**Supporting Information**

# Cu(II)-catalyzed *N*-arylation of electron-deficient NH-heterocycles 'in-water'

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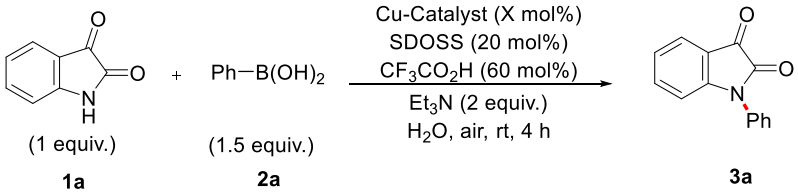
**The optimization data of several reaction parameters for C–N coupling of 1a with 2a to form 3a.**

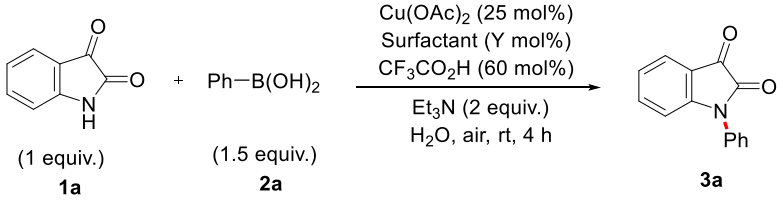
**Table A. The screening of different metal catalysts for C–N coupling of 1a with 2a to form 3a.[a]**




| Entry | Catalyst (X mol %) | Yield (%)[b] |
|---|---|---|
| 1 | Nil | 0 |
| 2 | $Cu(OAc)_2$ (100) | 87 |
| 3 | $Cu(OAc)_2$ (50) | 85 |
| **4** | **$Cu(OAc)_2$ (25)** | **86** |
| 5 | $Cu(OAc)_2$ (10) | 0 |
| 6 | CuI (25) | 10 |
| 7 | $Cu(acac)_2$ (25) | 0 |
| 8 | $Cu(BF_4)_2 \cdot xH_2O$ (25) | 0 |
| 9 | $CuSO_4$ (25) | 0 |
| 10 | $CuBr_2$ (25) | 0 |

[a]To a magnetically stirred solution of SDOSS (0.2 mmol, 20 mol %) in water were added **1a** (1 mmol, 1 equiv.), $Et_3N$ (2 mmol, 2 equiv.), $CF_3CO_2H$ (0.6 mmol, 60 mol %), different metals (X mol %) and **2a** (1.5 mmol, 1.5 equiv.) at rt and kept for 4 h. [b]The isolated yield of **3a.**

**Table B. The screening of different surfactants for C–N coupling of 1a with 2a to form 3a.[a]**

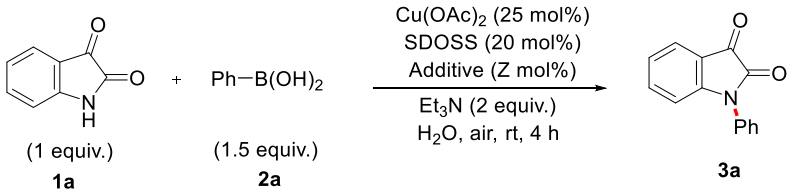



| Entry | Surfactant (Y mol %) | Yield (%)[b] |
|---|---|---|
| 1 | Nil | 19 |
| 2 | Sodium dioctylsulfosuccinate (SDOSS) (10) | 29 |
| **3** | **SDOSS (20)** | **86** |
| 4 | SDOSS (40) | 85 |

| | | |
|---|---|---|
| 5 | Sodium dodecylsulfate (SDS) (20) | 63 |
| 6 | Tetrabutylammonium chloride (TBACl) (20) | 15 |
| 7 | Tetrabutylammonium bromide (TBAB) (20) | 17 |
| 8 | Cetyltrimethylammonium bromide (CTAB) (20) | 36 |
| 9 | Span 80 (20) | 34 |
| 10 | Tween 80 (20) | 47 |
| 11 | PEG-2000 (20) | 14 |
| 12 | Triton X 114 (20) | 24 |

[a]To a magnetically stirred solution of surfactant (Y mol %) in water were added **1a** (1 mmol, 1 equiv.), $Et_3N$ (2 mmol, 2 equiv.), $CF_3CO_2H$ (0.6 mmol, 60 mol %), $Cu(OAc)_2$ (0.25 mmol, 25 mol %) and **2a** (1.5 mmol, 1.5 equiv.) at rt and kept for 4 h. [b]The isolated yield of **3a.**

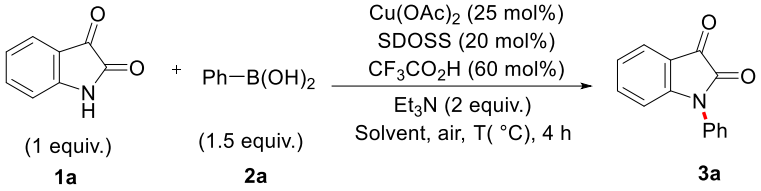
**Table C. The screening of different acid additives for C–N coupling of 1a with 2a to form 3a.[a]**




| Entry | Additive (Z mol %) | Yield (%)[b] |
|---|---|---|
| 1 | Nil | 0 |
| 2 | AcOH (20) | 38 |
| 3 | AcOH (40) | 47 |
| 4 | AcOH (60) | 58 |
| 5 | $CF_3CO_2H$ (20) | 43 |
| 6 | $CF_3CO_2H$ (40) | 56 |
| **7** | **$CF_3CO_2H$ (60)** | **86** |
| 8 | $CH_3SO_3H$ (60) | 0 |
| 9 | (1N) HCl (60) | 0 |
| 10 | *p*-Toluene sulfonic acid (60) | 31 |
| 11 | $NH_4OH$ + $NH_4Cl$ (1 equiv.) | 12[c] |
| 12 | $NH_4OH$ + $NH_4Cl$ (2.6 equiv.) | 16[c] |

[a]To a magnetically stirred solution of SDOSS (0.2 mmol, 20 mol %) in water were added **1a** (1 mmol, 1 equiv.), $Et_3N$ (2 mmol, 2 equiv.), additive (Z mol %), $Cu(OAc)_2$ (0.25 mmol, 25 mol %) and **2a** (1.5 mmol, 1.5 equiv.) at rt and kept for 4 h. [b]The isolated yield of **3a**. [c]In the absence of $CF_3CO_2H$ and $Et_3N$.

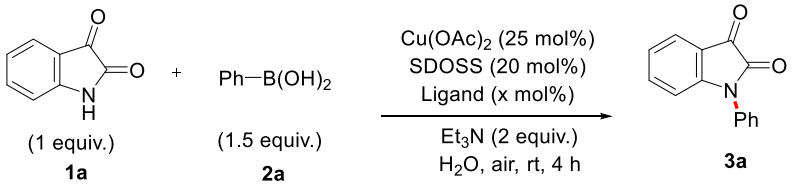
**Table D. The screening of different solvents for C–N coupling of 1a with 2a to form 3a.[a]**




| Entry | Solvent | Temp. (°C) | Yield (%)[b] |
|---|---|---|---|
| **1** | **Water** | **rt** | **86[c]** |
| 2 | Water | 40 | 84[c] |
| 3 | Water | 60 | 87[c] |
| 4 | 1-Butanol | rt | 0[d] |
| 5 | Methanol | rt | 31[d] |
| 6 | THF | rt | 22[d] |
| 7 | 1,4-Dioxane | rt | 25[d] |
| 8 | 1,2-Dichloroethane (DCE) | rt | 21[d] |
| 9 | *N*,*N*-Dimethyl formamide (DMF) | rt | 0[d] |
| 10 | *N*,*N*-Dimethyl acetamide (DMA) | rt | 0[d] |

[a]**1a** (1 mmol, 1 equiv.) was treated with **2a** (1.5 mmol, 1.5 equiv.) in the presence of $Cu(OAc)_2$ (0.25 mmol, 25 mol %), $Et_3N$ (2 mmol, 2 equiv.), $CF_3CO_2H$ (0.6 mmol, 60 mol %) in different solvents for 4 h. [b]The isolated yield of **3a.** [c]SDOSS (20 mol %) was used as a surfactant. [d] In the absence of SDOSS.

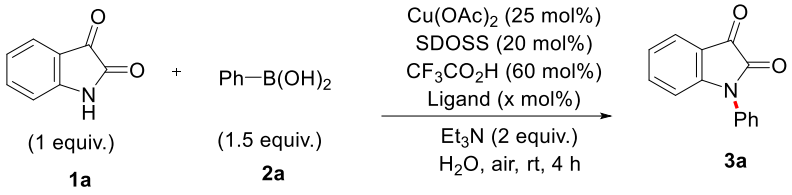
**Table E. The screening of different ligands for C–N coupling of 1a with 2a to form 3a.[a]**
**Method A: In the absence of $CF_3CO_2H$ (60 mol %)**




| Entry | Ligand (x mol %) | Yield (%)[b] |
|---|---|---|
| 1 | Ethylenediamine (30) | 0 |
| 2 | *N*,*N*-Dimethyl ethylenediamine (30) | 0 |
| 3 | *N*,*N*,*N*′,*N*′-Tetramethyl ethylenediamine (30) | 0 |
| 4 | Ethylenediamine (15) + *N*,*N*-Dimethyl ethylenediamine (15) | 0 |
| 5 | 1,10-Phenanthroline (30) | 0 |
| 6 | 2,2′-Bipyridyl (30) | 0 |

[a]To a magnetically stirred solution of SDOSS (0.2 mmol, 20 mol %) in water were added **1a** (1 mmol, 1 equiv.), $Et_3N$ (2 mmol, 2 equiv.), ligand (x mol %), $Cu(OAc)_2$ (0.25 mmol, 25 mol %) and **2a** (1.5 mmol, 1.5 equiv.) at rt and kept for 4 h. [b]The isolated yield of **3a.**

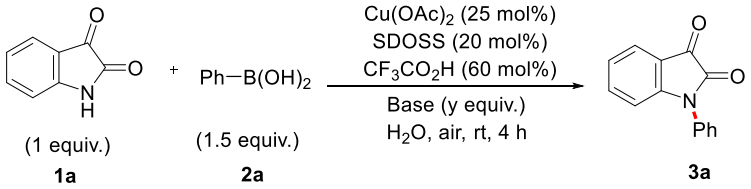
**Method B: In the presence of $CF_3CO_2H$ (60 mol %)**




| Entry | Ligand (x mol %) | Yield (%)[b] |
|---|---|---|
| 1 | Ethylenediamine (30) | 33 |
| 2 | *N*,*N*-Dimethyl ethylenediamine (30) | 35 |
| 3 | *N*,*N*,*N*′,*N*′-Tetramethyl ethylenediamine (30) | 28 |
| 4 | Ethylenediamine (15) + *N*,*N*-Dimethyl ethylenediamine (15) | 37 |
| 5 | 1,10-Phenanthroline (30) | 31 |
| 6 | 2,2′-Bipyridyl (30) | 39 |

[a]To a magnetically stirred solution of SDOSS (0.2 mmol, 20 mol %) in water were added **1a** (1 mmol, 1 equiv.), $Et_3N$ (2 mmol, 2 equiv.), $CF_3CO_2H$ (0.6 mmol, 60 mol %), ligand (x mol %), $Cu(OAc)_2$ (0.25 mmol, 25 mol %) and **2a** (1.5 mmol, 1.5 equiv.) at rt and kept for 4 h. [b]The isolated yield of **3a.**

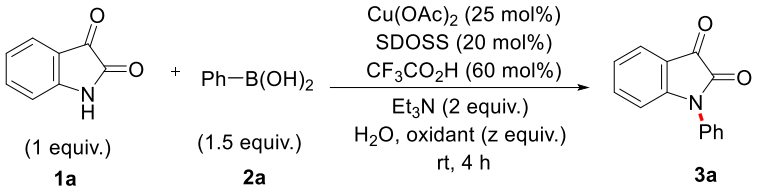
**Table F. The screening of different bases for C–N coupling of 1a with 2a to form 3a.[a]**




| Entry | Base (y equiv.) | Yield (%)[b] |
|---|---|---|
| 1 | $Et_3N$ (0.5) | 0 |
| 2 | $Et_3N$ (1) | 31 |
| **3** | **$Et_3N$ (2)** | **86** |
| 4 | Diethylamine | 0 |
| 5 | Pyridine (2) | 0 |
| 6 | DMAP (2) | 0 |
| 7 | $K_2CO_3$ (2) | 0 |
| 8 | $NaO^tBu$ (2) | 0 |

[a]To a magnetically stirred solution of SDOSS (0.2 mmol, 20 mol %) in water were added **1a** (1 mmol, 1 equiv.), base (y equiv.), $CF_3CO_2H$ (0.6 mmol, 60 mol %), $Cu(OAc)_2$ (0.25 mmol, 25 mol %) and **2a** (1.5 mmol, 1.5 equiv.) at rt and kept for 4 h. [b]The isolated yield of **3a.**

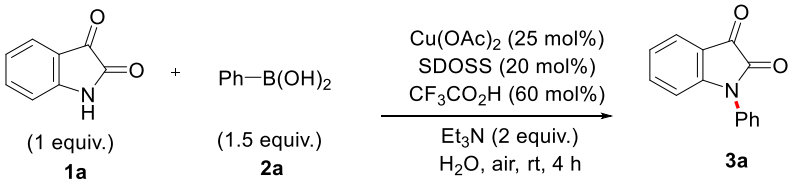
**Table G. The screening of different oxidants for C–N coupling of 1a with 2a to form 3a.[a]**




| Entry | Oxidant (z equiv.) | Yield (%)[b] |
|---|---|---|
| **1** | **Air (aerial $O_2$)** | **86** |
| 2 | $O_2$ (balloon) | 88 |
| 3 | $N_2$ (balloon) | 10 |
| 4 | *p*-Benzoquinone (1.2) | 23[c] |
| 5 | *N*-Chlorosuccinimide (1.2) | 0[c] |
| 6 | Oxone (1.2) | 0[c,d] |
| 7 | $Na_2S_2O_8$ (1.2) | 0[c] |
| 8 | $NaIO_4$ (1.2) | 0[c] |
| 9 | $H_2O_2$ | 24[c] |
| 10 | $^t$BuOOH (1.2) | 21[c] |
| 11 | 2,3-Dichloro-5,6-dicyano-1,4-benzoquinone [DDQ] (1.2) | 0[c] |
| 12 | $Ag_2O$ (1.2) | 0[c] |
| 13 | $Ag_2CO_3$ (1.2) | 0[c] |

[a]To a magnetically stirred solution of SDOSS (0.2 mmol, 20 mol %) in water were added **1a** (1 mmol, 1 equiv.), $Et_3N$ (2 mmol, 2 equiv.), $CF_3CO_2H$ (0.6 mmol, 60 mol %), oxidant (z equiv.), $Cu(OAc)_2$ (0.25 mmol, 25 mol %) and **2a** (1.5 mmol, 1.5 equiv.) at rt and kept for 4 h. [b]The isolated yield of **3a.** [c]Performed in a closed vessel. [d]Phenol was isolated in 96% yield.

**Final optimized reaction condition for C–N coupling of 1a with 2a to form 3a.**




**Final optimized reaction condition for C–N coupling of 4a with 2a to form 5a.**

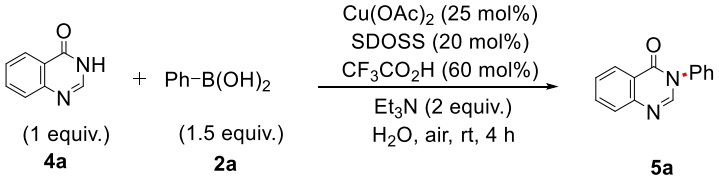
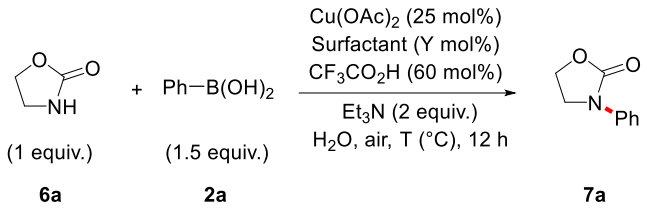


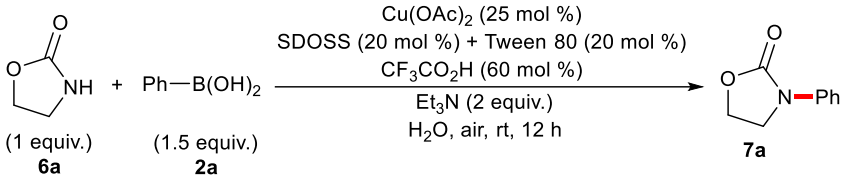
**Table H. The optimization data of different surfactants for C–N coupling of 6a with 2a to form 7a.[a]**




| Entry | Surfactant (Y mol %) | Temp. (°C) | Yield (%)[b] |
|---|---|---|---|
| 1 | SDOSS (20) | rt | 0 |
| 2 | SDOSS (40) | rt | 0 |
| 3 | SDOSS (20) | 40 | 0 |
| 4 | SDOSS (40) | 40 | 0 |
| 5 | SDOSS (20) | 60 | 0 |
| 6 | SDOSS (40) | 60 | 0 |
| 7 | SDOSS (20) | rt | 10[c] |
| 8 | SDOSS (20) | rt | 0[d] |
| 9 | SDOSS (20) | rt | trace[e] |
| 10 | SDOSS (20) | rt | 11[f] |
| 11 | SDOSS (20) + TBAB (20) | rt | 17 |
| 12 | SDOSS (20) + Triton X 114 (20) | rt | 20 |
| 13 | SDOSS (20) + Triton X 114 (20) | 60 | 25 |
| 14 | SDOSS (20) + Triton X 114 (20) | 80 | 28 |
| 15 | SDOSS (20) + CTAB (20) | rt | 34 |
| 16 | SDOSS (20) + Span 80 (20) | rt | 31 |
| **17** | **SDOSS (20) + Tween 80 (20)** | **rt** | **48** |
| 18 | SDOSS (20) + PEG-2000 (20) | rt | 10 |
| 19 | SDOSS (20) + Tween 80 (20) | 40 | 44 |

[a]To a magnetically stirred solution of SDOSS (0.2 mmol, 20 mol %) in water were added **1a** (1 mmol, 1 equiv.), $Et_3N$ (2 mmol, 2 equiv.), $CF_3CO_2H$ (0.6 mmol, 60 mol %), $Cu(OAc)_2$ (0.25 mmol, 25 mol %) and **2a** (1.5 mmol, 1.5 equiv.) at rt and kept for 4 h. [b]The isolated yield of **3a**. [c]Water/Isopropanol (1:1) was used as a solvent system. [d]Water/1-butanol (1:1) was used as a solvent system. [e]$O_2$ ballon was used. [f]**1a** (2 mmol, 2 equiv.) and **2a** (1 mmol, 1 equiv.) were used.

**Final optimized reaction condition for C–N coupling of 6a with 2a to form 7a.**

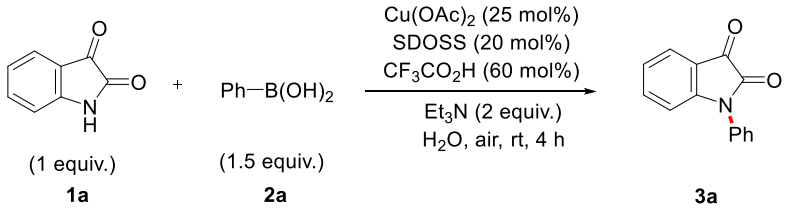



## General Information

The $^{1}H$ and $^{13}C$ NMR spectra were recorded on Brucker avance 400 and 600 MHz NMR instrument in $CDCl_3$ with residual deuterated solvent ($CDCl_3$: 7.26/77.0) using TMS as an internal standard. The chemical shift (δ) values are given in 'ppm' and coupling constant (*J*) values are given in 'Hz'. The IR spectra were recorded on ATR on a IRAffinity-1S FTIR spectrophotometer. The HRMS spectra were recorded on Agilant 6545Q-TOF instrument. Open column chromatography and thin layer chromatography (TLC) was performed on Silica gel [silica gel 60-120/100-200 mesh, 60 $F_{254}$ and Merck® silica gel, respectively]. Evaporation of solvents was performed at reduced pressure, using a Heidolph rotary evaporator. All chemicals were purchased from Sigma Aldrich, TCI, Alfa Aesar, LOBA, Merck and used as received.

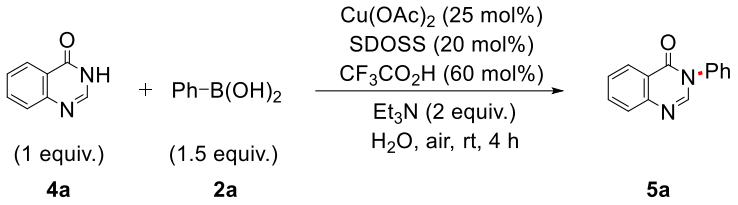
## Experimental Procedure

### Typical procedure for the preparation of 1-Phenylindoline-2,3-dione (3a):



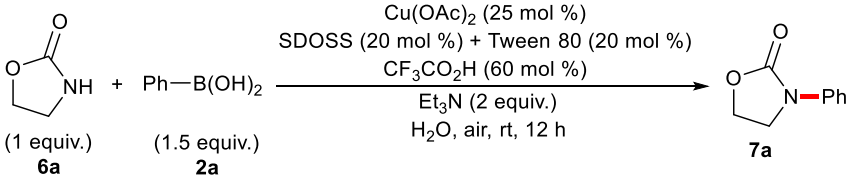

To a magnetically stirred solution of SDOSS (88.92 mg, 0.2 mmol, 20 mol %) in water were added **1a** (147.13 mg, 1.0 mmol, 1 equiv.) resulting in a bright orange solution. Subsequent addition of the base $Et_3N$ (278.95 µL, 2 mmol, 2 equiv.), $CF_3CO_2H$ (45.94 µL, 0.6 mmol, 60 mol %) and $Cu(OAc)_2$ (45.40 mg, 0.25 mmol, 25 mol %) led to a dark purple solution. The dark purple colour subsided upon the addition of **2a** (182.89 mg, 1.5 mmol, 1.5 equiv.). The reaction mixture was kept stirring at room temperature, and the reaction progress was monitored using TLC. After completion of reaction (4 h, TLC), the reaction mixture was diluted with $NaHCO_3$ solution (10 mL) and extracted with EtOAc (2 × 5 mL) followed by washing with brine solution (2 × 5 mL). The combined EtOAc layer was separated from aqueous layer and then dried (anh. $Na_2SO_4$); filtered off and evaporated to dryness under vacuum. The residue was passed through chromatography column (silica-gel; 100-200 mesh) and eluted with hexane/EtOAc (approx. 200 mL) to afford the **3a** as orange crystalline solid (191.83 mg, 86%). The hexane/EtOAc solvent combination has been recycled to isolate the **3a**.

**Typical procedure for the preparation of 3-phenylquinazolin-4(3H)-one (5a):**



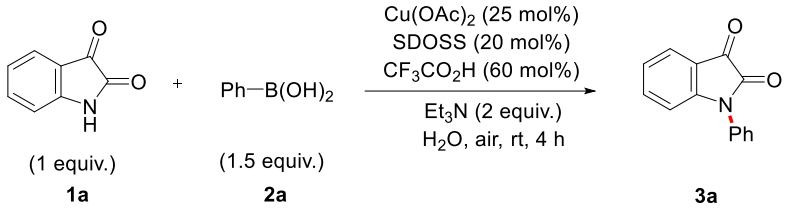

To a magnetically stirred solution of SDOSS (88.92 mg, 0.2 mmol, 20 mol %) in water were added **4a** (146.14 mg, 1.0 mmol, 1 equiv.) resulting in a yellow solution. Subsequent addition of the base $Et_3N$ (278.95 µL, 2 mmol, 2 equiv.), $CF_3CO_2H$ (45.94 µL, 0.6 mmol, 60 mol %) and $Cu(OAc)_2$ (45.40 mg, 0.25 mmol, 25 mol %) led to a dark solution. The dark colour subsided upon the addition of **2a** (182.89 mg, 1.5 mmol, 1.5 equiv.). The reaction mixture was kept stirring at room temperature, and the reaction progress was monitored using TLC. After completion of reaction (4 h, TLC), the reaction mixture was diluted with $NaHCO_3$ solution (10 mL) and extracted with EtOAc (2 × 5 mL) followed by washing with brine solution (2 × 5 mL). The combined EtOAc layer was separated from aqueous layer and then dried (anh. $Na_2SO_4$); filtered off and evaporated to dryness under vacuum. The residue was passed through chromatography column (silica-gel; 100-200 mesh) and eluted with hexane/EtOAc (approx. 200 mL) to afford the **5a** as off-white crystalline solid (167.42 mg, 75%). The hexane/EtOAc solvent combination has been recycled to isolate the **5a**.

**Typical procedure for the preparation of 3-phenyloxazolidin-2-one (7a):**



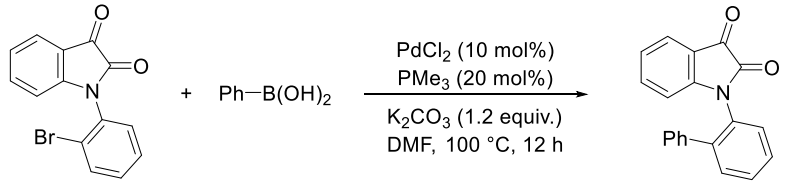

To a magnetically dissolved solution of SDOSS (88.92 mg, 0.2 mmol, 20 mol %) and Tween 80 (52.4 mg, 0.2 mmol, 20 mol %) in water were added **6a** (87.07 mg, 1.0 mmol, 1 equiv.) resulting in a pale-yellow solution. Subsequent addition of the base $Et_3N$ (278.95 µL, 2 mmol, 2 equiv.) $CF_3CO_2H$ (45.94 µL, 0.6 mmol, 60 mol %) and $Cu(OAc)_2$ (45.40 mg, 0.25 mmol, 25 mol %) led to a dark solution. The dark colour subsided upon the addition of **2a** (182.89 mg, 1.5 mmol, 1.5 equiv.). The reaction mixture was kept stirring at room temperature, and the reaction progress was monitored using TLC. After completion of reaction (12 h, TLC), the reaction mixture was diluted with $NaHCO_3$ solution (10 mL) and extracted with EtOAc (2 × 5 mL) followed by washing with brine solution (2 × 5 mL). The combined EtOAc layer was separated from aqueous layer and then dried (anh. $Na_2SO_4$); filtered off and evaporated to dryness under vacuum. The residue was passed through chromatography column (silica-gel; 100-200 mesh) and eluted with hexane/EtOAc (approx. 200 mL) to afford the **7a** as yellow crystalline solid (122.38 mg, 48%). The hexane/EtOAc solvent combination has been recycled to isolate the **7a**.

**Experimental procedure for the preparation of 3a in 'gram-scale':**



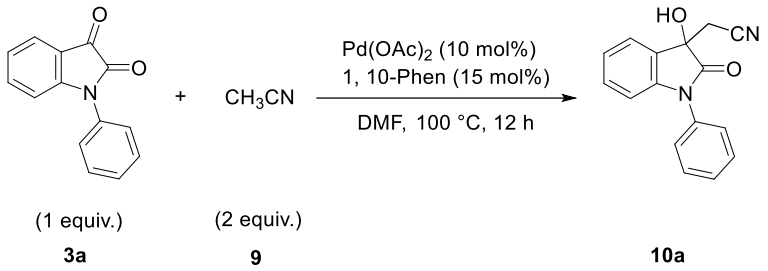

To a magnetically dissolved solution of SDOSS (0.17 g, 2.0 mmol, 20 mol %) in water were added **1a** (1.47 g, 10.0 mmol, 1 equiv.) resulting in a bright orange solution. Subsequent addition of the base $Et_3N$ (2.023 mL, 20 mmol, 2 equiv.), $CF_3CO_2H$ (459.1 µL, 6.0 mmol, 60 mol %) and $Cu(OAc)_2$ (0.11 g, 2.5 mmol, 25 mol %) led to a dark purple solution. The dark purple colour subsided upon the addition of **2a** (1.8 g, 15 mmol, 1.5 equiv.). The reaction mixture was kept stirring at room temperature, and the reaction progress was monitored using TLC. After completion of reaction (4 h, TLC), the reaction mixture was diluted with $NaHCO_3$ solution (50 mL) and extracted with EtOAc (2 × 50 mL) followed by washing with brine solution (2 × 50 mL). The combined EtOAc layer was separated from aqueous layer and then dried (anh. $Na_2SO_4$); filtered off and evaporated to dryness under vacuum. The residue was passed through chromatography column (silica-gel; 100-200 mesh) and eluted with hexane/EtOAc (approx. 500 mL) to afford the **3a** as orange crystalline solid (1.88 g, 84%). The hexane/EtOAc solvent combination has been recycled to isolate the **3a**.

**Experimental procedure for the preparation of 1-([1,1'-biphenyl]-2-yl)indoline-2,3-dione (8a)[1]:**




To a magnetically stirred solution of $PdCl_2$ (17.7 mg, 0.1 mmol, 10 mol %) in DMF (2 mL) were added **3e** (195 mg, 1.0 mmol), **2a** (146.32 mg, 1.2 mmol, 1.2 equiv.), $K_2CO_3$ (165.85 mg, 1.2 mmol, 1.2 equiv.) and $PMe_3$ (20.67 µL, 0.2 mmol, 20 mol %) at 100 °C. After completion of reaction (12 h, TLC), the reaction mixture was cooled to room temperature; diluted with $NaHCO_3$ solution (10 mL) and extracted with EtOAc (2 × 5 mL) followed by washing with brine solution (2 × 5 mL). The combined EtOAc layer was separated from aqueous layer and then dried (anh. $Na_2SO_4$); filtered off and evaporated to dryness under vacuum. The residue was passed through chromatography column (silica-gel;100-200 mesh) and eluted with hexane/EtOAc (approx. 200 mL) to afford the **8a**[1] as brown crystalline solid (119.73 mg, 40%). The hexane/EtOAc solvent combination has been recycled to isolate the **8a**. mp: 159–162 °C; $^1$H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.56 – 7.53 (m, 4 H), 7.40 – 7.36 (m, 2 H), 7.26 – 7.23 (m, 5 H), 7.03 (t, *J* = 7.3 Hz, 1 H), 6.48 (d, *J* = 7.5 Hz, 1 H); $^{13}$C NMR (100 MHz, $CDCl_3$) δ (ppm): 182.8, 158.0, 152.2, 141.1, 138.3, 138.2, 131.7, 130.6, 130.1, 129.3, 128.7, 128.7, 128.2, 128.1, 125.4, 124.1, 117.2, 111.6; MS (ESI) $(M + H)^+$ = 300.00.

**Experimental procedure for the preparation of 2-(3-hydroxy-2-oxo-1-phenylindolin-3-yl)acetonitrile (10a):**




(1 equiv.) **3a** (2 equiv.) **9** **10a**

To a magnetically stirred solution of $Pd(OAc)_2$ (22.45 mg, 0.1 mmol, 10 mol %) in DMF (2 mL) were added **3a** (223 mg, 1 mmol, 1 equiv.), **9** (104.45 µL, 2.0 mmol, 2 equiv.) and 1,10-phenanthroline (20.63 µL, 0.15 mmol, 15 mol %) at 100 ºC. After completion of reaction (12 h, TLC), the reaction mixture was cooled to room temperature; diluted with $NaHCO_3$ solution (10 mL) and extracted with EtOAc (2 × 5 mL) followed by washing with brine solution (2 × 5 mL). The combined EtOAc layer was separated from aqueous layer and then dried (anh. $Na_2SO_4$); filtered off and evaporated to dryness under vacuum. The residue was passed through chromatography column (silica-gel; 100-200 mesh) and eluted with hexane/EtOAc (approx. 200 mL) to afford the **10a** as off-white solid (182.35 mg, 69%). The hexane/EtOAc solvent combination has been recycled to isolate the **10a**. mp: 156–159 ºC; $^1$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.73 (dd, *J* = 7.4, 1.3 Hz, 1H), 7.59 – 7.54 (m, 2H), 7.49 – 7.42 (m, 3H), 7.37 (dt, *J* = 7.8, 1.3 Hz, 1H), 7.24 (dt, *J* = 7.6, 1.1 Hz, 1H), 3.65 (s, 1H), 3.18 (d, *J* = 16.4 Hz, 1H), 2.92 (d, *J* = 16.4 Hz, 1H); $^{13}$C-NMR (101 MHz, $CDCl_3$) δ (ppm): 174.7, 143.2, 133.3, 131.0, 129.9, 128.8, 127.1, 126.4, 124.5, 124.4, 115.2, 110.5, 77.4, 77.0, 76.7, 72.9, 28.0; $\nu_{max}$: 3655, 3552, 3480, 3421, 2252, 1735, 1363, 1303, 1192, 1157 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{16}H_{13}N_2O_2$, 265.0977; found 265.0970.

