CATALYTIC ENANTIOSELECTIVE MICHAEL ADDITION OF 2-SUBSTITUTED BENZOFURAN-3-ONES WITH 2-ENOYL PYRIDINES

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Supporting Information

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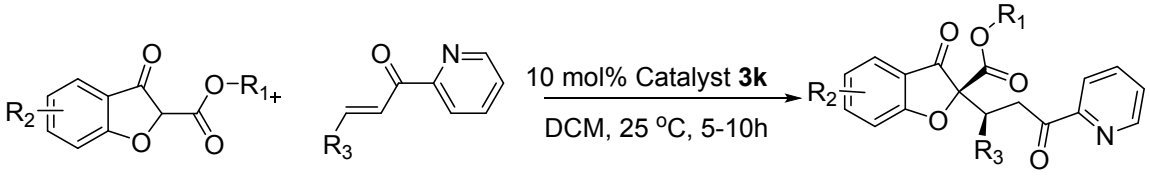
1. General remarks

All reactions were carried out in a flame dried flask. Solvents used for reactions and column chromatography were commercial grade and distilled prior to use. THF, toluene and dioxane were dried over sodium/benzophenone, whereas dichloromethane (DCM) and dichloroethane (DCE) were dried over CaH_2. Solvents (hexane, ethyl acetate) TLC was performed on pre-coated Merck silica gel aluminium plates with 60F254 indicator, visualised by irradiation with UV light. Column chromatography was performed using silica gel Merck 100-200 and 230-400 mesh. ^{1}H-NMR and ^{13}C NMR were recorded on 400 MHz, 500 MHz and 100 and 125 MHz using $CDCl_3$ as solvent and multiplicity indicated as follows: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), dd (doublet of doublet), dt (doublet of triplet), td (triplet of doublet), ddd (doublet of doublet of doublet) qd (quartet of doublet). Coupling constants *J* are reported in Hz. Chemical shift are represent in δ. High resolution mass spectra were obtained by ESI using orbitrap elite mass spectrometer; IR spectra were recorded on a FT/IR-420 spectrometer and are reported in terms of frequency of absorption (cm^{-1}). Melting points were measured in open capillaries and are uncorrected. Optical rotations are reported as follows: $[\alpha]_D^{rt}$ (c in g per 100 mL, solvent). The 2-substituted benzofuran3 (2h)-ones [1] and Catalysts[2] , 2-enoylpyridine[3] were synthesized from the literature procedure.

2. Representative experimental procedure for the synthesis of Michael addition products (4).

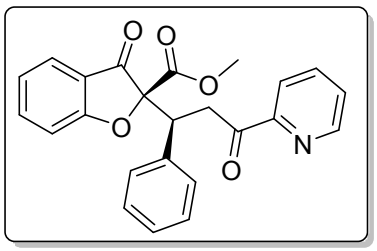


1 **2** **4**

To a stirred solution of 2-enoyl pyridine **2** (1.2equ) and catalyst **3k** (0.10equ) in dry DCM (0.5ml) was added methyl 3-oxo-2,3-dihydrobenzofuran-2-carboxylate **1** (1equ) at room temperature. The reaction mixture was stirred at rt till the consumption of methyl 3-oxo-2, 3-dihydrobenzofuran-2-carboxylate, which was monitored by TLC. The crude mixture was purified by flash column chromatography over silica gel (80:20 hexane/EtOAc) to furnish **4a-4t**.To synthesize enantiomer of product **4**, the same reaction procedure was followed using catalyst **3l** and acetonitrile as solvent.

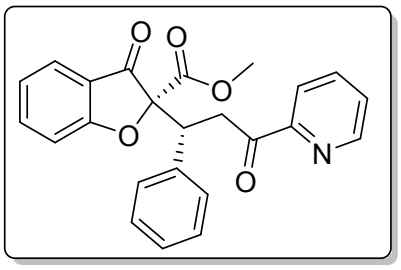
3. Analytical data for Michael addition product.

Methyl (S)-3-oxo-2-((S)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate(4a)



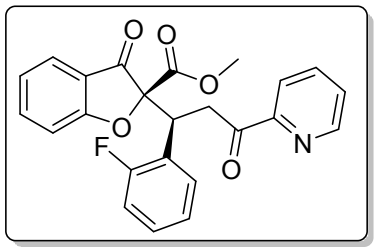
General experimental procedure **II** was followed to prepare the Michael addition product **4a.** The desired product was obtained as semi solid (102 mg, 98% yield). ^{1}H NMR (500MHz , $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.89 (d, *J* = 7.9 Hz, 1 H), 7.76 (dt, *J* = 1.7, 7.6 Hz, 1 H), 7.53 (ddd, *J* = 1.4, 7.2, 8.4 Hz, 1 H), 7.44 (ddd, *J* = 1.3, 4.7, 7.6 Hz, 1 H), 7.37 - 7.31 (m, 1 H), 7.30 - 7.26 (m, 2 H), 7.19 (d, *J* = 8.5 Hz, 1 H), 7.04 - 6.93 (m, 3 H), 6.91 (t, *J* = 7.3 Hz, 1 H), 4.68 (dd, *J* = 3.6, 10.6 Hz, 1 H), 4.40 (dd, *J* = 10.6, 17.8 Hz, 1 H), 3.80 (s, 3 H), 3.59 (dd, *J* = 3.8, 18.0 Hz, 1 H).^{13}C NMR (126MHz , $CDCl_3$) δ = 198.4, 194.5, 172.4, 166.0, 153.1, 148.9, 138.3, 136.8, 136.2, 129.5, 127.9, 127.3, 127.2, 124.6, 122.4, 121.9, 119.9, 113.1, 93.9, 53.5, 44.9, 38.9.IR (ν, cm^{-1}): 3056, 2955, 2924,2851, 1749, 1722, 1700, 1609, 1586, 1491, 1460,1435, 1403, 1361, 1324, 1297, 1233, 1196, 1146, 1100, 1073, 1023, 956, 881, 830, 796, 755, 643, 618; HRMS (ESI): Exact mass calcd for $C_{24}H_{19}NO_5$ $[M+Na]^+$: 424.1155, Found 424.1145.$[\alpha]_D^{26}$ = -137.76 (*c* 2.50, $CHCl_3$).The compound **4a** 97% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 21.90 min, *t*R (minor) = 42.02 min.

Methyl (R)-3-oxo-2-((R)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate(ent-4a)



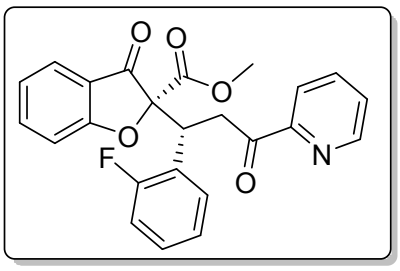
General experimental procedure **II** was followed to prepare the Michael addition product **ent-4a.** The desired product was obtained as semi solid (51mg, 96% yield, *dr 85:15*).^{1}H NMR (500MHz, $CDCl_3$) corresponding to **4a.**^{13}C NMR (126MHz, $CDCl_3$) corresponding to **4a.** $[\alpha]_D^{26}$ = +174.93 (*c* 2.25, $CHCl_3$). The major diastereomer of compound **ent-4a** 98% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 54.212 min, *t*R (minor) = 29.56 min.

Methyl (S)-2-((S)-1-(2-fluorophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate(4b)



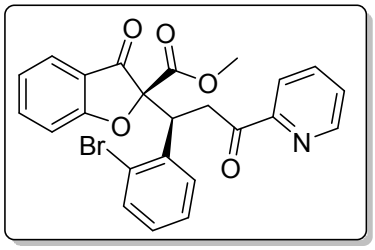
General experimental procedure **II** was followed to prepare the Michael addition product **4b.** The desired product was obtained as semi solid (97 mg, 89% yield). ^{1}H NMR (500MHz , $CDCl_3$) δ = 8.69 (qd, *J* = 0.8, 4.7 Hz, 1 H), 7.93 - 7.88 (m, 1 H), 7.77 (dt, *J* = 1.6, 7.7 Hz, 1 H), 7.57 (ddd, *J* = 1.4, 7.1, 8.5 Hz, 1 H), 7.46 (ddd, *J* = 1.3, 4.7, 7.6 Hz, 1 H), 7.39 (dd, *J* = 0.8, 7.7 Hz, 1 H), 7.29 - 7.24 (m, 1 H), 7.23 (d, *J* = 8.5 Hz, 1 H), 6.97 - 6.94 (m, 2 H), 6.87 (ddd, *J* = 0.9, 8.4, 9.9 Hz, 1 H), 6.78 - 6.71 (m, 1 H), 5.06 (dd, *J* = 3.8, 10.7 Hz, 1 H), 4.35 (dd, *J* = 10.7, 18.3 Hz, 1 H), 3.82 (s, 3 H), 3.71 (dd, *J* = 3.6, 18.1 Hz, 1 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.2, 194.0, 172.4, 165.7, 161.7 (d, *J* = 249.5 Hz), 152.9, 149.0, 138.4, 136.8, 129.7, 129.1(d, *J* = 8.49 Hz), 127.3, 124.8, 123.9 (d, *J* = 14.11 Hz), 123.6 (d, *J* = 3.47 Hz), 122.5, 121.8, 119.7, 115.7 (d, *J* = 23.24 Hz), 113.1, 93.4, 53.6, 38.8, 36.8. IR (ν, cm^{-1}): 3059, 2955, 2924, 2852, 1750, 1724, 1703, 1610, 1461, 1437, 1361, 1324, 1297, 1237, 1147, 1073, 1025, 992, 957, 882, 831, 759, 704, 644, 619; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}O_5NF$ $[M+Na]^+$: 442.1061, Found 442.1054. $[\alpha]_D^{27.4}$ = -35.778 (*c* 2.25, $CHCl_3$). The compound **4b** 92% ee was determined by chiral HPLC column (Chiralpak IG, hexane/i-PrOH = 80:10, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 24.08 min, *t*R (minor) = 35.78 min.

Methyl (R)-2-((R)-1-(2-fluorophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate(ent-4b)



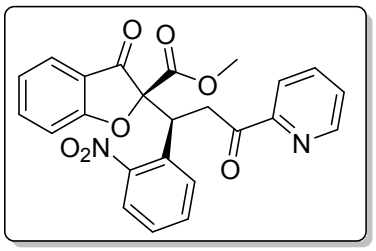
General experimental procedure **II** was followed to prepare the Michael addition product **ent-4b.** The desired product was obtained as semi solid (51mg, 92% yield, *dr 72:26*). ^{1}H NMR (500MHz, $CDCl_3$) corresponding to **4b.** ^{13}C NMR (126MHz, $CDCl_3$) corresponding to **4b.** $[\alpha]_D^{26}$ = +105.88 (*c* 2. 5, $CHCl_3$). The major diastereomer of compound **ent-4b** 97% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 1. 0 mL/min, λ= 254 nm), *t*R (major) = 38.49 min, *t*R (minor) = 26.03 min. The minor diastereomer of compound **ent-4b** 98% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 29.88 min, *t*R (minor) = 42.05 min.

Methyl (S)-2-((S)-1-(2-bromophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4c)



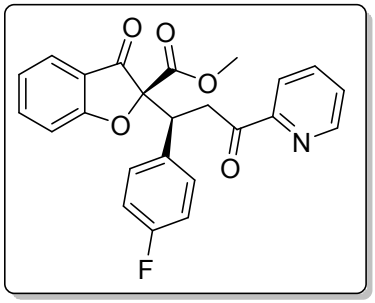
General experimental procedure **II** was followed to prepare the Michael addition product **4c.** The desired product was obtained as foamy solid (116 mg, 93% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.92 (td, *J* = 0.9, 7.9 Hz, 1 H), 7.77 (dt, *J* = 1.9, 7.7 Hz, 1 H), 7.59 (ddd, *J* = 1.4, 7.2, 8.4 Hz, 1 H), 7.46 (dtd, *J* = 1.3, 4.6, 7.6 Hz, 2 H), 7.41 (dd, *J* = 0.8, 7.7 Hz, 1 H), 7.36 - 7.34 (m, 1 H), 7.25 (s, 1 H), 7.00 - 6.96 (m, 1 H), 6.89 - 6.86 (m, 2 H), 5.31 (dd, *J* = 3.8, 10.4 Hz, 1 H), 4.27 (dd, *J* = 10.2, 17.8 Hz, 1 H), 3.86 - 3.82 (m, 3 H), 3.80 (d, *J* = 3.8 Hz, 1 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.3, 193.3, 172.2, 165.7, 152.9, 148.9, 138.3, 136.8, 136.6, 133.4, 129.0, 128.7, 127.3, 127.0, 124.9, 122.6, 121.9, 119.8, 113.1, 93.3, 53.7, 42.1, 40.0. IR (ν, cm^{-1}): 3060, 2954, 2925, 2851, 1751, 1725, 1702, 1610, 1467, 1435, 1404, 1359, 1297, 1242, 1195, 1146, 1077, 1024, 992, 882, 760, 705, 649, 619; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}O_5NBr$ $[M+Na]^+$: 502.0261, Found 502.0260. The compound **4c** 92% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 30.41 min, *t*R (minor) = 40.91 min.

Methyl (S)-2-((S)-1-(2-nitrophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4d)



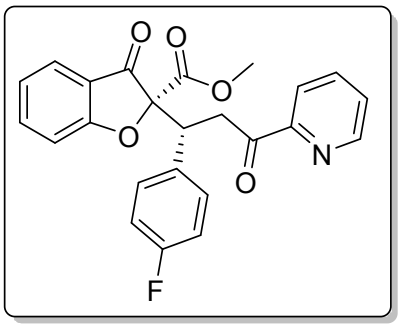
General experimental procedure **II** was followed to prepare the Michael addition product **4d.** The desired product was obtained as foamy solid (100 mg, 86% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.71 - 8.70 (m, 1 H), 7.93 (td, *J* = 0.9, 7.9 Hz, 1 H), 7.79 (dt, *J* = 1.9, 7.7 Hz, 1 H), 7.77 - 7.72 (m, 1 H), 7.64 - 7.56 (m, 2 H), 7.50 - 7.43 (m, 2 H), 7.26 - 7.21 (m, 3 H), 7.04 - 7.01 (m, 1 H), 5.49 (dd, *J* = 4.6, 9.6 Hz, 1 H), 4.23 (dd, *J* = 9.5, 17.7 Hz, 1 H), 4.11 (dd, *J* = 4.6, 17.8 Hz, 1 H), 3.80 (s, 3 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.2, 193.6, 171.8, 165.3, 152.8, 150.9, 149.0, 138.7, 136.9, 132.1, 131.7, 129.3, 128.2, 127.4, 125.1, 124.9, 122.9, 121.9, 119.6, 113.3, 92.5, 53.7, 38.7, 37.5. IR (ν, cm^{-1}): 3058, 2954, 2873, 1751, 1723, 1609, 1530, 1468, 1438, 1354, 1298, 1242, 1194, 1144, 1096, 1059, 992, 955, 888, 857, 760; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}N_2O_7$ $[M+Na]^+$: 469.1006., Found 469.1003. $[\alpha]_D^{26.6}$ = -12,480 (*c* 2.50, $CHCl_3$). The compound **4d** 88% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 46.93 min, *t*R (minor) = 64.91 min.

Methyl (S)-2-((S)-1-(4-fluorophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4e)



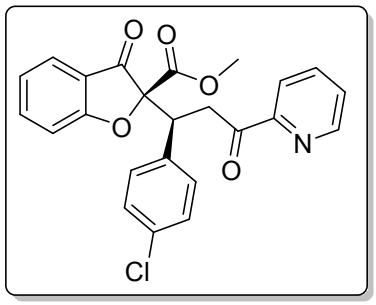
General experimental procedure **II** was followed to prepare the Michael addition product **4e.** The desired product was obtained as yellow foamy solid (104 mg, 95% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.72 - 8.67 (m, 1 H), 7.89 (td, *J* = 1.2, 7.7 Hz, 1 H), 7.77 (dt, *J* = 1.7, 7.6 Hz, 1 H), 7.56 (ddd, *J* = 1.4, 7.1, 8.5 Hz, 1 H), 7.46 (ddd, *J* = 1.3, 4.9, 7.4 Hz, 1 H), 7.38 - 7.34 (m, 1 H), 7.28 - 7.23 (m, 3 H), 7.20 (d, *J* = 8.5 Hz, 1 H), 6.98 - 6.91 (m, 1 H), 6.73 - 6.66 (m, 2 H), 4.68 (dd, *J* = 3.6, 10.9 Hz, 1 H), 4.38 (dd, *J* = 10.9, 17.8 Hz, 1 H), 3.81 (s, 3 H), 3.59 - 3.51 (m, 1 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.4, 194.5, 172.4, 165.8, 162.9 (d, *J* = 246.4 Hz), 152.9, 149.0, 138.5, 136.9, 131.9 (d, *J* = 3.24 Hz), 131.1 (d, *J* = 7.60 Hz), 127.3, 124.7, 122.6, 121.9, 119.8, 115.0 (d, *J* = 21.27 Hz), 113.0, 93.8, 53.6, 44.1, 39.0. IR (ν, cm^{-1}): 3055, 2954, 2925, 2851, 1750, 1723, 1609, 1509, 1467, 1358, 1324, 1297, 1231, 1153, 1100, 1074, 1024, 993, 957, 886, 838, 753, 652, 621; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}O_5NF$ $[M+Na]^+$: 442.1061, Found 442.1055. $[\alpha]_D^{26}$ = -12.48 (*c* 2.50, $CHCl_3$). The compound **4e** 90% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 23.41 min, *t*R (minor) = 40.51 min.

Methyl (R)-2-((R)-1-(4-fluorophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate(ent-4e)



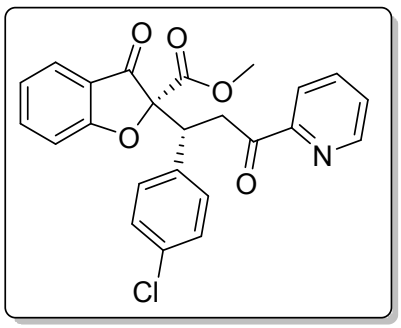
General experimental procedure **II** was followed to prepare the Michael addition product **ent-4e.** The desired product was obtained as semi solid (52 mg, 94% yield, *dr 83:17*). ^{1}H NMR (500MHz, $CDCl_3$) corresponding to **4e.** ^{13}C NMR (126MHz, $CDCl_3$) corresponding to **4e.** $[\alpha]_D^{26}$ = +134.68 (*c* 2. 5, $CHCl_3$). The major diastereomer of compound **ent-4e** 96% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 44.51 min, *t*R (minor) = 24.58 min. The minor diastereomer of compound **ent-4e** 97% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 36.63 min, *t*R (minor) = 62.48 min.

Methyl (S)-2-((S)-1-(4-chlorophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4f)



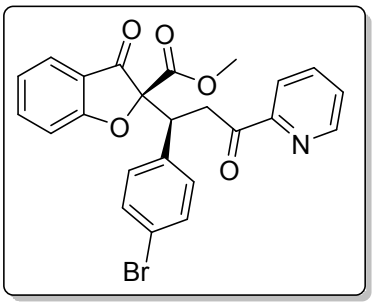
General experimental procedure **II** was followed to prepare the Michael addition product **4f.** The desired product was obtained as foamy solid (102 mg, 90% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.89 (td, *J* = 1.2, 7.7 Hz, 1 H), 7.77 (dt, *J* = 1.6, 7.7 Hz, 1 H), 7.57 (ddd, *J* = 1.4, 7.2, 8.4 Hz, 1 H), 7.46 (ddd, *J* = 1.3, 4.9, 7.4 Hz, 1 H), 7.24 - 7.20 (m, 4 H), 7.00 - 6.96 (m, 3 H), 4.67 (dd, *J* = 3.3, 10.9 Hz, 1 H), 4.39 (dd, *J* = 10.7, 18.0 Hz, 1 H), 3.80 (s, 3 H), 3.55 (dd, *J* = 3.5, 18.0 Hz, 1 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.3, 194.4, 172.4, 165.8, 152.8, 149.0, 138.6, 136.9, 134.8, 133.2, 130.8, 128.2, 127.4, 124.8, 122.7, 121.9, 119.8, 113.1, 93.7, 53.7, 44.1, 38.9. IR (ν, cm^{-1}): 3034, 2958, 2923, 1749, 1722, 1609, 1467, 1436, 1358, 1324, 1296, 1241, 1195, 1146, 1096, 1021, 992, 884, 833, 762, 704, 677, 648; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}O_5NCl$ $[M+Na]^+$: 458.0766, Found 458.0762. $[\alpha]_D^{27.4}$ = +0.5320 (*c* 2.50, $CHCl_3$). The compound **4f** 84% ee was determined by chiral HPLC column (Chiralpak AS-H, hexane/i-PrOH = 90:10, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 43.21 min, *t*R (minor) = 35.11 min.

Methyl (R)-2-((R)-1-(4-chlorophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate(ent-4f)



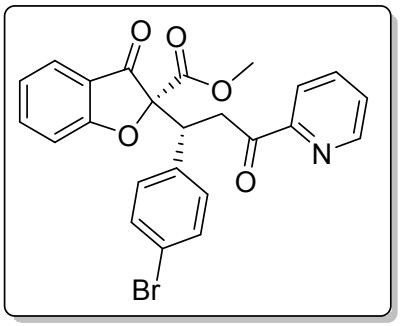
General experimental procedure **II** was followed to prepare the Michael addition product **ent-4f.** The desired product was obtained as semi solid (52 mg, 91% yield, *dr 79:21*). ^{1}H NMR (500MHz, $CDCl_3$) corresponding to **4f.** ^{13}C NMR (126MHz, $CDCl_3$) corresponding to **4f.** $[\alpha]_D^{26}$ = +126.68 (*c* 2. 5, $CHCl_3$). The major diastereomer of compound **ent-4f** 98% ee was determined by chiral HPLC column (Chiralpak AS-H, hexane/i-PrOH = 90:10, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 35.26 min, *t*R (minor) = 43.44 min. The minor diastereomer of compound **ent-4f** 96% ee was determined by chiral HPLC column (Chiralpak AS-H, hexane/i-PrOH = 90:10, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 39.05 min, *t*R (minor) = 66.98 min.

Methyl (S)-2-((S)-1-(4-bromophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate(4g)



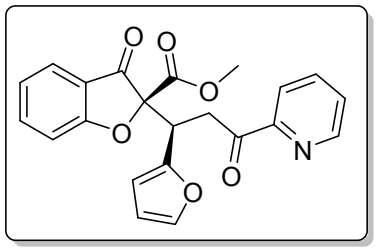
General experimental procedure **II** was followed to prepare the Michael Addition product **4g.** The desired product was obtained as white foamy solid (122 mg, 98% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.72 (d, *J* = 4.4 Hz, 1 H), 7.92 (d, *J* = 7.9 Hz, 1 H), 7.78 (dt, *J* = 1.7, 7.6 Hz, 1 H), 7.61 - 7.58 (m, 1 H), 7.46 (ddd, *J* = 1.3, 4.7, 7.6 Hz, 1 H), 7.41 - 7.39 (m, 1 H), 7.23 - 7.15 (m, 5 H), 6.97 (t, *J* = 7.4 Hz, 1 H), 4.65 (dd, *J* = 3.5, 10.7 Hz, 1 H), 4.38 (dd, *J* = 10.9, 18.1 Hz, 1 H), 3.80 (s, 3 H), 3.54 (dd, *J* = 3.5, 18.0 Hz, 1 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.2, 194.3, 172.4, 165.7, 152.9, 149.0, 138.6, 136.9, 135.4, 131.1, 127.4, 124.9, 122.7, 121.9, 121.5, 119.8, 113.1, 93.7, 53.7, 44.1, 38.9. IR (ν, cm^{-1}): 3058, 2954, 2925, 2852, 1750, 1723,1701, 1611, 1476, 1462, 1357, 1325, 1298, 1246, 1196, 1146, 1076, 1025, 993, 881, 832, 796, 759, 667, 617; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}O_5NBr$ $[M+Na]^+$: 502.0261, Found 502.0259. $[\alpha]_D^{26}$ = -114.80 (*c* 3.0, $CHCl_3$). The compound **4g** 92% ee was determined by chiral HPLC column (Chiralpak AS-H, hexane/i-PrOH = 95:5, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 69.86 min, *t*R (minor) = 57.30 min.

Methyl (R)-2-((R)-1-(4-bromophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate(ent-4g)



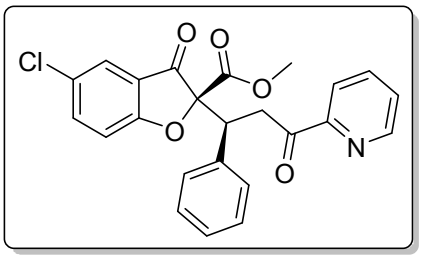
General experimental procedure **II** was followed to prepare the Michael addition product **ent-4g.** The desired product was obtained as semi solid (59 mg, 95% yield, *dr 72:28*). ^{1}H NMR (500MHz, $CDCl_3$) corresponding to **4g.** ^{13}C NMR (126MHz, $CDCl_3$) corresponding to **4g.** The major diastereomer of compound **ent-4g** 84% ee was determined by chiral HPLC column (Chiralpak AS-H, hexane/i-PrOH = 90:10, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 37.17 min, *t*R (minor) = 45.04 min. The minor diastereomer of compound **ent-4g** 90% ee was determined by chiral HPLC column (Chiralpak AS-H, hexane/i-PrOH = 95:05, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 61.99 min, *t*R (minor) = 76.25 min.

Methyl (S)-2-((S)-1-(furan-2-yl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4h)



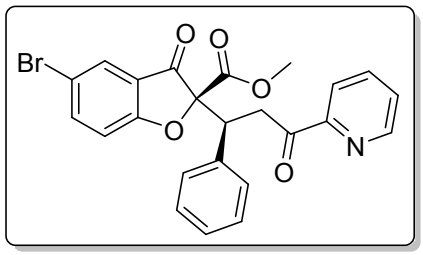
General experimental procedure **II** was followed to prepare the Michael Addition product **4h.** The desired product was obtained as semi solid (92 mg, 91% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.72 - 8.70 (m, 1 H), 8.00 - 7.96 (m, 1 H), 7.81 (dt, *J* = 1.6, 7.7 Hz, 1 H), 7.58 (ddd, *J* = 1.4, 7.1, 8.5 Hz, 1 H), 7.51 - 7.49 (m, 2 H), 7.20 (d, *J* = 8.5 Hz, 1 H), 7.02 - 6.99 (m, 2 H), 6.08 (d, *J* = 3.2 Hz, 1 H), 5.99 (dd, *J* = 1.9, 3.2 Hz, 1 H), 4.81 (dd, *J* = 3.5, 10.7 Hz, 1 H), 3.80 (s, 3 H), 3.55 (dd, *J* = 3.3, 18.1 Hz, 1 H).^{13}C NMR (126 MHz, $CDCl_3$) δ = 198.1, 194.4, 172.5, 165.5, 152.9, 150.2, 149.0, 141.8, 138.3, 136.9, 127.3, 124.7, 122.5, 121.9, 119.4, 113.3, 110.0, 108.7, 92.8, 53.6, 38.9, 37.2. IR (ν, cm^{-1}): 3034, 2958, 2923, 1751, 1725, 1703, 1610, 1500, 1462, 1357, 1325, 1298, 1280, 1196, 1147, 1079, 1019, 993, 913, 878, 756, 618; HRMS (ESI): Exact mass calcd for $C_{22}H_{17}NO_6$ [M+Na]$^{+}$: 414.0948, Found 414.0939. $[\alpha]_D^{27.4}$ = -50.844 (*c* 2.25, $CHCl_3$). The compound **4h** 86% ee was determined by chiral HPLC column (Chiralpak AS-H, hexane/i-PrOH = 95:05, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 46.24 min, *t*R (minor) = 43.48 min.

Methyl (S)-5-chloro-3-oxo-2-((S)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate (4i)



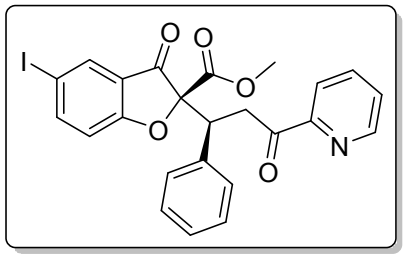
General experimental procedure **II** was followed to prepare the Michael Addition product **4i.** The desired product was obtained as yellow foamy solid (100mg, 88% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.89 (td, *J* = 0.9, 7.9 Hz, 1 H), 7.77 (dt, *J* = 1.9, 7.7 Hz, 1 H), 7.49 - 7.43 (m, 2 H), 7.29 (d, *J* = 1.9 Hz, 1 H), 7.29 - 7.25 (m, 2 H), 7.15 (d, *J* = 8.5 Hz, 1 H), 7.03 - 7.01 (m, 3 H), 4.68 (dd, *J* = 3.8, 10.7 Hz, 1 H), 4.39 (dd, *J* = 10.4, 18.0 Hz, 1 H), 3.81 (s, 3 H), 3.58 - 3.54 (m, 1 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.3, 193.4, 170.7, 165.5, 152.9, 148.9, 138.2, 136.9, 135.9, 129.4, 128.1, 127.9, 127.6, 127.3, 124.0, 121.9, 121.0, 114.4, 94.9, 53.7, 44.8, 38.8. IR (ν, cm^{-1}): 3060, 2954, 1752, 1727, 1701, 1606, 1493, 1462, 1362, 1304, 1268, 1239, 1182, 1145, 1123, 1087, 1060, 994, 876, 824, 771, 735, 673; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}O_5NCl$ [M+Na]$^{+}$: 458.0766, Found 458.0763. $[\alpha]_D^{26}$ = -27.70 (*c* 2.0, $CHCl_3$). The compound **4i** 83% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 51.32 min, *t*R (minor) = 65.11 min. After single recrystallization(3:7 mixture of DCM:Hexane) 99.36% ee was observed.

Methyl (S)-5-bromo-3-oxo-2-((S)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate(4j)



General experimental procedure **II** was followed to prepare the Michael Addition product **4j.** The desired product was obtained as foamy solid (106 mg, 85% yield). ^{1}H NMR (400MHz, $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.89 (td, *J* = 1.0, 7.8 Hz, 1 H), 7.79 - 7.76 (m, 1 H), 7.62 (dd, *J* = 2.2, 8.8 Hz, 1 H), 7.47 - 7.44 (m, 2 H), 7.27 - 7.25 (m, 3 H), 7.12 (d, *J* = 8.8 Hz, 1 H), 7.04 – 7.02 (m, 2 H), 4.68 (dd, *J* = 3.7, 10.5 Hz, 1 H), 4.39 (dd, *J* = 10.6, 17.9 Hz, 1 H), 3.81 (s, 3 H), 3.58 (d, *J* = 3.7 Hz, 1 H).^{13}C NMR (101MHz, $CDCl_3$) δ = 198.2, 193.2, 171.1, 165.4, 152.9, 148.9, 140.9, 136.9, 135.8, 129.4, 128.1, 127.6, 127.3, 127.1, 121.9, 121.5, 114.9, 114.8, 94.7, 53.7, 44.8, 38.8.IR (ν, cm^{-1}): 3034, 2958, 2923, 1744, 1727, 1607, 1549, 1496, 1377, 1324, 1296, 1280, 1191, 1145, 1084, 1052, 982, 866, 818, 782, 701, 674, 562; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}O_5NBr[M+Na]^+$: 502.0261, Found 502.0259. $[\alpha]_D^{28.3}$ = -129.90 (*c* 2.0, $CHCl_3$). The compound **4j** 74% ee was determined by chiral HPLC column (Chiralpak AS-H, hexane/i-PrOH = 90:10, flow rate = 0.50 mL/min, λ= 254 nm), *t*R (major) = 29.59 min, *t*R (minor) = 23.81 min.

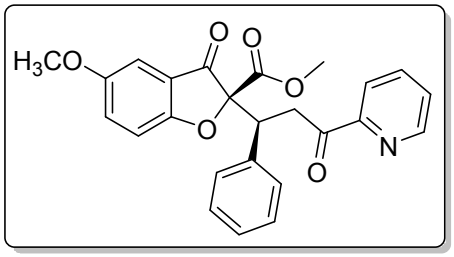
Methyl (S)-5-iodo-3-oxo-2-((S)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate (4k)



General experimental procedure **II** was followed to prepare the Michael Addition product **4k.** The desired product was obtained as foamy solid (114mg, 83% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.72 - 8.70 (m, 1 H), 7.91 - 7.89 (m, 1 H), 7.79 - 7.77 (m, 2 H), 7.65 (d, *J* = 1.9 Hz, 1 H), 7.47 (ddd, *J* = 1.3, 4.7, 7.6 Hz, 2 H), 7.29 - 7.26 (m, 2 H), 7.05 - 7.02 (m, 4 H), 4.69 (dd, *J* = 3.8, 10.4 Hz, 2 H), 4.40 (dd, *J* = 10.6, 17.8 Hz, 1 H), 3.81 (s, 3 H), 3.60 - 3.55 (m, 1 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.2, 192.8, 171.8, 165.4, 152.9, 148.9, 146.9, 136.9, 135.9, 133.3, 129.4, 128.1, 127.6, 127.3, 122.2, 121.9, 115.3, 94.5, 84.6, 53.7, 44.7, 38.8. IR (ν, cm^{-1}): 3060, 2955, 1750, 1725, 1701, 1599, 1494,1435, 1362, 1271, 1239, 1177, 1146, 1087, 1026, 993, 895, 873, 767, 735, 705, 652; HRMS (ESI): Exact mass calcd for $C_{24}H_{18}O_5NI$ $[M+Na]^+$: 550.0122, Found 550.0118. $[\alpha]_D^{26}$ = +147.355 (*c* 1.55, $CHCl_3$). The compound **4k** 70% ee was determined by

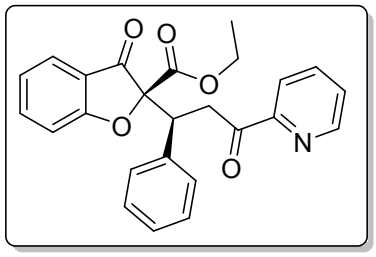
chiral HPLC column (AS-H, hexane/i-PrOH = 90:10, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 21.96 min, *t*R (minor) = 17.50 min.

Methyl (S)-5-methoxy-3-oxo-2-((S)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate(4l)



General experimental procedure **II** was followed to prepare the Michael Addition product **4l.** The desired product was obtained as colourless solid (88 mg, 78% yield). ^{1}H NMR (400MHz, $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.89 (td, *J* = 1.0, 7.9 Hz, 1 H), 7.76 (dt, *J* = 1.7, 7.7 Hz, 1 H), 7.45 (ddd, *J* = 1.3, 4.8, 7.5 Hz, 1 H), 7.30 - 7.27 (m, 2 H), 7.15 - 7.10 (m, 2 H), 7.04 - 6.98 (m, 3 H), 6.72 (d, *J* = 2.4 Hz, 1 H), 4.67 (dd, *J* = 3.7, 10.5 Hz, 1 H), 4.39 (dd, *J* = 10.6, 17.9 Hz, 1 H), 3.83 - 3.77 (m, 3 H), 3.66 (s, 3 H), 3.59 - 3.54 (m, 1 H).^{13}C NMR (101MHz, $CDCl_3$) δ = 198.4, 194.7, 167.9, 166.1, 155.0, 153.0, 148.9, 136.8, 136.2, 129.4, 128.4, 128.0, 127.3, 127.2, 121.8, 119.8, 113.9, 104.2, 94.7, 55.7, 53.6, 44.8, 39.0. IR (ν, cm^{-1}): 3034, 2958, 2923, 1754, 1737, 1610, 1549, 1496, 1377, 1324, 1296, 1280, 1191, 1145, 1084, 1052, 982, 866, 818, 782, 701, 674; HRMS (ESI): Exact mass calcd for $C_{25}H_{21}NO_6$ $[M+Na]^+$: 454.1261, Found 454.1259. $[\alpha]_D^{28.3}$ = -43.866 (*c* 1.75, $CHCl_3$). The compound **4l** 90% ee was determined by chiral HPLC column (Chiralpak IG, hexane/i-PrOH = 90:10, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 92.18 min, *t*R (minor) = 104.52 min.

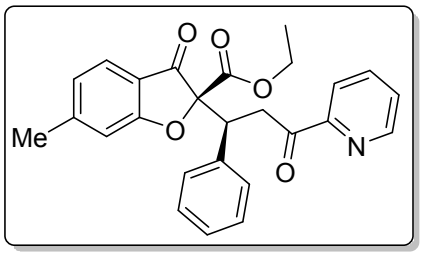
Ethyl (S)-3-oxo-2-((S)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate (4m)



General experimental procedure **II** was followed to prepare the Michael Addition product **4m.** The desired product was obtained as foamy solid (98 mg, 91% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.73 - 8.67 (m, 1 H), 7.88 (td, *J* = 0.9, 7.9 Hz, 1 H), 7.75 (dt, *J* = 1.7, 7.6 Hz, 1 H), 7.53 (ddd, *J* = 1.4, 7.2, 8.4 Hz, 1 H), 7.44 (ddd, *J* = 1.3, 4.8, 7.5 Hz, 1 H), 7.35 - 7.31 (m, 1 H), 7.31 - 7.26 (m, 2 H), 7.20 (d, *J* = 8.5 Hz, 1 H), 7.03 - 6.92 (m, 3 H), 6.92 - 6.88 (m, 1 H), 4.70 (dd, *J* = 3.5, 10.7 Hz, 1 H), 4.42 (dd, *J* = 10.7, 17.7 Hz, 1 H), 4.27 (q, *J* = 7.3 Hz, 2 H), 3.58 (dd, *J* = 3.5, 18.0 Hz, 1 H), 1.27 (t, *J* = 7.1 Hz, 3 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.5, 194.7, 172.5, 165.4, 153.0, 148.9, 138.2, 136.8, 136.2, 129.5, 127.9, 127.3, 127.2, 124.6, 122.3, 121.8, 119.9, 113.1, 94.0,

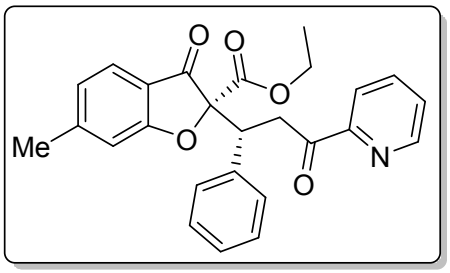
62.9, 44.8, 38.9, 14.0. IR (ν, cm^{-1}): 3059, 2983, 2934, 1746, 1722, 1609, 1465, 1397, 1324, 1296, 1234, 1145, 1088, 1025, 992, 878, 859, 761, 702, 644; HRMS (ESI): Exact mass calcd for $C_{25}H_{21}NO_5$ $[M+Na]^+$: 438.1312, Found 438.1306. $[\alpha]_D^{26}$ = -22.756 (*c* 2.25, $CHCl_3$). The compound **4m** 90% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 27.09 min, *t*R (minor) = 48.89 min.

Ethyl (S)-6-methyl-3-oxo-2-((S)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate(4n)



General experimental procedure **II** was followed to prepare the Michael Addition product **4n.** The desired product was obtained as foamy solid (96 mg, 98% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.87 (td, *J* = 1.1, 7.9 Hz, 1 H), 7.75 (dt, *J* = 1.7, 7.6 Hz, 1 H), 7.44 (ddd, *J* = 1.3, 4.7, 7.6 Hz, 1 H), 7.29 - 7.27 (m, 2 H), 7.22 (d, *J* = 7.9 Hz, 1 H), 7.02 - 6.96 (m, 4 H), 6.72 - 6.70 (m, 1 H), 4.69 (dd, *J* = 3.3, 10.9 Hz, 1 H), 4.40 (dd, *J* = 10.9, 17.8 Hz, 1 H), 4.26 (q, *J* = 7.1 Hz, 2 H), 3.56 (dd, *J* = 3.5, 17.7 Hz, 1 H), 2.38 (s, 3 H), 1.27 (t, *J* = 7.1 Hz, 3 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.5, 193.9, 173.0, 165.6, 153.1, 150.4, 148.9, 136.8, 136.4, 129.5, 127.9, 127.2, 127.2, 124.2, 123.9, 121.8, 117.6, 113.1, 94.3, 62.8, 44.6, 38.9, 22.6, 14.0. IR (ν, cm^{-1}): 3059, 2982, 1745, 1718, 1616, 1495, 1454, 1363, 1328, 1282, 1233, 1140, 1089, 1029, 992, 860, 819, 773, 702, 667, 617; HRMS (ESI): Exact mass calcd for $C_{26}H_{23}NO_5$ $[M+Na]^+$: 452.1468, Found 452.1461. $[\alpha]_D^{26}$ = +120.04 (*c* 2.25, $CHCl_3$). The compound **4n** 98% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 30.94 min, *t*R (minor) = 81.15 min.

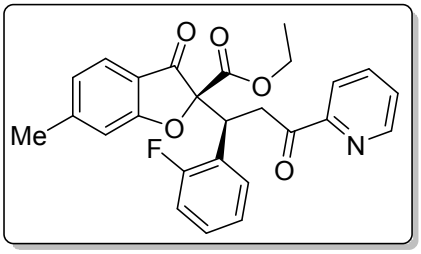
Ethyl (R)-6-methyl-3-oxo-2-((R)-3-oxo-1-phenyl-3-(pyridin-2-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate(ent-4n)



General experimental procedure **II** was followed to prepare the Michael addition product **ent-4n.** The desired product was obtained as semi solid (45 mg, 93% yield, *dr 82:18*). ^{1}H NMR (500MHz, $CDCl_3$) corresponding to **4n.** ^{13}C NMR (126MHz, $CDCl_3$) corresponding to **4n.** $[\alpha]_D^{26}$ = +90.90 (*c* 2.0, $CHCl_3$). The major diastereomer of compound **ent-4n** 95% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow

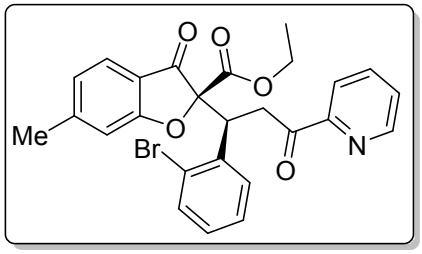
rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 70.80 min, *t*R (minor) = 28.82 min. The minor diastereomer of compound **ent-4n** 98% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 33.14 min, *t*R (minor) = 63.79 min.

Ethyl (S)-2-((S)-1-(2-fluorophenyl)-3-oxo-3-(pyridin-2-yl) propyl)-6-methyl-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4o)



General experimental procedure **II** was followed to prepare the Michael Addition product **4o.** The desired product was obtained as foamy colourless solid (98 mg, 97% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.69 - 8.66 (m, 1 H), 7.89 (td, *J* = 0.9, 7.9 Hz, 1 H), 7.76 (dt, *J* = 1.7, 7.6 Hz, 1 H), 7.45 (ddd, *J* = 1.3, 4.7, 7.6 Hz, 1 H), 7.30 - 7.27 (m, 2 H), 7.25 (s, 1 H), 7.03 (s, 1 H), 7.01 - 6.95 (m, 1 H), 6.86 (ddd, *J* = 1.3, 8.4, 9.9 Hz, 1 H), 6.77 - 6.75 (m, 2 H), 5.06 (dd, *J* = 3.5, 10.7 Hz, 1 H), 4.33 - 4.25 (m, 3 H), 2.40 (s, 3 H), 1.27 (t, *J* = 7.1 Hz, 3 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.3, 193.4, 172.9, 165.4, 161.7 (d, *J* = 249.53 Hz), 152.9, 150.5, 148.9, 136.8, 129.8 (d, *J* = 3.24 Hz), 128.9 (d, *J* = 8.58 Hz), 127.2, 124.3 (d, *J* = 33.89 Hz), 124.0, 123.6 (d, *J* = 3.48 Hz), 121.8, 117.4, 115.6 (d, *J* = 23.51 Hz), 113.1, 93.8, 62.9, 38.8, 36.7, 22.6, 14.0. IR (ν, cm^{-1}): 3058, 2983, 2926, 1744, 1718, 1614, 1590, 1491, 1362, 1328, 1282, 1231, 1140, 1100, 1072, 1027, 991, 941, 898, 860, 757, 703, 665, 620; HRMS (ESI): Exact mass calcd for $C_{26}H_{22}O_5NF$ $[M+Na]^+$: 470.1374, Found 470.1368. $[\alpha]_D^{26}$ = -18.267 (*c* 2.25, $CHCl_3$). The compound **4o** 98% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 57.67 min, *t*R (minor) = 88.64 min.

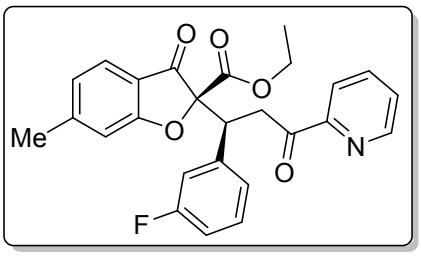
Ethyl (S)-2-((S)-1-(2-bromophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-6-methyl-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4p)



General experimental procedure **II** was followed to prepare the Michael Addition product **4p.** The desired product was obtained as foamy solid (106 mg, 92% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.68 (qd, *J* = 0.8, 4.7 Hz, 1 H), 7.90 (d, *J* = 7.9 Hz, 1 H), 7.76 (dt, *J* = 1.7, 7.6 Hz, 1 H), 7.46 - 7.42 (m, 2 H), 7.36 (dd, *J* = 1.7, 7.7 Hz, 1 H), 7.27 (d, *J* = 1.6 Hz, 1 H), 7.05 (s, 1 H), 6.91 - 6.85 (m, 2 H), 6.78 (d, *J* = 7.9 Hz, 1 H), 5.34 - 5.28 (m, 1 H), 4.30 - 4.27 (m, 3 H), 3.81 (dd, *J* = 3.6, 17.8 Hz, 1 H), 2.45 (s, 1 H), 2.42 (s, 3 H), 1.31 - 1.26 (m, 3 H).^{13}C NMR (126MHz, $CDCl_3$) δ

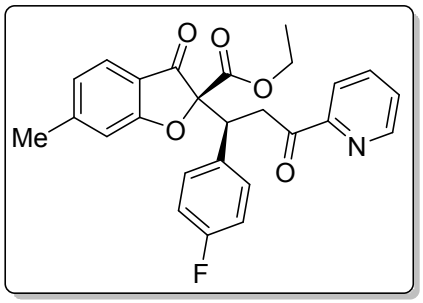
= 193.4, 192.7, 172.9, 165.4, 153.0, 150.5, 148.9, 136.8, 136.8, 133.3, 129.1, 128.6, 127.2, 127.0, 126.8, 124.5, 124.1, 121.9, 117.7, 117.5, 113.1, 93.7, 62.9, 42.0, 40.0, 22.6, 14.0. IR (ν, cm^{-1}): 3057, 2981, 2926, 1744, 1720, 1615, 1466, 1361, 1328, 1280, 1232, 1140, 1076, 1024, 992, 860, 820, 758, 736, 672, 653; HRMS (ESI): Exact mass calcd for $C_{26}H_{22}O_5NBr$ $[M+Na]^+$: 530.0574, Found 530.0571. $[\alpha]_D^{28.3}$ = +39.891 (*c* 2.75, $CHCl_3$). The compound **4p** 92% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 24.85 min, *t*R (minor) = 29.39 min.

