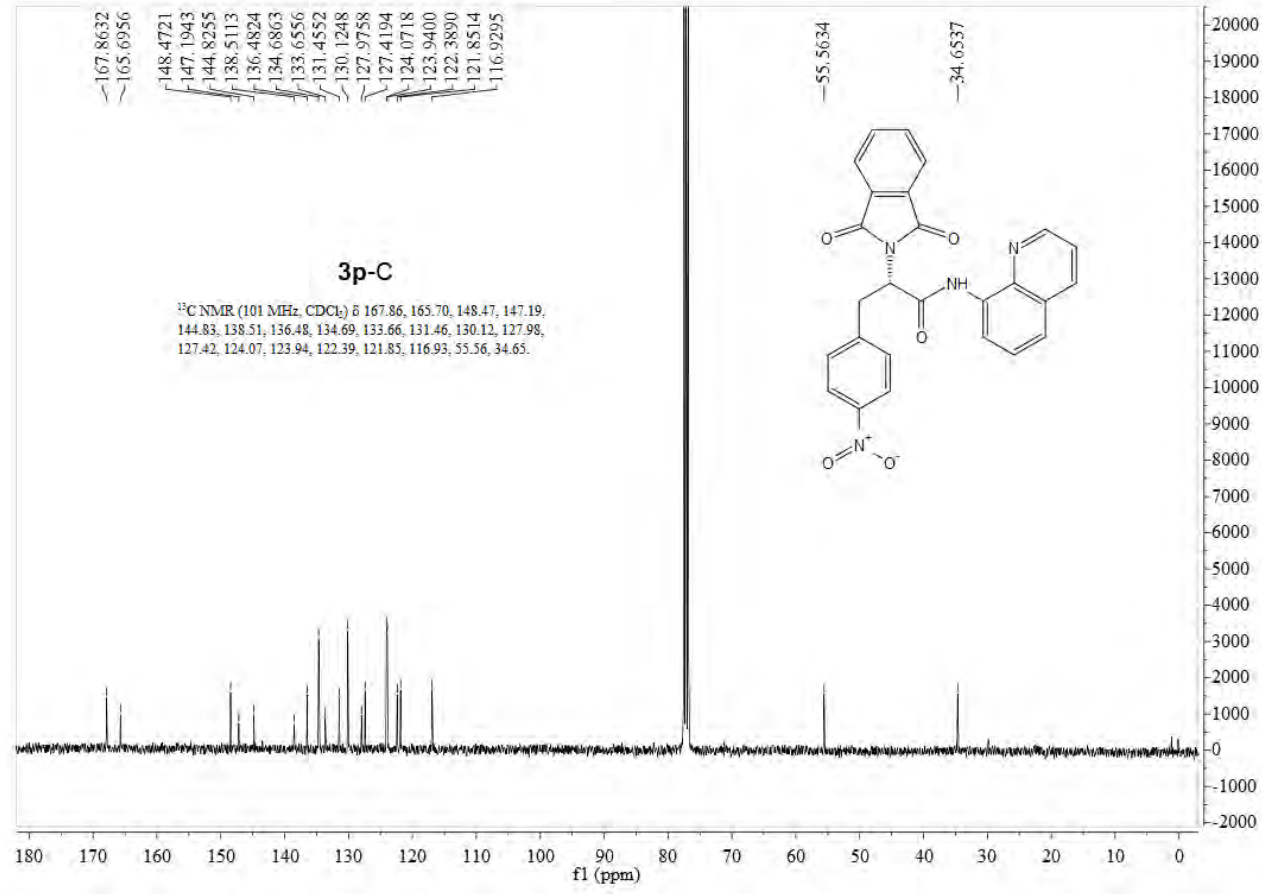

$^{13}$C NMR (101 MHz, $CDCl_3$) δ = 167.98, 166.21, 148.43, 138.56, 136.39, 135.40, 134.44, 133.87, 132.96, 131.66, 130.52, 129.02, 127.96, 127.41, 123.78, 122.20, 121.78, 116.89, 56.09, 34.25.


3o-H
1H NMR (400 MHz, CDCl3) δ 10.28 (s, 1H), 8.72 (dd, J = 5.7, 3.2 Hz, 1H), 8.60 (dd, J = 4.2, 1.6 Hz, 1H), 8.12 (dd, J = 8.3, 1.6 Hz, 1H), 7.84 (dd, J = 5.5, 3.1 Hz, 2H), 7.73 (dd, J = 5.5, 3.1 Hz, 2H), 7.55 – 7.48 (m, 2H), 7.39 (dd, J = 8.3, 4.2 Hz, 1H), 7.35 (d, J = 8.4 Hz, 2H), 7.17 (d, J = 8.4 Hz, 2H), 5.41 (dd, J = 9.3, 7.5 Hz, 1H), 3.82 – 3.71 (m, 2H).
A (s) 10.28
B (dd) 8.72
C (dd) 8.60
D (dd) 8.12
E (dd) 7.84
F (dd) 7.73
G (m) 7.51
H (dd) 7.39
I (d) 7.35
J (d) 7.17
K (dd) 5.41
L (m) 3.76
f1 (ppm)

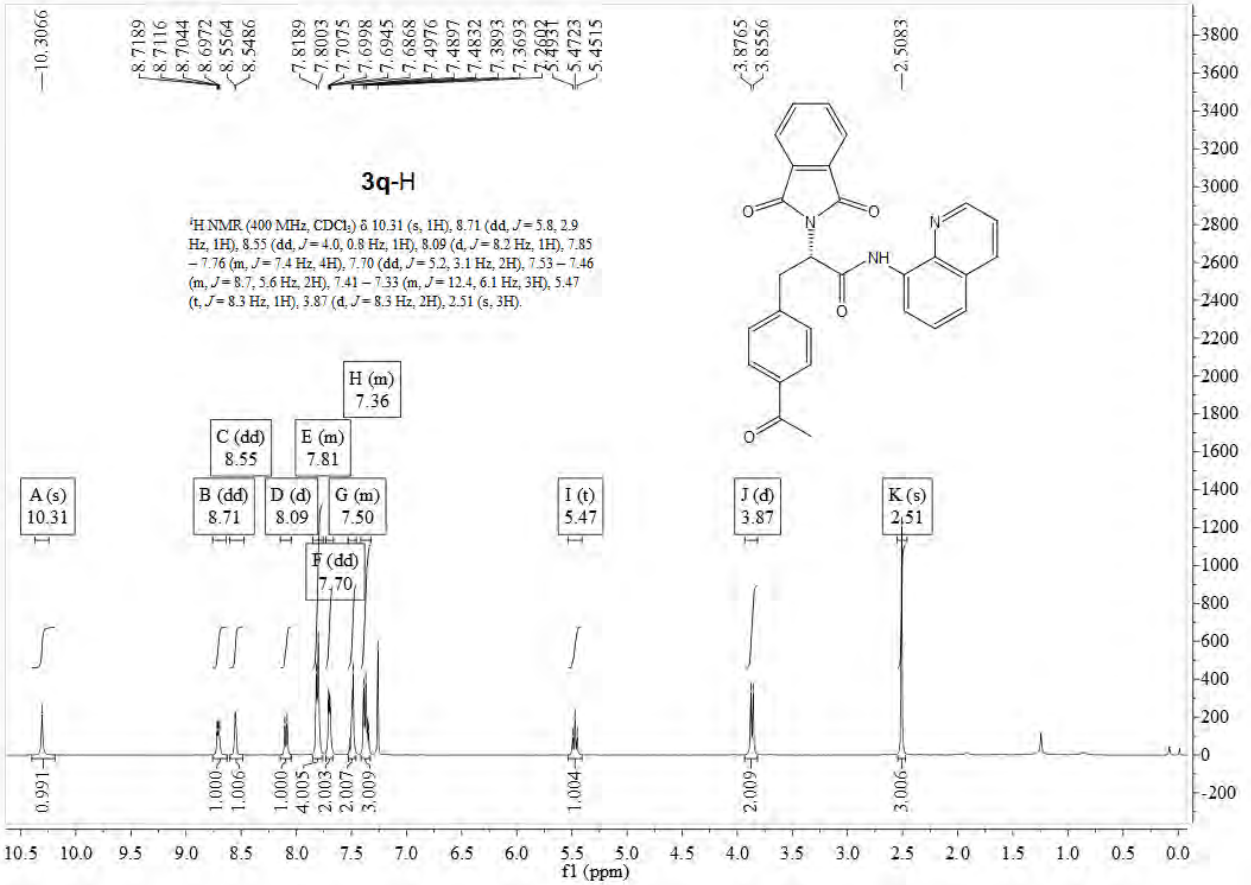

3o-C
13C NMR (101 MHz, CDCl3) δ = 167.97, 166.18, 148.44, 138.55, 136.39, 135.92, 134.44, 133.85, 131.96, 131.65, 130.89, 127.95, 127.40, 123.79, 122.20, 121.78, 121.07, 116.88, 56.01, 34.31.
f1 (ppm)

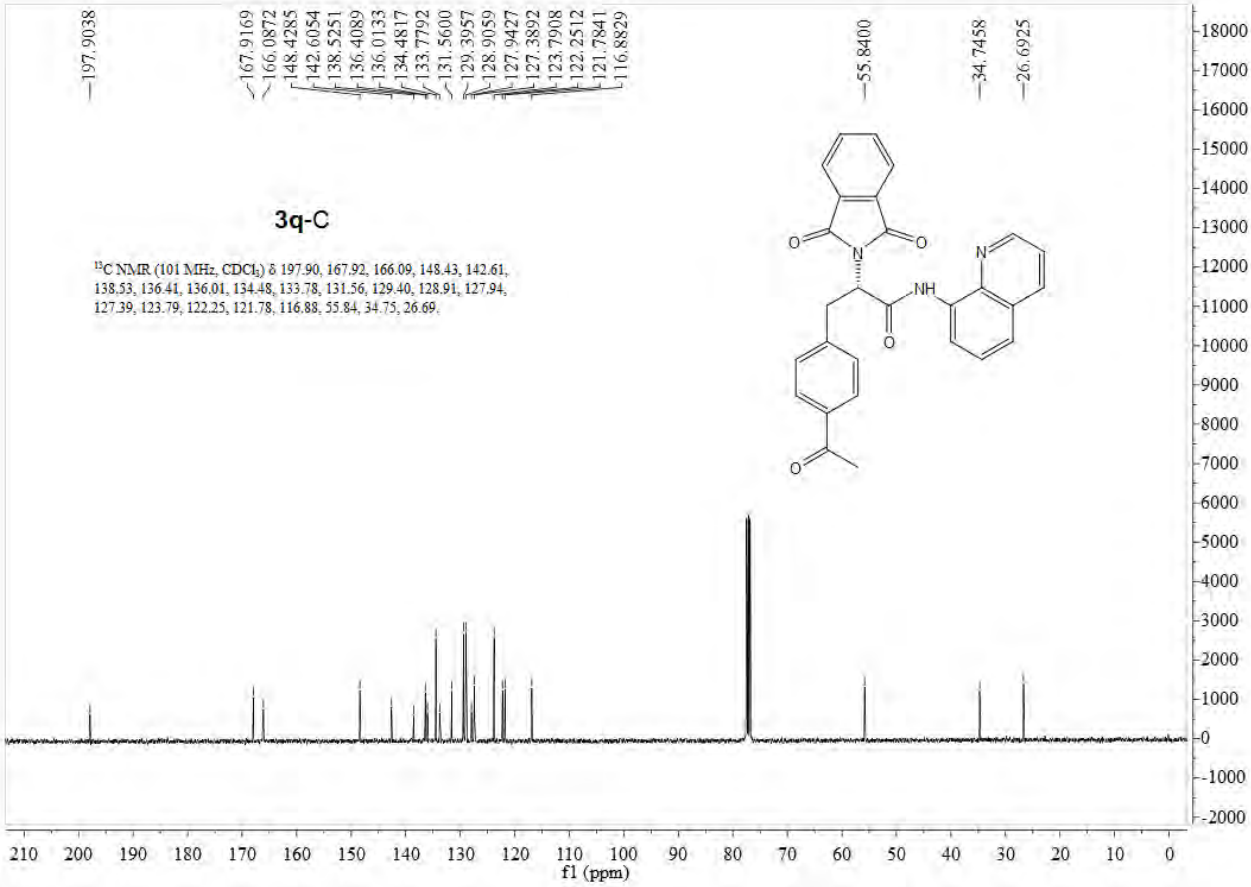


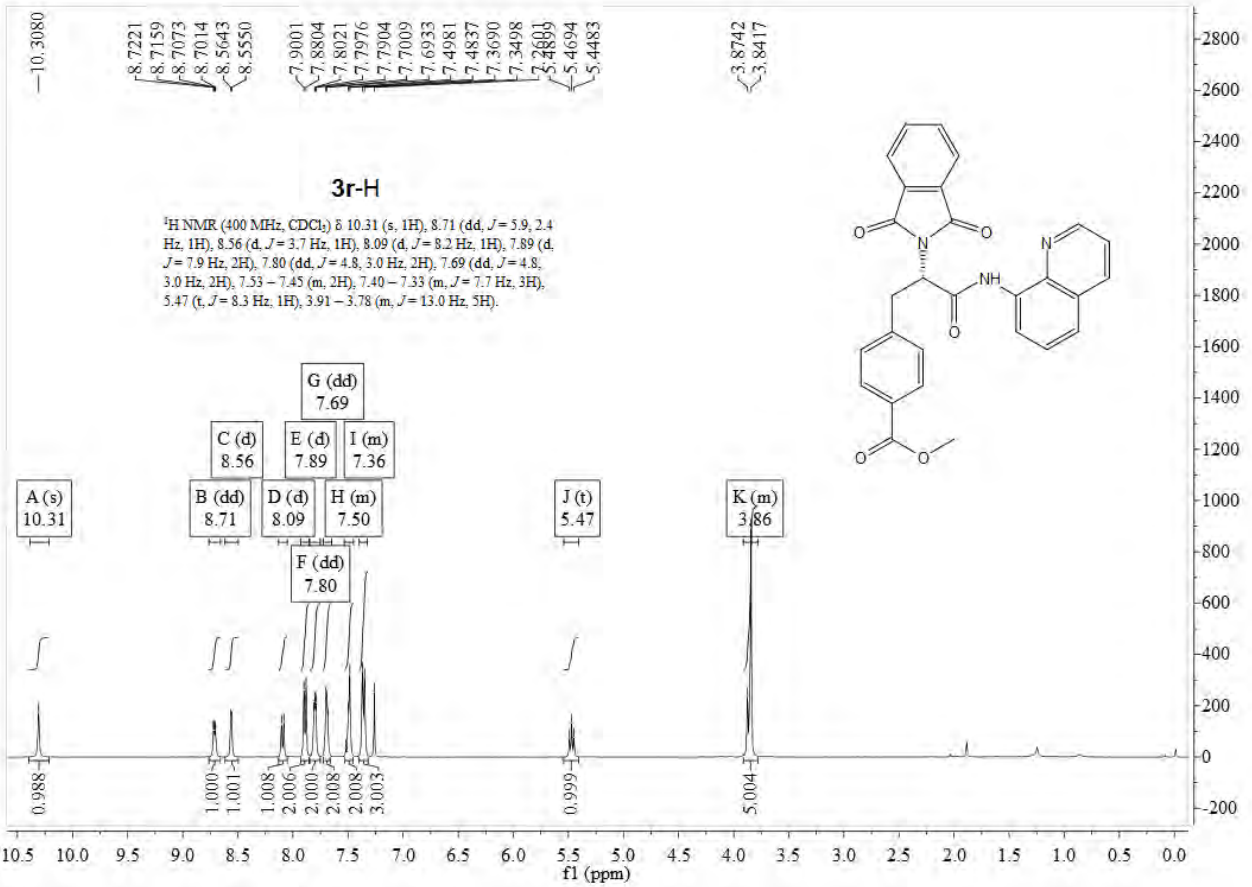

$^1$H NMR (400 MHz, $CDCl_3$) δ 10.29 (s, 1H), 8.71 (dd, *J* = 5.2, 3.7 Hz, 1H), 8.56 (dd, *J* = 4.0, 1.1 Hz, 1H), 8.14 (dd, *J* = 8.3, 1.0 Hz, 1H), 8.10 (d, *J* = 8.5 Hz, 2H), 7.85 (dd, *J* = 5.3, 3.1 Hz, 2H), 7.75 (dd, *J* = 5.3, 3.0 Hz, 2H), 7.57 – 7.50 (m, 2H), 7.47 (d, *J* = 8.4 Hz, 2H), 7.39 (dd, *J* = 8.2, 4.2 Hz, 1H), 5.47 (dd, *J* = 9.3, 7.3 Hz, 1H), 3.96 – 3.86 (m, 2H).

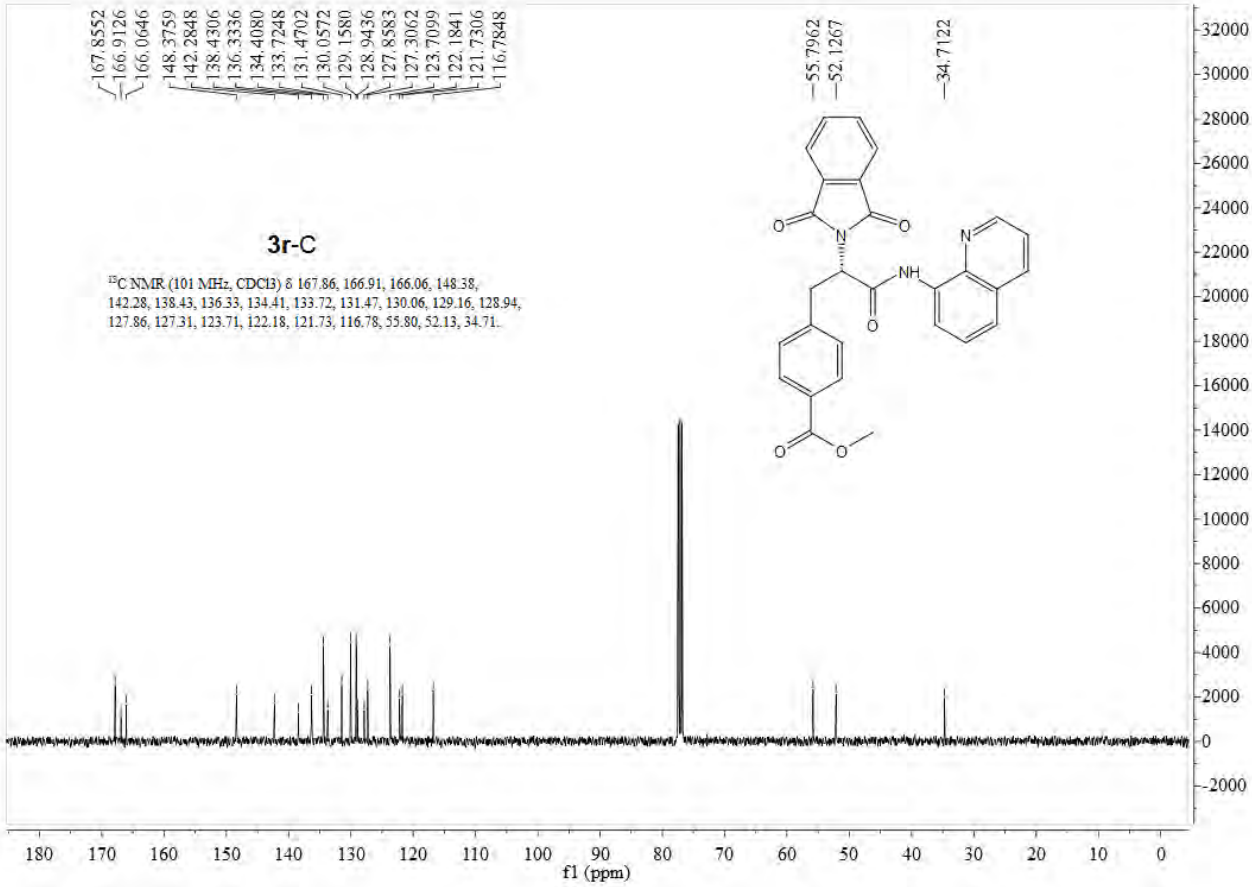


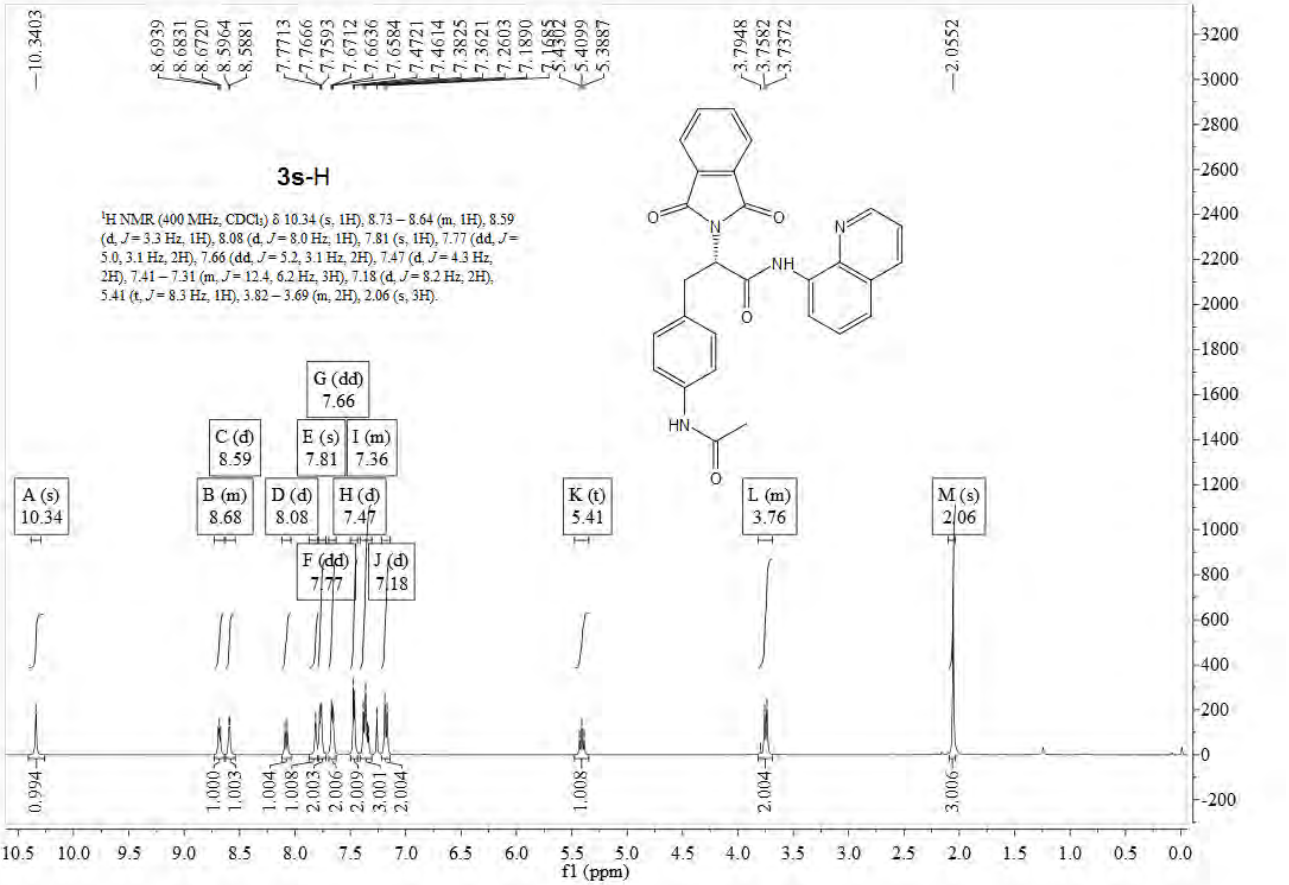

$^{13}$C NMR (101 MHz, $CDCl_3$) δ 167.86, 165.70, 148.47, 147.19, 144.83, 138.51, 136.48, 134.69, 133.66, 131.46, 130.12, 127.98, 127.42, 124.07, 123.94, 122.39, 121.85, 116.93, 55.56, 34.65.

