Supporting Information File

DDQ-promoted Synthesis of 2-Benzoylbenzofurans and Benzofuro[2,3-*c*]pyridines Using 2'-Hydroxy Ethyl Cinnamate and Phenacyl Bromides.

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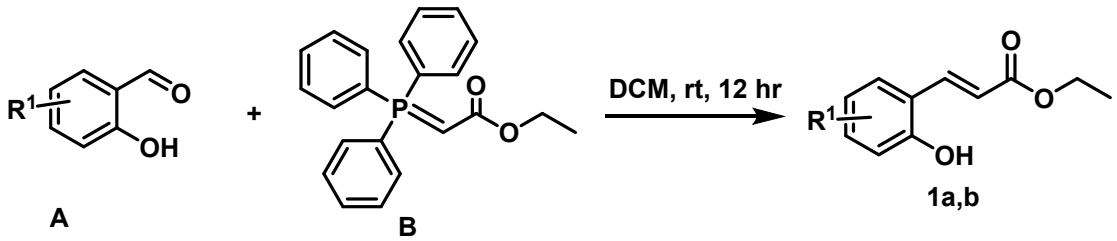
Materials and Methods:

The required chemicals are received from Sigma-Aldrich and TCI, India, and are used as such without further purification. All the reactions are carried out in hot-air-dried glassware at room temperature. Chemical reactions are monitored on thin-layer chromatography (TLC). TLC is performed on Sigma-Aldrich silica gel 60 F254 on TLC aluminium foils using ethyl acetate and hexane as the solvent system and visualised with a UV-light chamber. Flash chromatography, using silica gel (230-400 mesh size), is employed to purify compounds. NMR spectra are recorded using *Jeol* Nuclear Magnetic Resonance-ECZR series spectrometers, operating at 500 MHz and 125 MHz, respectively. Tetramethylsilane (TMS) is used as the internal standard at ambient temperature, with DMSO-d6 and CDCl3 as solvents for the products. Chemical shift values are measured in δ parts per million. The peak multiplicities are given as follows: s, singlet; d, doublet; dd, double doublet; t, triplet; q, quartet; m, multiplet. *J* values are given in Hertz. Mass spectra are recorded with an AGILENT Mass spectrometer operating on an Agilent Quadrupole Time of Flight (QTOF) detector. HPLC analysis is performed using an Agilent HPLC instrument equipped with a UV detector.

1. Synthesis of differently substituted 2'-hydroxy ethyl cinnamates (1):

1.1. General Reaction



Scheme SI-01. Synthesis of differently substituted 2'-hydroxy ethyl cinnamates via Wittig reaction

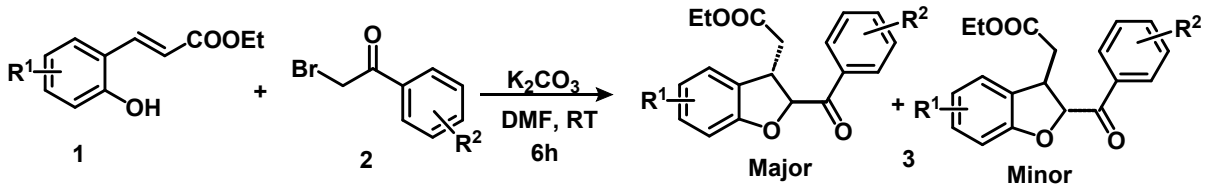
1.2. General procedure A. Synthesis of 2'-hydroxyethyl cinnamate analogues

In a hot air oven-dried round bottom flask, the reaction was carried out with 2'-hydroxy-benzaldehyde (**A**) (2.0 g, 1.63 mmol), ethyl 2-(triphenyl-λ^5-phosphaneylidene) acetate (**B**) (5.7 g, 1.63 mmol, 1 equiv.), in DCM (25 mL) as solvent at room temperature for 12 hours. The reaction completion was monitored via TLC. The resulting reaction mixture was dried under reduced pressure and then subjected to solvent extraction using ethyl acetate (75 mL) and water (50 mL). The organic content was extracted with ethyl acetate (75 mL×3), washed with water (50 mL×2), dried with anhydrous sodium sulphate and evaporated under reduced pressure to

get crude compound. The crude mixture was purified via column chromatography using 100-200 mesh size silica gel, solvent system ethyl acetate and hexane (1:9) to get 2.9 g (92 % Yield) of **1a.** Another analogue **1b** was synthesised using the same protocol.

2. Synthesis of ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl) acetate analogues:

2.1. General Reaction:



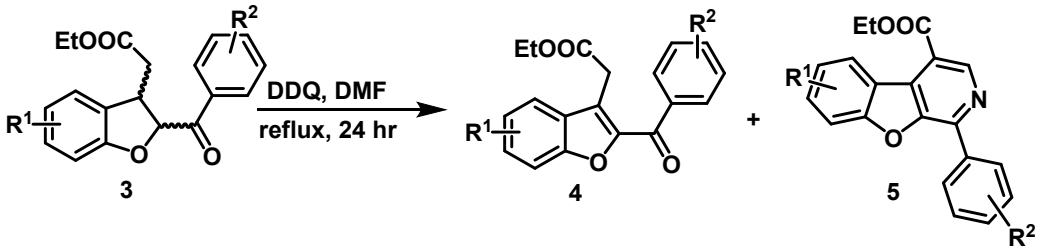
Scheme SI-02. Synthesis of ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl) acetate analogues from differently substituted 2'-hydroxy ethyl cinnamates and different phenacyl bromides.

2.2. General procedure B. Synthesis of ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl) acetate analogues (3) :

In a hot air oven-dried round bottom flask, the reaction was carried out with 2'-hydroxy cinnamic ethyl ester **1a** (0.20 g, 1.04 mmol, 1 eq.), Phenacyl bromide **2a** (0.207 g, 1.04 mmol, 1 equiv.), in presence of potassium carbonate (0.317g, 2.08 mmol, 2.2 equiv.) and DMF (2-3 mL) as solvent at room temperature for 6 hours. The reaction completion was monitored *via* TLC. The resulting reaction mixture was poured into ice and then subjected to solvent extraction using ethyl acetate (75 mL) and water (50 mL). The organic content was extracted with ethyl acetate (25 mL × 3), washed with water (25 mL × 2), then dried over anhydrous sodium sulphate and evaporated under reduced pressure to obtain the crude compound. The crude compound, 0.298 g (92% yield) of **3a** (dr 4.26:1), was used as such without further purification for the synthesis of **4a and 5a**. The remaining derivatives of **3** were synthesised using this same protocol. The **dr** (>2:1) for all entries was determined by ^{1}H NMR analysis of the crude reaction mixture.

3. Synthesis of Ethyl 2-(2-benzoylbenzofuran-3-yl) acetate and Ethyl 1-phenylbenzofuro[2,3-*c*] pyridine-4-carboxylate analogues:

3.1. General Reaction :

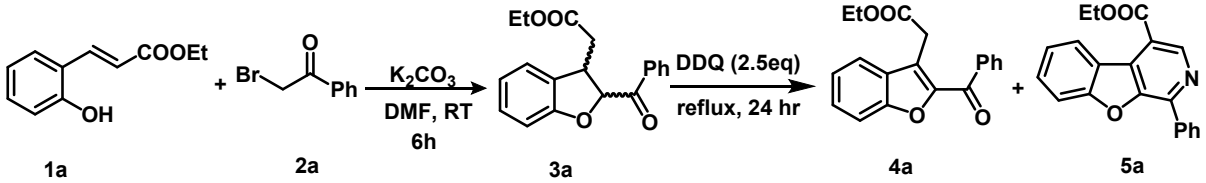


Scheme SI-03. Synthesis of Ethyl 2-(2-benzoylbenzofuran-3-yl) acetate and Ethyl 1-phenylbenzofuro[2,3-c] pyridine-4-carboxylate analogues from differently substituted ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl) acetate and DDQ.

3.2. **General procedure C. Synthesis of Ethyl 2-(2-benzoylbenzofuran-3-yl) acetate and Ethyl 1-phenylbenzofuro[2,3-*c*] pyridine-4-carboxylate analogues:** In a hot air oven-dried pressure tube, the reaction was carried out with Ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl) acetate **3a** (0.200 g, 0.65 mmol, 1 equiv.), DDQ (0.365 g, 1.6 mmol, 2.5 equiv.) and DMF (4 mL) as solvent at 150 °C for 24 hours. The completion of the reaction was monitored using TLC. The resulting reaction mixture was evaporated under reduced pressure in a rotavapor to remove DMF, yielding a crude mixture. The crude mixture was purified via column chromatography using 100-200 mesh size silica gel, with an ethyl acetate and hexane solvent system, to yield 0.120 g (60% Yield) of **4a** and 45 mg (22%) of **5a.**

4. Synthesis of Ethyl 2-(2-benzoylbenzofuran-3-yl)acetate (4a) and Ethyl 1-phenylbenzofuro[2,3-*c*] pyridine-4-carboxylate (5a) in one pot method.

4.1. General Reaction :



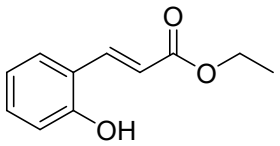
Scheme SI-04. Synthesis of Ethyl 2-(2-benzoylbenzofuran-3-yl) acetate (**4a)** and Ethyl 1-phenylbenzofuro[2,3-c] pyridine-4-carboxylate (**5a**) from **1a** in one pot.

4.2. **General procedure D.** In a hot air oven-dried 25 mL round-bottom pressure tube, the reaction was carried out with 2'-hydroxy cinnamic ethyl ester **1a** (0.05 g, 0.26 mmol, 1 equiv.), Phenacyl bromide **2a** (0.05 g, 0.26 mmol, 1 equiv.), in the presence of potassium carbonate (0.08 g, 0.58 mmol, 2.2 equiv.) and DMF (2 mL) as solvent at room temperature for 6 hours. The reaction progress was monitored via TLC. On observing a complete transformation to **3a**, DDQ (0.150 g, 0.64 mmol, 2.5 equiv. of the 100 % theoretical yield of **3a** was added to the reaction mixture, and the reaction was refluxed for 24 hours at 150 °C. The reaction completion

was confirmed by TLC. The resulting reaction mixture was evaporated under reduced pressure in a rotavapor to remove DMF, yielding a crude mixture. The crude mixture was purified *via* column chromatography using 100-200 mesh size silica gel, with an ethyl acetate and hexane solvent system, to yield 33 mg (41% Yield) of **4a** and 16 mg (20%) of **5a.** The remaining analogues of **4** and **5** were synthesised using the same protocol.

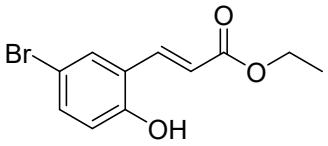
5. Characterisation details:

Ethyl (*E*)-3-(2-hydroxyphenyl)acrylate (1a)



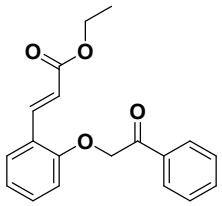
The titled compound was synthesised using General Procedure A, White solid, (2.9g, 92 % yield), Hexane/Ethylacetate = 95/5**, ^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.07 (d, *J* = 16.1 Hz, 1H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.24 - 7.20 (m, 1H), 6.92 - 6.86 (m, 2H), 6.66 (d, *J* = 16.2 Hz, 1H), 4.29 (q, *J* = 7.1 Hz, 2H), 1.35 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 169.0, 155.8, 141.2, 131.6, 129.3, 121.8, 120.6, 118.3, 116.5, 60.9, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{11}H_{12}O_3$ 193.0864; Found 193.0864.

Ethyl (*E*)-3-(5-bromo-2-hydroxyphenyl)acrylate (1b)



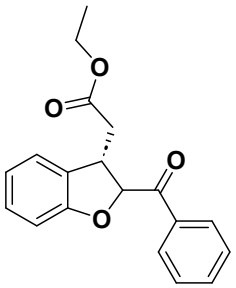
The titled compound was synthesised using General Procedure A , White solid, (2.4g, 89 % yield), Hexane/Ethylacetate = 95/5, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.98 (d, *J* = 16.2 Hz, 1H), 7.66 (s, 1H), 7.56 (d, *J* = 2.4 Hz, 1H), 7.30 (dd, *J* = 8.6, 2.4 Hz, 1H), 6.78 (d, *J* = 8.8 Hz, 1H), 6.63 (d, *J* = 16.1 Hz, 1H), 4.30 (q, *J* = 7.1 Hz, 2H), 1.35 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 168.8, 154.9, 139.7, 134.1, 131.4, 123.7, 119.2, 118.3, 112.6, 61.2, 14.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{11}H_{11}BrO_3$ 270.9970; Found 270.9966, 272.9948.

Ethyl (E)-3-(2-(2-oxo-2-phenylethoxy)phenyl)acrylate (Intermediate I)



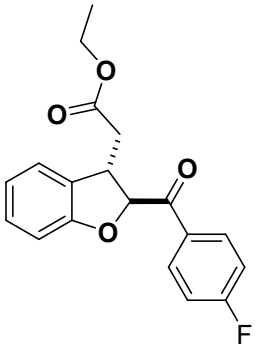
The titled compound was synthesised using General Procedure B with 1.2 equiv. of K_2CO_3. Colourless oil, (0.22 g, 68 % yield), Hexane/Ethylacetate = 94/6, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.05 (d, *J* = 16.2 Hz, 1H), 8.00 (d, *J* = 7.2 Hz, 1H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.56 - 7.47 (m, 1H), 7.29 (td, *J* = 8.3, 7.9, 1.7 Hz, 1H), 6.99 (t, *J* = 8.2 Hz, 1H), 6.79 (d, *J* = 8.3 Hz, 1H), 6.60 (d, *J* = 16.0 Hz, 1H), 5.37 (s, 2H), 4.26 (q, *J* = 7.2 Hz, 2H), 1.33 (t, *J* = 7.2 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 194.2, 167.6, 156.8, 139.8, 134.5, 134.1, 131.3, 129.3, 129, 128.2, 124.2, 121.8, 119.6, 112.5, 71.2, 60.5, 14.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{18}O_4$, 311.1283; Found 311.1284.

Ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)acetate (3a)



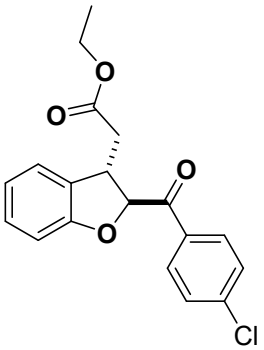
The titled compound was synthesised using General Procedure B, Orange oil, 298 mg, 92% yield (dr = 4:1) Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.08 (d, *J* = 7.1 Hz, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.50 (t, *J* = 7.8 Hz, 2H), 7.21 - 7.15 (m, 2H), 6.91 (t, *J* = 7.9 Hz, 1H), 6.85 (d, *J* = 7.9 Hz, 1H), 5.72 (d, *J* = 5.8 Hz, 1H), 4.39 - 4.34 (m, 1H), 4.11 (q, *J* = 7.1 Hz, 2H), 2.89 - 2.74 (m, 2H), 1.19 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 194.8, 171.3, 158.6, 135, 133.8, 129.5, 129.1, 128.8, 128.2, 124.6, 121.5, 110.1, 87.4, 61.0, 40.4, 39.4, 14.2. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{18}O_4$, 311.1283; Found 311.1284.

Ethyl 2-(2-(4-fluorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3b)



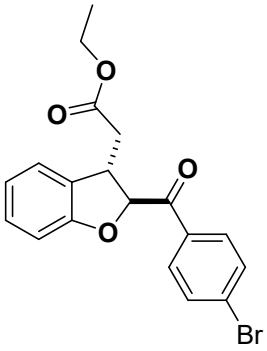
The titled compound was synthesised using General Procedure B, Orange oil, 323mg, 95% yield (dr >20:1), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.13 (dd, *J* = 8.9, 5.4 Hz, 2H), 7.22 - 7.15 (m, 4H), 6.92 (t, *J* = 7.5 Hz, 1H), 6.84 (d, *J* = 8.1 Hz, 1H), 5.66 (d, *J* = 5.8 Hz, 1H), 4.41 - 4.35 (m, 1H), 4.12 (q, *J* = 7.1 Hz, 2H), 2.90 - 2.72 (m, 2H), 1.20 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 193.3, 171.4, 166.2 (d, *J* = 255.9 Hz), 158.4, 132.3 (d, *J* = 9.7 Hz), 131.4, 129.1, 128.1, 124.6, 121.6, 116 (d, *J* = 21.7 Hz), 110.1, 87.5, 61, 40.3, 39.3, 14.2. **^{19}F{^{1}H}-NMR** (470 MHz, $CDCl_3$) δ -103.81 (ddd, *J* = 12.6, 9.1, 5.6 Hz)**.** **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{17}FO_4$, 329.1189; Found 329.1189

Ethyl 2-(2-(4-chlorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3c)



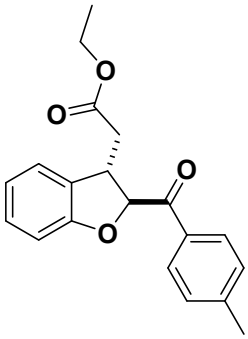
The titled compound was synthesised using General Procedure B, Yellow oil, 340mg, 95% yield (dr >20:1), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.04 (d, *J* = 8.8 Hz, 2H), 7.47 (d, *J* = 8.6 Hz, 2H), 7.20 (d, *J* = 7.5 Hz, 1H), 7.17 (t, *J* = 7.8, 7.8 Hz, 1H), 6.92 (t, *J* = 8.0 Hz, 1H), 6.84 (d, *J* = 7.9 Hz, 1H), 5.67 (d, *J* = 5.8 Hz, 1H), 4.46 - 4.33 (m, 1H), 4.12 (q, *J* = 7.1 Hz, 2H), 2.87 -2.75 (m, 2H), 1.20 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 193.8, 171.3, 163.1, 158.3, 140.2, 133.3, 131.5, 130.9, 129.1, 128.8, 124.5, 121.6, 110, 87.5, 61, 40.2, 39.2, 14.1. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{17}ClO_4$, 345.0893; Found 345.0888

Ethyl 2-(2-(4-bromobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3d)



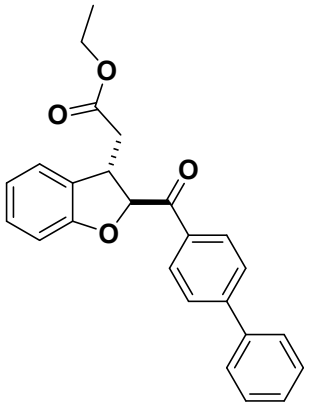
The titled compound was synthesised using General Procedure B, Yellow oil, 390mg, 96% yield (dr >20:1), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.96 (d, *J* = 8.4 Hz, 2H), 7.64 (d, *J* = 8.8 Hz, 2H), 7.22 - 7.14 (m, 2H), 6.92 (t, *J* = 7.5 Hz, 1H), 6.83 (d, *J* = 7.9 Hz, 1H), 5.66 (d, *J* = 5.8 Hz, 1H), 4.44 - 4.28 (m, 1H), 4.12 (q, *J* = 7.1 Hz, 2H), 2.87-2.75 (m, 2H), 1.20 (t, *J* =7.2 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 194, 171.3, 158.4, 133.7, 132.1, 131, 129.1, 128.1, 124.6, 121.6, 110.1, 87.5, 61, 40.2, 39.3, 14.2. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{17}BrO_4$, 389.0388; Found 389.0371, 391.0381

Ethyl 2-(2-(4-methylbenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3e)



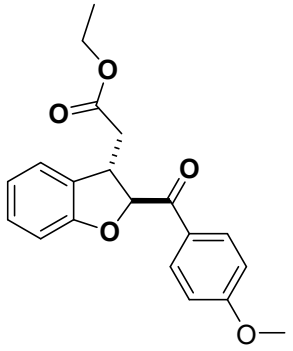
The titled compound was synthesised using General Procedure B, Orange oil, 305mg, 90% yield (dr = 4:1), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.98 (d, *J* = 8.3 Hz, 2H), 7.30 (d, *J* = 7.8 Hz, 2H), 7.21 - 7.14 (m, 2H), 6.93 - 6.88 (m, 1H), 6.85 (d, *J* = 7.9 Hz, 1H), 5.70 (d, *J* = 5.8 Hz, 1H), 4.31 (m, 1H), 4.11 (q, *J* = 7.1 Hz, 2H), 2.91 - 2.71 (m, 2H), 2.43 (s, 3H), 1.19 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$ δ 194.42, 171.33, 158.71, 144.77, 132.48, 129.59, 129.52, 129.04, 128.28, 124.60, 121.45, 110.07, 87.43, 60.97, 40.51, 39.46, 21.87, 14.20. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{20}O_4$, 325.1440; Found 325.1440.

Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate (3f)



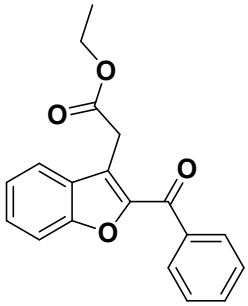
The titled compound was synthesised using General Procedure B, Orange oil, 388mg, 96% yield (dr = 6:1), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.16 (d, *J* = 8.6 Hz, 2H), 7.73 (d, *J* = 8.6 Hz, 2H), 7.65 (d, *J* = 8.3 Hz, 2H), 7.49 (t, *J* = 7.8 Hz, 2H), 7.42 (t, *J* = 6.7 Hz, 1H), 7.23 - 7.16 (m, 2H), 6.92 (t, *J* = 7.5 Hz, 1H), 6.87 (d, *J* = 8.1 Hz, 1H), 5.75 (d, *J* = 5.8 Hz, 1H), 4.45 - 4.36 (m, 1H), 4.13 (q, *J* = 7.1 Hz, 2H), 2.94 - 2.71 (m, 2H), 1.20 (t, *J* = 7.2 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 194.4, 171.4, 158.6, 146.5, 139.9, 133.6, 130.1, 129.1, 128.5, 128.2, 127.5, 127.4, 124.6, 121.5, 110.1, 87.5, 61, 40.4, 39.4, 14.2. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{25}H_{22}O_4$, 387.1596; Found 387.1595.

Ethyl 2-(2-(4-methoxybenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3g)



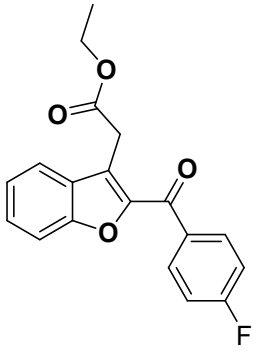
The titled compound was synthesised using General Procedure B, Yellow oil, 320mg, 90% yield (dr = 2.4:1), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.08 (d, *J* = 8.9 Hz, 2H), 7.21 - 7.13 (m, 2H), 6.97 (d, *J* = 9.1 Hz, 2H), 6.90 (t, *J* = 7.5 Hz, 1H), 6.84 (d, *J* = 7.9 Hz, 1H), 5.67 (d, *J* = 6.0 Hz, 1H), 4.39 - 4.32 (m, 1H), 4.11 (q, *J* = 7.1 Hz, 2H), 3.88 (s, 3H), 2.88 - 2.72 (m, 2H), 1.19 (t, *J* = 7.2 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 193.2, 171.4, 164.1, 158.6, 131.8, 129, 128.3, 127.9, 124.5, 121.4, 114, 110, 87.4, 60.9, 55.6, 40.5, 39.4, 14.2. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{20}O_5$, 341.1389; Found 341.1389.

Ethyl 2-(2-benzoylbenzofuran-3-yl)acetate (4a)



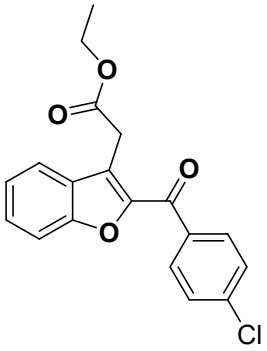
The titled compound was synthesised using General Procedure D, White solid, (0.120 g, 60 % yield), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.14 (d, *J* = 8.3 Hz, 2H), 7.71 (d, *J* = 7.9 Hz, 1H), 7.62 (t, *J* = 7.4Hz, 1H), 7.59 - 7.48 (m, 4H), 7.36 (t, *J* = 8.1Hz, 1H), 4.20 (q, *J* = 7.1Hz, 2H), 1.26 (t, *J* = 7.2Hz, 4H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 185.7, 170.0, 154.4, 149.1, 137.3, 133, 130, 128.47, 128.41, 128.3, 123.8, 123, 121.6, 112.5, 61.2, 14.2. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{16}O_4$, 309.1126; found 309.1121.

Ethyl 2-(2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (4b)



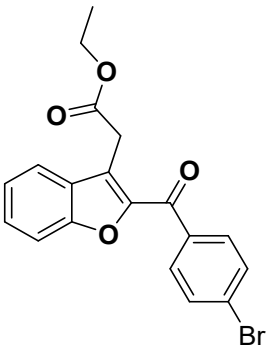
The titled compound was synthesised using General Procedure D, White solid, (0.118 g, 59 % yield), Hexane/Ethylacetate = 92/8. **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.11 (dd, *J* = 8.7, 5.6 Hz, 2H), 7.88 (d, *J* = 7.9 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.56 (t, *J* = 7.8 Hz, 1H), 7.43 – 7.37 (m, 3H), 4.18 (s, 2H), 4.06 (q, *J* = 7.1 Hz, 2H), 1.14 (t, *J* = 7.1 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 183.7, 169.9, 154.2, 148.7, 133.8, 133 (d, J = 9.7 Hz), 129.4, 128.4, 124.5, 124.1, 122.7, 116.3 (d, J = 21.7 Hz), 112.9, 61.1, 30.4, 14.6. **^{19}F{^{1}H}-NMR** (470 MHz, $CDCl_3$) δ -105.01 (tt, J = 8.7, 5.4 Hz, 1F). **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{15}FO_4$, 327.1032; found 327.1032

Ethyl 2-(2-(4-chlorobenzoyl)benzofuran-3-yl)acetate (4c)



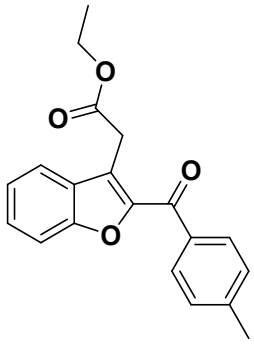
The titled compound was synthesised using General Procedure D, White solid, (0.140 g, 70 % yield), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.03 (d, J = 8.4 Hz, 2H), 7.89 (d, J = 7.9 Hz, 1H), 7.71 (d, J = 8.4 Hz, 1H), 7.65 (d, J = 8.4 Hz, 2H), 7.59 – 7.54 (m, 1H), 7.39 (t, J = 7.5 Hz, 1H), 4.18 (s, 2H), 4.06 (q, J = 7.1 Hz, 2H), 1.14 (t, J = 7.1 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 184.1, 169.9, 154.2, 148.7, 138.6, 135.9, 131.8, 129.5, 129.3, 128.4, 124.5, 124.3, 122.7, 112.9, 61.1, 30.4, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{15}ClO_4$, 343.0737; Found 343.0751

Ethyl 2-(2-(4-bromobenzoyl)benzofuran-3-yl)acetate (4d)



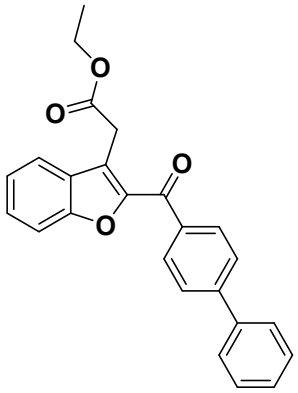
The titled compound was synthesised using General Procedure D, White solid, (0.095 g, 48 % yield) Hexane/Ethylacetate = 92/8**, ^{1}H NMR** (500 MHz, DMSO-d_6): δ 7.94 (d, J = 8.4 Hz, 2H), 7.88 (d, J = 7.9 Hz, 1H), 7.79 (d, J = 8.3 Hz, 2H), 7.70 (d, J = 8.4 Hz, 1H), 7.57 (t, J = 7.8 Hz, 1H), 7.39 (t, J = 7.5 Hz, 1H), 4.18 (s, 2H), 4.06 (q, J = 7.1 Hz, 2H), 1.14 (t, J = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 184.3, 169.9, 154.2, 148.6, 136.2, 132.3, 131.9, 129.5, 128.4, 127.8, 124.5, 124.3, 122.8, 112.9, 61.1, 30.4, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{15}BrO_4$ 387.0232; Found 387.0231, 389.0212.

Ethyl 2-(2-(4-methylbenzoyl)benzofuran-3-yl)acetate (4e)



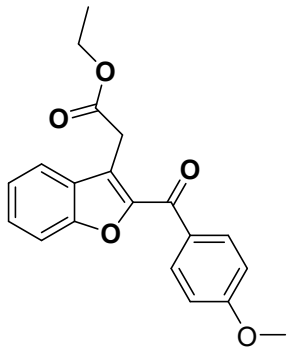
The titled compound was synthesised using General Procedure D, White solid, (0.124 g, 62 % yield), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 7.92 (d, J = 8.1 Hz, 2H), 7.86 (d, J = 7.9 Hz, 1H), 7.70 (d, J = 8.4 Hz, 1H), 7.55 (t, J = 7.7 Hz, 1H), 7.38 (m, 3H), 4.16 (s, 2H), 4.06 (q, J = 7.1 Hz, 2H), 2.39 (s, 3H), 1.13 (t, J = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 184.9, 170, 154.1, 149.1, 144.3, 134.7, 130.1, 129.7, 129.2, 128.5, 124.4, 123.5, 122.6, 112.8, 61, 30.4, 21.7, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{18}O_4$ 323.1283; Found 323.1289

Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)benzofuran-3-yl)acetate (4f)



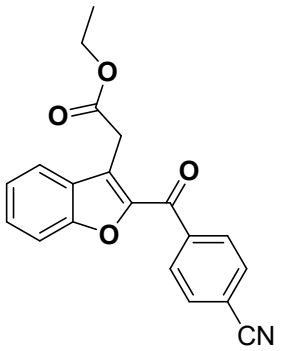
The titled compound was synthesised using General Procedure D, White solid, (0.109g, 55 % yield), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.11 (d, J = 8.4 Hz, 2H), 7.88 (d, J = 8.4 Hz, 3H), 7.76 (d, J = 7.3 Hz, 2H), 7.73 (d, J = 8.4 Hz, 1H), 7.57 (t, J = 7.8 Hz, 1H), 7.50 (t, J = 7.6 Hz, 2H), 7.40 (dt, J = 11.2, 7.4 Hz, 2H), 4.19 (s, 2H), 4.07 (q, J = 7.1 Hz, 2H), 1.14 (t, J = 7.1 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 184.7, 170, 154.2, 149, 145.1, 139.3, 136, 130.7, 129.7, 129.3, 129, 128.5, 127.6, 127.4, 124.5, 123.8, 122.7, 112.9, 61.1, 30.4, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{25}H_{20}O_4$ 385.1440; Found 385.1447.

Ethyl 2-(2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (4g)



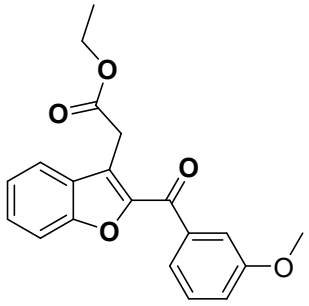
The titled compound was synthesised using General Procedure D, Off white solid, (0.120 g, 60 % yield), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$): δ 8.20 (d, *J* = 9.1 Hz, 2H), 7.69 (d, *J* = 7.8 Hz, 1H), 7.57 (d, *J* = 7.6 Hz, 1H), 7.49 (t, *J* = 8.4 Hz, 1H), 7.34 (t, *J* = 7.5 Hz, 1H), 7.01 (d, *J* = 9.1 Hz, 2H), 4.22 (s, 2H), 4.20 (q, *J* = 7.1 Hz, 2H), 3.90 (s, 3H), 1.25 (t, *J* = 7.1Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$): δ 184.0, 170.2, 163.6, 154.2, 149.5, 132.5, 130.1, 128.4, 128.1, 123.7, 122.3, 121.5, 113.8, 112.4, 61.2, 55.6, 30.6, 14.3. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{18}O_5$ 339.1232; Found 339.1232.

Ethyl 2-(2-(4-cyanobenzoyl)benzofuran-3-yl)acetate (4h)



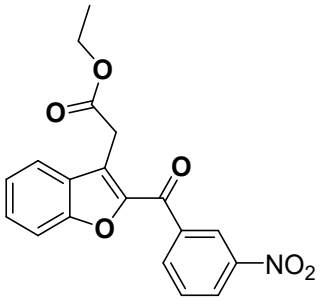
The titled compound was synthesised using General Procedure D, Yellow solid, (90 mg, 45%), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.12 (d, *J* = 8.4 Hz, 2H), 8.05 (d, *J* = 8.4 Hz, 2H), 7.90 (d, *J* = 7.9 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 1H), 7.58 (t, *J* = 7.1 Hz, 1H), 7.40 (t, *J* = 8.0 Hz, 1H), 4.20 (s, 2H), 4.07 (q, *J* = 7.1 Hz, 2H), 1.14 (t, *J* = 7.1 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 184.3, 169.8, 154.4, 148.4, 140.8, 133.1, 130.4, 129.8, 128.4, 124.9, 124.6, 122.9, 118.7, 115.5, 113.0, 61.1, 30.4, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{15}NO_4$ 334.1079; Found 334.1079.

Ethyl 2-(2-(3-methoxybenzoyl)benzofuran-3-yl)acetate (4i)



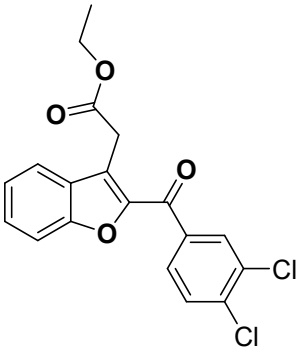
The titled compound was synthesised using General Procedure D, Pale yellow solid, (133 mg, 67%), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 7.86 (d, J = 7.9 Hz, 1H), 7.71 (d, J = 8.4 Hz, 1H), 7.59 (d, J = 7.7 Hz, 1H), 7.55 (t, J = 7.8 Hz, 1H), 7.50 – 7.46 (m, 2H), 7.37 (t, J = 7.5 Hz, 1H), 7.24 (dd, J = 8.2, 2.5 Hz, 1H), 4.15 (s, 2H), 4.06 (q, J = 7.1 Hz, 2H), 3.80 (s, 3H), 1.14 (t, J = 7.1 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 185, 169.9, 159.7, 154.2, 148.9, 138.6, 130.3, 129.3, 128.5, 124.4, 123.9, 122.7, 122.3, 119.6, 114.5, 112.9, 61.1, 55.8, 30.4, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{18}O_5$ 339.1232; Found 339.1240

Ethyl 2-(2-(3-nitrobenzoyl)benzofuran-3-yl)acetate (4j)



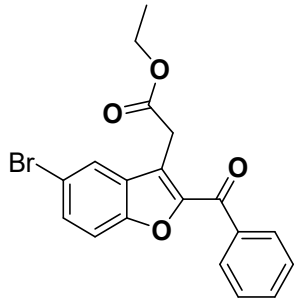
The titled compound was synthesised using General Procedure D, Orange solid, (134 mg, 67%), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.71 (t, J = 1.9 Hz, 1H), 8.52 – 8.48 (m, 1H), 8.45 (d, J = 7.8 Hz, 1H), 7.91 (d, J = 7.9 Hz, 1H), 7.88 (t, J = 8.0 Hz, 1H), 7.72 (d, J = 8.4 Hz, 1H), 7.59 (t, J = 7.1 Hz, 1H), 7.41 (t, J = 7.5 Hz, 1H), 4.22 (s, 2H), 4.07 (q, J = 7.1 Hz, 2H), 1.14 (d, J = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 183.1, 169.8, 154.4, 148.3, 138.3, 136.1, 131.1, 129.9, 128.4, 127.9, 125.1, 124.7, 124.4, 122.9, 113.0, 61.1, 30.5, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{15}NO_6$ 354.0977; Found 354.0986.

Ethyl 2-(2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (4k)



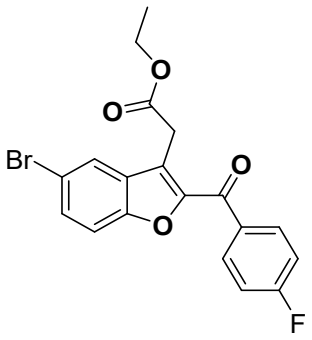
The titled compound was synthesised using General Procedure D, White solid, (97 mg, 49 %), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6) δ 8.21 (d, *J* = 4.4 Hz, 1H), 8.02 (d, *J* = 6.3 Hz, 1H), 7.96 - 7.86 (m, 2H), 7.76 (t, 1H), 7.62 (d, *J* = 6.6 Hz, 1H), 7.43 (d, *J* = 7.1 Hz, 1H), 4.23 (s, 2H), 4.10 (p, *J* =7.1 Hz, 2H), 1.18 (t, *J* = 6.9 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, DMSO-*d_6*) δ 182.3, 169.3, 153.8, 147.8, 136.9, 136, 131.7, 131.1, 129.5, 129.2, 127.9, 124.3, 124.1, 122.4, 112.5, 60.6, 29.9, 14.1. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{14}Cl_2O_4$ 377.0347; Found 377.0356.

Ethyl 2-(2-benzoyl-5-bromobenzofuran-3-yl)acetate (4l)



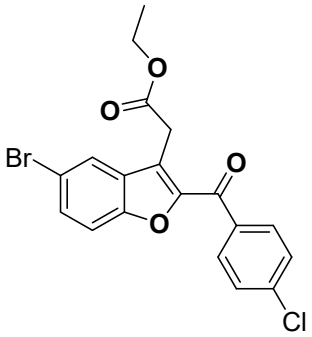
The titled compound was synthesised using General Procedure D, White solid, (113 mg, 57%), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-*d_6*): δ 8.18 (s, 1H), 7.98 (d, *J* = 8.3 Hz, 2H), 7.72 – 7.66 (m, 3H), 7.57 (t, *J* = 7.8 Hz, 2H), 4.15 (s, 2H), 4.06 (q, *J* = 7.1 Hz, 2H), 1.14 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, DMSO-*d_6*): δ 185.2, 169.8, 152.9, 149.8, 137.0, 133.9, 131.8, 130.6, 129.9, 129.2, 125.3, 123.1, 116.8, 115.1, 61.1, 30.2, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{15}BrO_4$ 387.0232; Found 387.0229, 389.0213.

Ethyl 2-(5-bromo-2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (4m)



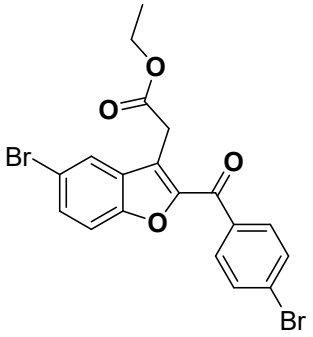
The titled compound was synthesised using General Procedure D, White solid, (124 mg, 62 %), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.17 (s, 1H), 8.13 – 8.08 (m, 2H), 7.69 (s, 2H), 7.40 (t, J = 8.9 Hz, 2H), 4.16 (s, 2H), 4.06 (q, J = 7.1 Hz, 2H), 1.14 (t, J = 7.1 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 183.6, 169.8, 165.6 (d, J = 252.9 Hz), 152.9, 149.7, 133.6, 133.1 (d, J = 9.1 Hz), 131.9, 130.6, 125.36, 123.3, 116.8, 116.4 (d, J = 21.7 Hz), 115.1, 61.1, 30.2, 14.6. **^{19}F{^{1}H}-NMR** (470 MHz, $CDCl_3$) δ -104.45 (tt, J =8.7, 5.4 Hz, 1F). **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{14}BrFO_4$ 405.0178; Found 405.0178, 407.0160.

Ethyl 2-(5-bromo-2-(4-chlorobenzoyl)benzofuran-3-yl)acetate (4n)



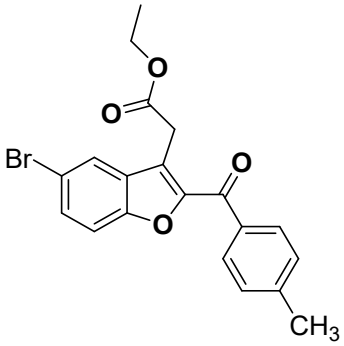
The titled compound was synthesised using General Procedure D, White solid, (148 mg, 74 %), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.19 (s, 1H), 8.01 (d, J = 8.8 Hz, 2H), 7.70 (s, 2H), 7.64 (d, J = 8.6 Hz, 2H), 4.16 (s, 2H), 4.06 (q, J = 7.1 Hz, 2H), 1.14 (t, J = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 183.9, 169.8, 152.9, 149.6, 138.8, 135.6, 132, 131.9, 130.6, 129.4, 125.4, 123.5, 116.8, 115.1, 61.1, 30.2, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{14}BrClO_4$ 420.9842; Found 420.9834, 422.9817

Ethyl 2-(5-bromo-2-(4-bromobenzoyl)benzofuran-3-yl)acetate (4o)



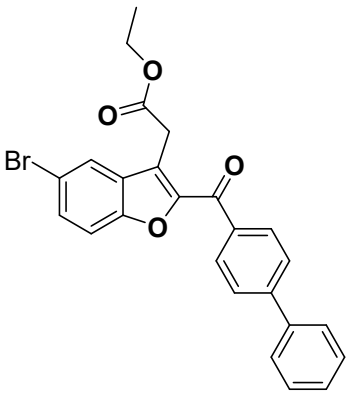
The titled compound was synthesised using General Procedure D, White solid, (131 mg, 66 %), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.18 (s, 1H), 7.92 (d, J = 8.6 Hz, 2H), 7.78 (d, J = 8.6 Hz, 2H), 7.69 (s, 2H), 4.16 (s, 2H), 4.06 (q, J = 7.1 Hz, 2H), 1.14 (t, J = 7.1 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 184.1, 169.8, 152.9, 149.5, 136, 132.3, 132.0, 131.9, 130.6, 128, 125.4, 123.5, 116.8, 115.1, 61.1, 30.2, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{14}Br_2O_4$ 464.9337; Found 464.9322, 466.9301

Ethyl 2-(5-bromo-2-(4-methylbenzoyl)benzofuran-3-yl)acetate (4p)



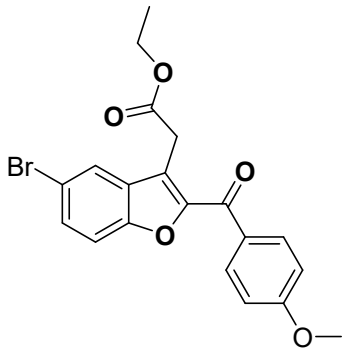
The titled compound was synthesised using General Procedure D, White solid, (115 mg, 63 %), Hexane/Ethylacetate = 92/8. **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.15 (d, J = 1.8 Hz, 1H), 7.90 (d, J = 8.2 Hz, 2H), 7.68 (s, 1H), 7.67 (d, J = 1.8 Hz, 1H), 7.36 (d, J = 8.1 Hz, 2H), 4.14 (s, 2H), 4.06 (q, J = 7.1 Hz, 2H), 2.38 (s, 3H), 1.14 (t, J = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 184.7, 169.8, 152.8, 150, 144.5, 134.4, 131.6, 130.6, 130.1, 129.8, 125.2, 122.7, 116.7, 115, 61, 30.2, 21.7, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{17}BrO_4$ 401.0388; Found 401.0387, 403.0369

Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-5-bromobenzofuran-3-yl)acetate (4q)



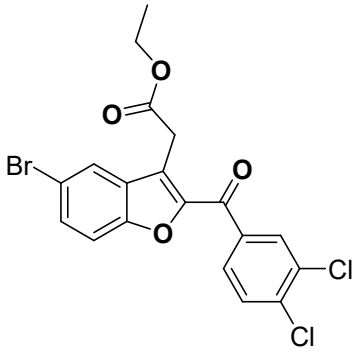
The titled compound was synthesised using General Procedure D, White solid, (135 mg, 68 %), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, DMSO-d_6): δ 8.19 (s, 1H), 8.09 (d, J = 8.3 Hz, 2H), 7.87 (d, J = 8.4 Hz, 2H), 7.75 (d, J = 7.3 Hz, 2H), 7.72 (d, J = 8.8 Hz, 1H), 7.69 (d, J = 8.8 Hz, 1H), 7.49 (t, J = 7.7 Hz, 2H), 7.41 (t, J = 7.4 Hz, 1H), 4.18 (s, 2H), 4.07 (q, J = 7.1 Hz, 2H), 1.15 (t, J = 7.1 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6): δ 184.6, 169.9, 152.9, 150, 145.2, 139.3, 135.8, 131.8, 130.8, 130.7, 129.7, 129.1, 127.6, 127.4, 125.3, 123, 116.8, 115.1, 61.1, 30.3, 14.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{25}H_{19}BrO_4$ 463.0545; Found 463.0543, 465.0525.

Ethyl 2-(5-bromo-2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (4r)



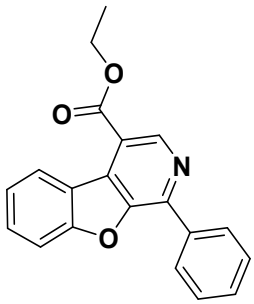
The titled compound was synthesised using General Procedure D, White solid, (142mg, 71 %), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.17 (d, J = 9.1 Hz, 2H), 7.82 (d, J = 1.9 Hz, 1H), 7.58 (dd, J = 8.8, 2.0 Hz, 1H), 7.46 (d, J = 8.8 Hz, 1H), 7.01 (d, J = 8.9 Hz, 2H), 4.21 (q, J = 7.1 Hz, 2H), 4.17 (s, 2H), 1.27 (t, J = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 183.7, 169.9, 163.8, 152.9, 150.4, 132.6, 131.1, 130.3, 129.8, 124.2, 121.5, 116.9, 113.9, 61.4, 55.6, 30.4, 14.3. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{17}BrO_5$ 417.0378; Found 417.0378, 419.0362.

Ethyl 2-(5-bromo-2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (4s)



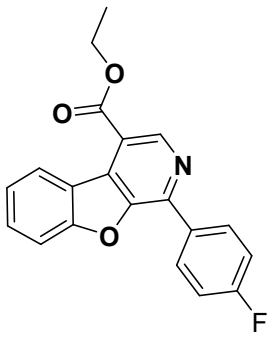
The titled compound was synthesised using General Procedure D, White solid, (105 mg, 53%), Hexane/Ethylacetate = 92/8, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.23 (d, *J* = 1.9 Hz, 1H), 7.99 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.84 (d, *J* = 1.9 Hz, 1H), 7.63 - 7.60 (m, 2H), 7.48 (d, *J* = 8.8 Hz, 1H), 4.22 (q, *J* = 7.1 Hz, 2H), 4.18 (s, 2H), 1.29 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 182.6, 169.5, 153, 149.3, 137.8, 136.4, 133.1, 131.9, 130.6, 130.0, 129.1, 124.4, 123.2, 117.3, 114.1, 61.5, 30.4, 14.2. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{19}H_{13}BrCl_2O_4$ 454.9478; Found 454.9478, 456.9460, 458.9437.

Ethyl 1-phenylbenzofuro[*2,3-c*]pyridine-4-carboxylate (5a)



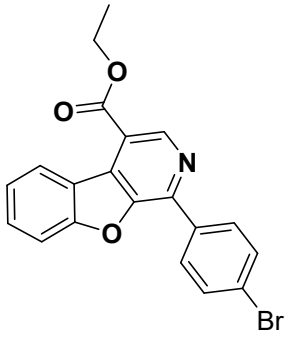
The titled compound was synthesised using General Procedure D, White solid, (45 mg, 22 %), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.27 (d, *J* = 5.5 Hz, 1H), 8.98 (d, *J* = 8.9 Hz, 1H), 8.48 (d, *J* = 7.3 Hz, 2H), 7.75 - 7.65 (m, 2H), 7.61(t, *J* = 7.5 Hz, 2H), 7.54 (t, *J* = 6.4 Hz, 1H), 7.49 - 7.44 (m, 1H), 4.58 (q, *J* = 6.2 Hz, 2H), 1.53 (t, *J* = 6.3 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 161, 152.7, 145.5, 140.9, 140.7, 130.8, 126.1, 125.4, 124.0, 122.9, 119.0, 116.7, 114.7, 107.3, 56.8, 9.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{15}NO_3$ 318.1129; Found 318.1129.

Ethyl 1-(4-fluorophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5b)



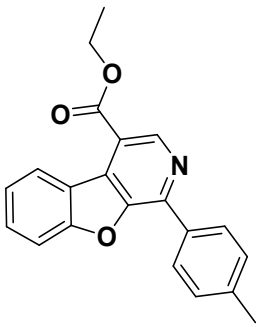
The titled compound was synthesised using General Procedure D, White solid, (58 mg, 30%), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.26 (s, 1H), 8.99 (d, *J* = 7.9 Hz, 1H), 8.53 (dd, *J* = 9.0, 5.4 Hz, 2H), 7.71 (dt, *J* = 13.6, 6.8 Hz, 1H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.29 (t, *J* = 8.7 Hz, 2H), 4.58 (q, *J* = 7.1 Hz, 2H), 1.53 (t, *J* = 7.1 Hz, 4H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.7, 159.8 (d, *J* = 103.8 Hz), 157.4, 145.4, 144.6, 132.1, 131.4 (d, *J* = 8.5 Hz), 131, 127.7, 123.8, 121.4, 119.5, 115.8 (d, *J* = 21.7 Hz), 112.1, 61.6, 14.5. **^{19}F{^{1}H}-NMR** (470 MHz, $CDCl_3$) δ -104.43 (tt, *J* = 8.7, 5.4 Hz, 1F). **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{14}FNO_3$ 336.1035; Found 336.1032.

Ethyl 1-(4-bromophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5d)



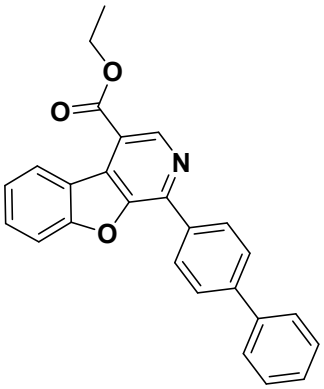
The titled compound was synthesised using General Procedure D, White solid, (73 mg, 45 %), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.26 (s, 1H), 8.98 (d, *J* = 8.0 Hz, 1H), 8.40 (d, *J* = 8.3 Hz, 2H), 7.76 - 7.65 (m, 4H), 7.48 (t, *J* = 7.9 Hz, 1H), 4.58 (q, *J* = 7.1 Hz, 2H), 1.53 (t, *J* =7.2 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.7, 157.4, 145.5, 144.4, 134.5, 132, 131.1, 130.8, 127.7, 124.8, 123.9, 121.4, 119.8, 61.7, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{14}BrNO_3$ 396.0235; Found 396.0233, 398.0260

Ethyl 1-(p-tolyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5e)



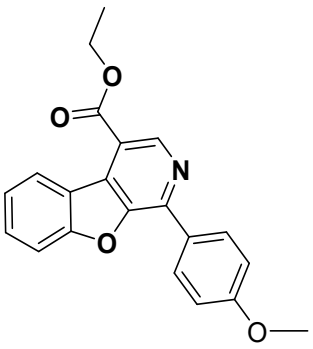
The titled compound was synthesised using General Procedure D, White solid, (60mg, 30 %), Hexane/Ethylacetate= 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.27 (s, 1H), 8.99 (d, *J* = 8.4 Hz, 1H), 8.40 (d, *J* = 8.3 Hz, 2H), 7.80 - 7.62 (m, 2H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.41 (d, *J* = 8.3 Hz, 2H), 4.57 (q, *J* = 7.1 Hz, 2H), 2.48 (s, 3H), 1.52 (t, *J* =7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.8, 157.4, 150.2, 145.9, 145.5, 140.5, 132.8, 131.8, 130.8, 129.5, 129.2, 127.6, 123.7, 121.5, 119.2, 112.1, 100, 61.5, 21.6, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{21}H_{17}NO_3$ 332.1286; Found 332.1287.

Ethyl 1-([1,1'-biphenyl]-4-yl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5f)



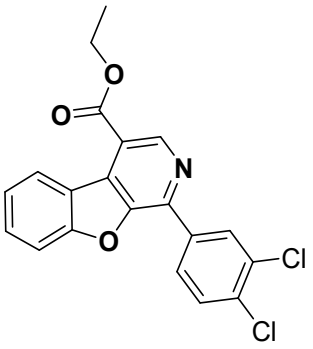
The titled compound was synthesised using General Procedure D, White solid, (65 mg, 40 %), Hexane/Ethylacetate= 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.28 (s, 1H), 8.99 (d, *J* = 8.1 Hz, 1H), 8.58 (d, *J* = 8.6 Hz, 2H), 7.83 (d, *J* = 8.4 Hz, 2H),7.75 - 7.66 (m, 4H), 7.51 - 7.45 (m, 3H), 7.40 (t, *J* = 7.4 Hz, 1H), 4.57 (q, *J* = 7.1 Hz, 2H), 1.52 (t, *J* = 7.2 Hz, 3H), **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.8, 157.4, 150.3, 145.5, 145.3, 142.8, 140.5, 134.5, 132, 130.9, 129.7, 129, 127.8, 127.7, 127.4, 127.3, 123.8, 121.5, 119.5, 112.1, 61.6, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{26}H_{19}NO_3$ 394.1442; Found 394.1457.

Ethyl 1-(4-methoxyphenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5g)



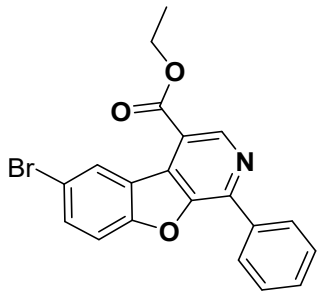
The titled compound was synthesised using General Procedure D, White solid, (47 mg, 25 %), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.25 (s, 1H), 8.99 (d, *J* = 8.1 Hz, 1H), 8.51 (d, *J* = 8.6 Hz, 2H), 7.75 - 7.64 (m, 2H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.12 (d, *J* = 8.6 Hz, 2H), 4.57 (q, *J* = 7.1 Hz, 2H), 3.93 (s, 3H), 1.52 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.9, 161.3, 157.4, 149.9, 145.5, 131.8, 130.9, 130.7, 128.2, 127.7, 123.7, 121.6, 118.8, 114.2, 112, 61.5, 55.5, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{21}H_{17}NO_4$ 348.1235; Found 348.1235.

Ethyl 1-(3,4-dichlorophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5k)



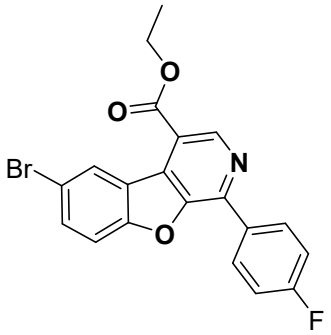
The titled compound was synthesised using General Procedure D, White solid, (55 mg, 33 %), Hexane/Ethylacetate= 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.24 (s, 1H), 8.98 (d, *J* = 7.9 Hz, 1H), 8.66 (s, 1H), 8.41 (d, *J* = 8.4 Hz, 1H), 7.80 - 7.60 (m, 3H), 7.49 (t, *J* = 7.5 Hz, 1H), 4.58 (q, *J* =7.1 Hz, 2H), 1.53 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.5, 157.4, 150.2, 145.4, 142.7, 135.4, 134.3, 133.1, 132.4, 131.2, 131, 130.7, 128.4, 127.8, 124, 121.2, 120.2, 112.1, 61.7, 14.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{13}Cl_2NO_3$ 386.0350; Found 386.0347.

Ethyl 6-bromo-1-phenylbenzofuro[*2,3-c*]pyridine-4-carboxylate (5l)



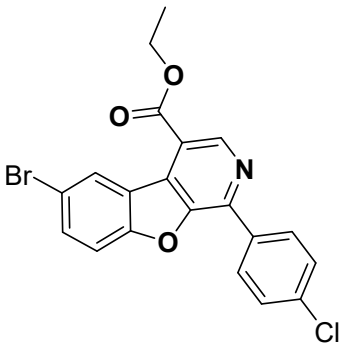
The titled compound was synthesised using General Procedure D, White solid, (57 mg, 35 %), Hexane/Ethylacetate = 99/1. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.27 (s, 1H), 9.15 (d, *J* = 2.1 Hz, 1H), 8.49 – 8.37 (m, 2H), 7.76 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.63 – 7.49 (m, 4H), 4.56 (q, *J* = 7.1 Hz, 2H), 1.53 (t, *J* = 7.1 Hz, 3H); **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.5, 156.1, 150.6, 146.1, 145.7, 135.3, 133.8, 130.9, 130.4, 130.3, 129.3, 128.8, 123.4, 119.4, 116.7, 113.6, 61.8, 29.8, 14.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{14}BrNO_3$ 396.0235; Found 396.0235, 398.0216.

Ethyl 6-bromo-1-(4-fluorophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5m)



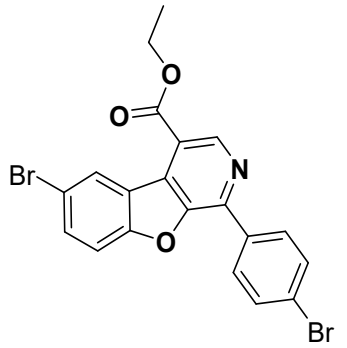
The titled compound was synthesised using General Procedure D, White solid, (37 mg, 23 %), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.25 (s, 1H), 9.16 (d, *J* = 2.1 Hz, 1H), 8.57 – 8.35 (m, 2H), 7.77 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.59 (d, *J* = 8.8 Hz, 1H), 7.31 – 7.22 (m, 2H), 4.57 (q, *J* = 7.1 Hz, 2H), 1.53 (t, *J* = 7.1 Hz, 3H); **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.4, 162.5 (d, *J* = 150Hz), 156, 145.7, 144.9, 133.9, 131.5 (d, *J* = 7.5Hz), 131.3 (d, *J* = 8.75Hz), 131, 130.4, 123.3, 119.4, 116.8, 116.1-115.8 (dd, *J* = 21.25Hz, 10Hz) 113.5, 61.8, 29.7, 14.3. **^{19}F{^{1}H}-NMR** (470 MHz, $CDCl_3$) δ -110.49 (t, J = 8.4 Hz, 1F), **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{13}BrFNO_3$ 414.0140; Found 414.0149, 416.0154.

Ethyl 6-bromo-1-(4-chlorophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5n)



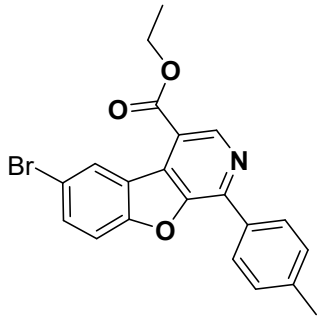
The titled compound was synthesised using General Procedure D, White solid, (28 mg, 18 %), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.24 (d, *J* = 1.2 Hz, 1H), 9.14 (d, *J* = 2.1 Hz, 1H), 8.48 – 8.31 (m, 2H), 7.76 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.61 – 7.50 (m, 3H), 4.56 (q, *J* = 7.2 Hz, 2H), 1.53 (t, *J* = 7.2 Hz, 3H); **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.3, 156, 150.4, 145.7, 144.6, 136.6, 133.9, 133.7, 131, 130.6, 130.4, 129, 123.2, 119.7, 116.8, 113.5, 61.9, 14.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{13}BrClNO_3$ 429.9845; Found 429.9840, 431.9819, 433.9785.

Ethyl 6-bromo-1-(4-bromophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5o)



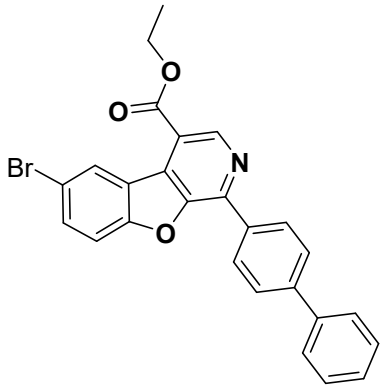
The titled compound was synthesised using General Procedure D, White solid, (32mg, 23 %), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.25 (s, 1H), 9.15 (d, *J* = 2.1 Hz, 1H), 8.44 – 8.24 (m, 2H), 7.77 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.74 – 7.68 (m, 2H), 7.58 (d, *J* = 8.7 Hz, 1H), 4.57 (q, *J* = 7.2 Hz, 3H), 1.52 (d, *J* = 7.2 Hz, 3H); **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.4, 156, 150.4, 145.7, 144.7, 134.1, 133.9, 132, 131.1, 130.8, 130.4, 125.1, 123.3, 119.7, 116.9, 113.5, 61.9, 29.8, 14.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{20}H_{13}Br_2NO_3$ 473.9340; Found 473.9345, 475.9315, 477.9331.

Ethyl 6-bromo-1-(p-tolyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5p)



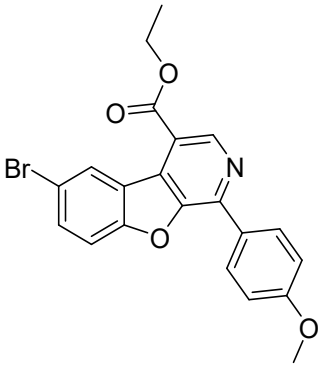
The titled compound was synthesised using General Procedure D, White solid, (63mg, 40 %), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.26 (s, 1H), 9.15 (d, *J* = 2.0 Hz, 1H), 8.42 – 8.17 (m, 2H), 7.75 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.58 (d, *J* = 8.7 Hz, 1H), 7.39 (d, *J* = 8.0 Hz, 2H), 4.56 (q, *J* = 7.1 Hz, 3H), 2.46 (s, 3H), 1.52 (d, *J* = 7.2 Hz, 3H); **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.5, 156.0, 150.4, 146.2, 145.7, 140.7, 133.7, 132.5, 130.7, 130.3, 129.6, 129.3, 129.1, 123.4, 119.1, 116.6, 113.5, 61.7, 29.8, 21.6. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{21}H_{16}BrNO_3$ 410.0391; Found 410.0391, 412.0364.