Ethyl (S)-2-((S)-1-(3-fluorophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-6-methyl-3-oxo-2,3-dihydrobenzofuran-2-carboxylate(4q)



General experimental procedure **II** was followed to prepare the Michael Addition product **4q.** The desired product was obtained as foamy solid (93 mg, 92% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.89 (td, *J* = 1.2, 7.7 Hz, 1 H), 7.77 (dt, *J* = 1.9, 7.7 Hz, 1 H), 7.46 (ddd, *J* = 1.3, 4.7, 7.6 Hz, 1 H), 7.26 - 7.24 (m, 1 H), 7.09 (d, *J* = 7.6 Hz, 1 H), 7.02 - 6.99 (m, 3 H), 6.75 (d, *J* = 7.9 Hz, 1 H), 6.69 - 6.63 (m, 1 H), 4.68 (dd, *J* = 3.3, 10.9 Hz, 1 H), 4.37 (dd, *J* = 10.9, 17.8 Hz, 1 H), 4.26 (q, *J* = 6.9 Hz, 2 H), 3.55 (dd, *J* = 3.3, 17.8 Hz, 1 H), 2.39 (s, 3 H), 1.26 (t, *J* = 7.1 Hz, 3 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.3, 193.7, 173.0, 165.4, 163.2 (d, *J* = 245.74 Hz), 152.9, 150.8, 149.0, 139.0 (d, *J* = 7.27 Hz), 136.9, 129.4 (d, *J* = 8.23 Hz), 127.3, 125.6 (d, *J* = 3.28 Hz), 124.6, 124.3, 124.1, 121.8, 117.5, 116.3 (d, *J* = 21.97 Hz), 114.3 (d, *J* = 21.03 Hz),, 113.1, 94.0, 62.9, 44.2, 38.9, 22.6, 14.0. IR (ν, cm^{-1}): 3059, 2983, 1744, 1715, 1614, 1487, 1445, 1360, 1328, 1279, 1229, 1142, 1115, 1088, 1027, 992, 892, 862, 775, 736, 693, 637; HRMS (ESI): Exact mass calcd for $C_{26}H_{22}O_5NF$ $[M+Na]^+$: 470.1374, Found 470.1369. $[\alpha]_D^{26}$ = +12.667 (*c* 2.25, $CHCl_3$). The compound **4q** 80% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 22.86 min, *t*R (minor) = 30.11 min.

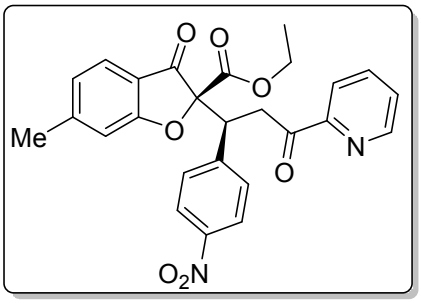
Ethyl (S)-2-((S)-1-(4-fluorophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-6-methyl-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4r)



General experimental procedure **II** was followed to prepare the Michael Addition product **4r.** The desired product was

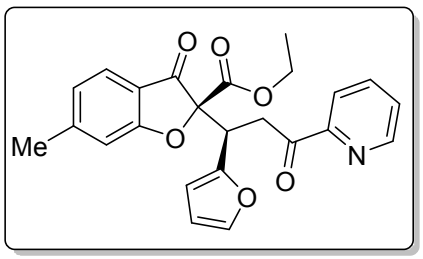
obtained as yellow foamy solid (97 mg, 96% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.70 - 8.69 (m, 1 H), 7.88 (td, *J* = 0.9, 7.9 Hz, 1 H), 7.76 (dt, *J* = 1.6, 7.7 Hz, 1 H), 7.45 (ddd, *J* = 1.3, 4.7, 7.6 Hz, 1 H), 7.27 - 7.23 (m, 3 H), 7.00 (s, 1 H), 6.76 - 6.67 (m, 3 H), 4.69 (dd, *J* = 3.2, 11.0 Hz, 1 H), 4.37 (dd, *J* = 11.0, 17.7 Hz, 1 H), 4.27 (q, *J* = 7.3 Hz, 2 H), 3.53 (dd, *J* = 3.5, 17.7 Hz, 1 H), 2.39 (s, 3 H), 1.27 (t, *J* = 7.1 Hz, 3 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.5, 193.8, 173.0, 165.5, 162.8 (d, *J* = 246.96 Hz), 153.0, 150.7, 148.9, 136.9, 136.8, 132.1 (d, *J* = 4.15 Hz), 131.1 (d, *J* = 10.08 Hz), 127.3, 124.5, 124.2 (d, *J* = 13.37 Hz), 121.8, 117.5, 114.9, 114.7, 113.0, 94.2, 62.8, 43.9, 38.9, 22.6, 14.0. IR (ν, cm^{-1}): 3056, 2982, 2927, 1744, 1716, 1614, 1509, 1435, 1360, 1328, 1281, 1224, 1160, 1140, 1097, 1027, 993, 856, 825, 774, 750, 656, 619; HRMS (ESI): $C_{26}H_{22}O_5NF$ $[M+Na]^+$: 470.1374, Found 470.1370. $[\alpha]_D^{29.3}$ = -6.20 (*c* 2.5, $CHCl_3$). The compound **4r** 88% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 51.91 min, *t*R (minor) = 93.77 min.

Ethyl (S)-6-methyl-2-((S)-1-(4-nitrophenyl)-3-oxo-3-(pyridin-2-yl)propyl)-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4s)



General experimental procedure **II** was followed to prepare the Michael Addition product **4s.** The desired product was obtained as yellow foamy solid (102 mg, 95% yield). ^{1}H NMR (500MHz, $CDCl_3$) δ = 8.71 - 8.70 (m, 1 H), 7.91 - 7.87 (m, 3 H), 7.79 - 7.75 (m, 1 H), 7.50 - 7.45 (m, 3 H), 7.24 (d, *J* = 7.9 Hz, 1 H), 7.02 (s, 1 H), 6.78 (d, *J* = 7.9 Hz, 1 H), 4.79 (dd, *J* = 3.2, 11.0 Hz, 1 H), 4.45 (dd, *J* = 11.2, 18.1 Hz, 1 H), 4.28 (q, *J* = 7.1 Hz, 2 H), 3.61 (dd, *J* = 3.2, 18.3 Hz, 1 H), 2.41 (s, 3 H), 1.27 (t, *J* = 7.1 Hz, 3 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.1, 193.4, 172.9, 165.1, 152.7, 151.2, 149.0, 147.0, 144.4, 137.0, 130.5, 127.5, 124.5, 124.4, 123.1, 121.8, 117.3, 113.1, 93.6, 63.1, 44.1, 38.8, 22.7, 14.0. IR (ν, cm^{-1}): 3058, 2983, 2927, 2858, 1745, 1715, 1615, 1520, 1437, 1347, 1282, 1233, 1140, 1114, 1074, 1028, 993, 942, 858, 821, 775, 755, 736, 696, 617; HRMS (ESI): Exact mass calcd for $C_{26}H_{22}O_7N_2$ $[M+Na]^+$: 497.1319, Found 497.1316. $[\alpha]_D^{29.3}$ = -0.160 (*c* 2.5, $CHCl_3$). The compound **4s** 94% ee was determined by chiral HPLC column (Chiralpak AD-H, hexane/i-PrOH = 90:10, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 66.83 min, *t*R (minor) = 87.59 min.

Ethyl (S)-2-((S)-1-(furan-2-yl)-3-oxo-3-(pyridin-2-yl)propyl)-6-methyl-3-oxo-2,3-dihydrobenzofuran-2-carboxylate (4t)

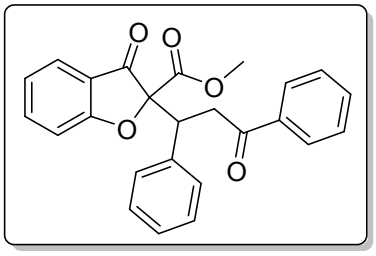


General experimental procedure **II** was followed to prepare the Michael Addition product **4t.** The desired product was obtained as foamy solid (72 mg, 76% yield).

^{1}H NMR (500MHz, $CDCl_3$) δ = 8.71 - 8.70 (m, 1 H), 7.96 (td, *J* = 1.1, 7.9 Hz, 1 H), 7.80 (dt, *J* = 1.6, 7.7 Hz, 1 H), 7.47 (ddd, *J* = 1.1, 5.0, 7.5 Hz, 1 H), 7.37 (d, *J* = 7.9 Hz, 1 H), 7.02 – 6.70 (m, 2 H), 6.82 (d, *J* = 7.9 Hz, 1 H), 6.08 (d, *J* = 3.2 Hz, 1 H), 6.00 (dd, *J* = 1.9, 3.2 Hz, 1 H), 4.81 (dd, *J* = 3.3, 10.9 Hz, 1 H), 4.33 (dd, *J* = 10.7, 18.0 Hz, 1 H), 4.26 (q, *J* = 7.3 Hz, 2 H), 3.53 (dd, *J* = 3.2, 18.0 Hz, 1 H), 2.41 (s, 3 H), 1.26 (t, *J* = 7.1 Hz, 4 H).^{13}C NMR (126MHz, $CDCl_3$) δ = 198.2, 193.8, 173.1, 165.2, 152.9, 150.5, 149.0, 141.7, 136.8, 127.3, 124.3, 124.0, 121.9, 117.1, 113.3, 110.0, 108.6, 93.1, 62.8, 38.8, 37.3, 22.6, 14.0. IR (ν, cm^{-1}): 3056, 2982, 2926, 1745, 1718, 1615, 1595, 1501, 1437, 1357, 1281, 1236, 1170, 1139, 1079, 1028, 994, 941, 912, 884, 860, 816, 774, 753, 698, 650; HRMS (ESI): Exact mass calcd for $C_{24}H_{21}NO_6$ $[M+Na]^+$: 442.1261, Found 442.1256. $[\alpha]_D^{29.3}$ = -21.086 (*c* 1.75, $CHCl_3$). The compound **3t** 88% ee was determined by chiral HPLC column (Chiralpak IG, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 30.72 min, *t*R (minor) = 39.96 min.

Methyl (S)-3-oxo-2-((S)-3-oxo-1,3-diphenylpropyl)-2,3-dihydrobenzofuran-2-carboxylate (6)

General experimental procedure **II** was followed to prepare the Michael Addition product **6.**



^{1}H NMR (400MHz, $CDCl_3$) δ = 7.92 (d, *J* = 7.2 Hz, 2 H), 7.69 (d, *J* = 7.8 Hz, 1 H), 7.73 (d, *J* = 7.1 Hz, 1 H), 7.59 - 7.50 (m, 2 H), 7.50 - 7.39 (m, 4 H), 7.39 - 7.29 (m, 2 H), 7.29 - 7.12 (m, 5 H), 7.07 - 6.96 (m, 3 H), 6.92 (t, *J* = 7.5 Hz, 1 H), 4.70 - 4.56 (m, 1 H), 3.94 (dd, *J* = 10.3, 16.9 Hz, 1 H), 3.81 (s, 3 H), 3.54 (s, 2 H), 3.49 (d, *J* = 13.8 Hz, 1 H), 3.06 - 2.96 (m, 1 H). ^{13}C NMR (100MHz, $CDCl_3$) δ = 196.6, 196.4, 194.7, 194.2, 172.5, 172.2, 166.0, 165.0, 139.0, 138.4, 137.7, 136.6, 136.6, 135.8, 133.2, 133.1, 129.3, 129.2, 128.6, 128.5, 128.3, 128.1, 128.1, 128.0, 127.6, 127.5, 125.0, 124.7, 123.0, 122.5, 120.1, 119.8, 113.7, 113.0, 94.4, 93.7, 53.7, 53.2, 45.9, 45.0, 39.7, 38.6. HRMS (ESI): Exact mass calcd for $C_{25}H_{20}NO_5$ $[M+Na]^+$: 423.1203, Found 423.1195.

(Catalyst-**3k**)

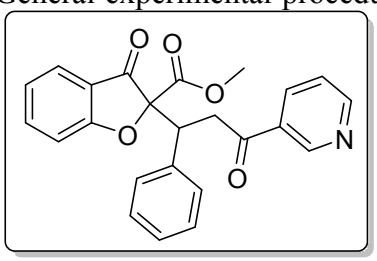
The desired product **6** was obtained as liquid (21mg, 20% yield). *(dr 20:80)* Major diastereomer 12% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 28.44 min, *t*R (minor) = 44.47 min. Minor diastereomer 30% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 35.22 min, *t*R (minor) = 66.65 min.

(Catalyst-**3l**)

The desired product **ent-6** was obtained as liquid (37mg, 36% yield). *(dr 43:57)* Major diastereomer 20% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 43.25 min, *t*R (minor) = 27.88 min. Minor diastereomer 20% ee was determined by chiral HPLC column (Phenomenex Amylose-2, hexane/i-PrOH = 80:20, flow rate = 1.0 mL/min, λ= 254 nm), *t*R (major) = 62.40 min, *t*R (minor) = 34.30 min.

Methyl (S)-3-oxo-2-((S)-3-oxo-1-phenyl-3-(pyridin-3-yl)propyl)-2,3-dihydrobenzofuran-2-carboxylate (8)

General experimental procedure **II** was followed to prepare the Michael Addition product **8.**



^{1}H NMR (400MHz, $CDCl_3$) δ = 9.18 (br. s., 1 H), 8.95 (br. s., 1 H), 8.79 (br. s., 1 H), 8.72 (br. s., 1 H), 8.24 (d, *J* = 7.7 Hz, 1 H), 8.08 (d, *J* = 7.8 Hz, 1 H), 7.93 (d, *J* = 7.6 Hz, 1 H), 7.79 - 7.63 (m, 2 H), 7.57 (t, *J* = 7.6 Hz, 1 H), 7.52 - 7.42 (m, 3 H), 7.37 (d, *J* = 7.6 Hz, 3 H), 7.32 - 7.09 (m, 6 H), 7.09 - 6.80 (m, 4 H), 4.62 (d, *J* = 10.0 Hz, 1 H), 3.94 (dd, *J* = 10.3, 17.0 Hz, 1 H), 3.82 (s, 2 H), 3.58 (d, *J* = 3.5 Hz, 1 H), 3.55 (s, 3 H), 3.02 (d, *J* = 16.6 Hz, 1 H). ^{13}C NMR (100MHz, $CDCl_3$) δ = 195.4, 195.1, 194.7, 194.1, 172.5, 172.3, 166.0, 164.9, 162.1, 152.7, 152.6, 148.8, 148.7, 139.2, 138.5, 137.4, 136.3, 136.1, 135.5, 135.5, 132.2, 130.6, 129.3, 129.2, 129.1, 128.5, 128.2, 127.9, 127.7, 125.0, 124.8, 124.1, 124.0, 123.2, 122.7, 120.0, 119.8, 119.0, 117.4, 113.7, 113.0, 94.1, 93.4, 53.7, 53.3, 45.6, 44.7, 40.1, 38.9. HRMS (ESI): Exact mass calcd for $C_{24}H_{19}NO_5$ $[M+Na]^+$: 424.1155, Found 424.1150

(Catalyst **3k**)

The desired product **8** was obtained as liquid (55 mg, 53% yield) *(dr 27:73)* Major diastereomer 36% ee was determined by chiral HPLC column (AS-H, hexane/i-PrOH = 80:20, flow rate =

0.5 mL/min, λ= 254 nm), *t*R (major) = 34.62 min, *t*R (minor) = 32.62 min. Minor diastereomer 26% ee was determined by chiral HPLC column (AS-H, hexane/i-PrOH = 80:20, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 27.22 min, *t*R (minor) = 42.20 min.

(Catalyst **3l**)

The desired product **ent-8** was obtained as liquid (75mg, 72% yield) *(dr 64:36)* Major diastereomer 17% ee was determined by chiral HPLC column (AS-H, hexane/i-PrOH = 80:20, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 31.64 min, *t*R (minor) = 33.64 min. Minor diastereomer 26% ee was determined by chiral HPLC column (AS-H, hexane/i-PrOH = 80:20, flow rate = 0.5 mL/min, λ= 254 nm), *t*R (major) = 40.76 min, *t*R (minor) = 26.55 min.

4. Reference

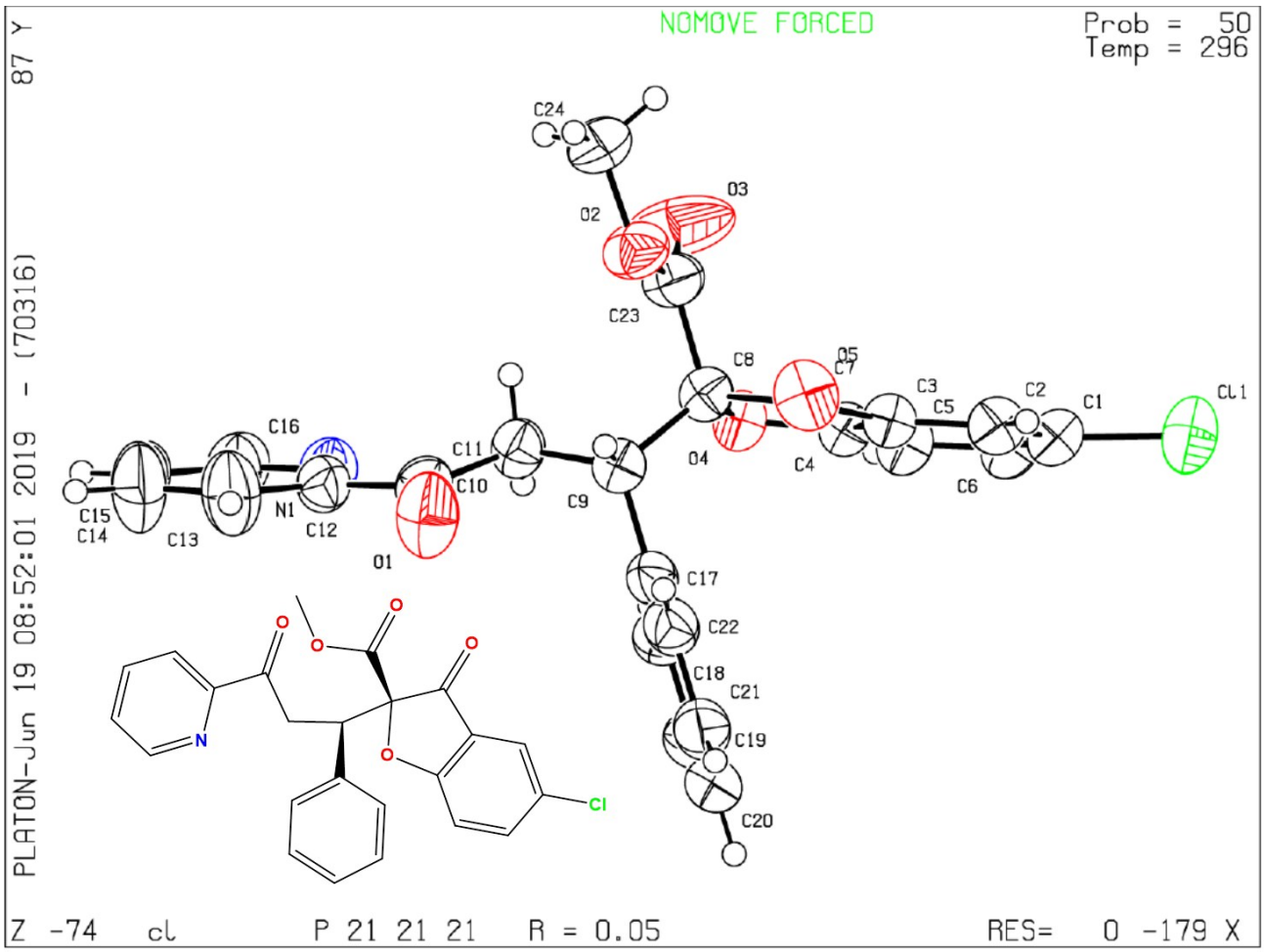
1. L. Zhao, G. Huang, B. Guo, L. Xu, J. Chen, W. Cao, G. Zhao, X. Wu, *Org. Lett.* **2014**, *16*, 5584-5587.
2. a) Vakulya, B.; Varga, S.; Csampai, A.; Soos, T., *Org. Lett.* **2005,** *7*, 1967-1969; b) Malerich, J. P.; Hagihara, K.; Rawal, V. H., *J. Am. Chem. Soc.* **2008,** *130*, 14416-14417; c) Konishi, H.; Lam, T. Y.; Malerich, J. P.; Rawal, V. H., *Org. Lett.* **2010,** *12*, 2028-203; d) Lee, J. W.; Ryu, T. H.; Oh, J. S.; Bae, H. Y.; Jang, H. B.; Song, C. E. *Chem. Commun.* **2009**, 7224.
3. a) Singh, P. K.; Singh, V. K. *Org. Lett.* **2008**, *10*, 4121; b) Molleti, N.; Rana, N. K.; Singh, V. K. *Org. Lett.* **2012**, *14*, 4322.

5. Crystal data and structure refinement for **4i(Major)** and **CCDC:1935062**

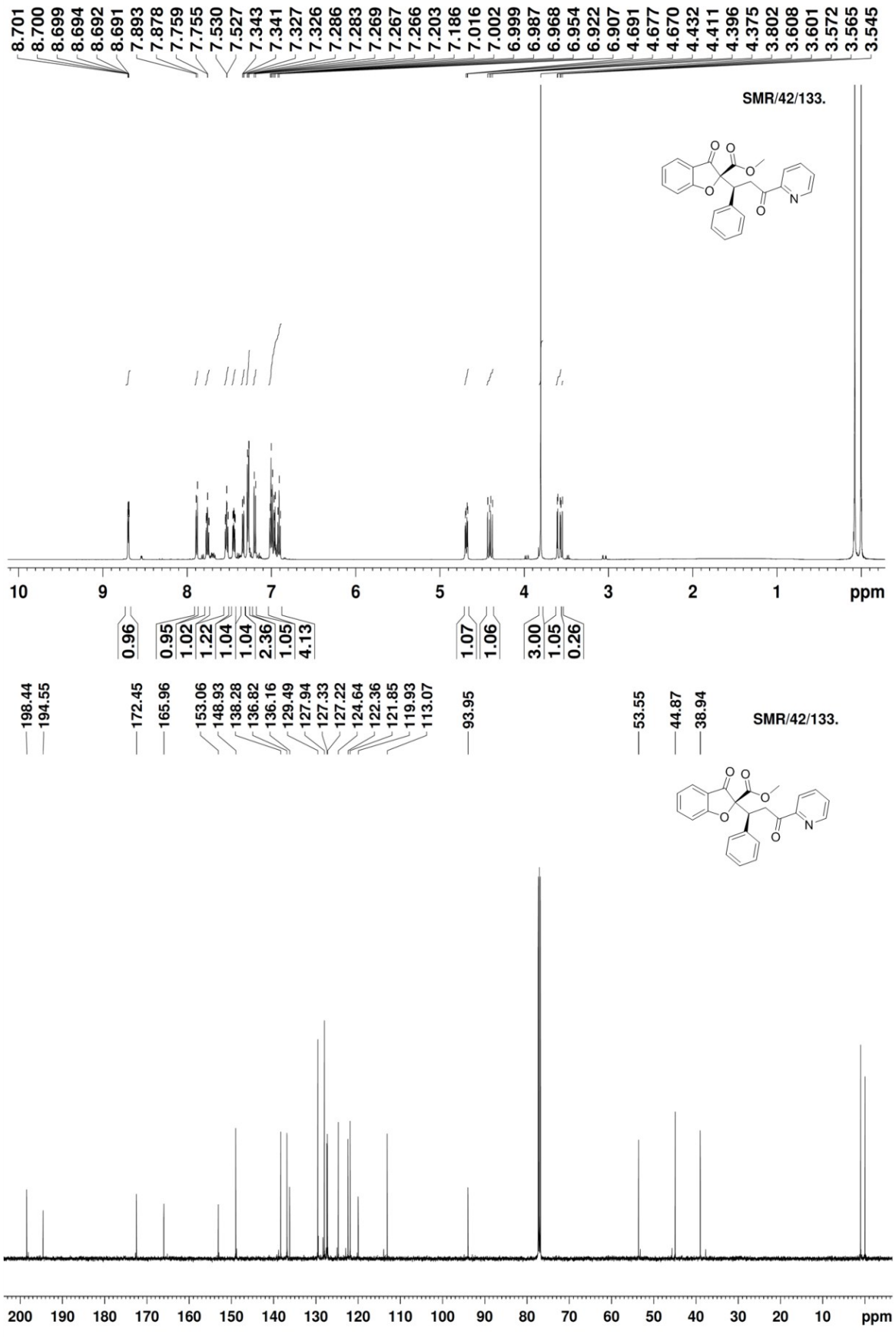
Identification code	N-5-Cl	
Empirical formula	C24 H18 Cl N O5	
Formula weight	435.84	
Temperature	296(2) K	
Wavelength	1.54184 Å	
Crystal system	Orthorhombic	
Space group	$P2_12_12_1$	
Unit cell dimensions	a = 10.857(3) Å	α= 90°.
	b = 11.281(4) Å	β= 90°.
	c = 17.580(4) Å	γ = 90°.

Volume	2153.1(10) $Å^3$
Z	4
Density (calculated)	1.345 Mg/m^3
Absorption coefficient	1.877 mm^{-1}
F(000)	904
Crystal size	0.150 x 0.150 x 0.100 mm^3
Theta range for data collection	4.657 to 72.161°.
Index ranges	-13<=h<=13, -12<=k<=13, -21<=l<=21
Reflections collected	28698
Independent reflections	4232 [R(int) = 0.0704]
Completeness to theta = 67.684°	99.7 %
Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	0.7436 and 0.5452
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	4232 / 0 / 281
Goodness-of-fit on F^2	1.082
Final R indices [I>2sigma(I)]	R1 = 0.0477, wR2 = 0.1149
R indices (all data)	R1 = 0.0563, wR2 = 0.1218
Absolute structure parameter	0.084(10)
Extinction coefficient	0.0162(14)
Largest diff. peak and hole	0.176 and -0.194 $e.Å^{-3}$

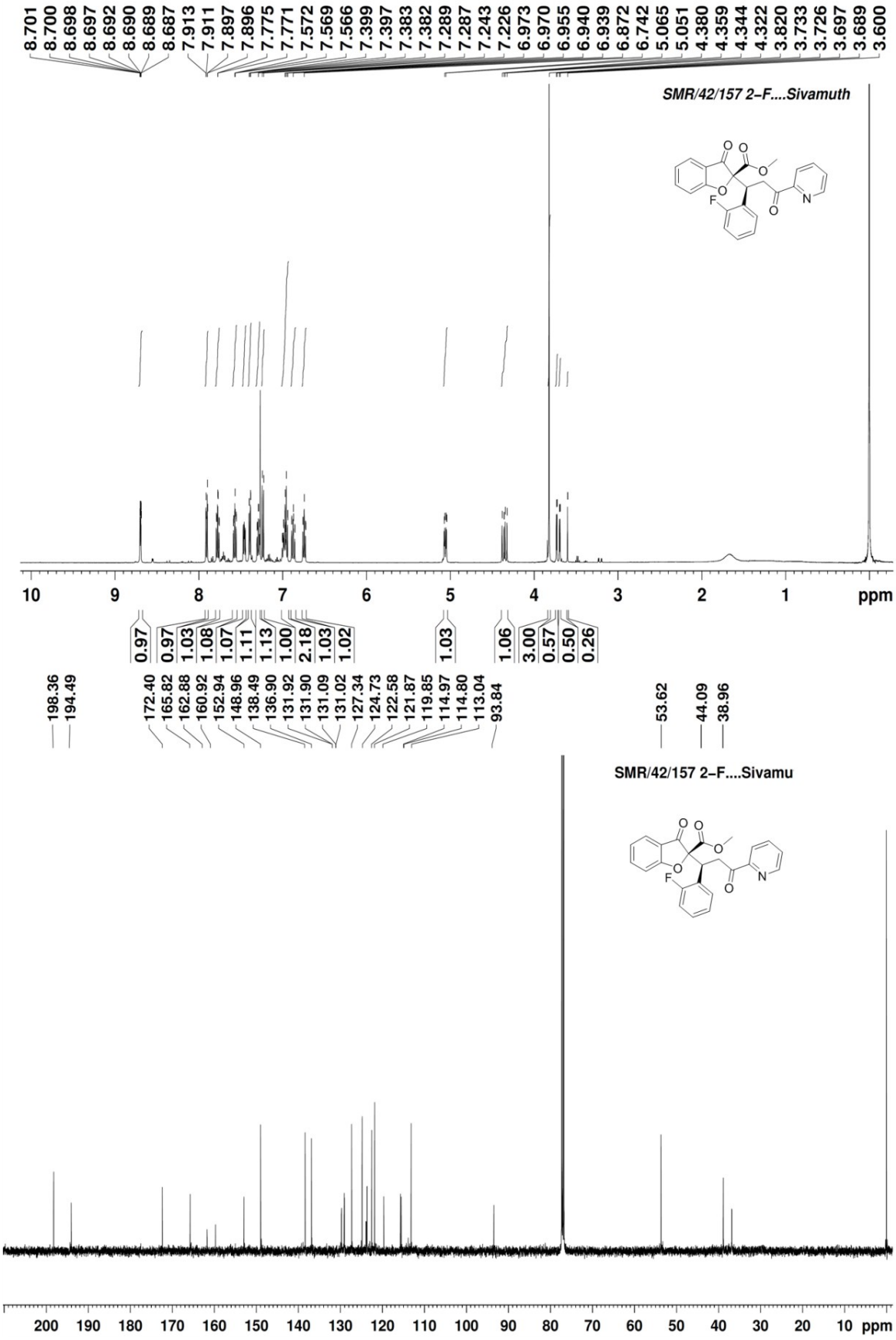
6. ORTEP Diagram for compound **4i (Major)** and **CCDC:1935062**



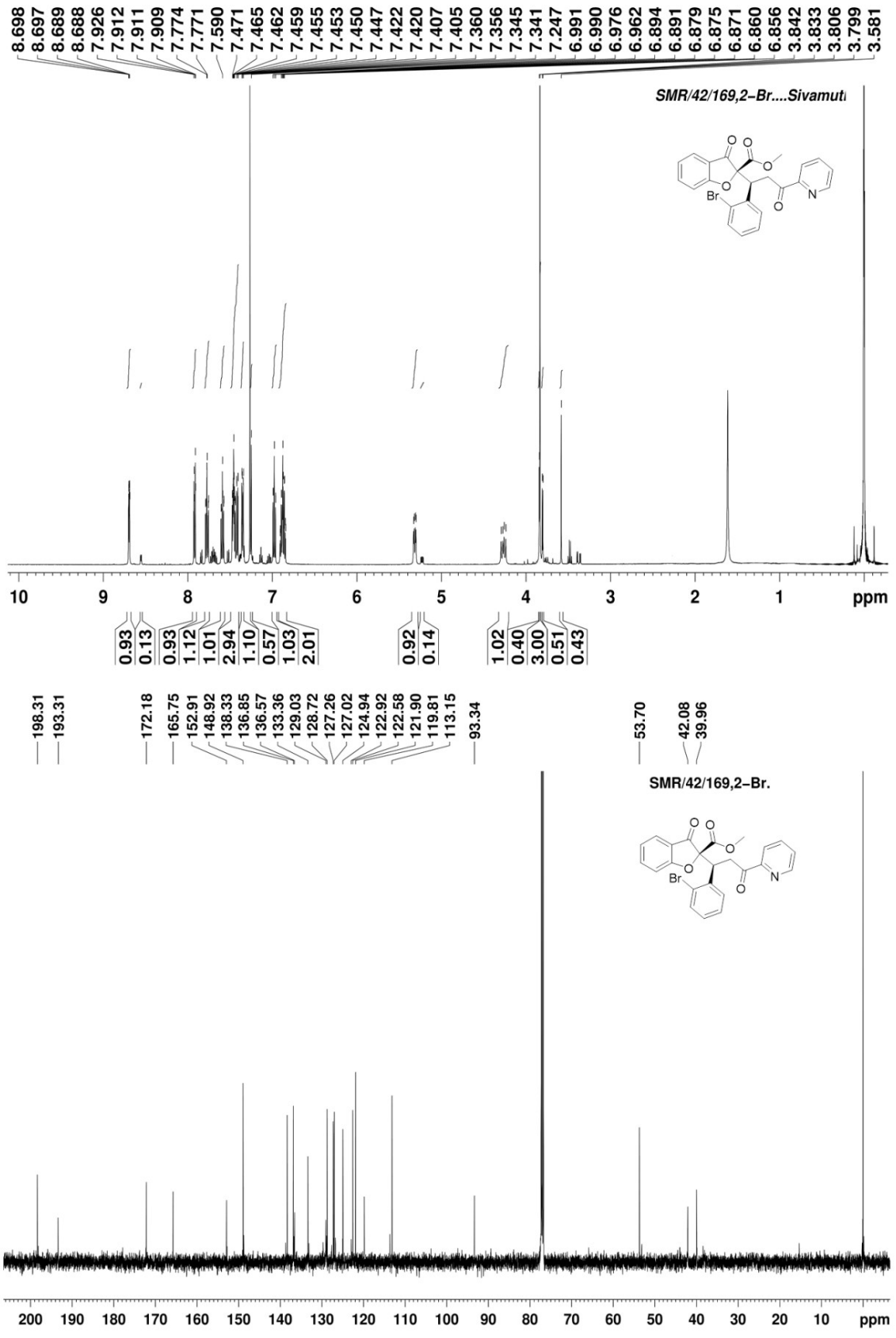
7. ^{1}H and ^{13}C NMR spectrum of compound 4a



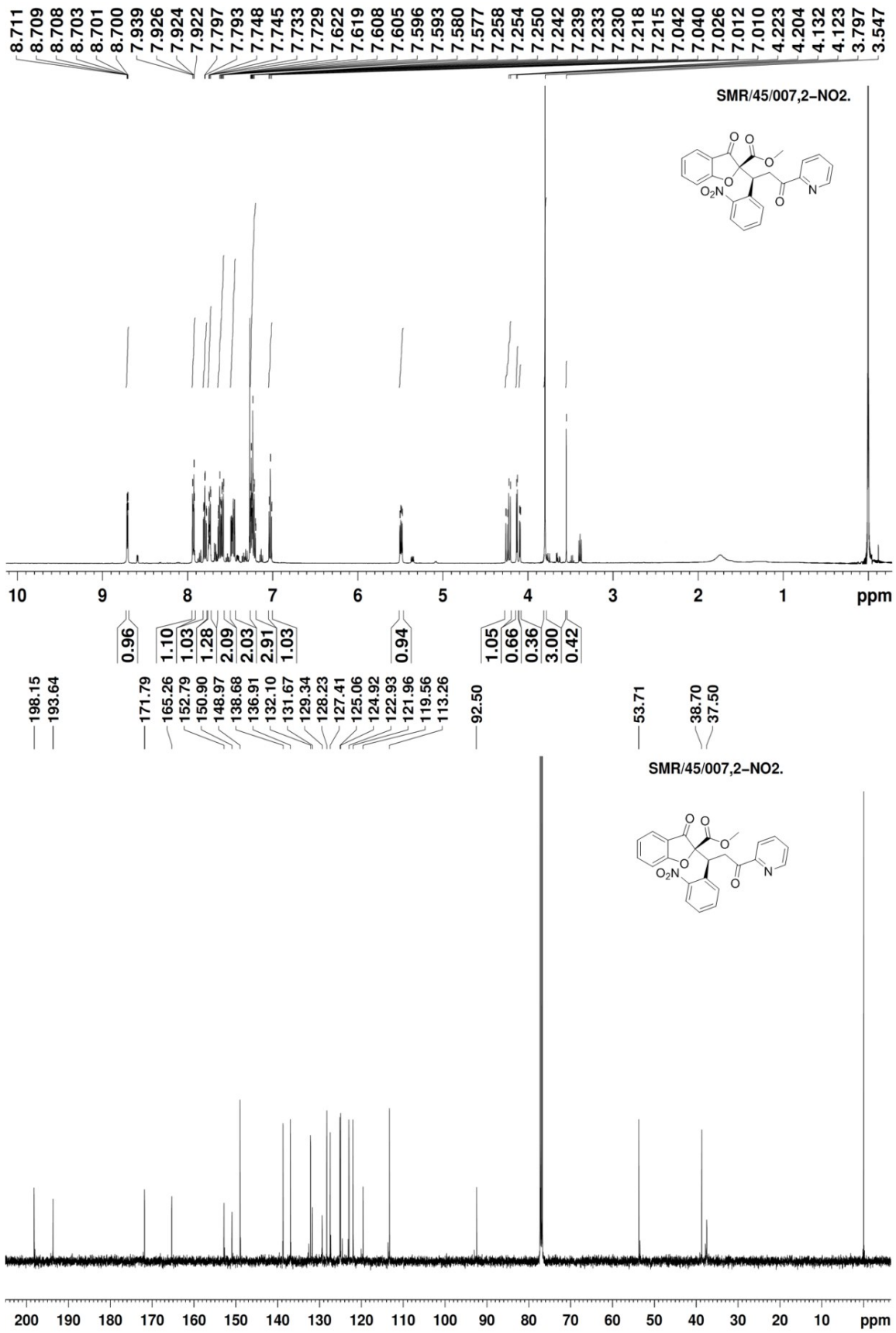
^{1}H and ^{13}C NMR spectrum of compound 4b



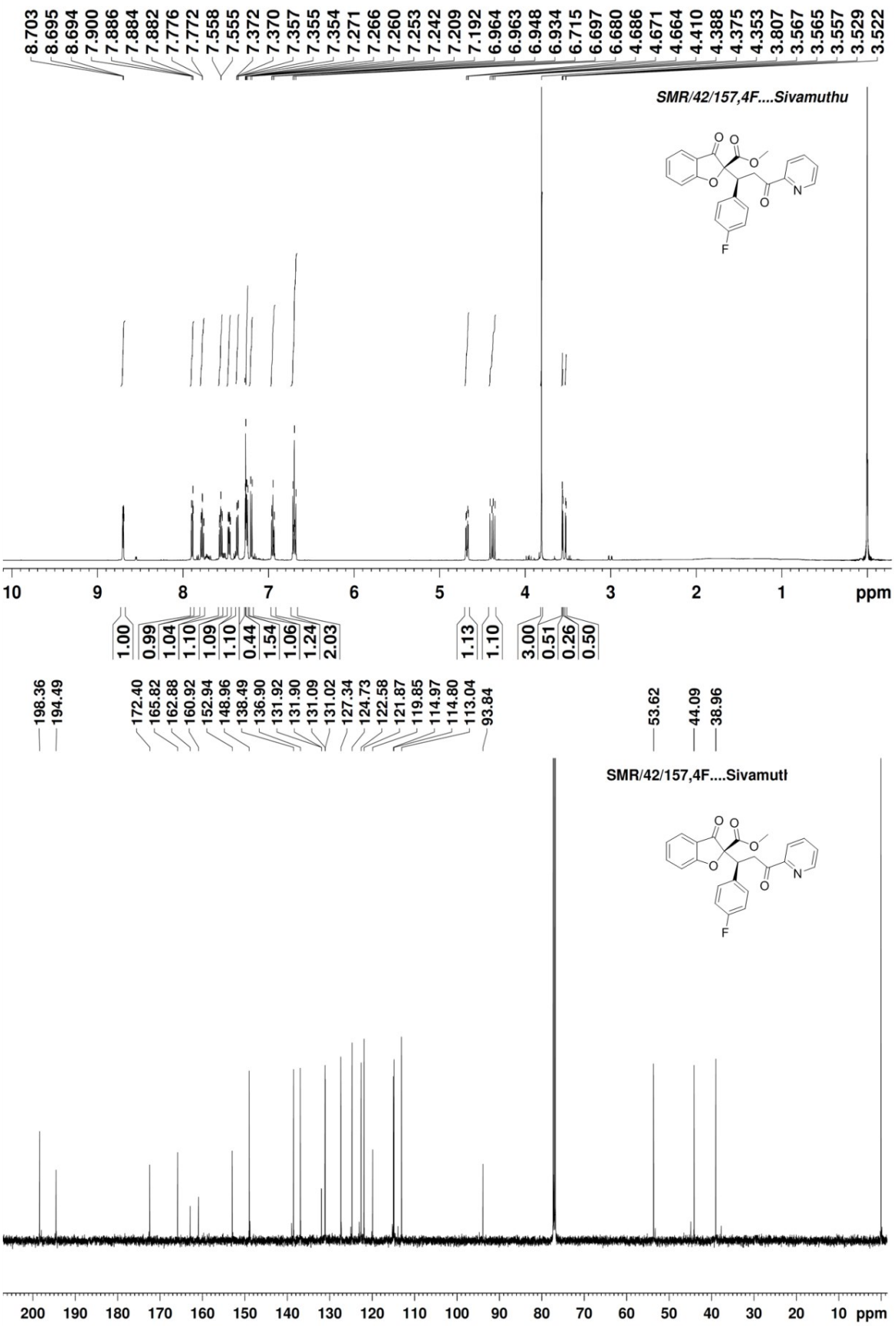
^{1}H and ^{13}C NMR spectrum of compound 4c



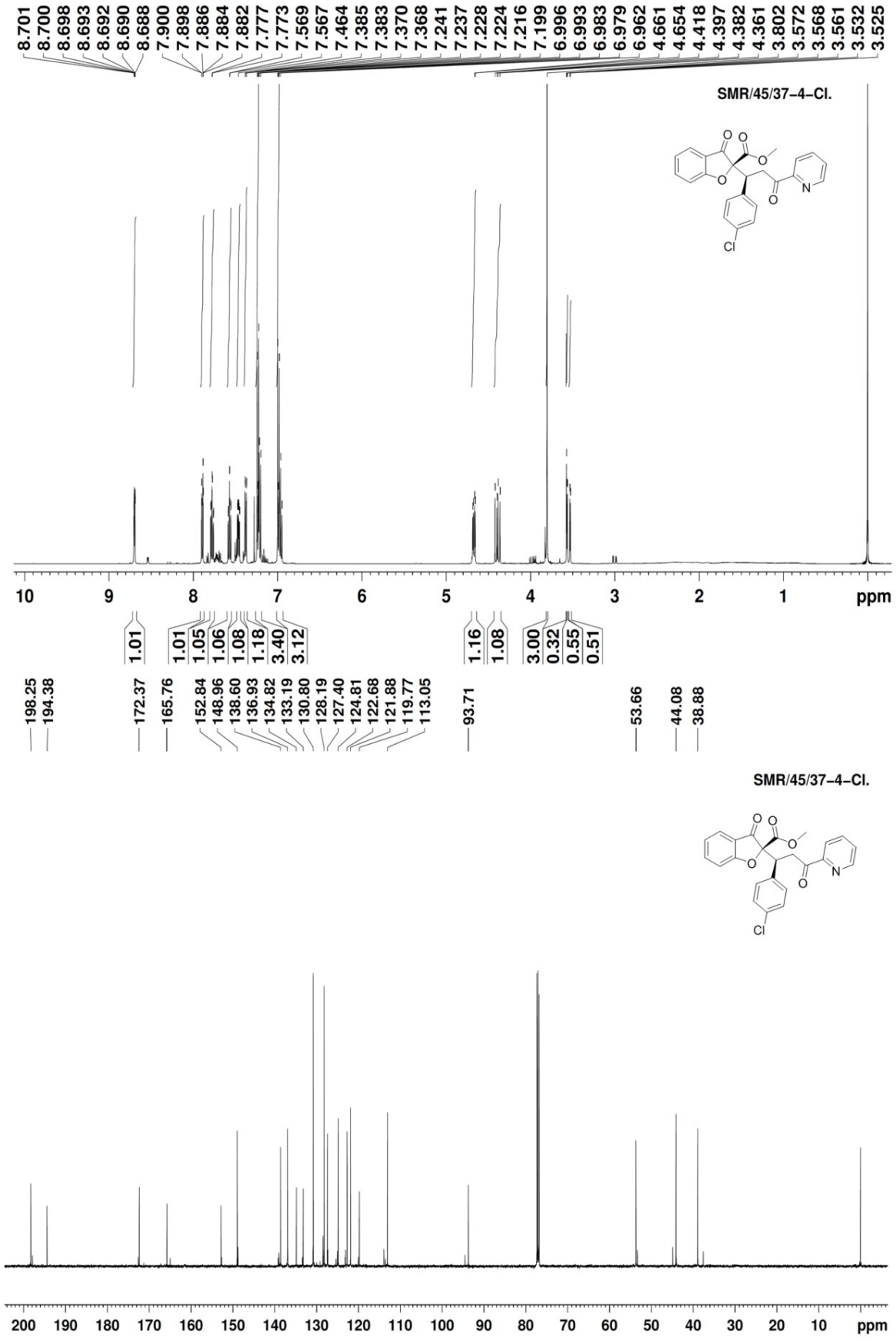
^{1}H and ^{13}C NMR spectrum of compound 4d



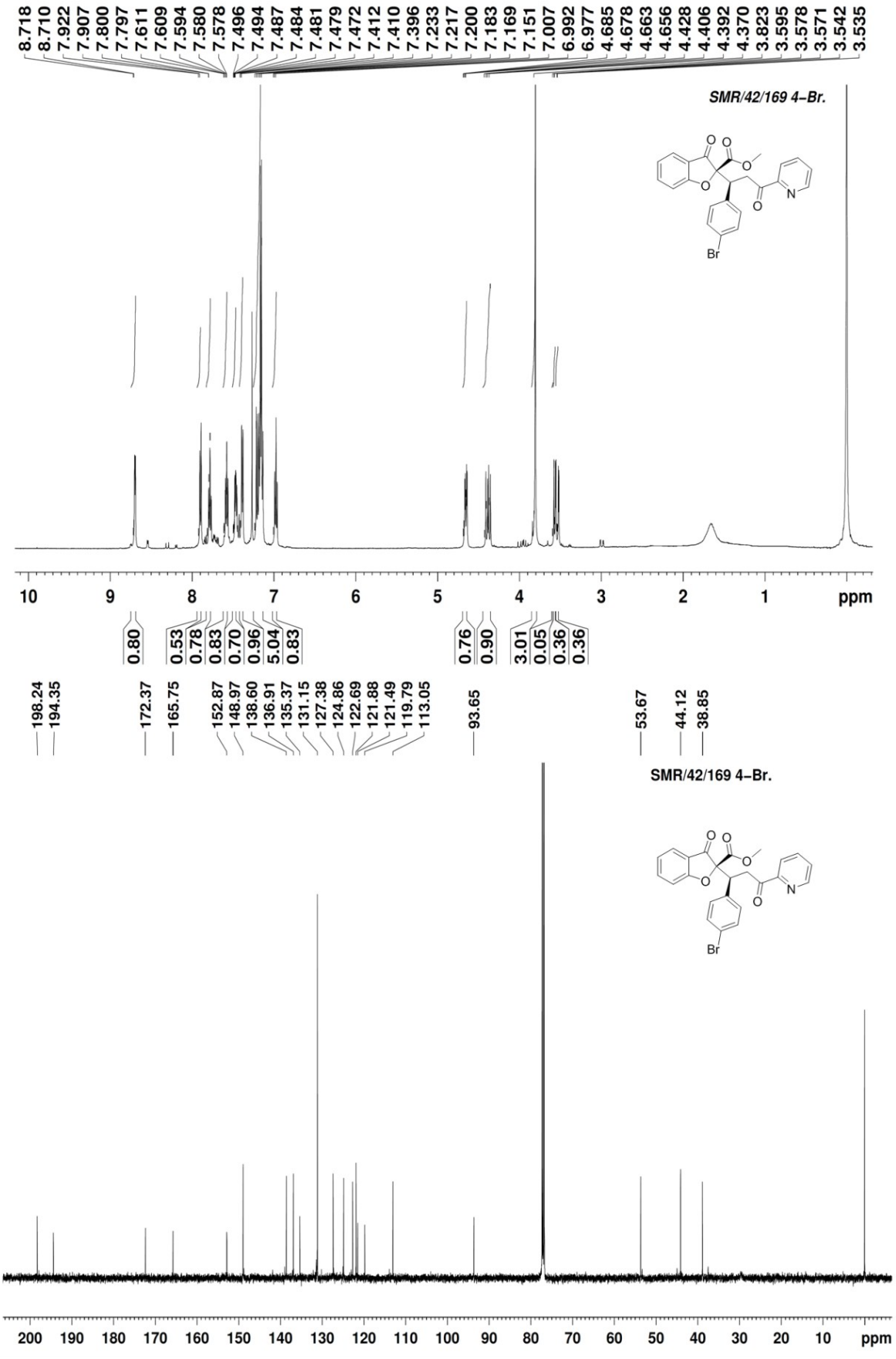
^{1}H and ^{13}C NMR spectrum of compound 4e