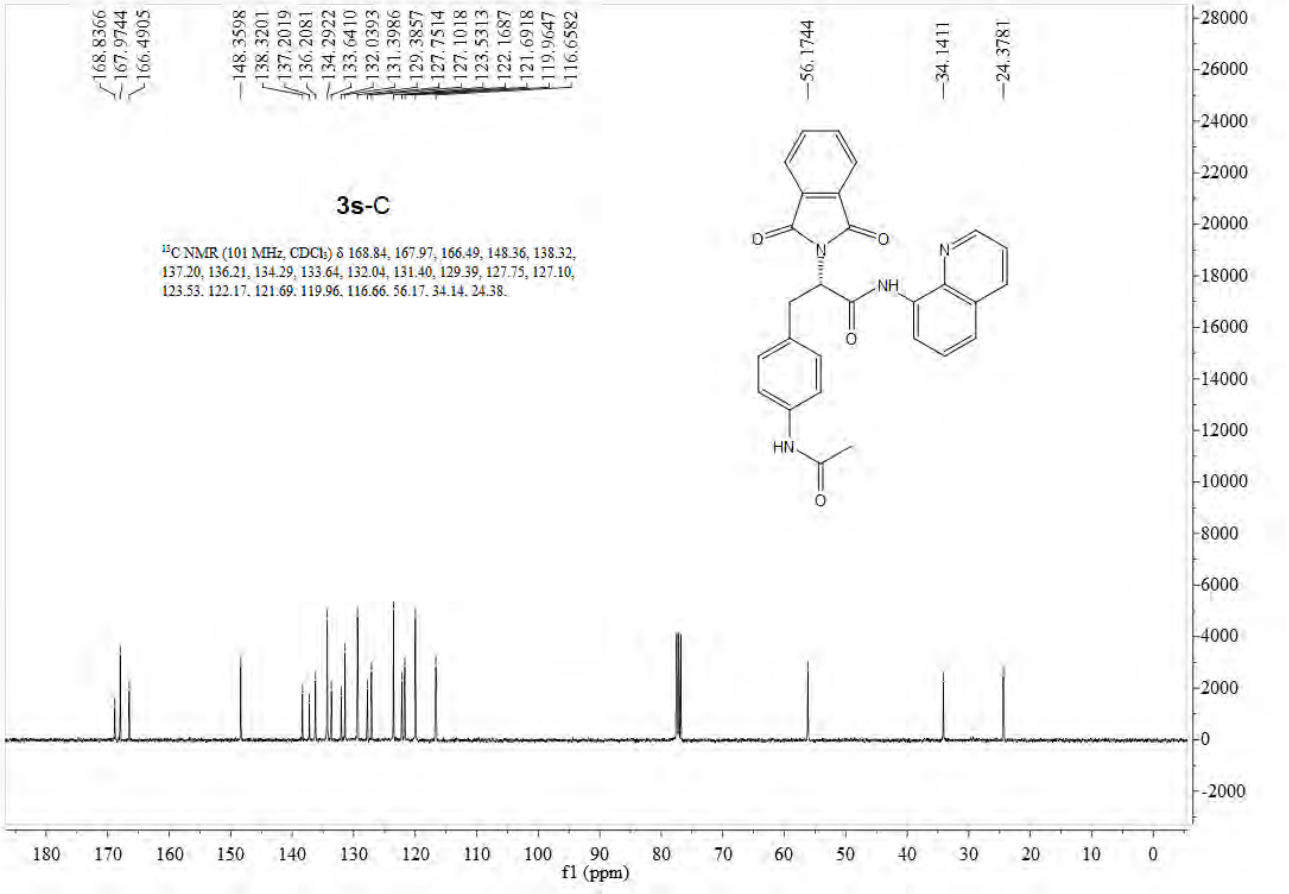

10.3066
8.7189
8.7116
8.7044
8.6972
8.5564
8.5486
7.8189
7.8003
7.7075
7.6998
7.6945
7.6868
7.4976
7.4897
7.4832
7.3893
7.3693
5.4723
5.4515
3.8765
3.8556
2.5083
3q-H
¹H NMR (400 MHz, CDCl₃) δ 10.31 (s, 1H), 8.71 (dd, J = 5.8, 2.9 Hz, 1H), 8.55 (dd, J = 4.0, 0.8 Hz, 1H), 8.09 (d, J = 8.2 Hz, 1H), 7.85 – 7.76 (m, J = 7.4 Hz, 4H), 7.70 (dd, J = 5.2, 3.1 Hz, 2H), 7.53 – 7.46 (m, J = 8.7, 5.6 Hz, 2H), 7.41 – 7.33 (m, J = 12.4, 6.1 Hz, 3H), 5.47 (t, J = 8.3 Hz, 1H), 3.87 (d, J = 8.3 Hz, 2H), 2.51 (s, 3H).
A (s) 10.31
B (dd) 8.71
C (dd) 8.55
D (d) 8.09
E (m) 7.81
F (dd) 7.70
G (m) 7.50
H (m) 7.36
I (t) 5.47
J (d) 3.87
K (s) 2.51
0.991
1.000
1.006
1.000
4.005
2.003
2.007
3.009
1.004
2.009
3.006
f1 (ppm)

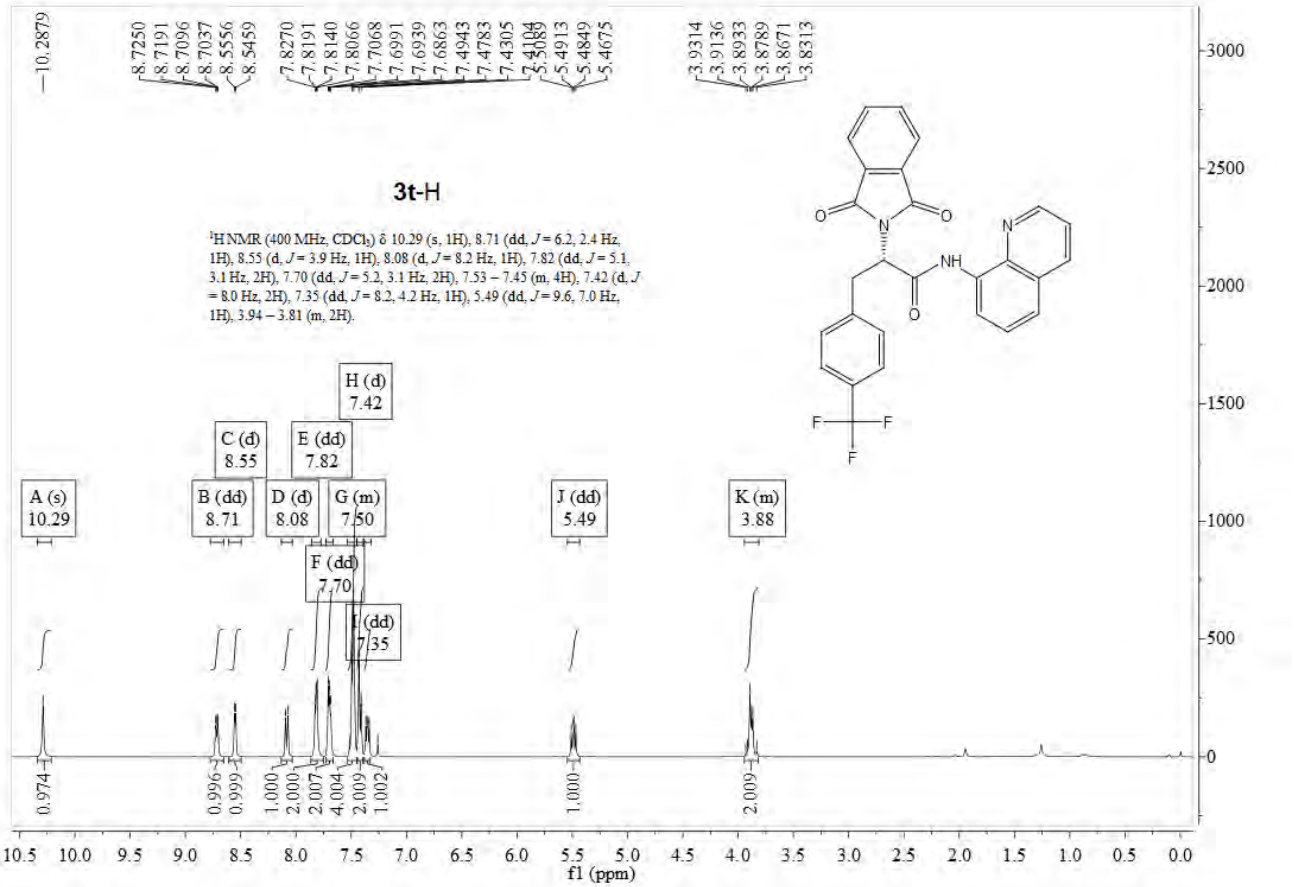

197.9038
167.9169
166.0872
148.4285
142.6054
138.5251
136.4089
136.0133
134.4817
133.7792
131.5600
129.3957
128.9059
127.9427
127.3892
123.7908
122.2512
121.7841
116.8829
55.8400
34.7458
26.6925
3q-C
¹³C NMR (101 MHz, CDCl₃) δ 197.90, 167.92, 166.09, 148.43, 142.61, 138.53, 136.41, 136.01, 134.48, 133.78, 131.56, 129.40, 128.91, 127.94, 127.39, 123.79, 122.25, 121.78, 116.88, 55.84, 34.75, 26.69.
f1 (ppm)

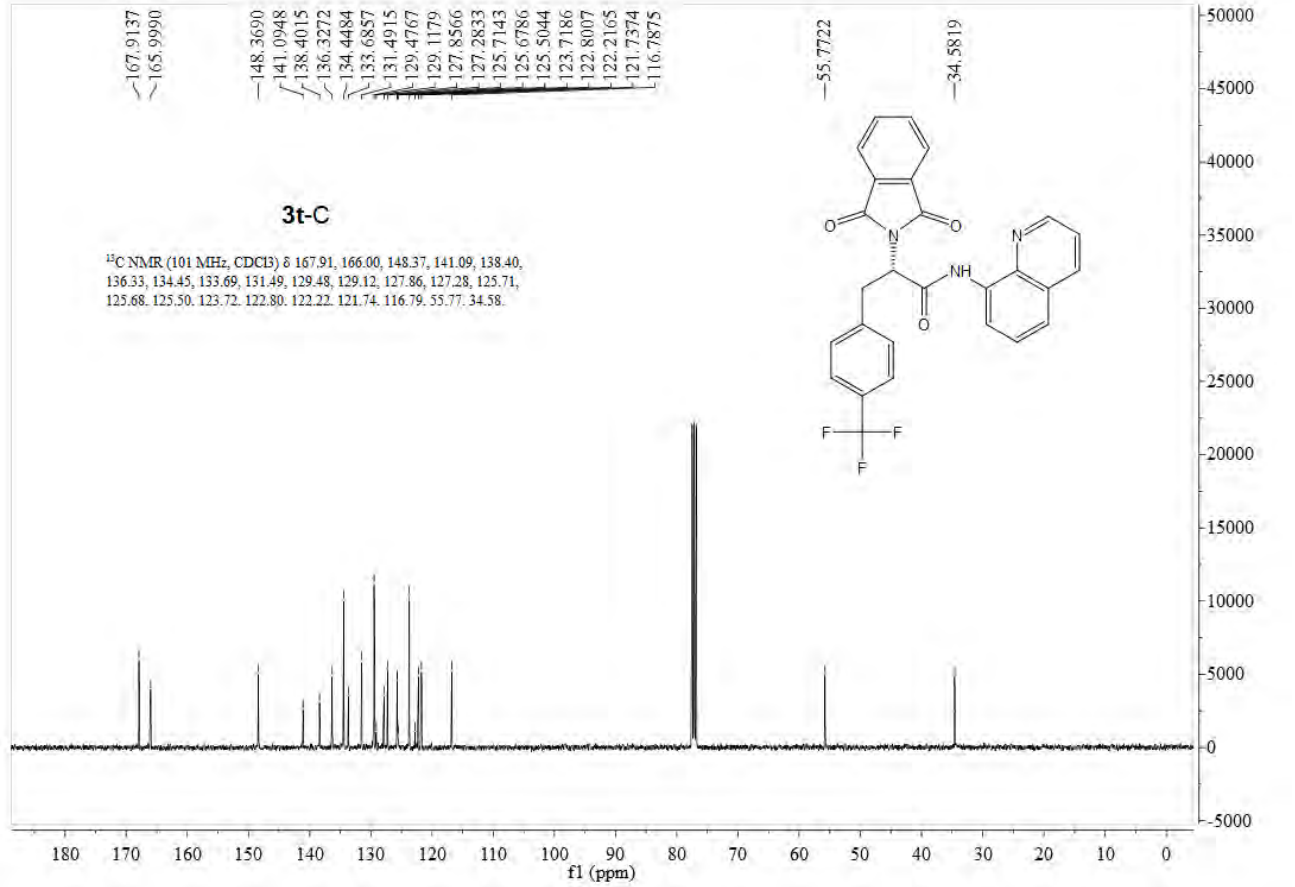

3r-H
A (s) 10.31
B (dd) 8.71
C (d) 8.56
D (d) 8.09
E (d) 7.89
F (dd) 7.80
G (dd) 7.69
H (m) 7.50
I (m) 7.36
J (t) 5.47
K (m) 3.86
f1 (ppm)

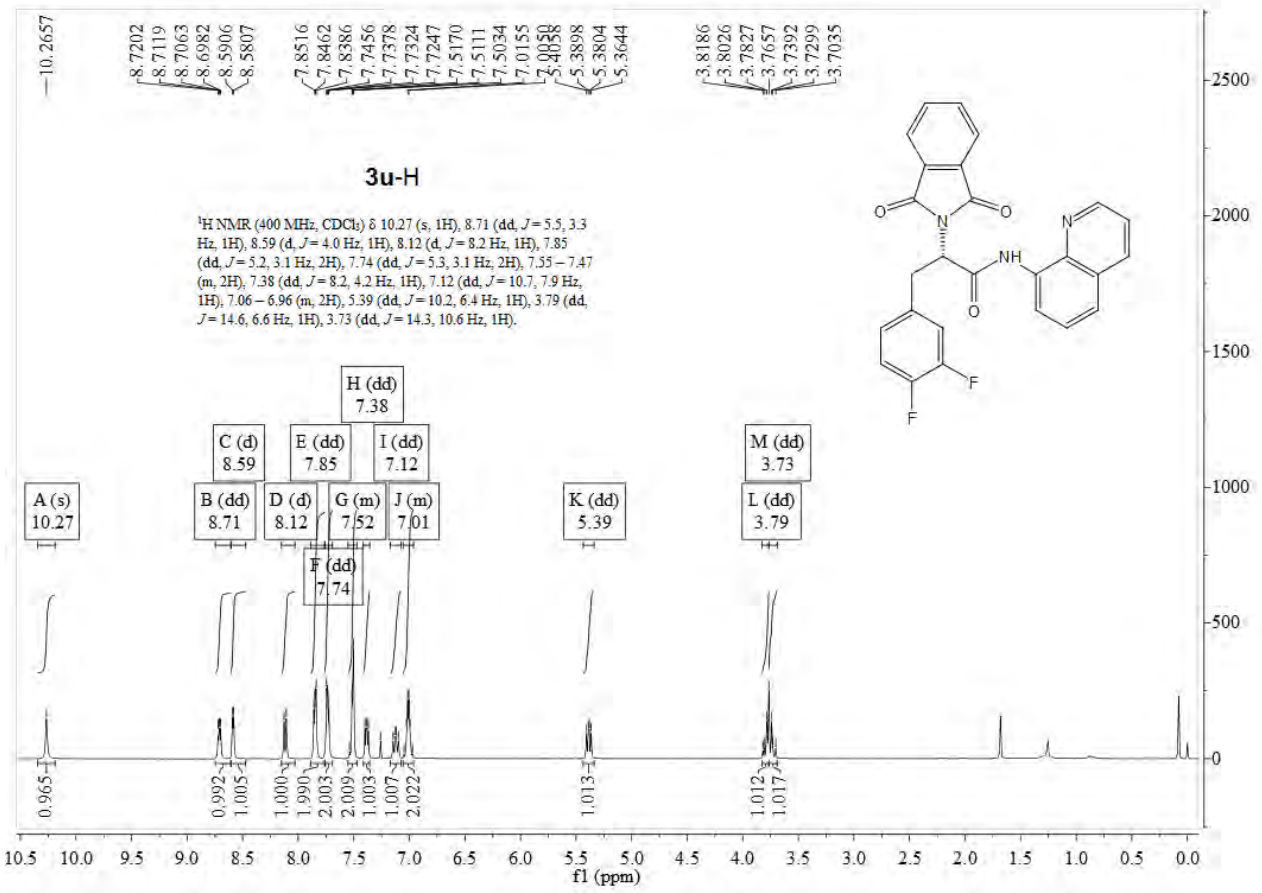

3r-C
f1 (ppm)