## Analysis of green chemistry metrics

The following equations have been used for calculating Atom Economy (AE) and environmental factor (*E*-factor).

$$\text{AE} = \frac{\text{Molecular weight of prodcut}}{\text{Molecular weight of reactants}} \text{ x } 100$$

$$E\text{-factor} = \frac{\text{Total mass of waste}}{\text{Mass of product}} = \frac{[\text{Mass of raw materials} - \text{mass of product}]}{\text{Mass of product}}$$


References:

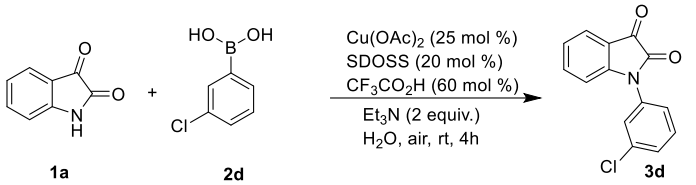
S. Rana, S. Basu, A. Bera, P. Saha, P. Ghosh, B. B. Khatua and C. Mukhopadhyay, "On-water" synthesis of thioxoimidazolidinone-isatin/ninhydrin conjugates, followed by temperature-induced dehydration by a $ZnMnO_3$@$Ni(OH)_2$ nano-catalyst. *Green Chem.*, 2024, DOI: 10.1039/D3GC03730D.

N. Fantozzi, J.-N. Volle, A. Porcheddu, D. Virieux, F. García and E. Colacino, Green metrics in mechanochemistry. *Chem. Soc. Rev.*, 2023, **52**, 6680–6714.

I. Chatterjee, D. Roy and G. Panda, A scalable and eco-friendly total synthesis of poly(ADP-ribose) polymerase inhibitor olaparib. *Green Chem.*, 2023, **25**, 9097–9102.

G. Purohit and D. S. Rawat, Hierarchically porous mixed oxide sheetlike copper–aluminum nanocatalyzed synthesis of 2-alkynyl pyrrolidines/piperidines and their ideal green chemistry metrics. *ACS Sustainable Chem. Eng.*, 2019, **7**, 19235–19245.

A representative reaction equation has been presented below to calculate the AE and *E*-factor.




| | 1a | 2d | 3d |
|---|---|---|---|
| M.W | 147.13 | 156.37 | 257.67 |
| | 1 mmol | 1.5 mmol | 0.9 mmol |
| | 0.147 g | 0.234 g | 0.232 g |

AE of compound **3d** = [257.67] ÷ [303.5] × 100 = **84.9 %**

*E*-factor of compound **3d** = [0.147 g (**1a**) + 0.234 g (**2d**) – 0.232 g (**3d**)] / 0.232 g (**3d**)

= **0.64**

(The components of optimized reaction conditions are not considered for the calculation of AE and *E*-factor).

*E*-factor of compound **3d** = [0.147 g (**1a**) + 0.234 g (**2d**) + 0.045 (**Cu(OAc)$_2$**) + 0.089 (**SDOSS**) +

0.068 (**TFA**) + 0.202 (**Et$_3$N**) – 0.232 g (**3d**)] / 0.232 g (**3d**)

= **2.38**

(The components of optimized reaction conditions are included for the calculation of AE and *E*-factor).

**Table I: Green Metrics (AE and *E*-factor) for the *N*-aryl isatin derivatives (3a-3n).**

| Product (**3**) | Yield (%) | AE (%) | *E*-factor |
|---|---|---|---|
| **3a** | 86 | 83.0 | 0.72[a]<br>2.84[b] |
| **3b** | 74 | 84.7 | 1.00[a]<br>3.16[b] |
| **3c** | 64 | 86.1 | 1.32[a]<br>3.55[b] |
| **3d** | 90 | 84.9 | 0.64[a]<br>2.38[b] |
| **3e** | 79 | 86.8 | 0.87[a]<br>2.56[b] |
| **3f** | 76 | 86.0 | 0.96[a]<br>2.85[b] |
| **3g** | 89 | 85.6 | 0.67[a]<br>2.33[b] |
| **3h** | 51 | 83.3 | 1.90[a]<br>5.35[b] |
| **3i** | 62 | 84.7 | 1.29[a]<br>3.87[b] |

| | | | |
|---|---|---|---|
| **3j** | 42 | 86.9 | 2.42[a]<br>5.61[b] |
| **3k** | 58 | 84.0 | 1.49[a]<br>4.37[b] |
| **3l** | 57 | 84.8 | 1.54[a]<br>4.29[b] |
| **3m** | 43 | 84.8 | 2.35[a]<br>6.03[b] |
| **3n** | 61 | 86.7 | 1.39[a]<br>3.61[b] |

[a] Excluding the components of optimized reaction condition. [b] Including the components of optimized reaction condition.

**Table J: Green Metrics (AE and *E*-factor) for the *N*-aryl quinazolinone derivatives (5a-5j).**

| Product (**5**) | Yield (%) | AE (%) | *E*-factor |
|---|---|---|---|
| **5a** | 75 | 82.9 | 0.97[a]<br>3.39[b] |
| **5b** | 66 | 83.8 | 1.24[a]<br>3.83[b] |
| **5c** | 63 | 84.6 | 1.35[a]<br>3.89[b] |
| **5d** | 60 | 86.0 | 1.47[a]<br>3.87[b] |
| **5e** | 59 | 84.8 | 1.51[a]<br>4.19[b] |
| **5f** | 66 | 85.6 | 1.24[a]<br>3.49[b] |
| **5g** | 71 | 83.3 | 1.09[a]<br>3.58[b] |
| **5h** | 84 | 84.0 | 0.72[a]<br>2.72[b] |
| **5i** | 78 | 85.5 | 0.87[a]<br>2.77[b] |
| **5j** | 79 | 85.8 | 0.72[a]<br>2.42[b] |

[a] Excluding the components of optimized reaction condition. [b] Including the components of optimized reaction condition.

**Table K: Green Metrics (AE and *E*-factor) for the *N*-aryl oxazolidinone derivatives (7a-7m).**

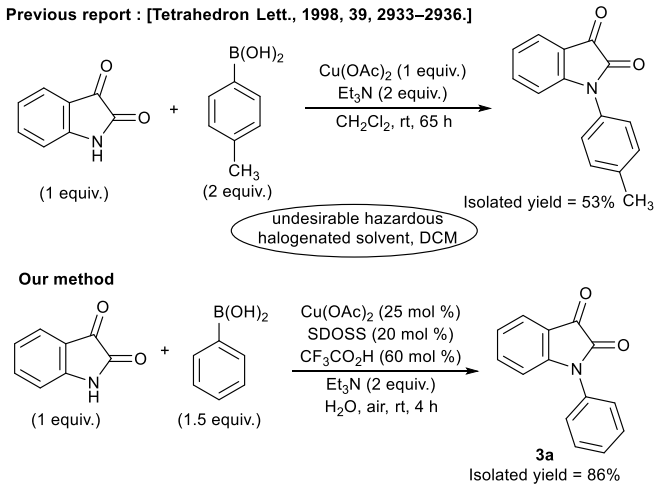
| Product (**7**) | Yield (%) | AE (%) | *E*-factor |
|---|---|---|---|
| **7a** | 73 | 78.1 | 1.27[a]<br>4.66[b] |
| **7b** | 76 | 79.5 | 1.16[a] |

| | | | |
|---|---|---|---|
| | | | 4.18[b] |
| **7c** | 75 | 80.8 | 1.17[a]<br>3.99[b] |
| **7d** | 71 | 85.5 | 1.25[a]<br>3.36[b] |
| **7e** | 78 | 79.8 | 1.11[a]<br>3.96[b] |
| **7f** | 67 | 82.8 | 1.41[a]<br>4.14[b] |
| **7g** | 65 | 82.0 | 1.50[a]<br>4.49[b] |
| **7h** | 76 | 82.3 | 1.13[a]<br>3.62[b] |
| **7i** | 67 | 86.6 | 1.03[a]<br>3.06[b] |
| **7j** | 69 | 87.2 | 0.98[a]<br>2.85[b] |
| **7k** | 71 | 87.7 | 0.94[a]<br>2.68[b] |
| **7l** | 71 | 87.3 | 0.92[a]<br>2.72[b] |
| **7m** | 65 | 88.6 | 1.13[a]<br>2.87[b] |

[a] Excluding the components of optimized reaction condition. [b] Including the components of optimized reaction condition; however, the polymeric material Tween 80 used in catalytic quantity (20 mol %) in the optimized reaction condition for *N*-arylation of oxazolidinone has not been considered to calculate *E*-factor values.

## Comparison of the present method with previous literature reports

**Table L: Comparison of green metrics (AE and *E*-factor) and reaction condition for the *N*-aryl isatin derivative (3a).**



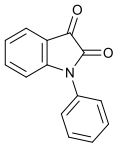
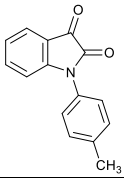
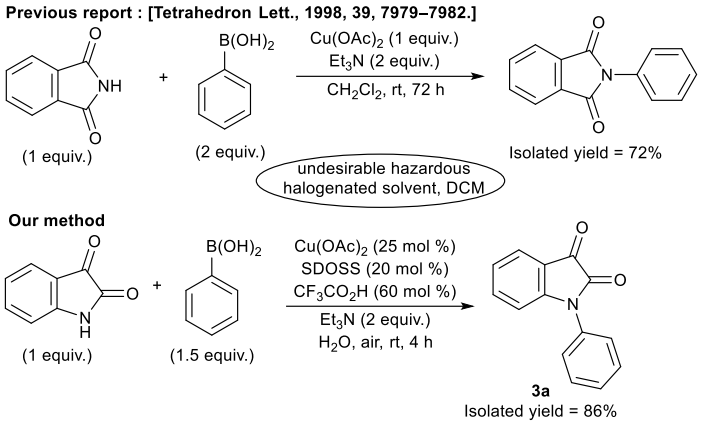
| Parameters | Our work (3a)  | Literature reported work  |
|---|---|---|
| Optimized condition | Cat. $Cu(OAc)_2$ (25 mol %), SDOSS (20 mol %), $CF_3CO_2H$ (60 mol %), $Et_3N$ (2 equiv.) | Stoichiometric $Cu(OAc)_2$ (1 equiv.) |
| Solvent | $H_2O$ | Undesirable hazardous halogenated solvent, $CH_2Cl_2$ |
| Reaction time | 4 h | 65 h |
| Yield (%) | 86 | 53 |
| AE (%) | 83.0 | 78.9 |
| *E*-factor | 2.84 | 5.39 |

**Table M: Comparison of green metrics (AE and *E*-factor) and reaction condition between the *N*-aryl isatin derivative (3a) with related electron-deficient NH-heterocycle (phthalimide) from previous report.**



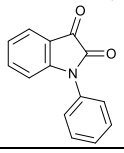
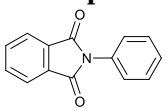
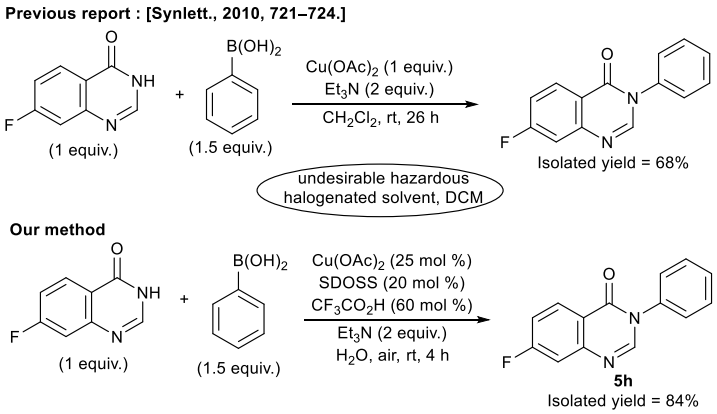

| Parameters | Our work (3a)  | Literature reported work  |
|---|---|---|
| Optimized condition | Cat. $Cu(OAc)_2$ (25 mol %), SDOSS (20 mol %), $CF_3CO_2H$ (60 mol %), $Et_3N$ (2 equiv.) | Stoichiometric $Cu(OAc)_2$ (1 equiv.) |
| Solvent | $H_2O$ | Undesirable hazardous halogenated solvent, $CH_2Cl_2$ |
| Reaction time | 4 h | 72 h |
| Yield (%) | 86 | 72 |
| AE (%) | 83.0 | 82.9 |
| *E*-factor | 2.84 | 3.81 |

**Table N: Comparison of green metrics (AE and *E*-factor) and reaction condition for the *N*-aryl quinazolinone derivative (5h).**



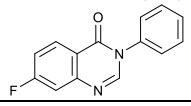
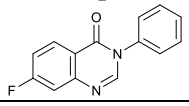
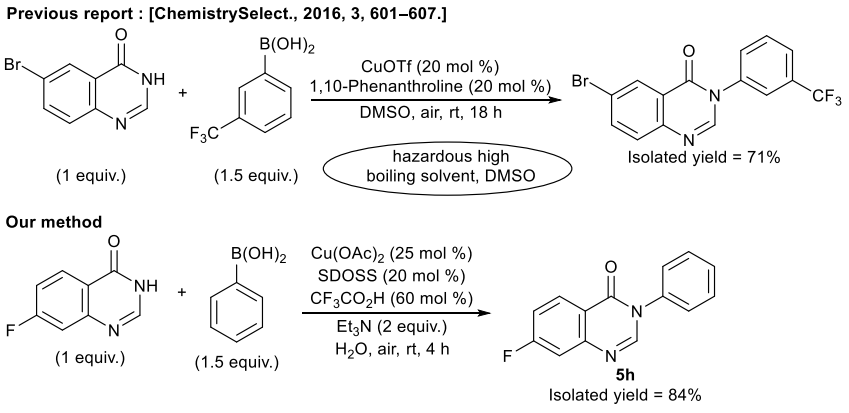

| Parameters | Our work (5h)  | Literature reported work  |
|---|---|---|
| Optimized condition | Cat. $Cu(OAc)_2$ (25 mol %), SDOSS (20 mol %), $CF_3CO_2H$ (60 mol %), $Et_3N$ (2 equiv.) | Stoichiometric $Cu(OAc)_2$ (1 equiv.) |
| Solvent | $H_2O$ | Undesirable hazardous halogenated solvent, $CH_2Cl_2$ |
| Reaction time | 4 h | 26 h |
| Yield (%) | 84 | 68 |
| AE (%) | 84.0 | 83.9 |
| *E*-factor | 2.72 | 3.49 |

**Table O: Comparison of green metrics (AE and *E*-factor) and reaction condition for the *N*-aryl quinazolinone derivative (5h) in the presence of catalytic CuOTf from previous report.**



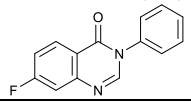
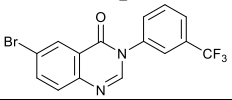
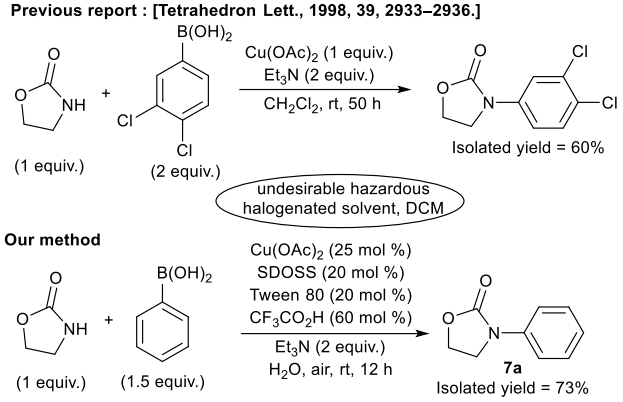
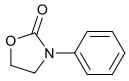
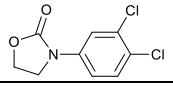
| Parameters | Our work (5h)  | Literature reported work  |
|---|---|---|
| Optimized condition | Cat. $Cu(OAc)_2$ (25 mol %), SDOSS (20 mol %), $CF_3CO_2H$ (60 mol %), $Et_3N$ (2 equiv.) | Cat. CuOTf (20 mol %), 1,10-Phenanthroline (20 mol %) |
| Solvent | $H_2O$ | Hazardous high-boiling solvent, DMSO |
| Reaction time | 4 h | 18 h |
| Yield (%) | 84 | 71 |
| AE (%) | 84.0 | 88.9 |
| *E*-factor | 2.72 | 1.33 |

**Table P: Comparison of green metrics (AE and *E*-factor) and reaction condition for the *N*-aryl oxazolidinone derivative (7a).**




| Parameters | Our work (7a)  | Literature reported work  |
|---|---|---|
| Optimized condition | Cat. $Cu(OAc)_2$ (25 mol %), SDOSS (20 mol %), Tween (20 mol %), $CF_3CO_2H$ (60 mol %), $Et_3N$ (2 equiv.) | Stoichiometric $Cu(OAc)_2$ (1 equiv.) |
| Solvent | $H_2O$ | Undesirable hazardous halogenated solvent, $CH_2Cl_2$ |
| Reaction time | 12 h | 50 h |
| Yield (%) | 73 | 60 |
| AE (%) | 78.1 | 83.5 |
| *E*-factor | 4.66 | 5.14 |

We have observed comparable AE values of our method with the previous literature reports (Table L and Table M). The *E*-factor scores are better in our method as compared to previous literature reports in Table L (2.84 vs 5.39) and in Table M (2.84 vs 3.81). Moreover, the prior report in Table L requires stoichiometric $Cu(OAc)_2$ (1 equiv.), undesirable hazardous halogenated solvent ($CH_2Cl_2$) and a long period of reaction (65 h). The prior report in Table M also requires stoichiometric $Cu(OAc)_2$ (1 equiv.), undesirable hazardous halogenated solvent ($CH_2Cl_2$) and a long period of reaction (72 h).

Considering overall aspects of our method and literature reports, our method offers a more 'green technology'. This comparison data is in line with the editorial report [*Green Chem.*, 2020, **22**, 13–15] as well.

On a similar note, we have observed comparable AE values of our method with the previous literature reports (Table N and Table O). In one case (Table N), the *E*-factor count is better in our method (2.72 vs 3.49). But, in Table O, the *E*-factor count of our method has been found inferior compared to previous literature report (2.72 vs 1.33). The prior report in Table N requires stoichiometric $Cu(OAc)_2$ (1 equiv.), undesirable hazardous halogenated solvent ($CH_2Cl_2$) and a long period of reaction (26 h). On the other hand, the report in Table O is associated with the reaction conducted in hazardous high-boiling solvent (DMSO) and a long period of reaction (18 h). However, considering overall aspects of our method and literature reports, our method endorses a more 'green technology' and this comparison data is in conjunction with the editorial report [*Green Chem.*, 2020, **22**, 13–15] as well.

The AE value of our method has been found comparable to prior literature report (Table P) and the *E*-factor score is also better in our method (4.66 vs 5.14) in Table P. Moreover, the prior report in Table P requires stoichiometric $Cu(OAc)_2$ (1 equiv.), undesirable hazardous halogenated solvent ($CH_2Cl_2$) and a long period of reaction (50 h). Therefore, by considering overall aspects of our method and literature report, our method offers a more 'green technology'. This comparison data is in accordance with the editorial report [*Green Chem.*, 2020, **22**, 13–15] as well.

## Characterization of the synthesized compounds

**1-Phenylindoline-2,3-dione[2] (3a):-** Orange cystalline solid; mp: 133–135 °C; $^1$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.71 – 7.68 (m, 1H), 7.58 – 7.51 (m, 3H), 7.48 – 7.39 (m, 3H), 7.17 (dt, *J* = 7.5, 1.0 Hz, 1H), 6.89 (dt, *J* = 7.2, 1.0 Hz, 1H); IR (ATR) $\nu_{max}$: 3552, 3480, 3421, 1735, 1605, 1499, 1465, 1363, 1303, 1192, 1157 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{14}H_{10}NO_2$, 224.0712; found, 224.0713.

**1-(4-Methoxyphenyl)indoline-2,3-dione[3] (3b):-** Orange cystalline solid; mp: 154–156 °C; $^1$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.70 (dd, *J* = 7.5, 1.0 Hz, 1H), 7.55 (dt, *J* = 7.9, 1.4 Hz, 1H), 7.36 – 7.33 (m, 2H), 7.18 (dt, *J* = 7.5, 1.0 Hz, 1H), 7.10 – 7.06 (m, 2H), 6.85 (dt, *J* = 7.2, 1.0 Hz, 1H), 3.89 (s, 3H); IR (ATR) $\nu_{max}$: 2919, 2843, 1731, 1611, 1513, 1465, 1294, 1251, 1184, 1024 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{15}H_{12}NO_3$, 254.0817; found, 254.0796.

**1-(3,5-Dimethoxyphenyl)indoline-2,3-dione (3c):-** Dark orange cystalline solid; mp: 165–167 °C; $^1$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.69 – 7.67 (m, 1H), 7.54 (dt, *J* = 7.5, 1.0 Hz, 1H), 7.16 (dt, *J* = 7.6, 1.0 Hz, 1H), 6.94 (dd, *J* = 8.0, 1.0 Hz, 1H), 6.54 – 6.52 (m, 3H), 3.81 (s, 6H); $^{13}$C-NMR (100 MHz, $CDCl_3$) δ (ppm): 182.8, 161.8, 157.2, 151.7, 138.4, 134.4, 125.6, 124.3, 117.5, 111.6, 104.3, 100.9, 55.6; IR (ATR) $\nu_{max}$: 2920, 2840, 1734, 1610, 1512, 1460, 1291, 1249, 1179, 1017 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{16}H_{14}NO_4$, 284.0923; found, 284.0924.

**1-(3-Chlorophenyl)indoline-2,3-dione (3d):-** Orange crystalline solid; mp: 181–184 °C; $^1$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.74 (dd, *J* = 7.5, 1.0 Hz, 1H), 7.60 (dt, *J* = 7.7, 1.4 Hz, 1H), 7.54 – 7.50 (m, 1H), 7.48 – 7.45 (m, 2H), 7.38 – 7.35 (m, 1H), 7.23 (dt, *J* = 7.5, 1.0 Hz, 1H); $^{13}$C NMR (101 MHz, $CDCl_3$) δ (ppm): 182.3, 157.1, 151.0, 138.5, 135.6, 134.0, 131.0, 129.1, 126.2, 125.9, 124.7, 124.2, 117.5, 111.2; IR (ATR) $\nu_{max}$: 3548, 3454, 3419, 1602, 1499, 1461, 1196, 1151 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{14}H_9ClNO_2$, 258.0322 and 260.0292; found, 258.0314 and 260.0287.

**1-(2-Bromophenyl)indoline-2,3-dione (3e):-** Brown semi-solid; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.82 (dd, *J* = 10.1, 1.6 Hz, 1H), 7.74 (dd, *J* = 7.5, 1.0 Hz, 1H), 7.57 – 7.52 (m, 2H), 7.45 – 7.40 (m, 2H), 7.21 (dt, *J* = 7.5, 1.0 Hz, 1H), 6.56 (d, *J* = 8.0 Hz, 1H); $^{13}$C NMR (151 MHz, $CDCl_3$) δ (ppm): 182.3, 157.0, 151.3, 138.4, 134.3, 132.5, 131.3, 130.2, 129.1, 125.7, 124.3, 122.8, 117.4, 111.5; IR (ATR) $\nu_{max}$: 3425, 1741, 1605, 1503, 1461, 1363, 1307, 1195 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{14}H_9BrNO_2$, 301.9817 and 303.9796; found, 301.9786 and 303.9767.

**Methyl 3-(2,3-dioxoindolin-1-yl)benzoate (3f):-** Orange cystalline solid; mp: 180–182 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.15 – 8.11 (m, 2H), 7.73 (dd, *J* = 7.6, 1.0 Hz, 1H), 7.68 – 7.64 (m, 2H), 7.57 (dt, *J* = 7.6, 1.3 Hz, 1H), 7.12 (dt, *J* = 7.5, 1.0 Hz, 1H), 6.90 (d, *J* = 8.1 Hz, 1H), 3.95 (s, 3H); $^{13}$C-NMR (100 MHz, $CDCl_3$) δ (ppm): 182.5, 165.9, 157.3, 151.2, 138.6, 133.3, 132.3, 130.7, 130.3, 129.9, 127.0, 125.9, 124.7, 117.7, 111.3, 52.6; IR (ATR) $\nu_{max}$: 3552, 3480, 3421, 1734, 1735, 1605, 1499, 1465, 1363, 1220, 1303, 1192, 1157 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{16}H_{12}NO_4$, 282.0766; found, 282.0723.

**1-(Naphthalen-2-yl)indoline-2,3-dione[4] (3g):-** Orange cystalline solid; mp: 125–127 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.03 (d, *J* = 9.0 Hz, 1H), 7.94 – 7.87 (m, 3H), 7.73 (dd, *J* = 7.4, 1.0 Hz, 1H), 7.61 – 7.53 (m, 3H), 7.49 (dd, *J* = 8.7, 2.1 Hz, 1H), 7.19 (dt, *J* = 7.5, 1.0 Hz, 1H), 6.94 (dt, *J* = 7.3, 1.0 Hz, 1H); IR (ATR) $\nu_{max}$: 1728, 1605, 1473, 1182 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{18}H_{12}NO_2$, 274.0868; found, 274.0816.

**1-(Thiophen-3-yl)indoline-2,3-dione (3h):-** Orange cystalline solid; mp: 155–157 ℃; $^{1}$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.70 (d, *J* = 7.2 Hz, 1H), 7.58 (dt, *J* = 7.8, 1.4 Hz, 1H), 7.51 – 7.47 (m, 2H), 7.23 (dd, *J* = 5.2, 1.4 Hz, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.04 (d, *J* = 8.0 Hz, 1H); $^{13}$C NMR (151 MHz, $CDCl_3$) δ (ppm): 181.4, 156.1, 150.3, 137.4, 129.5, 125.6, 124.6, 123.4, 122.7, 119.1, 116.6, 110.4; IR (ATR) $\nu_{max}$: 3545, 3478, 3420, 1729, 1600, 1485, 1466, 1360, 1303, 1192, 1157 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{12}H_8NO_2S$, 230.0276; found, 230.0271.

**5-Methoxy-1-phenylindoline-2,3-dione[5] (3i):-** Brown crystalline solid; mp: 157–159 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.58 – 7.55 (m, 2H), 7.48 – 7.42 (m, 3H), 7.24 (d, *J* = 2.8 Hz, 1H), 7.12 (dd, *J* = 8.7, 2.8 Hz, 1H), 6.87 (d, *J* = 8.7 Hz, 1H), 3.85 (s, 3H); IR (ATR) $\nu_{max}$: 1734, 1722, 1490, 1287 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{15}H_{12}NO_3$, 254.0817; found, 254.0796.

**5-Methoxy-1-(naphthalen-1-yl)indoline-2,3-dione (3j):-** Brown cystalline solid; mp: 153–159 ℃; $^{1}$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.99 (dd, *J* = 19.7, 8.3 Hz, 2H), 7.71 (d, *J* = 8.5 Hz, 1H), 7.62 (t, *J* = 8.0 Hz, 1H), 7.58 – 7.49 (m, 3H), 7.28 (s, 1H), 7.01 (d, *J* = 9.1 Hz, 1H ), 6.37 (d, *J* = 8.8 Hz, 1H), 3.83 (s, 3H); $^{13}$C-NMR (151 MHz, $CDCl_3$) δ (ppm): 183.3, 158.2, 156.8, 146.8, 134.9, 130.1, 129.6, 129.5, 128.8, 127.4, 126.9, 125.9, 125.9, 125.3, 122.5, 117.8, 112.9, 109.1, 56.0; IR (ATR) $\nu_{max}$: 1732, 1602, 1477, 1176, 1286 $cm^{-1}$;HRMS $(M + H)^+$ calcd. for $C_{19}H_{14}NO_3$, 304.0974; found, 304.0968.

**5-Fluoro-1-phenylindoline-2,3-dione[4] (3k):-** Yellowish orange cystalline solid; mp: 33–36 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.59 – 7.54 (m, 2H), 7.49 – 7.45 (m, 1H), 7.42 – 7.39 (m, 3H), 7.25 – 7.23 (m, 1H), 6.88 (dd, *J* = 8.7, 3.7 Hz, 1H); IR (ATR) $\nu_{max}$: 1722, 1623, 1484, 1348, 1180 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{14}H_9FNO_2$, 242.0617; found, 242.0572.

**5-Fluoro-1-(*p*-tolyl)indoline-2,3-dione (3l):-** Orange cystalline solid; mp: 159–161 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.39 – 7.34 (m, 3H), 7.29 – 7.22 (m, 3H), 6.85 (dd, *J* = 8.7, 3.7 Hz, 1H), 2.43 (s, 3H); $^{13}$C-NMR (100 MHz, $CDCl_3$) δ (ppm): 182.6, 182.5, 160.7, 158.3, 157.2, 148.0, 147.9, 139.2, 130.7, 130.0, 125.8, 124.8, 124.6, 118.1, 118.1, 112.6, 112.5, 112.4, 112.2, 21.3; IR (ATR) $\nu_{max}$: 3478, 3425, 1738,1610,1469, 1373, 1309 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{15}H_{11}FNO_2$, 256.0774; found, 256.0766.

**5-Fluoro-1-(*o*-tolyl)indoline-2,3-dione (3m):-** Orange cystalline solid; mp: 153–159 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 7.43 – 7.35 (m, 4H), 7.27 – 7.21 (m, 2H), 6.53 (dd, *J* = 8.7, 3.7 Hz, 1H), 2.23 (s, 3H); $^{13}$C-NMR (100 MHz, $CDCl_3$) δ (ppm): 182.5, 182.5, 160.7, 158.3, 156.9, 148.1, 148.0, 136.3, 131.9, 131.4, 129.9, 127.7, 127.5, 125.1, 124.8, 118.0, 117.9, 112.5, 112.5, 112.5, 112.3, 17.9; IR (ATR) $\nu_{max}$: 3465, 3421, 1742,1615,1465, 1379, 1310 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{15}H_{11}FNO_2$, 256.0774; found, 256.0767.

**Methyl 3-(5-fluoro-2,3-dioxoindolin-1-yl)benzoate (3n):-** Brown semi-solid; $^{1}$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 8.17 – 8.15 (m, 1H), 8.12 – 8.11 (m, 1H), 7.69 – 7.64 (m, 2H), 7.44 (dd, *J* = 6.4, 2.8 Hz, 1H), 7.34 – 7.29 (m, 1H), 6.91 (dd, *J* = 8.8, 3.4 Hz, 1H), 3.97 (s, 3H); $^{13}$C NMR (151 MHz, $CDCl_3$) δ (ppm): 181.9, 165.8, 160.5, 158.9, 156.9, 147.2, 133.1, 132.3, 130.5, 130.3, 130.0, 126.8, 125.00, 124.8, 122.0, 120.1, 118.2, 118.2, 116.2, 112.7, 112.6, 112.5, 112.5, 52.6; IR (ATR) $\nu_{max}$: 3548, 1701, 1589, 1510, 1297, 1208, 1173 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{16}H_{11}FNO_4$, 300.0672; found, 300.0641.

**3-Phenylquinazolin-4(3H)-one[6] (5a):-** Off white cystalline solid; mp: 139–140 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.38 (dd, *J* = 8.0, 1.4 Hz, 1H), 8.14 (s, 1H), 7.84 – 7.76 (m, 2H), 7.58 – 7.48 (m, 4H), 7.44 – 7.41 (m, 2H); IR (ATR) $\nu_{max}$: 1699, 1598, 1463 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{14}H_{11}N_2O$, 224.0712; found, 224.0713.

**3-(*p*-Tolyl)quinazolin-4(3H)-one[6] (5b):-** Orange cystalline solid; mp: 146–147 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.37 (d, *J* = 7.9 Hz, 1H), 8.12 (s, 1H), 7.81 – 7.76 (m, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.35 – 7.29 (m, 4H), 2.44 (s, 3H); IR (ATR) $\nu_{max}$: 1694, 1601, 1454 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{15}H_{13}N_2O$, 227.1028; found, 227.1021.

**3-(4-Methoxyphenyl)quinazolin-4(3H)-one[6] (5c):-** Purple crystalline solid; mp: 193–194 °C; $^{1}$H-NMR (400 MHz, CDCl3) δ (ppm): 8.36 (dd, *J* = 7.8, 1.5 Hz, 1H), 8.10 (s, 1H), 7.81 – 7.34 (m, 2H), 7.56 – 7.51 (m, 1H), 7.34 – 7.31 (m, 2H), 7.05 – 7.01 (m, 2H), 3.86 (s, 3H); IR (ATR) $\nu_{max}$: 1694, 1599, 1453 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{15}H_{13}N_2O_2$, 253.0977; found, 253.0988.

**3-(3,5-Dimethoxyphenyl)quinazolin-4(3H)-one[7] (5d):**- Cream coloured solid; mp: 225–228 ℃; $^{1}$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 8.39 (d, *J* = 8.0, 1.7 Hz, 1H), 8.13 (s, 3H), 7.84 – 7.81 (m, 1H), 7.79 (dd, *J* = 8.2, 1.5 Hz, 1H), 7.57 (dt, *J* = 7.0, 1.4 Hz, 1H), 6.59 – 6.57 (m, 3H), 3.85 (s, 6H); $^{13}$C NMR (151 MHz, $CDCl_3$) δ (ppm): 161.4, 160.7, 147.9, 146.0, 139.1, 134.6, 127.6, 127.6, 127.2, 122.4, 105.5, 101.4, 55.7; IR (ATR) $\nu_{max}$: 1694, 1599, 1453 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{16}H_{15}N_2O_3$, 283.1083; found, 283.1087.