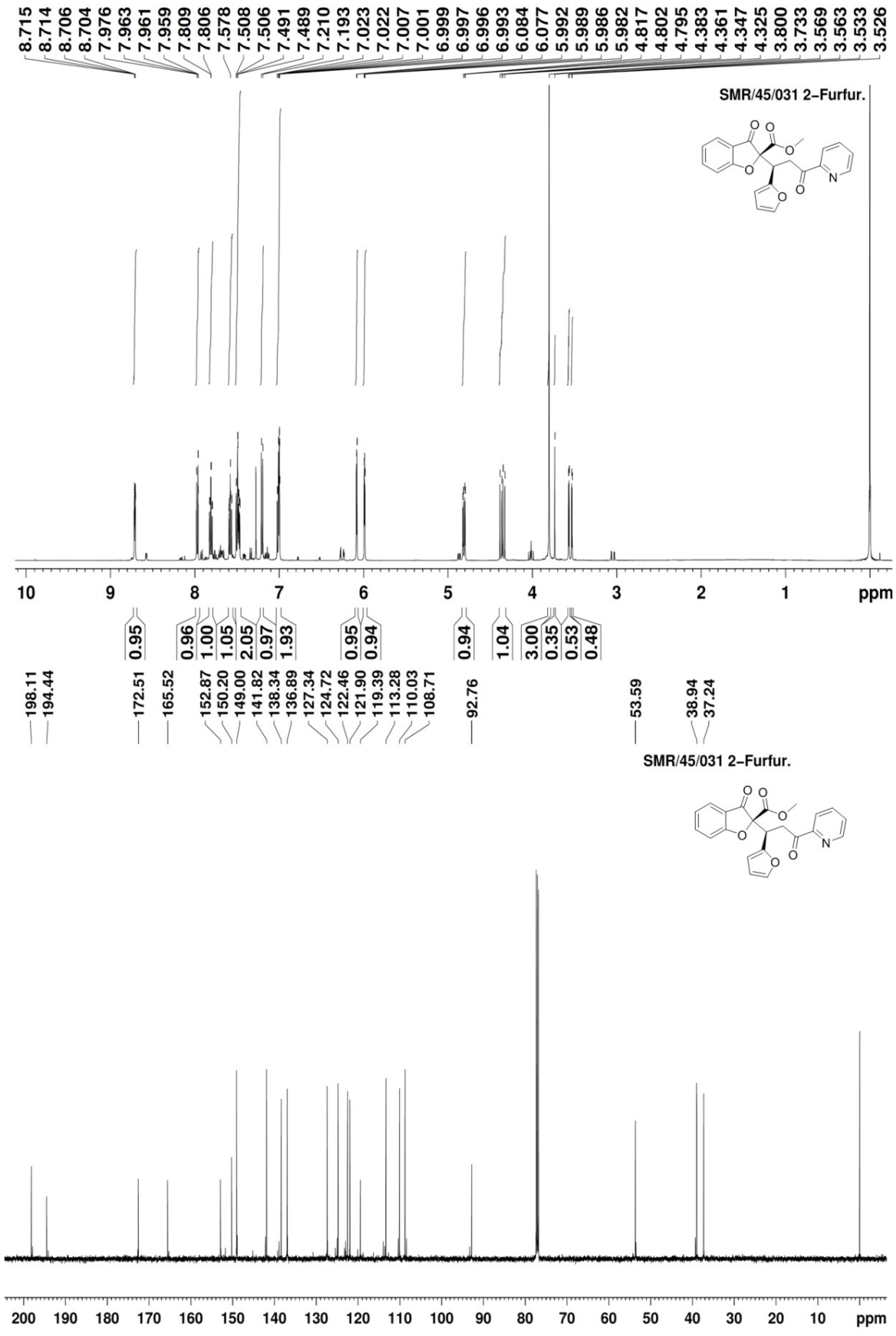
^{1}H and ^{13}C NMR spectrum of compound 4f



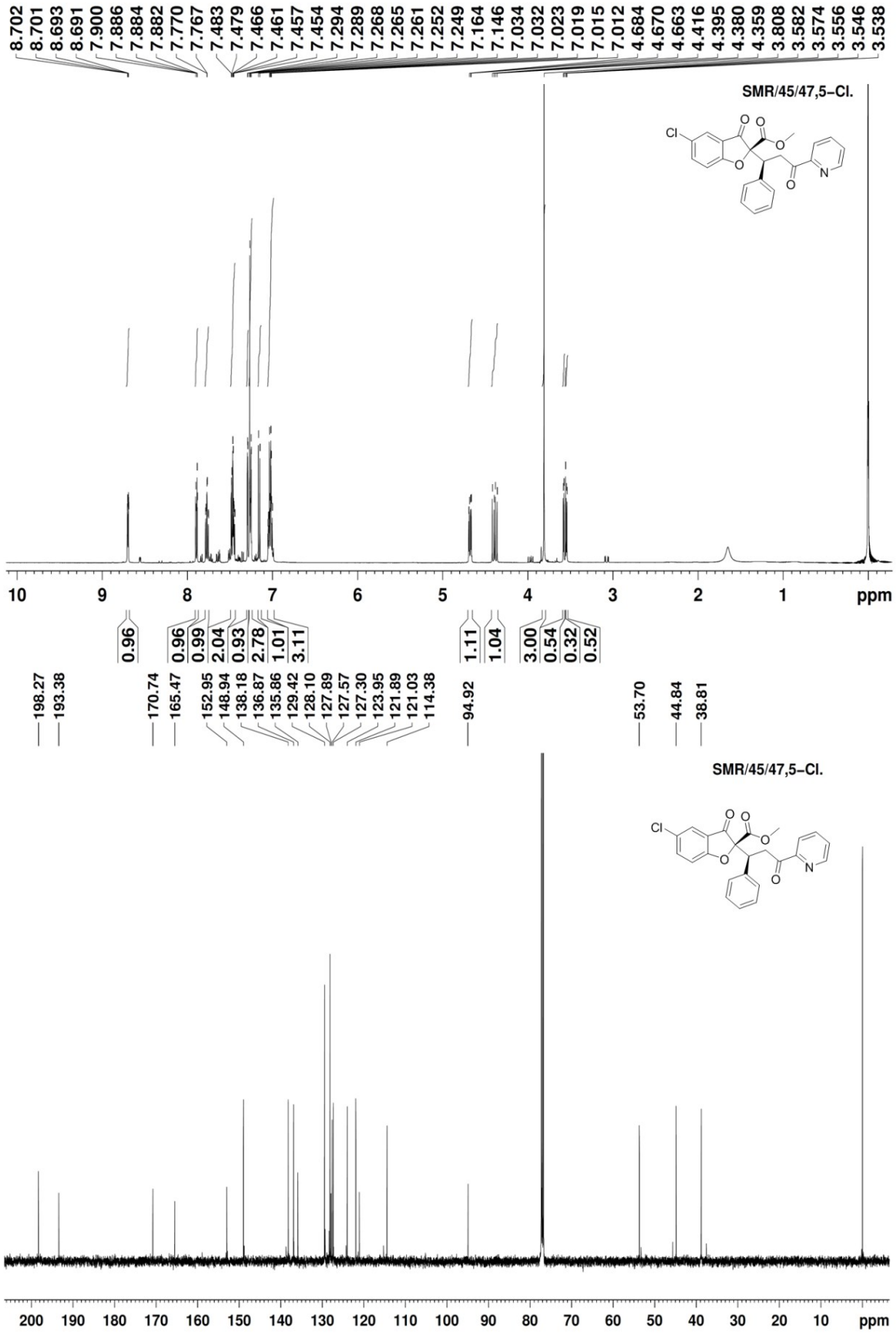
^{1}H and ^{13}C NMR spectrum of compound 4g



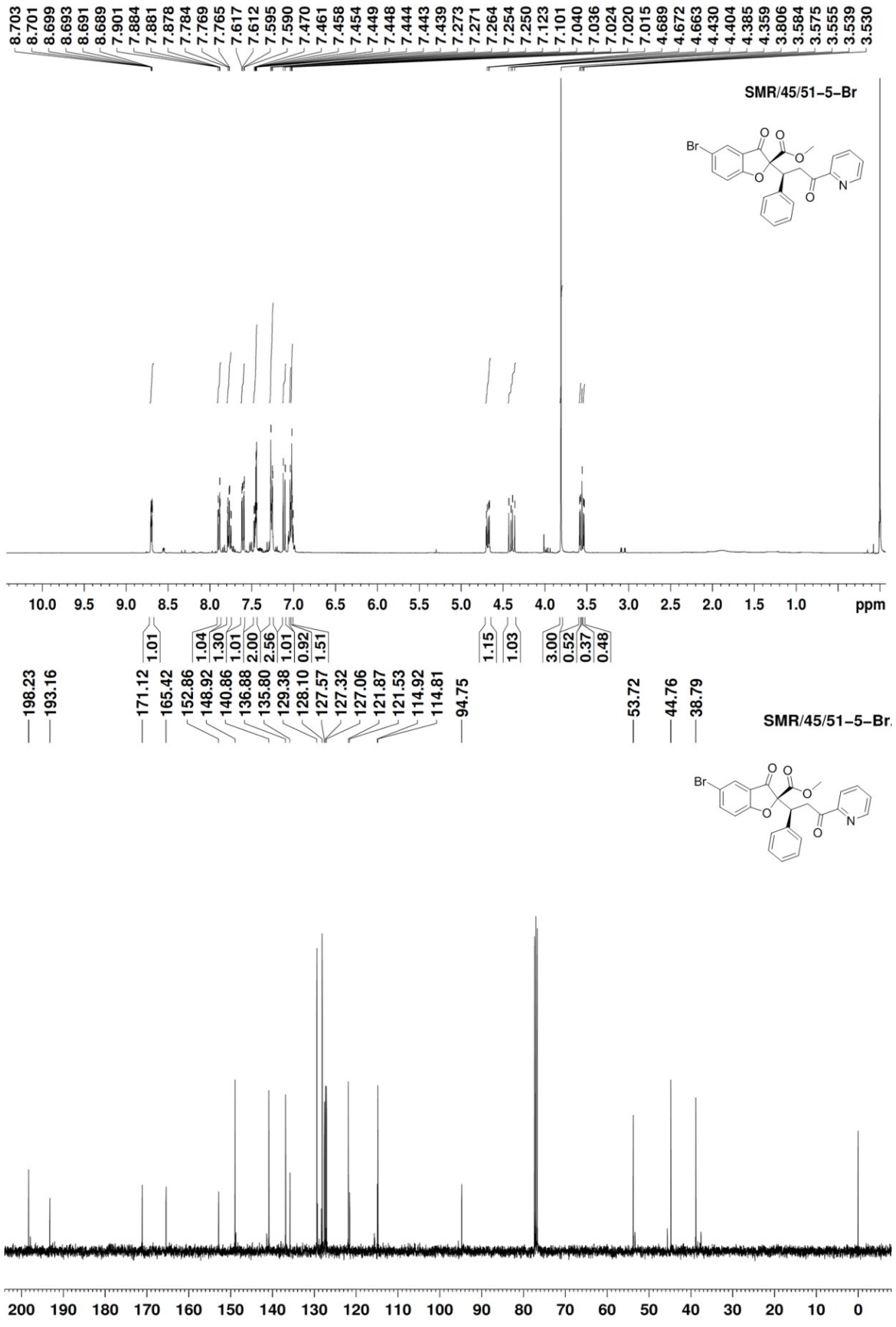
^{1}H and ^{13}C NMR spectrum of compound 4h



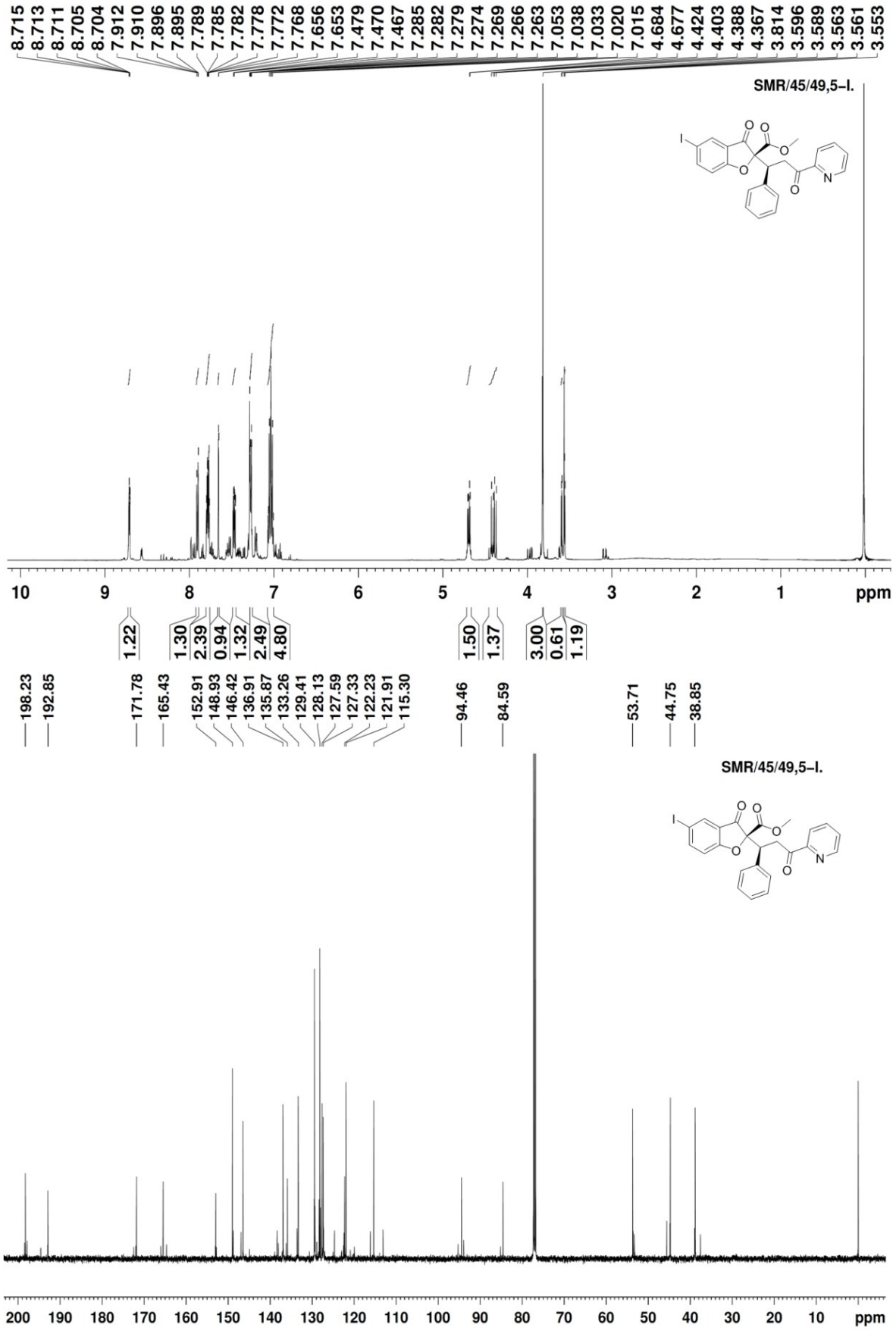
^{1}H and ^{13}C NMR spectrum of compound 4i



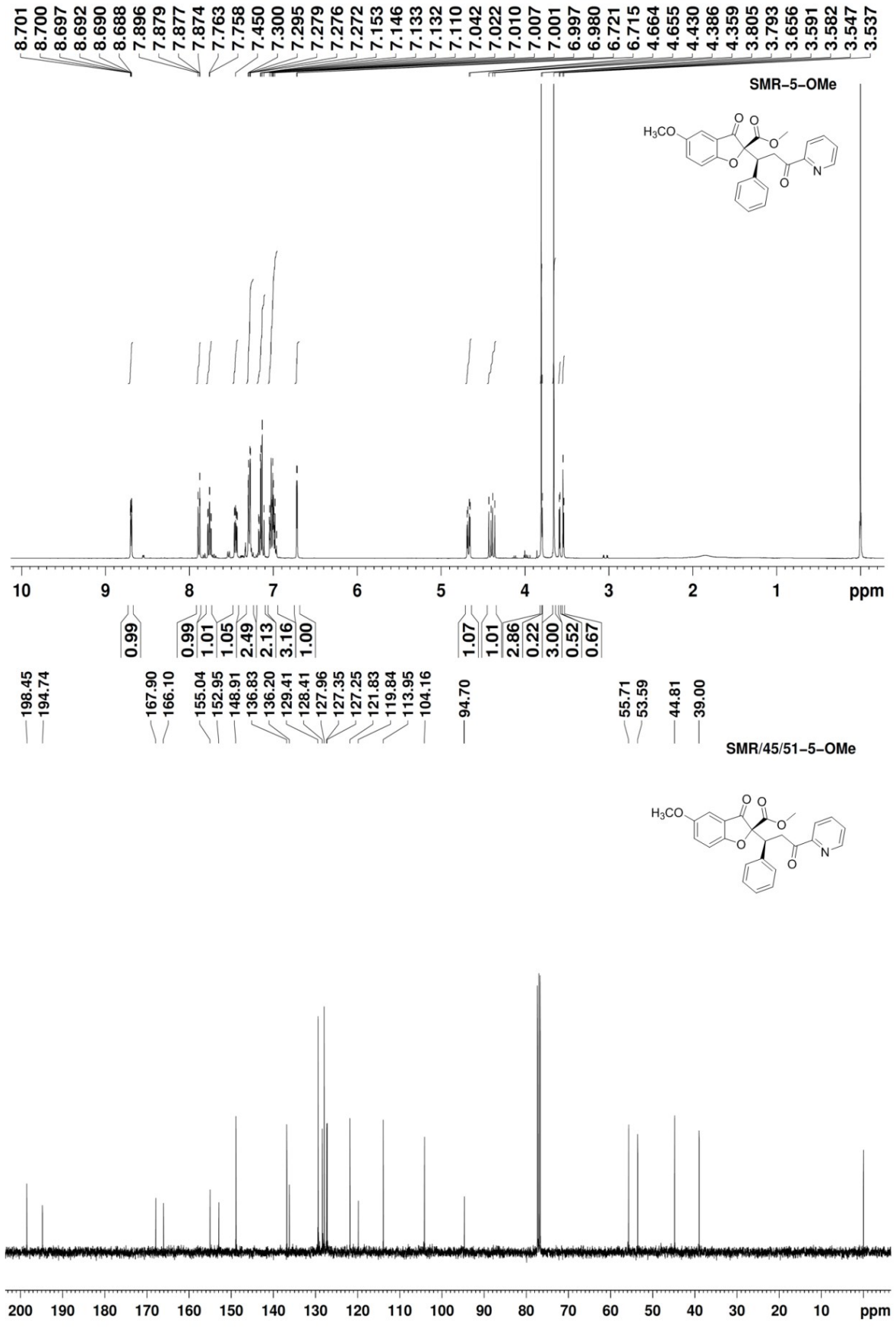
^{1}H and ^{13}C NMR spectrum of compound 4j



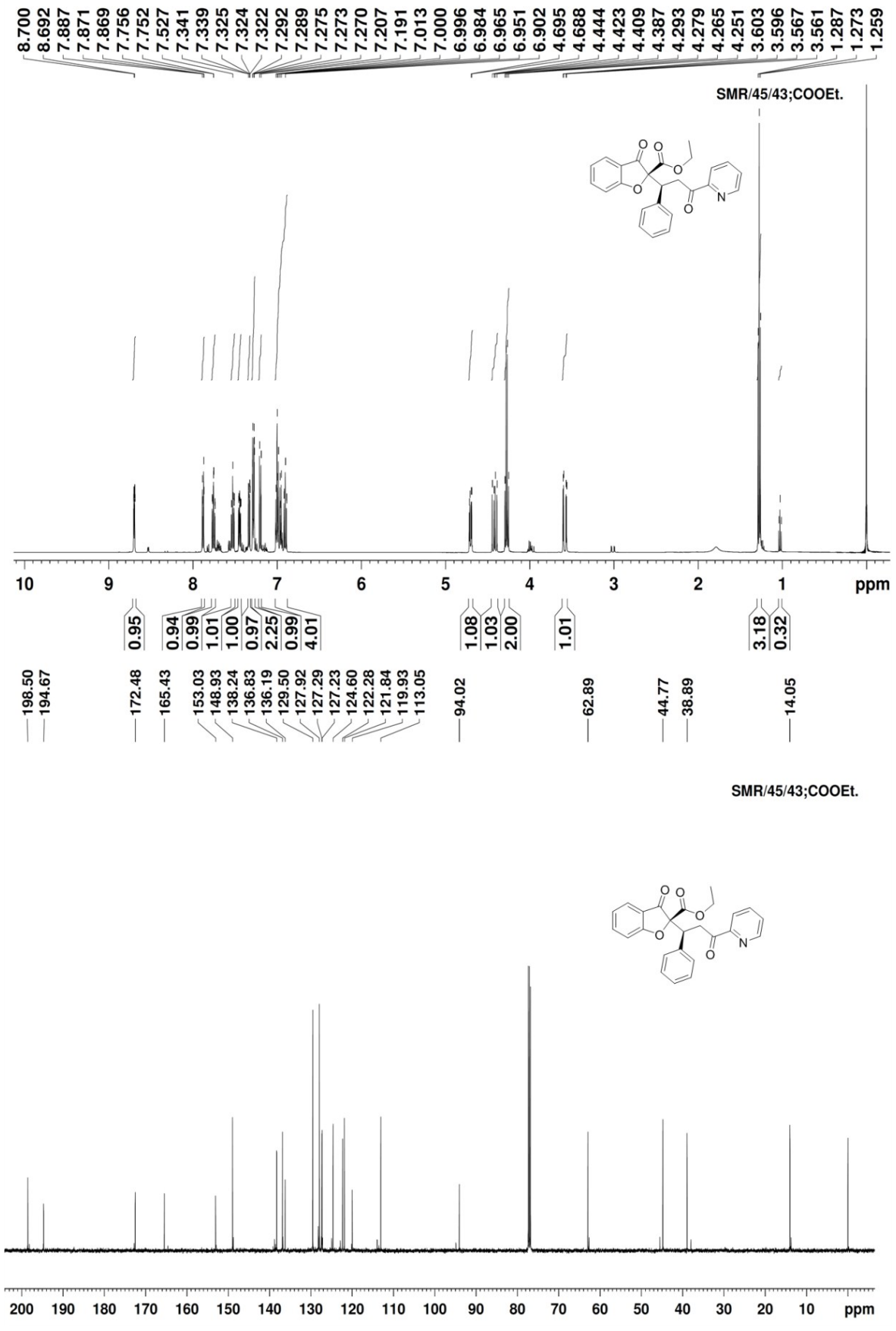
^{1}H and ^{13}C NMR spectrum of compound 4k



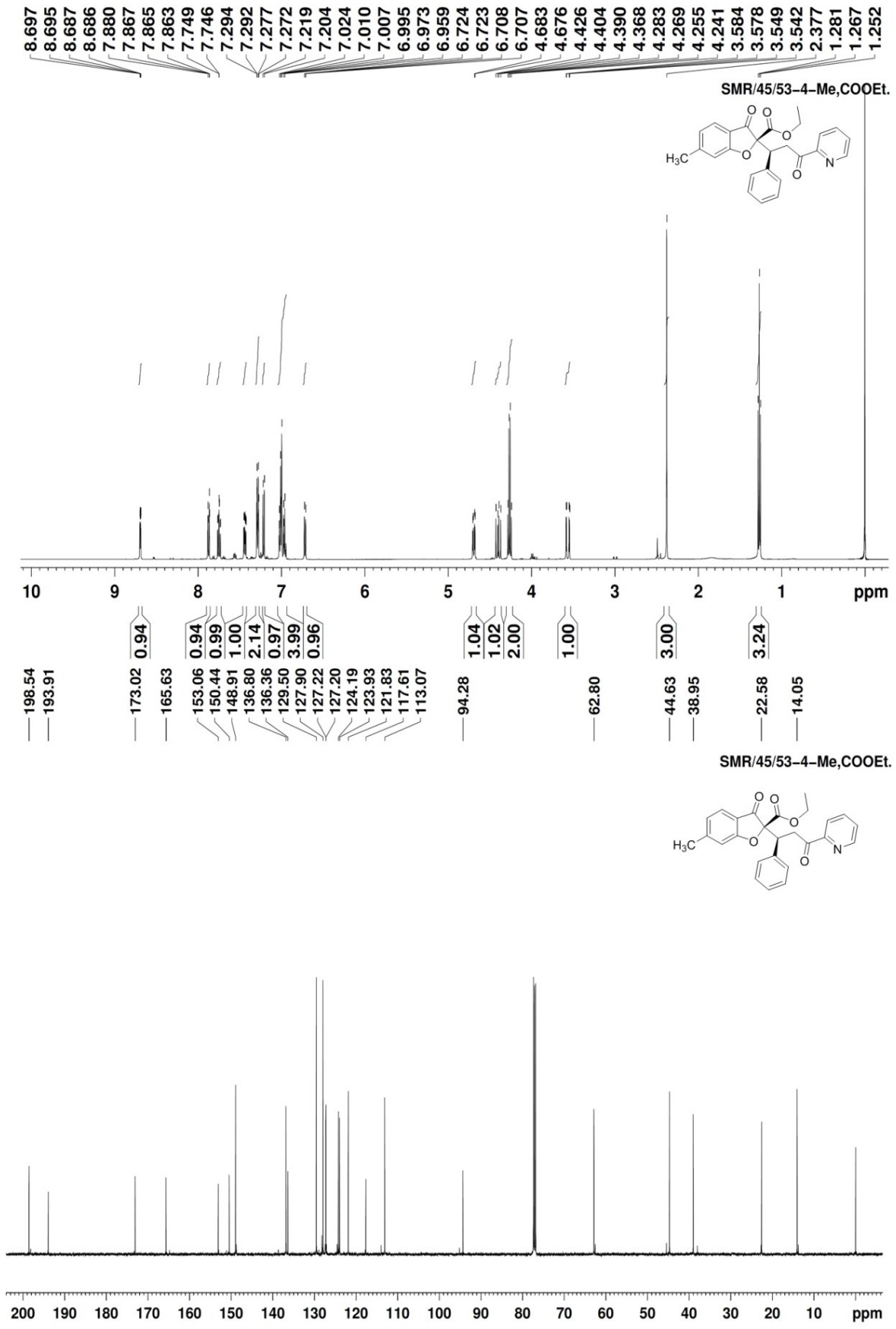
^{1}H and ^{13}C NMR spectrum of compound 4l



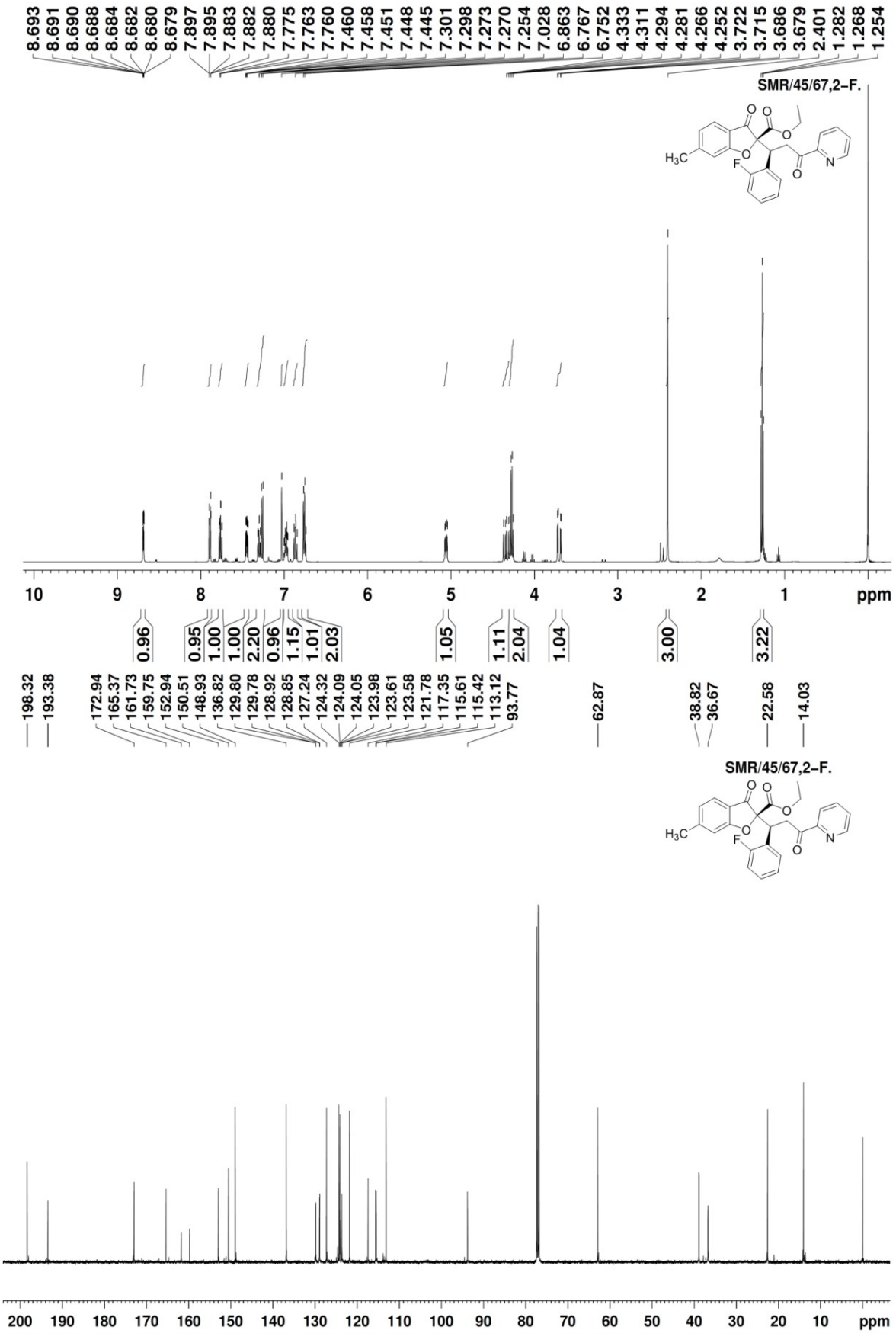
^{1}H and ^{13}C NMR spectrum of compound 4m



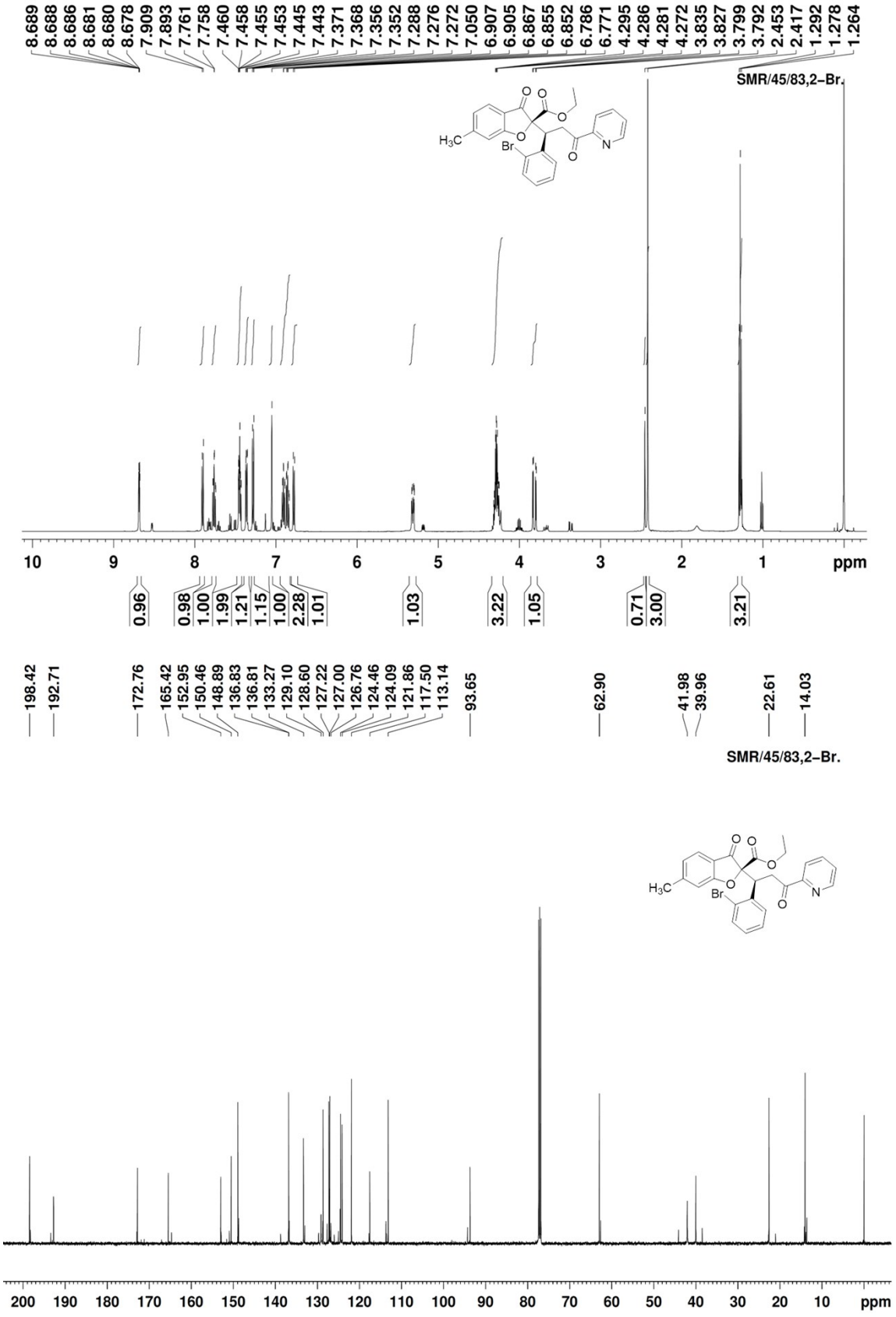
^{1}H and ^{13}C NMR spectrum of compound 4n



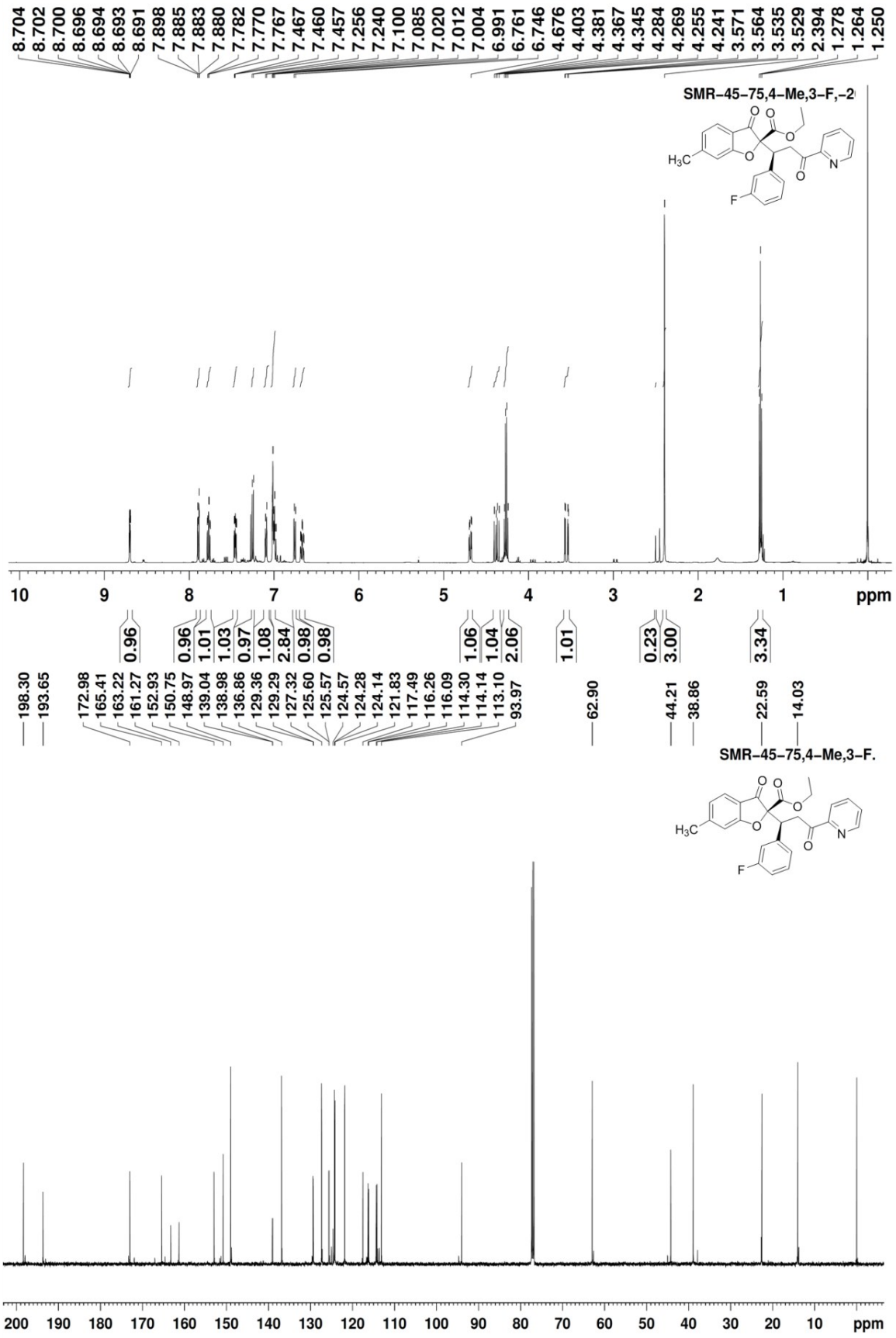
^{1}H and ^{13}C NMR spectrum of compound 4o



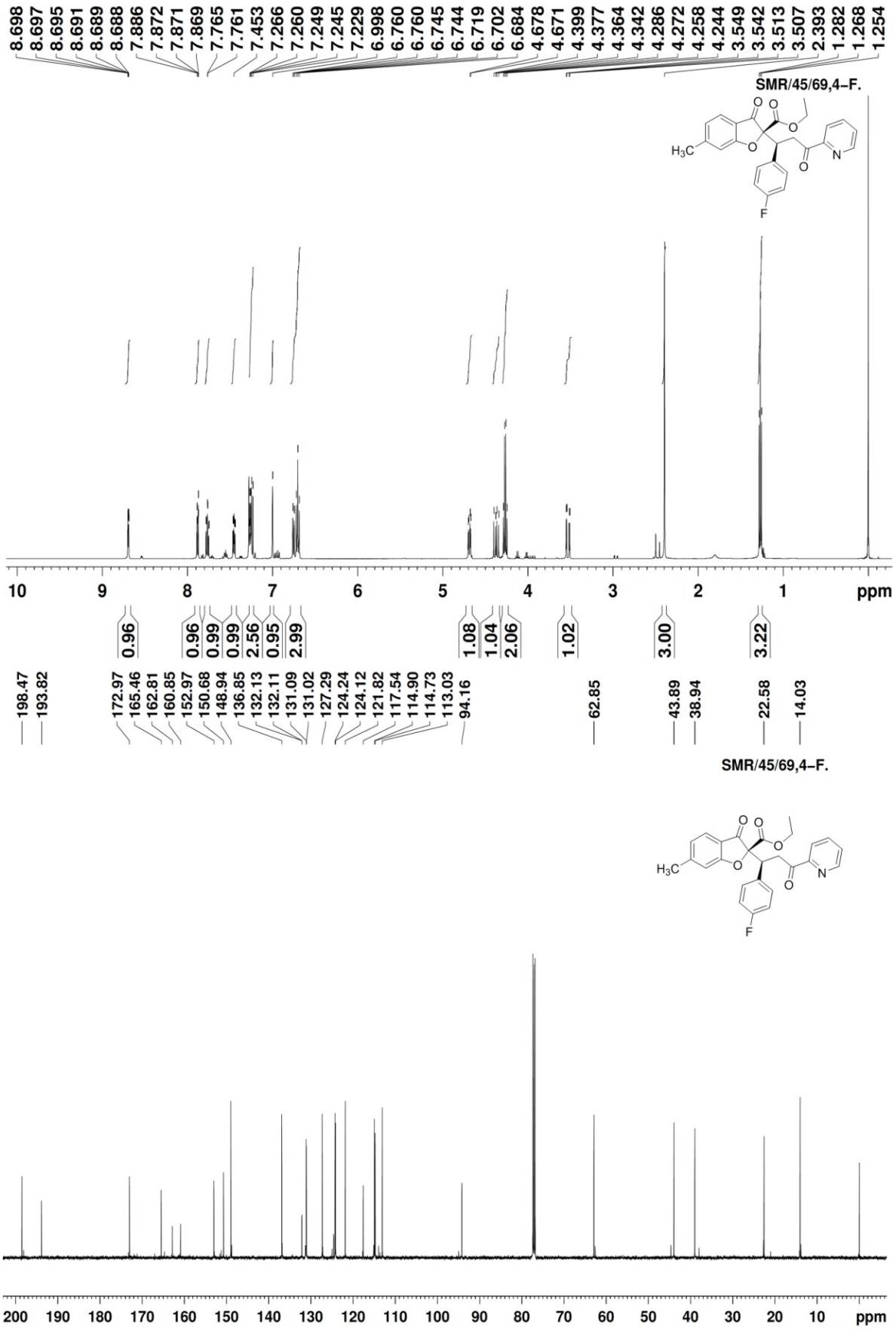
^{1}H and ^{13}C NMR spectrum of compound 4p



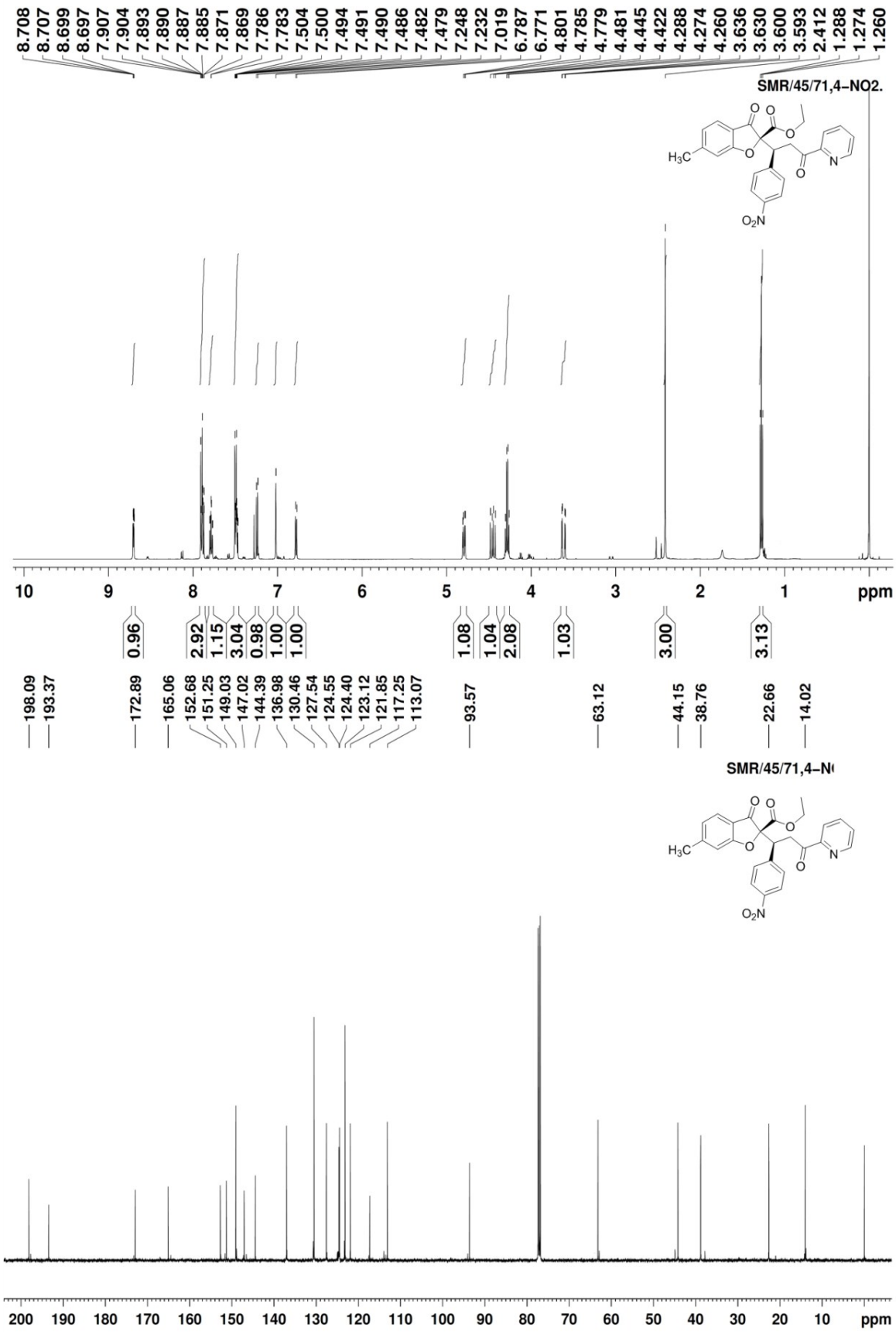
^{1}H and ^{13}C NMR spectrum of compound 4q