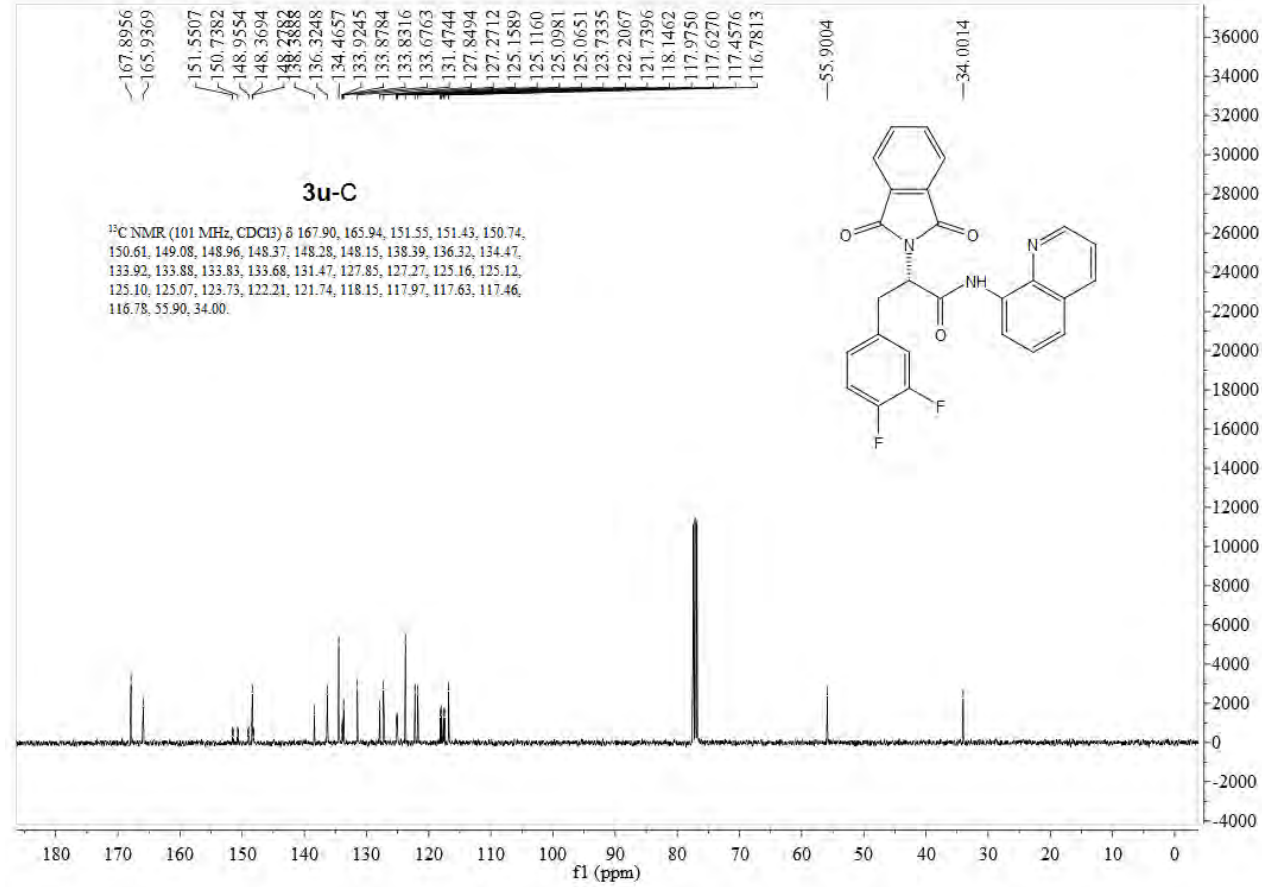

3s-H
¹H NMR (400 MHz, CDCl₃) δ 10.34 (s, 1H), 8.73 – 8.64 (m, 1H), 8.59 (d, J = 3.3 Hz, 1H), 8.08 (d, J = 8.0 Hz, 1H), 7.81 (s, 1H), 7.77 (dd, J = 5.0, 3.1 Hz, 2H), 7.66 (dd, J = 5.2, 3.1 Hz, 2H), 7.47 (d, J = 4.3 Hz, 2H), 7.41 – 7.31 (m, J = 12.4, 6.2 Hz, 3H), 7.18 (d, J = 8.2 Hz, 2H), 5.41 (t, J = 8.3 Hz, 1H), 3.82 – 3.69 (m, 2H), 2.06 (s, 3H).
A (s) 10.34
B (m) 8.68
C (d) 8.59
D (d) 8.08
E (s) 7.81
F (dd) 7.77
G (dd) 7.66
H (d) 7.47
I (m) 7.36
J (d) 7.18
K (t) 5.41
L (m) 3.76
M (s) 2.06
f1 (ppm)

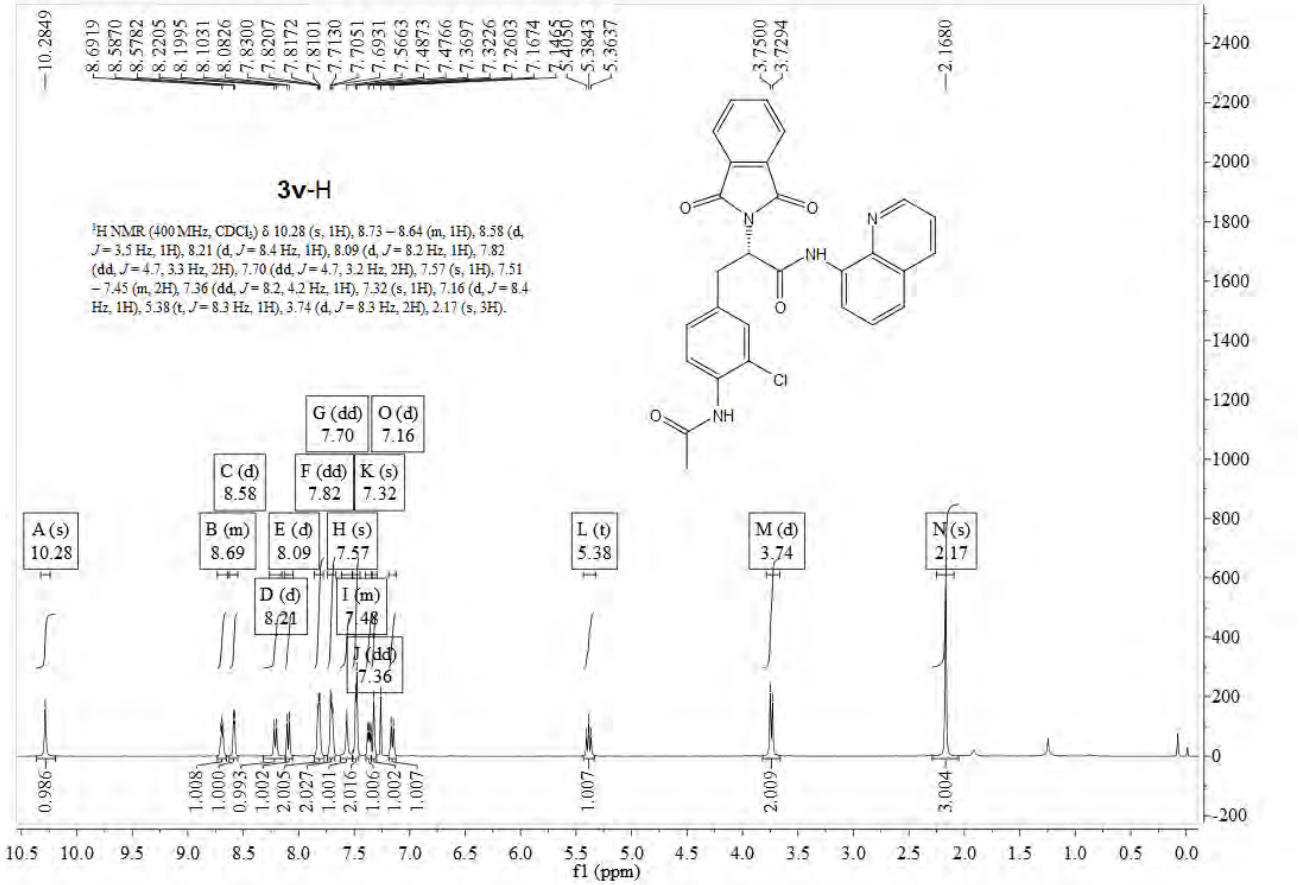

3s-C
¹³C NMR (101 MHz, CDCl₃) δ 168.84, 167.97, 166.49, 148.36, 138.32, 137.20, 136.21, 134.29, 133.64, 132.04, 131.40, 129.39, 127.75, 127.10, 123.53, 122.17, 121.69, 119.96, 116.66, 56.17, 34.14, 24.38.
f1 (ppm)

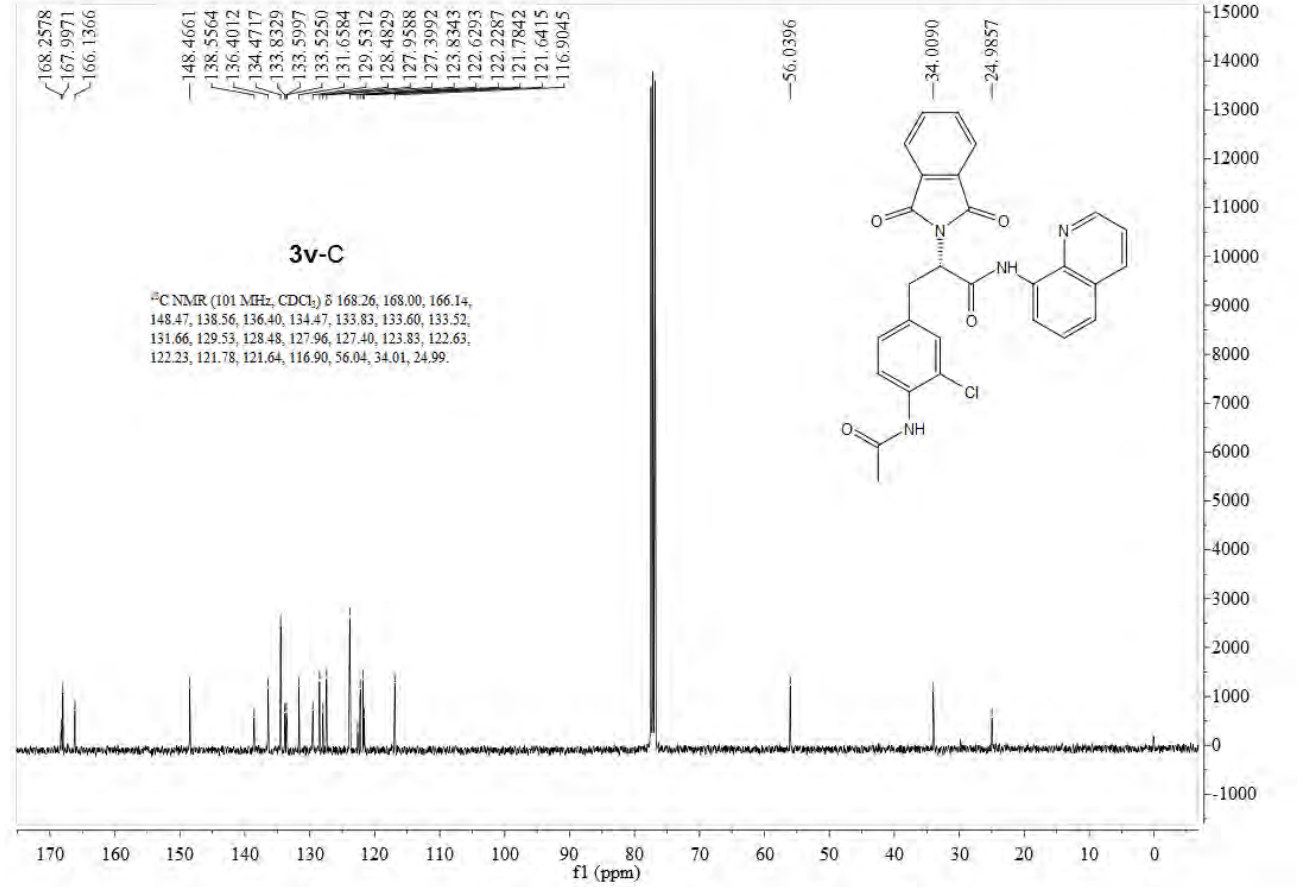

3t-H
1H NMR (400 MHz, CDCl3) δ 10.29 (s, 1H), 8.71 (dd, J = 6.2, 2.4 Hz, 1H), 8.55 (d, J = 3.9 Hz, 1H), 8.08 (d, J = 8.2 Hz, 1H), 7.82 (dd, J = 5.1, 3.1 Hz, 2H), 7.70 (dd, J = 5.2, 3.1 Hz, 2H), 7.53 – 7.45 (m, 4H), 7.42 (d, J = 8.0 Hz, 2H), 7.35 (dd, J = 8.2, 4.2 Hz, 1H), 5.49 (dd, J = 9.6, 7.0 Hz, 1H), 3.94 – 3.81 (m, 2H).
A (s) 10.29
B (dd) 8.71
C (d) 8.55
D (d) 8.08
E (dd) 7.82
F (dd) 7.70
G (m) 7.50
H (d) 7.42
I (dd) 7.35
J (dd) 5.49
K (m) 3.88
f1 (ppm)

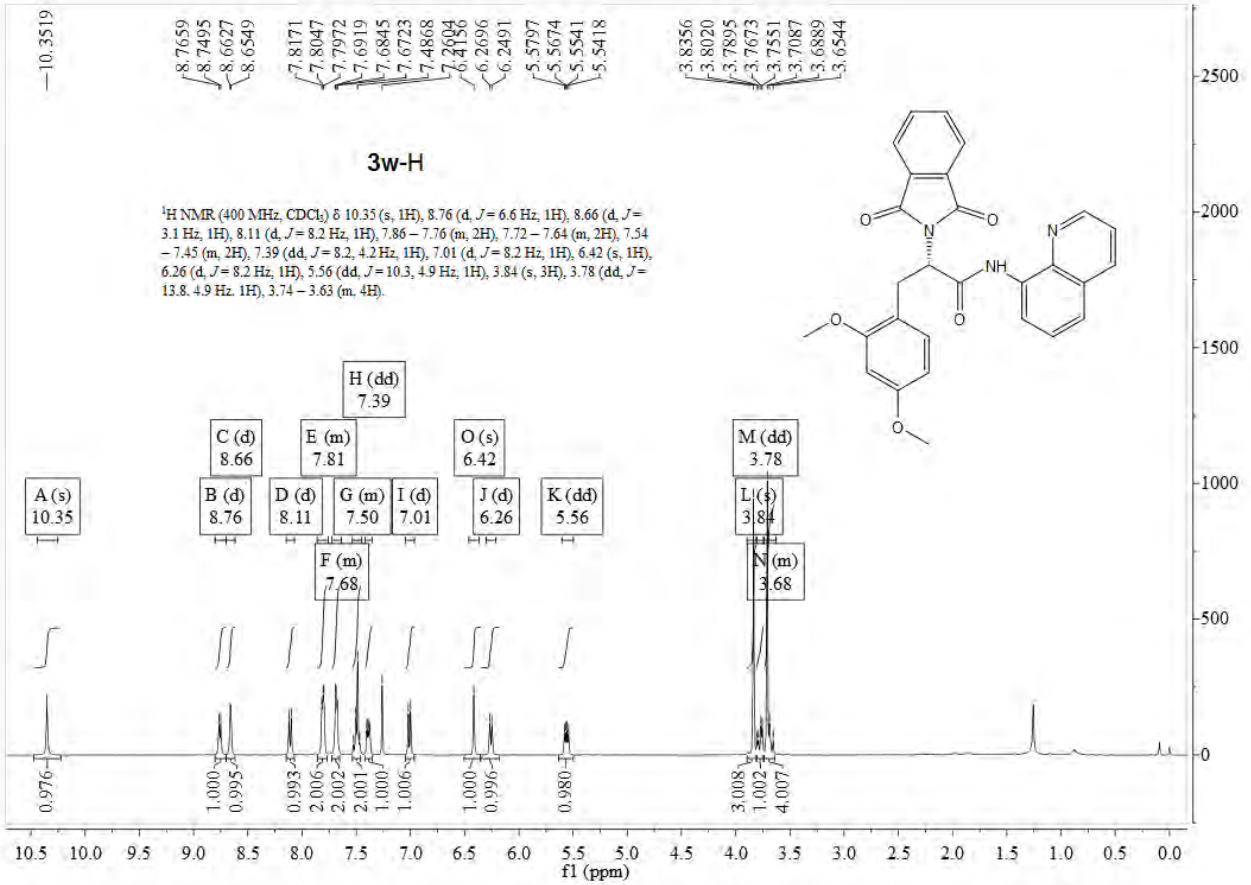

3t-C
13C NMR (101 MHz, CDCl3) δ 167.91, 166.00, 148.37, 141.09, 138.40, 136.33, 134.45, 133.69, 131.49, 129.48, 129.12, 127.86, 127.28, 125.71, 125.68, 125.50, 123.72, 122.80, 122.22, 121.74, 116.79, 55.77, 34.58.
f1 (ppm)

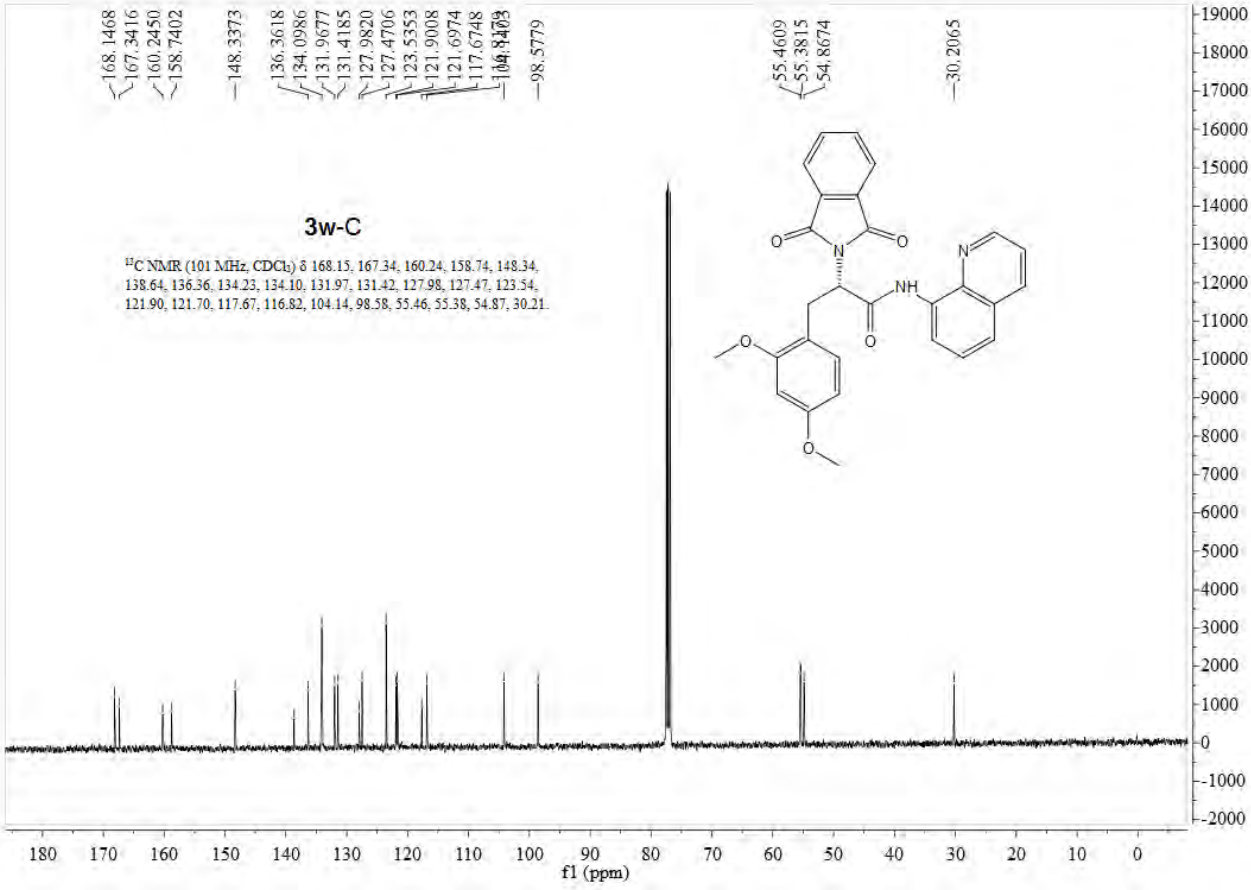

10.2657
8.7202
8.7119
8.7063
8.6982
8.5906
8.5807
7.8516
7.8462
7.8386
7.7456
7.7378
7.7324
7.7247
7.5170
7.5111
7.5034
7.0155
7.0058
5.3898
5.3804
5.3644
3.8186
3.8026
3.7827
3.7657
3.7392
3.7299
3.7035
3u-H
1H NMR (400 MHz, CDCl3) δ 10.27 (s, 1H), 8.71 (dd, J = 5.5, 3.3 Hz, 1H), 8.59 (d, J = 4.0 Hz, 1H), 8.12 (d, J = 8.2 Hz, 1H), 7.85 (dd, J = 5.2, 3.1 Hz, 2H), 7.74 (dd, J = 5.3, 3.1 Hz, 2H), 7.55 – 7.47 (m, 2H), 7.38 (dd, J = 8.2, 4.2 Hz, 1H), 7.12 (dd, J = 10.7, 7.9 Hz, 1H), 7.06 – 6.96 (m, 2H), 5.39 (dd, J = 10.2, 6.4 Hz, 1H), 3.79 (dd, J = 14.6, 6.6 Hz, 1H), 3.73 (dd, J = 14.3, 10.6 Hz, 1H).
H (dd) 7.38
C (d) 8.59
E (dd) 7.85
I (dd) 7.12
M (dd) 3.73
A (s) 10.27
B (dd) 8.71
D (d) 8.12
G (m) 7.52
J (m) 7.01
K (dd) 5.39
L (dd) 3.79
F (dd) 7.74
0.965
0.992
1.005
1.000
1.990
2.003
2.009
1.003
1.007
2.022
1.013
1.012
1.017
f1 (ppm)