**3-(3-Chlorophenyl)quinazolin-4(3H)-one[6] (5e):-** Cream coloured solid; mp: 180–181 ℃; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.38 – 8.35 (m, 1H), 8.10 (s, 1H), 7.85 – 7.76 (m, 2H), 7.59 – 7.54 (m, 1H), 7.51 – 7.47 (m, 3H), 7.36 – 7.32 (m, 1H); IR (ATR) $\nu_{max}$: 1698, 1601, 1466 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{14}H_{11}ClN_2O$, 257.0482 and 259.0452; found, 257.0476 and 259.0449.

**3-(Naphthalen-2-yl)quinazolin-4(3H)-one[8] (5f):-** Brown crystalline solid; mp: 171–174 °C; $^{1}$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.43 (dd, *J* = 8.0, 1.0 Hz, 1H), 8.26 (s, 1H), 8.04 (d, *J* = 8.7 Hz, 1H), 7.97 – 7.91 (m, 3H), 7.87 – 7.81 (m, 2H), 7.64 – 7.59 (m, 3H), 7.57 (dd, *J* = 8.6, 2.1 Hz, 1H); $^{13}$C-NMR (100 MHz, $CDCl_3$) δ (ppm): 161.0, 147.9, 146.2, 135.1, 134.7, 133.4, 133.0, 129.6, 128.2, 127.9, 127.7, 127.7, 127.3, 127.2, 127.1, 125.7, 124.7, 122.4; IR (ATR) $\nu_{max}$: 1689, 1575, 1434 $cm^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{18}H_{13}N_2O$, 273.1028; found, 273.1001.

**3-(Thiophen-3-yl)quinazolin-4(3H)-one (5g):-** White semi-solid; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 8.37 (d, *J* = 7.9 Hz, 1H), 8.19 (s, 1H), 7.81 – 7.75 (m, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.49 – 7.47 (m, 2H), 7.29 (d, *J* = 5.0 Hz, 1H); $^{13}$C-NMR (151 MHz, $CDCl_3$) δ (ppm): 160.4, 147.6, 145.8, 135.4, 134.7, 127.7, 127.6, 127.2, 126.4, 125.1, 122.3, 120.6; IR (ATR) $\nu_{max}$: 1711, 1568, 1483 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{12}H_{10}N_2OS$, 229.0436; found, 229.0486.

**7-Fluoro-3-phenylquinazolin-4(3H)-one[7] (5h):-** White crystalline solid; mp: 196–199 °C; $^1$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.38 (dd, *J* = 8.8, 2.8 Hz, 1H), 8.14 (s, 1H), 7.58 – 7.49 (m, 3H), 7.43 – 7.40 (m, 3H), 7.29 – 7.24 (m, 1H); IR (ATR) $\nu_{max}$: 1688, 1593, 1458 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{14}H_{11}FN_2O$, 241.0777; found, 241.0792.

**7-Fluoro-3-(4-methoxyphenyl)quinazolin-4(3H)-one (5i):-** Purple semi-solid; $^1$H-NMR (400 MHz, $CDCl_3$) δ (ppm): 8.36 (dd, *J* = 8.8, 2.8 Hz, 1H), 8.11 (s, 1H), 7.49 (dd, *J* = 9.5, 2.5 Hz, 1H), 7.33 – 7.31 (m, 2H), 7.28 – 7.23 (m, 1H), 7.05 – 7.03 (m, 2H), 3.87 (s, 3H); $^{13}$C-NMR (100 MHz, $CDCl_3$) δ (ppm): 167.8, 165.3, 160.4, 160.1, 150.2, 150.1, 147.7, 130.0, 129.9, 129.9, 128.1, 119.1, 116.4, 116.2, 114.9, 113.1, 112.9, 55.6; IR (ATR) $\nu_{max}$: 1691, 1609, 1448 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{15}H_{13}FN_2O_2$, 271.0883; found, 271.0885.

**7-Chloro-6-nitro-3-phenylquinazolin-4(3H)-one (5j):-** Yellow semi-solid; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 8.37 (d, *J* = 7.9 Hz, 1H), 8.19 (s, 1H), 7.81 – 7.75 (m, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.49 – 7.47 (m, 2H), 7.29 (d, *J* = 4.9 Hz, 1H); $^{13}$C-NMR (151 MHz, $CDCl_3$) δ (ppm): 158.9, 150.4, 149.7, 146.4, 136.5, 132.8, 130.9, 129.9, 129.8, 126.7, 125.3, 121.3; IR (ATR) $\nu_{max}$: 1588, 1573, 1399 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{14}H_9ClN_3O_3$, 302.0332 and 304.0303; found, 302.0333 and 304.0308.

**3-Phenyloxazolidin-2-one[9] (7a):-** Yellow cystalline solid; mp: 118–119 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.55 (d, *J* = 7.2 Hz, 2H), 7.38 (t, *J* = 6.7 Hz, 2H), 7.15 (t, *J* = 7.4 Hz, 1H), 4.49 (t, *J* = 8.3 Hz, 2H), 4.07 (t, *J* = 7.4 Hz, 2H); IR (ATR) $\nu_{max}$: 1740, 1517 cm$^{-1}$; MS (ESI) $(M + H)^+$ = 164.10.

**3-(*p*-Tolyl)oxazolidin-2-one[10] (7b):-** Yellow cystalline solid; mp: 89–91 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.42 (d, *J* = 6.4 Hz, 2H), 7.18 (d, *J* = 8.0 Hz, 2H), 4.47 (t, *J* = 7.0 Hz, 2H), 4.03 (t, *J* = 7.0 Hz, 2H), 2.33 (s, 3H); IR (ATR) $_{\nu max}$: 1735, 1510 cm$^{-1}$; MS (ESI) $(M + H)^+$ = 178.53.

**3-(4-Methoxyphenyl)oxazolidin-2-one[9] (7c):-** Yellow cystalline solid; mp: 112–114 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.43 (dd, *J* = 8.8, 2.0 Hz, 2H), 6.91 (dd, *J* = 8.1, 1.6 Hz, 2H), 4.46 (t, *J* = 9.1 Hz, 2H), 4.02 (t, *J* = 8.2 Hz, 2H), 3.80 (s, 3H); IR (ATR) $\nu_{max}$: 1728, 1518 cm$^{-1}$; MS (ESI) $(M + H)^+$ = 194.00.

**3-(4-(Benzyloxy)phenyl)oxazolidin-2-one (7d):-** Light brown cystalline solid; mp: 141–145 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.44 – 7.42 (m, 4H), 7.38 (t, *J* = 7.4 Hz, 2H), 7.33 (t, *J* = 7.3 Hz, 1H), 6.98 (d, *J* = 9.0 Hz, 2H), 5.06 (s, 2H), 4.46 (t, *J* = 7.1 Hz, 2H), 4.02 (t, *J* = 8.8 Hz, 2H); $^{13}$C-NMR (100 MHz, $CDCl_3$) δ (ppm): 155.6, 155.6, 136.9, 131.7, 128.6, 128.0, 127.5, 120.3, 115.4, 70.3, 61.3, 45.7; IR (ATR) $\nu_{max}$: 1728, 1518, 1210 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{16}H_{17}NO_3$, 270.1130; found, 270.1125.

**3-(4-Fluorophenyl)oxazolidin-2-one[11] (7e):-** Light brown cystalline solid; mp: 71–73 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.51 – 7.49 (m, 2H), 7.07 (t, *J* = 7.9 Hz, 2H), 4.48 (t, *J* = 7.6 Hz, 2H), 4.04 (t, *J* = 8.1 Hz, 2H); IR (ATR) $\nu_{max}$: 1739, 1512 cm$^{-1}$; MS (ESI) $(M + H)^+$ = 182.98.

**Methyl 4-(2-oxooxazolidin-3-yl)benzoate[12] (7f):-** Off white cystalline solid; mp: 350–354 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 8.06 (d, *J* = 8.3 Hz, 2H), 7.63 (d, *J* = 8.4 Hz, 2H), 4.52 (t, *J* = 8.3 Hz, 2H), 4.11 (t, *J* = 8.0 Hz, 2H), 3.91 (s, 3H); IR (ATR) $\nu_{max}$:1740, 1735 cm$^{-1}$; MS (ESI) $(M + H)^+$ = 222.10.

**3-(4-Nitrophenyl)oxazolidin-2-one[9] (7g):-** Yellow cystalline solid; mp: 151–153 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 8.09 (d, *J* = 8.8 Hz, 2H), 6.58 (d, *J* = 8.7 Hz, 2H), 3.91 (t, *J* = 5.3 Hz, 2H), 3.41 – 3.39 (m, 2H); IR (ATR) $\nu_{max}$: 1749 cm$^{-1}$; MS (ESI) $(M + H)^+$ = 209.80.

**3-(Naphthalen-2-yl)oxazolidin-2-one[11] (7h):-** White crystalline solid; mp: 359–364 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.98 (dd, *J* = 9.0, 2.3 Hz, 1H), 7.88 (d, *J* = 9.0 Hz, 1H), 7.82 (t, *J* = 8.9 Hz, 2H), 7.73 (d, *J* = 2.5 Hz, 1H), 7.51 – 7.48 (m, 1H), 7.46 – 7.43 (m, 1H), 4.54 (t, *J* = 7.6 Hz, 2H), 4.18 (t, *J* = 8.2 Hz, 2H); $^{13}$C-NMR (151 MHz, $CDCl_3$) δ (ppm): 155.4, 136.0, 133.5, 130.4, 129.0, 127.6, 127.5, 126.7, 125.3, 118.2, 114.8, 61.4, 45.5; IR (ATR) $\nu_{max}$: 1735, 1692, 1401, 1468 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{13}H_{12}NO_2$, 214.0868; found, 214.0847.

**5-((3,5-Dimethylphenoxy)methyl)-3-phenyloxazolidin-2-one (7i):-** Off-white crystalline solid; mp: 139–143 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.58 – 7.56 (m, 2H), 7.40 – 7.37 (m, 2H), 7.17 – 7.13 (m, 1H), 6.64 (s, 1H), 6.53 (s, 2H), 4.98 – 4.93 (m, 1H), 4.22 – 4.15 (m, 3H), 4.06 – 4.03 (m, 1H), 2.28 (s, 6H); $^{13}$C-NMR (125 MHz, $CDCl_3$) δ (ppm): 158.1, 154.4, 139.5, 138.2, 129.1, 124.2, 123.5, 118.3, 112.4, 70.4, 67.8, 47.5, 21.4; IR (ATR) $\nu_{max}$: 1743, 1593, 1496, 1219, 1064 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{18}H_{20}NO_3$, 298.1443; found, 298.1416.

**5-((3,5-Dimethylphenoxy)methyl)-3-(p-tolyl)oxazolidin-2-one (7j):-** White crystalline solid; mp: 175–178 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.44 (dd, *J* = 8.4, 2.5 Hz, 2H), 7.18 (d, *J* = 8.0 Hz, 2H), 6.64 (s, 1H), 6.53 (s, 2H), 4.95 - 4.91 (m, 1H), 4.21 – 4.13 (m, 3H), 4.02 – 4.00 (d, *J* = 2.0 Hz, 1H), 2.33 (s, 3H), 2.28 (s, 6H); $^{13}$C-NMR (151 MHz, $CDCl_3$) δ (ppm): 158.1, 154.5, 139.5, 135.7, 133.9, 129.6, 123.5, 118.4, 112.4, 70.3, 67.9, 47.7, 21.4, 20.8; IR (ATR) $\nu_{max}$: 1763, 1596, 1199, 1012 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{19}H_{22}NO_3$, 312.1600; found, 312.1593.

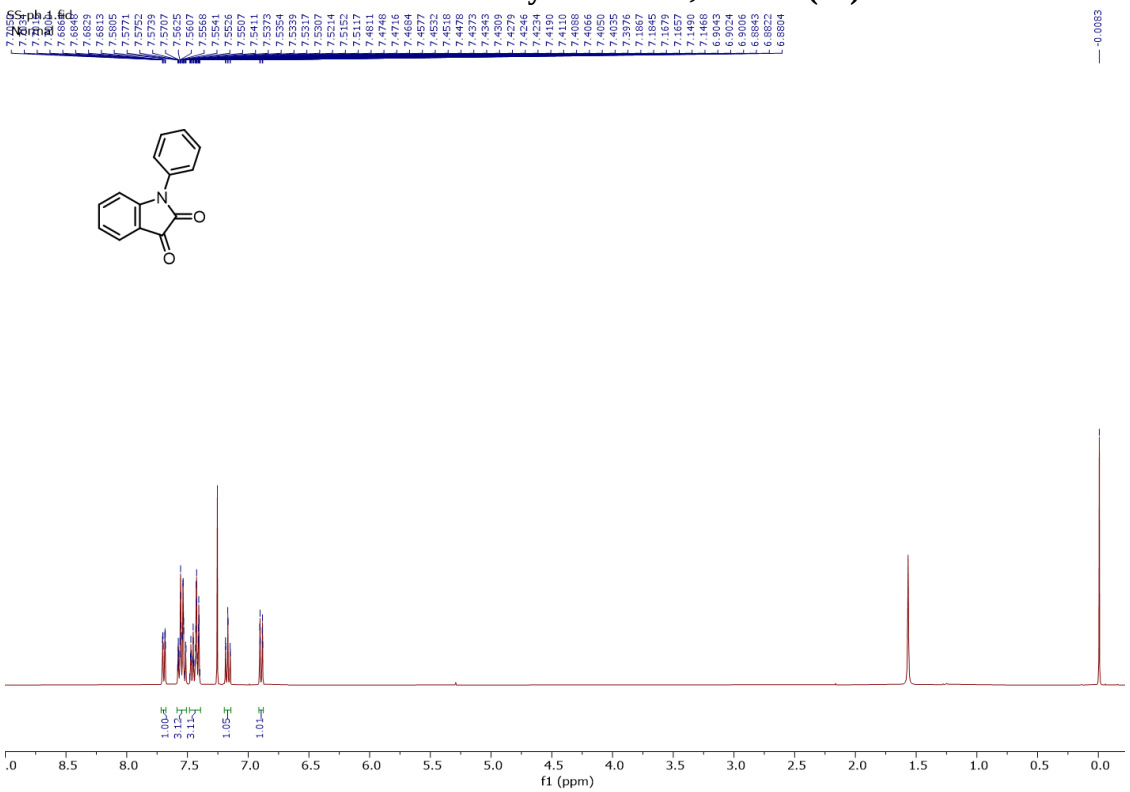
**5-((3,5-Dimethylphenoxy)methyl)-3-(4-methoxyphenyl)oxazolidin-2-one (7k):-** Off-white crystalline solid; mp: 155–160 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.46 (d, *J* = 8.0 Hz, 2H), 6.92 (d, *J* = 8.0 Hz, 2H), 6.64 (s, 1H), 6.54 (s, 2H), 4.95 – 4.90 (m, 1H), 4.20 – 4.121 (m, 3H), 4.01 – 3.98 (m, 1H), 3.80 (s, 3H), 2.28 (s, 6H); $^{13}$C-NMR (100 MHz, $CDCl_3$) δ (ppm): 158.1, 156.5, 155.0, 139.5, 131.3, 123.5, 120.4, 114.3, 112.4, 70.3, 67.8, 55.5, 48.0, 21.4; IR (ATR) $\nu_{max}$: 1699, 1589, 1396 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{19}H_{22}NO_4$, 328.1549; found, 328.1542.

**5-((3,5-Dimethylphenoxy)methyl)-3-(4-fluorophenyl)oxazolidin-2-one (7l):-** Off-white crystalline solid; mp: 153–155 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 7.53 – 7.51 (m, 2H), 7.08 – 7.05 (m, 2H), 6.64 (s, 1H), 6.53 (s, 2H), 4.96 – 4.92 (m, 1H), 4.20 – 4.13 (m, 3H), 4.03 – 4.00 (m, 1H), 2.28 (s, 6H); $^{13}$C-NMR (151 MHz, $CDCl_3$) δ (ppm): 160.2, 158.6, 158.1, 154.5, 139.5, 134.3, 134.3, 123.6, 120.2, 120.1, 116.0, 115.8, 112.4, 70.4, 68.0, 47.7, 21.4; IR (ATR) $\nu_{max}$: 1712, 1743, 1510 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{18}H_{19}FNO_3$, 316.1379; found, 316.1344.

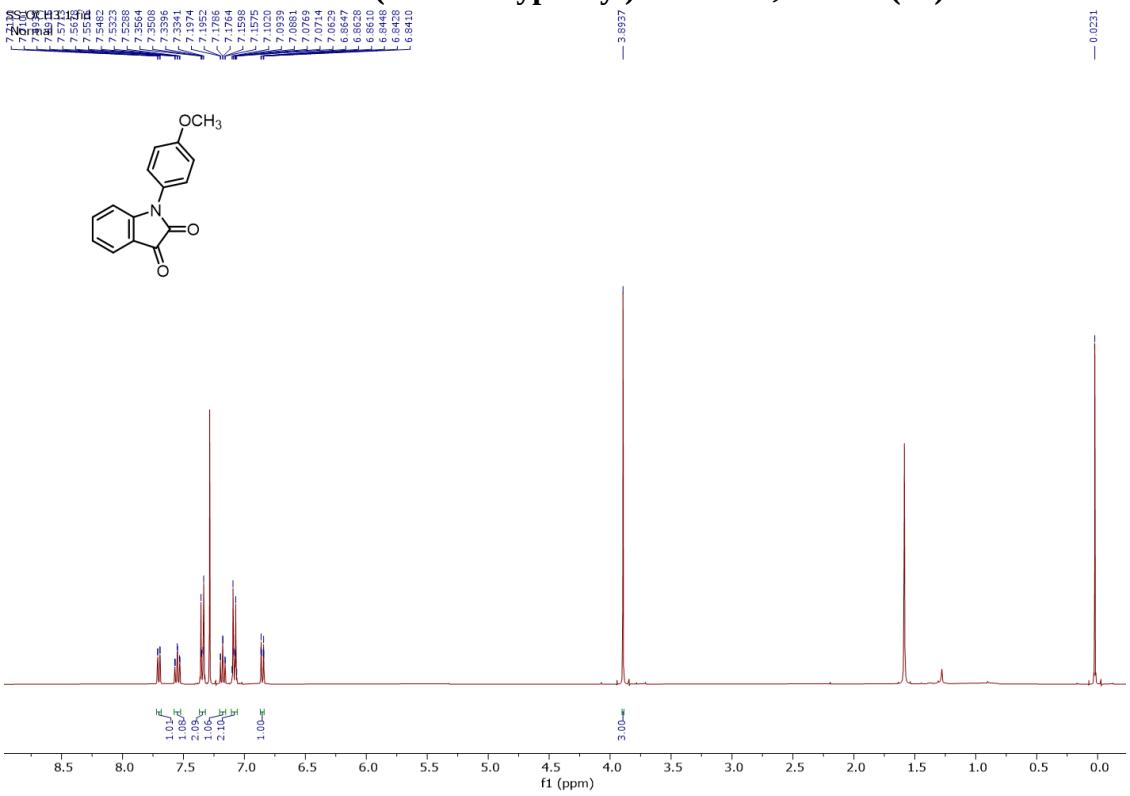
**Methyl 3-(5-((3,5-dimethylphenoxy)methyl)-2-oxooxazolidin-3-yl)benzoate (7m):-** Off-white crystalline solid; mp: 106–110 °C; $^1$H-NMR (600 MHz, $CDCl_3$) δ (ppm): 8.06 (d, *J* = 8.2 Hz, 1H), 7.98 (s, 1H), 7.83 (d, *J* = 7.7 Hz, 1H), 7.47 (t, *J* = 8.0 Hz, 1H), 6.64 (s, 1H), 6.54 (s, 1H), 4.99 – 4.97 (m, 1H), 4.24 – 4.19 (m, 1H), 4.11 (t, *J* = 7.1 Hz, 1H), 3.93 (s, 3H), 2.28 (s, 6H); $^{13}$C-NMR (151 MHz, $CDCl_3$) δ (ppm): 166.6, 158.0, 154.4, 139.5, 138.5, 131.0, 129.3, 125.2, 123.6, 123.0, 118.5, 112.4, 67.8, 52.3, 47.4, 21.4; IR (ATR) $\nu_{max}$: 1756, 1542, 1289 cm$^{-1}$; HRMS $(M + H)^+$ calcd. for $C_{20}H_{21}NO_3$, 356.1498; found, 356.1492.

# Scanned NMR Spectra

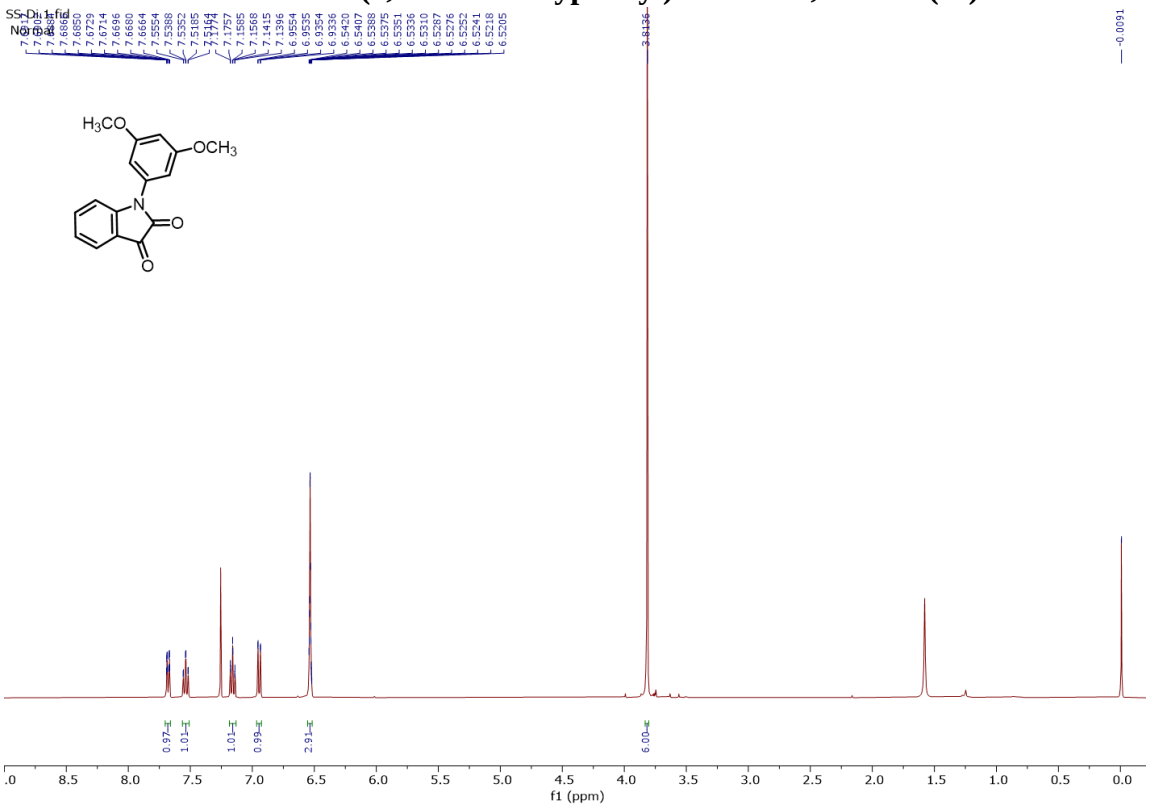
## $^1$H NMR of 1-Phenylindoline-2,3-dione (3a)



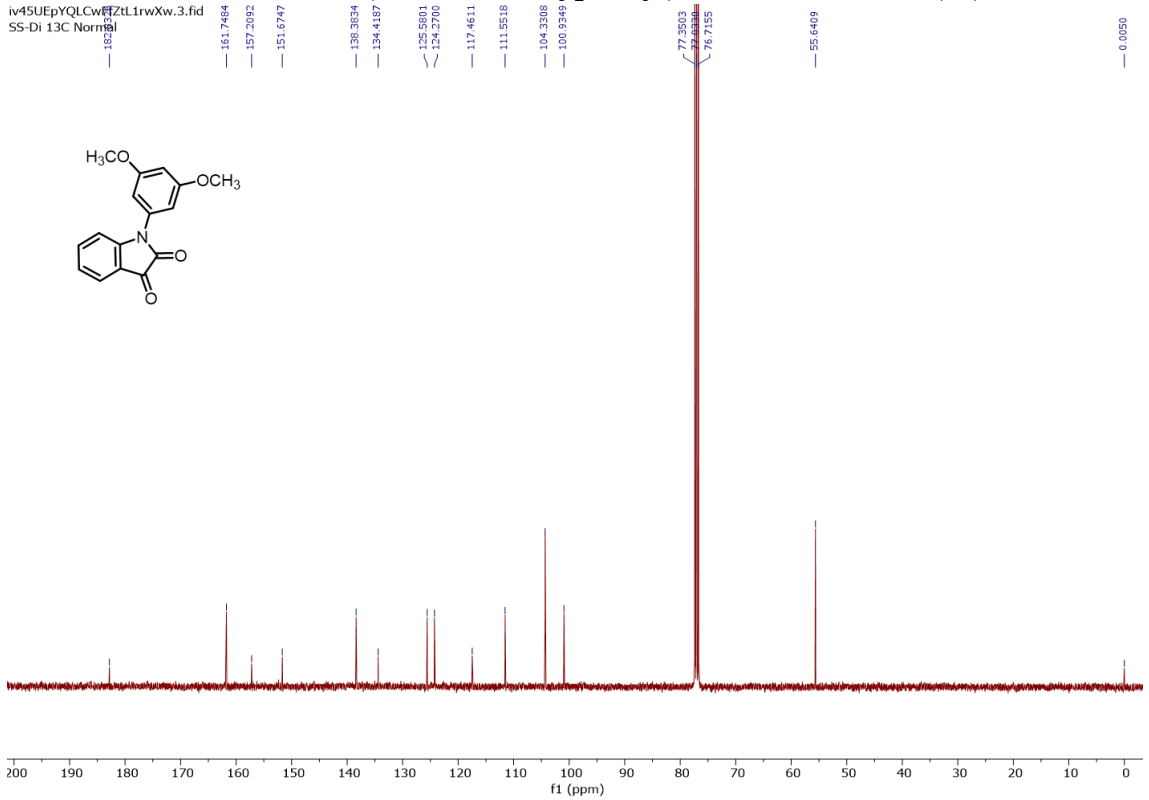

## $^1$H NMR of 1-(4-Methoxyphenyl)indoline-2,3-dione (3b)



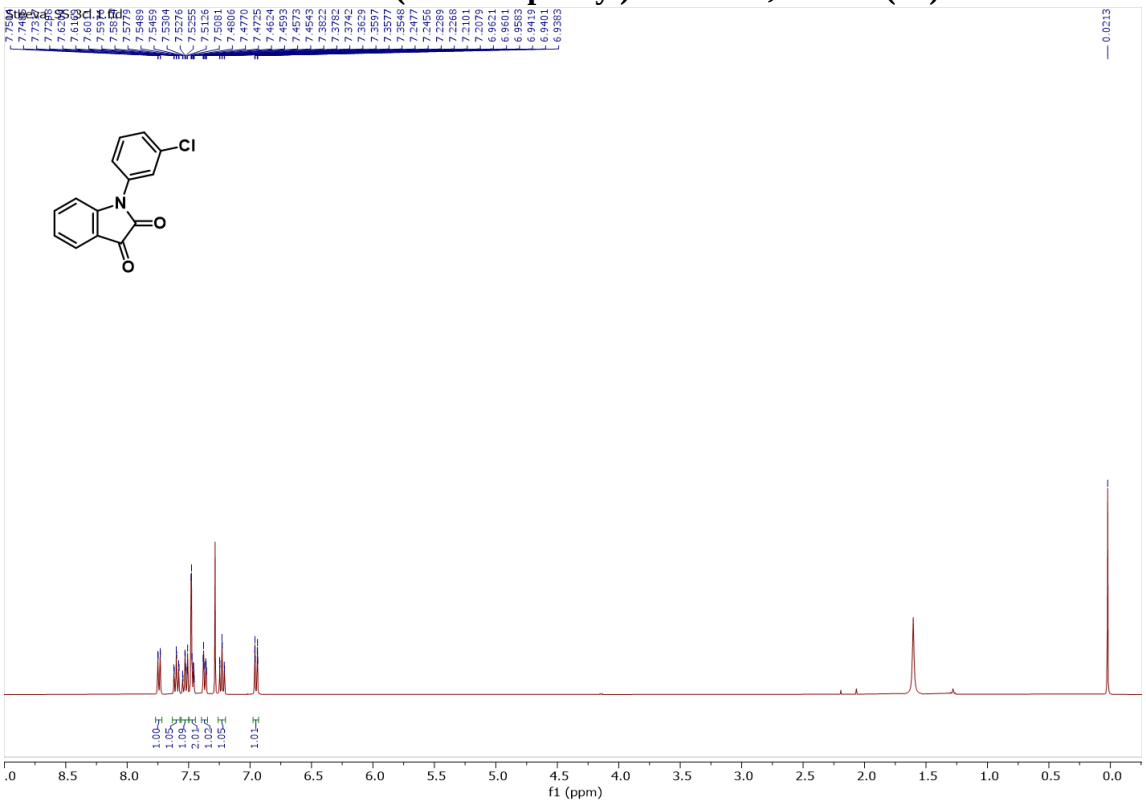
## $^{1}$H NMR of 1-(3,5-Dimethoxyphenyl)indoline-2,3-dione (3c)



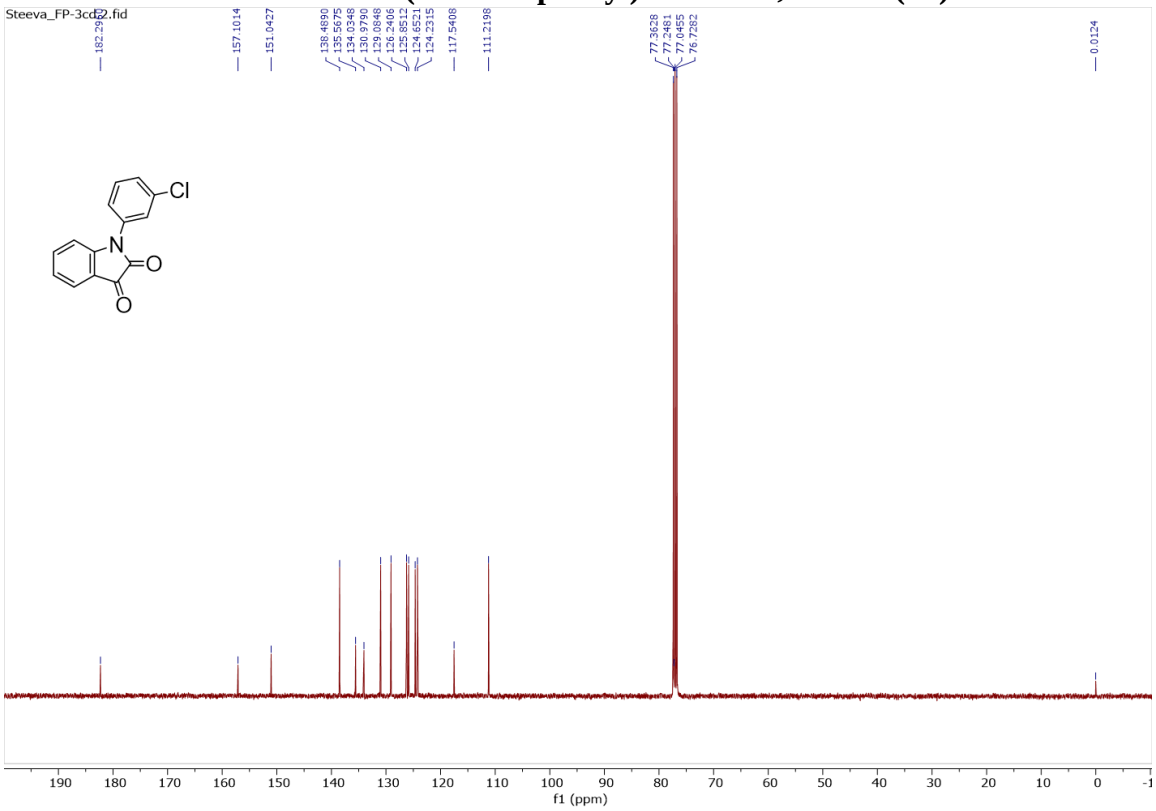

## $^{13}$C NMR of 1-(3,5-Dimethoxyphenyl)indoline-2,3-dione (3c)



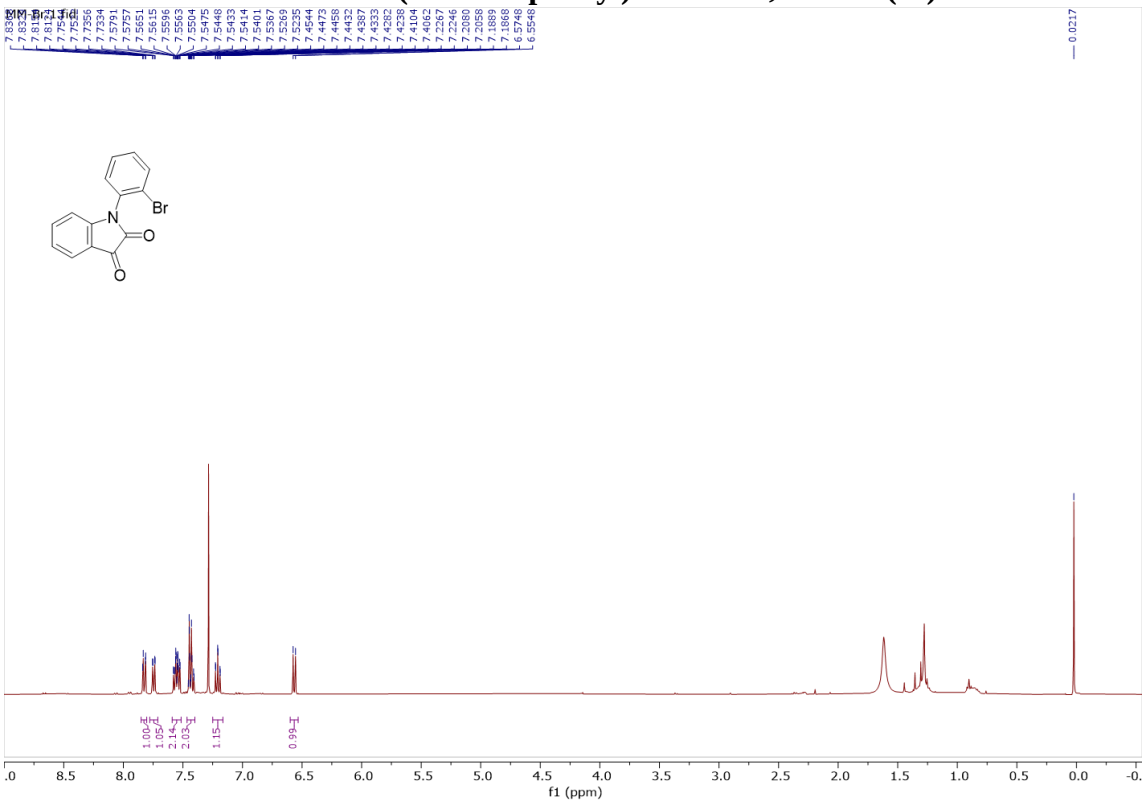
## $^{1}$H NMR of 1-(3-Chlorophenyl)indoline-2,3-dione (3d)