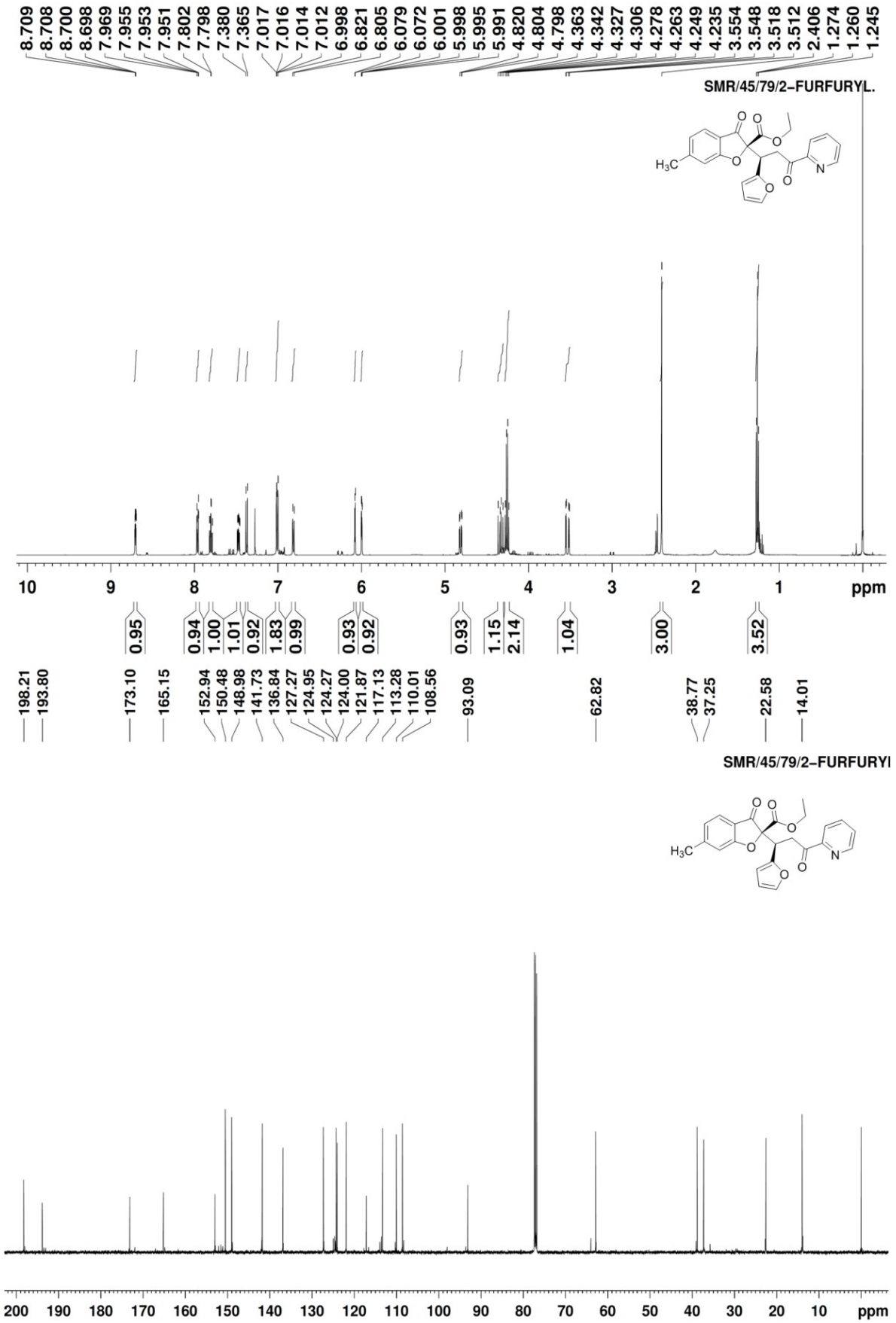
^{1}H and ^{13}C NMR spectrum of compound 4r



^{1}H and ^{13}C NMR spectrum of compound 4s

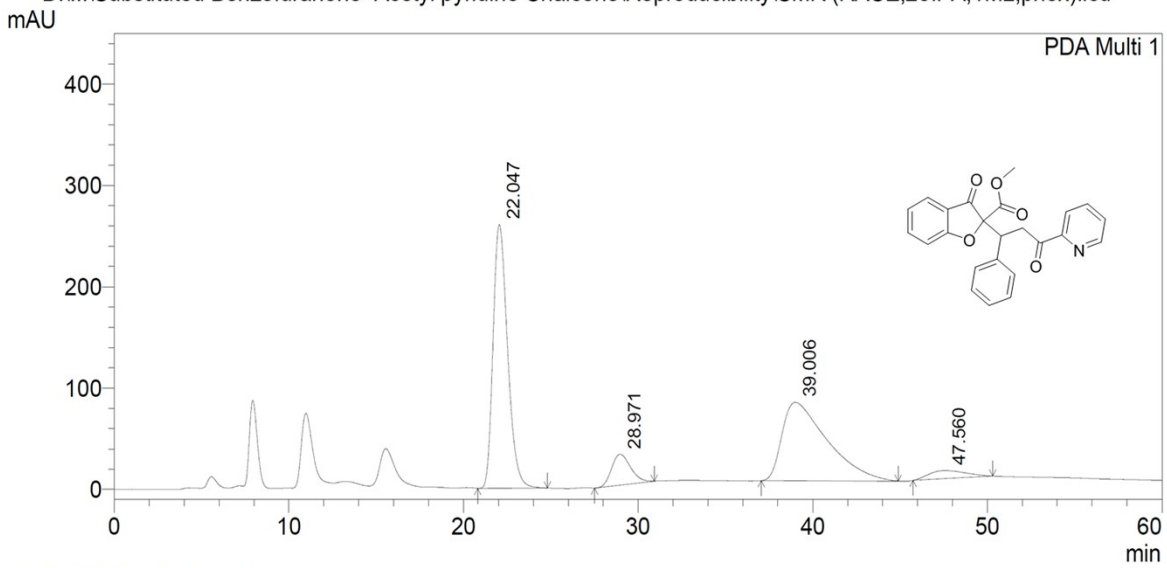


^{1}H and ^{13}C NMR spectrum of compound 4t



8. HPLC chromatogram of compound 4a

D:\...\Substituted Benzofuranone+Acetyl pyridine Chalcone\Reproducibility\SMR (RACE,20IPA,1ML,phox).lcd



1 PDA Multi 1/254nm 4nm

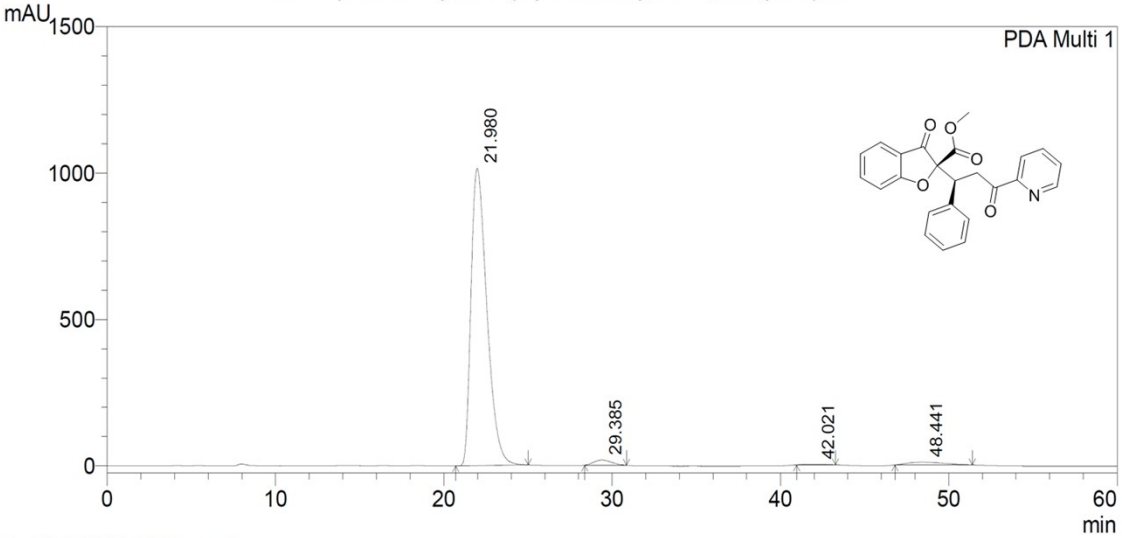
PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	22.047	15011549	260196	46.303	69.160
2	28.971	2296261	30532	7.083	8.115
3	39.006	13931431	77443	42.972	20.584
4	47.560	1180907	8053	3.643	2.140
Total		32420147	376224	100.000	100.000

<Chromatogram>

D:\...\Reproducibility\SMR (reproducibility,20IPA,1ML,phox).lcd



1 PDA Multi 1/254nm 4nm

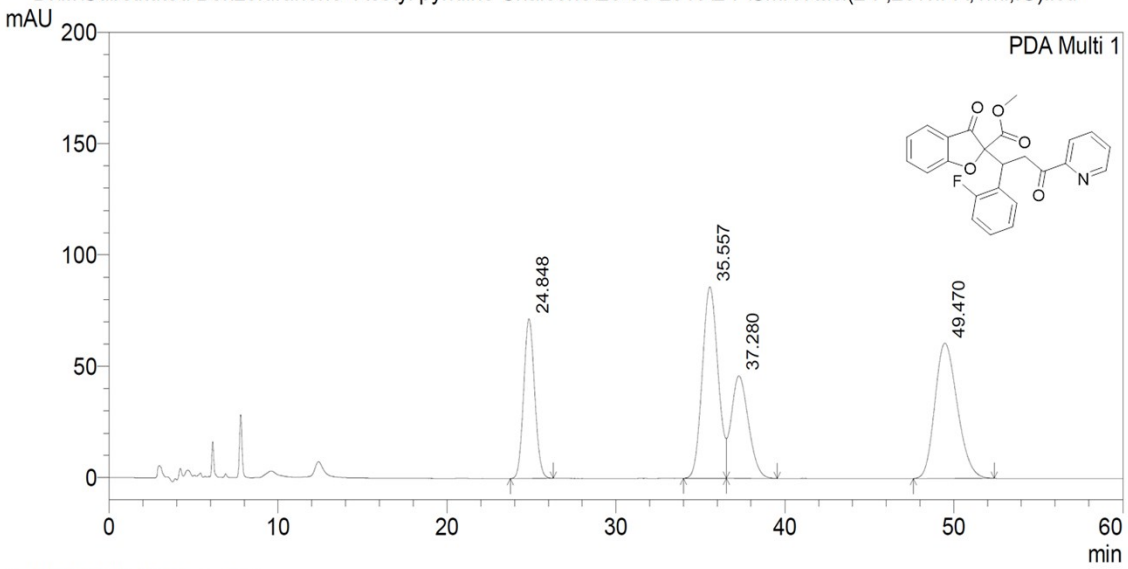
PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	21.980	66511884	1015093	95.768	97.183
2	29.385	1293681	17709	1.863	1.695
3	42.021	207751	2398	0.299	0.230
4	48.441	1437442	9313	2.070	0.892
Total		69450758	1044512	100.000	100.000

HPLC chromatogram of compound 4b

D:\...\Substituted Benzofuranone+Acetyl pyridine Chalcone\26-05-2019\2-F\SMR Racl(2-F,20%IPA,1ml,IG).lcd



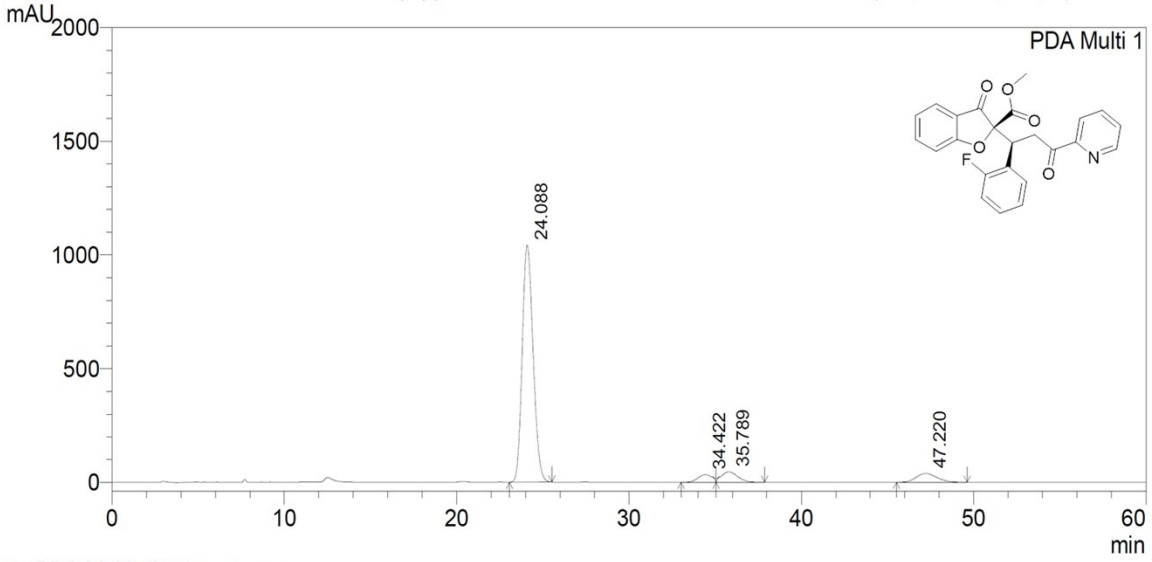
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.848	3235030	71685	18.291	27.106
2	35.557	5581557	85917	31.559	32.487
3	37.280	3265303	46092	18.463	17.429
4	49.470	5604176	60768	31.687	22.978
Total		17686066	264462	100.000	100.000

D:\...\Substituted Benzofuranone+Acetyl pyridine Chalcone\26-05-2019\2-F\SMR Chiral(2-F,20%IPA,1ml,IG).lcd



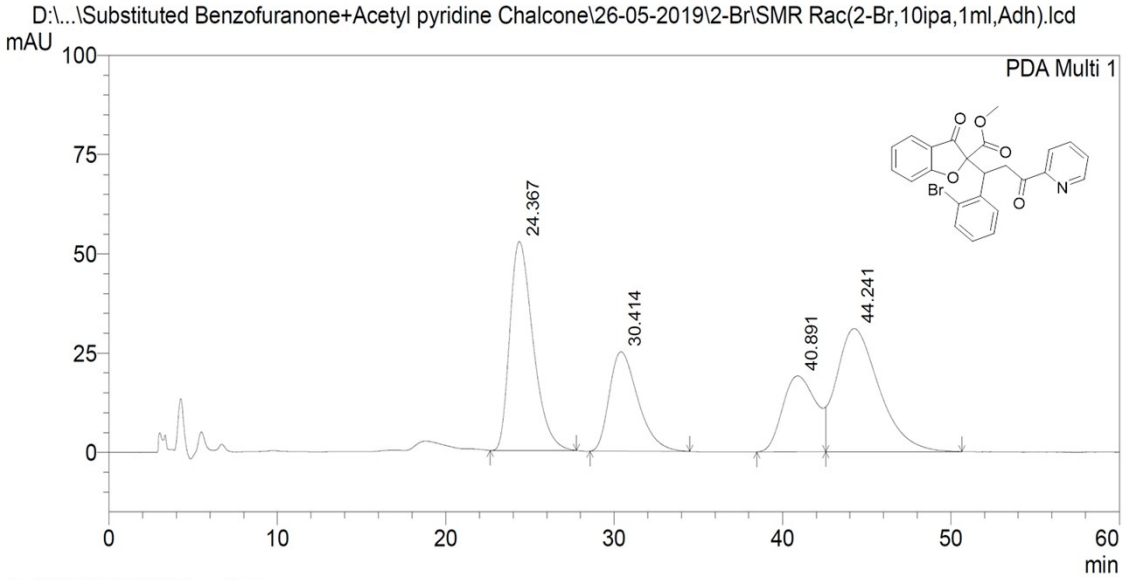
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.088	45747736	1042156	84.714	89.812
2	34.422	1969199	33625	3.646	2.898
3	35.789	3048321	45911	5.645	3.957
4	47.220	3237347	38685	5.995	3.334
Total		54002603	1160377	100.000	100.000

HPLC chromatogram of compound 4c

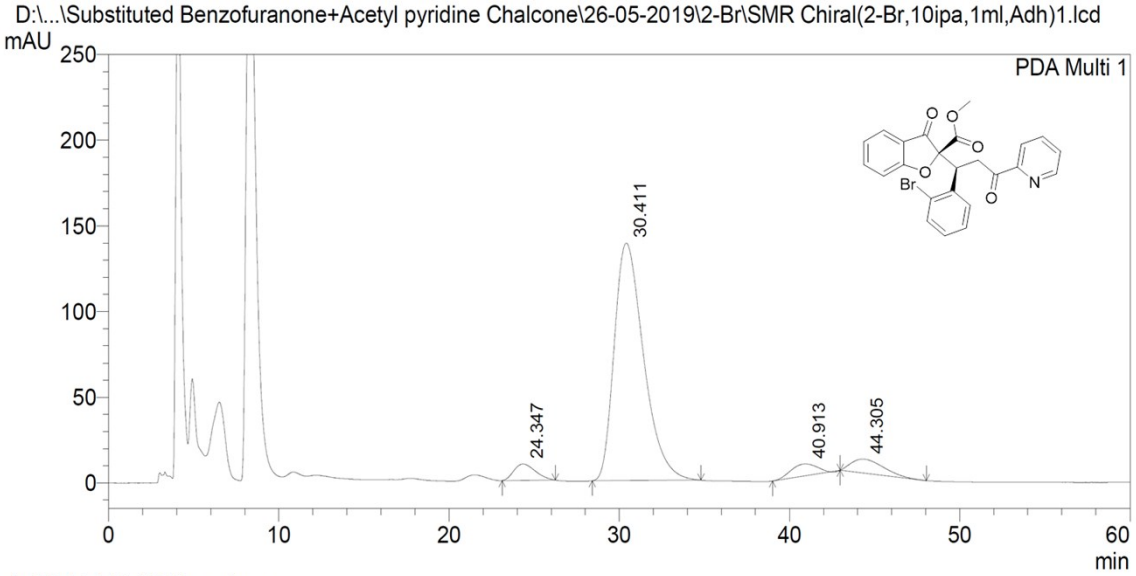


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.367	5065227	52607	31.384	41.165
2	30.414	2967442	25046	18.386	19.598
3	40.891	2656729	19121	16.461	14.962
4	44.241	5450156	31022	33.769	24.275
Total		16139554	127796	100.000	100.000



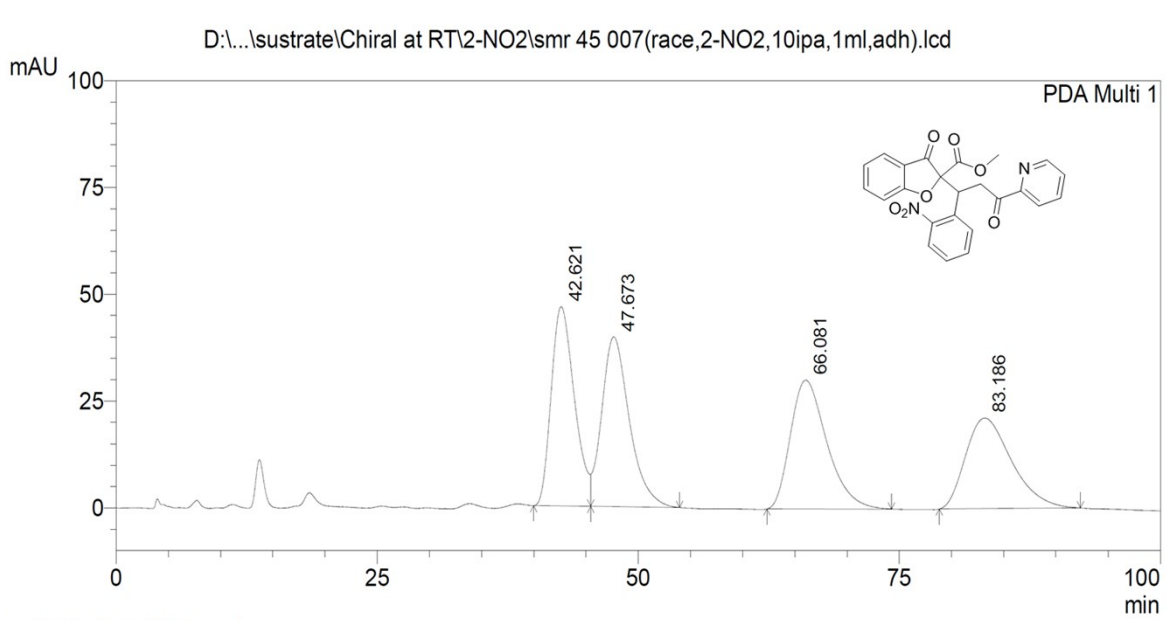
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.347	837168	9542	4.338	5.847
2	30.411	16653707	138578	86.300	84.914
3	40.913	734081	6985	3.804	4.280
4	44.305	1072474	8094	5.558	4.959
Total		19297431	163199	100.000	100.000

HPLC chromatogram of compound 4d



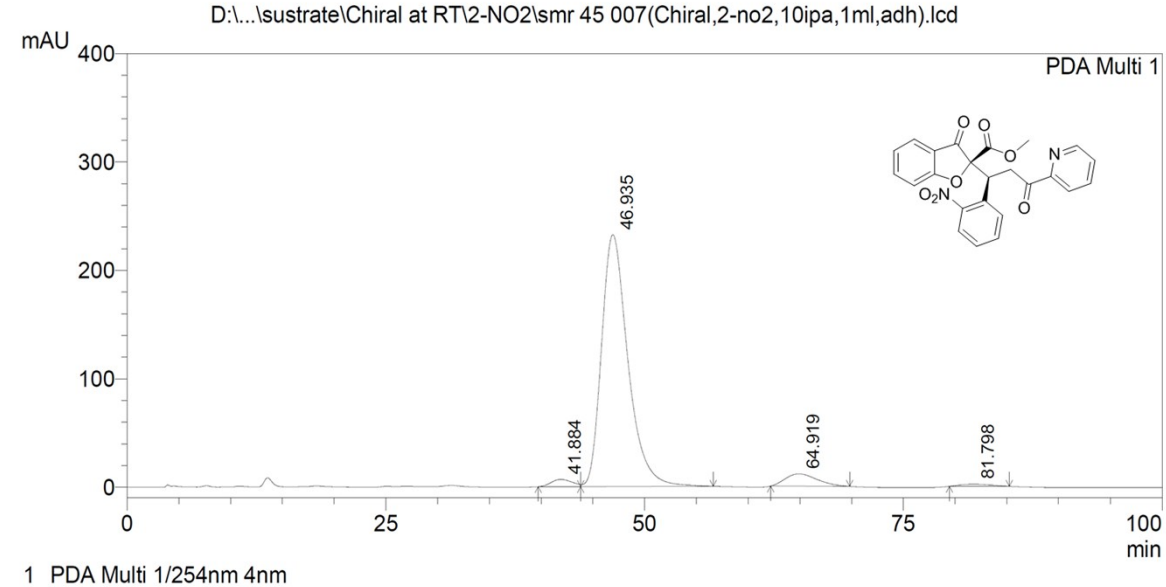
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	42.621	7215656	46687	25.412	33.861
2	47.673	7338669	39775	25.846	28.847
3	66.081	7328758	30179	25.811	21.887
4	83.186	6511115	21240	22.931	15.405
Total		28394198	137881	100.000	100.000

<Chromatogram>



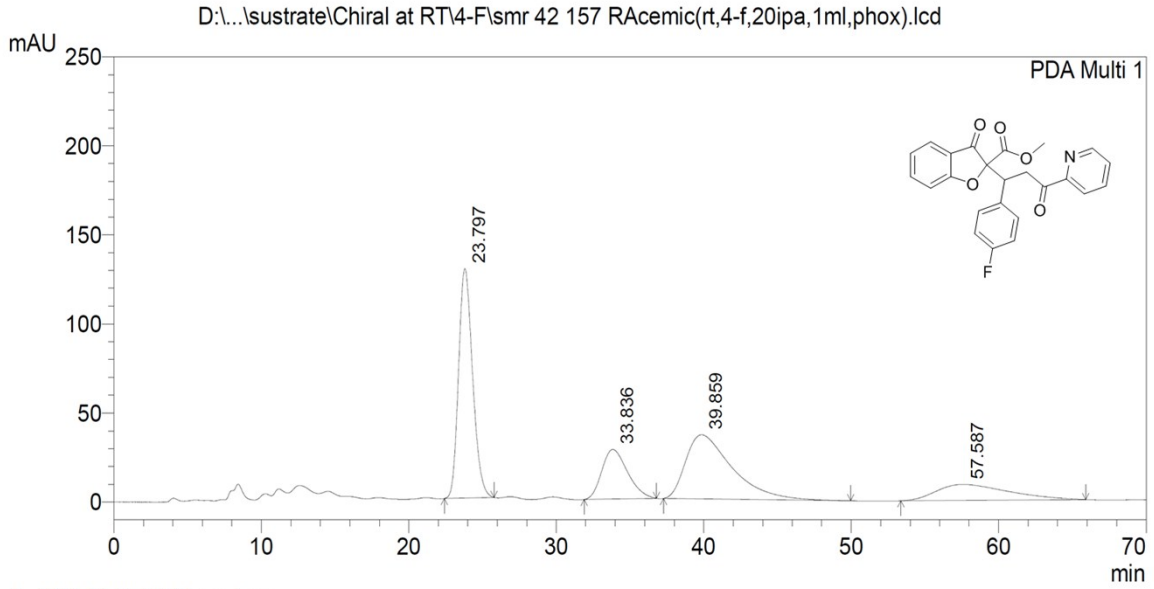
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	41.884	955105	6801	2.121	2.691
2	46.935	41239257	232485	91.588	92.004
3	64.919	2441808	11437	5.423	4.526
4	81.798	390609	1967	0.868	0.778
Total		45026778	252690	100.000	100.000

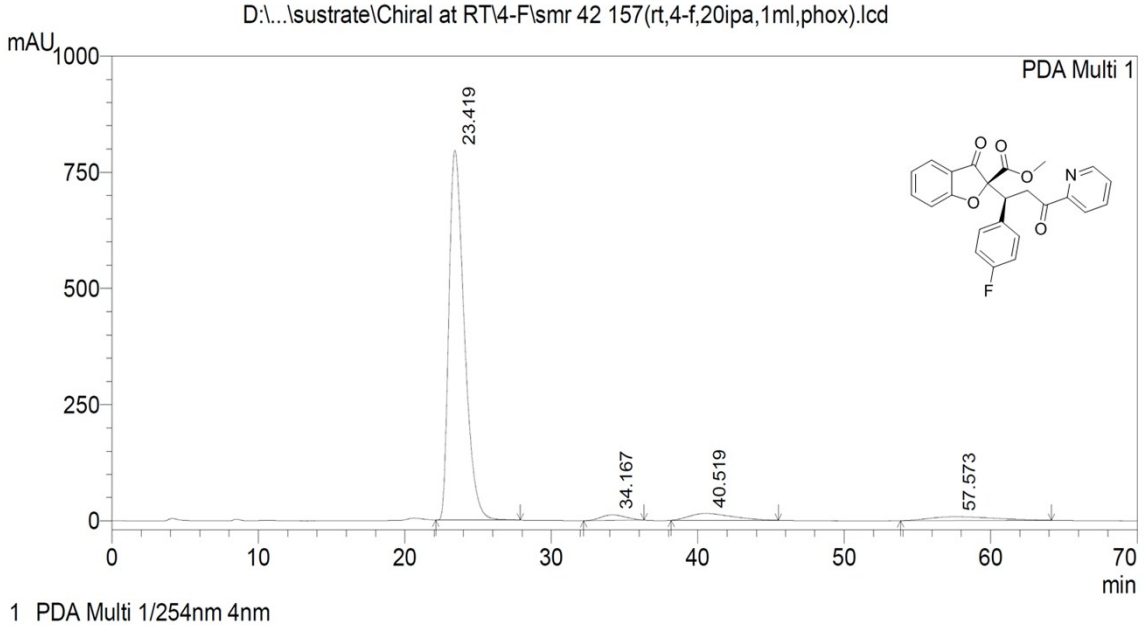
HPLC chromatogram of compound 4e



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	23.797	8520318	128863	37.284	63.862
2	33.836	3377196	27848	14.778	13.801
3	39.859	7906498	36077	34.598	17.879
4	57.587	3048510	8996	13.340	4.458
Total		22852523	201783	100.000	100.000

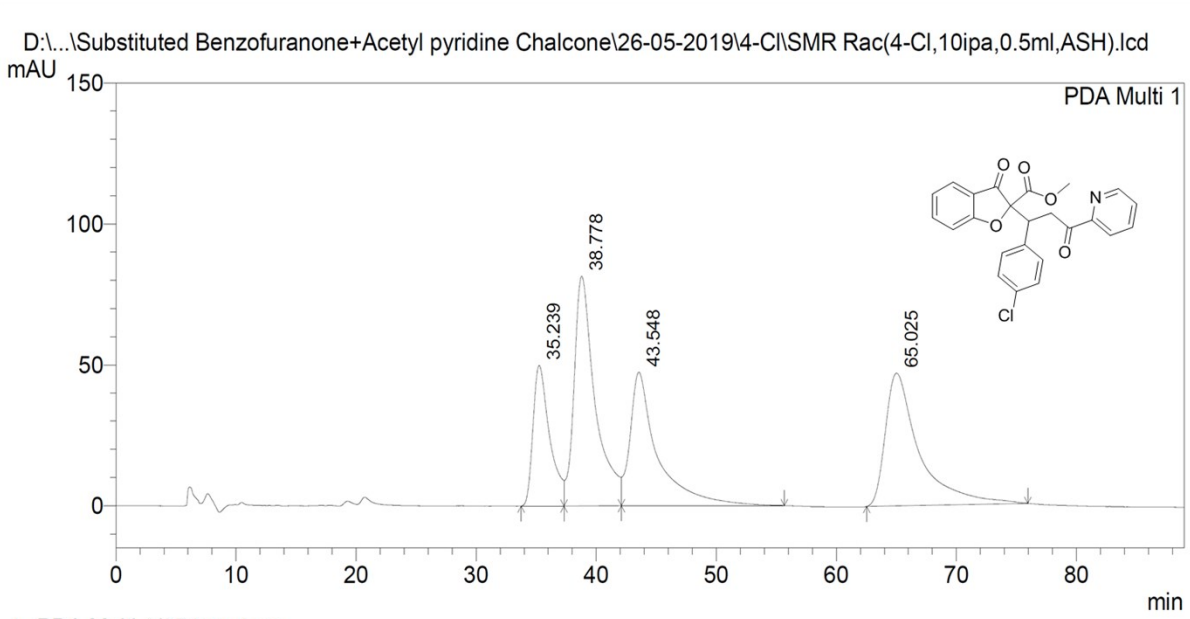


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	23.419	58014796	795664	89.514	95.830
2	34.167	1389294	12054	2.144	1.452
3	40.519	2950606	14602	4.553	1.759
4	57.573	2455874	7968	3.789	0.960
Total		64810571	830288	100.000	100.000

HPLC chromatogram of compound 4f

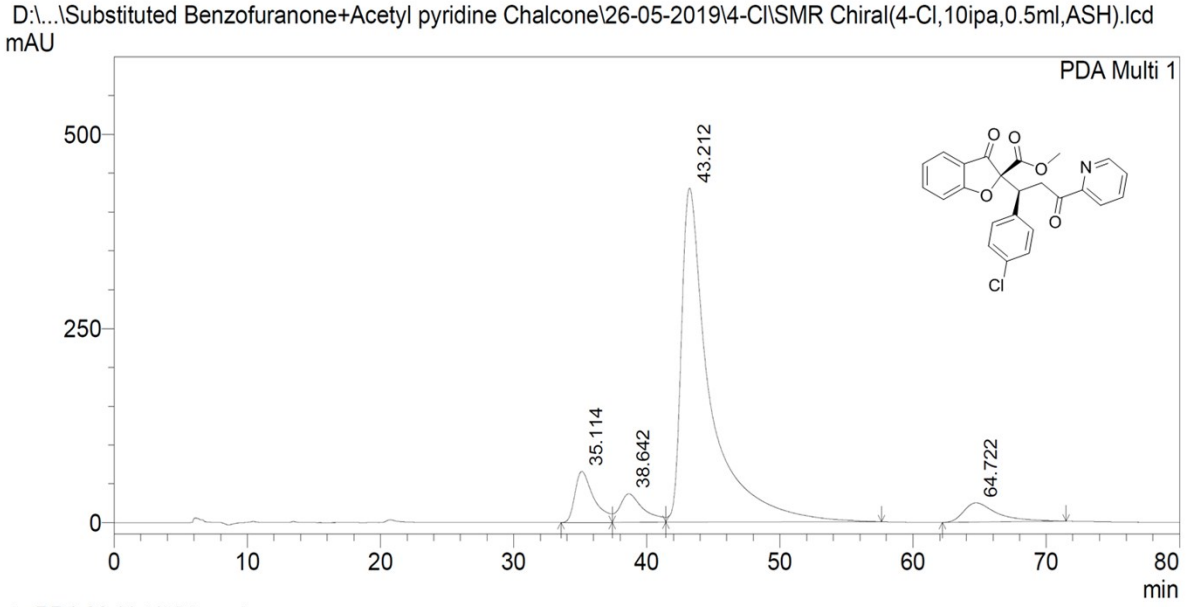


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	35.239	4803118	49856	15.212	22.069
2	38.778	9977936	81549	31.600	36.098
3	43.548	7567686	47438	23.967	20.999
4	65.025	9226679	47066	29.221	20.834
Total		31575419	225909	100.000	100.000



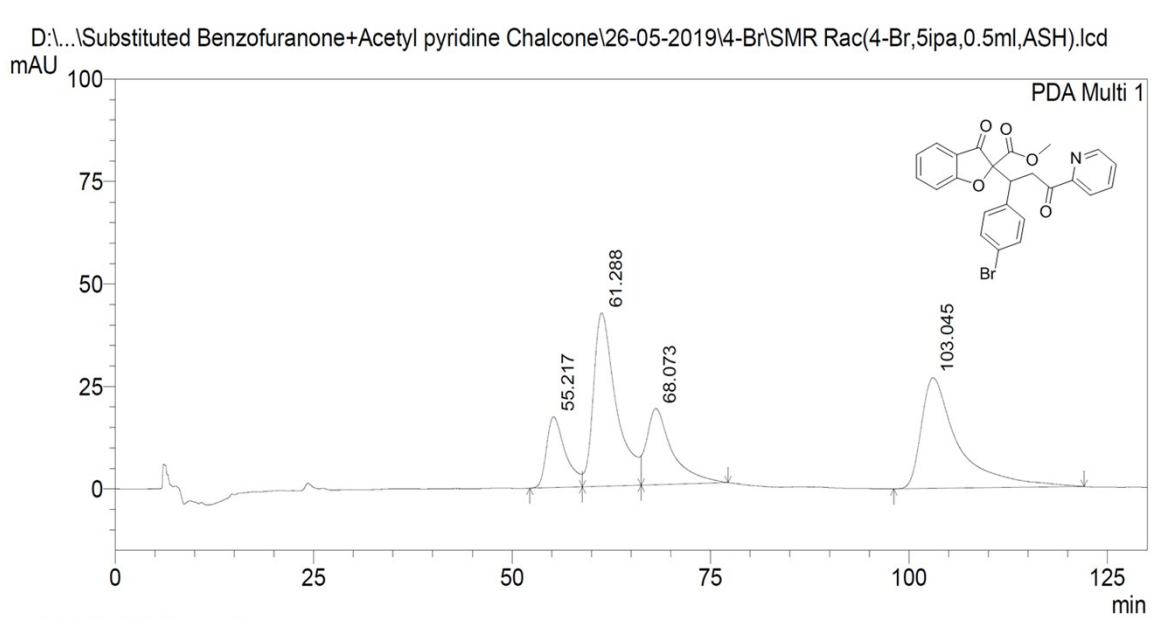
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	35.114	6481034	65694	8.099	11.780
2	38.642	4571404	36766	5.713	6.593
3	43.212	64604183	430391	80.736	77.174
4	64.722	4362903	24839	5.452	4.454
Total		80019524	557690	100.000	100.000

HPLC chromatogram of compound 4g

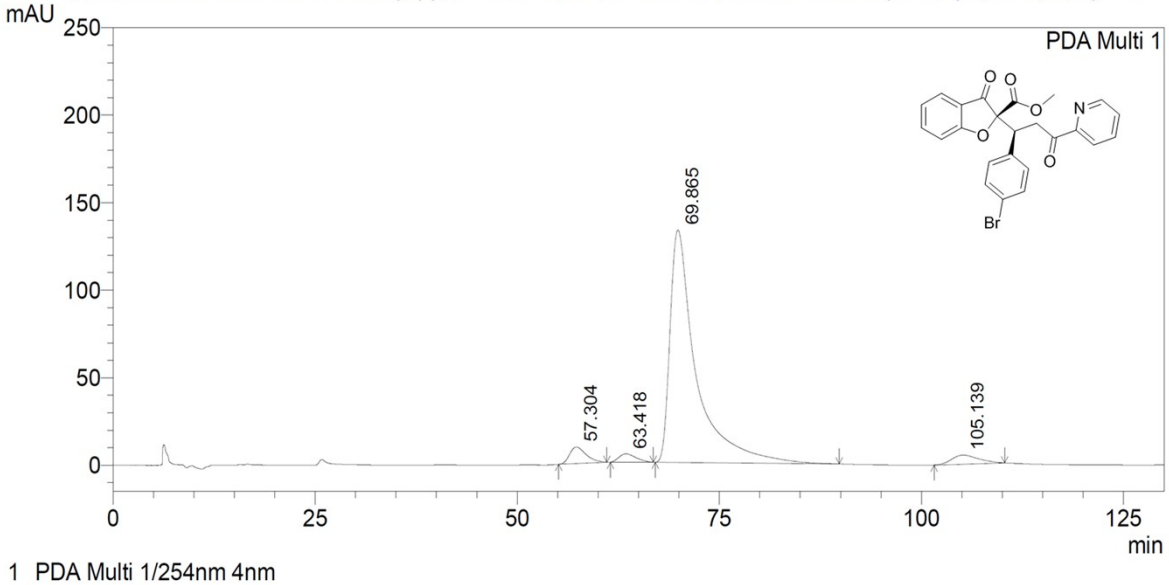


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	55.217	2862155	17254	11.766	16.424
2	61.288	8494539	42255	34.921	40.223
3	68.073	4166865	18575	17.130	17.681
4	103.045	8801713	26969	36.183	25.672
Total		24325272	105053	100.000	100.000



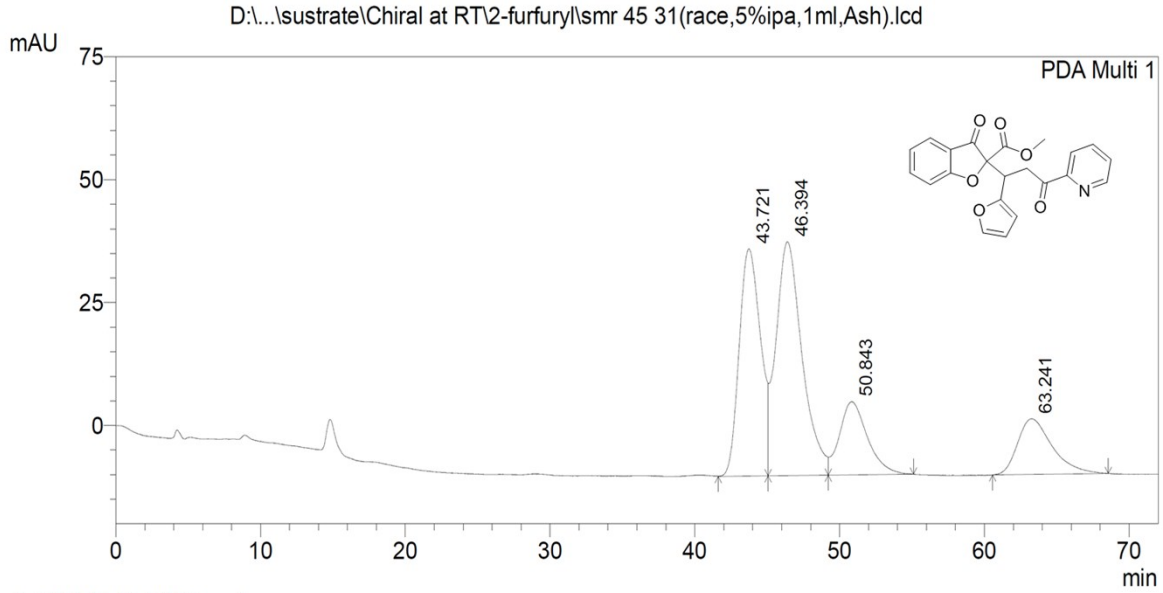
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	57.304	1344575	9493	4.063	6.232
2	63.418	701059	4765	2.118	3.128
3	69.865	29842918	132886	90.171	87.230
4	105.139	1207358	5194	3.648	3.410
Total		33095911	152339	100.000	100.000

HPLC chromatogram of compound 4h

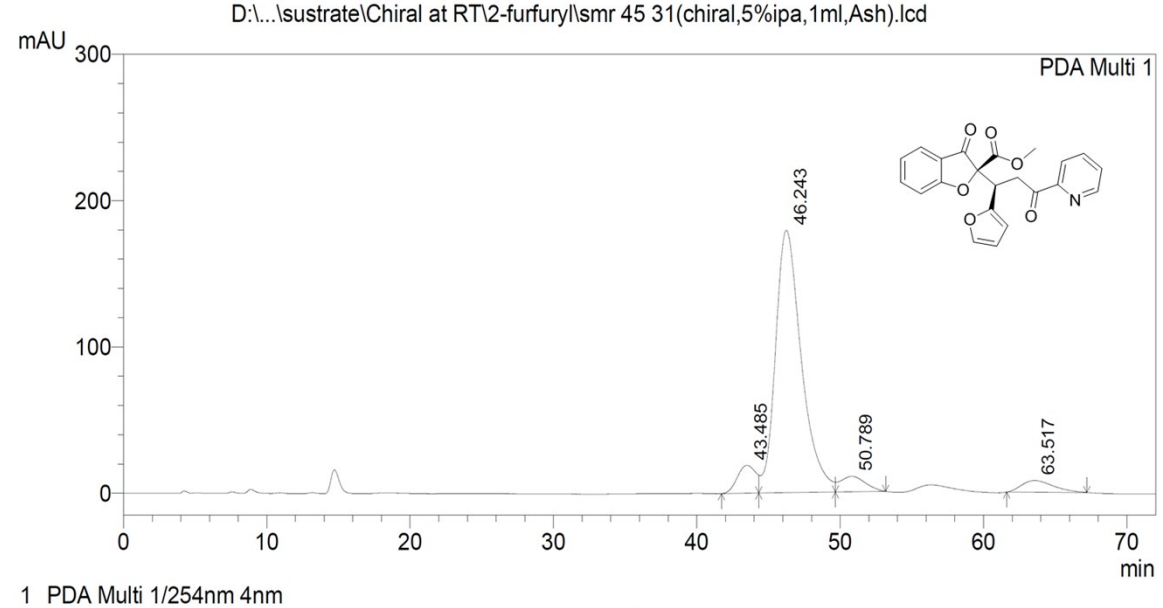


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	43.721	4729480	46196	32.328	38.498
2	46.394	6006775	47555	41.059	39.631
3	50.843	2007316	14897	13.721	12.415
4	63.241	1886185	11347	12.893	9.456
Total		14629756	119995	100.000	100.000



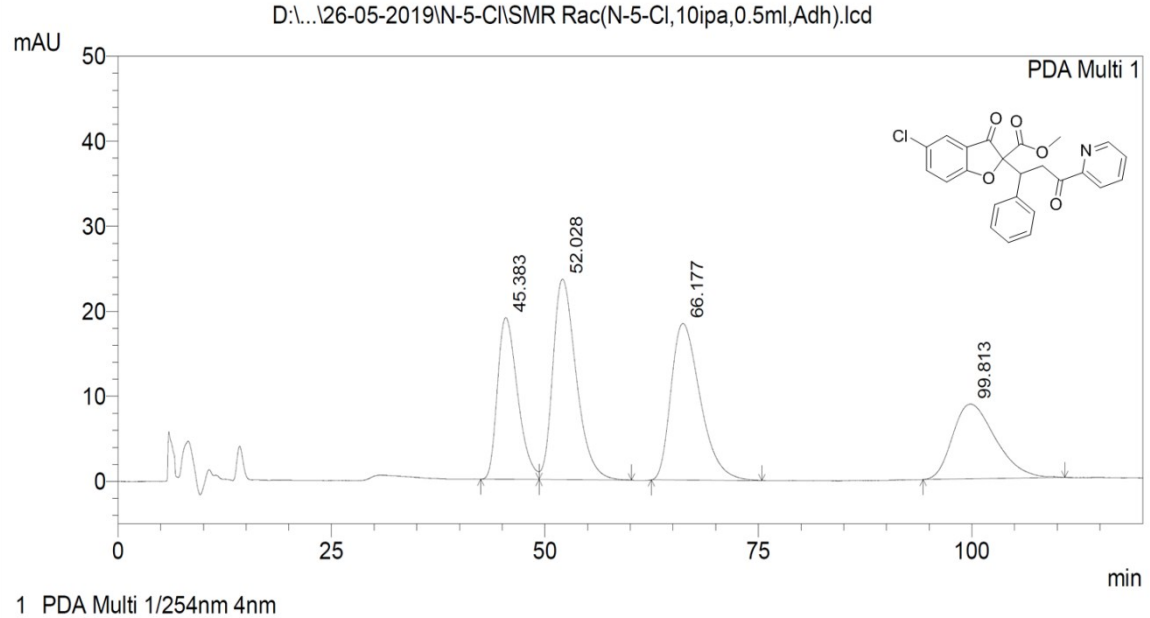
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	43.485	1689837	19101	6.301	8.800
2	46.243	22598009	179316	84.268	82.618
3	50.789	1288714	10574	4.806	4.872
4	63.517	1240258	8052	4.625	3.710
Total		26816818	217043	100.000	100.000

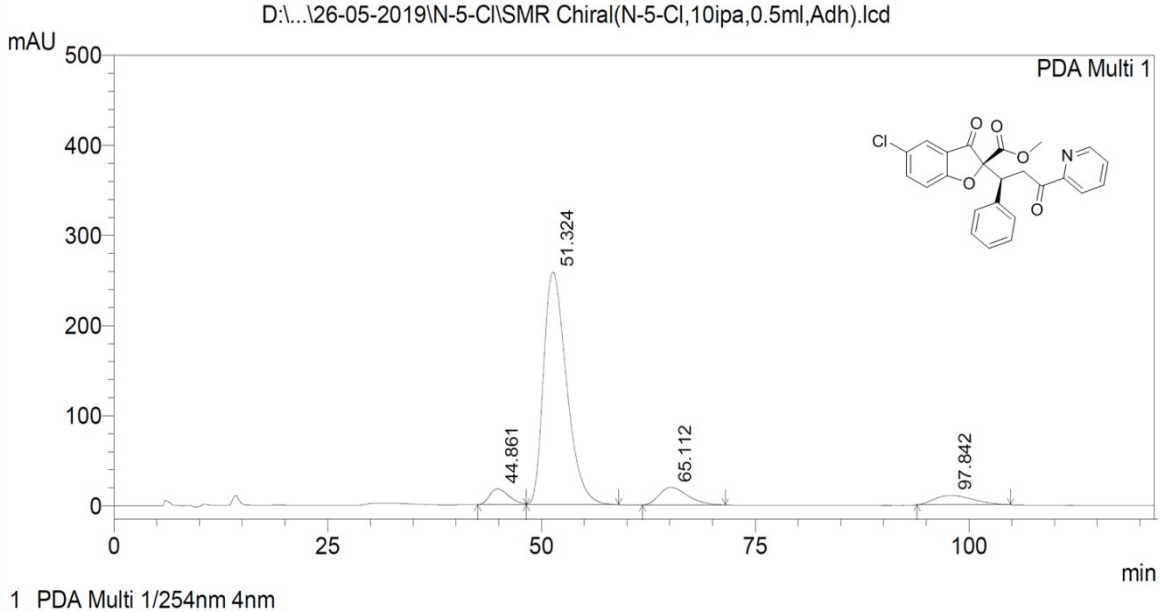
HPLC chromatogram of compound 4i



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	45.383	3123177	19004	20.697	27.228
2	52.028	4489588	23574	29.752	33.777
3	66.177	4400405	18425	29.161	26.399
4	99.813	3077102	8792	20.391	12.596
Total		15090272	69794	100.000	100.000