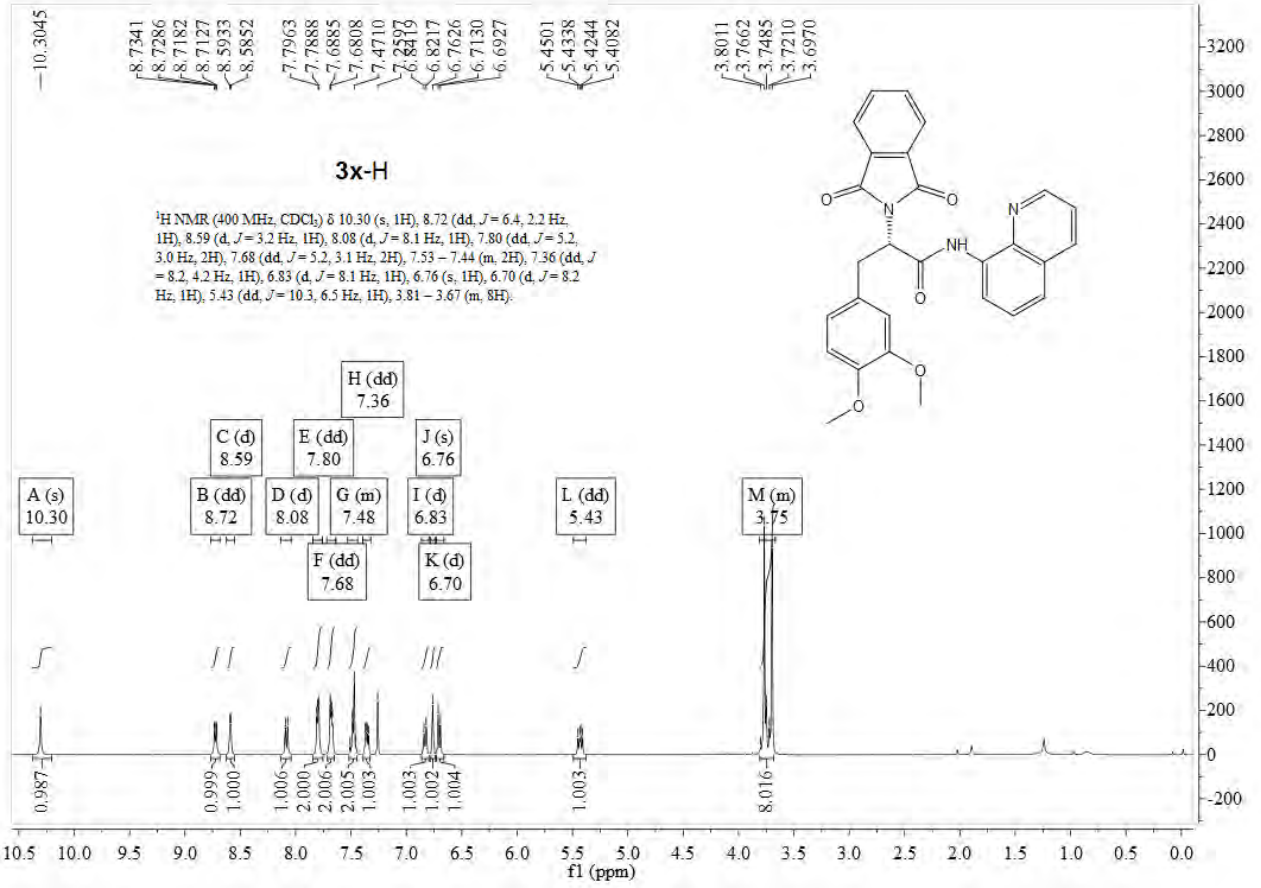

167.8956
165.9369
151.5507
150.7382
148.9554
148.3694
136.3248
134.4657
133.9245
133.8784
133.8316
133.6763
131.4744
127.8494
127.2712
125.1589
125.1160
125.0981
125.0651
123.7335
122.2067
121.7396
118.1462
117.9750
117.6270
117.4576
116.7813
55.9004
34.0014
3u-C
13C NMR (101 MHz, CDCl3) δ 167.90, 165.94, 151.55, 151.43, 150.74, 150.61, 149.08, 148.96, 148.37, 148.28, 148.15, 138.39, 136.32, 134.47, 133.92, 133.88, 133.83, 133.68, 131.47, 127.85, 127.27, 125.16, 125.12, 125.10, 125.07, 123.73, 122.21, 121.74, 118.15, 117.97, 117.63, 117.46, 116.78, 55.90, 34.00.
f1 (ppm)

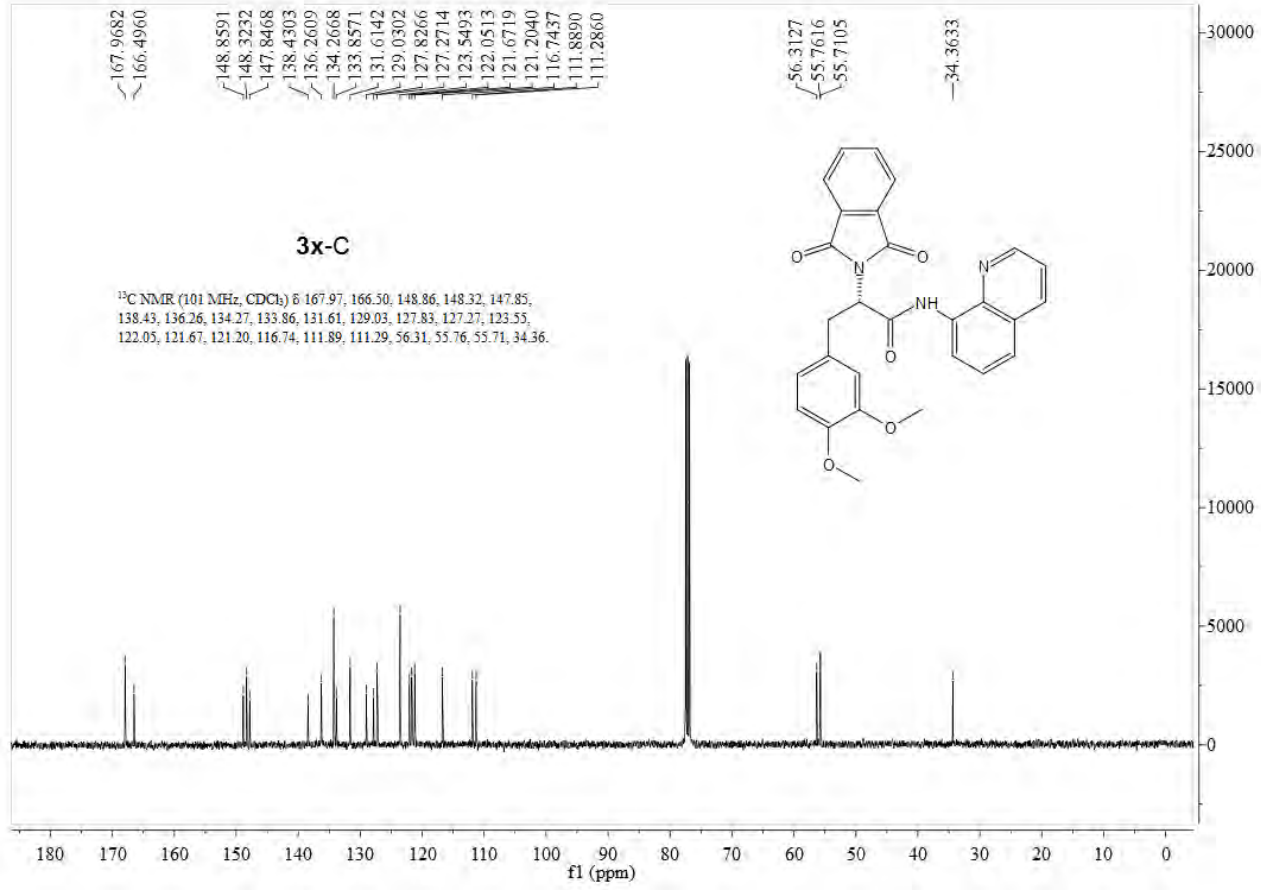

3v-H
A (s) 10.28
B (m) 8.69
C (d) 8.58
D (d) 8.21
E (d) 8.09
F (dd) 7.82
G (dd) 7.70
H (s) 7.57
I (m) 7.48
J (dd) 7.36
K (s) 7.32
L (t) 5.38
M (d) 3.74
N (s) 2.17
O (d) 7.16
f1 (ppm)

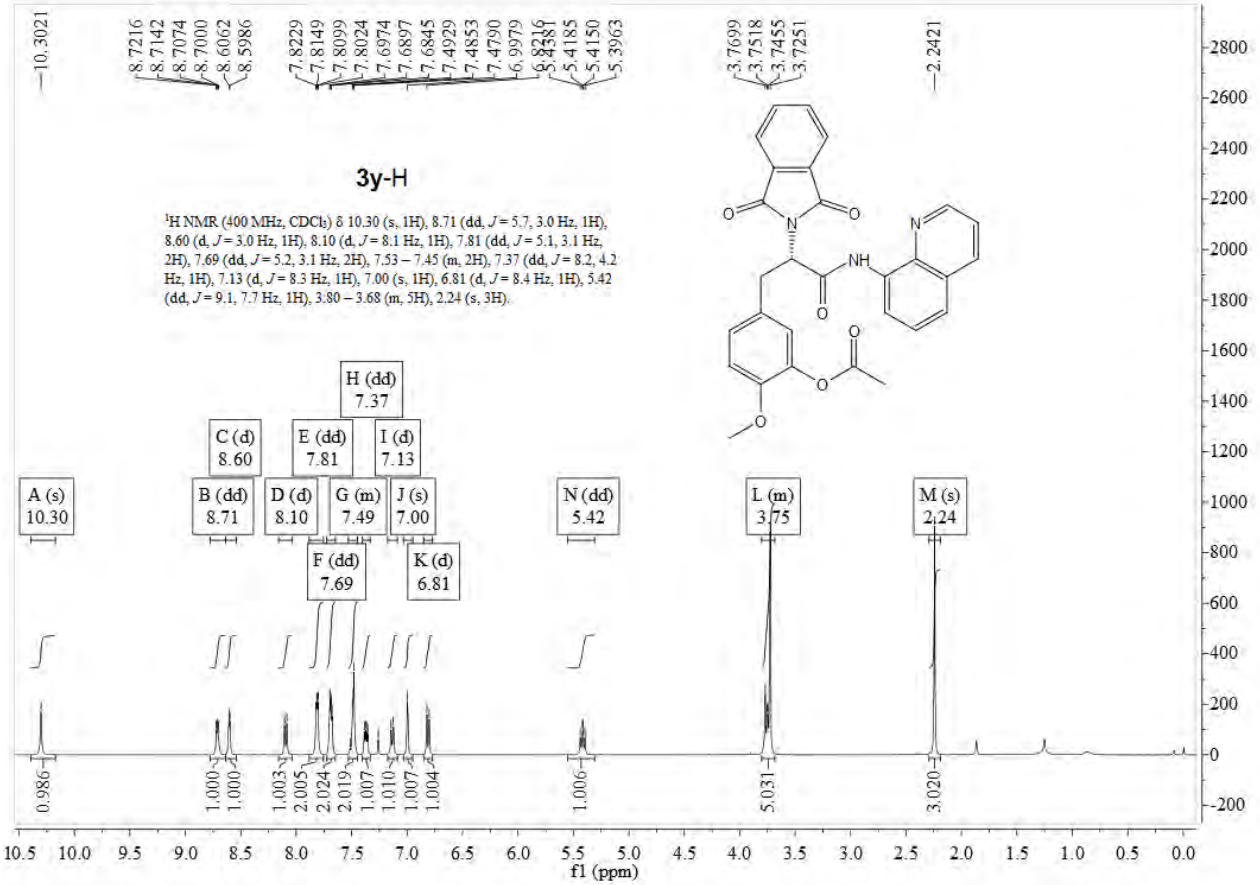

3v-C
f1 (ppm)

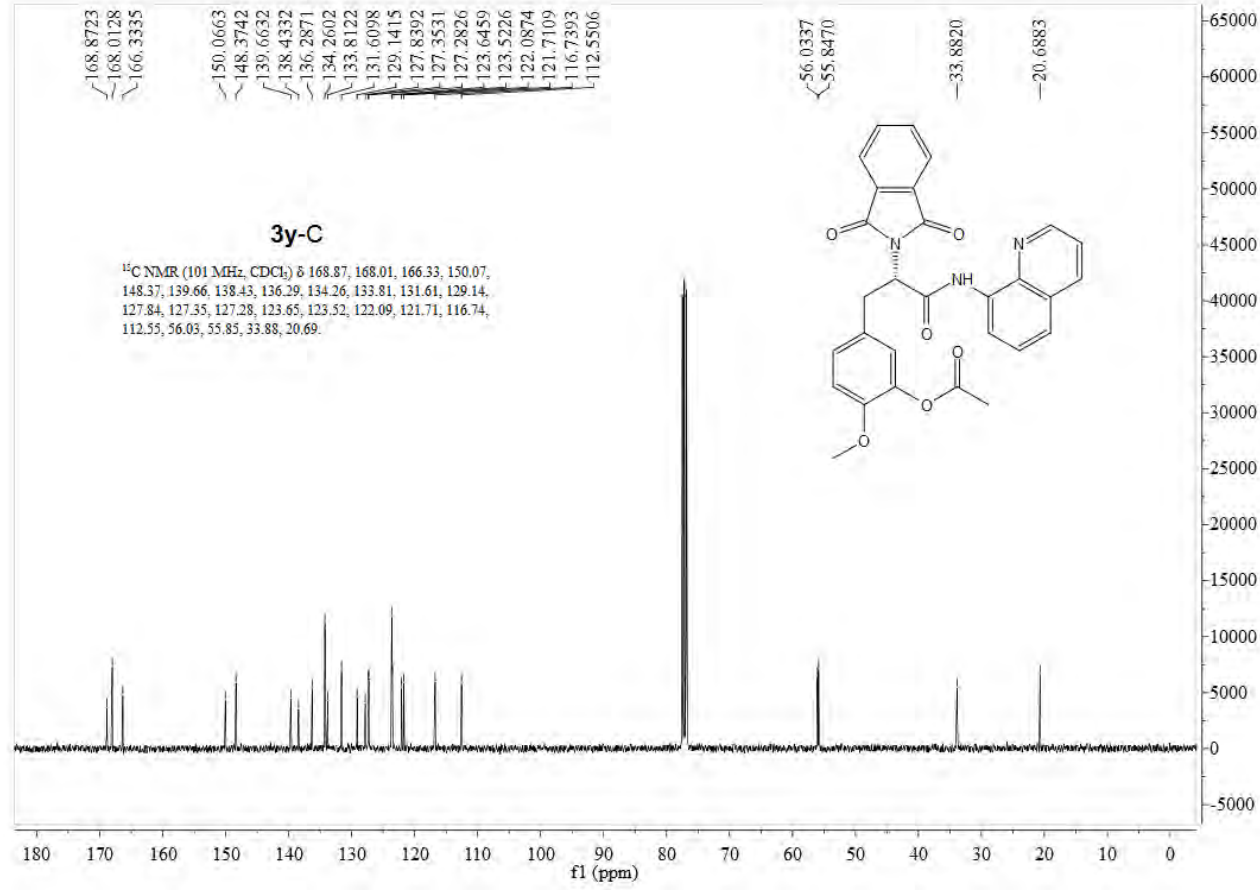

3w-H
A (s) 10.35
B (d) 8.76
C (d) 8.66
D (d) 8.11
E (m) 7.81
F (m) 7.68
G (m) 7.50
H (dd) 7.39
I (d) 7.01
J (d) 6.26
K (dd) 5.56
L (s) 3.84
M (dd) 3.78
N (m) 3.68
O (s) 6.42
f1 (ppm)

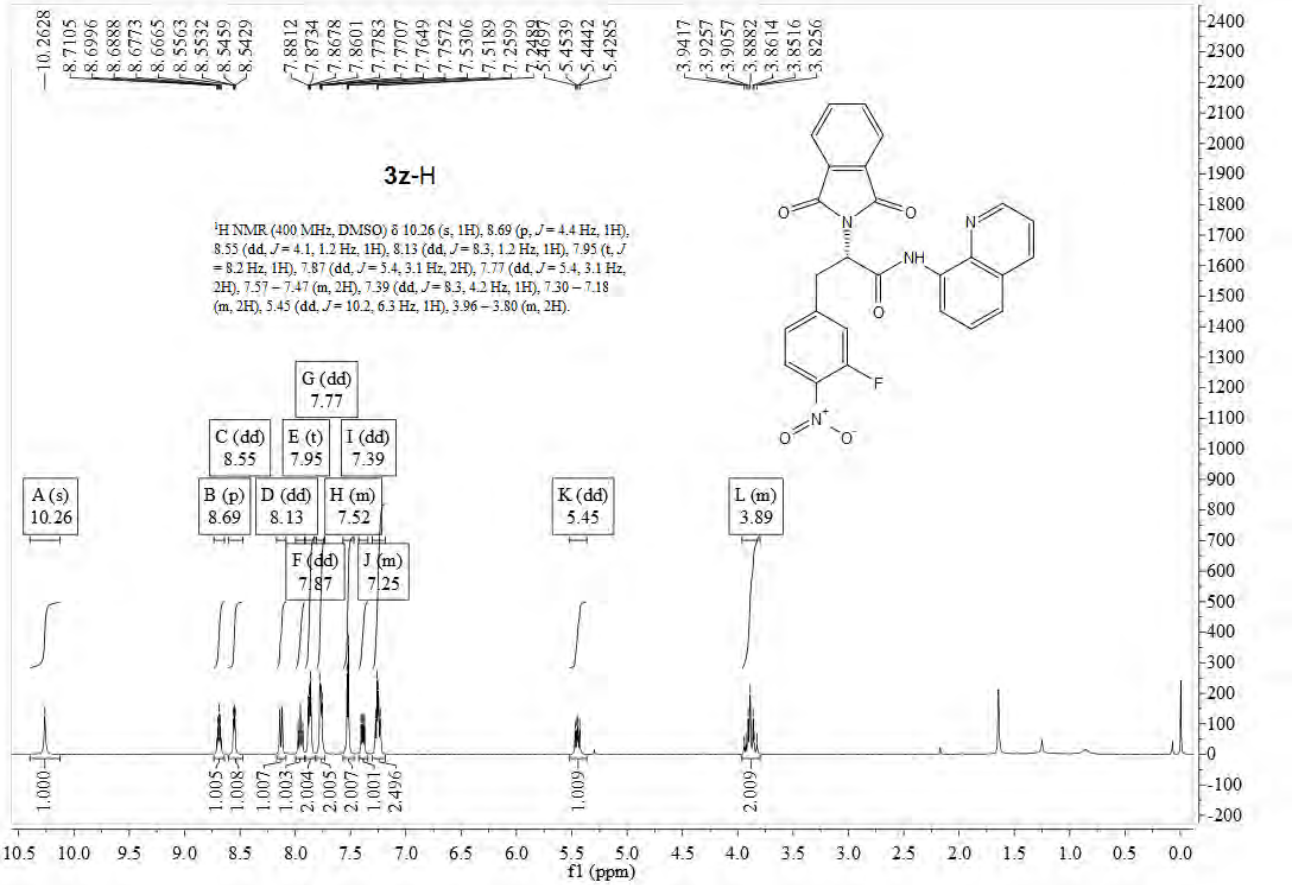

3w-C
f1 (ppm)