Ethyl 1-([1,1'-biphenyl]-4-yl)-6-bromobenzofuro[*2,3-c*]pyridine-4-carboxylate (5q)



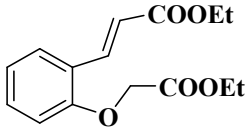
The titled compound was synthesised using General Procedure D, White solid, (30mg, 22 %), Hexane/Ethylacetate = 99/1, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.29 (s, 1H), 9.17 (d, *J* = 2.1 Hz, 1H), 8.61 – 8.47 (m, 2H), 7.85 – 7.80 (m, 2H), 7.77 (dd, *J* = 8.8, 2.1 Hz, 1H), 7.72 – 7.68 (m, 2H), 7.61 (d, *J* = 8.8 Hz, 1H), 7.49 (t, *J* = 7.6 Hz, 3H), 7.43 – 7.37 (m, 1H), 4.57 (q, *J* = 7.1 Hz, 3H), 1.54 (d, *J* = 7.2 Hz, 3H); **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 165.5, 156.1, 145.8, 145.7, 143.0, 140.4, 134.2, 133.8, 130.9, 130.4, 129.7, 129, 127.9, 127.5, 127.3, 123.4, 119.4, 116.7, 113.6, 61.8, 29.8, 29.4, 14.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{26}H_{18}BrNO_3$ 472.0548; Found 472.0545, 474.0553.

Ethyl 6-bromo-1-(4-methoxyphenyl)benzofuro[2,3-c]pyridine-4-carboxylate (5r)



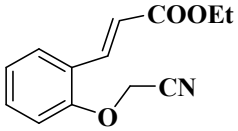
The titled compound was synthesised using General Procedure D, White solid, (18mg, 12 %), Hexane/Ethylacetate = 99/1. **^{1}H NMR** (500 MHz, $CDCl_3$) δ 9.26 (s, 1H), 9.18 (s, 1H), 8.48 (d, *J* = 8.9 Hz, 2H), 7.77 (d, *J* = 8.8 Hz, 1H), 7.60 (d, *J* = 8.8 Hz, 1H), 7.12 (d, *J* = 8.9 Hz, 2H), 4.57 (q, *J* = 7.2, 7.1 Hz, 2H), 3.93 (s, 3H), 1.53 (t, *J* = 7.2, 7.2 Hz, 3H).**^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) 165.6, 161.5, 156, 150.1, 145.9, 145.8, 133.6, 130.9, 130.6, 130.3, 127.9, 123.5, 118.7, 116.6, 114.2, 113.5, 61.7, 55.5, 29.8, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{21}H_{16}BrNO_4$ 426.0341; Found 426.0342, 428.0325

Ethyl (E)-3-(2-(2-ethoxy-2-oxoethoxy) phenyl) acrylate (7a)



The titled compound was synthesised using General Procedure B using 2-bromoethylacetate, Colourless oil, (256 mg, 88 % yield), Hexane/Ethylacetate = 97/3, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 8.00 (d, *J* = 16.2 Hz, 1H), 7.52 (d, *J* = 7.8 Hz, 1H), 7.31 (t, *J* = 7.0 Hz, 1H), 7.00 (t, *J* = 7.0 Hz, 1H), 6.78 (d, *J* = 8.3 Hz, 1H), 6.65 (d, *J* = 16.2 Hz, 1H), 4.70 (s, 2H), 4.31 - 4.23 (m, 4H), 1.35 - 1.32 (m, 3H), 1.32 - 1.29 (m, 3H). **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 171.4, 168.6, 167.7, 156.7, 140, 131.3, 129.7, 124.2, 122, 121.8, 119.7, 112.1, 65.8, 61.6, 60.5, 14.5. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{15}H_{18}O_5$, 279.1232; Found 279.1235, $[M+Na]^+$ Calcd 301.1046; Found 301.1069

Ethyl (E)-3-(2-(cyanomethoxy) phenyl) acrylate (7c)



The titled compound was synthesised using General Procedure B using 2-bromoacetonitrile, Colourless oil, (223 mg, 93% yield), Hexane/Ethylacetate = 97/3, **^{1}H NMR** (500 MHz, $CDCl_3$) δ 7.94 (d, *J* = 16.2 Hz, 1H), 7.58 (d, *J* = 9.6 Hz, 1H), 7.44 - 7.37 (m, 1H), 7.11 (t, *J* = 7.5 Hz,

1H), 7.01 (d, J = 7.5 Hz, 1H), 6.48 (d, J = 16.2 Hz, 1H), 4.86 (s, 2H), 4.27 (q, J = 7.1 Hz, 2H), 1.34 (t, J = 7.1 Hz, 3H), **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) δ 167.1, 154.8, 138.4, 129, 124.6, 123.8, 122.9, 120.3, 119.8, 114.8, 112.5 (dd, J = 98.2, 27.7 Hz), 100, 60.6, 53.7, 14.4. **HRMS** (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{13}H_{13}NO_3$, 232.0973; Found 232.0975, $[M+Na]^+$ Calcd 254.0787; Found 254.0802.

5. Spectral details

Ethyl (*E*)-3-(2-hydroxyphenyl)acrylate (1a)

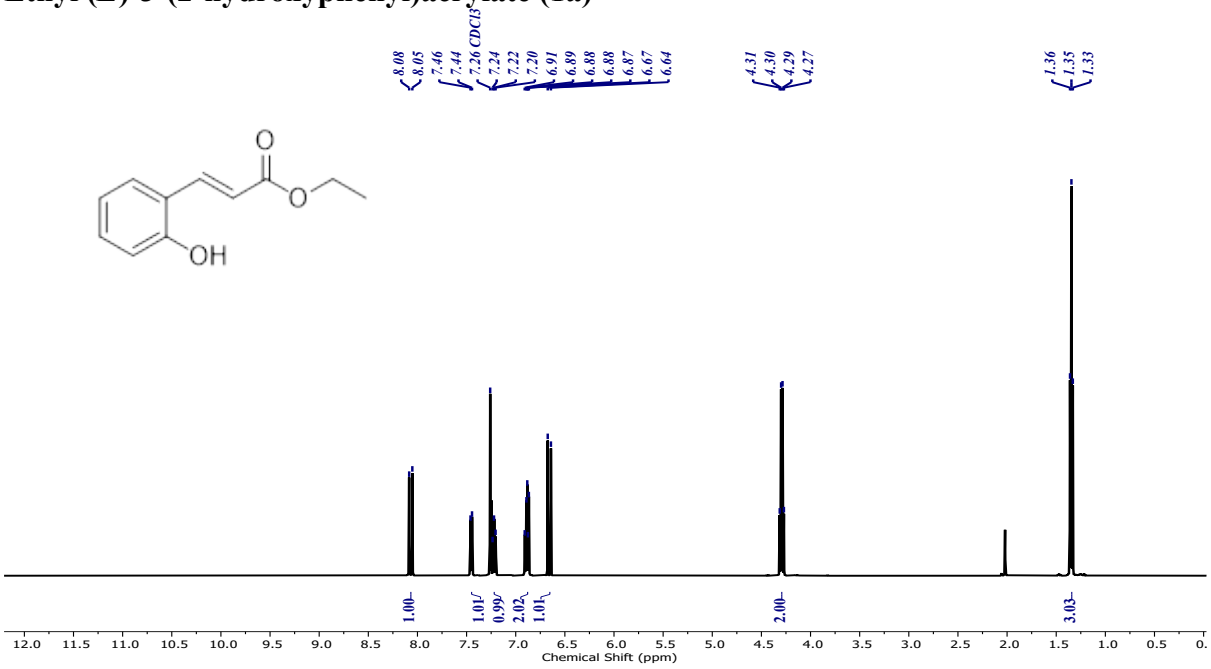


Figure S1. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl (E)-3-(2-hydroxyphenyl)acrylate (**1a**)

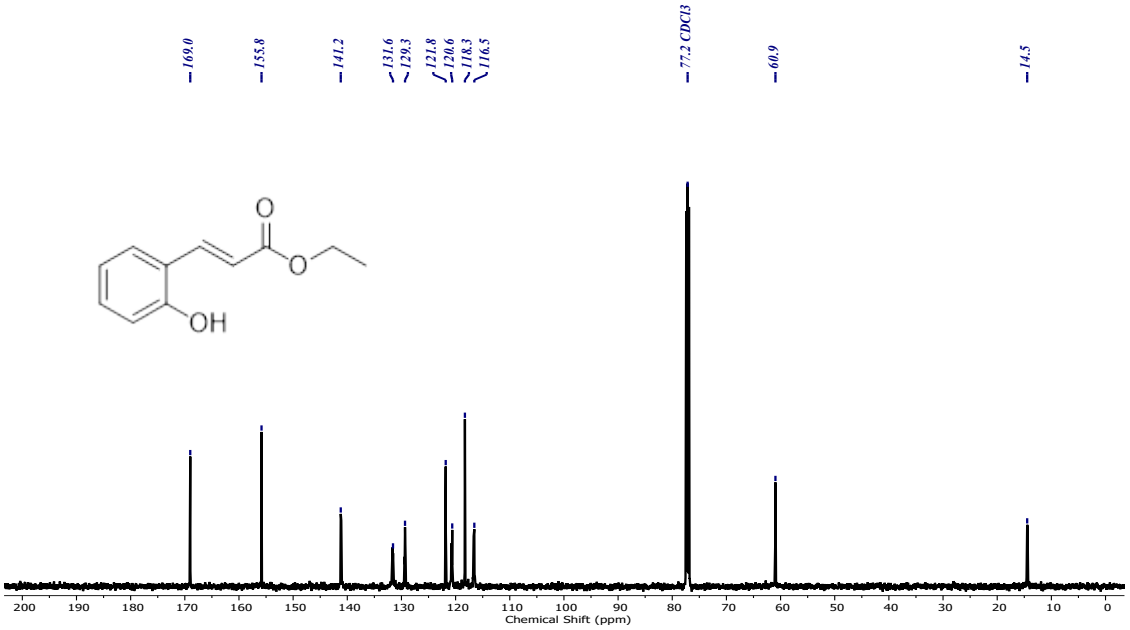


Figure S2. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl (E)-3-(2-hydroxyphenyl) acrylate (**1a**)

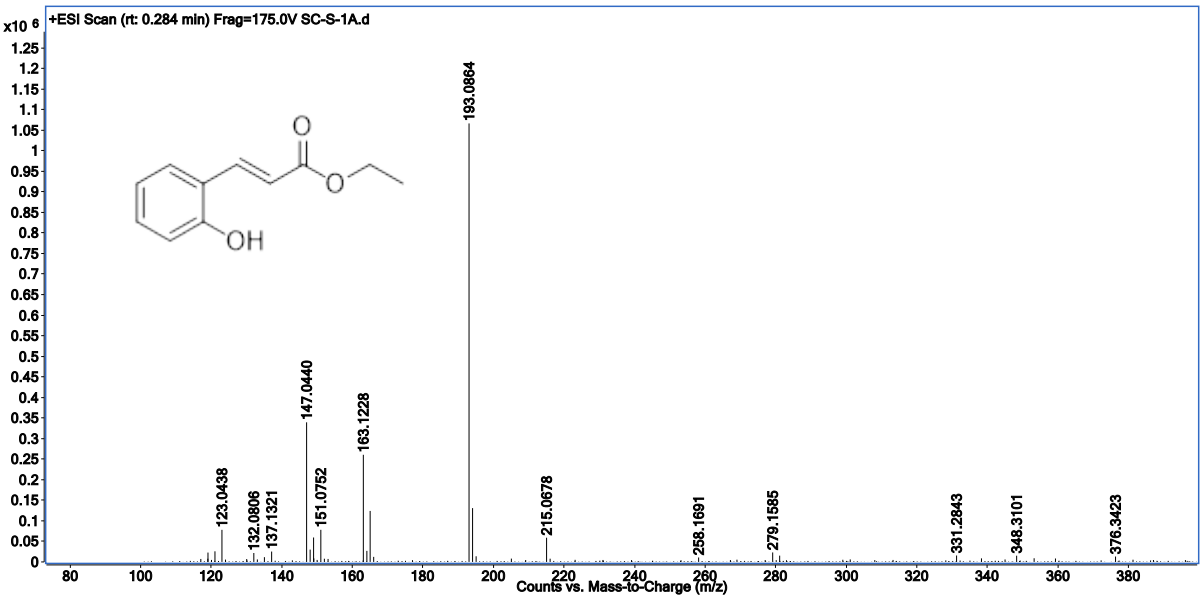


Figure S3. HRMS mass spectrum of Ethyl (E)-3-(2-hydroxyphenyl)acrylate (**1a**).

Ethyl (*E*)-3-(5-bromo-2-hydroxyphenyl)acrylate (1b)

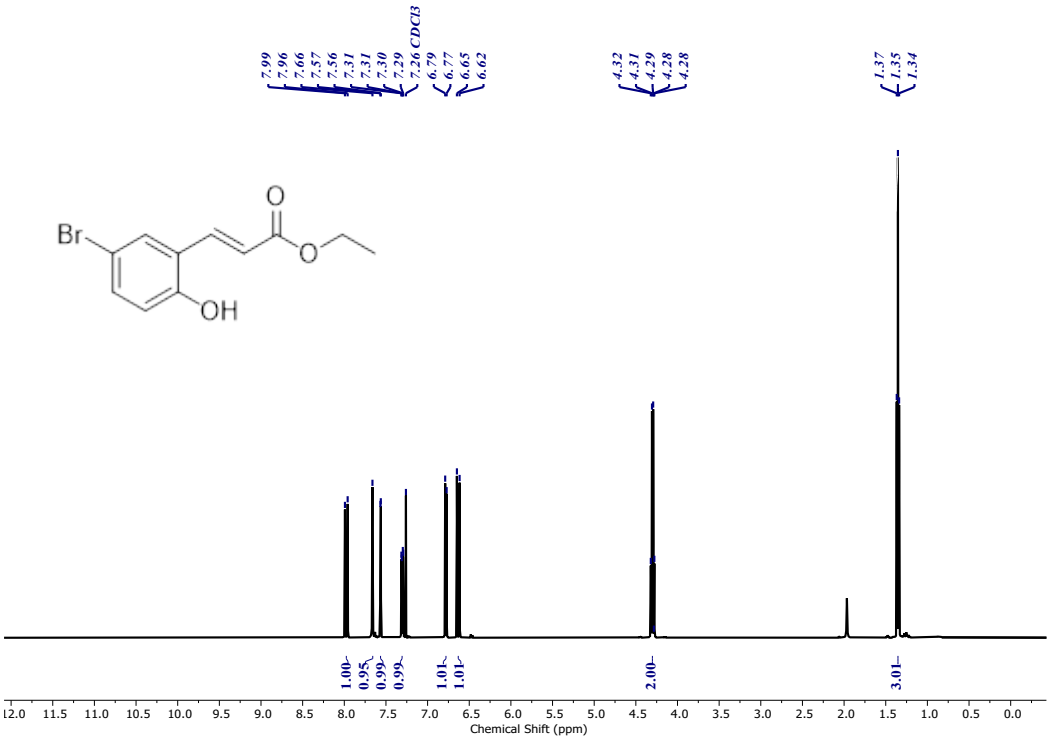


Figure S4. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl (E)-3-(5-bromo-2-hydroxyphenyl)acrylate (**1b**)

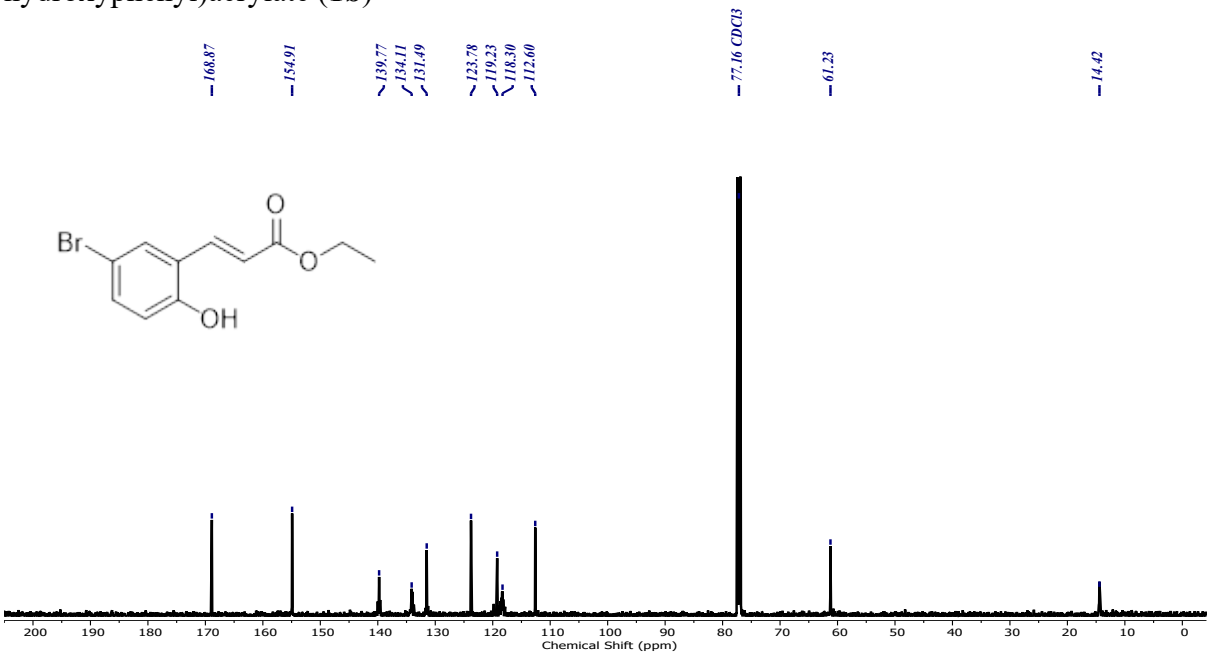


Figure S5. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl (E)-3-(5-bromo-2-hydroxyphenyl)acrylate (**1b**)

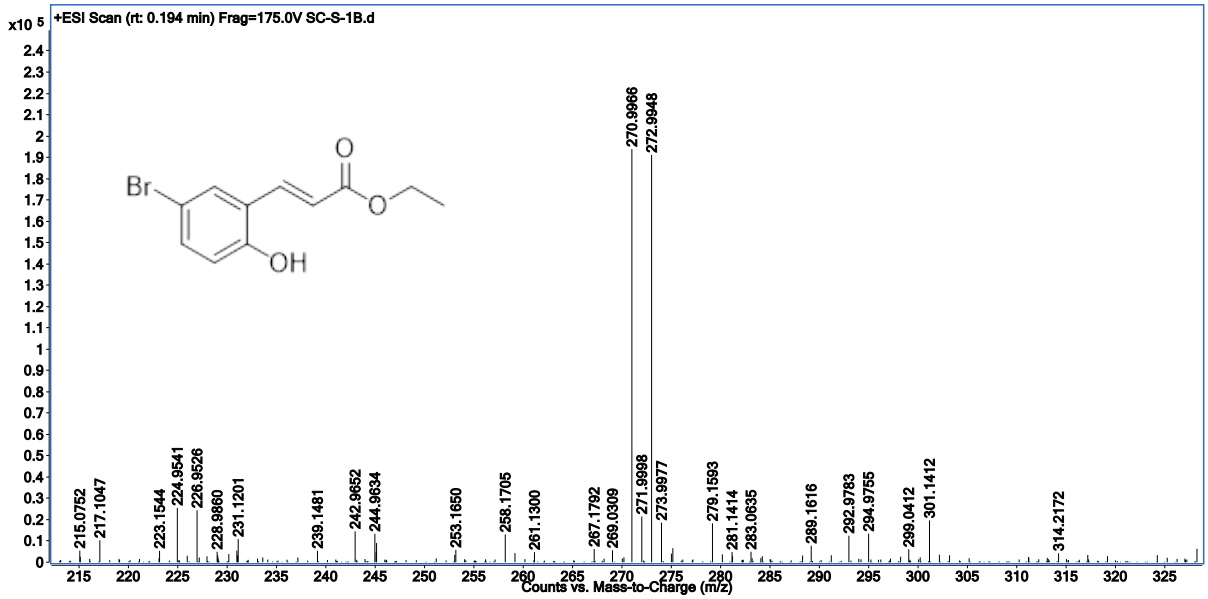


Figure S6. HRMS Mass spectrum of Ethyl (E)-3-(5-bromo-2-hydroxyphenyl)acrylate (**1b**)

Ethyl (E)-3-(2-(2-oxo-2-phenylethoxy)phenyl)acrylate (Intermediate I)

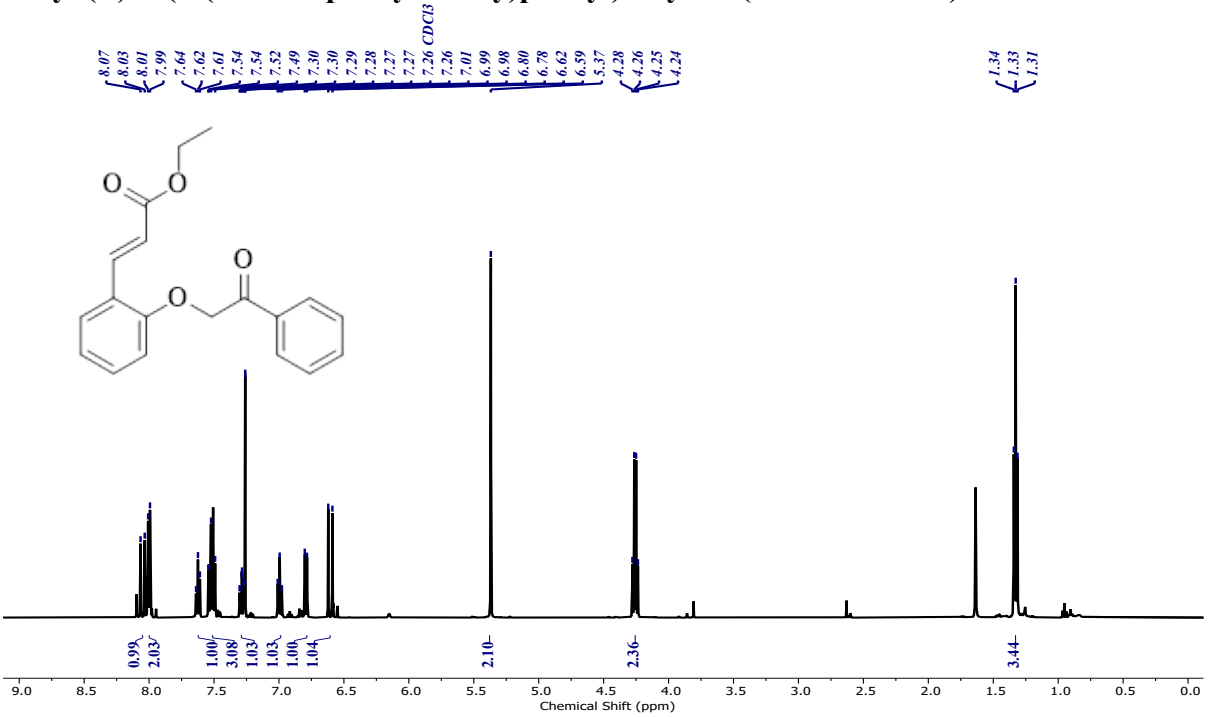


Figure S7. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl (E)-3-(2-(2-oxo-2-phenylethoxy)phenyl)acrylate (Intermediate I).

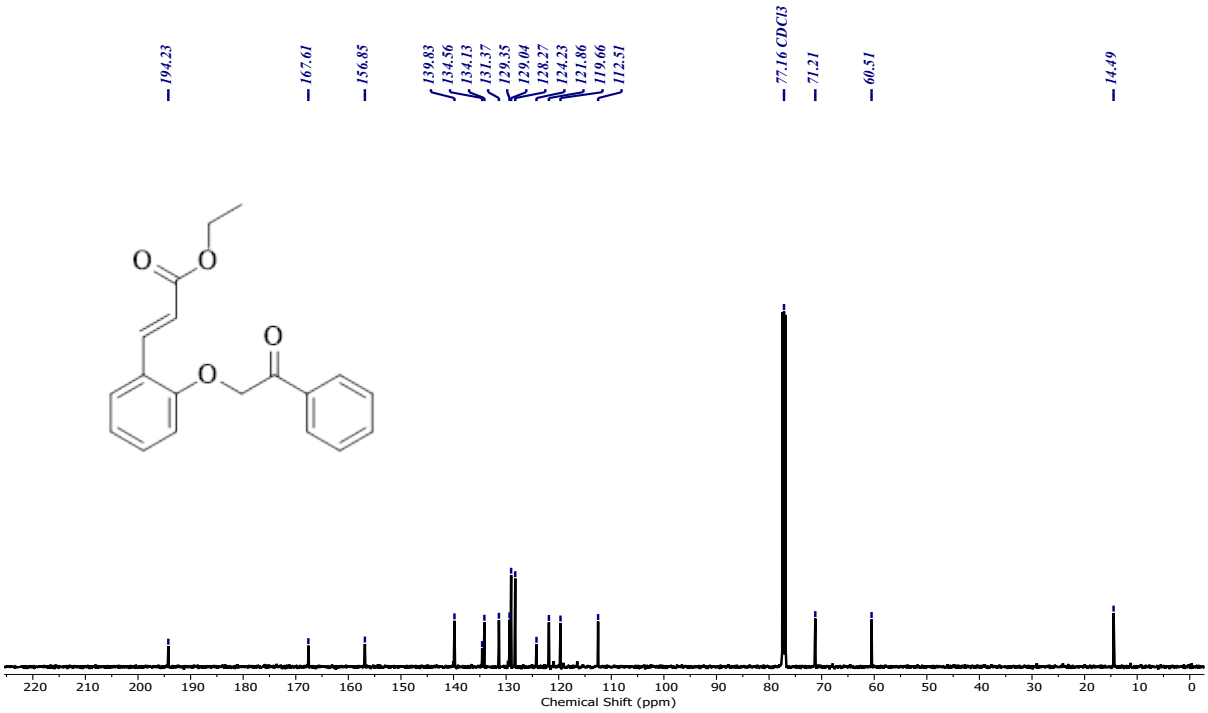


Figure S8. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) of Ethyl (E)-3-(2-(2-oxo-2-phenylethoxy)phenyl)acrylate (Intermediate I)

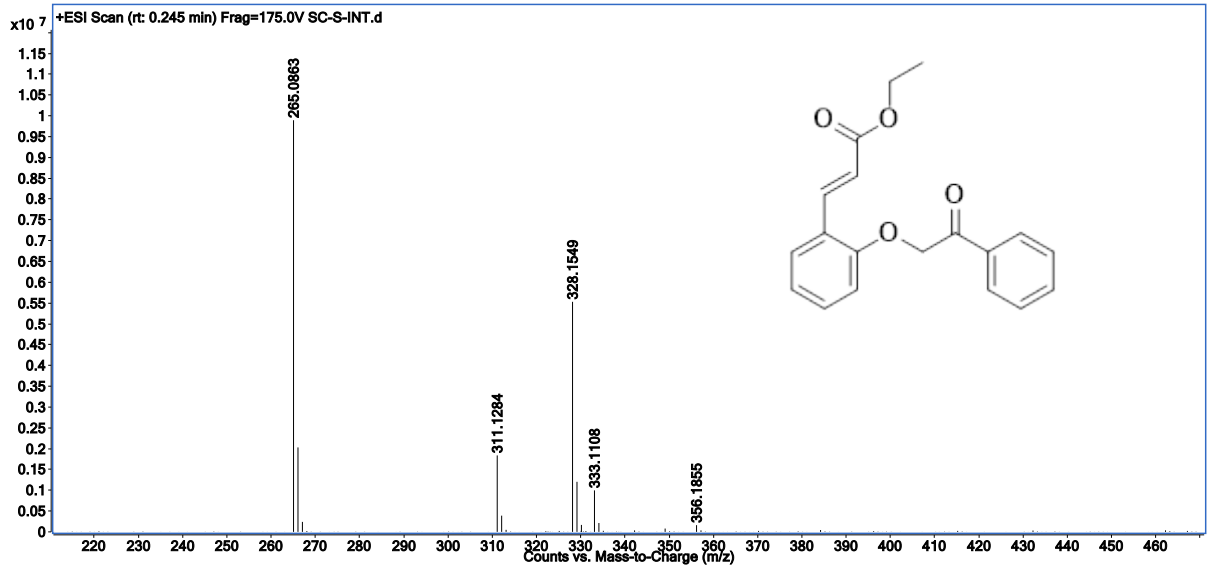


Figure S9. HRMS Mass spectrum of Ethyl (E)-3-(2-(2-oxo-2-phenylethoxy)phenyl)acrylate (**Intermediate I**)

Ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)acetate (3a)

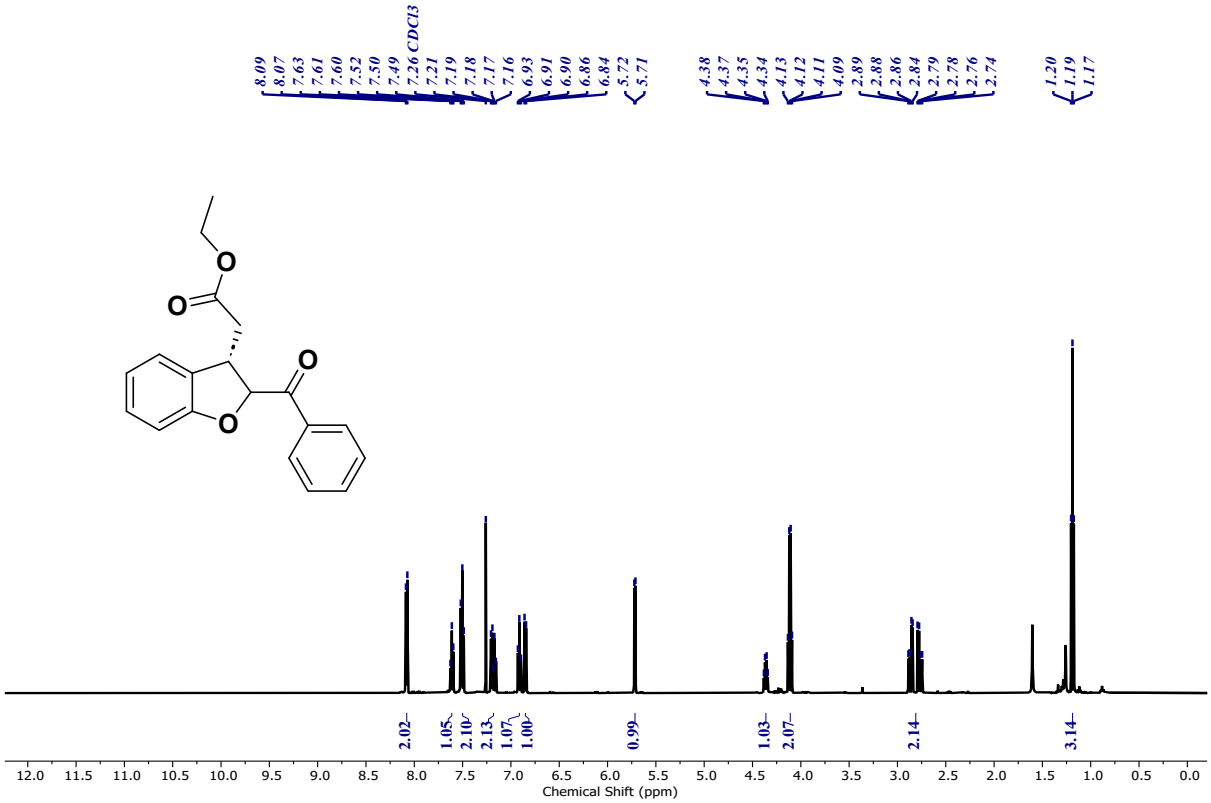


Figure S10. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)acetate (**3a**)

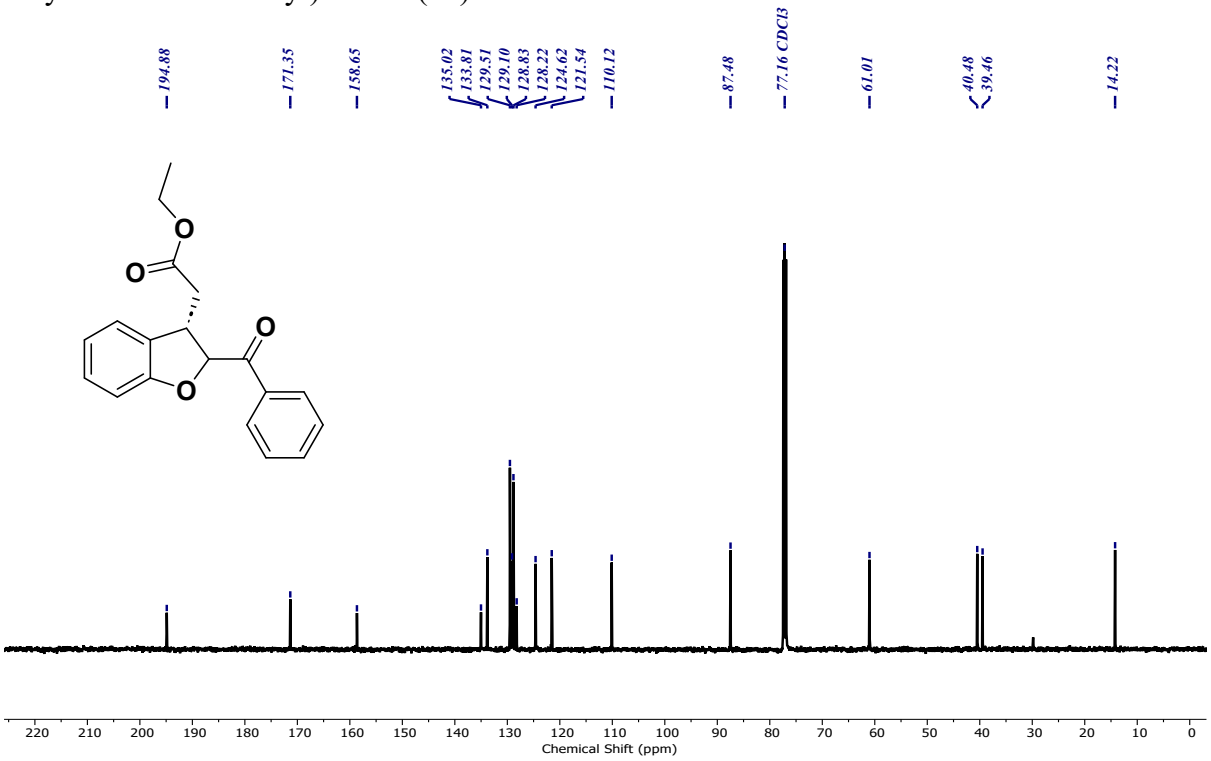


Figure S11. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)acetate (**3a**)

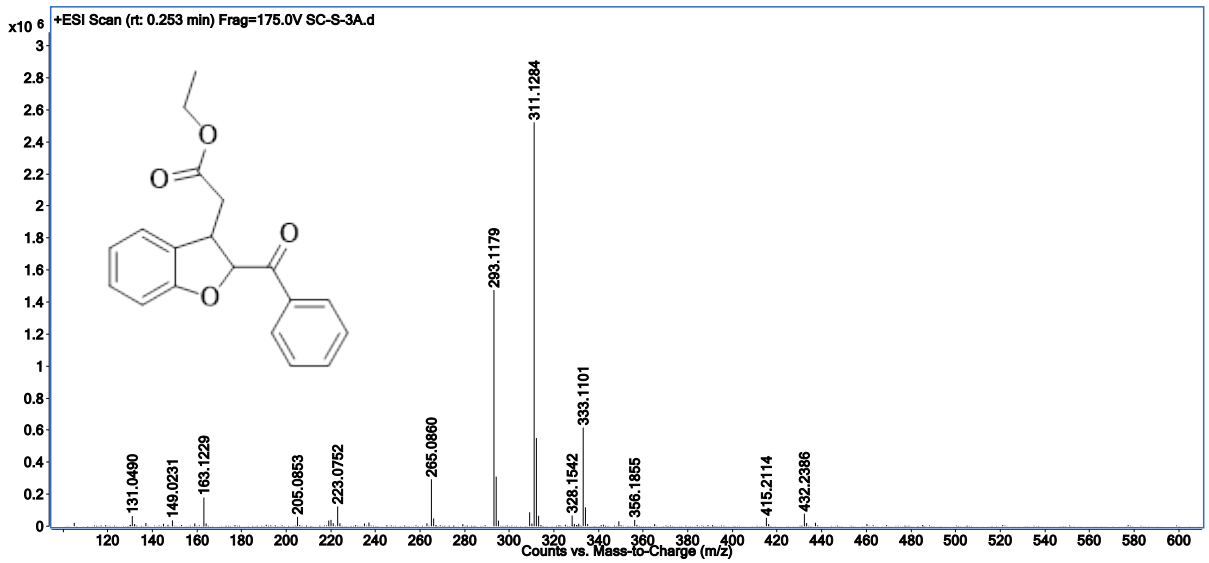


Figure S12. HRMS Mass spectrum of Ethyl 2-(2-benzoyl-2,3-dihydrobenzofuran-3-yl)acetate (**3a**)

Ethyl 2-(2-(4-fluorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3b)

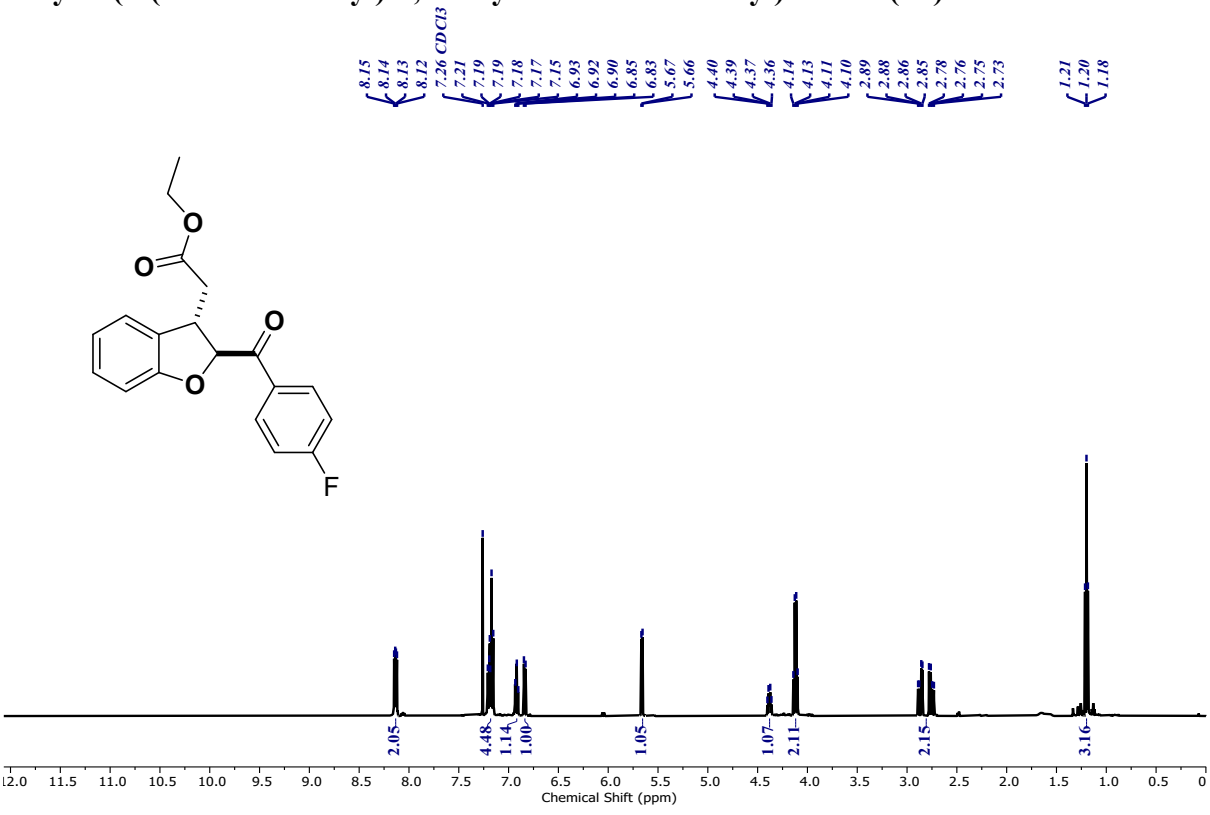


Figure S13. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-fluorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3b**)

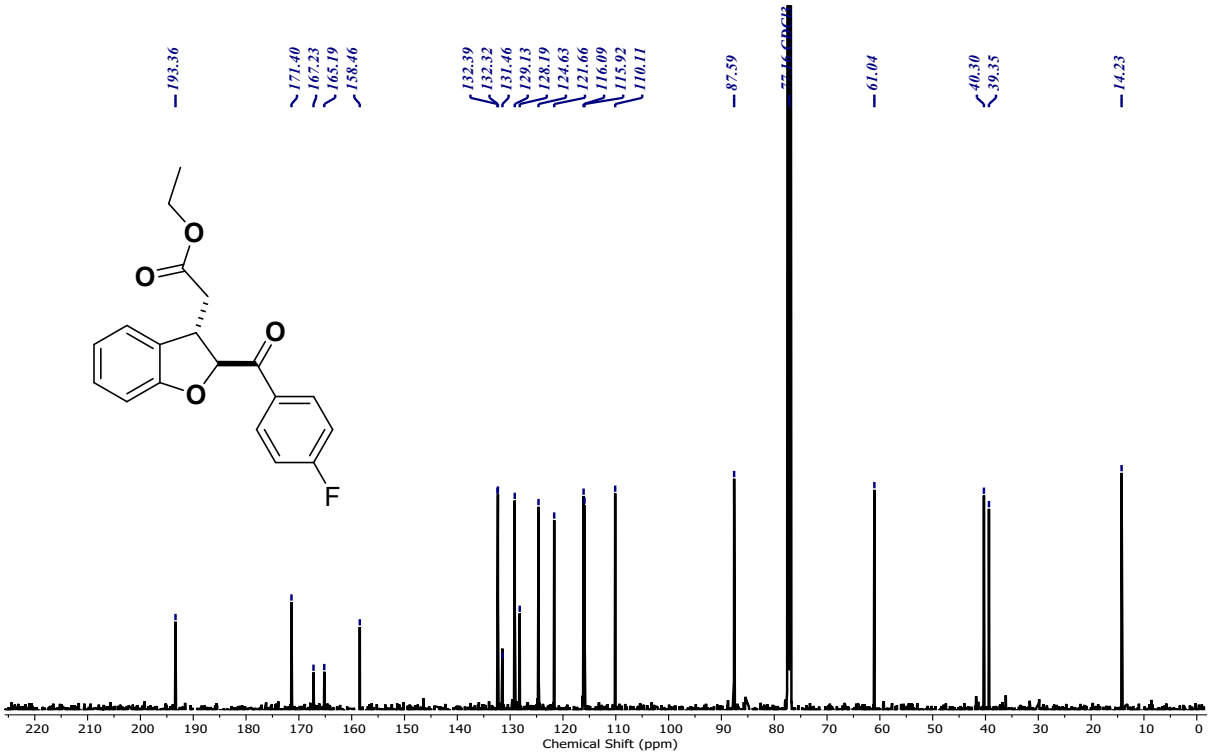


Figure S14. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-fluorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3b**)

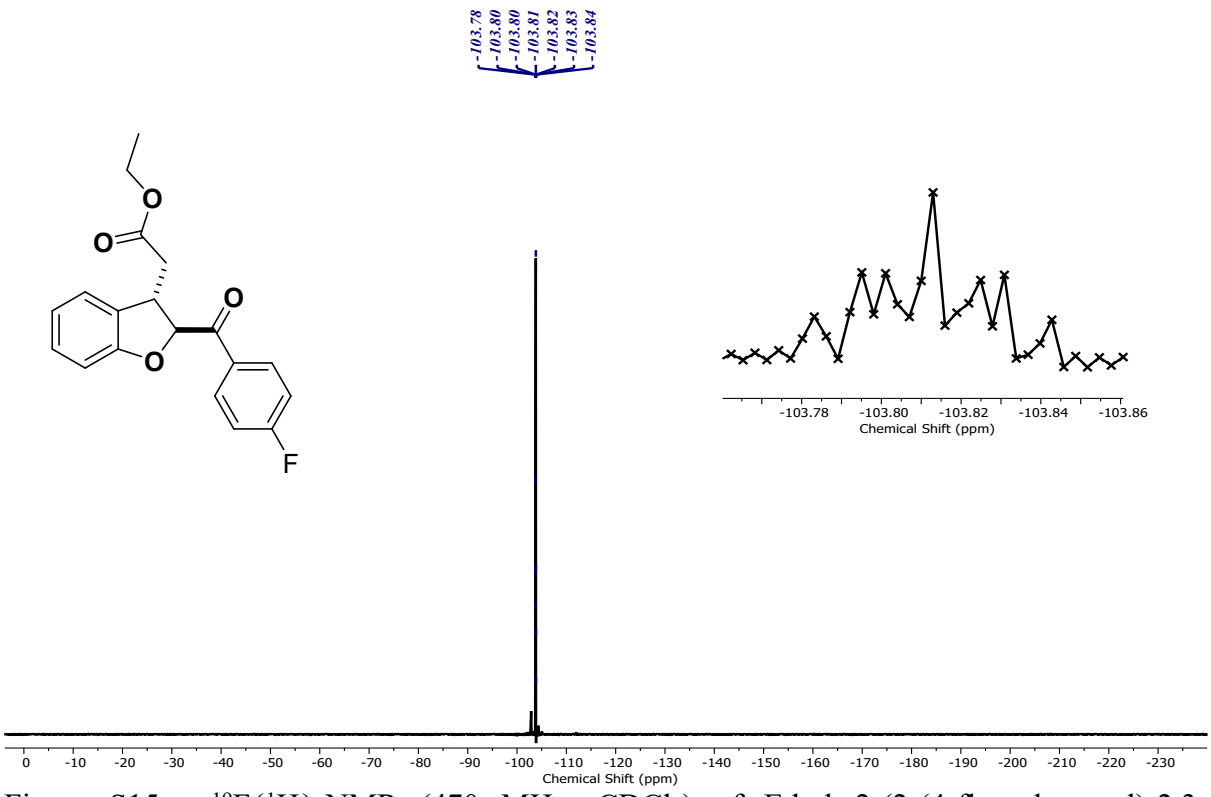


Figure S15. $^{19}F\{^{1}H\}$-NMR (470 MHz, $CDCl_3$) of Ethyl 2-(2-(4-fluorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3b**)

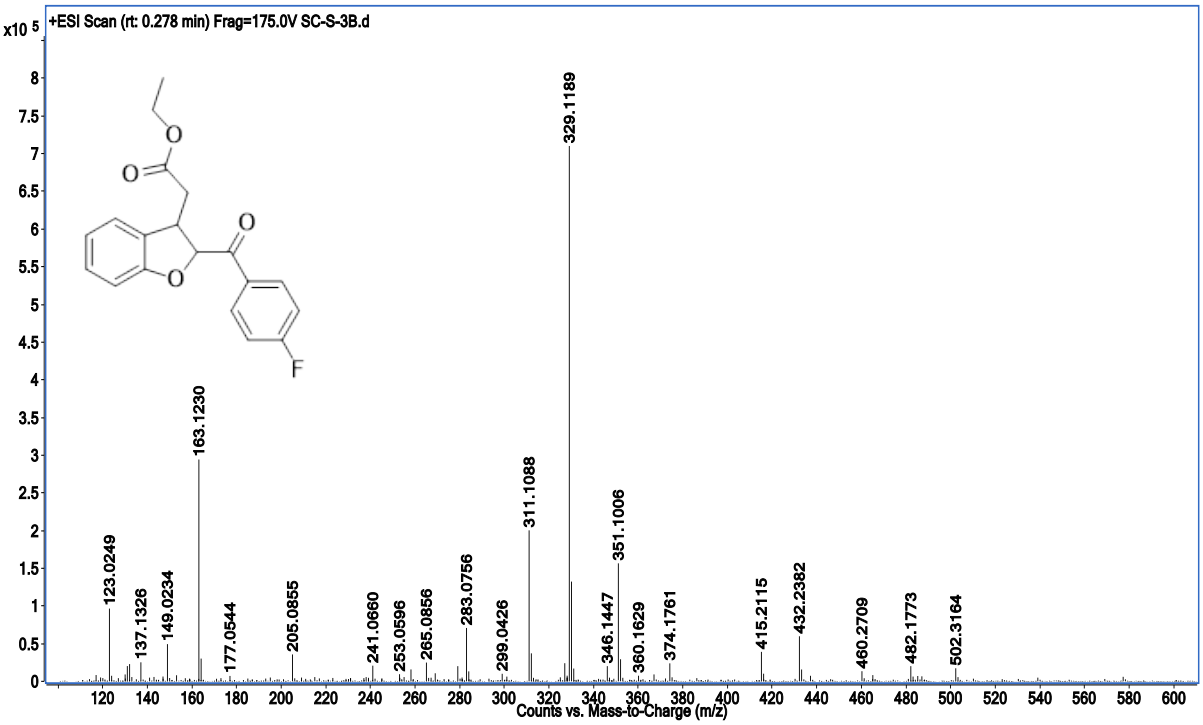


Figure S16. HRMS Mass spectrum of Ethyl 2-(2-(4-fluorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3b**)

Ethyl 2-(2-(4-chlorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3c)

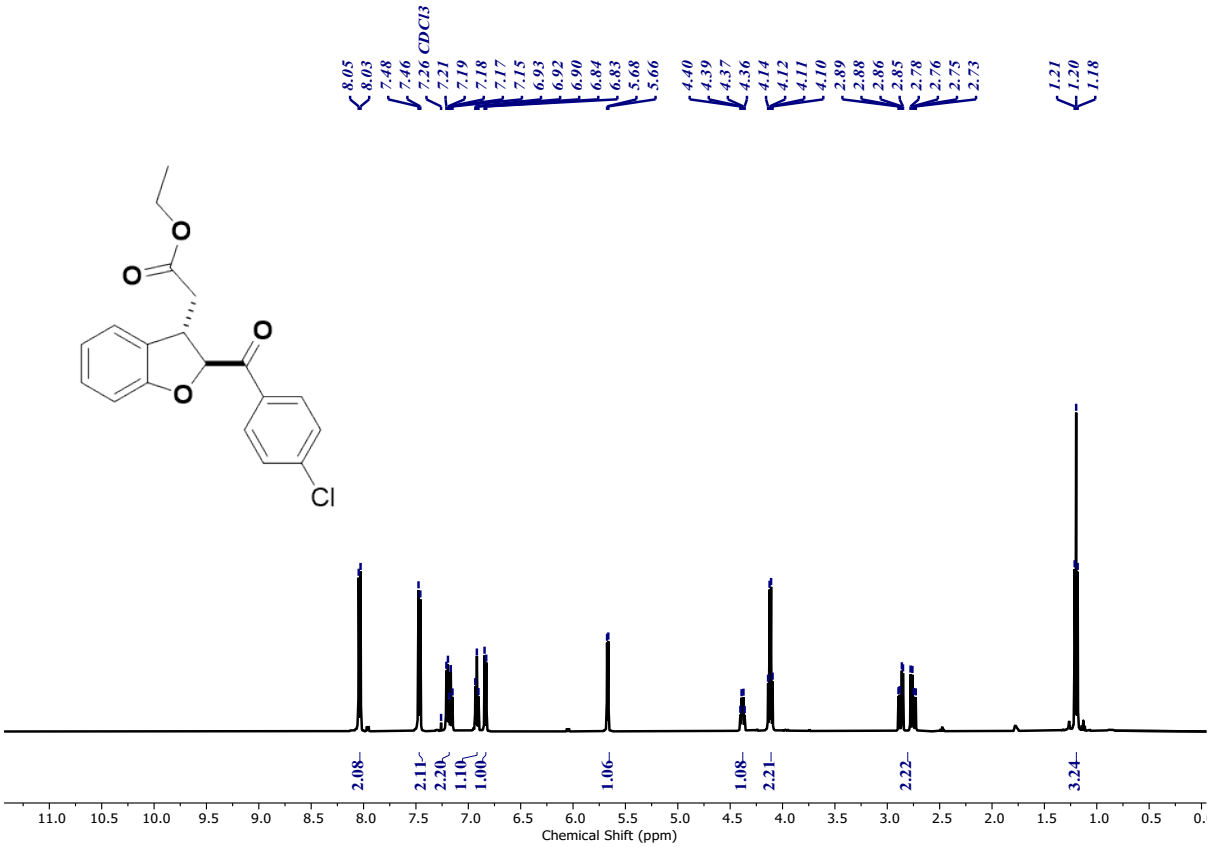


Figure S17. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-chlorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3c**)

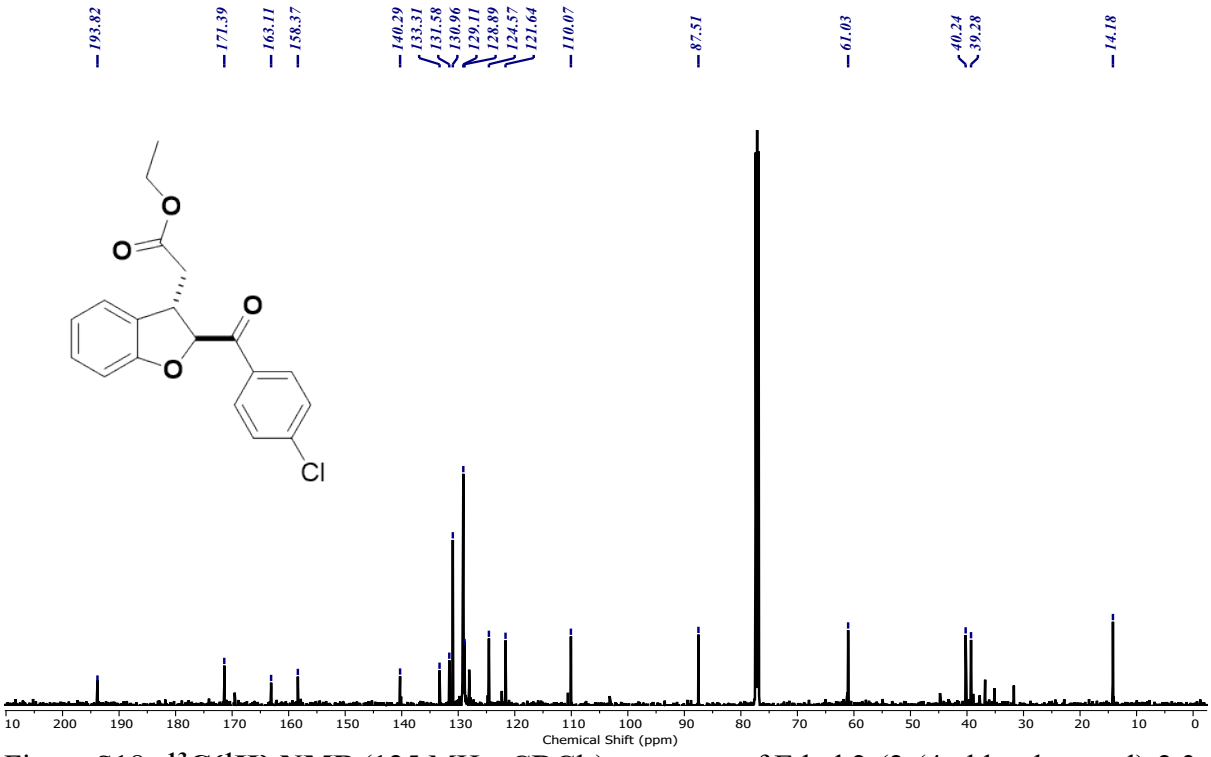


Figure S18. **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-chlorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3c**)

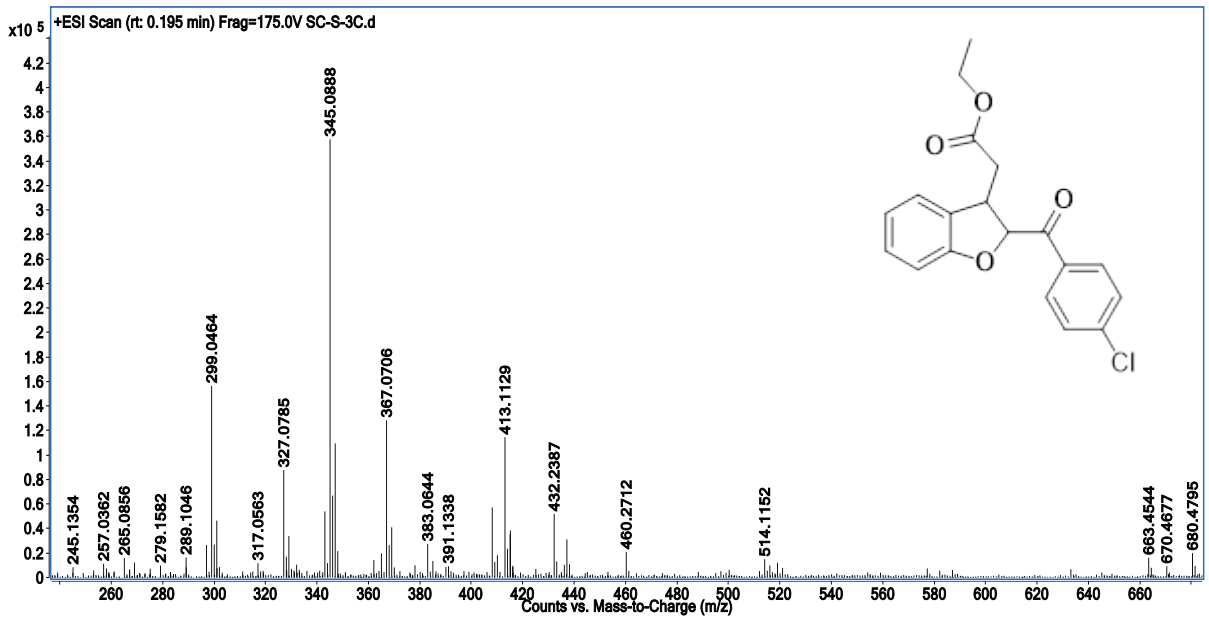


Figure S19. HRMS Mass spectrum of Ethyl 2-(2-(4-chlorobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3c**)

Ethyl 2-(2-(4-bromobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3d)

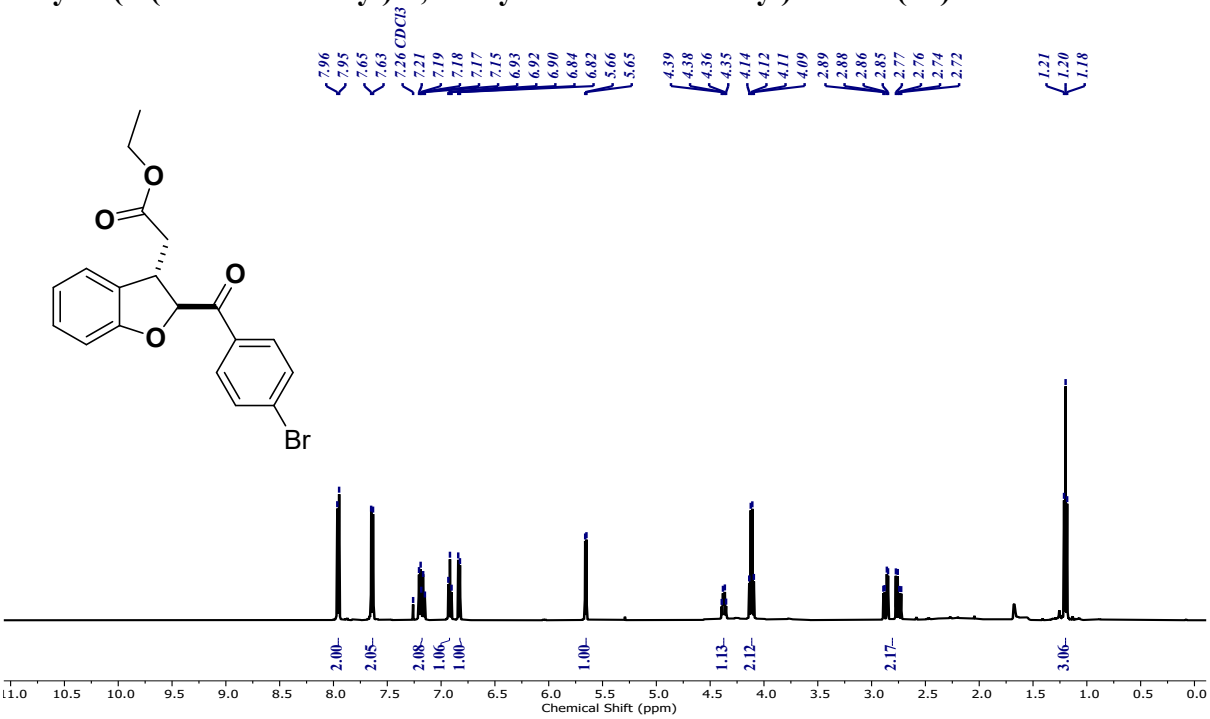


Figure S20. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-bromobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3d**)