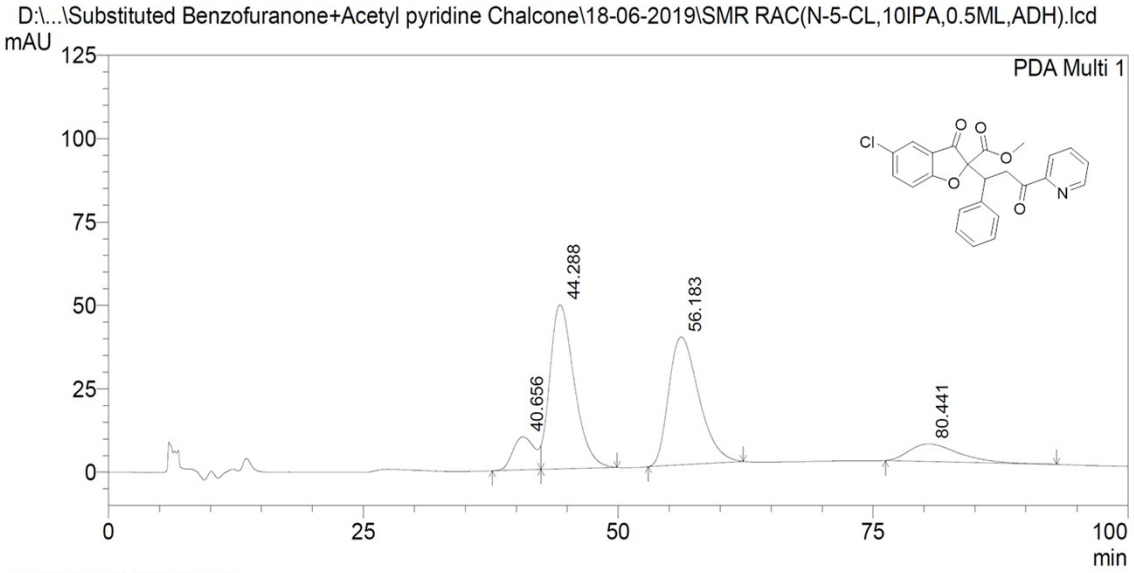


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	44.861	2739600	17458	4.657	5.721
2	51.324	48392874	257960	82.258	84.537
3	65.112	4465456	19439	7.590	6.370
4	97.842	3232720	10287	5.495	3.371
Total		58830650	305142	100.000	100.000

HPLC chromatogram of compound 4i (After single recrystallization)

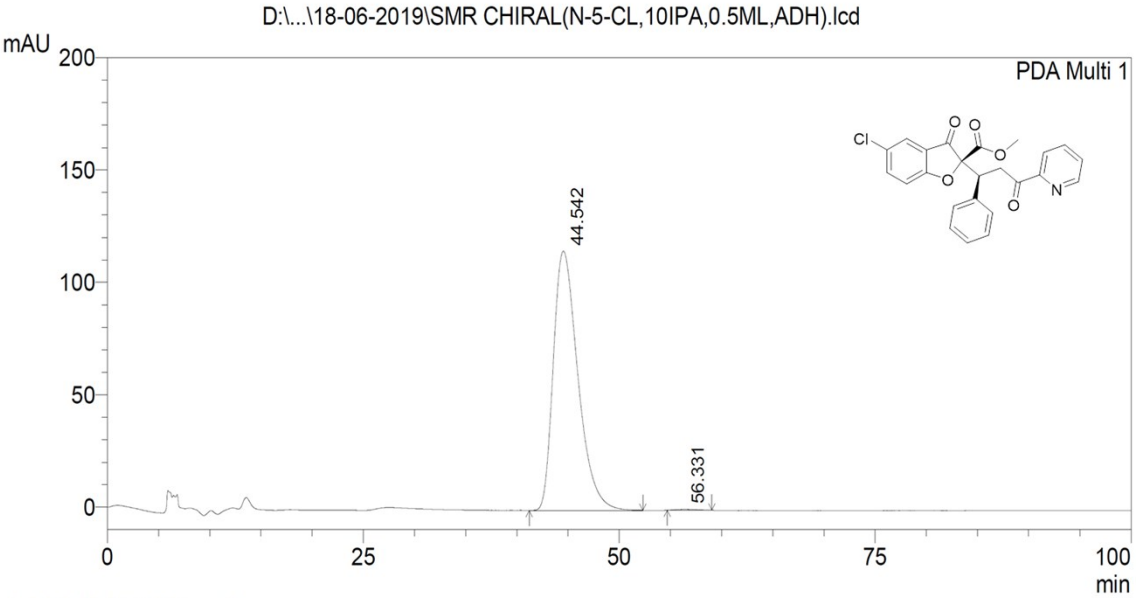


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	40.656	1498664	9931	7.747	9.669
2	44.288	8180901	49200	42.291	47.899
3	56.183	7898459	38316	40.831	37.303
4	80.441	1766250	5269	9.131	5.130
Total		19344274	102716	100.000	100.000



1 PDA Multi 1/254nm 4nm

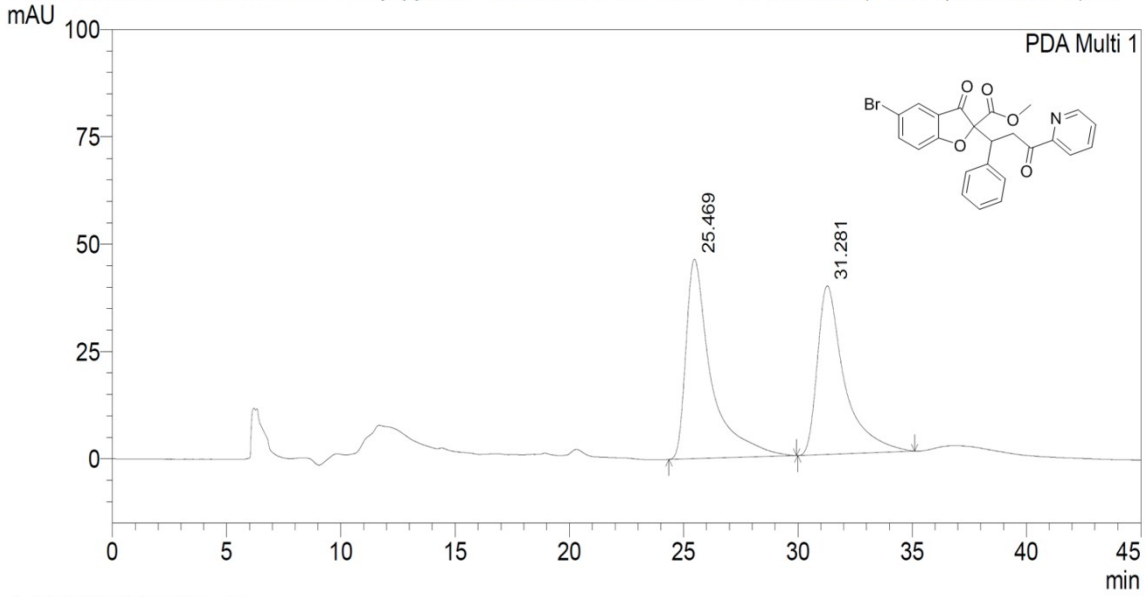
PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	44.542	19252288	115330	99.681	99.629
2	56.331	61690	429	0.319	0.371
Total		19313978	115760	100.000	100.000

HPLC chromatogram of compound 4j (Major Diastereomer)

D:\...\Substituted Benzofuranone+Acetyl pyridine Chalcone\26-05-2019\N-5-Br\SMR Rac(5-Br,10ipa,0.5ml,ASH).lcd



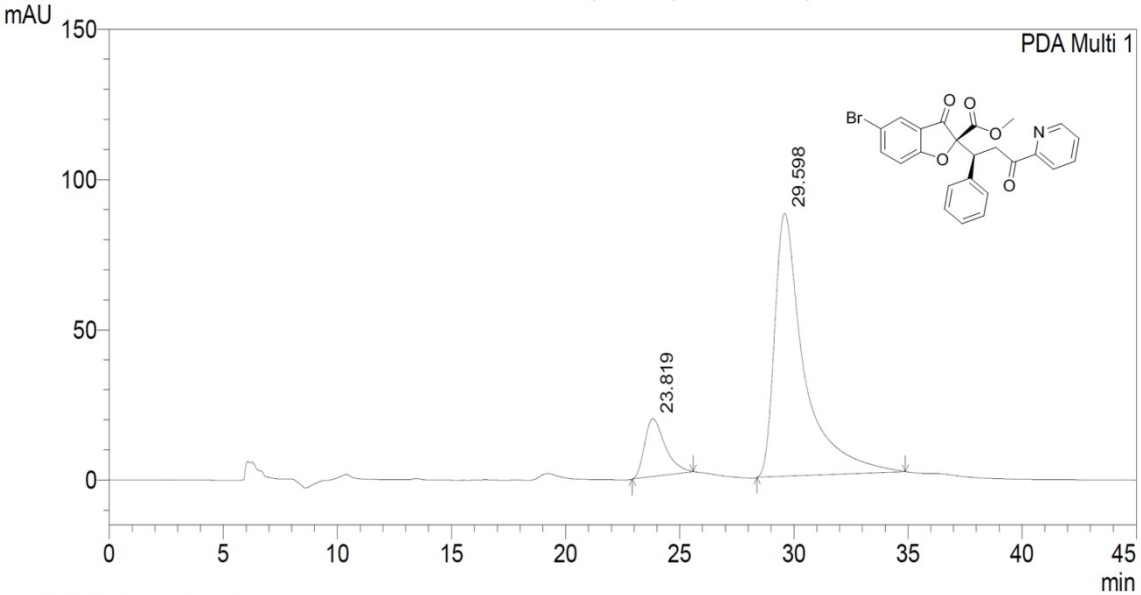
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	25.469	3574689	46475	52.480	54.184
2	31.281	3236778	39297	47.520	45.816
Total		6811468	85772	100.000	100.000

D:\...\26-05-2019\N-5-Br\SMR Chiral(5-Br,10ipa,0.5ml,ASH)dia1.lcd



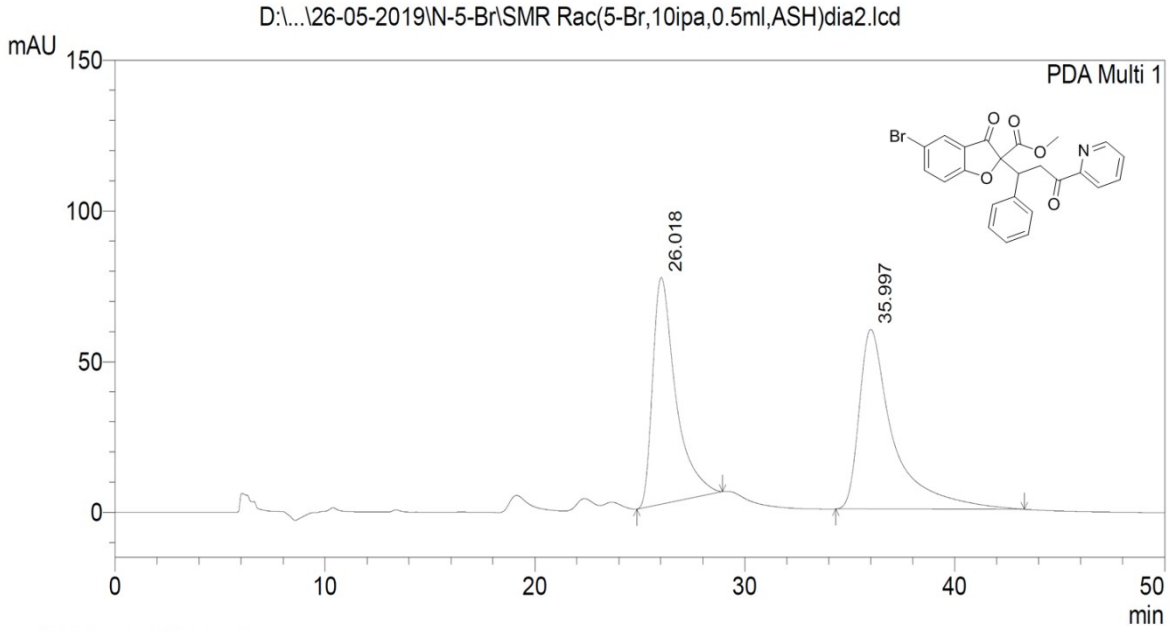
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	23.819	1205631	19220	13.252	18.005
2	29.598	7891864	87526	86.748	81.995
Total		9097495	106746	100.000	100.000

HPLC chromatogram of compound 4j (Minor Diastereomer)

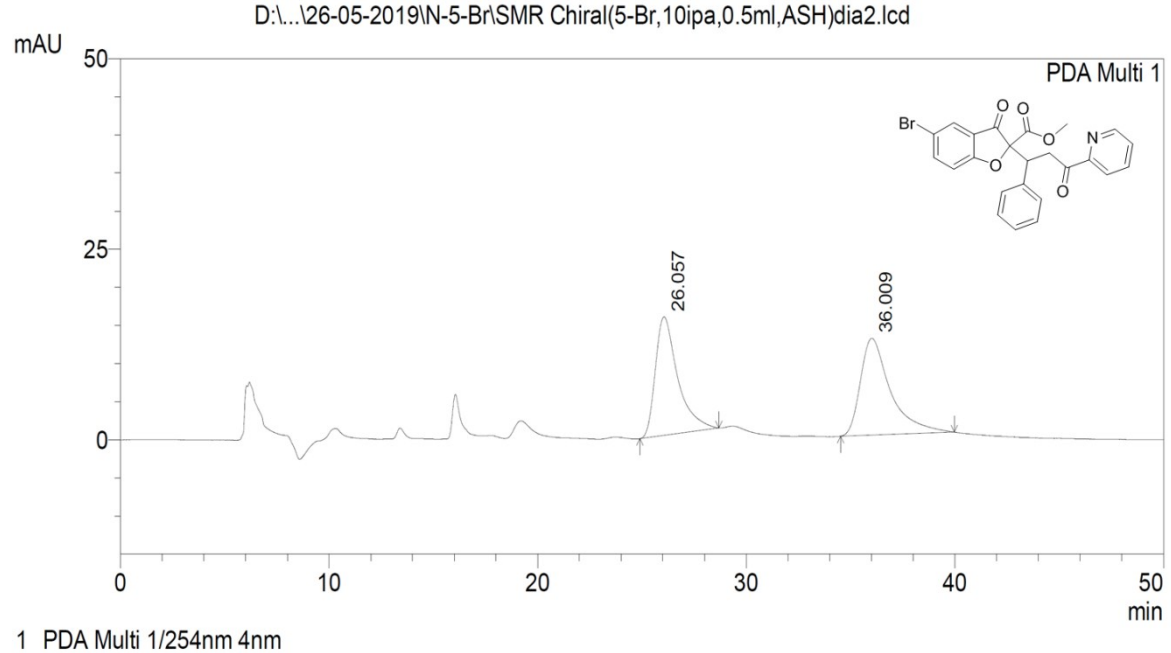


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.018	5752780	75231	45.978	55.801
2	35.997	6759265	59589	54.022	44.199
Total		12512045	134820	100.000	100.000



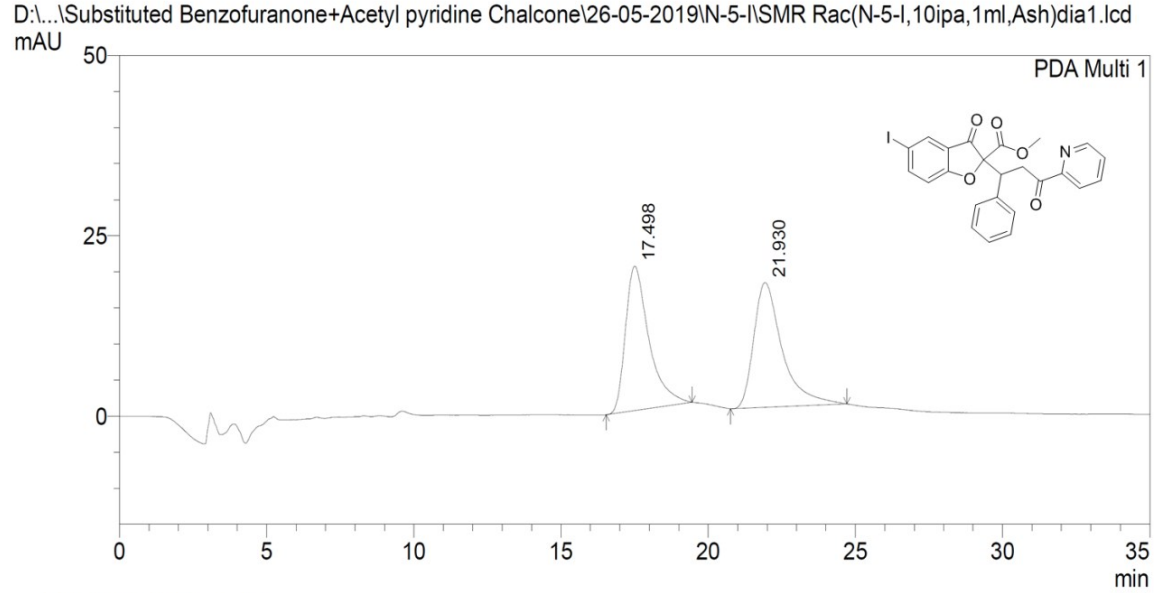
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.057	1165873	15566	47.798	55.066
2	36.009	1273303	12702	52.202	44.934
Total		2439177	28268	100.000	100.000

HPLC chromatogram of compound 4k(Major Diastereomer)

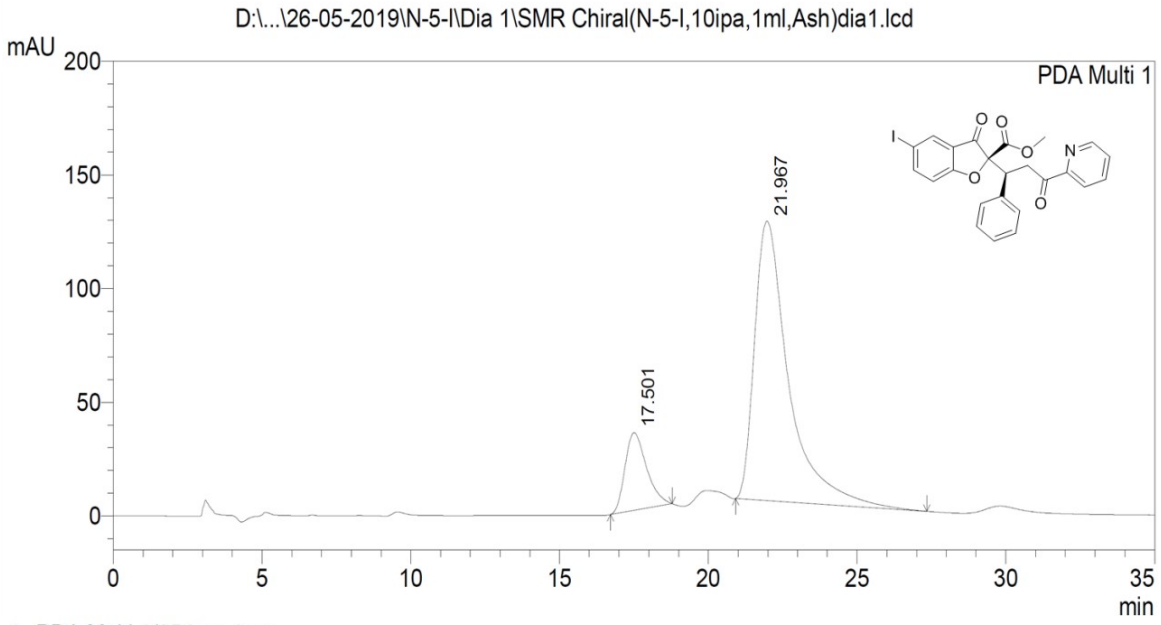


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	17.498	1116097	20032	48.877	53.657
2	21.930	1167381	17302	51.123	46.343
Total		2283478	37334	100.000	100.000



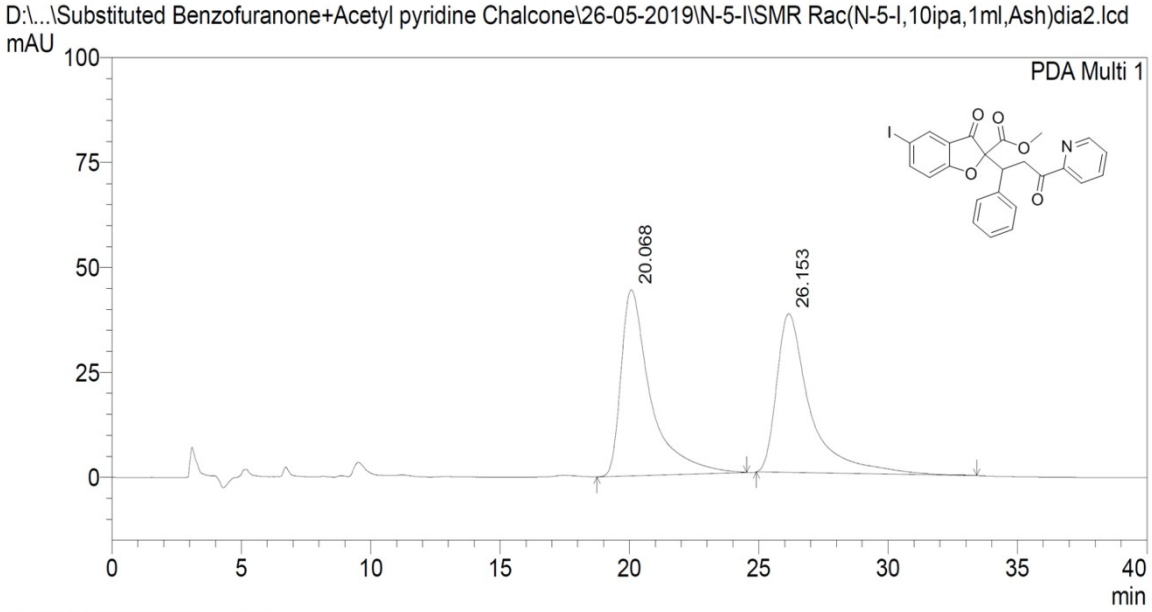
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	17.501	1728095	34172	15.005	21.754
2	21.967	9788756	122908	84.995	78.246
Total		11516851	157080	100.000	100.000

HPLC chromatogram of compound 4k(Minor Diastereomer)

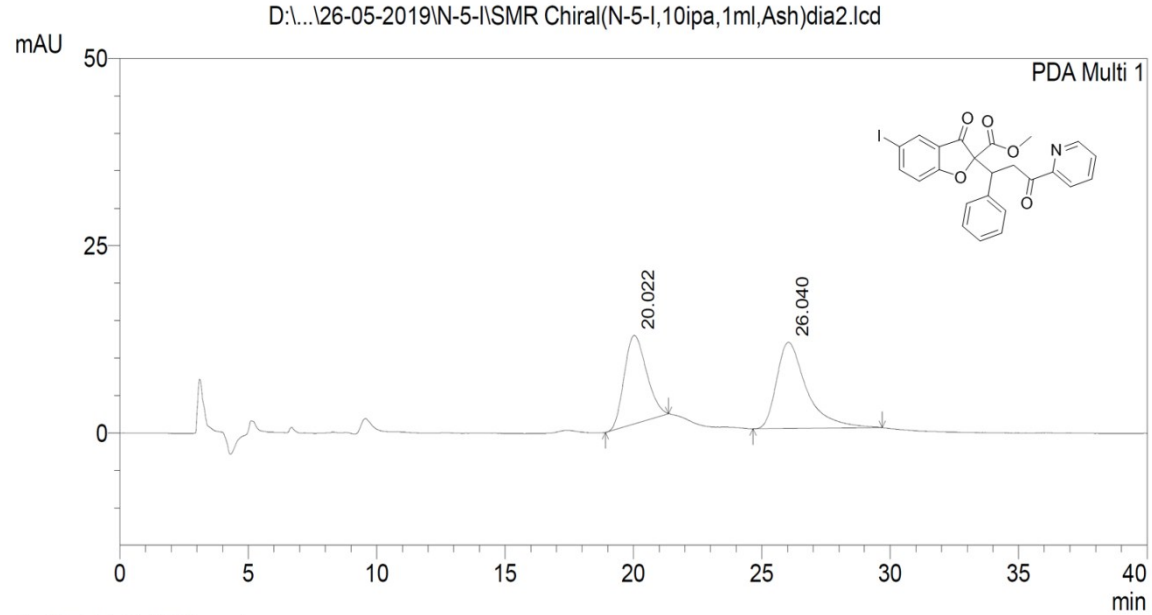


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	20.068	3527270	44315	50.751	53.951
2	26.153	3422942	37825	49.249	46.049
Total		6950212	82140	100.000	100.000



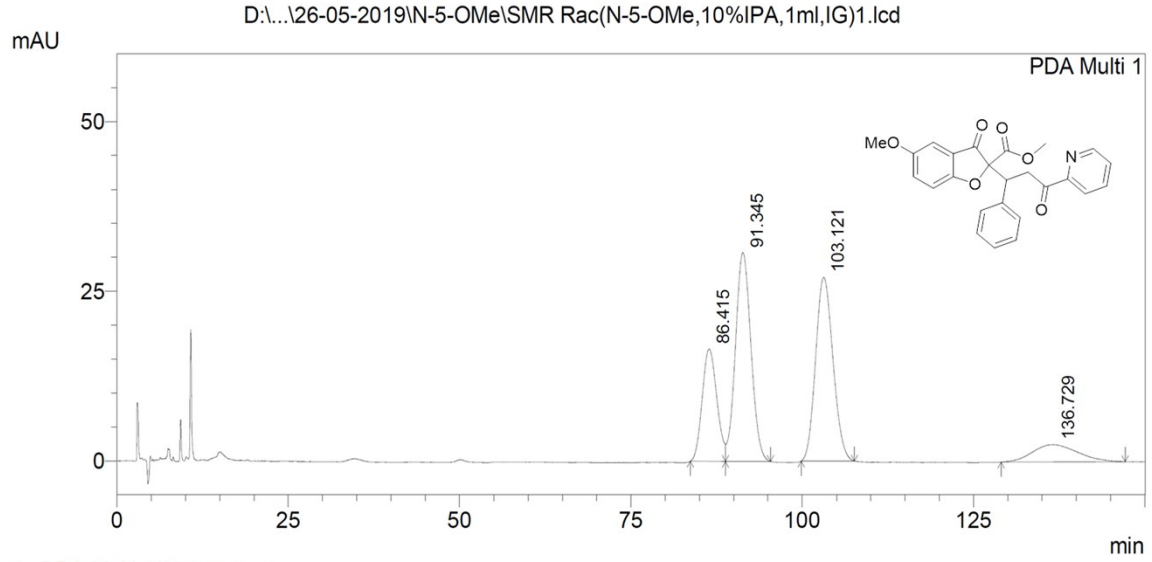
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	20.022	713217	11816	43.188	50.643
2	26.040	938222	11516	56.812	49.357
Total		1651439	23331	100.000	100.000

HPLC chromatogram of compound 4l

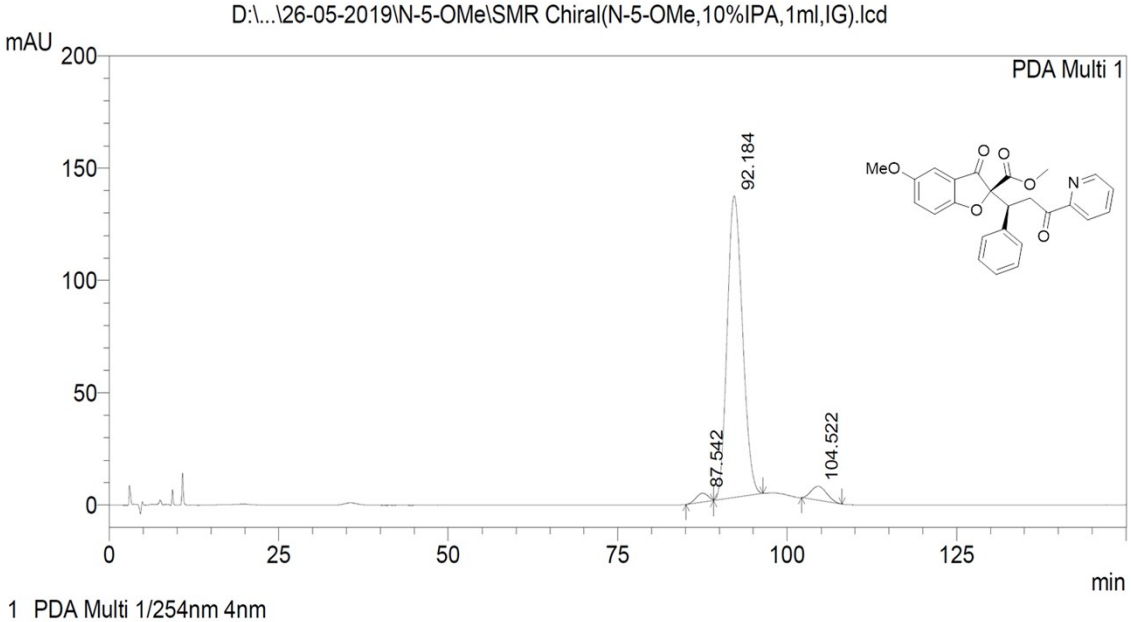


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	86.415	2455154	16581	18.546	21.532
2	91.345	4851457	30770	36.648	39.957
3	103.121	4778773	27113	36.099	35.208
4	136.729	1152747	2544	8.708	3.303
Total		13238130	77008	100.000	100.000



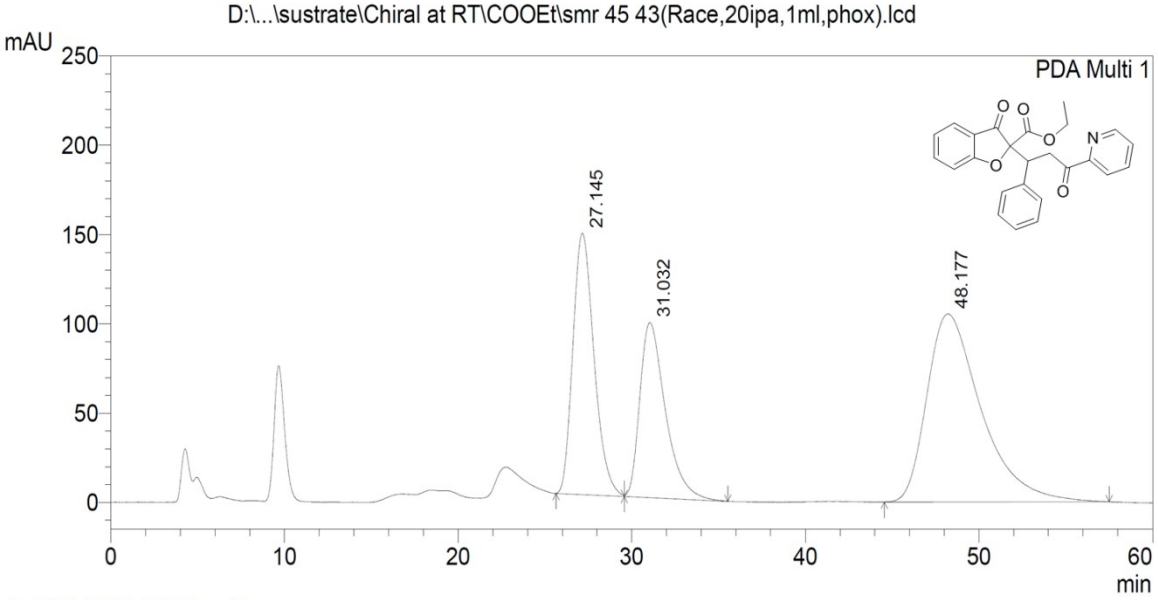
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	87.542	483755	3954	2.146	2.737
2	92.184	21056680	134304	93.394	92.965
3	104.522	1005532	6210	4.460	4.298
Total		22545967	144468	100.000	100.000

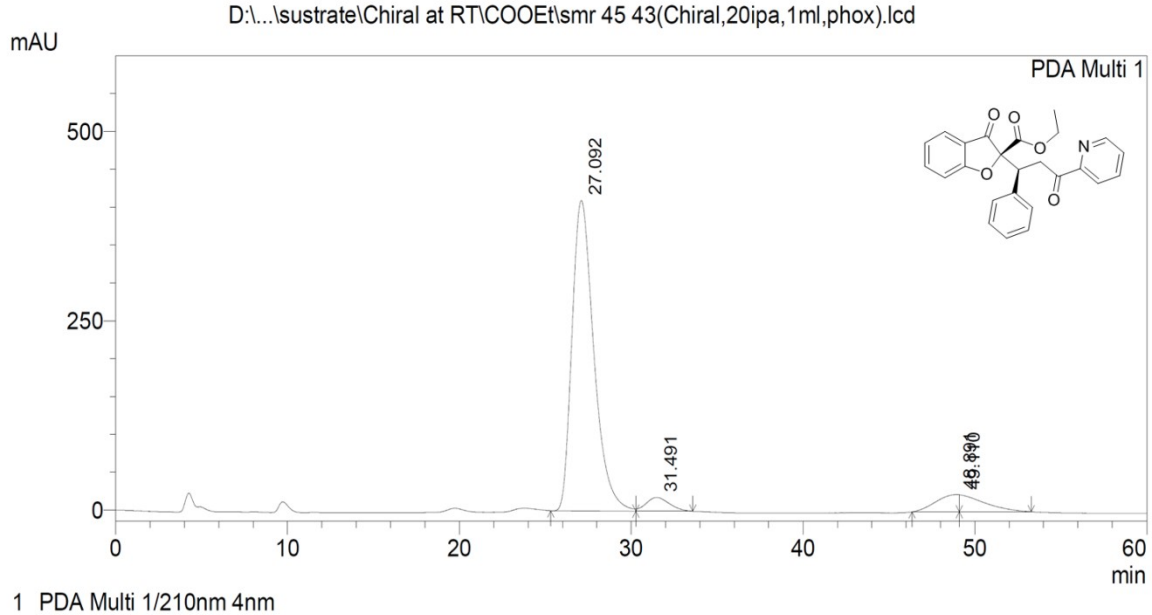
HPLC chromatogram of compound 4m



PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.145	12403705	146237	27.371	41.825
2	31.032	10042460	98104	22.160	28.058
3	48.177	22871029	105302	50.469	30.117
Total		45317194	349642	100.000	100.000

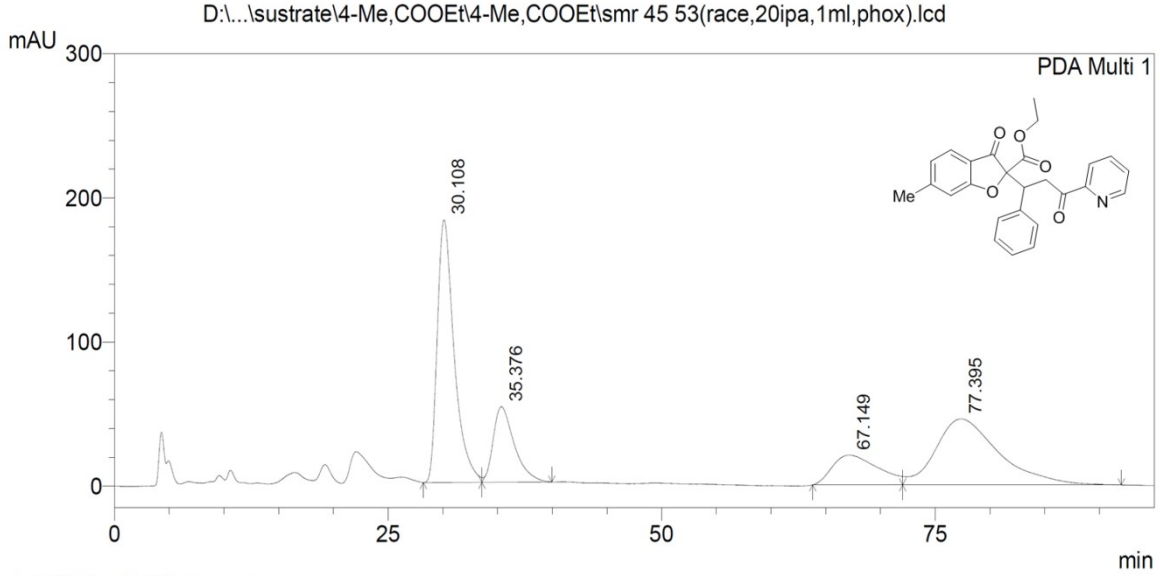


PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.092	36244800	410095	85.696	86.625
2	31.491	1695956	18101	4.010	3.824
3	48.891	2083272	22758	4.926	4.807
4	49.110	2270385	22462	5.368	4.745
Total		42294412	473416	100.000	100.000

HPLC chromatogram of compound 4n

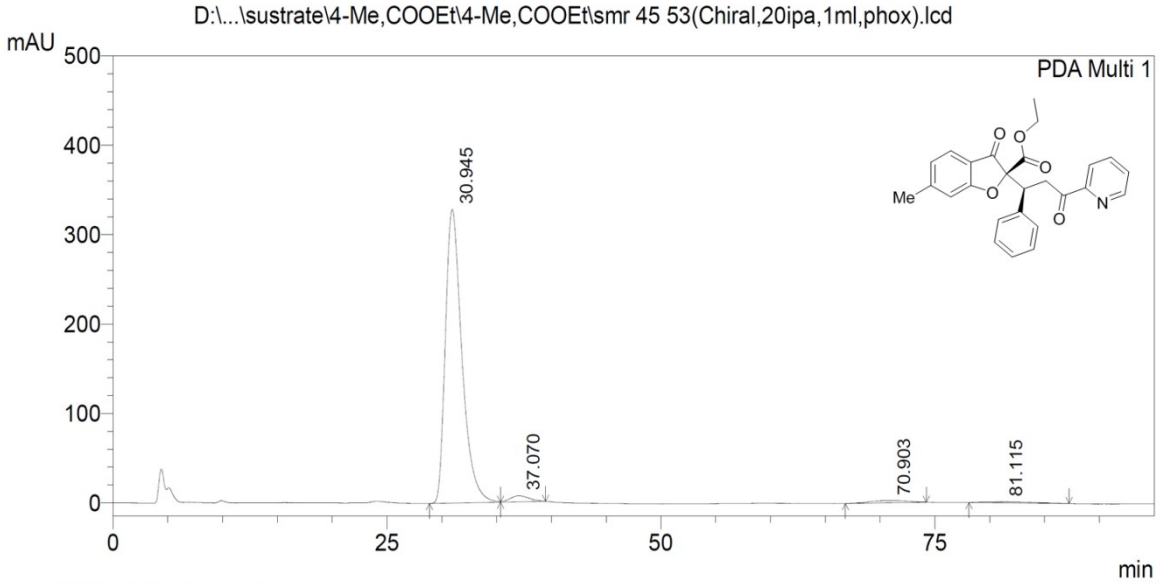


1 PDA Multi 1/210nm 4nm

PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	30.108	19555171	182082	38.327	60.525
2	35.376	7032233	52331	13.783	17.395
3	67.149	5993492	20662	11.747	6.868
4	77.395	18440367	45761	36.143	15.211
Total		51021263	300836	100.000	100.000



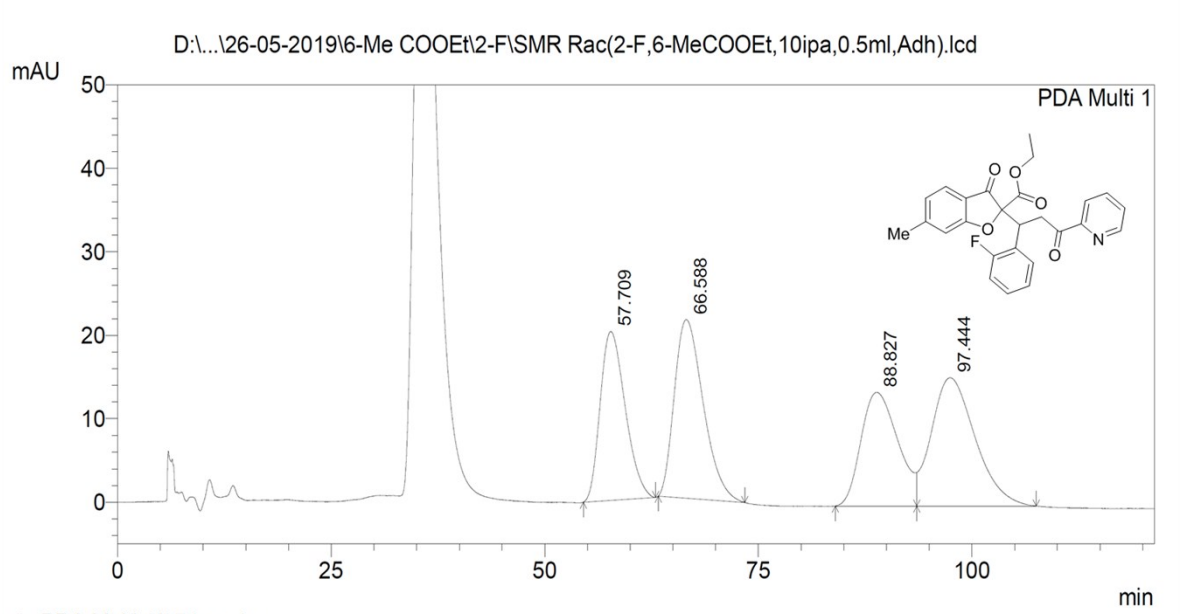
1 PDA Multi 1/210nm 4nm

PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	30.945	34448261	328655	94.971	96.823
2	37.070	829246	6862	2.286	2.022
3	70.903	590263	2604	1.627	0.767
4	81.115	404443	1320	1.115	0.389
Total		36272213	339441	100.000	100.000

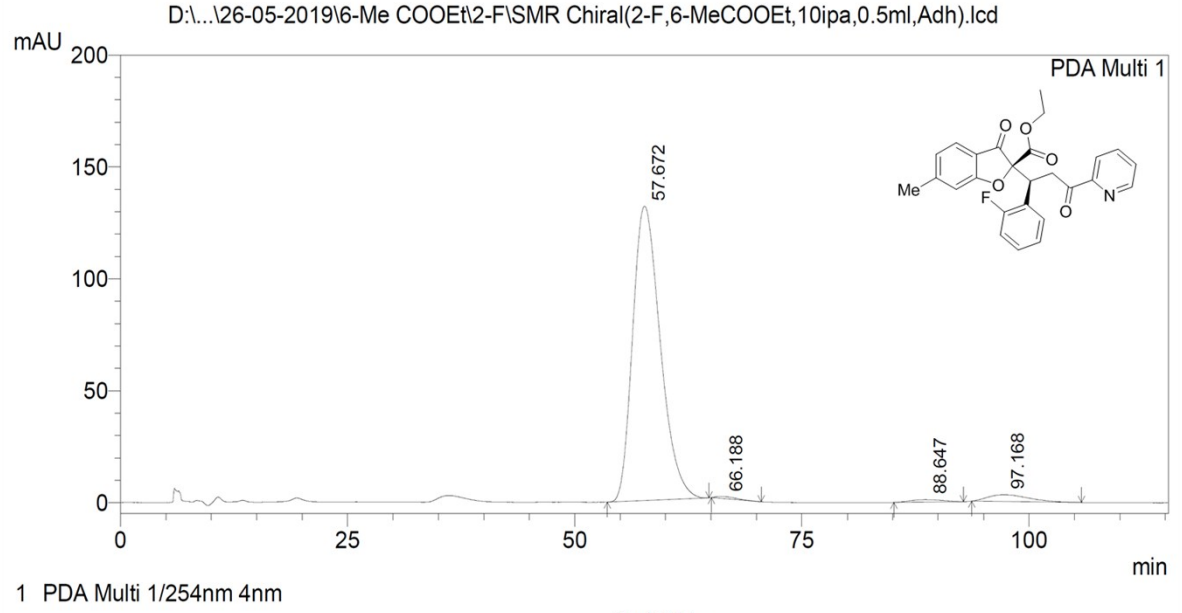
HPLC chromatogram of compound 4o



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	57.709	4123258	20221	21.978	28.641
2	66.588	5035246	21397	26.839	30.306
3	88.827	4112555	13621	21.921	19.293
4	97.444	5489777	15363	29.262	21.760
Total		18760837	70601	100.000	100.000

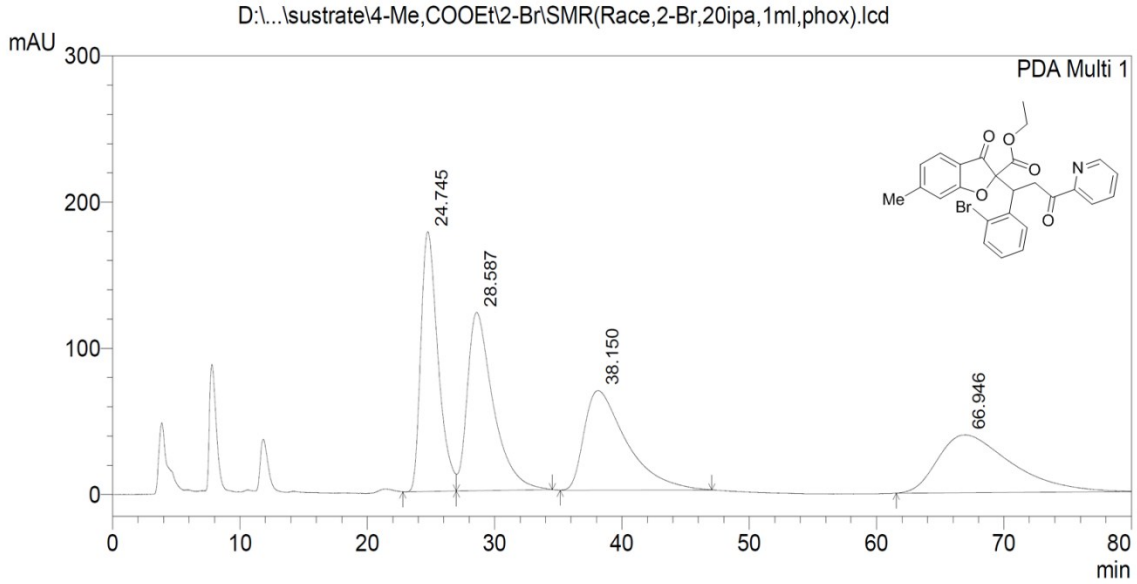


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	57.672	27855249	131629	95.407	96.347
2	66.188	128925	818	0.442	0.599
3	88.647	264396	1126	0.906	0.825
4	97.168	947658	3046	3.246	2.230
Total		29196228	136620	100.000	100.000

HPLC chromatogram of compound 4p

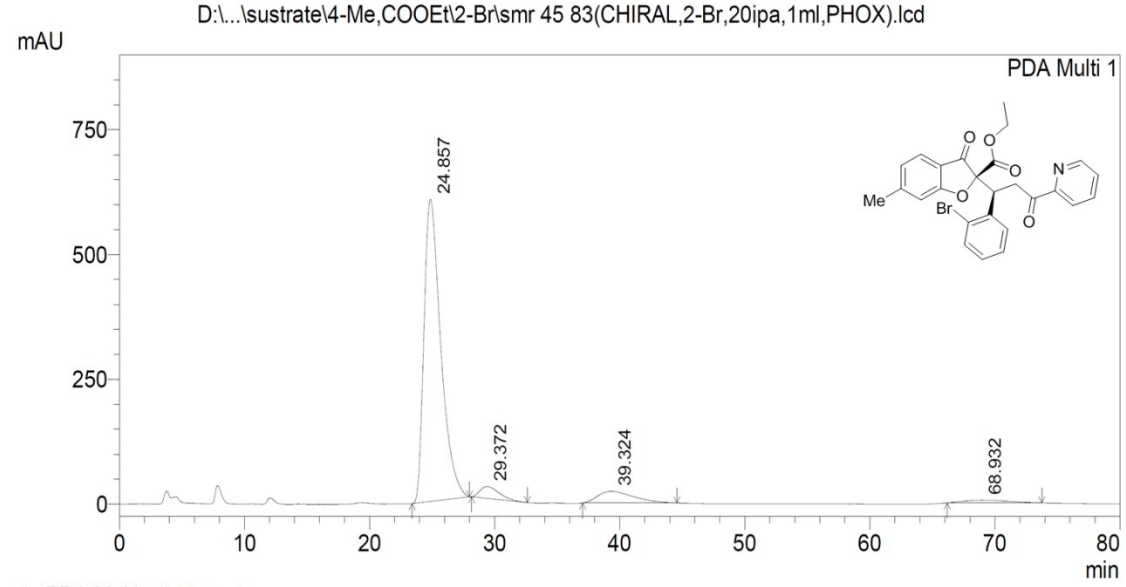


1 PDA Multi 1/210nm 4nm

PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.745	16633543	177630	25.380	43.622
2	28.587	17247983	121864	26.317	29.927
3	38.150	15738685	68110	24.014	16.726
4	66.946	15918432	39600	24.289	9.725
Total		65538643	407204	100.000	100.000