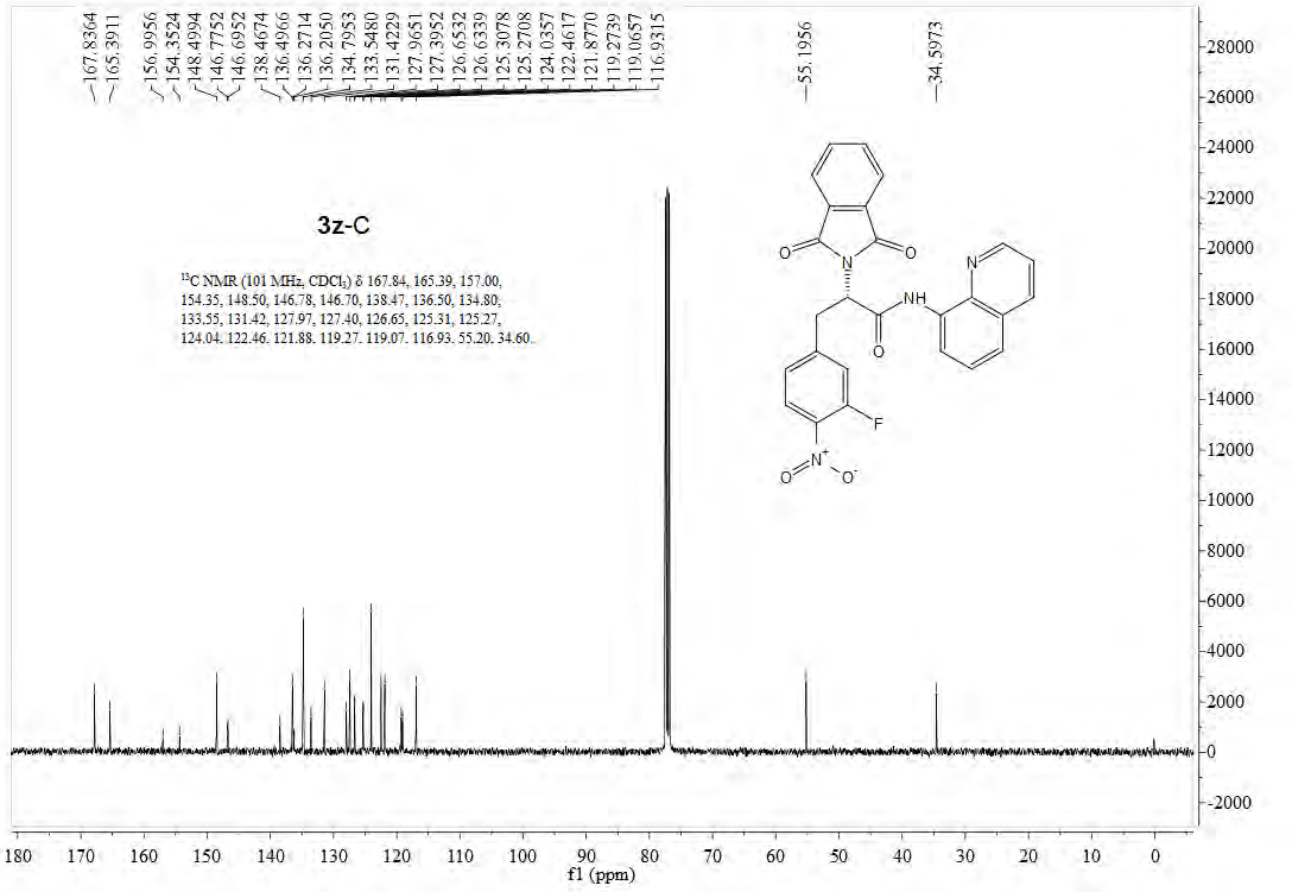

10.3045
8.7341
8.7286
8.7182
8.7127
8.5933
8.5852
7.7963
7.7888
7.6885
7.6808
7.4710
7.2597
6.8419
6.8217
6.7626
6.7130
6.6927
5.4501
5.4338
5.4244
5.4082
3.8011
3.7662
3.7485
3.7210
3.6970
3x-H
¹H NMR (400 MHz, CDCl₃) δ 10.30 (s, 1H), 8.72 (dd, J = 6.4, 2.2 Hz, 1H), 8.59 (d, J = 3.2 Hz, 1H), 8.08 (d, J = 8.1 Hz, 1H), 7.80 (dd, J = 5.2, 3.0 Hz, 2H), 7.68 (dd, J = 5.2, 3.1 Hz, 2H), 7.53 – 7.44 (m, 2H), 7.36 (dd, J = 8.2, 4.2 Hz, 1H), 6.83 (d, J = 8.1 Hz, 1H), 6.76 (s, 1H), 6.70 (d, J = 8.2 Hz, 1H), 5.43 (dd, J = 10.3, 6.5 Hz, 1H), 3.81 – 3.67 (m, 8H).
A (s) 10.30
B (dd) 8.72
C (d) 8.59
D (d) 8.08
E (dd) 7.80
F (dd) 7.68
G (m) 7.48
H (dd) 7.36
I (d) 6.83
J (s) 6.76
K (d) 6.70
L (dd) 5.43
M (m) 3.75
0.987
0.999
1.000
1.006
2.000
2.006
2.005
1.003
1.003
1.002
1.004
1.003
8.016
f1 (ppm)

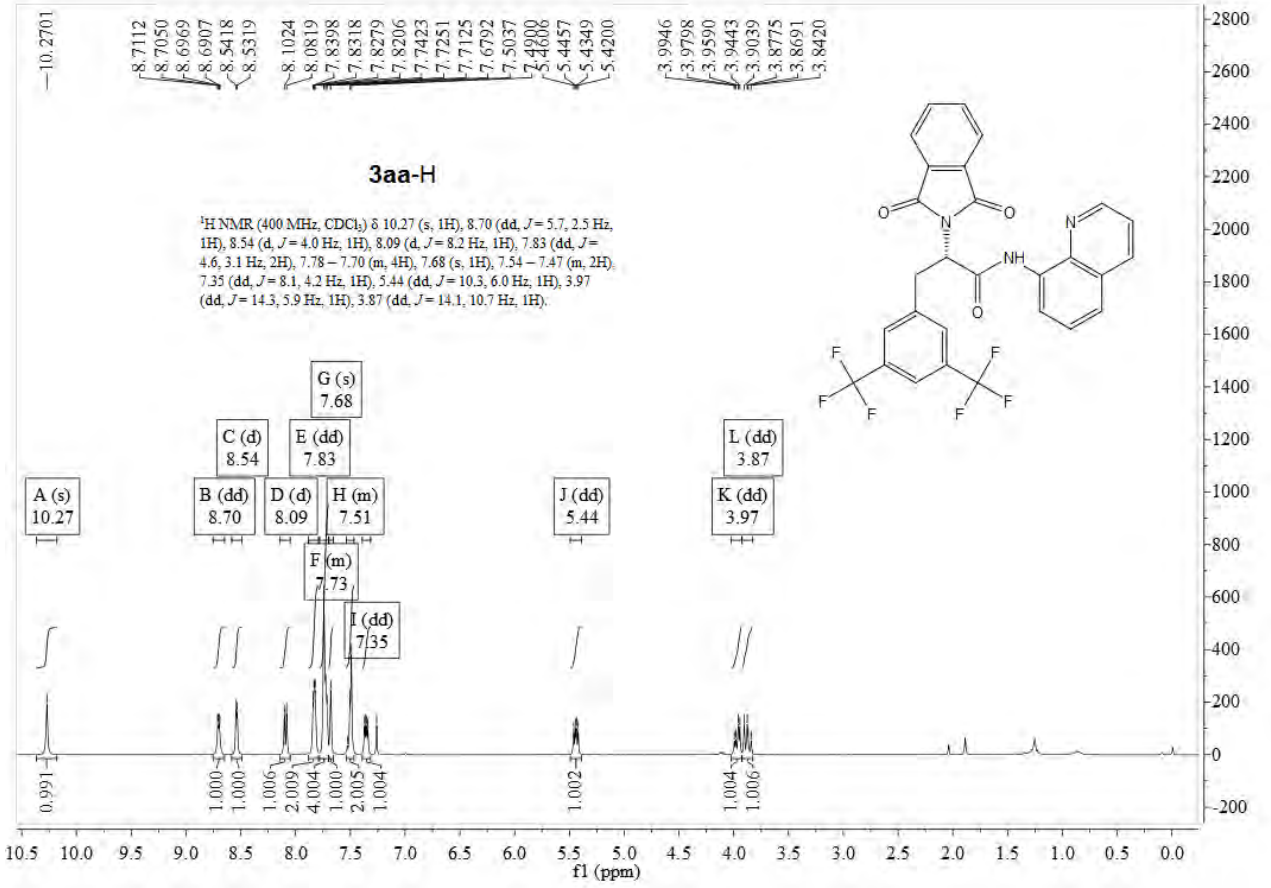

167.9682
166.4960
148.8591
148.3232
147.8468
138.4303
136.2609
134.2668
133.8571
131.6142
129.0302
127.8266
127.2714
123.5493
122.0513
121.6719
121.2040
116.7437
111.8890
111.2860
56.3127
55.7616
55.7105
34.3633
3x-C
¹³C NMR (101 MHz, CDCl₃) δ 167.97, 166.50, 148.86, 148.32, 147.85, 138.43, 136.26, 134.27, 133.86, 131.61, 129.03, 127.83, 127.27, 123.55, 122.05, 121.67, 121.20, 116.74, 111.89, 111.29, 56.31, 55.76, 55.71, 34.36.
f1 (ppm)

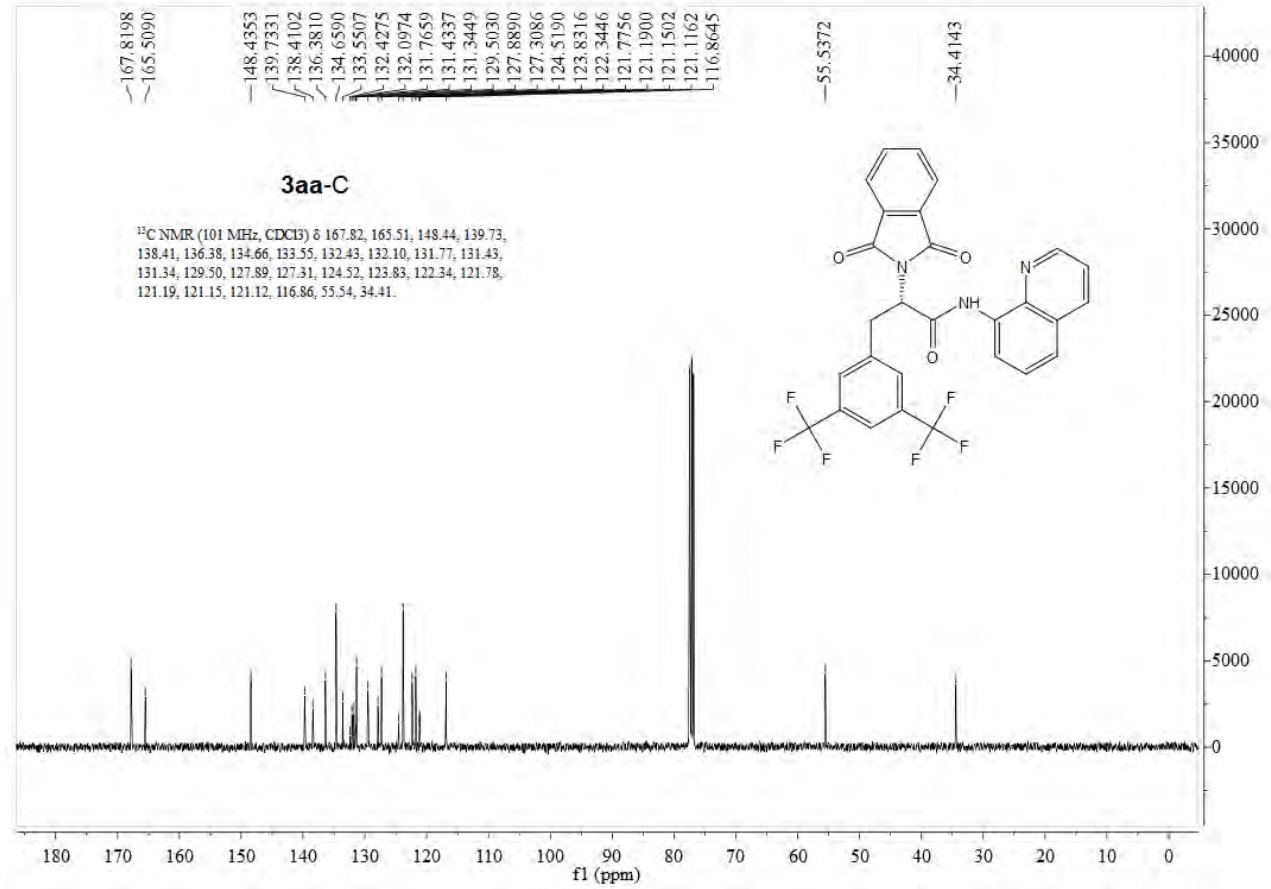

3y-H
¹H NMR (400 MHz, CDCl₃) δ 10.30 (s, 1H), 8.71 (dd, J = 5.7, 3.0 Hz, 1H), 8.60 (d, J = 3.0 Hz, 1H), 8.10 (d, J = 8.1 Hz, 1H), 7.81 (dd, J = 5.1, 3.1 Hz, 2H), 7.69 (dd, J = 5.2, 3.1 Hz, 2H), 7.53 – 7.45 (m, 2H), 7.37 (dd, J = 8.2, 4.2 Hz, 1H), 7.13 (d, J = 8.3 Hz, 1H), 7.00 (s, 1H), 6.81 (d, J = 8.4 Hz, 1H), 5.42 (dd, J = 9.1, 7.7 Hz, 1H), 3.80 – 3.68 (m, 5H), 2.24 (s, 3H).
A (s) 10.30
B (dd) 8.71
C (d) 8.60
D (d) 8.10
E (dd) 7.81
F (dd) 7.69
G (m) 7.49
H (dd) 7.37
I (d) 7.13
J (s) 7.00
K (d) 6.81
N (dd) 5.42
L (m) 3.75
M (s) 2.24
f1 (ppm)

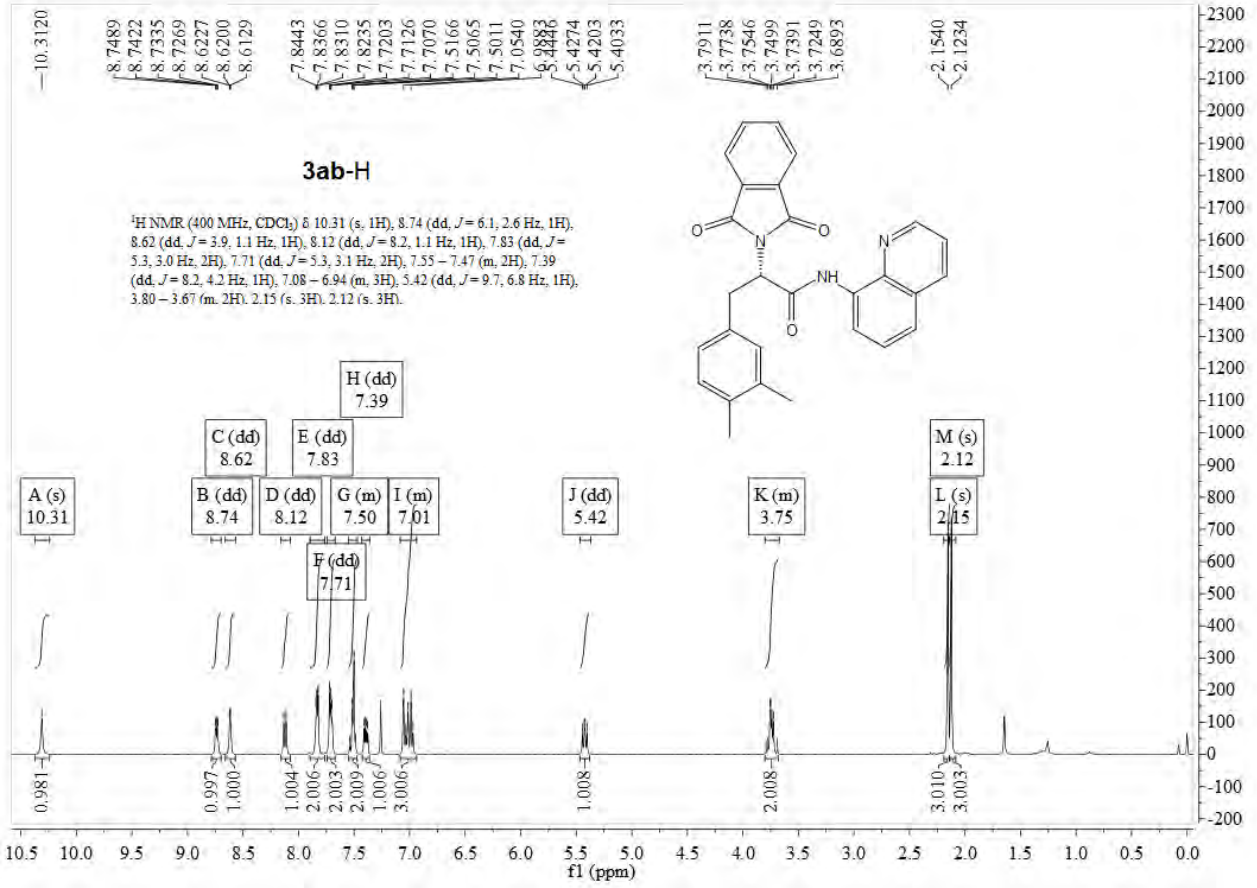

3y-C
¹³C NMR (101 MHz, CDCl₃) δ 168.87, 168.01, 166.33, 150.07, 148.37, 139.66, 138.43, 136.29, 134.26, 133.81, 131.61, 129.14, 127.84, 127.35, 127.28, 123.65, 123.52, 122.09, 121.71, 116.74, 112.55, 56.03, 55.85, 33.88, 20.69.
f1 (ppm)

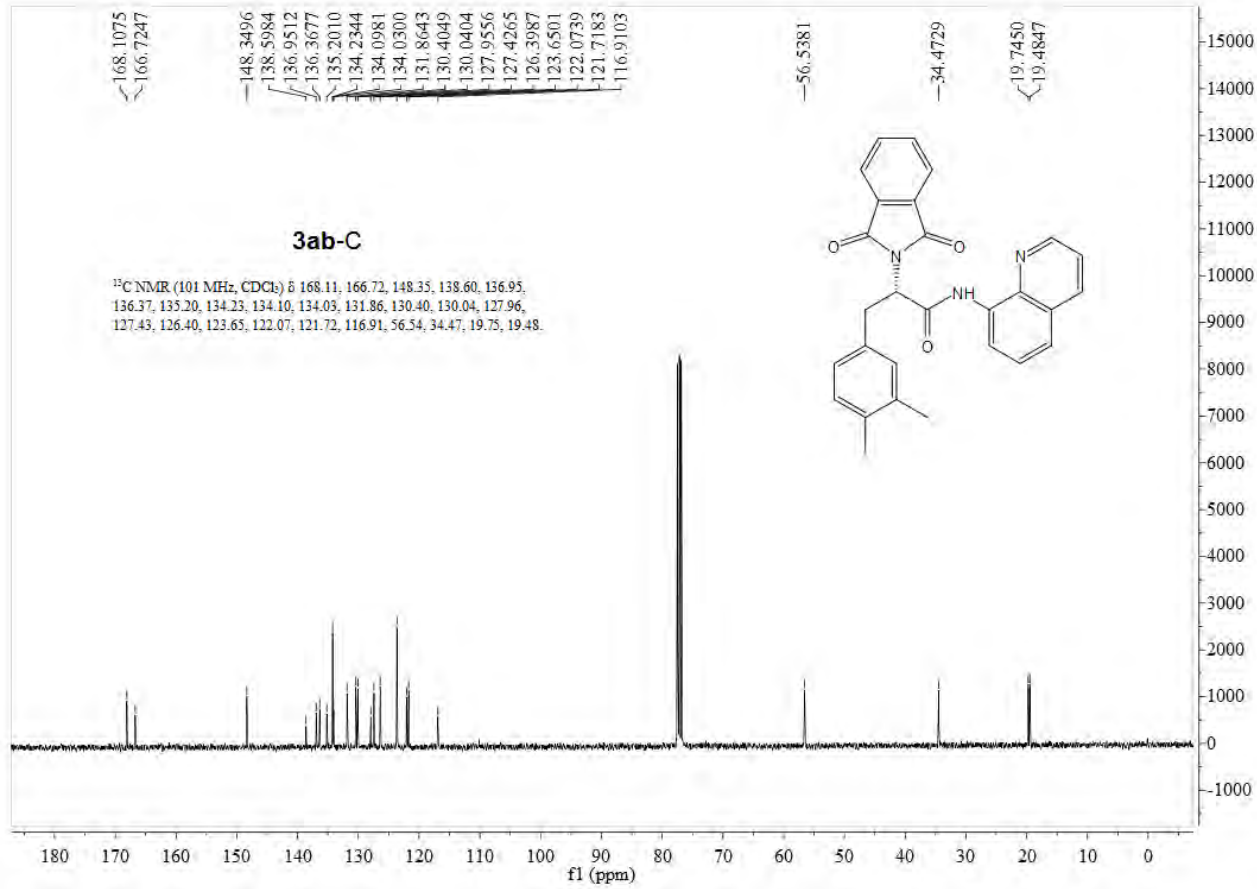

3z-H
1H NMR (400 MHz, DMSO) δ 10.26 (s, 1H), 8.69 (p, J = 4.4 Hz, 1H), 8.55 (dd, J = 4.1, 1.2 Hz, 1H), 8.13 (dd, J = 8.3, 1.2 Hz, 1H), 7.95 (t, J = 8.2 Hz, 1H), 7.87 (dd, J = 5.4, 3.1 Hz, 2H), 7.77 (dd, J = 5.4, 3.1 Hz, 2H), 7.57 – 7.47 (m, 2H), 7.39 (dd, J = 8.3, 4.2 Hz, 1H), 7.30 – 7.18 (m, 2H), 5.45 (dd, J = 10.2, 6.3 Hz, 1H), 3.96 – 3.80 (m, 2H).
A (s) 10.26
B (p) 8.69
C (dd) 8.55
D (dd) 8.13
E (t) 7.95
F (dd) 7.87
G (dd) 7.77
H (m) 7.52
I (dd) 7.39
J (m) 7.25
K (dd) 5.45
L (m) 3.89
f1 (ppm)