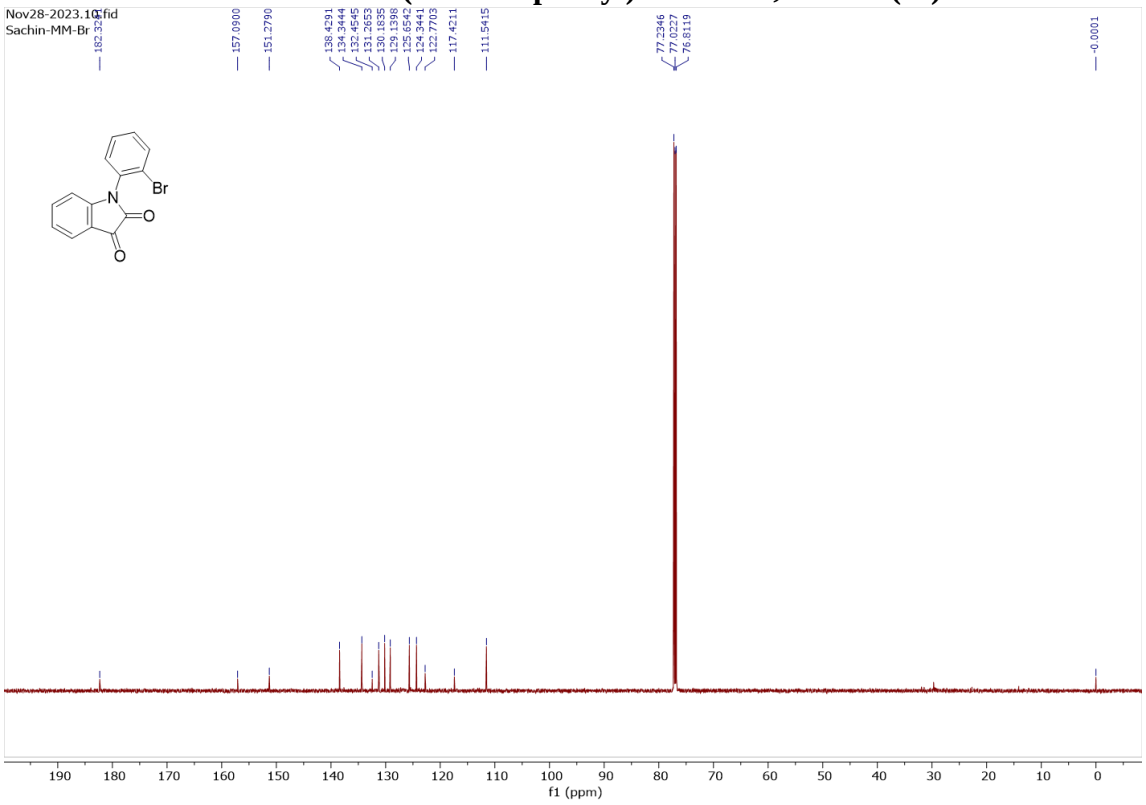

## $^{13}$C NMR of 1-(3-Chlorophenyl)indoline-2,3-dione (3d)



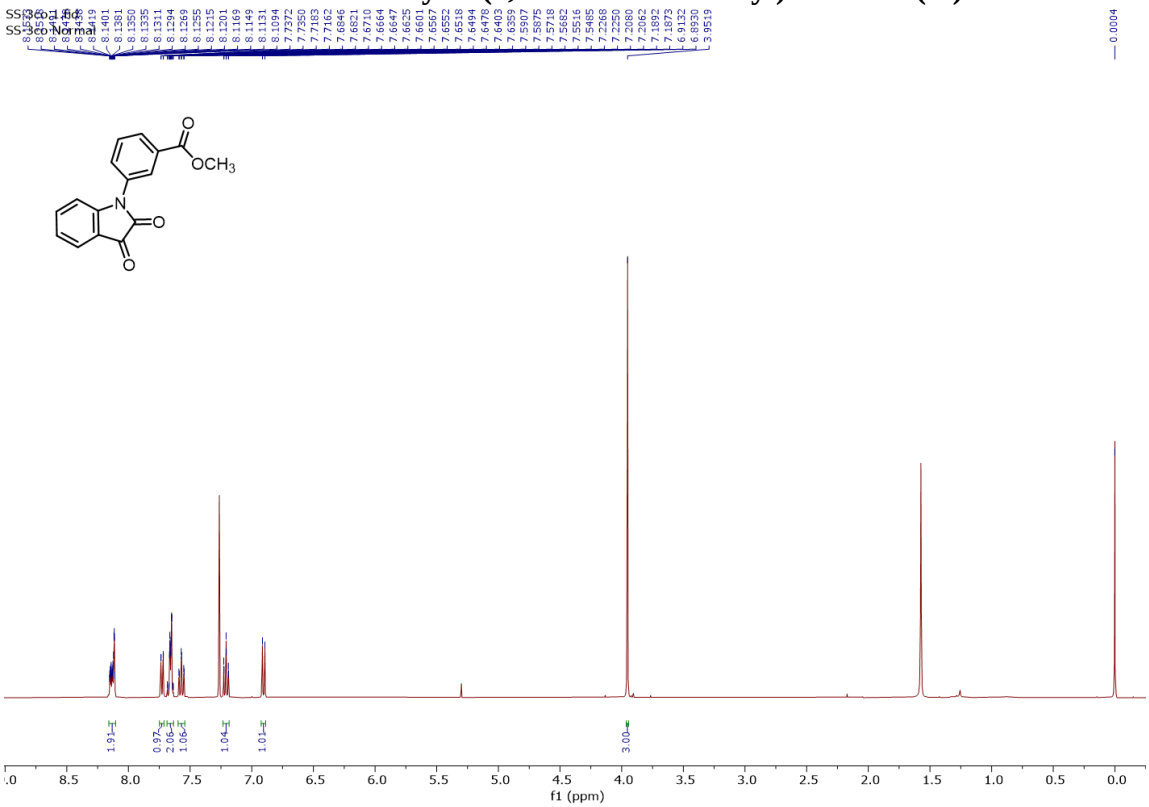
## $^{1}$H NMR of 1-(2-Bromophenyl)indoline-2,3-dione (3e)



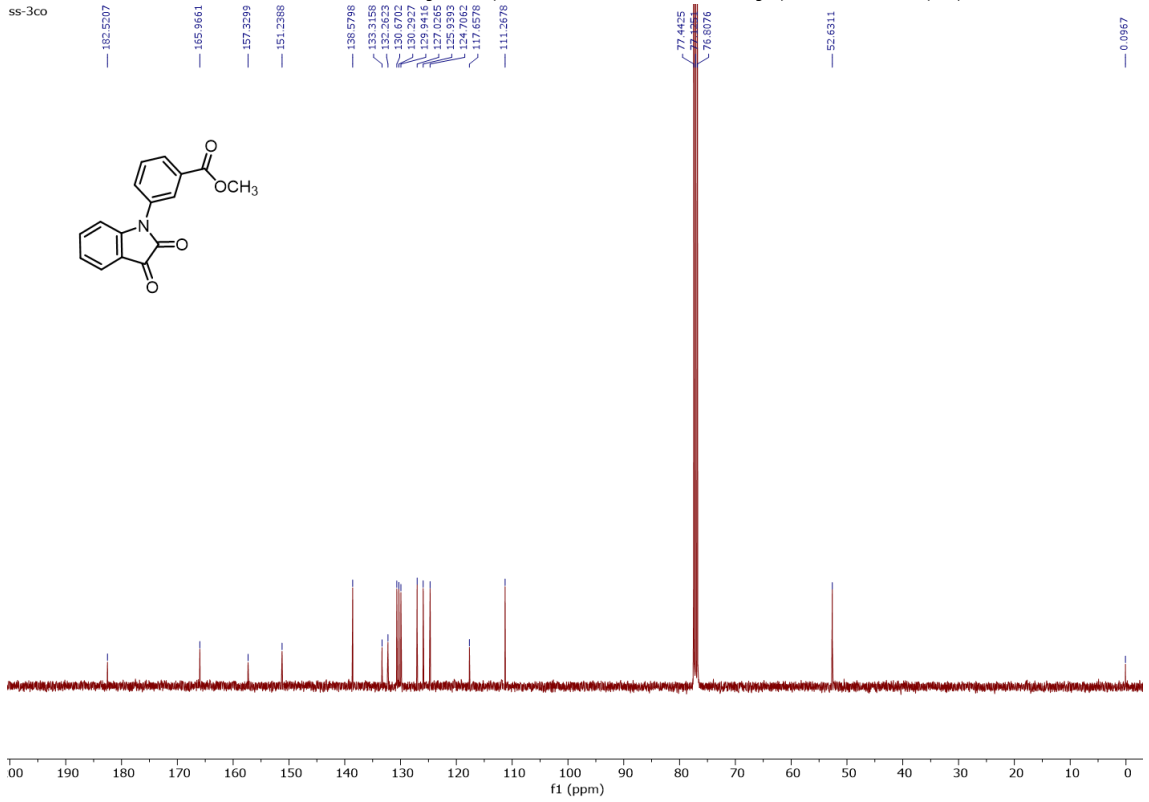

## $^{13}$C NMR of 1-(2-Bromophenyl)indoline-2,3-dione (3e)



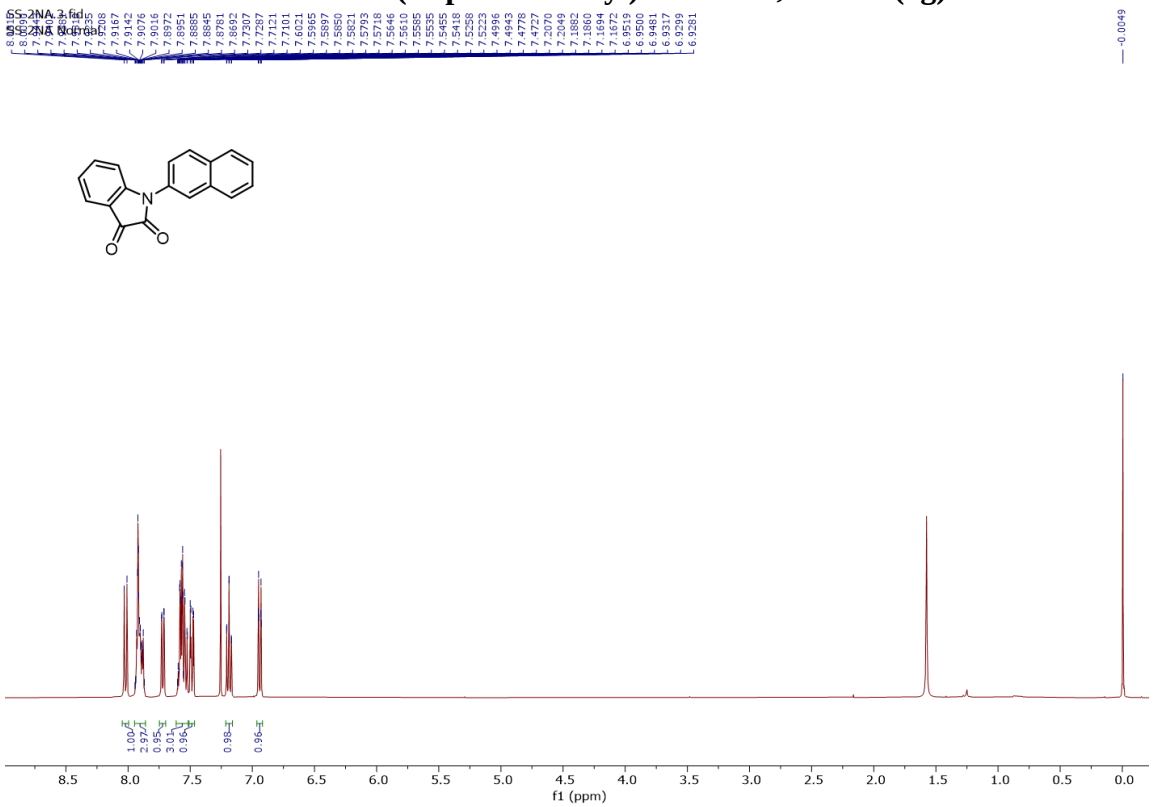
## $^{1}$H NMR of Methyl 3-(2,3-dioxoindolin-1-yl)benzoate (3f)



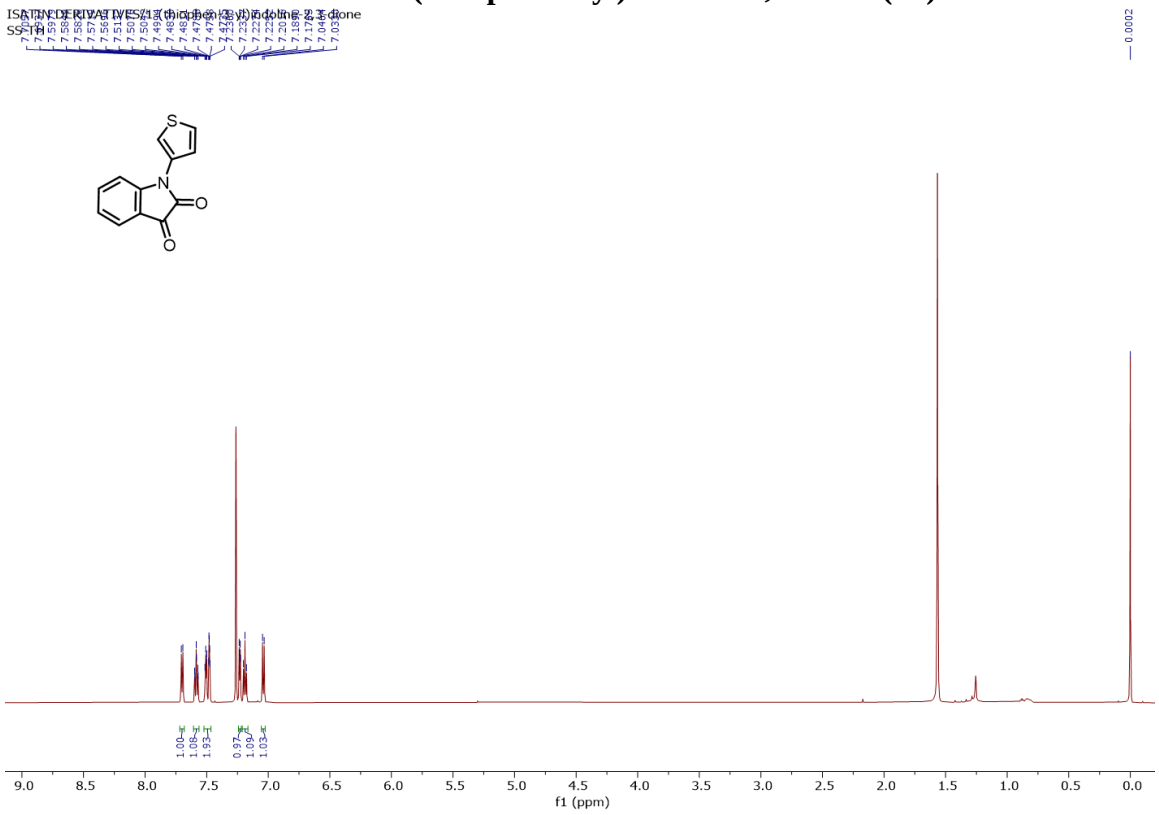

## $^{13}$C NMR of Methyl 3-(2,3-dioxoindolin-1-yl)benzoate (3f)



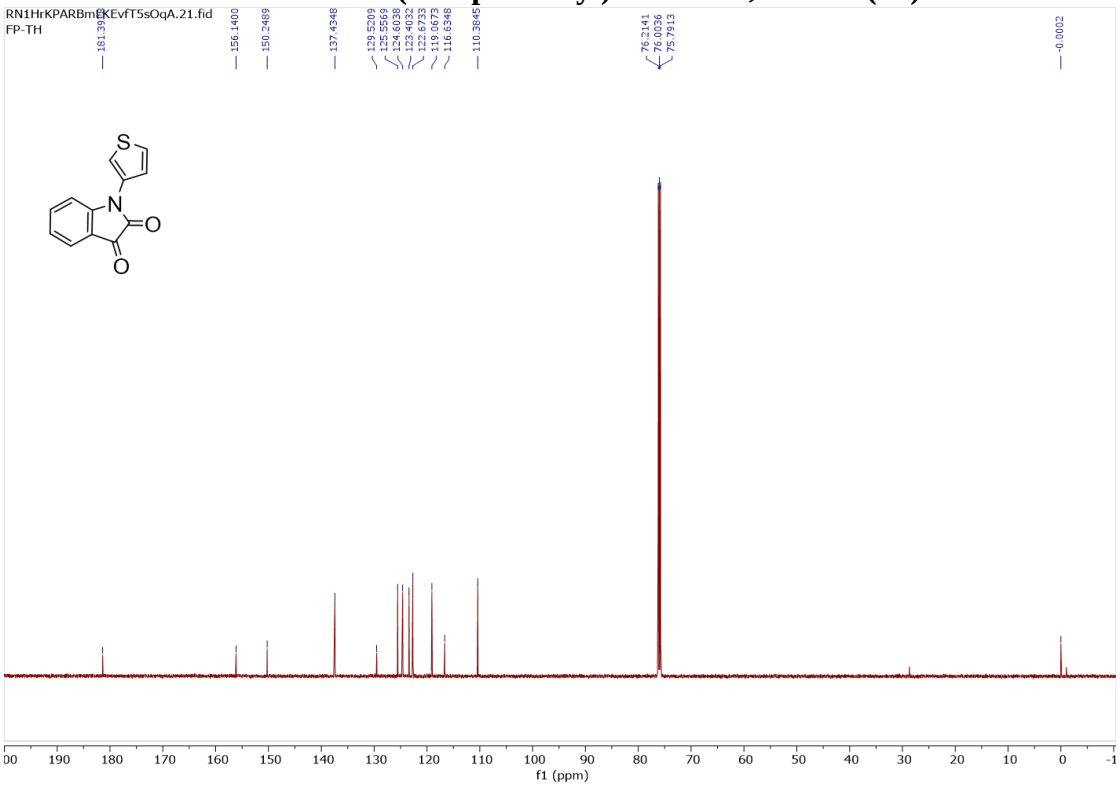
## $^{1}$H NMR of 1-(Naphthalen-2-yl)indoline-2,3-dione (3g)



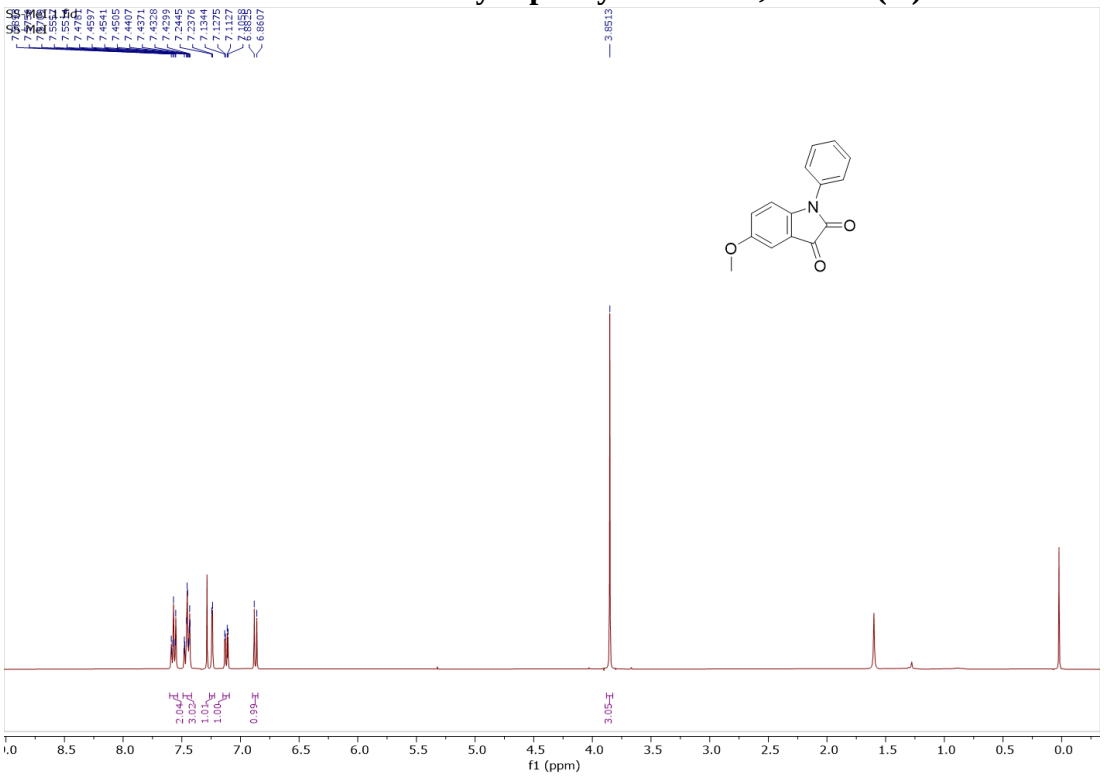
## $^{1}$H NMR of 1-(Thiophen-3-yl)indoline-2,3-dione (3h)



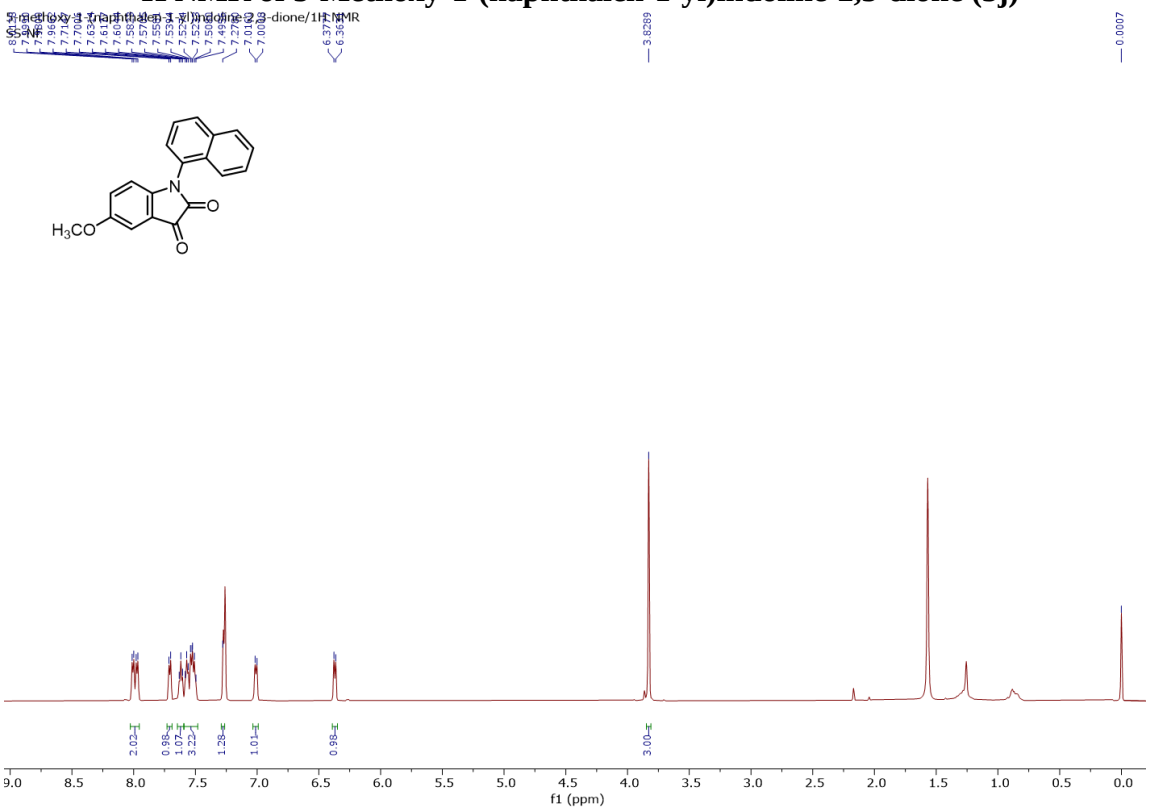

## $^{13}$C NMR of 1-(Thiophen-3-yl)indoline-2,3-dione (3h)



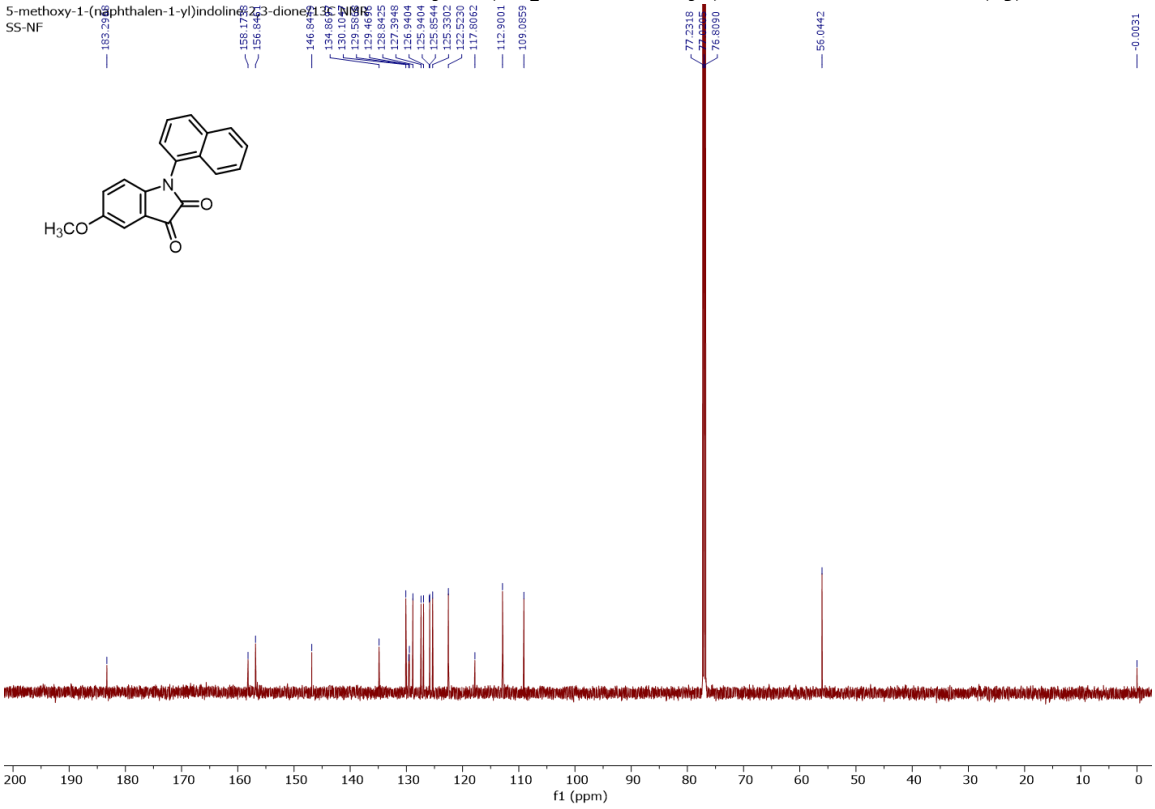
## $^{1}$H NMR of 5-Methoxy-1-phenylindoline-2,3-dione (3i)



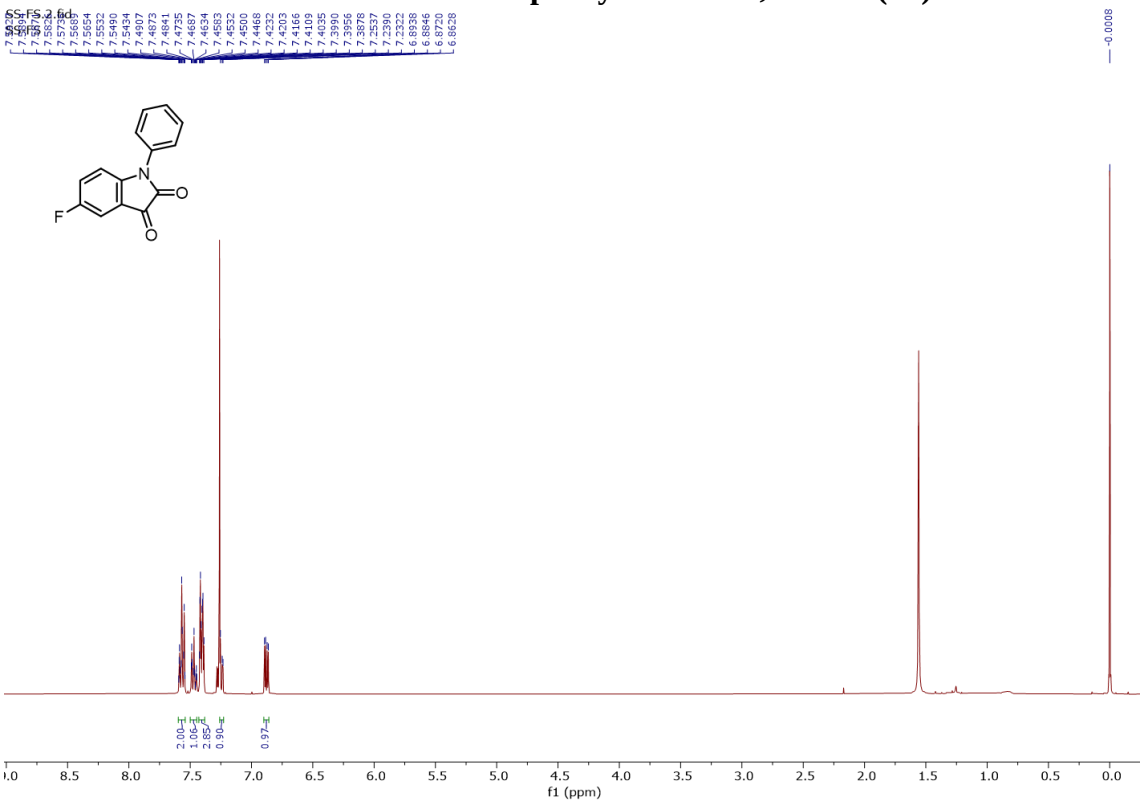
## $^{1}$H NMR of 5-Methoxy-1-(naphthalen-1-yl)indoline-2,3-dione (3j)