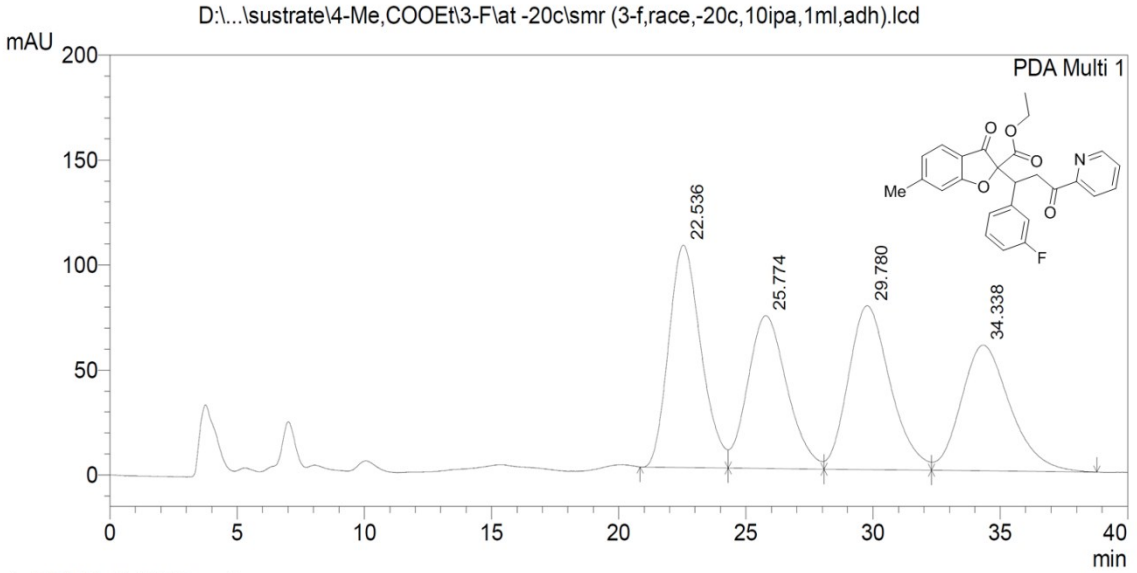
1 PDA Multi 1/210nm 4nm

PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.857	56438686	605715	86.670	91.987
2	29.372	2611243	23906	4.010	3.631
3	39.324	4688514	23429	7.200	3.558
4	68.932	1380604	5426	2.120	0.824
Total		65119047	658476	100.000	100.000

HPLC chromatogram of compound 4q

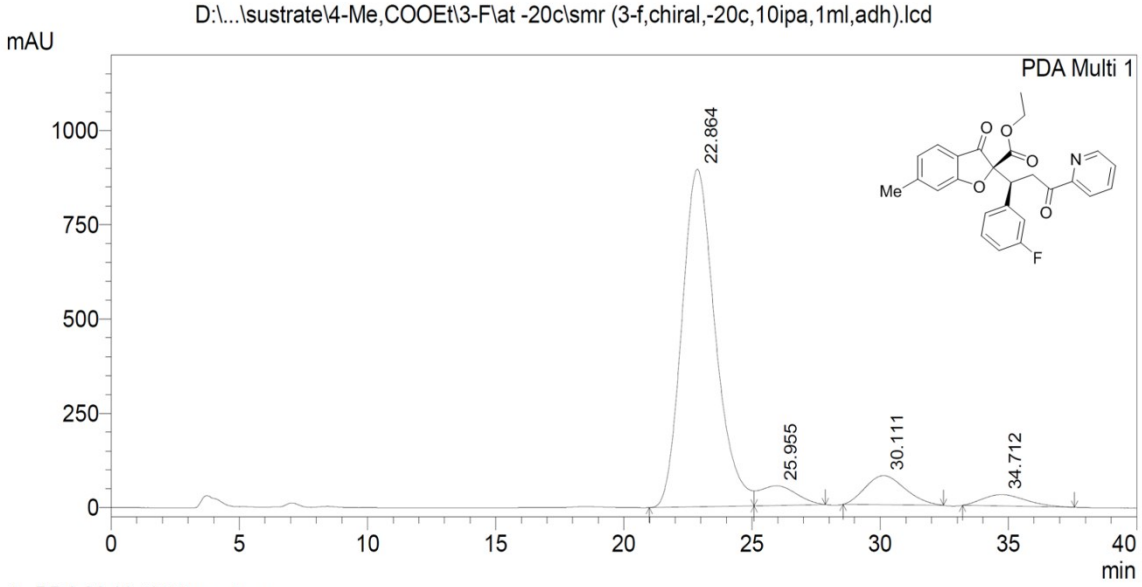


1 PDA Multi 1/210nm 4nm

PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	22.536	9361311	105956	27.426	33.457
2	25.774	7817838	72824	22.904	22.995
3	29.780	8922847	78045	26.142	24.643
4	34.338	8030663	59872	23.528	18.905
Total		34132659	316696	100.000	100.000



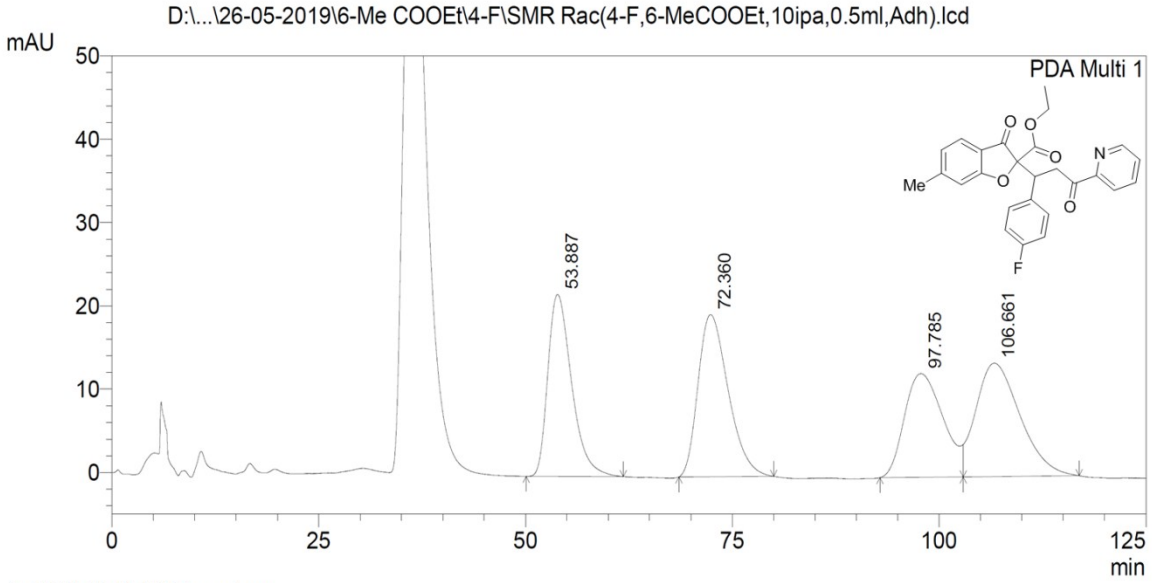
1 PDA Multi 1/210nm 4nm

PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	22.864	81107805	894934	82.526	84.847
2	25.955	5234704	52178	5.326	4.947
3	30.111	8365410	77425	8.512	7.341
4	34.712	3573832	30228	3.636	2.866
Total		98281751	1054765	100.000	100.000

HPLC chromatogram of compound 4r

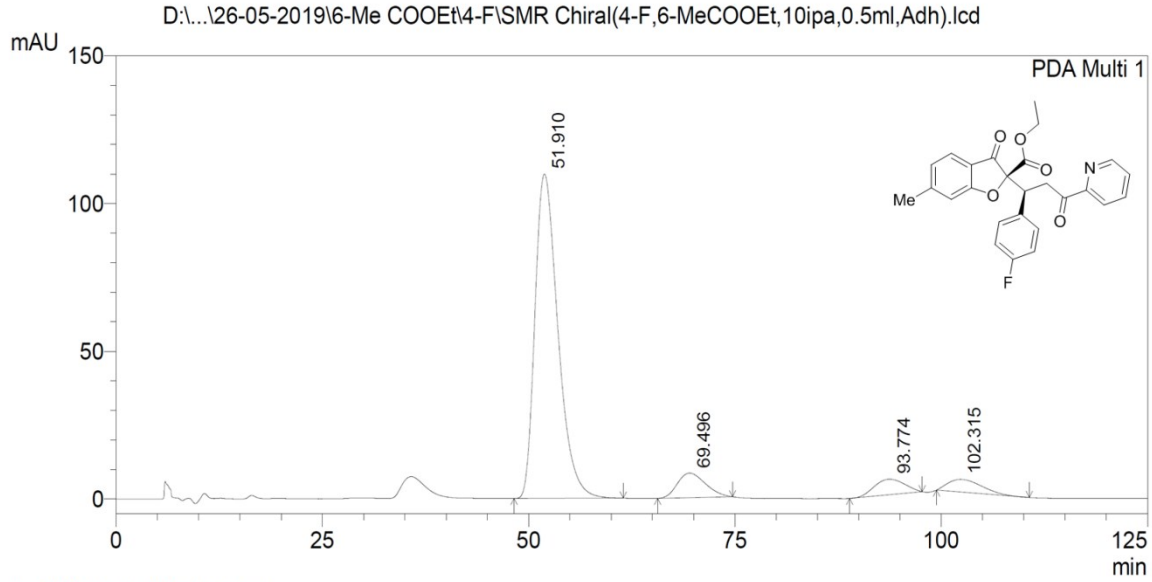


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	53.887	4368979	21838	23.725	32.422
2	72.360	4933314	19469	26.790	28.905
3	97.785	4064720	12442	22.073	18.473
4	106.661	5047736	13605	27.411	20.200
Total		18414750	67354	100.000	100.000



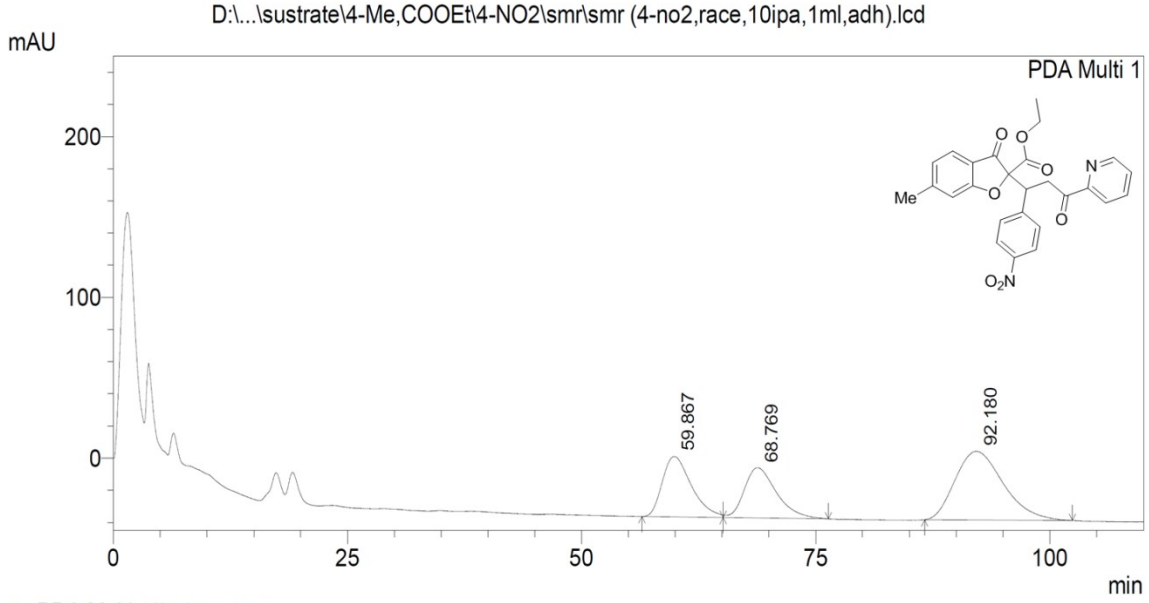
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	51.910	21289720	109735	82.383	86.014
2	69.496	1971003	8321	7.627	6.522
3	93.774	1343261	5237	5.198	4.105
4	102.315	1238386	4286	4.792	3.359
Total		25842370	127579	100.000	100.000

HPLC chromatogram of compound 4s

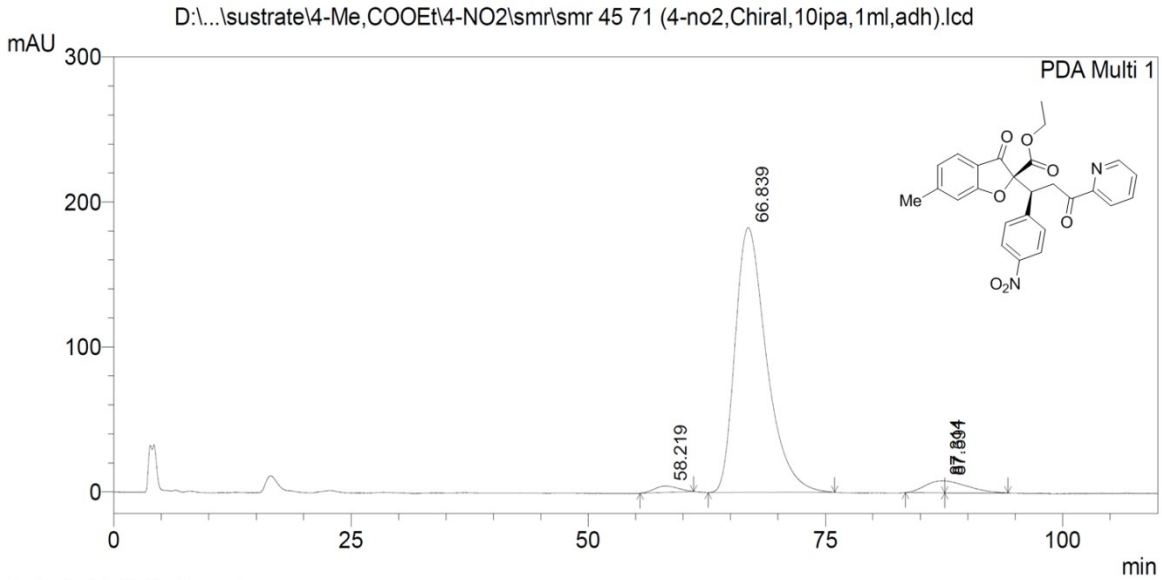


1 PDA Multi 1/210nm 4nm

PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	59.867	8141377	37624	26.317	33.712
2	68.769	7454790	31223	24.098	27.977
3	92.180	15339762	42756	49.586	38.311
Total		30935929	111603	100.000	100.000



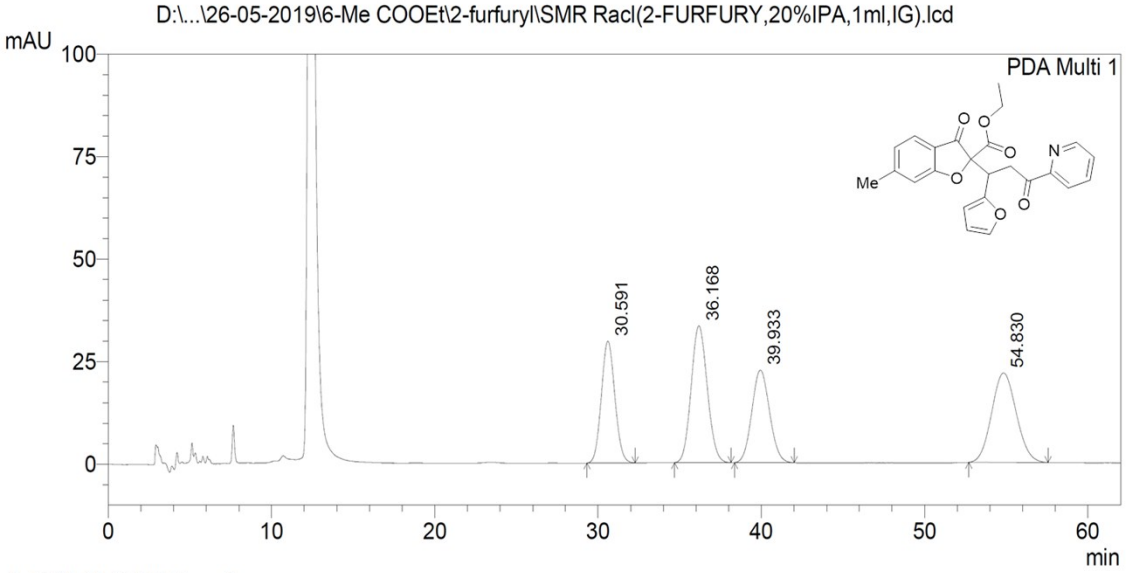
1 PDA Multi 1/210nm 4nm

PeakTable

PDA Ch1 210nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	58.219	758117	4343	1.672	2.135
2	66.839	42089688	182607	92.840	89.784
3	87.314	1119469	8253	2.469	4.058
4	87.591	1368288	8182	3.018	4.023
Total		45335562	203384	100.000	100.000

HPLC chromatogram of compound 4t

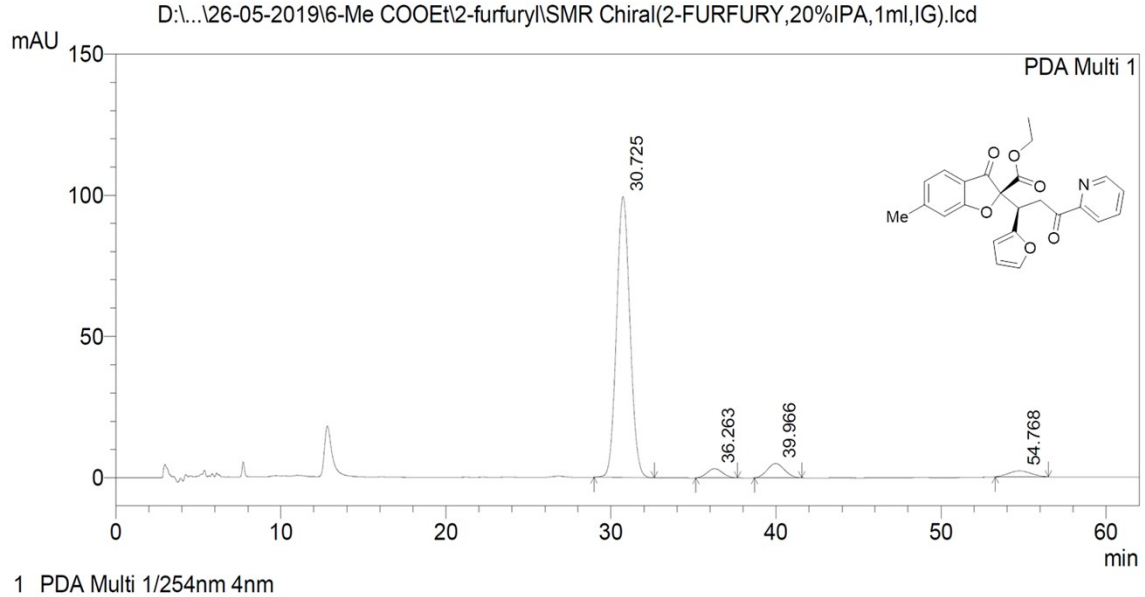


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	30.591	1709091	29690	21.388	27.630
2	36.168	2291149	33356	28.672	31.042
3	39.933	1703069	22576	21.312	21.010
4	54.830	2287682	21833	28.628	20.318
Total		7990990	107455	100.000	100.000



1 PDA Multi 1/254nm 4nm

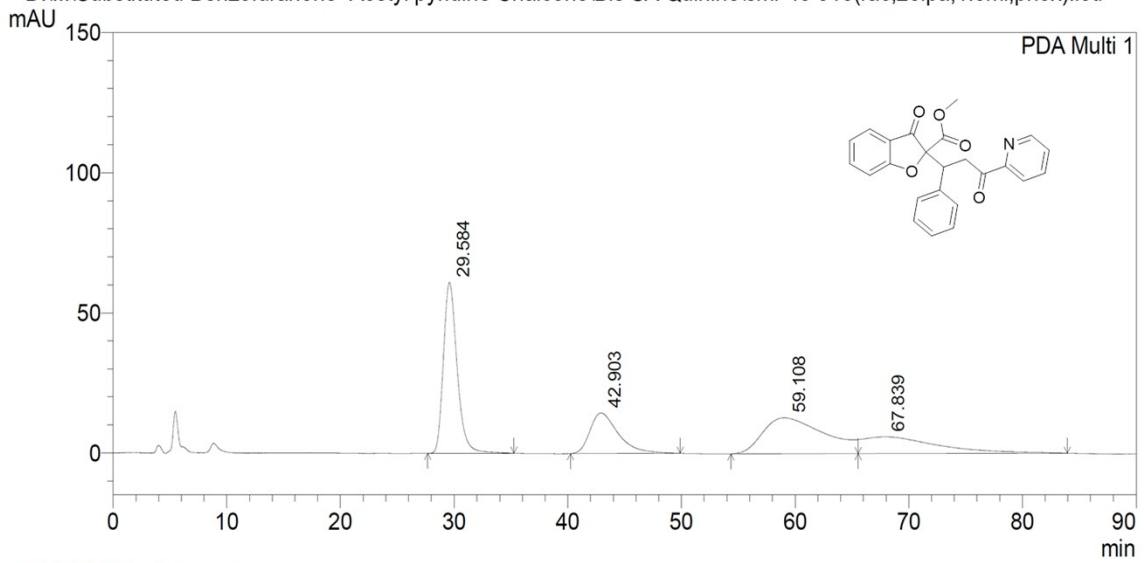
PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	30.725	5643251	99501	87.999	90.553
2	36.263	209451	3223	3.266	2.933
3	39.966	364689	5063	5.687	4.607
4	54.768	195501	2096	3.049	1.907
Total		6412893	109882	100.000	100.000

9. HPLC chromatogram of compound ent-4a

D:\...\Substituted Benzofuranone+Acetyl pyridine Chalcone\Bis SA Quinine\smr 45 013(rac,20ipa,1.0ml,phox).lcd



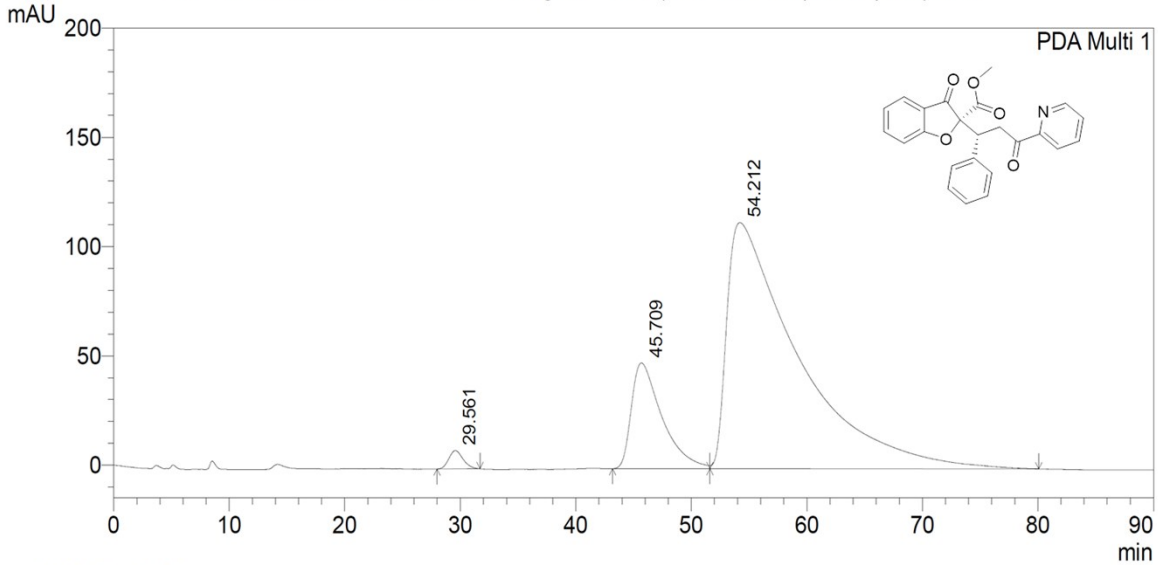
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.584	5122101	60978	33.582	64.661
2	42.903	2501021	14480	16.397	15.355
3	59.108	4876433	12842	31.971	13.618
4	67.839	2753025	6003	18.050	6.366
Total		15252580	94304	100.000	100.000

D:\...\Bis SA Quinine\Solvent Screening\smr 45 99(Acetonitile,20ipa,1ml,phox)1.lcd



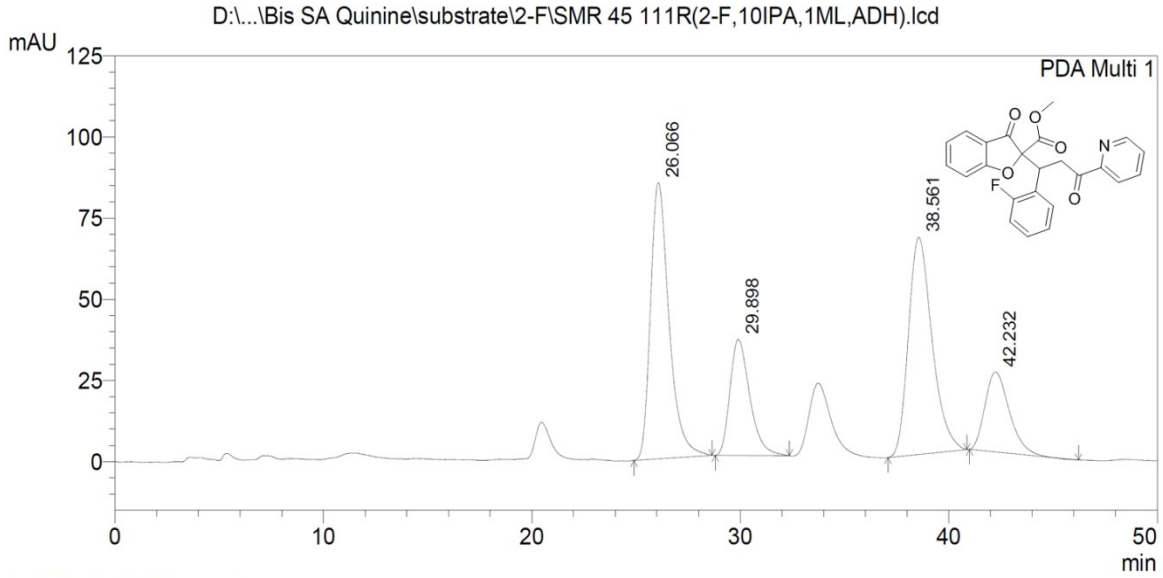
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.561	716376	8438	1.238	4.980
2	45.709	8880487	48386	15.348	28.554
3	54.212	48264790	112626	83.414	66.466
Total		57861653	169450	100.000	100.000

HPLC chromatogram of compound ent-4b

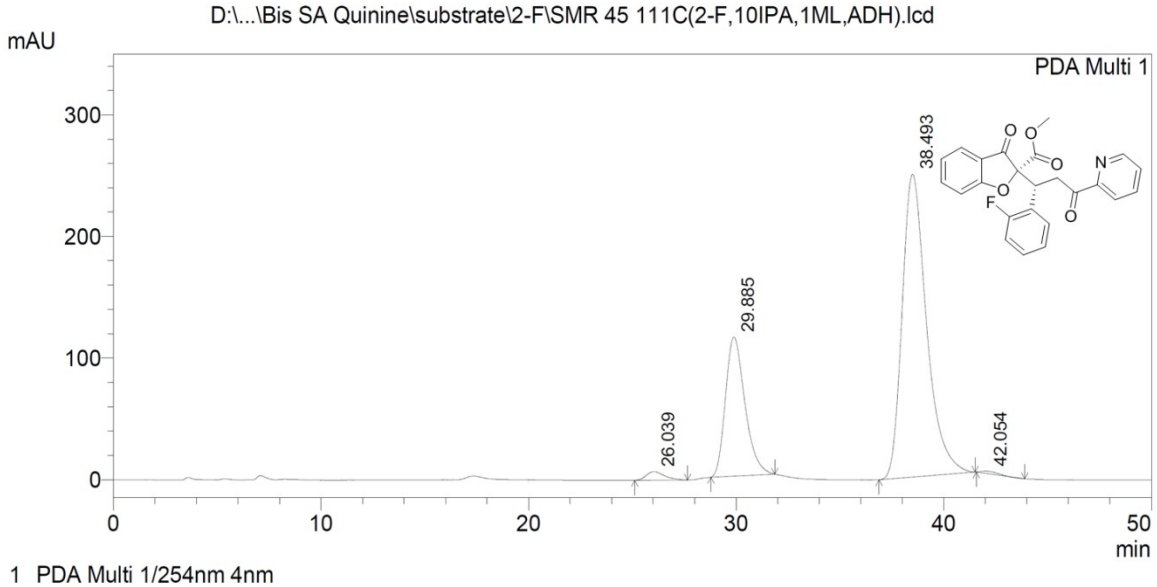


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.066	5266225	85082	35.254	40.062
2	29.898	2373566	35768	15.890	16.842
3	38.561	5296072	66887	35.454	31.494
4	42.232	2002030	24640	13.402	11.602
Total		14937893	212378	100.000	100.000



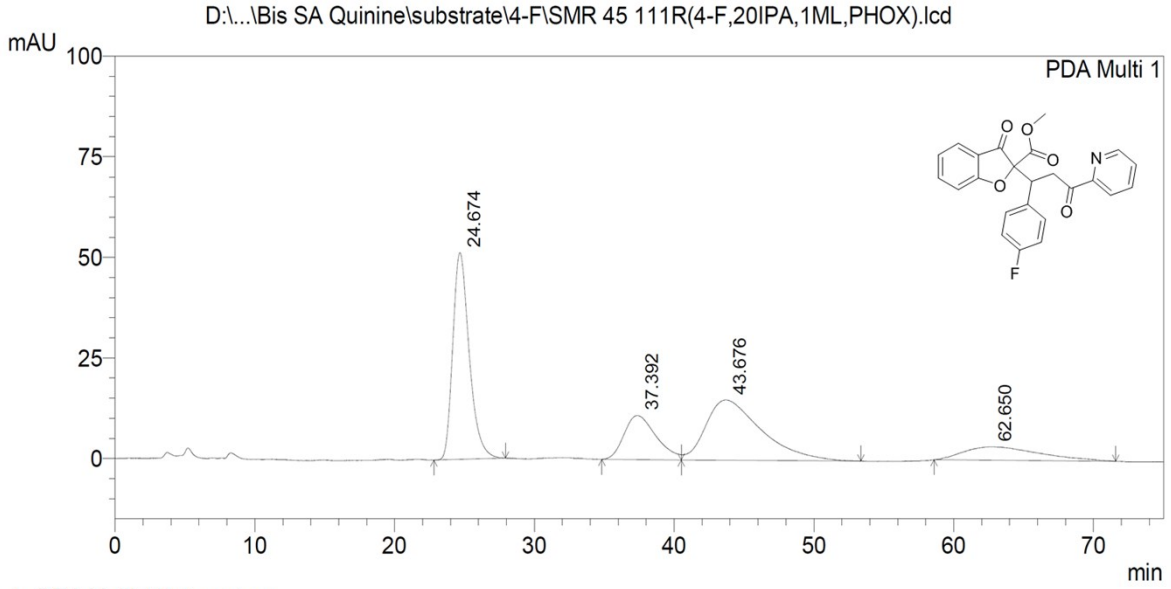
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.039	426094	7042	1.505	1.891
2	29.885	7452137	114434	26.320	30.738
3	38.493	20347224	248998	71.864	66.883
4	42.054	88122	1813	0.311	0.487
Total		28313577	372287	100.000	100.000

HPLC chromatogram of compound ent-4e

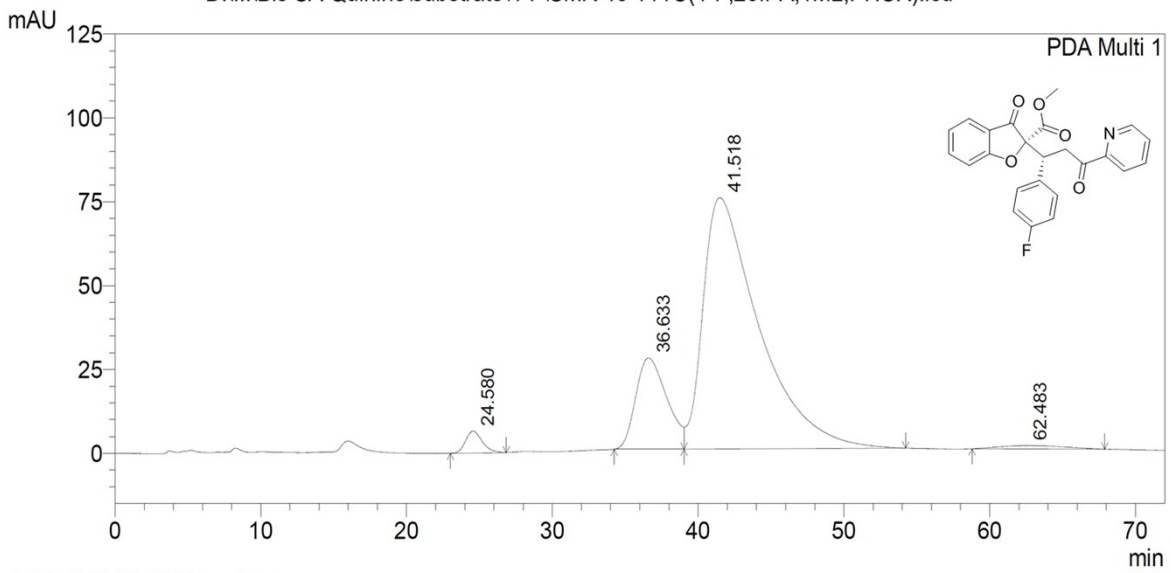


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.674	4200030	51430	37.016	63.684
2	37.392	1742775	10973	15.359	13.587
3	43.676	4140631	14969	36.492	18.536
4	62.650	1263132	3386	11.132	4.193
Total		11346567	80758	100.000	100.000



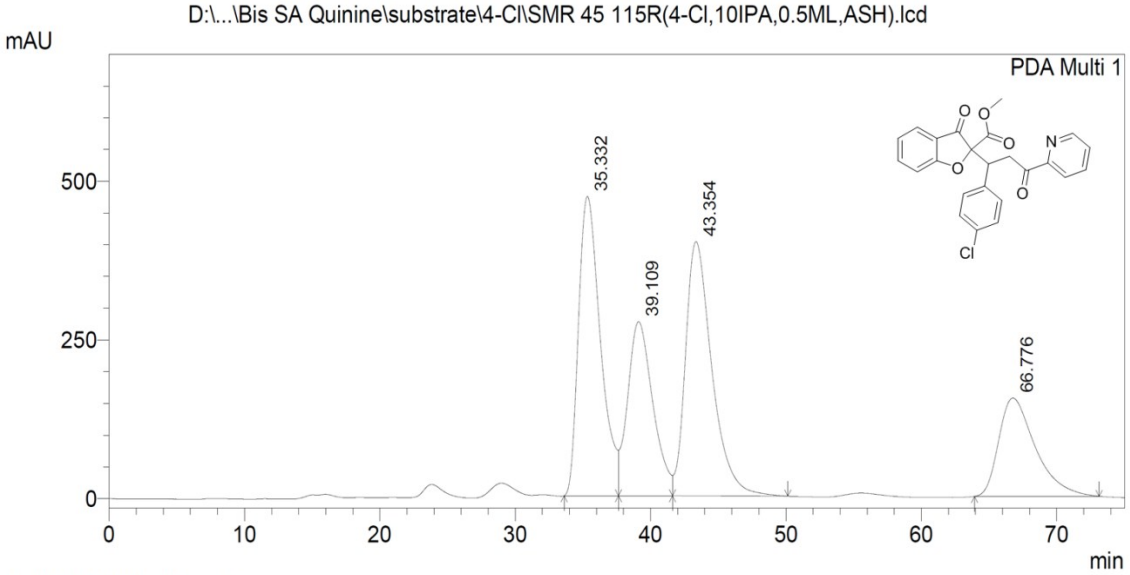
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.580	519881	6539	2.089	5.965
2	36.633	4022091	27183	16.163	24.797
3	41.518	20019400	74874	80.451	68.302
4	62.483	322556	1026	1.296	0.936
Total		24883928	109622	100.000	100.000

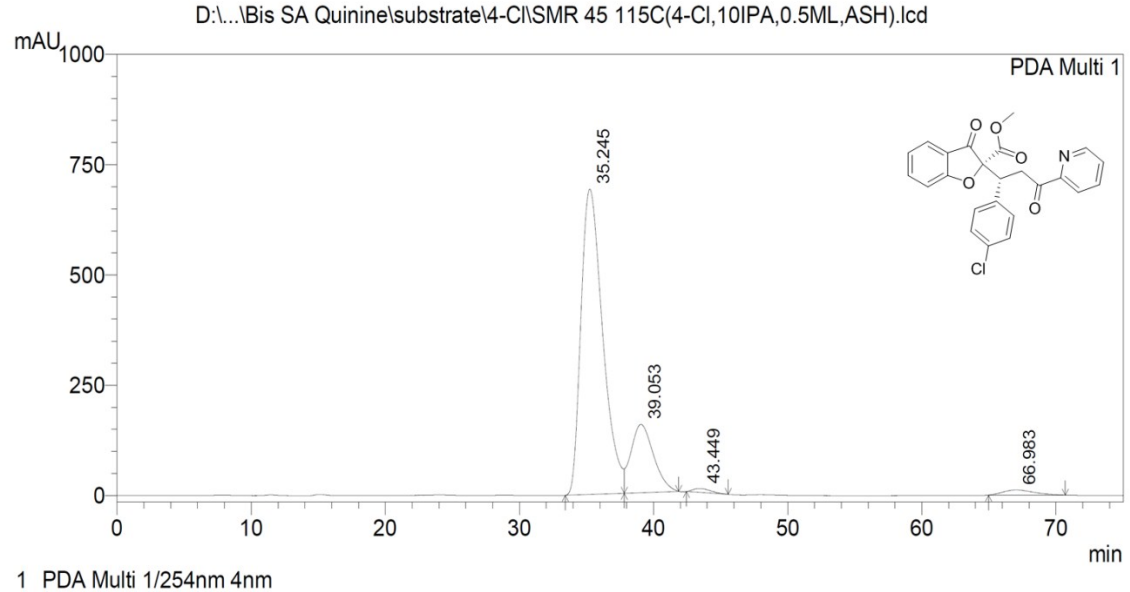
HPLC chromatogram of compound ent-4f



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	35.332	53038055	471386	30.766	36.227
2	39.109	35608088	274410	20.655	21.089
3	43.354	54648017	400569	31.700	30.784
4	66.776	29098590	154848	16.879	11.900
Total		172392749	1301213	100.000	100.000

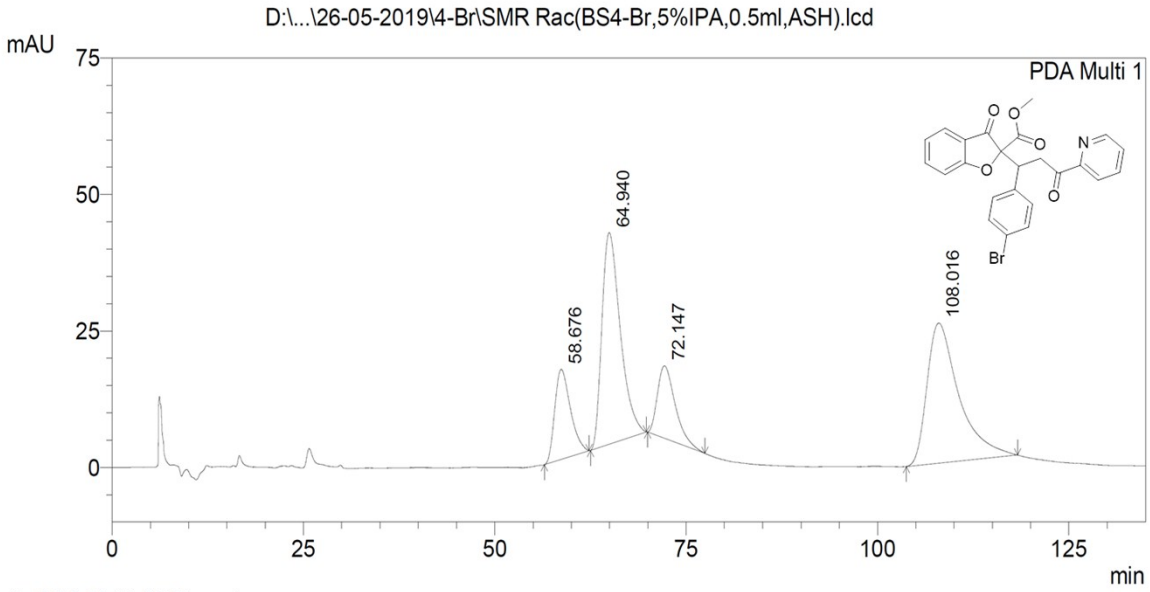


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	35.245	77967869	691961	78.815	79.788
2	39.053	18261625	154737	18.460	17.842
3	43.449	839055	8985	0.848	1.036
4	66.983	1857108	11568	1.877	1.334
Total		98925657	867251	100.000	100.000

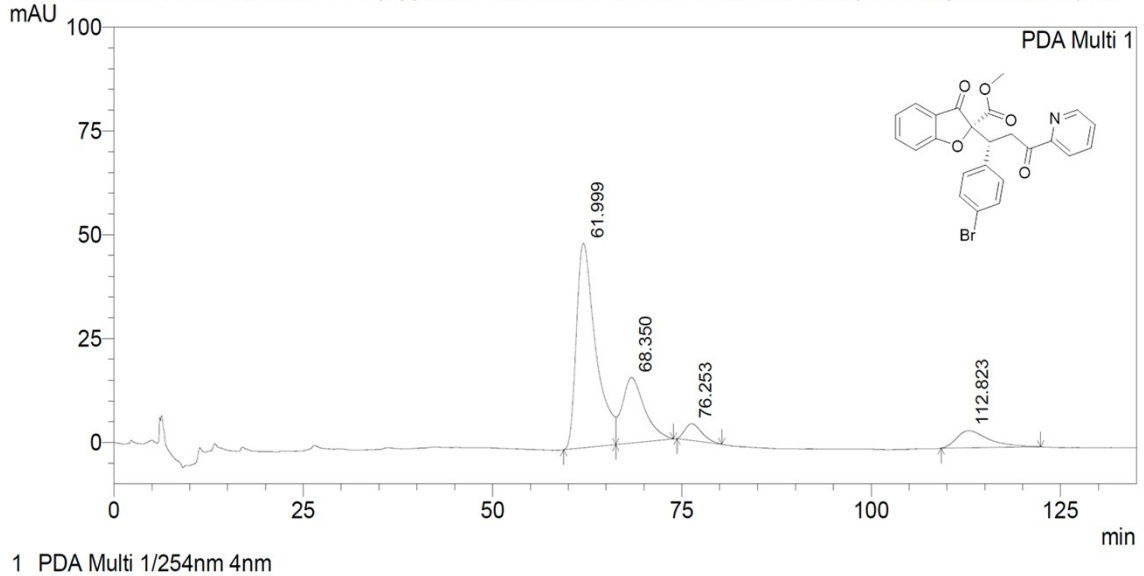
HPLC chromatogram of compound ent-4g



PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	58.676	2365309	16526	12.791	17.534
2	64.940	6504829	38780	35.176	41.144
3	72.147	2162271	13281	11.693	14.091
4	108.016	7459779	25666	40.340	27.231
Total		18492188	94253	100.000	100.000

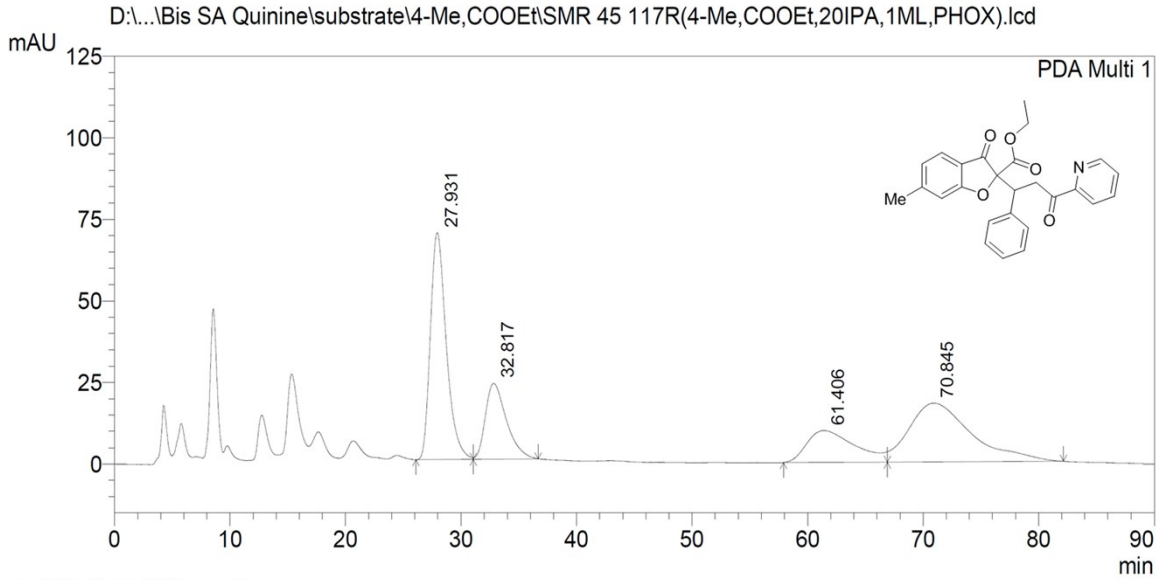


PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	61.999	8724041	49243	63.001	67.314
2	68.350	3281164	15775	23.695	21.564
3	76.253	624273	4009	4.508	5.480
4	112.823	1218095	4127	8.796	5.641
Total		13847573	73154	100.000	100.000