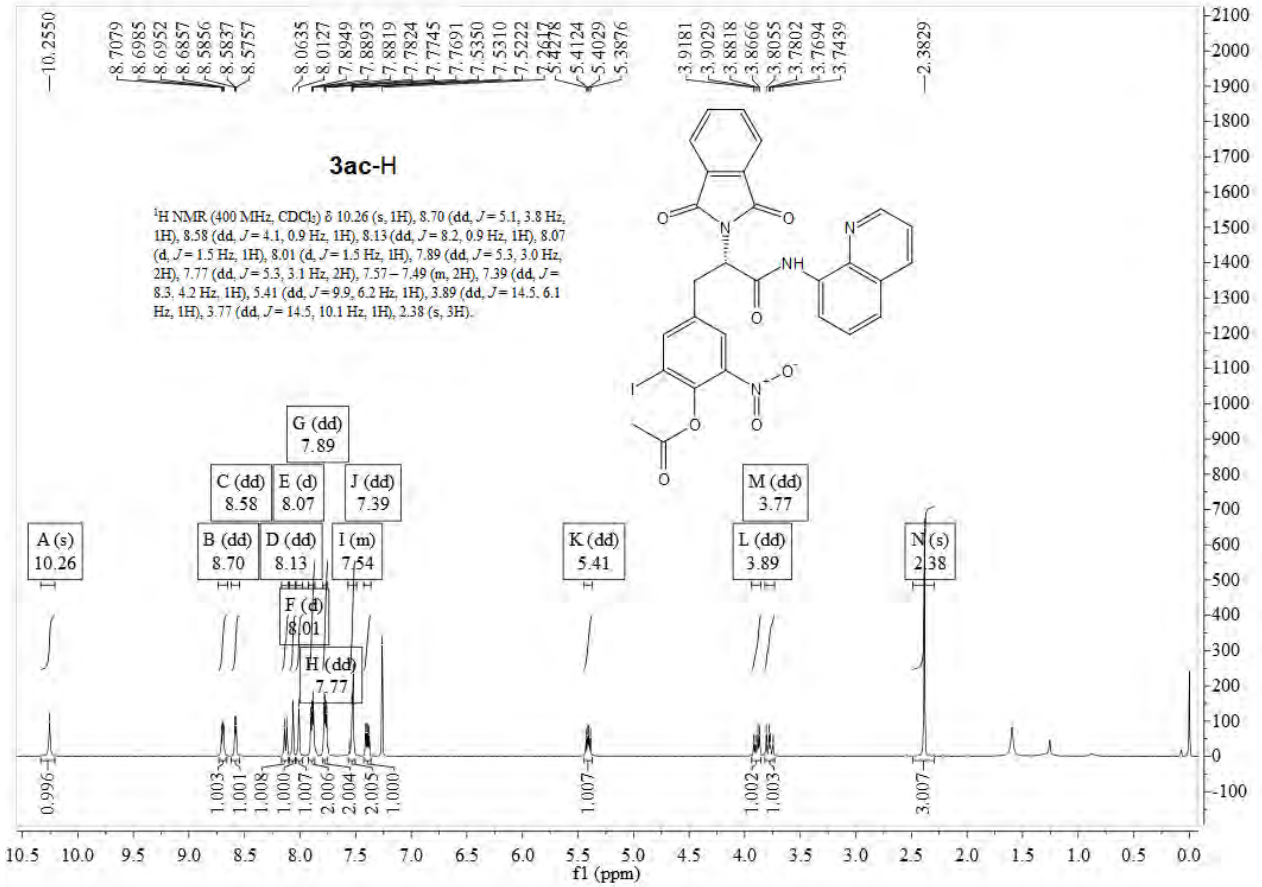

3z-C
13C NMR (101 MHz, CDCl3) δ 167.84, 165.39, 157.00, 154.35, 148.50, 146.78, 146.70, 138.47, 136.50, 134.80, 133.55, 131.42, 127.97, 127.40, 126.65, 125.31, 125.27, 124.04, 122.46, 121.88, 119.27, 119.07, 116.93, 55.20, 34.60.
f1 (ppm)

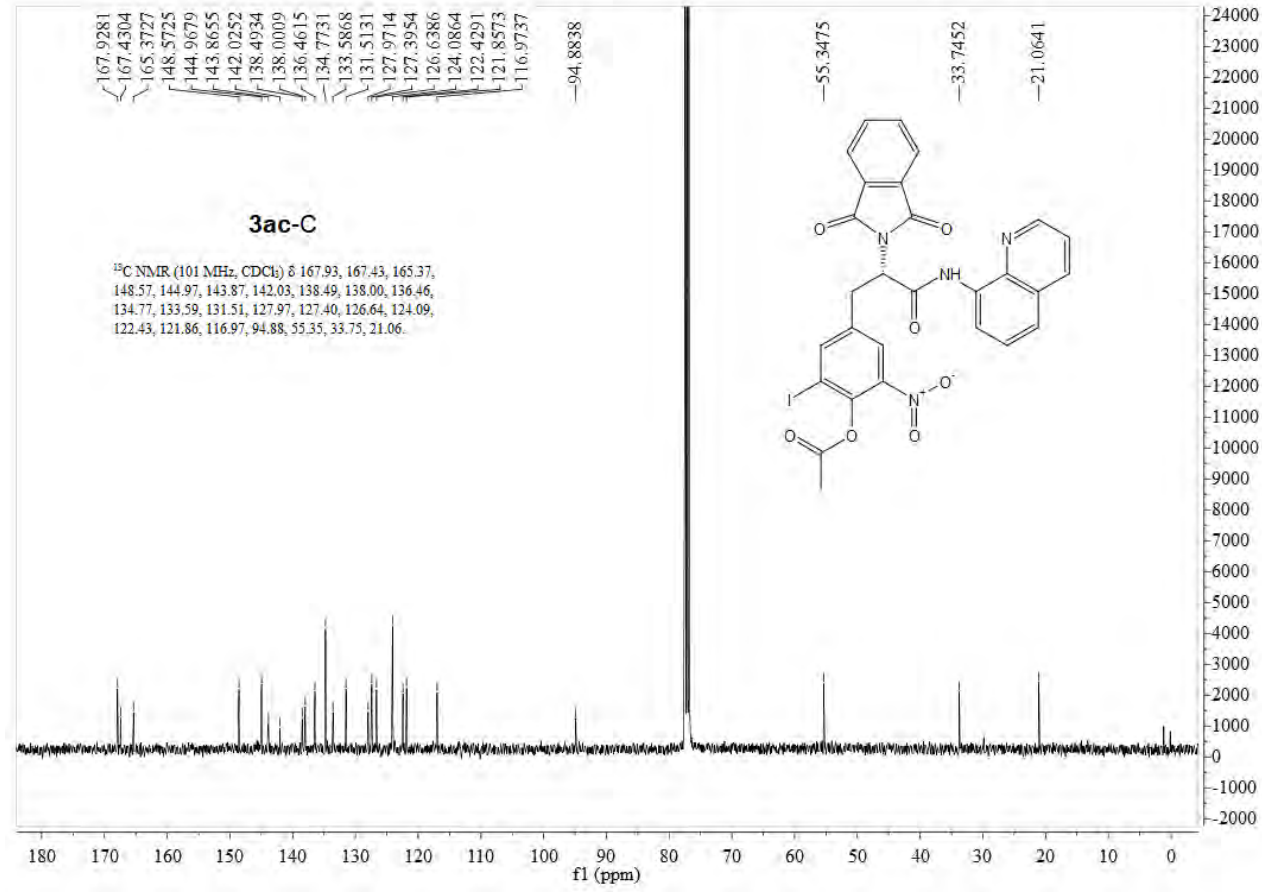

3aa-H
1H NMR (400 MHz, CDCl3) δ 10.27 (s, 1H), 8.70 (dd, J = 5.7, 2.5 Hz, 1H), 8.54 (d, J = 4.0 Hz, 1H), 8.09 (d, J = 8.2 Hz, 1H), 7.83 (dd, J = 4.6, 3.1 Hz, 2H), 7.78 – 7.70 (m, 4H), 7.68 (s, 1H), 7.54 – 7.47 (m, 2H), 7.35 (dd, J = 8.1, 4.2 Hz, 1H), 5.44 (dd, J = 10.3, 6.0 Hz, 1H), 3.97 (dd, J = 14.3, 5.9 Hz, 1H), 3.87 (dd, J = 14.1, 10.7 Hz, 1H).
A (s) 10.27
B (dd) 8.70
C (d) 8.54
D (d) 8.09
E (dd) 7.83
F (m) 7.73
G (s) 7.68
H (m) 7.51
I (dd) 7.35
J (dd) 5.44
K (dd) 3.97
L (dd) 3.87
f1 (ppm)

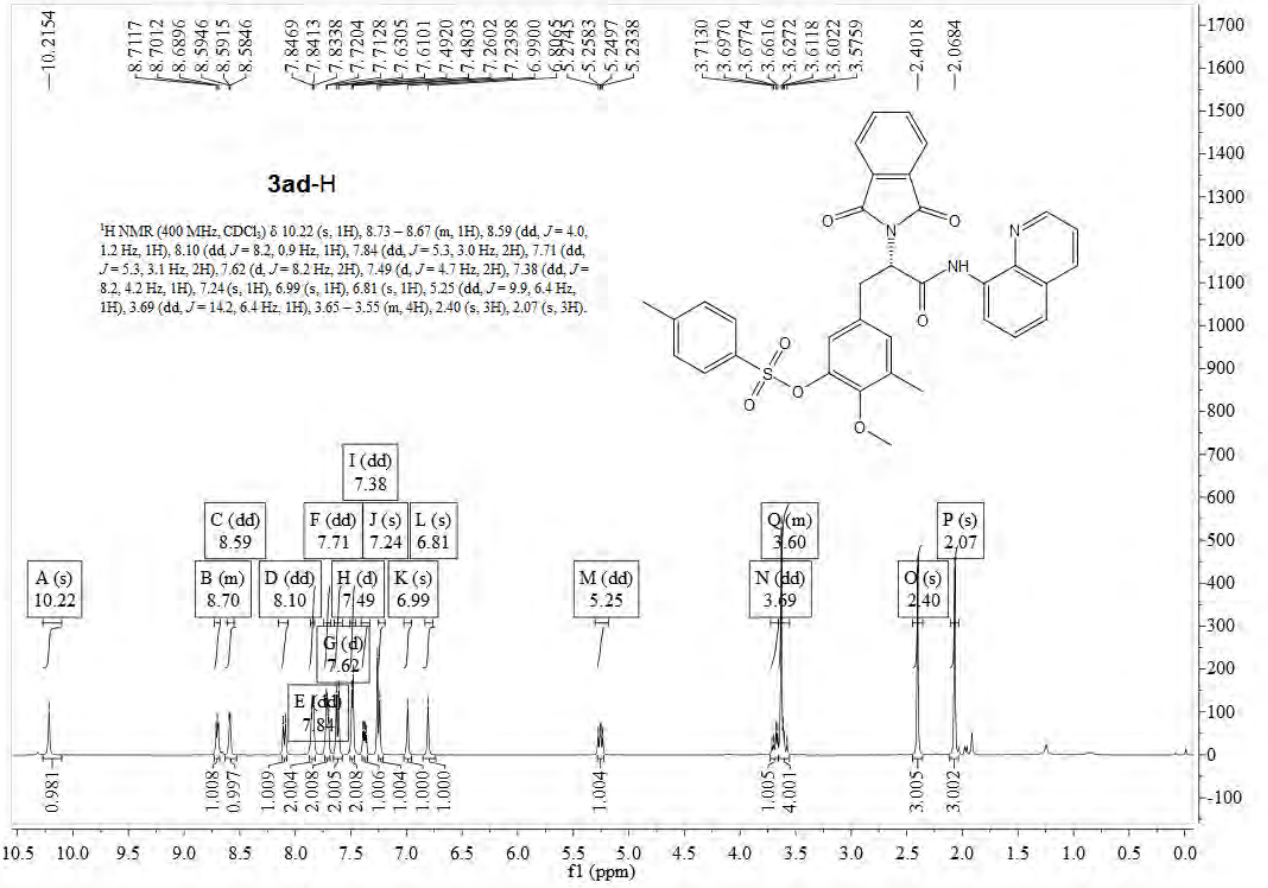

3aa-C
13C NMR (101 MHz, CDCl3) δ 167.82, 165.51, 148.44, 139.73, 138.41, 136.38, 134.66, 133.55, 132.43, 132.10, 131.77, 131.43, 131.34, 129.50, 127.89, 127.31, 124.52, 123.83, 122.34, 121.78, 121.19, 121.15, 121.12, 116.86, 55.54, 34.41.
f1 (ppm)

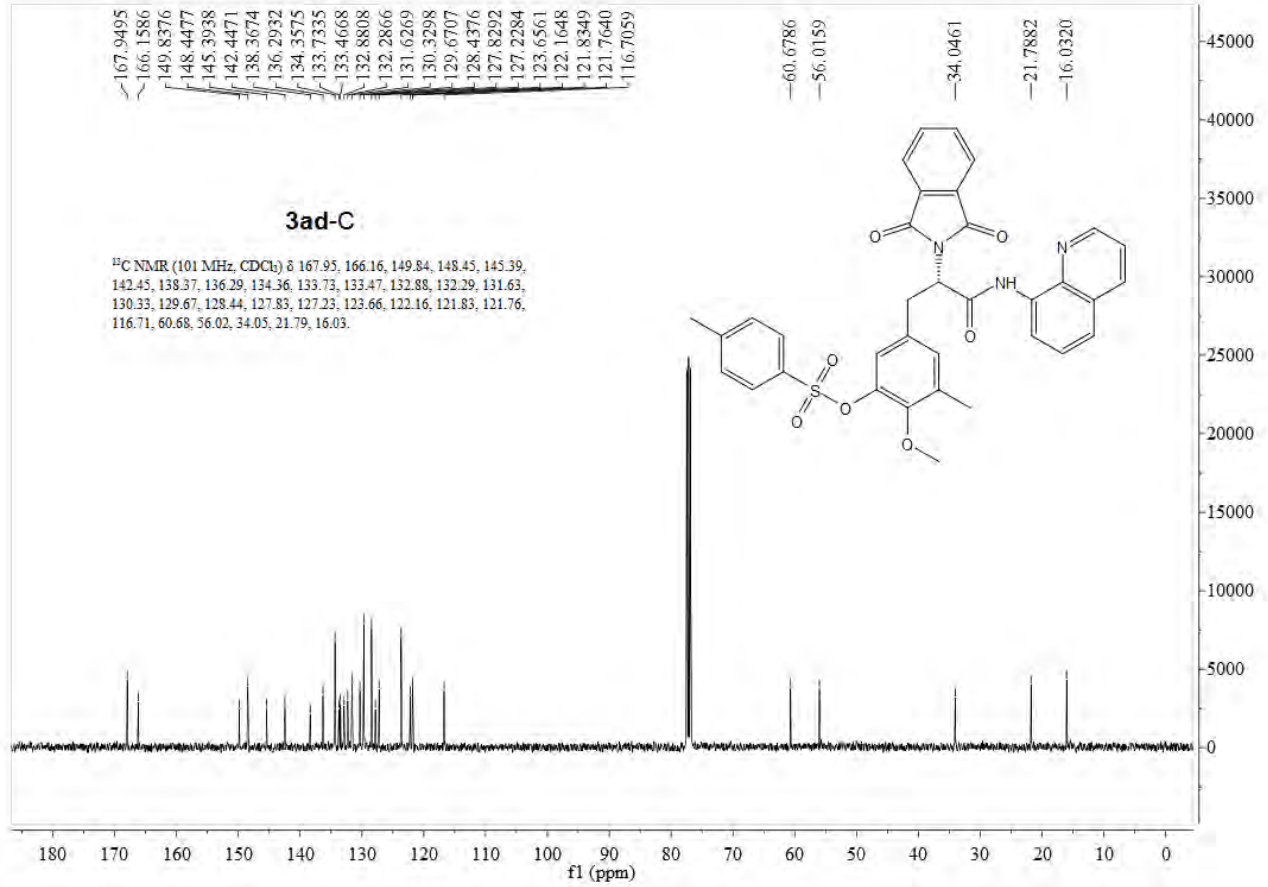

10.3120
8.7489
8.7422
8.7335
8.7269
8.6227
8.6200
8.6129
7.8443
7.8366
7.8310
7.8235
7.7203
7.7126
7.7070
7.5166
7.5065
7.5011
7.0540
6.9883
5.4274
5.4203
5.4033
3.7911
3.7738
3.7546
3.7499
3.7391
3.7249
3.6893
2.1540
2.1234
3ab-H
¹H NMR (400 MHz, CDCl₃) δ 10.31 (s, 1H), 8.74 (dd, J = 6.1, 2.6 Hz, 1H), 8.62 (dd, J = 3.9, 1.1 Hz, 1H), 8.12 (dd, J = 8.2, 1.1 Hz, 1H), 7.83 (dd, J = 5.3, 3.0 Hz, 2H), 7.71 (dd, J = 5.3, 3.1 Hz, 2H), 7.55 – 7.47 (m, 2H), 7.39 (dd, J = 8.2, 4.2 Hz, 1H), 7.08 – 6.94 (m, 3H), 5.42 (dd, J = 9.7, 6.8 Hz, 1H), 3.80 – 3.67 (m, 2H), 2.15 (s, 3H), 2.12 (s, 3H).
A (s) 10.31
B (dd) 8.74
C (dd) 8.62
D (dd) 8.12
E (dd) 7.83
F (dd) 7.71
G (m) 7.50
H (dd) 7.39
I (m) 7.01
J (dd) 5.42
K (m) 3.75
L (s) 2.15
M (s) 2.12
0.981
0.997
1.000
1.004
2.006
2.003
2.009
1.006
3.006
1.008
2.008
3.010
3.003
f1 (ppm)

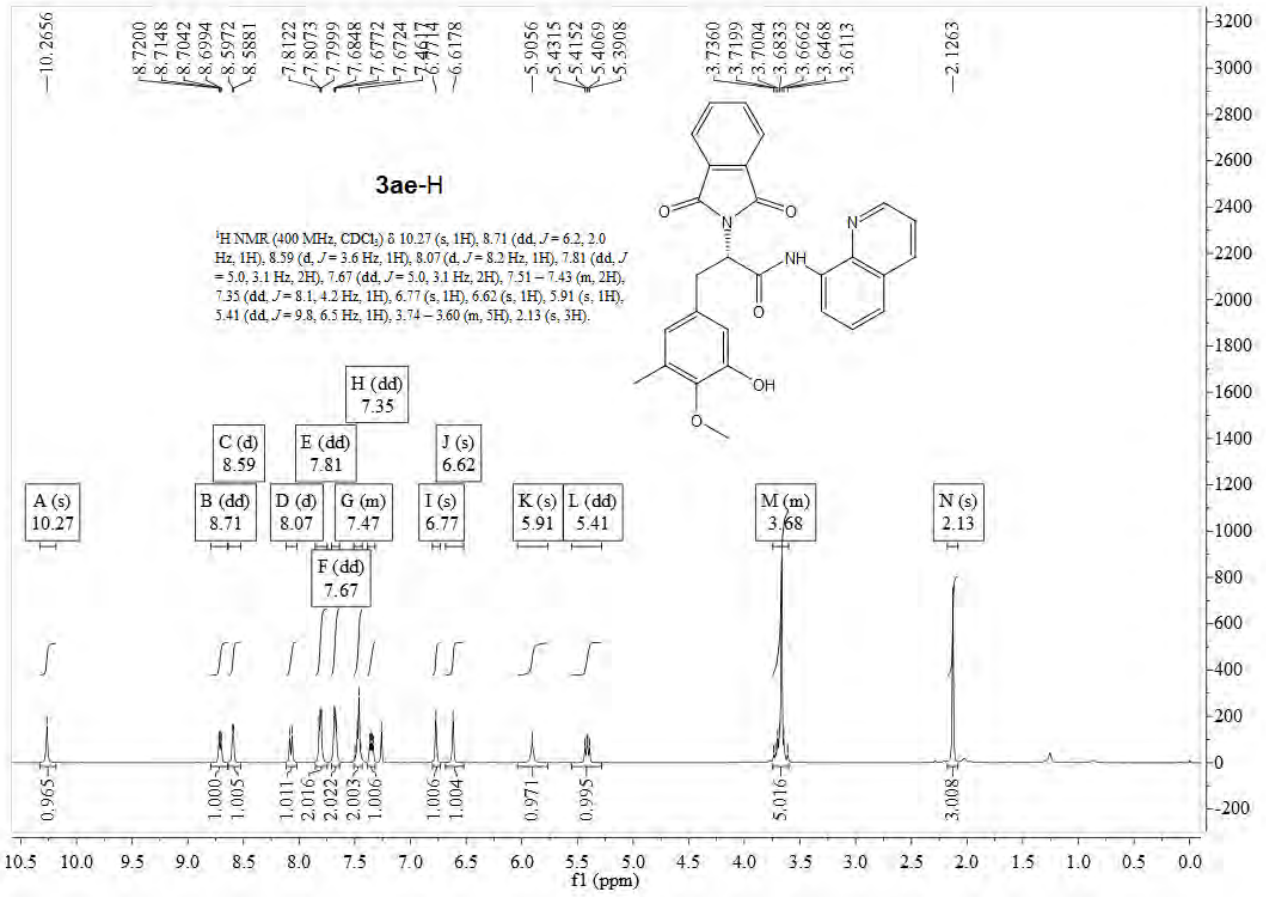

168.1075
166.7247
148.3496
138.5984
136.9512
136.3677
135.2010
134.2344
134.0981
134.0300
131.8643
130.4049
130.0404
127.9556
127.4265
126.3987
123.6501
122.0739
121.7183
116.9103
56.5381
34.4729
19.7450
19.4847
3ab-C
¹³C NMR (101 MHz, CDCl₃) δ 168.11, 166.72, 148.35, 138.60, 136.95, 136.37, 135.20, 134.23, 134.10, 134.03, 131.86, 130.40, 130.04, 127.96, 127.43, 126.40, 123.65, 122.07, 121.72, 116.91, 56.54, 34.47, 19.75, 19.48.
f1 (ppm)