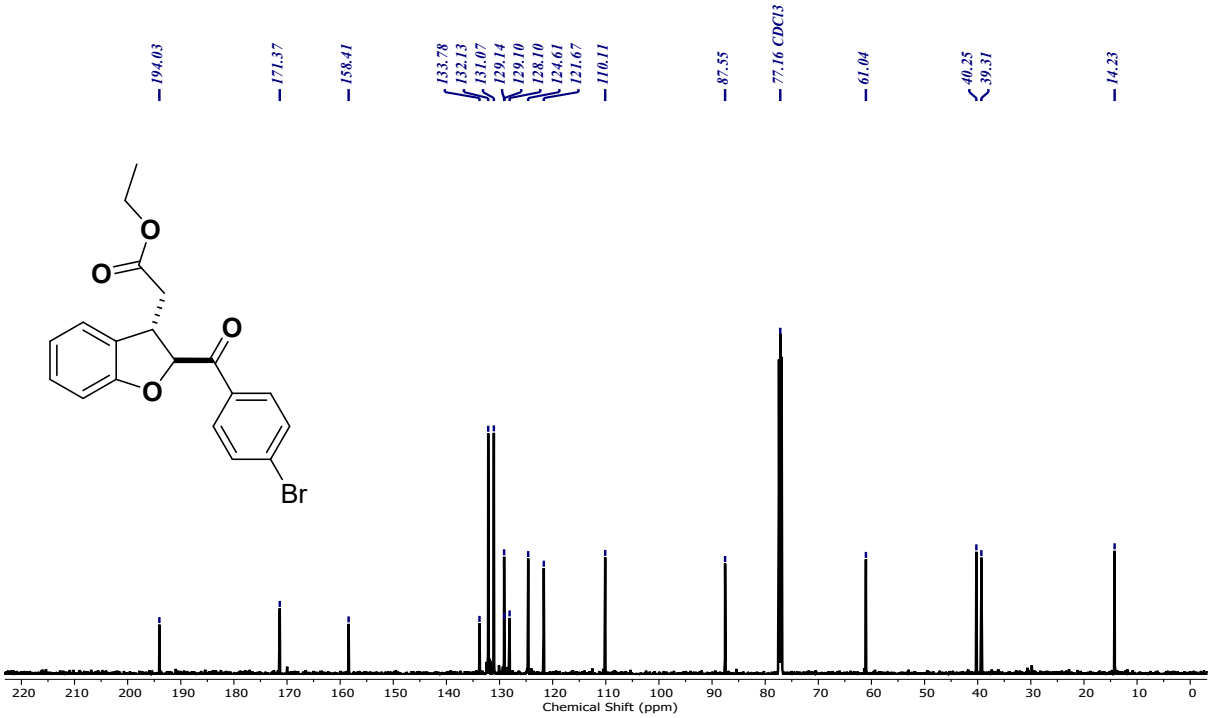


Figure S21. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-bromobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3d**)

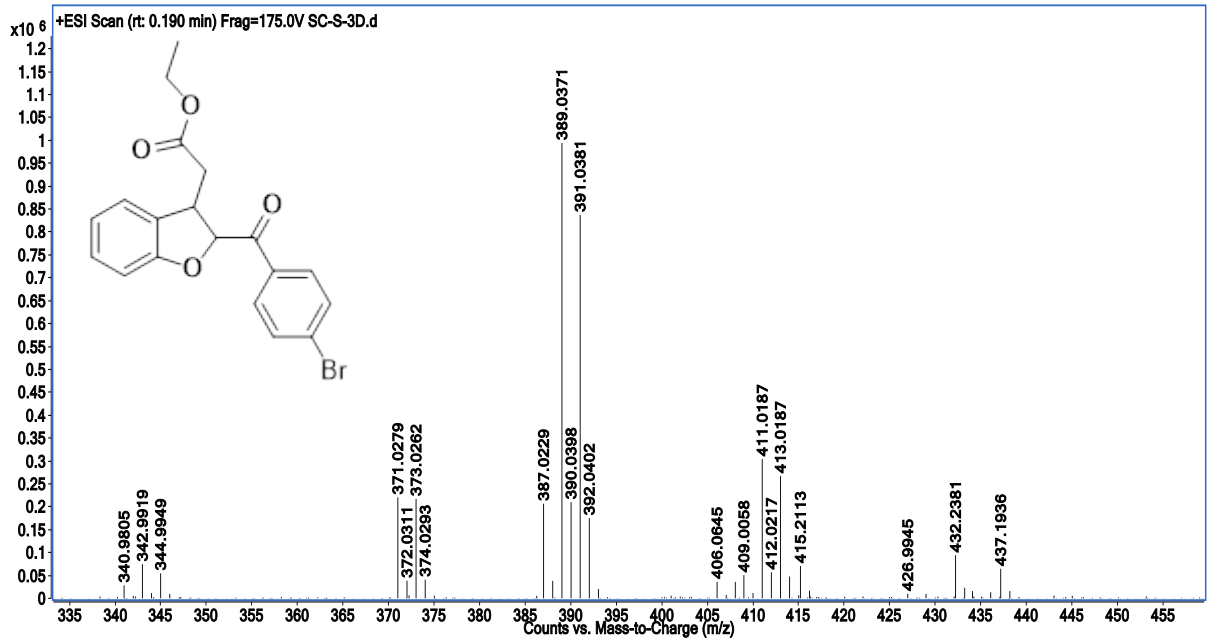


Figure S22. HRMS Mass spectrum of Ethyl 2-(2-(4-bromobenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3d**)

Ethyl 2-(2-(4-methylbenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3e)

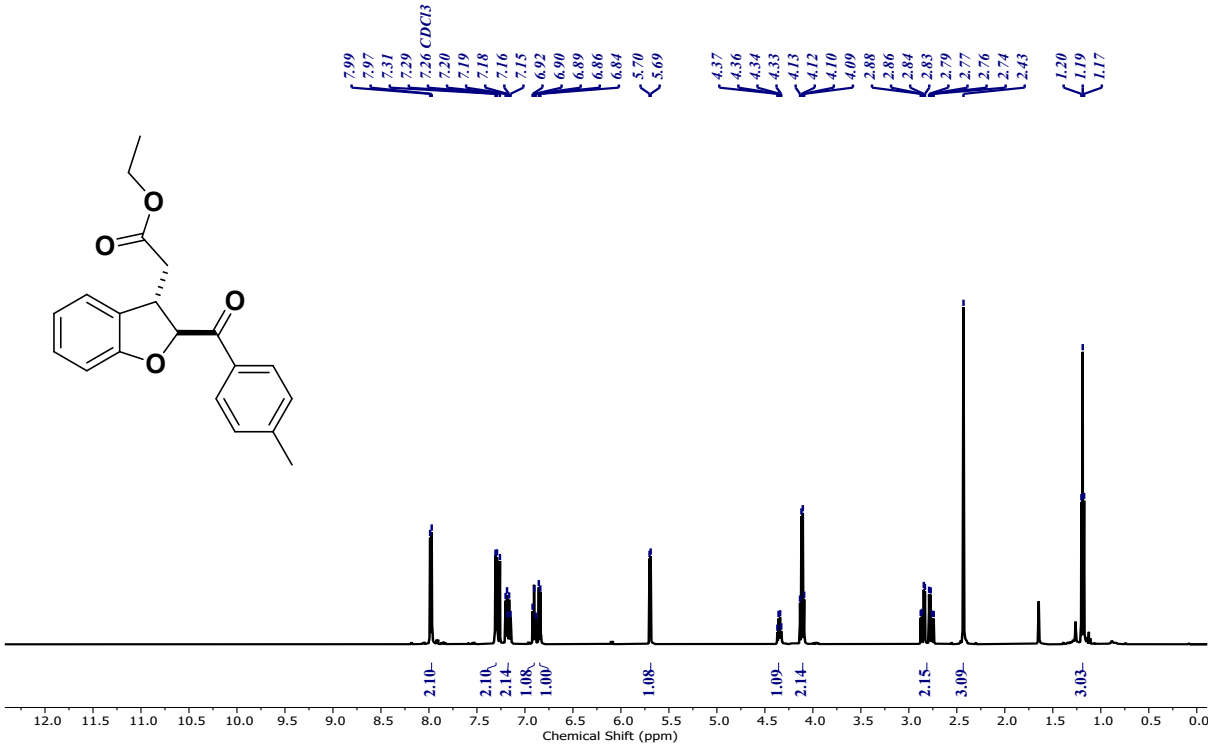


Figure S23. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-methylbenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3e**)

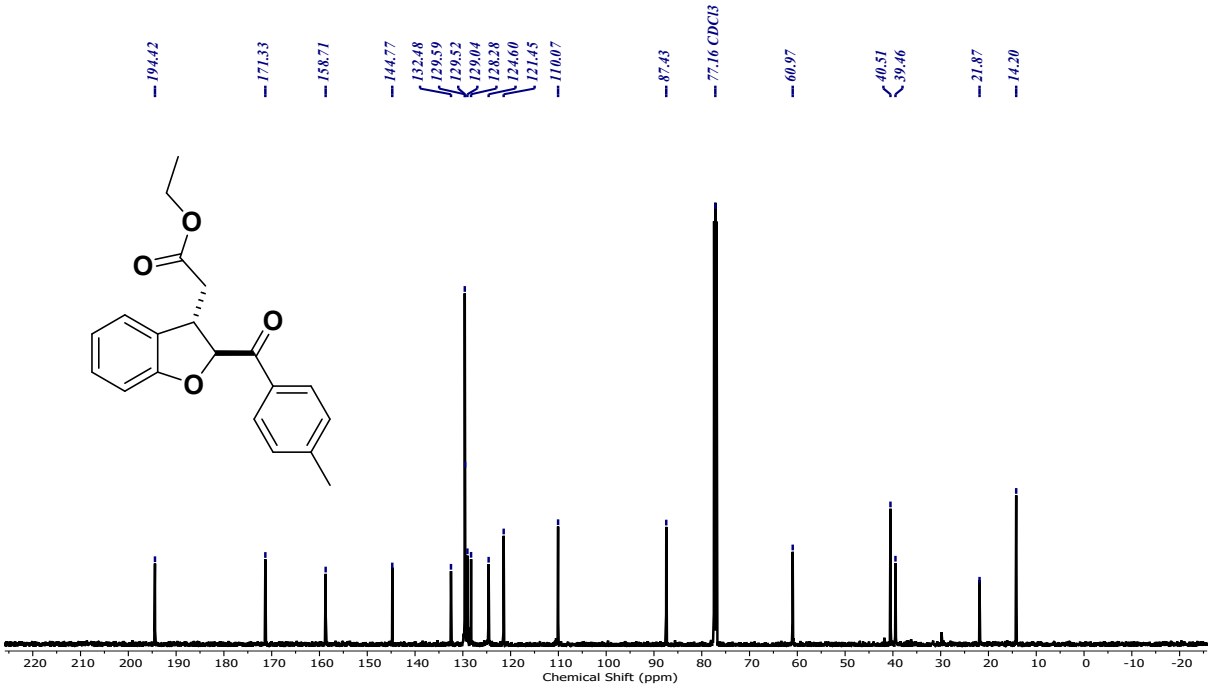


Figure S24. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-methylbenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3e**)

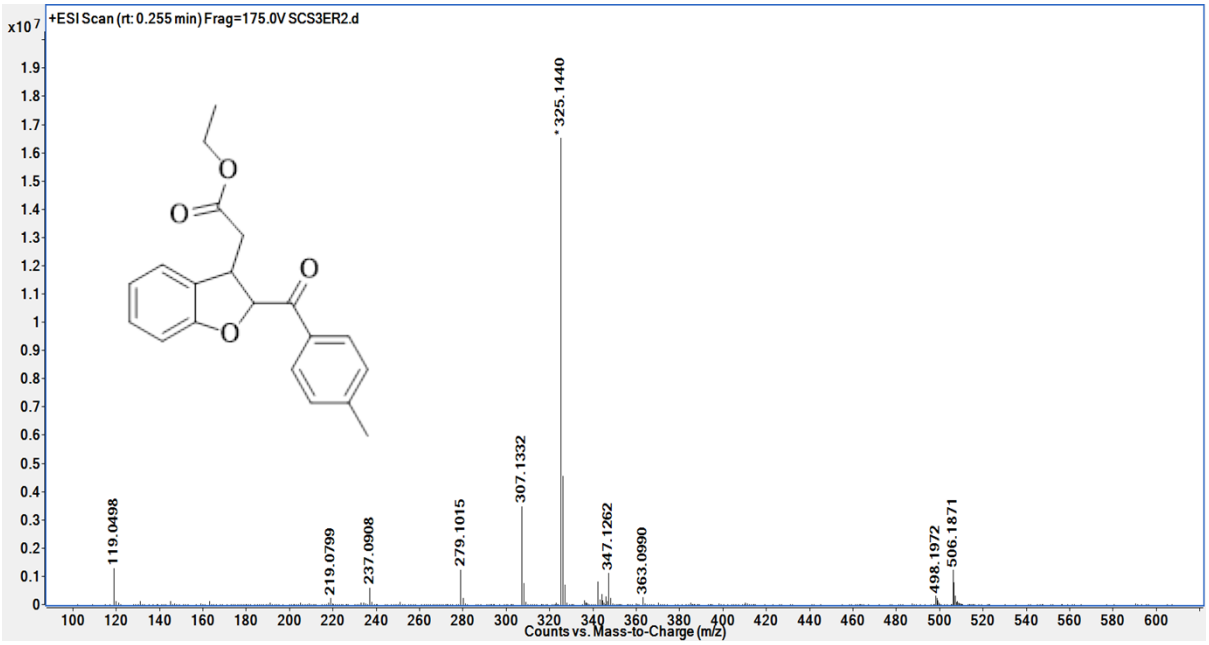


Figure S25. Mass spectrum of Ethyl 2-(2-(4-methylbenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3e**)

Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate (3f)

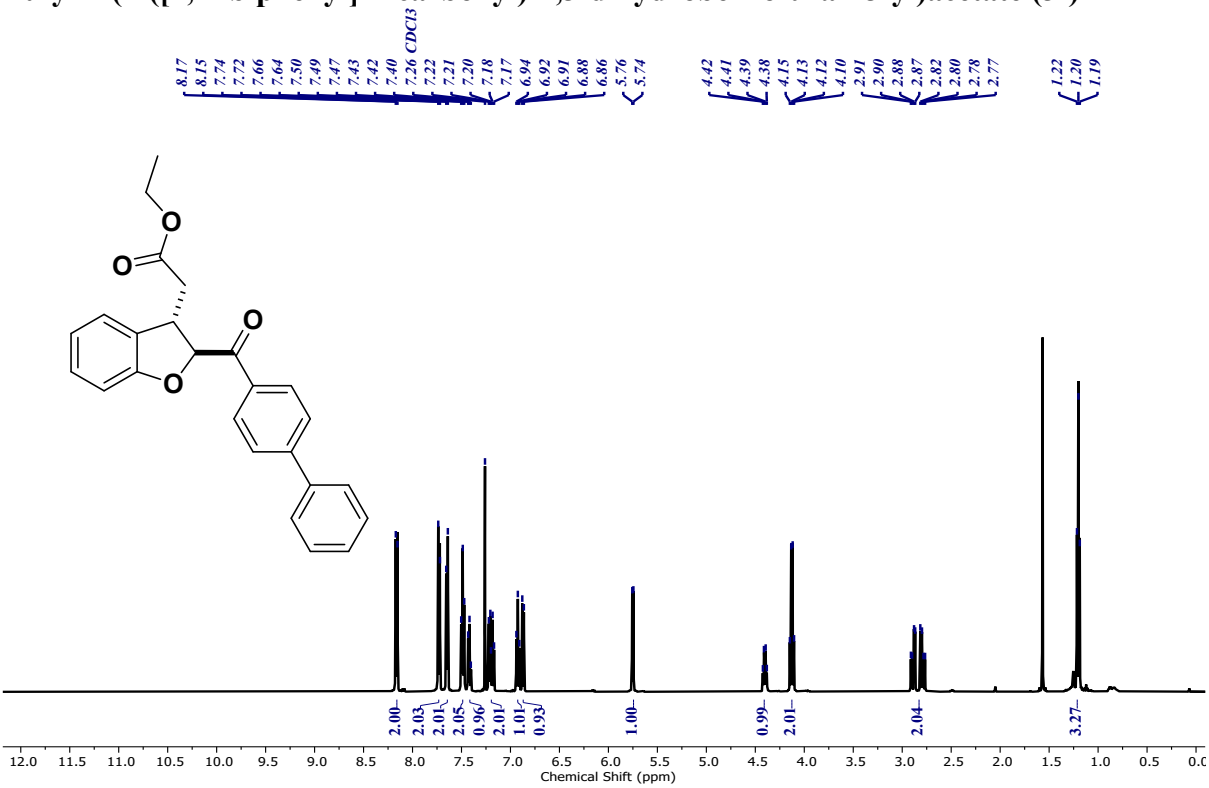


Figure S26. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3f**)

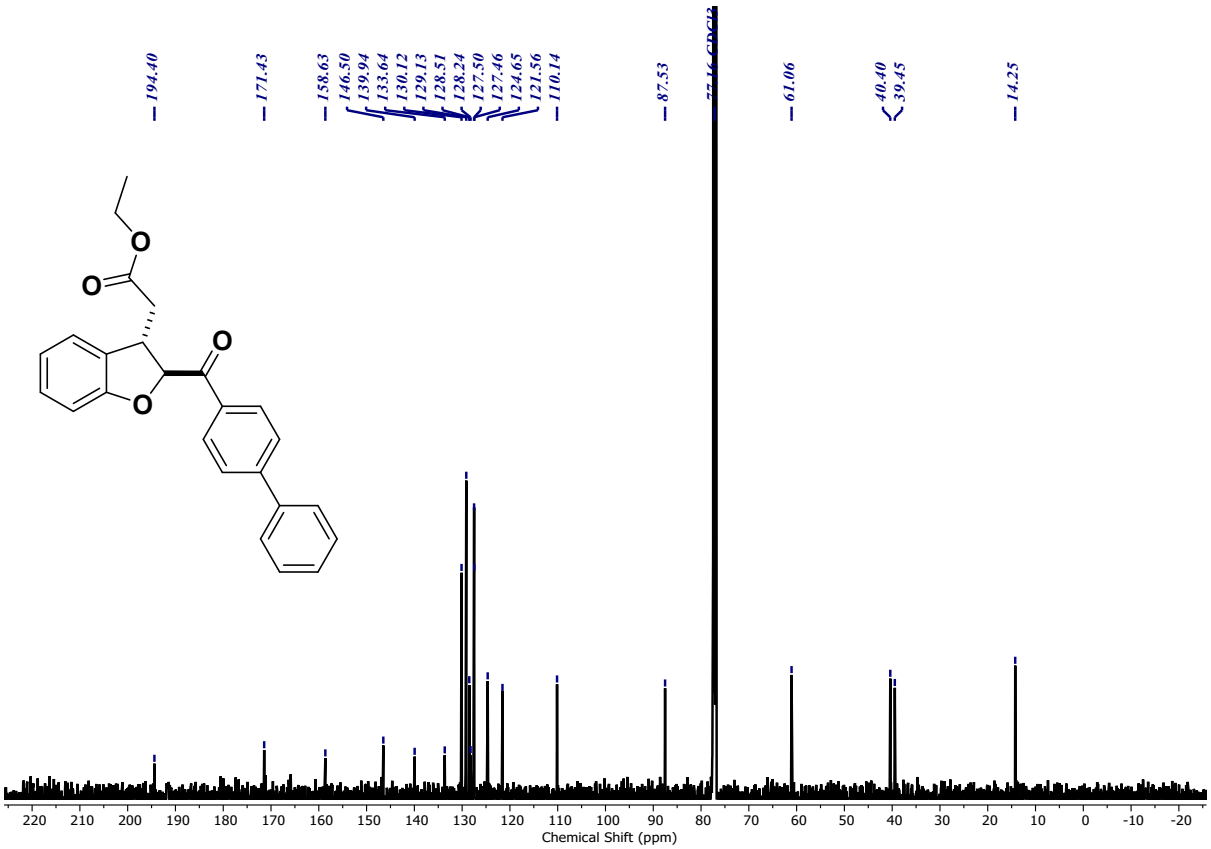


Figure S27. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3f**)

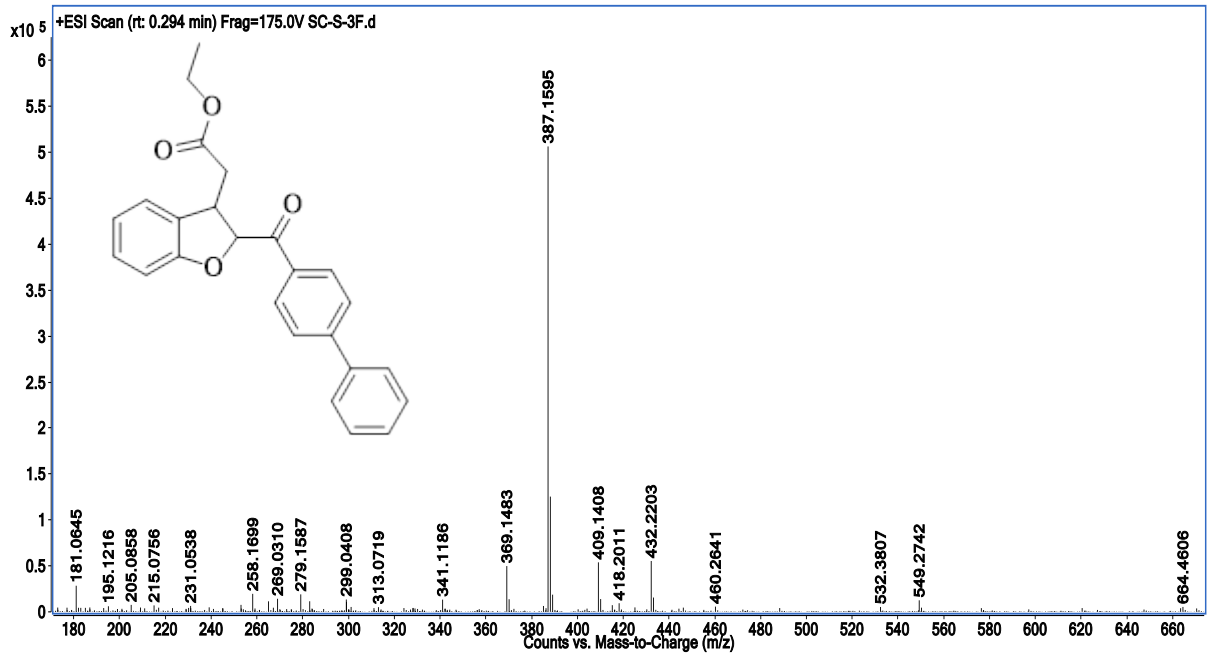


Figure S28. HRMS Mass spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3f**)

Ethyl 2-(2-(4-methoxybenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (3g)

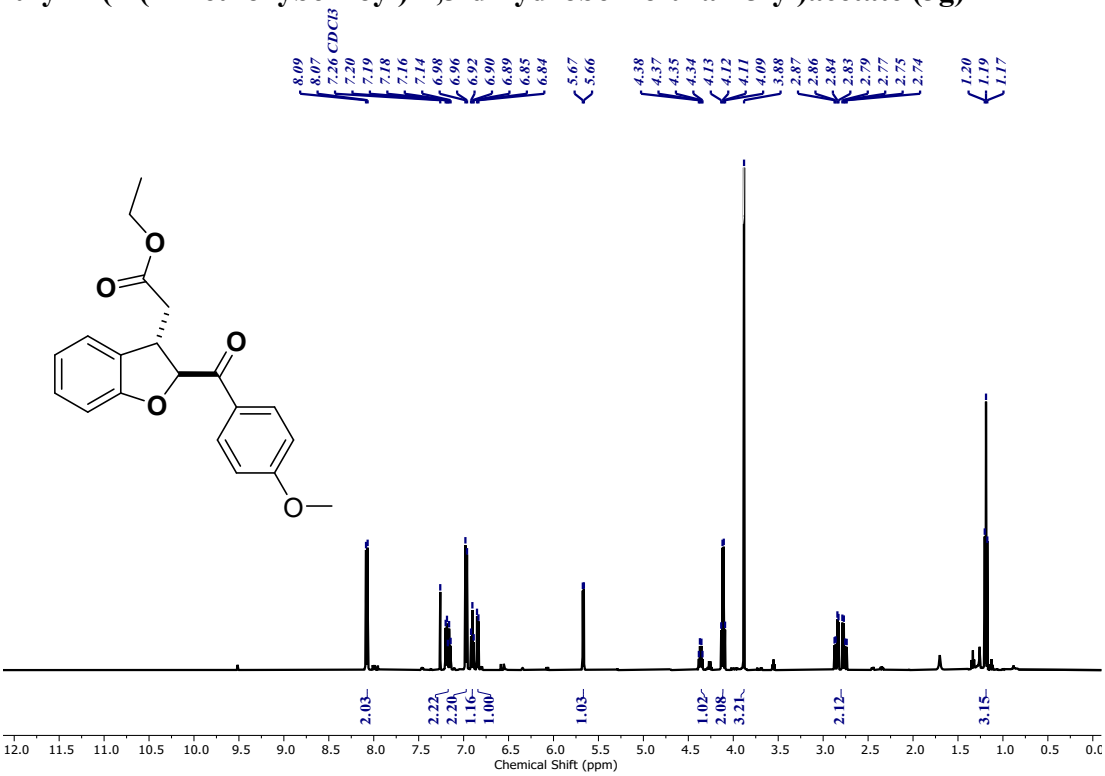


Figure S29. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-methoxybenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3g**)

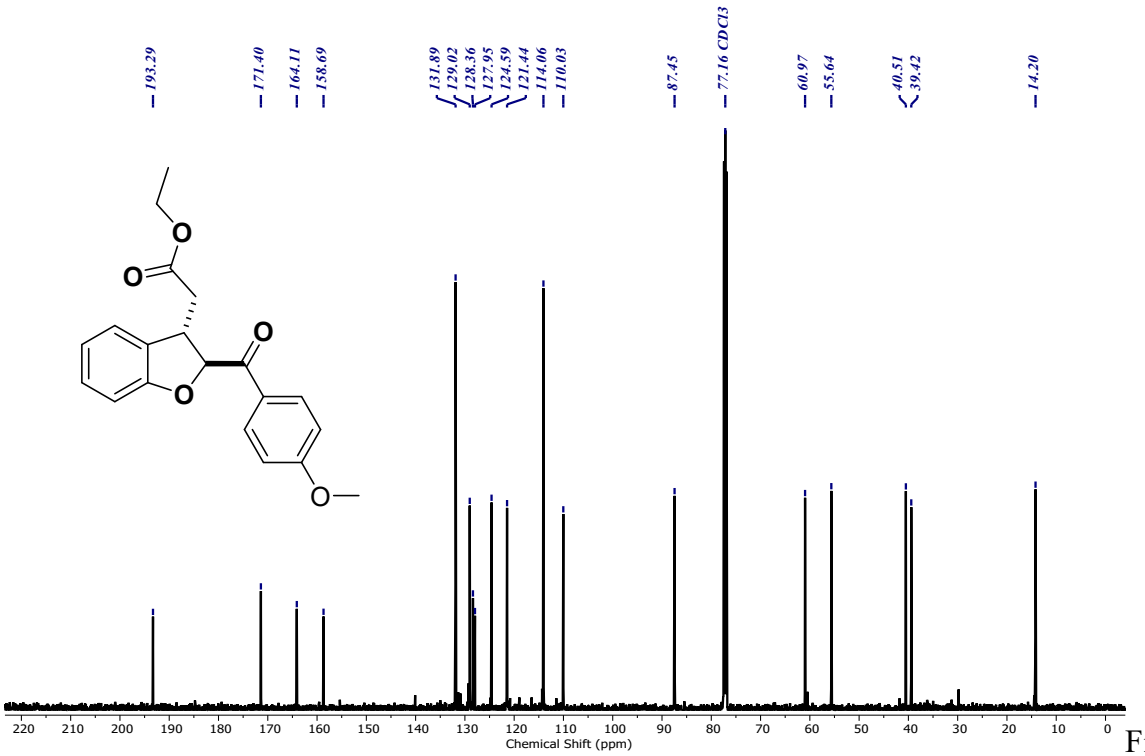


Figure S30. **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-methoxybenzoyl)-2,3-dihydrobenzofuran-3-yl)acetate (**3g**)

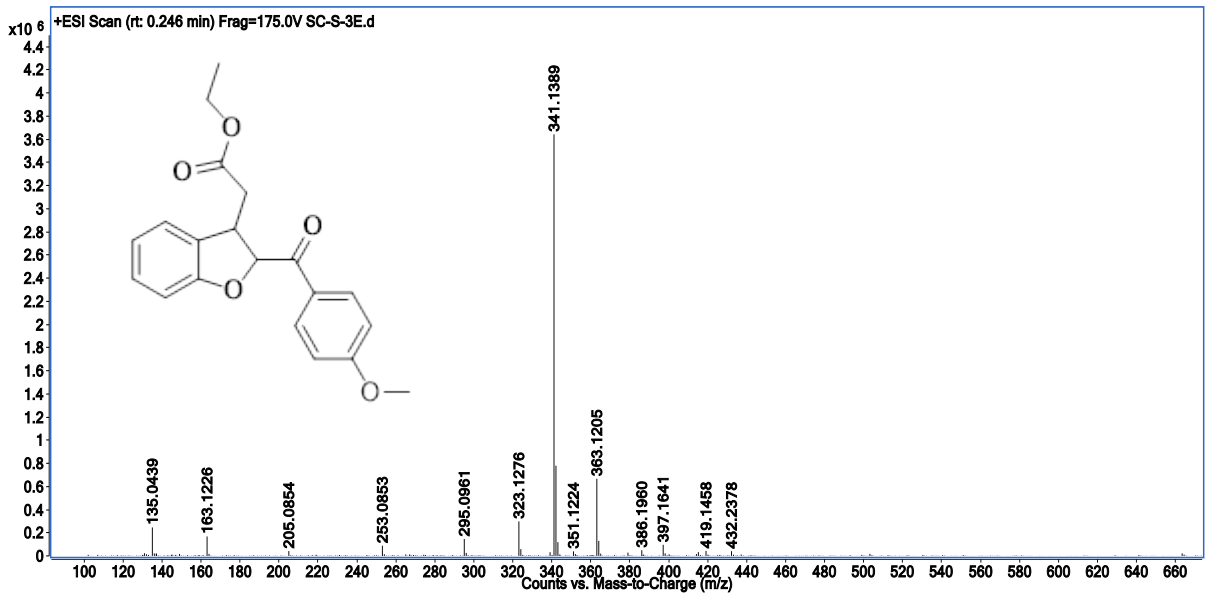


Figure S31. HRMS Mass spectrum of Ethyl 2-(2-(4-methoxybenzoyl)-2,3-dihydrobenzo furan-3-yl)acetate (**3g**)

Ethyl 2-(2-benzoylbenzofuran-3-yl)acetate (4a)

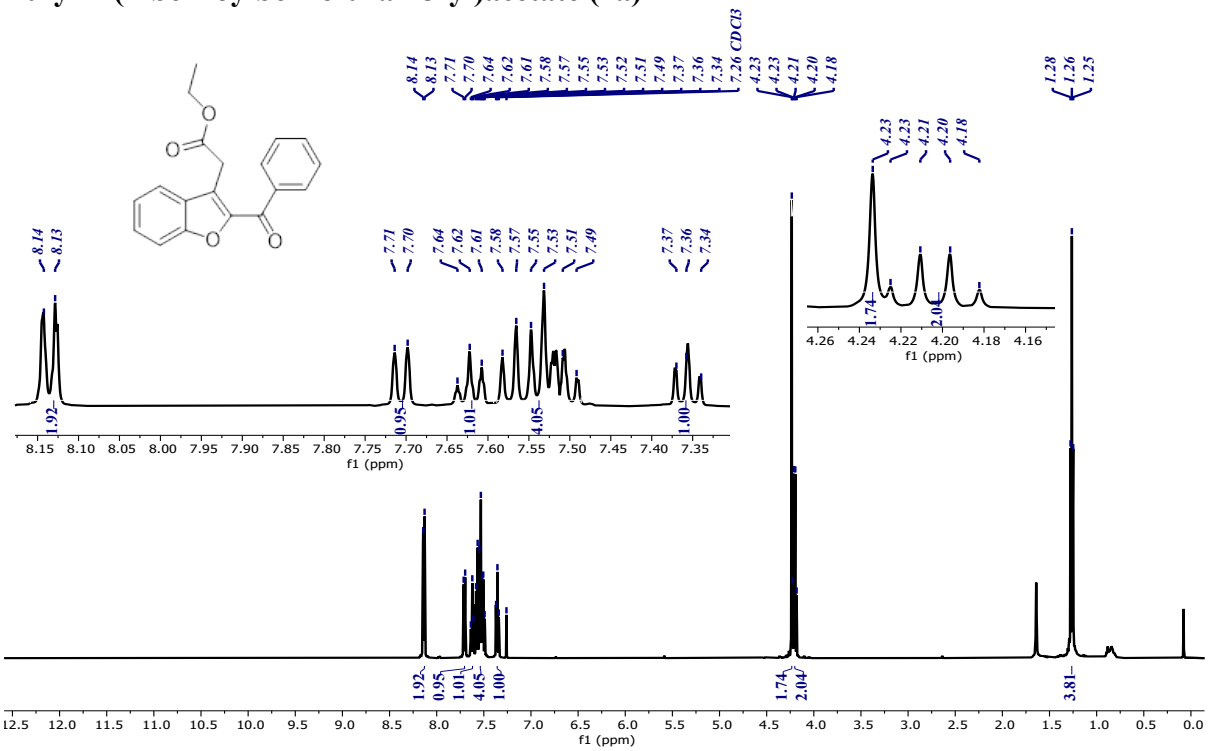


Figure S32. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 2-(2-benzoylbenzofuran-3-yl)acetate (**4a**).

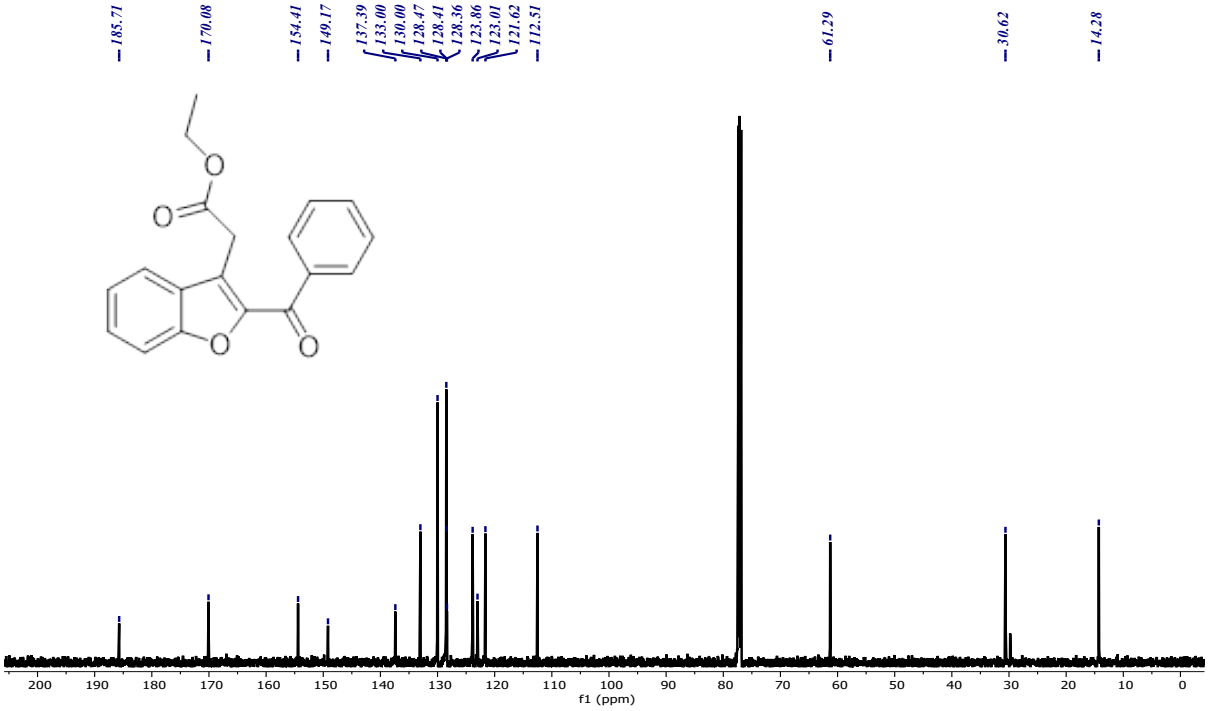


Figure S33. **^{13}C{^{1}H} NMR** (125 MHz, $CDCl_3$) of ethyl 2-(2-benzoylbenzofuran-3-yl)acetate (**4a**)

Ethyl 2-(2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (4b)

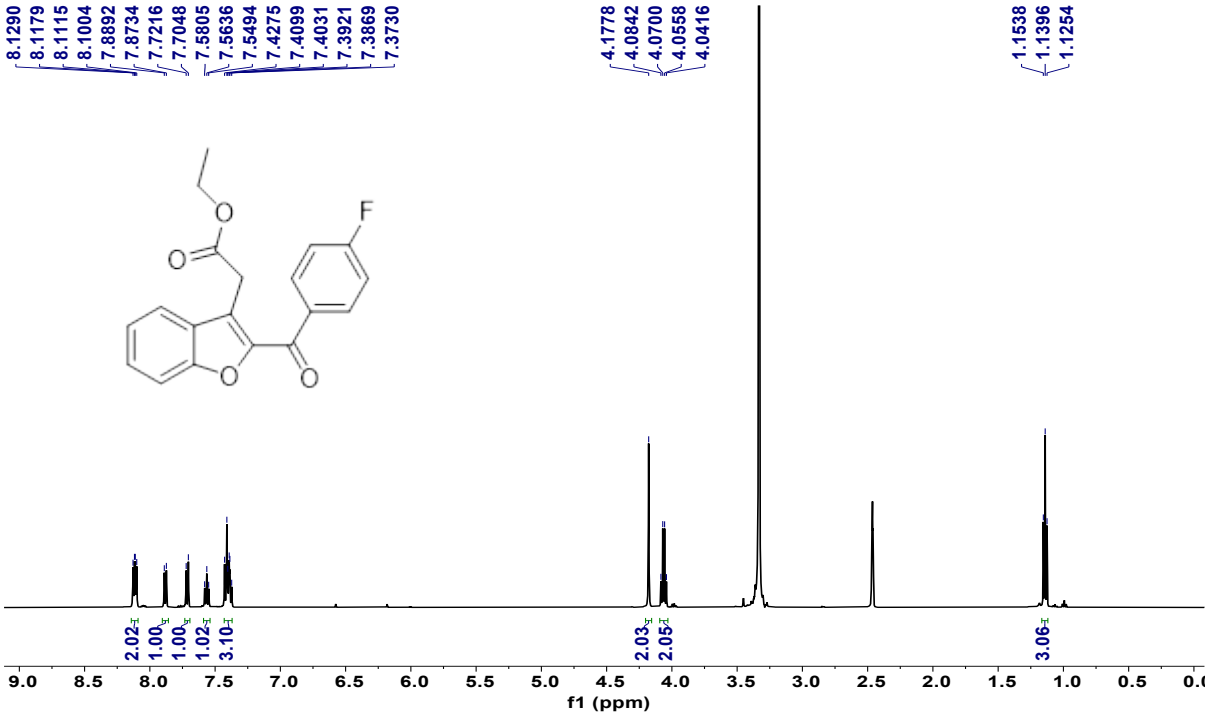


Figure S34. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-fluorobenzoyl) benzofuran-3-yl)acetate (**4b**).

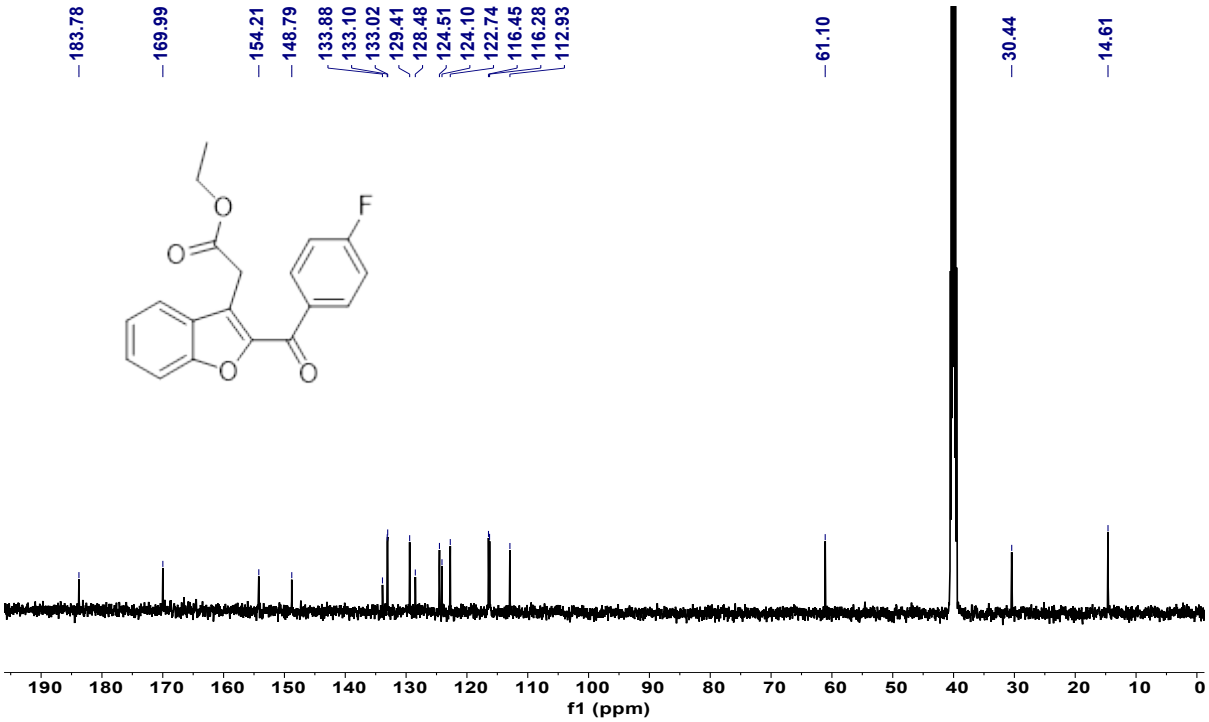


Figure S35. **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6) of Ethyl 2-(2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (**4b**).

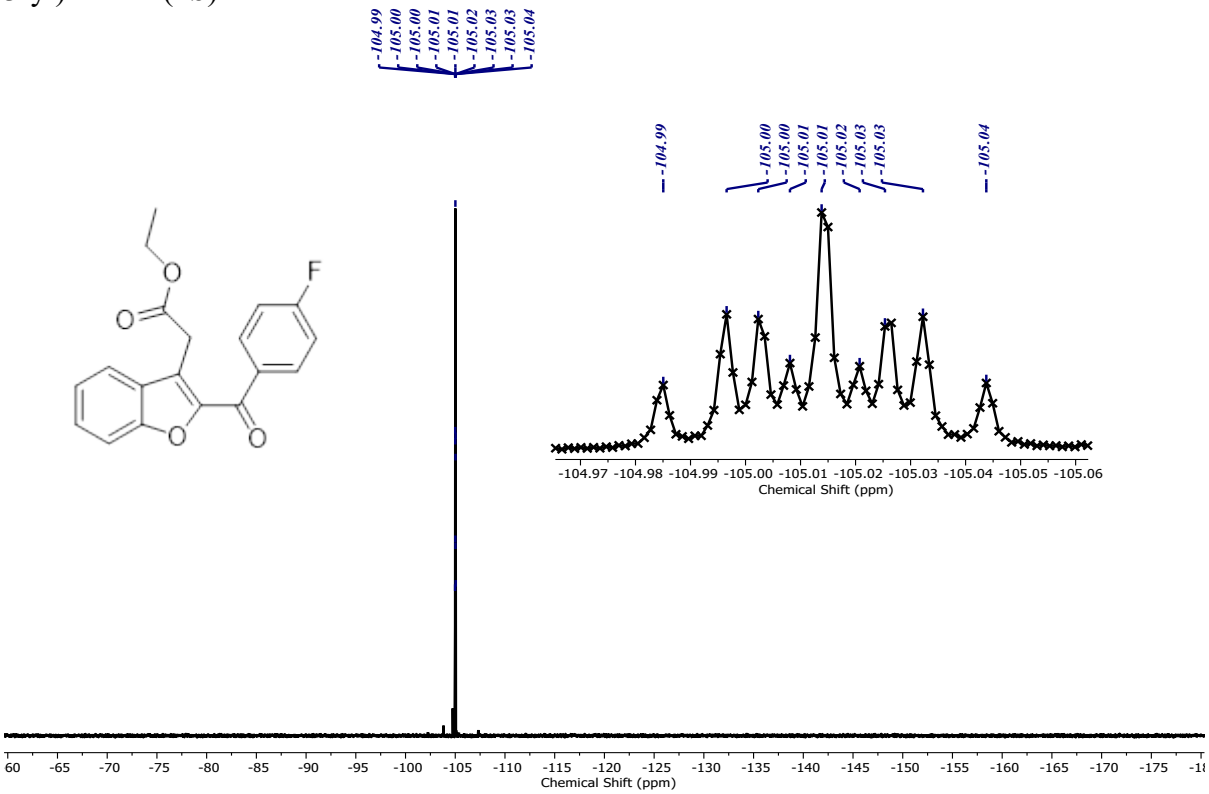


Figure S36. ^{19}F{^{1}H}-NMR (470 MHz, $CDCl_3$) of Ethyl 2-(2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (**4b**).

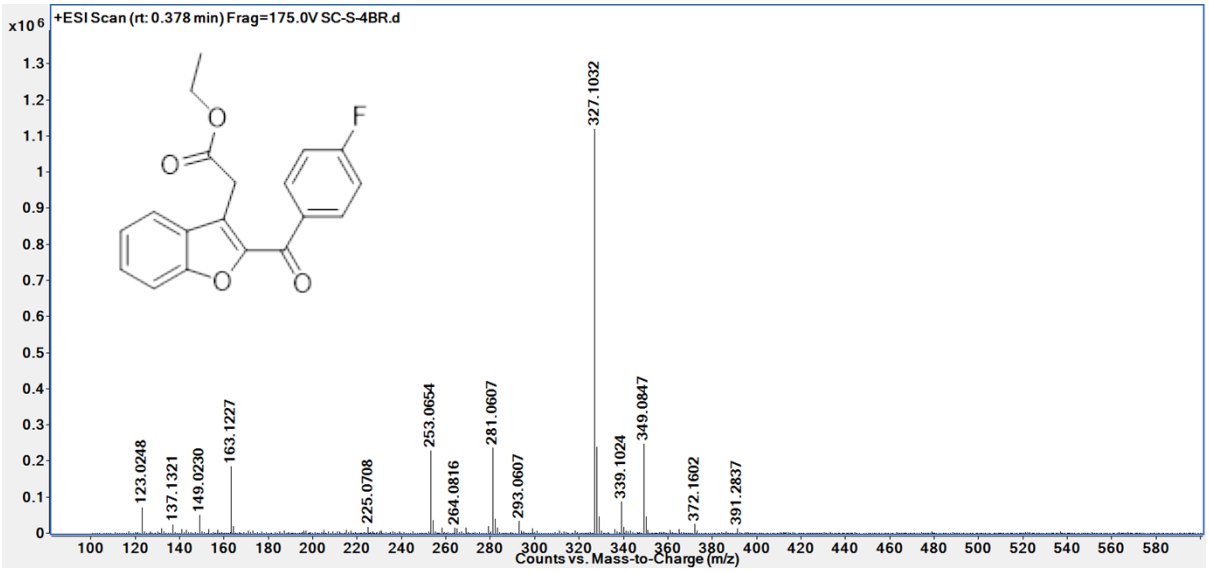


Figure S37. Mass spectrum of Ethyl 2-(2-(4-fluorobenzoyl) benzofuran-3-yl)acetate (**4b**).

Ethyl 2-(2-(4-chlorobenzoyl) benzofuran-3-yl)acetate (4c)

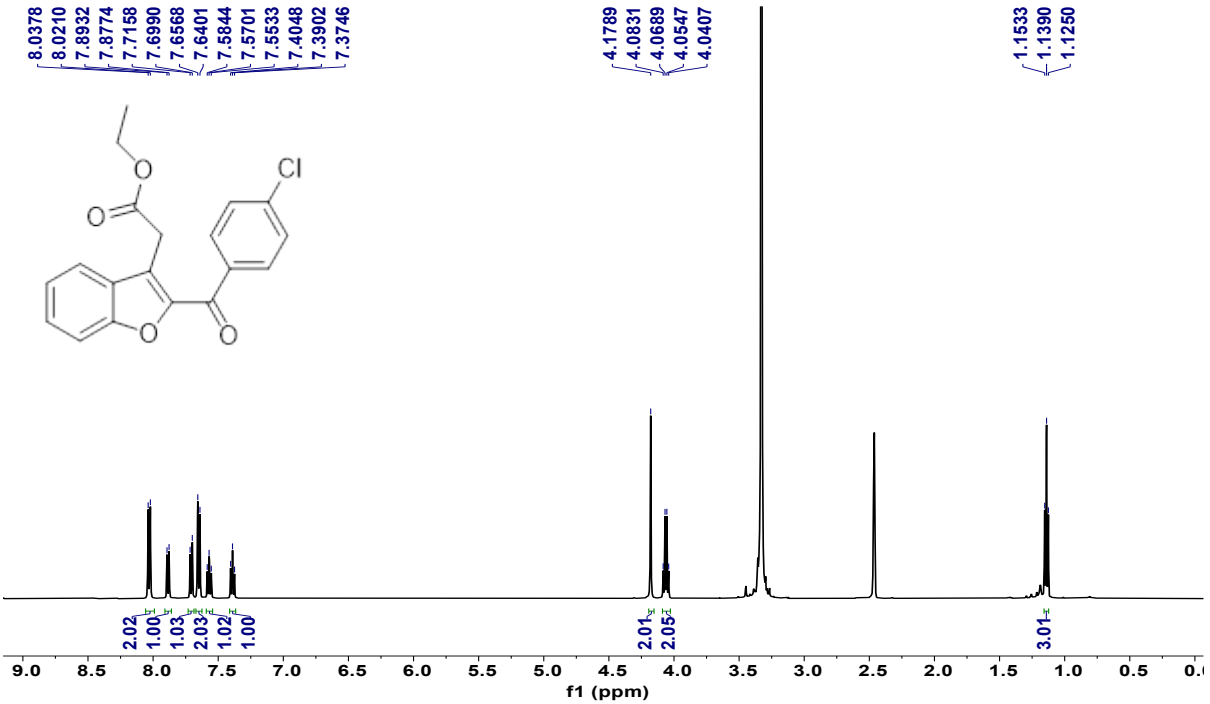


Figure S38. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-chlorobenzoyl) benzofuran-3-yl)acetate(**4c**).

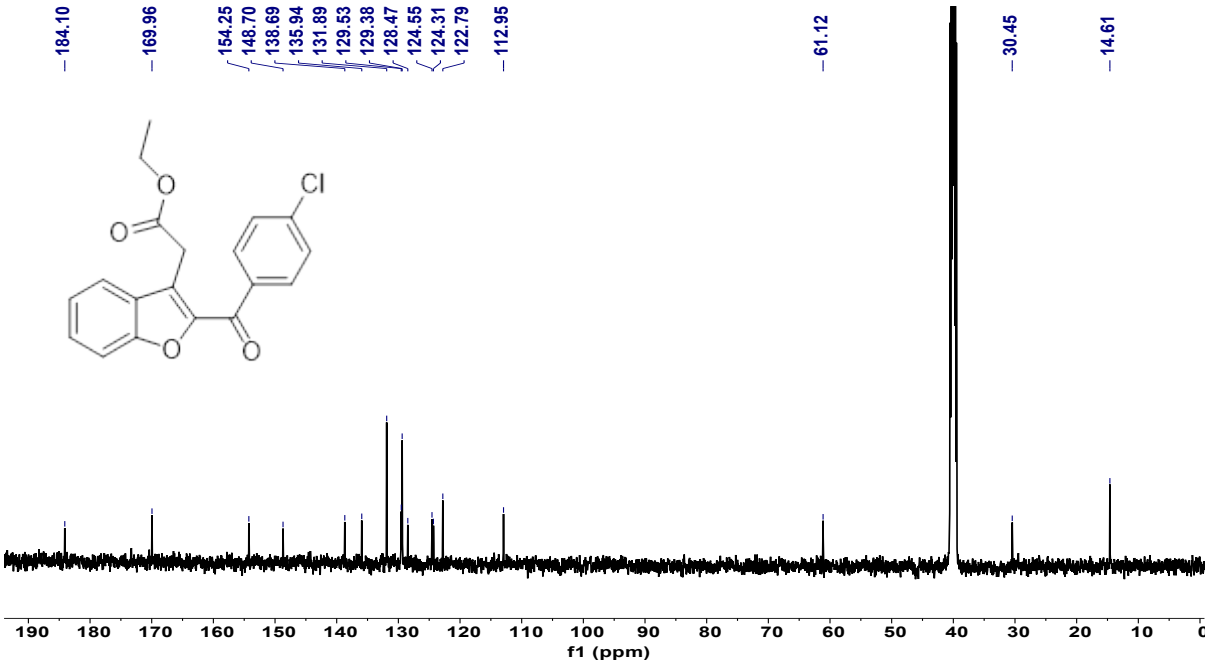


Figure S39. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-chlorobenzoyl)benzofuran-3-yl)acetate(**4c**).

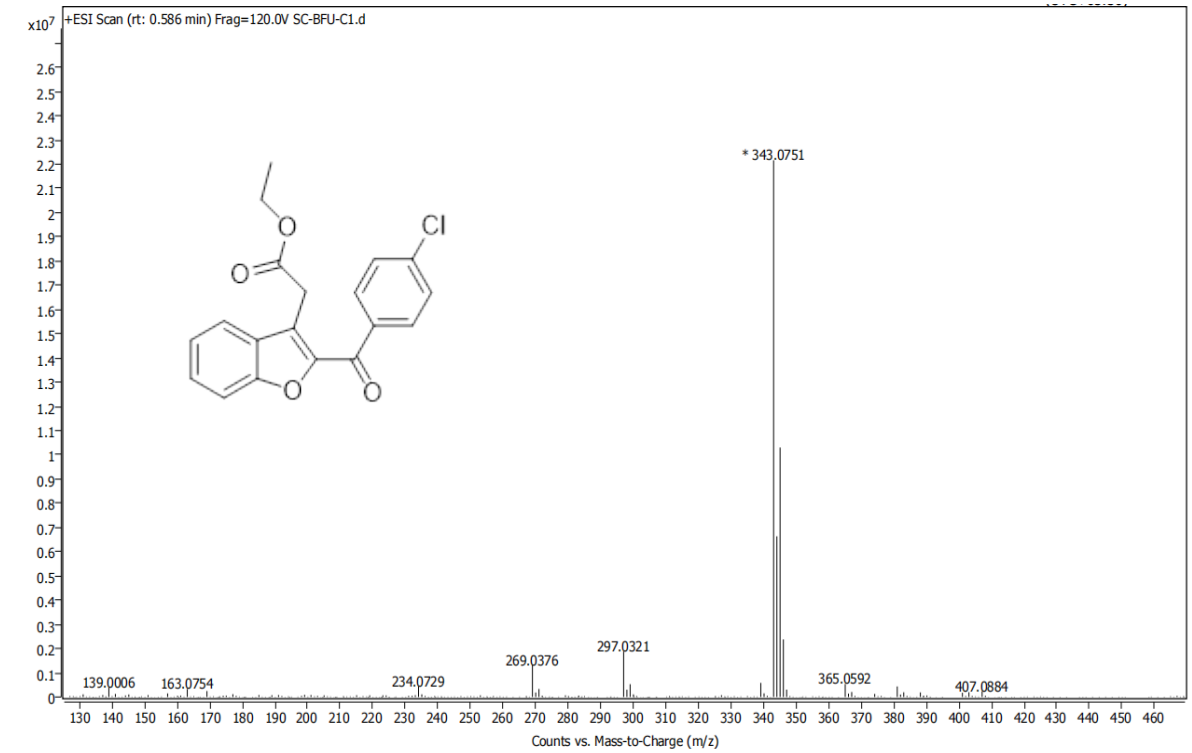


Figure S40. Mass spectrum of Ethyl 2-(2-(4-chlorobenzoyl) benzofuran-3-yl)acetate (**4c**).

Ethyl 2-(2-(4-bromobenzoyl) benzofuran-3-yl)acetate (4d)

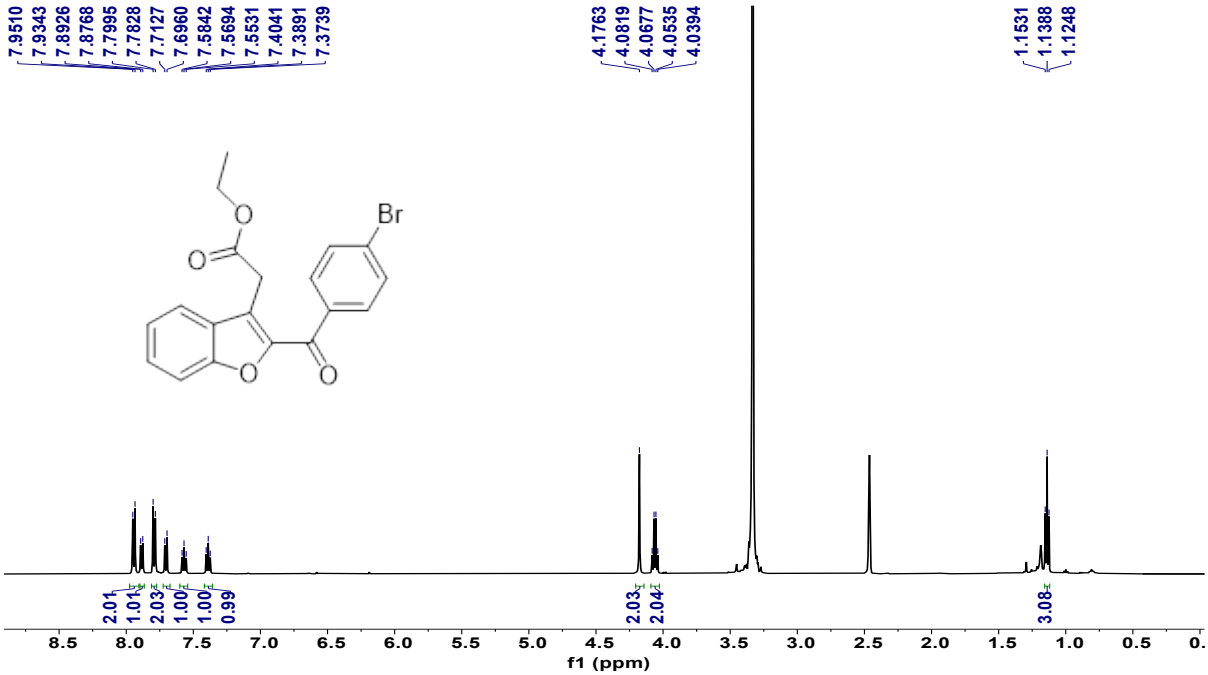


Figure S41. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-bromobenzoyl)benzofuran-3-yl)acetate.(4d)

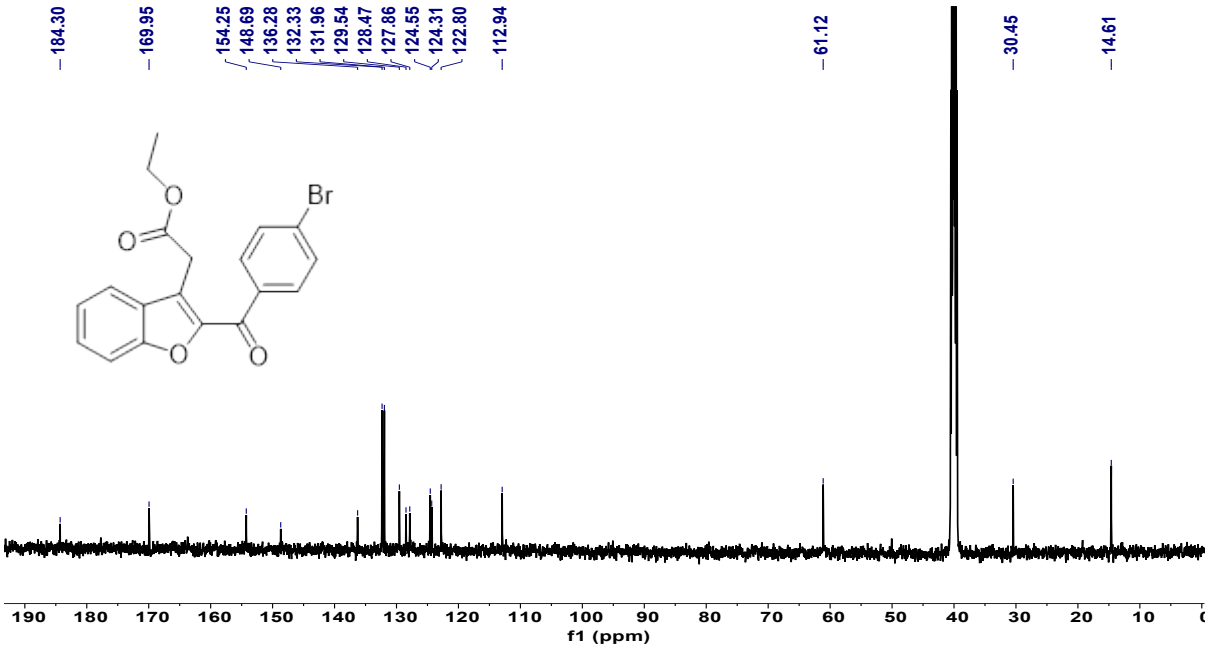


Figure S42. **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-bromobenzoyl)benzofuran-3-yl)acetate.(4d)

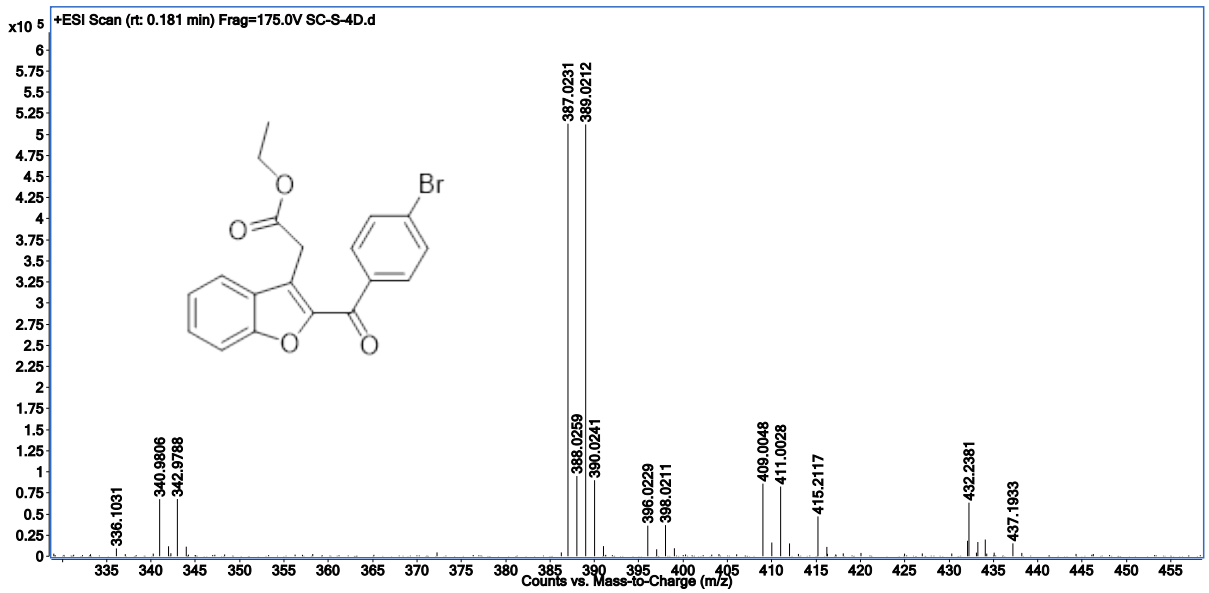


Figure S43. Mass spectrum of Ethyl 2-(2-(4-bromobenzoyl)benzofuran-3-yl)acetate.(4d)

Ethyl 2-(2-(4-methylbenzoyl)benzofuran-3-yl)acetate (4e)

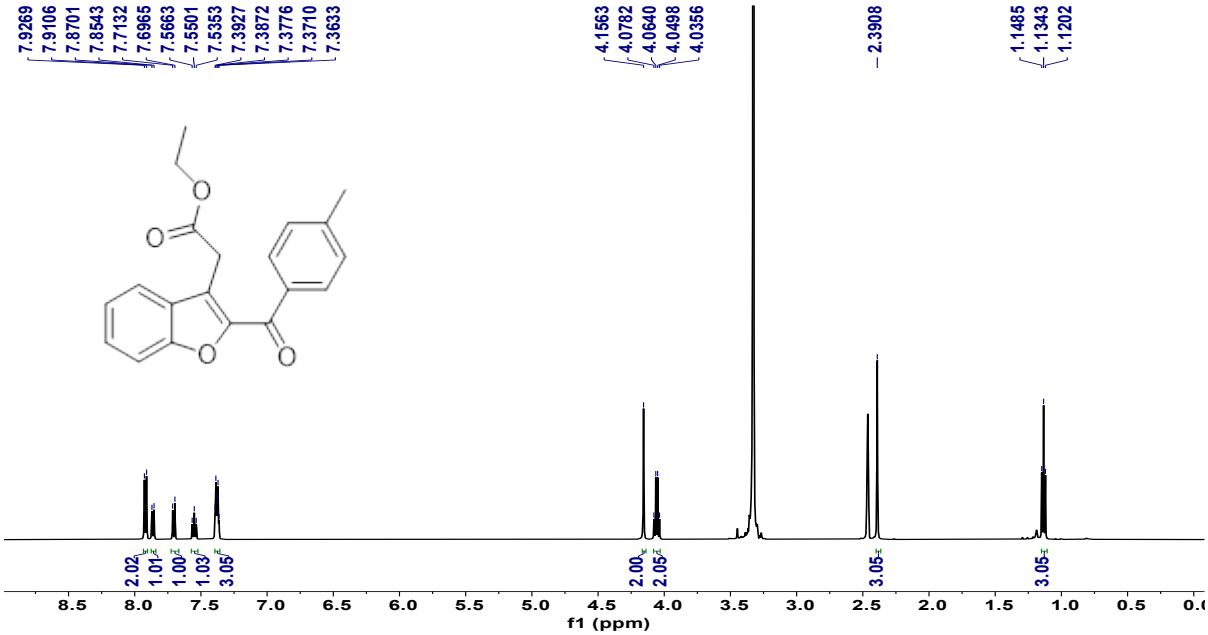


Figure S44. **^{1}H NMR** (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-methylbenzoyl)benzofuran-3-yl)acetate (4e).