HPLC chromatogram of compound ent-4n

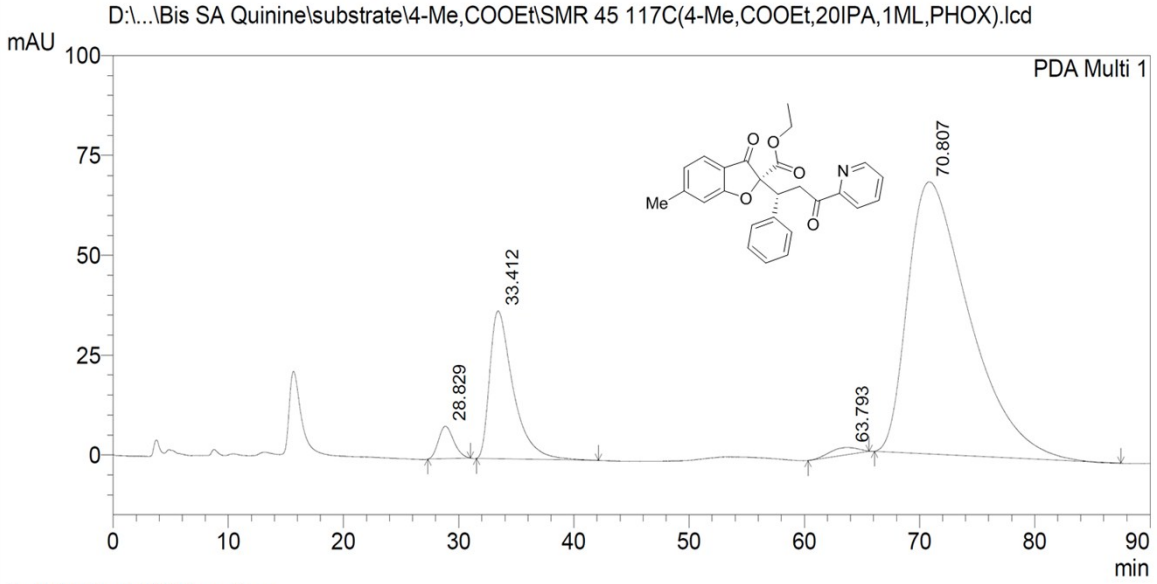


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.931	6643144	69561	34.657	57.674
2	32.817	2939896	23250	15.337	19.277
3	61.406	2831366	9777	14.771	8.107
4	70.845	6753711	18021	35.234	14.942
Total		19168118	120609	100.000	100.000



1 PDA Multi 1/254nm 4nm

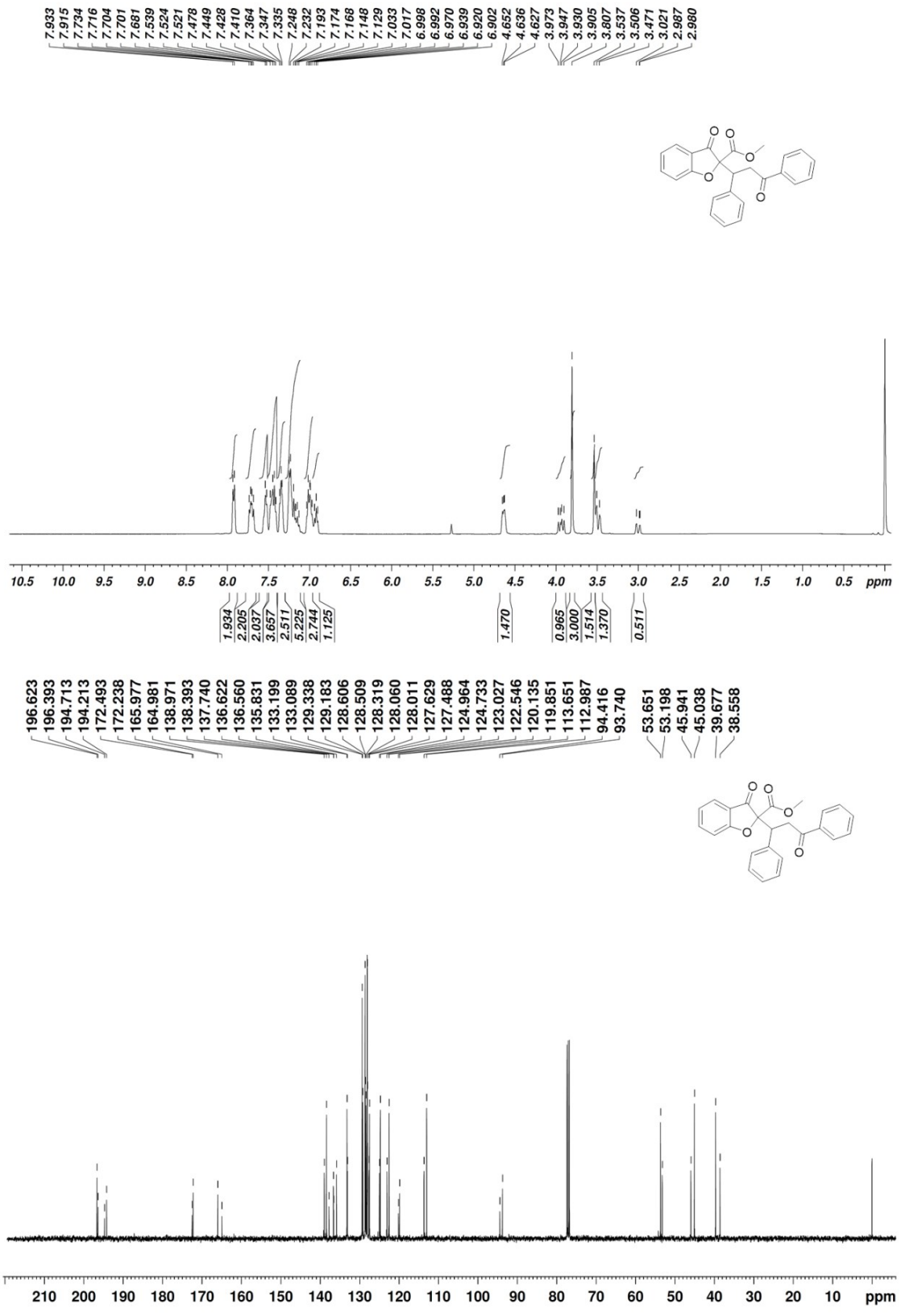
PeakTable

PDA Ch1 254nm 4nm

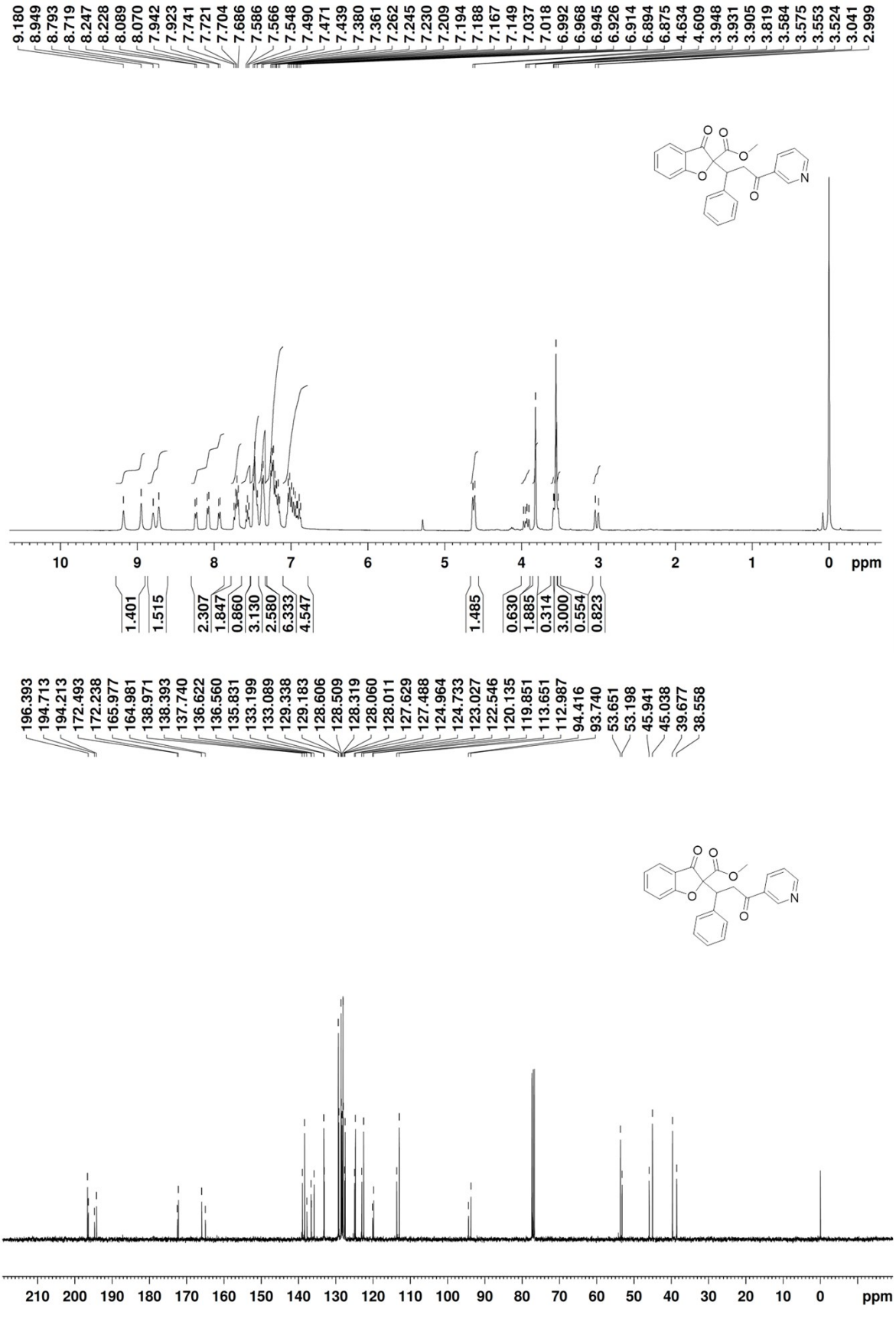
Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.829	749436	8144	2.367	7.083
2	33.412	5243129	37010	16.563	32.189
3	63.793	307228	1730	0.971	1.505
4	70.807	25356516	68093	80.099	59.223
Total		31656309	114976	100.000	100.000

10. Control Experiments

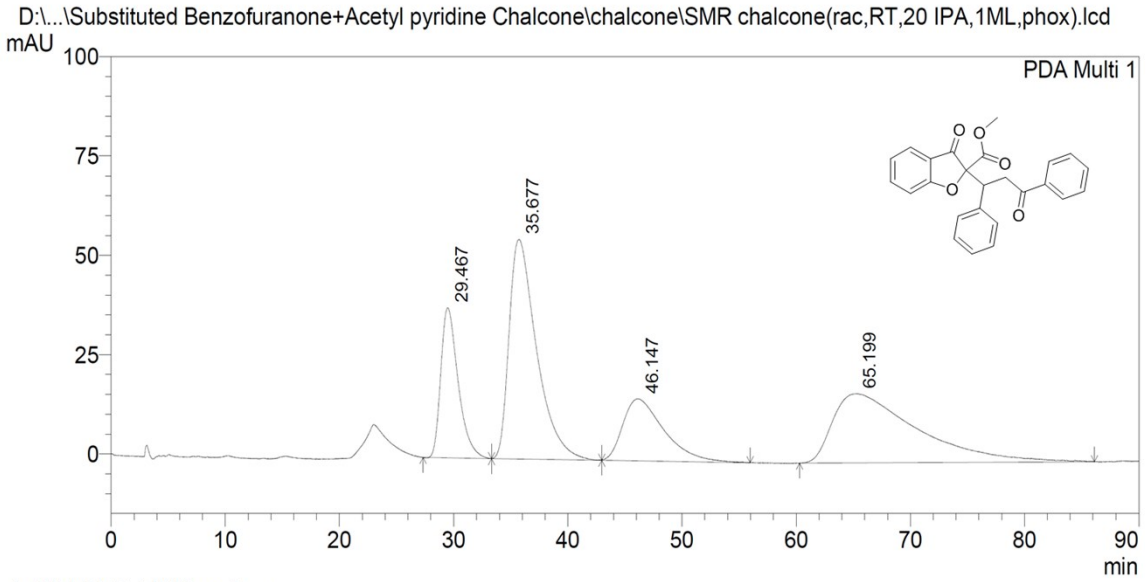
^{1}H and ^{13}C NMR spectrum of compound 6



^{1}H and ^{13}C NMR spectrum of compound 8



HPLC chromatogram of compound 6 (Catalyst 3k)

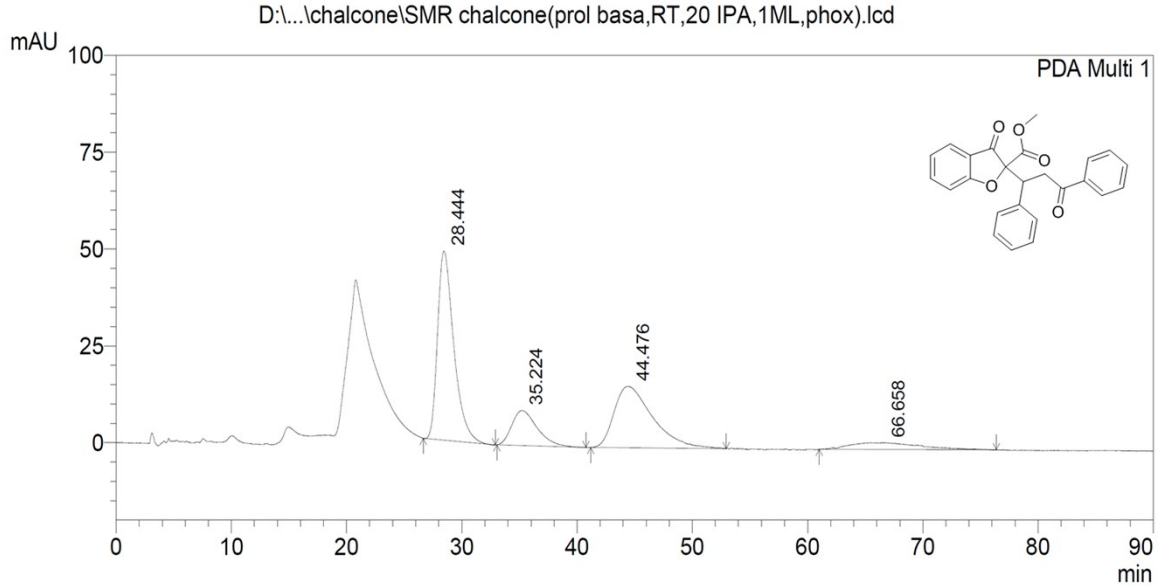


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.467	3995415	37825	15.308	29.966
2	35.677	9258021	55293	35.472	43.803
3	46.147	3915771	15655	15.003	12.402
4	65.199	8930508	17456	34.217	13.829
Total		26099714	126229	100.000	100.000



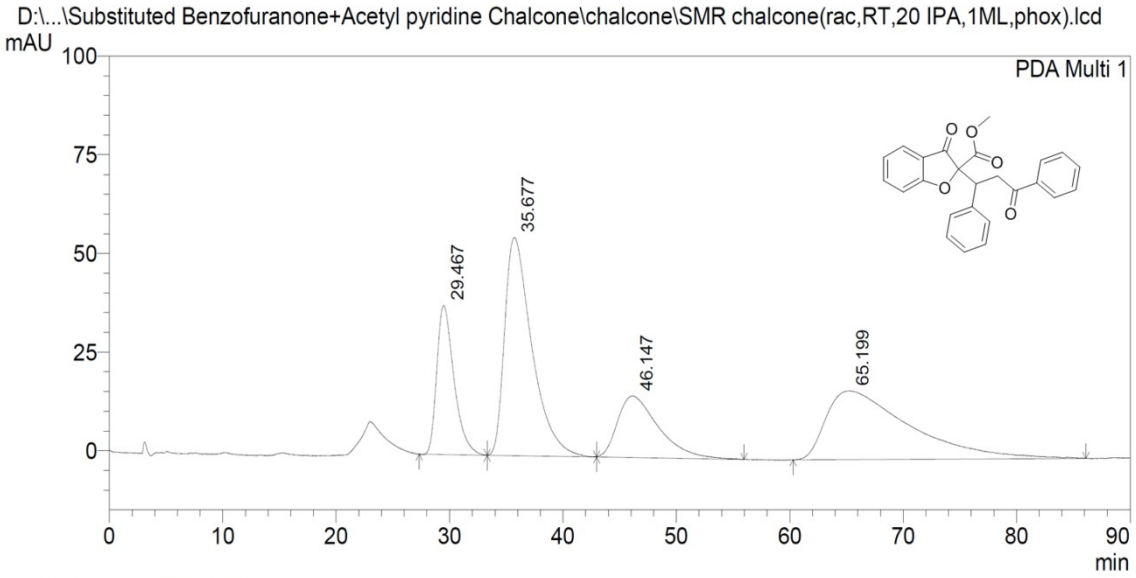
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.444	4787278	48871	45.052	64.605
2	35.224	1391532	9096	13.096	12.025
3	44.476	3693937	15876	34.763	20.987
4	66.658	753257	1803	7.089	2.384
Total		10626004	75646	100.000	100.000

HPLC chromatogram of compound 6 (Catalyst 3l)

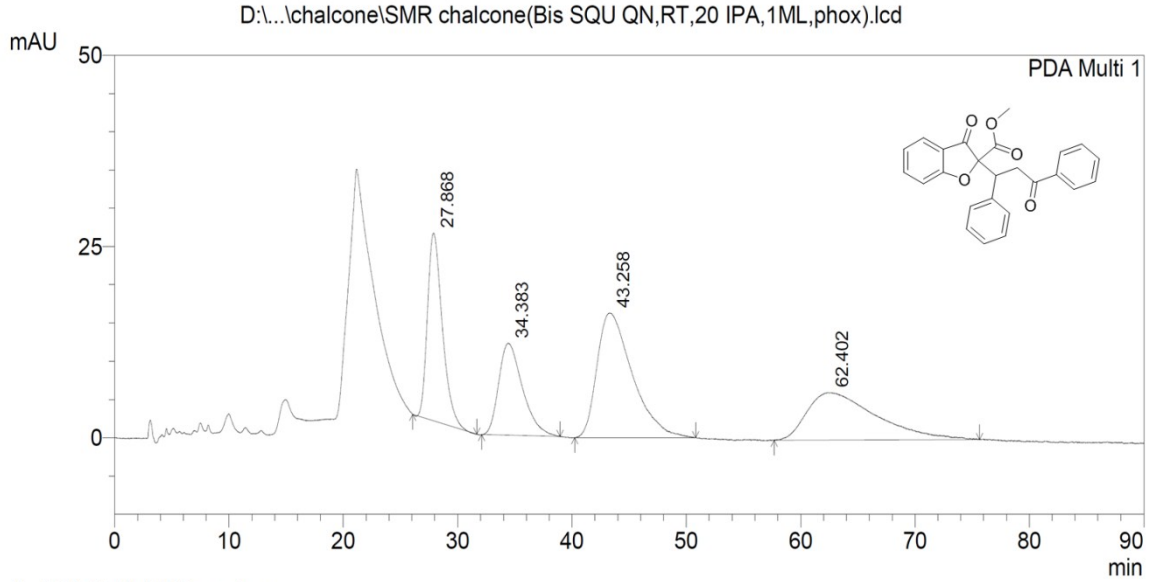


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.467	3995415	37825	15.308	29.966
2	35.677	9258021	55293	35.472	43.803
3	46.147	3915771	15655	15.003	12.402
4	65.199	8930508	17456	34.217	13.829
Total		26099714	126229	100.000	100.000



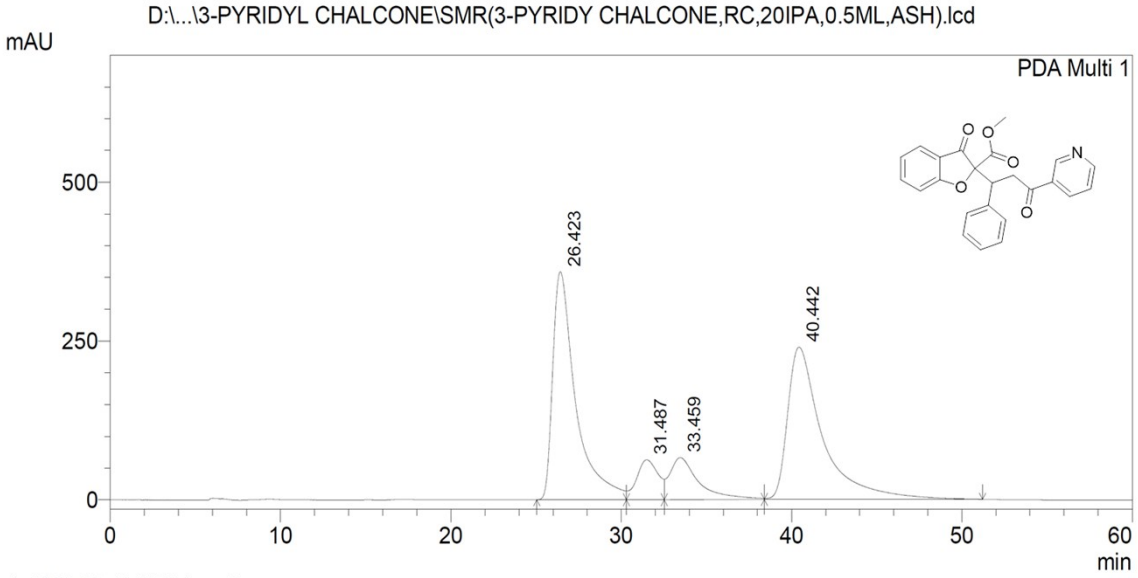
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.868	2217423	24501	21.967	41.481
2	34.383	1742135	12018	17.259	20.346
3	43.258	3505572	16302	34.729	27.599
4	62.402	2629059	6246	26.045	10.574
Total		10094189	59066	100.000	100.000

HPLC chromatogram of compound 8 (Catalyst 3k)

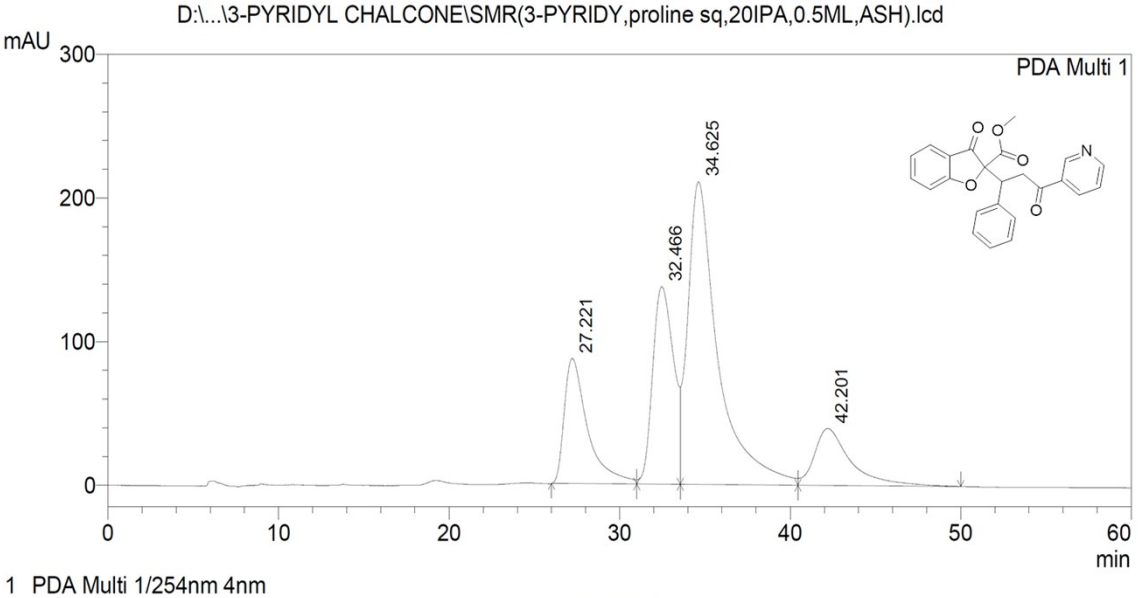


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.423	33509147	358918	41.273	49.320
2	31.487	5462144	62675	6.728	8.612
3	33.459	7850416	66211	9.669	9.098
4	40.442	34368065	239932	42.331	32.970
Total		81189773	727736	100.000	100.000



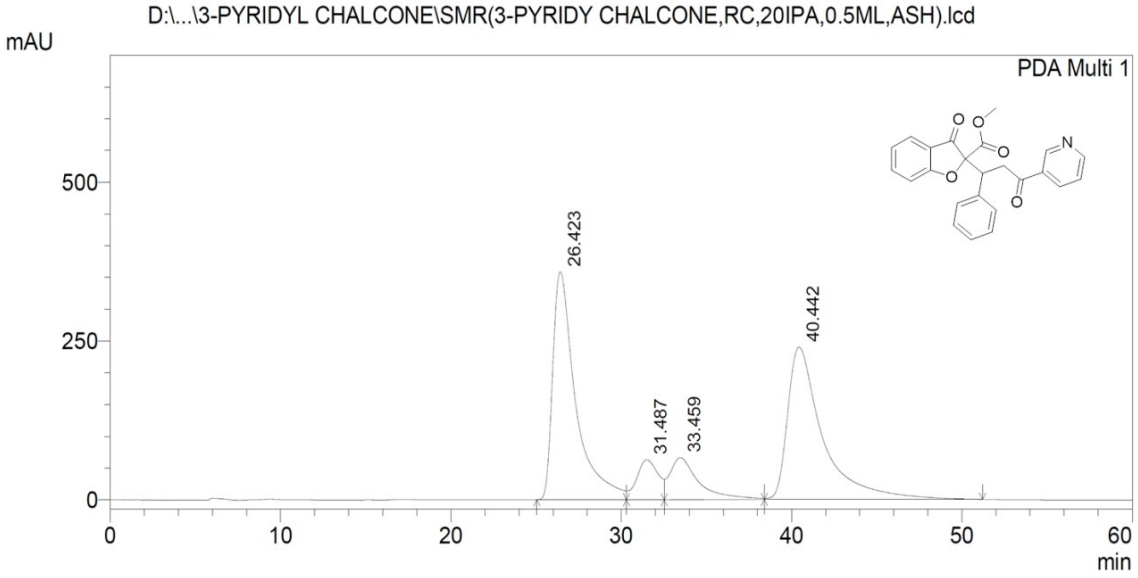
1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.221	8306244	87184	15.987	18.346
2	32.466	11754501	137570	22.624	28.949
3	34.625	25992152	210778	50.026	44.355
4	42.201	5903987	39678	11.363	8.350
Total		51956884	475210	100.000	100.000

HPLC chromatogram of compound 8 (Catalyst 3l)

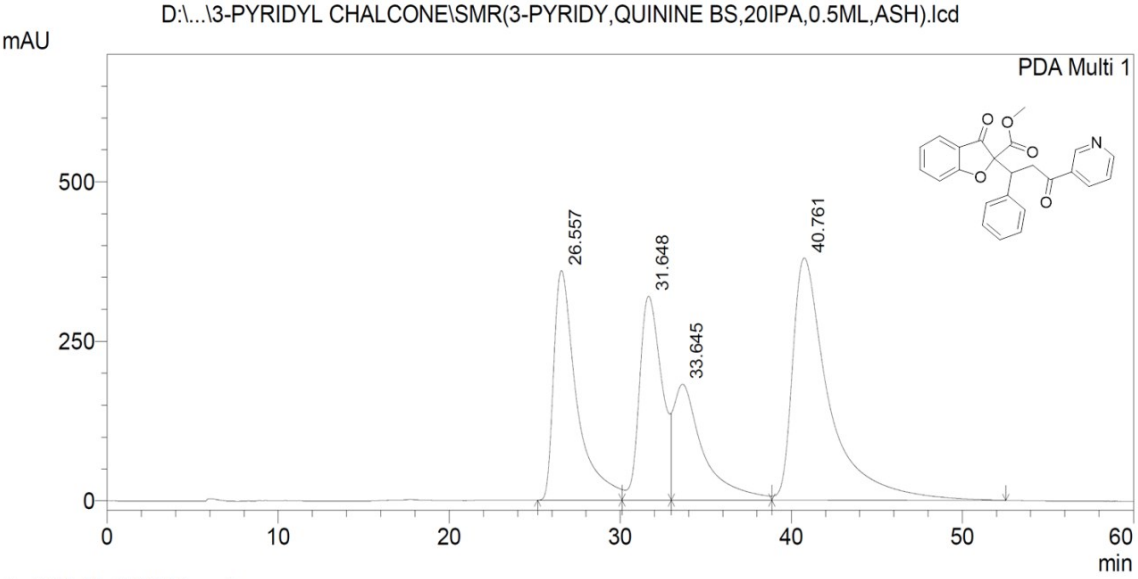


1 PDA Multi 1/254nm 4nm

PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.423	33509147	358918	41.273	49.320
2	31.487	5462144	62675	6.728	8.612
3	33.459	7850416	66211	9.669	9.098
4	40.442	34368065	239932	42.331	32.970
Total		81189773	727736	100.000	100.000



1 PDA Multi 1/254nm 4nm

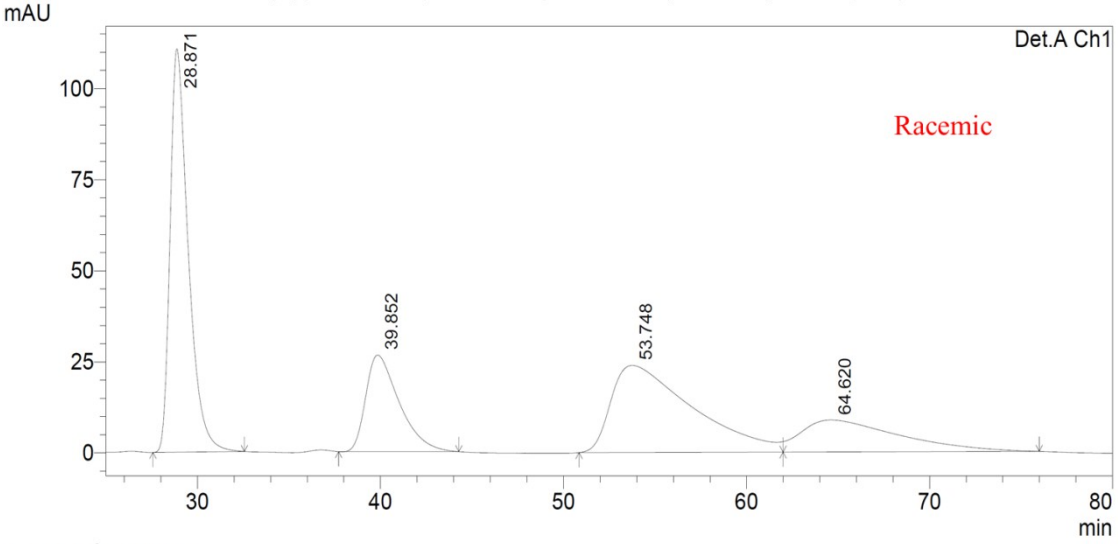
PeakTable

PDA Ch1 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.557	33702417	359890	23.869	28.992
2	31.648	29193132	319759	20.676	25.759
3	33.645	21905059	181856	15.514	14.650
4	40.761	56395730	379827	39.941	30.598
Total		141196338	1241333	100.000	100.000

11. Catalyst Screening

D:\...\Acetyl pyridine\Catalyst Screnning\smr 42 133(race,20%ipa,0.9ml,phox).lcd



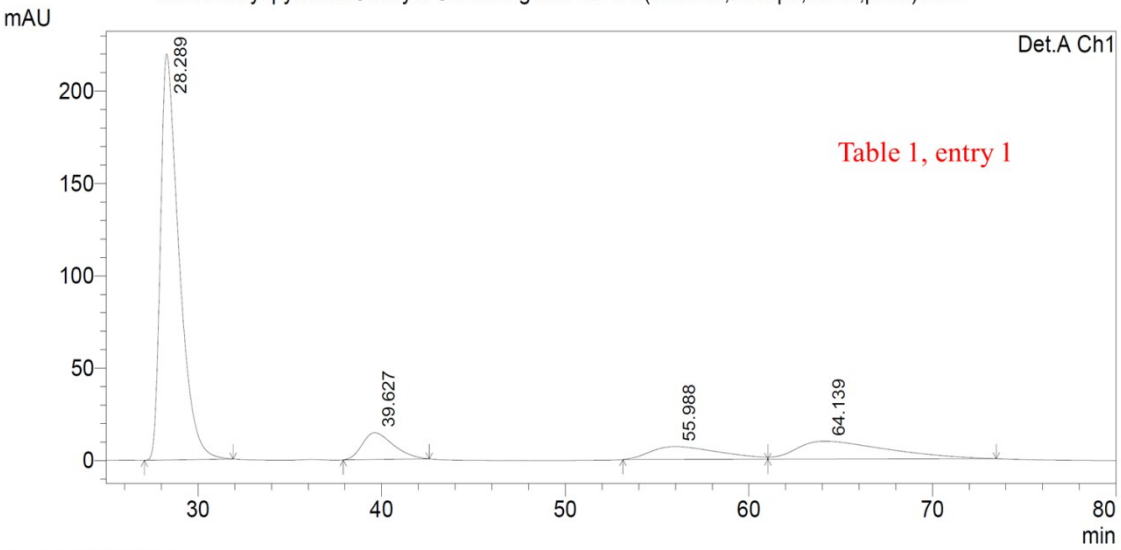
1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.871	7642713	110777	35.269	65.167
2	39.852	3375227	26534	15.576	15.609
3	53.748	7391460	23911	34.110	14.066
4	64.620	3260180	8767	15.045	5.157
Total		21669581	169990	100.000	100.000

D:\...\Acetyl pyridine\Catalyst Screnning\smr 42 133(cinch tu,20%ipa,0.9ml,phox)1.lcd

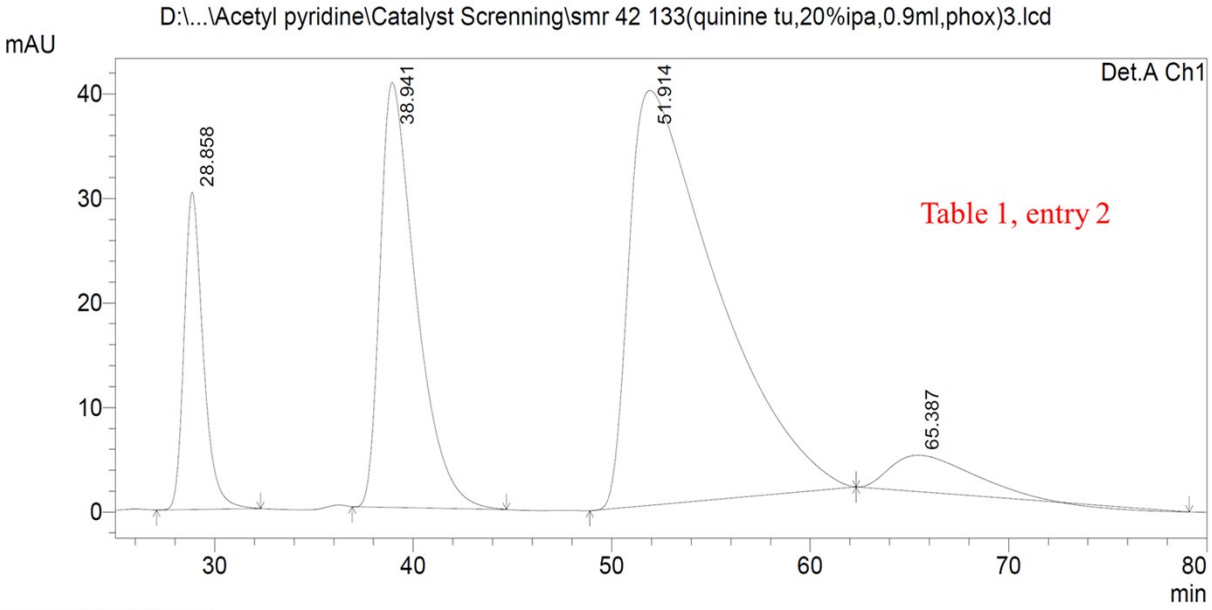


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.289	15434505	219890	69.149	87.597
2	39.627	1706607	14460	7.646	5.760
3	55.988	1819204	6952	8.150	2.769
4	64.139	3360396	9723	15.055	3.873
Total		22320713	251025	100.000	100.000

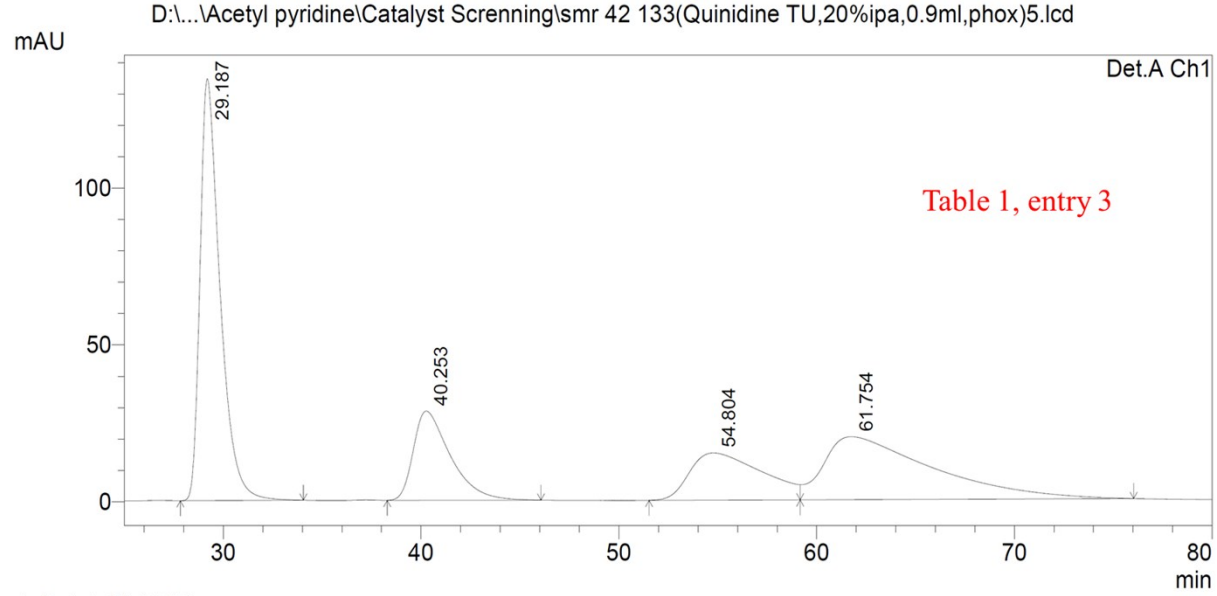


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.858	2036152	30340	10.012	26.576
2	38.941	5124526	40653	25.199	35.610
3	51.914	12200250	39698	59.992	34.773
4	65.387	975494	3472	4.797	3.042
Total		20336422	114163	100.000	100.000

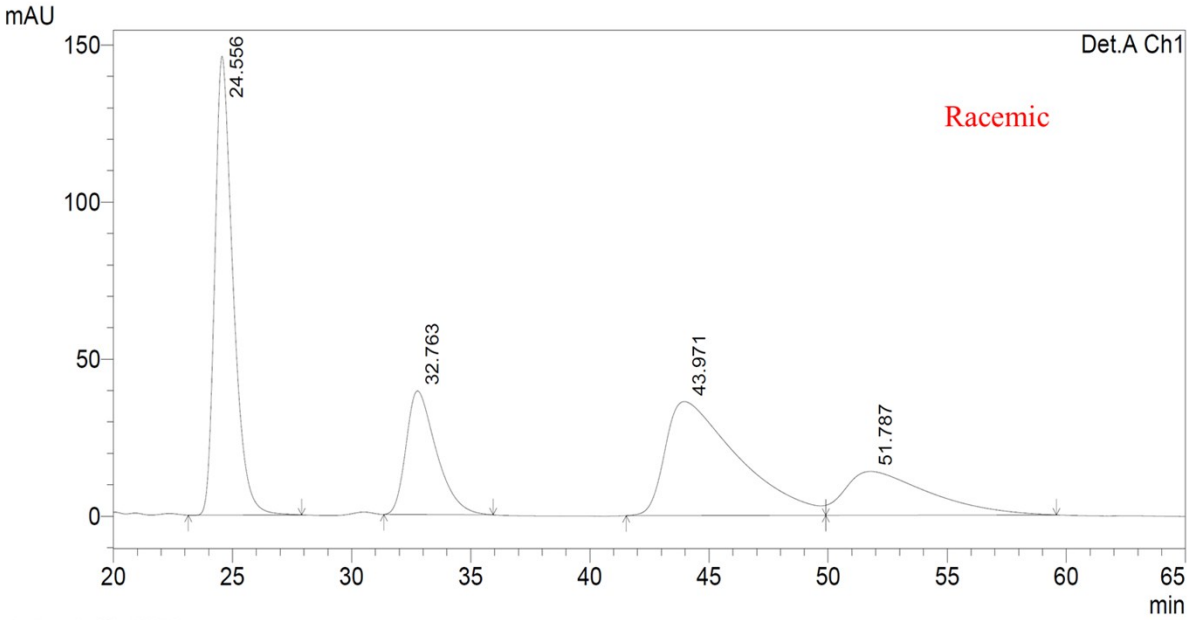


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.187	9457977	134536	38.298	67.902
2	40.253	3694914	28445	14.962	14.357
3	54.804	3855811	15060	15.613	7.601
4	61.754	7687068	20090	31.127	10.140
Total		24695770	198132	100.000	100.000



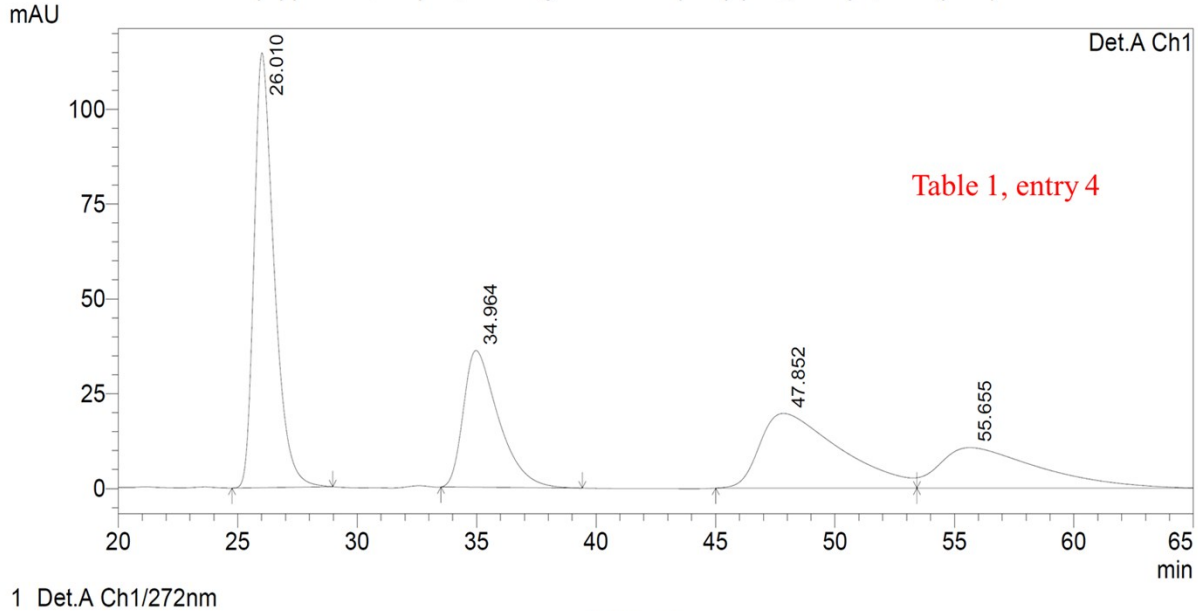
1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.556	7783178	146084	34.736	61.995
2	32.763	3478999	39342	15.526	16.696
3	43.971	7712875	36267	34.422	15.391
4	51.787	3431833	13944	15.316	5.918
Total		22406885	235637	100.000	100.000

D:\...\Acetyl pyridine\Catalyst Screnning\smr 42 133(taki pip TU,20%ipa,0.9ml,phox)9.lcd



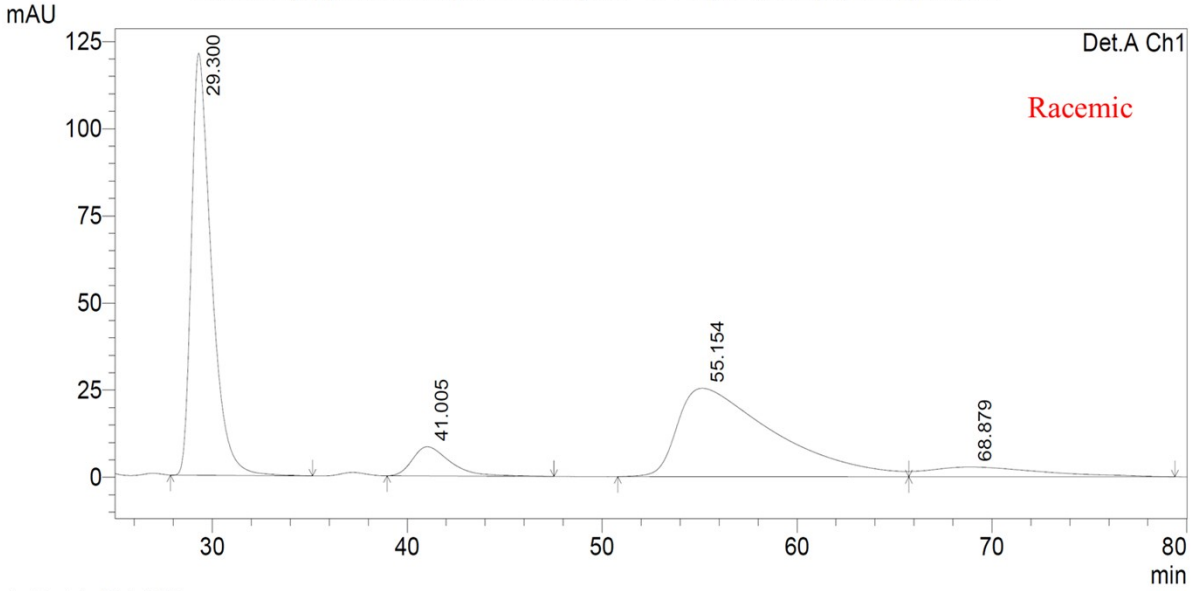
1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.010	6685421	114618	36.961	63.309
2	34.964	3684362	36049	20.370	19.912
3	47.852	4612803	19693	25.503	10.877
4	55.655	3105043	10684	17.167	5.902
Total		18087629	181044	100.000	100.000

D:\...\Acetyl pyridine\Catalyst Screnning\smr 42 109(race,20%ipa,0.9ml,phox).lcd



1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.300	8650401	121088	44.004	76.822
2	41.005	1131997	8371	5.758	5.311
3	55.154	8742573	25367	44.473	16.093
4	68.879	1133062	2795	5.764	1.773
Total		19658033	157621	100.000	100.000