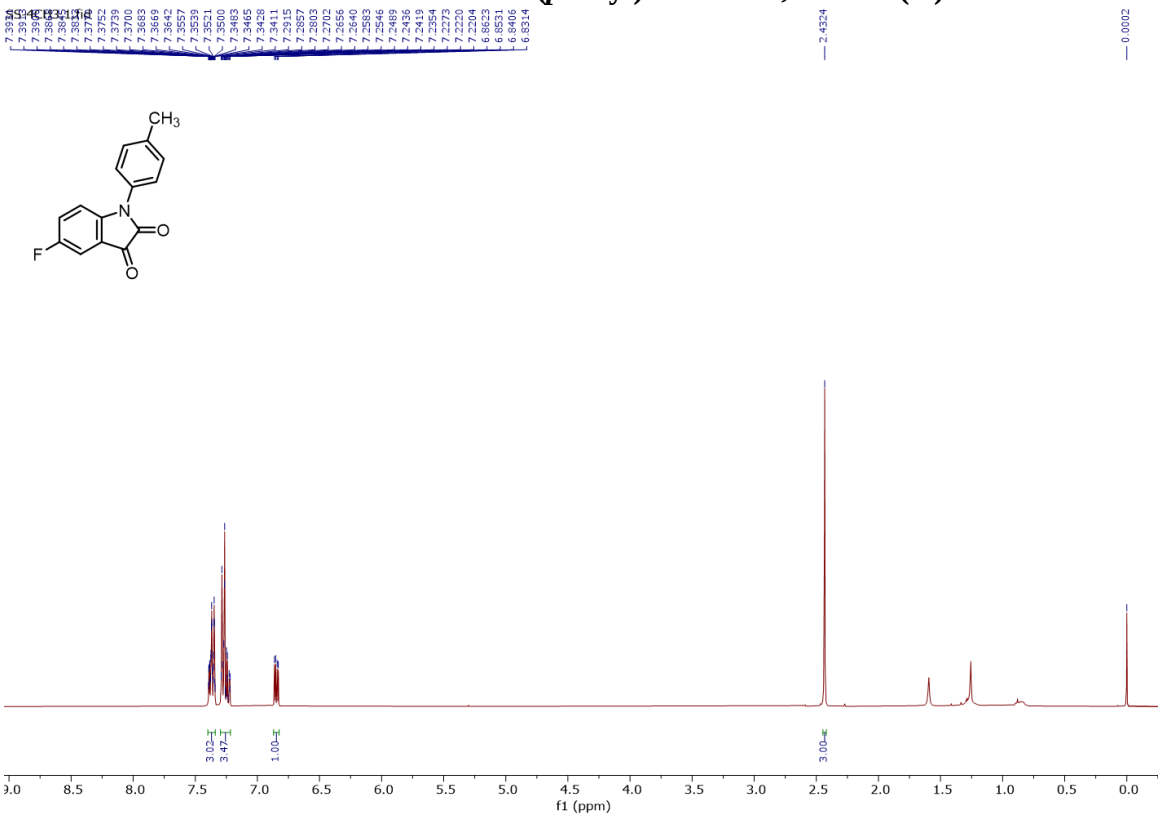

## $^{13}$C NMR of 5-Methoxy-1-(naphthalen-1-yl)indoline-2,3-dione (3j)



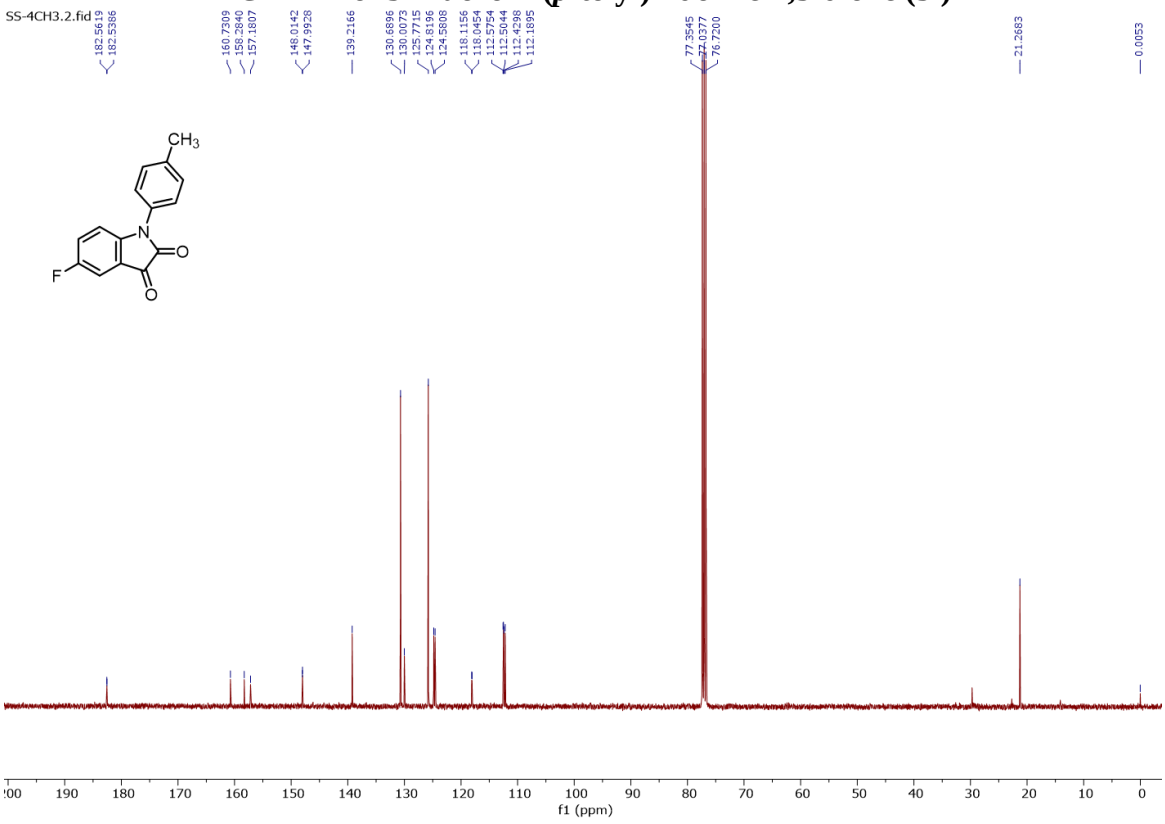
## $^{1}$H NMR of 5-Fluoro-1-phenylindoline-2,3-dione (3k)



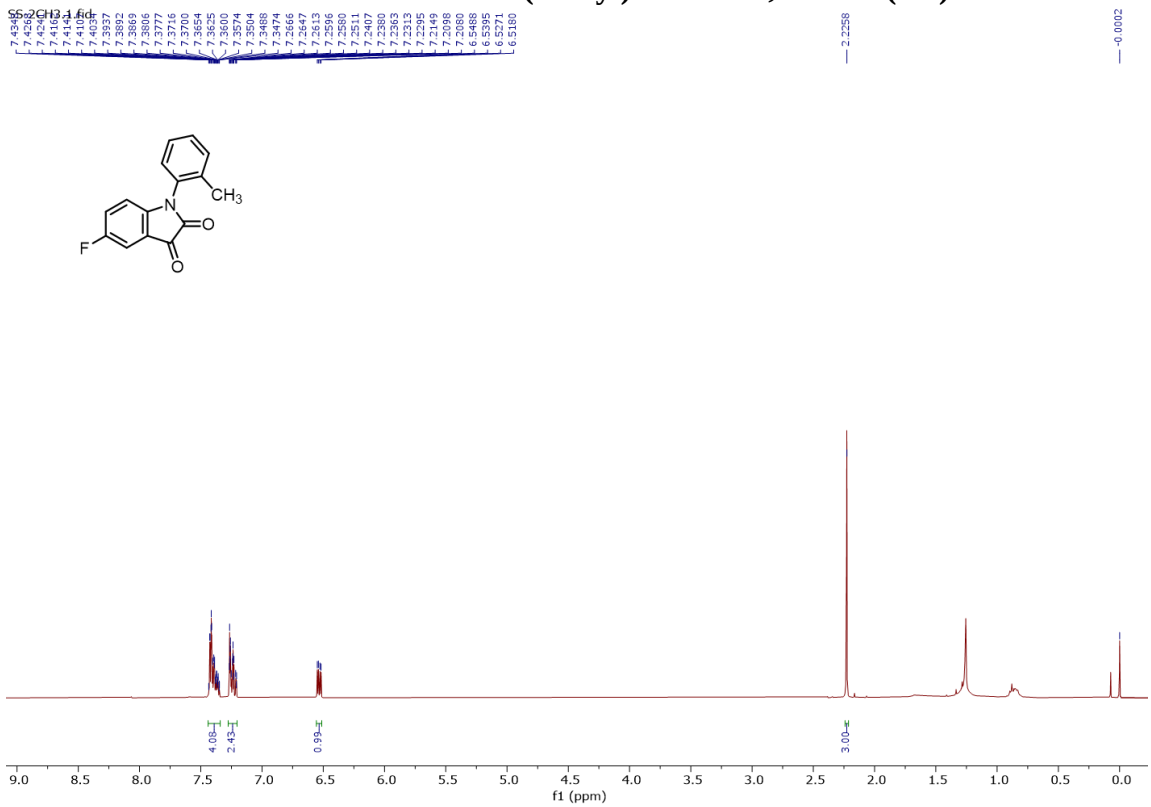
## $^{1}$H NMR of 5-Fluoro-1-(*p*-tolyl)indoline-2,3-dione (3l)



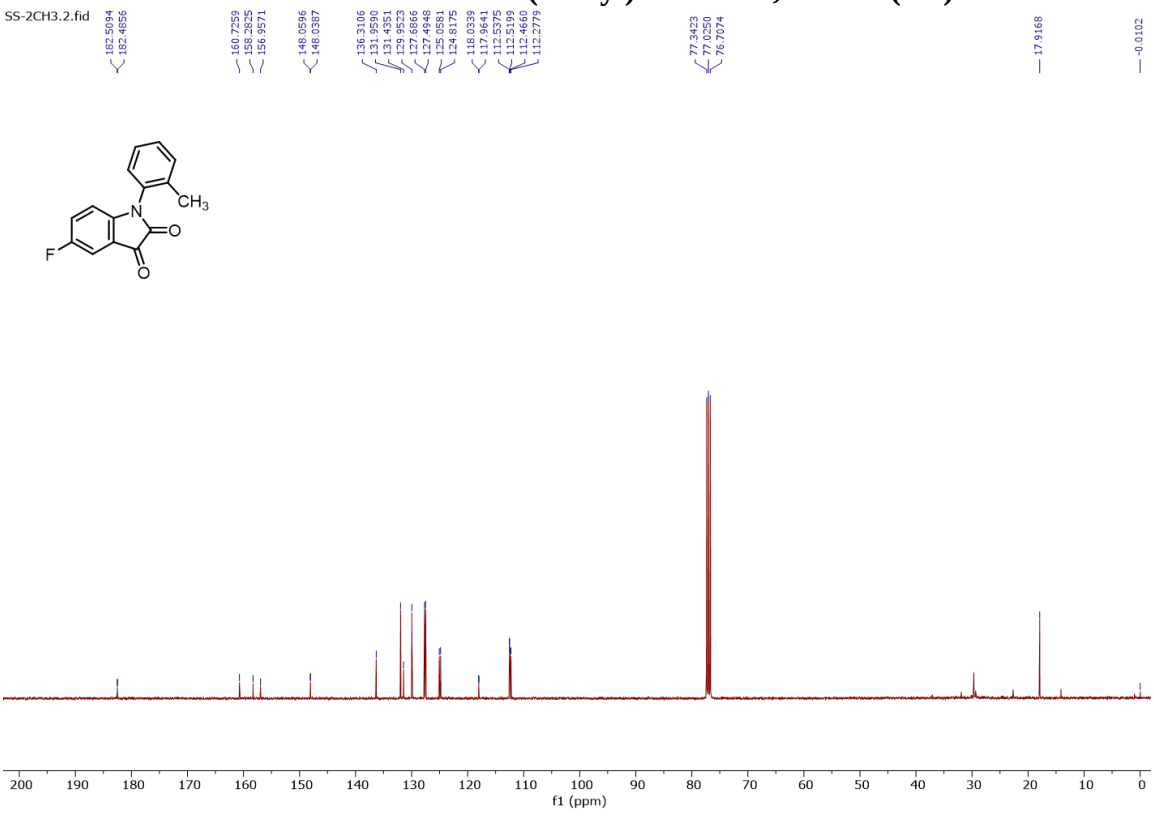

## $^{13}$C NMR of 5-Fluoro-1-(*p*-tolyl)indoline-2,3-dione (3l)



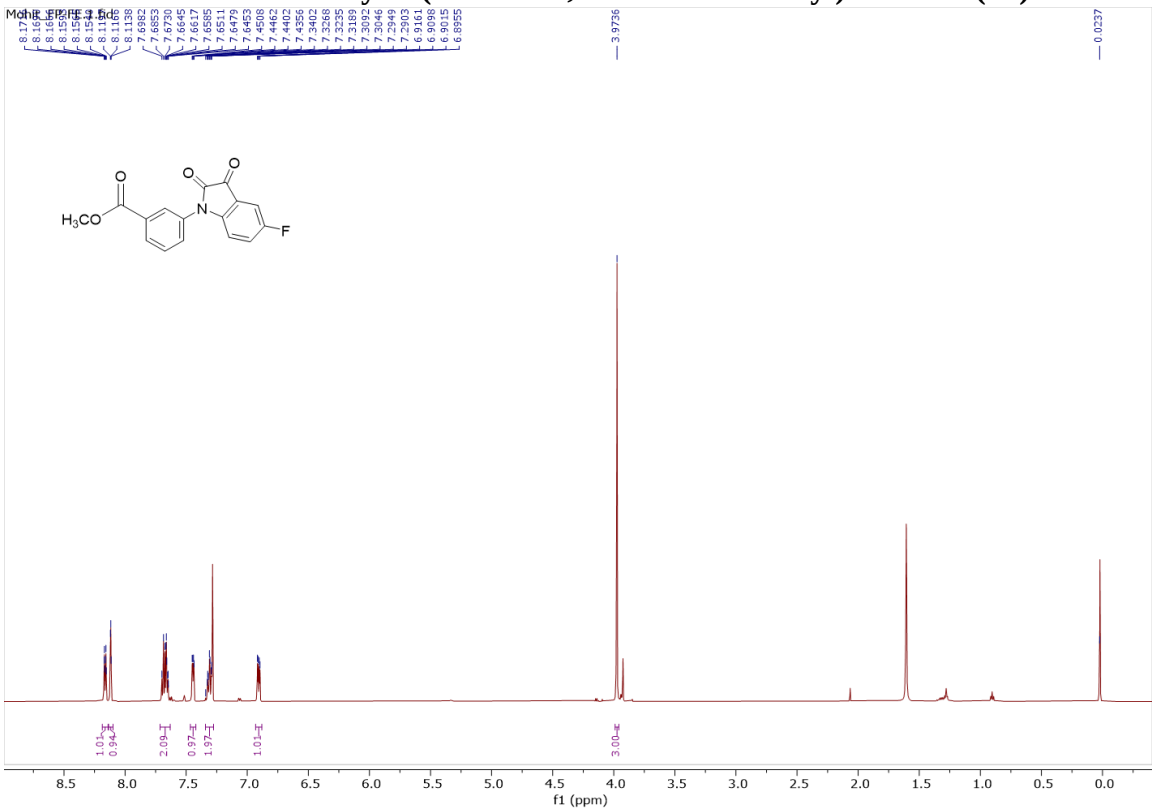
## $^{1}$H NMR of 5-Fluoro-1-(*o*-tolyl)indoline-2,3-dione (3m)



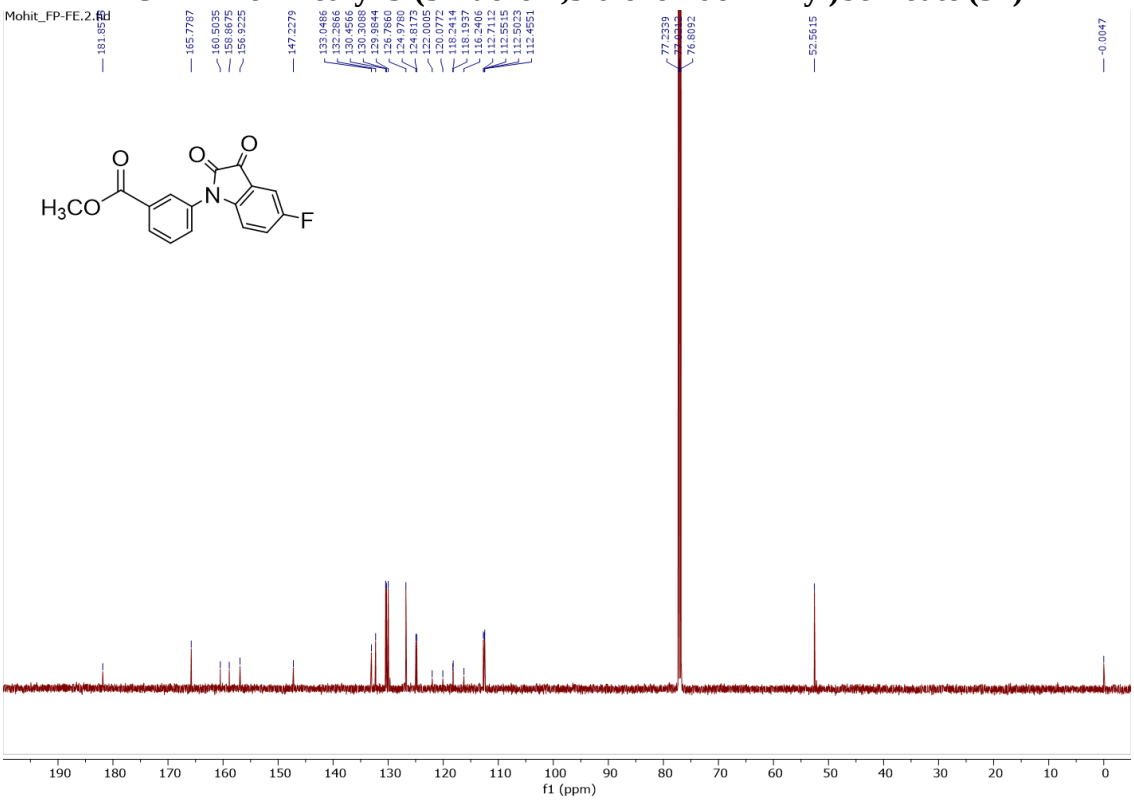

## $^{13}$C NMR of 5-Fluoro-1-(*o*-tolyl)indoline-2,3-dione (3m)



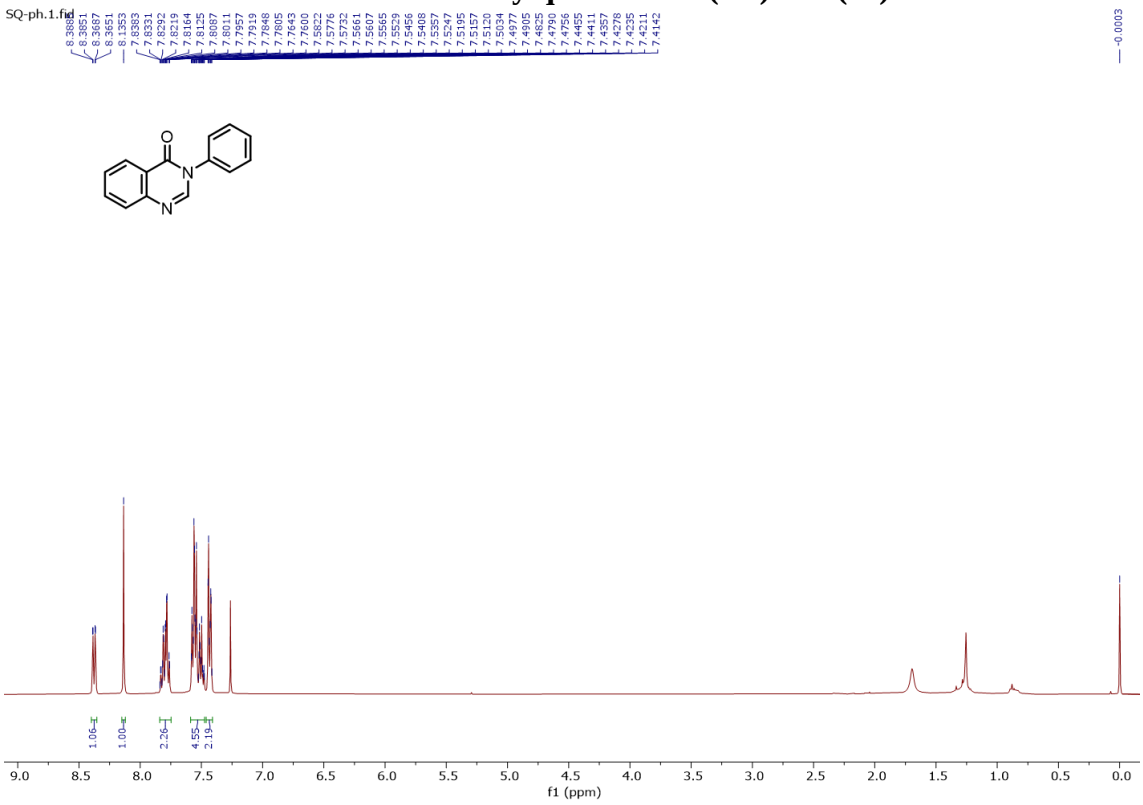
## $^{1}$H NMR of Methyl 3-(5-fluoro-2,3-dioxoindolin-1-yl)benzoate (3n)



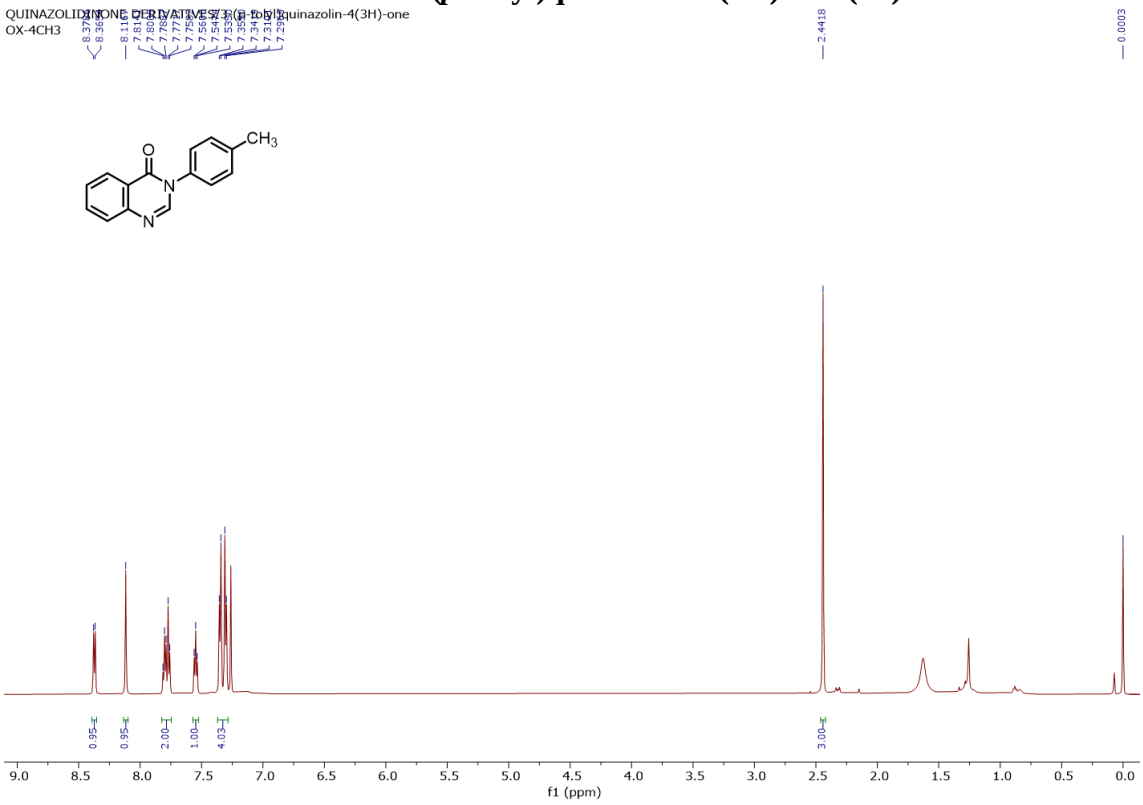

## $^{13}$C NMR of Methyl 3-(5-fluoro-2,3-dioxoindolin-1-yl)benzoate (3n)



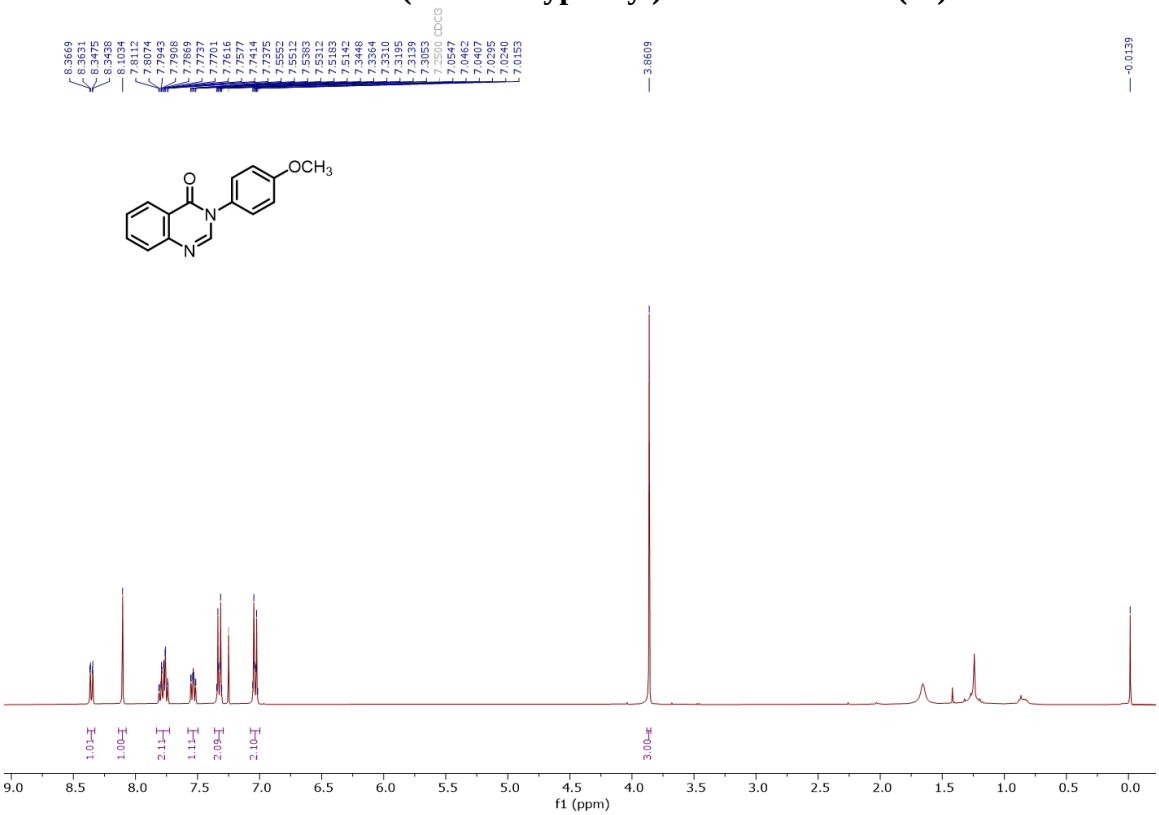
## $^1$H NMR of 3-Phenylquinazolin-4(3H)-one (5a)



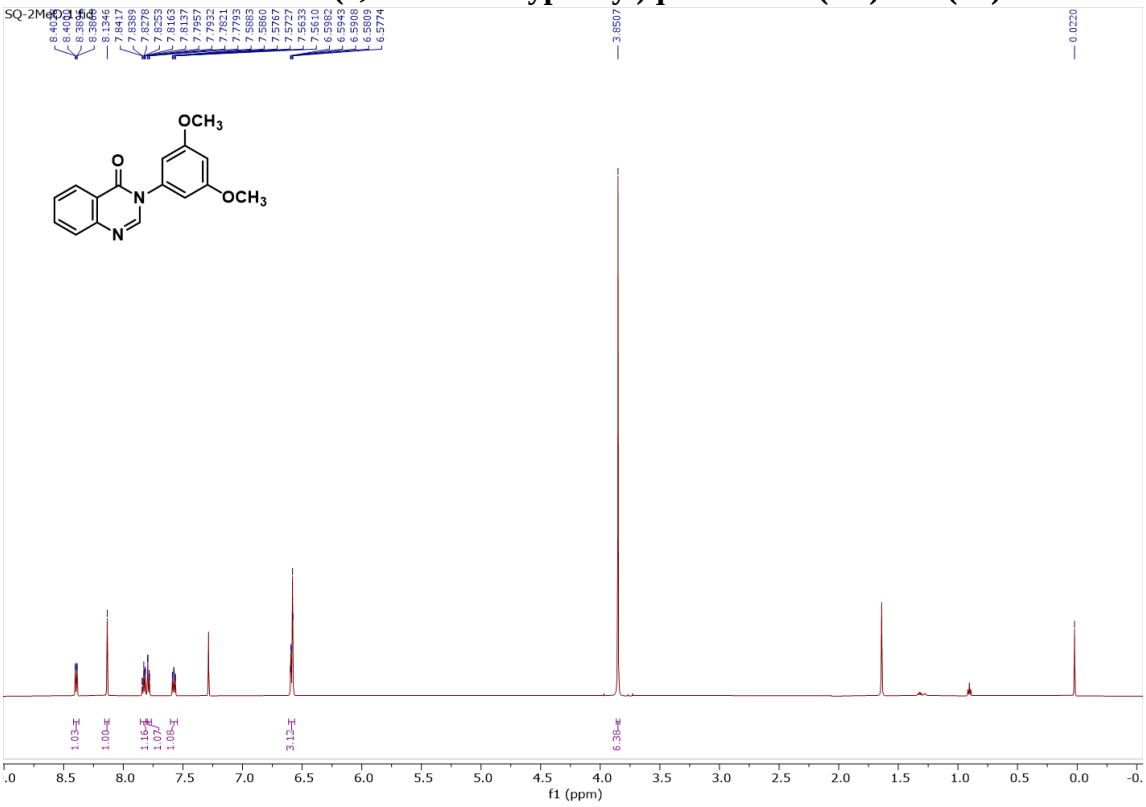

## $^1$H NMR of 3-(*p*-Tolyl)quinazolin-4(3H)-one (5b)



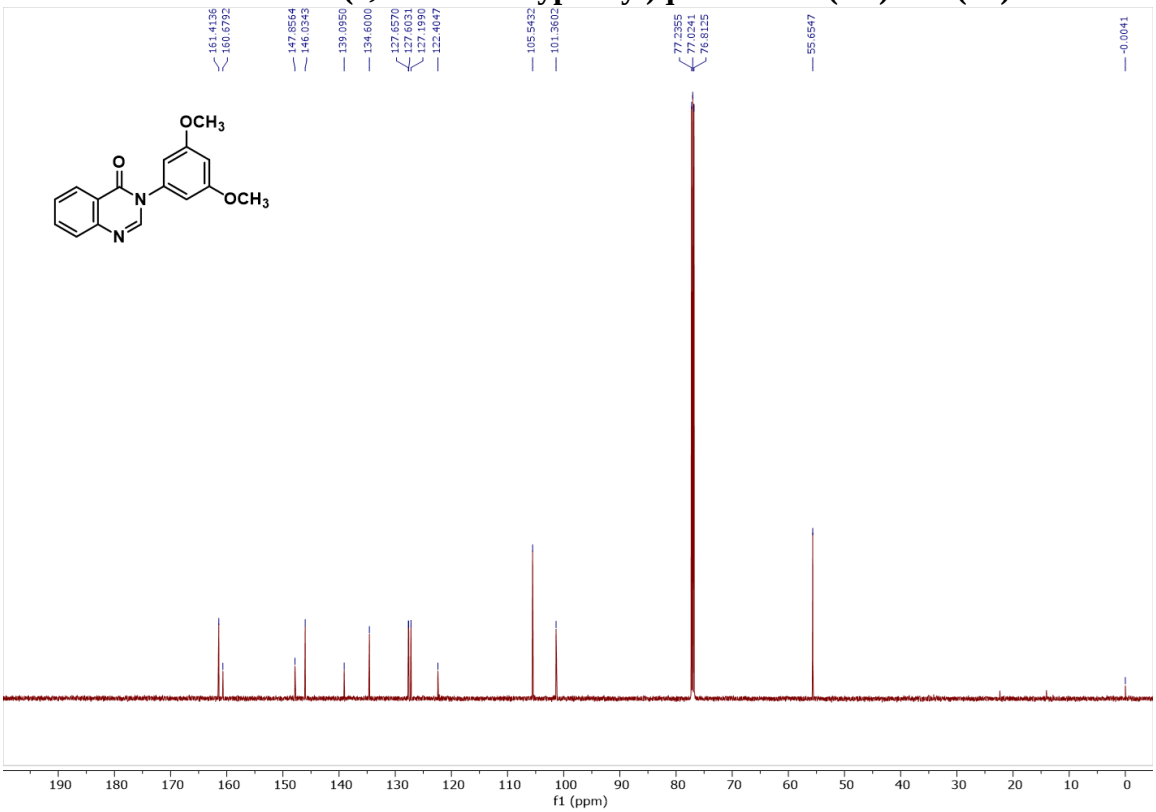
## $^{1}$H NMR of 3-(4-Methoxyphenyl)oxazolidin-2-one (5c)