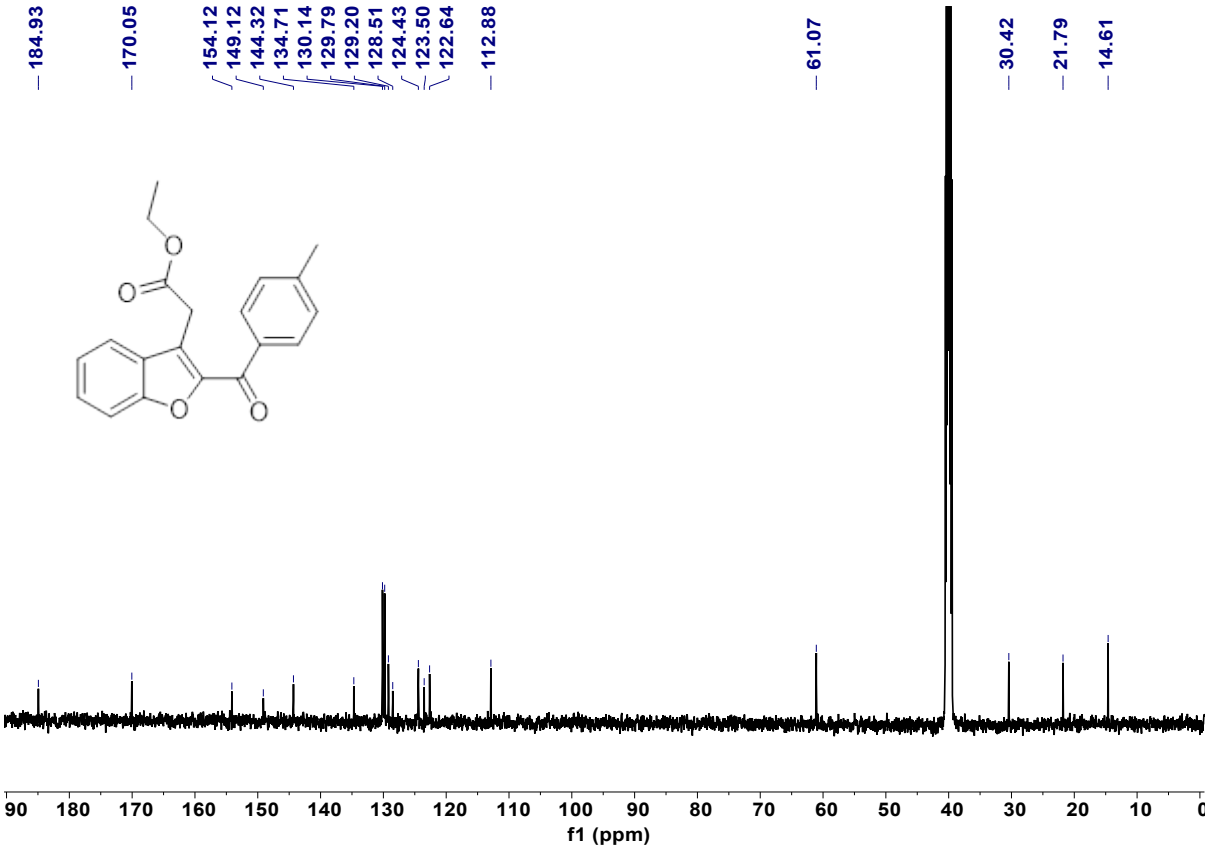


Figure S45 **$^{13}C\{^{1}H\}$ NMR** (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-methylbenzoyl)benzofuran-3-yl)acetate (4e).

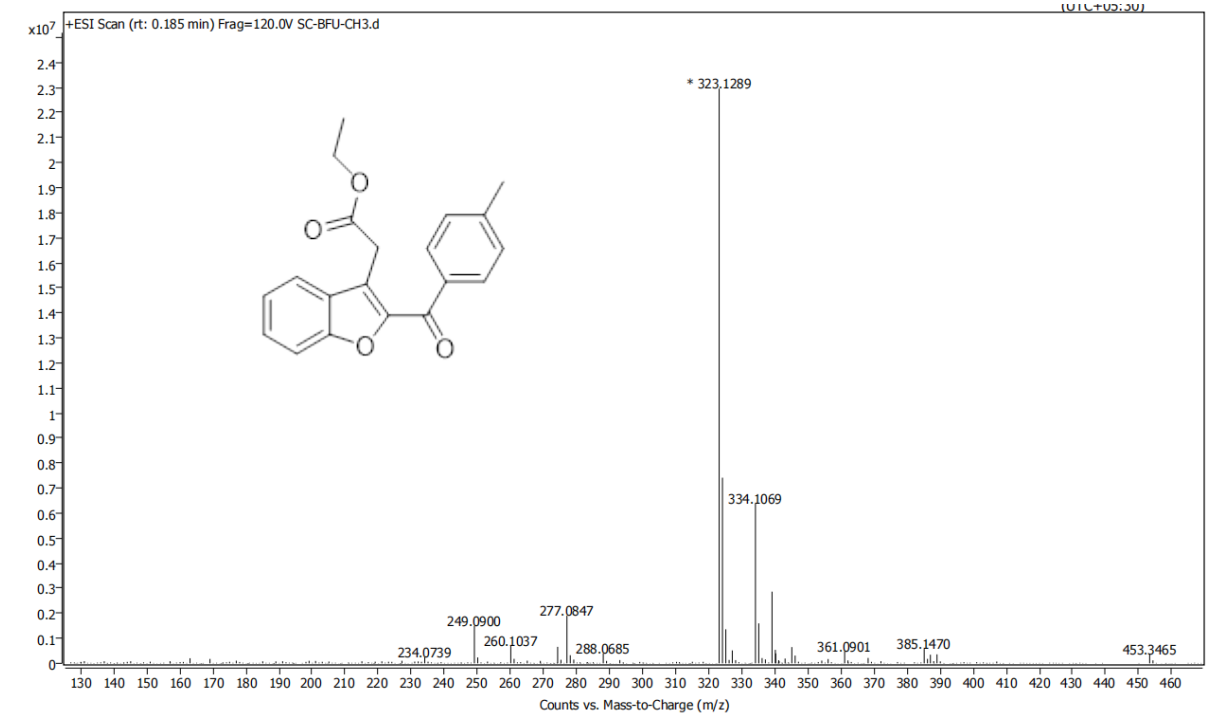


Figure S46. Mass spectrum of Ethyl 2-(2-(4-methylbenzoyl)benzofuran-3-yl)acetate (4e).

Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)benzofuran-3-yl)acetate (4f)

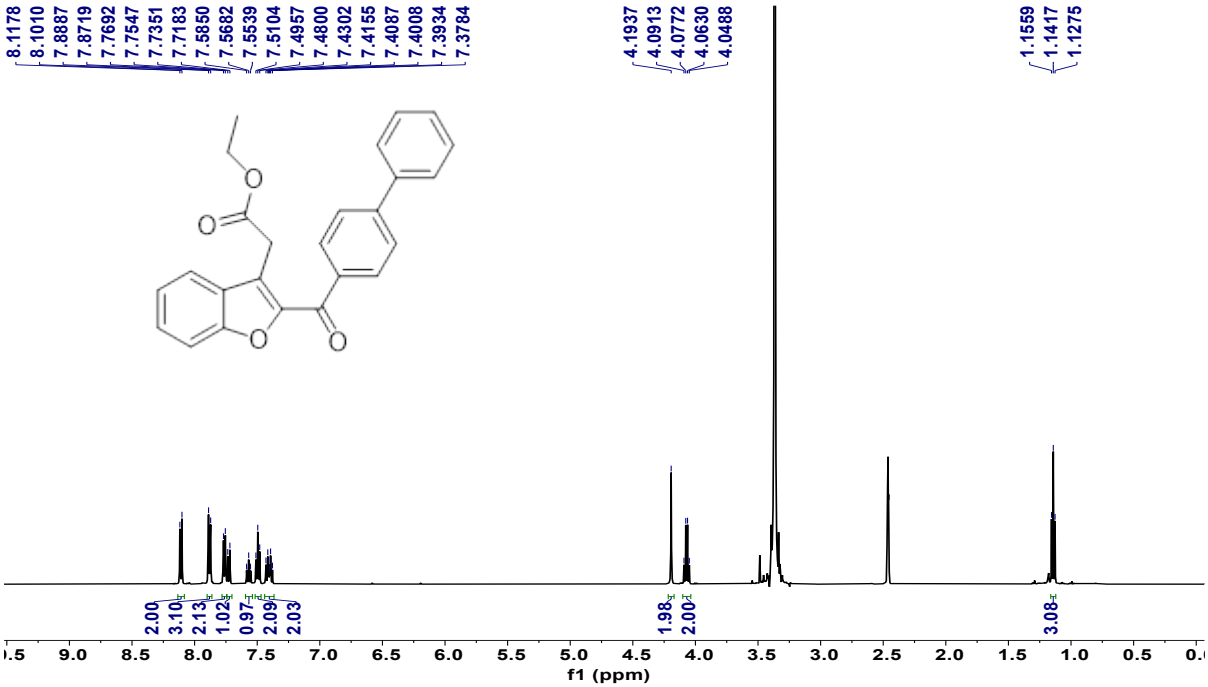


Figure S47. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)benzofuran-3-yl)acetate (4f).

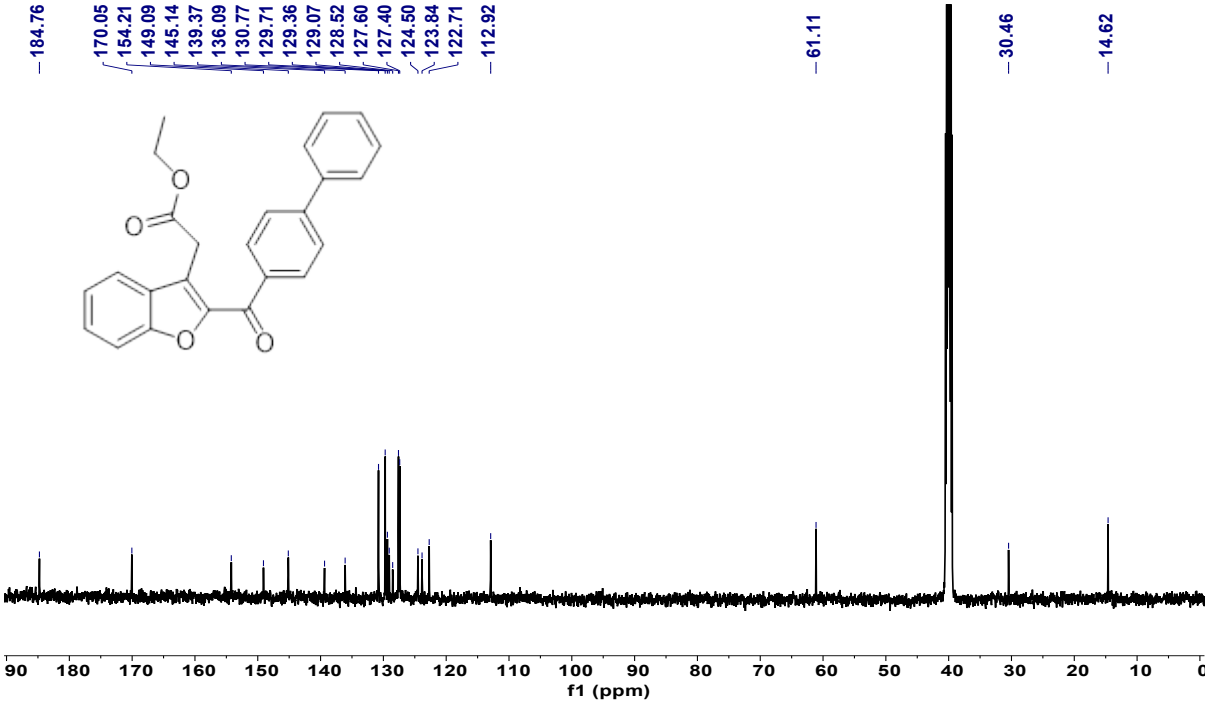


Figure S48 **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)benzofuran-3-yl)acetate (4f).

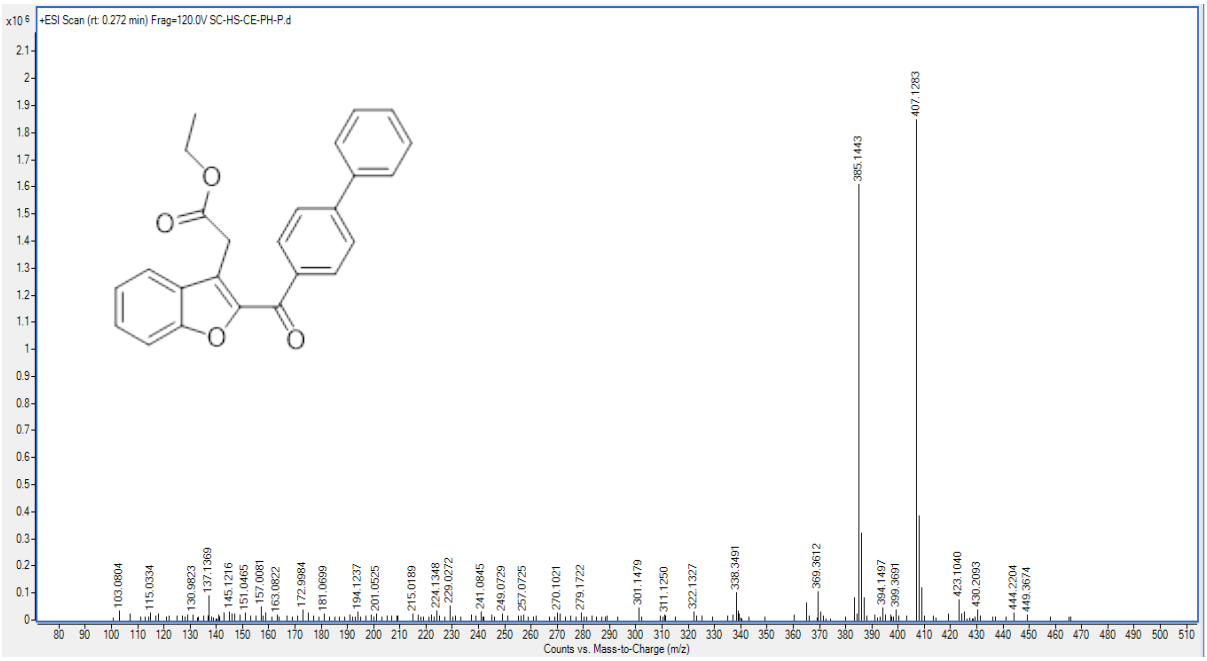


Figure S49. Mass spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)benzofuran-3-yl)acetate (4f).

Ethyl 2-(2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (4g)

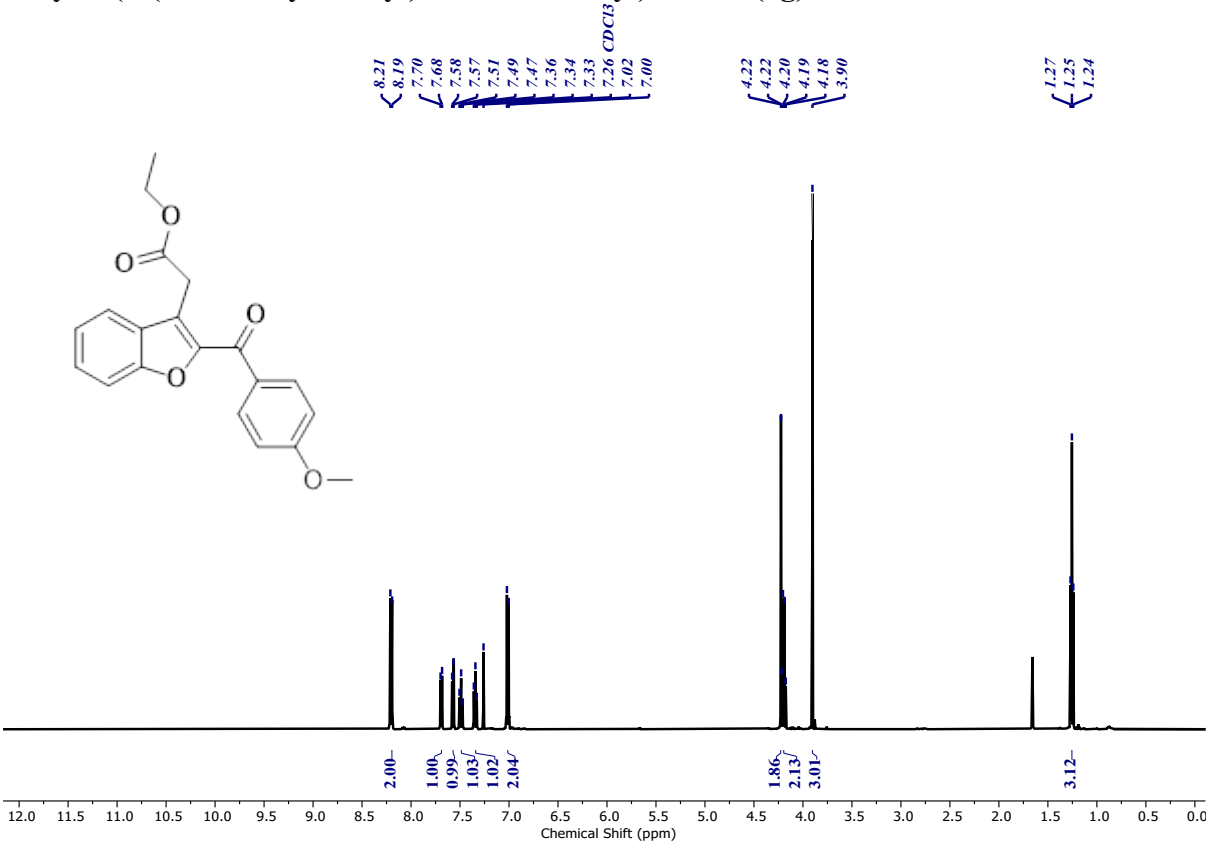


Figure S50. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (**4g**)

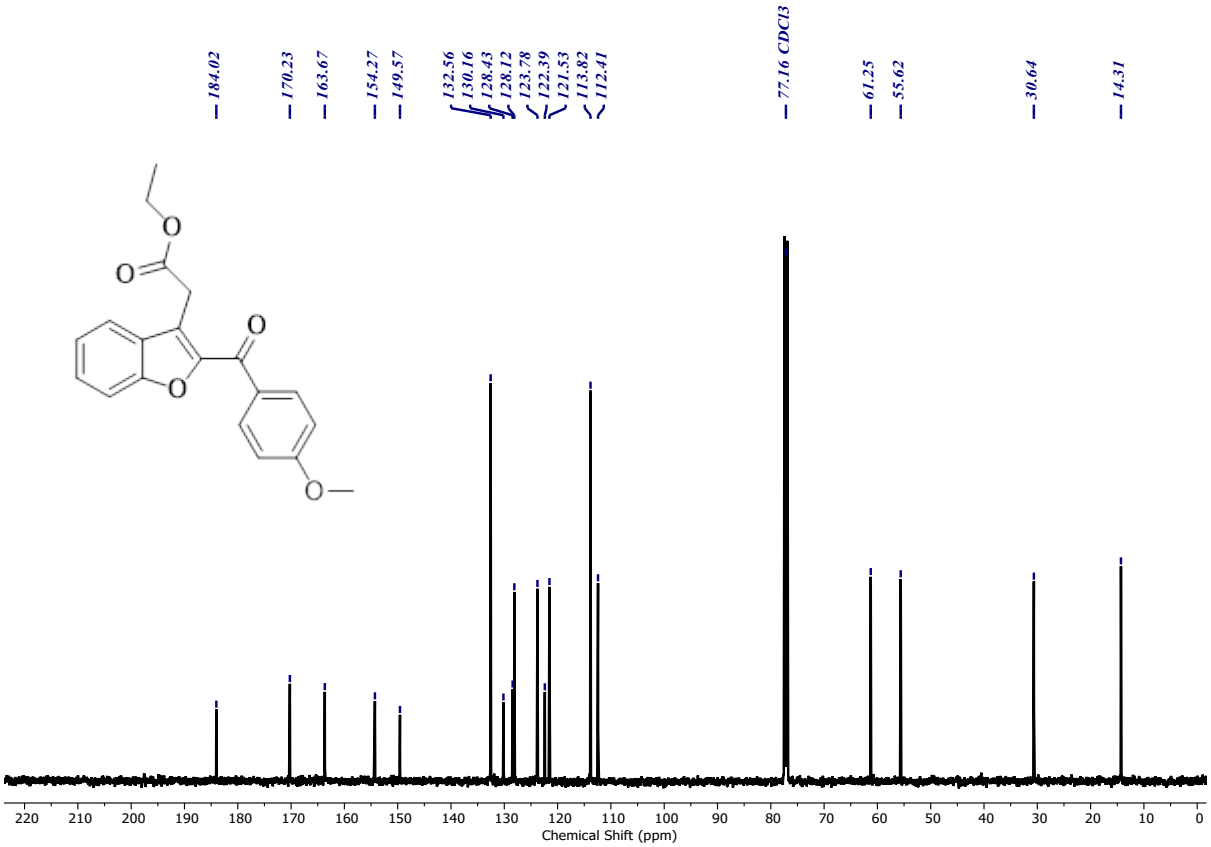


Figure S51. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (**4g**)

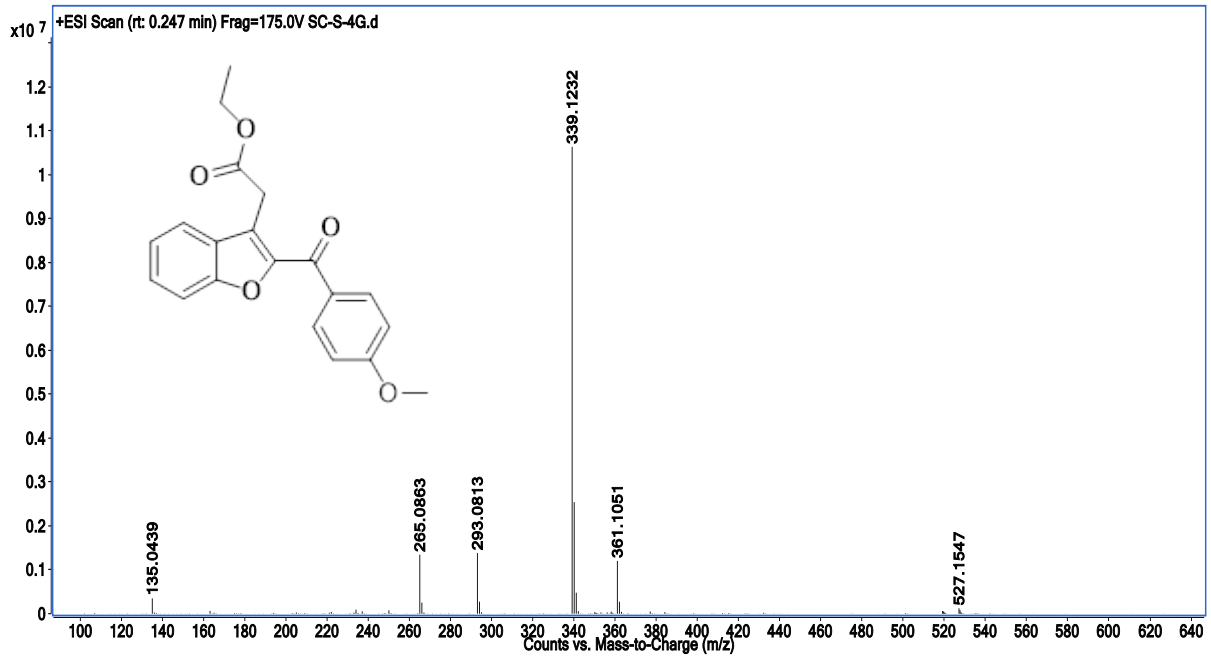


Figure S52. Mass spectrum of Ethyl 2-(2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (**4g**)

Ethyl 2-(2-(4-cyanobenzoyl)benzofuran-3-yl)acetate (4h)

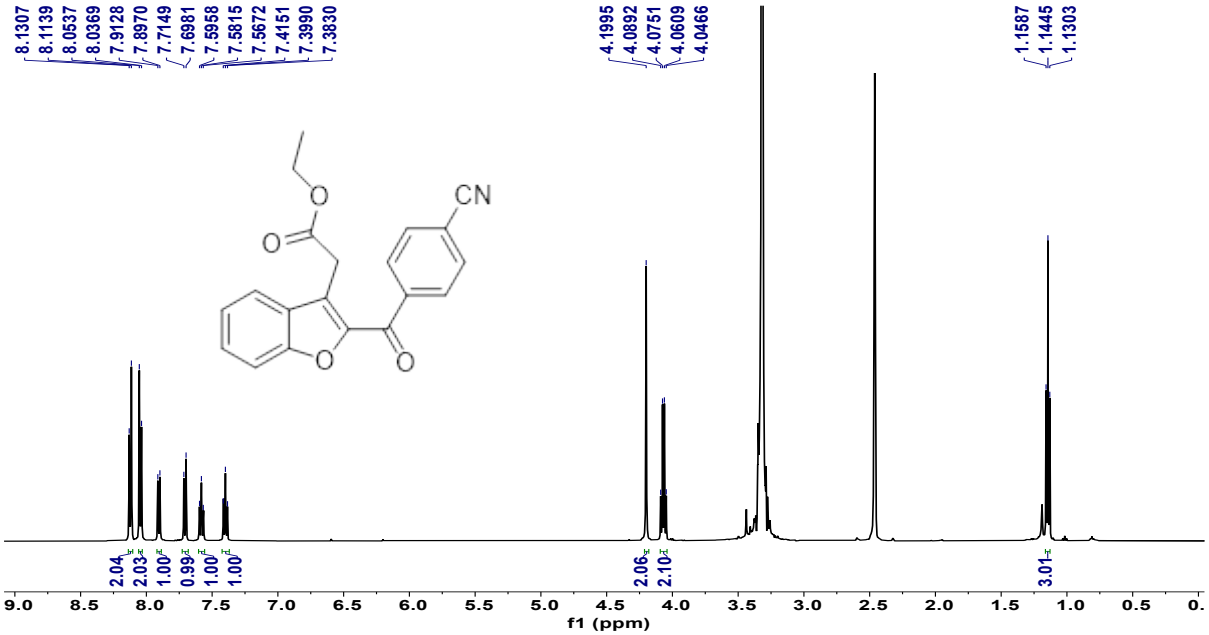


Figure S53. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-cyanobenzoyl)benzofuran-3-yl)acetate (**4h**).

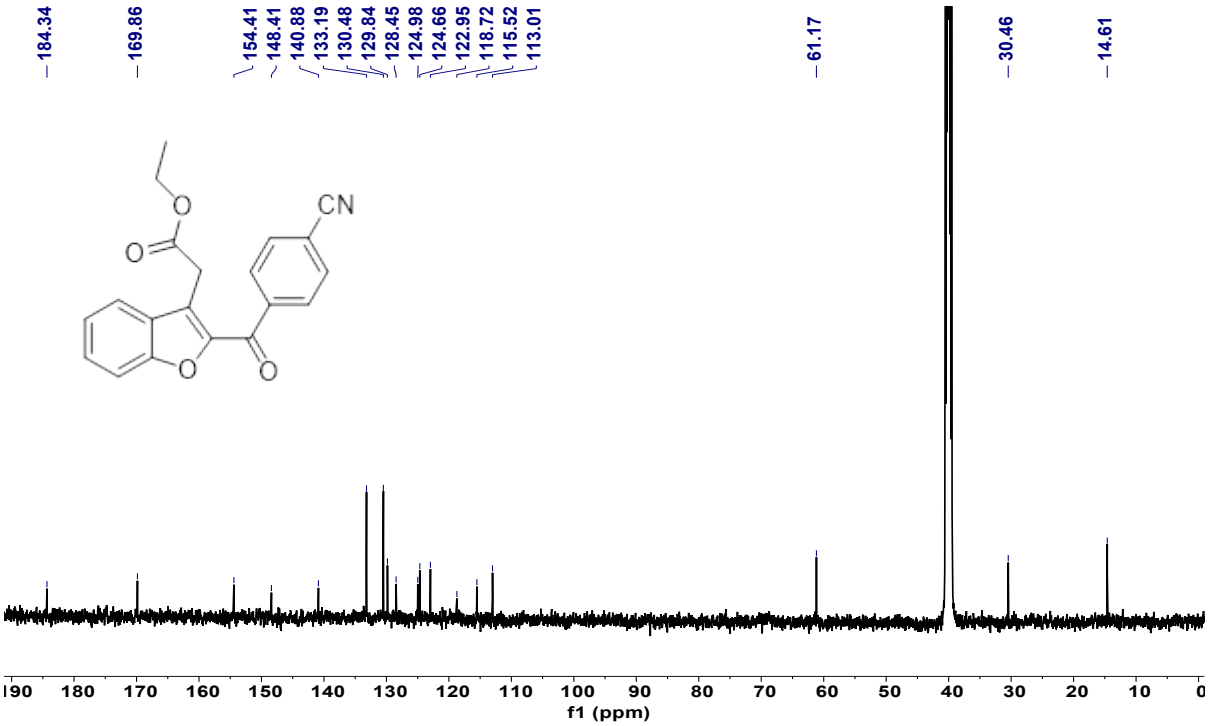


Figure S54. **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(4-cyanobenzoyl)benzofuran-3-yl)acetate (**4h**).

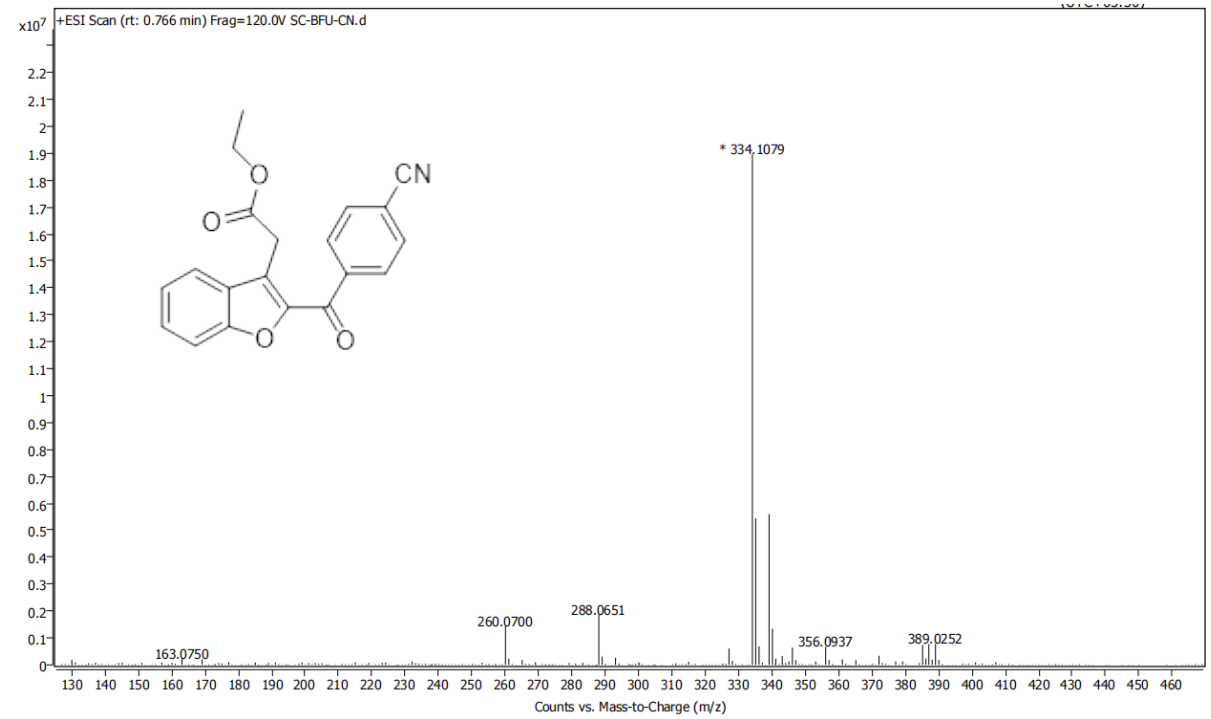


Figure S55. Mass spectrum of Ethyl 2-(2-(4-cyanobenzoyl)benzofuran-3-yl)acetate (**4h**).

Ethyl 2-(2-(3-methoxybenzoyl)benzofuran-3-yl)acetate (4i)

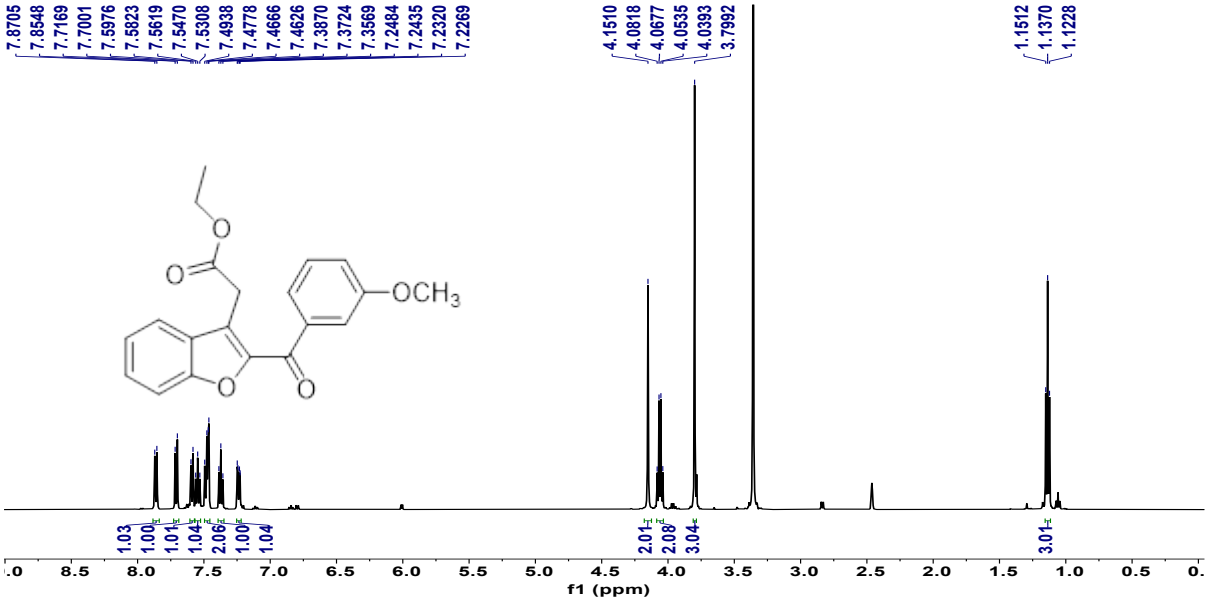


Figure S56. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(3-methoxybenzoyl)benzofuran-3-yl)acetate (**4i**).

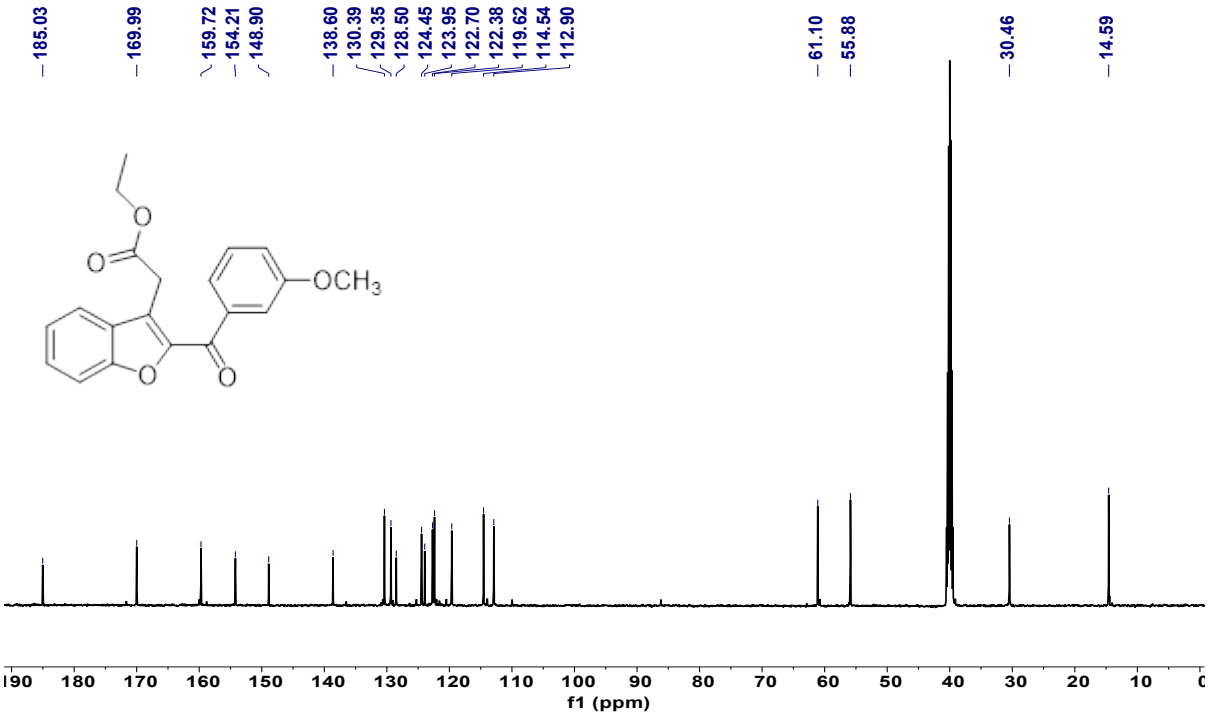


Figure S57. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(3-methoxybenzoyl)benzofuran-3-yl)acetate (**4i**).

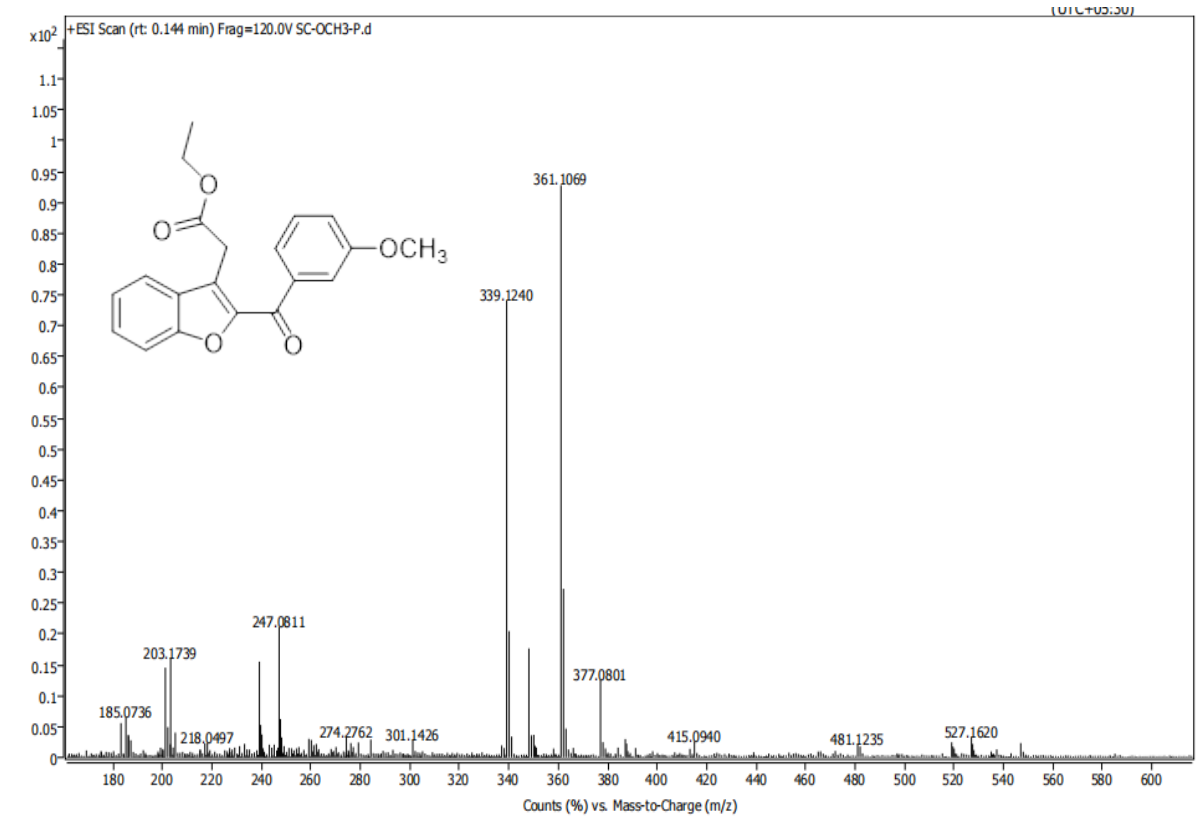


Figure S58. Mass spectrum of Ethyl 2-(2-(3-methoxybenzoyl)benzofuran-3-yl)acetate (**4i**).

Ethyl 2-(2-(3-nitrobenzoyl)benzofuran-3-yl)acetate (4j)

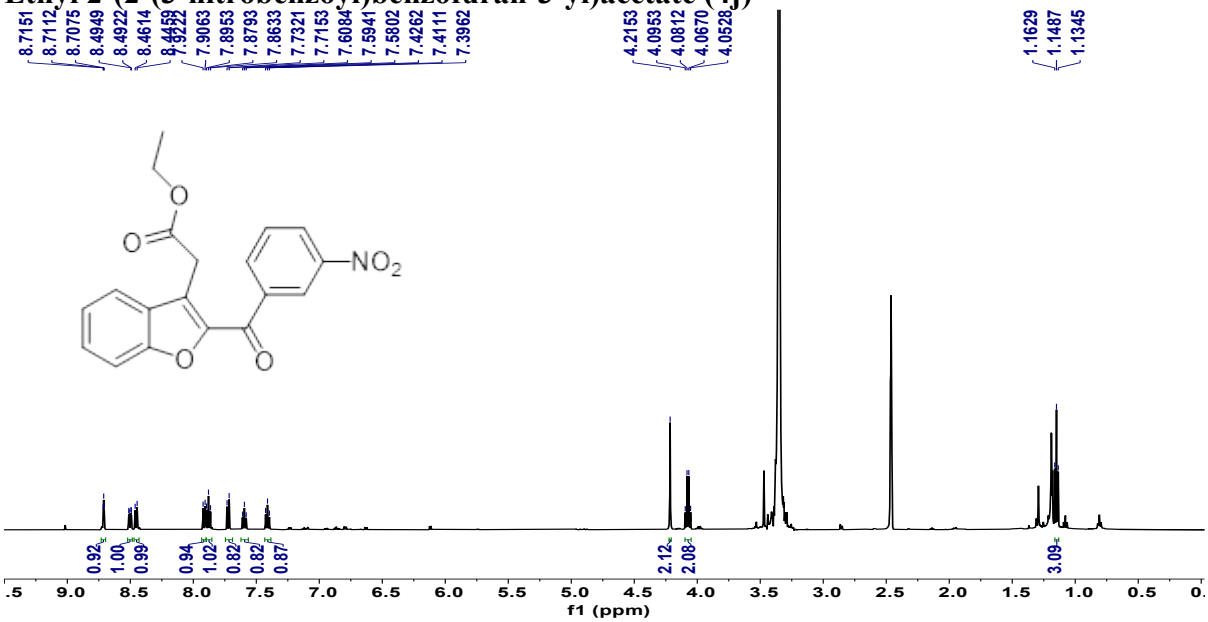


Figure S59. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(3-nitrobenzoyl)benzofuran-3-yl)acetate (**4j**).

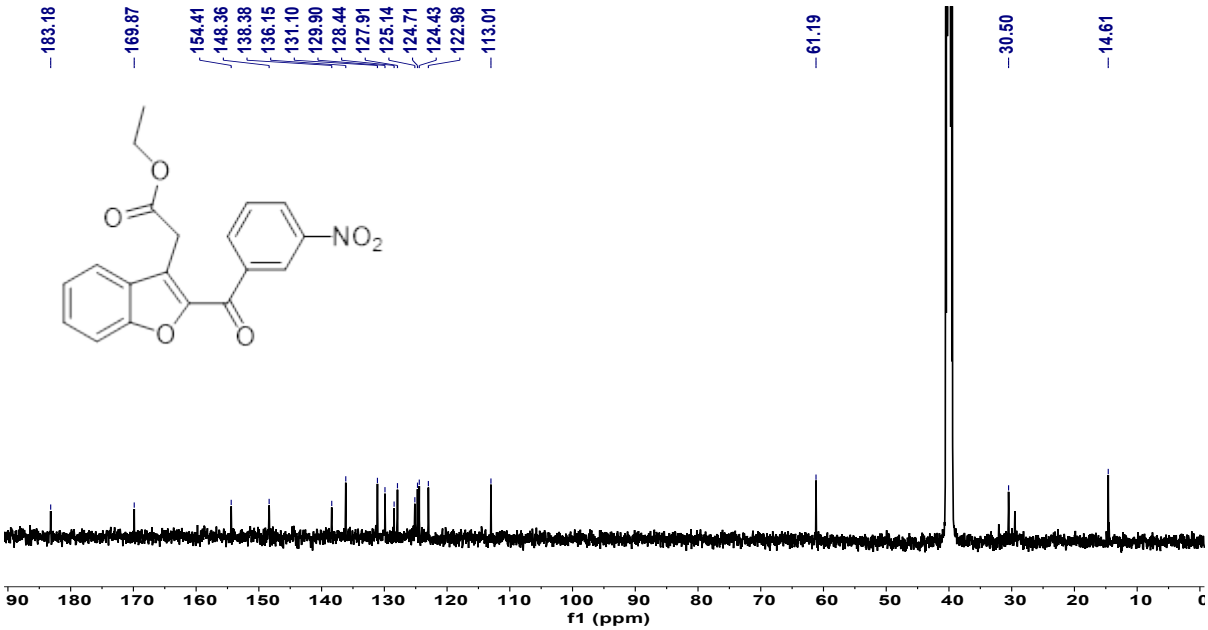


Figure S60. ^{13}C{^{1}H} NMR (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(3-nitrobenzoyl)benzofuran-3-yl)acetate (**4j**).

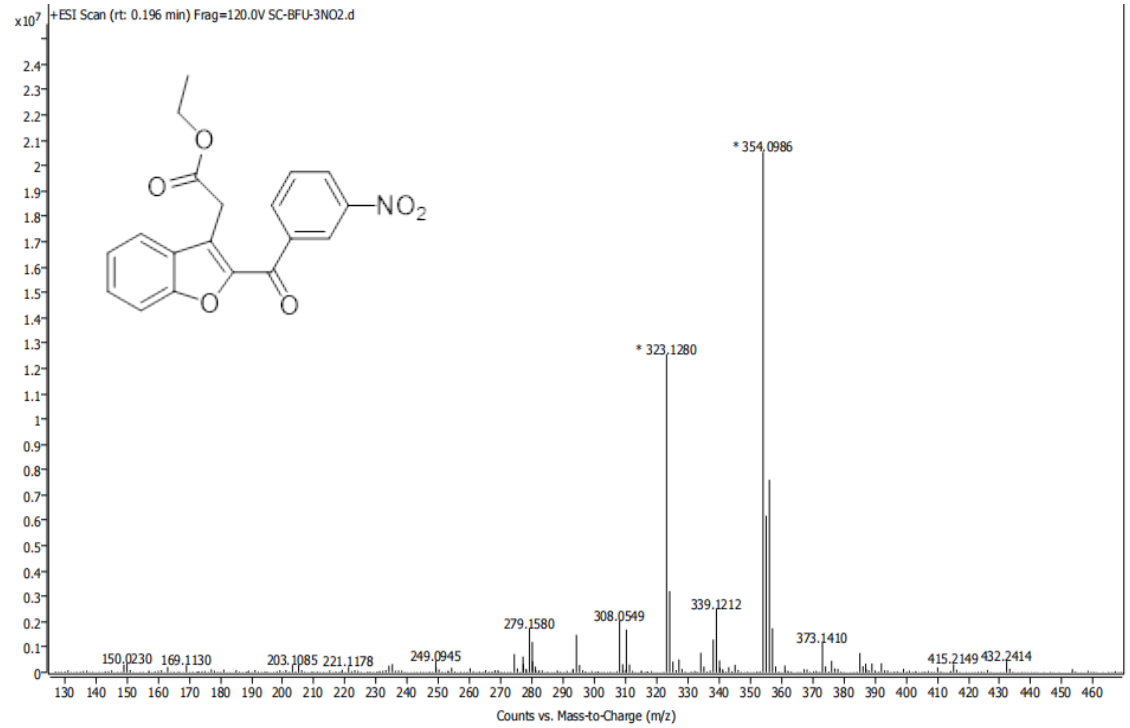


Figure S61. Mass spectrum of Ethyl 2-(2-(3-nitrobenzoyl)benzofuran-3-yl)acetate (**4j**).

Ethyl 2-(2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (4k)

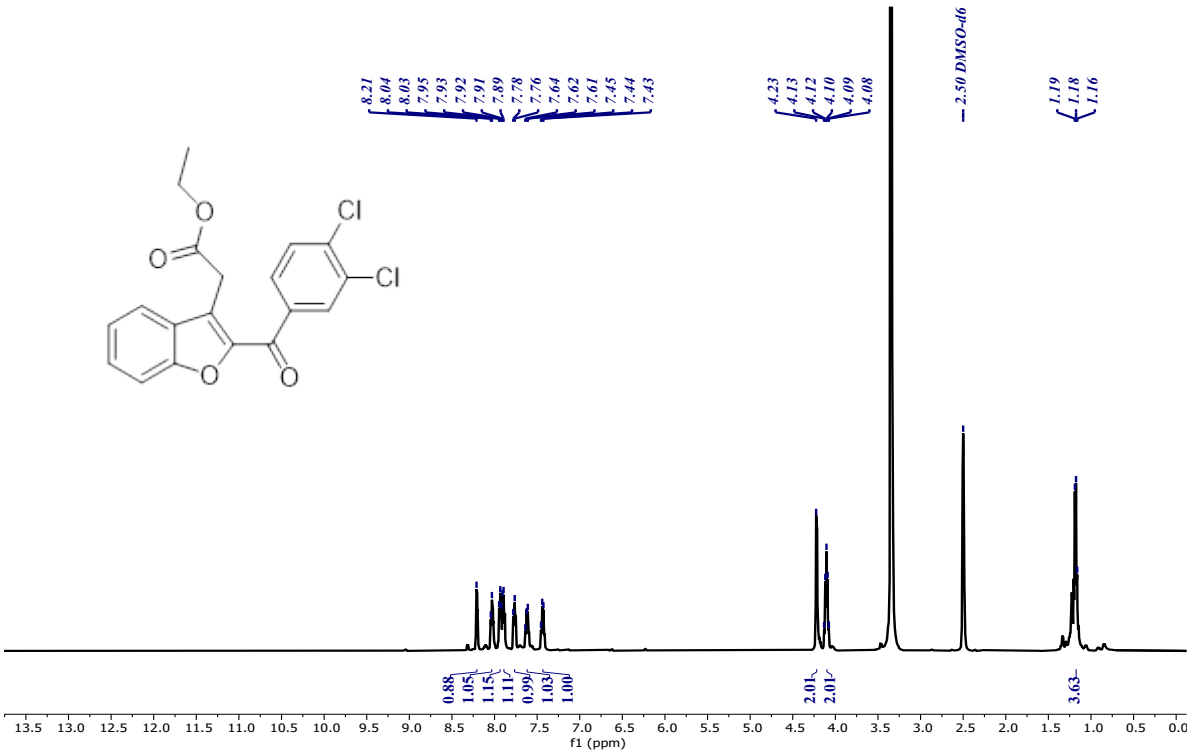


Figure S62. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (**4k**)

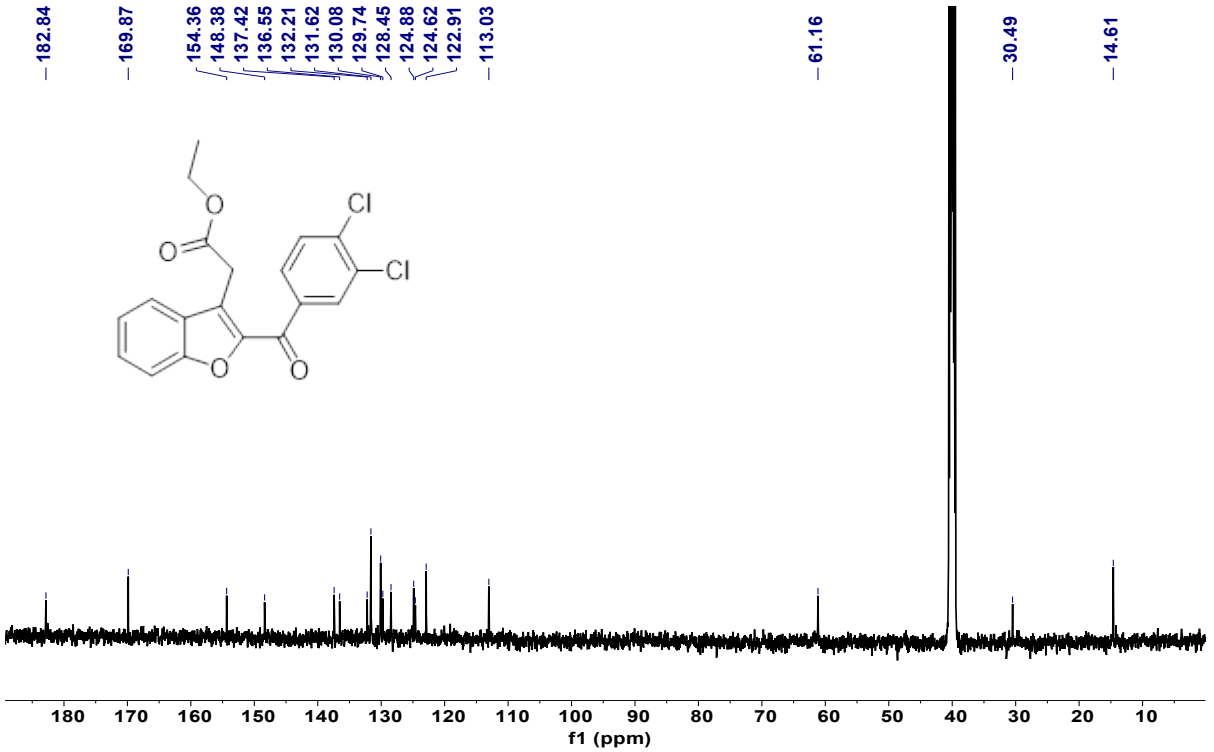


Figure S63. $^{13}C\{^{1}H\}$ NMR (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (**4k**)

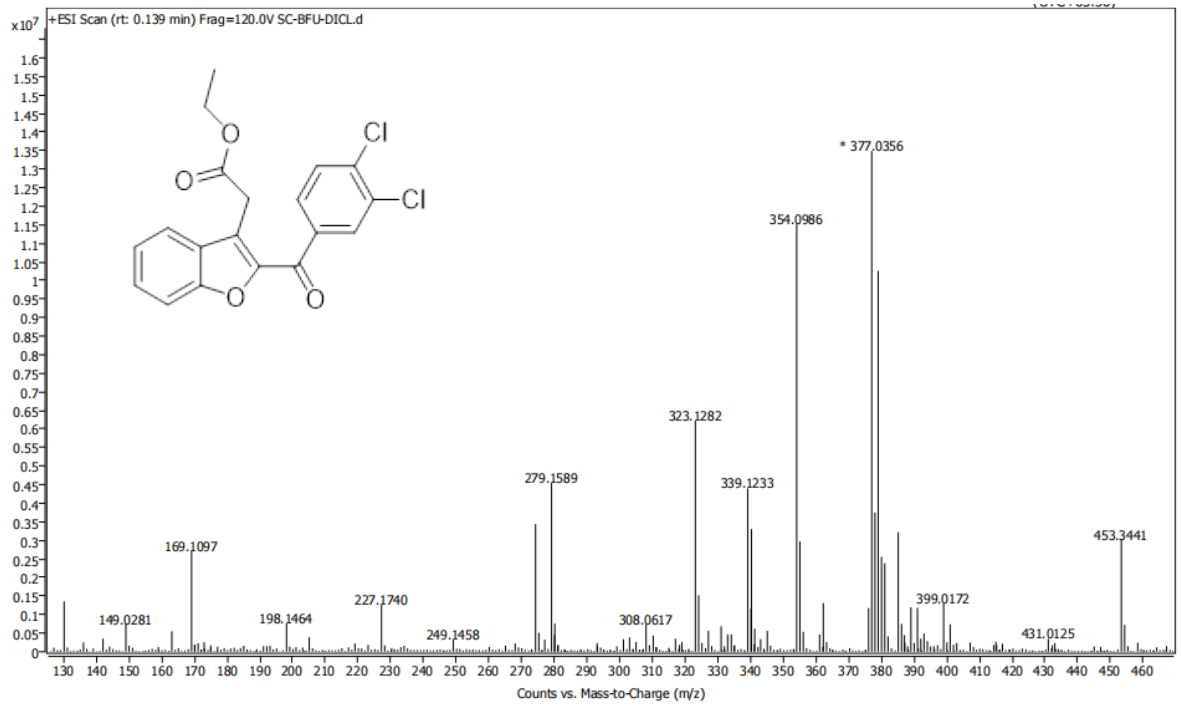


Figure S64. Mass spectrum of Ethyl 2-(2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (**4k**).