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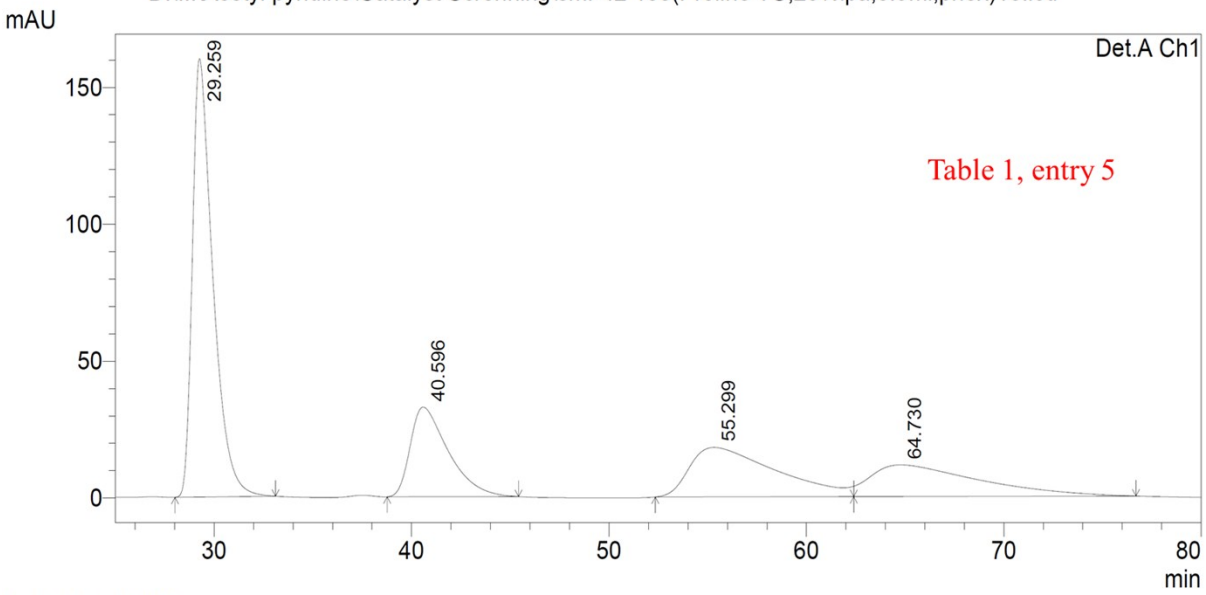
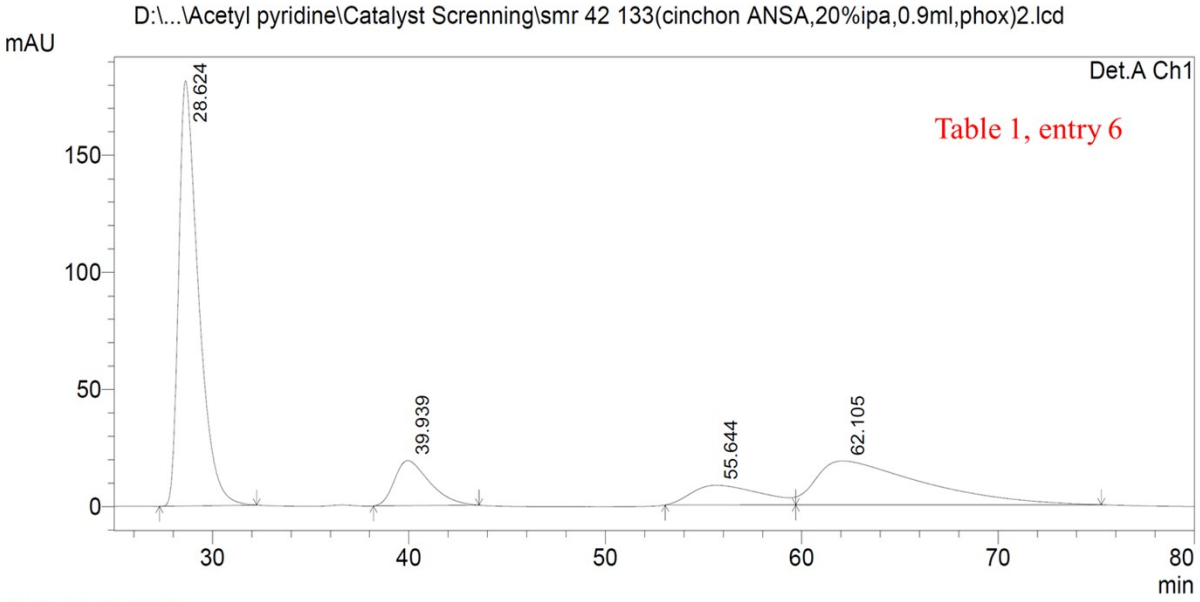


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.259	11557092	160139	44.664	71.964
2	40.596	4391703	32766	16.972	14.725
3	55.299	5520497	18065	21.335	8.118
4	64.730	4406139	11556	17.028	5.193
Total		25875431	222527	100.000	100.000

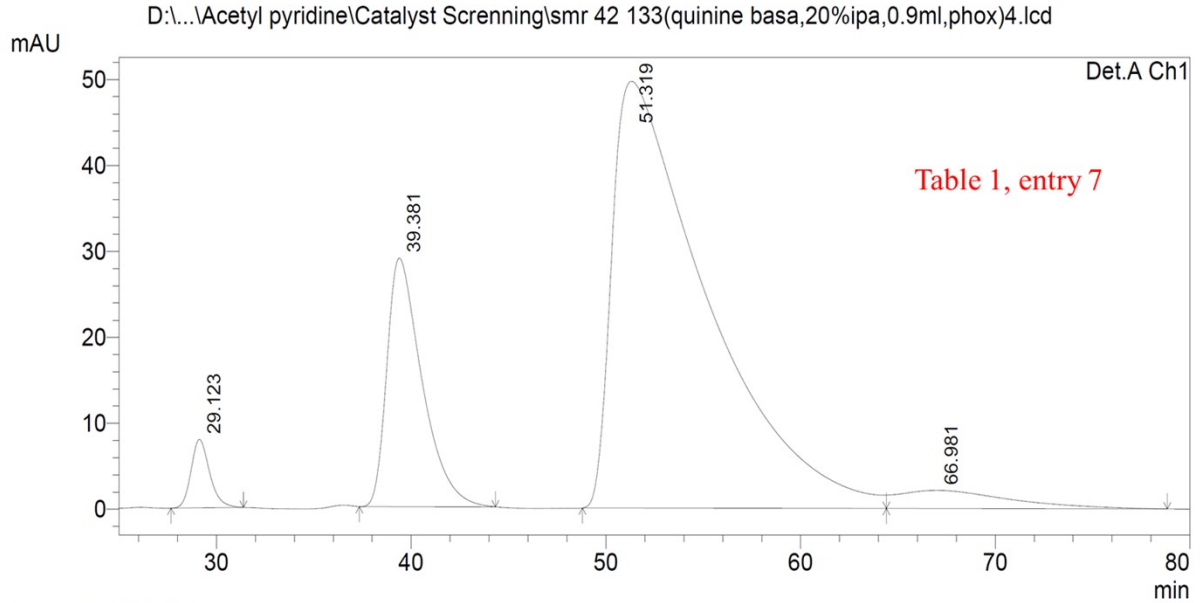


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.624	12734800	181593	52.453	79.660
2	39.939	2382549	19244	9.813	8.442
3	55.644	2071724	8385	8.533	3.678
4	62.105	7089272	18737	29.200	8.219
Total		24278346	227958	100.000	100.000

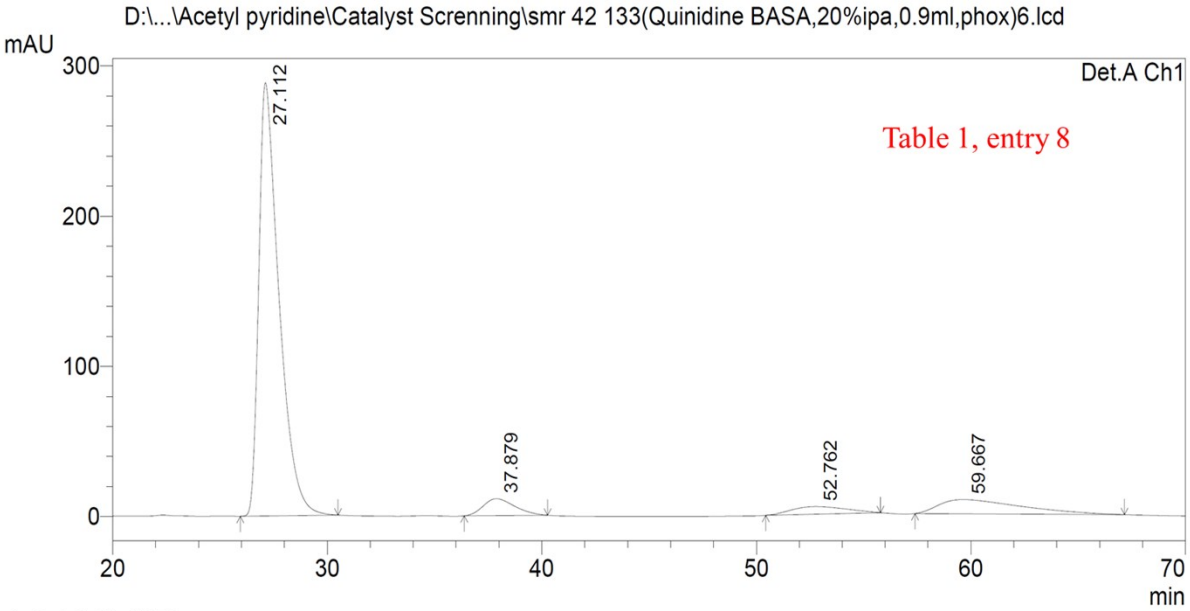


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

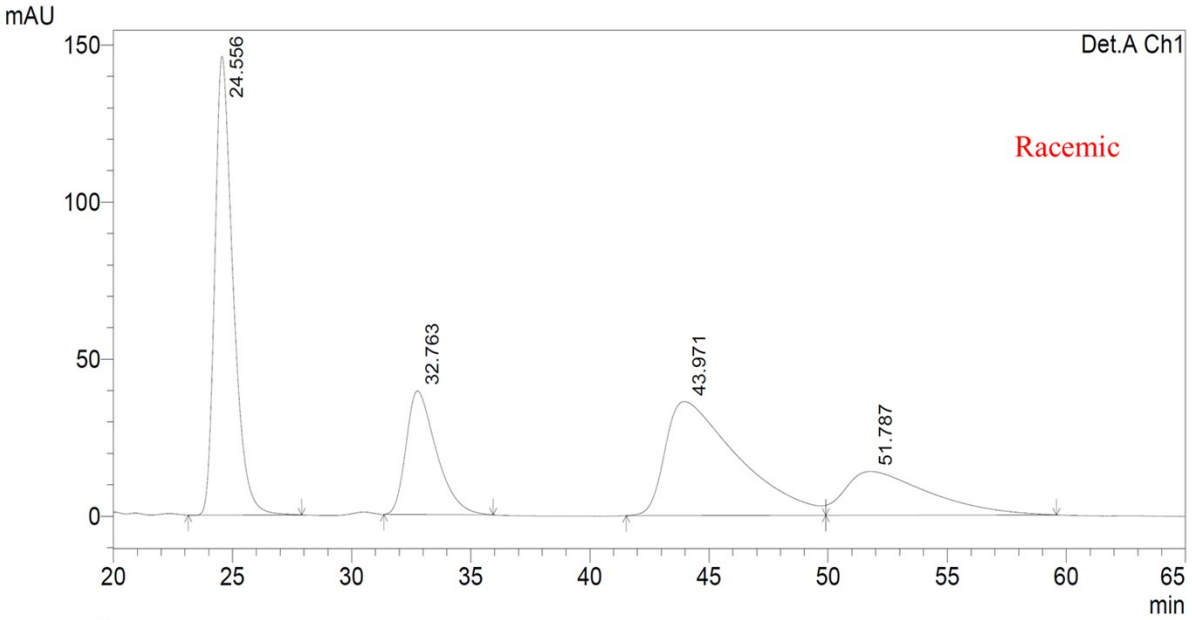
Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.123	530491	7975	2.424	8.992
2	39.381	3627936	28929	16.578	32.620
3	51.319	16883183	49654	77.147	55.991
4	66.981	842950	2126	3.852	2.397
Total		21884560	88683	100.000	100.000



PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.112	18885079	288532	80.490	91.807
2	37.879	1148317	11242	4.894	3.577
3	52.762	889068	5048	3.789	1.606
4	59.667	2540160	9459	10.826	3.010
Total		23462624	314281	100.000	100.000



1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	24.556	7783178	146084	34.736	61.995
2	32.763	3478999	39342	15.526	16.696
3	43.971	7712875	36267	34.422	15.391
4	51.787	3431833	13944	15.316	5.918
Total		22406885	235637	100.000	100.000

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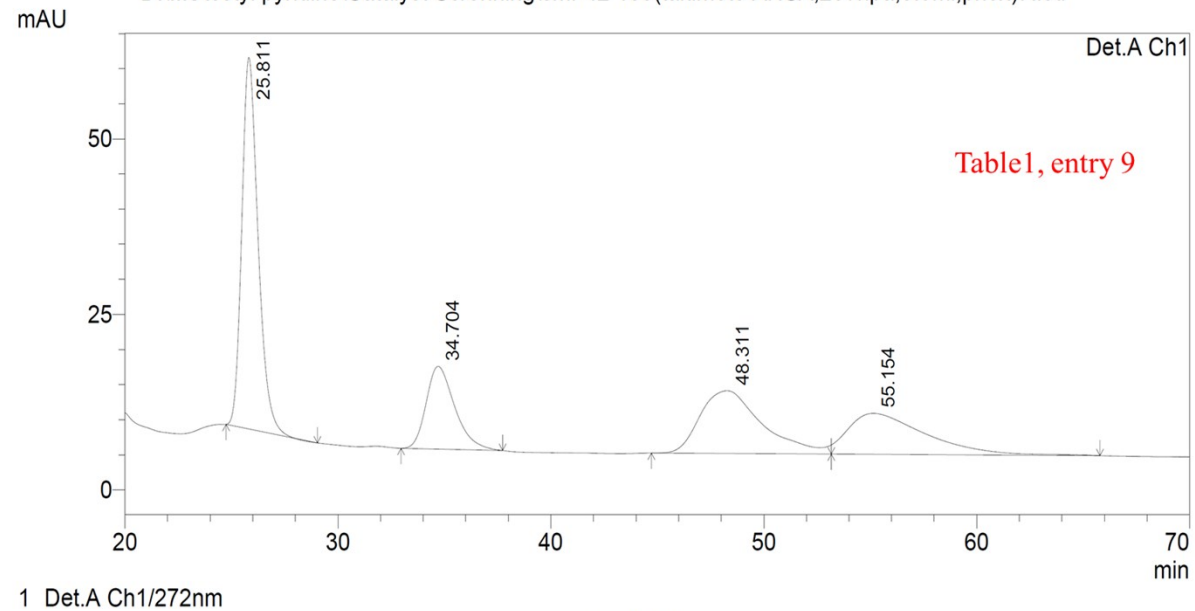
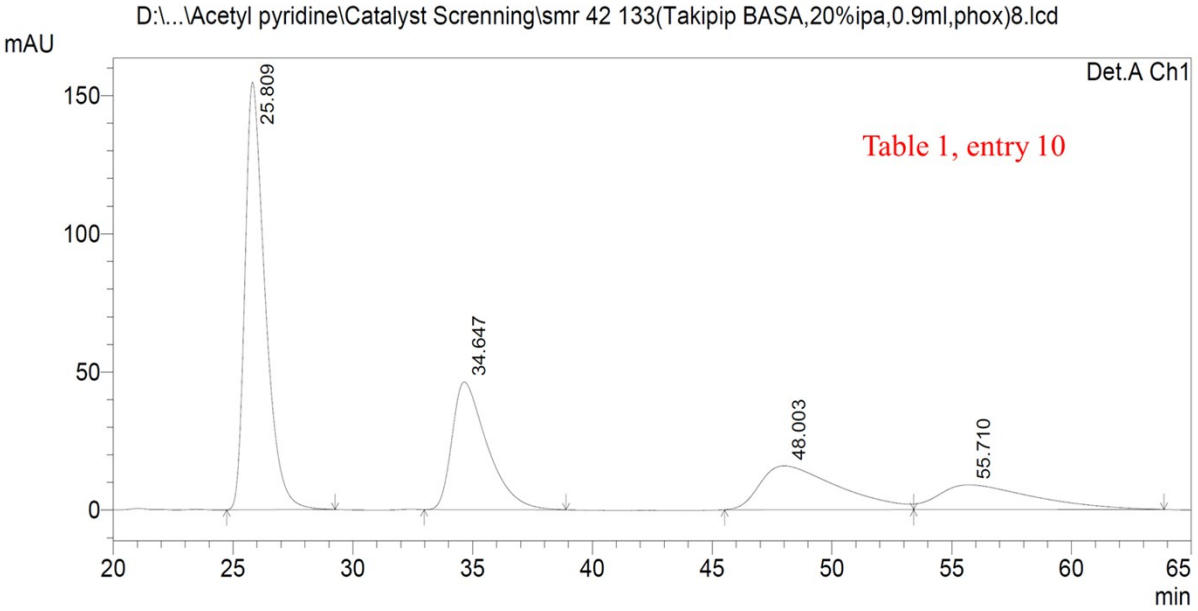


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	25.811	2817471	52925	38.991	66.568
2	34.704	1103164	11792	15.267	14.831
3	48.311	1823575	8945	25.236	11.251
4	55.154	1481752	5844	20.506	7.350
Total		7225962	79505	100.000	100.000

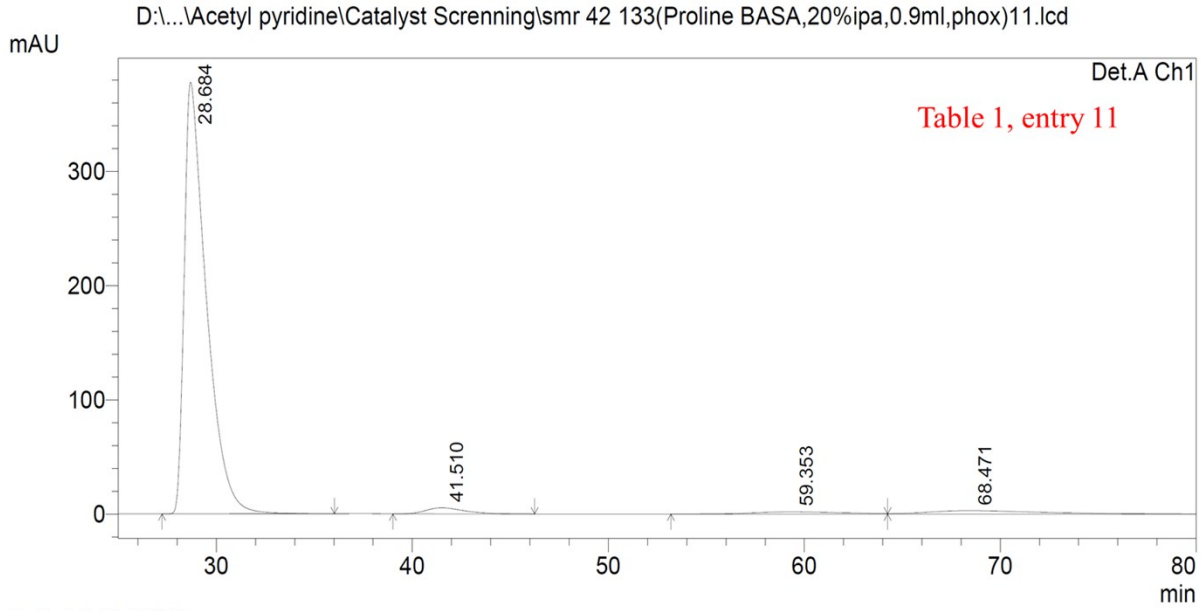


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	25.809	9046705	154732	45.523	68.516
2	34.647	4725136	46240	23.777	20.475
3	48.003	3618775	15886	18.210	7.034
4	55.710	2482282	8975	12.491	3.974
Total		19872897	225834	100.000	100.000

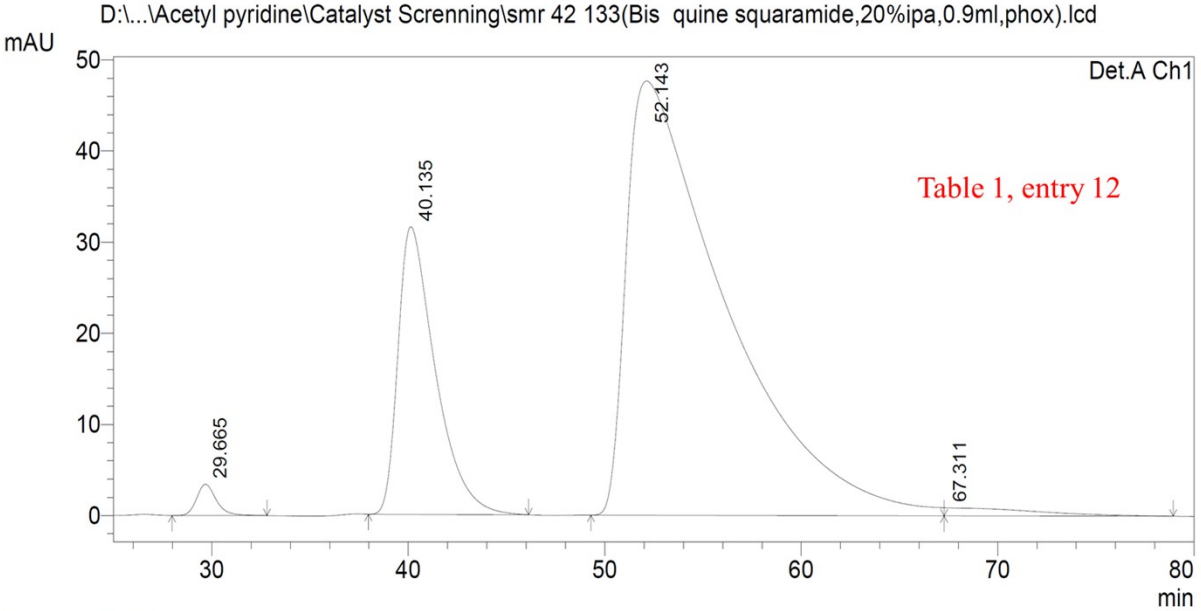


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.684	29577640	377875	92.153	97.367
2	41.510	734702	5367	2.289	1.383
3	59.353	590427	1889	1.840	0.487
4	68.471	1193305	2961	3.718	0.763
Total		32096075	388092	100.000	100.000

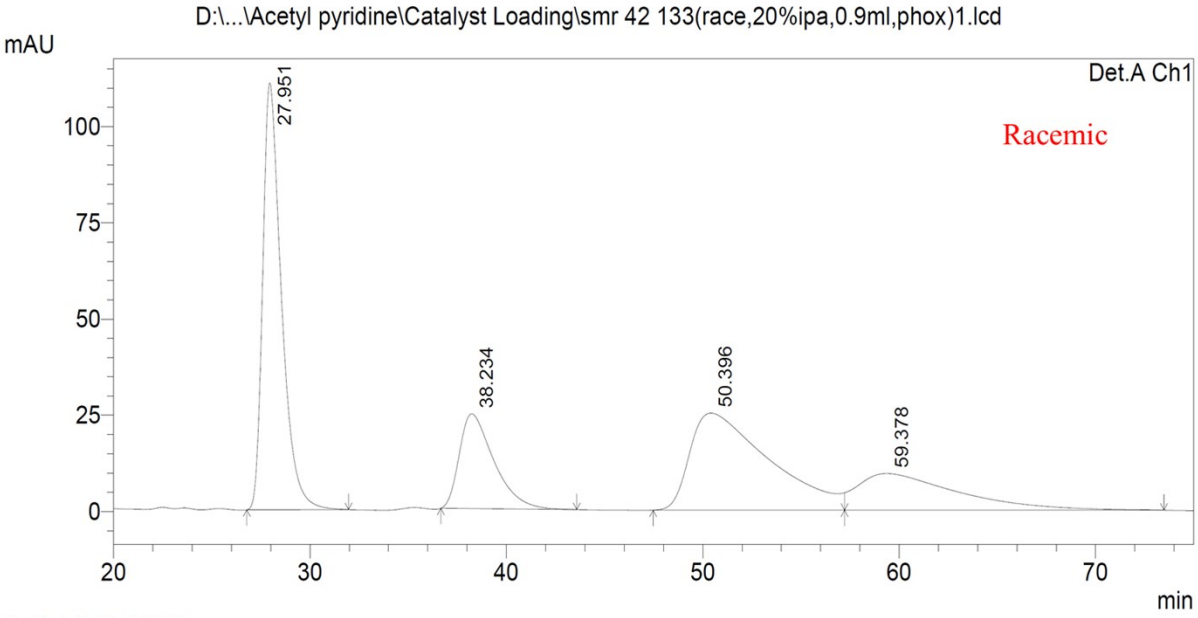


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	29.665	238303	3433	1.110	4.109
2	40.135	4172825	31575	19.442	37.783
3	52.143	16771578	47673	78.140	57.047
4	67.311	280666	887	1.308	1.061
Total		21463371	83568	100.000	100.000

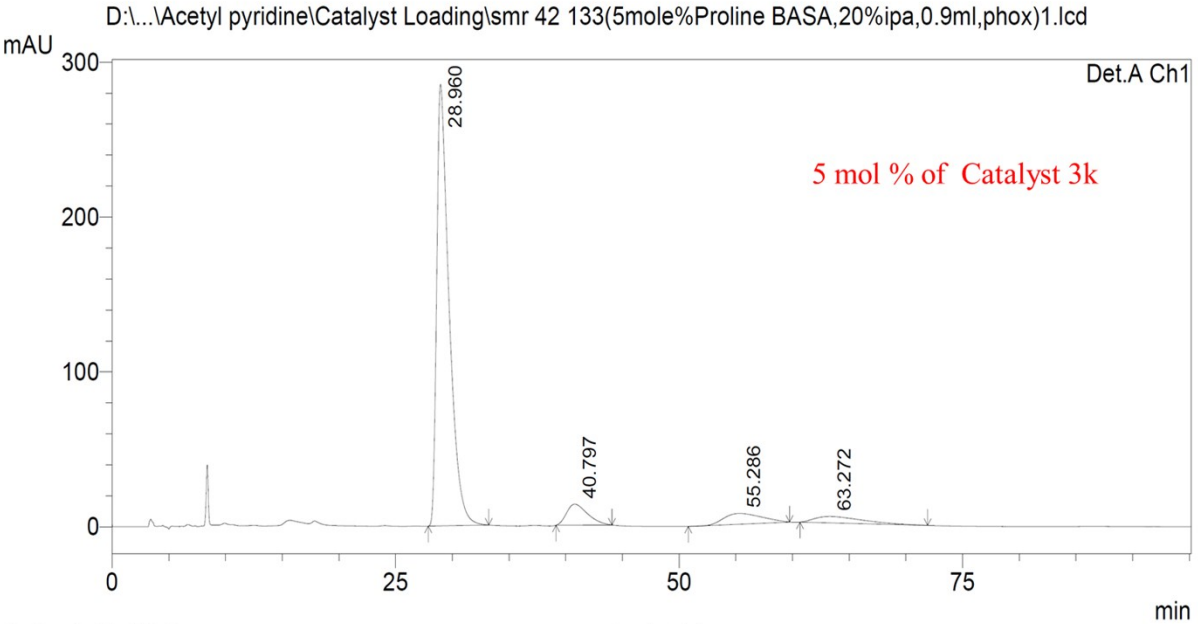


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.951	7348053	110921	35.353	65.141
2	38.234	3012668	24632	14.495	14.466
3	50.396	7067816	25209	34.005	14.805
4	59.378	3356238	9516	16.148	5.588
Total		20784774	170278	100.000	100.000

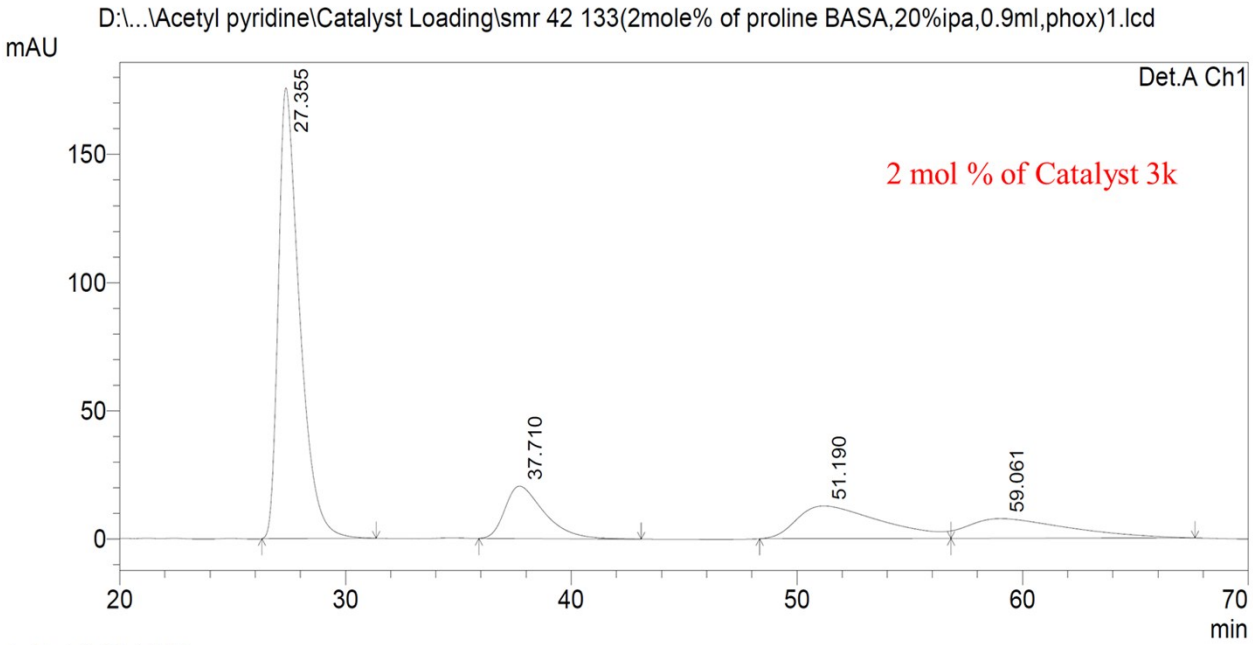


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.960	21606997	285169	82.456	92.033
2	40.797	1754718	13587	6.696	4.385
3	55.286	1599092	6950	6.102	2.243
4	63.272	1243588	4149	4.746	1.339
Total		26204395	309854	100.000	100.000



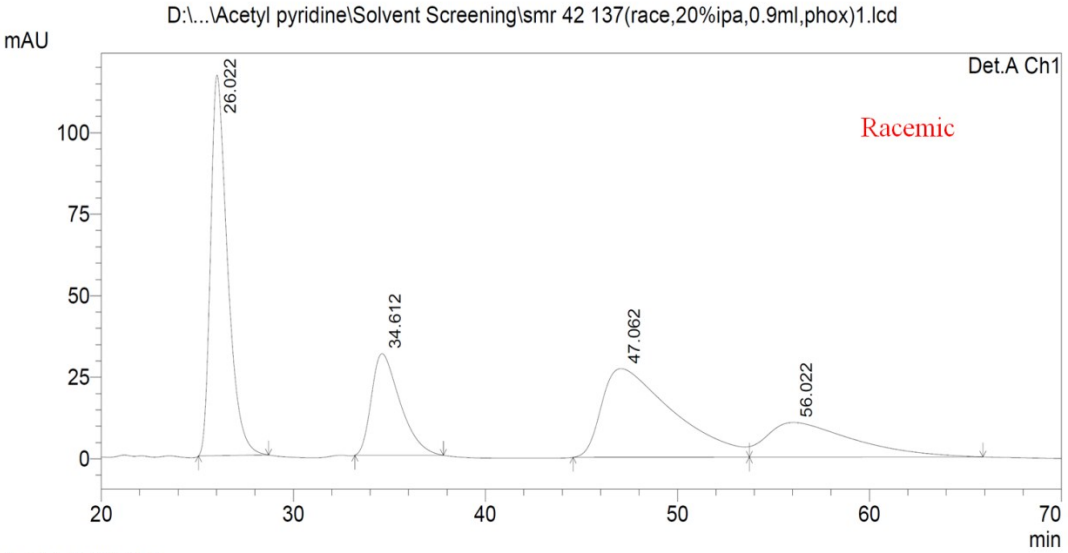
1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.355	11528933	175699	58.491	81.152
2	37.710	2463052	20425	12.496	9.434
3	51.190	3335845	12718	16.924	5.874
4	59.061	2382779	7666	12.089	3.541
Total		19710608	216507	100.000	100.000

12. Solvent Screening

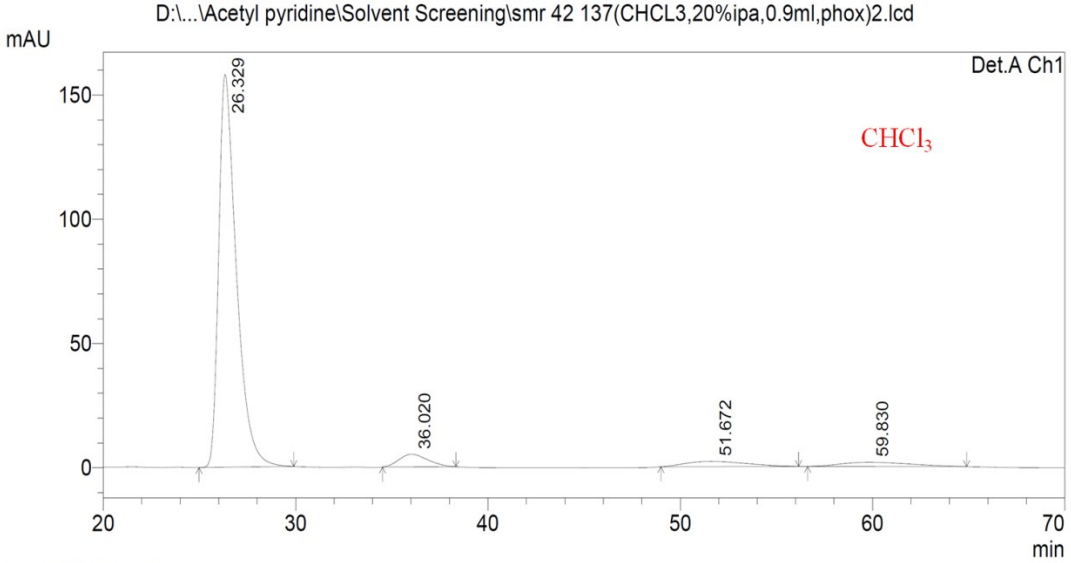


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.022	7170989	116738	34.573	62.854
2	34.612	3233733	31167	15.591	16.781
3	47.062	7008529	27200	33.790	14.645
4	56.022	3328331	10625	16.047	5.720
Total		20741581	185730	100.000	100.000

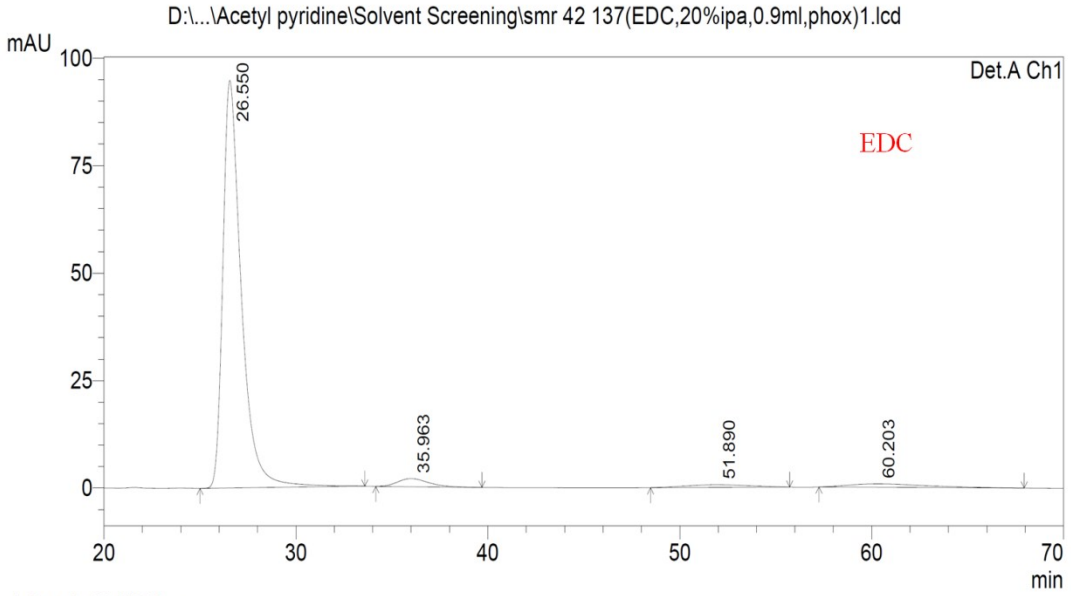


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.329	10114934	158022	87.547	94.655
2	36.020	529626	5091	4.584	3.050
3	51.672	473612	2126	4.099	1.274
4	59.830	435612	1705	3.770	1.022
Total		11553783	166944	100.000	100.000

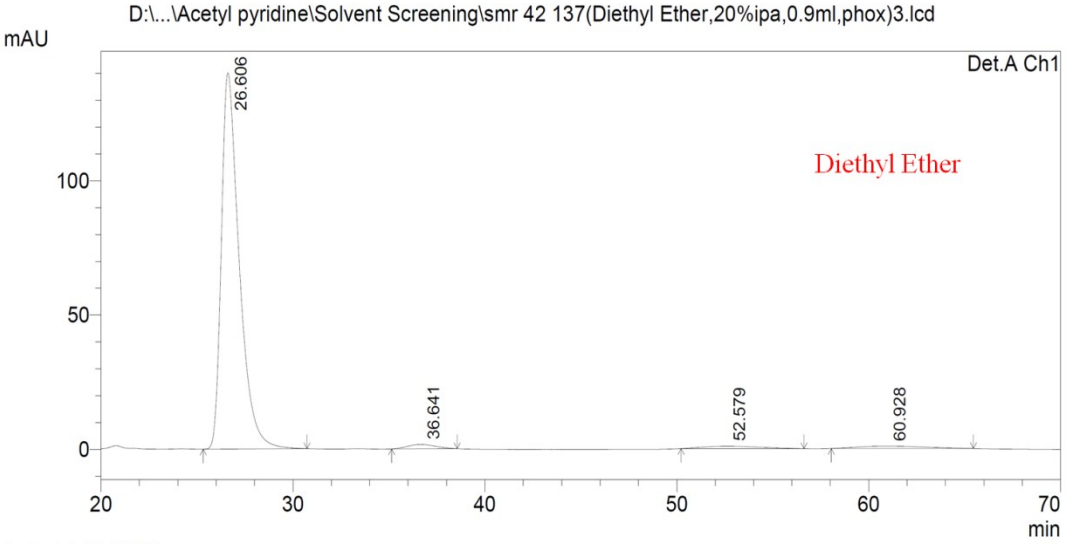


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.550	6339858	94787	91.502	96.588
2	35.963	214925	1906	3.102	1.942
3	51.890	144550	639	2.086	0.651
4	60.203	229337	804	3.310	0.819
Total		6928670	98136	100.000	100.000

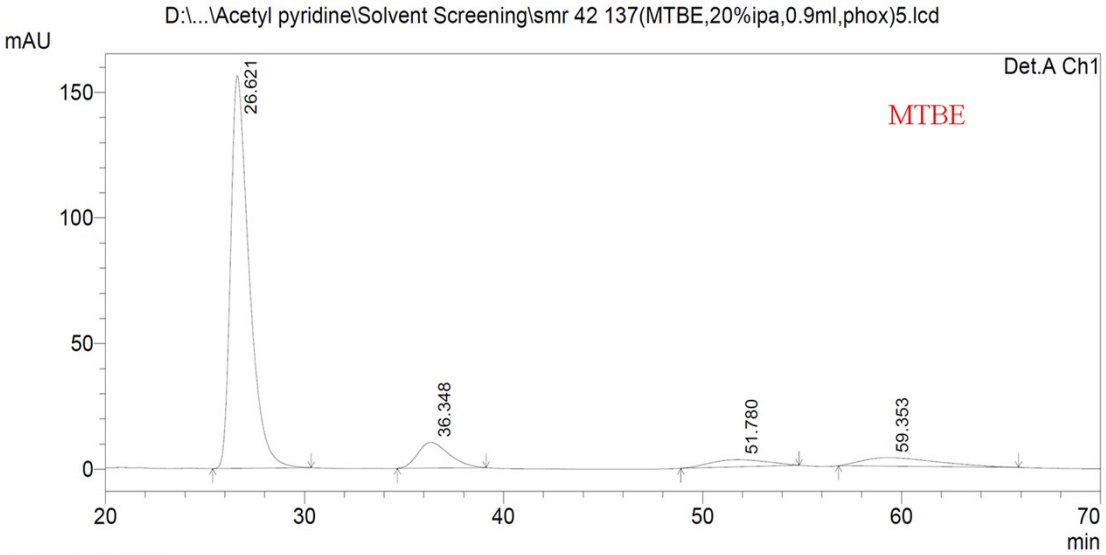


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.606	9057349	140034	94.064	97.626
2	36.641	163798	1610	1.701	1.123
3	52.579	185303	879	1.924	0.613
4	60.928	222491	917	2.311	0.639
Total		9628940	143440	100.000	100.000

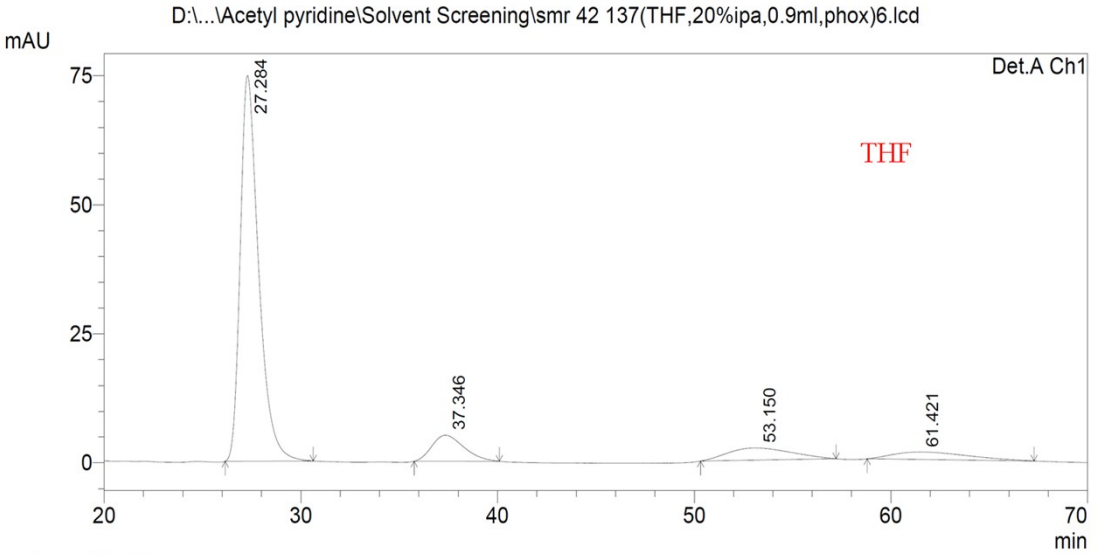


1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.621	10122361	156285	79.731	90.486
2	36.348	1119690	10223	8.819	5.919
3	51.780	558924	2839	4.402	1.644
4	59.353	894682	3370	7.047	1.951
Total		12695657	172716	100.000	100.000



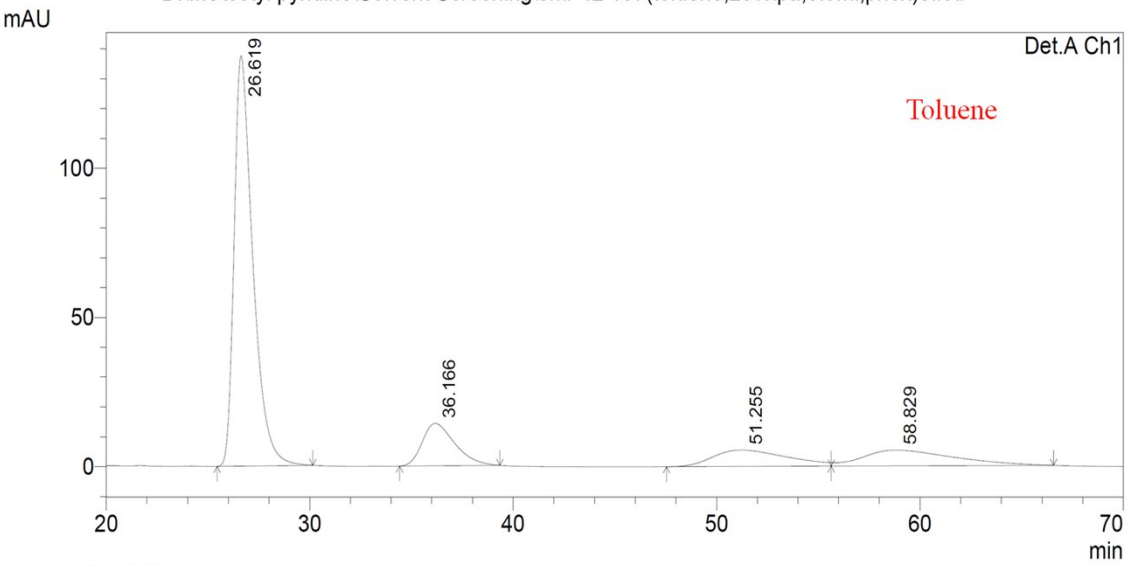
1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	27.284	4852966	74744	76.522	89.390
2	37.346	570414	5053	8.994	6.043
3	53.150	530010	2360	8.357	2.823
4	61.421	388559	1459	6.127	1.745
Total		6341949	83616	100.000	100.000

D:\...\Acetyl pyridine\Solvent Screening\smr 42 137(toluene,20%ipa,0.9ml,phox)8.lcd



1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.619	8807638	137534	65.588	84.596
2	36.166	1582817	14248	11.787	8.764
3	51.255	1390077	5502	10.352	3.384
4	58.829	1648100	5294	12.273	3.256
Total		13428632	162578	100.000	100.000

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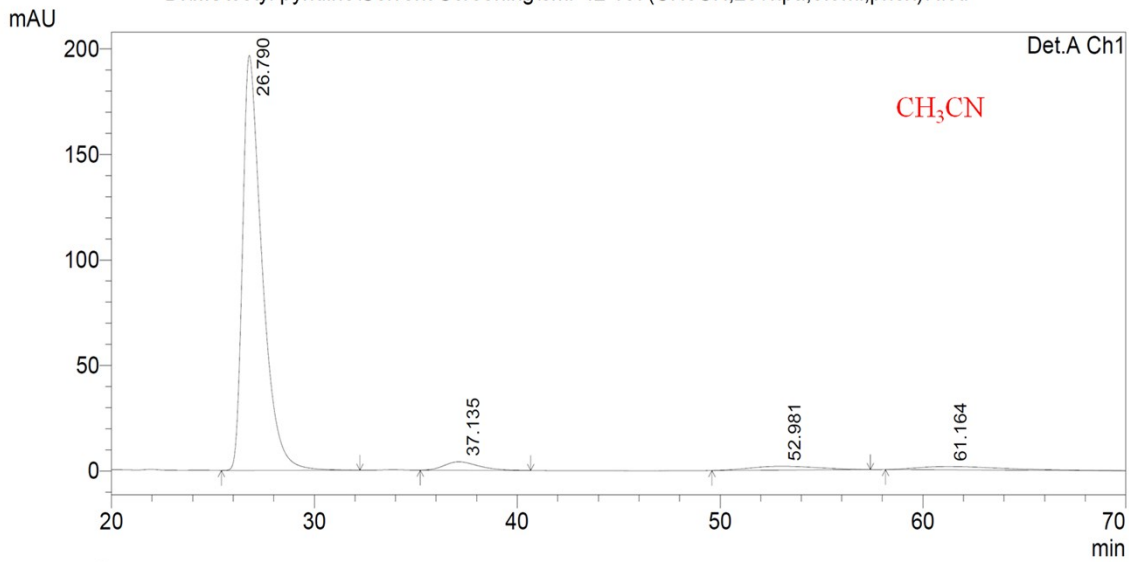

1 Det.A Ch1/272nm

PeakTable

Detector A Ch1 272nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	26.790	13130707	196787	90.541	96.361
2	37.135	475131	4029	3.276	1.973
3	52.981	426560	1817	2.941	0.890
4	61.164	470104	1584	3.242	0.776
Total		14502502	204217	100.000	100.000