3ac-H
¹H NMR (400 MHz, CDCl₃) δ 10.26 (s, 1H), 8.70 (dd, J = 5.1, 3.8 Hz, 1H), 8.58 (dd, J = 4.1, 0.9 Hz, 1H), 8.13 (dd, J = 8.2, 0.9 Hz, 1H), 8.07 (d, J = 1.5 Hz, 1H), 8.01 (d, J = 1.5 Hz, 1H), 7.89 (dd, J = 5.3, 3.0 Hz, 2H), 7.77 (dd, J = 5.3, 3.1 Hz, 2H), 7.57 – 7.49 (m, 2H), 7.39 (dd, J = 8.3, 4.2 Hz, 1H), 5.41 (dd, J = 9.9, 6.2 Hz, 1H), 3.89 (dd, J = 14.5, 6.1 Hz, 1H), 3.77 (dd, J = 14.5, 10.1 Hz, 1H), 2.38 (s, 3H).
A (s) 10.26
B (dd) 8.70
C (dd) 8.58
D (dd) 8.13
E (d) 8.07
F (d) 8.01
G (dd) 7.89
H (dd) 7.77
I (m) 7.54
J (dd) 7.39
K (dd) 5.41
L (dd) 3.89
M (dd) 3.77
N (s) 2.38
f1 (ppm)

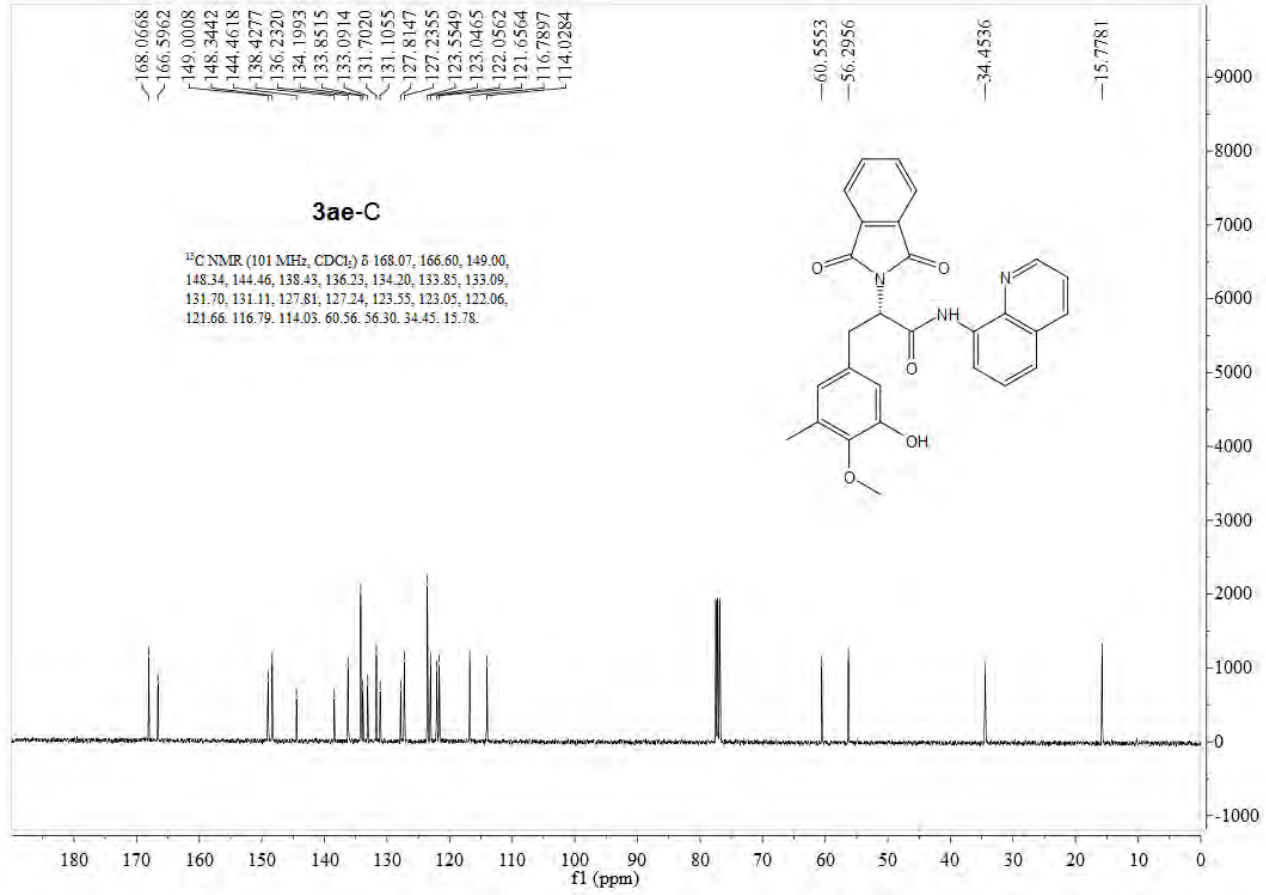

3ac-C
¹³C NMR (101 MHz, CDCl₃) δ 167.93, 167.43, 165.37, 148.57, 144.97, 143.87, 142.03, 138.49, 138.00, 136.46, 134.77, 133.59, 131.51, 127.97, 127.40, 126.64, 124.09, 122.43, 121.86, 116.97, 94.88, 55.35, 33.75, 21.06.
f1 (ppm)


3ad-H
¹H NMR (400 MHz, CDCl₃) δ 10.22 (s, 1H), 8.73 – 8.67 (m, 1H), 8.59 (dd, J = 4.0, 1.2 Hz, 1H), 8.10 (dd, J = 8.2, 0.9 Hz, 1H), 7.84 (dd, J = 5.3, 3.0 Hz, 2H), 7.71 (dd, J = 5.3, 3.1 Hz, 2H), 7.62 (d, J = 8.2 Hz, 2H), 7.49 (d, J = 4.7 Hz, 2H), 7.38 (dd, J = 8.2, 4.2 Hz, 1H), 7.24 (s, 1H), 6.99 (s, 1H), 6.81 (s, 1H), 5.25 (dd, J = 9.9, 6.4 Hz, 1H), 3.69 (dd, J = 14.2, 6.4 Hz, 1H), 3.65 – 3.55 (m, 4H), 2.40 (s, 3H), 2.07 (s, 3H).
A (s) 10.22
B (m) 8.70
C (dd) 8.59
D (dd) 8.10
E (dd) 7.84
F (dd) 7.71
G (d) 7.62
H (d) 7.49
I (dd) 7.38
J (s) 7.24
K (s) 6.99
L (s) 6.81
M (dd) 5.25
N (dd) 3.69
O (m) 3.60
O (s) 2.40
P (s) 2.07
f1 (ppm)

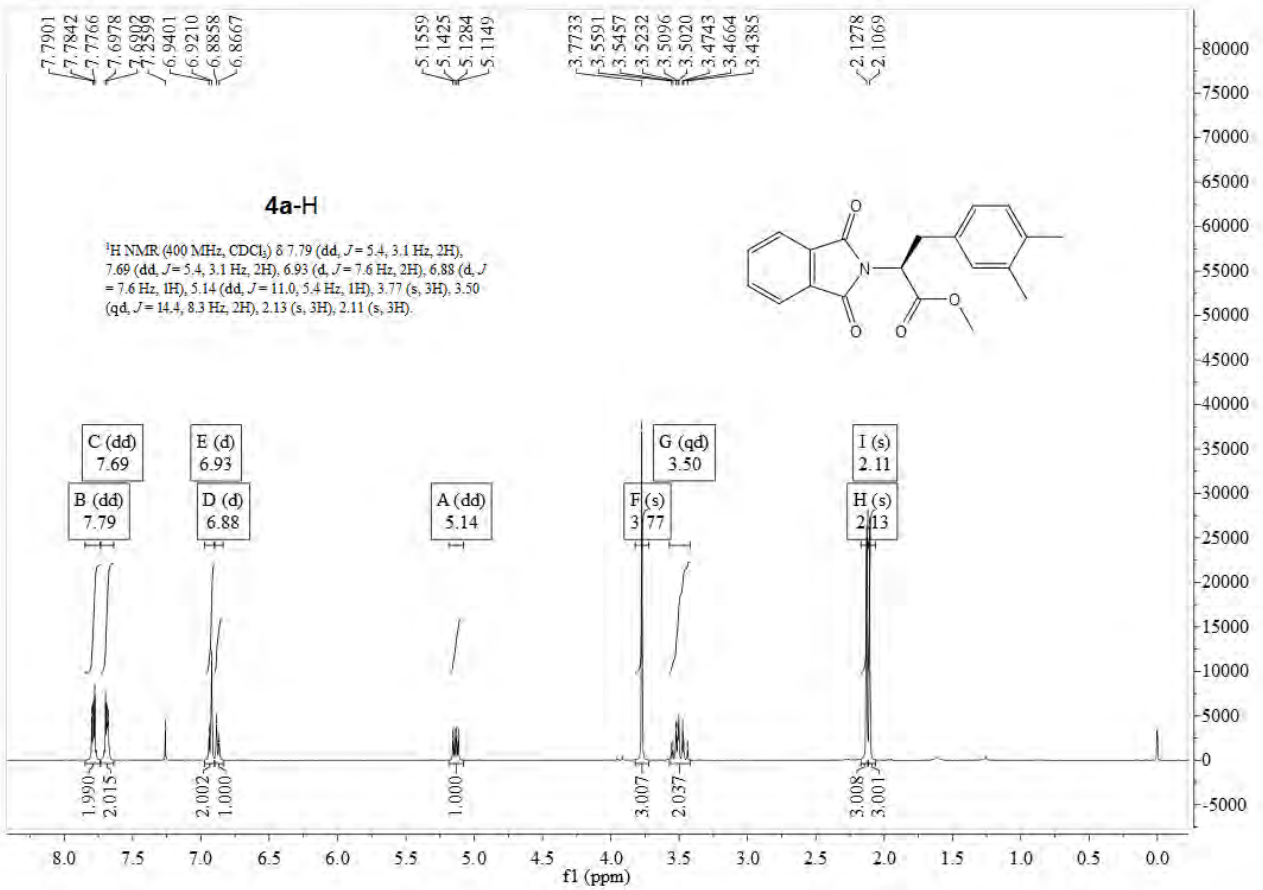

3ad-C
¹³C NMR (101 MHz, CDCl₃) δ 167.95, 166.16, 149.84, 148.45, 145.39, 142.45, 138.37, 136.29, 134.36, 133.73, 133.47, 132.88, 132.29, 131.63, 130.33, 129.67, 128.44, 127.83, 127.23, 123.66, 122.16, 121.83, 121.76, 116.71, 60.68, 56.02, 34.05, 21.79, 16.03.
f1 (ppm)

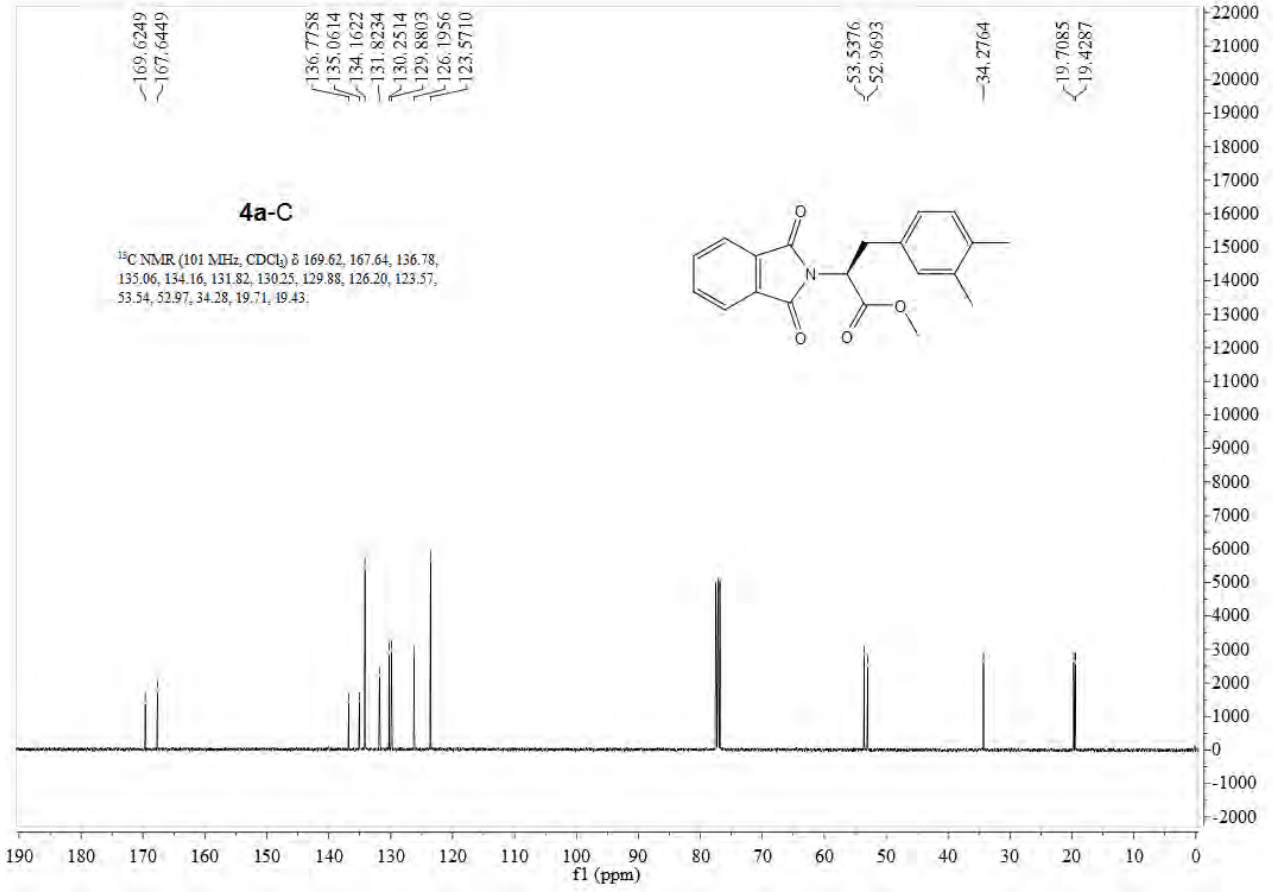


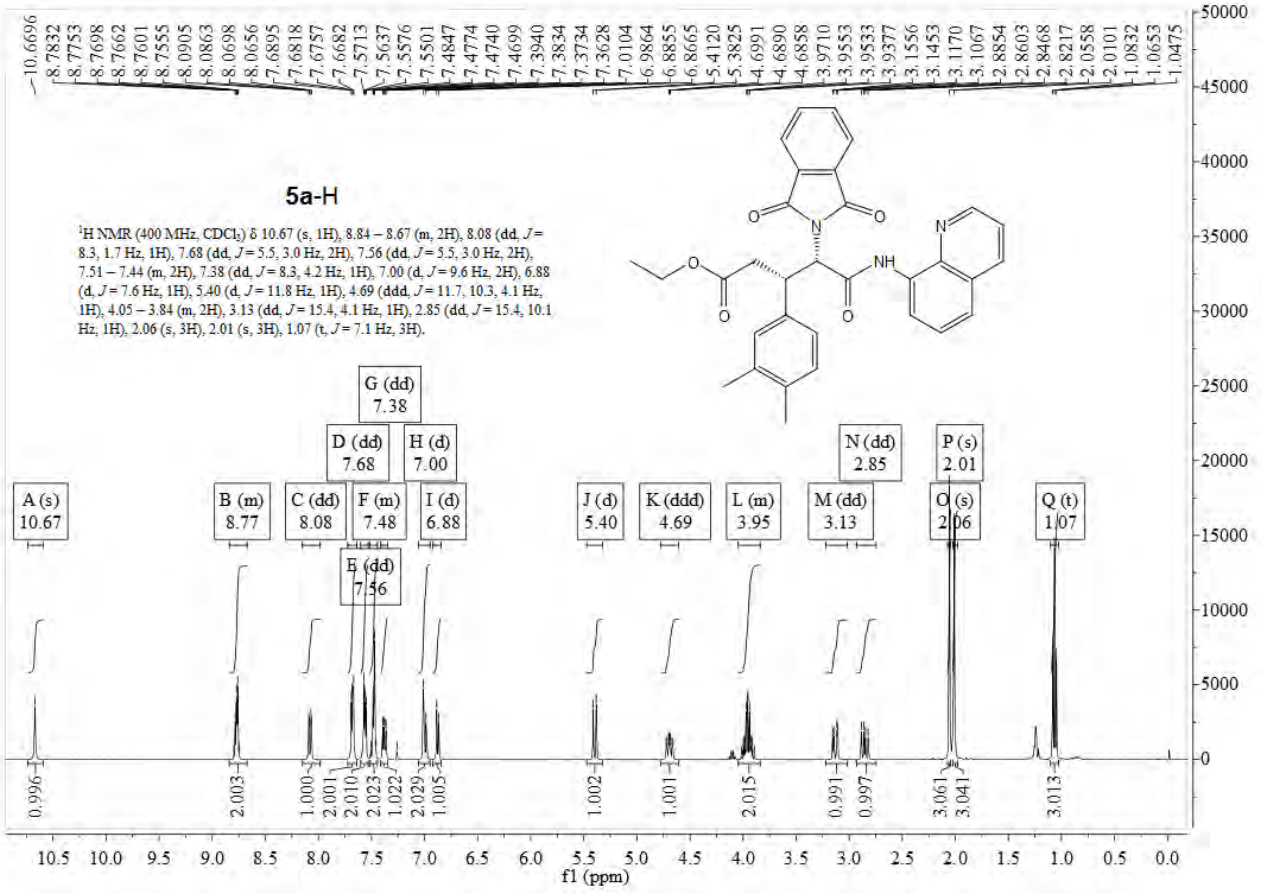

$^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.27 (s, 1H), 8.71 (dd, *J* = 6.2, 2.0 Hz, 1H), 8.59 (d, *J* = 3.6 Hz, 1H), 8.07 (d, *J* = 8.2 Hz, 1H), 7.81 (dd, *J* = 5.0, 3.1 Hz, 2H), 7.67 (dd, *J* = 5.0, 3.1 Hz, 2H), 7.51 – 7.43 (m, 2H), 7.35 (dd, *J* = 8.1, 4.2 Hz, 1H), 6.77 (s, 1H), 6.62 (s, 1H), 5.91 (s, 1H), 5.41 (dd, *J* = 9.8, 6.5 Hz, 1H), 3.74 – 3.60 (m, 5H), 2.13 (s, 3H).



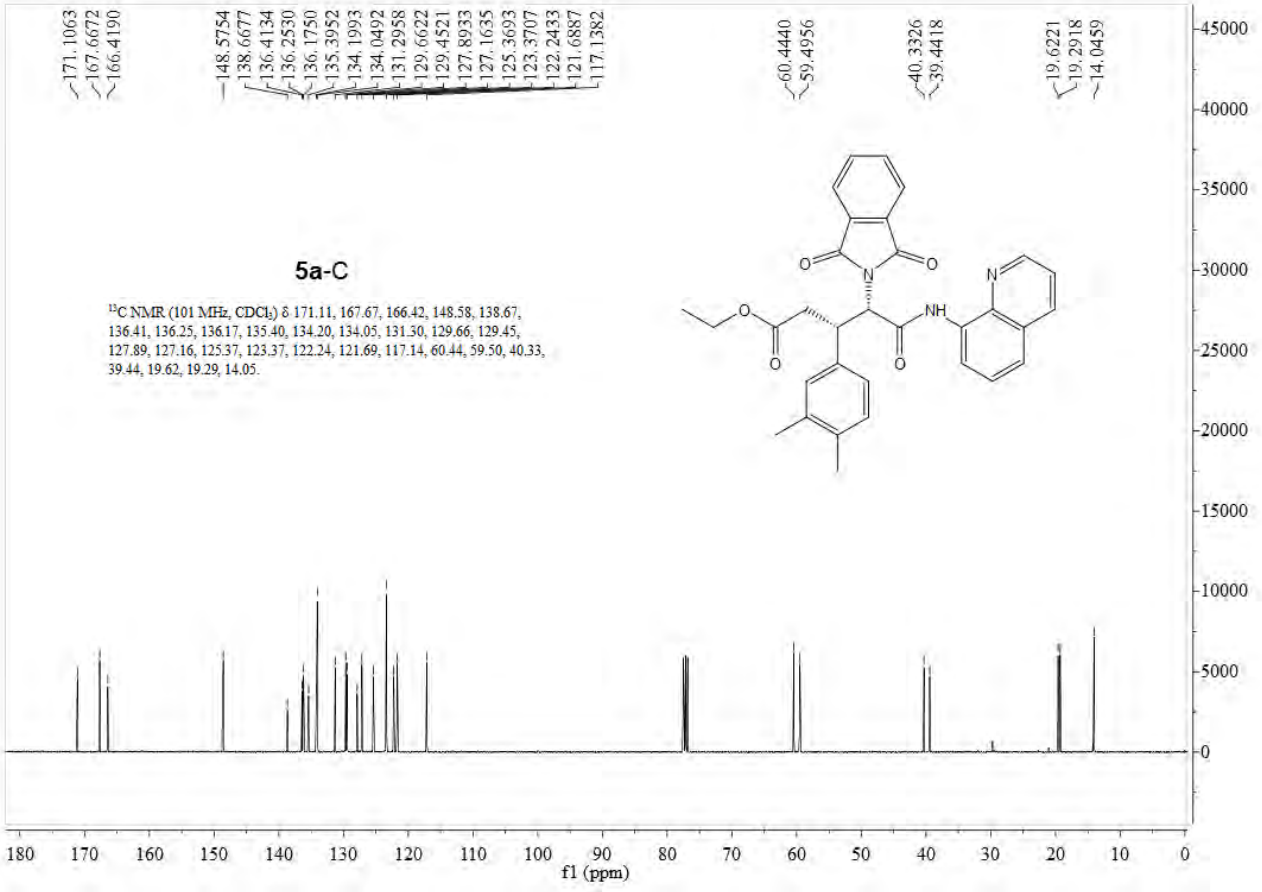

$^{13}$C NMR (101 MHz, $CDCl_3$) δ 168.07, 166.60, 149.00, 148.34, 144.46, 138.43, 136.23, 134.20, 133.85, 133.09, 131.70, 131.11, 127.81, 127.24, 123.55, 123.05, 122.06, 121.66, 116.79, 114.03, 60.56, 56.30, 34.45, 15.78.