Ethyl 2-(2-benzoyl-5-bromobenzofuran-3-yl)acetate (4l)

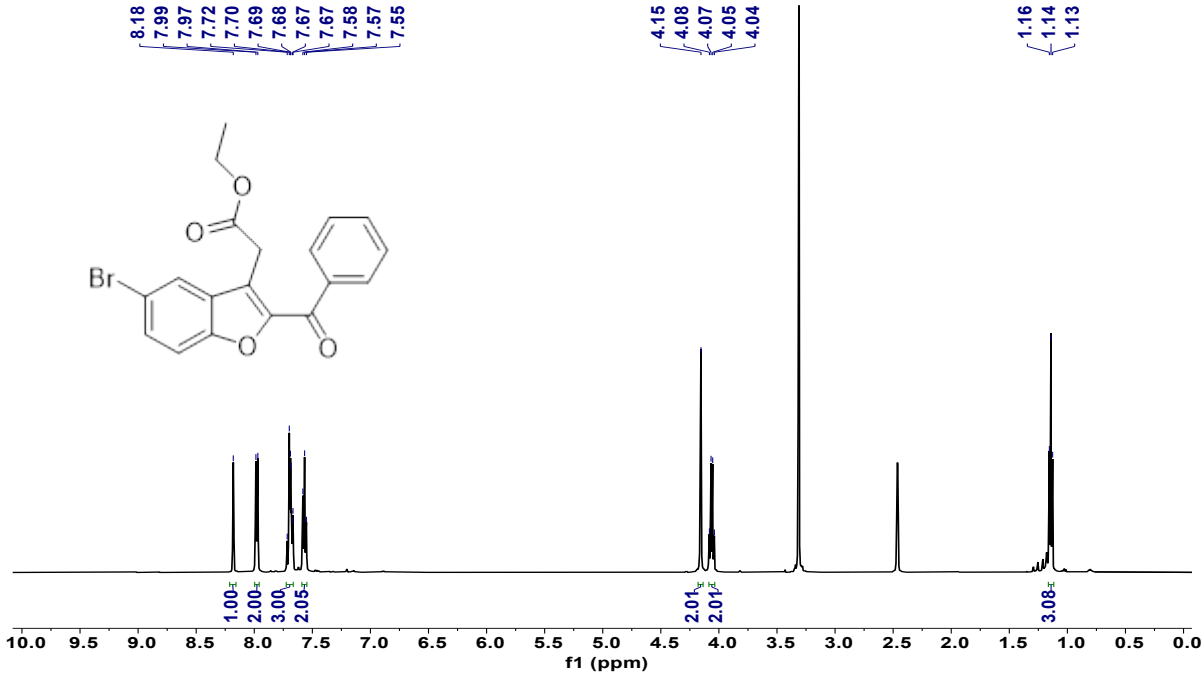


Figure S65. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-benzoyl-5-bromobenzofuran-3-yl)acetate (**4l**).

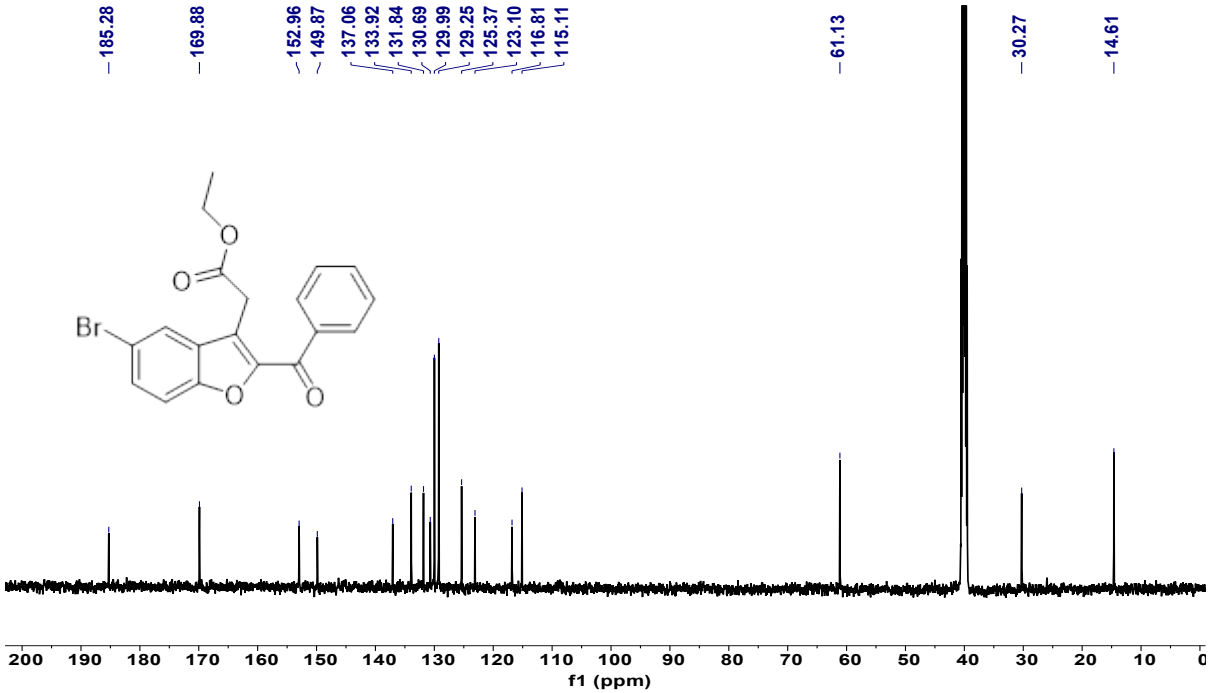


Figure S66. ^{13}C{^{1}H} NMR (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-benzoyl-5-bromobenzofuran-3-l)acetate (**4l**).

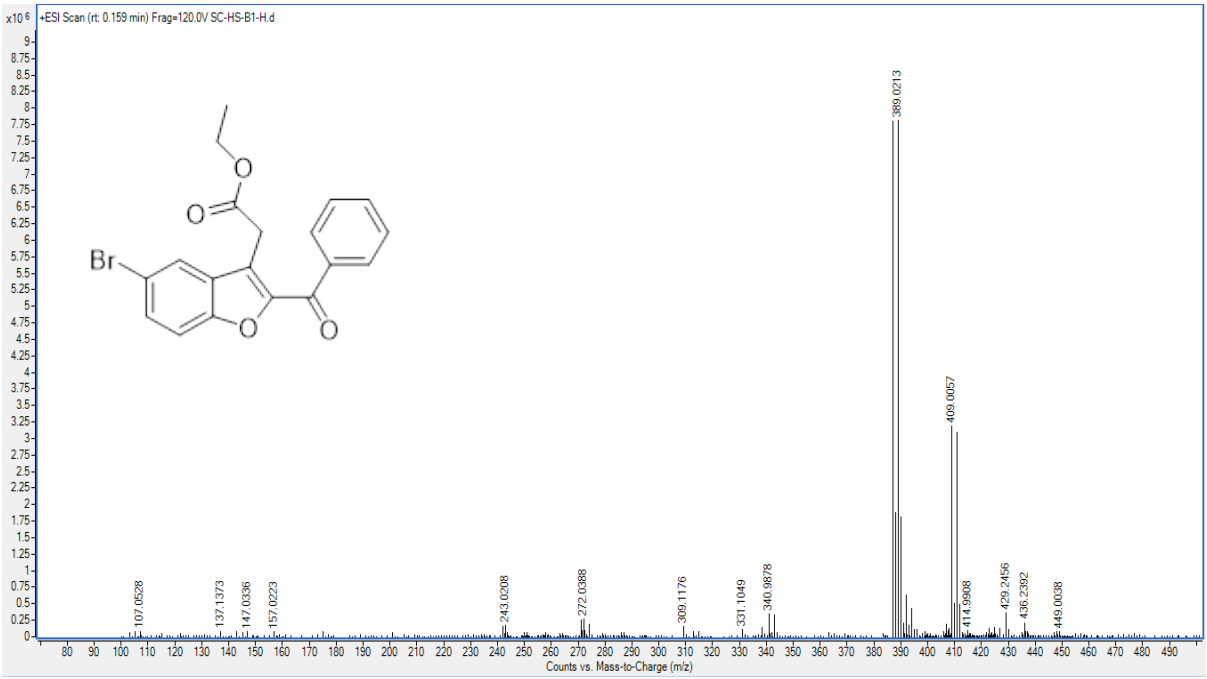


Figure S67. Mass spectrum of Ethyl 2-(2-benzoyl-5-bromobenzofuran-3-yl)acetate (**4l**).

Ethyl 2-(5-bromo-2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (4m)

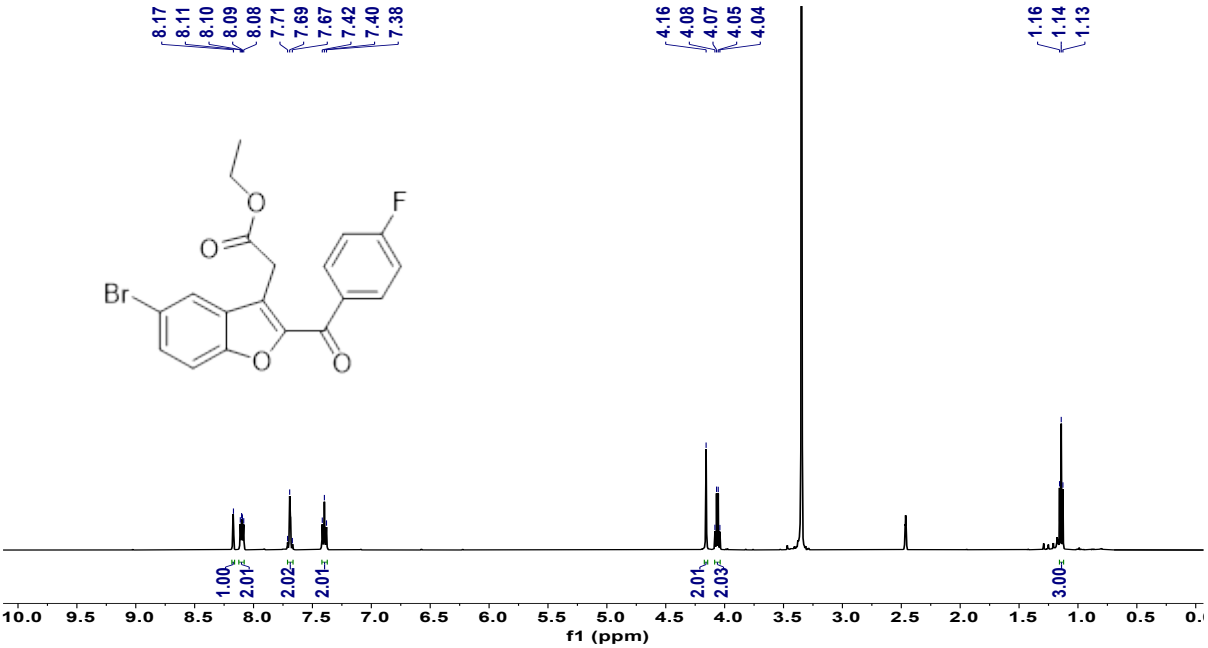


Figure S68. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(5-bromo-2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (**4m**).

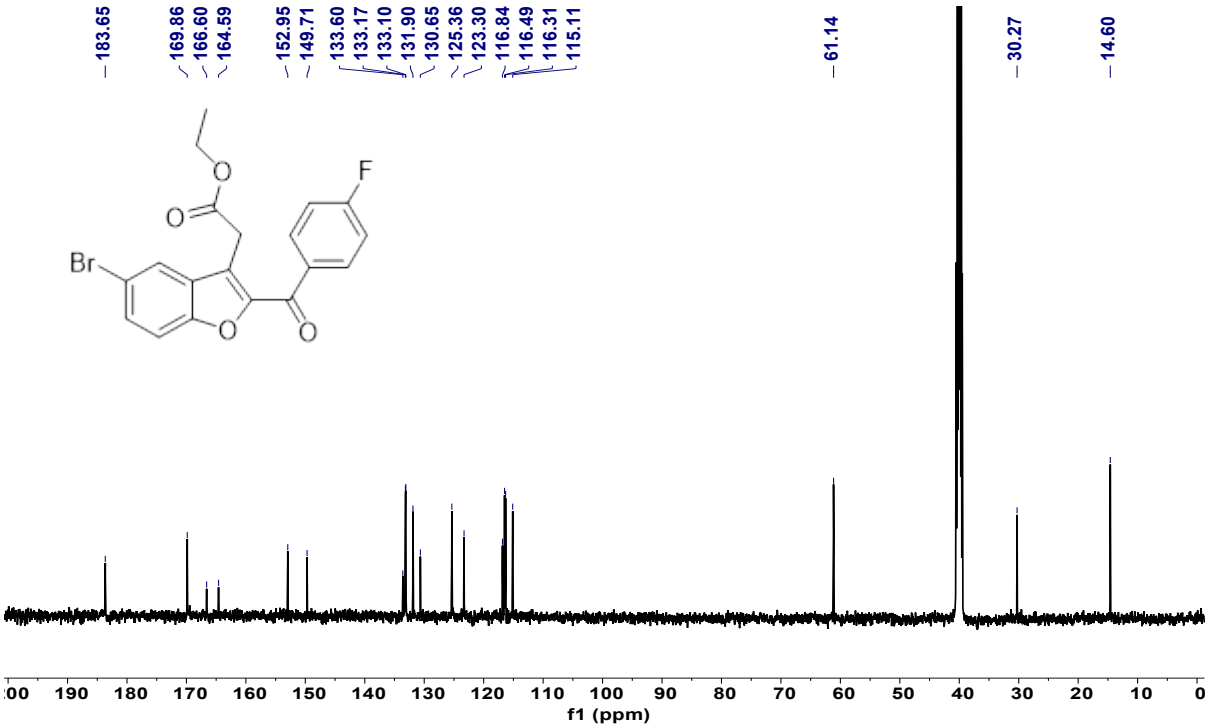


Figure S69. $^{13}C\{^{1}H\}$ NMR (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(5-bromo-2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (**4m**).

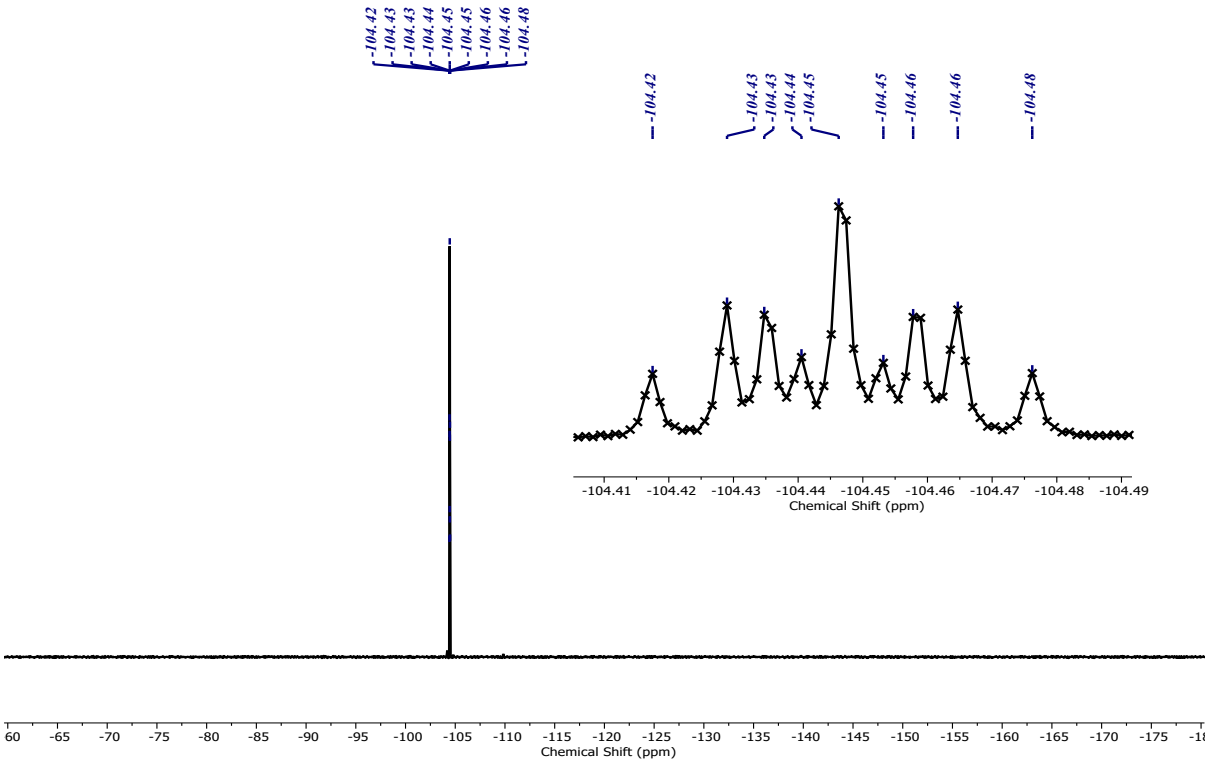


Figure S70. $^{19}F\{^{1}H\}$-NMR (470 MHz, $CDCl_3$) of Ethyl 2-(5-bromo-2-(4-fluorobenzoyl) benzofuran-3-yl)acetate (**4m**).

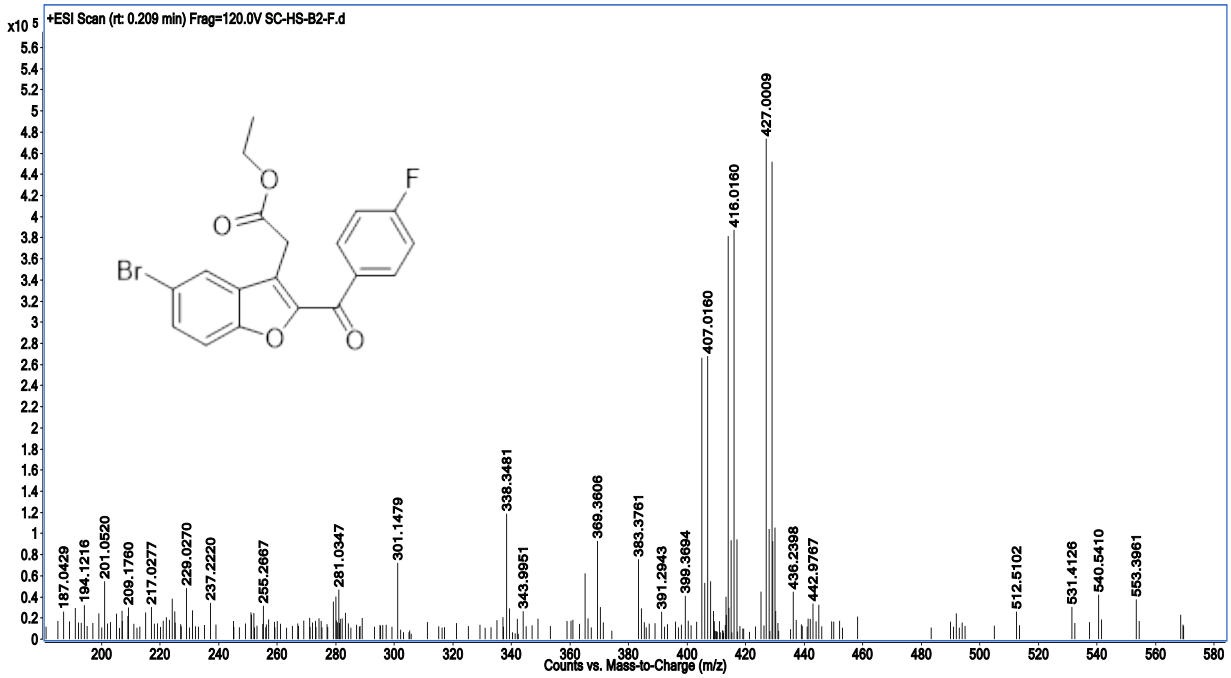


Figure S71. Mass spectrum of Ethyl 2-(5-bromo-2-(4-fluorobenzoyl)benzofuran-3-yl)acetate (**4m**).

Ethyl 2-(5-bromo-2-(4-chlorobenzoyl)benzofuran-3-yl)acetate(4n)

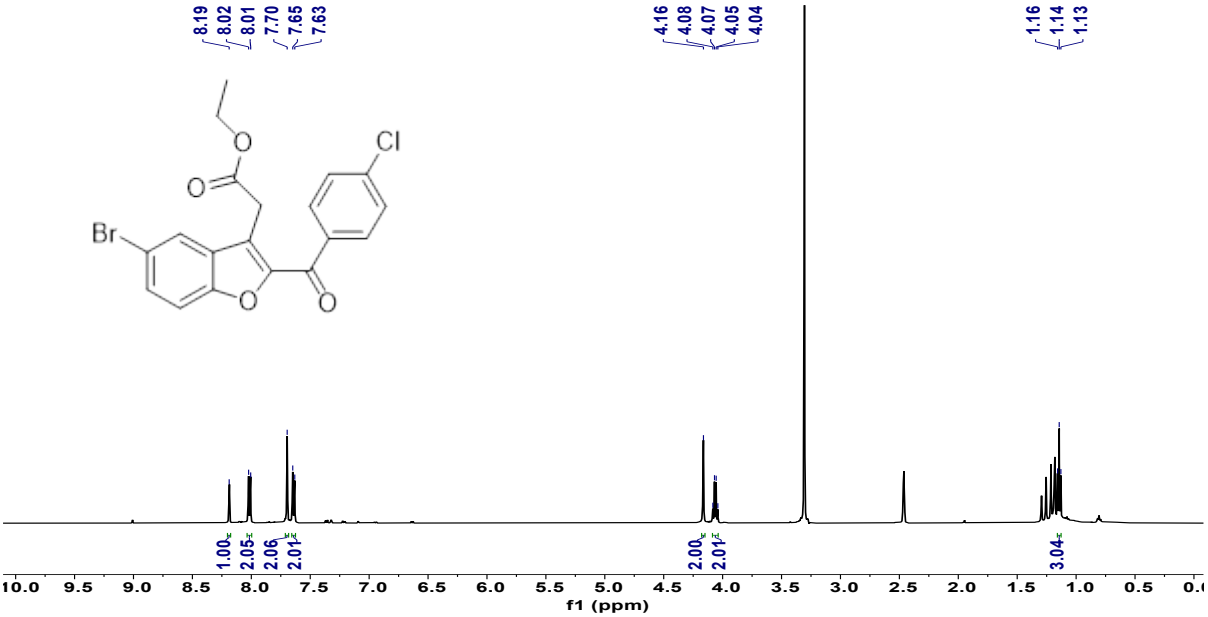


Figure S72. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(5-bromo-2-(4-chlorobenzoyl)benzofuran-3-yl)acetate (**4n**).

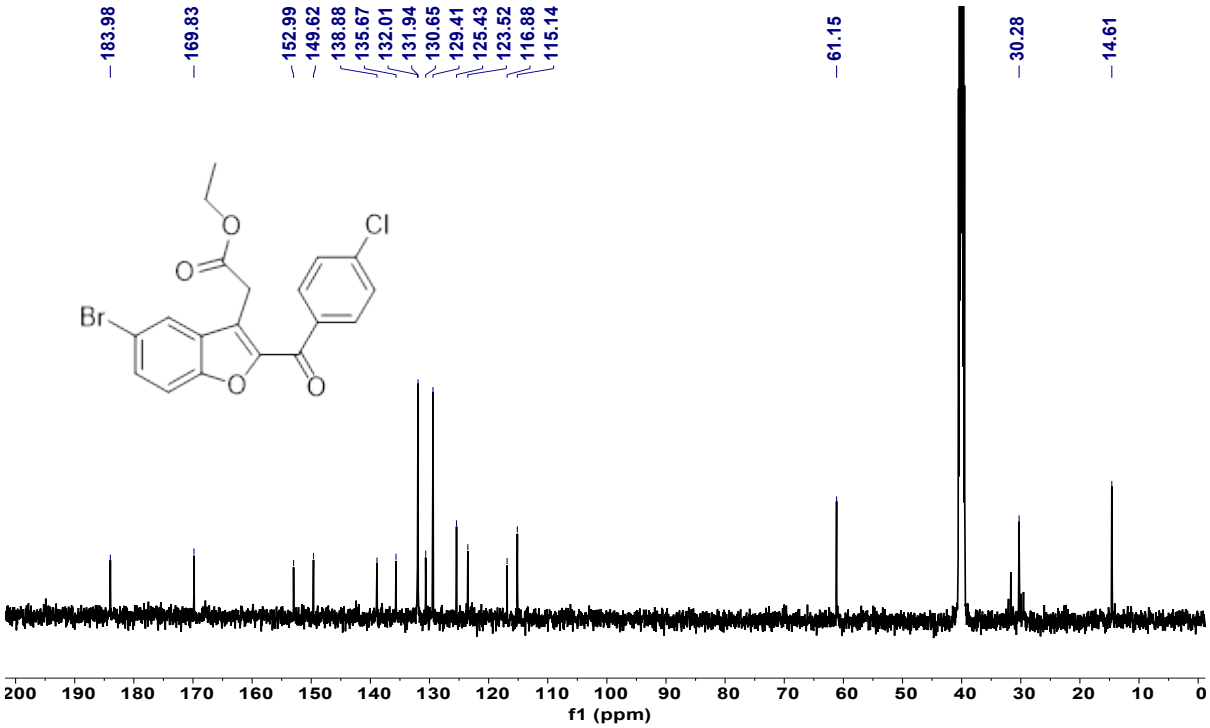


Figure S73. **$^{13}C\{^{1}H\}$ NMR** (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(5-bromo-2-(4-chlorobenzoyl)benzofuran-3-yl)acetate (**4n**).

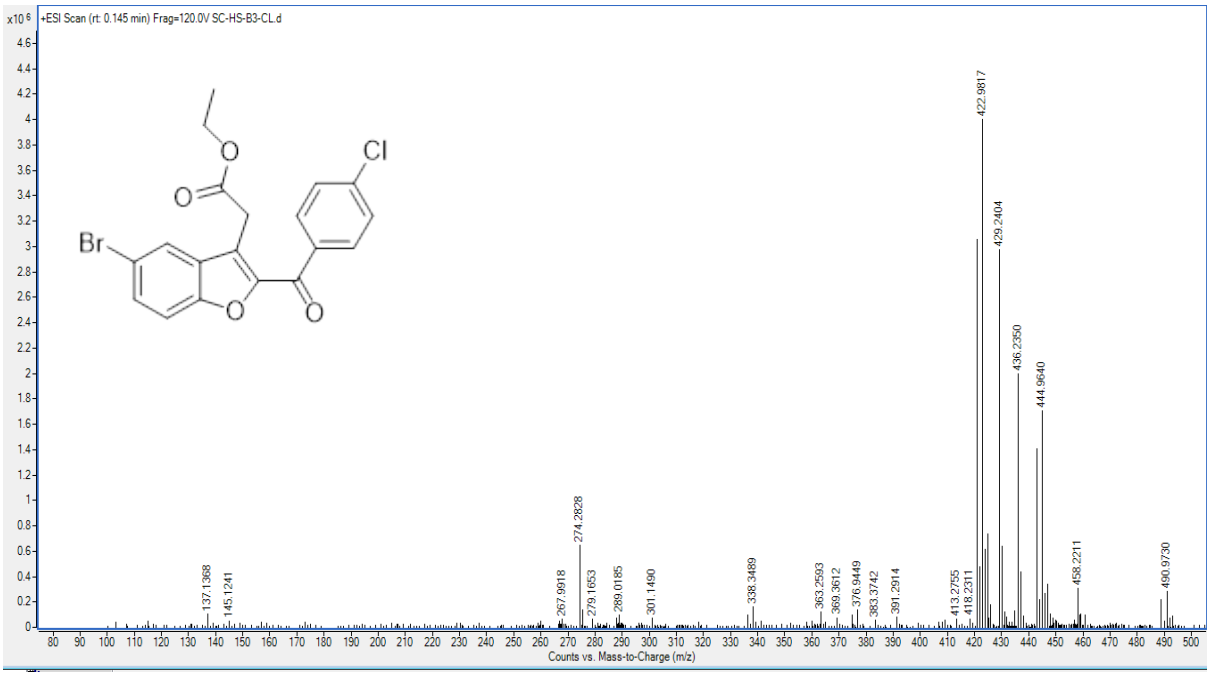


Figure S74. Mass spectrum Ethyl 2-(5-bromo-2-(4-chlorobenzoyl)benzofuran-3-yl)acetate (4n).

Ethyl 2-(5-bromo-2-(4-bromobenzoyl)benzofuran-3-yl)acetate(4o)

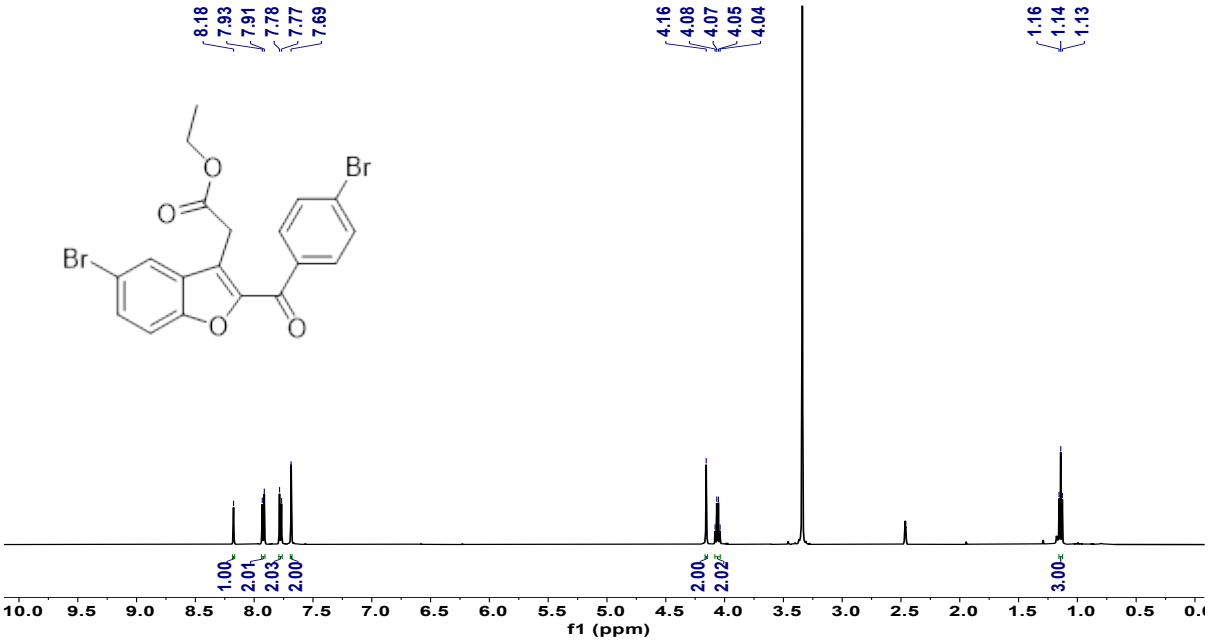


Figure S75. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(5-bromo-2-(4-bromobenzoyl)benzofuran-3-yl)acetate (**4o**)

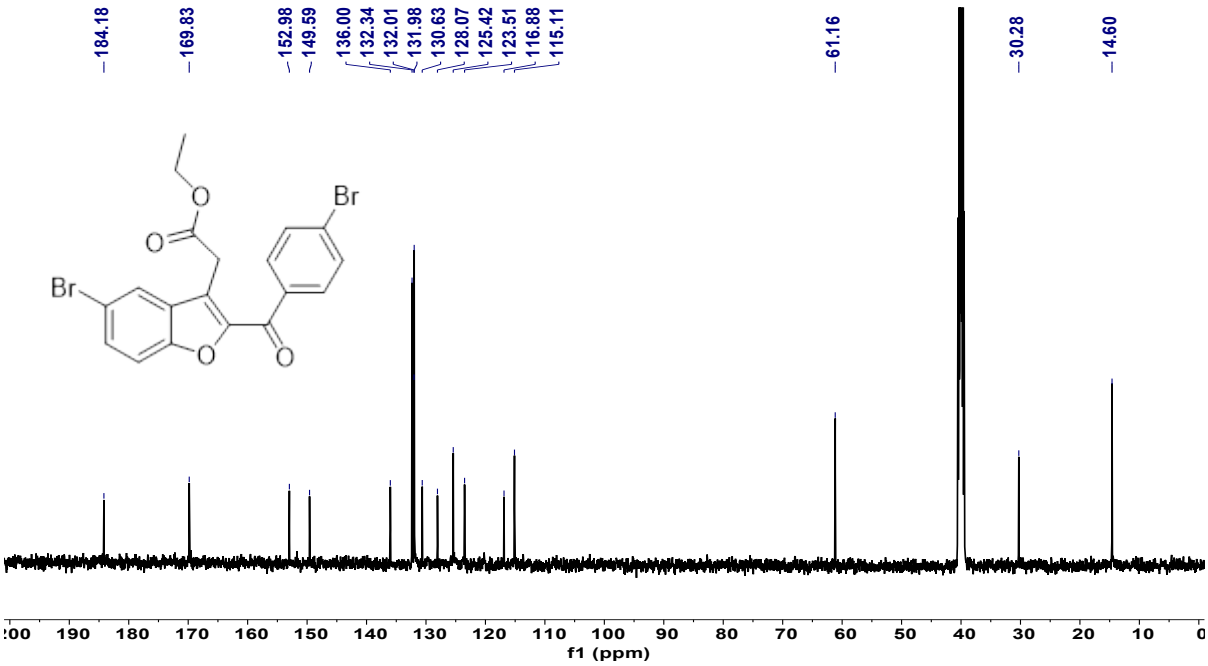


Figure S76. ^{13}C{^{1}H} NMR (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(5-bromo-2-(4-bromobenzoyl)benzofuran-3-yl)acetate (**4o**)

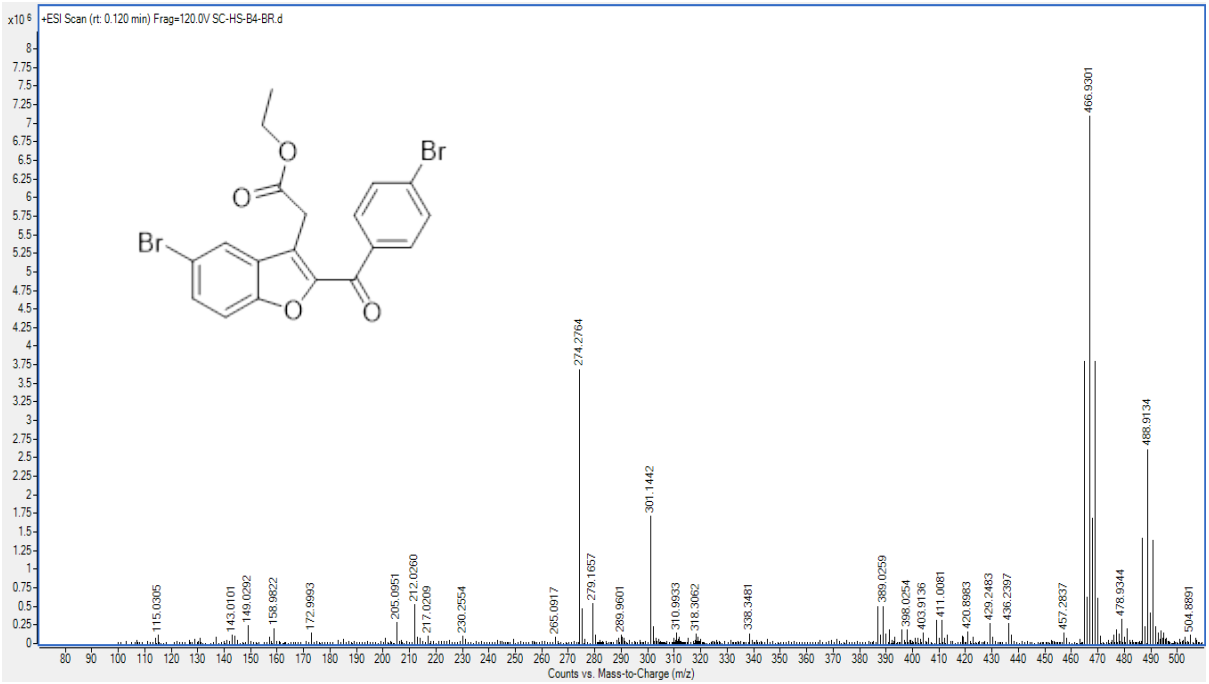


Figure S77. Mass spectrum Ethyl 2-(5-bromo-2-(4-bromobenzoyl)benzofuran-3-yl)acetate (**4o**)

Ethyl 2-(5-bromo-2-(4-methylbenzoyl)benzofuran-3-yl)acetate (4p)

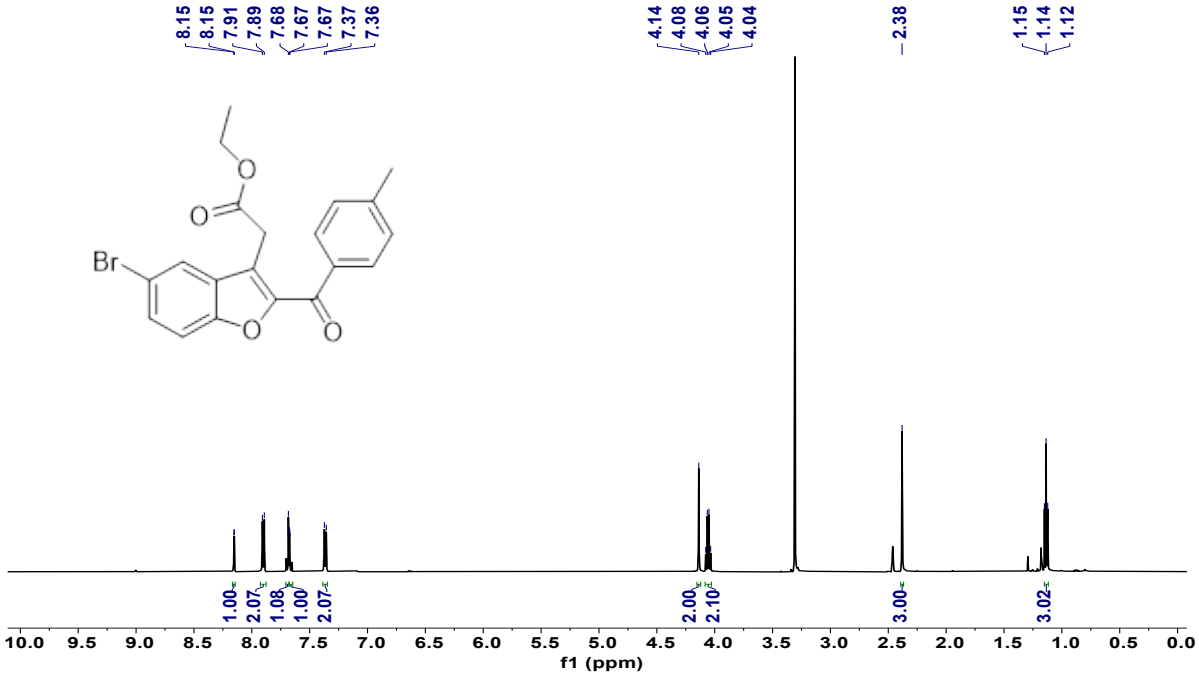


Figure S78. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(5-bromo-2-(4-methylbenzoyl) benzofuran-3-yl)acetate (**4p**).

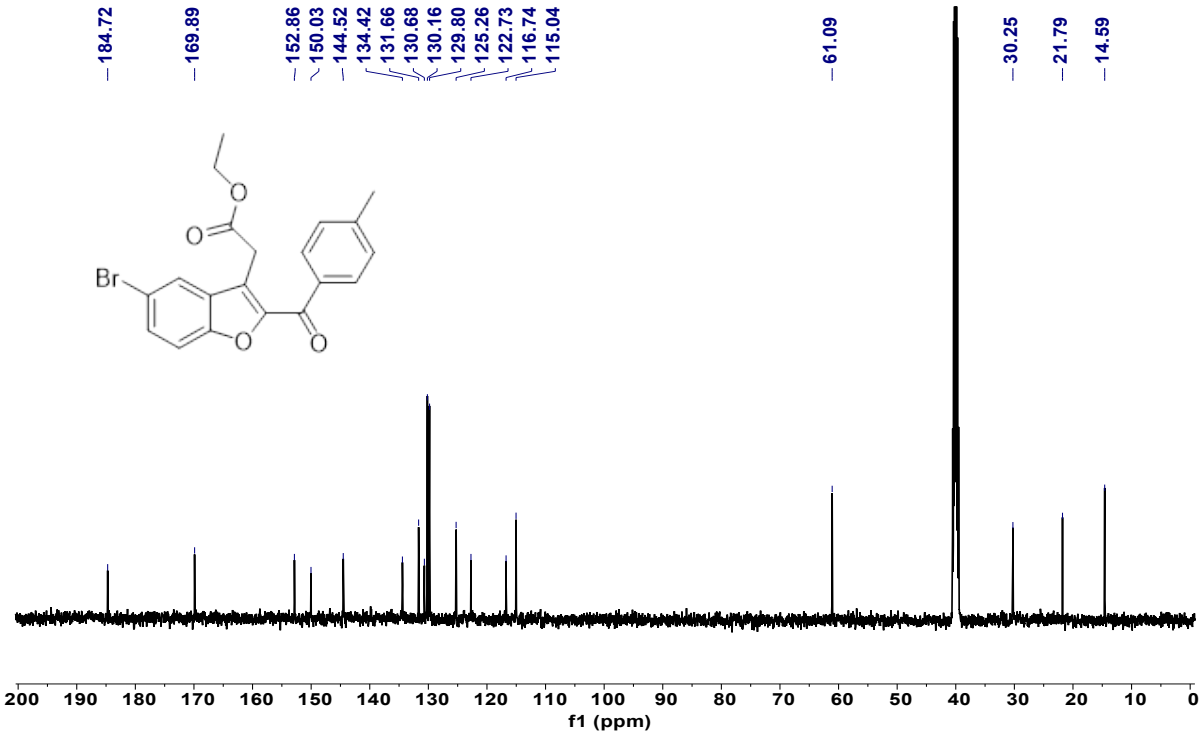


Figure S79. $^{13}C\{^{1}H\}$ NMR (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(5-bromo-2-(4-methylbenzoyl)benzofuran-3-yl)acetate (**4p**).

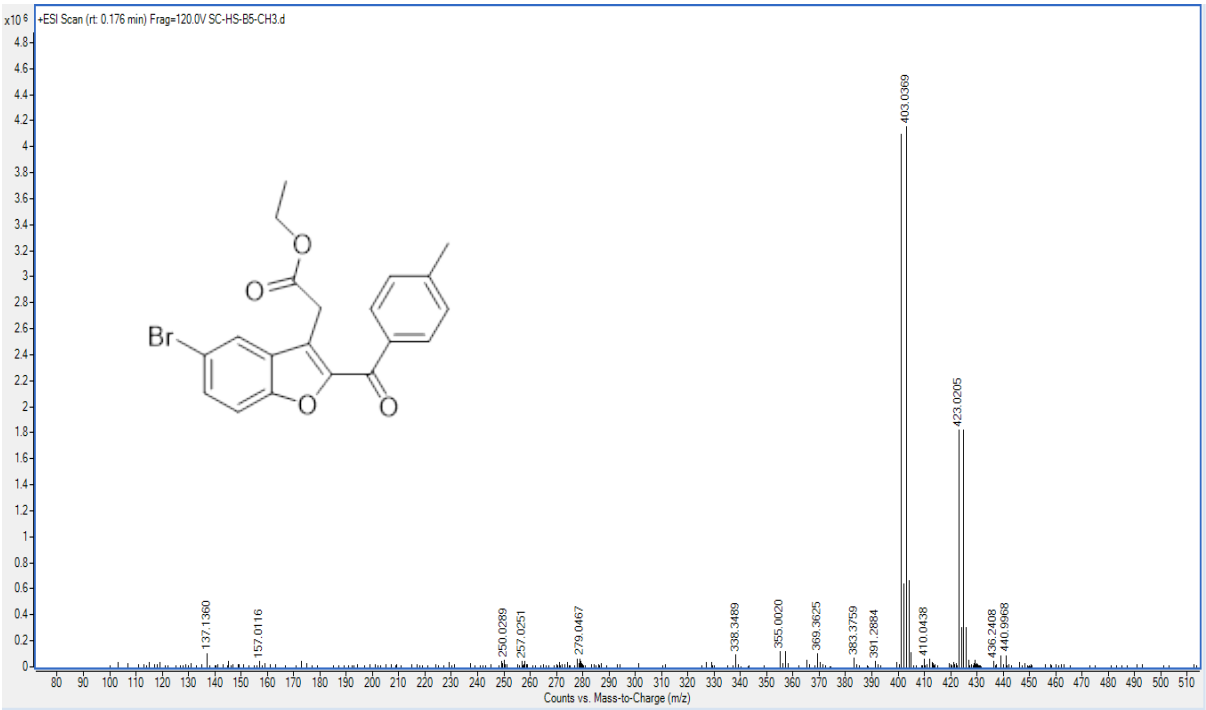


Figure S80. Mass spectrum of Ethyl 2-(5-bromo-2-(4-methylbenzoyl)benzofuran-3-yl)acetate (**4p**).

Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-5-bromobenzofuran-3-yl)acetate (4q)

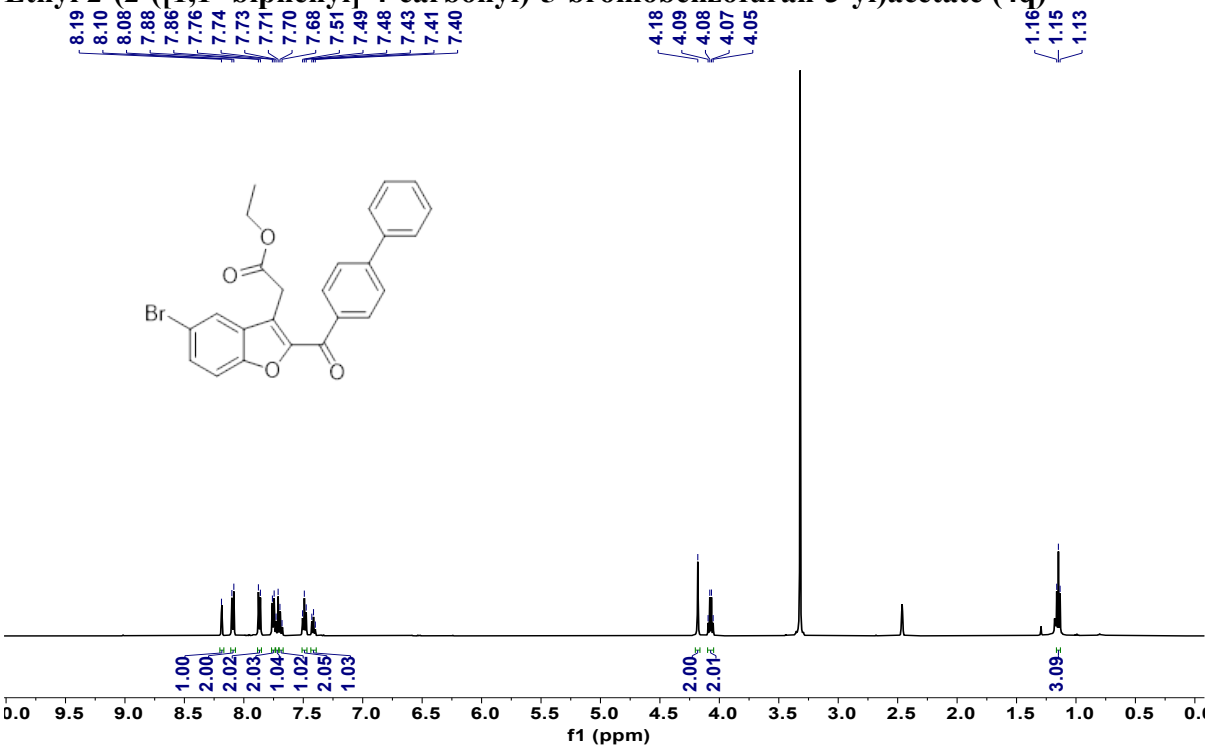


Figure S81. ^{1}H NMR (500 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-5-bromobenzofuran-3-yl)acetate (**4q**).

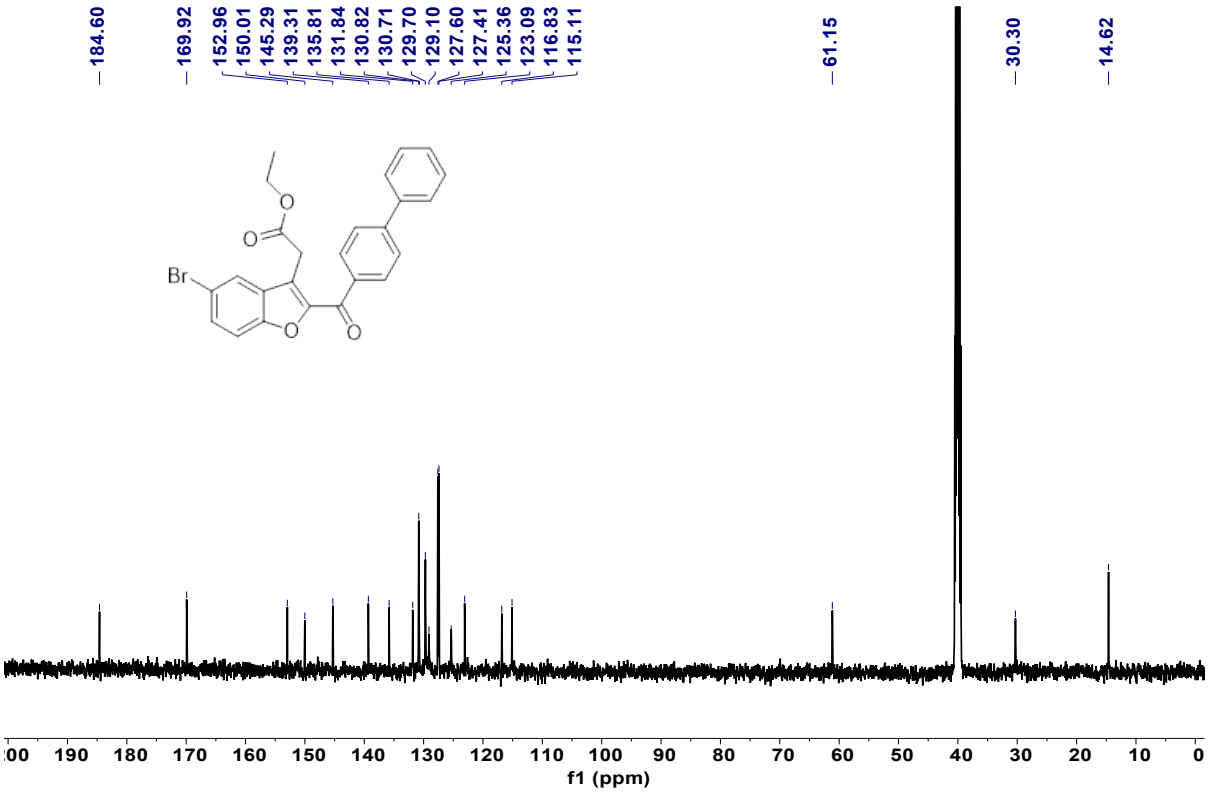


Figure S82. **^{13}C{^{1}H} NMR** (125 MHz, DMSO-d_6) spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-5-bromobenzofuran-3-yl)acetate (**4q**).

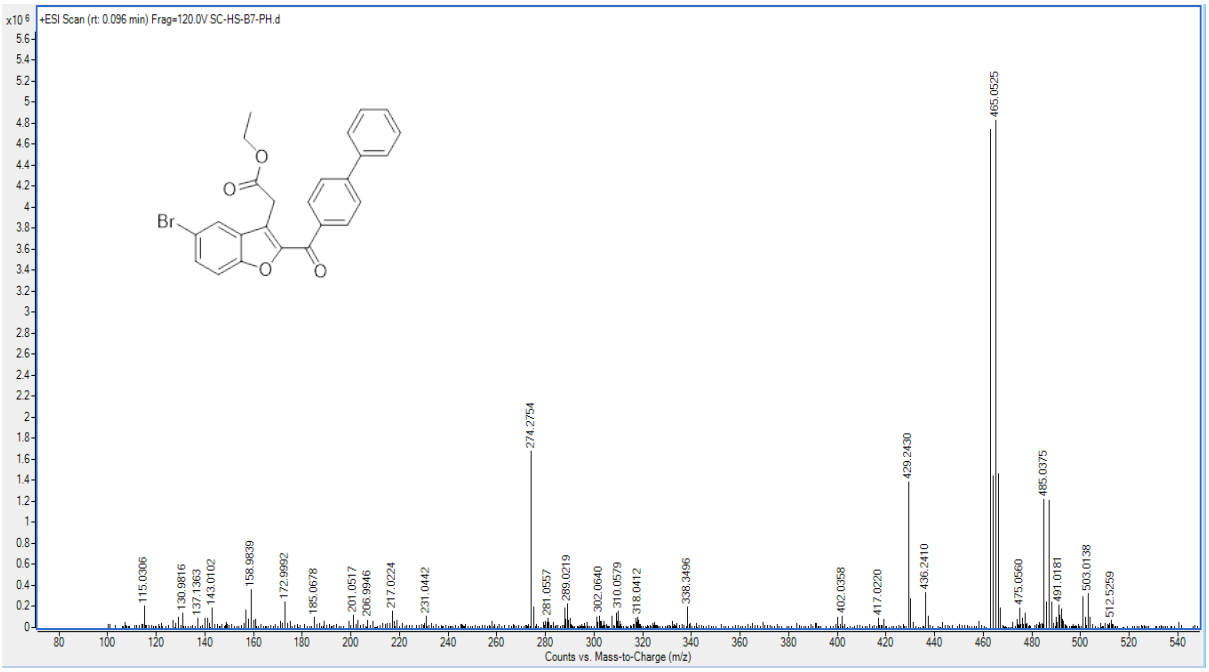


Figure S83. Mass spectrum of Ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-5-bromobenzofuran-3-yl)acetate (**4q**).

Ethyl 2-(5-bromo-2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (4r)

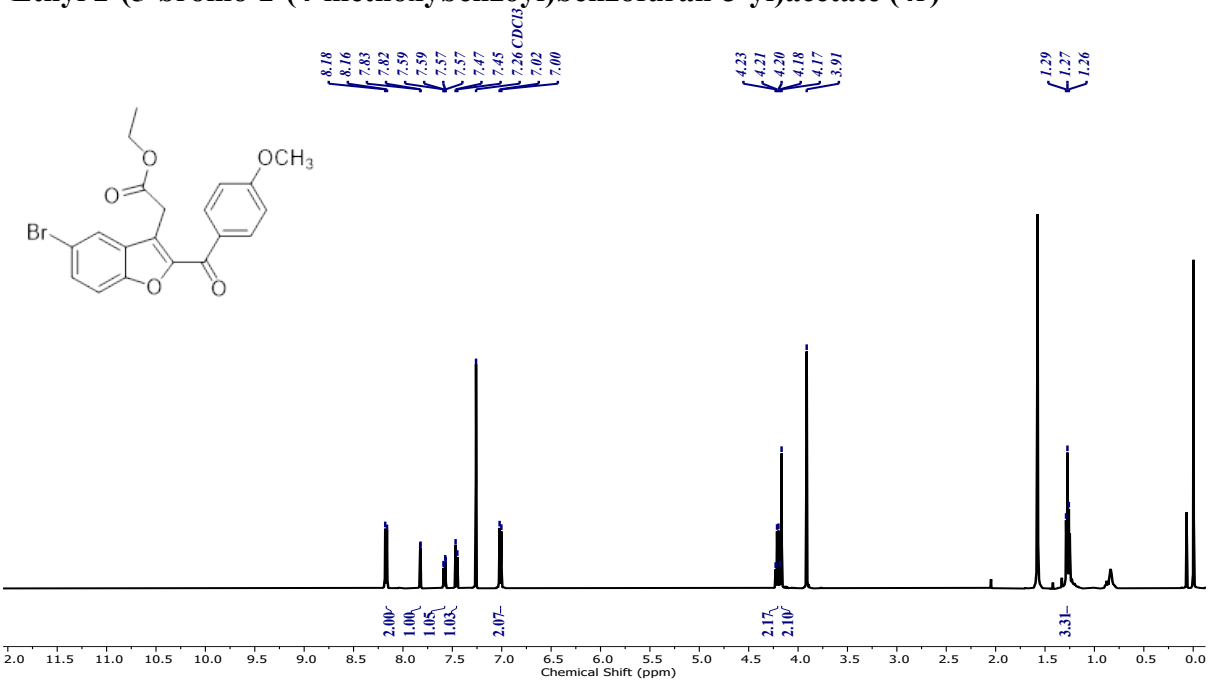


Figure S84. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(5-bromo-2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (**4r**).

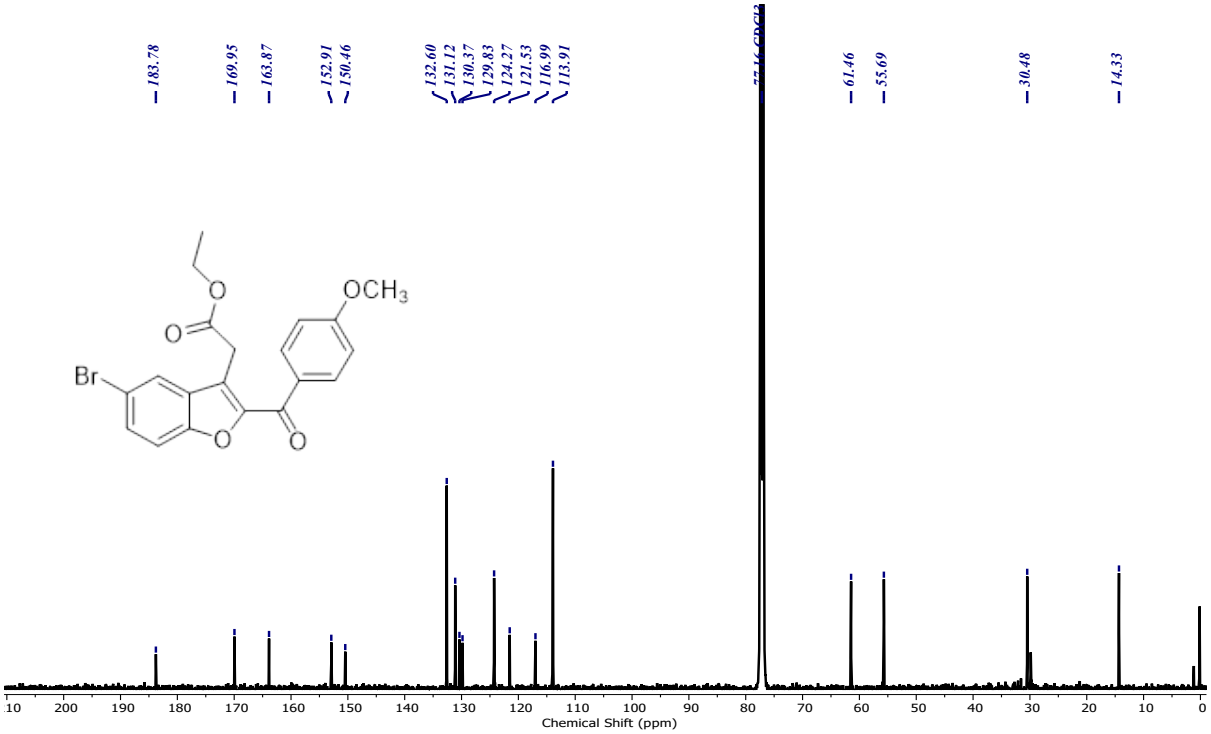


Figure S85. $^{13}C\{^{1}H\}$ NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(5-bromo-2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (**4r**).

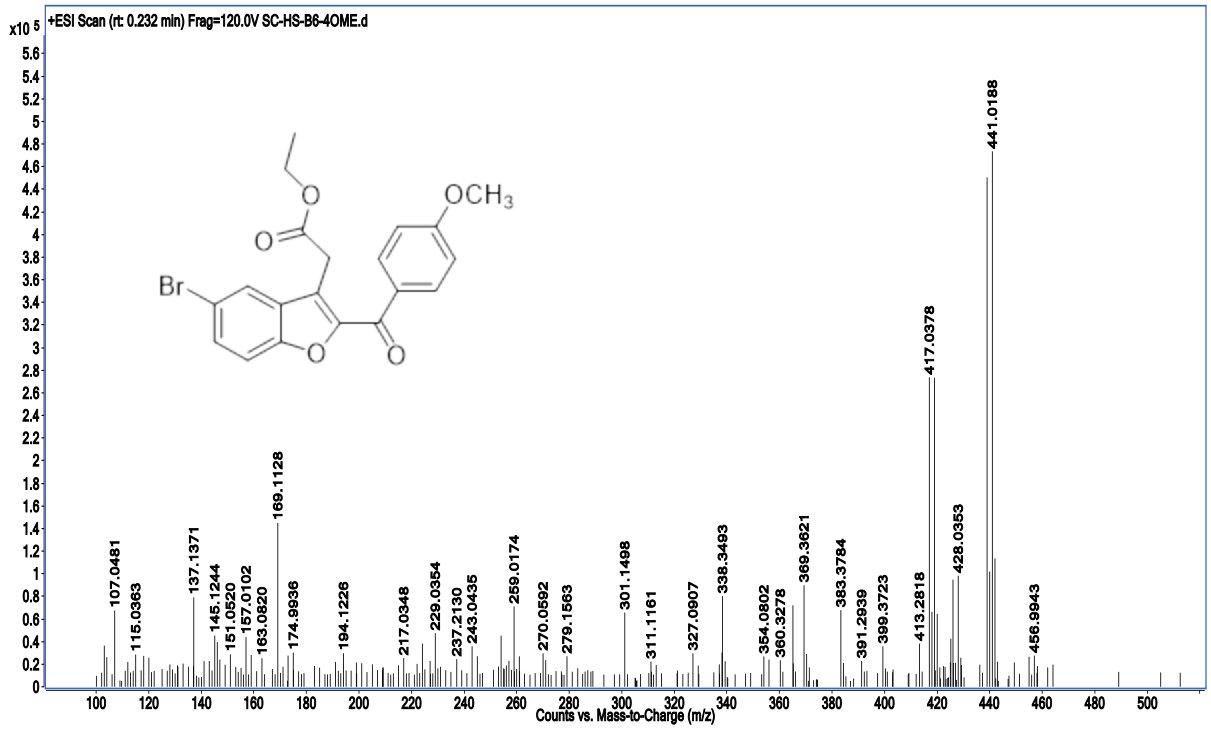


Figure S86. Mass spectrum of Ethyl 2-(5-bromo-2-(4-methoxybenzoyl)benzofuran-3-yl)acetate (**4r**).