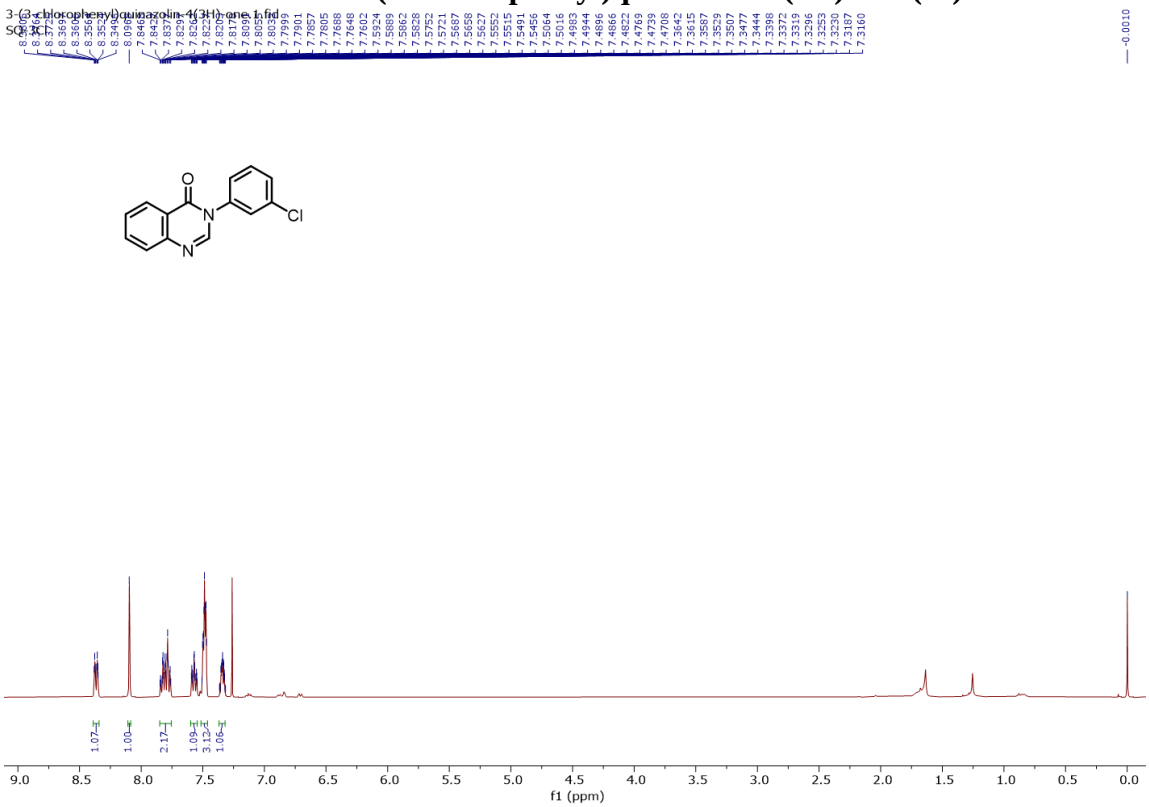
## $^{1}$H NMR of 3-(3,5-Dimethoxyphenyl)quinazolin-4(3H)-one (5d)



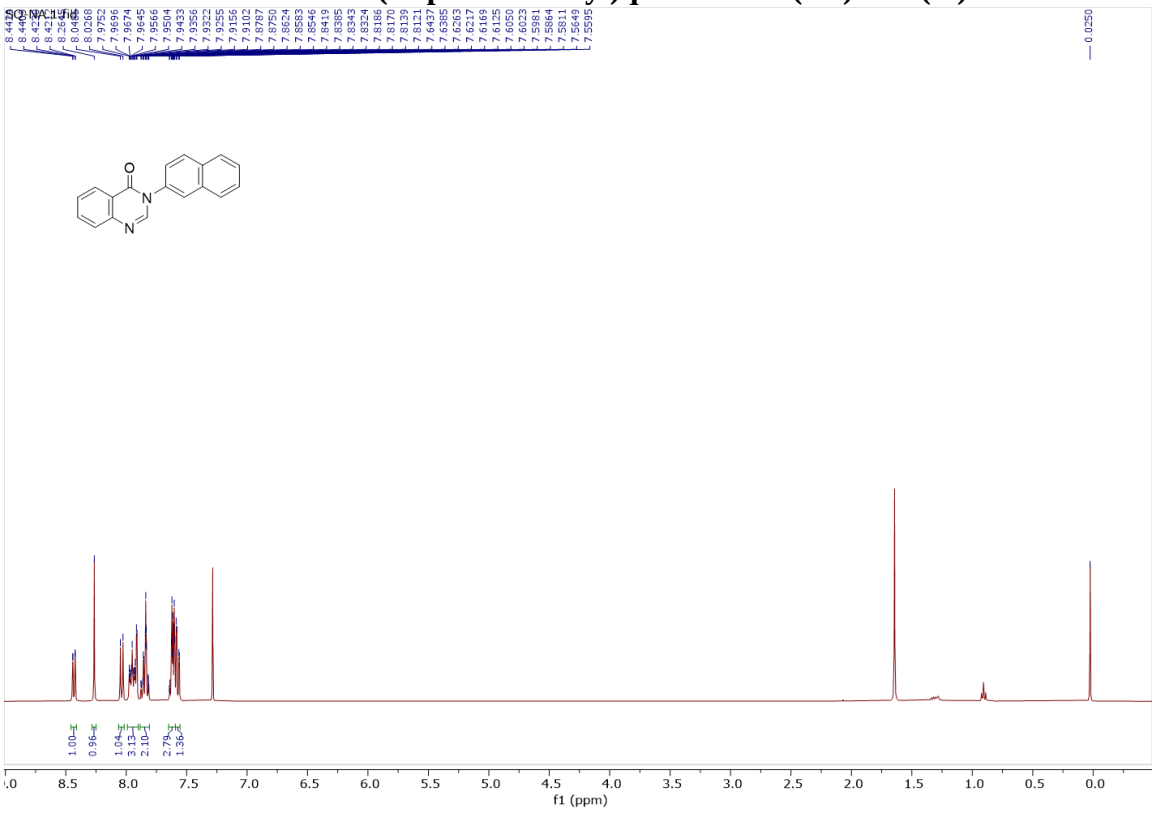

## $^{13}$C NMR of 3-(3,5-Dimethoxyphenyl)quinazolin-4(3H)-one (5d)



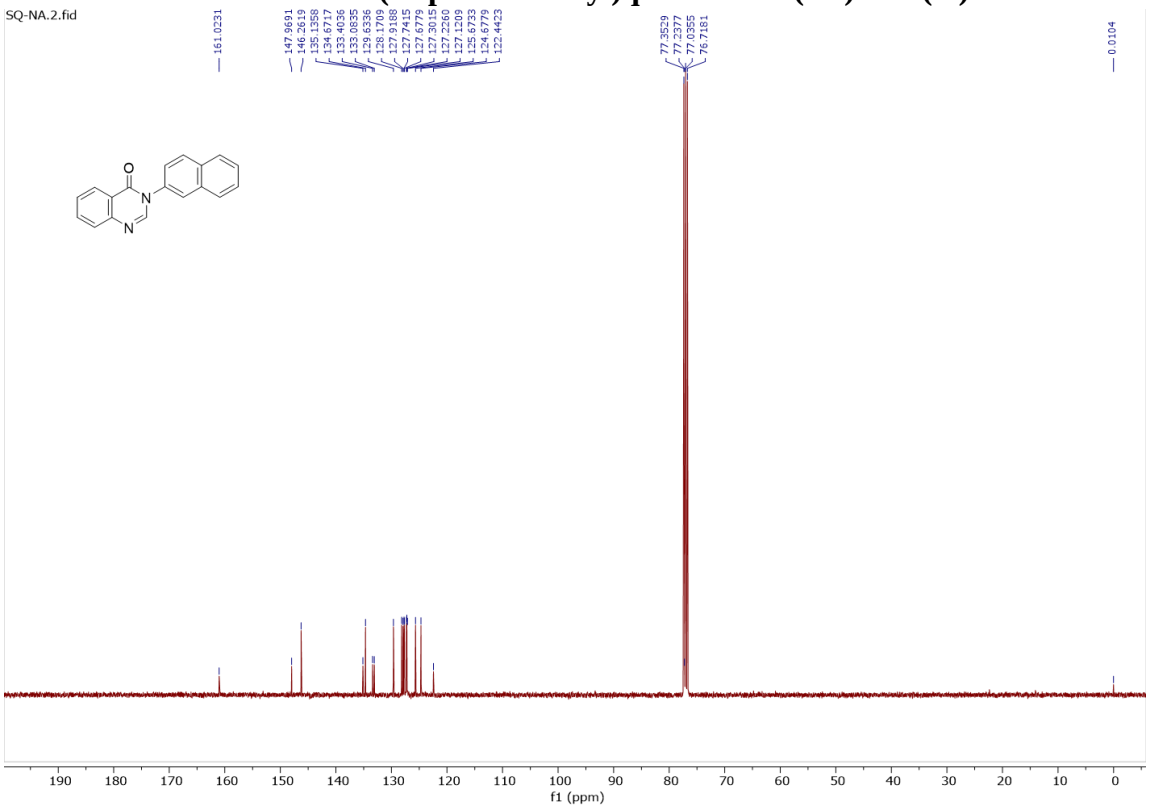
# $^{1}$H NMR of 3-(3-Chlorophenyl)quinazolin-4(3H)-one (5e)



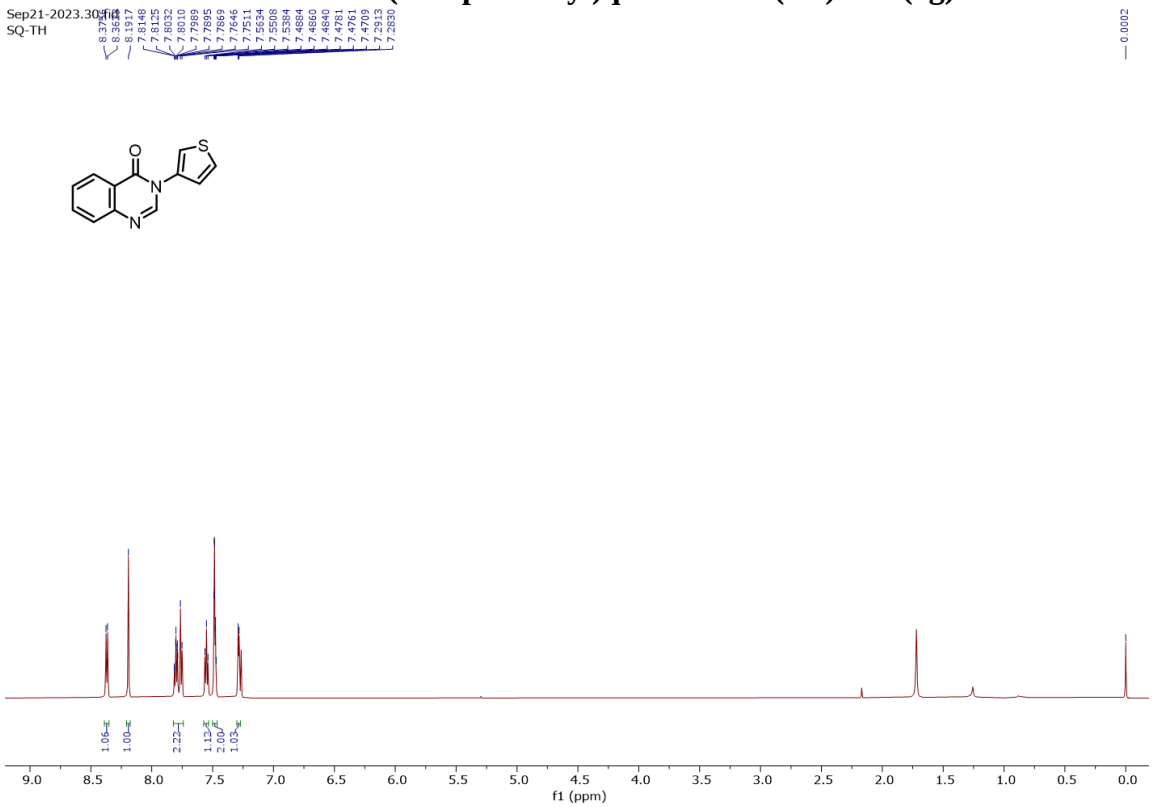
## $^{1}$H NMR of 3-(Naphthalen-2-yl)quinazolin-4(3H)-one (5f)



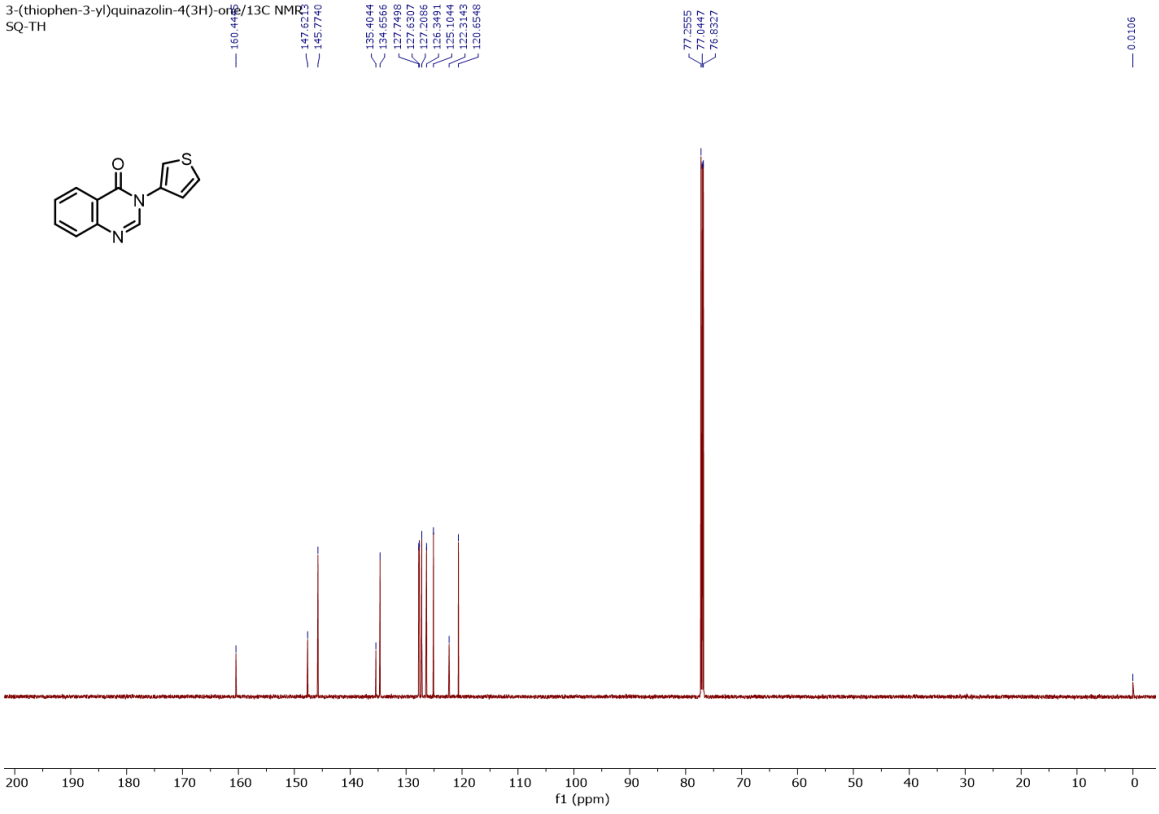

## $^{13}$C NMR of 3-(Naphthalen-2-yl)quinazolin-4(3H)-one (5f)



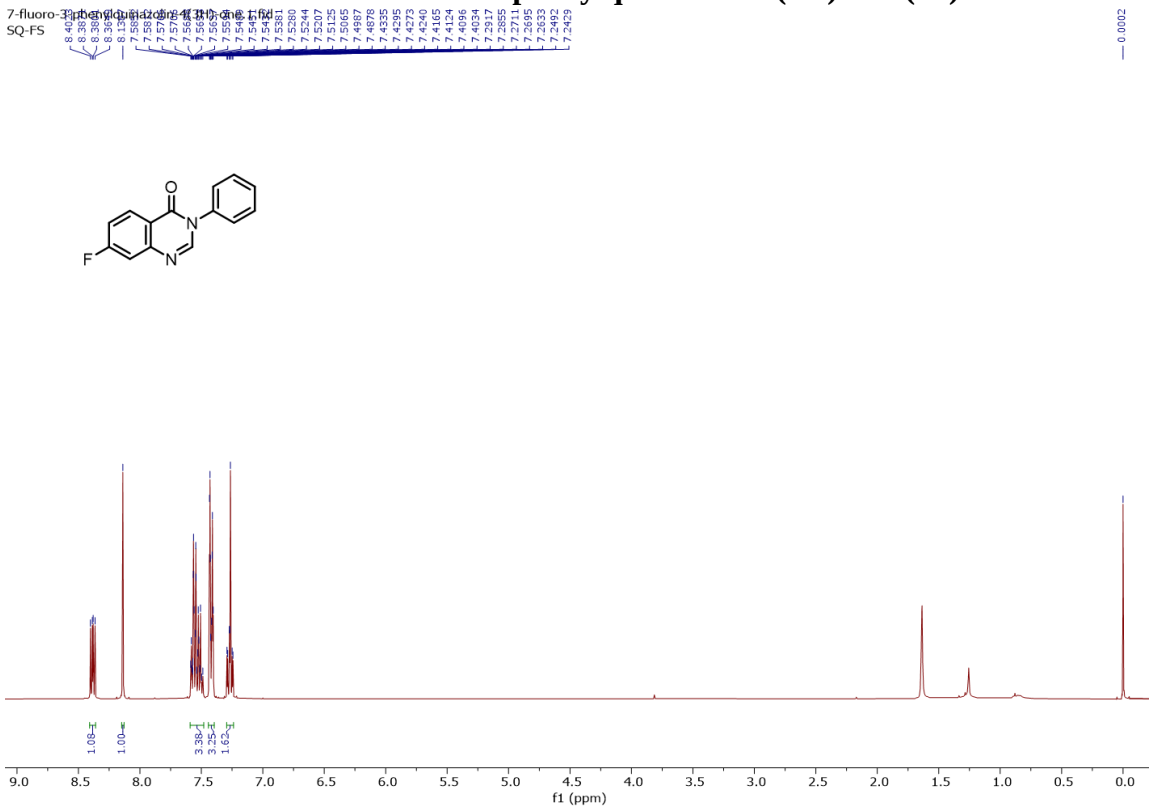
## $^{1}$H NMR of 3-(Thiophen-3-yl)quinazolin-4(3H)-one (5g)



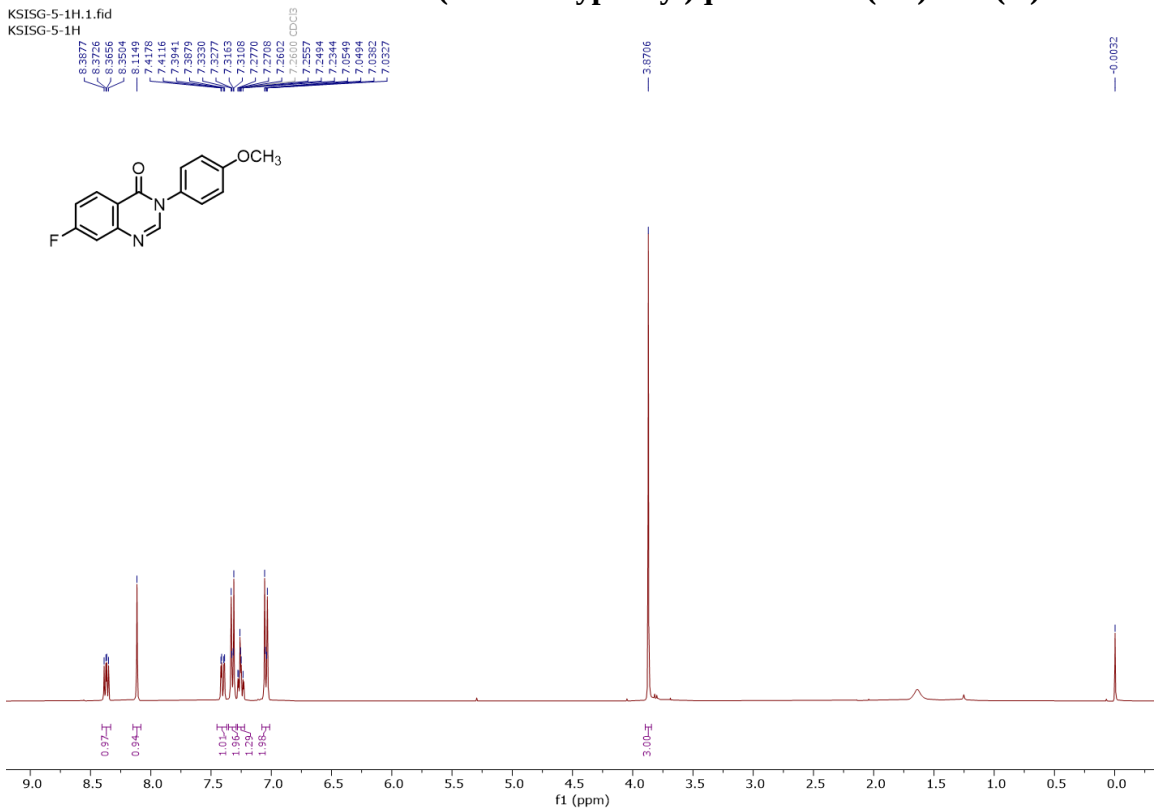

## $^{13}$C NMR of 3-(Thiophen-3-yl)quinazolin-4(3H)-one (5g)



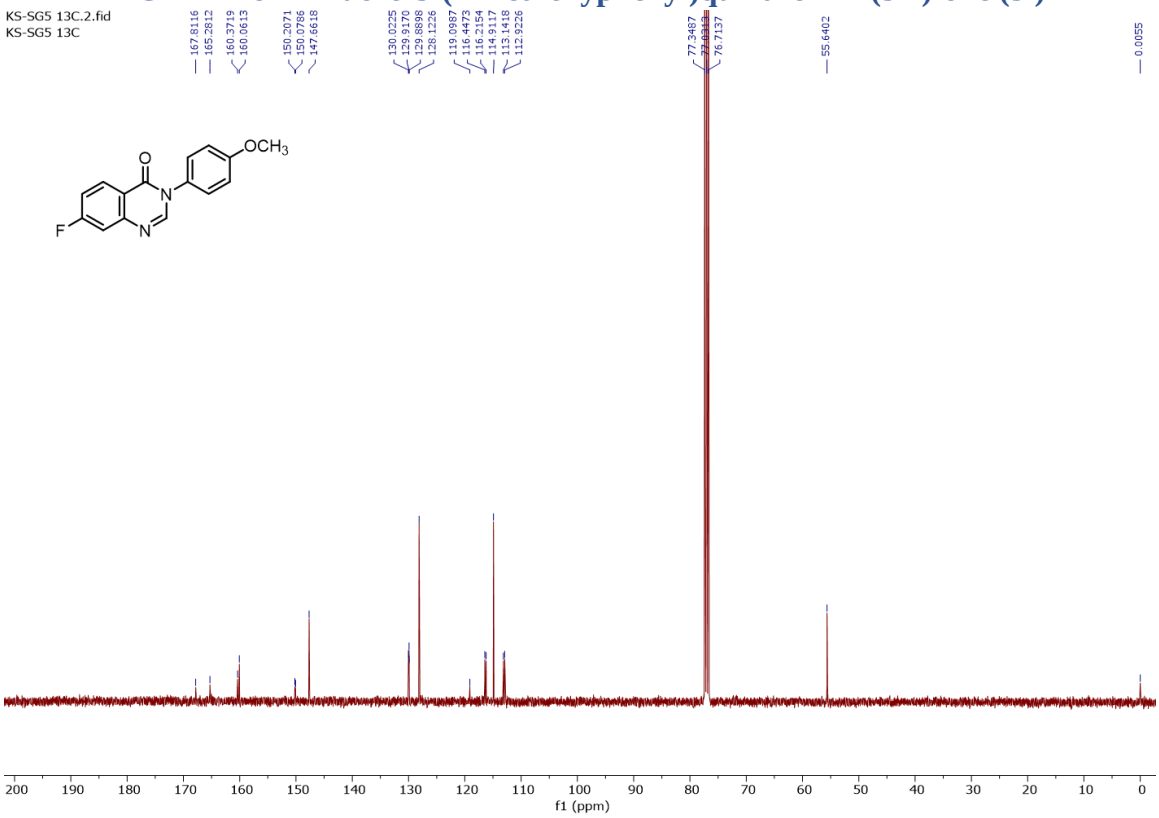
## $^{1}$H NMR of 7-Fluoro-3-phenylquinazolin-4(3H)-one (5h)



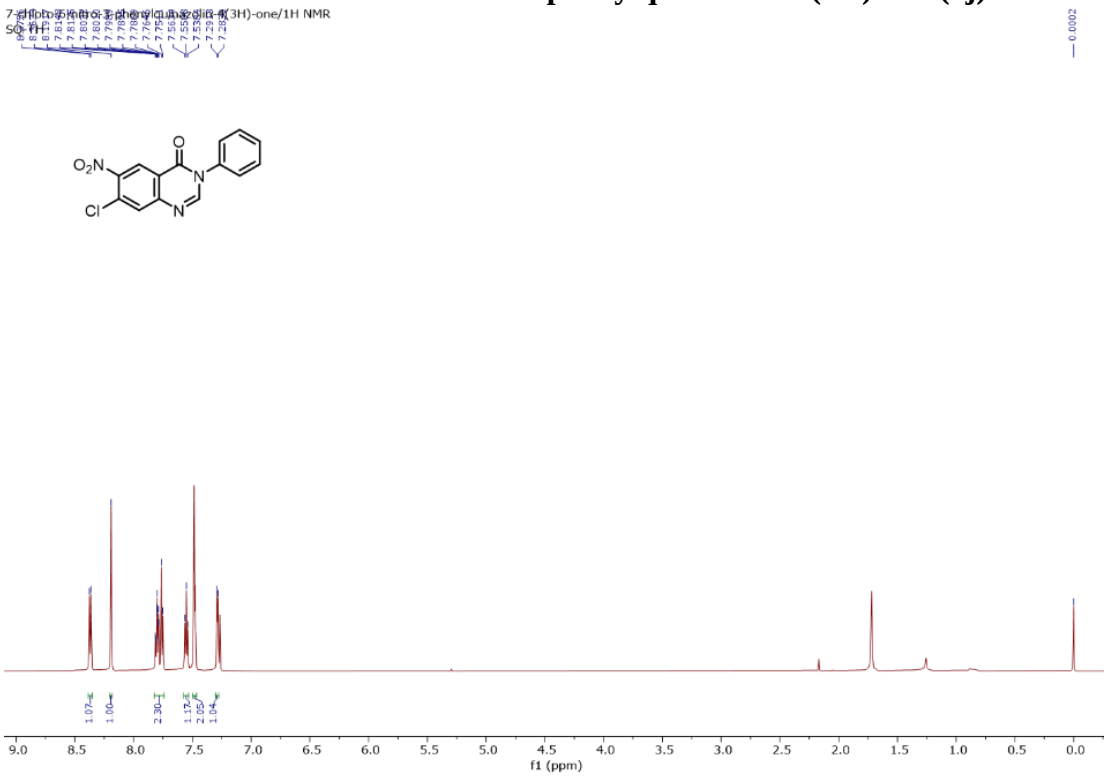
## $^{1}$H NMR of 7-Fluoro-3-(4-methoxyphenyl)quinazolin-4(3H)-one (5i)



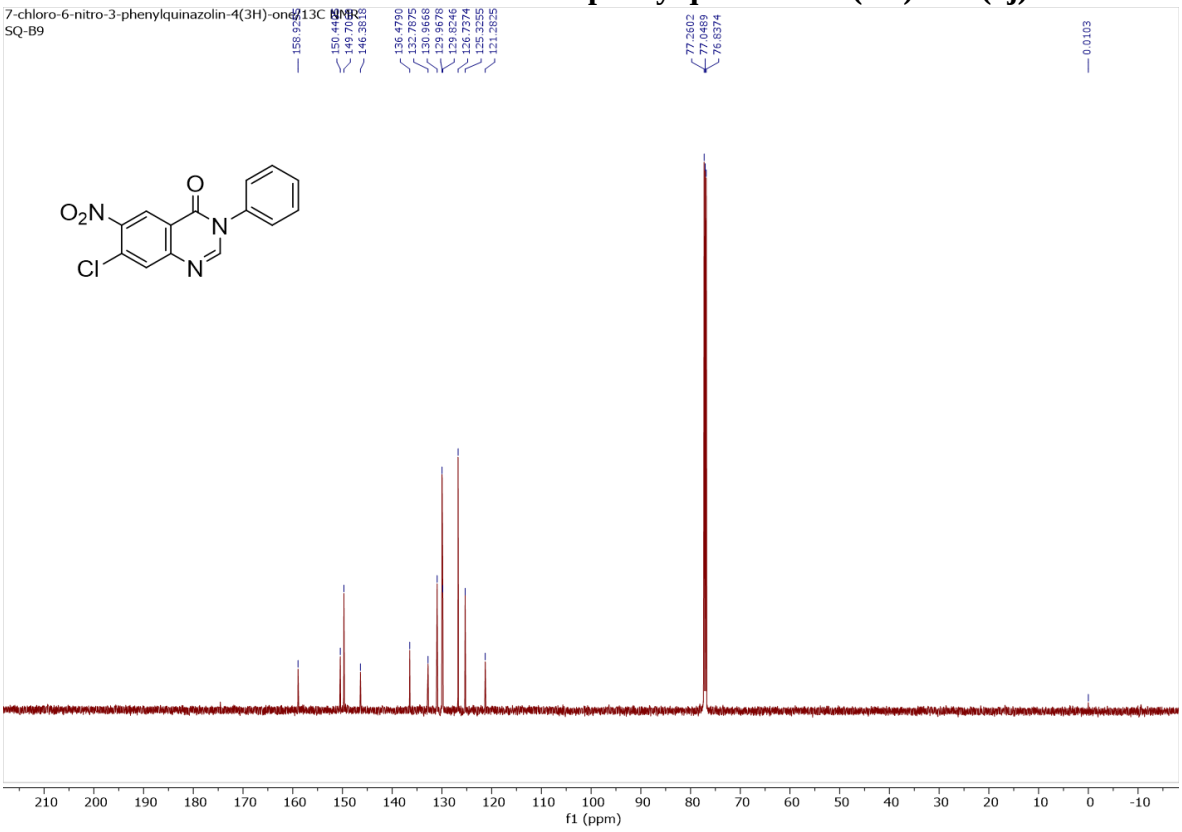

## $^{13}$C NMR of 7-Fluoro-3-(4-methoxyphenyl)quinazolin-4(3H)-one (5i)



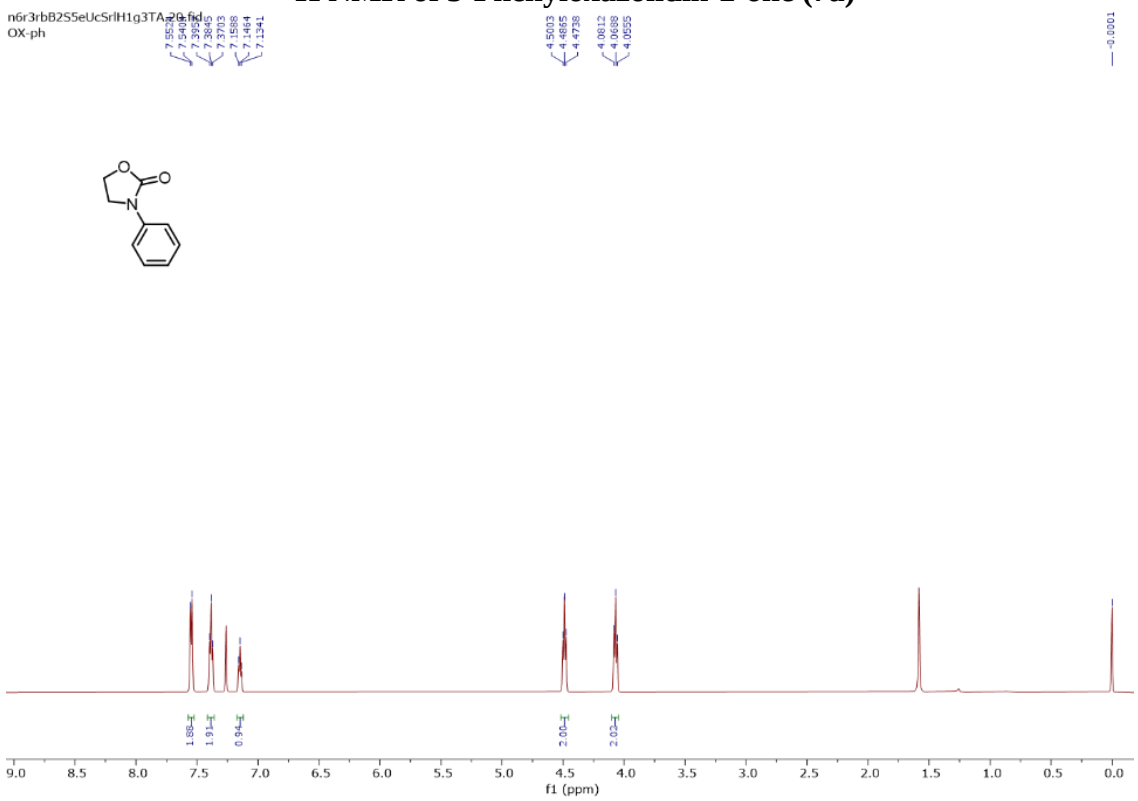
## $^{1}$H NMR of 7-Chloro-6-nitro-3-phenylquinazolin-4(3H)-one (5j)