7.7901
7.7842
7.7766
7.6978
7.6902
7.6599
6.9401
6.9210
6.8858
6.8667
5.1559
5.1425
5.1284
5.1149
3.7733
3.5591
3.5457
3.5232
3.5096
3.5020
3.4743
3.4664
3.4385
2.1278
2.1069
4a-H
1H NMR (400 MHz, CDCl3) δ 7.79 (dd, J = 5.4, 3.1 Hz, 2H), 7.69 (dd, J = 5.4, 3.1 Hz, 2H), 6.93 (d, J = 7.6 Hz, 2H), 6.88 (d, J = 7.6 Hz, 1H), 5.14 (dd, J = 11.0, 5.4 Hz, 1H), 3.77 (s, 3H), 3.50 (qd, J = 14.4, 8.3 Hz, 2H), 2.13 (s, 3H), 2.11 (s, 3H).
C (dd) 7.69
B (dd) 7.79
E (d) 6.93
D (d) 6.88
A (dd) 5.14
G (qd) 3.50
F (s) 3.77
I (s) 2.11
H (s) 2.13
1.990
2.015
2.002
1.000
1.000
3.007
2.037
3.008
3.001
f1 (ppm)

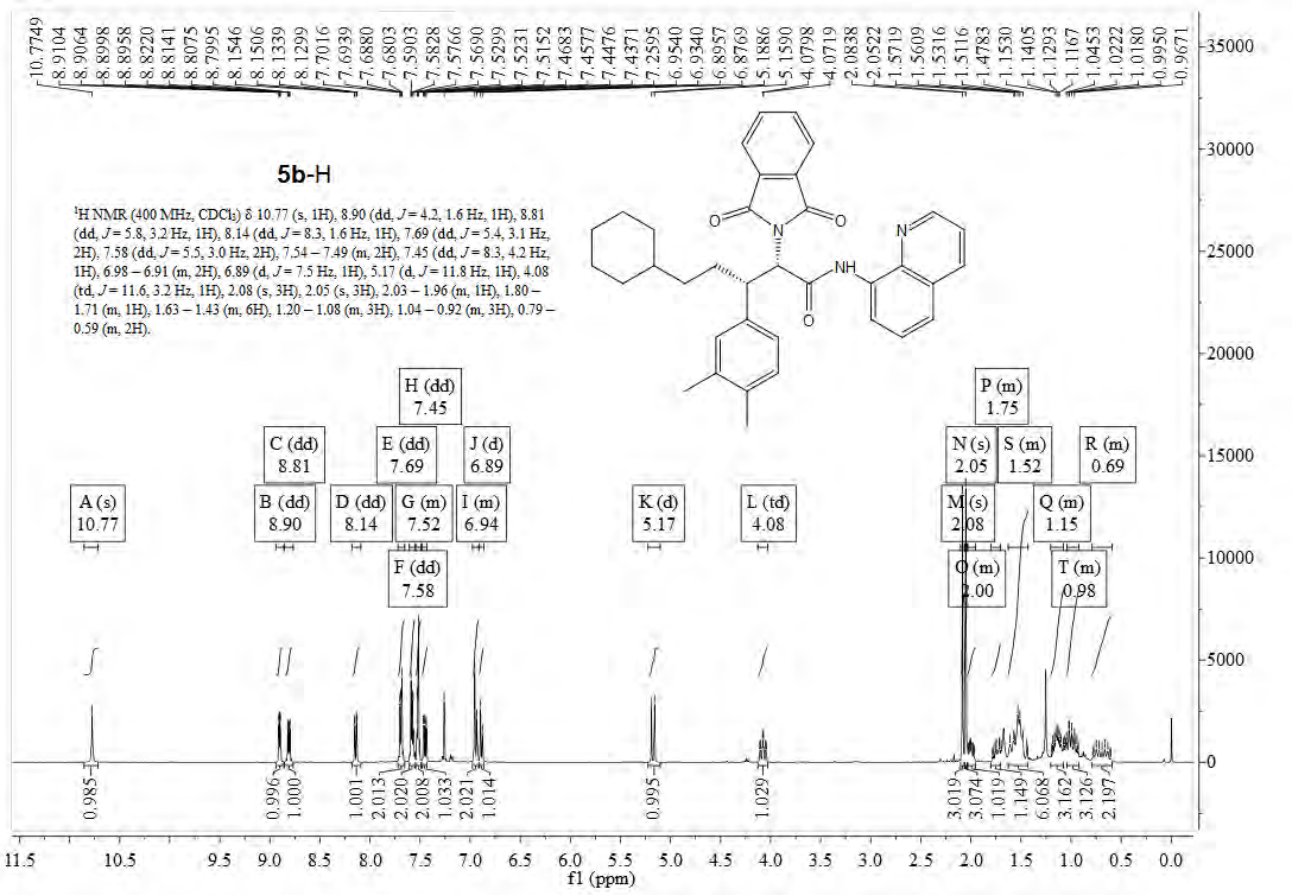

169.6249
167.6449
136.7758
135.0614
134.1622
131.8234
130.2514
129.8803
126.1956
123.5710
53.5376
52.9693
34.2764
19.7085
19.4287
4a-C
13C NMR (101 MHz, CDCl3) δ 169.62, 167.64, 136.78, 135.06, 134.16, 131.82, 130.25, 129.88, 126.20, 123.57, 53.54, 52.97, 34.28, 19.71, 19.43.
f1 (ppm)

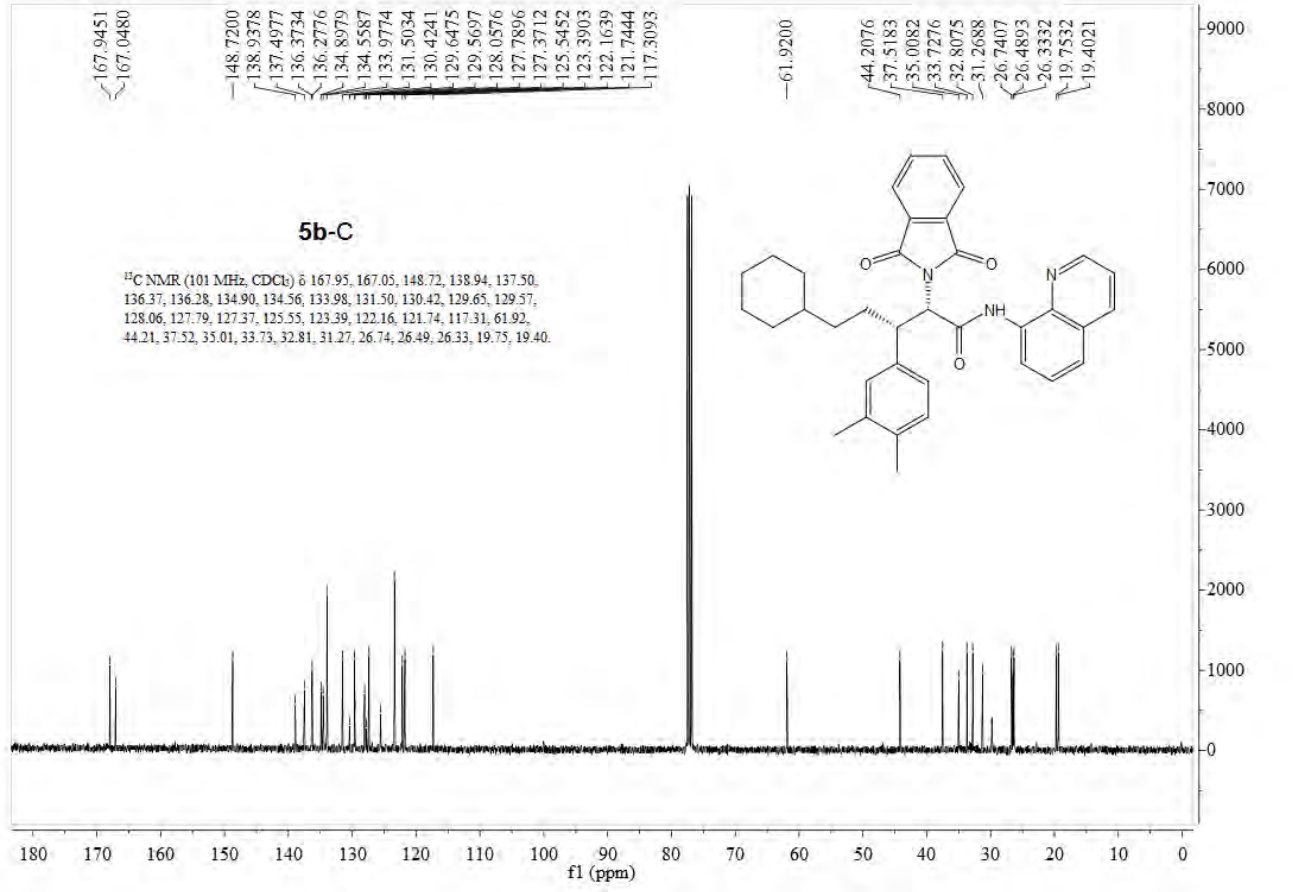


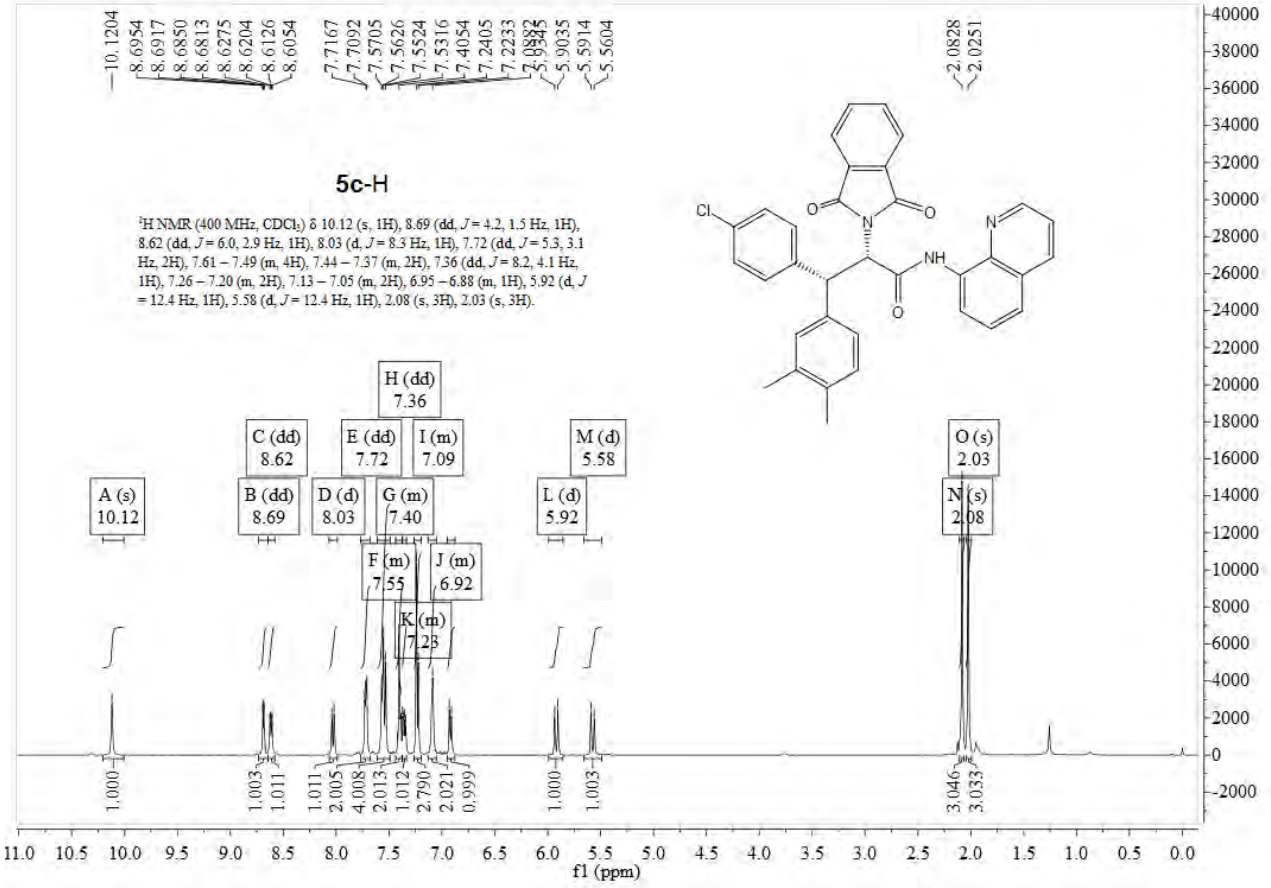

$^{1}$H NMR (400 MHz, $CDCl_3$) δ 10.67 (s, 1H), 8.84 – 8.67 (m, 2H), 8.08 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.68 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.56 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.51 – 7.44 (m, 2H), 7.38 (dd, *J* = 8.3, 4.2 Hz, 1H), 7.00 (d, *J* = 9.6 Hz, 2H), 6.88 (d, *J* = 7.6 Hz, 1H), 5.40 (d, *J* = 11.8 Hz, 1H), 4.69 (ddd, *J* = 11.7, 10.3, 4.1 Hz, 1H), 4.05 – 3.84 (m, 2H), 3.13 (dd, *J* = 15.4, 4.1 Hz, 1H), 2.85 (dd, *J* = 15.4, 10.1 Hz, 1H), 2.06 (s, 3H), 2.01 (s, 3H), 1.07 (t, *J* = 7.1 Hz, 3H).

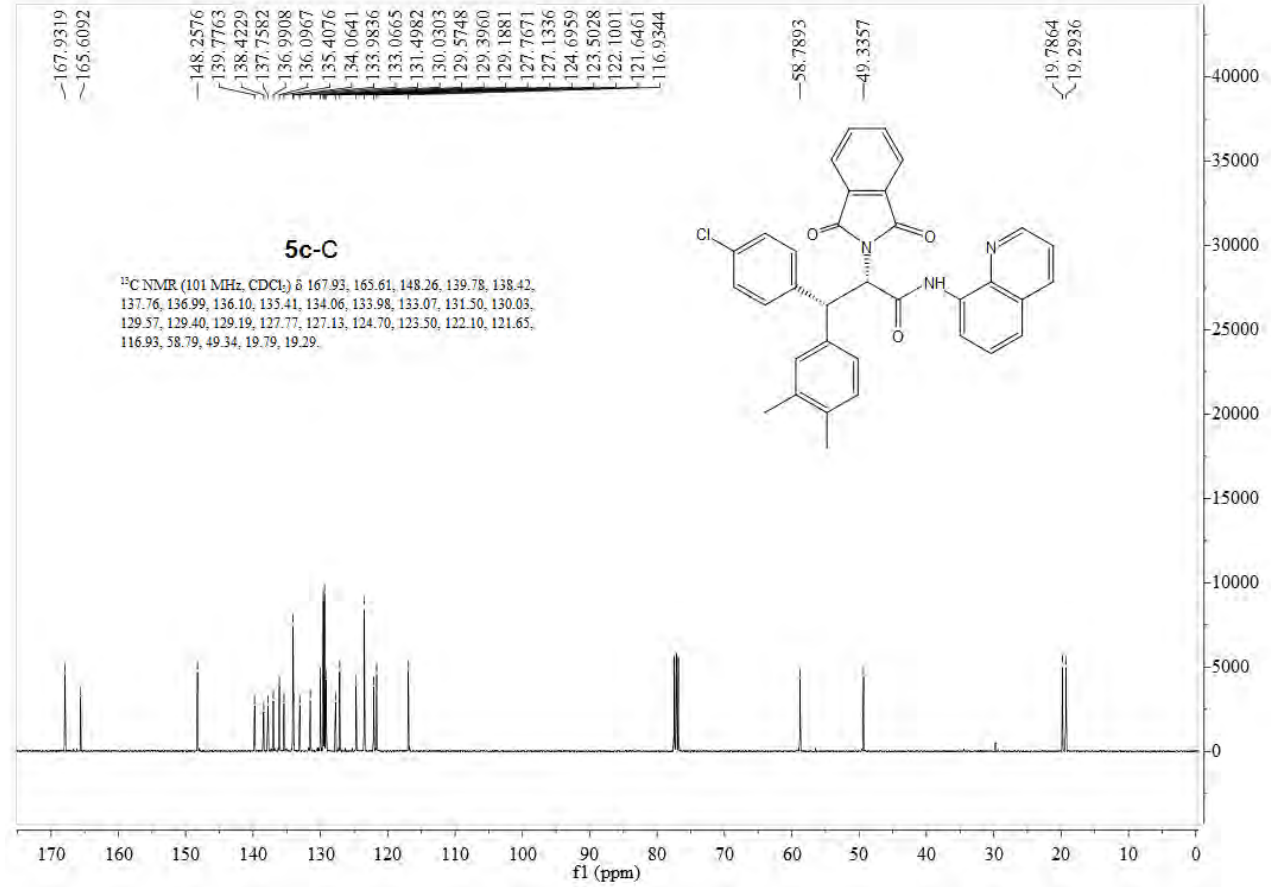



$^{13}$C NMR (101 MHz, $CDCl_3$) δ 171.11, 167.67, 166.42, 148.58, 138.67, 136.41, 136.25, 136.17, 135.40, 134.20, 134.05, 131.30, 129.66, 129.45, 127.89, 127.16, 125.37, 123.37, 122.24, 121.69, 117.14, 60.44, 59.50, 40.33, 39.44, 19.62, 19.29, 14.05.


5b-H
A (s) 10.77
B (dd) 8.90
C (dd) 8.81
D (dd) 8.14
E (dd) 7.69
F (dd) 7.58
G (m) 7.52
H (dd) 7.45
I (m) 6.94
J (d) 6.89
K (d) 5.17
L (td) 4.08
M (s) 2.08
N (s) 2.05
O (m) 2.00
P (m) 1.75
Q (m) 1.15
R (m) 0.69
S (m) 1.52
T (m) 0.98
f1 (ppm)


5b-C
f1 (ppm)


5c-H
[1]H NMR (400 MHz, CDCl3) δ 10.12 (s, 1H), 8.69 (dd, J = 4.2, 1.5 Hz, 1H), 8.62 (dd, J = 6.0, 2.9 Hz, 1H), 8.03 (d, J = 8.3 Hz, 1H), 7.72 (dd, J = 5.3, 3.1 Hz, 2H), 7.61 – 7.49 (m, 4H), 7.44 – 7.37 (m, 2H), 7.36 (dd, J = 8.2, 4.1 Hz, 1H), 7.26 – 7.20 (m, 2H), 7.13 – 7.05 (m, 2H), 6.95 – 6.88 (m, 1H), 5.92 (d, J = 12.4 Hz, 1H), 5.58 (d, J = 12.4 Hz, 1H), 2.08 (s, 3H), 2.03 (s, 3H).
A (s) 10.12
B (dd) 8.69
C (dd) 8.62
D (d) 8.03
E (dd) 7.72
F (m) 7.55
G (m) 7.40
H (dd) 7.36
I (m) 7.09
J (m) 6.92
K (m) 7.23
L (d) 5.92
M (d) 5.58
N (s) 2.08
O (s) 2.03
f1 (ppm)


5c-C
[13]C NMR (101 MHz, CDCl3) δ 167.93, 165.61, 148.26, 139.78, 138.42, 137.76, 136.99, 136.10, 135.41, 134.06, 133.98, 133.07, 131.50, 130.03, 129.57, 129.40, 129.19, 127.77, 127.13, 124.70, 123.50, 122.10, 121.65, 116.93, 58.79, 49.34, 19.79, 19.29.
f1 (ppm)