Ethyl 2-(5-bromo-2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (4s)

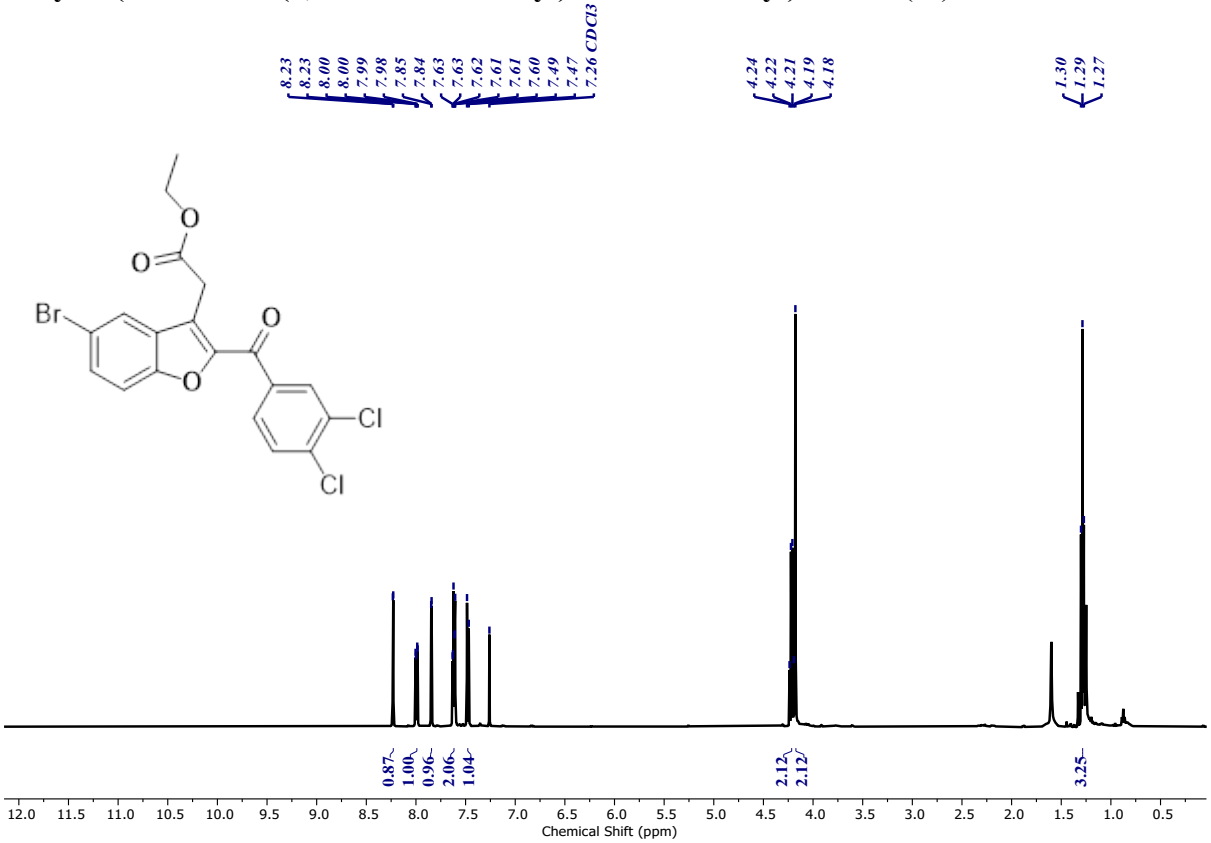


Figure S87. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 2-(5-bromo-2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate **(4s)**

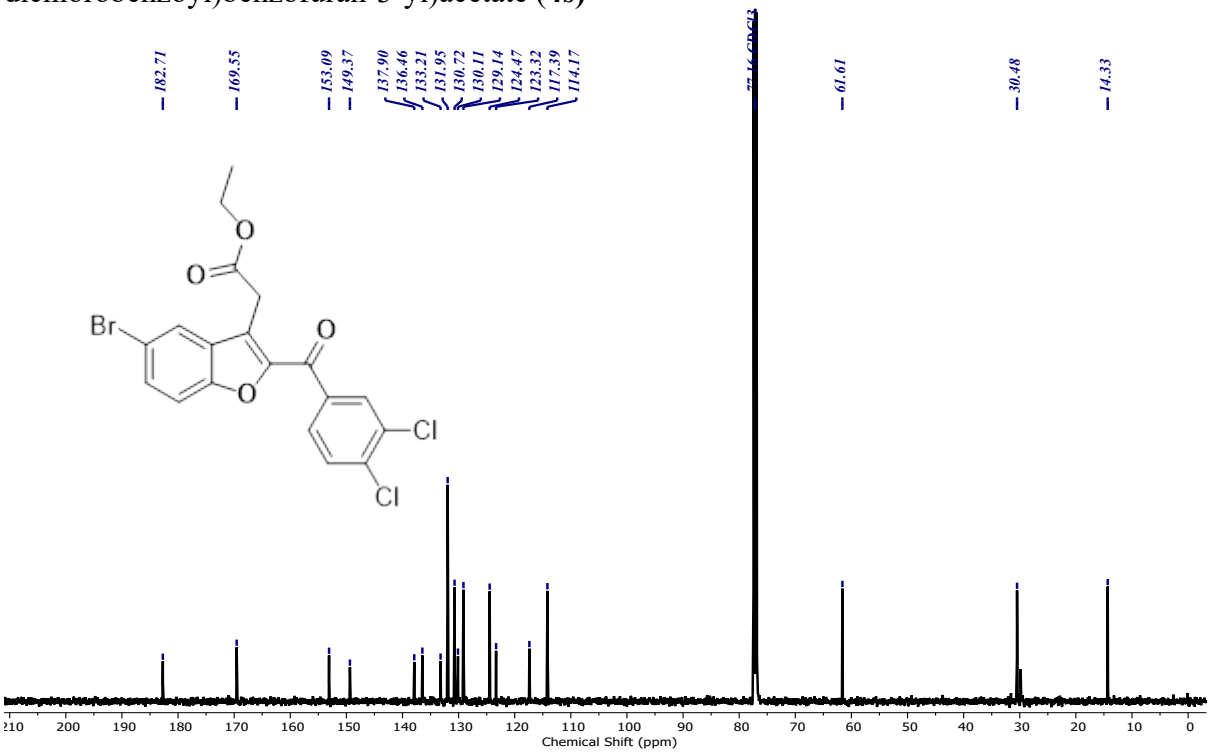


Figure S88. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of Ethyl 2-(5-bromo-2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (**4s**)

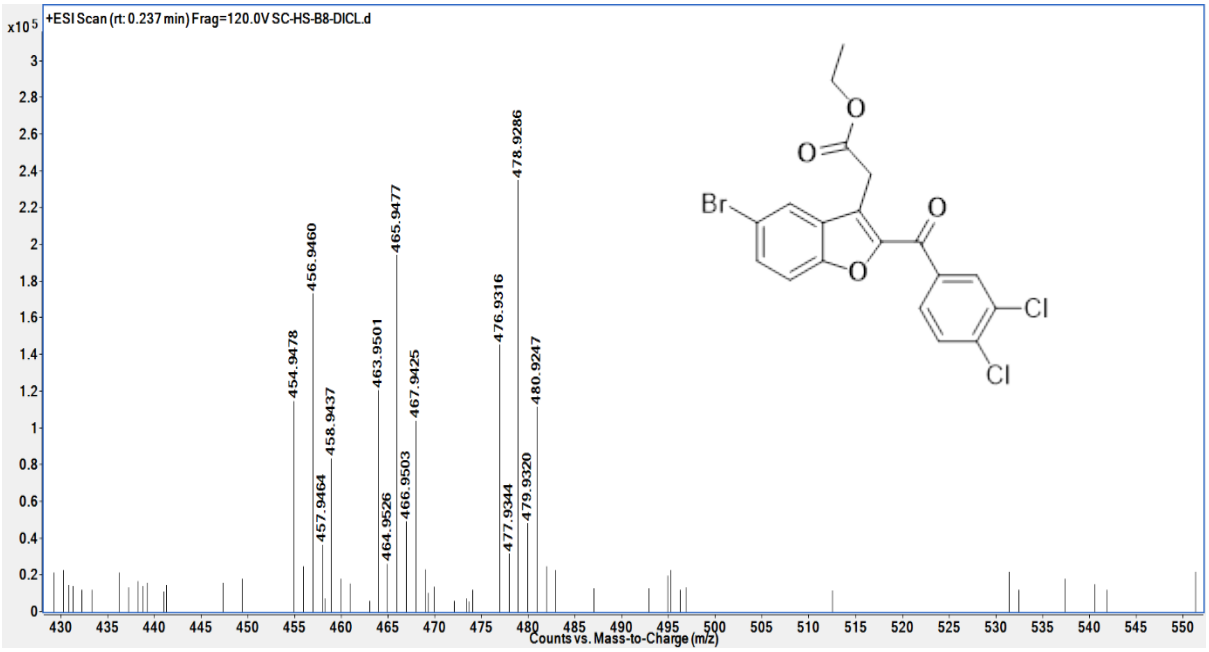


Figure S89. Mass spectrum of Ethyl 2-(5-bromo-2-(3,4-dichlorobenzoyl)benzofuran-3-yl)acetate (**4s**)

Ethyl 1-phenylbenzofuro[*2,3-c*]pyridine-4-carboxylate (5a)

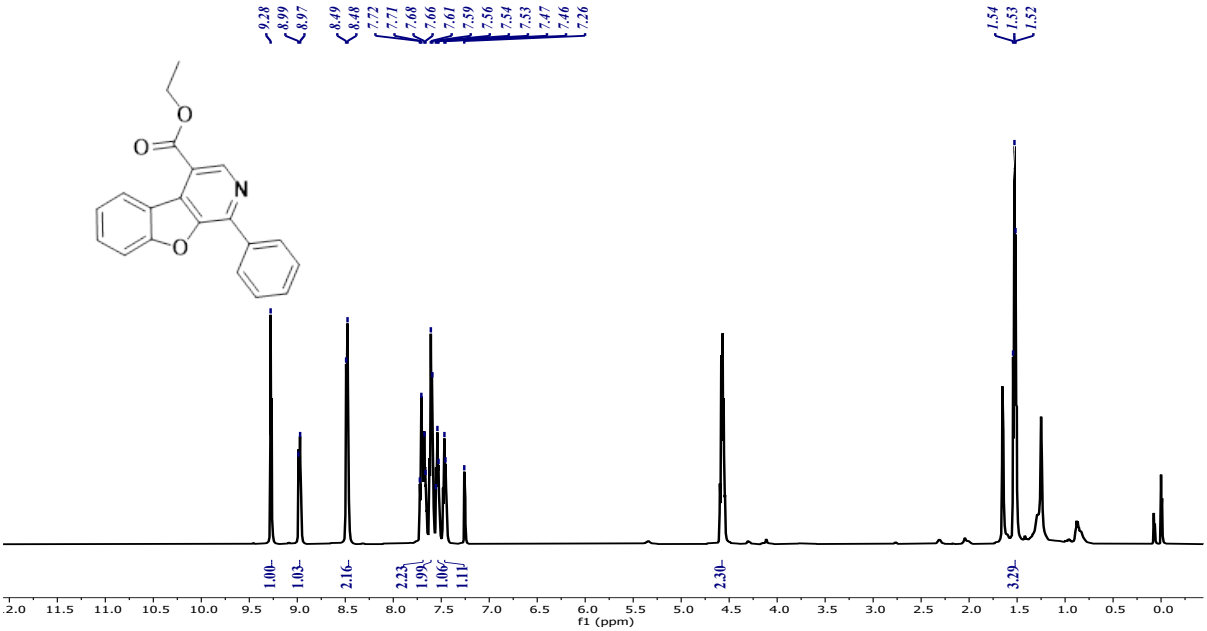


Figure S90. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of Ethyl 1-phenylbenzofuro[2,3-*c*] pyridine-4-carboxylate (**5a**).

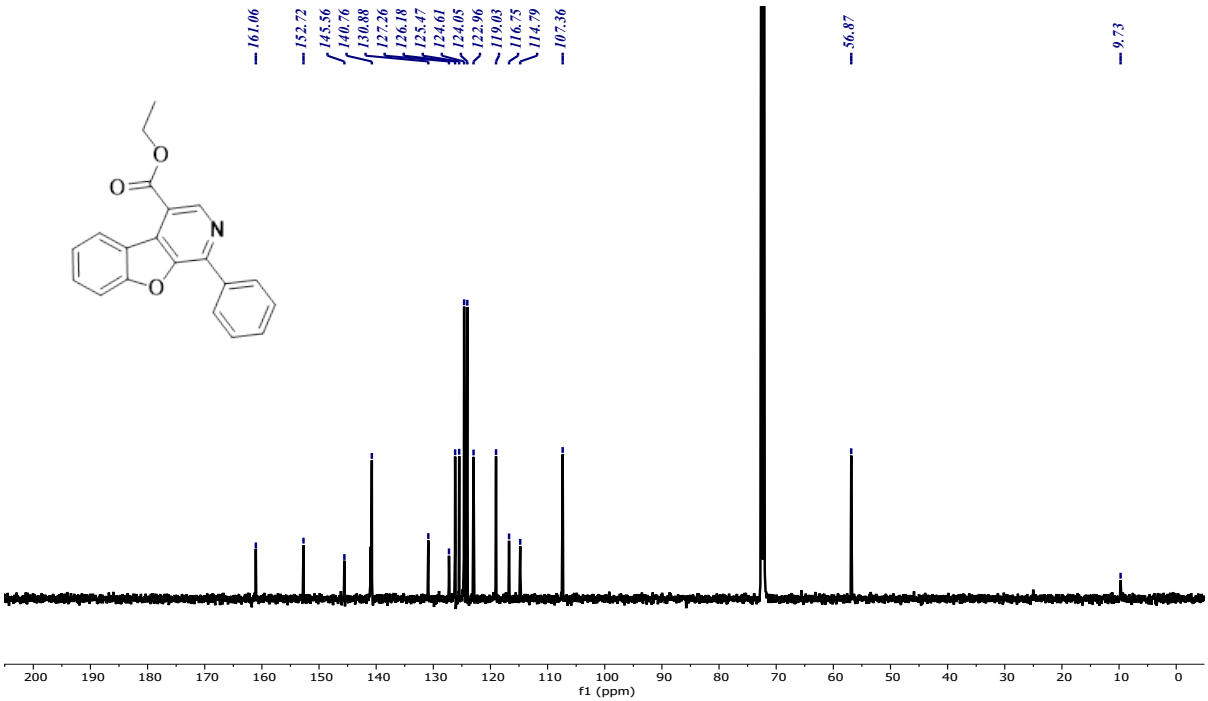


Figure S91. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of Ethyl 1-phenylbenzofuro[2,3-c]pyridine-4-carboxylate (**5a**).

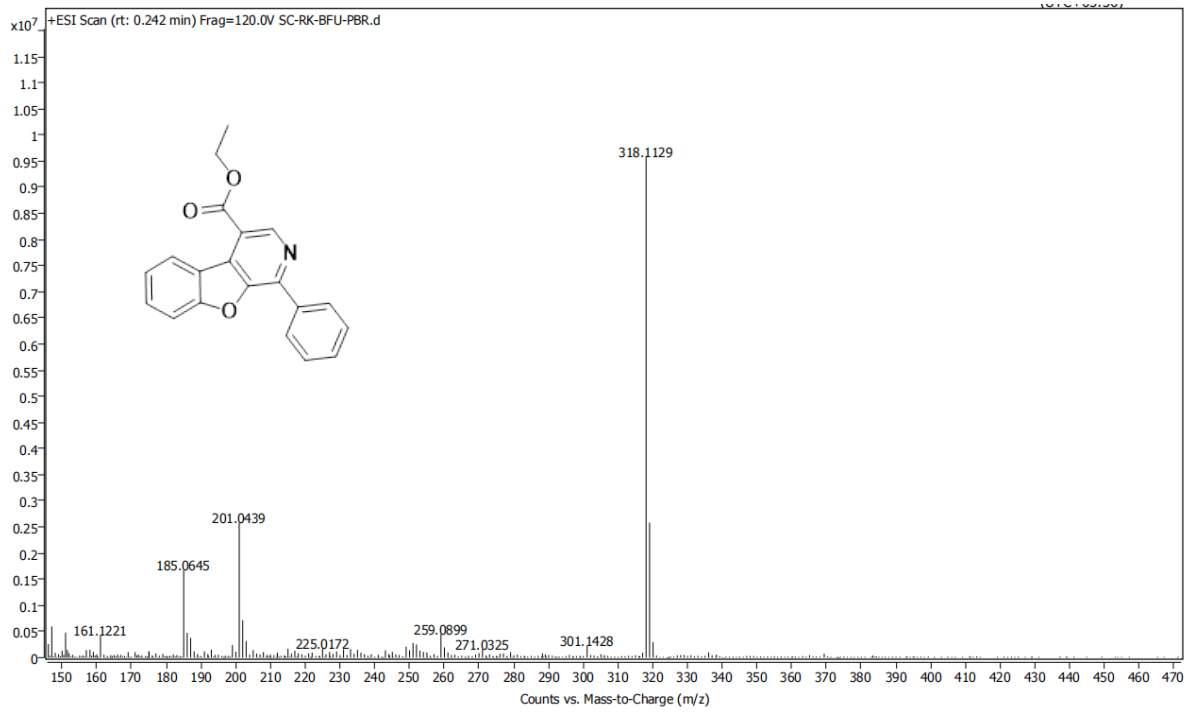


Figure S92. Mass spectrum of Ethyl 1-phenylbenzofuro[2,3-c]pyridine-4-carboxylate (**5a**).

Ethyl 1-(4-fluorophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5b)

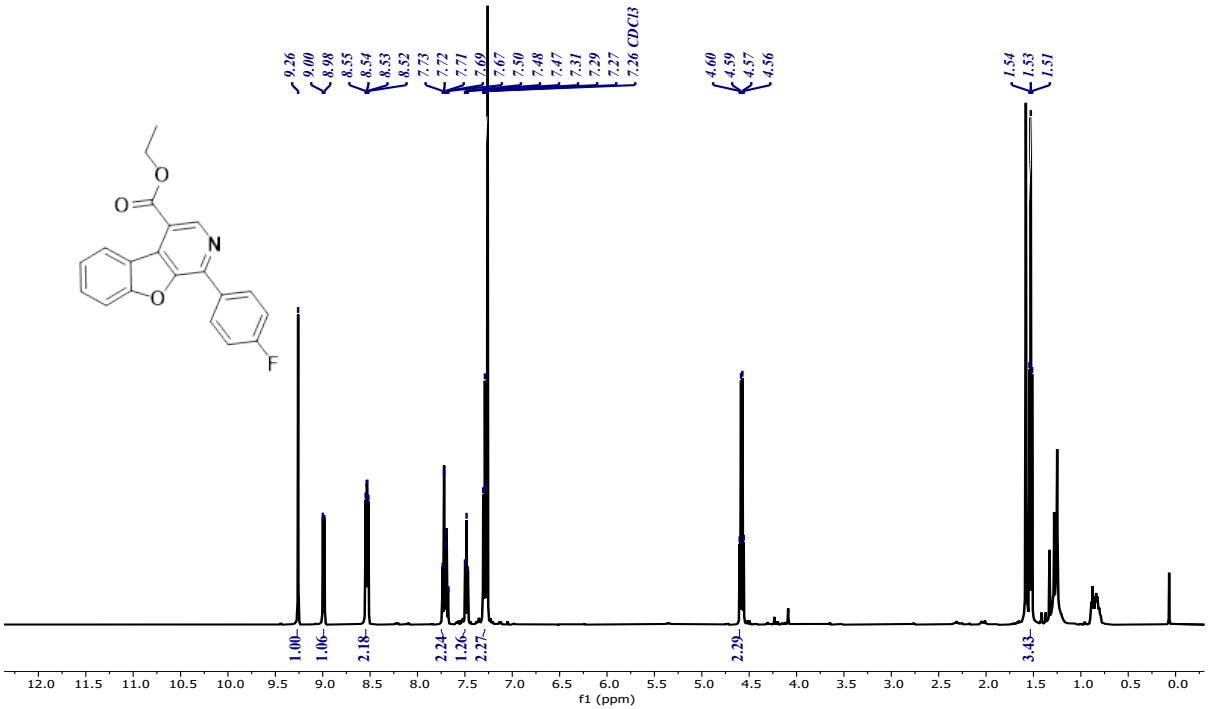


Figure S93. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 1-(4-fluorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5b**).

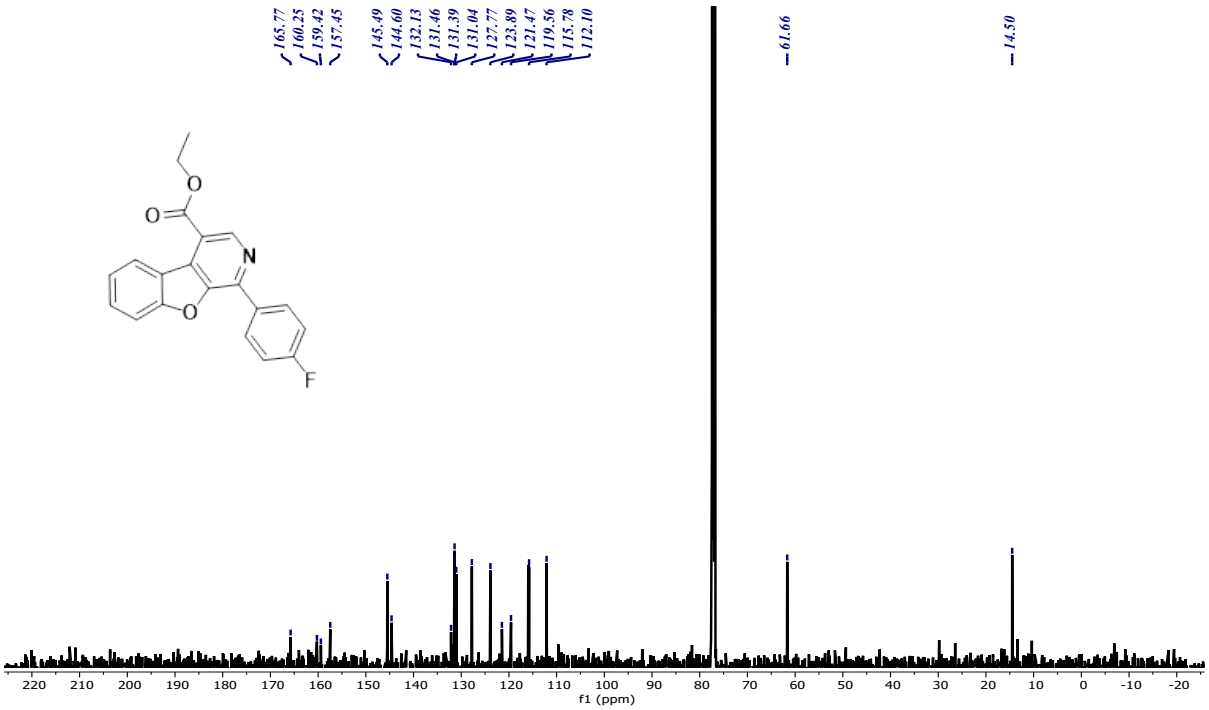


Figure S94. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 1-(4-fluorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5b**).

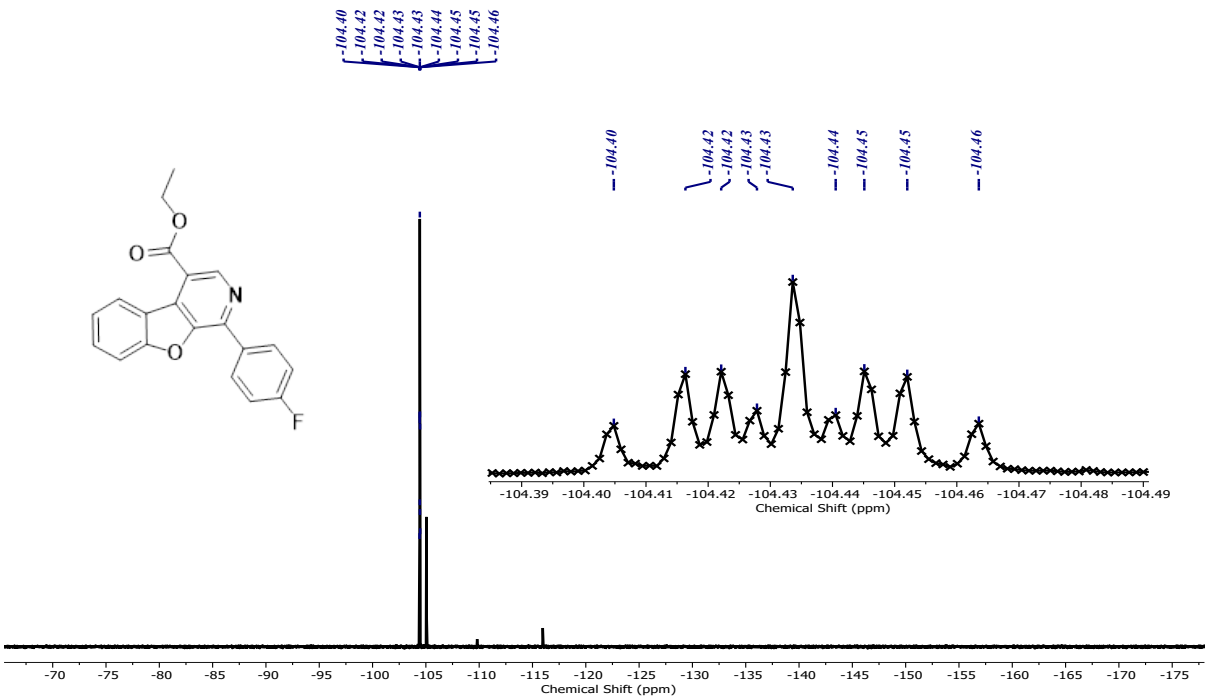


Figure S95. $^{19}F\{^{1}H\}$-NMR (470 MHz, $CDCl_3$) of ethyl 1-(4-fluorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5b**).

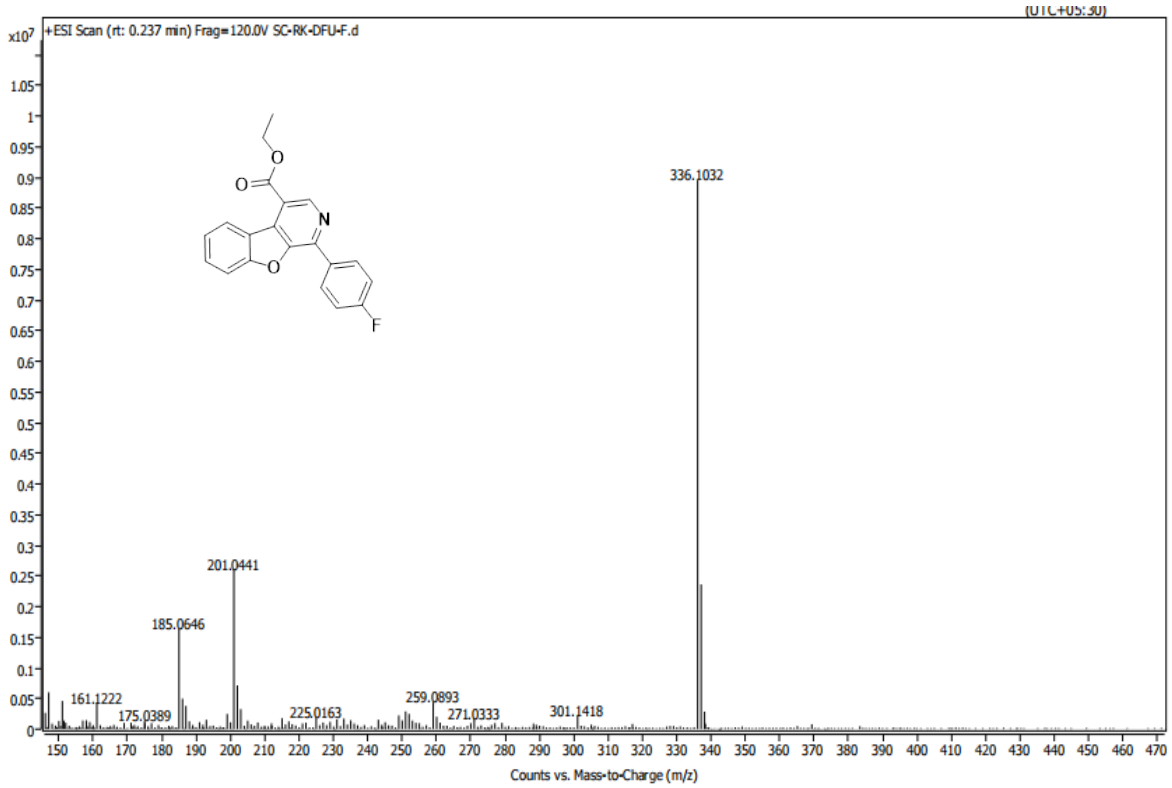


Figure S96. Mass spectrum ethyl 1-(4-fluorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5b**).

Ethyl 1-(4-bromophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5d)

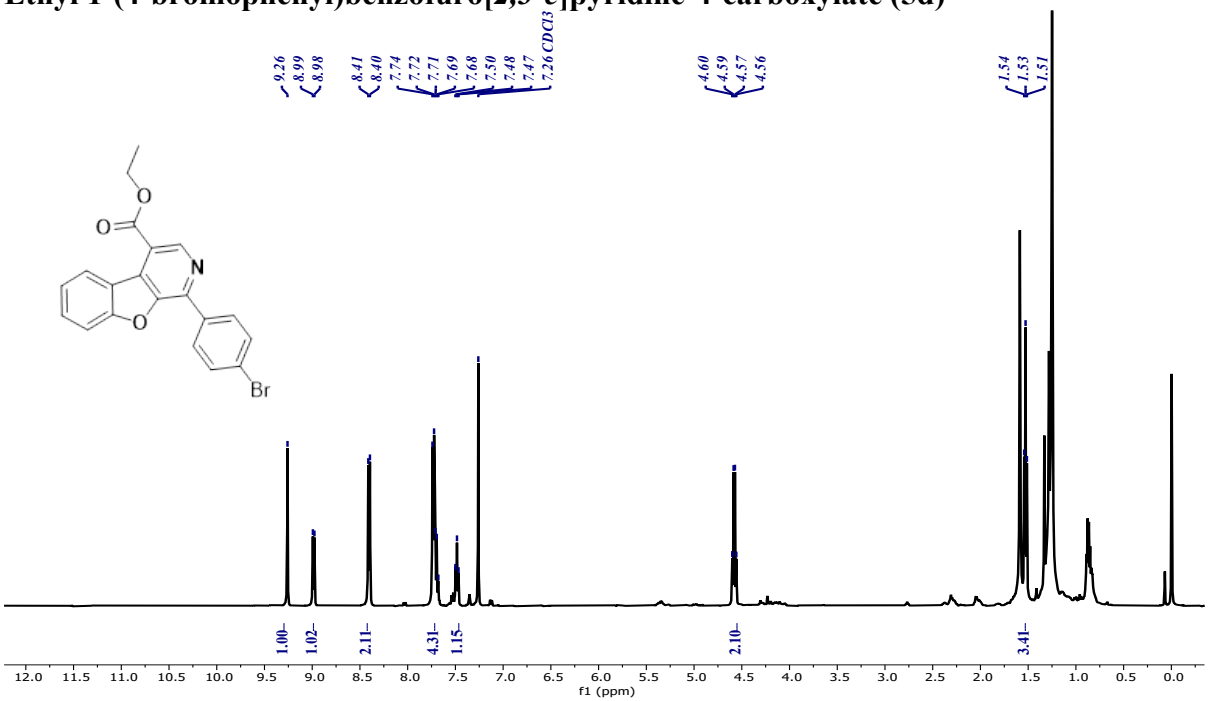


Figure S97. ^{1}H NMR (500 MHz, $CDCl_3$)spectrum of ethyl 1-(4-bromophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (**5d**).

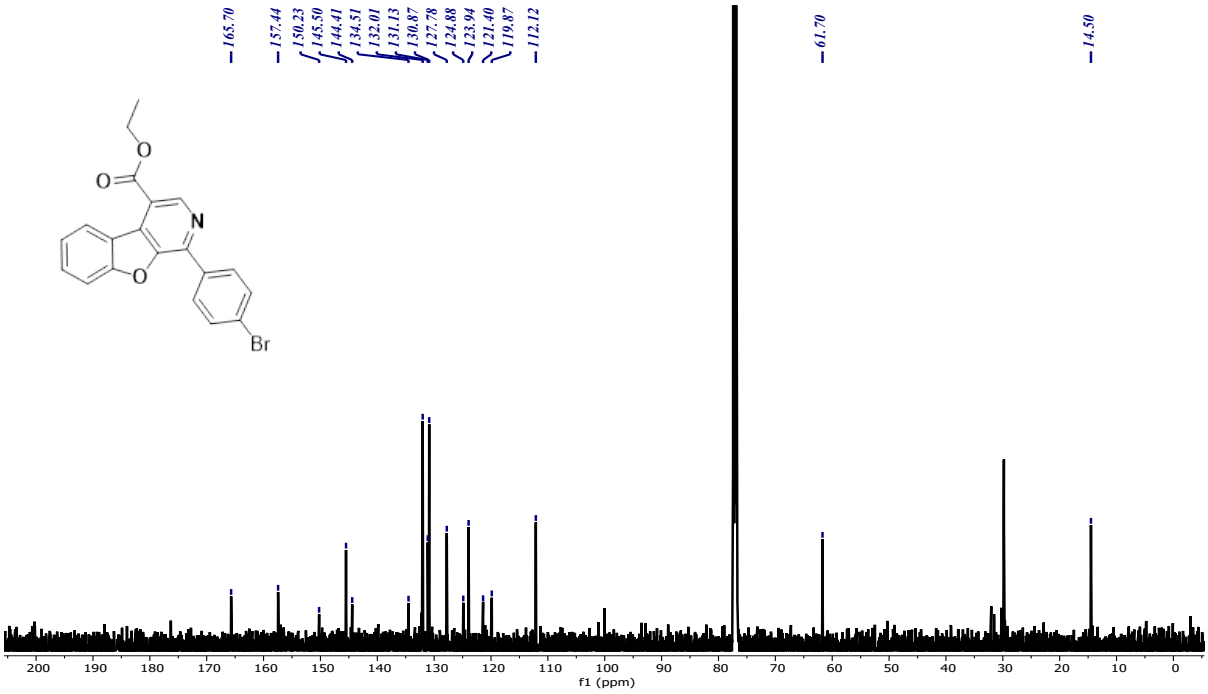


Figure S98. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$)spectrum of ethyl 1-(4-bromophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5d**).

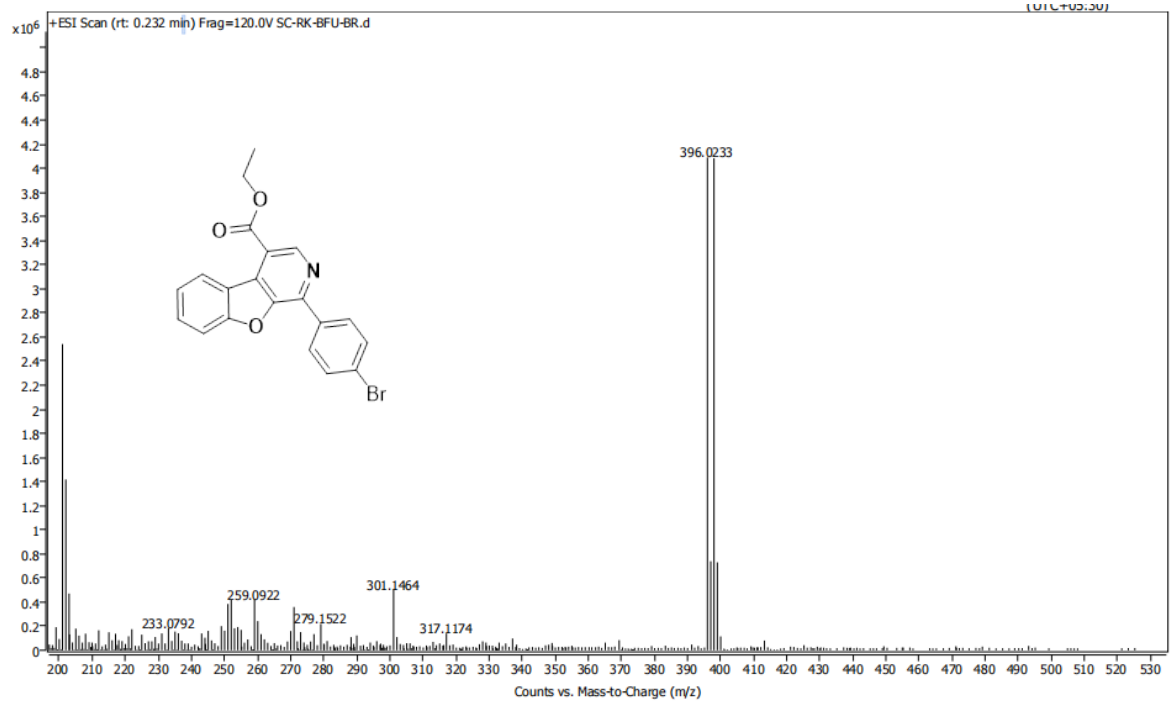


Figure S99. Mass spectrum ethyl 1-(4-bromophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5d**).

Ethyl 1-(p-tolyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5e)

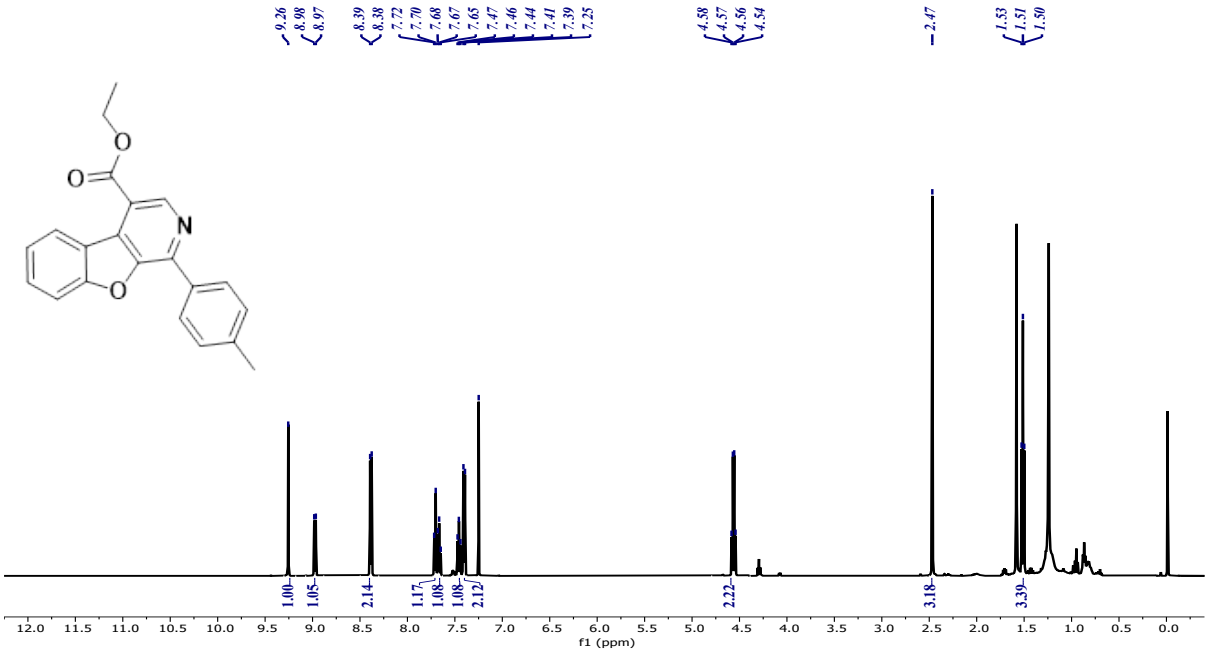


Figure S100. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 1-(*p*-tolyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5e**)

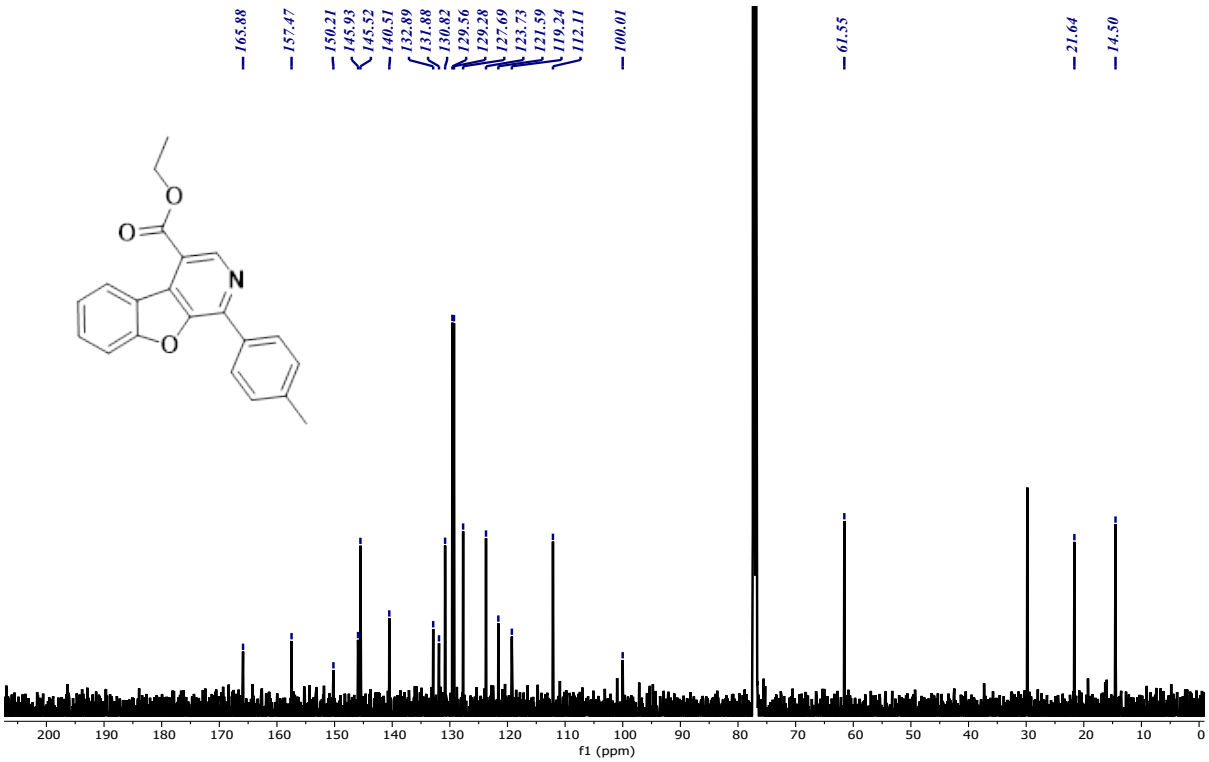


Figure S101. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 1-(*p*-tolyl) benzofuro[2,3-*c*]pyridine-4-carboxylate (**5e**)

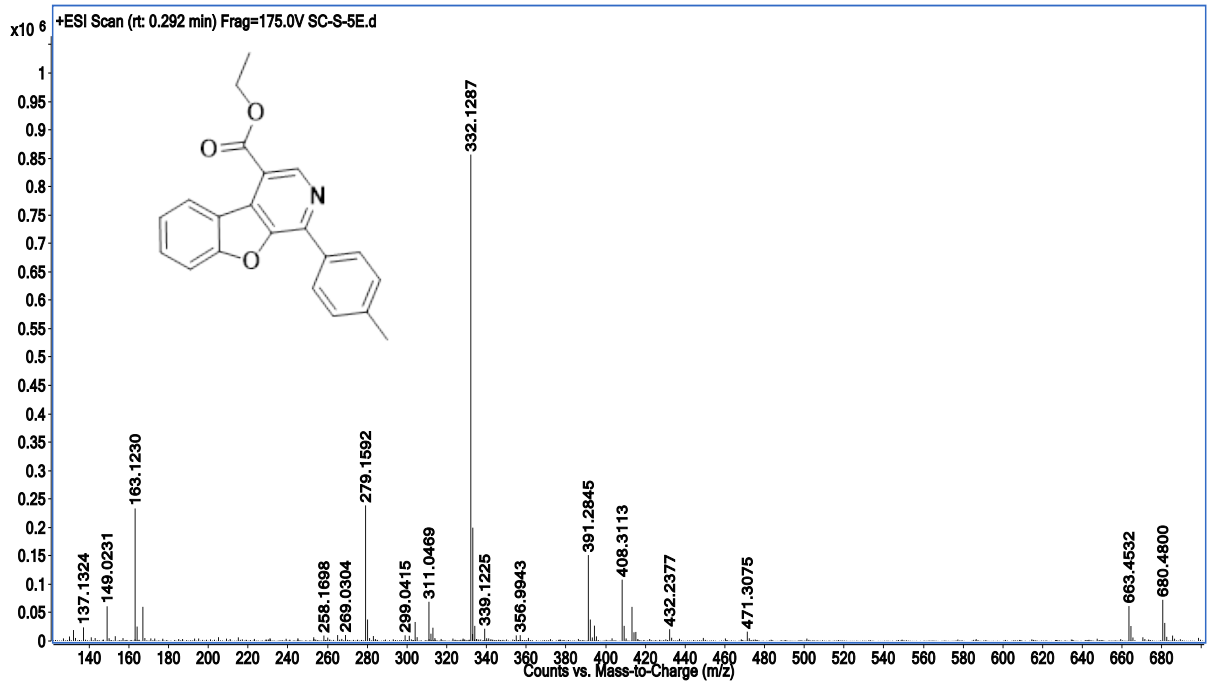


Figure S102. Mass spectrum of ethyl 1-(*p*-tolyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5e**).

Ethyl 1-([1,1'-biphenyl]-4-yl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5f)

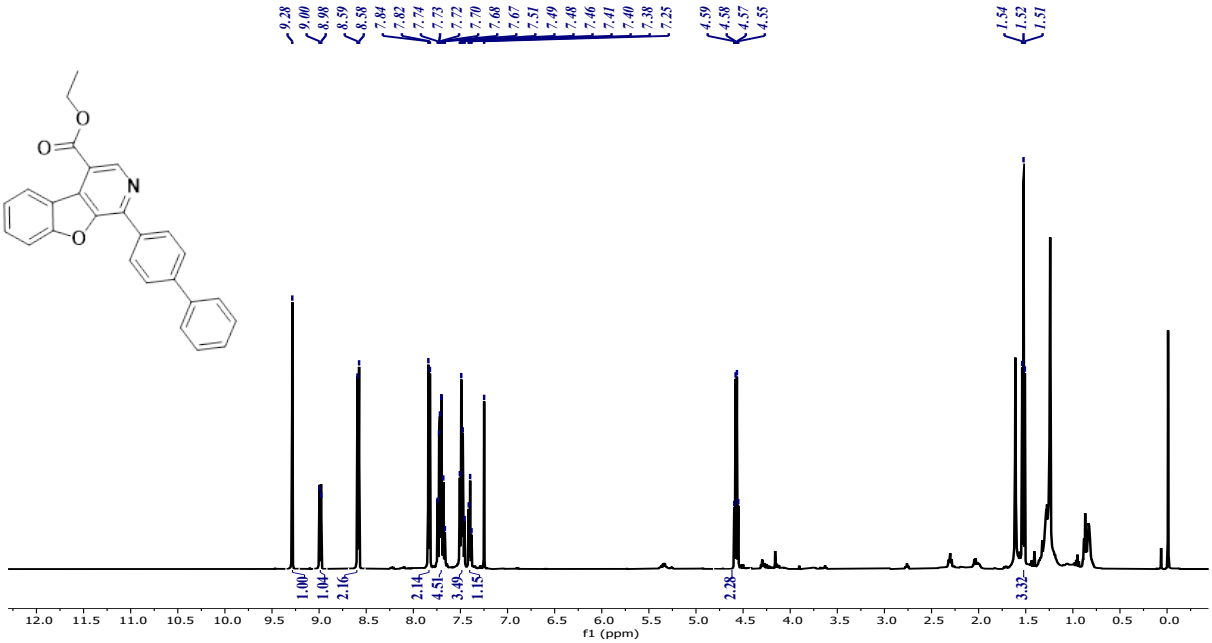


Figure S103. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 1-([1,1'-biphenyl]-4-yl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5f**)

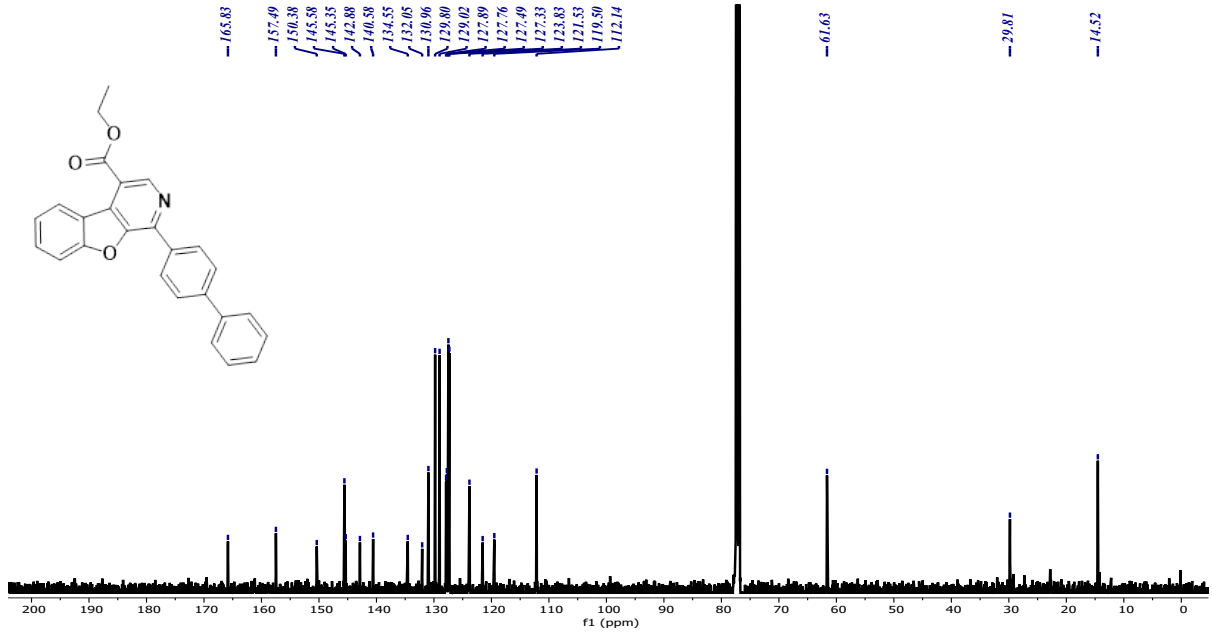


Figure S104. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 1-([1,1'-biphenyl]-4-yl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5f**)

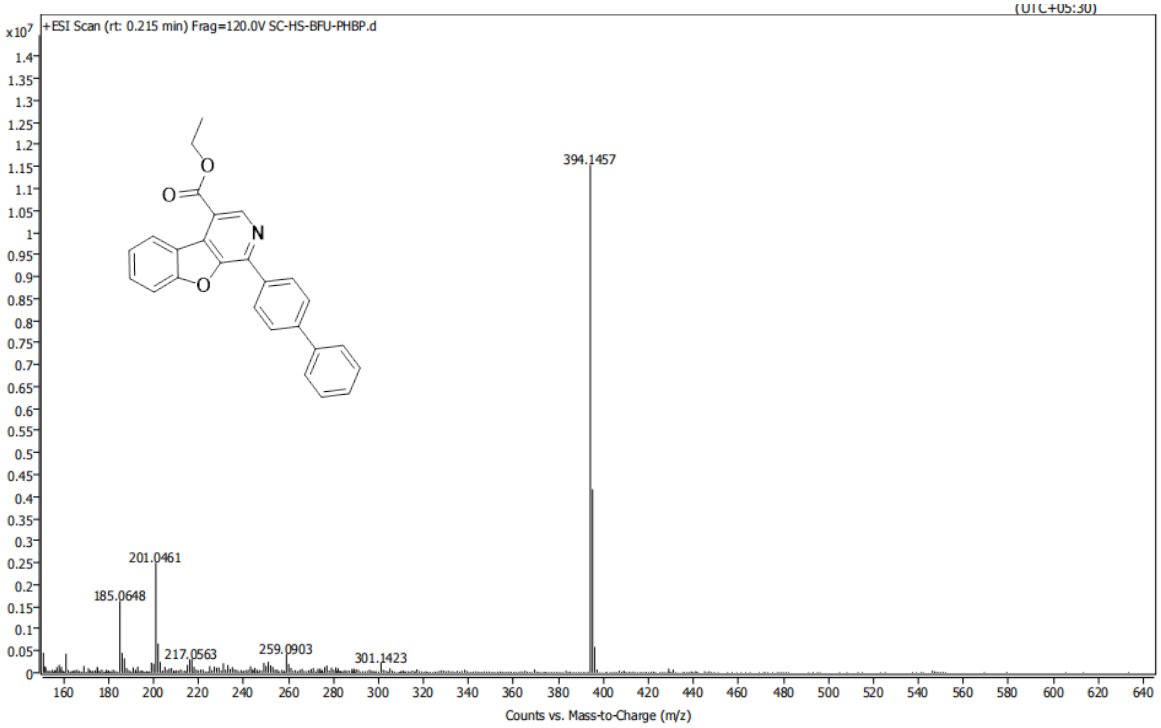


Figure S105. Mass spectrum of ethyl 1-([1,1'-biphenyl]-4-yl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5f**)

Ethyl 1-(4-methoxyphenyl)benzofuro[*2*,3-*c*]pyridine-4-carboxylate (5g)

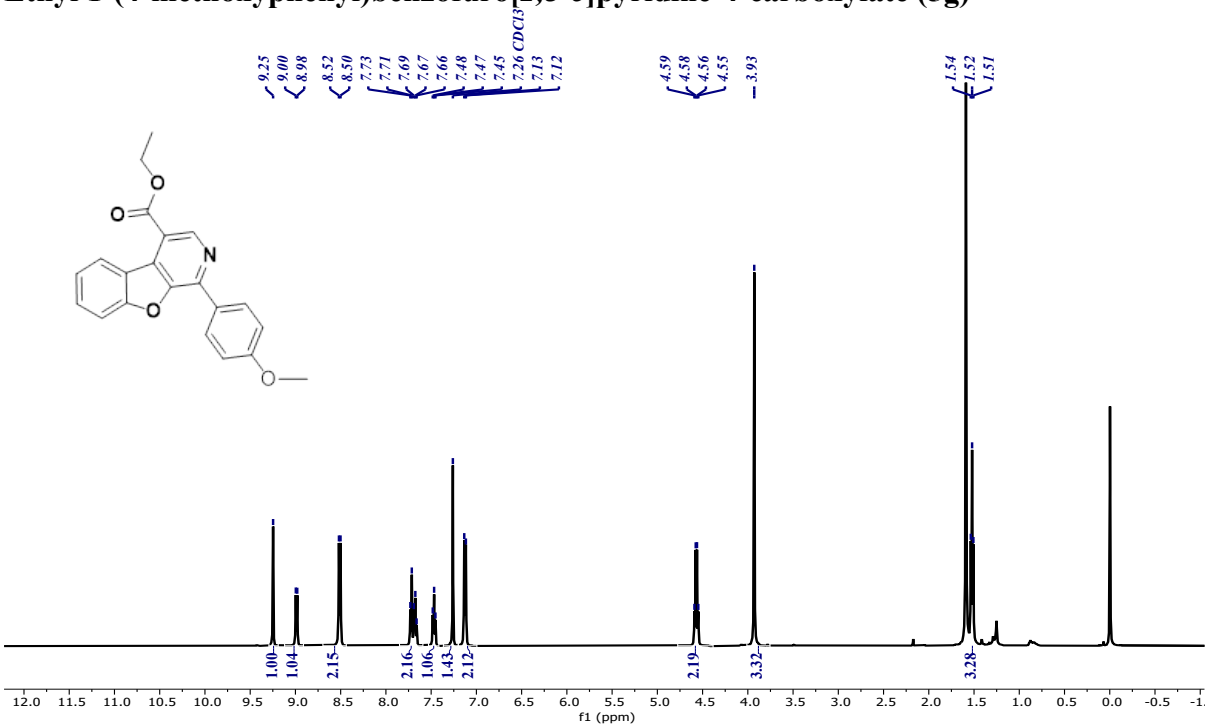


Figure S106. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 1-(4-methoxyphenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5g**)

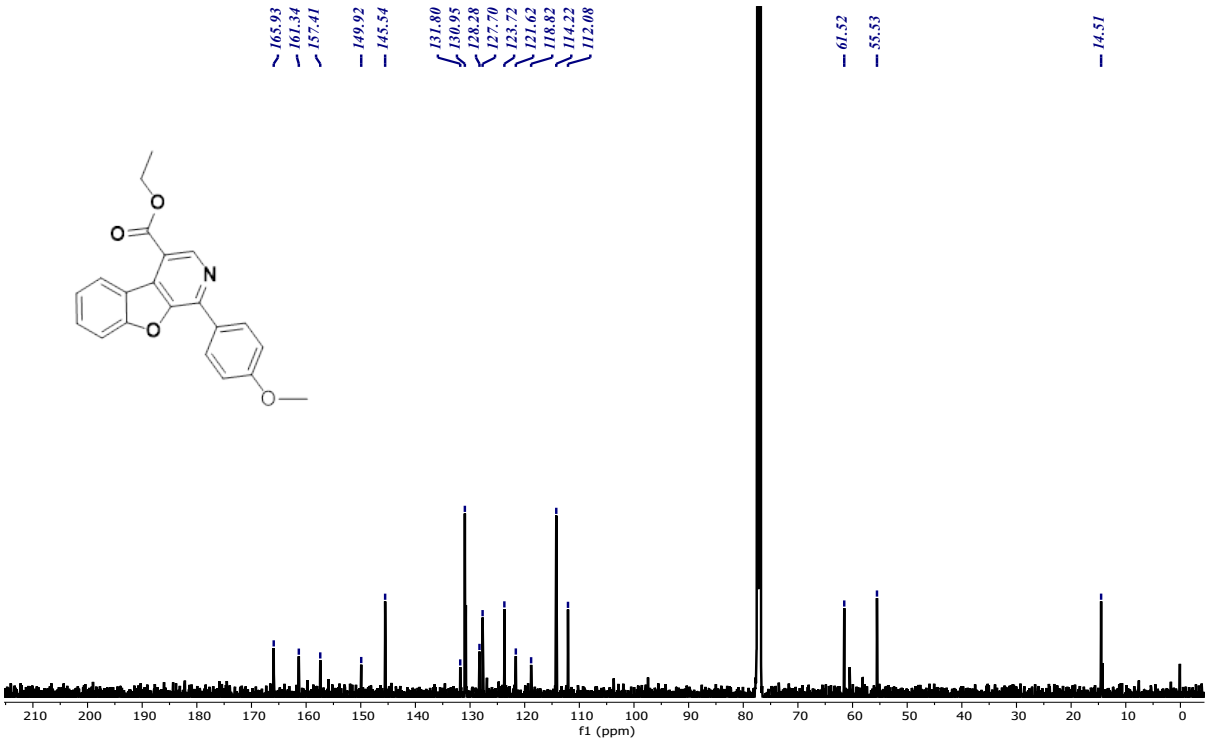


Figure S107. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 1-(4-methoxyphenyl) benzofuro[2,3-c]pyridine-4-carboxylate (**5g**)