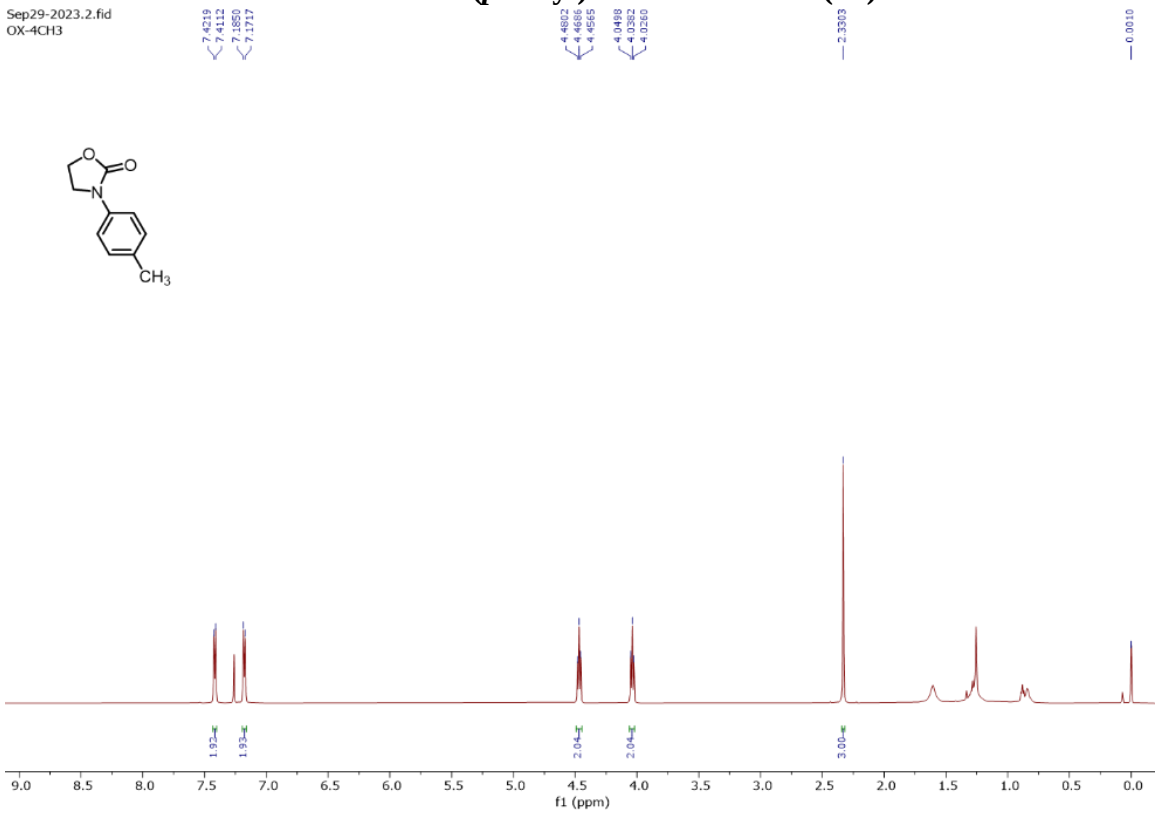

## $^{13}$C NMR of 7-Chloro-6-nitro-3-phenylquinazolin-4(3H)-one (5j)



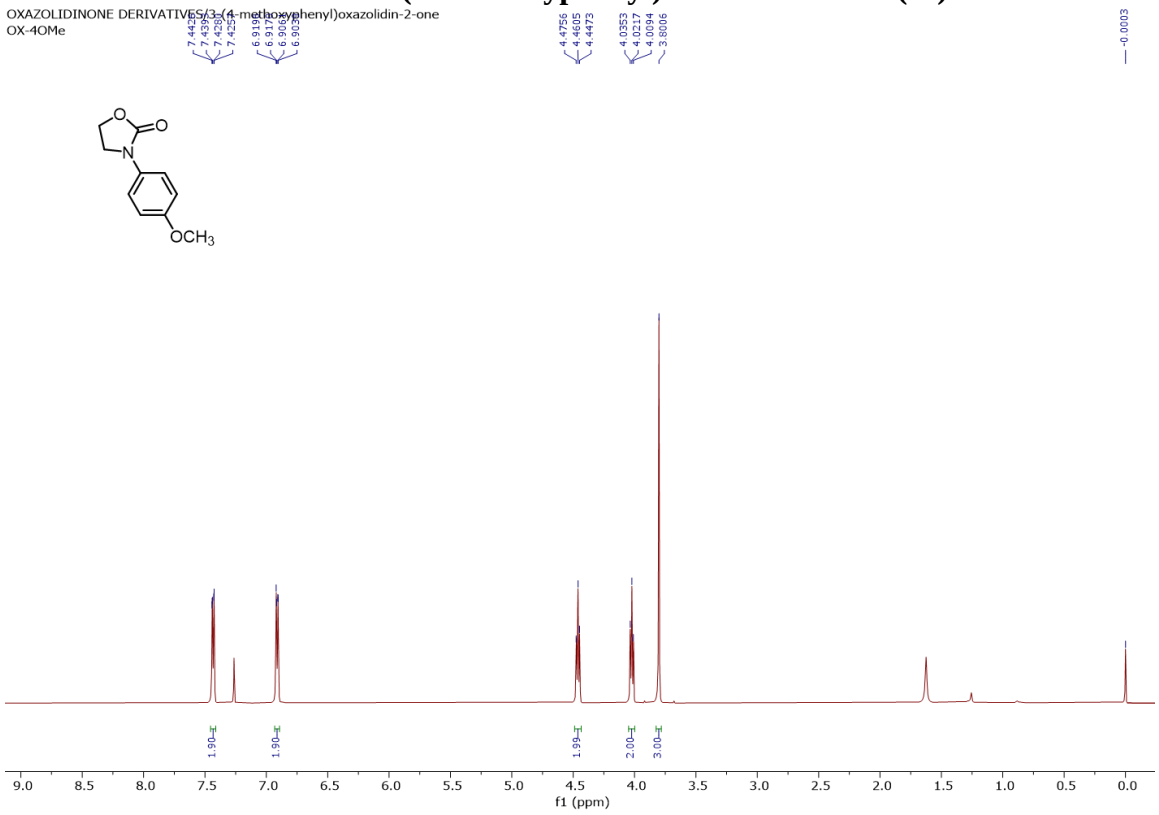
## $^1$H NMR of 3-Phenyloxazolidin-2-one (7a)



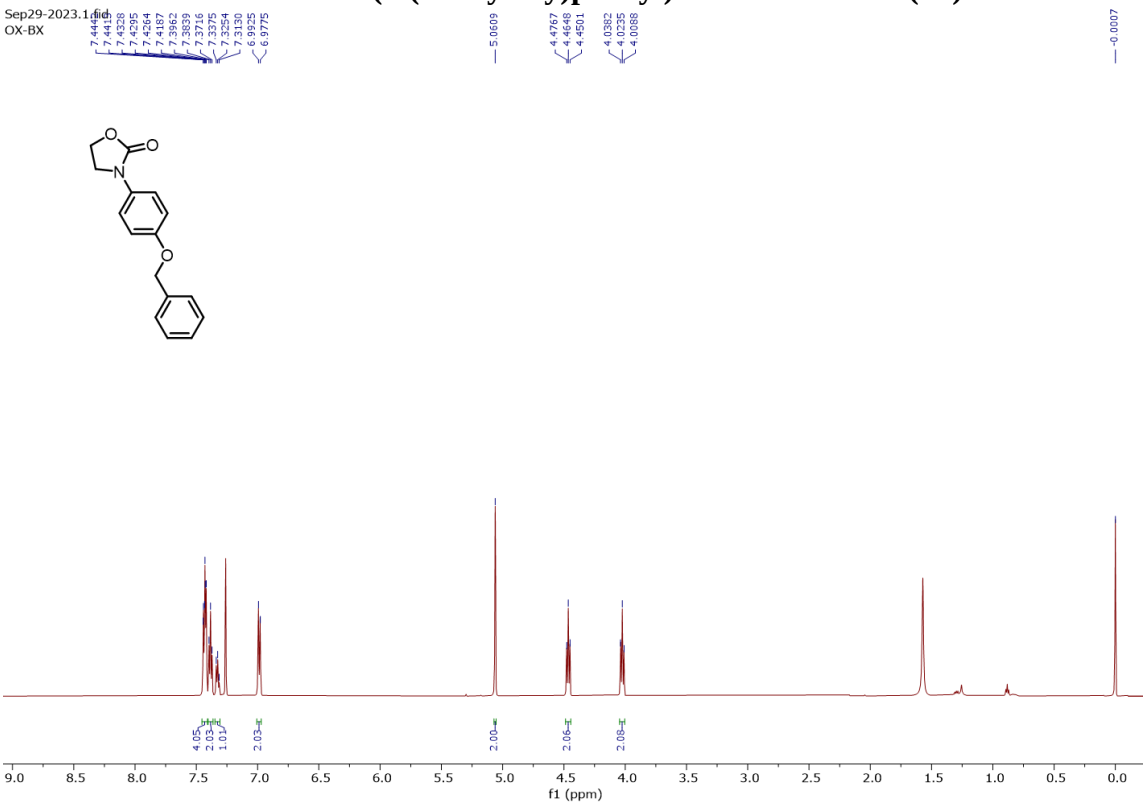

## $^1$H NMR of 3-(*p*-Tolyl)oxazolidin-2-one (7b)



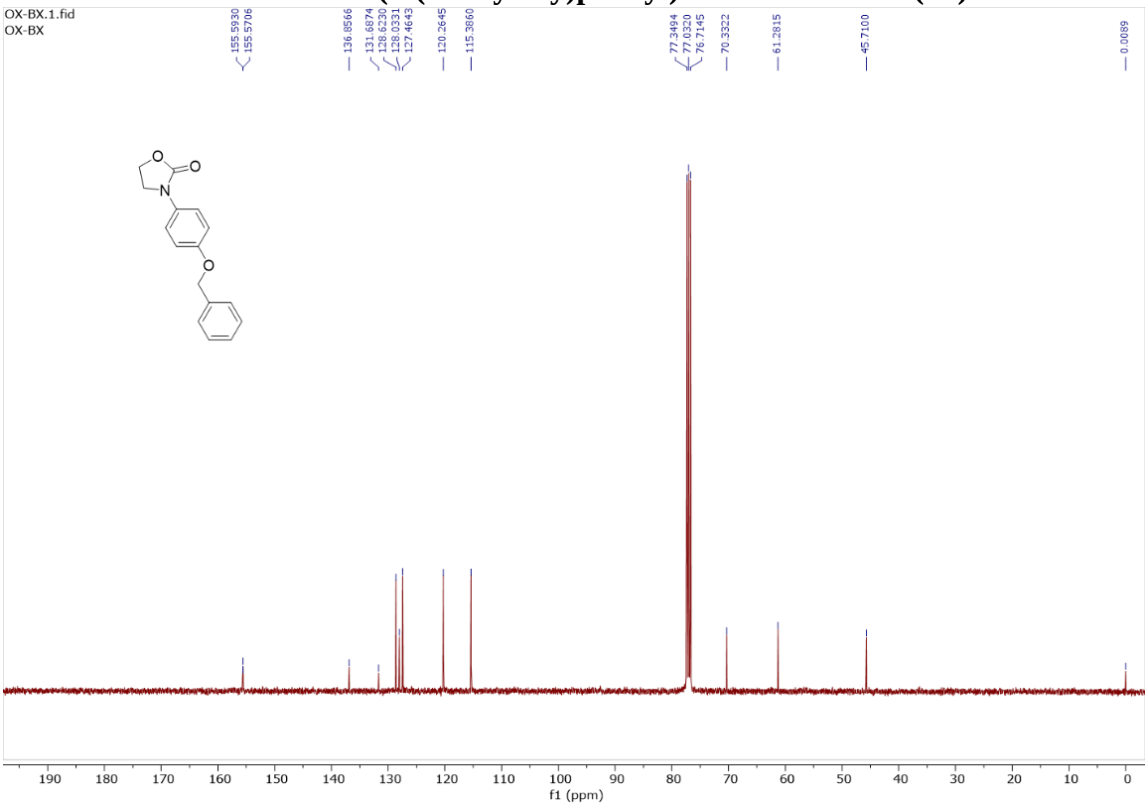
# $^{1}$H NMR of 3-(4-Methoxyphenyl)oxazolidin-2-one (7c)



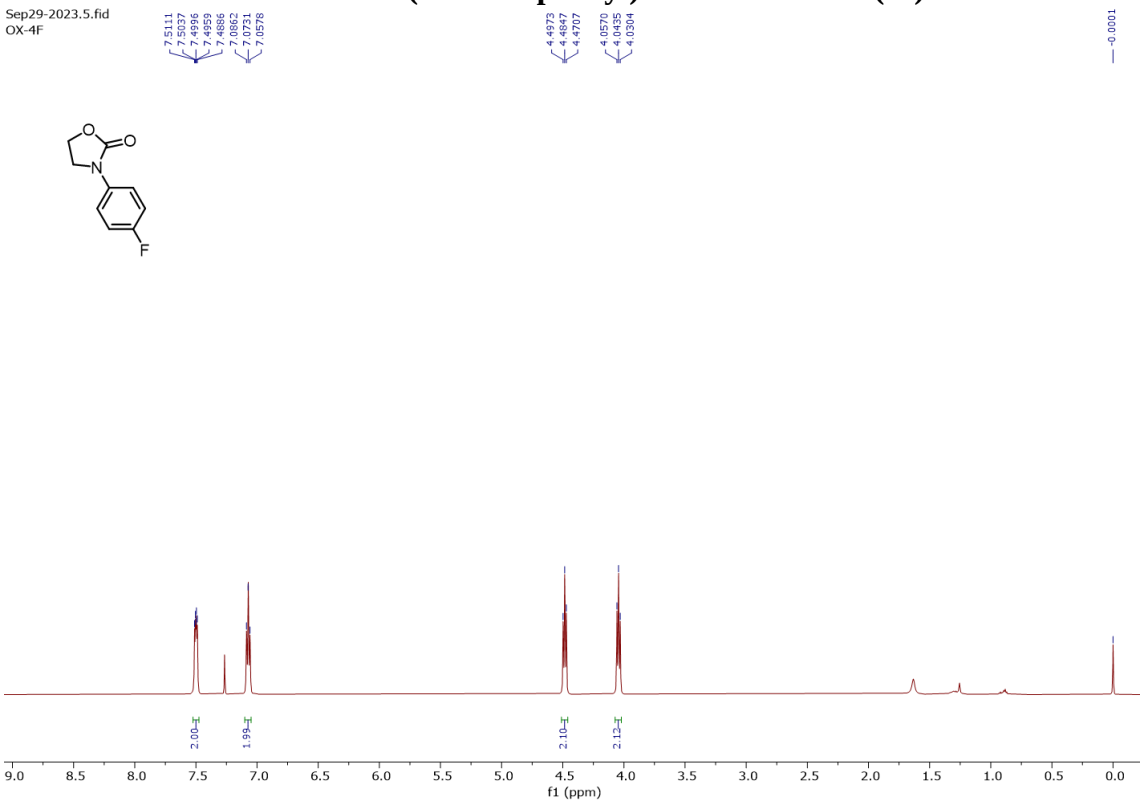
## $^{1}$H NMR of 3-(4-(Benzyloxy)phenyl)oxazolidin-2-one (7d)



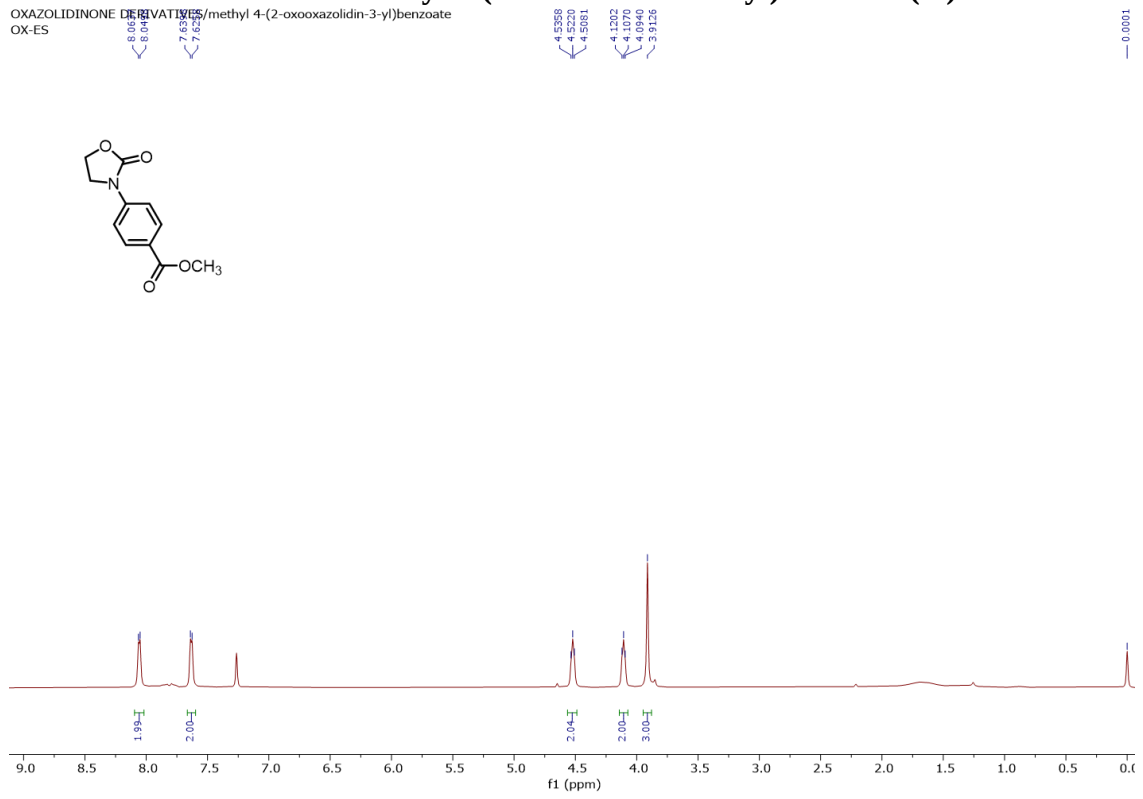

## $^{13}$C NMR of 3-(4-(Benzyloxy)phenyl)oxazolidin-2-one (7d)



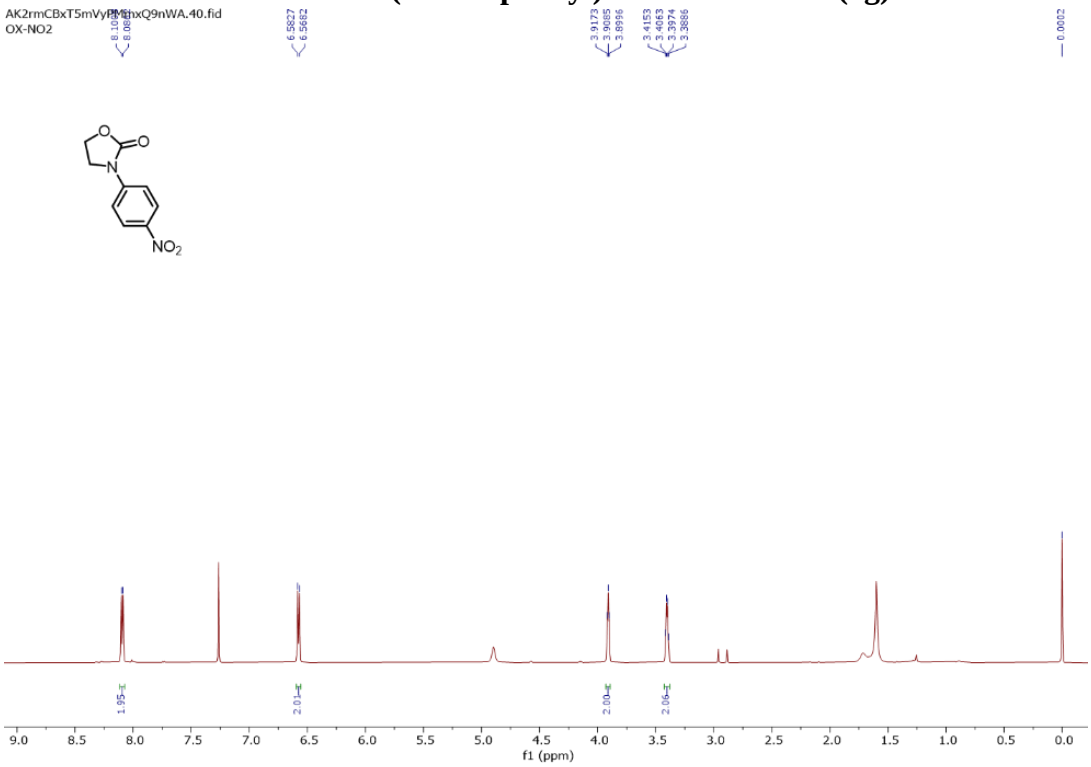
## $^{1}$H NMR of 3-(4-Fluorophenyl)oxazolidin-2-one (7e)



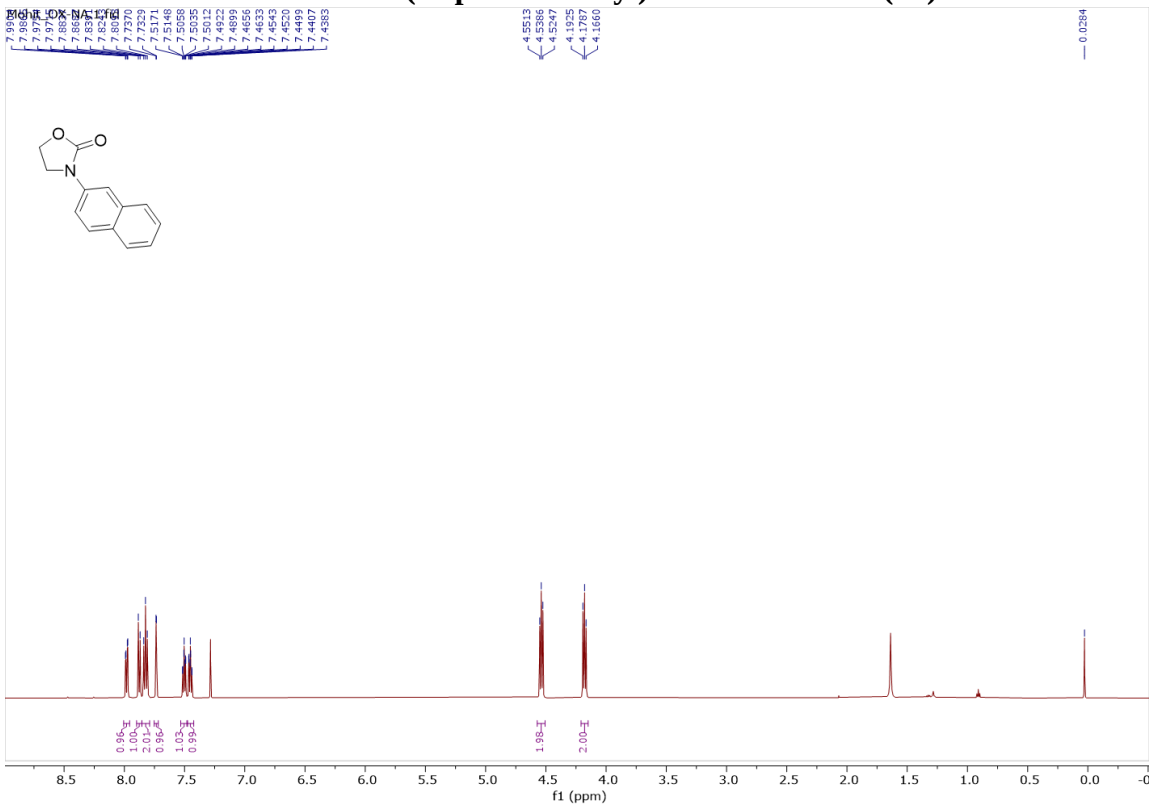

## $^{1}$H NMR of Methyl 4-(2-oxooxazolidin-3-yl)benzoate (7f)



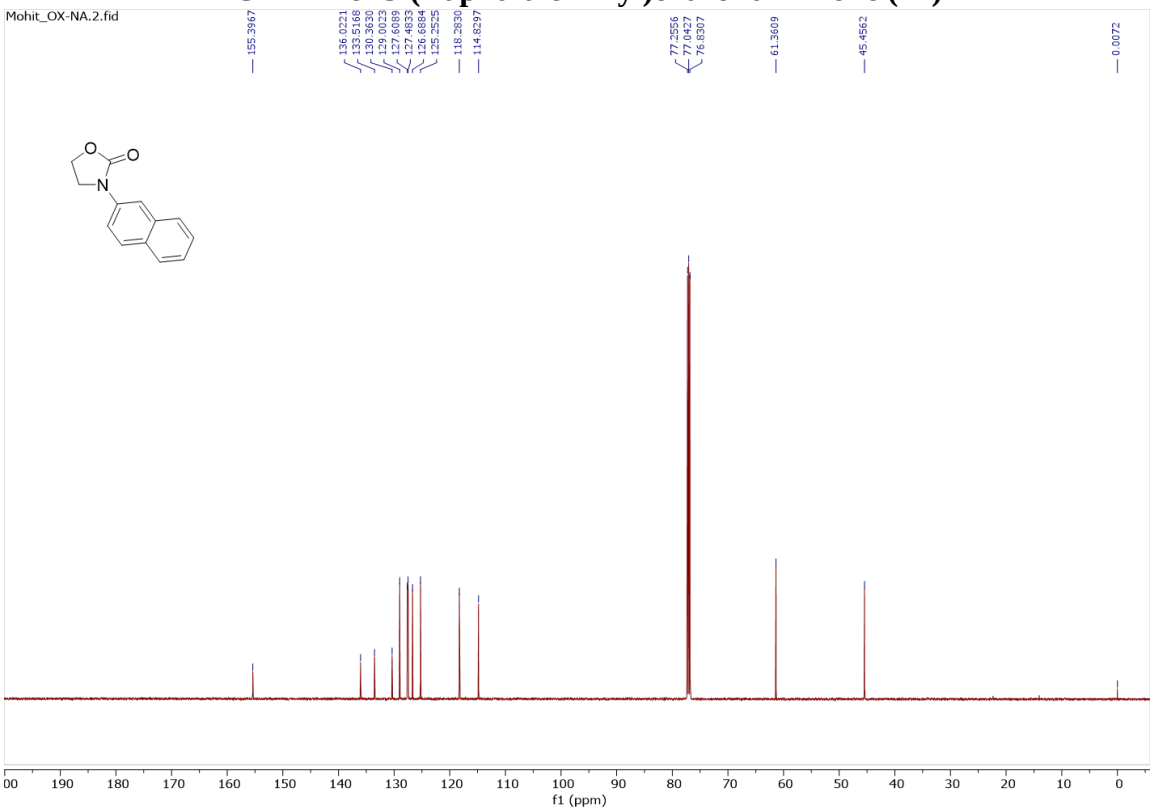
## $^{1}$H NMR of 3-(4-Nitrophenyl)oxazolidin-2-one (7g)



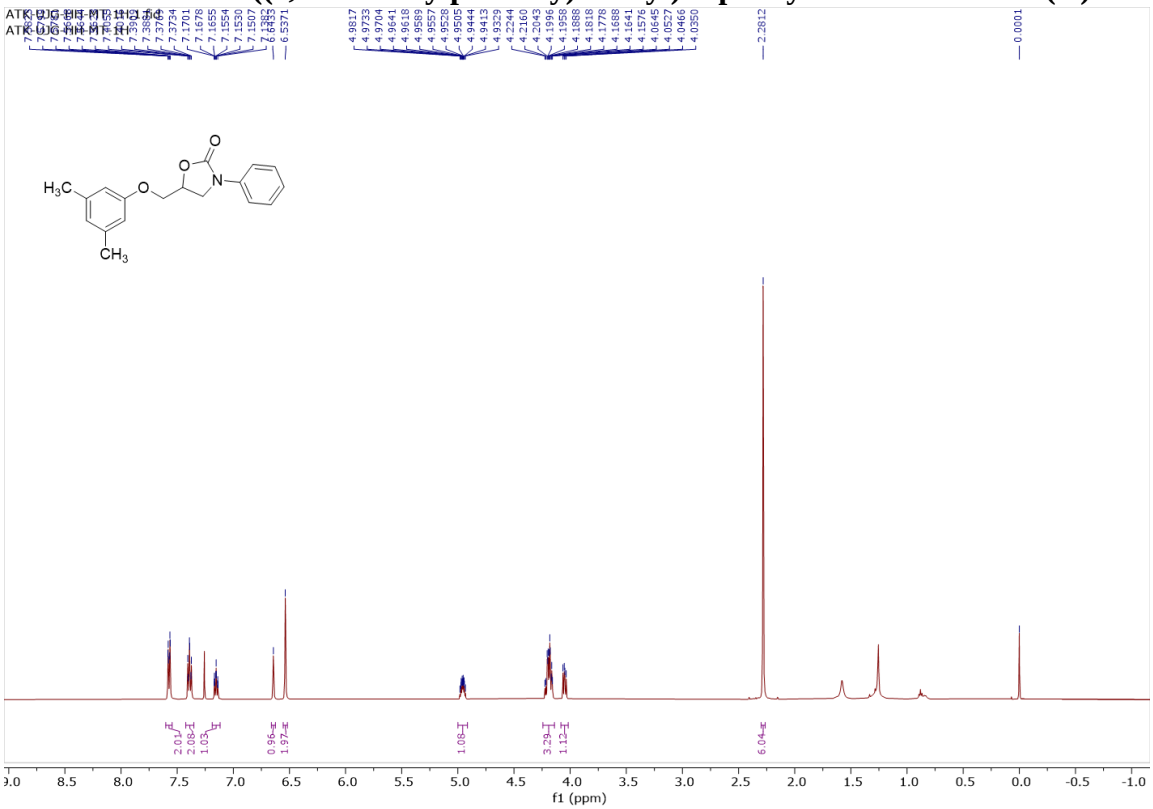
## $^{1}$H NMR of 3-(Naphthalen-2-yl)oxazolidin-2-one (7h)