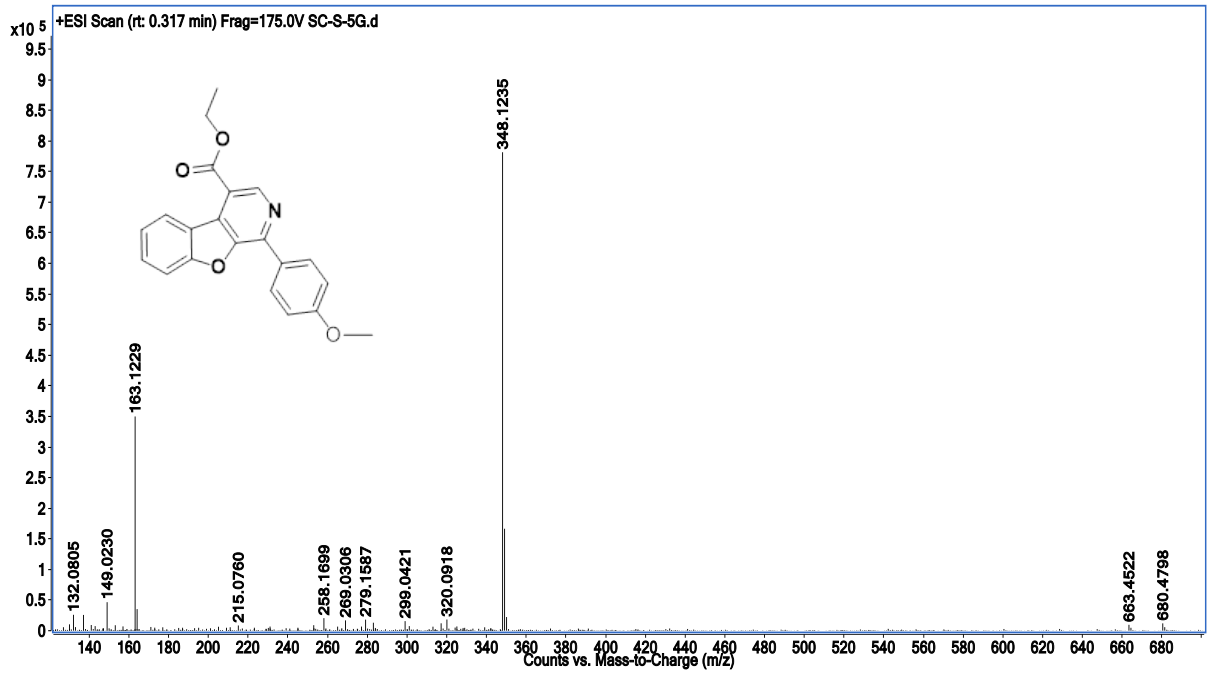


Figure S108. Mass spectrum of ethyl 1-(4-methoxyphenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5g**)

Ethyl 1-(3,4-dichlorophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5k)

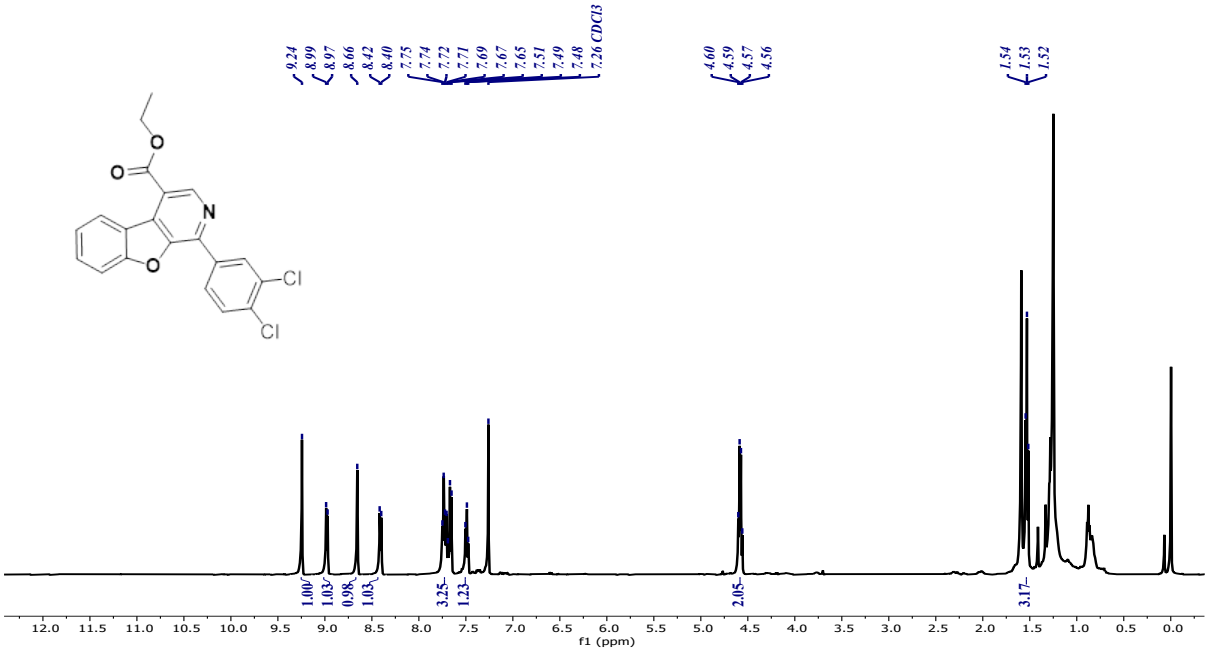
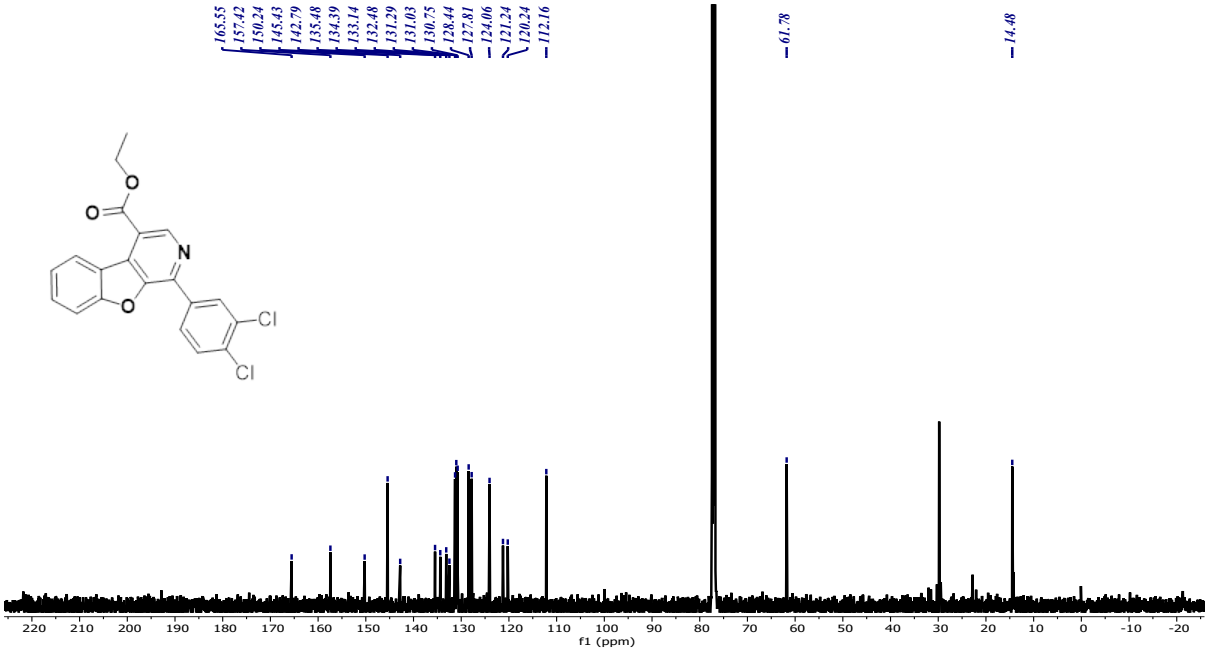


Figure S109. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 1-(3,4-dichlorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5k**)



.Figure S110. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 1-(3,4-dichlorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5k**)

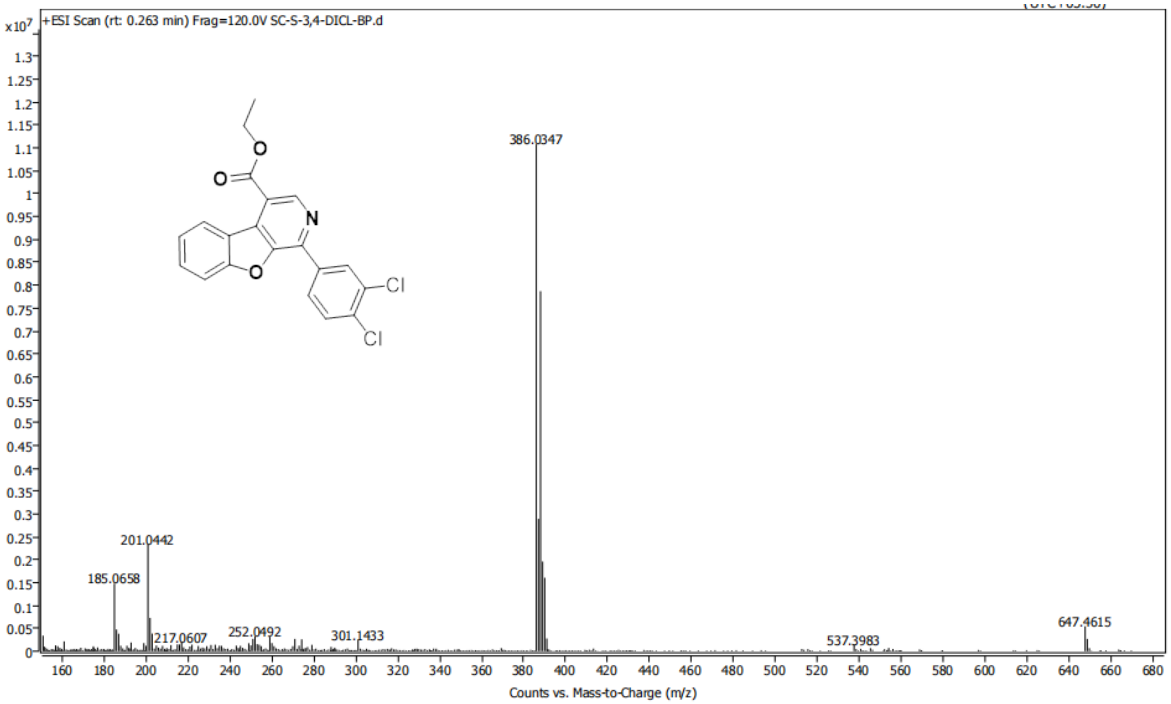


Figure S111. Mass spectrum of ethyl 1-(3,4-dichlorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5k**)

Ethyl 6-bromo-1-phenylbenzofuro[*2,3-c*]pyridine-4-carboxylate (5l)

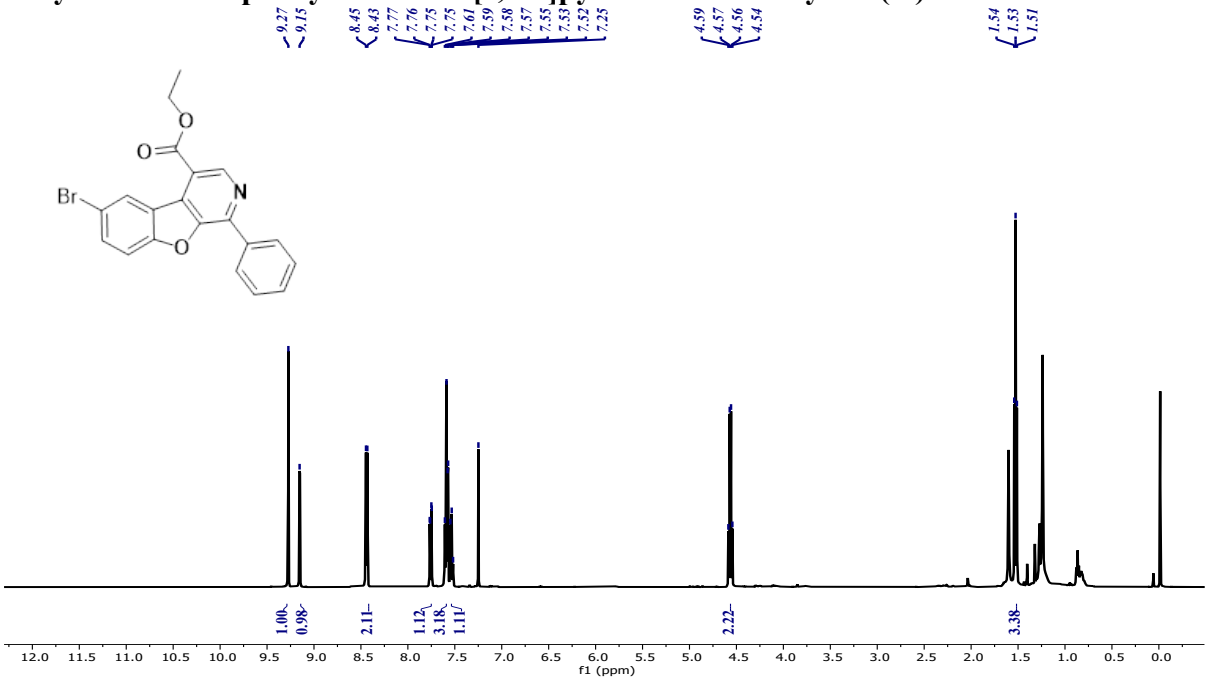


Figure S112. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-phenylbenzofuro[2,3-*c*] pyridine-4-carboxylate (**5l**)

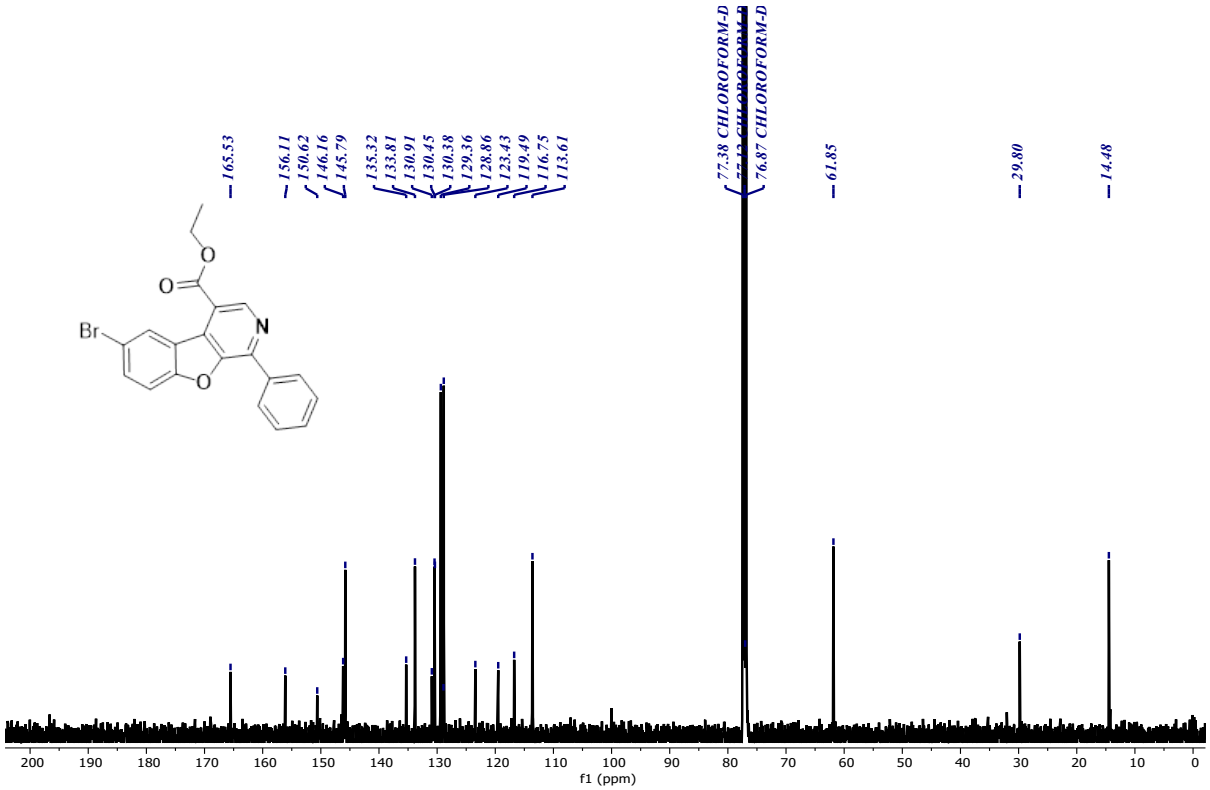


Figure S113. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-phenylbenzofuro[2,3-*c*] pyridine-4-carboxylate (**5l**)

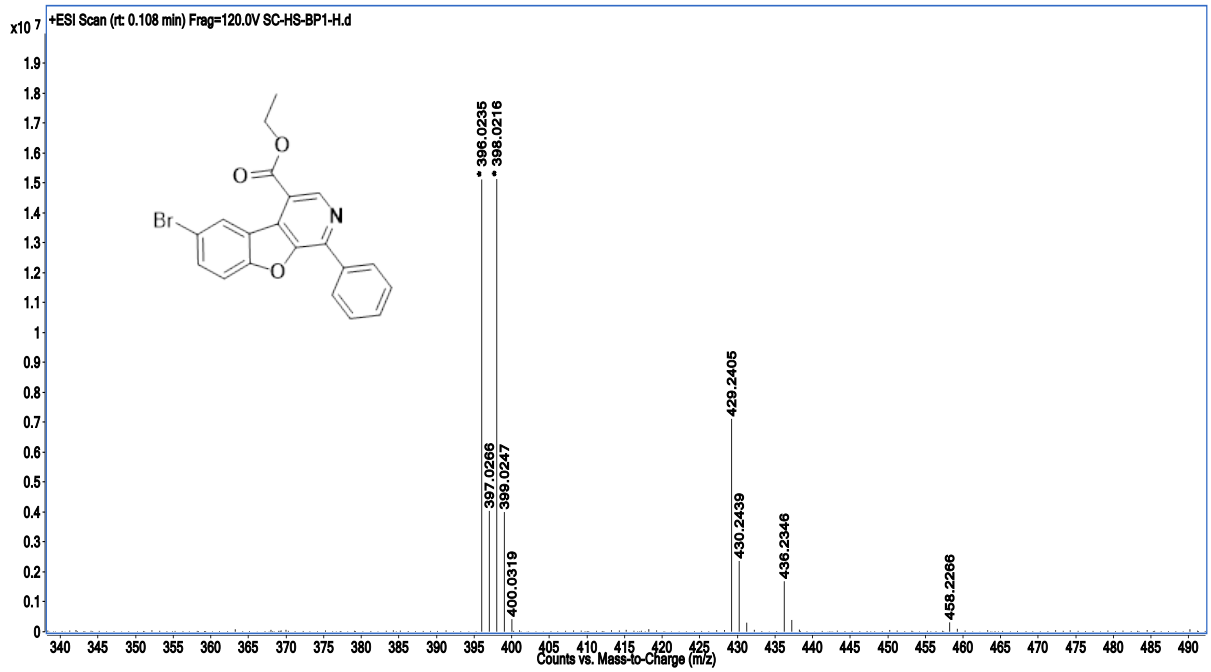


Figure S114. Mass spectrum ethyl 6-bromo-1-phenylbenzofuro[2,3-*c*]pyridine-4-carboxylate (**5l**)

Ethyl 6-bromo-1-(4-fluorophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5m)

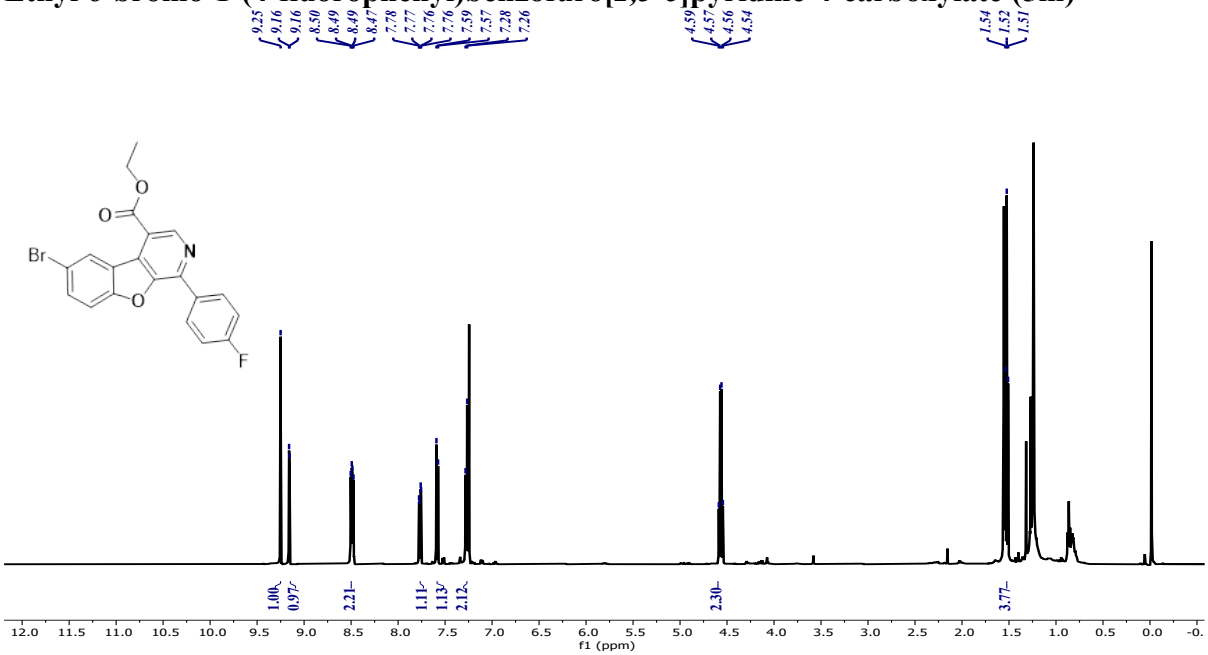


Figure S115. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(4-fluorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5m**)

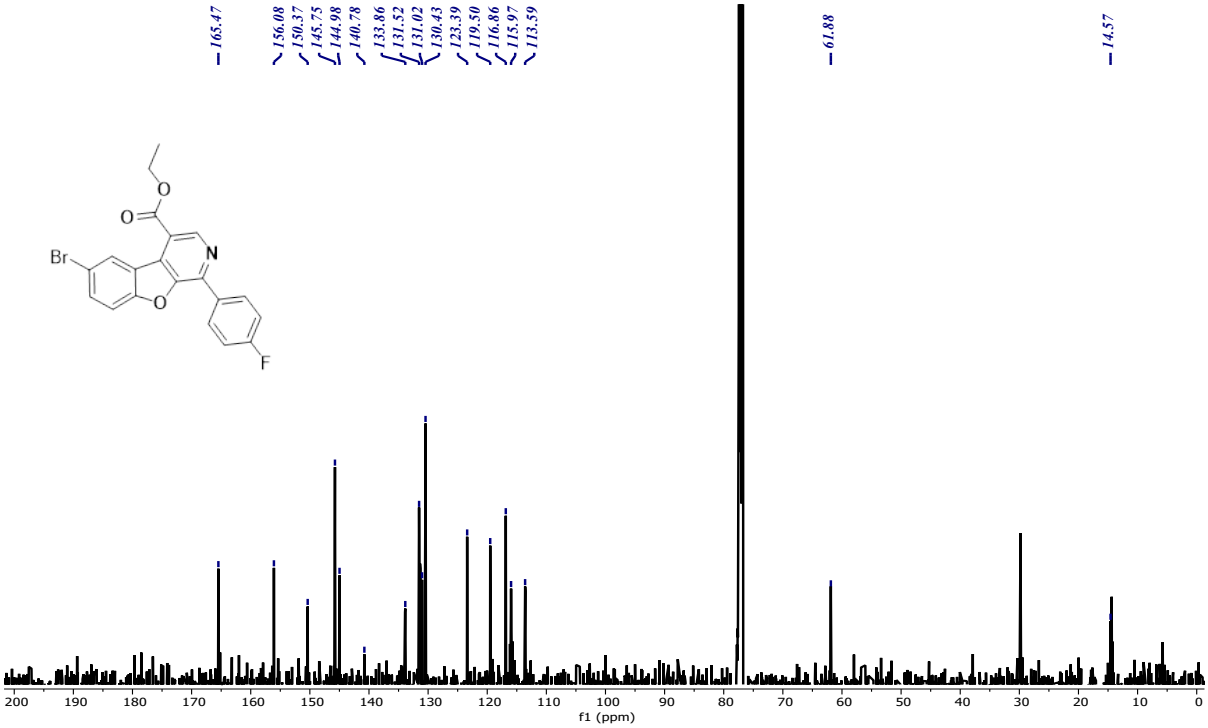


Figure S116. ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(4-fluorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5m**)

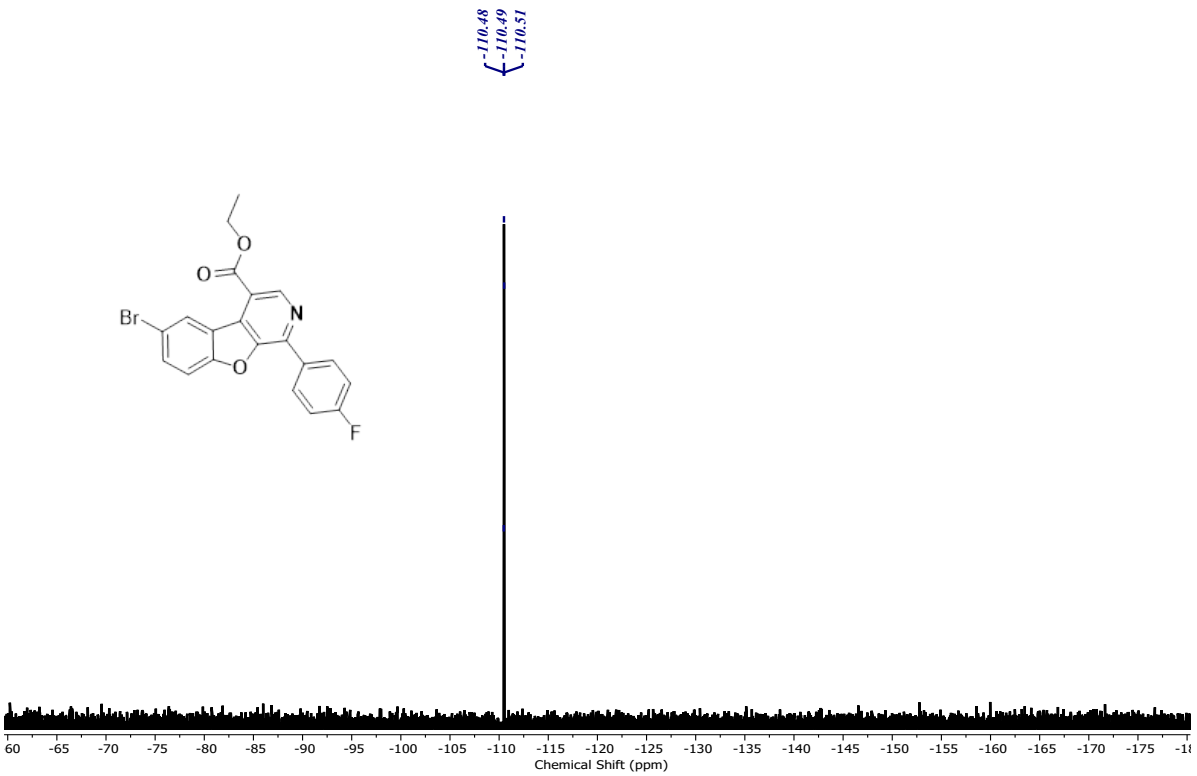


Figure S117. $^{19}F\{^{1}H\}$-NMR (470 MHz, $CDCl_3$) of ethyl 6-bromo-1-(4-fluorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5m**).

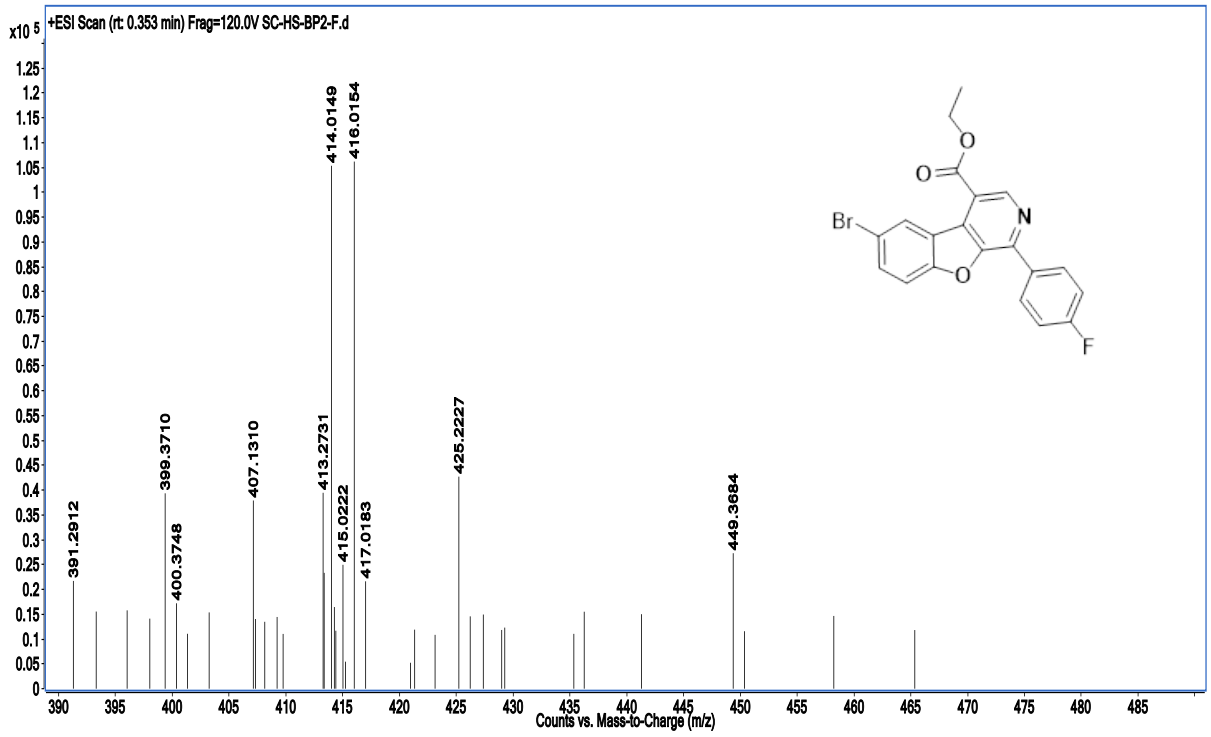


Figure S118. Mass spectrum ethyl 6-bromo-1-(4-fluorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5m**)

Ethyl 6-bromo-1-(4-chlorophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5n)

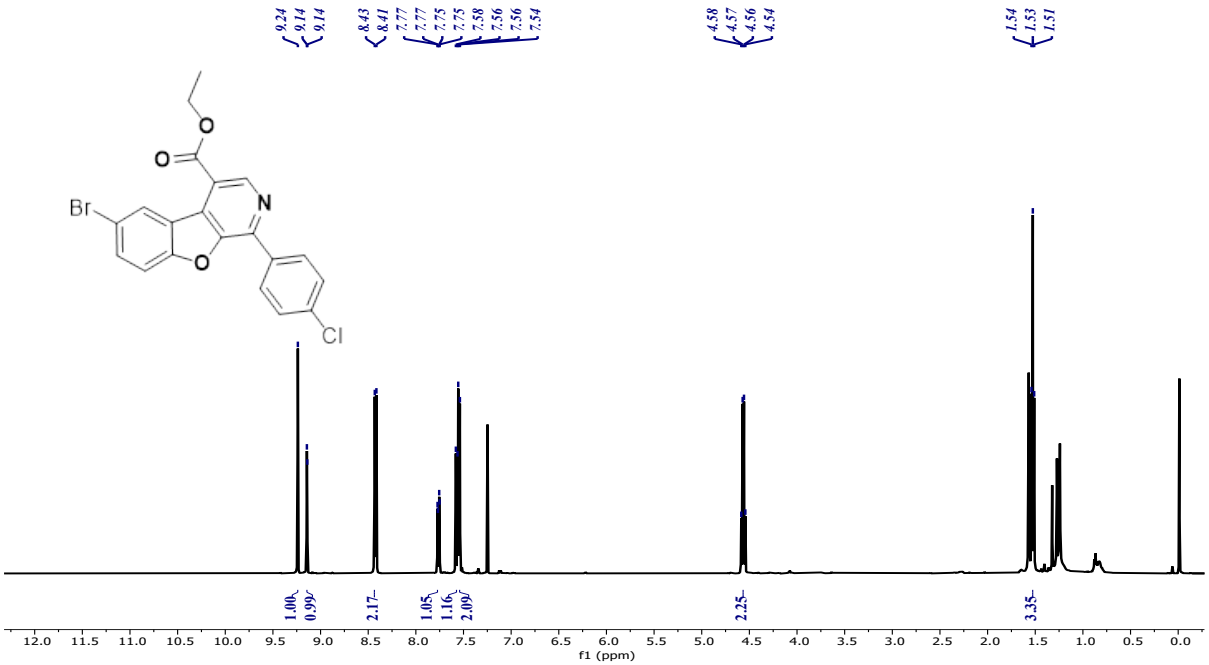


Figure S119. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(4-chlorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5n**).

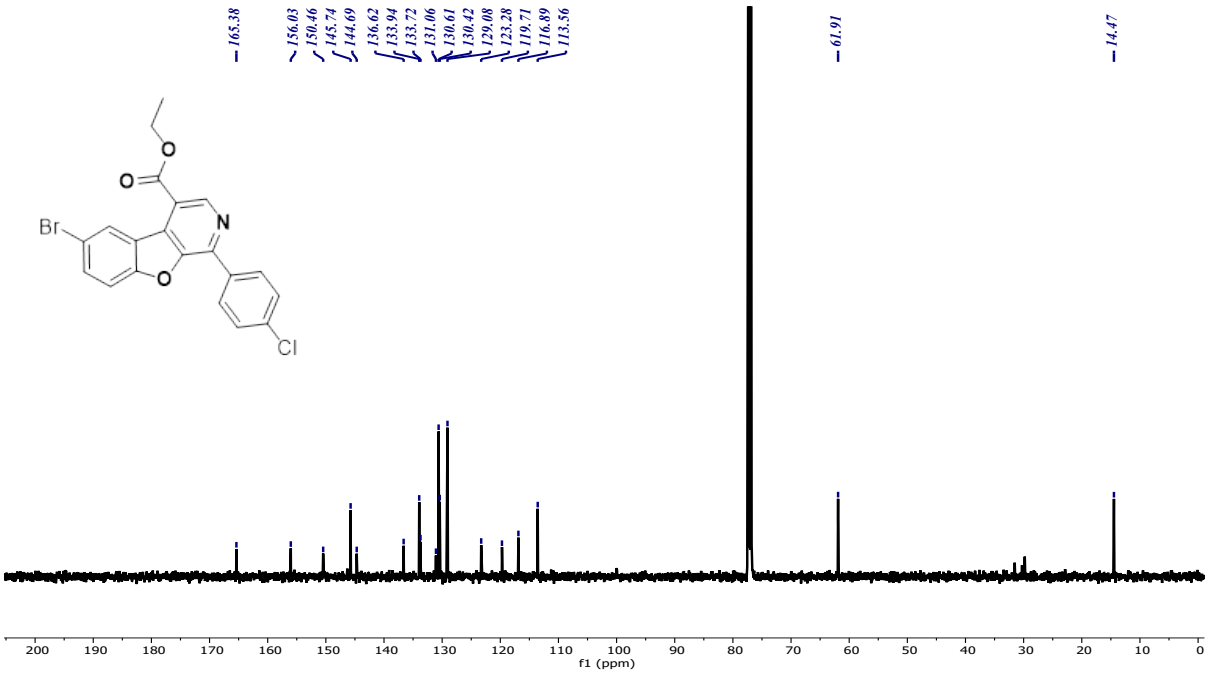


Figure S120. ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(4-chlorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5n**).

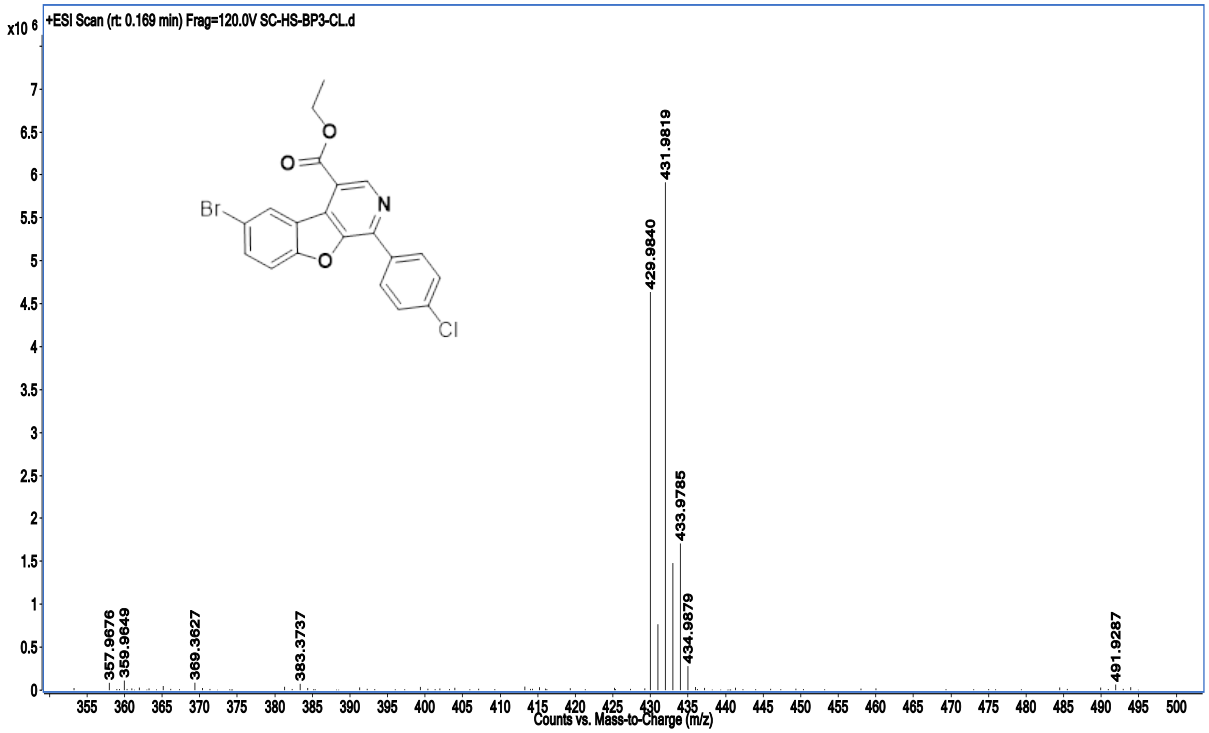


Figure S121. Mass spectrum of ethyl 6-bromo-1-(4-chlorophenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5n**).

Ethyl 6-bromo-1-(4-bromophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5o)

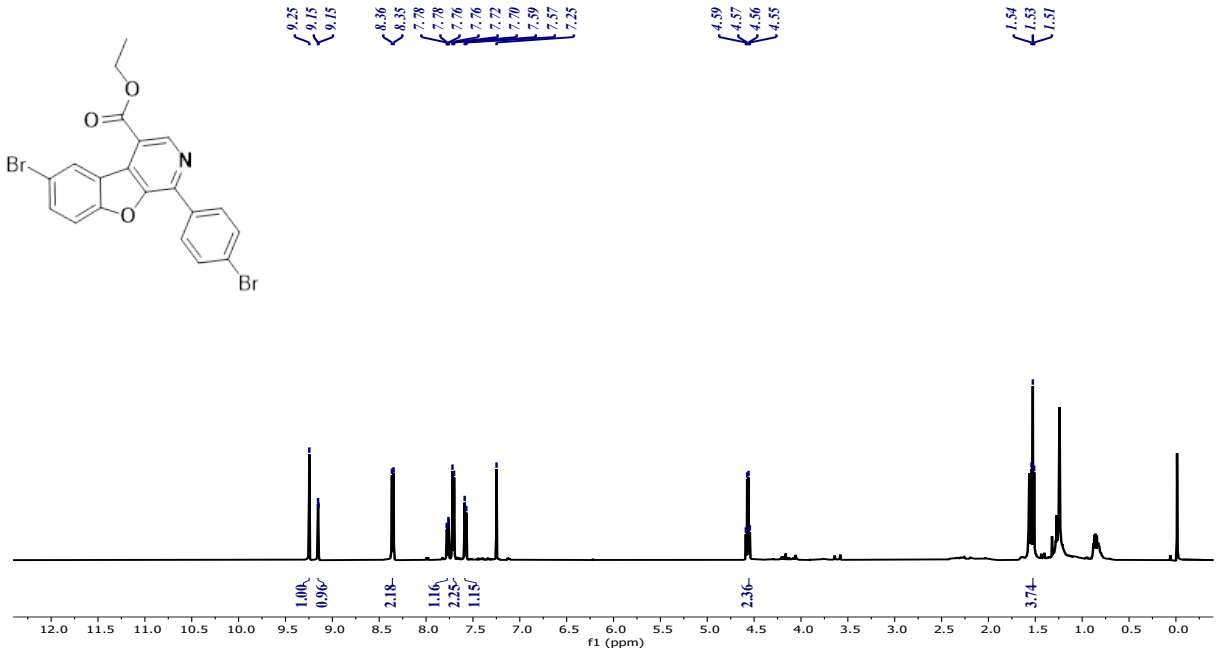


Figure S122. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(4-bromophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (**5o**).

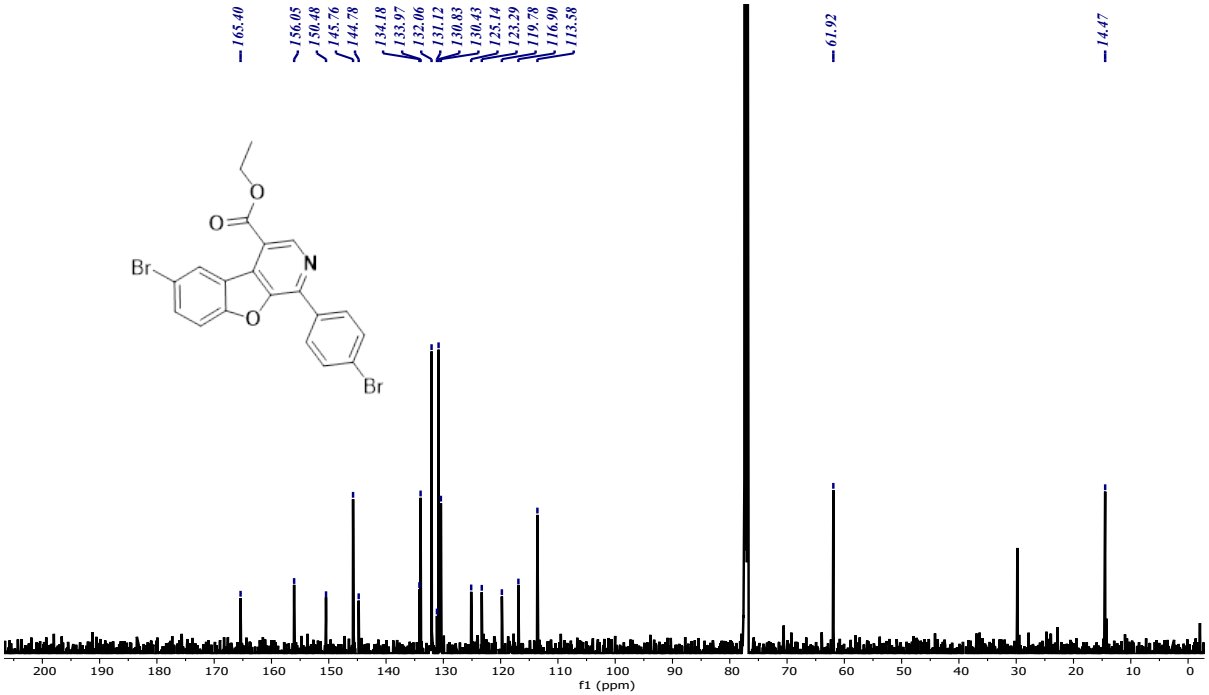


Figure S123. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(4-bromophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (**5o**).

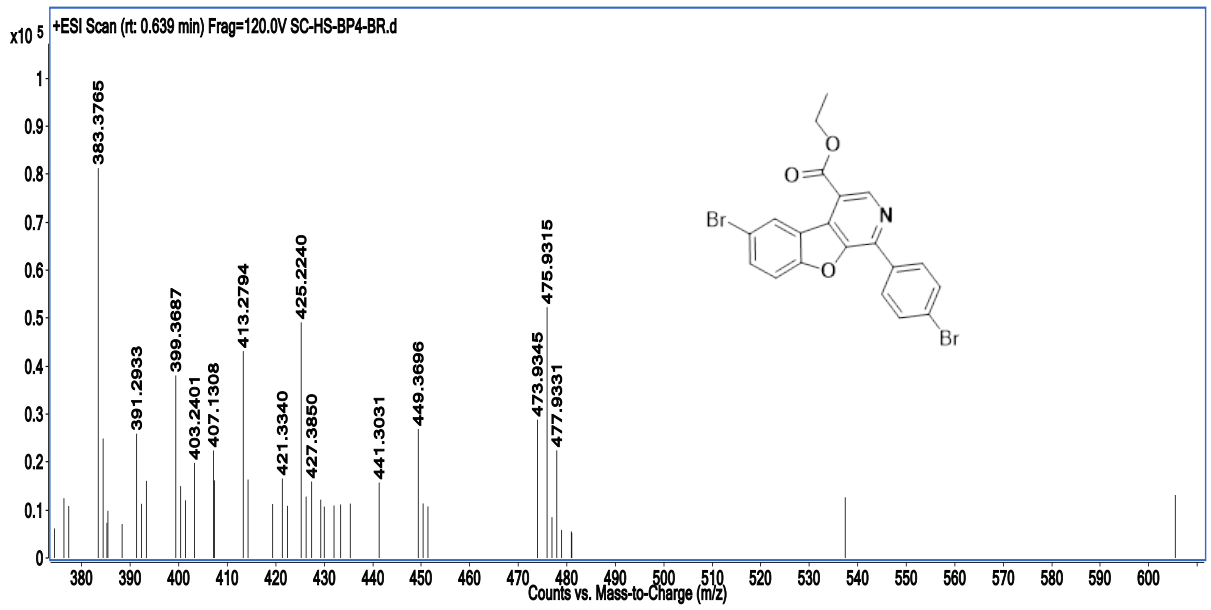


Figure S124. Mass spectrum of ethyl 6-bromo-1-(4-bromophenyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5o).

Ethyl 6-bromo-1-(p-tolyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (5p)

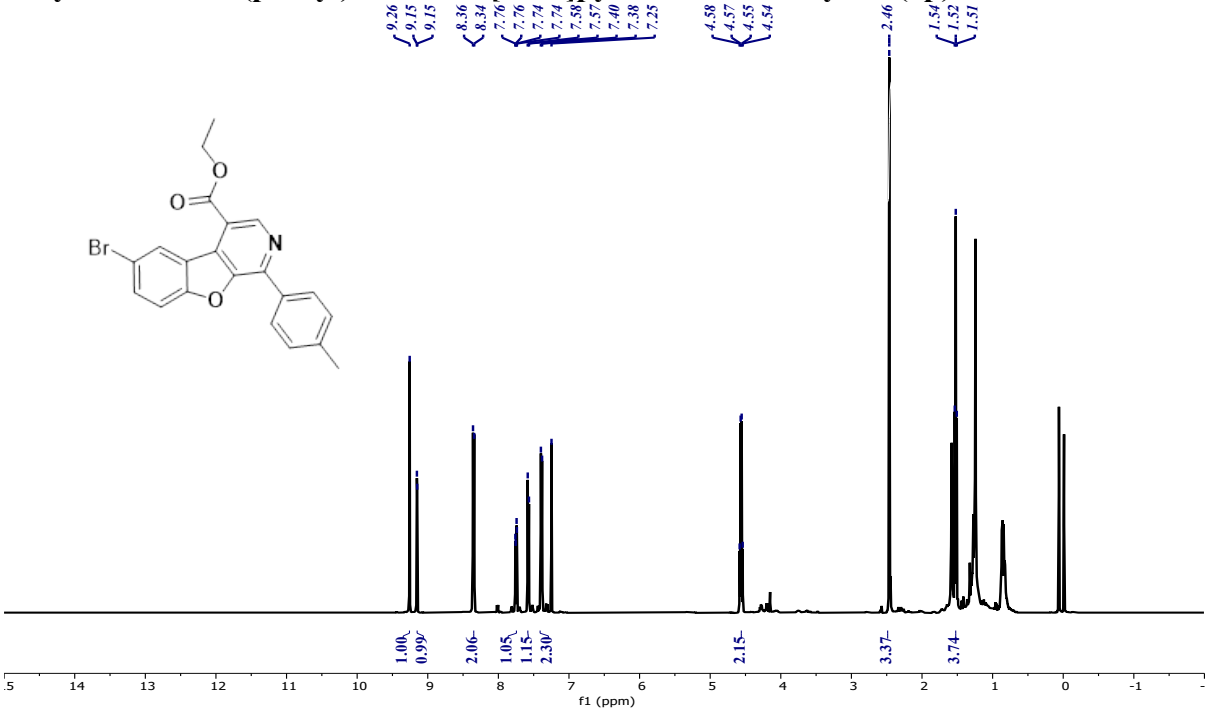


Figure S125. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(*p*-tolyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (**5p**).

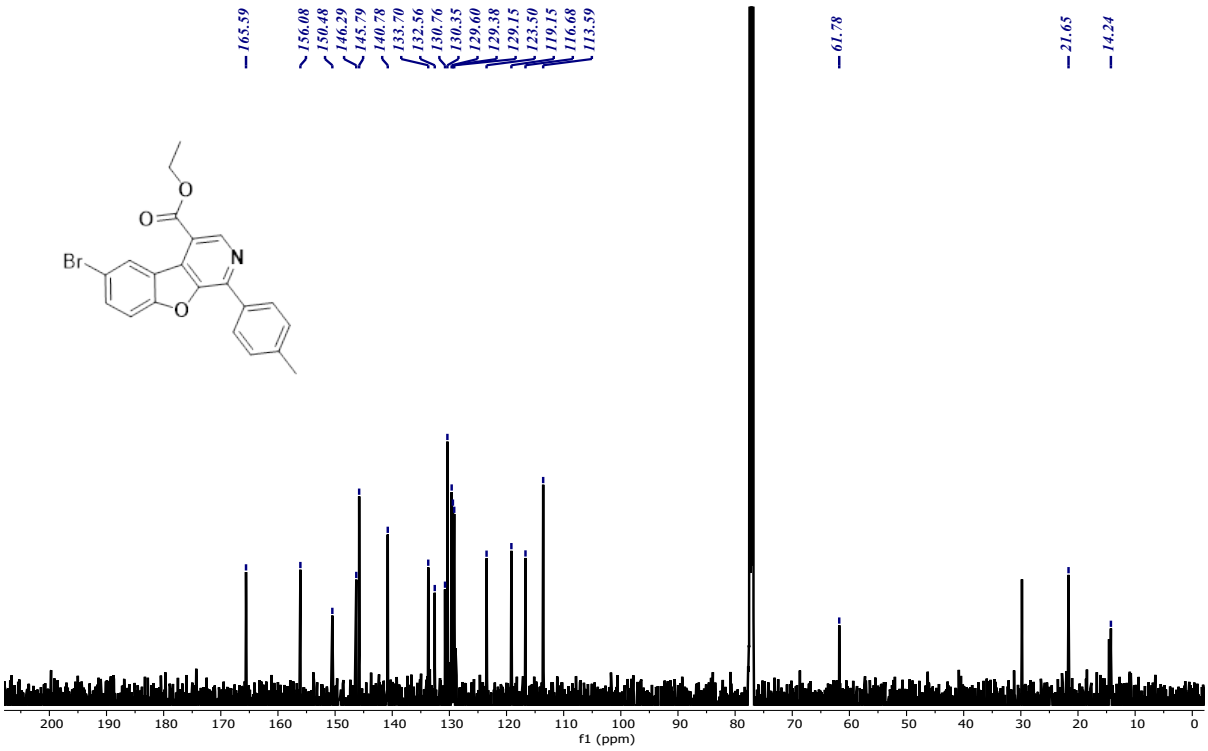


Figure S126. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(*p*-tolyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (**5p**).

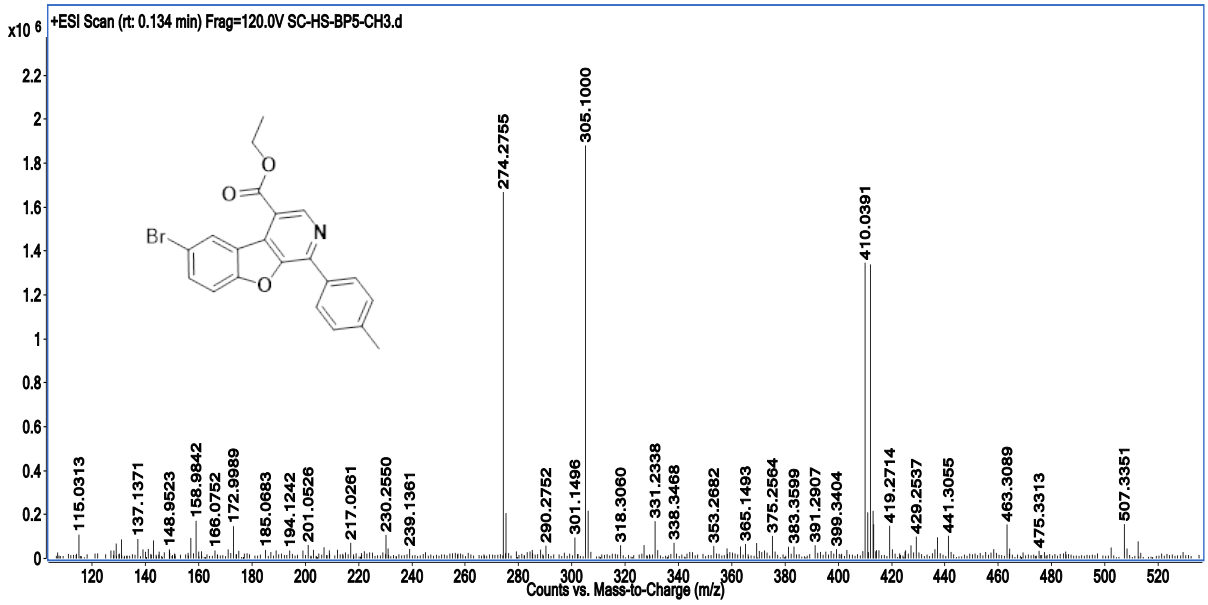


Figure S127. Mass spectrum of ethyl 6-bromo-1-(*p*-tolyl)benzofuro[*2,3-c*]pyridine-4-carboxylate (**5p**).

Ethyl 1-([1,1'-biphenyl]-4-yl)-6-bromobenzofuro[*2,3-c*]pyridine-4-carboxylate (5q)

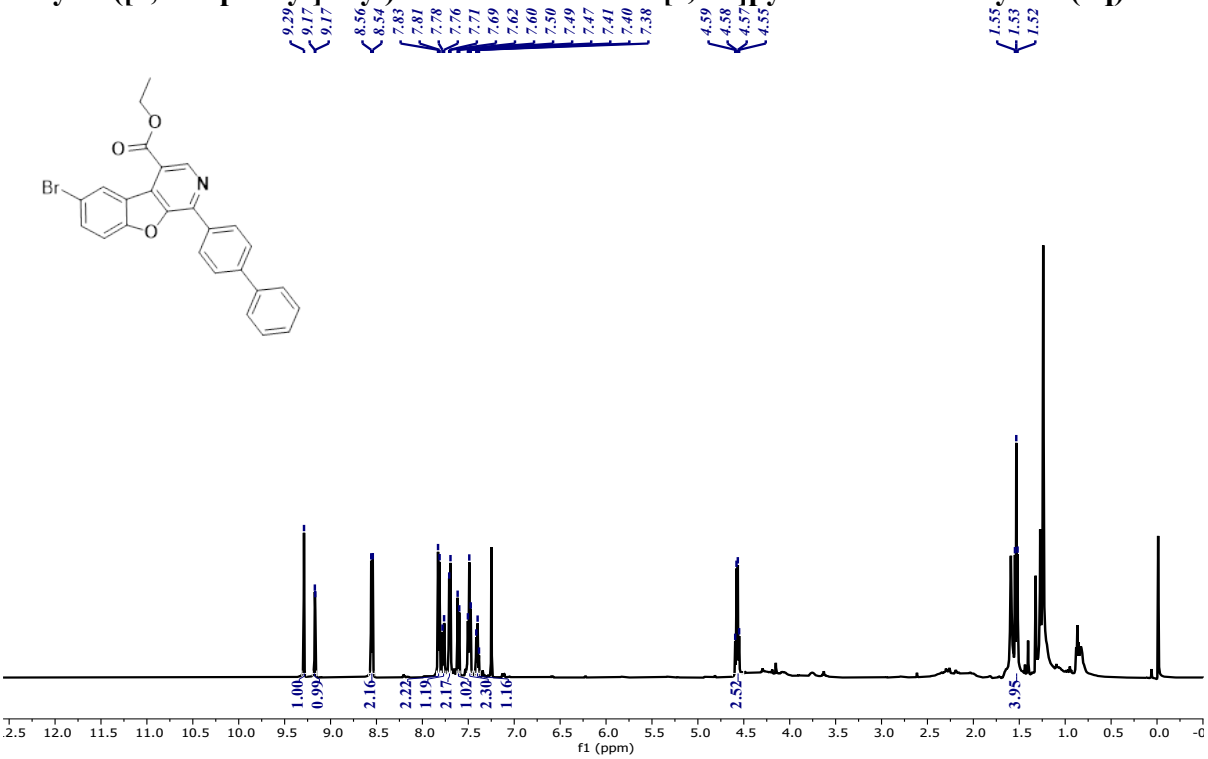


Figure S128. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 1-([1,1'-biphenyl]-4-yl)-6-bromobenzofuro[*2,3-c*]pyridine-4-carboxylate (**5q**).

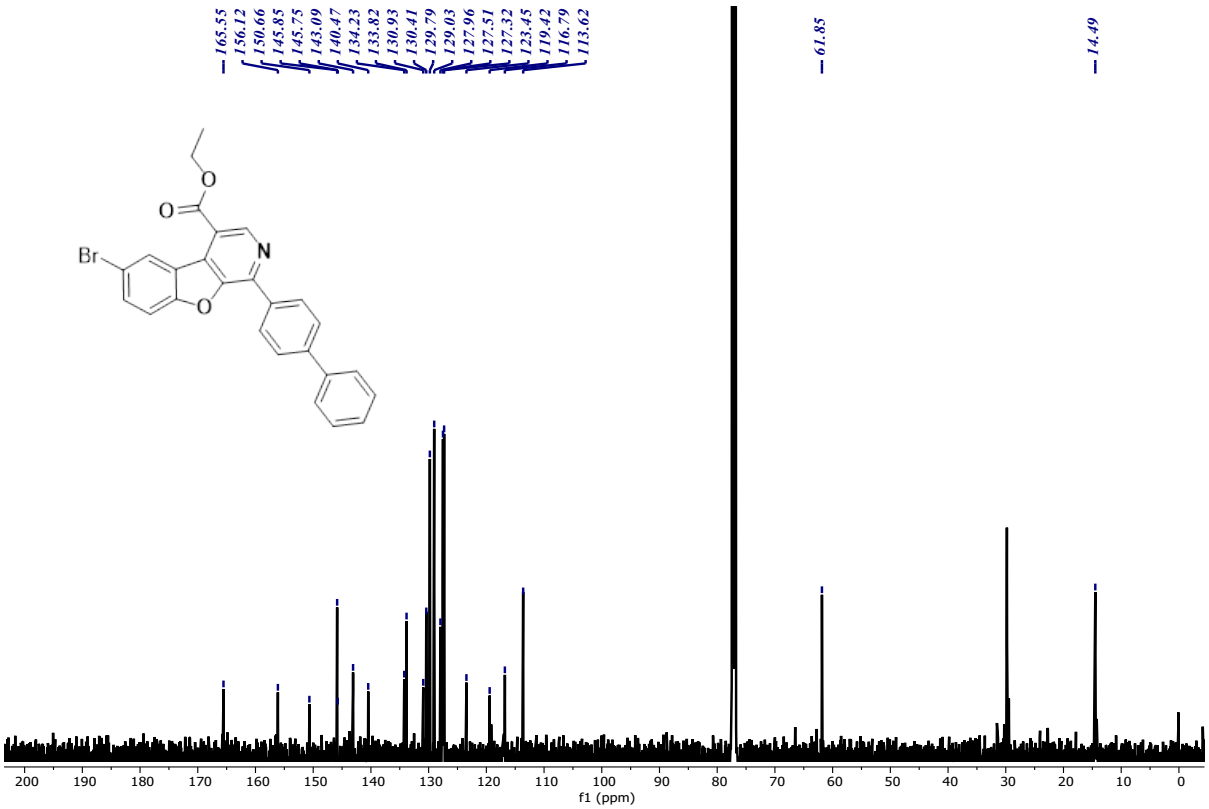


Figure S129. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 1-([1,1'-biphenyl]-4-yl)-6-bromobenzofuro[*2,3-c*]pyridine-4-carboxylate (**5q**).

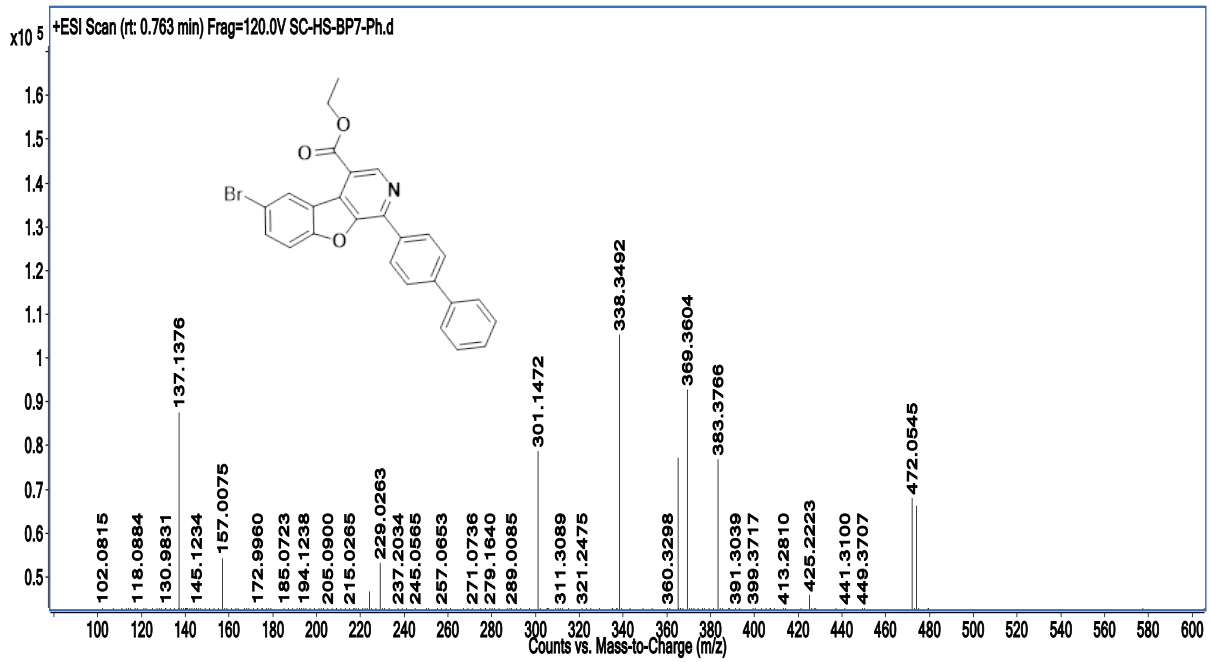


Figure S130. Mass spectrum of ethyl 1-([1,1'-biphenyl]-4-yl)-6-bromobenzofuro[*2,3-c*]pyridine-4-carboxylate (**5q**).

Ethyl 6-bromo-1-(4-methoxyphenyl)benzofuro[2,3-c]pyridine-4-carboxylate (5r)

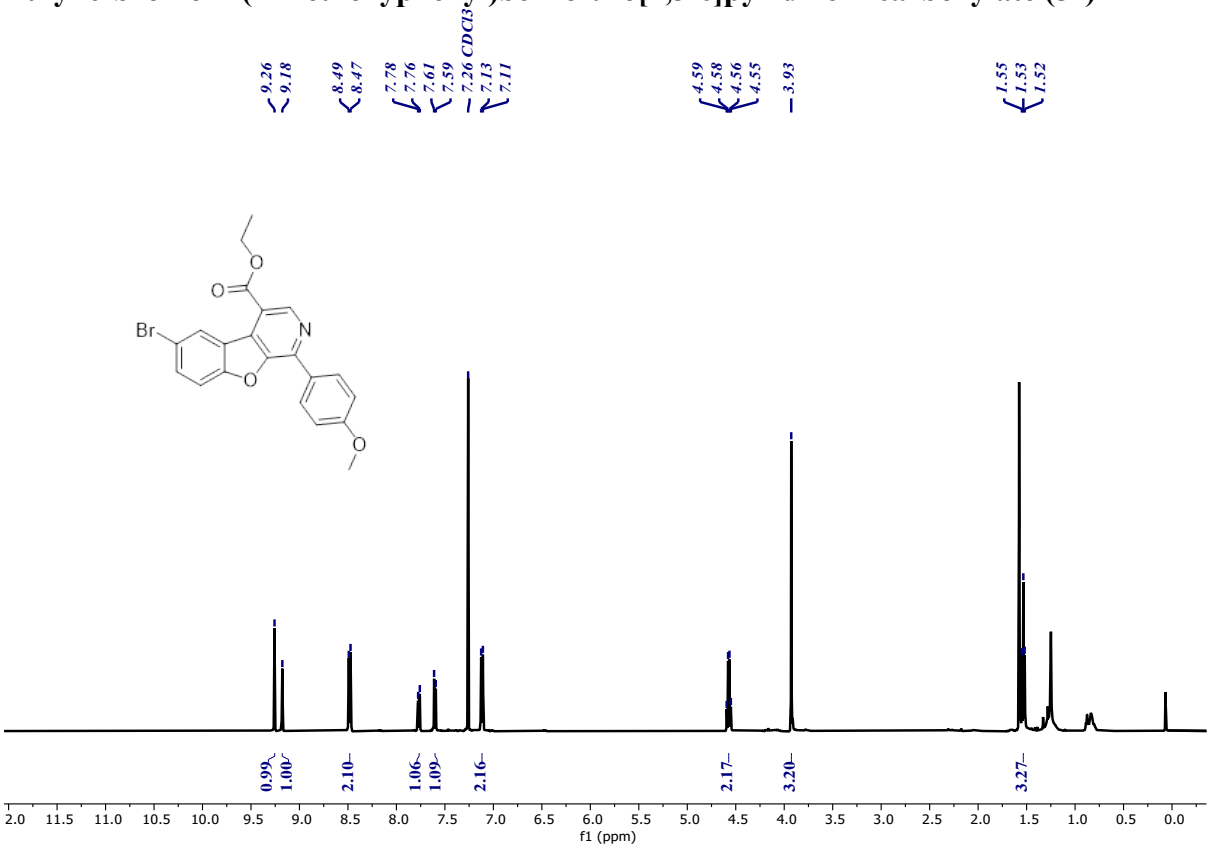


Figure S131. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(4-methoxyphenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5r**).

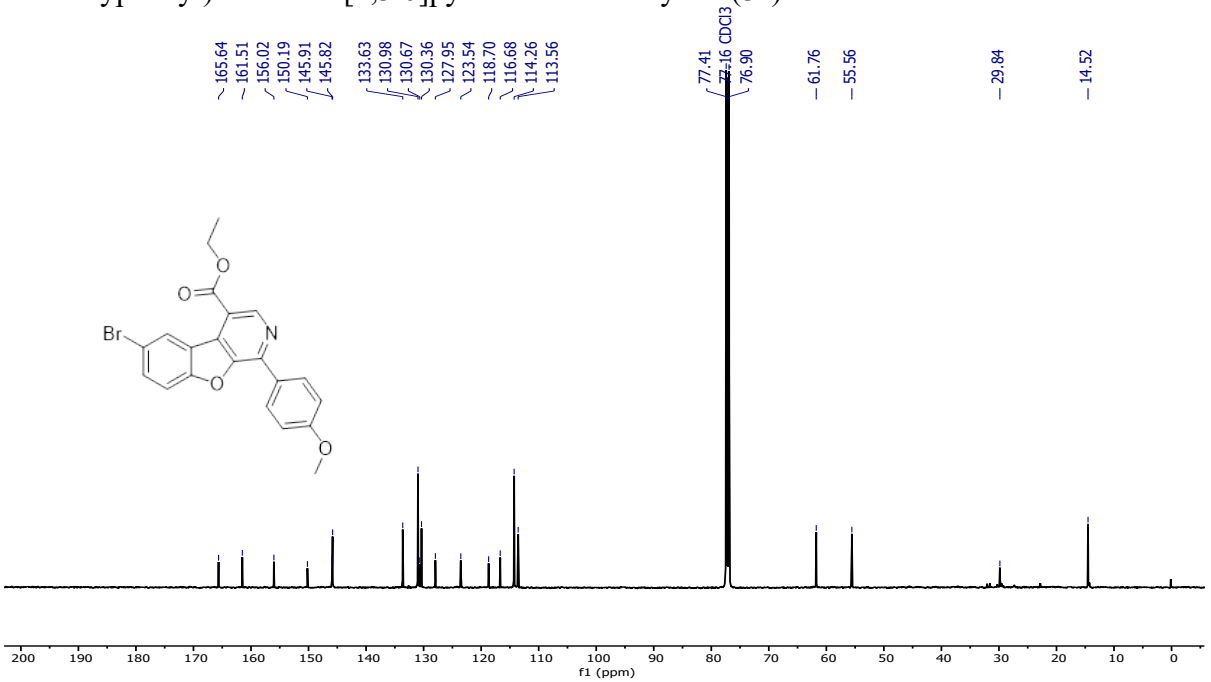


Figure S132. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl 6-bromo-1-(4-methoxyphenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate (**5r**).

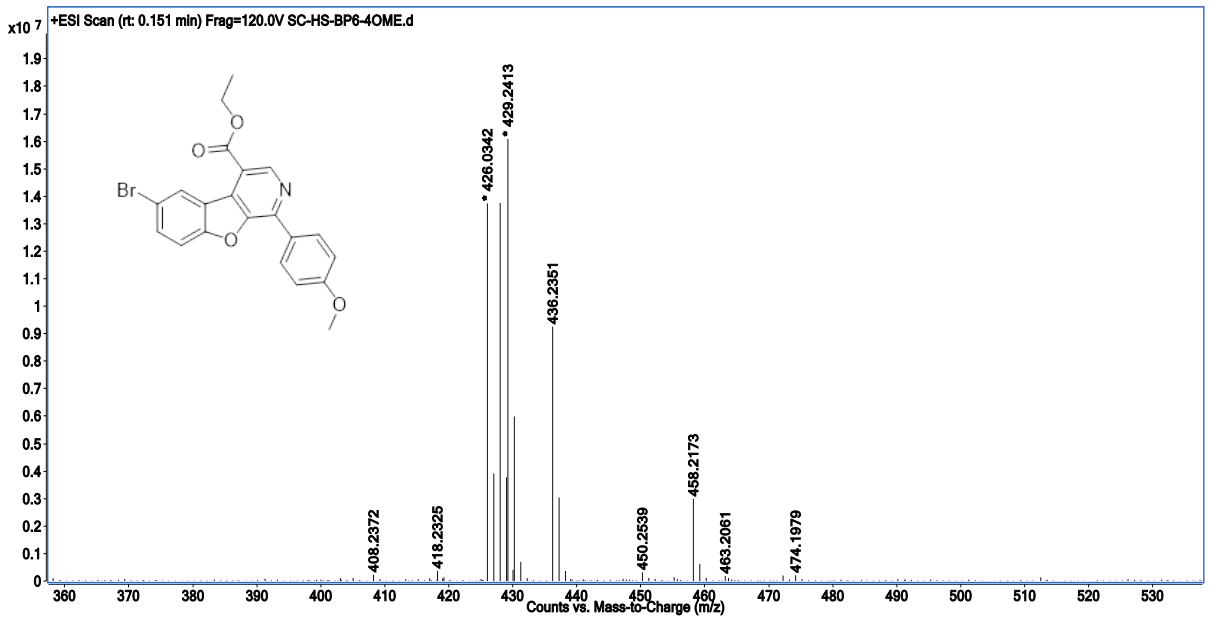


Figure S133. Mass spectrum of ethyl 6-bromo-1-(4-methoxyphenyl)benzofuro[2,3-*c*]pyridine-4-carboxylate(**5r**).

Ethyl (E)-3-(2-(2-ethoxy-2-oxoethoxy)phenyl)acrylate (7a)

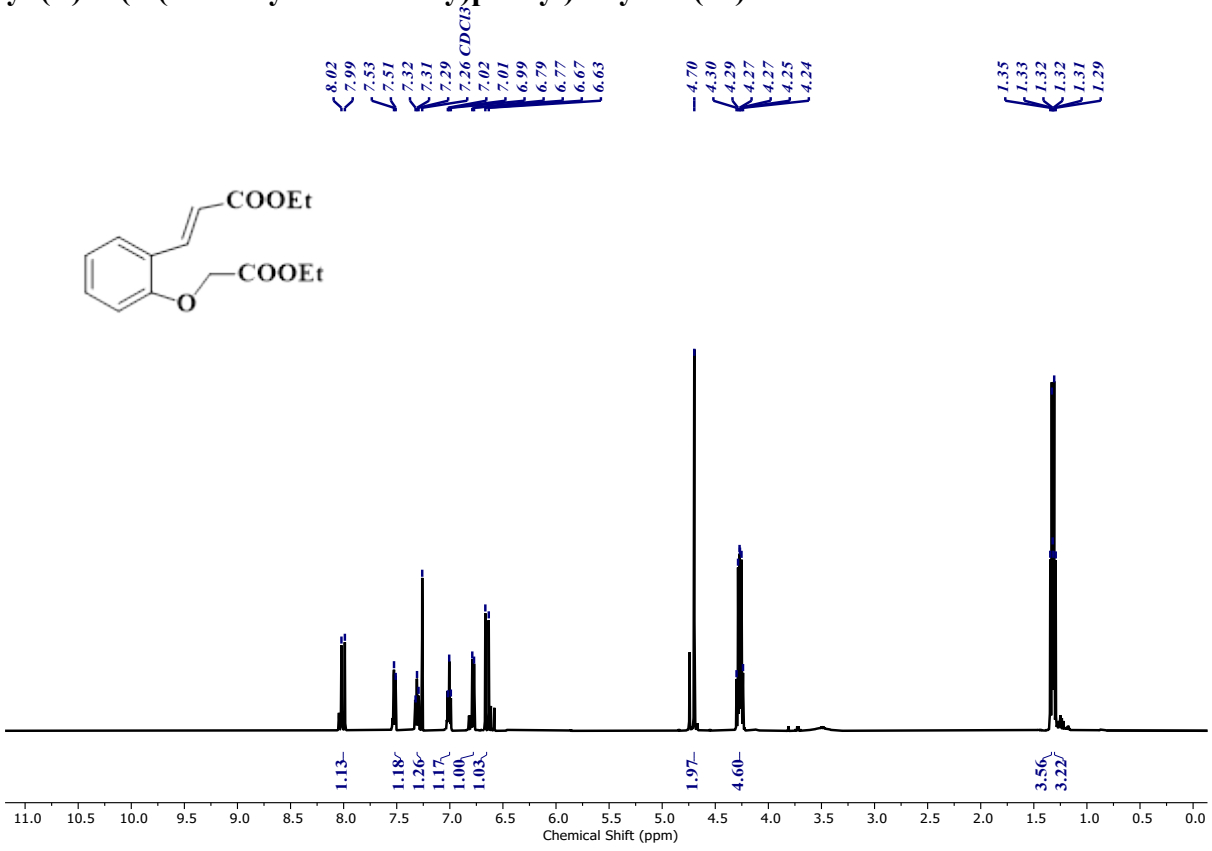


Figure S134. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl (E)-3-(2-(2-ethoxy-2-oxoethoxy)phenyl)acrylate (**7a**)

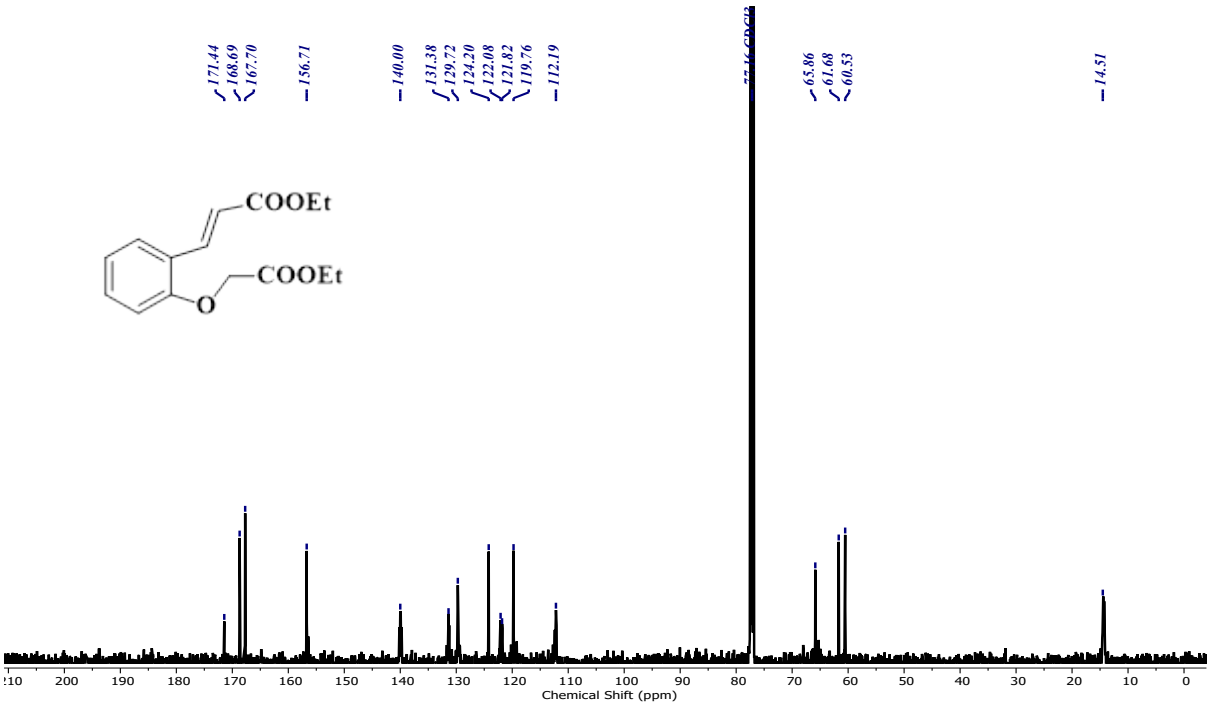


Figure S135. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl (E)-3-(2-(2-ethoxy-2-oxoethoxy)phenyl)acrylate (**7a**)

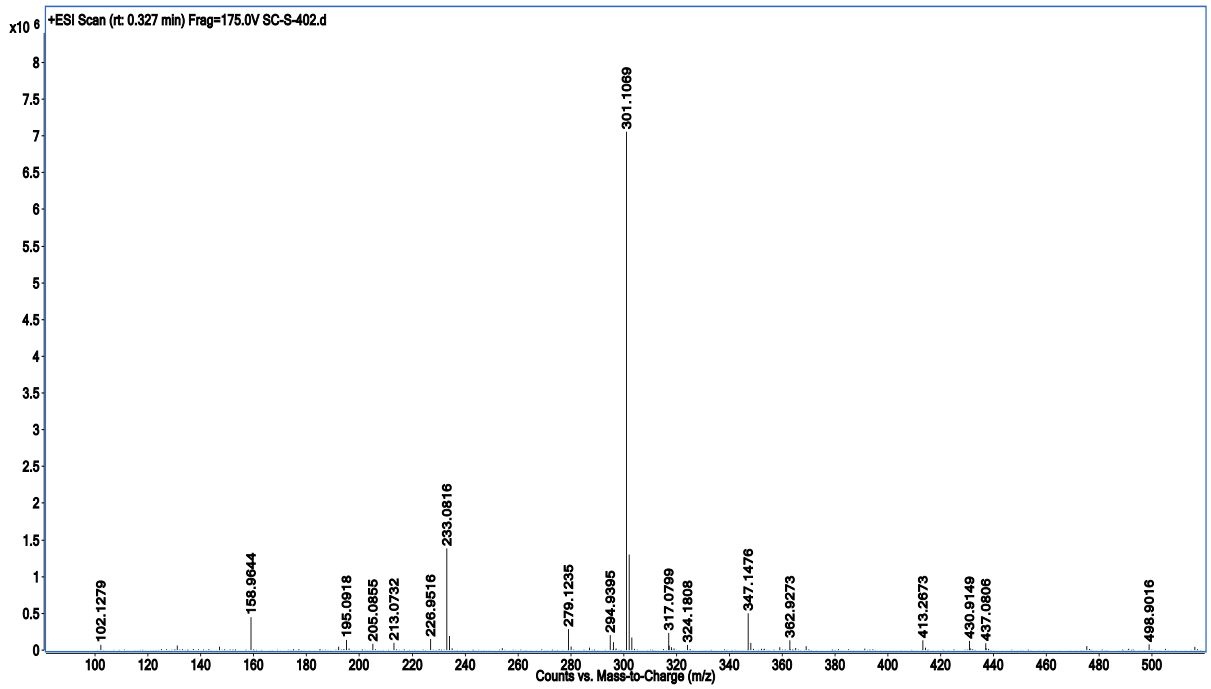


Figure S136. Mass spectrum of ethyl (E)-3-(2-(2-ethoxy-2-oxoethoxy)phenyl)acrylate (**7a**)

Ethyl (E)-3-(2-(cyanomethoxy)phenyl)acrylate (7c)

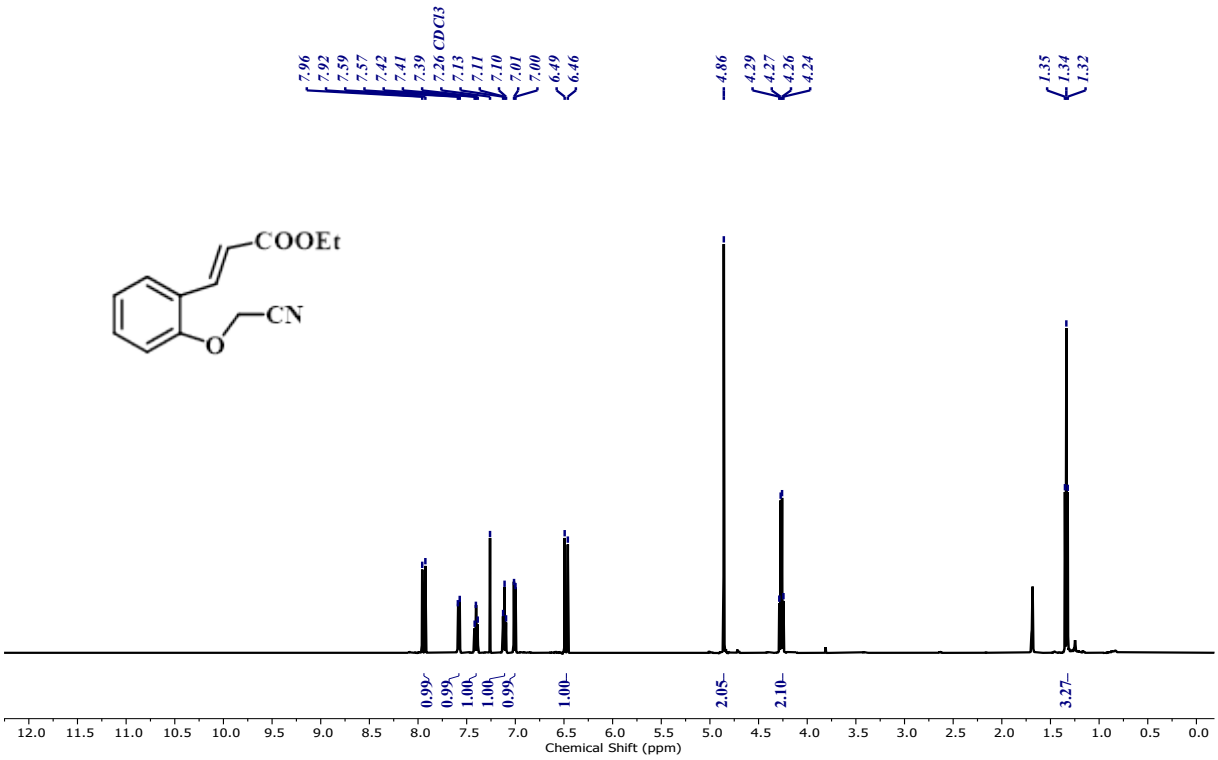


Figure S137. ^{1}H NMR (500 MHz, $CDCl_3$) spectrum of ethyl (E)-3-(2-(cyanomethoxy)phenyl)acrylate (**7c**)

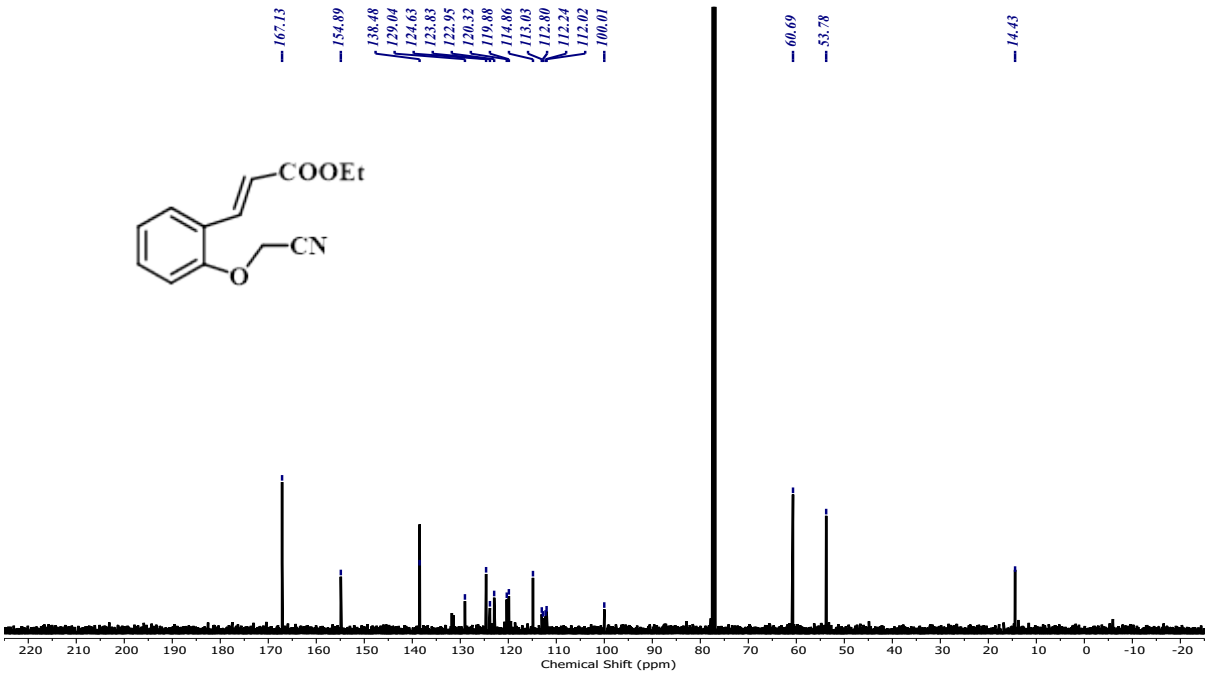


Figure S138. $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$) spectrum of ethyl (E)-3-(2-(cyanomethoxy)phenyl)acrylate (**7c**)

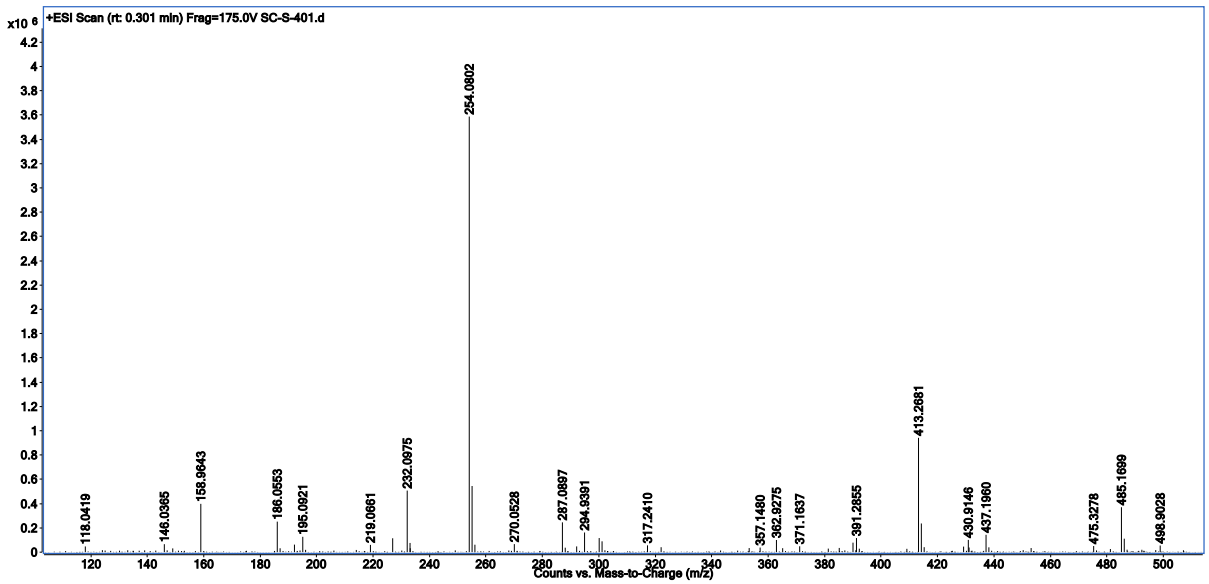


Figure S139. Mass spectrum of ethyl (E)-3-(2-(cyanomethoxy)phenyl)acrylate (**7c**)

2D NMR analysis.

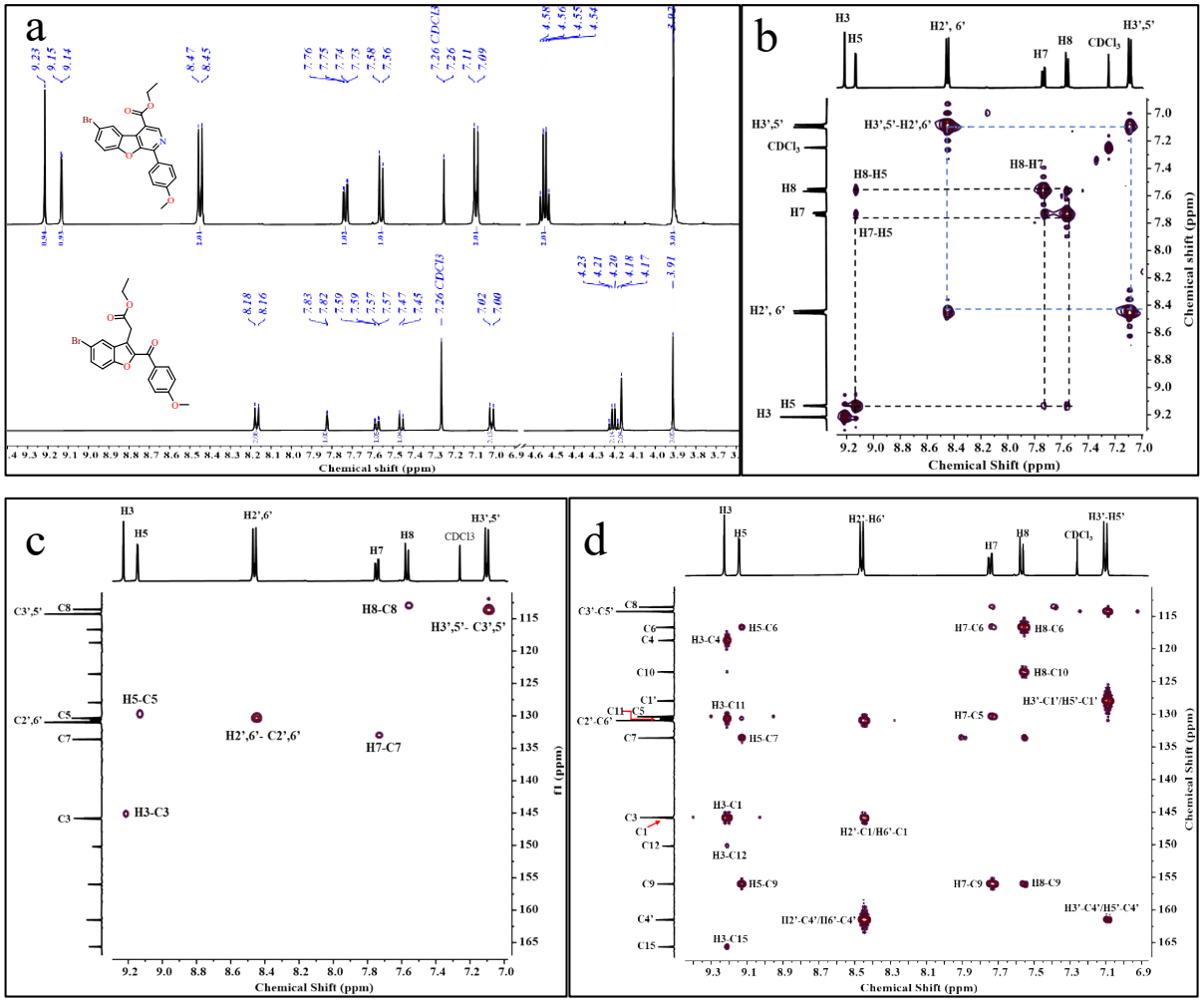
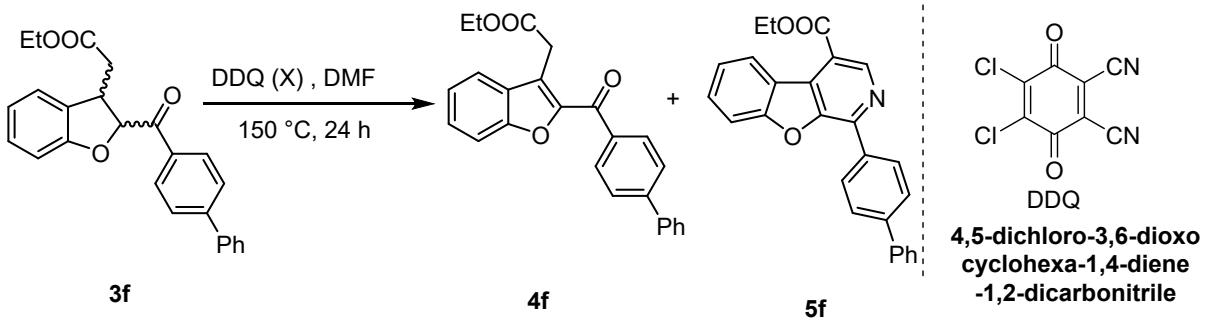


Figure S140. Structure confirmation and NMR signal assignments of **5r**. Partial ^{1}HNMR spectrum (a) of Compound **4r** and **5r** representing the difference in proton signals. Partial TOCSY (b) and HSQC (c) spectrum of **5r** representing the ^{1}H-^{1}H correlation and ^{1}H-^{13}C correlations. Partial HMBC spectrum (d) of **5r** represents the scalar ^{1}H-^{13}C correlation of aromatic proton and carbons.

The ^{1}HNMR spectrum of compound **5r** exhibited evident differences compared with the ^{1}HNMR spectrum of compound **4r** such as the appearance of six signals of eight protons in the aromatic region and the disappearance of one singlet signal (later assigned as H3) in the aliphatic region whereas in the ^{1}HNMR Spectrum of compound **4r** displayed five signals of seven protons in aromatic region and one singlet in aliphatic region. Another visible difference is the downfield shift of a doublet signal (later assigned as H5) from the range of 7.4-8.0 ppm to 9.0-9.2 ppm. The slight downfield shift in ester's CH_2-quartet is observed (**Fig. 140a**). Respective differences were also noticeable in the ^{13}CNMR spectra of these compounds, giving a hint for the addition of one carbon more to the chemical structure of compound **4r**. This made us curious and encouraged us to complete the structure elucidation and signal assignment of compound **5r** by identifying homonuclear correlations through TOCSY, Heteronuclear correlations between directly bonded C-H by HSQC, and long-range connectivity using HMBC analysis. All the protons of compound **5r** were unambiguously assigned after ^{1}HNMR and TOCSY analysis. In the TOCSY spectrum (**Fig. 140b**), the extra signal (designated as H3) did not show any correlation with other resonated proton signals, which confirmed the newly added carbon and proton are not in proximity to protons of Ring A and Ring D. The new C-C bond is not formed with the carbons of Ring A and Ring D as all the protons are intact with their carbon analyzed by HSQC (**Fig. 140c**) and H-H connectivity is retained as analyzed by TOCSY. This directs the substitution possibility at C13 (acetate carbon (-CH_2-) and C10 (carbonyl carbon -C(O)-of benzoyl group). A C-C bond formation with C10 may have resulted in the correlation with C1' and no correlation with the proton attached to C15, which is not detected in the HMBC spectrum. Still, the C-C bond between C13 is evidenced by the disappearance of a proton signal in the ^{1}H NMR of compound **5r**, and HMBC correlations of H3 with most importantly C15, C1, C11 and C12 with weak intensity comparatively. This confirms the C-C bond formation at C13, which is supported by the precise assignment of all signals in the HMBC spectrum of compound **5r**, and all long-range couplings of H3 are observed clearly (**Fig. 140d**).

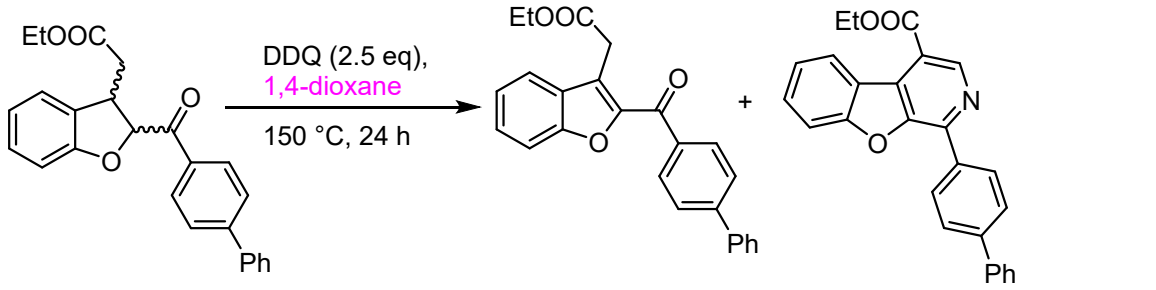
7. Control experiments:

7.1. **Control experiment a.** Synthesis of **4f** and **5f** in standard reaction conditions



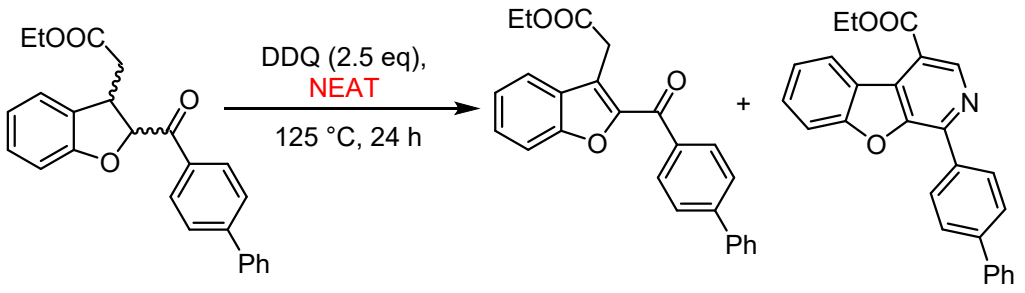
Procedure: In a hot air oven dried 15 ml pressure tube, the reaction was carried out with ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate **3f** (0.100g, 259µmol, 1 equiv.), DDQ (0.147g, 647µmol, 2.5 equiv.) and DMF (3 mL) as solvent at 150 °C for 24 hours. The completion of reaction was monitored using TLC. The resulting reaction mixture was evaporated under reduced pressure in rotavapor for the removal of DMF, which gives crude mixture. The crude mixture was coated on silica to prepare slurry and purified *via* flash chromatography using 230-400 mesh size silica gel, solvent system hexane/ethyl acetate (99:1). The purified fractions were collected in 25 ml round bottom flasks, then evaporated and dried under reduced vacuum. After drying, 40.5 mg (41%) of **4f** and 50 mg (49%) of **5f** was obtained.

7.2. Control experiment b. Synthesis of **4f** and **5f** in standard reaction conditions in solvent 1,4-Dioxane



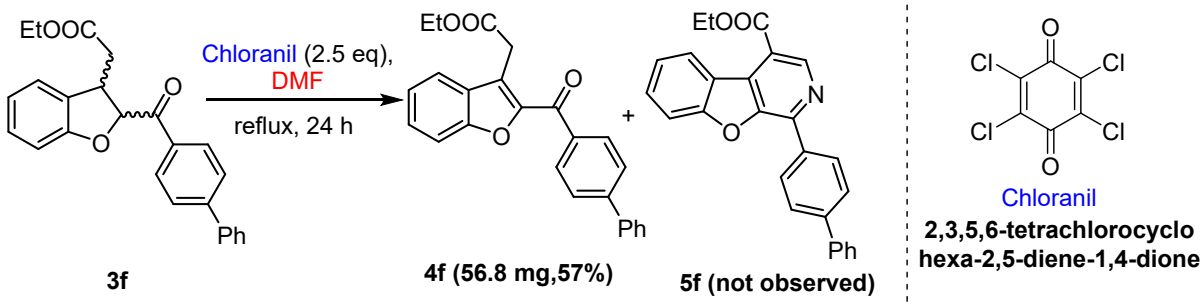
Procedure: In a hot air oven dried 15 ml pressure tube, the reaction was carried out with ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate **3f** (0.100g, 259µmol, 1 equiv.), DDQ (0.147g, 647µmol, 2.5 equiv.) and 1,4-Dioxane (3mL) as solvent at 125 °C for 24 hours. The completion of reaction was monitored using TLC. The resulting reaction mixture was evaporated under reduced pressure in rotavapor for the removal of DMF, which gives crude mixture. The crude mixture was coated on silica to prepare slurry and purified *via* flash chromatography using 230-400 mesh size silica gel, solvent system hexane/ethyl acetate (99:1) and 64.6 mg (65%) of **4f** and **5f** with some minor impurities from reaction was obtained.

7.3. Control experiment c. Synthesis of **4f** and **5f** in standard reaction conditions without solvent.



Procedure: In a hot air oven dried 15 ml pressure tube, the reaction was carried out with ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate **3f** (10 mg, 1 equiv.), DDQ (15 mg, 2.5 equiv.) at 125 °C for 24 hours. The completion of the reaction was monitored using TLC. The resulting reaction mixture was dissolved in 5mL of ethyl acetate and transferred to 10 mL RBF. The presence of **4f** (R_f = 0.66) and **5f** (R_f = 0.74) in reaction mixture was confirmed on TLC using respective authentic samples with unreacted starting material **3f** (R_f = 0.6) in mobile phase 5% of ethyl acetate in hexane.

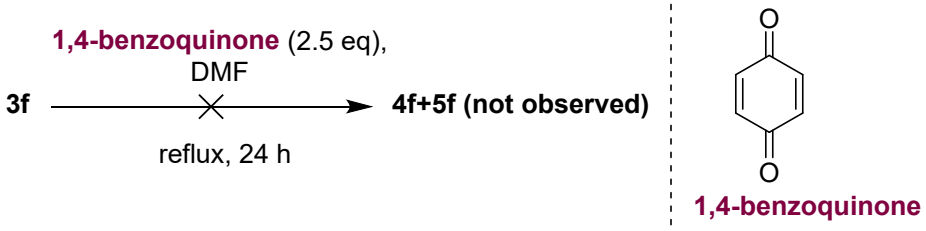
7.4. Control experiment d. Synthesis of **4f** and **5f** using benzoquinone-based oxidising agent Chloranil.



Procedure: In a hot air oven dried 15 ml pressure tube, the reaction was carried out with ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate **3f** (0.100g, 259µmol, 1 equiv.), Chloranil (0.160g, 647µmol, 2.5 equiv.) and DMF (3 mL) as solvent at reflux temperature of 150 °C for 24 hours. The reaction was monitored using TLC. The resulting reaction mixture was evaporated under reduced pressure in rotavapor for the removal of DMF, which gives crude mixture. The crude mixture was coated on silica to prepare slurry and purified *via* flash chromatography using 230-400 mesh size silica gel, solvent system hexane/ethyl acetate (98:2). The purified fractions were collected in 50 mL round bottom flask,

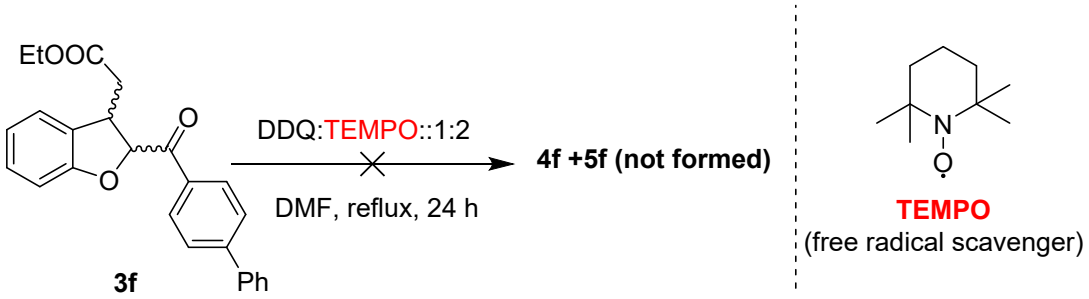
then evaporated and dried under reduced vacuum. After drying, 57 mg (57%) of **4f** was obtained. The formation of **5f** in this experiment was not observed.

7.5. Control experiment e. Synthesis of **4f** and **5f** using oxidising agent benzoquinone.



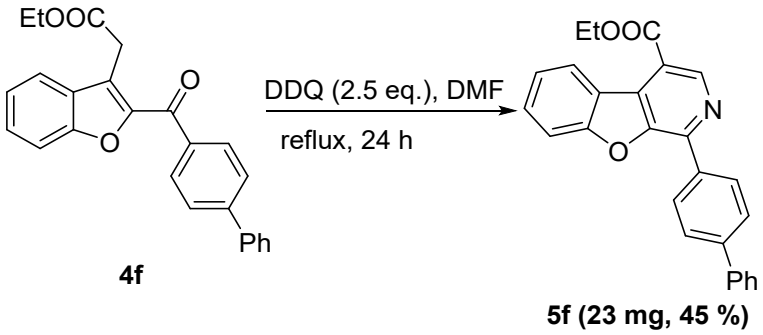
Procedure: In a hot air oven dried 15 ml pressure tube, the reaction was carried out with ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate **3f** (0.100g, 259µmol, 1 equiv.), benzoquinone (0.07g, 647µmol, 2.5 equiv.) and DMF (3 mL) as solvent at reflux temperature of 150 °C for 24 hours. The reaction was monitored using TLC. After 24 hours of refluxing, the presence of **4f** and **5f** in the reaction mixture was not observed on TLC. Unreacted **3f** was detected as such with some side products.

7.6. Control experiment f. Synthesis of **4f** and **5f** with standard conditions and presence of free radical scavenger TEMPO.



Procedure: In a hot air oven dried 15 ml pressure tube, the reaction was carried out with ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)-2,3-dihydrobenzofuran-3-yl)acetate **3f** (0.05g, 130µmol, 1 equiv.), DDQ (0.075g, 324µmol, 2.5 equiv.), TEMPO (100 mg, 647 µmol, 5 equiv.) and DMF (3 mL) as solvent at reflux temperature of 150 °C for 24 hours. The reaction was monitored using TLC. After 24 hours of refluxing, the presence of **4f** and **5f** in the reaction mixture was not observed on TLC.

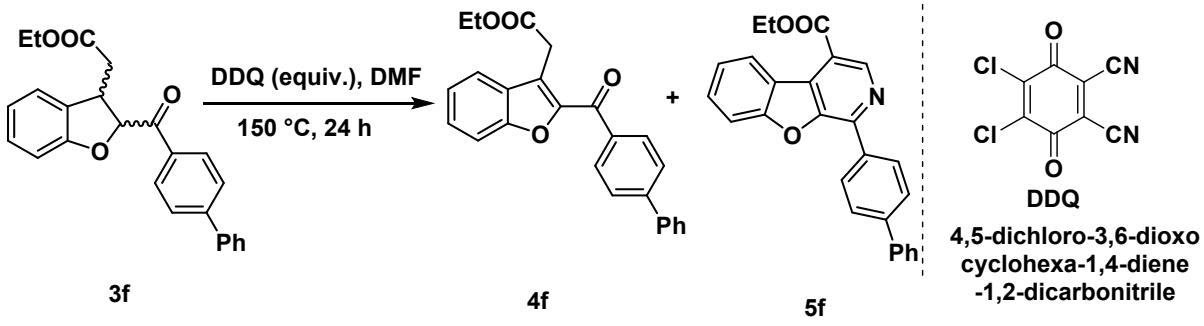
7.7. Control experiment g. Synthesis of **5f** from **4f** with standard reaction conditions.



4f **5f (23 mg, 45 %)**

Procedure: In a hot air oven dried 15 ml pressure tube, the reaction was carried out with ethyl 2-(2-([1,1'-biphenyl]-4-carbonyl)benzofuran-3-yl)acetate **5f** (0.05g, 130µmol, 1 equiv.), DDQ (0.075g, 325µmol, 2.5 equiv.) and DMF (3 mL) as solvent at 150 °C for 24 hours. The reaction was monitored using TLC. The resulting reaction mixture was evaporated under reduced pressure in a rotavapor for the removal of DMF, which gives crude mixture. The crude mixture was coated on silica to prepare a slurry and purified *via* flash chromatography using 230-400 mesh size silica gel, solvent system hexane/ethyl acetate (99:1). The purified fractions were collected in a 25 ml round-bottom flask, then evaporated and dried under reduced vacuum. After drying, 23 mg (45%) of **5f** and 12 mg (23%) of **4f** was recovered as such.

7.8. Determination of 4f and 5f concentration in reaction using HPLC with enhanced DDQ equivalents.



3f **4f** **5f**

HPLC run method: Isocratic, CH_3CN:H_2O::9:1, 20 minute run time,
Retention time for 4f = 5.79 min
Retention time for 5f = 6.56 min

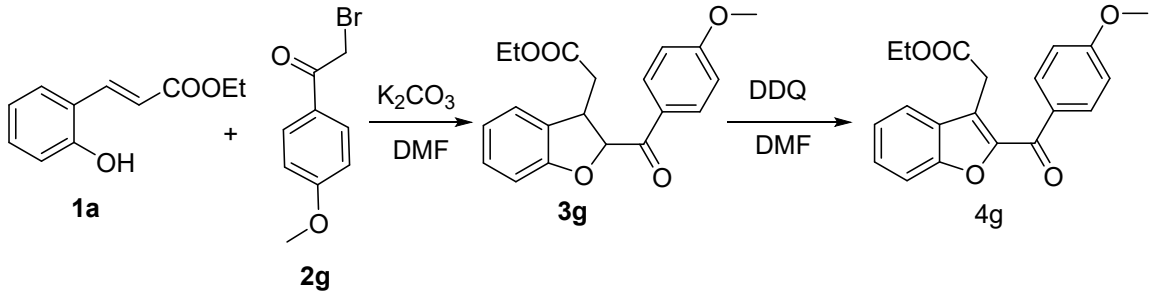
Table S-01: Concentration ratio of 4f to 5f in reaction with enhanced DDQ equivalents.

Sr. No.	DDQ equiv.	AUC (%)		Approx. **4f**(AUC):**5f**(AUC)
		4f	**5f**	
1	4	48.03	51.96	5:5
2	5	29.94	70.05	3:7
3	6	8.88	91.11	1:9

AUC(area under the curve)

8. Gram scale synthesis:

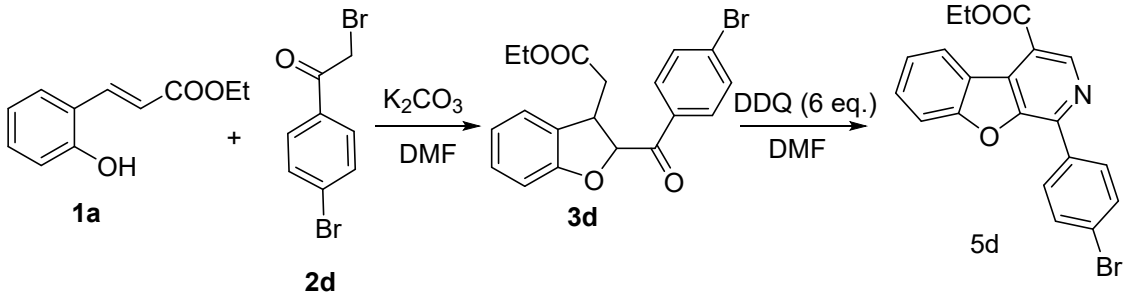
a.) one-pot gram scale synthesis of 4g.



Scheme SI-05: One-pot gram scale synthesis of 4g from 0.6 g of 1a and 2g.

In a dry 100 ml pressure tube equipped with a magnetic stir bar, **1a** (0.6 g, 3.12 mmol), **2g** (*p*-methoxy phenacyl bromide, 0.7 g, 3.12 mmol, 1 equiv.) and K_2CO_3 (0.95 g, 6.87 mmol, 2.2 equiv.) were added and dissolved in 15 mL of DMF. The reaction was allowed to stir for 6 h. After the observation of complete conversion to **3g,** 1.7 g (2.5 equiv.) of DDQ was added to the reaction mixture and allowed to stir at a temperature of 120 °C for 24 hours undisturbed. The reaction completion was monitored using TLC. The resulting reaction mixture was evaporated under reduced pressure in a rotavapor for the removal of DMF, which gives a crude mixture. The crude mixture was coated on silica (60-120 mesh size) to prepare a slurry and purified through flash chromatography using 230-400 mesh size silica gel, and solvent system hexane/ethyl acetate (98:2). The purified fractions were collected together, evaporated and dried under reduced vacuum, achieving 0.63 g (77 % yield) of **4g.**

b.) One-pot gram scale synthesis of 5d.



Scheme SI-06 One-pot gram scale synthesis of 5d from 0.4 g of 1a and 0.58 g of 2d.

In a dry 100 ml pressure tube equipped with a magnetic stir bar, **1a** (0.4 g, 2.08 mmol), **2d** (*p*-Bromo phenacyl bromide (0.58 g, 2.08 mmol, 1 equiv.) and K_2CO_3 (0.62 g, 4.6 mmol, 2.2 equvi.) were added and dissolved in 12 mL of DMF. The reaction was allowed to stir for 6 h. After the observation of complete conversion to **3d,** 2.8 g (12.33 mmol, 6.0 equiv.) of DDQ and 6 mL DMF were added to the reaction mixture and allowed to stir at a temperature of 150 °C for 24 hours undisturbed. The reaction completion was monitored using TLC. The resulting reaction mixture was evaporated under reduced pressure in a rotavapor for the removal of DMF, which gives a crude mixture. The crude mixture was coated on silica (60-120 mesh size) to prepare a slurry and purified through flash chromatography using 230-400 mesh size silica gel, and solvent system hexane/ethyl acetate (98:1). The purified fractions were collected together, evaporated and dried under reduced vacuum, achieving 0.677 g (68 % yield) of **5d.**

9. ^{1}H NMR of crude reaction mixture for the derivatives of **3**.

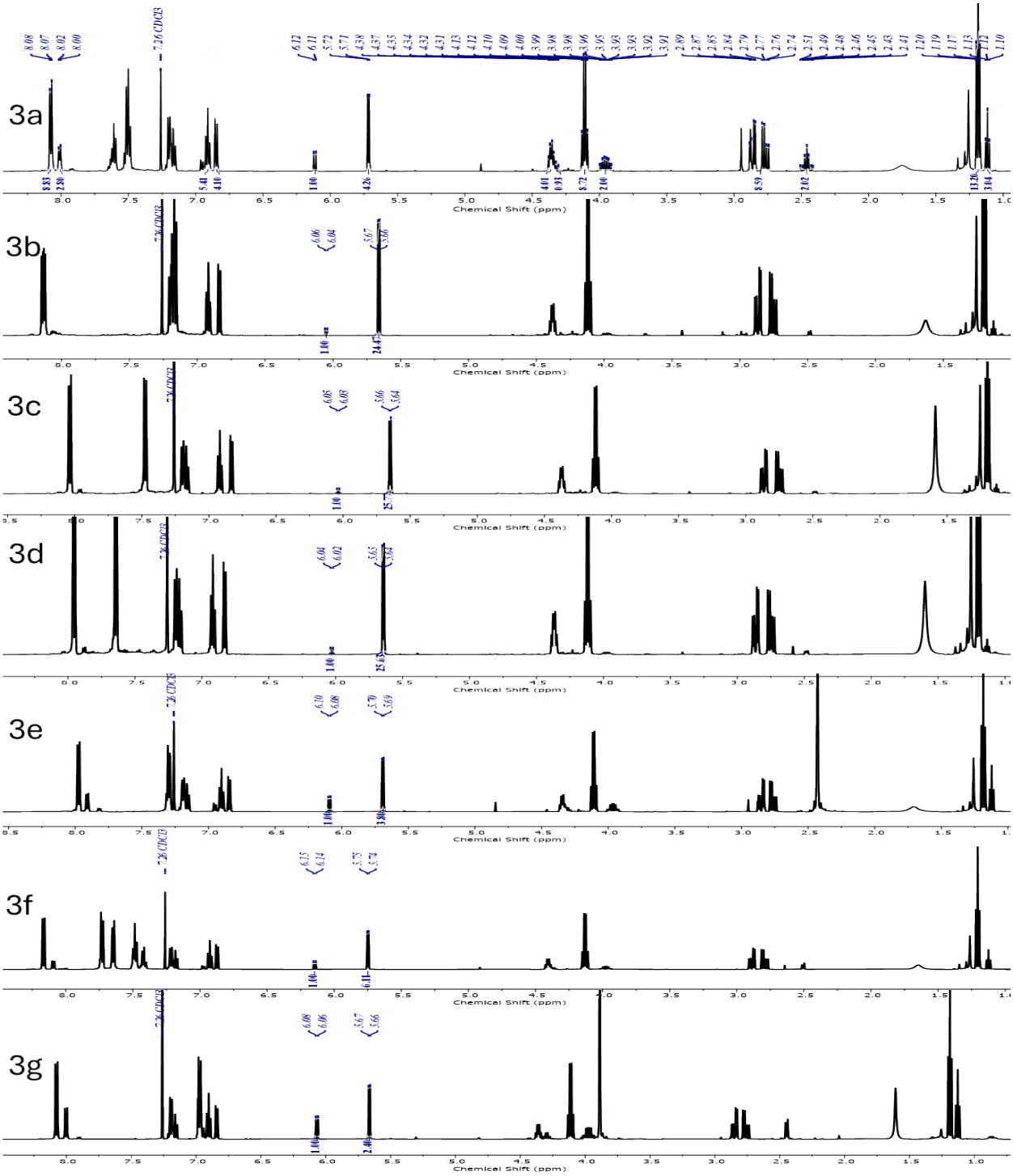


Figure S141. ^{1}H NMR of crude reaction mixture for the derivatives of **3** to determine dr ratio.

10. ^{1}H NMR comparison of **5f** synthesised by different approaches.

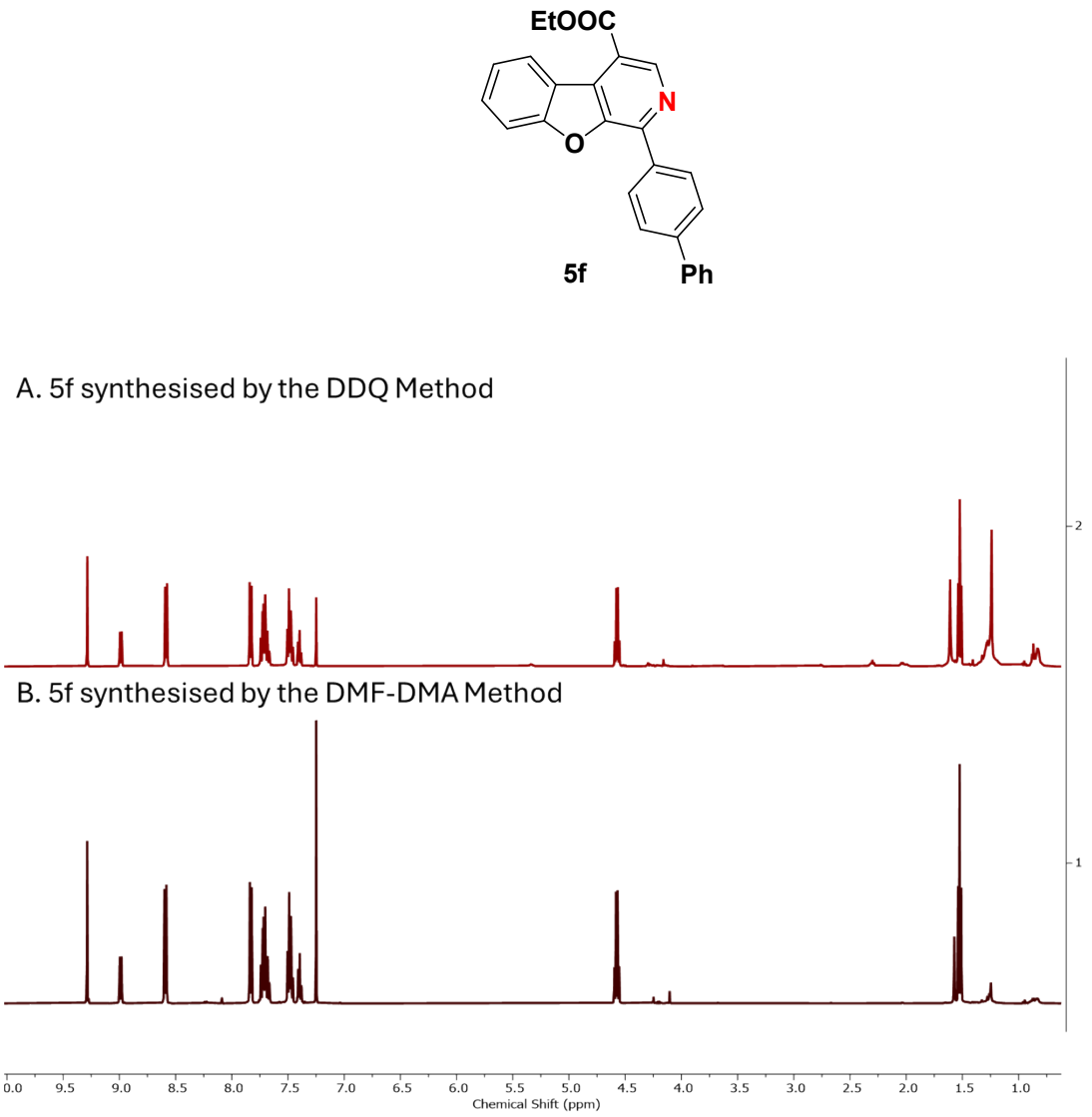


Figure S142. ^{1}H NMR comparison of ethyl 1-([1,1'-biphenyl]-4-yl)benzofuro[2,3-c]pyridine-4-carboxylate (**5f)** synthesised by different approaches A. DDQ Method, B. DMFDMA method