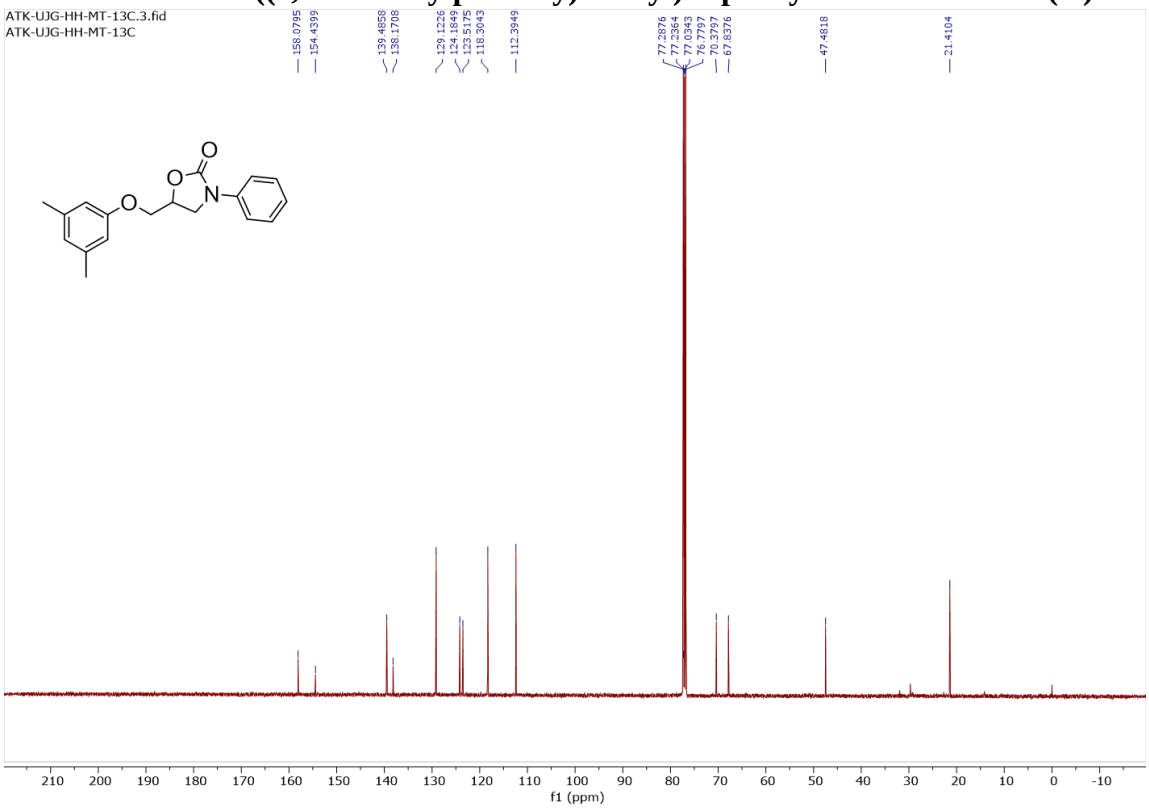

## $^{13}$C NMR of 3-(Naphthalen-2-yl)oxazolidin-2-one (7h)



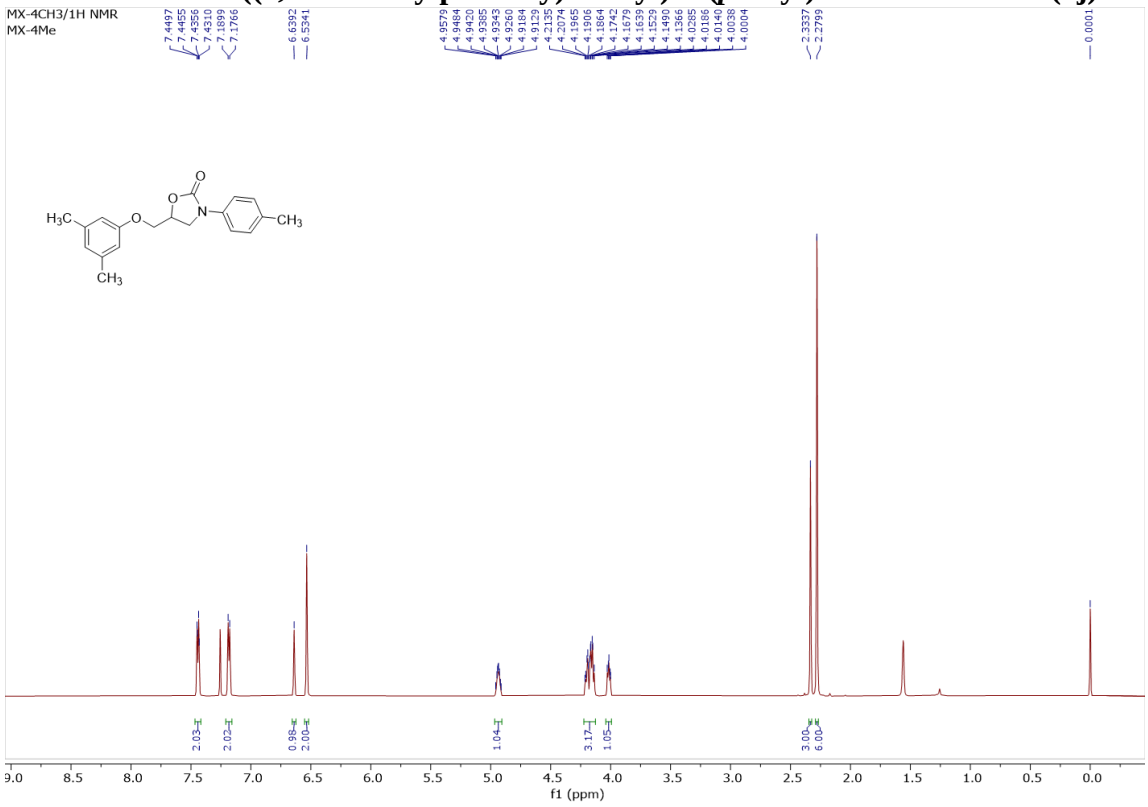
## $^{1}$H NMR of 5-((3,5-Dimethylphenoxy)methyl)-3-phenyloxazolidin-2-one (7i)



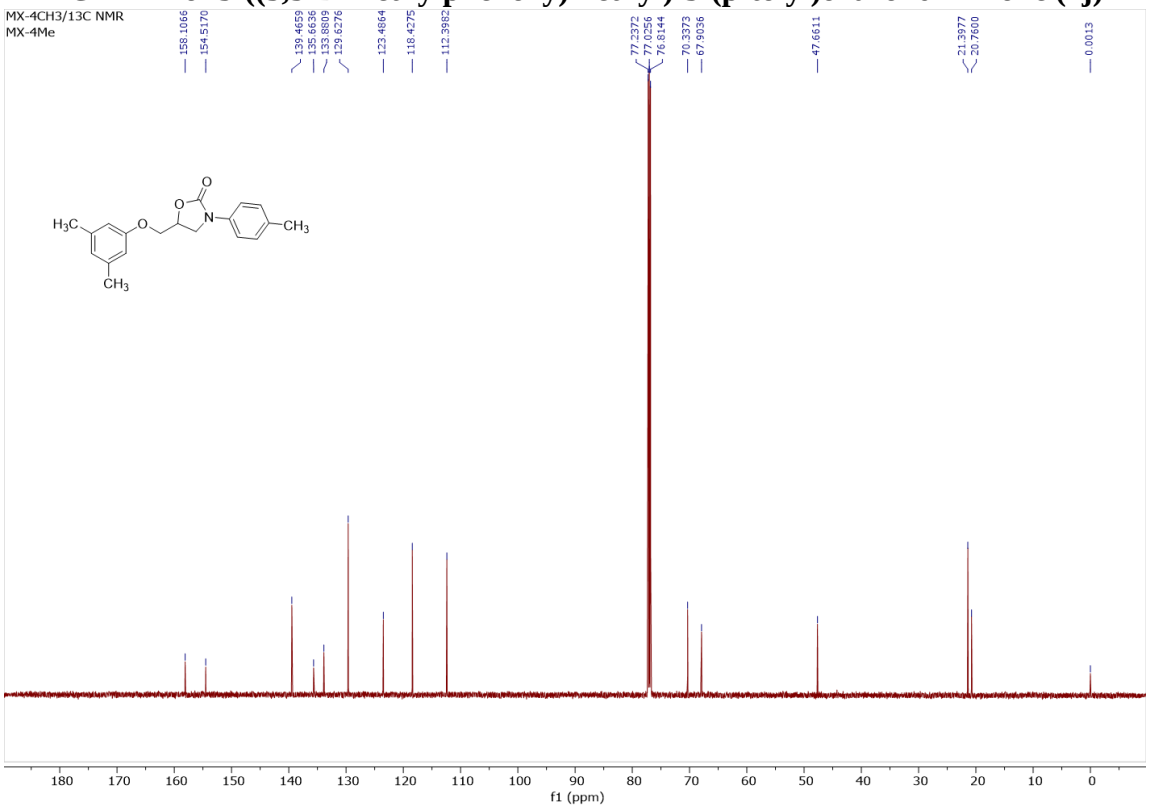

## $^{13}$C NMR of 5-((3,5-Dimethylphenoxy)methyl)-3-phenyloxazolidin-2-one (7i)



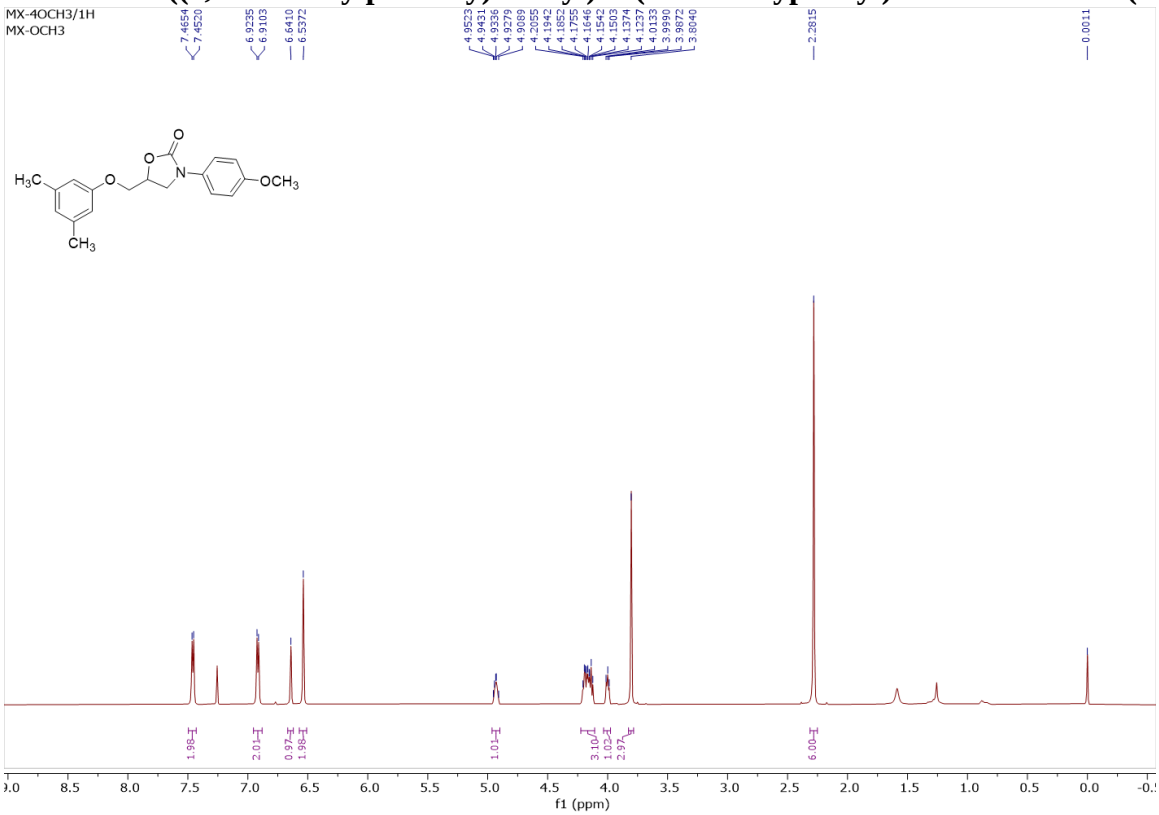
## $^{1}$H NMR of 5-((3,5-Dimethylphenoxy)methyl)-3-(*p*-tolyl)oxazolidin-2-one (7j)



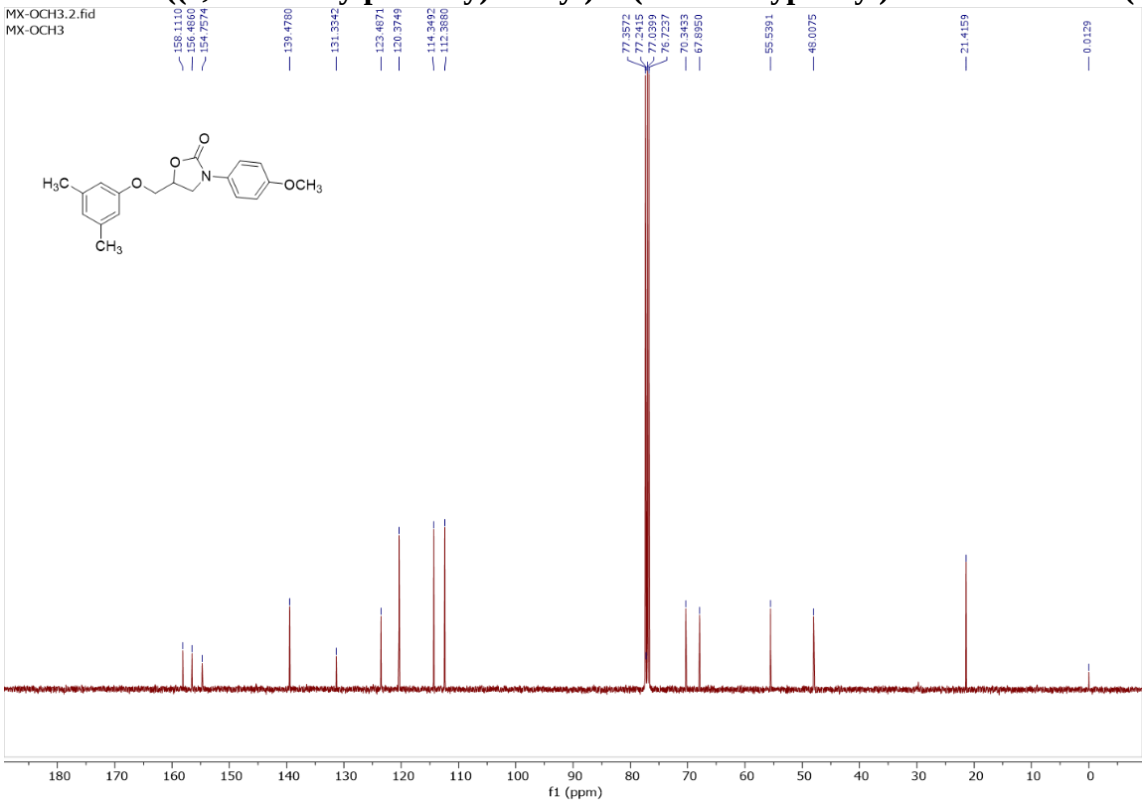

## $^{13}$C NMR of 5-((3,5-Dimethylphenoxy)methyl)-3-(p-tolyl)oxazolidin-2-one (7j)



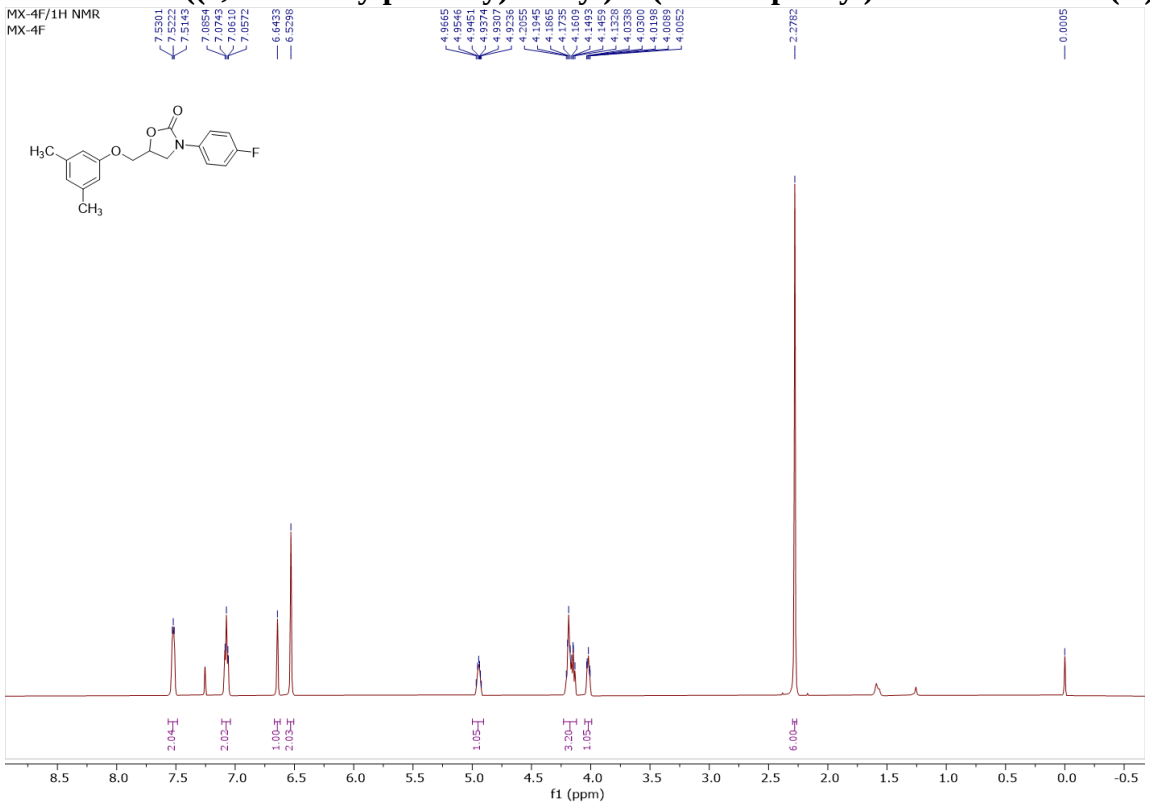
**$^{1}$H NMR of 5-((3,5-Dimethylphenoxy)methyl)-3-(4-methoxyphenyl)oxazolidin-2-one (7k)**



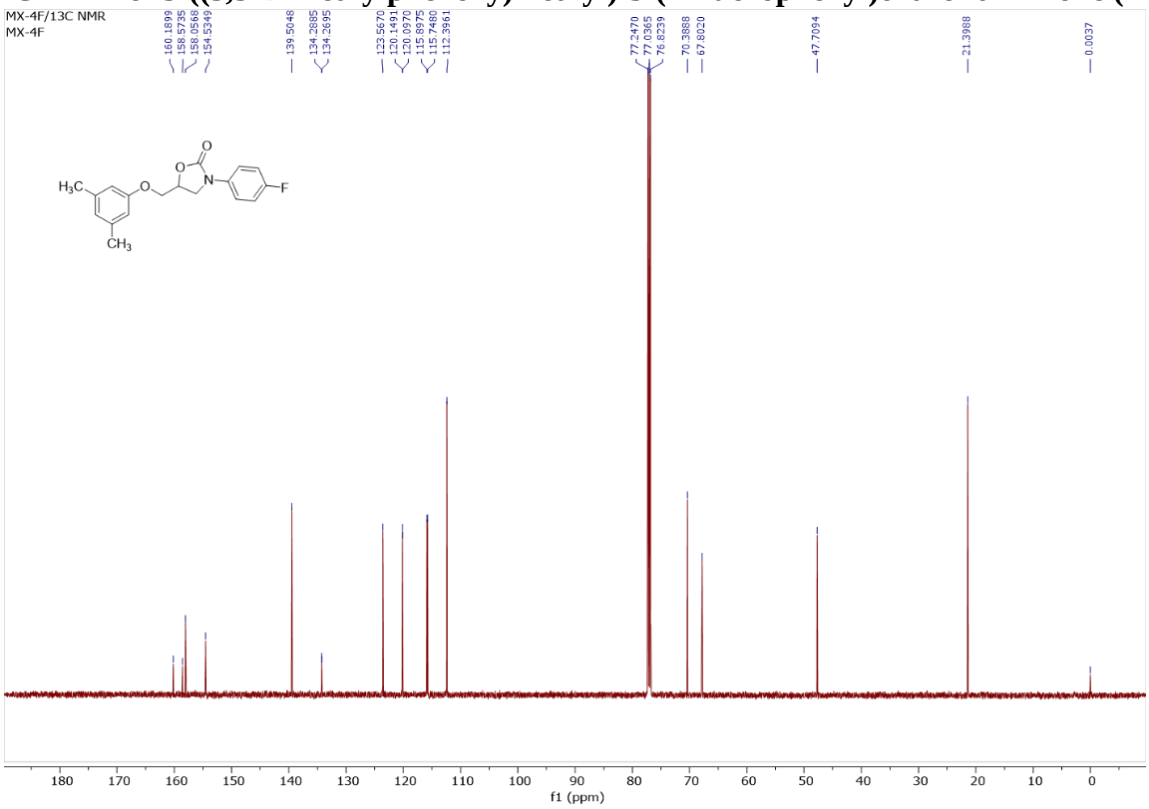

**$^{13}$C NMR of 5-((3,5-Dimethylphenoxy)methyl)-3-(4-methoxyphenyl)oxazolidin-2-one (7k)**



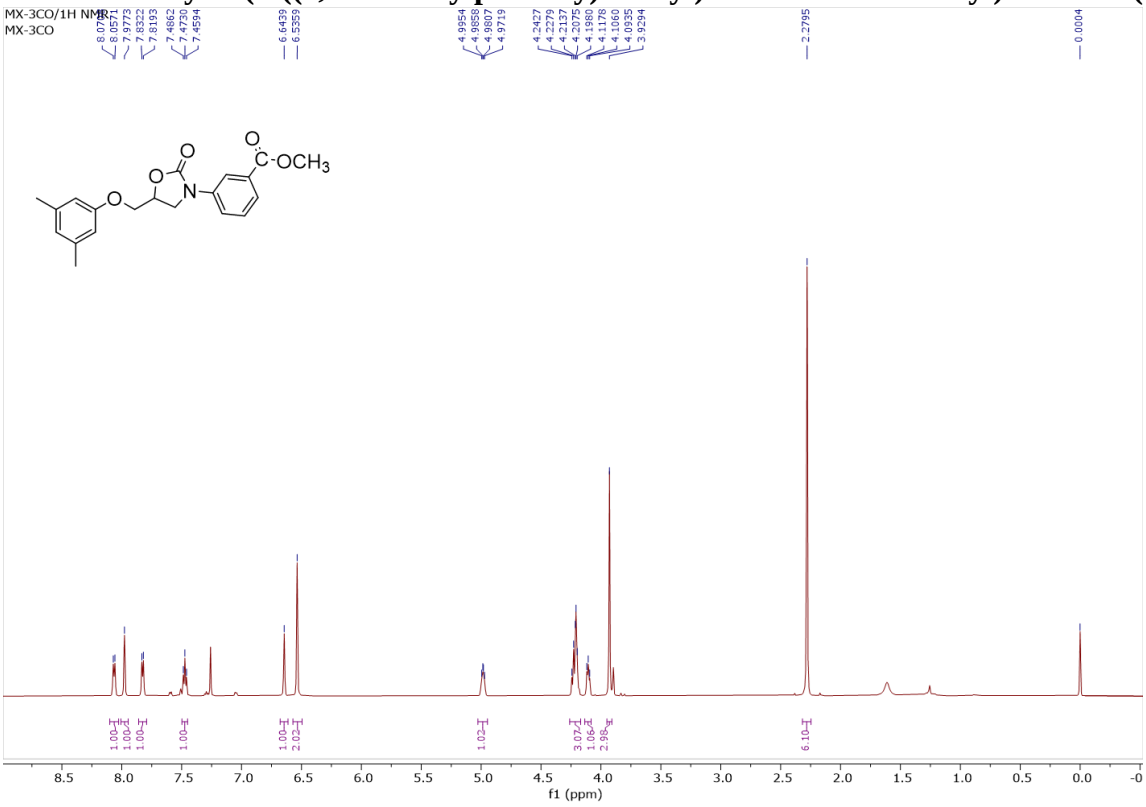
**$^{1}$H NMR of 5-((3,5-Dimethylphenoxy)methyl)-3-(4-fluorophenyl)oxazolidin-2-one (7l)**



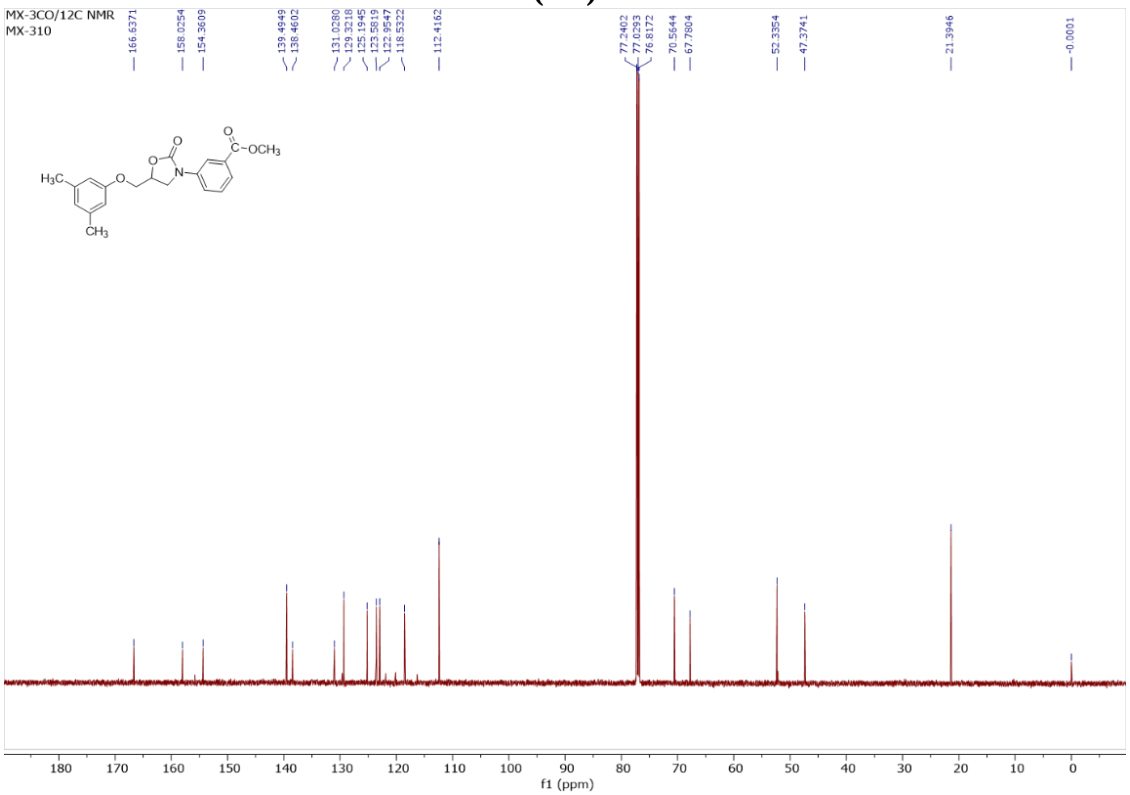

**$^{13}$C NMR of 5-((3,5-Dimethylphenoxy)methyl)-3-(4-fluorophenyl)oxazolidin-2-one (7l)**



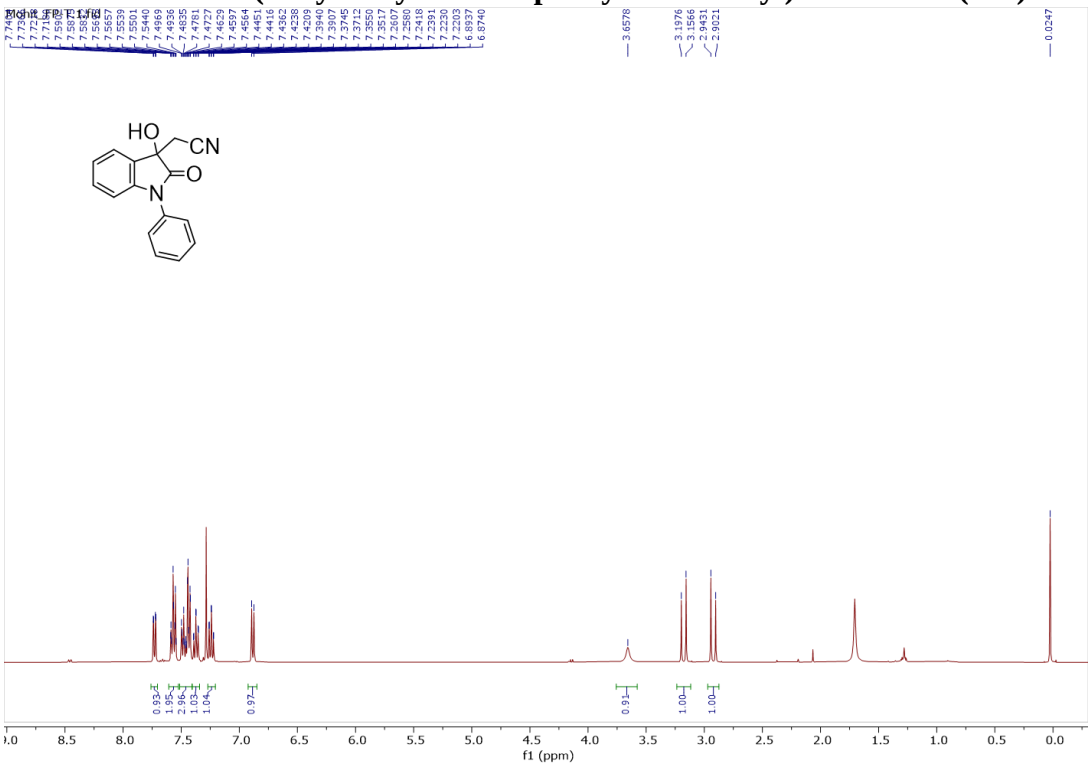
**$^{1}$H NMR of Methyl 3-(5-((3,5-dimethylphenoxy)methyl)-2-oxooxazolidin-3-yl)benzoate (7m)**



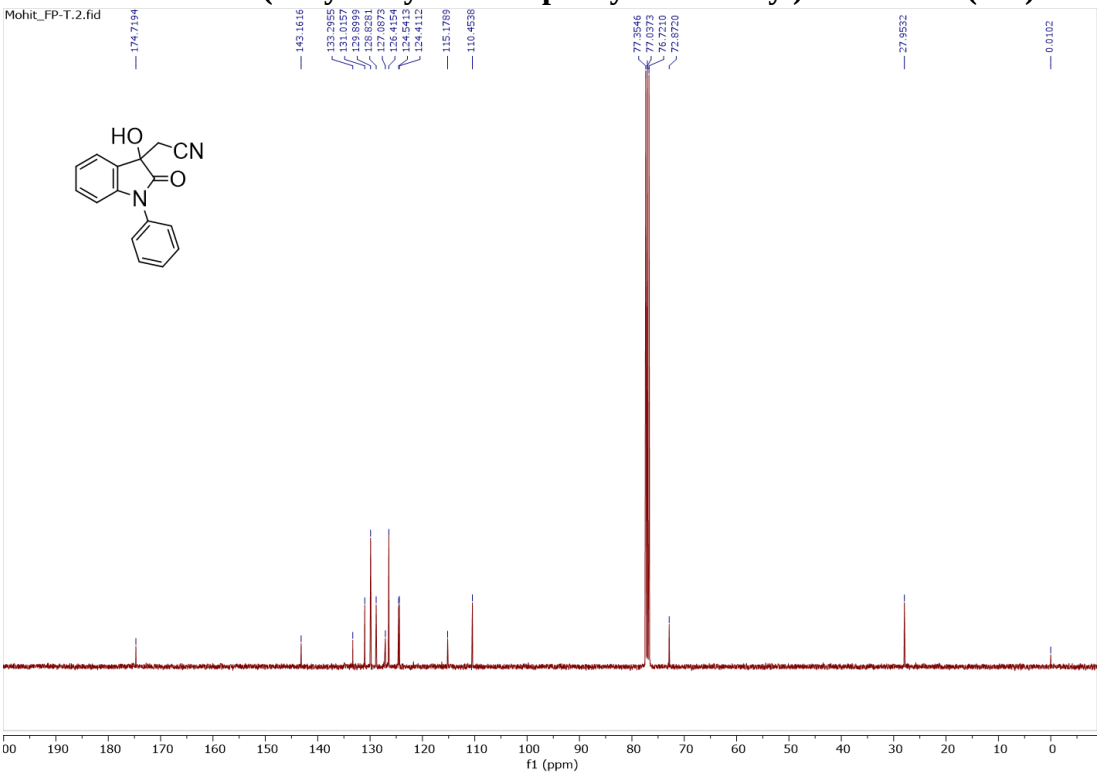

**$^{13}$C NMR of Methyl 3-(5-((3,5-dimethylphenoxy)methyl)-2-oxooxazolidin-3-yl)benzoate (7m)**



## $^{1}$H NMR of 2-(3-Hydroxy-2-oxo-1-phenylindolin-3-yl)acetonitrile (10a)




## $^{13}$C NMR of 2-(3-Hydroxy-2-oxo-1-phenylindolin-3-yl)acetonitrile (10a)



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2) Zi, Y.; Cai, Z.-J.; Wang, S.-Y.; Ji, S.-J. Synthesis of Isatins by $I_2$/TBHP Mediated Oxidation of Indoles. *Org. Lett.*, 2014, **16**, 3094–3097.
3) Huang, P.-C.; Gandeepam, P.; Cheng, C.-H. Cu(I) Catalyzed Intramolecular Oxidative C–H Amination of 2-Aminoacetophenones, a Convenient Route toward Isatins. *Chem. Commun.*, 2013, **49**, 8540–8542.
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